International Bureau
(43) International Publication Date

15 December 2016 (15.12.2016)





(10) International Publication Number WO 2016/198611 A1

(51) International Patent Classification:

**C07D 401/14** (2006.01) **A01N 43/40** (2006.01) **C07D 417/14** (2006.01) **A61P 33/14** (2006.01)

(21) International Application Number:

PCT/EP2016/063316

(22) International Filing Date:

10 June 2016 (10.06.2016)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/173,979

11 June 2015 (11.06.2015) US

TIC

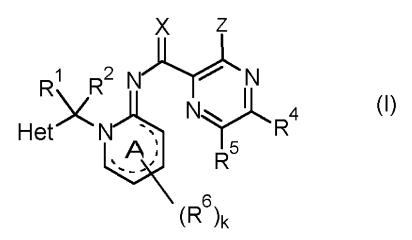
- (71) Applicant: BASF SE [DE/DE]; Carl-Bosch-Str. 38, 67056 Ludwigshafen am Rhein (DE).
- (72) Inventors: KÖRBER, Karsten; Hintere Lisgewann 26, 69214 Eppelheim (DE). MCLAUGHLIN, Martin John; Otto-Schmidt-Groß-Straße 4, 67098 Bad Dürkheim (DE). GOCKEL, Birgit; Eschenbachstraße 42, 67063 Ludwigshafen (DE). VON DEYN, Wolfgang; An der Bleiche 24, 67435 Neustadt (DE). KOJIMA, Kenichi; 7344 Doverton Court, Raleigh Wake, North Carolina 27615 (US).
- (74) Agent: REITSTÖTTER KINZEBACH; Im Zollhof 1, 67061 Ludwigshafen (DE).

- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS, JP, KE, KG, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SA, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG).

## Published:

— with international search report (Art. 21(3))

(54) Title: N-(THIO)ACYLIMINO HETEROCYCLIC COMPOUNDS



(57) Abstract: The present invention relates to N-(thio)acylimino compounds of formula (I) wherein the variables are as defined in the claims and the description, and the stereoisomers, tautomers and salts thereof. The invention further relates to agricultural or veterinary compositions comprising such compounds, to the use of the compounds for combating invertebrate pests, and to methods of combating invertebrate pests, which comprises applying such compounds.



N-(thio)acylimino heterocyclic compounds

The present invention relates to N-(thio)acylimino heterocyclic compounds, including their stereoisomers, tautomers and salts, and to compositions comprising such compounds. The invention also relates to the use of the N-(thio)acylimino heterocyclic compounds, their stereoisomers, their tautomers and their salts, for combating invertebrate pests. Furthermore the invention relates also to methods of combating invertebrate pests, which comprises applying such compounds.

## Background of the invention

Invertebrate pests, such as insects, acaridae and nematode pests destroy growing and harvested crops and attack wooden dwelling and commercial structures, causing large economic loss to the food supply and to property. While a large number of pesticidal agents are known, due to the ability of target pests to develop resistance to said agents, there is an ongoing need for new agents for combating animal pests. In particular, animal pests such as insects and acaridae are difficult to be effectively controlled.

EP 259738 discloses compounds of the formula A, which have insecticidal activity:

20

5

10

15

where W is a substituted pyridyl radical or a 5-or 6-membered heterocyclic radical, R is hydrogen or alkyl, T together with the atoms to which it is bound forms a 5- or 6-membered heterocyclic ring, Y is inter alia a nitrogen atom and Z is an electron withdrawing group selected from nitro and cyano.

(A)

25

Pesticidal compounds, which are similar to those of EP 259738, are known from EP 639569, where the moiety Z is an electron withdrawing group such as alkoxcarbonyl, arylcarbonyl, heterocyclic carbonyl, C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl, sulfamoyl or C<sub>1</sub>-C<sub>4</sub>-acyl.

US 2013/0150414 describe, inter alia, pesticidal compounds of the formula B

wherein Ar is an aryl or 5- or 6-membered heterocyclic group,  $R_a$  is hydrogen or alkyl, Y' is hydrogen, halogen, a hydroxyl group, an alkyl group or an alkoxy group and  $R_b$  is an alkyl group substituted with halogen or an alkoxy group, optionally substituted with halogen.

Pesticidal compounds, which are similar to those of US 2013/0150414, are known from WO 2013/129688.

WO 2015/028630 describes compounds similar to the compounds of formula (B), where Ar is an optionally substituted 3-tetrahydrofuryl radical and  $R_b$  is a heterocyclic radical.

The pesticidal activity of the compounds is not satisfactory. It is therefore an object of the present invention to provide compounds having a good pesticidal activity, especially against difficult to control insects and acarid pests.

## Summary of the invention

It has been found that these objects are solved by N-substituted (thio)acyl-imino compounds of the general formula (I) described below, by their stereoisomers, their tautomers and their salts. Therefore, the present invention relates to N-(thio)acylimino compounds of formula (I):

20

5

10

15

wherein

Het is a 5- or 6-membered carbon-bound or nitrogen-bound heteroaromatic ring comprising 1, 2, 3 or 4 heteroatoms selected from sulfur, oxygen and nitrogen as ring members, wherein the heteroaromatic ring is optionally substituted by 1, 2, 3 or 4 identical or different substituents R<sup>6a</sup>;

5

10

15

R¹, R², independently of each other, are selected from the group consisting of hydrogen, halogen, cyano, nitro, SCN, C¹-C₆-alkyl, C³-C₆-cycloalkyl, C²-C₆-alkenyl, C²-C₆-alkynyl, wherein the aliphatic and cycloaliphatic moieties in the ₆ last-mentioned radicals may be partly or completely halogenated and/or may carry one or more radicals R³;

 $OR^{8}$ ,  $OSO_{2}R^{8}$ ,  $S(O)_{n}R^{8}$ ,  $S(O)_{n}NR^{9a}R^{9b}$ ,  $NR^{9a}R^{9b}$ ,  $C(=O)NR^{9a}R^{9b}$ ,  $C(=S)NR^{9a}R^{9b}$ ,  $C(=O)OR^{8}$ ,  $Si(R^{11})_{2}R^{12}$ ,  $C(=O)R^{7a}$ ,  $C(=S)R^{7a}$ ,

phenyl, optionally substituted with 1, 2, 3, 4 or 5 substituents R<sup>10</sup>, and a 3-, 4-, 5-, 6- or 7-membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 substituents R<sup>10</sup>, and wherein the nitrogen and the sulfur atom(s) of the heterocyclic ring may optionally be oxidized; or

R¹ and R² form, together with the carbon atom they are attached to, a 3-, 4-, 5- or 6-membered saturated or partly unsaturated carbocyclic or heterocyclic ring containing 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, wherein the cycle is unsubstituted or may carry 1 or 2 radicals R¹º; or

25

30

20

 $R^1$  and  $R^2$  may form together a group =O, =CR<sup>13</sup>R<sup>14</sup>; =S; =NR<sup>9a</sup>, =NOR<sup>8</sup>; or =NNR<sup>9a</sup>R<sup>9b</sup>;

- A is a 6-membered saturated, partially or maximally unsaturated N-heterocyclic ring;
- k is an integer selected from 0, 1, 2, 3 and 4;
  - X is O or S;
  - Z is  $OR^{3a}$ ,  $NR^{3b}R^{3c}$ , or  $S(O)_mR^{3d}$ ;

10

15

20

25

30

35

wherein  $R^{3a}$ ,  $R^{3b}$ ,  $R^{3c}$  and  $R^{3d}$ , independently of each other, are selected from the group consisting of H, CN,  $S(O)_2NH_2$ ,  $C_1$ - $C_6$ -alkyl,  $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -alkynyl,  $C_3$ - $C_6$ -cycloalkyl,  $(C_3$ - $C_6$ -cycloalkyl)- $C_1$ - $C_6$ -alkyl,  $(C_1$ - $C_6$ -alkyl)-carbonyl,  $(C_1$ - $C_6$ -alkoxy)carbonyl,  $(C_1$ - $C_6$ -alkyl)sulfonyl,  $(C_1$ - $C_6$ -alkylamino)carbonyl, di( $C_1$ - $C_6$ -alkyl)aminocarbonyl,  $(C_1$ - $C_6$ -alkyl)aminosulfonyl and  $(C_1$ - $C_6$ -alkoxy)sulfonyl, where the aliphatic and cycloaliphatic moieties in the 14 last-mentioned radicals are unsubstituted or are partly or completely halogenated or may carry 1, 2, 3, 4 substituents  $NR^{9a}R^{9b}$ , phenyl, phenylsulfonyl, phenyl- $C_1$ - $C_6$ -alkyl, phenylaminosulfonyl, phenylcarbonyl and phenoxycarbonyl, wherein phenyl in the 6 last-mentioned radicals is unsubstituted or substituted by 1, 2, 3, 4 or 5 substituents independently selected from the group consisting of halogen, CN,  $NO_2$ ,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_1$ - $C_6$ -alkoxy and  $C_1$ - $C_6$ -haloalkoxy;

where R3d may further be NR9aR9b;

R³b and R³c, together with the nitrogen atom to which they are bound, form an N-bound, mono- or bicyclic 3-, 4-, 5-, 6- or 7-membered saturated, partly unsaturated or maximally unsaturated heterocyclic radical which may comprise 1, 2 or 3 further heteroatoms selected from N, O and S as ring members, where the heterocyclic radical is unsubstituted or substituted by one or more substituents independently selected from the group consisting of halogen, CN, NO₂, C₁-C6-alkyl, C₁-C6-haloalkyl, C₁-C6-alkoxy and C₁-C6-haloalkoxy; and

m is an integer selected from 0, 1 and 2;

R<sup>4</sup>, R<sup>5</sup>, independently of each other, are selected from the group consisting of hydrogen, halogen, cyano, azido, nitro, SCN, SF<sub>5</sub>, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, wherein the 4 last-mentioned aliphatic and cycloaliphatic radicals may be partly or completely halogenated and/or may carry one or more radicals R<sup>7</sup>; Si(R<sup>11</sup>)<sub>2</sub>R<sup>12</sup>, OR<sup>8</sup>, OS(O)<sub>n</sub>R<sup>8</sup>, S(O)<sub>n</sub>R<sup>8</sup>, S(O)<sub>n</sub>NR<sup>9a</sup>R<sup>9b</sup>, NR<sup>9a</sup>R<sup>9b</sup>, C(=O)R<sup>7a</sup>, C(=S)R<sup>7a</sup>,

 $C(=O)OR^{8}, C(=NR^{9a})R^{7a}, C(=N-NR^{9a}R^{9b})R^{7a}, C(=NOR^{8})R^{7a}, C(=O)NR^{9a}R^{9b}$  and  $C(=S)NR^{9a}R^{9b}$ ;

is independently selected from the group consisting of halogen, cyano, azido, nitro, SCN, SF<sub>5</sub>, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, wherein the 4 last-mentioned radicals may be partly or completely halogenated and/or may carry one or more radicals R<sup>7</sup>, OR<sup>8</sup>, NR<sup>9a</sup>R<sup>9b</sup>, OSO<sub>2</sub>R<sup>8</sup>, S(O)<sub>n</sub>R<sup>8</sup>, S(O)<sub>n</sub>NR<sup>9a</sup>R<sup>9b</sup>, C(=O)R<sup>7a</sup>, C(=O)NR<sup>9a</sup>R<sup>9b</sup>, C(=O)OR<sup>8</sup>, C(=S)R<sup>7a</sup>, C(=S)NR<sup>9a</sup>R<sup>9b</sup>, C(=S)OR<sup>8</sup>, C(=S)SR<sup>8</sup>, C(=NR<sup>17</sup>)R<sup>7a</sup>, C(=NR<sup>17</sup>)NR<sup>9a</sup>R<sup>9b</sup>, NR<sup>9a</sup>-C(=O)R<sup>7a</sup>, NR<sup>9a</sup>-C(=O)OR<sup>8</sup>, NR<sup>9a</sup>-C(=O)OR<sup>8</sup>, NR<sup>9a</sup>-C(=O)NR<sup>9a</sup>R<sup>9b</sup>, Si(R<sup>11</sup>)<sub>2</sub>R<sup>12</sup>; phenyl, where the phenyl ring is optionally substituted with 1, 2, 3, 4 or 5 identical or different substituents R<sup>10</sup>,

15

20

25

30

a 3-, 4-, 5-, 6- or 7- membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, wherein the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 substituents R<sup>10</sup>, and wherein the nitrogen and the sulfur atom(s) of the heterocyclic ring may optionally be oxidized,

or two R<sup>6</sup> present on the same ring carbon may form together a group of =O, =CR<sup>13</sup>R<sup>14</sup>, =S, =NR<sup>17a</sup>, =NOR<sup>16</sup> or =NNR<sup>9a</sup>R<sup>9b</sup>,

or two R<sup>6</sup> together with the atom they are bound to, form a 3-, 4-, 5-, 6-, 7- or 8-membered saturated, partly unsaturated or maximally unsaturated carbo- or heterocyclic ring ring, where the heterocyclic ring has 1 or 2 heteroatoms as ring members which are selected from the group consisting of O, S, and N, wherein the nitrogen and the sulfur atom(s) of the heterocyclic ring may optionally be oxidized and where said carbo- or heterocyclic ring is unsubstituted or carries 1, 2, 3 or 4 radicals selected from halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-haloalkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-haloalkylthio, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-halocycloalkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-haloalkenyl, C<sub>2</sub>-C<sub>6</sub>-alkynyl, C<sub>2</sub>-C<sub>6</sub>-haloalkynyl, phenyl, optionally substituted with 1, 2, 3, 4 or 5 substituents R<sup>10</sup>, and a 3-, 4-, 5-, 6- or 7- membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, where the heterocyclic ring is

WO 2016/198611

6

optionally substituted with 1, 2, 3 or 4 substituents R<sup>10</sup>, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized;

PCT/EP2016/063316

5 each R<sup>6a</sup> has independently one of the meanings given for R<sup>6</sup>;

10

15

20

25

30

each  $R^7$  is independently selected from the group consisting of cyano, azido, nitro, -SCN, SF<sub>5</sub>, Si(R<sup>11</sup>)<sub>2</sub>R<sup>12</sup>, OR<sup>16</sup>, OSO<sub>2</sub>R<sup>16</sup>, S(O)<sub>n</sub>R<sup>16</sup>, S(O)<sub>n</sub>NR<sup>17a</sup>R<sup>17b</sup>, NR<sup>17a</sup>R<sup>17b</sup>, C(=O)NR<sup>17a</sup>R<sup>17b</sup>, C(=S)NR<sup>17a</sup>R<sup>17b</sup>, C(=O)OR<sup>16</sup>, C(=O)R<sup>7a</sup>, C(=S)R<sup>7a</sup>, C(=NR<sup>17a</sup>)R<sup>7a</sup>, C(=NOR<sup>16</sup>)R<sup>7a</sup>,

C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-halocycloalkyl, phenyl, optionally substituted with 1, 2, 3, 4 or 5 substituents R<sup>10</sup>, and a 3-, 4-, 5-, 6- or 7- membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, wherein the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 substituents R<sup>10</sup>, and wherein the nitrogen and the sulfur atom(s) of the heterocyclic ring may optionally be oxidized, or

two R<sup>7</sup> present on the same carbon atom may form together a group =O, =CR<sup>13</sup>R<sup>14</sup>; =S; =S(O)<sub>n</sub>R<sup>16</sup>, =S(O)<sub>n</sub>NR<sup>17a</sup>R<sup>17b</sup>, =NR<sup>17a</sup>, =NOR<sup>16</sup> or =NNR<sup>17a</sup>R<sup>17b</sup>; or

- two R<sup>7</sup> bound on the same or adjacent carbon atom(s), together with the carbon atom(s) they are bound to, may form a 3-, 4-, 5-, 6-, 7- or 8-membered saturated or partly unsaturated carbocyclic or heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, wherein the nitrogen and the sulfur atom(s) of the heterocyclic ring may optionally be oxidized; and
- R<sup>7</sup> as a substituent on a cycloaliphatic radical is additionally selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-haloalkenyl, C<sub>2</sub>-C<sub>6</sub>-haloalkynyl;
- each R<sup>7a</sup> is independently selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-haloalkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, C<sub></sub>

10

15

20

30

haloalkylsulfonyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_3$ - $C_8$ -halocycloalkyl,  $C_3$ - $C_8$ -cycloalkyl- $C_1$ - $C_4$ -alkyl,  $C_3$ - $C_8$ -halocycloalkyl- $C_1$ - $C_4$ -alkyl,  $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -haloalkynyl,  $C_2$ - $C_6$ -haloalkynyl,

phenyl, phenyl-C<sub>1</sub>-C<sub>-4</sub>-alkyl, where the phenyl ring in the two last-mentioned radicals is optionally substituted with 1, 2, 3, 4 or 5 substituents R<sup>10</sup>, and a 3-, 4-, 5-, 6- or 7- membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 R<sup>10</sup>, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized;

R<sup>8</sup> is selected from hydrogen, CN, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-halocycloalkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-haloalkenyl, C<sub>2</sub>-C<sub>6</sub>-alkynyl, C<sub>2</sub>-C<sub>6</sub>-haloalkynyl, C(=O)R<sup>7a</sup>, C(=O)NR<sup>17a</sup>R<sup>17b</sup>, C(=S)NR<sup>17a</sup>R<sup>17b</sup>, C(=O)OR<sup>16</sup>, phenyl, phenyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, where the phenyl ring in the two last-mentioned radicals is unsubstituted or substituted with 1, 2, 3, 4 or 5 substituents R<sup>10</sup>; and a 3-, 4-, 5-, 6- or 7-membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 substituents R<sup>10</sup>, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized; and R<sup>8</sup> as a substituent on a sulfur atom is additionally selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkoxy and C<sub>1</sub>-C<sub>6</sub>-haloalkoxy;

25 R<sup>9a</sup>, R<sup>9b</sup>, independently of each other, are selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-halocycloalkyl, C<sub>3</sub>-C<sub>8</sub>-

cycloalkyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-haloalkenyl, C<sub>2</sub>-C<sub>6</sub>-alkynyl, C<sub>2</sub>-C<sub>6</sub>-haloalkynyl, OR<sup>16</sup>, S(O)<sub>n</sub>R<sup>16</sup>, -S(O)<sub>n</sub>NR<sup>17a</sup>R<sup>17b</sup>, C(=O)R<sup>7a</sup>, C(=O)OR<sup>16</sup>, C(=O)NR<sup>17a</sup>R<sup>17b</sup>, C(=S)R<sup>7a</sup>, C(=S)SR<sup>16</sup>, C(=S)NR<sup>17a</sup>R<sup>17b</sup>, C(=NR<sup>17</sup>)R<sup>7a</sup>, NR<sup>17a</sup>R<sup>17b</sup>, P(=O)(R<sup>18</sup>)<sub>2</sub>,

phenyl, benzyl, 1-phenethyl, 2-phenethyl, where the phenyl ring in the four last-mentioned radicals is unsubstituted or substituted with 1, 2, 3, 4 or 5 substituents R<sup>10</sup>, and

10

15

20

25

30

a 3-, 4-, 5-, 6- or 7- membered saturated, partly unsaturated or maximally unsaturated C-bound heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 substituents R<sup>10</sup>, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized,

or

R<sup>9a</sup> and R<sup>9b</sup>, together with the nitrogen atom they are bound to, form a 3-, 4-, 5-, 6-, 7- or 8-membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring, where the heterocyclic ring may contain one or two further heteroatoms selected from oxygen, sulfur and nitrogen as ring members, and wherein the nitrogen and the sulfur atom(s) of the heterocyclic ring may optionally be oxidized, and where the heterocyclic ring may be substituted with 1, 2, 3 or 4 radicals selected from halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, C<sub>1</sub>-C<sub>6</sub>-haloalkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-haloalkylthio, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-halocycloalkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-haloalkenyl, C<sub>2</sub>-C<sub>6</sub>-alkynyl, C<sub>2</sub>-C<sub>6</sub>haloalkynyl, phenyl, optionally substituted with 1, 2, 3, 4 or 5 substituents R<sup>10</sup>, and a 3-, 4-, 5-, 6- or 7- membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 substituents R<sup>10</sup>, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized,

or

 $R^{9a}$  and  $R^{9b}$  together may form a group = $CR^{13}R^{14}$ ,  $S(O)_nR^8$ , = $S(O)_nNR^{17a}R^{17b}$ , = $NR^{17a}$  or = $NOR^{16}$ ;

each R<sup>10</sup> is independently selected from the group consisting of halogen, cyano, azido, nitro, SCN, SF<sub>5</sub>, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, wherein each of the 4 last-mentioned aliphatic and cycloaliphatic radicals may be partly or completely halogenated and/or may carry 1, 2, 3, 4 or 5 radicals R<sup>19</sup>, Si(R<sup>11</sup>)<sub>2</sub>R<sup>12</sup>, OR<sup>16</sup>, OS(O)<sub>n</sub>R<sup>16</sup>, -S(O)<sub>n</sub>R<sup>16</sup>, S(O)<sub>n</sub>NR<sup>17a</sup>R<sup>17b</sup>, NR<sup>17a</sup>R<sup>17b</sup>, C(=O)R<sup>7a</sup>, C(=S)R<sup>7a</sup>, C(=O)OR<sup>16</sup>, -C(=NR<sup>17a</sup>)R<sup>7a</sup>, C(=O)NR<sup>17a</sup>R<sup>17b</sup>, C(=S)NR<sup>17a</sup>R<sup>17b</sup>,

10

15

20

25

phenyl, optionally substituted with 1, 2, 3, 4 or 5 radicals independently selected from OH, halogen, cyano, nitro,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_1$ - $C_6$ -alkoxy and  $C_1$ - $C_6$ -haloalkoxy, and

a 3-, 4-, 5-, 6- or 7-membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, where the heterocyclic ring is unsubstituted or may be substituted with 1, 2, 3, 4 or 5 substituents independently selected from halogen, cyano, NO<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy and C<sub>1</sub>-C<sub>6</sub>-haloalkoxy, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized;

or

two R<sup>10</sup> present on the same carbon ring atom of a saturated or partly unsaturated carbocyclic or heterocyclic radical may form together a group =O, =CR<sup>13</sup>R<sup>14</sup>, =S, =NR<sup>17a</sup>, =NOR<sup>16</sup> or =NNR<sup>17a</sup>R<sup>17b</sup>;

or

two R¹¹⁰ bound on adjacent ring atoms may form together a bivalent radical selected from CH₂CH₂CH₂CH₂, CH=CH-CH=CH, N=CH-CH=CH, CH=N-CH=CH, N=CH-N=CH, OCH₂CH₂CH₂, OCH=CHCH₂, CH₂OCH₂CH₂, OCH₂CH₂O, OCH₂OCH₂, CH₂CH₂CH₂, CH=CHCH₂, CH₂CH₂O, CH=CHO, CH₂OCH₂, CH₂C(=O)O, C(=O)OCH₂, O(CH₂)O, SCH₂CH₂CH₂, SCH=CHCH₂, CH₂SCH₂CH₂, SCH₂CH₂S, SCH₂SCH₂, CH₂CH₂S, CH=CHS, CH₂SCH₂, CH₂C(=S)S, C(=S)SCH₂, S(CH₂)S, CH₂CH₂NR¹¹²a, CH₂CH=N, CH=CH-NR¹²a, OCH=N and SCH=N, thus forming together with the carbon atoms to which the two R¹⁰ are bonded a 5-membered or 6-membered saturated, partly unsaturated or maximally unsaturated carbocyclic or heterocyclic ring, wherein the ring may optionally be substituted with one or two substituents independently selected from =O, OH, CH₃, OCH₃, halogen, cyano, halomethyl and halomethoxy;

30

R<sup>11</sup>, R<sup>12</sup>, independently of their occurrence and independently of each other, are selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-haloalkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-haloalkenyl, C<sub>2</sub>-C<sub>6</sub>-alkynyl, C<sub>2</sub>-C<sub>6</sub>-haloalkynyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-halocycloalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-halocycloalkyl, phenyl and benzyl, where phenyl ring in last two

radicals is unsubstituted or substituted with 1, 2, 3, 4 or 5 radicals independently selected from halogen, OH, cyano, NO<sub>2</sub>,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_1$ - $C_6$ -haloalkoxy;

- 5 R<sup>13</sup>, R<sup>14</sup>, independently of each other, are selected from the group consisting of hydrogen, halogen, CN, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, phenyl and benzyl;
- each R<sup>16</sup> is independently selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl,

  C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkynyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, wherein the five last-mentioned aliphatic and cycloaliphatic radicals may be partially or fully halogenated and/or may carry 1 or 2 radicals independently selected from =O and C<sub>1</sub>-C<sub>4</sub>-alkoxy;

  phenyl, benzyl and pyridyl, wherein the last three radicals may be unsubstituted or may carry 1, 2, 3, 4 or 5 substituents independently selected from halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-haloalkoxy, (C<sub>1</sub>-C<sub>6</sub>-alkoxy)carbonyl,

 $(C_1-C_6-alkyl)$ amino or di- $(C_1-C_6-alkyl)$ amino;

20

25

30

each R<sup>17</sup> is independently selected from the group consisting of hydrogen, trimethylsilyl, triethylsilyl, tertoutyldimethylsilyl,

 $C_1$ - $C_6$ -alkyl,  $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -alkynyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_3$ - $C_8$ -cycloalkyl- $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_6$ -alkoxy,  $C_2$ - $C_6$ -alkenyloxy,  $C_2$ - $C_6$ -alkynyloxy,  $C_3$ - $C_8$ -cycloalkyl- $C_1$ - $C_4$ -alkoxy,  $C_1$ - $C_6$ -alkylthio,  $C_1$ - $C_6$ -alkylsulfinyl,  $C_1$ - $C_6$ -alkylsulfonyl wherein the 13 last-mentioned aliphatic and cycloaliphatic radicals may be partially or fully halogenated and/or may carry 1 or 2 radicals independently selected from =O and  $C_1$ - $C_4$ -alkoxy;

phenyl, benzyl, pyridyl, phenoxy, benzyloxy, pyridyloxy, wherein the six last-mentioned radicals may carry 1, 2, 3, 4 or 5 substituents independently selected from halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-haloalkoxy and (C<sub>1</sub>-C<sub>6</sub>-alkoxy)carbonyl,

R<sup>17a</sup>, R<sup>17b</sup>, independently of each other, are selected from the group consisting of hydrogen, trimethylsilyl, triethylsilyl, *tert*butyldimethylsilyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>2</sub>-C<sub>6</sub>-alkynyloxy, C<sub>2</sub>-C<sub>6</sub>-alkynyloxy, C<sub>3</sub>-C<sub>8</sub>-cycloalkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-

10

15

20

25

30

alkylsulfinyl,  $C_1$ - $C_6$ -alkylsulfonyl,  $C_1$ - $C_6$ -alkyl,  $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -alkynyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_3$ - $C_8$ -cycloalkyl- $C_1$ - $C_4$ -alkyl,  $C_3$ - $C_8$ -cycloalkyl- $C_1$ - $C_4$ -alkoxy, wherein the 13 last-mentioned aliphatic and cycloaliphatic radicals may be partially or fully halogenated and/or may carry 1 or 2 radicals independently selected from =O and  $C_1$ - $C_4$ -alkoxy; phenyl, benzyl, pyridyl, phenoxy, benzyloxy, pyridyloxy, wherein the six last-

phenyl, benzyl, pyridyl, phenoxy, benzyloxy, pyridyloxy, wherein the six last-mentioned radicals may carry 1, 2, 3, 4 or 5 substituents independently selected from halogen,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_6$ -haloalkoxy and  $(C_1$ - $C_6$ -alkoxy)carbonyl,

or,

R<sup>17a</sup> and R<sup>17b</sup>, together with the nitrogen atom they are bound to, form a 3-, 4-, 5-, 6- or 7-membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring, wherein the heterocyclic ring may contain 1 or 2 further heteroatoms selected from oxygen, sulfur or nitrogen, and wherein the heterocyclic ring may be substituted with one or more substituents independently selected from halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy and C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may be oxidized;

or

R<sup>17a</sup> and R<sup>17b</sup> together may form a group =CR<sup>13</sup>R<sup>14</sup>, =NR<sup>17</sup> or =NOR<sup>16</sup>;

each R<sup>18</sup> is independently selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkoxy, phenyl and phenoxy;

each R<sup>19</sup> is independently selected from the group consisting of cyano, azido, nitro, -SCN, SF<sub>5</sub>, Si(R<sup>11</sup>)<sub>2</sub>R<sup>12</sup>, OR<sup>16</sup>, OSO<sub>2</sub>R<sup>16</sup>, S(O)<sub>n</sub>R<sup>16</sup>, S(O)<sub>n</sub>NR<sup>17a</sup>R<sup>17b</sup>, NR<sup>17a</sup>R<sup>17b</sup>, C(=O)NR<sup>17a</sup>R<sup>17b</sup>, C(=S)NR<sup>17a</sup>R<sup>17b</sup>, C(=O)OR<sup>16</sup>, C(=O)R<sup>7a</sup>, C(=S)R<sup>7a</sup>, C(=NR<sup>17a</sup>)R<sup>7a</sup>, C(=NOR<sup>16</sup>)R<sup>7a</sup>,

C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-halocycloalkyl,

phenyl, optionally substituted with 1, 2, 3, 4 or 5 substituents selected from CN, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy and C<sub>1</sub>-C<sub>6</sub>-haloalkoxy; and a 3-, 4-, 5-, 6- or 7- membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, wherein the heterocyclic ring is

optionally substituted with 1, 2, 3 or 4 substituents selected from CN, halogen,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_1$ - $C_6$ -alkoxy and  $C_1$ - $C_6$ -haloalkoxy; and wherein the nitrogen and the sulfur atom(s) of the heterocyclic ring may optionally be oxidized, or

two R<sup>19</sup> present on the same carbon atom may form together a group =O, =CR<sup>13</sup>R<sup>14</sup>; =S; =S(O)<sub>n</sub>R<sup>16</sup>, =S(O)<sub>n</sub>NR<sup>17a</sup>R<sup>17b</sup>, =NR<sup>17a</sup>, =NOR<sup>16</sup> or =NNR<sup>17a</sup>R<sup>17b</sup>; or

two R<sup>19</sup> bound on the same or adjacent carbon atom(s), together with the carbon atom(s) they are bound to, may form a 3-, 4-, 5-, 6-, 7- or 8-membered saturated or partly unsaturated carbocyclic or heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members; and where the carbocyclic or heterocyclic ring may be substituted with 1, 2, 3, 4 or 5 substituents selected from CN, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy and C<sub>1</sub>-C<sub>6</sub>-haloalkoxy;

R<sup>19</sup> as a substituent on a cycloaliphatic radical is additionally selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-haloalkenyl, C<sub>2</sub>-C<sub>6</sub>-alkynyl and C<sub>2</sub>-C<sub>6</sub>-haloalkynyl; and

is an integer selected independently from 0, 1 and 2;

20

25

30

n

5

10

15

and the stereoisomers, tautomers and the agriculturally or veterinarily acceptable salts thereof.

Accordingly, the present invention relates to N-(thio)acylimino compounds of the general formula (I), to their agriculturally or veterinarily acceptable/useful salts, their enantiomers or diastereomers.

Moreover the present invention relates to and includes the following embodiments:

- agricultural and veterinary compositions comprising at least one compound of the formula
   (I) or a stereoisomer, tautomer or salt thereof;
- the use of the compounds of formula (I), the stereoisomers, the tautomers or the salts thereof for combating invertebrate pests;
- the use of the compounds of formula (I), the stereoisomers, the tautomers or the salts thereof for protecting growing plants from attack or infestation by invertebrate pests;
- the use of the compounds of formula (I), the stereoisomers, the tautomers or the salts, thereof for protecting plant proparagation material, especially seeds, from soil insects;

- the use of the compounds of formula (I), the stereoisomers, the tautomers or the salts thereof for protecting the seedlings roots and shoots of plants from soil and foliar insects;
- a method for combating or controlling invertebrate pests, which method comprises contacting said pest or its food supply, habitat or breeding grounds with a pesticidally effective amount of at least one compound of the formula (I) or a stereoisomer, a tautomer or salt thereof (where the method does however not include the (medical) treatment of the human or animal body);

10

25

30

- a method for protecting growing plants from attack or infestation by invertebrate pests, which method comprises contacting a plant, or soil or water in which the plant is growing, with a pesticidally effective amount of at least one compound of the formula (I) or a stereoisomer, a tautomer or salt thereof, in particular a method protecting crop plants from attack or infestation by animal pests, which comprises contacting the crop plants with a pesticidally effective amount of at least one compound of the formula (I) or stereoisomer, a tautomer or salt thereof;
- a method for the protection of plant propagation material, especially seeds, from soil insects and of the seedlings' roots and shoots from soil and foliar insects comprising contacting the seeds before sowing and/or after pregermination with at least one compound of the formula (I) or stereoisomer, a tautomer or salt thereof;
- seeds comprising a compound of the formula (I) or an enantiomer, diastereomer or salt thereof;
  - the use of compounds of formula (I), the stereoisomers, the tautomers or the salts, in particular the veterinary acceptable salts, thereof for combating parasites in and on animals, in particular for the use in the treatment of animals infested or infected by parasites, for preventing animals of getting infected or infested by parasites or for protecting animals against infestation or infection by parasites;
  - a method for treating animals infested or infected by parasites or preventing animals of getting infected or infested by parasites or protecting animals against infestation or infection by parasites which comprises administering or applying to the animals a parasiticidally effective amount of a compound of formula (I) or the stereoisomers and/or salts, in particular veterinary acceptable salts, thereof;
  - a process for the preparation of a veterinary composition for treating, controlling, preventing or protecting animals against infestation or infection by parasites which comprises formulating a compound of formula (I) or a stereoisomer, tautomer and/or veterinary acceptable salt thereof with a carrier composition suitable for veterinary use;

14

- the use of a compound of formula (I) or the stereoisomers, tautomers and/or veterinary acceptable salt thereof for the preparation of a medicament for treating, controlling, preventing or protecting animals against infestation or infection by parasites.

The present invention also relates to plant propagation materials, in particular as mentioned above to seeds, containing at least one compound of formula (I), a stereoisomer, a tautomer and/or an agriculturally acceptable salt thereof.

## **Detailed Description of Invention**

5

10

15

20

25

30

The present invention relates to every possible stereoisomer of the compounds of formula (I), i.e. to single enantiomers, diastereomers and E/Z-isomers as well as to mixtures thereof and also to the salts thereof. The present invention relates to each isomer alone, or mixtures or combinations of the isomers in any proportion to each other. Suitable compounds of the formula (I) also include all possible geometrical stereoisomers (cis/trans isomers) and mixtures thereof. In particular, the C=N double bond may lead to Z/E isomers (the moiety -C(X)-ring may be Z or E to the ring nitrogen atom of ring A).

Depending on the substitution pattern, the compounds of the formula (I) may have one or more centers of chirality, in which case they are present as mixtures of enantiomers or diastereomers. The invention provides both the pure enantiomers or diastereomers and their mixtures and the use according to the invention of the pure enantiomers or diastereomers of the compound of the formula (I) or its mixtures.

The present invention also relates to potential tautomers of the compounds of formula (I) and also to the salts of such tautomers. The present invention relates to the tautomer as such as well as to mixtures or combinations of the tautomers in any proportion to each other. The term "tautomers" encompasses isomers which are derived from the compounds of formula (I) by the shift of an H-atom involving at least one H-atom located at a nitrogen, oxygen or sulphur atom. Examples of tautomeric forms are keto-enol forms, imine-enamine forms, urea-isourea forms, thiourea-isothiourea forms, (thio)amide-(thio)imidate forms etc.

The compounds of the present invention, i.e. the compounds of formula (I), their stereoisomers, their tautomers as well as their salts, in particular their agriculturally acceptable salts and their veterinarily acceptable salts, may be amorphous or may exist in one ore more different crystalline states (polymorphs) or modifications which may have a different macroscopic properties such as stability or show different biological properties such as activities. The present invention includes both amorphous and crystalline compounds of the

15

formula (I), mixtures of different crystalline states or modifications of the respective stereoisomers or tautomers, as well as amorphous or crystalline salts thereof.

5

10

15

20

25

30

Salts of the compounds of the formula (I) are preferably agriculturally salts as well as veterinarily acceptable salts. They can be formed in a customary method, e.g. by reacting the compound with an acid of the anion in question if the compound of formula (I) has a basic functionality or by reacting an acidic compound of formula (I) with a suitable base.

Suitable agriculturally or veterinary useful salts are especially the salts of those cations or anions, in particular the acid addition salts of those acids, whose cations and anions, respectively, do not have any adverse effect on the action of the compounds according to the present invention. Suitable cations are in particular the ions of the alkali metals, preferably lithium, sodium and potassium, of the alkaline earth metals, preferably calcium, magnesium and barium, and of the transition metals, preferably manganese, copper, zinc and iron, and also ammonium (NH<sub>4</sub>+) and substituted ammonium in which one to four of the hydrogen atoms are replaced by C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy-C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, phenyl or benzyl. Examples of substituted ammonium ions comprise methylammonium, isopropylammonium, dimethylammonium, diisopropylammonium, trimethylammonium, tetramethylammonium, tetraethylammonium, tetrabutylammonium, 2-hydroxyethylammonium, 2-(2-hydroxyethoxy)ethyl-ammonium, bis(2-hydroxyethyl)ammonium, benzyltrimethylammonium and benzyltriethylammonium, furthermore phosphonium ions, sulfonium ions, preferably tri(C<sub>1</sub>-C<sub>4</sub>-alkyl)sulfonium, and sulfoxonium ions, preferably tri(C<sub>1</sub>-C<sub>4</sub>-alkyl)sulfoxonium.

Anions of useful acid addition salts are primarily chloride, bromide, fluoride, hydrogen sulfate, sulfate, dihydrogen phosphate, hydrogen phosphate, phosphate, nitrate, hydrogen carbonate, carbonate, hexafluorosilicate, hexafluorophosphate, benzoate, and the anions of C<sub>1</sub>-C<sub>4</sub>-alkanoic acids, preferably formate, acetate, propionate and butyrate. They can be formed by reacting the compounds of the formulae I with an acid of the corresponding anion, preferably of hydrochloric acid, hydrobromic acid, sulfuric acid, phosphoric acid or nitric acid.

The term "invertebrate pest" (also termed "animal pest") as used herein encompasses animal populations, such as insects, arachnids and nematodes, which may attack plants, thereby causing substantial damage to the plants attacked, as well as ectoparasites which may infest animals, in particular warm blooded animals such as e.g. mammals or birds, or other higher animals such as reptiles, amphibians or fish, thereby causing substantial damage to the animals infested. For more details see below.

16

The term "plant propagation material" is to be understood to denote all the generative parts of the plant such as seeds and vegetative plant material such as cuttings and tubers (e. g. potatoes), which can be used for the multiplication of the plant. This includes seeds, roots, fruits, tubers, bulbs, rhizomes, shoots, sprouts and other parts of plants, including seedlings and young plants, which are to be transplanted after germination or after emergence from soil. The plant propagation materials may be treated prophylactically with a plant protection compound either at or before planting or transplanting. Said young plants may also be protected before transplantation by a total or partial treatment by immersion or pouring.

5

10

15

20

25

30

35

The term "plants" comprises any types of plants including "non-cultivated plants" and in particular "cultivated plants".

The term "non-cultivated plants" refers to any wild type species or related species or related genera of a cultivated plant.

The term "cultivated plants" is to be understood as including plants which have been modified by breeding, mutagenesis or genetic engineering including but not limiting to agricultural biotech products on the market or in development (cf.

http://www.bio.org/speeches/pubs/er/agri\_products.asp). For more details see below.

The organic moieties mentioned in the above definitions of the variables are - like the term halogen - collective terms for individual listings of the individual group members. The prefix  $C_n$ - $C_m$  indicates in each case the possible number of carbon atoms in the group.

"Halogen" will be taken to mean fluoro, chloro, bromo and iodo.

The term "partially or fully halogenated" will be taken to mean that 1 or more, e.g. 1, 2, 3, 4 or 5 or all of the hydrogen atoms of a given radical have been replaced by a halogen atom, in particular by fluorine or chlorine. For example, partially or fully halogenated alkyl is also termed haloalkyl, partially or fully halogenated cycloalkyl is also termed halocycloalkyl, partially or fully halogenated alkylenyl is also termed haloalkenyl, partially or fully halogenated alkylynyl is also termed haloalkynyl, partially or fully halogenated alkoxy is also termed haloalkoxy, partially or fully halogenated alkylsulfinyl is also termed haloalkylsulfinyl, partially or fully halogenated alkylsulfonyl is also termed haloalkylsulfinyl, partially or fully halogenated alkylsulfonyl is also termed haloalsulfonyl, partially or fully halogenated cycloalkylalkyl is also termed halocycloalkylalkyl.

The term "alkyl" as used herein and in the alkyl moieties of alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylcarbonyl, alkoxycarbonyl, alkylamino, dialkylamino and the like refers to saturated straight-chain or branched hydrocarbon radicals having 1 to 2 (" $C_1$ - $C_2$ -alkyl"), 1 to 3 (" $C_1$ - $C_3$ -alkyl"),1 to 4 (" $C_1$ - $C_4$ -alkyl"), 1 to 6 (" $C_1$ - $C_6$ -alkyl"), 1 to 8 (" $C_1$ - $C_8$ -alkyl") or 1 to 10 (" $C_1$ - $C_1$ -alkyl") carbon atoms.  $C_1$ - $C_2$ -Alkyl is methyl or ethyl.  $C_1$ - $C_3$ -Alkyl is additionally propyl and isopropyl.  $C_1$ - $C_4$ -Alkyl is additionally n-butyl, 1-methylpropyl (sec-butyl), 2-methylpropyl (isobutyl) or 1,1-dimethylethyl (tert-butyl).  $C_1$ - $C_6$ -Alkyl is additionally also, for example, pentyl,

1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 2,2-dimethylpropyl, 1-ethylpropyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, hexyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3\_dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethyl-1-methylpropyl, or 1-ethyl-2-methylpropyl. C<sub>1</sub>-C<sub>8</sub>-Alkyl is additionally also, for example, heptyl, octyl, 2-ethylhexyl and positional isomers thereof. C<sub>1</sub>-C<sub>10</sub>-Alkyl is additionally also, for example, nonyl, decyl and positional isomers thereof.

The term "haloalkyl" as used herein, which is also expressed as "alkyl which is partially or fully halogenated", refers to straight-chain or branched alkyl groups having 1 to 2 (" $C_1$ - $C_2$ -haloalkyl"), 1 to 3 (" $C_1$ - $C_3$ -haloalkyl"), 1 to 4 (" $C_1$ - $C_4$ -haloalkyl"), 1 to 6 (" $C_1$ - $C_6$ -haloalkyl"), 1 to 8 (" $C_1$ - $C_8$ -haloalkyl") or 1 to 10 (" $C_1$ - $C_{10}$ -haloalkyl") carbon atoms (as mentioned above), where some or all of the hydrogen atoms in these groups are replaced by halogen atoms as mentioned above: in particular  $C_1$ - $C_2$ -haloalkyl, such as chloromethyl, bromomethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chlorofluoromethyl, dichlorofluoromethyl, chlorodifluoromethyl, 1-chloroethyl, 1-bromoethyl, 1-fluoroethyl, 2,2-difluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloro-2-fluoroethyl, 2-chloro-2,2-difluoroethyl, 2,2-dichloro-2-fluoroethyl, 2,2,2-trichloroethyl or pentafluoroethyl.  $C_1$ - $C_3$ -haloalkyl is additionally, for example, 1-fluoropropyl, 2-fluoropropyl, 3-fluoropropyl, 1,1-difluoropropyl, 2,2-difluoropropyl, 3,3-difluoropropyl, 3,3-trifluoropropyl, heptafluoropropyl, 1,1-trifluoroprop-2-yl, 3-chloropropyl and the like. Examples for  $C_1$ - $C_4$ -haloalkyl are, apart those mentioned for  $C_1$ - $C_3$ -haloalkyl, 4-chlorobutyl and the like.

"Halomethyl" is methyl in which 1, 2 or 3 of the hydrogen atoms are replaced by halogen atoms. Examples are bromomethyl, chloromethyl, fluoromethyl, dichloromethyl, trichloromethyl, difluoromethyl, trifluoromethyl, chlorofluoromethyl, dichlorofluoromethyl, chlorodifluoromethyl and the like.

The term "alkenyl" as used herein refers to monounsaturated straight-chain or branched hydrocarbon radicals having 2 to 3 (" $C_2$ - $C_3$ -alkenyl"), 2 to 4 (" $C_2$ - $C_4$ -alkenyl"), 2 to 6 (" $C_2$ - $C_6$ -alkenyl"), 2 to 8 (" $C_2$ - $C_8$ -alkenyl") or 2 to 10 (" $C_2$ - $C_{10}$ -alkenyl") carbon atoms and a double bond in any position, for example  $C_2$ - $C_3$ -alkenyl, such as ethenyl, 1-propenyl, 2-propenyl, 1-methylethenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-methyl-1-propenyl, 2-methyl-1-propenyl, 1-methyl-2-propenyl or 2-methyl-2-propenyl, 2-butenyl, 3-butenyl, 1-methyl-1-propenyl, 2-methyl-1-propenyl, 1-methyl-2-propenyl, 1-methyl-2-propenyl, 2-methyl-2-propenyl, 1-pentenyl, 2-pentenyl, 3-pentenyl, 3-pentenyl, 1-methyl-1-butenyl, 2-methyl-1-butenyl, 3-methyl-1-butenyl, 3-methyl-2-butenyl, 3-methyl-2-butenyl, 3-methyl-2-butenyl, 3-methyl-2-butenyl, 1-methyl-2-propenyl, 1-2-dimethyl-1-propenyl, 1,2-dimethyl-1-propenyl, 1-ethyl-2-propenyl, 1-ethyl-2-propenyl, 1,2-dimethyl-1-propenyl, 1-ethyl-2-propenyl, 1-ethyl-2-propen

1-hexenyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 1-methyl-1-pentenyl, 2-methyl-1-pentenyl, 3-methyl-1-pentenyl, 4-methyl-1-pentenyl, 1-methyl-2-pentenyl, 2-methyl-2-pentenyl, 3-methyl-3-pentenyl, 3-methyl-3-pentenyl, 3-methyl-3-pentenyl, 3-methyl-3-pentenyl, 3-methyl-3-pentenyl, 4-methyl-3-pentenyl, 1-methyl-4-pentenyl, 2-methyl-4-pentenyl, 3-methyl-4-pentenyl, 4-methyl-4-pentenyl, 1,1-dimethyl-2-butenyl, 1,1-dimethyl-3-butenyl, 1,3-dimethyl-1-butenyl, 1,2-dimethyl-1-butenyl, 1,3-dimethyl-1-butenyl, 1,3-dimethyl-2-butenyl, 1,3-dimethyl-3-butenyl, 2,3-dimethyl-1-butenyl, 2,3-dimethyl-1-butenyl, 2,3-dimethyl-2-butenyl, 2,3-dimethyl-2-butenyl, 1-ethyl-1-butenyl, 2-ethyl-1-butenyl, 2-ethyl-2-butenyl, 2-ethyl-3-butenyl, 1,1,2-trimethyl-2-propenyl, 1-ethyl-1-methyl-2-propenyl, 1-ethyl-2-methyl-1-propenyl, 1-ethyl-2-methyl-2-propenyl and the like, or C<sub>2</sub>-C<sub>10</sub>-alkenyl, such as the radicals mentioned for C<sub>2</sub>-C<sub>6</sub>-alkenyl and additionally 1-heptenyl, 2-heptenyl, 3-heptenyl, 1-decenyl, 2-decenyl, 3-decenyl, 4-decenyl, 5-decenyl and the positional isomers thereof.

The term "haloalkenyl" as used herein, which is also expressed as "alkenyl which is partially or fully halogenated", refers to unsaturated straight-chain or branched hydrocarbon radicals having 2 to 3 (" $C_2$ - $C_3$ -haloalkenyl"), 2 to 4 (" $C_2$ - $C_4$ -haloalkenyl"), 2 to 6 (" $C_2$ - $C_6$ -haloalkenyl") or 2 to 10 (" $C_2$ - $C_{10}$ -haloalkenyl") carbon atoms and a double bond in any position (as mentioned above), where some or all of the hydrogen atoms in these groups are replaced by halogen atoms as mentioned above, in particular fluorine, chlorine and bromine, for example chlorovinyl, chloroallyl and the like.

The term "alkynyl" as used herein refers to straight-chain or branched hydrocarbon groups having 2 to 3 (" $C_2$ - $C_3$ -alkynyl"), 2 to 4 (" $C_2$ - $C_4$ -alkynyl"), 2 to 6 (" $C_2$ - $C_6$ -alkynyl"), 2 to 8 (" $C_2$ - $C_8$ -alkynyl"), or 2 to 10 (" $C_2$ - $C_{10}$ -alkynyl") carbon atoms and one or two triple bonds in any position, for example  $C_2$ - $C_3$ -alkynyl, such as ethynyl, 1-propynyl or 2-propynyl;  $C_2$ - $C_4$ -alkynyl, such as ethynyl, 1-propynyl, 2-butynyl, 3-butynyl, 1-methyl-2-propynyl and the like,  $C_2$ - $C_6$ -alkynyl, such as ethynyl, 1-propynyl, 2-propynyl, 1-butynyl, 2-butynyl, 3-butynyl, 1-methyl-2-propynyl, 1-pentynyl, 2-pentynyl, 3-pentynyl, 4-pentynyl, 1-methyl-2-butynyl, 1-methyl-3-butynyl, 2-methyl-3-butynyl, 3-methyl-1-butynyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 1-methyl-4-pentynyl, 2-methyl-3-pentynyl, 2-methyl-4-pentynyl, 3-methyl-1-pentynyl, 3-methyl-1-pentynyl, 1-1-dimethyl-2-butynyl, 1-dimethyl-2-butynyl, 1-dimethyl-3-butynyl, 1-2-dimethyl-3-butynyl, 1-2-dimethyl-3-butynyl, 1-2-dimethyl-3-butynyl, 1-ethyl-1-methyl-2-propynyl and the like;

The term "haloalkynyl" as used herein, which is also expressed as "alkynyl which is partially or fully halogenated", refers to unsaturated straight-chain or branched hydrocarbon radicals having 2 to 3 ("C<sub>2</sub>-C<sub>3</sub>-haloalkynyl"), 2 to 4 ("C<sub>2</sub>-C<sub>4</sub>-haloalkynyl"), 3 to 4 ("C<sub>3</sub>-C<sub>4</sub>-

19

haloalkynyl"), 2 to 6 (" $C_2$ - $C_6$ -haloalkynyl"), 2 to 8 (" $C_2$ - $C_8$ -haloalkynyl") or 2 to 10 (" $C_2$ - $C_{10}$ -haloalkynyl") carbon atoms and one or two triple bonds in any position (as mentioned above), where some or all of the hydrogen atoms in these groups are replaced by halogen atoms as mentioned above, in particular fluorine, chlorine and bromine;

5

10

15

20

25

30

35

The term "cycloalkyl" as used herein refers to mono- or bicyclic saturated hydrocarbon radicals having 3 to 8 ("C<sub>3</sub>-C<sub>8</sub>-cycloalkyl"), in particular 3 to 6 ("C<sub>3</sub>-C<sub>6</sub>-cycloalkyl") or 3 to 5 ("C<sub>3</sub>-C<sub>5</sub>-cycloalkyl") or 3 to 4 ("C<sub>3</sub>-C<sub>4</sub>-cycloalkyl") carbon atoms. Examples of monocyclic radicals having 3 to 4 carbon atoms comprise cyclopropyl and cyclobutyl. Examples of monocyclic radicals having 3 to 5 carbon atoms comprise cyclopropyl, cyclobutyl and cyclopentyl. Examples of monocyclic radicals having 3 to 6 carbon atoms comprise cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl. Examples of monocyclic radicals having 3 to 8 carbon atoms comprise cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl and cyclooctyl. Examples of bicyclic radicals having 7 or 8 carbon atoms comprise bicyclo[2.2.1]heptyl, bicyclo[3.1.1]heptyl, bicyclo[2.2.2]octyl and bicyclo[3.2.1]octyl. Preferably, the term cycloalkyl denotes a monocyclic saturated hydrocarbon radical.

The term "halocycloalkyl" as used herein, which is also expressed as "cycloalkyl which is partially or fully halogenated", refers to mono- or bicyclic saturated hydrocarbon groups having 3 to 8 ("C<sub>3</sub>-C<sub>8</sub>-halocycloalkyl") or 3 to 5 ("C<sub>3</sub>-C<sub>5</sub>-halocycloalkyl") or 3 to 5 ("C<sub>3</sub>-C<sub>5</sub>-halocycloalkyl") or 3 to 4 ("C<sub>3</sub>-C<sub>4</sub>-halocycloalkyl") carbon ring members (as mentioned above) in which some or all of the hydrogen atoms are replaced by halogen atoms as mentioned above, in particular fluorine, chlorine and bromine.

The term "cycloalkyl- $C_1$ - $C_4$ -alkyl" refers to a  $C_3$ - $C_8$ -cycloalkyl group (" $C_3$ - $C_8$ -cycloalkyl- $C_1$ - $C_4$ -alkyl"), preferably a  $C_3$ - $C_6$ -cycloalkyl group (" $C_3$ - $C_6$ -cycloalkyl- $C_1$ - $C_4$ -alkyl") as defined above (preferably a monocyclic cycloalkyl group) which is bound to the remainder of the molecule via a  $C_1$ - $C_4$ -alkyl group, as defined above. Examples for  $C_3$ - $C_4$ -cycloalkyl- $C_1$ - $C_4$ -alkyl are cyclopropylmethyl, cyclopropylethyl, cyclopropylpropyl, cyclobutylmethyl, cyclobutylethyl and cyclobutylpropyl, Examples for  $C_3$ - $C_6$ -cycloalkyl- $C_1$ - $C_4$ -alkyl, apart those mentioned for  $C_3$ - $C_4$ -cycloalkyl- $C_1$ - $C_4$ -alkyl, are cyclopentylmethyl, cyclopentylpropyl, cyclohexylmethyl, cyclohexylmethyl, cyclohexylethyl and cyclohexylpropyl. Examples for  $C_3$ - $C_6$ -cycloalkyl- $C_1$ - $C_4$ -alkyl, are cycloheptylmethyl, cycloheptylethyl, and the like.

The term "C<sub>3</sub>-C<sub>6</sub>-cycloalkyl-methyl" refers to a C<sub>3</sub>-C<sub>6</sub>-cycloalkyl group which is bound to the remainder of the molecule via a methylene group (CH<sub>2</sub>). Examples are cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl and cyclohexylmethyl.

The term  $C_3-C_8$ -halocycloalkyl- $C_1-C_4$ -alkyl refers to a  $C_3-C_8$ -halocycloalkyl group as defined above which is bound to the remainder of the molecule via a  $C_1-C_4$ -alkyl group, as

20

defined above. " $C_3$ - $C_6$ -halocycloalkyl- $C_1$ - $C_4$ -alkyl" refers to a  $C_3$ - $C_6$ -halocycloalkyl group as defined above which is bound to the remainder of the molecule via a  $C_1$ - $C_4$ -alkyl group, as defined above.

5

10

15

20

25

30

35

The term "C<sub>1</sub>-C<sub>2</sub>-alkoxy" is a C<sub>1</sub>-C<sub>2</sub>-alkyl group, as defined above, attached via an oxygen atom. The term "C<sub>1</sub>-C<sub>3</sub>-alkoxy" is a C<sub>1</sub>-C<sub>3</sub>-alkyl group, as defined above, attached via an oxygen atom. The term "C<sub>1</sub>-C<sub>4</sub>-alkoxy" is a C<sub>1</sub>-C<sub>4</sub>-alkyl group, as defined above, attached via an oxygen atom. The term "C<sub>1</sub>-C<sub>6</sub>-alkoxy" is a C<sub>1</sub>-C<sub>6</sub>-alkyl group, as defined above, attached via an oxygen atom. The term "C<sub>1</sub>-C<sub>10</sub>-alkoxy" is a C<sub>1</sub>-C<sub>10</sub>-alkyl group, as defined above, attached via an oxygen atom. C<sub>1</sub>-C<sub>2</sub>-Alkoxy is methoxy or ethoxy. C<sub>1</sub>-C<sub>3</sub>-Alkoxy is additionally, for example, n-propoxy and 1-methylethoxy (isopropoxy). C<sub>1</sub>-C<sub>4</sub>-Alkoxy is additionally, for example, butoxy, 1-methylpropoxy (sec-butoxy), 2-methylpropoxy (isobutoxy) or 1,1-dimethylethoxy (tert-butoxy). C<sub>1</sub>-C<sub>6</sub>-Alkoxy is additionally, for example, pentoxy, 1-methylbutoxy, 2-methylbutoxy, 3-methylbutoxy, 1,1-dimethylpropoxy, 1,2-dimethylpropoxy, 2,2-dimethylpropoxy, 1-ethylpropoxy, hexoxy, 1-methylpentoxy, 2-methylpentoxy, 3-methylpentoxy, 4-methylpentoxy, 1,1-dimethylbutoxy, 1,2-dimethylbutoxy, 1,3-dimethylbutoxy, 2,2-dimethylbutoxy, 2,3-dimethylbutoxy, 3,3-dimethylbutoxy, 1-ethylbutoxy, 2-ethylbutoxy, 1,1,2-trimethylpropoxy, 1,2,2-trimethylpropoxy, 1-ethyl-1-methylpropoxy or 1-ethyl-2-methylpropoxy. C₁-C<sub>8</sub>-Alkoxy is additionally, for example, heptyloxy, octyloxy, 2-ethylhexyloxy and positional isomers thereof. C<sub>1</sub>-C<sub>10</sub>-Alkoxy is additionally, for example, nonyloxy, decyloxy and positional isomers thereof.

The term "C<sub>1</sub>-C<sub>2</sub>-haloalkoxy" is a C<sub>1</sub>-C<sub>2</sub>-haloalkyl group, as defined above, attached via an oxygen atom. The term "C<sub>1</sub>-C<sub>3</sub>-haloalkoxy" is a C<sub>1</sub>-C<sub>3</sub>-haloalkyl group, as defined above, attached via an oxygen atom. The term "C<sub>1</sub>-C<sub>4</sub>-haloalkoxy" is a C<sub>1</sub>-C<sub>4</sub>-haloalkyl group, as defined above, attached via an oxygen atom. The term "C<sub>1</sub>-C<sub>6</sub>-haloalkoxy" is a C<sub>1</sub>-C<sub>6</sub>-haloalkyl group, as defined above, attached via an oxygen atom. The term "C<sub>1</sub>-C<sub>10</sub>-haloalkoxy" is a C<sub>1</sub>-C<sub>10</sub>-haloalkyl group, as defined above, attached via an oxygen atom. C<sub>1</sub>-C<sub>2</sub>-Haloalkoxy is, for example, OCH<sub>2</sub>F, OCHF<sub>2</sub>, OCF<sub>3</sub>, OCH<sub>2</sub>CI, OCHCl<sub>2</sub>, OCCl<sub>3</sub>, chlorofluoromethoxy, dichlorofluoromethoxy, chlorodifluoromethoxy, 2-fluoroethoxy, 2-chloroethoxy, 2-bromoethoxy, 2-iodoethoxy, 2,2-difluoroethoxy, 2,2,2-trifluoroethoxy, 2-chloro-2-fluoroethoxy, 2-chloro-2,2difluoroethoxy, 2,2-dichloro-2-fluoroethoxy, 2,2,2-trichloroethoxy or OC<sub>2</sub>F<sub>5</sub>. C<sub>1</sub>-C<sub>3</sub>-Haloalkoxy is additionally, for example, 2-fluoropropoxy, 3-fluoropropoxy, 2,2-difluoropropoxy, 2,3-difluoropropoxy, 2-chloropropoxy, 3-chloropropoxy, 2,3-dichloropropoxy, 2-bromopropoxy, 3-bromopropoxy, 3,3,3-trifluoropropoxy, 3,3,3-trichloropropoxy, OCH<sub>2</sub>-C<sub>2</sub>F<sub>5</sub>, OCF<sub>2</sub>-C<sub>2</sub>F<sub>5</sub>, 1-(CH<sub>2</sub>F)-2-fluoroethoxy, 1-(CH<sub>2</sub>Cl)-2-chloroethoxy or 1-(CH<sub>2</sub>Br)-2-bromoethoxy, C<sub>1</sub>-C<sub>4</sub>-Haloalkoxy is additionally, for example, 4-fluorobutoxy, 4-chlorobutoxy, 4-bromobutoxy or nonafluorobutoxy. C<sub>1</sub>-C<sub>6</sub>-Haloalkoxy is additionally, for example, 5-fluoropentoxy, 5-chloropentoxy, 5-brompentoxy, 5-iodopentoxy, undecafluoropentoxy, 6-fluorohexoxy, 6-chlorohexoxy, 6-bromohexoxy, 6-iodohexoxy or dodecafluorohexoxy.

21

The term "C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl" as used herein, refers to a straight-chain or branched alkyl group having 1 to 4 carbon atoms, as defined above, where one hydrogen atom is replaced by a C<sub>1</sub>-C<sub>4</sub>-alkoxy group, as defined above. The term "C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl" as used herein, refers to a straight-chain or branched alkyl group having 1 to 4 carbon atoms, as defined above, where one hydrogen atom is replaced by a C<sub>1</sub>-C<sub>6</sub>-alkoxy group, as defined above. Examples are methoxymethyl, ethoxymethyl, propoxymethyl, isopropoxymethyl, n-butoxymethyl, sec-butoxymethyl, isobutoxymethyl, tert-butoxymethyl, 1-methoxyethyl, 1-ethoxyethyl, 1-propoxyethyl, 1-isopropoxyethyl, 1-n-butoxyethyl, 2-propoxyethyl, 1-isobutoxyethyl, 2-methoxyethyl, 2-ethoxyethyl, 2-propoxyethyl, 2-isopropoxyethyl, 2-n-butoxyethyl, 1-propoxypropyl, 1-isopropoxypropyl, 1-n-butoxypropyl, 1-n-butoxypropyl, 1-sec-butoxypropyl, 1-isobutoxypropyl, 2-ethoxypropyl, 2-ethoxypropyl, 2-ethoxypropyl, 2-propoxypropyl, 2-isopropoxypropyl, 2-n-butoxypropyl, 2-sec-butoxypropyl, 2-isobutoxypropyl, 2-isobutoxypropyl, 3-methoxypropyl, 3-methoxypropyl, 3-propoxypropyl, 3-isopropoxypropyl, 3-isobutoxypropyl, 3-isobutoxypropyl, 3-isobutoxypropyl, 3-fert-butoxypropyl, 3-isobutoxypropyl, 3-isobutoxypropyl, 3-fert-butoxypropyl, 3-fer

5

10

15

20

25

30

35

The term  $"C_1-C_4$ -alkoxy-methyl" as used herein, refers to methyl in which one hydrogen atom is replaced by a  $C_1-C_4$ -alkoxy group, as defined above. The term  $"C_1-C_6$ -alkoxy-methyl" as used herein, refers to methyl in which one hydrogen atom is replaced by a  $C_1-C_6$ -alkoxy group, as defined above. Examples are methoxymethyl, ethoxymethyl, propoxymethyl, isopropoxymethyl, n-butoxymethyl, sec-butoxymethyl, isobutoxymethyl, tert-butoxymethyl, pentyloxymethyl, hexyloxymethyl and the like.

 $C_1$ - $C_6$ -Haloalkoxy- $C_1$ - $C_4$ -alkyl is a straight-chain or branched alkyl group having from 1 to 4 carbon atoms, wherein one of the hydrogen atoms is replaced by a  $C_1$ - $C_6$ -alkoxy group and wherein at least one, e.g. 1, 2, 3, 4 or all of the remaining hydrogen atoms (either in the alkoxy moiety or in the alkyl moiety or in both) are replaced by halogen atoms.  $C_1$ - $C_4$ -Haloalkoxy- $C_1$ - $C_4$ -alkyl is a straight-chain or branched alkyl group having from 1 to 4 carbon atoms, wherein one of the hydrogen atoms is replaced by a  $C_1$ - $C_4$ -alkoxy group and wherein at least one, e.g. 1, 2, 3, 4 or all of the remaining hydrogen atoms (either in the alkoxy moiety or in the alkyl moiety or in both) are replaced by halogen atoms. Examples are difluoromethoxymethyl (CHF<sub>2</sub>OCH<sub>2</sub>), trifluoromethoxymethyl, 1-difluoromethoxyethyl, 1-trifluoromethoxyethyl, 2-difluoromethoxyethyl, 2-trifluoromethoxyethyl, difluoro-methoxy-methyl (CH<sub>3</sub>OCF<sub>2</sub>), 1,1-difluoro-2-methoxyethyl, 2,2-difluoro-2-methoxyethyl and the like.

The term "C<sub>2</sub>-C<sub>6</sub>-alkenyloxy" is a C<sub>2</sub>-C<sub>6</sub>-alkenyl group, as defined above, attached via an oxygen atom. Examples are ethenyloxy, propen-1-yloxy, allyloxy (propen-2-yl-oxy), buten-1-oxy, buten-2-oxy, buten-3-oxy, and the like.

The term  ${}^{\circ}C_2$ - $C_6$ -haloalkenyloxy" is a  $C_2$ - $C_6$ -haloalkenyl group, as defined above, attached via an oxygen atom.

The term "C<sub>2</sub>-C<sub>6</sub>-alkynyloxy" is a C<sub>2</sub>-C<sub>6</sub>-alkynyl group, as defined above, attached via an oxygen atom. Examples are ethynyloxy, propyn-1-yloxy, propargyloxy (propyn-2-yl-oxy), butyn-1-oxy, butyn-2-oxy, butyn-3-oxy, and the like.

The term  $C_2-C_6$ -haloalkynyloxy is a  $C_2-C_6$ -haloalkynyl group, as defined above, attached via an oxygen atom.

5

10

15

20

25

30

The term " $C_3$ - $C_6$ -cycloalkoxy" denotes a  $C_3$ - $C_6$ -cycloalkyl group, as defined above, which is attached via an oxygen atom. The term " $C_3$ - $C_8$ -cycloalkoxy" denotes a  $C_3$ - $C_8$ -cycloalkyl group, as defined above, which is attached via an oxygen atom. Examples of  $C_3$ - $C_6$ -cycloalkoxy comprise cyclopropoxy, cyclobutoxy, cyclopentoxy and cyclohexoxy. Examples of  $C_3$ - $C_8$ -cycloalkoxy comprise, in addition to those mentioned for  $C_3$ - $C_6$ -cycloalkoxy, cycloheptoxy and cyclooctyloxy.

The term " $C_3$ - $C_6$ -halocycloalkoxy" denotes a  $C_3$ - $C_6$ -halocycloalkyl group, as defined above, which is attached via an oxygen atom. The term " $C_3$ - $C_8$ -halocycloalkoxy" denotes a  $C_3$ - $C_8$ -halocycloalkyl group, as defined above, which is attached via an oxygen atom.

 $C_3$ - $C_8$ -Cycloalkyl- $C_1$ - $C_4$ -alkoxy is  $C_1$ - $C_4$ -alkoxy, as defined above wherein one of the hydrogen atoms is replaced by a  $C_3$ - $C_8$ -cycloalkyl group.

 $C_3$ - $C_8$ -Halocycloalkyl- $C_1$ - $C_4$ -alkoxy is  $C_1$ - $C_4$ -alkoxy, as defined above wherein one of the hydrogen atoms is replaced by a  $C_3$ - $C_8$ -cycloalkyl group and wherein at least one, e.g. 1, 2, 3, 4 or all of the remaining hydrogen atoms (either in the cycloalkyl moiety or in the alkoxy moiety or in both) are replaced by halogen atoms.

The term "C<sub>1</sub>-C<sub>2</sub>-alkylthio" is a C<sub>1</sub>-C<sub>2</sub>-alkyl group, as defined above, attached via a sulfur atom. The term "C<sub>1</sub>-C<sub>3</sub>-alkylthio" is a C<sub>1</sub>-C<sub>3</sub>-alkyl group, as defined above, attached via a sulfur atom. The term "C<sub>1</sub>-C<sub>4</sub>-alkylthio" is a C<sub>1</sub>-C<sub>4</sub>-alkyl group, as defined above, attached via a sulfur atom. The term "C<sub>1</sub>-C<sub>6</sub>-alkylthio" is a C<sub>1</sub>-C<sub>6</sub>-alkyl group, as defined above, attached via a sulfur atom. The term "C<sub>1</sub>-C<sub>10</sub>-alkylthio" is a C<sub>1</sub>-C<sub>10</sub>-alkyl group, as defined above, attached via a sulfur atom. C<sub>1</sub>-C<sub>2</sub>-Alkylthio is methylthio or ethylthio. C<sub>1</sub>-C<sub>3</sub>-Alkylthio is additionally, for example, n-propylthio or 1-methylethylthio (isopropylthio). C<sub>1</sub>-C<sub>4</sub>-Alkylthio is additionally, for example, butylthio, 1-methylpropylthio (sec-butylthio), 2-methylpropylthio (isobutylthio) or 1,1-dimethylethylthio, 2-methylbutylthio, 3-methylbutylthio, 1,1-dimethylpropylthio, 1-methylpropylthio, 1,2-dimethylpropylthio, 3-methylpropylthio, 4-methylpropylthio, 1,1-dimethylbutylthio, 1,1-dimethylbutylthio, 1,2-dimethylputylthio, 1,3-dimethylputylthio, 2,2-dimethylputylthio, 2,3-dimethylputylthio, 1,3-dimethylputylthio, 2,3-dimethylputylthio, 3,3-dimethylputylthio, 3,3-dimet

- 1,2-dimethylbutylthio, 1,3-dimethylbutylthio, 2,2-dimethylbutylthio, 2,3-dimethylbutylthio, 3,3-dimethylbutylthio, 1-ethylbutylthio, 2-ethylbutylthio, 1,1,2-trimethylpropylthio,
- 35 1,2,2-trimethylpropylthio, 1-ethyl-1-methylpropylthio or 1-ethyl-2-methylpropylthio. C₁-C₀-Alkylthio is additionally, for example, heptylthio, octylthio, 2-ethylhexylthio and positional

isomers thereof.  $C_1$ - $C_{10}$ -Alkylthio is additionally, for example, nonylthio, decylthio and positional isomers thereof.

The term "C<sub>1</sub>-C<sub>2</sub>-haloalkylthio" is a C<sub>1</sub>-C<sub>2</sub>-haloalkyl group, as defined above, attached via a sulfur atom. The term "C<sub>1</sub>-C<sub>3</sub>-haloalkylthio" is a C<sub>1</sub>-C<sub>3</sub>-haloalkyl group, as defined above, attached via a sulfur atom. The term "C<sub>1</sub>-C<sub>4</sub>-haloalkylthio" is a C<sub>1</sub>-C<sub>4</sub>-haloalkyl group, as defined 5 above, attached via a sulfur atom. The term "C<sub>1</sub>-C<sub>6</sub>-haloalkylthio" is a C<sub>1</sub>-C<sub>6</sub>-haloalkyl group, as defined above, attached via a sulfur atom. The term "C<sub>1</sub>-C<sub>10</sub>-haloalkylthio" is a C<sub>1</sub>-C<sub>10</sub>-haloalkyl group, as defined above, attached via a sulfur atom. C<sub>1</sub>-C<sub>2</sub>-Haloalkylthio is, for example, SCH<sub>2</sub>F, SCHF<sub>2</sub>, SCF<sub>3</sub>, SCH<sub>2</sub>CI, SCHCl<sub>2</sub>, SCCl<sub>3</sub>, chlorofluoromethylthio, dichlorofluoromethylthio, 10 chlorodifluoromethylthio, 2-fluoroethylthio, 2-chloroethylthio, 2-bromoethylthio, 2-iodoethylthio, 2,2-difluoroethylthio, 2,2,2-trifluoroethylthio, 2-chloro-2-fluoroethylthio, 2-chloro-2,2difluoroethylthio, 2,2-dichloro-2-fluoroethylthio, 2,2,2-trichloroethylthio or SC<sub>2</sub>F<sub>5</sub>. C<sub>1</sub>-C<sub>3</sub>-Haloalkylthio is additionally, for example, 2-fluoropropylthio, 3-fluoropropylthio, 2,2-difluoropropylthio, 2,3-difluoropropylthio, 2-chloropropylthio, 3-chloropropylthio, 2,3-dichloropropylthio, 2-bromopropylthio, 3-bromopropylthio, 3,3,3-trifluoropropylthio, 15 3,3,3-trichloropropylthio,  $SCH_2-C_2F_5$ ,  $SCF_2-C_2F_5$ ,  $1-(CH_2F)-2$ -fluoroethylthio,  $1-(CH_2CI)-2$ chloroethylthio or 1-(CH<sub>2</sub>Br)-2-bromoethylthio. C<sub>1</sub>-C<sub>4</sub>-Haloalkylthio is additionally, for example, 4-fluorobutylthio, 4-chlorobutylthio, 4-bromobutylthio or nonafluorobutylthio. C<sub>1</sub>-C<sub>6</sub>-Haloalkylthio is additionally, for example, 5-fluoropentylthio, 5-chloropentylthio, 5-brompentylthio, 20 5-iodopentylthio, undecafluoropentylthio, 6-fluorohexylthio, 6-chlorohexylthio, 6-bromohexylthio, 6-iodohexylthio or dodecafluorohexylthio.

The term  $"C_1-C_2$ -alkylsulfinyl" is a  $C_1-C_2$ -alkyl group, as defined above, attached via a sulfinyl [S(O)] group. The term  $"C_1-C_4$ -alkylsulfinyl" is a  $C_1-C_4$ -alkyl group, as defined above, attached via a sulfinyl [S(O)] group. The term  $"C_1-C_6$ -alkylsulfinyl" is a  $C_1-C_6$ -alkyl group, as defined above, attached via a sulfinyl [S(O)] group. The term  $"C_1-C_{10}$ -alkylsulfinyl" is a  $C_1-C_{10}$ -alkyl group, as defined above, attached via a sulfinyl [S(O)] group.  $C_1-C_2$ -Alkylsulfinyl is methylsulfinyl or ethylsulfinyl.  $C_1-C_4$ -Alkylsulfinyl is additionally, for example, n-propylsulfinyl, 1-methylethylsulfinyl (isopropylsulfinyl), butylsulfinyl, 1-methylpropylsulfinyl (sec-butylsulfinyl), 2-methylpropylsulfinyl (isobutylsulfinyl) or 1,1-dimethylethylsulfinyl (tert-butylsulfinyl).  $C_1-C_6$ -Alkylsulfinyl is additionally, for example, pentylsulfinyl, 1-methylbutylsulfinyl, 1,2-dimethylpropylsulfinyl, 2,2-dimethylpropylsulfinyl, 1-ethylpropylsulfinyl, 1-methylpentylsulfinyl, 1,1-dimethylpropylsulfinyl, 2,2-dimethylpentylsulfinyl, 3-methylpentylsulfinyl, 4-methylpentylsulfinyl, 1,1-dimethylbutylsulfinyl, 1,3-dimethylbutylsulfinyl, 2,2-dimethylbutylsulfinyl, 1,3-dimethylbutylsulfinyl, 1-ethylbutylsulfinyl, 2-ethylbutylsulfinyl, 1,1,2-trimethylpropylsulfinyl, 1,2,2-trimethylpropylsulfinyl, 1-ethyl-1-methylpropylsulfinyl or

1-ethyl-2-methylpropylsulfinyl. C<sub>1</sub>-C<sub>8</sub>-Alkylsulfinyl is additionally, for example, heptylsulfinyl,

25

30

35

octylsulfinyl, 2-ethylhexylsulfinyl and positional isomers thereof. C<sub>1</sub>-C<sub>10</sub>-Alkylsulfinyl is additionally, for example, nonylsulfinyl, decylsulfinyl and positional isomers thereof.

The term "C<sub>1</sub>-C<sub>2</sub>-haloalkylsulfinyl" is a C<sub>1</sub>-C<sub>2</sub>-haloalkyl group, as defined above, attached via a sulfinyl [S(O)] group. The term "C<sub>1</sub>-C<sub>4</sub>-haloalkylsulfinyl" is a C<sub>1</sub>-C<sub>4</sub>-haloalkyl group, as defined above, attached via a sulfinyl [S(O)] group. The term "C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfinyl" is a C<sub>1</sub>-C<sub>6</sub>-5 haloalkyl group, as defined above, attached via a sulfinyl [S(O)] group. The term "C<sub>1</sub>-C<sub>10</sub>haloalkylsulfinyl" is a C<sub>1</sub>-C<sub>10</sub>-haloalkyl group, as defined above, attached via a sulfinyl [S(O)] group. C<sub>1</sub>-C<sub>2</sub>-Haloalkylsulfinyl is, for example, S(O)CH<sub>2</sub>F, S(O)CHF<sub>2</sub>, S(O)CF<sub>3</sub>, S(O)CH<sub>2</sub>Cl, S(O)CHCl<sub>2</sub>, S(O)CCl<sub>3</sub>, chlorofluoromethylsulfinyl, dichlorofluoromethylsulfinyl, 10 chlorodifluoromethylsulfinyl, 2-fluoroethylsulfinyl, 2-chloroethylsulfinyl, 2-bromoethylsulfinyl, 2-iodoethylsulfinyl, 2,2-difluoroethylsulfinyl, 2,2,2-trifluoroethylsulfinyl, 2-chloro-2fluoroethylsulfinyl, 2-chloro-2,2-difluoroethylsulfinyl, 2,2-dichloro-2-fluoroethylsulfinyl, 2,2,2-trichloroethylsulfinyl or S(O)C<sub>2</sub>F<sub>5</sub>. C<sub>1</sub>-C<sub>4</sub>-Haloalkylsulfinyl is additionally, for example, 2-fluoropropylsulfinyl, 3-fluoropropylsulfinyl, 2,2-difluoropropylsulfinyl, 2,3-difluoropropylsulfinyl, 15 2-chloropropylsulfinyl, 3-chloropropylsulfinyl, 2,3-dichloropropylsulfinyl, 2-bromopropylsulfinyl, 3-bromopropylsulfinyl, 3,3,3-trifluoropropylsulfinyl, 3,3,3-trichloropropylsulfinyl, S(O)CH<sub>2</sub>-C<sub>2</sub>F<sub>5</sub>, S(O)CF<sub>2</sub>-C<sub>2</sub>F<sub>5</sub>, 1-(CH<sub>2</sub>F)-2-fluoroethylsulfinyl, 1-(CH<sub>2</sub>Cl)-2-chloroethylsulfinyl, 1-(CH<sub>2</sub>Br)-2bromoethylsulfinyl, 4-fluorobutylsulfinyl, 4-chlorobutylsulfinyl, 4-bromobutylsulfinyl or nonafluorobutylsulfinyl. C<sub>1</sub>-C<sub>6</sub>-Haloalkylsulfinyl is additionally, for example, 5-fluoropentylsulfinyl, 20 5-chloropentylsulfinyl, 5-brompentylsulfinyl, 5-iodopentylsulfinyl, undecafluoropentylsulfinyl, 6-fluorohexylsulfinyl, 6-chlorohexylsulfinyl, 6-bromohexylsulfinyl, 6-iodohexylsulfinyl or dodecafluorohexylsulfinyl.

The term " $C_1$ - $C_2$ -alkylsulfonyl" is a  $C_1$ - $C_2$ -alkyl group, as defined above, attached via a sulfonyl [S(O)<sub>2</sub>] group. The term " $C_1$ - $C_3$ -alkylsulfonyl" is a  $C_1$ - $C_3$ -alkyl group, as defined above, attached via a sulfonyl [S(O)<sub>2</sub>] group. The term " $C_1$ - $C_4$ -alkylsulfonyl" is a  $C_1$ - $C_4$ -alkyl group, as defined above, attached via a sulfonyl [S(O)<sub>2</sub>] group. The term " $C_1$ - $C_6$ -alkylsulfonyl" is a  $C_1$ - $C_6$ -alkyl group, as defined above, attached via a sulfonyl [S(O)<sub>2</sub>] group. The term " $C_1$ - $C_6$ -alkylsulfonyl" is a  $C_1$ - $C_1$ -alkyl group, as defined above, attached via a sulfonyl [S(O)<sub>2</sub>] group.  $C_1$ - $C_2$ -Alkylsulfonyl is methylsulfonyl or ethylsulfonyl.  $C_1$ - $C_3$ -Alkylsulfonyl is additionally, for example, n-propylsulfonyl or 1-methylethylsulfonyl (isopropylsulfonyl).  $C_1$ - $C_4$ -Alkylsulfonyl is additionally, for example, butylsulfonyl, 1-methylpropylsulfonyl (sec-butylsulfonyl), 2-methylpropylsulfonyl (isobutylsulfonyl) or 1,1-dimethylethylsulfonyl (tert-butylsulfonyl).  $C_1$ - $C_6$ -Alkylsulfonyl, 3-methylbutylsulfonyl, 1,1-dimethylpropylsulfonyl, 1-ethylpropylsulfonyl, hexylsulfonyl, 1,2-dimethylpropylsulfonyl, hexylsulfonyl, 1,2-dimethylpropylsulfonyl, hexylsulfonyl,

25

30

1,2-dimethylpropylsulfonyl, 2,2-dimethylpropylsulfonyl, 1-ethylpropylsulfonyl, hexylsulfonyl, 1-methylpentylsulfonyl, 2-methylpentylsulfonyl, 3-methylpentylsulfonyl, 4-methylpentylsulfonyl, 1,1-dimethylbutylsulfonyl, 1,2-dimethylbutylsulfonyl, 1,3-dimethylbutylsulfonyl,

25

2,2-dimethylbutylsulfonyl, 2,3-dimethylbutylsulfonyl, 3,3-dimethylbutylsulfonyl, 1-ethylbutylsulfonyl, 2-ethylbutylsulfonyl, 1,1,2-trimethylpropylsulfonyl,

5

10

15

20

30

35

1,2,2-trimethylpropylsulfonyl, 1-ethyl-1-methylpropylsulfonyl or 1-ethyl-2-methylpropylsulfonyl.  $C_1$ - $C_8$ -Alkylsulfonyl is additionally, for example, heptylsulfonyl, octylsulfonyl, 2-ethylhexylsulfonyl and positional isomers thereof.  $C_1$ - $C_{10}$ -Alkylsulfonyl is additionally, for example, nonylsulfonyl, decylsulfonyl and positional isomers thereof.

The term "C<sub>1</sub>-C<sub>2</sub>-haloalkylsulfonyl" is a C<sub>1</sub>-C<sub>2</sub>-haloalkyl group, as defined above, attached via a sulfonyl [S(O)<sub>2</sub>] group. The term "C<sub>1</sub>-C<sub>3</sub>-haloalkylsulfonyl" is a C<sub>1</sub>-C<sub>3</sub>-haloalkyl group, as defined above, attached via a sulfonyl [S(O)<sub>2</sub>] group. The term "C<sub>1</sub>-C<sub>4</sub>-haloalkylsulfonyl" is a C<sub>1</sub>-C<sub>4</sub>-haloalkyl group, as defined above, attached via a sulfonyl [S(O)<sub>2</sub>] group. The term "C<sub>1</sub>-C<sub>6</sub>haloalkylsulfonyl" is a C<sub>1</sub>-C<sub>6</sub>-haloalkyl group, as defined above, attached via a sulfonyl [S(O)<sub>2</sub>] group. The term "C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl" is a C<sub>1</sub>-C<sub>10</sub>-haloalkyl group, as defined above, attached via a sulfonyl [S(O)<sub>2</sub>] group. C<sub>1</sub>-C<sub>2</sub>-Haloalkylsulfonyl is, for example, S(O)<sub>2</sub>CH<sub>2</sub>F, S(O)<sub>2</sub>CHF<sub>2</sub>, S(O)<sub>2</sub>CF<sub>3</sub>, S(O)<sub>2</sub>CH<sub>2</sub>CI, S(O)<sub>2</sub>CHCl<sub>2</sub>, S(O)<sub>2</sub>CCl<sub>3</sub>, chlorofluoromethylsulfonyl, dichlorofluoromethylsulfonyl, chlorodifluoromethylsulfonyl, 2-fluoroethylsulfonyl, 2-chloroethylsulfonyl, 2-bromoethylsulfonyl, 2-iodoethylsulfonyl, 2,2-difluoroethylsulfonyl, 2,2,2-trifluoroethylsulfonyl, 2-chloro-2-fluoroethylsulfonyl, 2-chloro-2,2-difluoroethylsulfonyl, 2,2-dichloro-2-fluoroethylsulfonyl, 2,2,2-trichloroethylsulfonyl or S(O)<sub>2</sub>C<sub>2</sub>F<sub>5</sub>. C<sub>1</sub>-C<sub>3</sub>-Haloalkylsulfonyl is additionally, for example, 2-fluoropropylsulfonyl, 3-fluoropropylsulfonyl, 2.2-difluoropropylsulfonyl, 2.3-difluoropropylsulfonyl, 2-chloropropylsulfonyl, 3-chloropropylsulfonyl, 2,3-dichloropropylsulfonyl, 2-bromopropylsulfonyl, 3-bromopropylsulfonyl, 3,3,3-trifluoropropylsulfonyl, 3,3,3-trichloropropylsulfonyl, S(O)<sub>2</sub>CH<sub>2</sub>-C<sub>2</sub>F<sub>5</sub>, S(O)<sub>2</sub>CF<sub>2</sub>-C<sub>2</sub>F<sub>5</sub>, 1-(CH<sub>2</sub>F)-2-fluoroethylsulfonyl, 1-(CH<sub>2</sub>Cl)-2-chloroethylsulfonylor 1-(CH<sub>2</sub>Br)-2-bromoethylsulfonyl. C<sub>1</sub>-C<sub>4</sub>-Haloalkylsulfonyl is additionally, for example, 4-fluorobutylsulfonyl, 4-chlorobutylsulfonyl, 4-bromobutylsulfonyl or nonafluorobutylsulfonyl.

4-fluorobutylsulfonyl, 4-chlorobutylsulfonyl, 4-bromobutylsulfonyl or nonafluorobutylsulfonyl.

C<sub>1</sub>-C<sub>6</sub>-Haloalkylsulfonyl is additionally, for example, 5-fluoropentylsulfonyl,

5-chloropentylsulfonyl, 5-brompentylsulfonyl, 5-iodopentylsulfonyl, undecafluoropentylsulfonyl,

6-fluorohexylsulfonyl, 6-chlorohexylsulfonyl, 6-bromohexylsulfonyl, 6-iodohexylsulfonyl or

dodecafluorohexylsulfonyl.

The substituent "oxo" replaces a CH<sub>2</sub> group by a C(=O) group.

The term "alkylcarbonyl" is a  $C_1$ - $C_6$ -alkyl (" $C_1$ - $C_6$ -alkylcarbonyl"), preferably a  $C_1$ - $C_4$ -alkyl (" $C_1$ - $C_4$ -alkylcarbonyl") group, as defined above, attached via a carbonyl [C(=O)] group. Examples are acetyl (methylcarbonyl), propionyl (ethylcarbonyl), propylcarbonyl, isopropylcarbonyl, n-butylcarbonyl and the like.

The term "haloalkylcarbonyl" is a  $C_1$ - $C_6$ -haloalkyl (" $C_1$ - $C_6$ -haloalkylcarbonyl"), preferably a  $C_1$ - $C_4$ -haloalkyl (" $C_1$ - $C_4$ -haloalkylcarbonyl") group, as defined above, attached via a carbonyl [C(=O)] group. Examples are trifluoromethylcarbonyl, 2,2,2-trifluoroethylcarbonyl and the like.

The term "alkoxycarbonyl" is a  $C_1$ - $C_6$ -alkoxy (" $C_1$ - $C_6$ -alkoxycarbonyl"), preferably a  $C_1$ - $C_4$ -alkoxy (" $C_1$ - $C_4$ -alkoxycarbonyl") group, as defined above, attached via a carbonyl [C(=O)] group. Examples are methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, n-butoxycarbonyl and the like.

The term "haloalkoxycarbonyl" is a  $C_1$ - $C_6$ -haloalkoxy (" $C_1$ - $C_6$ -haloalkoxycarbonyl"), preferably a  $C_1$ - $C_4$ -haloalkoxy (" $C_1$ - $C_4$ -haloalkoxycarbonyl") group, as defined above, attached via a carbonyl [C(=O)] group. Examples are trifluoromethoxycarbonyl, 2,2,2-trifluoroethoxycarbonyl and the like.

The term  ${}^{"}C_1-C_6$ -alkylamino" is a group  ${}^{"}N(H)C_1-C_6$ -alkyl. Examples are methylamino, ethylamino, propylamino, isopropylamino, butylamino and the like.

The term "di- $(C_1-C_6$ -alkyl)amino" is a group -N( $C_1$ - $C_6$ -alkyl)<sub>2</sub>. Examples are dimethylamino, diethylamino, ethylmethylamino, dipropylamino, diisopropylamino, methylpropylamino, ethylpropylamino, ethylpropylamino, dibutylamino and the like.

The term "aminocarbonyl" is a group -C(O)-NH<sub>2</sub>.

5

10

15

20

25

30

35

The term  ${}^{"}C_1-C_6$ -alkylaminocarbonyl" is a group  ${}^{"}C(O)-N(H)C_1-C_6$ -alkyl. Examples are methylaminocarbonyl, ethylaminocarbonyl, propylaminocarbonyl, isopropylaminocarbonyl, butylaminocarbonyl and the like.

The term "di-( $C_1$ - $C_6$ -alkyl)-aminocarbonyl" is a group -C(O)- $N(C_1$ - $C_6$ -alkyl)<sub>2</sub>. Examples are dimethylaminocarbonyl, diethylaminocarbonyl, ethylmethylaminocarbonyl, dipropylaminocarbonyl, methylpropylaminocarbonyl,

methylisopropylaminocarbonyl, ethylpropylaminocarbonyl, ethylisopropylaminocarbonyl, dibutylaminocarbonyl and the like.

The term " $C_1$ - $C_6$ -alkylaminosulfonyl" is a  $C_1$ - $C_6$ -alkylamino group as mentioned above, which is bound to the remainder of the molecule by a sulfonyl group (S(O)<sub>2</sub>).

The term "di- $(C_1$ - $C_6$ -alkyl)-aminosulfonyl: is a di- $(C_1$ - $C_6$ -alkyl)-amino group as mentioned above, which is bound to the remainder of the molecule by a sulfonyl group.

The term  $C_1-C_6$ -alkoxysulfonyl is a  $C_1-C_6$ -alkoxy group as mentioned above, which is bound to the remainder of the molecule by a sulfonyl group.

The term "phenylsulfonyl" is a phenyl group as mentioned above, which is bound to the remainder of the molecule by a sulfonyl group.

The term "phenylcarbonyl" is a phenyl group, which is bound to the reminder molecule by a carbonyl group.

The term "phenoxycarbonyl" is a phenoxy group, which is bound to the reminder molecule by a carbonyl group.

The term "phenylaminosulfonyl" is a group -S(O)<sub>2</sub>-NH-R, where R is phenyl.

The term " $(C_1-C_6-alkoxy)-C_1-C_6-alkoxy$ " is a  $C_1-C_6-alkoxy$  group, in particular  $C_1-C_4-alkoxy$  as defined above, such as methoxy or ethoxy, wherein 1 hydrogen atom is replaced by  $C_1-C_6-alkoxy$  as defined above, examples including methoxymethoxy, ethoxymethoxy, n-propoxymethoxy, butoxymethoxy, 2-methoxyethoxy, 2-ethoxyethoxy, 2-(n-propoxy)ethoxy and 2-butoxyethoxy.

5

10

15

20

25

30

35

The term " $(C_3-C_6$ -cycloalkyl)- $C_1-C_6$ -alkoxy" is  $C_1-C_6$ -alkoxy, in particular  $C_1-C_4$ -alkoxy as defined above, such as methoxy or ethoxy, wherein 1 hydrogen atom is replaced by  $C_3-C_6$ -cyclolalkyl as defined above, examples including cyclopropylmethoxy (OCH<sub>2</sub>-cyclopropyl), cyclobutylmethoxy, cyclopentylmethoxy, cyclohexylmethoxy, 1-cyclopropylethoxy (O-CH(CH<sub>3</sub>)-cyclopropyl), 1-cyclobutylethoxy, 1-cyclopentylethoxy, 1-cyclohexylethoxy, 2-cyclopropylethoxy (OCH<sub>2</sub>CH<sub>2</sub>)-cyclopropyl), 2-cyclobutylethoxy, 2-cyclopentylethoxy and 2-cyclohexylethoxy.

Phenyl- $C_1$ - $C_4$ -alkyl is a  $C_1$ - $C_4$ -alkyl group, as defined above, wherein one of the hydrogen atoms is replaced by a phenyl ring. Examples are benzyl, phenyl-1-ethyl and phenethyl (phenyl-2-ethyl).

 $C_1\text{-}C_{10}\text{-}Alkylene is a linear or branched divalent alkyl radical having 1 to 10 carbon atoms.}$  Examples are -CH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>-, -CH(CH<sub>3</sub>)-, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-, -CH(CH<sub>3</sub>)CH<sub>2</sub>-, -CH<sub>2</sub>CH(CH<sub>3</sub>)-, -C(CH<sub>3</sub>)<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-, -CH(CH<sub>3</sub>)CH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-, -(CH<sub>2</sub>)<sub>5</sub>-, -(CH<sub>2</sub>)<sub>6</sub>-, -(CH<sub>2</sub>)<sub>7</sub>-, -(CH<sub>2</sub>)<sub>8</sub>, -(CH<sub>2</sub>)<sub>9</sub>-, -(CH<sub>2</sub>)<sub>10</sub>- and the branched isomers.

The term  $(C_2-C_4$ -alkenyl)- $C_1-C_4$ -alkyl, refers to a  $C_2-C_4$ -alkenyl group as defined above which is bound to the remainder of the molecule via a  $C_1-C_4$ -alkyl group, as defined above.

The term (C<sub>2</sub>-C<sub>4</sub>-alkynyl)-C<sub>1</sub>-C<sub>4</sub>-alkyl refers to a C<sub>2</sub>-C<sub>4</sub>-alkynyl group as defined above which is bound to the remainder of the molecule via a C<sub>1</sub>-C<sub>4</sub>-alkyl group, as defined above. The term "3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or maximally unsaturated heterocyclic rings containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO<sub>2</sub>, as ring members" denotes a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or maximum unsaturated heteromonocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO<sub>2</sub>, as ring members.

Unsaturated rings contain at least one C-C and/or C-N and/or N-N double bond(s). Maximally unsaturated rings contain as many conjugated C-C and/or C-N and/or N-N double bonds as allowed by the ring size. Partly unsaturated rings contain less conjugated C-C and/or C-N and/or N-N double bonds than maximally allowed by the ring size. Maximally unsaturated 5- or 6-membered heterocyclic rings are aromatic. 7-membered rings cannot be aromatic; they are homoaromatic (3 double bonds). The heterocyclic ring may be attached to the remainder of the molecule via a carbon ring member or via a nitrogen ring member. As a matter of course, the heterocyclic ring contains at least one carbon ring atom. If the ring contains more than one O ring atom, these are not adjacent.

Examples of a 3-, 4-, 5-, 6- or 7-membered saturated heterocyclic ring include: Oxiranyl, thiiranyl, aziridinyl, oxetanyl, thietanyl, azetidinyl, tetrahydrofuran-2-yl, tetrahydrofuran-3-yl, tetrahydrothien-2-yl, tetrahydrothien-3-yl, pyrrolidin-1-yl, pyrrolidin-2-yl, pyrrolidin-3-yl, pyrazolidin-1-yl, pyrazolidin-3-yl, pyrazolidin-4-yl, pyrazolidin-5-yl, imidazolidin-1-yl, imidazolidin-2-yl, imidazolidin-4-yl, oxazolidin-2-yl, oxazolidin-3-yl, oxazolidin-4-yl, oxazolidin-5-yl, 5 isoxazolidin-2-yl, isoxazolidin-3-yl, isoxazolidin-4-yl, isoxazolidin-5-yl, thiazolidin-2-yl, thiazolidin-3-yl, thiazolidin-4-yl, thiazolidin-5-yl, isothiazolidin-2-yl, isothiazolidin-3-yl, isothiazolidin-4-yl, isothiazolidin-5-yl, 1,2,4-oxadiazolidin-3-yl, 1,2,4-oxadiazolidin-5-yl, 1,2,4-thiadiazolidin-3-yl, 1,2,4-thiadiazolidin-5-yl, 1,2,4-triazolidin-3-yl, 1,3,4-oxadiazolidin-2-yl, 1,3,4-thiadiazolidin-2-yl, 1,3,4-triazolidin-1-yl, 1,3,4-triazolidin-2-yl, 1,2,3,4-tetrazolidin-1-yl, 1,2,3,4-tetrazolidin-2-yl, 10 1,2,3,4-tetrazolidin-5-yl, 2-tetrahydropyranyl, 4-tetrahydropyranyl, 1,3-dioxan-5-yl, 1,4-dioxan-2yl, piperidin-1-yl, piperidin-2-yl, piperidin-3-yl, piperidin-4-yl, hexahydropyridazin-3-yl, hexahydropyridazin-4-yl, hexahydropyrimidin-2-yl, hexahydropyrimidin-4-yl, hexahydropyrimidin-5-yl, piperazin-1-yl, piperazin-2-yl, 1,3,5-hexahydrotriazin-1-yl, 1,3,5-hexahydrotriazin-2-yl and 15 1,2,4-hexahydrotriazin-3-yl, morpholin-2-yl, morpholin-3-yl, morpholin-4-yl, thiomorpholin-2-yl, thiomorpholin-3-yl, thiomorpholin-4-yl, 1-oxothiomorpholin-2-yl, 1-oxothiomorpholin-3-yl, 1-oxothiomorpholin-4-yl, 1,1-dioxothiomorpholin-2-yl, 1,1-dioxothiomorpholin-3-yl, 1,1-dioxothiomorpholin-4-yl, azepan-1-, -2-, -3- or -4-yl, oxepan-2-, -3-, -4- or -5-yl, hexahydro-1,3-diazepinyl, hexahydro-1,4-diazepinyl, hexahydro-1,3-oxazepinyl, hexahydro-1,4-oxazepinyl, 20 hexahydro-1,3-dioxepinyl, hexahydro-1,4-dioxepinyl and the like.

Examples of a 3-, 4-, 5-, 6- or 7-membered partially unsaturated heterocyclic ring include: 2,3-dihydrofur-2-yl, 2,3-dihydrofur-3-yl, 2,4-dihydrofur-2-yl, 2,4-dihydrofur-3-yl, 2,3-dihydrofur-3-yl, 2,3-dihydrofur-3-yl, 2,4-dihydrofur-3-yl, 2,4-dihyd 2-yl, 2,3-dihydrothien-3-yl, 2,4-dihydrothien-2-yl, 2,4-dihydrothien-3-yl, 2-pyrrolin-2-yl, 2-pyrrolin-3-yl, 3-pyrrolin-2-yl, 3-pyrrolin-3-yl, 2-isoxazolin-3-yl, 3-isoxazolin-3-yl, 4-isoxazolin-3-yl, 2-isoxazolin-4-yl, 3-isoxazolin-4-yl, 4-isoxazolin-4-yl, 2-isoxazolin-5-yl, 3-isoxazolin-5-yl, 25 4-isoxazolin-5-yl, 2-isothiazolin-3-yl, 3-isothiazolin-3-yl, 4-isothiazolin-3-yl, 2-isothiazolin-4-yl, 3-isothiazolin-4-yl, 4-isothiazolin-4-yl, 2-isothiazolin-5-yl, 3-isothiazolin-5-yl, 4-isothiazolin-5-yl, 2,3-dihydropyrazol-1-yl, 2,3-dihydropyrazol-2-yl, 2,3-dihydropyrazol-3-yl, 2,3-dihydropyrazol-4yl, 2,3-dihydropyrazol-5-yl, 3,4-dihydropyrazol-1-yl, 3,4-dihydropyrazol-3-yl, 3,4-dihydropyrazol-4-yl, 3,4-dihydropyrazol-5-yl, 4,5-dihydropyrazol-1-yl, 4,5-dihydropyrazol-3-yl, 30 4,5-dihydropyrazol-4-yl, 4,5-dihydropyrazol-5-yl, 2,3-dihydrooxazol-2-yl, 2,3-dihydrooxazol-3-yl, 2,3-dihydrooxazol-4-yl, 2,3-dihydrooxazol-5-yl, 3,4-dihydrooxazol-2-yl, 3,4-dihydrooxazol-3-yl, 3,4-dihydrooxazol-4-yl, 3,4-dihydrooxazol-5-yl, 3,4-dihydrooxazol-2-yl, 3,4-dihydrooxazol-3-yl, 3,4-dihydrooxazol-4-yl, 2,3-dihydro-1,2,4-triazol-1-, -2-, -3- or -5-yl, 4,5-dihydro-1,3,4-triazol-1-, -2-, -4- or -5-yl, 2,5-dihydro-1,3,4-triazol-1-, -2- or -5-yl, 4,5-dihydro-1,2,3-triazol-1-, -4- or -5-yl, 35 2,5-dihydro-1,2,3-triazol-1-, -2- or -5-yl, 2,3-dihydro-1,2,3-triazol-1-, -2-, -3-, -4- or -5-yl, 2,3-dihydro-1,2,3,4-tetrazol-1-, -2-, -3- or -5-yl, 2,5-dihydro-1,2,3,4-tetrazol-1-, -2- or -5-yl,

29

4,5-dihydro-1,2,3,4-tetrazol-1-, -4- or -5-yl, 2-, 3-, 4-, 5- or 6-di- or tetrahydropyridinyl, 3-di- or tetrahydropyridazinyl, 4-di- or tetrahydropyrimidinyl, 4-di- or tetrahydropyrimidinyl, 5-di- or tetrahydropyrimidinyl, di- or tetrahydropyrazinyl, 1,3,5-di- or tetrahydrotriazin-2-yl, 1,2,4-di- or tetrahydrotriazin-3-yl, 2,3,4,5-tetrahydro[1H]azepin-1-, -2-, -3-, -4-, -5-, -6- or -7-yl, 3,4,5,6-tetrahydro[2H]azepin-2-, -3-, -4-, -5-, -6- or -7-yl, 2,3,6,7-tetrahydro[1H]azepin-1-, -2-, -3-, -4-, -5-, -6- or -7-yl, tetrahydrooxepinyl, such as 2,3,4,5-tetrahydro[1H]oxepin-2-, -3-, -4-, -5-, -6- or -7-yl, 2,3,6,7-tetrahydro[1H]oxepin-2-, -3-, -4-, -5-, -6- or -7-yl, 2,3,6,7-tetrahydro[1H]oxepin-2-, -3-, -4-, -5-, -6- or -7-yl, tetrahydro-1,3-diazepinyl, tetrahydro-1,4-diazepinyl, tetrahydro-1,3-oxazepinyl, tetrahydro-1,3-dioxepinyl and tetrahydro-1,4-dioxepinyl.

5

10

15

20

25

30

35

Examples for a 3-, 4-, 5-, 6- or 7-membered maximally unsaturated (including aromatic) heterocyclic ring are 5- or 6-membered heteroaromatic rings, such as 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 1-pyrrolyl, 2-pyrrolyl, 3-pyrrolyl, 3-pyrazolyl, 4-pyrazolyl, 5-pyrazolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 1-imidazolyl, 2-imidazolyl, 4-imidazolyl, 1,3,4-triazol-1-yl, 1,3,4-triazol-2-yl, 1,2,3,4-1H-tetrazol-1-yl, 1,2,3,4-1H-tetrazol-5-yl, 1,2,3,4-2H-tetrazol-5-yl, 2-pyridinyl, 3-pyridinyl, 4-pyridinyl, 1-oxopyridin-2-yl, 1-oxopyridin-3-yl, 1-oxopyridin-4-yl,3-pyridazinyl, 4-pyridazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 2-pyrazinyl, 1,3,5-triazin-2-yl, 1,2,4-triazin-3-yl and 1,2,4-triazin-5-yl, and also homoaromatic radicals, such as 1H-azepine, 1H-[1,3]-diazepine and 1H-[1,4]-diazepine.

In addition to the above-listed 5- or 6-membered heteroaromatic rings, examples for 5- or 6-membered heteroaromatic rings containing 1, 2, 3 or 4 heteroatoms selected from O, S and N include 1,2,3,4-1H-tetrazol-1-yl, 1,2,3,4-1H-tetrazol-5-yl, 1,2,3,4-2H-tetrazol-2-yl, 1,2,3,4-tetrazin-5-yl, 1,2,3,4-tetrazin-5-yl, 1,2,4,5-tetrazin-3-yl and 1,2,3,5-tetrazin-4-yl.

When # appears in a formula showing a preferred substructure of a compound of the present invention, it denotes the attachment bond in the remainder molecule.

Embodiments of the present invention as well preferred compounds of the present invention are outlined in the following paragraphs. The remarks made below concerning preferred embodiments of the variables of the compounds of formula (I), especially with respect to their substituents X, Het, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup> and Z, the variables k, m and n are valid both on their own and, in particular, in every possible combination with each other.

One embodiment of the present invention are compounds of formula (I), wherein X is selected from O.

Another embodiment of the present invention are compounds of formula (I), wherein X is selected from S.

Preferred are compounds of formula (I), wherein Het is selected from the group consisting of radicals of formulae Het-1 to Het-7, with preference given to compounds of the formula (I), their stereoisomers, there tautomers and their salts, where Het is selected from the radicals of the formulae Het-1, Het-2, Het-4 and Het-7:

# 
$$(R^{6a})_{j}$$
 #  $(R^{6a})_{j}$  Het-3

(R<sup>6a</sup>) #  $(R^{6a})_{j}$  #  $(R^{6a})_{j}$  #  $(R^{6a})_{j}$  # Het-4 Het-5 Het-6

(R<sup>6a</sup>) # Het-7

wherein # denotes the attachment point to the remainder of the molecule and  $R^{6a}$  is as defined above. In particular j is 0, 1 or 2, especially 0 or 1. In formulae Het-1, Het-3, Het-4, Het-5 and Het-11, k is especially 1.

5

10

15

20

Irrespectively of its occurrence,  $R^{6a}$  is preferably selected from the group consisting of halogen, cyano,  $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -alkynyl, wherein the carbon atoms of the 4 last-mentioned aliphatic and cycloaliphatic radicals may be partly or completely halogenated, in particular by fluorine or chlorine, or may further substituted independently from one another with one or more  $R^7$ , or  $R^{6a}$  may also be a radical selected from the group consisting of  $OR^8$ ,  $NR^{9a}R^{9b}$ ,  $S(O)_nR^8$ ,  $S(O)_nNR^{9a}R^{9b}$ ,  $C(=O)R^{7a}$ ,  $C(=O)NR^{9a}R^{9b}$ ,  $C(=O)OR^8$ ,  $C(=S)R^{7a}$ ,  $C(=S)NR^{9a}R^{9b}$ ,  $C(=NR^{17})R^{7a}$  and  $C(=NR^{17})NR^{9a}R^{9b}$ . Irrespectively of its occurrence,  $R^{6a}$  is in particular selected from the group consisting of halogen,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -haloalkyl,  $C_1$ - $C_4$ -alkoxy, and  $C_1$ - $C_4$ -haloalkoxy, even more preferably from halogen, such as fluorine, chlorine or bromine,  $C_1$ - $C_2$ -alkyl, such as methyl or ethyl,  $C_1$ - $C_2$ -haloalkyl, such as difluoromethyl, trifluoromethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl or pentafluoroethyl,  $C_1$ - $C_2$ -alkoxy, such as methoxy or ethoxy, and  $C_1$ - $C_2$ -haloalkoxy, such as difluoromethoxy or trifluoromethoxy. Irrespectively of its occurrence,  $R^{6a}$  is more particularly selected from halogen

and  $C_1$ - $C_2$ -haloalkyl, and especially from chlorine, bromine and trifluoromethyl (CF<sub>3</sub>). Very especially,  $R^{6a}$  is chlorine.

Particularly preferred are compounds of formula (I), wherein Het is selected from the group consisting of radicals of formulae Het-1, Het-2, Het-4 and Het-7a:

5

10

15

20

$$(R^{6a})_{j}$$
Het-1
$$(R^{6a})_{j}$$
Het-2
$$(R^{6a})_{j}$$
Het-4
$$Het-7a$$

wherein # denotes the attachment point to the remainder of the molecule and  $R^{6a}$  is as defined above. In particular  $R^{6a}$  is selected from the group consisting of halogen,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -haloalkyl,  $C_1$ - $C_4$ -alkoxy, and  $C_1$ - $C_4$ -haloalkoxy, even more preferably from halogen, such

as fluorine, chlorine or bromine,  $C_1$ - $C_2$ -alkyl, such as methyl or ethyl,  $C_1$ - $C_2$ -haloalkyl, such as difluoromethyl, trifluoromethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl or pentafluoroethyl,  $C_1$ - $C_2$ -alkoxy, such as methoxy or ethoxy, and  $C_1$ - $C_2$ -haloalkoxy, such as difluoromethoxy or trifluoromethoxy. Irrespectively of its occurrence,  $R^{6a}$  is more particularly selected from halogen and  $C_1$ - $C_2$ -haloalkyl, and especially from chlorine, bromine and trifluoromethyl (CF<sub>3</sub>), and is very

More particularly preferred are compounds of formula (I), wherein Het is selected from the group consisting of radicals of formulae Het-1a, Het-4a and Het-7b:

especially chlorine, and j is 0, 1 or 2.

10

15

20

25

wherein # denotes the attachment point to the remainder of the molecule and R<sup>6a</sup> is as defined above. In particular R<sup>6a</sup> is selected from the group consisting of halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, and C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, even more preferably from halogen, such as fluorine, chlorine or bromine, C<sub>1</sub>-C<sub>2</sub>-alkyl, such as methyl or ethyl, C<sub>1</sub>-C<sub>2</sub>-haloalkyl, such as difluoromethyl, trifluoromethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl or pentafluoroethyl, C<sub>1</sub>-C<sub>2</sub>alkoxy, such as methoxy or ethoxy, and C<sub>1</sub>-C<sub>2</sub>-haloalkoxy, such as difluoromethoxy or trifluoromethoxy. Irrespectively of its occurrence, R<sup>6a</sup> is more particularly selected from halogen and C<sub>1</sub>-C<sub>2</sub>-haloalkyl, and especially from chlorine, bromine and trifluoromethyl (CF<sub>3</sub>), and is very especially chlorine, and j is 0, 1 or 2.

A particularly preferred group of embodiments relates to compounds of formula (I), to the stereoisomers, the tautomers and to the salts thereof, wherein Het is a radical of formula Het-1, where j is 0, 1 or 2, in particular 1 or 2 and especially 1, and R<sup>6a</sup> is as defined above and is in particular selected from the group consisting of halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, and C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, even more preferably from halogen, such as fluorine, chlorine or bromine, C<sub>1</sub>-C<sub>2</sub>-alkyl, such as methyl or ethyl, C<sub>1</sub>-C<sub>2</sub>-haloalkyl, such as difluoromethyl, trifluoromethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl or pentafluoroethyl, C<sub>1</sub>-C<sub>2</sub>-alkoxy, such as methoxy or ethoxy, and C<sub>1</sub>-C<sub>2</sub>-haloalkoxy, such as difluoromethoxy or trifluoromethoxy. Irrespectively of its occurrence, R<sup>6a</sup> is more particularly selected from halogen and C<sub>1</sub>-C<sub>2</sub>haloalkyl, and especially from chlorine, bromine and trifluoromethyl (CF<sub>3</sub>), and is very especially chlorine. Amongst the compounds of this particular group of embodiments, a particular subgroup of embodiments relates to compounds of the formula (I), to the stereoisomers, the tautomers and to the salts thereof, wherein Het is a radical of formula Het-1b:

wherein # denotes the attachment point to the remainder of the molecule and R<sup>6a</sup> is as defined above, in particular R<sup>6a</sup> is selected from the group consisting of halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, and C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, even more preferably from halogen, such as fluorine, chlorine or bromine, C<sub>1</sub>-C<sub>2</sub>-alkyl, such as methyl or ethyl, C<sub>1</sub>-C<sub>2</sub>-haloalkyl, such as difluoromethyl, trifluoromethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl or pentafluoroethyl, C<sub>1</sub>-C<sub>2</sub>-

alkoxy, such as methoxy or ethoxy, and  $C_1$ - $C_2$ -haloalkoxy, such as difluoromethoxy or trifluoromethoxy. Irrespectively of its occurrence,  $R^{6a}$  is more particularly selected from halogen and  $C_1$ - $C_2$ -haloalkyl, and especially from chlorine, bromine and trifluoromethyl ( $CF_3$ ), and is very especially chlorine, and  $R^{6a1}$  is hydrogen or has one of the meanings given for  $R^{6a}$ , and is in particular selected from the group consisting of hydrogen, halogen, such as chlorine or fluorine, and  $C_1$ - $C_4$ -alkyl, such as methyl or ethyl.

A special embodiment of the radical Het-1 relates to compounds of the formula (I), to the stereoisomers, the tautomers and to the salts thereof, wherein Het is a radical of formula Het-1a:

Het-1a

10

15

20

25

5

wherein # denotes the attachment point to the remainder of the molecule and  $R^{6a}$  is as defined above, in particular  $R^{6a}$  is selected from the group consisting of halogen,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -haloalkyl,  $C_1$ - $C_4$ -alkoxy, and  $C_1$ - $C_4$ -haloalkoxy, even more preferably from halogen, such as fluorine, chlorine or bromine,  $C_1$ - $C_2$ -alkyl, such as methyl or ethyl,  $C_1$ - $C_2$ -haloalkyl, such as difluoromethyl, trifluoromethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl or pentafluoroethyl,  $C_1$ - $C_2$ -alkoxy, such as methoxy or ethoxy, and  $C_1$ - $C_2$ -haloalkoxy, such as difluoromethoxy or trifluoromethoxy. Irrespectively of its occurrence,  $R^{6a}$  is more particularly selected from halogen and  $C_1$ - $C_2$ -haloalkyl, and especially from chlorine, bromine and trifluoromethyl ( $CF_3$ ), and is very especially chlorine.

A special embodiment of the radical Het-1a is 6-chloropyridin-3-yl, i.e. R<sup>6a</sup> is chlorine. A further special embodiment of the radical Het-1a is 6-(trifluoromethyl)pyridin-3-yl, i.e. R<sup>6a</sup> is trifluoromethyl.

Another particularly preferred group of embodiments relates to compounds of formula (I) to the stereoisomers, the tautomers and to the salts thereof, wherein Het is a radical of formula Het-4, where j is 0, 1 or 2, in particular 0 or 1, and where Het is in particular a radical of formula Het-4a:

wherein # denotes the attachment point to the remainder of the molecule and  $R^{6a}$  is as defined above in particular selected from the group consisting of halogen,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -haloalkyl,  $C_1$ - $C_4$ -alkoxy, and  $C_1$ - $C_4$ -haloalkoxy, even more preferably from halogen, such as fluorine, chlorine or bromine,  $C_1$ - $C_2$ -alkyl, such as methyl or ethyl,  $C_1$ - $C_2$ -haloalkyl, such as difluoromethyl, trifluoromethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl or pentafluoroethyl,  $C_1$ - $C_2$ -alkoxy, such as methoxy or ethoxy, and  $C_1$ - $C_2$ -haloalkoxy, such as difluoromethoxy or trifluoromethoxy. Irrespectively of its occurrence,  $R^{6a}$  is more particularly selected from halogen and  $C_1$ - $C_2$ -haloalkyl, and especially from chlorine, bromine and trifluoromethyl ( $CF_3$ ), and is very especially chlorine.

A special embodiment of the radical Het-4a is 2-chloropyrimidin-5-yl, i.e. R<sup>6a</sup> is chlorine. A further special embodiment of the radical Het-4a is 2-(trifluoromethyl)pyrimidin-5-yl, i.e. R<sup>6a</sup> is trifluoromethyl.

Another particularly preferred group of embodiments relates to compounds of formula (I) to the stereoisomers, the tautomers and to the salts thereof, wherein Het is a radical of formula Het-7, where j is 0, 1 or 2, in particular 0 or 1, and where Het is in particular a radical of formula Het-7b:

20

25

5

10

15

wherein # denotes the attachment point to the remainder of the molecule and  $R^{6a}$  is as defined above, in particular  $R^{6a}$  is selected from the group consisting of halogen,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -haloalkyl,  $C_1$ - $C_4$ -alkoxy, and  $C_1$ - $C_4$ -haloalkoxy, even more preferably from halogen, such as fluorine, chlorine or bromine,  $C_1$ - $C_2$ -alkyl, such as methyl or ethyl,  $C_1$ - $C_2$ -haloalkyl, such as difluoromethyl, trifluoromethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl or pentafluoroethyl,  $C_1$ - $C_2$ -alkoxy, such as methoxy or ethoxy, and  $C_1$ - $C_2$ -haloalkoxy, such as difluoromethoxy or trifluoromethoxy. Irrespectively of its occurrence,  $R^{6a}$  is more particularly selected from halogen

and C<sub>1</sub>-C<sub>2</sub>-haloalkyl, and especially from chlorine, bromine and trifluoromethyl (CF<sub>3</sub>), and is very especially chlorine.

A special embodiment of the radical Het-7b is 2-chlorothiazol-5-yl, i.e. R<sup>6a</sup> is chlorine.

Preferred are compounds of formula (I), wherein  $R^1$  and  $R^2$  are independently of each other selected from the group consisting of hydrogen, halogen, such as fluorine or chlorine, CN,  $C_1$ - $C_6$ -alkyl, in particular  $C_1$ - $C_4$ -alkyl, such as methyl, ethyl, n-propyl or isopropyl,  $C_3$ - $C_6$ -cycloalkyl, such as cyclopropyl or cyclobutyl,  $C_1$ - $C_6$ -haloalkyl, in particular  $C_1$ - $C_2$ -haloalkyl, such as fluoromethyl, difluoromethyl, trifluoromethyl, 1,1-difluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl or 2,2,2-trifluoroethyl, or  $C_3$ - $C_6$ -halocycloalkyl such as 1-fluorocyclopropyl or 2,2-difluorocyclopropyl.

Preferred are also compounds of formula (I), wherein R¹ and R² may together be =CR¹³R¹⁴.

Preferred are also compounds of formula (I), wherein R<sup>1</sup> and R<sup>2</sup> form, together with the carbon atom, which they attached to, a 3-, 4- or 5-membered saturated carbocyclic ring such as cyclopropyl, cyclobutyl or cyclopentyl.

Even more preferred are compounds of formula (I), wherein R¹ and R² are independently of each other selected from the group consisting of hydrogen, halogen, cyano, C₁-C₃-alkyl, such as methyl, ethyl or isopropyl, or C₁-C₃-haloalkyl, such as fluoromethyl, difluoromethyl, trifluoromethyl, 1,1-difluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl or 2,2,2-trifluoroethyl.

Preferably at least one of the radicals R<sup>1</sup> and R<sup>2</sup> is hydrogen.

5

10

15

20

25

30

Especially more preferred are compounds of formula (I), wherein R¹ and R² are both hydrogen.

Further particular groups (1) of embodiments relate to the compounds of formula (I), wherein Z is  $OR^{3a}$ , where  $R^{3a}$  is as defined above. In particular  $R^{3a}$  is selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -alkynyl,  $C_3$ - $C_6$ -cycloalkyl,  $(C_3$ - $C_6$ -cycloalkyl)- $C_1$ - $C_6$ -alkyl,  $(C_1$ - $C_6$ -alkoxy)- $C_1$ - $C_6$ -alkyl,  $(C_1$ - $C_6$ -alkyl), where the aliphatic parts of the 7 last mentioned radicals are unsubstituted, partly or completely halogenated; phenyl or phenyl- $C_1$ - $C_6$  alkyl, wherein phenyl in the last 2 mentioned radicals is unsubstituted or substituted by 1, 2, 3, 4 or 5 identical or different substituents selected from the group consisting of halogen, CN,  $NO_2$ ,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_1$ - $C_6$ -alkoxy and  $C_1$ - $C_6$ -haloalkoxy;

more particularly  $R^{3a}$  is selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl,  $(C_1$ - $C_6$ -alkoxy)- $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -cycloalkyl, and  $(C_3$ - $C_6$ -cycloalkyl)- $C_1$ - $C_6$ -alkyl, where the aliphatic parts of the last 4 mentioned radicals are unsubstituted, partly or completely halogenated;

especially  $R^{3a}$  is selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl and  $C_1$ - $C_6$ -haloalkyl;

even more especially R<sup>3a</sup> is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, such as CH<sub>3</sub>, CH<sub>3</sub>CH<sub>2</sub>, CH(CH<sub>3</sub>)<sub>2</sub>, CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, C(CH<sub>3</sub>)<sub>3</sub> and C<sub>1</sub>-C<sub>4</sub>-haloalkyl, such as CF<sub>3</sub>,CHF<sub>2</sub>, CH<sub>2</sub>F, CH<sub>2</sub>CF<sub>3</sub>, CF(CH<sub>3</sub>)<sub>2</sub>, CH(CF<sub>3</sub>)<sub>2</sub> or CH(CH<sub>3</sub>)CF<sub>3</sub>.

5

10

15

20

25

30

In this particular group (1) of embodiments,  $R^{9a}$  and  $R^{9b}$  are as defined above and are in particular independently selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_3$ - $C_8$ -alkenyl,  $C_2$ - $C_6$ -haloalkenyl,  $C_2$ - $C_6$ -haloalkynyl, phenyl and benzyl,

more particularly  $R^{9a}$  and  $R^{9b}$  are independently selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl and  $C_1$ - $C_6$ -haloalkyl,

especially  $\mathsf{R}^{9a}$  and  $\mathsf{R}^{9b}$  are independently selected from the group consisting of hydrogen and  $\mathsf{C}_1\text{-}\mathsf{C}_4\text{-}\mathsf{alkyl},$ 

even more especially at least one of the radicals  $R^{9a}$  and  $R^{9b}$  is hydrogen, and specifically  $R^{9a}$  and  $R^{9b}$  are both hydrogen.

Further particular groups (2) of embodiments relate to the compounds of formula (I), wherein Z is NR $^{3b}$ R $^{3c}$ , wherein R $^{3b}$  and R $^{3c}$  are as defined above.In particular R $^{3b}$  and R $^{3c}$  are independently of one another selected from C $_1$ -C $_6$ -alkyl, C $_2$ -C $_6$ -alkenyl, C $_2$ -C $_6$ -alkynyl, C $_3$ -C $_6$ -cycloalkyl, (C $_3$ -C $_6$ -cycloalkyl)-C $_1$ -C $_6$ -alkyl, (C $_1$ -C $_6$ -alkoxy)-C $_1$ -C $_6$ -alkyl, NR $^{9a}$ R $^{9b}$ -(C $_1$ -C $_6$ -alkyl), where the aliphatic parts of the 7 last mentioned radicals are unsubstituted, partly or completely halogenated; phenyl and phenyl-C $_1$ -C $_6$ -alkyl, wherein phenyl in the last 2 mentioned radicals is unsubstituted or substituted by 1, 2, 3, 4 or 5 identical or different substituents selected from the group consisting of halogen, CN, NO $_2$ , C $_1$ -C $_6$ -alkyl, C $_1$ -C $_6$ -haloalkyl, C $_1$ -C $_6$ -alkoxy and C $_1$ -C $_6$ -haloalkoxy;

more particularly  $R^{3b}$  and  $R^{3c}$  are independently of one another selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl,  $(C_1$ - $C_6$ -alkoxy)- $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -cycloalkyl and  $(C_3$ - $C_6$ -cycloalkyl)- $C_1$ - $C_6$ -alkyl, where the aliphatic parts of the last 4 mentioned radicals unsubstituted, partly or completely halogenated;

especially R³b and R³c are independently of one another selected from the group consisting of hydrogen, C₁-C6-alkyl and C₁-C6-haloalkyl;

even more especially R³b and R³c are independently of one another selected from the group consisting of hydrogen, C₁-C₄-alkyl, such as CH₃, CH₃CH₂, CH(CH₃)₂, CH₂CH(CH₃)₂, or C(CH₃)₃, and C₁-C₄-haloalkyl, such as CF₃, CHF₂, CH₂F, CH₂CF₃, CF(CH₃)₂, CH(CF₃)₂ or CH(CH₃)CF₃.

Further particular groups of (2a) embodiments relate to the (thio)acylimino compounds of formula (I), wherein Z is NR³bR³c, wherein R³b and R³c, together with the nitrogen atom to which they are bound, form an N-bound mono– or bicyclic heterocyclic 3-, 4-, 5- or 6-membered saturated, partly unsaturated or maximally unsaturated heterocyclic radical, which may comprise 1, 2 or 3 further heteroatoms which are selected from N, O and S as ring members, where the heterocyclic radical is substituted or unsubstituted by 1, 2, 3 or 4 identical or different substituents selected from the group consisting of halogen, CN, NO₂, C₁-C6-alkyl, C₁-C6-haloalkyl, C₁-C6-haloalkoxy, in particular selected from the group consisting halogen, CN, NO₂, C₁-C2-alkyl, C₁-C2-haloalkyl, C₁-C2-alkoxy and C₁-C2-haloalkoxy;

5

10

15

20

25

30

in particular R<sup>3b</sup> and R<sup>3c</sup>, together with the nitrogen atom to which they are bound, form an N-bound saturated monoheterocyclic radical, which may have 1 or 2 further heteroatoms which are selected from N and O as ring members, which heterocyclic radical is unsubstituted or substituted by 1, 2, 3, or 4 identical or different substituents selected from the group consisting of halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy and C<sub>1</sub>-C<sub>6</sub>-haloalkoxy, in particular selected from the group consisting of halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>2</sub>-alkyl, C<sub>1</sub>-C<sub>2</sub>-haloalkyl, C<sub>1</sub>-C<sub>2</sub>-haloalkoxy;

especially R<sup>3b</sup> and R<sup>3c</sup>, together with the nitrogen atom to which they are bound, form an N-bound saturated mono- or bicyclic heterocyclic radical which is selected from the group consisting of 1-aziridinyl, 1-azetidinyl, 1-piperidinyl, 1-pyrrolidinyl, azepan-1-yl, azocan-1-yl, morpholin-4-yl, isoxazolidine-2-yl, oxazolidine-3-yl, piperazine-1-yl, octahydroisoindol-2-yl, octahydroindol-1-yl, octahydro-2H-quinolin-1-yl, azabicyclo[2.2.1]heptan-3-yl and azabicyclo[2.2.1]heptan-7-yl, where the aforementioned radicals are unsubstituted or substituted by one or more identical or different substituents selected from the group consisting of halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>2</sub>-alkyl, C<sub>1</sub>-C<sub>2</sub>-haloalkyl, C<sub>1</sub>-C<sub>2</sub>-alkoxy and C<sub>1</sub>-C<sub>2</sub>-haloalkoxy;

even more especially R³b and R³c, together with the nitrogen atom to which they are bound, form an N-bound saturated monocyclic heterocyclic radical which is selected from the group consisting of 1-piperidinyl, 1-pyrrolidinyl, azepan-1-yl, azocan-1-yl and morpholin-4-yl, where the aforementioned radicals are unsubstituted or substituted by one or more identical or different substituents selected from the group consisting of halogen, CN, NO₂, C₁-C₂-alkyl, C₁-C₂-haloalkyl, C₁-C₂-alkoxy and C₁-C₂-haloalkoxy.

Further particular groups (3) of embodiments relate to the diaminotriazine compounds of formula (I), wherein Z is  $S(O)_mR^{3d}$ , where  $R^{3d}$  is as defined above. In particular  $R^{3d}$  is selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -alkynyl,  $C_3$ - $C_6$ -cycloalkyl)- $C_1$ - $C_6$ -alkyl,  $(C_1$ - $C_6$ -alkyl,  $(C_3$ - $C_6$ -alkyl) and

NR<sup>9a</sup>R<sup>9b</sup>, where the aliphatic parts of the 7 last mentioned radicals are unsubstituted, partly or completely halogenated; phenyl and phenyl-C<sub>1</sub>-C<sub>6</sub> alkyl, wherein phenyl in the last 2 mentioned radicals is unsubstituted or substituted by 1, 2, 3, 4 or 5 identical or different substituents selected from the group consisting of halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy;

more particularly  $R^{3d}$  is selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl,  $(C_1$ - $C_6$ -alkoxy)- $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -cycloalkyl, and  $(C_3$ - $C_6$ -cycloalkyl)- $C_1$ - $C_6$ -alkyl, where the aliphatic parts of the last 4 mentioned radicals are unsubstituted, partly or completely halogenated;

5

10

15

20

25

30

35

especially  $R^{3d}$  is selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl and  $C_1$ - $C_6$ -haloalkyl;

even more especially R<sup>3d</sup> is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, such as CH<sub>3</sub>, CH<sub>3</sub>CH<sub>2</sub>, CH(CH<sub>3</sub>)<sub>2</sub>, CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub> or C(CH<sub>3</sub>)<sub>3</sub>, and C<sub>1</sub>-C<sub>4</sub>-haloalkyl, such as CF<sub>3</sub>, CH<sub>2</sub>F, CH<sub>2</sub>F, CH<sub>2</sub>CF<sub>3</sub>, CF(CH<sub>3</sub>)<sub>2</sub>, CH(CF<sub>3</sub>)<sub>2</sub> or CH(CH<sub>3</sub>)CF<sub>3</sub>.

In this particular group (3) of embodiments, where Z is  $S(O)_mR^{3d}$ , the variable m is preferably 0 or 2 and especially 0.

Preferred are compounds of formula (I), and likewise the compounds of groups (1), (2), (2a) and (3) embodiments wherein  $R^4$  and  $R^5$  independently of each other are as defined above. In particular  $R^4$  and  $R^5$  are independently of each other selected from the group consisting of hydrogen, halogen, CN, azido, nitro, SCN,  $SF_5$ ,  $Si(R^{11})_2R^{12}$ ,  $OR^8$ ,  $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_2$ - $C_6$ -alkenyl and  $C_2$ - $C_6$ -alkynyl, wherein the 4 last-mentioned aliphatic and cycloaliphatic radicals may be partly or completely halogenated and/or may carry one or more radicals  $R^7$ , wherein  $R^7$  is as defined above;

in particular, wherein  $R^4$  and  $R^5$ , independently of each other, are selected from the group consisting of hydrogen, halogen, CN, Si(C<sub>1</sub>-C<sub>6</sub>-alkyl)<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkynyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, wherein the aliphatic and cycloaliphatic moieties of the 6 last-mentioned radicals may be partly or completely halogenated and/or may carry one or more radicals  $R^7$ , wherein  $R^7$  is selected from C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-haloalkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-haloalkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl and C<sub>1</sub>-C<sub>6</sub>-haloalkoxycarbonyl.

Especially preferred are N-(thio)acylimino compounds of formula (I), and likewise the N-(thio)acylimino compound of formula (I) according to the embodiment groups (1), (2), (2a) and (3), wherein R<sup>4</sup> is selected from the group consisting of hydrogen, CN, Si(C<sub>1</sub>-C<sub>4</sub>-alkyl)<sub>3</sub>, such as trimethylsily, triethylsilyl, or *tert*butyldimethylsilyl, C<sub>1</sub>-C<sub>4</sub>-alkyl, such as CH<sub>3</sub>, CH<sub>3</sub>CH<sub>2</sub>, CH(CH<sub>3</sub>)<sub>2</sub>, CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, or C(CH<sub>3</sub>)<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, such as CF<sub>3</sub>,CHF<sub>2</sub>, CH<sub>2</sub>F, CH<sub>2</sub>CF<sub>3</sub>, CF(CH<sub>3</sub>)<sub>2</sub>,

39

CH(CF<sub>3</sub>)<sub>2</sub> or CH(CH<sub>3</sub>)CF<sub>3</sub>, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl such as cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl,  $(C_2-C_4-alkenyl)-C_1-C_4-alkyl$ ,  $(C_2-C_4-alkenyl)-C_1-C_4-alkoxy$ ,  $(C_2-C_4-alkynyl)-C_1-C_4-alkynyl$ alkyl, (C<sub>2</sub>-C<sub>4</sub>-alkynyl)-C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, such as methoxy or ethoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, such as difluoromethoxy or trifluoromethoxy, and C<sub>1</sub>-C<sub>4</sub>-haloalkyl, such as difluoromethyl, trifluoromethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl or pentafluoroethyl, (C<sub>2</sub>-C<sub>4</sub>-alkenyl)-C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl, (C<sub>2</sub>-C<sub>4</sub>-alkynyl)-C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl, (C<sub>2</sub>-C<sub>4</sub>-alkynyl)-C<sub>1</sub>-C<sub>4</sub>-sulfonyl, (C<sub>2</sub>-C<sub>4</sub>-alkynyl)-C<sub>1</sub>-sulfonyl, (C<sub>2</sub>-C<sub>4</sub>-alkynyl)-C<sub>1</sub>-sulfonyl, (C<sub>2</sub>-C<sub>4</sub>-alkynyl)-C<sub>1</sub>-sulfonyl, (C<sub>2</sub>-C<sub>4</sub>-alkynyl)-C<sub>1</sub>-sulfonyl, (C<sub>2</sub>-C<sub>4</sub>-alkynyl)-C<sub>1</sub>-sulfonyl, (C<sub>2</sub>-C<sub>4</sub>-alkynyl)-C<sub>1</sub>-sulfonyl, (C<sub>2</sub>-C<sub>4</sub>-alkynyl)-C<sub>1</sub>-sulfonyl, (C<sub>2</sub>-C<sub>4</sub>-alkynyl)-(C<sub>2</sub>-C<sub>4</sub>-alkynyl)-(C<sub>2</sub>-C<sub>4</sub>-alkynyl)-(C<sub>2</sub>-C<sub>4</sub>-alkynyl)-(C<sub>2</sub>-C<sub>4</sub>-alkynyl)-(C<sub>2</sub>-C<sub>4</sub>-alkynyl)-(C<sub>2</sub>-C<sub>4</sub>-alkynyl) alkynyl)-C<sub>1</sub>-C<sub>4</sub>-sulfonyl, C<sub>2</sub>-C<sub>6</sub>-alkyn-1-yl such as ethynyl, prop-1-ynyl, but-1-ynyl or pent1-ynyl and R<sup>5</sup> is selected from the group consisting of hydrogen, CN, Si(C<sub>1</sub>-C<sub>4</sub>-alkyl)<sub>3</sub> such as trimethylsily, triethylsilyl, tentoutyldimethylsilyl, C<sub>1</sub>-C<sub>4</sub>-alkyl such as CH<sub>3</sub>, CH<sub>3</sub>CH<sub>2</sub>, CH(CH<sub>3</sub>)<sub>2</sub>, CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, C(CH<sub>3</sub>)<sub>3</sub> and C<sub>1</sub>-C<sub>4</sub>-haloalkyl, such as CF<sub>3</sub>,CHF<sub>2</sub>, CH<sub>2</sub>F, CH<sub>2</sub>CF<sub>3</sub>, CF(CH<sub>3</sub>)<sub>2</sub>, CH(CF<sub>3</sub>)<sub>2</sub> or CH(CH<sub>3</sub>)CF<sub>3</sub>, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl such as cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl,  $(C_2-C_4-alkenyl)-C_1-C_4-alkyl$ ,  $(C_2-C_4-alkenyl)-C_1-C_4-alkoxy$ ,  $(C_2-C_4-alkynyl)-C_1-C_4-alkynyl$ alkyl, (C<sub>2</sub>-C<sub>4</sub>-alkynyl)-C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy such as methoxy or ethoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, such as difluoromethoxy or trifluoromethoxy, and C<sub>1</sub>-C<sub>4</sub>-haloalkyl, such as difluoromethyl, trifluoromethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl or pentafluoroethyl, (C<sub>2</sub>-C<sub>4</sub>-alkenyl)-C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl, (C<sub>2</sub>-C<sub>4</sub>-alkynyl)-C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl, (C<sub>2</sub>-C<sub>4</sub>-alkynyl)-C<sub>1</sub>-C<sub>4</sub>-sulfonyl, (C<sub>2</sub>-C<sub>4</sub>alkynyl)-C<sub>1</sub>-C<sub>4</sub>-sulfonyl.

More especially preferred are N-(thio)acylimino compounds of formula (I), and likewise the N-(thio)acylimino compound of formula (I) according to the embodiment groups (1), (2), (2a) and (3), wherein  $R^4$  is selected from the group consisting of hydrogen, CN,  $Si(C_1-C_4-alkyl)_3$ , such as trimethylsily, triethylsilyl, or *tert*butyldimethylsilyl,  $C_1-C_4-alkyl$ , such as  $CH_3$ ,  $CH_3CH_2$ ,  $CH_3CH_3$ ,  $CH_4CH_3$ , or  $C(CH_3)_3$ ,  $C_1-C_4-haloalkyl$ , such as  $CF_3$ ,  $CH_2F$ ,  $CH_2F$ ,  $CH_2CF_3$ ,  $CF(CH_3)_2$ ,  $CH_4CH_3$ ,  $CH_4CH_3$ ,  $CH_4CH_3$ ,  $CH_4CH_3$ ,  $CH_4CH_4$ ,  $CH_$ 

Preferred are compounds of formula (I), and likewise the compounds of groups (1), (2), (2a) and (3) embodiments, wherein the moiety of the formula W.Het

5

10

15

20

25

30

40

is selected from the group consisting of radicals of formulae: W.Het-1, W.Het-2, W.Het-3, W.Het-4, W.Het-5, W.Het-6, W.Het-7, W.Het-8, W.Het-9, W.Het-10, W.Het-11, W.Het-12, W.Het-13, W.Het 14, W.Het 15, W.Het 16 and W.Het 17:

5

W.Het-17

42

wherein # denotes the attachment point to the remainder of the molecule; X,  $R^1$ ,  $R^2$  and Het are as defined above and herein and where X,  $R^1$ ,  $R^2$  and Het, individually or in combination, have preferably the meanings given above as preferred meanings, and wherein  $R^6$  is as defined above and is in particular selected from the group consisting of halogen, such as fluorine or chlorine, CN,  $C_1$ - $C_4$ -alkyl, such as methyl, ethyl, n-propyl and isopropyl,  $C_1$ - $C_4$ -haloalkyl, in particular  $C_1$ - $C_2$ -haloalkyl, such as fluoromethyl, difluoromethyl, trifluoromethyl, 1,1-difluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl or 2,2,2-trifluoroethyl,  $C_1$ - $C_4$ -alkoxy, such as methoxy, ethoxy, n-propoxy and isopropoxy, and  $C_1$ - $C_4$ -haloalkoxy, in particular  $C_1$ - $C_2$ -haloalkoxy, such as fluoromethoxy, difluoromethoxy, trifluoromethoxy, 1,1-difluoroethoxy, 2-fluoroethoxy, 2,2-difluoroethoxy or 2,2,2-trifluoroethoxy.

5

10

15

20

25

30

Particularly preferred are compounds of formula (I), and likewise the compounds of groups (1), (2), (2a) and (3) embodiments, wherein the moiety W.Het is selected from the group consisting of W.Het-1, W.Het-2, W.Het-3, W.Het 4 and W.Het 13, wherein  $R^6$  is as defined above and in particular selected from the group consisting of halogen, such as fluorine or chlorine, CN,  $C_1$ - $C_4$ -alkyl, such as methyl, ethyl, n-propyl and isopropyl,  $C_1$ - $C_4$ -haloalkyl, in particular  $C_1$ - $C_2$ -haloalkyl, such as fluoromethyl, difluoromethyl, trifluoromethyl, 1,1-difluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl or 2,2,2-trifluoroethyl,  $C_1$ - $C_4$ -alkoxy, such as methoxy, ethoxy, n-propoxy and isopropoxy, and  $C_1$ - $C_4$ -haloalkoxy, in particular  $C_1$ - $C_2$ -haloalkoxy, such as fluoromethoxy, difluoromethoxy, trifluoromethoxy, 1,1-difluoroethoxy, 2-fluoroethoxy, 2,2-difluoroethoxy or 2,2,2-trifluoroethoxy.

More particularly preferred are compounds of formula (I), and likewise the compounds of groups (1), (2), (2a) and (3) embodiments, wherein the moiety W.Het is W.Het 13.

In the moieties W.Het-1, W.Het-2, W.Het-3, W.Het-4, W.Het-5, W.Het-6, W.Het-7, W.Het-8, W.Het-9, W.Het-10, W.Het-11, W.Het-12, W.Het-13, W.Het 14, W.Het 15, W.Het 16 and W.Het 17, the heterocycle Het is in particular selected from the group consisting of the radicals of formulae Het-1 to Het-7, as defined above, and in particular selected from the group consisting of the radicals of the formulae Het-1 or Het-1a, Het-4 or Het-4a and Het-7a or Het-7b.

In the moieties W.Het-1, W.Het-2, W.Het-3, W.Het-4, W.Het-5, W.Het-6, W.Het-7, W.Het-8, W.Het-9, W.Het-10, W.Het-11, W.Het-12, W.Het-13, W.Het 14, W.Het 15, W.Het 16 and W.Het 17, the radicals R¹ and R² are, independently of each other, in particular selected from the group consisting of hydrogen, halogen, such as fluorine or chlorine, CN, C₁-C6-alkyl, in particular C₁-C4-alkyl, such as methyl, ethyl, n-propyl or isopropyl, C3-C6-cycloalkyl, such as cyclopropyl or cyclobutyl, C₁-C6-haloalkyl, in particular C₁-C2-haloalkyl, such as fluoromethyl, difluoromethyl, trifluoromethyl, 1,1-difluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl or 2,2,2-

43

trifluoroethyl, and  $C_3$ - $C_6$ -halocycloalkyl, such as 1-fluorocyclopropyl or 2,2-difluorocyclopropyl, or  $R^1$  and  $R^2$  may together be = $CR^{13}R^{14}$ , or  $R^1$  and  $R^2$  form, together with the carbon atom they are attached to, a 3- to 5-membered saturated carbocyclic ring, such as cyclopropyl, cyclobutyl or cyclopentyl.

In particular embodiments of moieties W.Het-1, W.Het-2, W.Het-3, W.Het-4, W.Het-5, W.Het-6, W.Het-7, W.Het-8, W.Het-9, W.Het-10, W.Het-11, W.Het-12, W.Het-13, W.Het 14, W.Het 15,W.Het 16 and W.Het 17, the radicals  $R^1$  and  $R^2$  are, independently of each other, more particularly selected from the group consisting of hydrogen, halogen, cyano,  $C_1$ - $C_3$ -alkyl, such as methyl, ethyl or isopropyl, and  $C_1$ - $C_3$ -haloalkyl such as fluoromethyl, difluoromethyl, trifluoromethyl, 1,1-difluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl or 2,2,2-trifluoroethyl, where in particular at least one of the radicals  $R^1$  and  $R^2$  is hydrogen.

5

10

15

20

25

30

Especially, R<sup>1</sup> and R<sup>2</sup> in the moieties W.Het-1, W.Het-2, W.Het-3, W.Het-4, W.Het-5, W.Het-6, W.Het-7, W.Het-8, W.Het-9, W.Het-10, W.Het-11, W.Het-12, W.Het-13, W.Het 14, W.Het 15, W.Het 16 and W.Het 17 are both hydrogen.

A particular group (a) of embodiments relates to compounds of the formula (I), to their stereoisomers, their tautomers and their salts, and likewise to the compounds of groups (1), (2), (2a) and (3) embodiments, wherein the moiety of W.Het represents a radical selected from the group consisting of W.Het-1, wherein Het is selected from the group consisting of radicals of formulae Het-1, Het-4 and Het-7a.

A particular group (b) of embodiments relates to compounds of the formula (l), to their stereoisomers, their tautomers and their salts, and likewise to the compounds of groups (1), (2), (2a) and (3) embodiments, wherein the moiety of W.Het represents a radical selected from the group consisting of W.Het-2, wherein Het is selected from the group consisting of radicals of formulae Het-1, Het-4 and Het-7a.

A particular group (c) of embodiments relates to compounds of the formula (I), to their stereoisomers, their tautomers and their salts, and likewise to the compounds of groups (1), (2), (2a) and (3) embodiments, wherein the moiety of W.Het represents a radical selected from the group consisting of W.Het-3, wherein Het is selected from the group consisting of radicals of formulae Het-1, Het-4 and Het-7a.

A particular group (d) of embodiments relates to compounds of the formula (I), to their stereoisomers, their tautomers and their salts, and likewise to the compounds of groups (1), (2), (2a) and (3) embodiments, wherein the moiety of W.Het represents a radical selected from the group consisting of W.Het-4, wherein Het is selected from the group consisting of radicals of formulae Het-1, Het-4 and Het-7a.

44

A particular group (e) of embodiments relates to compounds of the formula (I), to their stereoisomers, their tautomers and their salts, and likewise to the compounds of groups (1), (2), (2a) and (3) embodiments, wherein the moiety of W.Het represents a radical selected from the group consisting of W.Het-13, wherein Het is selected from the group consisting of radicals of formulae Het-1, Het-4 and Het-7a.

5

10

15

20

25

30

A special group (aa) of embodiments relates to compounds of the formula (I), to their stereoisomers, their tautomers and their salts, and likewise to the compounds of groups (1), (2), (2a) and (3) embodiments, wherein the moiety of W.Het represents a radical selected from the group consisting of W.Het-1, wherein Het is a radical of formula Het-1a.

A further special group (ba) of embodiments relates to compounds of the formula (I), to their stereoisomers, their tautomers and their salts, and likewise to the compounds of groups (1), (2), (2a) and (3) embodiments, wherein the moiety of W.Het represents a radical selected from the group consisting of W.Het-2, wherein Het is a radical of formula Het-1a.

A further special group (ca) of embodiments relates to compounds of the formula (I), to their stereoisomers, their tautomers and their salts, and likewise to the compounds of groups (1), (2), (2a) and (3) embodiments, wherein the moiety of W.Het represents a radical selected from the group consisting of W.Het-3, wherein Het is a radical of formula Het-1a.

A further special group (da) of embodiments relates to compounds of the formula (I), to their stereoisomers, their tautomers and their salts, and likewise to the compounds of groups (1), (2), (2a) and (3) embodiments, wherein the moiety of W.Het represents a radical selected from the group consisting of W.Het-4, wherein Het is a radical of formula Het-1a.

A further special group (ea) of embodiments relates to compounds of the formula (I), to their stereoisomers, their tautomers and their salts, and likewise to the compounds of groups (1), (2), (2a) and (3) embodiments, wherein the moiety of W.Het represents a radical selected from the group consisting of W.Het-13, wherein Het is a radical of formula Het-1a.

In groups (a), (b), (c), (d), (aa), (ba), (ca) and (da) of embodiments the radical  $R^6$  is as defined above and in particular selected from the group consisting of halogen, such as fluorine or chlorine, CN,  $C_1$ - $C_4$ -alkyl, such as methyl, ethyl, n-propyl and isopropyl,  $C_1$ - $C_4$ -haloalkyl, in particular  $C_1$ - $C_2$ -haloalkyl, such as fluoromethyl, difluoromethyl, trifluoromethyl, 1,1-difluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl or 2,2,2-trifluoroethyl,  $C_1$ - $C_4$ -alkoxy, such as methoxy, ethoxy, n-propoxy and isopropoxy, and  $C_1$ - $C_4$ -haloalkoxy, in particular  $C_1$ - $C_2$ -haloalkoxy, such as fluoromethoxy, difluoromethoxy, trifluoromethoxy, 1,1-difluoroethoxy, 2-fluoroethoxy, 2,2-difluoroethoxy or 2,2,2-trifluoroethoxy.

45

Especially preferred are the groups (e) and (ea), wherein the moiety of W.Het represents a radical selected from the group consisting of W.Het-13, wherein Het is a radical selected from the group consisting of formulae Het-1 and Het-1a, in particular Het-1a.

5

10

15

20

25

30

In groups (1), (2), (2a), (3), (a), (b), (c), (d), (e), (aa), (ba), (ca), (da) and (ea) of embodiments the radicals  $R^1$  and  $R^2$  are, independently from each other, in particular selected from the group consisting of hydrogen, halogen, such as fluorine or chlorine, CN,  $C_1$ - $C_6$ -alkyl, in particular  $C_1$ - $C_4$ -alkyl, such as methyl, ethyl, n-propyl or isopropyl,  $C_3$ - $C_6$ -cycloalkyl, such as cyclopropyl or cyclobutyl,  $C_1$ - $C_6$ -haloalkyl, in particular  $C_1$ - $C_2$ -haloalkyl, such as fluoromethyl, difluoromethyl, trifluoromethyl, 1,1-difluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl or 2,2,2-trifluoroethyl, and  $C_3$ - $C_6$ -halocycloalkyl such as 1-fluorocyclopropyl or 2,2-difluorocyclopropyl, or  $R^1$  and  $R^2$  may together be = $CR^{13}R^{14}$ , or  $R^1$  and  $R^2$  form, together with the carbon atom they are attached to, a 3- to 5-membered saturated carbocyclic ring, such as cyclopropyl, cyclobutyl or cyclopentyl.

In groups (1), (2), (2a), (3), (a), (b), (c), (d), (e), (aa), (ba), (ca), (da) and (ea) of embodiments the radicals  $R^1$  and  $R^2$  are, independently of each other, more particularly selected from the group consisting of hydrogen, halogen, cyano,  $C_1$ - $C_3$ -alkyl, such as methyl, ethyl or isopropyl, and  $C_1$ - $C_3$ -haloalkyl such as fluoromethyl, difluoromethyl, trifluoromethyl, 1,1-difluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl or 2,2,2-trifluoroethyl, where in particular at least one of the radicals  $R^1$  and  $R^2$  is hydrogen and where especially both  $R^1$  and  $R^2$  are hydrogen.

In the compounds of formula (I), where the moiety of W.Het is selected from the moieties of formulae W.Het-1, W.Het-2, W.Het-3, W.Het-4, W.Het-5, W.Het-6, W.Het-7, W.Het-8, W.Het-9, W.Het-10, W.Het-11, W.Het-12, W.Het-13, W.Het-14, W.Het-15, W.Het-16 and W.Het 17 and likewise in groups (1), (2), (2a), (3), (a), (b), (c), (d), (e), (aa), (ba), (ca), (da), and (ea) of embodiments, the variables R¹, R², R⁴, R⁵ and Z are as defined above and in particular have the preferred meanings.

In the compounds of formula (I), where the moiety of W.Het is selected from the moieties of formulae W.Het-1, W.Het-2, W.Het-3, W.Het-4, W.Het-5, W.Het-6, W.Het-7, W.Het-8, W.Het-9, W.Het-10, W.Het-11, W.Het-12, W.Het-13, W.Het-14, W.Het-15, W.Het-16 and W.Het 17 and likewise in groups (1), (2), (2a), (3), (a), (b), (c), (d), (e), (aa), (ba), (ca), (da) and (ea) of embodiments, the variables R¹, R² independently of each other or in particular in combination, in particular R¹ and R² are, independently from each other, selected from the group consisting of hydrogen, halogen, such as fluorine or chlorine, CN, C¹-C₆-alkyl, in particular C¹-C₄-alkyl, such as methyl, ethyl, n-propyl or isopropyl, C³-C₆-cycloalkyl, such as cyclopropyl or cyclobutyl, C¹-

 $C_6$ -haloalkyl, in particular  $C_1$ - $C_2$ -haloalkyl, such as fluoromethyl, difluoromethyl, trifluoromethyl, 1,1-difluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl or 2,2,2-trifluoroethyl, or  $C_3$ - $C_6$ -halocycloalkyl such as 1-fluorocyclopropyl or 2,2-difluorocyclopropyl, or  $R^1$  and  $R^2$  may together be = $CR^{13}R^{14}$  or  $R^1$  and  $R^2$  form, together with the carbon atom, which they attached to, a 3- to 5 membered saturated carbocyclic ring such as cyclopropyl, cyclobutyl or cyclopentyl.

In the compounds of formula (I) and likewise in groups (1), (2), (2a), (3), (a), (b), (c), (d), (e), (aa), (ba), (ca), (da) and (ea) of embodiments, X is in particular O.

5

10

15

20

25

30

In the compounds of formula (I) and likewise in groups (1), (2), (2a), (3), (a), (b), (c), (d), (e), (aa), (ba), (ca), (da) and (ea) of embodiments, X is in particular S.

In the compounds of formula (I), and likewise the compounds of groups (1), (2), (2a) and (3) embodiments where the moiety of W.Het is selected from the moieties of formulae W.Het-1, W.Het-2, W.Het-3, W.Het-4, W.Het-5, W.Het-6, W.Het-7, W.Het-8, W.Het-9, W.Het-10, W.Het-11, W.Het-12, W.Het-13, W.Het-14, W.Het-15, W.Het-16 and W.Het 17 and likewise in groups (1), (2), (2a), (3), (a), (b), (c), (d), (e), (f), (aa), (ba), (ca), (da) and (ea) of embodiments, the variables  $R^1$ ,  $R^2$ , independently of each other or in particular in combination, more particularly  $R^1$  and  $R^2$  are, independently from each other, selected from the group consisting of hydrogen, halogen, cyano,  $C_1$ - $C_3$ -alkyl, such as methyl, ethyl or isopropyl, or  $C_1$ - $C_3$ -haloalkyl such as fluoromethyl, difluoromethyl, trifluoromethyl, 1,1-difluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl or 2,2,2-trifluoroethyl, where in particular at least one of the radicals  $R^1$  and  $R^2$  is hydrogen and where especially both  $R^1$  and  $R^2$  are hydrogen.

Apart from that, the variables R<sup>7</sup>, R<sup>7a</sup>, R<sup>8</sup>, R<sup>9a</sup>, R<sup>9b</sup>, R<sup>10</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>13</sup>, R<sup>14</sup>, R<sup>16</sup>, R<sup>17</sup>, R<sup>17a</sup>, R<sup>17b</sup>, R<sup>18</sup> and R<sup>19</sup> irrespectively of their occurrence, in particular have the following meanings, if not stated otherwise:

 $R^7$  irrespectively of its occurrence, is selected from the group consisting of CN,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_6$ -haloalkoxy,  $C_3$ - $C_6$ -cycloalkyl,  $C_3$ - $C_6$ -halocycloalkyl,  $C_1$ - $C_6$ -alkylthio,  $C_1$ - $C_6$ -haloalkylthio,  $C_1$ - $C_6$ -alkylsulfinyl,  $C_1$ - $C_6$ -alkylsulfonyl,  $C_1$ - $C_6$ -haloalkylsulfonyl,  $C_1$ - $C_6$ -alkylcarbonyl,  $C_1$ - $C_6$ -haloalkylcarbonyl,  $C_1$ - $C_6$ -alkoxycarbonyl,  $C_1$ - $C_6$ -haloalkoxycarbonyl.

 $R^{7a}$  irrespectively of its occurrence, is selected from the group consisting of hydrogen,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -haloalkyl,  $C_3$ - $C_6$ -cycloalkyl,  $C_3$ - $C_6$ -cycloalkyl- $C_1$ - $C_4$ -alkyl, phenyl and benzyl, where the phenyl ring in the last two radicals is unsubstitued or substituted by 1, 2 or 3 identical or different radicals selected from the group consisting of halogen, such as chlorine or fluorine, CN,  $C_1$ - $C_4$ -alkyl, such as methyl, ethyl, n-propyl and isopropyl,  $C_1$ - $C_4$ -haloalkyl, in particular  $C_1$ - $C_2$ -haloalkyl, such as fluoromethyl, difluoromethyl, trifluoromethyl,

1,1-difluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl or 2,2,2-trifluoroethyl,  $C_1$ - $C_4$ -alkoxy, such as methoxy, ethoxy, n-propoxy and isopropoxy, and  $C_1$ - $C_4$ -haloalkoxy, in particular  $C_1$ - $C_2$ -haloalkoxy, such as fluoromethoxy, difluoromethoxy, trifluoromethoxy, 1,1-difluoroethoxy, 2-fluoroethoxy, 2,2-difluoroethoxy or 2,2,2-trifluoroethoxy.

5

10

15

20

25

30

R<sup>8</sup> irrespectively of its occurrence, is selected from the group consisting of hydrogen C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, phenyl and benzyl, where the phenyl ring in the last two radicals is unsubstituted or substituted by 1, 2 or 3 identical or different radicals selected from the group consisting of halogen, such as chlorine or fluorine, CN, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, in particular C<sub>1</sub>-C<sub>2</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, and C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, in particular C<sub>1</sub>-C<sub>2</sub>-haloalkoxy.

R<sup>9a</sup> and R<sup>9b</sup> irrespectively of their occurrence, are preferably selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, and C<sub>1</sub>-C<sub>4</sub>-haloalkyl, or NR<sup>9a</sup>R<sup>9b</sup> may also be a saturated N-bound 3-, 4-, 5- or 6-membered heterocycle, which in addition to the nitrogen atom may have 1 further heteroatom as ring members, which is selected from O and N and where the N-bound 3-, 4-, 5- or 6-membered heterocycle may be unsubstituted or carry 1, 2, 3 or 4 radicals selected from C<sub>1</sub>-C<sub>4</sub>-alkyl and C<sub>1</sub>-C<sub>4</sub>-haloalkyl. Examples of such heterocyclic radicals NR<sup>9a</sup>R<sup>9b</sup> include, but are not limited to 1-pyrrolidinyl, 1-piperidinyl, 1-piperazinly, 4-methyl-1-piperazinly and 4-morpholinyl.

 $R^{10}$  irrespectively of its occurrence, is selected from the group consisting of halogen, such as chlorine or fluorine, CN,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -haloalkyl, in particular  $C_1$ - $C_2$ -haloalkyl,  $C_1$ - $C_4$ -haloalkoxy, and  $C_1$ - $C_4$ -haloalkoxy, in particular  $C_1$ - $C_2$ -haloalkoxy.

 $R^{11}$ ,  $R^{12}$  independently of their occurrence, are selected from the group consisting of  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkoxy,  $C_3$ - $C_8$ -cycloalkyl,  $C_3$ - $C_8$ -cycloalkyl- $C_1$ - $C_4$ -alkyl, phenyl and benzyl, where the phenyl rings in last two radicals are unsubstituted or substituted with 1, 2, or 3 identical or different radicals selected from fluorine, chlorine,  $C_1$ - $C_3$ -alkyl,  $C_1$ - $C_2$ -haloalkyl,  $C_1$ - $C_2$ -alkoxy and  $C_1$ - $C_2$ -haloalkoxy.

R<sup>13</sup>, R<sup>14</sup> independently of their occurrence, are selected from the group consisting of hydrogen, fluorine, CN, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, and phenyl.

 $R^{16}$  irrespectively of its occurrence, is selected from the group consisting of hydrogen,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -haloalkyl,  $C_3$ - $C_6$ -cycloalkyl,  $C_3$ - $C_6$ -cycloalkyl- $C_1$ - $C_4$ -alkyl, phenyl and benzyl, where the phenyl ring in the last two radicals is unsubstitued or substituted by 1, 2 or 3 identical or different radicals selected from the group consisting of halogen, CN,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -haloalkyl, in particular  $C_1$ - $C_2$ -haloalkyl,  $C_1$ - $C_4$ -alkoxy, and  $C_1$ - $C_4$ -haloalkoxy.

 $R^{17}$  irrespectively of its occurrence, is selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_4$ -haloalkyl,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_4$ -haloalkoxy,  $C_3$ - $C_6$ -alkenyl,  $C_3$ - $C_6$ -cycloalkyl- $C_1$ - $C_4$ -alkyl, phenyl and benzyl, where the phenyl ring in the last two radicals is unsubstitued or substituted by 1, 2 or 3 identical or different radicals selected from the group consisting of halogen, such as chlorine or fluorine, CN,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -haloalkyl, in particular  $C_1$ - $C_2$ -haloalkyl,  $C_1$ - $C_4$ -alkoxy, and  $C_1$ - $C_4$ -haloalkoxy, in particular  $C_1$ - $C_2$ -haloalkoxy.

5

10

15

20

25

R<sup>17a</sup> and R<sup>17b</sup> irrespectively of their occurrence, are preferably selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, and C<sub>1</sub>-C<sub>4</sub>-haloalkyl, or NR<sup>17a</sup>R<sup>17b</sup> may also be a saturated N-bound 3-, 4-, 5- or 6-membered heterocycle, which in addition to the nitrogen atom may have 1 further heteroatom as ring members, which is selected from O and N and where the N-bound 3-, 4-, 5- or 6-membered heterocycle may be unsubstituted or carry 1, 2, 3 or 4 radicals selected from C<sub>1</sub>-C<sub>4</sub>-alkyl and C<sub>1</sub>-C<sub>4</sub>-haloalkyl. Examples of such heterocyclic radicals NR<sup>17a</sup>R<sup>17b</sup> include, but are not limited to 1-pyrrolidinyl, 1-piperidinyl, 1-piperazinly, 4-methyl-1-piperazinly and 4-morpholinyl.

 $R^{18}$  irrespectively of its occurrence, is selected from the group consisting of  $C_1$ - $C_4$ -alkyl and  $C_1$ - $C_4$ -alkoxy.

 $R^{19}$  irrespectively of its occurrence, is selected from the group consisting of CN,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_6$ -haloalkoxy,  $C_3$ - $C_6$ -cycloalkyl,  $C_3$ - $C_6$ -halocycloalkyl,  $C_1$ - $C_6$ -alkylthio,  $C_1$ - $C_6$ -haloalkylthio,  $C_1$ - $C_6$ -alkylsulfinyl,  $C_1$ - $C_6$ -haloalkylsulfinyl,  $C_1$ - $C_6$ -alkylsulfonyl,  $C_1$ - $C_6$ -haloalkylsulfonyl,  $C_1$ - $C_6$ -alkoxycarbonyl,  $C_1$ - $C_6$ -haloalkoxycarbonyl.

A special group of embodiments relates to the compounds of formulae Ia.1 to Ia.8, wherein R³a, R⁴, R⁵, and R⁶a have one of the meanings given above. Examples of preferred compounds are the individual compounds compiled in the tables 1 to 815 below. Moreover, the meanings mentioned below for the individual variables in the tables are per se, independently of the combination in which they are mentioned, a particularly preferred embodiment of the substituents in question.

(la.8)

49

5

Table 1

(la.7)

Compounds of the formula Ia.1, in which R<sup>4</sup> is hydrogen, R<sup>5</sup> is hydrogen, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 2

10 Compounds of the formula Ia.1, in which R<sup>4</sup> is CH<sub>3</sub>, R<sup>5</sup> is hydrogen, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 3

Compounds of the formula Ia.1, in which  $R^4$  is  $CH_2CH_3$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

50

Table 4

Compounds of the formula Ia.1, in which R<sup>4</sup> is CN, R<sup>5</sup> is hydrogen, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 5

5 Compounds of the formula Ia.1, in which R<sup>4</sup> is OCH<sub>3</sub>, R<sup>5</sup> is hydrogen, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 6

Compounds of the formula Ia.1, in which R<sup>4</sup> is OCH<sub>2</sub>CH<sub>3</sub>, R<sup>5</sup> is hydrogen, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 7

10

15

25

30

Compounds of the formula la.1, in which  $R^4$  is  $OCH_2CH_2CH_3$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 8

Compounds of the formula Ia.1, in which  $R^4$  is O-CH(CH<sub>3</sub>)<sub>2</sub>,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A. Table 9

Compounds of the formula Ia.1, in which  $R^4$  is  $Si(CH_3)_3$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 10

Compounds of the formula Ia.1, in which R<sup>4</sup> is Si(CH<sub>2</sub>CH<sub>3</sub>)<sub>3</sub>, R<sup>5</sup> is hydrogen, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 11

Compounds of the formula Ia.1, in which R<sup>4</sup> is Si(CH<sub>3</sub>)<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>, R<sup>5</sup> is hydrogen, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 12

Compounds of the formula Ia.1, in which  $R^4$  is -C=C-H,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 13

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - CH_3$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 14

Compounds of the formula Ia.1, in which  $R^4$  is  $-C = C - CH_2CH_3$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 15

35 Compounds of the formula Ia.1, in which R⁴ is -C≡C-CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, R⁵ is hydrogen, and the combination of R³a and R⁶a for a compound corresponds in each case to one row of Table A.

51

Table 16

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - CH(CH_3)_2$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 17

5 Compounds of the formula Ia.1, in which R⁴ is -C≡C-CH₂CH₂CH₂CH₃, R⁵ is hydrogen, and the combination of R³a and R⁶a for a compound corresponds in each case to one row of Table A.

Table 18

Compounds of the formula Ia.1, in which  $R^4$  is  $-C = C - OCH_3$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 19

10

15

20

30

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - OCH_2CH_3$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A. Table 20

Compounds of the formula Ia.1, in which R⁴ is -C≡C-OCH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, R⁵ is hydrogen, and the combination of R³a and R⁶a for a compound corresponds in each case to one row of Table A. Table 21

Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-OCH(CH<sub>3</sub>)<sub>2</sub>, R<sup>5</sup> is hydrogen, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A. Table 22

Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-SCH<sub>3</sub>, R<sup>5</sup> is hydrogen, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 23

Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-SCH<sub>2</sub>CH<sub>3</sub>, R<sup>5</sup> is hydrogen, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

25 Table 24

Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-SCH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, R<sup>5</sup> is hydrogen, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A. Table 25

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C-SCH(CH_3)_2$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A. Table 26

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C-S(O)-CH_3$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

35 Compounds of the formula Ia.1, in which R⁴ is -C≡C-S(O)-CH₂CH₃, R⁵ is hydrogen, and the combination of R³a and R⁶a for a compound corresponds in each case to one row of Table A.

Table 28

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - S(O) - CH_2CH_2CH_3$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 29

5 Compounds of the formula Ia.1, in which R⁴ is -C≡C-S(O)-CH(CH₃)₂, R⁵ is hydrogen, and the combination of R³a and R⁶a for a compound corresponds in each case to one row of Table A. Table 30

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - S(O)_2 - CH_3$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 31

10

15

20

30

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - S(O)_2 - CH_2CH_3$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A. Table 32

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - S(O)_2 - CH_2CH_2CH_3$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A. Table 33

Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-S(O)<sub>2</sub>-CH(CH<sub>3</sub>)<sub>2</sub>, R<sup>5</sup> is hydrogen, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 34

Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-C(O)-CH<sub>3</sub>, R<sup>5</sup> is hydrogen, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A. Table 35

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - C(O) - CH_2CH_3$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

25 Table 36

Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-C(O)-CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, R<sup>5</sup> is hydrogen, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 37

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - C(O) - CH(CH_3)_2$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A. Table 38

Compounds of the formula Ia.1, in which R⁴ is -C≡C-C(O)O-CH₃, R⁵ is hydrogen, and the combination of R³a and R⁶a for a compound corresponds in each case to one row of Table A. Table 39

35 Compounds of the formula Ia.1, in which R⁴ is -C≡C-C(O)-O-CH₂CH₃, R⁵ is hydrogen, and the combination of R³a and R⁶a for a compound corresponds in each case to one row of Table A.

Table 40

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - C(O)O - CH_2CH_2CH_3$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 41

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - C(O)O - CH(CH_3)_2$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 42

Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-CH<sub>2</sub>CI, R<sup>5</sup> is hydrogen, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 43

10

15

20

25

30

Compounds of the formula Ia.1, in which  $R^4$  is- $C \equiv C - (CH_2)_2 CI$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A. Table 44

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - (CH_2)_3 CI$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A. Table 45

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - (CH_2)_4 CI$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A. Table 46

Compounds of the formula Ia.1, in which R⁴ is C≡C-CH₂-CN, R⁵ is hydrogen, and the combination of R³a and R⁶a for a compound corresponds in each case to one row of Table A. Table 47

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - (CH_2)_2 - CN$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 48

Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-(CH<sub>2</sub>)<sub>3</sub>-CN, R<sup>5</sup> is hydrogen, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A. Table 49

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - (CH_2)_4 - CN$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A. Table 50

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - OCF_3$ ,  $R^5$  is hydrogen, and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 51

Compounds of the formula Ia.1, in which R<sup>4</sup> is hydrogen, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

54

Table 52

WO 2016/198611

Compounds of the formula Ia.1, in which  $R^4$  is  $CH_3$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 53

5 Compounds of the formula Ia.1, in which R<sup>4</sup> is CH<sub>2</sub>CH<sub>3</sub>, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 54

Compounds of the formula Ia.1, in which R<sup>4</sup> is CN, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

10 Table 55

15

30

Compounds of the formula Ia.1, in which  $R^4$  is  $OCH_3$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 56

Compounds of the formula Ia.1, in which R<sup>4</sup> is OCH<sub>2</sub>CH<sub>3</sub>, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 57

Compounds of the formula Ia.1, in which R<sup>4</sup> is OCH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 58

20 Compounds of the formula Ia.1, in which R<sup>4</sup> is O-CH(CH<sub>3</sub>)<sub>2</sub>, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 59

Compounds of the formula Ia.1, in which R<sup>4</sup> is Si(CH<sub>3</sub>)<sub>3</sub>, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

25 Table 60

Compounds of the formula Ia.1, in which R<sup>4</sup> is Si(CH<sub>2</sub>CH<sub>3</sub>)<sub>3</sub>, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 61

Compounds of the formula Ia.1, in which R<sup>4</sup> is Si(CH<sub>3</sub>)<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 62

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C-H$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 63

35 Compounds of the formula Ia.1, in which R⁴ is -C≡C-CH₃, R⁵ is CH₃, and the combination of R³a and R⁶a for a compound corresponds in each case to one row of Table A.

55

Table 64

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - CH_2CH_3$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 65

5 Compounds of the formula Ia.1, in which R⁴ is -C≡C-CH₂CH₂CH₃, R⁵ is CH₃, and the combination of R³a and R⁶a for a compound corresponds in each case to one row of Table A.

Table 66

Compounds of the formula Ia.1, in which  $R^4$  is  $-C = C - CH(CH_3)_2$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

10 Table 67

15

30

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - CH_2CH_2CH_2CH_3$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 68

Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-OCH<sub>3</sub>, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 69

Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-OCH<sub>2</sub>CH<sub>3</sub>, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 70

20 Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-OCH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 71

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - OCH(CH_3)_2$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

25 Table 72

Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-SCH<sub>3</sub>, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 73

Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-SCH<sub>2</sub>CH<sub>3</sub>, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 74

Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-SCH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 75

35 Compounds of the formula Ia.1, in which R⁴ is -C≡C-SCH(CH<sub>3</sub>)<sub>2</sub>, R⁵ is CH<sub>3</sub>, and the combination of R³a and R⁶a for a compound corresponds in each case to one row of Table A.

56

Table 76

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - S(O) - CH_3$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 77

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - S(O) - CH_2CH_3$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 78

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C-S(O)-CH_2CH_2CH_3$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

10 Table 79

15

25

30

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C-S(O)-CH(CH_3)_2$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A. Table 80

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - S(O)_2 - CH_3$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 81

Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-S(O)<sub>2</sub>-CH<sub>2</sub>CH<sub>3</sub>, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A. Table 82

Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-S(O)<sub>2</sub>-CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A. Table 83

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C-S(O)_2-CH(CH_3)_2$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 84

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - C(O) - CH_3$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 85

Compounds of the formula Ia.1, in which R⁴ is -C≡C-C(O)-CH₂CH₃, R⁵ is CH₃, and the combination of R³a and R⁶a for a compound corresponds in each case to one row of Table A. Table 86

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - C(O) - CH_2CH_2CH_3$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

35 Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-C(O)-CH(CH<sub>3</sub>)<sub>2</sub>, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 88

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - C(O)O - CH_3$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 89

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - C(O) - O - CH_2CH_3$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 90

Compounds of the formula Ia.1, in which  $R^4$  is  $-C = C - C(O)O - CH_2CH_2CH_3$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

10 Table 91

15

30

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - C(O)O - CH(CH_3)_2$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 92

Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-CH<sub>2</sub>CI, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 93

Compounds of the formula Ia.1, in which  $R^4$  is- $C = C - (CH_2)_2 CI$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 94

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - (CH_2)_3 CI$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 95

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - (CH_2)_4 CI$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

25 Table 96

Compounds of the formula Ia.1, in which  $R^4$  is  $C = C - CH_2 - CN$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 97

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - (CH_2)_2 - CN$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 98

Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-(CH<sub>2</sub>)<sub>3</sub>-CN, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table 99

Compounds of the formula Ia.1, in which R<sup>4</sup> is -C≡C-(CH<sub>2</sub>)<sub>4</sub>-CN, R<sup>5</sup> is CH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

WO 2016/198611

58

PCT/EP2016/063316

Table 100

Compounds of the formula Ia.1, in which  $R^4$  is  $-C \equiv C - OCF_3$ ,  $R^5$  is  $CH_3$ , and the combination of  $R^{3a}$  and  $R^{6a}$  for a compound corresponds in each case to one row of Table A.

Table 101

5

10

15

25

30

35

Compounds of the formula Ia.1, in which R<sup>4</sup> is hydrogen, R<sup>5</sup> is OCH<sub>3</sub>, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Tables 102 to 203

Compounds of the formula Ia.2 in which R<sup>4</sup> and R<sup>5</sup> have one of the meanings given in tables 1 to 101, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Tables 204 to 305

Compounds of the formula Ia.3 in which R<sup>4</sup> and R<sup>5</sup> have one of the meanings given in tables 1 to 101, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Tables 306 to 407

Compounds of the formula Ia.4 in which R<sup>4</sup> and R<sup>5</sup> have one of the meanings given in tables 1 to 101, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

20 Tables 408 to 509

Compounds of the formula Ia.5 in which R<sup>4</sup> and R<sup>5</sup> have one of the meanings given in tables 1 to 101, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Tables 510 to 611

Compounds of the formula Ia.6 in which R<sup>4</sup> and R<sup>5</sup> have one of the meanings given in tables 1 to 101, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Tables 612 to 713

Compounds of the formula Ia.7 in which R<sup>4</sup> and R<sup>5</sup> have one of the meanings given in tables 1 to 101, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Tables 714 to 815

Compounds of the formula Ia.8 in which R<sup>4</sup> and R<sup>5</sup> have one of the meanings given in tables 1 to 101, and the combination of R<sup>3a</sup> and R<sup>6a</sup> for a compound corresponds in each case to one row of Table A.

Table A:

R <sup>3a</sup>	R <sup>6a</sup>
Н	CF <sub>3</sub>
Н	CI
Н	Br
CH <sub>3</sub>	CF <sub>3</sub>
CH <sub>3</sub>	CI
CH <sub>3</sub>	Br
CF <sub>3</sub>	CF <sub>3</sub>
CF <sub>3</sub>	CI
CF <sub>3</sub>	Br
CH <sub>2</sub> F	CF <sub>3</sub>
CH <sub>2</sub> F	CI
CH <sub>2</sub> F	Br
CHF <sub>2</sub>	CF <sub>3</sub>
CHF <sub>2</sub>	CI
CHF <sub>2</sub>	Br
CH <sub>2</sub> CH <sub>3</sub>	CF <sub>3</sub>
CH <sub>2</sub> CH <sub>3</sub>	Cl
CH <sub>2</sub> CH <sub>3</sub>	Br
CH <sub>2</sub> CF <sub>3</sub>	CF <sub>3</sub>
CH <sub>2</sub> CH <sub>3</sub>	CI
CH <sub>2</sub> CH <sub>3</sub>	Br
	H H CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CF <sub>3</sub> CF <sub>3</sub> CF <sub>3</sub> CF <sub>3</sub> CH <sub>2</sub> F CH <sub>2</sub> F CH <sub>2</sub> F CHF <sub>2</sub> CHF <sub>2</sub> CHF <sub>2</sub> CHF <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub> CH <sub>2</sub> CH <sub>3</sub> CH <sub>2</sub> CH <sub>3</sub> CH <sub>2</sub> CH <sub>3</sub>

Among the above compounds, preference is given to compounds Ia.1, Ia.3 and Ia.5, and especially to compounds Ia.1.

## 5 Preparation method

10

The compounds of formula (I) according to the present invention can be prepared e.g. according the preparation methods and preparation schemes as described below. Compounds of formula (I) according to the present invention can be prepared by standard methods of organic chemistry e.g. by the preparation methods and preparation schemes as described below. The definitions of Het, X, Z, R<sup>1</sup>, R<sup>2</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup> and k of the molecular structures given in

60

the schemes are as defined above. Room temperature means a temperature range between about 20 and 25  $^{\circ}$ C.

An examples of a general method for the preparation of compounds of formula (I) is shown below in Scheme A. Thus, construction of the heterocyclic element 3 present in 5 compounds of formula (I) can be achieved, for example, by alkylation of the appropriate aminoheterocycle precursor 1 with the appropriate reagent of formula 2. The transformation is preferably carried out in polar solvents such as acetonitrile, acetone, 1,4-dioxane, tetrahydrofuran, N,N-dimethylformamide, N,N-dimethylacetamide, N-methylpyrolidinone or a C<sub>1</sub>-C<sub>6</sub> alcohol or inert solvents such as: dichloromethane, 1,2-dichloroethane, 10 1,2-dimethoxyethane, carbon tetrachloride, tetrahydrofuran, toluene, xylenes, mesitylene, cymene, tetralone ranging between room temperature and the reflux temperature of the solvent. Representative reaction conditions for the alkylation of compounds analogous to formula 1 are given in Tett. Lett. 2011, 52(23), 3033-3037. Examples of suitable leaving groups (LG) in formula 2 include, but are not limited to: halogen, alkyl sulfonate, haloalkyl sulfonate, aryl 15 sulfonate, alkyl phosphoante. The synthesis of compounds of formula 5 can be achieved by acylation of the amine functionality in compounds of formula 3 using carboxylic acid derivatives 4 which may or may not be activated in situ. The transformation is preferably carried out in polar solvents such as acetonitrile, acetone, 1,4-dioxane, tetrahydrofuran, N,N-dimethylformamide, N,N-dimethylacetamide, N-methylpyrolidinone or in an inert solvent such as dichloromethane, 20 1,2-dichloroethane, or 1,2-dimethoxyethane at temperatures ranging between room temperature and the reflux temperature of the solvent. A representative procedure conditions for the acylation is given in Journal of Medicinal Chemistry, 2012, 55, 7378-7391. Examples of suitable leaving groups (LG2) in formula 4 include, but are not limited to: halogen, alkyl sulfonate, haloalkyl sulfonate, aryl sulfonate, alkyl phosphoante, halophosphate and various 25 activated esters derived from the reaction of a free carboxylic acid with a peptide coupling reagent in the presence of an amine base (Chem. Rev., 2011, 111 (11), 6557-6602). A reversal of the order of these two steps would also result in an acceptable synthesis of the desired compounds.

Sheme A:

30

A reversal of the order of these two steps would result in an acceptable synthesis of the desired compounds and is described in scheme B.

Scheme B:

5

10

15

20

Thus, construction of the heterocyclic element 3 present in compounds of formula (I) can be achieved, for example, by alkylation of the appropriate 2-amino heterocycle precursor 1 with the appropriate reagent of formula 2. The transformation is preferably carried out in polar solvents such as acetonitrile, acetone, dichloromethane, 1,4-dioxane, tetrahydrofuran, N,N-dimethylformamide, N,N-dimethylacetamide, N-methylpyrolidinone or a C<sub>1</sub>-C<sub>6</sub> alcohol ranging between room temperature and the reflux temperature of the solvent. Representative reaction conditions for the alkylation of compounds analogous to formula 1 are given in Tett. Lett. 2011, 52(23), 3033-3037. The synthesis of compounds of formula 5 can be achieved by acylation of the amine functionality in compounds of formula 3 using carboxylic acid derivatives 4 which are activated in situ. The transformation is preferably carried out in polar solvents such as acetonitrile, acetone, 1,4-dioxane, tetrahydrofuran, N,N-dimethylformamide, N.N-dimethylacetamide, N-methylpyrolidinone or in an inert solvent such as dichloromethane, 1,2-dichloroethane, or 1,2-dimethoxyethane at temperatures ranging between room temperature and the reflux temperature of the solvent. A representative procedure conditions for the acylation is given in Journal of Medicinal Chemistry, 2012, 55, 7378-7391. Examples of suitable leaving groups (LG) in formula 2 include, but are not limited to: halogen, alkyl sulfonate, haloalkyl sulfonate, aryl sulfonate, alkyl phosphoante. Examples of suitable leaving groups

(LG²) in formula **4** include, but are not limited to: halogen, alkyl sulfonate, haloalkyl sulfonate, aryl sulfonate, alkyl phosphoante and various activated esters derived from the reaction of a free carboxylic acid with a peptide coupling reagent in the presence of an amine base; representative reaction conditions can be found in the following review, and the references therein: Chem. Rev., 2011, 111 (11), 6557-6602.

In the case where X is a sulfur atom, the sulfur atom is best installed in a subsequent step from the compound where X is an oxygen atom as detailed in scheme C.

Scheme C:

10

15

20

25

5

Here, the carbonyl compound **5** is transformed into the thiocarbonyl compound **7**. The transformation is preferably carried out using a reagent of substructure **8** in polar solvents such as acetonitrile, acetone, tetrahydrofuran, N,N-dimethylformamide, or in an inert solvent such as dichloromethane, 1,2-dichloroethane, or 1,2-dimethoxyethane at temperatures ranging between room temperature and the reflux temperature of the solvent. Suitable **R**<sup>P</sup> groups in compounds of formula **8** are: thio, C<sub>1</sub>-C<sub>4</sub>-alkyl, aryl, such as phenyl which is unsubstituted or substituted by 1, 2 or 3 radicals selected from halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl or C<sub>1</sub>-C<sub>4</sub>-alkoxy. Representative reaction conditions for thionation analogous substrates are given in European Journal of Organic Chemistry, 2000, 3273-3278, and Chemical Reviews, 2007, 107, 5210-5278.

If individual compounds cannot be prepared via the above-described routes, they can be prepared by derivatization of other compounds (I) or by customary modifications of the synthesis routes described.

The reaction mixtures are worked up in the customary manner, for example by mixing with water, separating the phases, and, if appropriate, purifying the crude products by chromatography, for example on alumina or silica gel. Some of the intermediates and end products may be obtained in the form of colorless or pale brown viscous oils, which are freed or purified from volatile components under reduced pressure and at moderately elevated

63

temperature. If the intermediates and end products are obtained as solids, they may be purified by recrystallization or digestion.

Due to their excellent activity, the compounds of the present invention may be used for controlling invertebrate pests.

5

10

15

20

25

30

35

Accordingly, the present invention also provides a method for combatting or controlling invertebrate pests which method comprises treating the pests, their food supply, their habitat or their breeding ground or a cultivated plant, plant propagation materials (such as seed), soil, area, material or environment in which the pests are growing or may grow, or the materials, cultivated plants, plant propagation materials (such as seed), soils, surfaces or spaces to be protected from pest attack or infestation with a pesticidally effective amount of a compound of the present invention or a composition as defined above. The invention also relates to the use of a compound of the invention, of a stereoisomer and/or of an agriculturally or veterinarily acceptable salt thereof for combating invertebrate pests

Preferably, the method of the invention serves for protecting plant propagation material (such as seed) and the plant which grows therefrom from invertebrate pest attack or infestation and comprises treating the plant propagation material (such as seed) with a pesticidally effective amount of a compound of the present invention as defined above or with a pesticidally effective amount of an agricultural composition as defined above and below. The method of the invention is not limited to the protection of the "substrate" (plant, plant propagation materials, soil material etc.) which has been treated according to the invention, but also has a preventive effect, thus, for example, according protection to a plant which grows from a treated plant propagation materials (such as seed), the plant itself not having been treated.

Alternatively preferably, the method of the invention serves for protecting plants from attack or infestation by invertebrate pests, which method comprises treating the plants with a pesticidally effective amount of at least one compound of the invention, a stereoisomer thereof and/or at least one agriculturally acceptable salt thereof.

In the sense of the present invention, "invertebrate pests" are preferably selected from arthropods, gastropods and nematodes, more preferably from harmful insects, arachnids and nematodes, and even more preferably from insects, acarids and nematodes. In the sense of the present invention, "invertebrate pests" are most preferably insects.

The invention further provides an agricultural composition for combating invertebrate pests, which comprises such an amount of at least one compound according to the invention and at least one inert liquid and/or solid agronomically acceptable carrier that has a pesticidal action and, if desired, at least one surfactant.

Such a composition may comprise a single active compound of the present invention or a mixture of several active compounds of the present invention. The composition according to the

64

present invention may comprise an individual isomer or mixtures of isomers or a salt as well as individual tautomers or mixtures of tautomers.

## Pests:

5

10

15

20

25

30

The compounds of the present invention, including their salts, stereoisomers and tautomers, are in particular suitable for efficiently controlling animal pests such as arthropods, gastropods and nematodes including but not limited to:

insects from the order of Lepidoptera, for example Achroia grisella, Acleris spp. such as A. fimbriana, A. gloverana, A. variana; Acrolepiopsis assectella, Acronicta major, Adoxophyes spp. such as A. cyrtosema, A. orana; Aedia leucomelas, Agrotis spp. such as A. exclamationis, A. fucosa, A. ipsilon, A. orthogoma, A. segetum, A. subterranea; Alabama argillacea, Aleurodicus dispersus, Alsophila pometaria, Ampelophaga rubiginosa, Amyelois transitella, Anacampsis sarcitella, Anagasta kuehniella, Anarsia lineatella, Anisota senatoria, Antheraea pernyi, Anticarsia (=Thermesia) spp. such as A. gemmatalis; Apamea spp., Aproaerema modicella, Archips spp. such as A. argyrospila, A. fuscocupreanus, A. rosana, A. xyloseanus; Argyresthia conjugella, Argyroploce spp., Argyrotaenia spp. such as A. velutinana; Athetis mindara, Austroasca viridigrisea, Autographa gamma, Autographa nigrisigna, Barathra brassicae, Bedellia spp., Bonagota salubricola, Borbo cinnara, Bucculatrix thurberiella, Bupalus piniarius, Busseola spp., Cacoecia spp. such as C. murinana, C. podana; Cactoblastis cactorum, Cadra cautella, Calingo braziliensis, Caloptilis theivora, Capua reticulana, Carposina spp. such as C. niponensis, C. sasakii; Cephus spp., Chaetocnema aridula, Cheimatobia brumata, Chilo spp. such as C. Indicus, C. suppressalis, C. partellus; Choreutis pariana, Choristoneura spp. such as C. conflictana, C. fumiferana, C. longicellana, C. murinana, C. occidentalis, C. rosaceana; Chrysodeixis (=Pseudoplusia) spp. such as C. eriosoma, C. includens; Cirphis unipuncta, Clysia ambiguella, Cnaphalocerus spp., Cnaphalocrocis medinalis, Cnephasia spp., Cochylis hospes, Coleophora spp., Colias eurytheme, Conopomorpha spp., Conotrachelus spp., Copitarsia spp., Corcyra cephalonica, Crambus caliginosellus, Crambus teterrellus, Crocidosema (=Epinotia) aporema, Cydalima (=Diaphania) perspectalis, Cydia (=Carpocapsa) spp. such as C. pomonella, C. latiferreana; Dalaca noctuides, Datana integerrima, Dasychira pinicola, Dendrolimus spp. such as D. pini, D. spectabilis, D. sibiricus; Desmia funeralis, Diaphania spp. such as D. nitidalis, D. hyalinata; Diatraea grandiosella, Diatraea saccharalis, Diphthera festiva, Earias spp. such as E. insulana, E. vittella; Ecdytolopha aurantianu, Egira (=Xylomyges) curialis, Elasmopalpus lignosellus, Eldana saccharina, Endopiza viteana, Ennomos subsignaria, Eoreuma loftini, Ephestia spp. such as E. cautella, E. elutella, E. kuehniella; Epinotia aporema,

5

10

15

20

25

30

Epiphyas postvittana, Erannis tiliaria, Erionota thrax, Etiella spp., Eulia spp., Eupoecilia ambiguella, Euproctis chrysorrhoea, Euxoa spp., Evetria bouliana, Faronta albilinea, Feltia spp. such as F. subterranean; Galleria mellonella, Gracillaria spp., Grapholita spp. such as G. funebrana, G. molesta, G. inopinata; Halysidota spp., Harrisina americana, Hedylepta spp., Helicoverpa spp. such as H. armigera (=Heliothis armigera), H. zea (=Heliothis zea); Heliothis spp. such as H. assulta, H. subflexa, H. virescens; Hellula spp. such as H. undalis, H. rogatalis; Helocoverpa gelotopoeon, Hemileuca oliviae, Herpetogramma licarsisalis, Hibernia defoliaria, Hofmannophila pseudospretella, Homoeosoma electellum, Homona magnanima, Hypena scabra, Hyphantria cunea, Hyponomeuta padella, Hyponomeuta malinellus, Kakivoria flavofasciata, Keiferia lycopersicella, Lambdina fiscellaria fiscellaria, Lambdina fiscellaria lugubrosa, Lamprosema indicata, Laspeyresia molesta, Leguminivora glycinivorella, Lerodea eufala, Leucinodes orbonalis, Leucoma salicis, Leucoptera spp. such as L. coffeella, L. scitella; Leuminivora lycinivorella, Lithocolletis blancardella, Lithophane antennata, Llattia octo (=Amyna axis), Lobesia botrana, Lophocampa spp., Loxagrotis albicosta, Loxostege spp. such as L. sticticalis, L. cereralis; Lymantria spp. such as L. dispar, L. monacha; Lyonetia clerkella, Lyonetia prunifoliella, Malacosoma spp. such as M. americanum, M. californicum, M. constrictum, M. neustria; Mamestra spp. such as M. brassicae, M. configurata; Mamstra brassicae, Manduca spp. such as M. quinquemaculata, M. sexta; Marasmia spp, Marmara spp., Maruca testulalis, Megalopyge lanata, Melanchra picta, Melanitis leda, Mocis spp. such as M. lapites, M. repanda; Mocis latipes, Monochroa fragariae, Mythimna separata, Nemapogon cloacella, Neoleucinodes elegantalis, Nepytia spp., Nymphula spp., Oiketicus spp., Omiodes indicata, Omphisa anastomosalis, Operophtera brumata, Orgyia pseudotsugata, Oria spp., Orthaga thyrisalis, Ostrinia spp. such as O. nubilalis; Oulema oryzae, Paleacrita vernata, Panolis flammea, Parnara spp., Papaipema nebris, Papilio cresphontes, Paramyelois transitella, Paranthrene regalis, Paysandisia archon, Pectinophora spp. such as P. gossypiella; Peridroma saucia, Perileucoptera spp., such as P. coffeella; Phalera bucephala, Phryganidia californica, Phthorimaea spp. such as P. operculella; Phyllocnistis citrella, Phyllonorycter spp. such as P. blancardella, P. crataegella, P. issikii, P. ringoniella; Pieris spp. such as P. brassicae, P. rapae, P. napi; Pilocrocis tripunctata, Plathypena scabra, Platynota spp. such as P. flavedana, P. idaeusalis, P. stultana; Platyptilia carduidactyla, Plebejus argus, Plodia interpunctella, Plusia spp, Plutella maculipennis, Plutella xylostella, Pontia protodica, Prays spp., Prodenia spp., Proxenus lepigone, Pseudaletia spp. such as P. sequax, P. unipuncta; Pyrausta nubilalis, Rachiplusia nu, Richia albicosta, Rhizobius ventralis, Rhyacionia frustrana, Sabulodes aegrotata, Schizura concinna, Schoenobius spp., Schreckensteinia festaliella, Scirpophaga spp.

66

such as *S. incertulas*, *S. innotata*; *Scotia segetum*, *Sesamia* spp. such as *S. inferens*, *Seudyra subflava*, *Sitotroga cerealella*, *Sparganothis pilleriana*, *Spilonota lechriaspis*, *S. ocellana*, *Spodoptera* (=Lamphygma) spp. such as *S. cosmoides*, *S. eridania*, *S. exigua*, *S. frugiperda*, *S. latisfascia*, *S. littoralis*, *S. litura*, *S. omithogalli*; *Stigmella* spp., *Stomopteryx subsecivella*, *Strymon bazochii*, *Sylepta derogata*, *Synanthedon* spp. such as S. exitiosa, *Tecia solanivora*, *Telehin licus*, *Thaumatopoea pityocampa*, *Thaumatotibia* (=Cryptophlebia) leucotreta, *Thaumetopoea pityocampa*, *Thecla* spp., *Theresimima ampelophaga*, *Thyrinteina* spp, *Tildenia inconspicuella*, *Tinea* spp. such as *T. cloacella*, *T. pellionella*; *Tineola bisselliella*, *Tortrix* spp. such as *T. viridana*; *Trichophaga tapetzella*, *Trichoplusia* spp. such as *T. ni*; *Tuta* (=Scrobipalpula) absoluta, *Udea* spp. such as *U. rubigalis*, *U. rubigalis*; *Virachola* spp., *Yponomeuta padella*, and *Zeiraphera canadensis*;

5

10

15

20

25

30

insects from the order of Coleoptera, for example Acalymma vittatum, Acanthoscehdes obtectus, Adoretus spp., Agelastica alni, Agrilus spp. such as A. anxius, A. planipennis, A. sinuatus; Agriotes spp. such as A. fuscicollis, A. lineatus, A. obscurus; Alphitobius diaperinus, Amphimallus solstitialis, Anisandrus dispar, Anisoplia austriaca, Anobium punctatum, Anomala corpulenta, Anomala rufocuprea, Anoplophora spp. such as A. glabripennis; Anthonomus spp. such as A. eugenii, A. grandis, A. pomorum; Anthrenus spp., Aphthona euphoridae, Apion spp., Apogonia spp., Athous haemorrhoidalis, Atomaria spp. such as A. linearis; Attagenus spp., Aulacophora femoralis, Blastophagus piniperda, Blitophaga undata, Bruchidius obtectus, Bruchus spp. such as B. lentis, B. pisorum, B. rufimanus; Byctiscus betulae, Callidiellum rufipenne, Callopistria floridensis, Callosobruchus chinensis, Cameraria ohridella, Cassida nebulosa, Cerotoma trifurcata, Cetonia aurata, Ceuthorhynchus spp. such as C. assimilis, C. napi; Chaetocnema tibialis, Cleonus mendicus, Conoderus spp. such as C. vespertinus; Conotrachelus nenuphar, Cosmopolites spp., Costelytra zealandica, Crioceris asparagi, Cryptolestes ferrugineus, Cryptorhynchus lapathi, Ctenicera spp. such as C. destructor; Curculio spp., Cylindrocopturus spp., Cyclocephala spp., Dactylispa balyi, Dectes texanus, Dermestes spp., Diabrotica spp. such as D. undecimpunctata, D. speciosa, D. longicornis, D. semipunctata, D. virgifera; Diaprepes abbreviates, Dichocrocis spp., Dicladispa armigera, Diloboderus abderus, Diocalandra frumenti (Diocalandra stigmaticollis), Enaphalodes rufulus, Epilachna spp. such as E. varivestis, E. vigintioctomaculata; Epitrix spp. such as E. hirtipennis, E. similaris; Eutheola humilis, Eutinobothrus brasiliensis, Faustinus cubae, Gibbium psylloides, Gnathocerus cornutus, Hellula undalis, Heteronychus arator, Hylamorpha elegans, Hylobius abietis, Hylotrupes bajulus, Hypera spp. such as H. brunneipennis, H. postica; Hypomeces squamosus, Hypothenemus spp., Ips typographus, Lachnosterna consanguinea, Lasioderma

5

10

15

20

25

30

serricorne, Latheticus oryzae, Lathridius spp., Lema spp. such as L. bilineata, L. melanopus; Leptinotarsa spp. such as L. decemlineata; Leptispa pygmaea, Limonius californicus, Lissorhoptrus oryzophilus, Lixus spp., Luperodes spp., Lyctus spp. such as L. bruneus; Liogenys fuscus, Macrodactylus spp. such as M. subspinosus; Maladera matrida, Megaplatypus mutates, Megascelis spp., Melanotus communis, Meligethes spp. such as M. aeneus; Melolontha spp. such as M. hippocastani, M. melolontha; Metamasius hemipterus, Microtheca spp., Migdolus spp. such as M. fryanus, Monochamus spp. such as M. alternatus; Naupactus xanthographus, Niptus hololeucus, Oberia brevis, Oemona hirta, Oryctes rhinoceros, Oryzaephilus surinamensis, Oryzaphagus oryzae, Otiorrhynchus sulcatus, Otiorrhynchus ovatus, Otiorrhynchus sulcatus, Oulema melanopus, Oulema oryzae, Oxycetonia jucunda, Phaedon spp. such as P. brassicae, P. cochleariae; Phoracantha recurva, Phyllobius pyri, Phyllopertha horticola, Phyllophaga spp. such as P. helleri; Phyllotreta spp. such as P. chrysocephala, P. nemorum, P. striolata, P. vittula; Phyllopertha horticola, Popillia japonica, Premnotrypes spp., Psacothea hilaris, Psylliodes chrysocephala, Prostephanus truncates, Psylliodes spp., Ptinus spp., Pulga saltona, Rhizopertha dominica, Rhynchophorus spp. such as R. billineatus, R. ferrugineus, R. palmarum, R. phoenicis, R. vulneratus; Saperda candida, Scolytus schevyrewi, Scyphophorus acupunctatus, Sitona lineatus, Sitophilus spp. such as S. granaria, S. oryzae, S. zeamais; Sphenophorus spp. such as S. levis; Stegobium paniceum, Sternechus spp. such as S. subsignatus; Strophomorphus ctenotus, Symphyletes spp., Tanymecus spp., Tenebrio molitor, Tenebrioides mauretanicus, Tribolium spp. such as T. castaneum; Trogoderma spp., Tychius spp., Xylotrechus spp. such as X. pyrrhoderus; and, Zabrus spp. such as Z. tenebrioides;

insects from the order of **Diptera** for example *Aedes* spp. such as *A. aegypti*, *A. albopictus*, *A. vexans*; *Anastrepha ludens*, *Anopheles* spp. such as *A. albimanus*, *A. crucians*, *A. freeborni*, *A. gambiae*, *A. leucosphyrus*, *A. maculipennis*, *A. minimus*, *A. quadrimaculatus*, *A. sinensis*; *Bactrocera invadens*, *Bibio hortulanus*, *Calliphora erythrocephala*, *Calliphora vicina*, *Ceratitis capitata*, *Chrysomyia* spp. such as *C. bezziana*, *C. hominivorax*, *C. macellaria*; *Chrysops atlanticus*, *Chrysops discalis*, *Chrysops silacea*, *Cochliomyia* spp. such as *C. hominivorax*; *Contarinia* spp. such as *C. sorghicola*; *Cordylobia anthropophaga*, *Culex* spp. such as *C. nigripalpus*, *C. pipiens*, *C. quinquefasciatus*, *C. tarsalis*, *C. tritaeniorhynchus*; *Culicoides furens*, *Culiseta inornata*, *Culiseta melanura*, *Cuterebra* spp., *Dacus cucurbitae*, *Dacus oleae*, *Dasineura brassicae*, *Dasineura oxycoccana*, *Delia* spp. such as *D. antique*, *D. coarctata*, *D. platura*, *D. radicum*; *Dermatobia hominis*, *Drosophila* spp. such as *D. suzukii*, *Fannia* spp. such as *F. canicularis*; *Gastraphilus* spp. such as *G. intestinalis*; *Geomyza* 

tipunctata, Glossina spp. such as *G. fuscipes, G. morsitans, G. palpalis, G. tachinoides;*Haematobia irritans, Haplodiplosis equestris, Hippelates spp., Hylemyia spp. such as *H. platura;*Hypoderma spp. such as *H. lineata;* Hyppobosca spp., Hydrellia philippina, Leptoconops
torrens, Liriomyza spp. such as *L. sativae, L. trifolii;* Lucilia spp. such as *L. caprina, L. cuprina,*L. sericata; Lycoria pectoralis, Mansonia titillanus, Mayetiola spp. such as *M. destructor;* Musca
spp. such as *M. autumnalis, M. domestica;* Muscina stabulans, Oestrus spp. such as *O. ovis;*Opomyza florum, Oscinella spp. such as *O. frit;* Orseolia oryzae, Pegomya hysocyami,
Phlebotomus argentipes, Phorbia spp. such as *P. antiqua, P. brassicae, P. coarctata;*Phytomyza gymnostoma, Prosimulium mixtum, Psila rosae, Psorophora columbiae, Psorophora
discolor, Rhagoletis spp. such as *R. cerasi, R. cingulate, R. indifferens, R. mendax, R.*pomonella; Rivellia quadrifasciata, Sarcophaga spp. such as *S. haemorrhoidalis;* Simulium
vittatum, Sitodiplosis mosellana, Stomoxys spp. such as *S. calcitrans;* Tabanus spp. such as *T. atratus, T. bovinus, T. lineola, T. similis;* Tannia spp., Thecodiplosis japonensis, Tipula oleracea,
Tipula paludosa, and Wohlfahrtia spp;

5

10

15

20

25

30

insects from the order of **Thysanoptera** for example, *Baliothrips biformis, Dichromothrips* corbetti, *Dichromothrips* ssp., *Echinothrips americanus, Enneothrips flavens, Frankliniella* spp. such as *F. fusca, F. occidentalis, F. tritici; Heliothrips* spp., *Hercinothrips femoralis, Kakothrips* spp., *Microcephalothrips abdominalis, Neohydatothrips samayunkur, Pezothrips kellyanus, Rhipiphorothrips cruentatus, Scirtothrips* spp. such as *S. citri, S. dorsalis, S. perseae; Stenchaetothrips spp, Taeniothrips cardamoni, Taeniothrips inconsequens, Thrips* spp. such as *T. imagines, T. hawaiiensis, T. oryzae, T. palmi, T. parvispinus, T. tabaci;* 

insects from the order of Hemiptera for example, *Acizzia jamatonica*, *Acrosternum* spp. such as *A. hilare*; Acyrthosipon spp. such as *A. onobrychis*, *A. pisum*; *Adelges laricis*, *Adelges tsugae*, *Adelphocoris* spp., such as *A. rapidus*, *A. superbus*; *Aeneolamia* spp., *Agonoscena* spp., *Aulacorthum solani*, *Aleurocanthus woglumi*, *Aleurodes* spp., *Aleurodicus disperses*, *Aleurolobus barodensis*, *Aleurothrixus* spp., *Amrasca* spp., *Anasa tristis*, *Antestiopsis* spp., *Anuraphis cardui*, *Aonidiella* spp., *Aphanostigma piri*, *Aphidula nasturtii*, *Aphis* spp. such as *A. craccivora*, *A. fabae*, *A. forbesi*, *A. gossypii*, *A. grossulariae*, *A. maidiradicis*, *A. pomi*, *A. sambuci*, *A. schneideri*, *A. spiraecola*; *Arboridia apicalis*, *Arilus critatus*, *Aspidiella* spp., *Aspidiotus* spp., *Atanus* spp., *Aulacaspis yasumatsui*, *Aulacorthum solani*, *Bactericera cockerelli* (*Paratrioza cockerelli*), *Bemisia* spp. such as *B. argentifolii*, *B. tabaci* (*Aleurodes tabaci*); *Blissus* spp. such as *B. leucopterus*; *Brachycaudus* spp. such as *B. cardui*, *B. helichrysi*, *B. persicae*, *B. prunicola*; *Brachycolus* spp., *Brachycorynella asparagi*, *Brevicoryne brassicae*, *Cacopsylla* spp. such as *C. fulguralis*, *C. pyricola* (*Psylla piri*); *Calligypona marginata*, *Calocoris* spp.,

Campylomma livida, Capitophorus horni, Carneocephala fulgida, Cavelerius spp., Ceraplastes spp., Ceratovacuna lanigera, Ceroplastes ceriferus, Cerosipha gossypii, Chaetosiphon fragaefolii, Chionaspis tegalensis, Chlorita onukii, Chromaphis juglandicola, Chrysomphalus ficus, Cicadulina mbila, Cimex spp. such as C. hemipterus, C. lectularius; Coccomytilus halli, Coccus spp. such as C. hesperidum, C. pseudomagnoliarum, Corythucha arcuata, Creontiades 5 dilutus, Cryptomyzus ribis, Chrysomphalus aonidum, Cryptomyzus ribis, Ctenarytaina spatulata, Cyrtopeltis notatus, Dalbulus spp., Dasynus piperis, Dialeurodes spp. such as D. citrifolii; Dalbulus maidis, Diaphorina spp. such as D. citri; Diaspis spp. such as D. bromeliae; Dichelops furcatus, Diconocoris hewetti, Doralis spp., Dreyfusia nordmannianae, Dreyfusia piceae, 10 Drosicha spp., Dysaphis spp. such as D. plantaginea, D. pyri, D. radicola; Dysaulacorthum pseudosolani, Dysdercus spp. such as D. cingulatus, D. intermedius; Dysmicoccus spp., Edessa spp., Geocoris spp., Empoasca spp. such as E. fabae, E. solana; Epidiaspis leperii, Eriosoma spp. such as E. lanigerum, E. pyricola; Erythroneura spp., Eurygaster spp. such as E. integriceps; Euscelis bilobatus, Euschistus spp. such as E. heros, E. impictiventris, E. servus; 15 Fiorinia theae, Geococcus coffeae, Glycaspis brimblecombei, Halyomorpha spp. such as H. halys; Heliopeltis spp., Homalodisca vitripennis (=H. coagulata), Horcias nobilellus, Hyalopterus pruni, Hyperomyzus lactucae, Icerya spp. such as I. purchase; Idiocerus spp., Idioscopus spp., Laodelphax striatellus, Lecanium spp., Lecanoideus floccissimus, Lepidosaphes spp. such as L. ulmi; Leptocorisa spp., Leptoglossus phyllopus, Lipaphis erysimi, Lygus spp. such as L. 20 hesperus, L. lineolaris, L. pratensis; Maconellicoccus hirsutus, Marchalina hellenica, Macropes excavatus, Macrosiphum spp. such as M. rosae, M. avenae, M. euphorbiae; Macrosteles quadrilineatus, Mahanarva fimbriolata, Megacopta cribraria, Megoura viciae, Melanaphis pyrarius, Melanaphis sacchari, Melanocallis (=Tinocallis) caryaefoliae, Metcafiella spp., Metopolophium dirhodum, Monellia costalis, Monelliopsis pecanis, Myzocallis coryli, Murgantia 25 spp., Myzus spp. such as M. ascalonicus, M. cerasi, M. nicotianae, M. persicae, M. varians; Nasonovia ribis-nigri, Neotoxoptera formosana, Neomegalotomus spp, Nephotettix spp. such as N. malayanus, N. nigropictus, N. parvus, N. virescens; Nezara spp. such as N. viridula; Nilaparvata lugens, Nysius huttoni, Oebalus spp. such as O. pugnax; Oncometopia spp., Orthezia praelonga, Oxycaraenus hyalinipennis, Parabemisia myricae, Parlatoria spp., Parthenolecanium spp. such as P. corni, P. persicae; Pemphigus spp. such as P. bursarius, P. 30 populivenae; Peregrinus maidis, Perkinsiella saccharicida, Phenacoccus spp. such as P. aceris, P. gossypii; Phloeomyzus passerinii, Phorodon humuli, Phylloxera spp. such as P. devastatrix,

Piesma quadrata, Piezodorus spp. such as P. guildinii; Pinnaspis aspidistrae, Planococcus spp.

such as P. citri, P. ficus; Prosapia bicincta, Protopulvinaria pyriformis, Psallus seriatus,

70

Pseudacysta persea, Pseudaulacaspis pentagona, Pseudococcus spp. such as P. comstocki; Psylla spp. such as P. mali; Pteromalus spp., Pulvinaria amygdali, Pyrilla spp., Quadraspidiotus spp., such as Q. perniciosus; Quesada gigas, Rastrococcus spp., Reduvius senilis, Rhizoecus americanus, Rhodnius spp., Rhopalomyzus ascalonicus, Rhopalosiphum spp. such as R. pseudobrassicas, R. insertum, R. maidis, R. padi; Sagatodes spp., Sahlbergella singularis, Saissetia spp., Sappaphis mala, Sappaphis mali, Scaptocoris spp., Scaphoides titanus, Schizaphis graminum, Schizoneura lanuginosa, Scotinophora spp., Selenaspidus articulatus, Sitobion avenae, Sogata spp., Sogatella furcifera, Solubea insularis, Spissistilus festinus (=Stictocephala festina), Stephanitis nashi, Stephanitis pyrioides, Stephanitis takeyai, Tenalaphara malayensis, Tetraleurodes perseae, Therioaphis maculate, Thyanta spp. such as T. accerra, T. perditor; Tibraca spp., Tomaspis spp., Toxoptera spp. such as T. aurantii; Trialeurodes spp., unaspis spp. such as T. abutilonea, T. ricini, T. vaporariorum; Triatoma spp., Trioza spp., Typhlocyba spp., Unaspis spp. such as U. citri, U. yanonensis; and Viteus vitifolii,

5

10

15

20

25

30

Insects from the order Hymenoptera for example Acanthomyops interjectus, Athalia rosae, Atta spp. such as A. capiguara, A. cephalotes, A. cephalotes, A. laevigata, A. robusta, A. sexdens, A. texana, Bombus spp., Brachymyrmex spp., Camponotus spp. such as C. floridanus, C. pennsylvanicus, C. modoc; Cardiocondyla nuda, Chalibion sp, Crematogaster spp., Dasymutilla occidentalis, Diprion spp., Dolichovespula maculata, Dorymyrmex spp., Dryocosmus kuriphilus, Formica spp., Hoplocampa spp. such as H. minuta, H. testudinea; Iridomyrmex humilis, Lasius spp. such as L. niger, Linepithema humile, Liometopum spp., Leptocybe invasa, Monomorium spp. such as M. pharaonis, Monomorium, Nylandria fulva, Pachycondyla chinensis, Paratrechina longicornis, Paravespula spp., such as P. germanica, P. pennsylvanica, P. vulgaris; Pheidole spp. such as P. megacephala; Pogonomyrmex spp. such as P. barbatus, P. californicus, Polistes rubiginosa, Prenolepis impairs, Pseudomyrmex gracilis, Schelipron spp., Sirex cyaneus, Solenopsis spp. such as S. geminata, S.invicta, S. molesta, S. richteri, S. xyloni, Sphecius speciosus, Sphex spp., Tapinoma spp. such as T. melanocephalum, T. sessile; Tetramorium spp. such as T. caespitum, T. bicarinatum, Vespa spp. such as V. crabro; Vespula spp. such as V. squamosal; Wasmannia auropunctata, Xylocopa sp;

Insects from the order **Orthoptera** for example *Acheta domesticus, Calliptamus italicus, Chortoicetes terminifera, Ceuthophilus* spp., *Diastrammena asynamora, Dociostaurus maroccanus, Gryllotalpa* spp. such as *G. africana, G. gryllotalpa; Gryllus* spp., *Hieroglyphus daganensis, Kraussaria angulifera, Locusta* spp. such as *L. migratoria, L. pardalina; Melanoplus* spp. such as *M. bivittatus, M. femurrubrum, M. mexicanus, M. sanguinipes, M. spretus;* 

71

Nomadacris septemfasciata, Oedaleus senegalensis, Scapteriscus spp., Schistocerca spp. such as S. americana, S. gregaria, Stemopelmatus spp., Tachycines asynamorus, and Zonozerus variegatus;

5

10

15

20

25

30

Pests from the Class Arachnida for example Acari, e.g. of the families Argasidae, Ixodidae and Sarcoptidae, such as Amblyomma spp. (e.g. A. americanum, A. variegatum, A. maculatum), Argas spp. such as A. persicu), Boophilus spp. such as B. annulatus, B. decoloratus, B. microplus, Dermacentor spp. such as D.silvarum, D. andersoni, D. variabilis, Hyalomma spp. such as H. truncatum, Ixodes spp. such as I. ricinus, I. rubicundus, I. scapularis, I. holocyclus, I. pacificus, Rhipicephalus sanguineus, Ornithodorus spp. such as O. moubata, O. hermsi, O. turicata, Ornithonyssus bacoti, Otobius megnini, Dermanyssus gallinae, Psoroptes spp. such as P. ovis, Rhipicephalus spp. such as R. sanguineus, R. appendiculatus, Rhipicephalus evertsi, Rhizoglyphus spp., Sarcoptes spp. such as S. Scabiei, and Family Eriophyidae including Aceria spp. such as A. sheldoni, A. anthocoptes, Acallitus spp., Aculops spp. such as A. lycopersici, A. pelekassi, Aculus spp. such as A. schlechtendali; Colomerus vitis, Epitrimerus pyri, Phyllocoptruta oleivora; Eriophytes ribis and Eriophyes spp. such as Eriophyes sheldoni, Family Tarsonemidae including Hemitarsonemus spp., Phytonemus pallidus and Polyphagotarsonemus latus, Stenotarsonemus spp. Steneotarsonemus spinki, Family Tenuipalpidae including Brevipalpus spp. such as B. phoenicis; Family Tetranychidae including Eotetranychus spp., Eutetranychus spp., Oligonychus spp., Petrobia latens, Tetranychus spp. such as T. cinnabarinus, T. evansi, T. kanzawai, T, pacificus, T. phaseulus, T. telarius and T. urticae, Bryobia praetiosa, Panonychus spp. such as P. ulmi, P. citri, Metatetranychus spp. and Oligonychus spp. such as O. pratensis, O. perseae, Vasates lycopersici, Raoiella indica, Family Carpoglyphidae including Carpoglyphus spp.; Penthaleidae spp. such as *Halotydeus destructor*, Family **Demodicidae** with species such as *Demodex* spp.; Family Trombicidea including Trombicula spp.; Family Macronyssidae including Ornothonyssus spp.; Family Pyemotidae including Pyemotes tritici, Tyrophagus putrescentiae; Family Acaridae including Acarus siro; Family Araneida including Latrodectus mactans, Tegenaria agrestis, Chiracanthium sp, Lycosa sp Achaearanea tepidariorum and Loxosceles reclusa;

Pests from the Phylum **Nematoda**, for example, plant parasitic nematodes such as root-knot nematodes, *Meloidogyne* spp. such as *M. hapla*, *M. incognita*, *M. javanica*; cyst-forming nematodes, *Globodera* spp. such as *G. rostochiensis*; *Heterodera* spp. such as *H. avenae*, *H. glycines*, *H. schachtii*, *H. trifolii*; Seed gall nematodes, *Anguina* spp.; Stem and foliar nematodes, *Aphelenchoides* spp. such as *A. besseyi*; Sting nematodes, *Belonolaimus* spp. such as *B. longicaudatus*; Pine nematodes, *Bursaphelenchus* spp. such as *B. lignicolus*, *B.* 

xylophilus; Ring nematodes, Criconema spp., Criconemella spp. such as C. xenoplax and C. ornata; and, Criconemoides spp. such as Criconemoides informis; Mesocriconema spp.; Stem and bulb nematodes, Ditylenchus spp. such as D. destructor, D. dipsaci; Awl nematodes, Dolichodorus spp.; Spiral nematodes, Heliocotylenchus multicinctus; Sheath and sheathoid nematodes, Hemicycliophora spp. and Hemicriconemoides spp.; Hirshmanniella spp.; Lance nematodes, Hoploaimus spp.; False rootknot nematodes, Nacobbus spp.; Needle nematodes, Longidorus spp. such as L. elongatus; Lesion nematodes, Pratylenchus spp. such as P. brachyurus, P. neglectus, P. penetrans, P. curvitatus, P. goodeyi; Burrowing nematodes, Radopholus spp. such as R. similis; Rhadopholus spp.; Rhodopholus spp.; Reniform nematodes, Rotylenchus spp. such as R. robustus, R. reniformis; Scutellonema spp.; Stubbyroot nematode, Trichodorus spp. such as T. obtusus, T. primitivus; Paratrichodorus spp. such as P. minor; Stunt nematodes, Tylenchorhynchus spp. such as T. claytoni, T. dubius; Citrus nematodes, Tylenchulus spp. such as T. semipenetrans; Dagger nematodes, Xiphinema spp.; and other plant parasitic nematode species;

5

10

15

20

25

30

Insects from the order **Isoptera** for example *Calotermes flavicollis, Coptotermes* spp. such as *C. formosanus, C. gestroi, C. acinaciformis; Cornitermes cumulans, Cryptotermes* spp. such as *C. brevis, C. cavifrons; Globitermes sulfureus, Heterotermes* spp. such as *H. aureus, H. longiceps, H. tenuis; Leucotermes flavipes, Odontotermes spp., Incisitermes* spp. such as *I. minor, I. Snyder, Marginitermes hubbardi, Mastotermes* spp. such as *M. darwiniensis Neocapritermes* spp. such as *N. opacus, N. parvus; Neotermes* spp., *Procornitermes* spp., *Zootermopsis* spp. such as *Z. angusticollis, Z. nevadensis, Reticulitermes* spp. such as *R. hesperus, R. tibialis, R. speratus, R. flavipes, R. grassei, R. lucifugus, R. santonensis, R. virginicus; Termes natalensis,* 

Insects from the order **Blattaria** for example *Blatta* spp. such as *B. orientalis*, *B. lateralis*; *Blattella* spp. such as *B. asahinae*, *B. germanica*; *Leucophaea maderae*, *Panchlora nivea*, *Periplaneta* spp. such as *P. americana*, *P. australasiae*, *P. brunnea*, *P. fuligginosa*, *P. japonica*; *Supella longipalpa*, *Parcoblatta pennsylvanica*, *Eurycotis floridana*, *Pycnoscelus surinamensis*,

Insects from the order **Siphonoptera** for example *Cediopsylla simples*, *Ceratophyllus* spp., *Ctenocephalides* spp. such as *C. felis*, *C. canis*, *Xenopsylla cheopis*, *Pulex irritans*, *Trichodectes canis*, *Tunga penetrans*, and *Nosopsyllus fasciatus*,

Insects from the order **Thysanura** for example *Lepisma saccharina*, *Ctenolepisma urbana*, and *Thermobia domestica*,

Pests from the class **Chilopoda** for example *Geophilus* spp., Scutigera spp. such as *Scutigera coleoptrata*;

73

Pests from the class **Diplopoda** for example *Blaniulus guttulatus*, *Julus* spp., *Narceus* spp.,

Pests from the class **Symphyla** for example *Scutigerella immaculata*,
Insects from the order **Dermaptera**, for example *Forficula auricularia*,
Insects from the order **Collembola**, for example *Onychiurus* spp., such as *Onychiurus* armatus,

Pests from the order **Isopoda** for example, *Armadillidium vulgare, Oniscus asellus, Porcellio scaber,* 

Insects from the order **Phthiraptera**, for example *Damalinia* spp., Pediculus spp. such as *Pediculus humanus capitis, Pediculus humanus corporis, Pediculus humanus humanus; Pthirus pubis*, Haematopinus spp. such as *Haematopinus eurysternus, Haematopinus suis*, Linognathus spp. such as *Linognathus vituli; Bovicola bovis, Menopon gallinae, Menacanthus stramineus* and *Solenopotes capillatus, Trichodectes* spp.,

Examples of further pest species which may be controlled by compounds of fomula (I) include: from the Phylum Mollusca, class Bivalvia, for example, *Dreissena* spp.; class Gastropoda, for example, *Arion* spp., *Biomphalaria* spp., *Bulinus* spp., *Deroceras* spp., *Galba* spp., *Lymnaea* spp., *Oncomelania* spp., *Pomacea canaliclata, Succinea* spp.; from the class of the helminths, for example, *Ancylostoma duodenale, Ancylostoma ceylanicum, Acylostoma braziliensis, Ancylostoma* spp., *Ascaris lubricoides, Ascaris spp., Brugia malayi, Brugia timori, Bunostomum* spp., *Chabertia* spp., *Clonorchis* spp., *Cooperia* spp., *Dicrocoelium* spp., *Dictyocaulus filaria, Diphyllobothrium latum, Dracunculus medinensis, Echinococcus granulosus, Echinococcus multilocularis, Enterobius vermicularis, Faciola* spp., Haemonchus spp. such as *Haemonchus contortus*; *Heterakis* spp., *Hymenolepis nana, Hyostrongulus* spp., *Loa Loa, Nematodirus* spp., *Oesophagostomum* spp., *Opisthorchis* spp., *Onchocerca volvulus, Ostertagia* spp., *Paragonimus* spp., *Schistosomen* spp., *Strongyloides fuelleborni, Strongyloides stercora lis, Stronyloides* spp., *Taenia saginata, Taenia solium, Trichinella spiralis, Trichinella nativa, Trichinella britovi, Trichinella nelsoni, Trichinella pseudopsiralis, <i>Trichostrongulus spp., Trichuris trichuria, Wuchereria bancrofti*.

# 30 Formulations

5

10

15

20

25

The invention also relates to agrochemical compositions comprising an auxiliary and at least one compound of the present invention or a mixture thereof.

74

An agrochemical composition comprises a pesticidally effective amount of a compound of the present invention or a mixture thereof. The term "pesticidally effective amount" is defined below.

5

10

15

20

25

30

The compounds of the present invention or the mixtures thereof can be converted into customary types of agrochemical compositions, e. g. solutions, emulsions, suspensions, dusts, powders, pastes, granules, pressings, capsules, and mixtures thereof. Examples for composition types are suspensions (e.g. SC, OD, FS), emulsifiable concentrates (e.g. EC), emulsions (e.g. EW, EO, ES, ME), capsules (e.g. CS, ZC), pastes, pastilles, wettable powders or dusts (e.g. WP, SP, WS, DP, DS), pressings (e.g. BR, TB, DT), granules (e.g. WG, SG, GR, FG, GG, MG), insecticidal articles (e.g. LN), as well as gel formulations for the treatment of plant propagation materials such as seeds (e.g. GF). These and further compositions types are defined in the "Catalogue of pesticide formulation types and international coding system", Technical Mono-graph No. 2, 6th Ed. May 2008, CropLife International.

The compositions are prepared in a known manner, such as described by Mollet and Grubemann, Formulation technology, Wiley VCH, Weinheim, 2001; or Knowles, New developments in crop protection product formulation, Agrow Reports DS243, T&F Informa, London, 2005.

Examples for suitable auxiliaries are solvents, liquid carriers, solid carriers or fillers, surfatants, dispersants, emulsifiers, wetters, adjuvants, solubilizers, penetration enhancers, protective colloids, adhesion agents, thickeners, humectants, repellents, attractants, feeding stimulants, compatibilizers, bactericides, anti-freezing agents, anti-foaming agents, colorants, tackifiers and binders.

Suitable solvents and liquid carriers are water and organic solvents, such as mineral oil fractions of medium to high boiling point, e.g. kerosene, diesel oil; oils of vegetable or animal origin; aliphatic, cyclic and aromatic hydrocarbons, e. g. toluene, paraffin, tetrahydronaphthalene, alkylated naphthalenes; alcohols, e.g. ethanol, propanol, butanol, benzylalcohol, cyclo¬hexanol; glycols; DMSO; ketones, e.g. cyclohexanone; esters, e.g. lactates, carbonates, fatty acid esters, gamma-butyrolactone; fatty acids; phosphonates; amines; amides, e.g. N-methylpyrrolidone, fatty acid dimethylamides; and mixtures thereof.

Suitable solid carriers or fillers are mineral earths, e.g. silicates, silica gels, talc, kaolins, limestone, lime, chalk, clays, dolomite, diatomaceous earth, bentonite, calcium sulfate, magnesium sulfate, magnesium oxide; polysaccharide powders, e.g. cellulose, starch; fertilizers, e.g. ammonium sulfate, ammonium phosphate, ammonium nitrate, ureas; products of

75

vegetable origin, e.g. cereal meal, tree bark meal, wood meal, nutshell meal, and mixtures thereof.

Suitable surfactants are surface-active compounds, such as anionic, cationic, nonionic and amphoteric surfactants, block polymers, polyelectrolytes, and mixtures thereof. Such surfactants can be used as emusifier, dispersant, solubilizer, wetter, penetration enhancer, protective colloid, or adjuvant. Examples of surfactants are listed in McCutcheon's, Vol.1: Emulsifiers & Detergents, McCutcheon's Directories, Glen Rock, USA, 2008 (International Ed. or North American Ed.).

5

10

15

20

25

30

Suitable anionic surfactants are alkali, alkaline earth or ammonium salts of sulfonates, sulfates, phosphates, carboxylates, and mixtures thereof. Examples of sulfonates are alkylarylsulfonates, diphenylsulfonates, alpha-olefin sulfonates, lignine sulfonates, sulfonates of fatty acids and oils, sulfonates of ethoxylated alkylphenols, sulfonates of alkoxylated arylphenols, sulfonates of condensed naphthalenes, sulfonates of dodecyl- and tridecylbenzenes, sulfonates of naphthalenes and alkyl¬naphthalenes, sulfosuccinates or sulfosuccinamates. Examples of sulfates are sulfates of fatty acids and oils, of ethoxylated alkylphenols, of alcohols, of ethoxylated alcohols, or of fatty acid esters. Examples of phosphates are phosphate esters. Examples of carboxylates are alkyl carboxylates, and carboxylated alcohol or alkylphenol ethoxylates.

Suitable nonionic surfactants are alkoxylates, N-substituted fatty acid amides, amine oxides, esters, sugar-based surfactants, polymeric surfactants, and mixtures thereof. Examples of alkoxylates are compounds such as alcohols, alkylphenols, amines, amides, arylphenols, fatty acids or fatty acid esters which have been alkoxylated with 1 to 50 equivalents. Ethylene oxide and/or propylene oxide may be employed for the alkoxylation, preferably ethylene oxide. Examples of N-substituted fatty acid amides are fatty acid glucamides or fatty acid alkanolamides. Examples of esters are fatty acid esters, glycerol esters or monoglycerides. Examples of sugar-based surfactants are sorbitans, ethoxylated sorbitans, sucrose and glucose esters or alkylpolyglucosides. Examples of polymeric surfactants are homo- or copolymers of vinylpyrrolidone, vinylalcohols, or vinylacetate.

Suitable cationic surfactants are quaternary surfactants, for example quaternary ammonium compounds with one or two hydrophobic groups, or salts of long-chain primary amines. Suitable amphoteric surfactants are alkylbetains and imidazolines. Suitable block polymers are block polymers of the A-B or A-B-A type comprising blocks of polyethylene oxide and polypropylene oxide, or of the A-B-C type comprising alkanol, polyethylene oxide and polypropylene oxide. Suitable polyelectrolytes are polyacids or polybases. Examples of

polyacids are alkali salts of polyacrylic acid or polyacid comb polymers. Examples of polybases are polyvinylamines or polyethyleneamines.

Suitable adjuvants are compounds, which have a neglectable or even no pesticidal activity themselves, and which improve the biological performance of the compounds of the present invention on the target. Examples are surfactants, mineral or vegetable oils, and other auxiliaries. Further examples are listed by Knowles, Adjuvants and additives, Agrow Reports DS256, T&F Informa UK, 2006, chapter 5.

Suitable thickeners are polysaccharides (e.g. xanthan gum, carboxymethylcellulose), anorganic clays (organically modified or unmodified), polycarboxylates, and silicates.

Suitable bactericides are bronopol and isothiazolinone derivatives such as alkylisothiazolinones and benzisothiazolinones.

Suitable anti-freezing agents are ethylene glycol, propylene glycol, urea and glycerin.

Suitable anti-foaming agents are silicones, long chain alcohols, and salts of fatty acids.

Suitable colorants (e.g. in red, blue, or green) are pigments of low water solubility and water-soluble dyes. Examples are inorganic colorants (e.g. iron oxide, titan oxide, iron hexacyanoferrate) and organic colorants (e.g. alizarin-, azo- and phthalocyanine colorants).

Suitable tackifiers or binders are polyvinylpyrrolidons, polyvinylacetates, polyvinyl alcohols, polyacrylates, biological or synthetic waxes, and cellulose ethers.

Examples for composition types and their preparation are:

i) Water-soluble concentrates (SL, LS)

5

10

15

20

25

30

10-60 wt% of a compound I according to the invention and 5-15 wt% wetting agent (e.g. alcohol alkoxylates) are dissolved in water and/or in a water-soluble solvent (e.g. alcohols) up to 100 wt%. The active substance dissolves upon dilution with water.

ii) Dispersible concentrates (DC)

5-25 wt% of a compound I according to the invention and 1-10 wt% dispersant (e. g. polyvinylpyrrolidone) are dissolved in up to 100 wt% organic solvent (e.g. cyclohexanone). Dilution with water gives a dispersion.

iii) Emulsifiable concentrates (EC)

15-70 wt% of a compound I according to the invention and 5-10 wt% emulsifiers (e.g. calcium dodecylbenzenesulfonate and castor oil ethoxylate) are dissolved in up to 100 wt% water-insoluble organic solvent (e.g. aromatic hydrocarbon). Dilution with water gives an emulsion.

iv) Emulsions (EW, EO, ES)

5-40 wt% of a compound I according to the invention and 1-10 wt% emulsifiers (e.g. calcium dodecylbenzenesulfonate and castor oil ethoxylate) are dissolved in 20-40 wt% water-insoluble organic solvent (e.g. aromatic hydrocarbon). This mixture is introduced into up to 100 wt% water by means of an emulsifying machine and made into a homogeneous emulsion. Dilution with water gives an emulsion.

# v) Suspensions (SC, OD, FS)

5

10

15

20

25

30

In an agitated ball mill, 20-60 wt% of a compound I according to the invention are comminuted with addition of 2-10 wt% dispersants and wetting agents (e.g. sodium lignosulfonate and alcohol ethoxylate), 0,1-2 wt% thickener (e.g. xanthan gum) and up to 100 wt% water to give a fine active substance suspension. Dilution with water gives a stable suspension of the active substance. For FS type composition up to 40 wt% binder (e.g. polyvinylalcohol) is added.

vi) Water-dispersible granules and water-soluble granules (WG, SG)

50-80 wt% of a compound I according to the invention are ground finely with addition of up to 100 wt% dispersants and wetting agents (e.g. sodium lignosulfonate and alcohol ethoxylate) and prepared as water-dispersible or water-soluble granules by means of technical appliances (e. g. extrusion, spray tower, fluidized bed). Dilution with water gives a stable dispersion or solution of the active substance.

vii) Water-dispersible powders and water-soluble powders (WP, SP, WS)

50-80 wt% of a compound I according to the invention are ground in a rotor-stator mill with addition of 1-5 wt% dispersants (e.g. sodium lignosulfonate), 1-3 wt% wetting agents (e.g. alcohol ethoxylate) and up to 100 wt% solid carrier, e.g. silica gel. Dilution with water gives a stable dispersion or solution of the active substance.

viii) Gel (GW, GF)

In an agitated ball mill, 5-25 wt% of a compound I according to the invention are comminuted with addition of 3-10 wt% dispersants (e.g. sodium lignosulfonate), 1-5 wt% thickener (e.g. carboxymethylcellulose) and up to 100 wt% water to give a fine suspension of the active substance. Dilution with water gives a stable suspension of the active substance.

ix) Microemulsion (ME)

5-20 wt% of a compound I according to the invention are added to 5-30 wt% organic solvent blend (e.g. fatty acid dimethylamide and cyclohexanone), 10-25 wt% surfactant blend (e.g. alkohol ethoxylate and arylphenol ethoxylate), and water up to 100 %. This mixture is stirred for 1 h to produce spontaneously a thermodynamically stable microemulsion.

x) Microcapsules (CS)

An oil phase comprising 5-50 wt% of a compound I according to the invention, 0-40 wt% water insoluble organic solvent (e.g. aromatic hydrocarbon), 2-15 wt% acrylic monomers (e.g. methylmethacrylate, methacrylic acid and a di- or triacrylate) are dispersed into an aqueous solution of a protective colloid (e.g. polyvinyl alcohol). Radical polymerization initiated by a radical initiator results in the formation of poly(meth)acrylate microcapsules. Alternatively, an oil phase comprising 5-50 wt% of a compound I according to the invention, 0-40 wt% water insoluble organic solvent (e.g. aromatic hydrocarbon), and an isocyanate monomer (e.g. diphenylmethene-4,4'-diisocyanatae) are dispersed into an aqueous solution of a protective colloid (e.g. polyvinyl alcohol). The addition of a polyamine (e.g. hexamethylenediamine) results in the formation of a polyurea microcapsule. The monomers amount to 1-10 wt%. The wt% relate to the total CS composition.

- xi) Dustable powders (DP, DS)
- 1-10 wt% of a compound I according to the invention are ground finely and mixed intimately with up to 100 wt% solid carrier, e.g. finely divided kaolin.
  - xii) Granules (GR, FG)

5

10

15

20

25

30

- 0.5-30 wt% of a compound I according to the invention is ground finely and associated with up to 100 wt% solid carrier (e.g. silicate). Granulation is achieved by extrusion, spraydrying or the fluidized bed.
  - xiii) Ultra-low volume liquids (UL)
- 1-50 wt% of a compound I according to the invention are dissolved in up to 100 wt% organic solvent, e.g. aromatic hydrocarbon.

The compositions types i) to xi) may optionally comprise further auxiliaries, such as 0.1-1 wt% bactericides, 5-15 wt% anti-freezing agents, 0.1-1 wt% anti-foaming agents, and 0.1-1 wt% colorants.

The agrochemical compositions generally comprise between 0.01 and 95%, preferably between 0.1 and 90%, and most preferably between 0.5 and 75%, by weight of active substance. The active substances are employed in a purity of from 90% to 100%, preferably from 95% to 100% (according to NMR spectrum).

Various types of oils, wetters, adjuvants, fertilizer, or micronutrients, and other pesticides (e.g. herbicides, insecticides, fungicides, growth regulators, safeners) may be added to the active substances or the compositions comprising them as premix or, if appropriate not until immediately prior to use (tank mix). These agents can be admixed with the compositions according to the invention in a weight ratio of 1:100 to 100:1, preferably 1:10 to 10:1.

79

The user applies the composition according to the invention usually from a predosage device, a knapsack sprayer, a spray tank, a spray plane, or an irrigation system. Usually, the agrochemical composition is made up with water, buffer, and/or further auxiliaries to the desired application concentration and the ready-to-use spray liquor or the agrochemical composition according to the invention is thus obtained. Usually, 20 to 2000 liters, preferably 50 to 400 liters, of the ready-to-use spray liquor are applied per hectare of agricultural useful area.

According to one embodiment, individual components of the composition according to the invention such as parts of a kit or parts of a binary or ternary mixture may be mixed by the user himself in a spray tank and further auxiliaries may be added, if appropriate.

In a further embodiment, either individual components of the composition according to the invention or partially premixed components, e. g. components comprising compounds of the present invention and/or mixing partners as defined above or below, may be mixed by the user in a spray tank and further auxiliaries and additives may be added, if appropriate.

In a further embodiment, either individual components of the composition according to the invention or partially premixed components, e. g. components comprising compounds of the present invention and/or mixing partners as defined above or below, can be applied jointly (e.g. after tank mix) or consecutively.

#### Application methods

20

5

10

15

25

30

The compounds of the present invention are suitable for use in protecting crops, plants, plant propagation materials, such as seeds, or soil or water, in which the plants are growing, from attack or infestation by animal pests. Therefore, the present invention also relates to a plant protection method, which comprises contacting crops, plants, plant propagation materials, such as seeds, or soil or water, in which the plants are growing, to be protected from attack or infestation by animal pests, with a pesticidally effective amount of a compound of the present invention.

The compounds of the present invention are also suitable for use in combating or controlling animal pests. Therefore, the present invention also relates to a method of combating or controlling animal pests, which comprises contacting the animal pests, their habitat, breeding ground, or food supply, or the crops, plants, plant propagation materials, such as seeds, or soil, or the area, material or environment in which the animal pests are growing or may grow, with a pesticidally effective amount of a compound of the present invention.

80

The compounds of the present invention are effective through both contact and ingestion. Furthermore, the compounds of the present invention can be applied to any and all developmental stages, such as egg, larva, pupa, and adult.

The compounds of the present invention can be applied as such or in form of compositions comprising them as defined above. Furthermore, the compounds of the present invention can be applied together with a mixing partner as defined above or in form of compositions comprising said mixtures as defined above. The components of said mixture can be applied simultaneously, jointly or separately, or in succession, that is immediately one after another and thereby creating the mixture "in situ" on the desired location, e.g. the plant, the sequence, in the case of separate application, generally not having any effect on the result of the control measures.

5

10

15

20

25

30

The application can be carried out both before and after the infestation of the crops, plants, plant propagation materials, such as seeds, soil, or the area, material or environment by the pests.

Suitable application methods include inter alia soil treatment, seed treatment, in furrow application, and foliar application. Soil treatment methods include drenching the soil, drip irrigation (drip application onto the soil), dipping roots, tubers or bulbs, or soil injection. Seed treatment techniques include seed dressing, seed coating, seed dusting, seed soaking, and seed pelleting. In furrow applications typically include the steps of making a furrow in cultivated land, seeding the furrow with seeds, applying the pesticidally active compound to the furrow, and closing the furrow. Foliar application refers to the application of the pesticidally active compound to plant foliage, e.g. through spray equipment. For foliar applications, it can be advantageous to modify the behavior of the pests by use of pheromones in combination with the compounds of the present invention. Suitable pheromones for specific crops and pests are known to a skilled person and publicly available from databases of pheromones and semiochemicals, such as http://www.pherobase.com.

As used herein, the term "contacting" includes both direct contact (applying the compounds/compositions directly on the animal pest or plant - typically to the foliage, stem or roots of the plant) and indirect contact (applying the compounds/compositions to the locus, i.e. habitat, breeding ground, plant, seed, soil, area, material or environment in which a pest is growing or may grow, of the animal pest or plant).

The term "animal pest" includes arthropods, gastropods, and nematodes. Preferred animal pests according to the invention are arthropods, preferably insects and arachnids, in

81

particular insects. Insects, which are of particular relevance for crops, are typically referred to as crop insect pests.

The term "crop" refers to both, growing and harvested crops.

5

10

15

20

25

30

The term "plant" includes cereals, e.g. durum and other wheat, rye, barley, triticale, oats, rice, or maize (fodder maize and sugar maize / sweet and field corn); beet, e.g. sugar beet or fodder beet; fruits, such as pomes, stone fruits or soft fruits, e.g. apples, pears, plums, peaches, nectarines, almonds, cherries, papayas, strawberries, raspberries, blackberries or gooseberries; leguminous plants, such as beans, lentils, peas, alfalfa or soybeans; oil plants, such as rapeseed (oilseed rape), turnip rape, mustard, olives, sunflowers, coconut, cocoa beans, castor oil plants, oil palms, ground nuts or soybeans; cucurbits, such as squashes, pumpkins, cucumber or melons; fiber plants, such as cotton, flax, hemp or jute; citrus fruit, such as oranges, lemons, grapefruits or mandarins; vegetables, such as eggplant, spinach, lettuce (e.g. iceberg lettuce), chicory, cabbage, asparagus, cabbages, carrots, onions, garlic, leeks, tomatoes, potatoes, cucurbits or sweet peppers; lauraceous plants, such as avocados, cinnamon or camphor; energy and raw material plants, such as corn, soybean, rapeseed, sugar cane or oil palm; tobacco; nuts, e.g. walnuts; pistachios; coffee; tea; bananas; vines (table grapes and grape juice grape vines); hop; sweet leaf (also called Stevia); natural rubber plants or ornamental and forestry plants, such as flowers (e.g. carnation, petunias, geranium/pelargoniums, pansies and impatiens), shrubs, broad-leaved trees (e.g. poplar) or evergreens, e.g. conifers; eucalyptus; turf; lawn; grass such as grass for animal feed or ornamental uses. Preferred plants include potatoes sugar beets, tobacco, wheat, rye, barley, oats, rice, corn, cotton, soybeans, rapeseed, legumes, sunflowers, coffee or sugar cane; fruits; vines; ornamentals; or vegetables, such as cucumbers, tomatoes, beans or squashes.

The term "plant" is to be understood as including wild type plants and plants which have been modified by either conventional breeding or mutagenesis or genetic engineering, or by a combination thereof.

Plants, which have been modified by mutagenesis or genetic engineering, and are of particular commercial importance, include alfalfa, rapeseed (e.g. oilseed rape), bean, carnation, chicory, cotton, eggplant, eucalyptus, flax, lentil, maize, melon, papaya, petunia, plum, poplar, potato, rice, soybean, squash, sugar beet, sugarcane, sunflower, sweet pepper, tobacco, tomato, and cereals (e.g. wheat), in particular maize, soybean, cotton, wheat, and rice. In plants, which have been modified by mutagenesis or genetic engineering, one or more genes have been mutagenized or integrated into the genetic material of the plant. The one or more mutagenized or integrated genes are preferably selected from pat, epsps, cry1Ab, bar, cry1Fa2,

82

5

10

15

20

25

30

cry1Ac, cry34Ab1, cry35AB1, cry3A, cryF, cry1F, mcry3a, cry2Ab2, cry3Bb1, cry1A.105, dfr, barnase, vip3Aa20, barstar, als, bxn, bp40, asn1, and ppo5. The mutagenesis or integration of the one or more genes is performed in order to improve certain properties of the plant. Such properties, also known as traits, include abiotic stress tolerance, altered growth/yield, disease resistance, herbicide tolerance, insect resistance, modified product quality, and pollination control. Of these properties, herbicide tolerance, e.g. imidazolinone tolerance, glyphosate tolerance, or glufosinate tolerance, is of particular importance. Several plants have been rendered tolerant to herbicides by mutagenesis, for example Clearfield® oilseed rape being tolerant to imidazolinones, e.g. imazamox. Alternatively, genetic engineering methods have been used to render plants, such as soybean, cotton, corn, beets and oil seed rape, tolerant to herbicides, such as glyphosate and glufosinate, some of which are commercially available under the trade names RoundupReady® (glyphosate) and LibertyLink® (glufosinate). Furthermore, insect resistance is of importance, in particular lepidopteran insect resistance and coleopteran insect resistance. Insect resistance is typically achieved by modifying plants by integrating cry and/or vip genes, which were isolated from Bacillus thuringiensis (Bt), and code for the respective Bt toxins. Genetically modified plants with insect resistance are commercially available under trade names including WideStrike®, Bollgard®, Agrisure®, Herculex®, YieldGard®, Genuity®, and Intacta®. Plants may be modified by mutagenesis or genetic engineering either in terms of one property (singular traits) or in terms of a combination of properties (stacked traits). Stacked traits, e.g. the combination of herbicide tolerance and insect resistance, are of increasing importance. In general, all relevant modified plants in connection with singular or stacked traits as well as detailed information as to the mutagenized or integrated genes and the respective events are available from websites of the organizations "International Service for the Acquisition of Agribiotech Applications (ISAAA)" (http://www.isaaa.org/gmapprovaldatabase) and "Center for Environmental Risk Assessment (CERA)" (http://cera-gmc.org/GMCropDatabase).

It has surprisingly been found that the pesticidal activity of the compounds of the present invention may be enhanced by the insecticidal trait of a modified plant. Furthermore, it has been found that the compounds of the present invention are suitable for preventing insects to become resistant to the insecticidal trait or for combating pests, which already have become resistant to the insecticidal trait of a modified plant. Moreover, the compounds of the present invention are suitable for combating pests, against which the insecticidal trait is not effective, so that a complementary insecticidal activity can advantageously be used.

83

The term "plant propagation material" refers to all the generative parts of the plant such as seeds and vegetative plant material such as cuttings and tubers (e.g. potatoes), which can be used for the multiplication of the plant. This includes seeds, roots, fruits, tubers, bulbs, rhizomes, shoots, sprouts and other parts of plants. Seedlings and young plants, which are to be transplanted after germination or after emergence from soil, may also be included. These plant propagation materials may be treated prophylactically with a plant protection compound either at or before planting or transplanting.

The term "seed" embraces seeds and plant propagules of all kinds including but not limited to true seeds, seed pieces, suckers, corms, bulbs, fruit, tubers, grains, cuttings, cut shoots and the like, and means in a preferred embodiment true seeds.

5

10

15

20

25

30

In general, "pesticidally effective amount" means the amount of active ingredient needed to achieve an observable effect on growth, including the effects of necrosis, death, retardation, prevention, and removal, destruction, or otherwise diminishing the occurrence and activity of the target organism. The pesticidally effective amount can vary for the various compounds/compositions used in the invention. A pesticidally effective amount of the compositions will also vary according to the prevailing conditions such as desired pesticidal effect and duration, weather, target species, locus, mode of application, and the like.

In the case of soil treatment, in furrow application or of application to the pests dwelling place or nest, the quantity of active ingredient ranges from 0.0001 to 500 g per 100 m<sup>2</sup>, preferably from 0.001 to 20 g per 100 m<sup>2</sup>.

For use in treating crop plants, e.g. by foliar application, the rate of application of the active ingredients of this invention may be in the range of 0.0001 g to 4000 g per hectare, e.g. from 1 g to 2 kg per hectare or from 1 g to 750 g per hectare, desirably from 1 g to 100 g per hectare, more desirably from 10 g to 50 g per hectare, e.g., 10 to 20 g per hectare, 20 to 30 g per hectare, 30 to 40 g per hectare, or 40 to 50 g per hectare.

The compounds of the present invention are particularly suitable for use in the treatment of seeds in order to protect the seeds from insect pests, in particular from soil-living insect pests, and the resulting seedling's roots and shoots against soil pests and foliar insects. The present invention therefore also relates to a method for the protection of seeds from insects, in particular from soil insects, and of the seedling's roots and shoots from insects, in particular from soil and foliar insects, said method comprising treating the seeds before sowing and/or after pregermination with a compound of the present invention. The protection of the seedling's

84

roots and shoots is preferred. More preferred is the protection of seedling's shoots from piercing and sucking insects, chewing insects and nematodes.

The term "seed treatment" comprises all suitable seed treatment techniques known in the art, such as seed dressing, seed coating, seed dusting, seed soaking, seed pelleting, and infurrow application methods. Preferably, the seed treatment application of the active compound is carried out by spraying or by dusting the seeds before sowing of the plants and before emergence of the plants.

5

10

15

20

25

30

The present invention also comprises seeds coated with or containing the active compound. The term "coated with and/or containing" generally signifies that the active ingredient is for the most part on the surface of the propagation product at the time of application, although a greater or lesser part of the ingredient may penetrate into the propagation product, depending on the method of application. When the said propagation product is (re)planted, it may absorb the active ingredient.

Suitable seed is for example seed of cereals, root crops, oil crops, vegetables, spices, ornamentals, for example seed of durum and other wheat, barley, oats, rye, maize (fodder maize and sugar maize / sweet and field corn), soybeans, oil crops, crucifers, cotton, sunflowers, bananas, rice, oilseed rape, turnip rape, sugarbeet, fodder beet, eggplants, potatoes, grass, lawn, turf, fodder grass, tomatoes, leeks, pumpkin/squash, cabbage, iceberg lettuce, pepper, cucumbers, melons, Brassica species, melons, beans, peas, garlic, onions, carrots, tuberous plants such as potatoes, sugar cane, tobacco, grapes, petunias, geranium/pelargoniums, pansies and impatiens.

In addition, the active compound may also be used for the treatment of seeds from plants, which have been modified by mutagenisis or genetic engineering, and which e.g. tolerate the action of herbicides or fungicides or insecticides. Such modified plants have been described in detail above.

Conventional seed treatment formulations include for example flowable concentrates FS, solutions LS, suspoemulsions (SE), powders for dry treatment DS, water dispersible powders for slurry treatment WS, water-soluble powders SS and emulsion ES and EC and gel formulation GF. These formulations can be applied to the seed diluted or undiluted. Application to the seeds is carried out before sowing, either directly on the seeds or after having pregerminated the latter. Preferably, the formulations are applied such that germination is not included.

85

The active substance concentrations in ready-to-use formulations, which may be obtained after two-to-tenfold dilution, are preferably from 0.01 to 60% by weight, more preferably from 0.1 to 40% by weight.

In a preferred embodiment a FS formulation is used for seed treatment. Typically, a FS formulation may comprise 1-800 g/l of active ingredient, 1-200 g/l Surfactant, 0 to 200 g/l antifreezing agent, 0 to 400 g/l of binder, 0 to 200 g/l of a pigment and up to 1 liter of a solvent, preferably water.

5

10

15

20

25

30

Especially preferred FS formulations of the compounds of the present invention for seed treatment usually comprise from 0.1 to 80% by weight (1 to 800 g/l) of the active ingredient, from 0.1 to 20% by weight (1 to 200 g/l) of at least one surfactant, e.g. 0.05 to 5% by weight of a wetter and from 0.5 to 15% by weight of a dispersing agent, up to 20 % by weight, e.g. from 5 to 20% of an anti-freeze agent, from 0 to 15% by weight, e.g. 1 to 15% by weight of a pigment and/or a dye, from 0 to 40% by weight, e.g. 1 to 40% by weight of a binder (sticker /adhesion agent), optionally up to 5% by weight, e.g. from 0.1 to 5% by weight of a thickener, optionally from 0.1 to 2% of an anti-foam agent, and optionally a preservative such as a biocide, antioxidant or the like, e.g. in an amount from 0.01 to 1% by weight and a filler/vehicle up to 100% by weight.

In the treatment of seed, the application rates of the compounds of the invention are generally from 0.1 g to 10 kg per 100 kg of seed, preferably from 1 g to 5 kg per 100 kg of seed, more preferably from 1 g to 1000 g per 100 kg of seed and in particular from 1 g to 200 g per 100 kg of seed, e.g. from 1 g to 100 g or from 5 g to 100 g per 100 kg of seed.

The invention therefore also relates to seed comprising a compound of the present invention, or an agriculturally useful salt thereof, as defined herein. The amount of the compound of the present invention or the agriculturally useful salt thereof will in general vary from 0.1 g to 10 kg per 100 kg of seed, preferably from 1 g to 5 kg per 100 kg of seed, in particular from 1 g to 1000 g per 100 kg of seed. For specific crops such as lettuce the rate can be higher.

The compounds of the present invention may also be used for improving the health of a plant. Therefore, the present invention also relates to a method for improving plant health by treating a plant, plant propagation material and/or the locus where the plant is growing or is to grow with an effective and non-phytotoxic amount of a compound of the present invention.

As used herein "an effective and non-phytotoxic amount" means that the compound is used in a quantity which allows to obtain the desired effect but which does not give rise to any

86

phytotoxic symptom on the treated plant or on the plant grown from the treated propagule or treated soil.

The terms "plant" and "plant propagation material" are defined above.

5

10

15

20

25

30

"Plant health" is defined as a condition of the plant and/or its products which is determined by several aspects alone or in combination with each other such as yield (for example increased biomass and/or increased content of valuable ingredients), quality (for example improved content or composition of certain ingredients or shelf life), plant vigour (for example improved plant growth and/or greener leaves ("greening effect"), tolerance to abiotic (for example drought) and/or biotic stress (for example disease) and production efficiency (for example, harvesting efficiency, processability).

The above identified indicators for the health condition of a plant may be interdependent and may result from each other. Each indicator is defined in the art and can be determined by methods known to a skilled person.

The compounds of the invention are also suitable for use against non-crop insect pests. For use against said non-crop pests, compounds of the present invention can be used as bait composition, gel, general insect spray, aerosol, as ultra-low volume application and bed net (impregnated or surface applied). Furthermore, drenching and rodding methods can be used.

As used herein, the term "non-crop insect pest" refers to pests, which are particularly relevant for non-crop targets, such as ants, termites, wasps, flies, ticks, mosquitos, crickets, or cockroaches.

The bait can be a liquid, a solid or a semisolid preparation (e.g. a gel). The bait employed in the composition is a product, which is sufficiently attractive to incite insects such as ants, termites, wasps, flies, mosquitos, crickets etc. or cockroaches to eat it. The attractiveness can be manipulated by using feeding stimulants or sex pheromones. Food stimulants are chosen, for example, but not exclusively, from animal and/or plant proteins (meat-, fish- or blood meal, insect parts, egg yolk), from fats and oils of animal and/or plant origin, or mono-, oligo- or polyorganosaccharides, especially from sucrose, lactose, fructose, dextrose, glucose, starch, pectin or even molasses or honey. Fresh or decaying parts of fruits, crops, plants, animals, insects or specific parts thereof can also serve as a feeding stimulant. Sex pheromones are known to be more insect specific. Specific pheromones are described in the literature (e.g. http://www.pherobase.com), and are known to those skilled in the art.

For use in bait compositions, the typical content of active ingredient is from 0.001 weight% to 15 weight%, desirably from 0.001 weight% to 5% weight% of active compound.

Formulations of the compounds of the present invention as aerosols (e.g in spray cans), oil sprays or pump sprays are highly suitable for the non-professional user for controlling pests such as flies, fleas, ticks, mosquitos or cockroaches. Aerosol recipes are preferably composed of the active compound, solvents, furthermore auxiliaries such as emulsifiers, perfume oils, if appropriate stabilizers, and, if required, propellants.

The oil spray formulations differ from the aerosol recipes in that no propellants are used.

For use in spray compositions, the content of active ingredient is from 0.001 to 80 weights%, preferably from 0.01 to 50 weight% and most preferably from 0.01 to 15 weight%.

The compounds of the present invention and its respective compositions can also be used in mosquito and fumigating coils, smoke cartridges, vaporizer plates or long-term vaporizers and also in moth papers, moth pads or other heat-independent vaporizer systems.

Methods to control infectious diseases transmitted by insects (e.g. malaria, dengue and yellow fever, lymphatic filariasis, and leishmaniasis) with compounds of the present invention and its respective compositions also comprise treating surfaces of huts and houses, air spraying and impregnation of curtains, tents, clothing items, bed nets, tsetse-fly trap or the like. Insecticidal compositions for application to fibers, fabric, knitgoods, nonwovens, netting material or foils and tarpaulins preferably comprise a mixture including the insecticide, optionally a repellent and at least one binder.

The compounds of the present invention and its compositions can be used for protecting wooden materials such as trees, board fences, sleepers, frames, artistic artifacts, etc. and buildings, but also construction materials, furniture, leathers, fibers, vinyl articles, electric wires and cables etc. from ants and/or termites, and for controlling ants and termites from doing harm to crops or human being (e.g. when the pests invade into houses and public facilities).

Customary application rates in the protection of materials are, for example, from 0.001 g to 2000 g or from 0.01 g to 1000 g of active compound per m² treated material, desirably from 0.1 g to 50 g per m².

Insecticidal compositions for use in the impregnation of materials typically contain from 0.001 to 95 weight%, preferably from 0.1 to 45 weight%, and more preferably from 1 to 25 weight% of at least one repellent and/or insecticide.

#### Animal health

5

10

15

20

25

30

The compounds of the present invention are suitable for use in treating or protecting animals against infestation or infection by parasites. Therefore, the present invention also

5

10

15

20

25

30

PCT/EP2016/063316

relates to the use of a compound of the present invention for the manufacture of a medicament for the treatment or protection of animals against infestation or infection by parasites. Furthermore, the present invention relates to a method of treating or protecting animals against infestation and infection by parasites, which comprises orally, topically or parenterally administering or applying to the animals a parasiticidally effective amount of a compound of the present invention.

The present invention also relates to the non-therapeutic use of compounds of the present invention for treating or protecting animals against infestation and infection by parasites.

Moreover, the present invention relates to a non-therapeutic method of treating or protecting animals against infestation and infection by parasites, which comprises applying to a locus a parasiticidally effective amount of a compound of the present invention.

The compounds of the present invention are further suitable for use in combating or controlling parasites in and on animals. Furthermore, the present invention relates to a method of combating or controlling parasites in and on animals, which comprises contacting the parasites with a parasitically effective amount of a compound of the present invention.

The present invention also relates to the non-therapeutic use of compounds of the present invention for controlling or combating parasites. Moreover, the present invention relates to a non-therapeutic method of combating or controlling parasites, which comprises applying to a locus a parasiticidally effective amount of a compound of the present invention.

The compounds of the present invention can be effective through both contact (via soil, glass, wall, bed net, carpet, blankets or animal parts) and ingestion (e.g. baits). Furthermore, the compounds of the present invention can be applied to any and all developmental stages.

The compounds of the present invention can be applied as such or in form of compositions comprising the compounds of the present invention.

The compounds of the present invention can also be applied together with a mixing partner, which acts against pathogenic parasites, e.g. with synthetic coccidiosis compounds, polyetherantibiotics such as Amprolium, Robenidin, Toltrazuril, Monensin, Salinomycin, Maduramicin, Lasalocid, Narasin or Semduramicin, or with other mixing partners as defined above, or in form of compositions comprising said mixtures.

The compounds of the present invention and compositions comprising them can be applied orally, parenterally or topically, e.g. dermally. The compounds of the present invention can be systemically or non-systemically effective.

89

The application can be carried out prophylactically, therapeutically or non-therapeutically. Furthermore, the application can be carried out preventively to places at which occurrence of the parasites is expected.

As used herein, the term "contacting" includes both direct contact (applying the compounds/compositions directly on the parasite, including the application directly on the animal or excluding the application directly on the animal, e.g. at it's locus for the latter) and indirect contact (applying the compounds/compositions to the locus of the parasite). The contact of the parasite through application to its locus is an example of a non-therapeutic use of the compounds of the present invention.

5

10

15

20

25

30

The term "locus" means the habitat, food supply, breeding ground, area, material or environment in which a parasite is growing or may grow outside of the animal.

As used herein, the term "parasites" includes endo- and ectoparasites. In some embodiments of the present invention, endoparasites can be preferred. In other embodiments, ectoparasites can be preferred. Infestations in warm-blooded animals and fish include, but are not limited to, lice, biting lice, ticks, nasal bots, keds, biting flies, muscoid flies, flies, myiasitic fly larvae, chiggers, gnats, mosquitoes and fleas.

The compounds of the present invention are especially useful for combating parasites of the following orders and species, respectively:

fleas (Siphonaptera), e.g. Ctenocephalides felis, Ctenocephalides canis, Xenopsylla cheopis, Pulex irritans, Tunga penetrans, and Nosopsyllus fasciatus; cockroaches (Blattaria -Blattodea), e.g. Blattella germanica, Blattella asahinae, Periplaneta americana, Periplaneta japonica, Periplaneta brunnea, Periplaneta fuligginosa, Periplaneta australasiae, and Blatta orientalis; flies, mosquitoes (Diptera), e.g. Aedes aegypti, Aedes albopictus, Aedes vexans, Anastrepha ludens, Anopheles maculipennis, Anopheles crucians, Anopheles albimanus, Anopheles gambiae, Anopheles freeborni, Anopheles leucosphyrus, Anopheles minimus, Anopheles quadrimaculatus, Calliphora vicina, Chrysomya bezziana, Chrysomya hominivorax, Chrysomya macellaria, Chrysops discalis, Chrysops silacea, Chrysops atlanticus, Cochliomyia hominivorax, Cordylobia anthropophaga, Culicoides furens, Culex pipiens, Culex nigripalpus, Culex quinquefasciatus, Culex tarsalis, Culiseta inornata, Culiseta melanura, Dermatobia hominis, Fannia canicularis, Gasterophilus intestinalis, Glossina morsitans, Glossina palpalis, Glossina fuscipes, Glossina tachinoides, Haematobia irritans, Haplodiplosis equestris, Hippelates spp., Hypoderma lineata, Leptoconops torrens, Lucilia caprina, Lucilia cuprina, Lucilia sericata, Lycoria pectoralis, Mansonia spp., Musca domestica, Muscina stabulans, Oestrus ovis, Phlebotomus argentipes, Psorophora columbiae, Psorophora discolor,

5

10

15

20

25

30

Prosimulium mixtum, Sarcophaga haemorrhoidalis, Sarcophaga sp., Simulium vittatum, Stomoxys calcitrans, Tabanus bovinus, Tabanus atratus, Tabanus lineola, and Tabanus similis; lice (Phthiraptera), e.g. Pediculus humanus capitis, Pediculus humanus corporis, Pthirus pubis, Haematopinus eurysternus, Haematopinus suis, Linognathus vituli, Bovicola bovis, Menopon gallinae, Menacanthus stramineus and Solenopotes capillatus; ticks and parasitic mites (Parasitiformes): ticks (Ixodida), e.g. Ixodes scapularis, Ixodes holocyclus, Ixodes pacificus, Rhiphicephalus sanguineus, Dermacentor andersoni, Dermacentor variabilis, Amblyomma americanum, Ambryomma maculatum, Ornithodorus hermsi, Ornithodorus turicata and parasitic mites (Mesostigmata), e.g. Ornithonyssus bacoti and Dermanyssus gallinae; Actinedida (Prostigmata) und Acaridida (Astigmata), e.g. Acarapis spp., Cheyletiella spp., Ornithocheyletia spp., Myobia spp., Psorergates spp., Demodex spp., Trombicula spp., Listrophorus spp., Acarus spp., Tyrophagus spp., Caloglyphus spp., Hypodectes spp., Pterolichus spp., Psoroptes spp., Chorioptes spp., Otodectes spp., Sarcoptes spp., Notoedres spp., Knemidocoptes spp., Cytodites spp., and Laminosioptes spp; Bugs (Heteropterida): Cimex lectularius, Cimex hemipterus, Reduvius senilis, Triatoma spp., Rhodnius ssp., Panstrongylus ssp., and Arilus critatus; Anoplurida, e.g. Haematopinus spp., Linognathus spp., Pediculus spp., Phtirus spp., and Solenopotes spp.; Mallophagida (suborders Arnblycerina and Ischnocerina), e.g. Trimenopon spp., Menopon spp., Trinoton spp., Bovicola spp., Werneckiella spp., Lepikentron spp., Trichodectes spp., and Felicola spp.; Roundworms Nematoda: Wipeworms and Trichinosis (Trichosyringida), e.g. Trichinellidae (Trichinella spp.), (Trichuridae) Trichuris spp., Capillaria spp.; Rhabditida, e.g. Rhabditis spp., Strongyloides spp., Helicephalobus spp.; Strongylida, e.g. Strongylus spp., Ancylostoma spp., Necator americanus, Bunostomum spp. (Hookworm), Trichostrongylus spp., Haemonchus contortus, Ostertagia spp., Cooperia spp., Nematodirus spp., Dictyocaulus spp., Cyathostoma spp., Oesophagostomum spp., Stephanurus dentatus, Ollulanus spp., Chabertia spp., Stephanurus dentatus, Syngamus trachea, Ancylostoma spp., Uncinaria spp., Globocephalus spp., Necator spp., Metastrongylus spp., Muellerius capillaris, Protostrongylus spp., Angiostrongylus spp., Parelaphostrongylus spp., Aleurostrongylus abstrusus, and Dioctophyma renale; Intestinal roundworms (Ascaridida), e.g. Ascaris lumbricoides, Ascaris suum, Ascaridia galli, Parascaris equorum, Enterobius vermicularis (Threadworm), Toxocara canis, Toxascaris leonine, Skrjabinema spp., and Oxyuris equi; Camallanida, e.g. Dracunculus medinensis (guinea worm); Spirurida, e.g. Thelazia spp., Wuchereria spp., Brugia spp., Onchocerca spp., Dirofilari spp.a, Dipetalonema spp., Setaria spp., Elaeophora spp., Spirocerca lupi, and Habronema spp.; Thorny headed worms (Acanthocephala), e.g. Acanthocephalus spp., Macracanthorhynchus hirudinaceus and

91

Oncicola spp.; Planarians (Plathelminthes): Flukes (Trematoda), e.g. Faciola spp., Fascioloides magna, Paragonimus spp., Dicrocoelium spp., Fasciolopsis buski, Clonorchis sinensis, Schistosoma spp., Trichobilharzia spp., Alaria alata, Paragonimus spp., and Nanocyetes spp.; Cercomeromorpha, in particular Cestoda (Tapeworms), e.g. Diphyllobothrium spp., Tenia spp., Echinococcus spp., Dipylidium caninum, Multiceps spp., Hymenolepis spp., Mesocestoides spp., Vampirolepis spp., Moniezia spp., Anoplocephala spp., Sirometra spp., Anoplocephala spp., and Hymenolepis spp..

5

10

15

20

25

30

As used herein, the term "animal" includes warm-blooded animals (including humans) and fish. Preferred are mammals, such as cattle, sheep, swine, camels, deer, horses, pigs, poultry, rabbits, goats, dogs and cats, water buffalo, donkeys, fallow deer and reindeer, and also in furbearing animals such as mink, chinchilla and raccoon, birds such as hens, geese, turkeys and ducks and fish such as fresh- and salt-water fish such as trout, carp and eels. Particularly preferred are domestic animals, such as dogs or cats.

In general, "parasiticidally effective amount" means the amount of active ingredient needed to achieve an observable effect on growth, including the effects of necrosis, death, retardation, prevention, and removal, destruction, or otherwise diminishing the occurrence and activity of the target organism. The parasiticidally effective amount can vary for the various compounds/compositions used in the invention. A parasiticidally effective amount of the compositions will also vary according to the prevailing conditions such as desired parasiticidal effect and duration, target species, mode of application, and the like.

Generally, it is favorable to apply the compounds of the present invention in total amounts of 0.5 mg/kg to 100 mg/kg per day, preferably 1 mg/kg to 50 mg/kg per day.

For oral administration to warm-blooded animals, the formula I compounds may be formulated as animal feeds, animal feed premixes, animal feed concentrates, pills, solutions, pastes, suspensions, drenches, gels, tablets, boluses and capsules. In addition, the formula I compounds may be administered to the animals in their drinking water. For oral administration, the dosage form chosen should provide the animal with 0.01 mg/kg to 100 mg/kg of animal body weight per day of the formula I compound, preferably with 0.5 mg/kg to 100 mg/kg of animal body weight per day.

Alternatively, the formula I compounds may be administered to animals parenterally, for example, by intraruminal, intramuscular, intravenous or subcutaneous injection. The formula I compounds may be dispersed or dissolved in a physiologically acceptable carrier for subcutaneous injection. Alternatively, the formula I compounds may be formulated into an implant for subcutaneous administration. In addition the formula I compound may be

transdermally administered to animals. For parenteral administration, the dosage form chosen should provide the animal with 0.01 mg/kg to 100 mg/kg of animal body weight per day of the formula I compound.

The formula I compounds may also be applied topically to the animals in the form of dips, dusts, powders, collars, medallions, sprays, shampoos, spot-on and pour-on formulations and in ointments or oil-in-water or water-in-oil emulsions. For topical application, dips and sprays usually contain 0.5 ppm to 5,000 ppm and preferably 1 ppm to 3,000 ppm of the formula I compound. In addition, the formula I compounds may be formulated as ear tags for animals, particularly guadrupeds such as cattle and sheep.

Suitable preparations are:

5

10

15

20

25

30

- Solutions such as oral solutions, concentrates for oral administration after dilution, solutions for use on the skin or in body cavities, pouring-on formulations, gels;
  - Emulsions and suspensions for oral or dermal administration; semi-solid preparations;
- Formulations in which the active compound is processed in an ointment base or in an oil-in-water or water-in-oil emulsion base;
- Solid preparations such as powders, premixes or concentrates, granules, pellets, tablets, boluses, capsules; aerosols and inhalants, and active compound-containing shaped articles.

Compositions suitable for injection are prepared by dissolving the active ingredient in a suitable solvent and optionally adding further auxiliaries such as acids, bases, buffer salts, preservatives, and solubilizers. Suitable auxiliaries for injection solutions are known in the art. The solutions are filtered and filled sterile.

Oral solutions are administered directly. Concentrates are administered orally after prior dilution to the use concentration. Oral solutions and concentrates are prepared according to the state of the art and as described above for injection solutions, sterile procedures not being necessary.

Solutions for use on the skin are trickled on, spread on, rubbed in, sprinkled on or sprayed on. Solutions for use on the skin are prepared according to the state of the art and according to what is described above for injection solutions, sterile procedures not being necessary.

Gels are applied to or spread on the skin or introduced into body cavities. Gels are prepared by treating solutions which have been prepared as described in the case of the injection solutions with sufficient thickener that a clear material having an ointment-like consistency results. Suitable thickeners are known in the art.

Pour-on formulations are poured or sprayed onto limited areas of the skin, the active compound penetrating the skin and acting systemically. Pour-on formulations are prepared by

dissolving, suspending or emulsifying the active compound in suitable skin-compatible solvents or solvent mixtures. If appropriate, other auxiliaries such as colorants, bioabsorption-promoting substances, antioxidants, light stabilizers, adhesives are added. Suitable such auxiliaries are known in the art.

5

10

15

20

25

30

Emulsions can be administered orally, dermally or as injections. Emulsions are either of the water-in-oil type or of the oil-in-water type. They are prepared by dissolving the active compound either in the hydrophobic or in the hydrophilic phase and homogenizing this with the solvent of the other phase with the aid of suitable emulsifiers and, if appropriate, other auxiliaries such as colorants, absorption-promoting substances, preservatives, antioxidants, light stabilizers, viscosity-enhancing substances. Suitable hydrophobic phases (oils), suitable hydrophilic phases, suitable emulsifiers, and suitable further auxiliaries for emulsions are known in the art.

Suspensions can be administered orally or topically/dermally. They are prepared by suspending the active compound in a suspending agent, if appropriate with addition of other auxiliaries such as wetting agents, colorants, bioabsorption-promoting substances, preservatives, antioxidants, light stabilizers. Suitable suspending agents, and suitable other auxiliaries for suspensions including wetting agents are known in the art.

Semi-solid preparations can be administered orally or topically/dermally. They differ from the suspensions and emulsions described above only by their higher viscosity.

For the production of solid preparations, the active compound is mixed with suitable excipients, if appropriate with addition of auxiliaries, and brought into the desired form. Suitable auxiliaries for this purpose are known in the art.

The compositions which can be used in the invention can comprise generally from about 0.001 to 95% of the compound of the present invention.

Ready-to-use preparations contain the compounds acting against parasites, preferably ectoparasites, in concentrations of 10 ppm to 80 per cent by weight, preferably from 0.1 to 65 per cent by weight, more preferably from 1 to 50 per cent by weight, most preferably from 5 to 40 per cent by weight.

Preparations which are diluted before use contain the compounds acting against ectoparasites in concentrations of 0.5 to 90 per cent by weight, preferably of 1 to 50 per cent by weight.

Furthermore, the preparations comprise the compounds of formula I against endoparasites in concentrations of 10 ppm to 2 per cent by weight, preferably of 0.05 to 0.9 per cent by weight, very particularly preferably of 0.005 to 0.25 per cent by weight.

Topical application may be conducted with compound-containing shaped articles such as collars, medallions, ear tags, bands for fixing at body parts, and adhesive strips and foils.

Generally it is favorable to apply solid formulations which release compounds of the present invention in total amounts of 10 mg/kg to 300 mg/kg, preferably 20 mg/kg to 200 mg/kg, most preferably 25 mg/kg to 160 mg/kg body weight of the treated animal in the course of three weeks.

### Mixtures

5

10

15

20

25

30

The present invention also relates to a mixture of at least one compound of the present invention with at least one mixing partner as defined herein after. Preferred are binary mixtures of one compound of the present invention as component I with one mixing partner as defined herein after as component II. Preferred weight ratios for such binary mixtures are from 5000:1 to 1:5000, preferably from 1000:1 to 1:1000, more preferably from 100:1 to 1:100, particularly preferably from 10:1 to 1:10. In such binary mixtures, components I and II may be used in equal amounts, or an excess of component I, or an excess of component II may be used.

Also, in the method of the present invention compounds of formula (I) may be applied with other active ingredients (mixing partners). These additional ingredients may be used sequentially or in combination with the above-described compositions, if appropriate also added only immediately prior to use (tank mix). For example, the plant(s) may be sprayed with a composition of this invention either before or after being treated with other active ingredients.

Mixing partners can be selected from pesticides, in particular insecticides, nematicides, and acaricides, fungicides, herbicides, plant growth regulators, fertilizers, and the like. Preferred mixing partners are insecticides, nematicides and fungicides.

The following list M of pesticides, grouped and numbered according the Mode of Action Classification of the Insecticide Resistance Action Committee (IRAC), together with which the compounds of the present invention can be used and with which potential synergistic effects might be produced, is intended to illustrate the possible combinations, but not to impose any limitation:

M.1 Acetylcholine esterase (AChE) inhibitors from the class of: M.1A carbamates, for example aldicarb, alanycarb, bendiocarb, benfuracarb, butocarboxim, butoxycarboxim, carbaryl, carbofuran, carbosulfan, ethiofencarb, fenobucarb, formetanate, furathiocarb, isoprocarb, methiocarb, methomyl, metolcarb, oxamyl, pirimicarb, propoxur, thiodicarb, thiofanox, trimethacarb, XMC, xylylcarb and triazamate; or from the class of M.1B organophosphates, for

5

10

15

20

25

30

example acephate, azamethiphos, azinphos-ethyl, azinphosmethyl, cadusafos, chlorethoxyfos, chlorfenvinphos, chlormephos, chlorpyrifos, chlorpyrifos-methyl, coumaphos, cyanophos, demeton-S-methyl, diazinon, dichlorvos/ DDVP, dicrotophos, dimethoate, dimethylvinphos, disulfoton, EPN, ethion, ethoprophos, famphur, fenamiphos, fenitrothion, fenthion, fosthiazate, heptenophos, imicyafos, isofenphos, isopropyl O- (methoxyaminothio-phosphoryl) salicylate, isoxathion, malathion, mecarbam, methamidophos, methidathion, mevinphos, monocrotophos, naled, omethoate, oxydemeton-methyl, parathion, parathion-methyl, phenthoate, phorate, phosalone, phosmet, phosphamidon, phoxim, pirimiphos- methyl, profenofos, propetamphos, prothiofos, pyraclofos, pyridaphenthion, quinalphos, sulfotep, tebupirimfos, temephos, terbufos, tetrachlorvinphos, thiometon, triazophos, trichlorfon and vamidothion;

M.2. GABA-gated chloride channel antagonists such as: M.2A cyclodiene organochlorine compounds, as for example endosulfan or chlordane; or M.2B fiproles (phenylpyrazoles), as for example ethiprole, fipronil, flufiprole, pyrafluprole and pyriprole;

M.3 Sodium channel modulators from the class of M.3A pyrethroids, for example acrinathrin, allethrin, d-cis-trans allethrin, d-trans allethrin, bifenthrin, bioallethrin, bioallethrin S-cylclopentenyl, bioresmethrin, cycloprothrin, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, gamma-cyhalothrin, cypermethrin, alpha-cypermethrin, beta-cypermethrin, theta-cypermethrin, zeta-cypermethrin, cyphenothrin, deltamethrin, empenthrin, esfenvalerate, etofenprox, fenpropathrin, fenvalerate, flucythrinate, flumethrin, tau-fluvalinate, halfenprox, heptafluthrin, imiprothrin, meperfluthrin,metofluthrin, momfluorothrin, permethrin, phenothrin, prallethrin, profluthrin, pyrethrin (pyrethrum), resmethrin, silafluofen, tefluthrin, tetramethylfluthrin, tetramethrin, tralomethrin and transfluthrin; or M.3B sodium channel modulators such as DDT or methoxychlor;

M.4 Nicotinic acetylcholine receptor agonists (nAChR) from the class of M.4A neonicotinoids, for example acetamiprid, clothianidin, cycloxaprid, dinotefuran, imidacloprid, nitenpyram, thiacloprid and thiamethoxam; or the compounds M.4A.2: (2E-)-1-[(6-Chloropyridin-3-yl)methyl]-N'-nitro-2-pentylidenehydrazinecarboximidamide; or M4.A.3: 1-[(6-Chloropyridin-3-yl)methyl]-7-methyl-8-nitro-5-propoxy-1,2,3,5,6,7-hexahydroimidazo[1,2-a]pyridine; or from the class M.4B nicotine;

M.5 Nicotinic acetylcholine receptor allosteric activators from the class of spinosyns, for example spinosad or spinetoram;

M.6 Chloride channel activators from the class of avermectins and milbemycins, for example abamectin, emamectin benzoate, ivermectin, lepimectin or milbemectin;

5

10

15

20

25

30

M.7 Juvenile hormone mimics, such as M.7A juvenile hormone analogues as hydroprene, kinoprene and methoprene; or others as M.7B fenoxycarb or M.7C pyriproxyfen;

M.8 miscellaneous non-specific (multi-site) inhibitors, for example M.8A alkyl halides as methyl bromide and other alkyl halides, or M.8B chloropicrin, or M.8C sulfuryl fluoride, or M.8D borax, or M.8E tartar emetic;

M.9 Selective homopteran feeding blockers, for example M.9B pymetrozine, or M.9C flonicamid:

M.10 Mite growth inhibitors, for example M.10A clofentezine, hexythiazox and diflovidazin, or M.10B etoxazole;

M.11 Microbial disruptors of insect midgut membranes, for example *bacillus thuringiensis* or *bacillus sphaericus* and the insecticdal proteins they produce such as *bacillus thuringiensis subsp. israelensis*, *bacillus sphaericus*, *bacillus thuringiensis subsp. aizawai*, *bacillus thuringiensis subsp. kurstaki* and *bacillus thuringiensis subsp. tenebrionis*, or the Bt crop proteins: Cry1Ab, Cry1Ac, Cry1Fa, Cry2Ab, mCry3A, Cry3Ab, Cry3Bb and Cry34/35Ab1;

M.12 Inhibitors of mitochondrial ATP synthase, for example M.12A diafenthiuron, or M.12B organotin miticides such as azocyclotin, cyhexatin or fenbutatin oxide, or M.12C propargite, or M.12D tetradifon;

M.13 Uncouplers of oxidative phosphorylation via disruption of the proton gradient, for example chlorfenapyr, DNOC or sulfluramid;

M.14 Nicotinic acetylcholine receptor (nAChR) channel blockers, for example nereistoxin analogues as bensultap, cartap hydrochloride, thiocyclam or thiosultap sodium;

M.15 Inhibitors of the chitin biosynthesis type 0, such as benzoylureas as for example bistrifluron, chlorfluazuron, diflubenzuron, flucycloxuron, flufenoxuron, hexaflumuron, lufenuron, novaluron, noviflumuron, teflubenzuron or triflumuron;

M.16 Inhibitors of the chitin biosynthesis type 1, as for example buprofezin;

M.17 Moulting disruptors, Dipteran, as for example cyromazine;

M.18 Ecdyson receptor agonists such as diacylhydrazines, for example methoxyfenozide, tebufenozide, halofenozide, fufenozide or chromafenozide;

M.19 Octopamin receptor agonists, as for example amitraz;

M.20 Mitochondrial complex III electron transport inhibitors, for example M.20A hydramethylnon, or M.20B acequinocyl, or M.20C fluacrypyrim;

M.21 Mitochondrial complex I electron transport inhibitors, for example M.21A METI acaricides and insecticides such as fenazaquin, fenpyroximate, pyrimidifen, pyridaben, tebufenpyrad or tolfenpyrad, or M.21B rotenone;

97

M.22 Voltage-dependent sodium channel blockers, for example M.22A indoxacarb, or M.22B metaflumizone, or M.22B.1: 2-[2-(4-Cyanophenyl)-1-[3-(trifluoromethyl)phenyl]-ethylidene]-N-[4-(difluoromethoxy)phenyl]-hydrazinecarboxamide or M.22B.2: N-(3-Chloro-2-methylphenyl)-2-[(4-chlorophenyl)[4-[methyl(methylsulfonyl)amino]phenyl]methylene]-hydrazinecarboxamide;

M.23 Inhibitors of the of acetyl CoA carboxylase, such as Tetronic and Tetramic acid derivatives, for example spirodiclofen, spiromesifen or spirotetramat;

5

10

15

20

25

30

M.24 Mitochondrial complex IV electron transport inhibitors, for example M.24A phosphine such as aluminium phosphide, calcium phosphide, phosphine or zinc phosphide, or M.24B cyanide;

M.25 Mitochondrial complex II electron transport inhibitors, such as beta-ketonitrile derivatives, for example cyenopyrafen or cyflumetofen;

M.28 Ryanodine receptor-modulators from the class of diamides, as for example flubendiamide, chlorantraniliprole (rynaxypyr®), cyantraniliprole (cyazypyr®), tetraniliprole, or the phthalamide compounds M.28.1: (R)-3-Chlor-N1-{2-methyl-4-[1,2,2,2-tetrafluor-1-(trifluormethyl)ethyl]phenyl}-N2-(1-methyl-2-methylsulfonylethyl)phthalamid and M.28.2: (S)-3-Chlor-N1-{2-methyl-4-[1,2,2,2 -tetrafluor-1-(trifluormethyl)ethyl]phenyl}-N2-(1-methyl-2methylsulfonylethyl)phthalamid, or the compound M.28.3: 3-bromo-N-{2-bromo-4-chloro-6-[(1cyclopropylethyl)carbamoyl]phenyl}-1-(3-chlorpyridin-2-yl)-1H-pyrazole-5-carboxamide (proposed ISO name: cyclaniliprole), or the compound M.28.4: methyl-2-[3,5-dibromo-2-({[3bromo-1-(3-chlorpyridin-2-yl)-1H-pyrazol-5-yl]carbonyl}amino)benzoyl]-1,2dimethylhydrazinecarboxylate; or a compound selected from M.28.5a) to M.28.5d) and M.28.5h) to M.28.5l): M.28.5a) N-[4,6-dichloro-2-[(diethyl-lambda-4-sulfanylidene)carbamoyl]-phenyl]-2-(3-chloro-2-pyridyl)-5-(trifluoromethyl)pyrazole-3-carboxamide; M.28.5b) N-[4-chloro-2-[(diethyllambda-4-sulfanylidene)carbamoyl]-6-methyl-phenyl]-2-(3-chloro-2-pyridyl)-5-(trifluoromethyl)pyrazole-3-carboxamide; M.28.5c) N-[4-chloro-2-[(di-2-propyl-lambda-4sulfanylidene)carbamoyl]-6-methyl-phenyl]-2-(3-chloro-2-pyridyl)-5-(trifluoromethyl)pyrazole-3carboxamide; M.28.5d) N-[4,6-dichloro-2-[(di-2-propyl-lambda-4-sulfanylidene)carbamoyl]phenyl]-2-(3-chloro-2-pyridyl)-5-(trifluoromethyl)pyrazole-3-carboxamide; M.28.5h) N-[4,6dibromo-2-[(diethyl-lambda-4-sulfanylidene)carbamoyl]-phenyl]-2-(3-chloro-2-pyridyl)-5-(trifluoromethyl)pyrazole-3-carboxamide; M.28.5i) N-[2-(5-Amino-1,3,4-thiadiazol-2-yl)-4-chloro-6-methylphenyl]-3-bromo-1-(3-chloro-2-pyridinyl)-1H-pyrazole-5-carboxamide; M.28.5j) 3-Chloro-1-(3-chloro-2-pyridinyl)-N-[2,4-dichloro-6-[[(1-cyano-1methylethyl)amino]carbonyl]phenyl]-1H-pyrazole-5-carboxamide; M.28.5k) 3-Bromo-N-[2,4-

dichloro-6-(methylcarbamoyl)phenyl]-1-(3,5-dichloro-2-pyridyl)-1H-pyrazole-5-carboxamide; M.28.5l) N-[4-Chloro-2-[[(1,1-dimethylethyl)amino]carbonyl]-6-methylphenyl]-1-(3-chloro-2-pyridinyl)-3-(fluoromethoxy)-1H-pyrazole-5-carboxamide;

M.28.6: cyhalodiamide;

5

10

15

20

25

30

M.29. insecticidal active compounds of unknown or uncertain mode of action, as for example afidopyropen, afoxolaner, azadirachtin, amidoflumet, benzoximate, bifenazate, broflanilide, bromopropylate, chinomethionat, cryolite, dicloromezotiaz, dicofol, flufenerim, flometoquin, fluensulfone, fluhexafon, fluopyram, flupyradifurone, fluralaner, metoxadiazone, piperonyl butoxide, pyflubumide, pyridalyl, pyrifluquinazon, sulfoxaflor, tioxazafen, triflumezopyrim, or the compounds

M.29.3: 11-(4-chloro-2,6-dimethylphenyl)-12-hydroxy-1,4-dioxa-9-azadispiro[4.2.4.2]-tetradec-11-en-10-one, or the compound

M.29.4: 3-(4'-fluoro-2,4-dimethylbiphenyl-3-yl)-4-hydroxy-8-oxa-1-azaspiro[4.5]dec-3-en-2-one, or the compound

M.29.5: 1-[2-fluoro-4-methyl-5-[(2,2,2-trifluoroethyl)sulfinyl]phenyl]-3-(trifluoromethyl)-1H-1,2,4-triazole-5-amine, or actives on basis of *bacillus firmus* (Votivo, I-1582); or

a compound selected from the group of M.29.6, wherein the compound is selected from M.29.6a) to M.29.6k): M.29.6a) (E/Z)-N-[1-[(6-chloro-3-pyridyl)methyl]-2-pyridylidene]-2,2,2-trifluoro-acetamide; M.29.6b) (E/Z)-N-[1-[(6-chloro-5-fluoro-3-pyridyl)methyl]-2-pyridylidene]-2,2,2-trifluoro-acetamide; M.29.6c) (E/Z)-2,2,2-trifluoro-N-[1-[(6-fluoro-3-pyridyl)methyl]-2-pyridylidene]-2,2,2-trifluoro-acetamide; M.29.6d) (E/Z)-N-[1-[(6-chloro-3-pyridyl)methyl]-2-pyridylidene]-2,2,2-trifluoro-acetamide; M.29.6f) (E/Z)-N-[1-[(6-chloro-3-pyridyl)methyl]-2-pyridylidene]-2,2-difluoro-acetamide; M.29.6g) (E/Z)-2-chloro-N-[1-[(6-chloro-3-pyridyl)methyl]-2-pyridylidene]-2,2-difluoro-acetamide; M.29.6h) (E/Z)-N-[1-[(6-chloro-3-pyridyl)methyl]-2-pyridylidene]-2,2,2-trifluoro-acetamide; M.29.6i) (E/Z)-N-[1-[(6-chloro-3-pyridyl)methyl]-2-pyridylidene]-2,2,2-trifluoro-propanamide.); M.29.6j) N-[1-[(6-chloro-3-pyridyl)methyl]-2-pyridylidene]-2,2,2-trifluoro-thioacetamide; or M.29.6k) N-[1-[(6-chloro-3-pyridyl)methyl]-2-pyridylidene]-2,2,2-trifluoro-N'-isopropyl-acetamidine; or the compounds

M.29.8: fluazaindolizine; or the compounds

M.29.9.a): 4-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]-2-methyl-N-(1-oxothietan-3-yl)benzamide; or M.29.9.b): fluxametamide; or

M.29.10: 5-[3-[2,6-dichloro-4-(3,3-dichloroallyloxy)phenoxy]propoxy]-1H-pyrazole; or

5

a compound selected from the group of M.29.11, wherein the compound is selected from M.29.11b) to M.29.11p): M.29.11.b) 3-(benzoylmethylamino)-N-[2-bromo-4-[1,2,2,3,3,3-hexafluoro-1-(trifluoromethyl)propyl]-6-(trifluoromethyl)phenyl]-2-fluoro-benzamide; M.29.11.c) 3-(benzoylmethylamino)-2-fluoro-N-[2-iodo-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]-6-(trifluoromethyl)phenyl]-benzamide; M.29.11.d) N-[3-[[[2-iodo-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]-N-methyl-benzamide; M.29.11.e) N-[3-[[[2-bromo-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]-6-(trifluoromethyl)phenyl]amino]carbonyl]-2-fluorophenyl]-4-fluoro-N-methyl-benzamide; M.29.11.f)

(trifluoromethyl)phenyl]amino]carbonyl]phenyl]-N-methyl-benzamide; M.29.11.g) 3-fluoro-N-[2-fluoro-3-[[[2-iodo-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]-6-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]-N-methyl-benzamide; M.29.11.h) 2-chloro-N-[3-[[[2-iodo-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]-6-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]- 3-pyridinecarboxamide; M.29.11.i) 4-cyano-N-

4-fluoro-N-[2-fluoro-3-[[[2-iodo-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]-6-

- [2-cyano-5-[[2,6-dibromo-4-[1,2,2,3,3,3-hexafluoro-1-(trifluoromethyl)-propyl]phenyl]carbamoyl]phenyl]-2-methyl-benzamide; M.29.11.j) 4-cyano-3-[(4-cyano-2-methyl-benzoyl)amino]-N-[2,6-dichloro-4-[1,2,2,3,3,3-hexafluoro-1-(trifluoromethyl)propyl]phenyl]-2-fluoro-benzamide; M.29.11.k) N-[5-[[2-chloro-6-cyano-4-[1,2,2,3,3,3-hexafluoro-1-(trifluoromethyl)propyl]phenyl]carbamoyl]-2-cyano-phenyl]-4-cyano-2-methyl-benzamide;
- 20 M.29.11.l) N-[5-[[2-bromo-6-chloro-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]carbamoyl]-2-cyano-phenyl]-4-cyano-2-methyl-benzamide; M.29.11.m) N-[5-[[2-bromo-6-chloro-4-[1,2,2,3,3,3-hexafluoro-1-(trifluoromethyl)propyl]phenyl]carbamoyl]-2-cyano-phenyl]-4-cyano-2-methyl-benzamide; M.29.11.n) 4-cyano-N-[2-cyano-5-[[2,6-dichloro-4-[1,2,2,3,3,3-hexafluoro-1-(trifluoromethyl)-
- propyl]phenyl]carbamoyl]phenyl]-2-methyl-benzamide; M.29.11.o) 4-cyano-N-[2-cyano-5-[[2,6-dichloro-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl]carbamoyl]phenyl]-2-methyl-benzamide; M.29.11.p) N-[5-[[2-bromo-6-chloro-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl]carbamoyl]-2-cyano-phenyl]-4-cyano-2-methyl-benzamide; or

a compound selected from the group of M.29.12, wherein the compound is selected from M.29.12a) to M.29.12m): M.29.12.a) 2-(1,3-Dioxan-2-yl)-6-[2-(3-pyridinyl)-5-thiazolyl]-pyridine; M.29.12.b) 2-[6-[2-(5-Fluoro-3-pyridinyl)-5-thiazolyl]-2-pyridinyl]-pyrimidine; M.29.12.d) N-Methylsulfonyl-6-[2-(3-pyridyl)thiazol-5-yl]pyridine-2-carboxamide; M.29.12.e) N-Methylsulfonyl-6-[2-(3-pyridyl)thiazol-5-yl]pyridine-2-carboxamide; M.29.12.f) N-Ethyl-N-[4-methyl-2-(3-pyridyl)thiazol-5-yl]-3-

100

methylthio-propanamide; M.29.12.g) N-Methyl-N-[4-methyl-2-(3-pyridyl)thiazol-5-yl]-3-methylthio-propanamide; M.29.12.h) N,2-Dimethyl-N-[4-methyl-2-(3-pyridyl)thiazol-5-yl]-3-methylthio-propanamide; M.29.12.i) N-Ethyl-2-methyl-N-[4-methyl-2-(3-pyridyl)thiazol-5-yl]-N-ethyl-3-methylthio-propanamide; M.29.12.j) N-[4-Chloro-2-(3-pyridyl)thiazol-5-yl]-N-ethyl-3-methylthio-propanamide; M.29.12.l) N-[4-Chloro-2-(3-pyridyl)thiazol-5-yl]-N-methyl-3-methylthio-propanamide; M.29.12.m) N-[4-Chloro-2-(3-pyridyl)thiazol-5-yl]-N-methyl-3-methylthio-propanamide; or the compounds

5

10

15

20

25

30

M.29.14a) 1-[(6-Chloro-3-pyridinyl)methyl]-1,2,3,5,6,7-hexahydro-5-methoxy-7-methyl-8-nitro-imidazo[1,2-a]pyridine; or M.29.14b) 1-[(6-Chloropyridin-3-yl)methyl]-7-methyl-8-nitro-1,2,3,5,6,7-hexahydroimidazo[1,2-a]pyridin-5-ol; or the compounds

M.29.16a) 1-isopropyl-N,5-dimethyl-N-pyridazin-4-yl-pyrazole-4-carboxamide; or M.29.16b) 1-(1,2-dimethylpropyl)-N-ethyl-5-methyl-N-pyridazin-4-yl-pyrazole-4-carboxamide; M.29.16c) N,5-dimethyl-N-pyridazin-4-yl-1-(2,2,2-trifluoro-1-methyl-ethyl)pyrazole-4-carboxamide; M.29.16d) 1-[1-(1-cyanocyclopropyl)ethyl]-N-ethyl-5-methyl-N-pyridazin-4-yl-pyrazole-4-carboxamide; M.29.16e) N-ethyl-1-(2-fluoro-1-methyl-propyl)-5-methyl-N-pyridazin-4-yl-pyrazole-4-carboxamide; M.29.16f) 1-(1,2-dimethylpropyl)-N,5-dimethyl-N-pyridazin-4-yl-pyrazole-4-carboxamide; M.29.16g) 1-[1-(1-cyanocyclopropyl)ethyl]-N,5-dimethyl-N-pyridazin-4-yl-pyrazole-4-carboxamide; M.29.16h) N-methyl-1-(2-fluoro-1-methyl-propyl]-5-methyl-N-pyridazin-4-yl-pyrazole-4-carboxamide; or M.29.16j) 1-(4,4-difluorocyclohexyl)-N-ethyl-5-methyl-N-pyridazin-4-yl-pyrazole-4-carboxamide; or M.29.16j) 1-(4,4-difluorocyclohexyl)-N,5-dimethyl-N-pyridazin-4-yl-pyrazole-4-carboxamide; or M.29.16j) 1-(4,4-difluorocyclohexyl)-N,5-dimethyl-N-pyridazin-4-yl-pyrazole-4-carboxamide; or M.29.16j) 1-(4,4-difluorocyclohexyl)-N,5-dimethyl-N-pyridazin-4-yl-pyrazole-4-carboxamide; or M.29.16j)

M.29.17 a compound selected from the compounds M.29.17a) to M.29.17j): M.29.17a) N-(1-methylethyl)-2-(3-pyridinyl)-2H-indazole-4-carboxamide; M.29.17b) N-cyclopropyl-2-(3-pyridinyl)-2H-indazole-4-carboxamide; M.29.17c) N-cyclohexyl-2-(3-pyridinyl)-2H-indazole-4-carboxamide; M.29.17d) 2-(3-pyridinyl)-N-(2,2,2-trifluoroethyl)-2H-indazole-4-carboxamide; M.29.17e) 2-(3-pyridinyl)-N-[(tetrahydro-2-furanyl)methyl]-2H-indazole-5-carboxamide; M.29.17f) methyl 2-[[2-(3-pyridinyl)-2H-indazol-5-yl]carbonyl]hydrazinecarboxylate; M.29.17g) N-[(2,2-difluorocyclopropyl)methyl]-2-(3-pyridinyl)-2H-indazole-5-carboxamide; M.29.17i) 2-(3-pyridinyl)-N-(2-pyrimidinylmethyl)-2H-indazole-5-carboxamide; M.29.17j) N-[(5-methyl-2-pyrazinyl)methyl]-2-(3-pyridinyl)-2H-indazole-5-carboxamide, or

M.29.18 a compound selected from the compounds M.29.18a) to M.29.18d): M.29.18a) N-[3-chloro-1-(3-pyridyl)pyrazol-4-yl]-N-ethyl-3-(3,3,3-trifluoropropylsulfanyl)propanamide;

101

M.29.18b) N-[3-chloro-1-(3-pyridyl)pyrazol-4-yl]-N-ethyl-3-(3,3,3-trifluoropropylsulfinyl)propanamide; M.29.18c) N-[3-chloro-1-(3-pyridyl)pyrazol-4-yl]-3-[(2,2-difluorocyclopropyl)methylsulfanyl]-N-ethyl-propanamide; M.29.18d) N-[3-chloro-1-(3-pyridyl)pyrazol-4-yl]-3-[(2,2-difluorocyclopropyl)methylsulfinyl]-N-ethyl-propanamide; or the compound

M.29.19 sarolaner, or the compound M.29.20 lotilaner.

5

10

15

20

25

30

The commercially available compounds of the group M listed above may be found in The Pesticide Manual, 16th Edition, C. MacBean, British Crop Protection Council (2013) among other publications. The online Pesticide Manual is updated regularly and is accessible through http://bcpcdata.com/pesticide-manual.html.

Another online data base for pesticides providing the ISO common names is http://www.alanwood.net/pesticides.

The M.4 neonicotinoid cycloxaprid is known from WO2010/069266 and WO2011/069456, the neonicotinoid M.4A.2, sometimes also to be named as quadipyr, is known from WO2013/003977, and the neonicotinoid M.4A.3 (approved as paichongding in China) is known from WO2007/101369. The metaflumizone analogue M.22B.1 is described in CN10171577 and the analogue M.22B.2 in CN102126994. The phthalamides M.28.1 and M.28.2 are both known from WO2007/101540. The anthranilamide M.28.3 is described in WO2005/077934. The hydrazide compound M.28.4 is described in WO2007/043677. The anthranilamides M.28.5a) to M.28.5d) and M.28.5h) are described in WO 2007/006670, WO2013/024009 and WO2013/024010, the anthranilamide M.28.5i) is described in WO2011/085575, M.28.5j) in WO2008/134969, M.28.5k) in US2011/046186 and M.28.5l) in WO2012/034403. The diamide compound M.28.6 can be found in WO2012/034472. The spiroketal-substituted cyclic ketoenol derivative M.29.3 is known from WO2006/089633 and the biphenyl-substituted spirocyclic ketoenol derivative M.29.4 from WO2008/067911. The triazoylphenylsulfide M.29.5 is described in WO2006/043635, and biological control agents on the basis of bacillus firmus are described in WO2009/124707. The compounds M.29.6a) to M.29.6i) listed under M.29.6 are described in WO2012/029672, and M.29.6j) and M.29.6k) in WO2013/129688. The nematicide M.29.8 is known from WO2013/055584. The isoxazoline M.29.9.a) is described in WO2013/050317. The isoxazoline M.29.9.b) is described in WO2014/126208. The pyridalyl-type analogue M.29.10 is known from WO2010/060379. The carboxamides broflanilide and M.29.11.b) to M.29.11.h) are described in WO2010/018714, and the carboxamides M.29.11i) to M.29.11.p) in WO2010/127926. The pyridylthiazoles M.29.12.a) to M.29.12.c) are known from

102

WO2010/006713, M.29.12.d) and M.29.12.e) are known from WO2012/000896, and M.29.12.f) to M.29.12.m) from WO2010/129497. The compounds M.29.14a) and M.29.14b) are known from WO2007/101369. The pyrazoles M.29.16.a) to M.29.16h) are described in WO2010/034737, WO2012/084670, and WO2012/143317, respectively, and the pyrazoles M.29.16i) and M.29.16j) are described in US 61/891437. The pyridinylindazoles M.29.17a) to M.29.17.j) are described in WO2015/038503. The pyridylpyrazoles M.29.18a) to M.29.18d) are described in US2014/0213448. The isoxazoline M.29.19 is described in WO2014/036056. The isoxazoline M.29.20 is known from WO2014/090918.

The following list of fungicides, in conjunction with which the compounds of the present invention can be used, is intended to illustrate the possible combinations but does not limit them:

## A) Respiration inhibitors

5

10

15

20

25

30

Inhibitors of complex III at Qo site (e. g. strobilurins): azoxystrobin (A.1.1), coumethoxystrobin (A.1.2), coumoxystrobin (A.1.3), dimoxystrobin (A.1.4), enestroburin (A.1.5), fenaminstrobin (A.1.6), fenoxystrobin/flufenoxystrobin (A.1.7), fluoxastrobin (A.1.8), kresoximmethyl (A.1.9), mandestrobin (A.1.10), metominostrobin (A.1.11), orysastrobin (A.1.12), picoxy-.strobin (A.1.13), pyraclostrobin (A.1.14), pyrametostrobin (A.1.15), pyraoxystrobin (A.1.16), trifloxystrobin (A.1.17), 2-(2-(3-(2,6-dichlorophenyl)-1-methyl-allylideneaminooxymethyl)phenyl)-2-methoxyimino-N-methyl-acetamide (A.1.18), pyribencarb (A.1.19), triclopyricarb/chlorodincarb (A.1.20), famoxadone (A.1.21), fenamidone (A.1.21), methyl-N-[2-[(1,4-dimethyl-5-phenyl-pyrazol-3-yl)oxylmethyl]phenyl]-N-methoxy-carbamate (A.1.22), 1-[3-chloro-2-[[1-(4-chlorophenyl)-1H-pyrazol-3-yl]oxymethyl]phenyl]-4-methyl-tetrazol-5-one (A.1.23), 1-[3-bromo-2-[[1-(4-chlorophenyl)pyrazol-3-yl]oxymethyl]phenyl]-4-methyl-tetrazol-5one (A.1.24), 1-[2-[[1-(4-chlorophenyl)pyrazol-3-yl]oxymethyl]-3-methyl-phenyl]-4-methyltetrazol-5-one (A.1.25), 1-[2-[[1-(4-chlorophenyl)pyrazol-3-yl]oxymethyl]-3-fluoro-phenyl]-4methyl-tetrazol-5-one (A.1.26), 1-[2-[[1-(2,4-dichlorophenyl)pyrazol-3-yl]oxymethyl]-3-fluorophenyl]-4-methyl-tetrazol-5-one (A.1.27), 1-[2-[[4-(4-chlorophenyl)thiazol-2-yl]oxymethyl]-3methyl-phenyl]-4-methyl-tetrazol-5-one (A.1.28), 1-[3-chloro-2-[[4-(p-tolyl)thiazol-2yl]oxymethyl]phenyl]-4-methyl-tetrazol-5-one (A.1.29), 1-[3-cyclopropyl-2-[[2-methyl-4-(1methylpyrazol-3-yl)phenoxy]methyl]phenyl]-4-methyl-tetrazol-5-one (A.1.30), 1-[3-(difluoromethoxy)-2-[[2-methyl-4-(1-methylpyrazol-3-yl)phenoxy]methyl]phenyl]-4-methyltetrazol-5-one (A.1.31), 1-methyl-4-[3-methyl-2-[[2-methyl-4-(1-methylpyrazol-3yl)phenoxy]methyl]phenyl]tetrazol-5-one (A.1.32), 1-methyl-4-[3-methyl-2-[[1-[3-(trifluoromethyl)phenyl]-ethylideneamino]oxymethyl]phenyl]tetrazol-5-one (A.1.33), (Z.2 E)-5-[1(2,4-dichlorophenyl)pyrazol-3-yl]oxy-2-methoxyimino-N,3-dimethyl-pent-3-enamide (A.1.34), (Z,2E)-5-[1-(4-chlorophenyl)pyrazol-3-yl]oxy-2-methoxyimino-N,3-dimethyl-pent-3-enamide (A.1.35), (Z,2E)-5-[1-(4-chloro-2-fluoro-phenyl)pyrazol-3-yl]oxy-2-methoxyimino-N,3-dimethyl-pent-3-enamide (A.1.36),

5

10

15

20

25

30

isobutyrate (A.2.8);

- inhibitors of complex III at Q<sub>i</sub> site: cyazofamid (A.2.1), amisulbrom (A.2.2), [(3S,6S,7R,8R)-8-benzyl-3-[(3-acetoxy-4-methoxy-pyridine-2-carbonyl)amino]-6-methyl-4,9-dioxo-1,5-dioxonan-7-yl] 2-methylpropanoate (A.2.3), [(3S,6S,7R,8R)-8-benzyl-3-[[3-(acetoxymethoxy)-4-methoxy-pyridine-2-carbonyl]amino]-6-methyl-4,9-dioxo-1,5-dioxonan-7-yl] 2-methylpropanoate (A.2.4), [(3S,6S,7R,8R)-8-benzyl-3-[(3-isobutoxycarbonyloxy-4-methoxy-pyridine-2-carbonyl)amino]-6-methyl-4,9-dioxo-1,5-dioxonan-7-yl] 2-methylpropanoate (A.2.5), [(3S,6S,7R,8R)-8-benzyl-3-[[3-(1,3-benzodioxol-5-ylmethoxy)-4-methoxy-pyridine-2-carbonyl]amino]-6-methyl-4,9-dioxo-1,5-dioxonan-7-yl] 2-methylpropanoate (A.2.6); (3S,6S,7R,8R)-3-[[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-6-methyl-4,9-dioxo-8-(phenylmethyl)-1,5-dioxonan-7-yl 2-methylpropanoate (A.2.7), (3S,6S,7R,8R)-8-benzyl-3-[(isobutyryloxy)methoxy]-4-methoxypicolinamido]-6-methyl-4,9-dioxo-1,5-dioxonan-7-yl
- inhibitors of complex II (e. g. carboxamides): benodaniI (A.3.1), benzovindiflupyr (A.3.2), bixafen (A.3.3), boscalid (A.3.4), carboxin (A.3.5), fenfuram (A.3.6), fluopyram (A.3.7), flutolaniI (A.3.8), fluxapyroxad (A.3.9), furametpyr (A.3.10), isofetamid (A.3.11), isopyrazam (A.3.12), meproniI (A.3.13), oxycarboxin (A.3.14), penflufen (A.3.14), penthiopyrad (A.3.15), sedaxane (A.3.16), tecloftalam (A.3.17), thifluzamide (A.3.18), N-(4'-trifluoromethylthiobiphenyl-2-yl)-3-difluoromethyl-1-methyl-1H-pyrazole-4-carboxamide (A.3.19), N-(2-(1,3,3-trimethyl-butyl)-phenyl)-1,3-dimethyl-5-fluoro-1H-pyrazole-4-carboxamide (A.3.20), 3-(difluoromethyl)-1-methyl-N-(1,1,3-trimethylindan-4-yl)pyrazole-4-carboxamide (A.3.21), 3-(trifluoromethyl)-1-methyl-N-(1,1,3-trimethylindan-4-yl)pyrazole-4-carboxamide (A.3.23), 3-(trifluoromethyl)-1,5-dimethyl-N-(1,1,3-trimethylindan-4-yl)pyrazole-4-carboxamide (A.3.24), 1,3,5-trimethyl-N-(1,1,3-trimethylindan-4-yl)pyrazole-4-carboxamide (A.3.25), N-(7-fluoro-1,1,3-trimethyl-indan-4-yl)-1,3-dimethyl-pyrazole-4-carboxamide (A.3.26), N-[2-(2,4-dichlorophenyl)-2-methoxy-1-methyl-ethyl]-3-(difluoromethyl)-1-methyl-pyrazole-4-carboxamide (A.3.27);
- other respiration inhibitors (e. g. complex I, uncouplers): diflumetorim (A.4.1), (5,8-difluoroquinazolin-4-yl)-{2-[2-fluoro-4-(4-trifluoromethylpyridin-2-yloxy)-phenyl]-ethyl}-amine (A.4.2); nitrophenyl derivates: binapacryl (A.4.3), dinobuton (A.4.4), dinocap (A.4.5), fluazinam (A.4.6); ferimzone (A.4.7); organometal compounds: fentin salts, such as fentin-acetate (A.4.8),

104

fentin chloride (A.4.9) or fentin hydroxide (A.4.10); ametoctradin (A.4.11); and silthiofam (A.4.12);

- B) Sterol biosynthesis inhibitors (SBI fungicides)
- C14 demethylase inhibitors (DMI fungicides): triazoles: azaconazole (B.1.1), 5 bitertanol (B.1.2), bromuconazole (B.1.3), cyproconazole (B.1.4), difenoconazole (B.1.5), diniconazole (B.1.6), diniconazole-M (B.1.7), epoxiconazole (B.1.8), fenbuconazole (B.1.9), fluquinconazole (B.1.10), flusilazole (B.1.11), flutriafol (B.1.12), hexaconazole (B.1.13), imibenconazole (B.1.14), ipconazole (B.1.15), metconazole (B.1.17), myclobutanil (B.1.18), oxpoconazole (B.1.19), paclobutrazole (B.1.20), penconazole (B.1.21), propiconazole (B.1.22), 10 prothioconazole (B.1.23), simeconazole (B.1.24), tebuconazole (B.1.25), tetraconazole (B.1.26), triadimefon (B.1.27), triadimenol (B.1.28), triticonazole (B.1.29), uniconazole (B.1.30), 1-[rel-(2S;3R)-3-(2-chlorophenyl)-2-(2,4-difluorophenyl)-oxiranylmethyl]-5-thiocyanato-1H-[1,2,4]triazolo (B.1.31), 2-[reF(2S;3R)-3-(2-chlorophenyl)-2-(2,4-difluorophenyl)-oxiranylmethyl]-2H-[1,2,4]triazole-3-thiol (B.1.32), 2-[2-chloro-4-(4-chlorophenoxy)phenyl]-1-(1,2,4-triazol-1yl)pentan-2-ol (B.1.33), 1-[4-(4-chlorophenoxy)-2-(trifluoromethyl)phenyl]-1-cyclopropyl-2-(1,2,4-15 triazol-1-yl)ethanol (B.1.34), 2-[4-(4-chlorophenoxy)-2-(trifluoromethyl)phenyl]-1-(1,2,4-triazol-1yl)butan-2-ol (B.1.35), 2-[2-chloro-4-(4-chlorophenoxy)phenyl]-1-(1,2,4-triazol-1-yl)butan-2-ol (B.1.36), 2-[4-(4-chlorophenoxy)-2-(trifluoromethyl)phenyl]-3-methyl-1-(1,2,4-triazol-1-yl)butan-2-ol (B.1.37), 2-[4-(4-chlorophenoxy)-2-(trifluoromethyl)phenyl]-1-(1,2,4-triazol-1-yl)propan-2-ol 20 (B.1.38), 2-[2-chloro-4-(4-chlorophenoxy)phenyl]-3-methyl-1-(1,2,4-triazol-1-yl)butan-2-ol (B.1.39), 2-[4-(4-chlorophenoxy)-2-(trifluoromethyl)phenyl]-1-(1,2,4-triazol-1-yl)pentan-2-ol (B.1.40), 2-[4-(4-fluorophenoxy)-2-(trifluoromethyl)phenyl]-1-(1,2,4-triazol-1-yl)propan-2-ol (B.1.41), 2-[2-chloro-4-(4-chlorophenoxy)phenyl]-1-(1,2,4-triazol-1-yl)pent-3-yn-2-ol (B.1.51); imidazoles: imazalil (B.1.42), pefurazoate (B.1.43), prochloraz (B.1.44), triflumizol (B.1.45); 25 pyrimidines, pyridines and piperazines: fenarimol (B.1.46), nuarimol (B.1.47), pyrifenox (B.1.48),
  - Delta14-reductase inhibitors: aldimorph (B.2.1), dodemorph (B.2.2), dodemorph-acetate (B.2.3), fenpropimorph (B.2.4), tridemorph (B.2.5), fenpropidin (B.2.6), piperalin (B.2.7), spiroxamine (B.2.8);

triforine (B.1.49), [3-(4-chloro-2-fluoro-phenyl)-5-(2,4-difluorophenyl)isoxazol-4-yl]-(3-

- Inhibitors of 3-keto reductase: fenhexamid (B.3.1);
- C) Nucleic acid synthesis inhibitors

pyridyl)methanol (B.1.50);

#### 105

- phenylamides or acyl amino acid fungicides: benalaxyl (C.1.1), benalaxyl-M (C.1.2), kiralaxyl (C.1.3), metalaxyl (C.1.4), metalaxyl-M (mefenoxam, C.1.5), ofurace (C.1.6), oxadixyl (C.1.7);
- others: hymexazole (C.2.1), octhilinone (C.2.2), oxolinic acid (C.2.3), bupirimate (C.2.4), 5-fluorocytosine (C.2.5), 5-fluoro-2-(p-tolylmethoxy)pyrimidin-4-amine (C.2.6), 5-fluoro-2-(4-fluorophenylmethoxy)pyrimidin-4-amine (C.2.7);
  - D) Inhibitors of cell division and cytoskeleton
  - tubulin inhibitors, such as benzimidazoles, thiophanates: benomyl (D1.1), carbendazim (D1.2), fuberidazole (D1.3), thiabendazole (D1.4), thiophanate-methyl (D1.5); triazolopyrimidines: 5-chloro-7-(4-methylpiperidin-1-yl)-6-(2,4,6-trifluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidine (D1.6);
  - other cell division inhibitors: diethofencarb (D2.1), ethaboxam (D2.2), pencycuron (D2.3), fluopicolide (D2.4), zoxamide (D2.5), metrafenone (D2.6), pyriofenone (D2.7);
    - E) Inhibitors of amino acid and protein synthesis
- methionine synthesis inhibitors (anilino-pyrimidines): cyprodinil (E.1.1), mepanipyrim (E.1.2), pyrimethanil (E.1.3);
  - protein synthesis inhibitors: blasticidin-S (E.2.1), kasugamycin (E.2.2), kasugamycin hydrochloride-hydrate (E.2.3), mildiomycin (E.2.4), streptomycin (E.2.5), oxytetracyclin (E.2.6), polyoxine (E.2.7), validamycin A (E.2.8);
    - F) Signal transduction inhibitors

10

20

- MAP / histidine kinase inhibitors: fluoroimid (F.1.1), iprodione (F.1.2), procymidone (F.1.3), vinclozolin (F.1.4), fenpiclonil (F.1.5), fludioxonil (F.1.6);
  - G protein inhibitors: quinoxyfen (F.2.1);
  - G) Lipid and membrane synthesis inhibitors
- Phospholipid biosynthesis inhibitors: edifenphos (G.1.1), iprobenfos (G.1.2), pyrazophos (G.1.3), isoprothiolane (G.1.4);
  - lipid peroxidation: dicloran (G.2.1), quintozene (G.2.2), tecnazene (G.2.3), tolclofosmethyl (G.2.4), biphenyl (G.2.5), chloroneb (G.2.6), etridiazole (G.2.7);
- phospholipid biosynthesis and cell wall deposition: dimethomorph (G.3.1), flumorph (G.3.2), mandipropamid (G.3.3), pyrimorph (G.3.4), benthiavalicarb (G.3.5), iprovalicarb (G.3.6), valifenalate (G.3.7) and N-(1-(1-(4-cyano-phenyl)ethanesulfonyl)-but-2-yl) carbamic acid-(4-fluorophenyl) ester (G.3.8);
  - compounds affecting cell membrane permeability and fatty acides: propamocarb (G.4.1);

#### 106

- fatty acid amide hydrolase inhibitors: oxathiapiprolin (G.5.1), 2-{3-[2-(1-{[3,5-bis(difluoromethyl-1H-pyrazol-1-yl]acetyl}piperidin-4-yl)-1,3-thiazol-4-yl]-4,5-dihydro-1,2-oxazol-5-yl}phenyl methanesulfonate (G.5.2), 2-{3-[2-(1-{[3,5-bis(difluoromethyl)-1H-pyrazol-1-yl]acetyl}piperidin-4-yl) 1,3-thiazol-4-yl]-4,5-dihydro-1,2-oxazol-5-yl}-3-chlorophenyl methanesulfonate (G.5.3);
  - H) Inhibitors with Multi Site Action

5

10

15

20

- inorganic active substances: Bordeaux mixture (H.1.1), copper acetate (H.1.2), copper hydroxide (H.1.3), copper oxychloride (H.1.4), basic copper sulfate (H.1.5), sulfur (H.1.6);
- thio- and dithiocarbamates: ferbam (H.2.1), mancozeb (H.2.2), maneb (H.2.3), metam (H.2.4), metiram (H.2.5), propineb (H.2.6), thiram (H.2.7), zineb (H.2.8), ziram (H.2.9);
- organochlorine compounds (e. g. phthalimides, sulfamides, chloronitriles): anilazine (H.3.1), chlorothalonil (H.3.2), captafol (H.3.3), captan (H.3.4), folpet (H.3.5), dichlofluanid (H.3.6), dichlorophen (H.3.7), hexachlorobenzene (H.3.8), pentachlorphenole (H.3.9) and its salts, phthalide (H.3.10), tolylfluanid (H.3.11), N-(4-chloro-2-nitro-phenyl)-N-ethyl-4-methyl-benzenesulfonamide (H.3.12);
- guanidines and others: guanidine (H.4.1), dodine (H.4.2), dodine free base (H.4.3), guazatine (H.4.4), guazatine-acetate (H.4.5), iminoctadine (H.4.6), iminoctadine-triacetate (H.4.7), iminoctadine-tris(albesilate) (H.4.8), dithianon (H.4.9), 2,6-dimethyl-1H,5H-[1,4]dithiino[2,3-c:5,6-c']dipyrrole-1,3,5,7(2H,6H)-tetraone (H.4.10);
  - I) Cell wall synthesis inhibitors
  - inhibitors of glucan synthesis: validamycin (I.1.1), polyoxin B (I.1.2);
- melanin synthesis inhibitors: pyroquilon (I.2.1), tricyclazole (I.2.2), carpropamid (I.2.3), dicyclomet (I.2.4), fenoxanil (I.2.5);
  - J) Plant defence inducers
- acibenzolar-S-methyl (J.1.1), probenazole (J.1.2), isotianil (J.1.3), tiadinil (J.1.4), prohexadione-calcium (J.1.5); phosphonates: fosetyl (J.1.6), fosetyl-aluminum (J.1.7), phosphorous acid and its salts (J.1.8), potassium or sodium bicarbonate (J.1.9);
  - K) Unknown mode of action
- bronopol (K.1.1), chinomethionat (K.1.2), cyflufenamid (K.1.3), cymoxanil (K.1.4), dazomet (K.1.5), debacarb (K.1.6), diclomezine (K.1.7), difenzoquat (K.1.8), difenzoquat-methylsulfate (K.1.9), diphenylamin (K.1.10), fenpyrazamine (K.1.11), flumetover (K.1.12), flusulfamide (K.1.13), flutianil (K.1.14), methasulfocarb (K.1.15), nitrapyrin (K.1.16), nitrothal-isopropyl (K.1.18), oxathiapiprolin (K.1.19), tolprocarb (K.1.20), oxin-copper (K.1.21),

107

proguinazid (K.1.22), tebufloquin (K.1.23), tecloftalam (K.1.24), triazoxide (K.1.25), 2-butoxy-6iodo-3-propylchromen-4-one (K.1.26), 2-[3,5-bis(difluoromethyl)-1H-pyrazol-1-yl]-1-[4-(4-{5-[2-(prop-2-yn-1-yloxy)phenyl]-4,5-dihydro-1,2-oxazol-3-yl}-1,3-thiazol-2-yl)piperidin-1-yl]ethanone (K.1.27), 2-[3,5-bis(difluoromethyl)-1H-pyrazol-1-yl]-1-[4-(4-{5-[2-fluoro-6-(prop-2-yn-1-yloxy)phenyl]-4,5-dihydro-1,2-oxazol-3-yl}-1,3-thiazol-2-yl)piperidin-1-yl]ethanone (K.1.28), 2-[3,5-5 bis(difluoromethyl)-1H-pyrazol-1-yl]-1-[4-(4-{5-[2-chloro-6-(prop-2-yn-1-yloxy)phenyl]-4,5dihydro-1,2-oxazol-3-yl}-1,3-thiazol-2-yl)piperidin-1-yl]ethanone (K.1.29), N-(cyclopropylmethoxyimino-(6-difluoro-methoxy-2,3-difluoro-phenyl)-methyl)-2-phenyl acetamide (K.1.30), N'-(4-(4-chloro-3-trifluoromethyl-phenoxy)-2,5-dimethyl-phenyl)-N-ethyl-N-methyl 10 formamidine (K.1.31), N'-(4-(4-fluoro-3-trifluoromethyl-phenoxy)-2,5-dimethyl-phenyl)-N-ethyl-Nmethyl formamidine (K.1.32), N'-(2-methyl-5-trifluoromethyl-4-(3-trimethylsilanyl-propoxy)phenyl)-N-ethyl-N-methyl formamidine (K.1.33), N'-(5-difluoromethyl-2-methyl-4-(3-trimethylsilanyl-propoxy)-phenyl)-N-ethyl-N-methyl formamidine (K.1.34), methoxy-acetic acid 6tert-butyl-8-fluoro-2,3-dimethyl-quinolin-4-yl ester (K.1.35), 3-[5-(4-methylphenyl)-2,3-dimethylisoxazolidin-3-yl]-pyridine (K.1.36), 3-[5-(4-chloro-phenyl)-2,3-dimethyl-isoxazolidin-3-yl]-15 pyridine (pyrisoxazole) (K.1.37), N-(6-methoxy-pyridin-3-yl) cyclopropanecarboxylic acid amide (K.1.38), 5-chloro-1-(4,6-dimethoxy-pyrimidin-2-yl)-2-methyl-1H-benzoimidazole (K.1.39), 2-(4-chloro-phenyl)-N-[4-(3,4-dimethoxy-phenyl)-isoxazol-5-yl]-2-prop-2-ynyloxy-acetamide, ethyl (Z)-3-amino-2-cyano-3-phenyl-prop-2-enoate (K.1.40), picarbutrazox (K.1.41), pentyl N-[6-20 [[(Z)-[(1-methyltetrazol-5-yl)-phenyl-methylene]amino]oxymethyl]-2-pyridyl]carbamate (K.1.42), 2-[2-[(7,8-difluoro-2-methyl-3-quinolyl)oxy]-6-fluoro-phenyl]propan-2-ol (K.1.43), 2-[2-fluoro-6-[(8-fluoro-2-methyl-3-quinolyl)oxy]phen-yl]propan-2-ol (K.1.44), 3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoguinolin-1-yl)guinoline (K.1.45), 3-(4,4-difluoro-3,3-dimethyl-3,4dihydroisoguinolin-1-yl)guinoline (K.1.46), 3-(4,4,5-trifluoro-3,3-dimethyl-3,4-dihydroisoguinolin-1-yl)quinoline (K.1.47), 9-fluoro-2,2-dimethyl-5-(3-quinolyl)-3H-1,4-benzoxazepine (K.1.48). 25

The fungicides described by common names, their preparation and their activity e.g. against harmful fungi is known (cf.: http://www.alanwood.net/pesticides/); these substances are commercially available.

The fungicides described by IUPAC nomenclature, their preparation and their pesticidal activity is also known (cf. Can. J. Plant Sci. 48(6), 587-94, 1968; EP-A 141 317; EP-A 152 031; EP-A 226 917; EP-A 243 970; EP-A 256 503; EP-A 428 941; EP-A 532 022; EP-A 1 028 125; EP-A 1 035 122; EP-A 1 201 648; EP-A 1 122 244, JP 2002316902; DE 19650197; DE 10021412; DE 102005009458; US 3,296,272; US 3,325,503; WO 98/46608; WO 99/14187; WO 99/24413; WO 99/27783; WO 00/29404; WO 00/46148; WO 00/65913; WO 01/54501;

108

WO 01/56358; WO 02/22583; WO 02/40431; WO 03/10149; WO 03/11853; WO 03/14103; WO 03/16286; WO 03/53145; WO 03/61388; WO 03/66609; WO 03/74491; WO 04/49804; WO 04/83193; WO 05/120234; WO 05/123689; WO 05/123690; WO 05/63721; WO 05/87772; WO 05/87773; WO 06/15866; WO 06/87325; WO 06/87343; WO 07/82098; WO 07/90624, WO 11/028657, WO2012/168188, WO 2007/006670, WO 2011/77514; WO13/047749, WO 10/069882, WO 13/047441, WO 03/16303, WO 09/90181, WO 13/007767, WO 13/010862, WO 13/127704, WO 13/024009, WO 13/024010 and WO 13/047441, WO 13/162072, WO 13/092224, WO 11/135833).

10

20

25

30

5

The present invention is now illustrated in further details by the following examples, without imposing any limitation thereto.

The following abbreviations are used:

DCE: Dichloroethane

15 DIEA: Diisopropylethylamine

EtOAc: ethyl acetate

HPLC: High Performance Liquid Chromatography

MS: Mass spectrometry

MeOH: Methanol t<sub>R</sub> = retention time

The compound examples were characterized by coupled High Performance Liquid Chromatography with mass spectrometry (HPLC/MS) or by their melting point.

Method A: Analytical HPLC column 1: RP-18 column Chromolith Speed ROD (from Merck KgaA, Germany). Elution: acetonitrile + 0.1% TFA acid/ water + 0.1% TFA in a ratio of from 5:95 to 95:5 in 5 minutes at 40°C.

Method B:

Analytical UPLC column: Phenomenex Kinetex 1,7  $\mu$ m XB-C18 100A; 50 x 2.1 mm; mobile phase: A: water+ 0.1% TFA; B: acetonitrile + 0.1% TFA; gradient: 5-100% B in 1.50 minutes; 100% B 0.20 min; flow: 0,8-1,0mL/min in 1,50 minutes at 60°C.

MS-method: ESI positive.

- A. Preparation examples
- 1. Preparation of intermediate compounds

109

#### 1.1 Synthesis of amine salt E1.1

5

10

15

20

25

A solution of 2-chloro-5-chloromethylpyridyl (16.20 g, 100 mmol) and 2-amino-pyridine (9.60 g, 102 mmol) in ethanol (100 mL) was refluxed for 24 hours. The reaction was then cooled to room temperature, and concentrated *in vacuo*. Then 100 mL of toluene were added to the residue, and the mixture was concentrated *in vacuo*. 75 mL of CH<sub>2</sub>Cl<sub>2</sub> were added to the residue and the mixture was stirred rapidly for 15 minutes, during which time a precipitate forms. The precipitate was then filtered, and washed with CH<sub>2</sub>Cl<sub>2</sub> (50 mL), diethyl ether (50 mL), and dried under vacuum to afford the title product as a pale yellow solid (14.0g, 55% yield).

LC-MS: mass calc'd. for  $C_{11}H_{11}CIN_3$  [M]<sup>+</sup> 220.1, found 220.1;  $t_R$ = 0.529 min.

Example 1.2: ((E)-N-[1-[(6-chloro-3-pyridyl)methyl]-2-pyridylidene]-3-methoxy-pyrazine-2-carboxamide; compound of formula (I), wherein Het is 6-chloropyridin-3-yl, X is O, Z is  $OCH_3$ ,  $R^4$  and  $R^5$  are H)

To a solution of amine salt E1.1 (0.868g, 3.39 mmol) and carboxylic acid 1a (0.475g, 3.08 mmol) in DCE (50 mL) at room temperature was sequentially added bromotripyrrolidinophosphonium hexafluorophosphate (1.80 g, 3.85 mmol), and DIEA (1.59g, 112.33 mmol). The reaction was then stirred at room temperature for 72 hours. Then CH<sub>2</sub>Cl<sub>2</sub> (100 mL) and water (100 mL) were added to the reaction, layers separated, and the organic phase washed with water (2 x 100 mL), dried over Na<sub>2</sub>SO<sub>4</sub>, and concentrated *in vacuo* to afford a residue. The residue was purified by column chromatography using silica gel, eluting with 100% EtOAc, to afford the desired compound as an off white solid (0.690g, 69% yield).

LC-MS: mass calc'd. for  $C_{17}H_{15}Cl_2N_5O_2$  [M+H]<sup>+</sup> 356.1, found 356.0;  $t_R$ = 0.688 min.

The following compounds were synthesized in analogy to the above synthetic path.

Table I: compounds of formula Y.1

$$R^1$$
  $R^2$   $N$   $N$   $R^4$   $R^4$   $(Y.1)$ 

with their with their physico chemical data are given in table Y.1 herein below:

5

15

20

Table Y.1

No.	X	R¹	R²	Z	R⁴	R⁵	RT [min]	m/z [M+H] <sup>+</sup>
I-1 (E1.2)	0	Н	Н	OMe	Н	Н	0.705	356.0
I-2	0	Н	Н	SMe	Н	Н	0.749	372.0
I-3	0	Н	Н	OMe	ethynyl	Н	0.782	395.0
I-4	0	Н	Н	OMe	Н	OMe	0.714	386.9
I-5	0	Н	Н	OMe	Me	Н	0.715	370.9
I-6	0	Н	Н	OMe	Н	Me	0.705	370.1
I-7	0	Н	Н	OMe	pent-1-ynyl	Н	0.984	422.3
I-8	S	Н	Н	OMe	Н	Η	0.849	372.2

# B Biological examples

The biologicaly activity of the compounds of formula (I) of the present invention may be evaluated in biological tests as described in the following.

If not otherwise specified the test solutions were prepared as follows:

The active compound was dissolved at the desired concentration in a mixture of 1:1 (vol:vol) distilled water: aceton. The test solution was prepared at the day of use and in general at concentrations of ppm (wt/vol).

## B.1 Boll weevil (Anthonomus grandis)

For evaluating control of boll weevil (*Anthonomus grandis*) the test unit consisted of 96-well-microtiter plates containing an insect diet and 5-10 *A. grandis* eggs.

The compounds were formulated using a solution containing 75% v/v water and 25% v/v DMSO. Different concentrations of formulated compounds were sprayed onto the insect diet at 5 µl, using a custom built micro atomizer, at two replications.

111

After application, microtiter plates were incubated at about 25 ± 1°C and about 75 ± 5% relative humidity for 5 days. Egg and larval mortality was then visually assessed.

In this test, compounds I-4 at 2500 ppm showed over 75 % mortality in comparison with untreated controls.

## B.2 Colorado Potato Beetle (Leptinotarsa decemlineata)

5

10

15

20

25

30

The active compounds were formulated by a Tecan liquid handler in 100% cyclohexanone as a 10,000 ppm solution supplied in tubes. The 10,000 ppm solution was serially diluted in 100% cyclohexanone to make interim solutions. These served as stock solutions for which final dilutions were made by the Tecan in 50% acetone: 50% water (v/v) into 10 or 20ml glass vials. A nonionic surfactant (Kinetic®) was included in the solution at a volume of 0.01% (v/v). The vials were then inserted into an automated electrostatic sprayer equipped with an atomizing nozzle for application to plants/insects.

Eggplants were grown 2 plants to a pot and were selected for treatment at the 1st true leaf stage. Test solutions were sprayed onto the foliage by an automated electrostatic plant sprayer equipped with an atomizing spray nozzle. The plants were dried in the sprayer fume hood and then removed from the sprayer. The treated foliage was then cut and removed from the pot and placed in a Petri dish lined with moistened filter paper. Five beetle larvae were introduced into each Petri dish and the dish was covered by a Petri dish lid. Petri dishes were maintained in a growth room at about 25°C and about 20-40% relative humidity for 4 days, avoiding direct exposure to fluorescent light (24 hour photoperiod) to prevent trapping of heat inside the dishes. Mortality and reduced feeding were assessed 4 days after treatment, compared to untreated control plants.

## B.3 Cotton aphid (Aphis gossypii)

The active compounds were formulated by a Tecan liquid handler in 100% cyclohexanone as a 10,000 ppm solution supplied in tubes. The 10,000 ppm solution was serially diluted in 100% cyclohexanone to make interim solutions. These served as stock solutions for which final dilutions were made by the Tecan in 50% acetone:50% water (v/v) into 10 or 20ml glass vials. A nonionic surfactant (Kinetic®) was included in the solution at a volume of 0.01% (v/v). The vials were then inserted into an automated electrostatic sprayer equipped with an atomizing nozzle for application to plants/insects.

Cotton plants at the cotyledon stage were infested with aphids prior to treatment by placing a heavily infested leaf from the main aphid colony on top of each cotyledon. Aphids were allowed to transfer overnight to accomplish an infestation of 80-100 aphids per plant and

112

the host leaf was removed. The infested plants were then sprayed by an automated electrostatic plant sprayer equipped with an atomizing spray nozzle. The plants were dried in the sprayer fume hood, removed from the sprayer, and then maintained in a growth room under fluorescent lighting in a 24-hr photoperiod at 25°C and 20-40% relative humidity. Aphid mortality on the treated plants, relative to mortality on untreated control plants, was determined after 5 days.

In this test, compounds I-1, I-8 at 300 ppm showed over 75 % mortality in comparison with untreated controls.

B.4 Cotton aphid (Aphis gossypii) II for seed treatment

5

10

15

20

25

30

The active compounds were prepared and formulated in 50% acetone:50% water (vol:vol) in glass vials.

Cotton seeds were placed in the glass vials and mixed with the formulated compounds. Solvent blank control seeds were treated with 50% acetone:50% water (vol:vol). Treated seeds were then air-dried. The cotton seeds were planted in Metro Mix® potting mix in pots, 2 seeds per pot, and maintained in the greenhouse.

Seedling plants were thinned to one plant per pot. At the cotyledon stage, six plants were infested with *Aphis gossypii* by manually transferring circa 25 aphids to each plant on a piece of leaf tissue cut from a donor plant infested with aphids. Infested plants were maintained on light carts. Four days after infestation, live aphids on each plant were counted.

## B.5 Cowpea aphid (Aphis craccivora)

The active compound was dissolved at the desired concentration in a mixture of 1:1 (vol:vol) distilled water: acetone. Surfactant (Kinetic® HV) was added at a rate of 0.01% (vol/vol). The test solution was prepared at the day of use.

Potted cowpea plants were colonized with approximately 30 - 50 aphids of various stages by manually transferring a leaf tissue cut from infested plant 24 hours before application. Plants were sprayed with the test solutions using a DeVilbiss® hand atomizer at 20-30 psi (≈ 1.38 to 2.07 bar) after the pest population has been checked. Treated plants were maintained on light carts at about 25-26°C. Percent mortality was assessed after 72 hours.

In this test, compound I-1 (E1.2) at 500 ppm showed over 75% mortality in comparison with untreated controls.

In this test, compounds I-1, I-2, I-3, I-4, and I-5 at 300 ppm showed over 75 % mortality in comparison with untreated controls.

#### B.6 Diamond back moth (Plutella xylostella)

The active compound was dissolved at the desired concentration in a mixture of 1:1 (vol:vol) distilled water: acetone. Surfactant (Kinetic® HV) was added at a rate of 0.01% (vol/vol). The test solution was prepared at the day of use.

Leaves of cabbage were dipped in test solution and air-dried. Treated leaves were placed in petri dishes lined with moist filter paper and inoculated with ten 3<sup>rd</sup> instar larvae. Mortality was recorded 72 hours after treatment. Feeding damages were also recorded using a scale of 0-100%.

10

15

20

25

30

5

## B.7a Green Peach Aphid (Myzus persicae)

The active compounds were formulated by a Tecan liquid handler in 100% cyclohexanone as a 10,000 ppm solution supplied in tubes. The 10,000 ppm solution was serially diluted in 100% cyclohexanone to make interim solutions. These served as stock solutions for which final dilutions were made by the Tecan in 50% acetone:50% water (v/v) into 10or 20ml glass vials. A nonionic surfactant (Kinetic®) was included in the solution at a volume of 0.01% (v/v). The vials were then inserted into an automated electrostatic sprayer equipped with an atomizing nozzle for application to plants/insects.

Bell pepper plants at the first true-leaf stage were infested prior to treatment by placing heavily infested leaves from the main colony on top of the treatment plants. Aphids were allowed to transfer overnight to accomplish an infestation of 30-50 aphids per plant and the host leaves were removed. The infested plants were then sprayed by an automated electrostatic plant sprayer equipped with an atomizing spray nozzle. The plants were dried in the sprayer fume hood, removed, and then maintained in a growth room under fluorescent lighting in a 24-hr photoperiod at about 25°C and about 20-40% relative humidity. Aphid mortality on the treated plants, relative to mortality on untreated control plants, was determined after 5 days.

In this test, compound E1.2 at 300 ppm showed over 75 % mortality in comparison with untreated controls.

## B.7b Green Peach Aphid (Myzus persicae)

For evaluating control of green peach aphid (*Myzus persicae*) through systemic means the test unit consisted of 96-well-microtiter plates containing liquid artificial diet under an artificial membrane.

114

The compounds were formulated using a solution containing 75% v/v water and 25% v/v DMSO. Different concentrations of formulated compounds were pipetted into the aphid diet, using a custom built pipetter, at two replications.

After application, 5-8 adult aphids were placed on the artificial membrane inside the microtiter plate wells. The aphids were then allowed to suck on the treated aphid diet and incubated at about  $23 \pm 1^{\circ}$ C and about  $50 \pm 5\%$  relative humidity for 3 days. Aphid mortality and fecundity was then visually assessed.

In this test, compound I-1 (E1.2) at 2500 ppm showed over 75% mortality in comparison with untreated controls.

In this test, compounds I-1, I-2, I-3, I-4, I-5, I-6, I-7, and I-8 at 2500 ppm showed over 75 % mortality in comparison with untreated controls.

#### B.8 Green Soldier Stink Bug (Nezara viridula)

5

15

20

25

30

The active compound was dissolved at the desired concentration in a mixture of 1:1 (vol:vol) distilled water: aceteone. Surfactant (Kinetic® HV) was added at a rate of 0.01% (vol/vol). The test solution were prepared at the day of use.

Soybean pods were placed in 90 x 50 mm glass Petri dishes lined with moist filter paper and inoculated with ten late 3rd instar *N. viridula*. Using a hand atomizer, an approximately 2 ml solution was sprayed into each Petri dish. Treated cups were kept at about 25-26°C and relative humidity of about 65-70%. Percent mortality was recorded after 5 days.

## B.9 Mediterranean fruitfly (*Ceratitis capitata*)

For evaluating control of Mediterranean fruitfly (*Ceratitis capitata*) the test unit consisted of microtiter plates containing an insect diet and 50-80 *C. capitata* eggs.

The compounds were formulated using a solution containing 75% v/v water and 25% v/v DMSO. Different concentrations of formulated compounds were sprayed onto the insect diet at 5 µl, using a custom built micro atomizer, at two replications.

After application, microtiter plates were incubated at about 28 ± 1°C and about 80 ± 5% relative humidity for 5 days. Egg and larval mortality was then visually assessed.

B.10 Orchid thrips (dichromothrips corbetti)

*Dichromothrips corbetti* adults used for bioassay were obtained from a colony maintained continuously under laboratory conditions. For testing purposes, the test compound was diluted in a 1:1 mixture of acetone:water (vol:vol), plus Kinetic® HV at a rate of 0.01% v/v.

115

Thrips potency of each compound was evaluated by using a floral-immersion technique. All petals of individual, intact orchid flowers were dipped into treatment solution and allowed to dry in Petri dishes. Treated petals were placed into individual re-sealable plastic along with about 20 adult thrips. All test arenas were held under continuous light and a temperature of about 28°C for duration of the assay. After 3 days, the numbers of live thrips were counted on each petal. The percent mortality was recorded 72 hours after treatment.

In this test, compound I-1 (E1.2) at 500 ppm showed over 75% mortality in comparison with untreated controls.

In this test, compounds I-1, and I-2 at 500 ppm showed over 75 % mortality in comparison with untreated controls.

## B.11 Red spider Mite (Tetranychus kanzawai)

5

10

15

20

25

30

The active compound was dissolved at the desired concentration in a mixture of 1:1 (vol:vol) distilled water:acetone. Add surfactant (Kinetic® HV) was added at a rate of 0.01% (vol/vol). The test solution was prepared at the day of use.

Potted cowpea beans of 4-5 days of age were cleaned with tap water and sprayed with 1-2 ml of the test solution using air driven DeVilbiss® hand atomizer at 20-30 psi (≈ 1,38 to 2,07 bar). The treated plants were allowed to air dry and afterwards inoculated with 30 or more mites by clipping a cassava leaf section from rearing population. Treated plants were placed inside a holding room at about 25-26°C and about 65-70% relative humidity. Percent mortality was assessed 72 hours after treatment.

## B.12 Rice green leafhopper (*Nephotettix virescens*)

Four to five-week old rice seedlings with cut upper leaf portion were cleaned and washed 24 hours before spraying. The active compounds were formulated in 1:1 acetone:water (vol:vol), and 0.01% vol/vol surfactant (Kinetic® HV) was added. Potted rice seedlings were sprayed with 5-6 ml test solution, air dried, covered with Mylar cages and inoculated with 10 adults. Treated rice plants were kept at about 28-29°C and relative humidity of about 50-60%. Percent mortality was recorded after 72 hours.

In this test, compound I-1 (E1.2) at 500 ppm showed over 75% mortality in comparison with untreated controls.

In this test, compounds I-1, I-2, I-4, I-5, and I-6 at 500 ppm showed over 75 % mortality in comparison with untreated controls.

116

B.13 Rice brown plant hopper (*Nilaparvata lugens*)

Four to five-week old rice seedlings were cleaned and washed 24 hours before spraying. The active compounds were formulated in 1:1 acetone:water (vol:vol) and 0.01% vol/vol surfactant (Kinetic® HV) was added. Potted rice seedlings were sprayed with 5- 6 ml test solution, air dried, covered with Mylar cages and inoculated with 10 adults. Treated rice plants were kept at about 28-29°C and relative humidity of about 50-60%. Percent mortality was recorded after 72 hours.

In this test, compound I-1 (E1.2) at 500 ppm showed over 75% mortality in comparison with untreated controls.

In this test, compounds I-1, I-4, and I-6 at 500 ppm showed over 75 % mortality in comparison with untreated controls.

B.14 Silverleaf whitefly (Bemisia argentifolii)

5

10

15

20

25

30

The active compounds were formulated by a Tecan liquid handler in 100% cyclohexanone as a 10,000 ppm solution supplied in tubes. The 10,000 ppm solution was serially diluted in 100% cyclohexanone to make interim solutions. These served as stock solutions for which final dilutions were made by the Tecan in 50% acetone:50% water (v/v) into 5 or 10ml glass vials. A nonionic surfactant (Kinetic®) was included in the solution at a volume of 0.01% (v/v). The vials were then inserted into an automated electrostatic sprayer equipped with an atomizing nozzle for application to plants/insects.

Cotton plants at the cotyledon stage (one plant per pot) were sprayed by an automated electrostatic plant sprayer equipped with an atomizing spray nozzle. The plants were dried in the sprayer fume hood and then removed from the sprayer. Each pot was placed into a plastic cup and about 10 to 12 whitefly adults (approximately 3-5 days old) were introduced. The insects were collected using an aspirator and a nontoxic Tygon® tubing connected to a barrier pipette tip. The tip, containing the collected insects, was then gently inserted into the soil containing the treated plant, allowing insects to crawl out of the tip to reach the foliage for feeding. Cups were covered with a reusable screened lid. Test plants were maintained in a growth room at about 25°C and about 20-40% relative humidity for 3 days, avoiding direct exposure to fluorescent light (24 hour photoperiod) to prevent trapping of heat inside the cup. Mortality was assessed 3 days after treatment, compared to untreated control plants.

In this test, compound I-1 (E1.2) at 300 ppm showed over 75% mortality in comparison with untreated controls.

In this test, compounds I-1, and I-7 at 300 ppm showed over 75 % mortality in comparison with untreated controls.

117

#### B.15 Southern armyworm (Spodoptera eridania), 2nd instar larvae

The active compounds were formulated by a Tecan liquid handler in 100% cyclohexanone as a 10,000 ppm solution supplied in tubes. The 10,000 ppm solution was serially diluted in 100% cyclohexanone to make interim solutions. These served as stock solutions for which final dilutions were made by the Tecan in 50% acetone:50% water (v/v) into 10 or 20ml glass vials. A nonionic surfactant (Kinetic®) was included in the solution at a volume of 0.01% (v/v). The vials were then inserted into an automated electrostatic sprayer equipped with an atomizing nozzle for application to plants/insects.

Lima bean plants (variety Sieva) were grown 2 plants to a pot and selected for treatment at the 1<sup>st</sup> true leaf stage. Test solutions were sprayed onto the foliage by an automated electrostatic plant sprayer equipped with an atomizing spray nozzle. The plants were dried in the sprayer fume hood and then removed from the sprayer. Each pot was placed into perforated plastic bags with a zip closure. About 10 to 11 armyworm larvae were placed into the bag and the bags zipped closed. Test plants were maintained in a growth room at about 25°C and about 20-40% relative humidity for 4 days, avoiding direct exposure to fluorescent light (24 hour photoperiod) to prevent trapping of heat inside the bags. Mortality and reduced feeding were assessed 4 days after treatment, compared to untreated control plants.

In this test, compounds I-1 at 300 ppm showed over 75 % mortality in comparison with untreated controls.

## B.16 Striped Stem Borer (Chilo suppressalis)

The active compound was dissolved at the desired concentration in a mixture of 1:1 (vol:vol) distilled water: acetone. Surfactant (Kinetic® HV) was added at a rate of 0.01% (vol/vol). The test solution was prepared at the day of use.

#### Ovicidal Effect:

5

10

15

20

25

30

Day old egg masses on 0.5-1 inch (≈ 1.27 to 2.54 cm) rice leaf section soaked in the test solution. The treated egg masses were transferred in petri dishes lined with moist filter paper. Percent hatch and percent mortality of larvae were both recorded after 120 hours.

#### Contact Activity.

Ten first-instar larvae were allowed to crawl on sprayed petriplates for 1 minute and then provided with one freshly cut rice straw per plate. After 10 minutes when all of the larvae were

118

inside the straw will then be covered with Petri lid. Percent mortality was recorded after 72 hours after treatment.

## B.17 Tobacco budworm (Heliothis virescens) I

For evaluating control of tobacco budworm (*Heliothis virescens*) the test unit consisted of 96-well-microtiter plates containing an insect diet and 15-25 *H. virescens* eggs.

The compounds were formulated using a solution containing 75% v/v water and 25% v/v DMSO. Different concentrations of formulated compounds were sprayed onto the insect diet at 10 µl, using a custom built micro atomizer, at two replications.

10

15

20

25

5

# B.18 Tobacco Budworm (Heliothis virescens) II

The active compounds were formulated by a Tecan liquid handler in 100% cyclohexanone as a 10,000 ppm solution supplied in tubes. The 10,000 ppm solution was serially diluted in 100% cyclohexanone to make interim solutions. These served as stock solutions for which final dilutions were made by the Tecan in 50% acetone:50% water (v/v) into 10or 20ml glass vials. A nonionic surfactant (Kinetic®) was included in the solution at a volume of 0.01% (v/v). The vials were then inserted into an automated electrostatic sprayer equipped with an atomizing nozzle for application to plants/insects.

Cotton plants were grown 2 plants to a pot and selected for treatment at the cotyledon stage. Test solutions were sprayed onto the foliage by an automated electrostatic plant sprayer equipped with an atomizing spray nozzle. The plants were dried in the sprayer fume hood and then removed from the sprayer. Each pot was placed into perforated plastic bags with a zip closure. About 10 to 11 budworm larvae were placed into the bag and the bags zipped closed. Test plants were maintained in a growth room at about 25°C and about 20-40% relative humidity for 4 days, avoiding direct exposure to fluorescent light (24 hour photoperiod) to prevent trapping of heat inside the bags. Mortality and reduced feeding were assessed 4 days after treatment, compared to untreated control plants.

B.19 Two-spotted spider mite (tetranychus urticae); (special test: OP-resistant strain)

30

The active compounds were formulated by a Tecan liquid handler in 100% cyclohexanone as a 10,000 ppm solution supplied in tubes. The 10,000 ppm solution was serially diluted in 100% cyclohexanone to make interim solutions. These served as stock solutions for which final dilutions were made by the Tecan in 50% acetone:50% water (v/v) into 10 or 20 ml glass vials. A nonionic surfactant (Kinetic®) was included in the solution at a volume of 0.01% (v/v). The

119

vials were then inserted into an automated electrostatic sprayer equipped with an atomizing nozzle for application to plants/insects.

Sieva lima bean plants with primary leaves expanded to 7-12 cm were infested by placing on each a small piece from an infested leaf (with about 100 mites) taken from the main colony. This was done at about 2 hours before treatment to allow the mites to move over to the test plant to lay eggs. The piece of leaf used to transfer the mites was removed. The newly-infested plants were dipped in the test solution and allowed to dry. The test plants were kept under fluorescent light (24 hour photoperiod) at about 25°C and about 20-40% relative humidity. After 5 days, one leaf was removed and mortality counts were made.

10

15

20

5

## B.20 Vetch aphid (*Megoura viciae*)

For evaluating control of vetch aphid (*Megoura viciae*) through contact or systemic means the test unit consisted of 24-well-microtiter plates containing broad bean leaf disks.

The compounds were formulated using a solution containing 75% v/v water and 25% v/v DMSO. Different concentrations of formulated compounds were sprayed onto the leaf disks at 2.5 µl, using a custom built micro atomizer, at two replications.

After application, the leaf disks were air-dried and 5-8 adult aphids placed on the leaf disks inside the microtiter plate wells. The aphids were then allowed to suck on the treated leaf disks and incubated at about  $23 \pm 1^{\circ}$ C and about  $50 \pm 5\%$  relative humidity for 5 days. Aphid mortality and fecundity was then visually assessed.

In this test, compound I-1 (E1.2) at 2500 ppm showed over 75% mortality in comparison with untreated controls.

In this test, compounds I-1, I-2, I-3, I-4, I-5, I-6, I-7, and I-8 at 2500 ppm showed over 75 % mortality in comparison with untreated controls.

25

30

## B.21 Western corn rootworm assay (*Diabrotica virgifera virgifera*)

Soil incorporation against western corn rootworm

The active compound was applied in acetone at rates of 5 and 50 ppm a.i./soil (w/w). Treatments were applied in solution to sifted, North Carolina loamy sand (Sandhill soil) in a plastic bag. Treatments were thoroughly incorporated by sealing and shaking each bag by hand and allowing the solution to soak through the soil mass for at least 10 minutes before unsealing. The bags were then kept open in a fume hood overnight to evaporate the solvent from the soil.

One day after treatment (DAT) distilled water for moisture and water-soaked millet seed (Panicum miliaceum 'white millet') as a food source were added to each bag and mixed in

thoroughly. 11 cm³ of millet and soil mixture were dispensed into a 1 oz. plastic cup. Each cup was infested with 10 western corn rootworm second-instar larvae. Each cup or group of four cells was a replicate, and replication was 3x. The test was maintained in incubators at 26°C in the dark. Mortality was evaluated 3 days after infestation (DAI) and mean percent mortality was calculated.

## B.22 Western flower thrips (Frankliniella occidentalis)

5

10

15

20

25

30

Serial dilutions of each technical grade AI were made in pure acetone. 0.5 ml of the treatment solution was deposited into the bottom of a glass vial (scintillation vial). The cap was screwed back onto the vial and inverted for about five seconds. The cap was subsequently removed and the vial laid on its side and rolled constantly, on a hot dog roller, until all the acetone had flashed off and the inner surface of the vial was dry.

Cotton leave discs were also dipped simultaneously into the treatment solutions and allowed to dry. After the vials were dried, the leave discs were placed into the vials to serve as a food/water source for the thrips. Each treatment was replicated 5-fold.

Western flower thrips were aspirated into the vials, approximately 5 larvae or adults / vial. Following treatment application the vials were held in a holding room under fluorescent light and constant 26°C.

Thrips mortality was assessed at 2 DAT (days after treatment), counting all thrips both dead and alive.

## B.23 Yellow fever mosquito (Aedes aegypti)

For evaluating control of yellow fever mosquito (*Aedes aegypti*) the test unit consisted of 96-well-microtiter plates containing 200 µl of tap water per well and 5-15 freshly hatched *A. aegypti* larvae.

The active compounds were formulated using a solution containing 75% (v/v) water and 25% (v/v) DMSO. Different concentrations of formulated compounds or mixtures were sprayed onto the insect diet at 2.5µl, using a custom built micro atomizer, at two replications.

After application, microtiter plates were incubated at  $28 + 1^{\circ}$ C, 80 + 5% RH for 2 days. Larval mortality was then visually assessed.

#### Claims

1. An N-(thio)acylimino compound of formula (I):

$$\begin{array}{c|c}
R^{1} & R^{2} & N & X & Z \\
Het & N & N & N & N \\
N & N & N & N & N
\end{array}$$

$$\begin{array}{c|c}
R^{5} & R^{4} & (I) \\
(R^{6})_{k} & (I) & (I)
\end{array}$$

5 wherein

10

15

20

25

Het is a 5- or 6-membered carbon-bound or nitrogen-bound heteroaromatic ring comprising 1, 2, 3 or 4 heteroatoms selected from sulfur, oxygen and nitrogen as ring members, wherein the heteroaromatic ring is optionally substituted by 1, 2, 3 or 4 identical or different substituents R<sup>6a</sup>;

R¹, R², independently of each other, are selected from the group consisting of hydrogen, halogen, cyano, nitro, SCN, C¹-C₆-alkyl, C³-C₆-cycloalkyl, C²-C₆-alkenyl, C²-C₆-alkynyl, wherein the aliphatic and cycloaliphatic moieties in the 6 last-mentioned radicals may be partly or completely halogenated and/or may carry one or more radicals R³;

$$\begin{split} \text{OR$^8$, OSO$_2$R$^8$, S(O)$_n$R$^8$, S(O)$_n$NR$^{9a}R$^{9b}$, NR$^{9a}R$^{9b}$, C(=O)NR$^{9a}R$^{9b}$, C(=S)NR$^{9a}R$^{9b}$, C(=O)OR$^8$, Si(R$^{11}$)$_2$R$^{12}$, C(=O)R$^{7a}$, C(=S)R$^{7a}$, \ldots$$

phenyl, optionally substituted with 1, 2, 3, 4 or 5 substituents R<sup>10</sup>, and a 3-, 4-, 5-, 6- or 7-membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 substituents R<sup>10</sup>, and wherein the nitrogen and the sulfur atom(s) of the heterocyclic ring may optionally be oxidized;

R¹ and R² form, together with the carbon atom they are attached to, a 3-, 4-, 5- or 6-membered saturated or partly unsaturated carbocyclic or heterocyclic ring containing 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, wherein the cycle is unsubstituted or may carry 1 or 2 radicals R¹o;

30 or

122

R¹ and R² may form together a group =O, =CR¹³R¹⁴; =S; =NR⁰a, =NOR⁰; or =NNR⁰aR⁰b;

- A is a 6-membered saturated, partially or maximally unsaturated N-heterocyclic ring;
- k is an integer selected from 0, 1, 2, 3 and 4;
- X is O or S;

5

15

20

25

30

35

10 Z is  $OR^{3a}$ ,  $NR^{3b}R^{3c}$ , or  $S(O)_mR^{3d}$ ;

wherein  $R^{3a}$ ,  $R^{3b}$ ,  $R^{3c}$  and  $R^{3d}$ , independently of each other, are selected from the group consisting of H, CN,  $S(O)_2NH_2$ ,  $C_1$ - $C_6$ -alkyl,  $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -alkynyl,  $C_3$ - $C_6$ -cycloalkyl,  $(C_3$ - $C_6$ -cycloalkyl)- $C_1$ - $C_6$ -alkyl,  $(C_1$ - $C_6$ -alkyl) sulfonyl,  $(C_1$ - $C_6$ -alkyl)-carbonyl,  $(C_1$ - $C_6$ -alkoxy)carbonyl,  $(C_1$ - $C_6$ -alkyl)sulfonyl,  $(C_1$ - $C_6$ -alkyl)amino-carbonyl,  $(C_1$ - $C_6$ -alkyl)aminosulfonyl and  $(C_1$ - $C_6$ -alkoxy)sulfonyl, where the aliphatic and cycloaliphatic moieties in the 14 last-mentioned radicals are unsubstituted or are partly or completely halogenated or may carry 1, 2, 3, 4 substituents  $NR^{9a}R^{9b}$ , phenyl, phenylsulfonyl, phenyl- $C_1$ - $C_6$ -alkyl, phenylaminosulfonyl, phenylcarbonyl and phenoxycarbonyl, wherein phenyl in the 6 last-mentioned radicals is unsubstituted or substituted by 1, 2, 3, 4 or 5 substituents independently selected from the group consisting of halogen, CN,  $NO_2$ ,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_1$ - $C_6$ -alkoxy and  $C_1$ - $C_6$ -haloalkoxy;

where R<sup>3d</sup> may further be NR<sup>9a</sup>R<sup>9b</sup>;

where one of  $R^{3b}$  and  $R^{3c}$  may further be selected from OH,  $C_1$ - $C_6$ -alkoxy,  $C_3$ - $C_6$ -cycloalkyl)- $C_1$ - $C_4$ -alkoxy,  $C_2$ - $C_6$ -alkenyloxy,  $C_2$ - $C_6$ -alkynyloxy,  $(C_1$ - $C_6$ -alkoxy)- $C_1$ - $C_6$ -alkoxy, where the aliphatic and cycloaliphatic moieties in the 6 last-mentioned radicals are unsubstituted or are partly or completely halogenated; and phenoxy which is unsubstituted or substituted by 1, 2, 3, 4 or 5 substituents independently selected from the group consisting of halogen, CN,  $NO_2$ ,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_1$ - $C_6$ -alkoxy and  $C_1$ - $C_6$ -haloalkoxy; or

R³b and R³c, together with the nitrogen atom to which they are bound, form an N-bound, mono- or bicyclic 3-, 4-, 5-, 6- or 7-membered saturated, partly unsaturated or maximally unsaturated heterocyclic radical which may comprise 1, 2 or 3 further heteroatoms selected from N, O and S as ring members, where the heterocyclic radical is unsubstituted or substituted by one or more substituents independently selected from the group consisting of halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy and C<sub>1</sub>-C<sub>6</sub>-haloalkoxy; and

123

m is an integer selected from 0, 1 and 2;

5

10

15

20

25

30

35

R<sup>4</sup>, R<sup>5</sup>, independently of each other, are selected from the group consisting of hydrogen, halogen, cyano, azido, nitro, SCN, SF<sub>5</sub>, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, wherein the 4 last-mentioned aliphatic and cycloaliphatic radicals may be partly or completely halogenated and/or may carry one or more radicals R<sup>7</sup>; Si(R<sup>11</sup>)<sub>2</sub>R<sup>12</sup>, OR<sup>8</sup>, OS(O)<sub>n</sub>R<sup>8</sup>, S(O)<sub>n</sub>R<sup>8</sup>, S(O)<sub>n</sub>NR<sup>9a</sup>R<sup>9b</sup>, NR<sup>9a</sup>R<sup>9b</sup>, C(=O)R<sup>7a</sup>, C(=S)R<sup>7a</sup>, C(=O)OR<sup>8</sup>,C(=NR<sup>9a</sup>)R<sup>7a</sup>, C(=N-NR<sup>9a</sup>R<sup>9b</sup>)R<sup>7a</sup>, C(=NOR<sup>8</sup>)R<sup>7a</sup>, C(=O)NR<sup>9a</sup>R<sup>9b</sup> and C(=S)NR<sup>9a</sup>R<sup>9b</sup>;

each  $R^6$  is independently selected from the group consisting of halogen, cyano, azido, nitro, SCN, SF<sub>5</sub>, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, wherein the 4 last-mentioned radicals may be partly or completely halogenated and/or may carry one or more radicals  $R^7$ , OR<sup>8</sup>, NR<sup>9a</sup>R<sup>9b</sup>, OSO<sub>2</sub>R<sup>8</sup>, S(O)<sub>n</sub>R<sup>8</sup>, S(O)<sub>n</sub>NR<sup>9a</sup>R<sup>9b</sup>, C(=O)R<sup>7a</sup>, C(=O)NR<sup>9a</sup>R<sup>9b</sup>, C(=O)OR<sup>8</sup>, C(=S)R<sup>7a</sup>, C(=S)NR<sup>9a</sup>R<sup>9b</sup>, C(=S)OR<sup>8</sup>, C(=S)SR<sup>8</sup>, C(=NR<sup>17</sup>)R<sup>7a</sup>, C(=NR<sup>17</sup>)NR<sup>9a</sup>R<sup>9b</sup>, NR<sup>9a</sup>-C(=O)R<sup>7a</sup>, NR<sup>9a</sup>-C(=S)R<sup>7a</sup>, NR<sup>9a</sup>-C(=O)OR<sup>8</sup>, NR<sup>9a</sup>-C(=O)OR<sup>8</sup>, NR<sup>9a</sup>-C(=O)OR<sup>8</sup>, Si(R<sup>11</sup>)<sub>2</sub>R<sup>12</sup>;

phenyl, where the phenyl ring is optionally substituted with 1, 2, 3, 4 or 5 identical or different substituents  $R^{10}$ ,

a 3-, 4-, 5-, 6- or 7- membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, wherein the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 substituents R<sup>10</sup>, and wherein the nitrogen and the sulfur atom(s) of the heterocyclic ring may optionally be oxidized,

or two R<sup>6</sup> present on the same ring carbon may form together a group of =O, =CR<sup>13</sup>R<sup>14</sup>, =S, =NR<sup>17a</sup>, =NOR<sup>16</sup> or =NNR<sup>9a</sup>R<sup>9b</sup>,

or two R<sup>6</sup> together with the atom they are bound to, form a 3-, 4-, 5-, 6-, 7- or 8-membered saturated, partly unsaturated or maximally unsaturated carbo- or heterocyclic ring ring, where the heterocyclic ring has 1 or 2 heteroatoms as ring members which are selected from the group consisting of O, S, and N, wherein the nitrogen and the sulfur atom(s) of the heterocyclic ring may optionally be oxidized and where said carbo- or heterocyclic ring is unsubstituted or carries 1, 2, 3 or 4 radicals selected from halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-cycloalkyl,

124

C<sub>3</sub>-C<sub>8</sub>-halocycloalkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-haloalkenyl, C<sub>2</sub>-C<sub>6</sub>-alkynyl, C<sub>2</sub>-C<sub>6</sub>-haloalkynyl, phenyl, optionally substituted with 1, 2, 3, 4 or 5 substituents R<sup>10</sup>, and a 3-, 4-, 5-, 6- or 7- membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 substituents R<sup>10</sup>, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized;

each R<sup>6a</sup> has independently one of the meanings given for R<sup>6</sup>;

5

15

20

25

30

35

or

each  $R^7$  is independently selected from the group consisting of cyano, azido, nitro, -SCN, SF<sub>5</sub>, Si(R<sup>11</sup>)<sub>2</sub>R<sup>12</sup>, OR<sup>16</sup>, OSO<sub>2</sub>R<sup>16</sup>, S(O)<sub>n</sub>R<sup>16</sup>, S(O)<sub>n</sub>NR<sup>17a</sup>R<sup>17b</sup>, NR<sup>17a</sup>R<sup>17b</sup>, C(=O)NR<sup>17a</sup>R<sup>17b</sup>, C(=S)NR<sup>17a</sup>R<sup>17b</sup>, C(=O)OR<sup>16</sup>, C(=O)R<sup>7a</sup>, C(=S)R<sup>7a</sup>, C(=NR<sup>17a</sup>)R<sup>7a</sup>, C(=NOR<sup>16</sup>)R<sup>7a</sup>,

C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-halocycloalkyl, phenyl, optionally substituted with 1, 2, 3, 4 or 5 substituents R<sup>10</sup>, and a 3-, 4-, 5-, 6- or 7- membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, wherein the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 substituents R<sup>10</sup>, and wherein the nitrogen and the sulfur atom(s) of the heterocyclic ring may optionally be oxidized,

two R<sup>7</sup> present on the same carbon atom may form together a group =O, =CR<sup>13</sup>R<sup>14</sup>; =S; =S(O)<sub>n</sub>R<sup>16</sup>, =S(O)<sub>n</sub>NR<sup>17a</sup>R<sup>17b</sup>, =NR<sup>17a</sup>, =NOR<sup>16</sup> or =NNR<sup>17a</sup>; or

two R<sup>7</sup> bound on the same or adjacent carbon atom(s), together with the carbon atom(s) they are bound to, may form a 3-, 4-, 5-, 6-, 7- or 8-membered saturated or partly unsaturated carbocyclic or heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, wherein the nitrogen and the sulfur atom(s) of the heterocyclic ring may optionally be oxidized; and R<sup>7</sup> as a substituent on a cycloaliphatic radical is additionally selected from the group

consisting of  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -haloalkenyl,  $C_2$ - $C_6$ -alkynyl and  $C_2$ - $C_6$ -haloalkynyl;

each R<sup>7a</sup> is independently selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-haloalkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-haloalkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfonyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-halocycloalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>2</sub>-C<sub>6</sub>-haloalkenyl, C<sub>2</sub>-C<sub>6</sub>-haloalkenyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-haloalkenyl, C<sub>2</sub>-C<sub>6</sub>-alkynyl, phenyl, phenyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, where the phenyl ring in the two last-mentioned radicals is optionally substituted with 1, 2, 3, 4 or 5 substituents R<sup>10</sup>, and a 3-, 4-, 5-, 6- or 7- membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 R<sup>10</sup>, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized;

15

20

 $R^8$ 

10

5

is selected from hydrogen, CN,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_3$ - $C_8$ -halocycloalkyl,  $C_2$ - $C_6$ -haloalkenyl,  $C_2$ - $C_6$ -haloalkenyl,  $C_2$ - $C_6$ -haloalkynyl,  $C_2$ - $C_6$ -haloalkynyl,  $C_2$ - $C_6$ -haloalkynyl,  $C_2$ - $C_6$ -haloalkynyl,  $C_1$ - $C_1$ - $C_1$ -alkyl, where the phenyl ring in the two last-mentioned radicals is unsubstituted or substituted with 1, 2, 3, 4 or 5 substituents  $R^{10}$ ; and a 3-, 4-, 5-, 6- or 7-membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 substituents  $R^{10}$ , and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized; and  $R^8$  as a substituent on a sulfur atom is additionally selected from the group consisting of  $C_1$ - $C_6$ -alkoxy and  $C_1$ - $C_6$ -haloalkoxy;

25

 $R^{9a}$ ,  $R^{9b}$ , independently of each other, are selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_3$ - $C_8$ -halocycloalkyl,  $C_3$ - $C_8$ -cycloalkyl- $C_1$ - $C_4$ -alkyl,  $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -haloalkenyl,  $C_2$ - $C_6$ -alkynyl,  $C_2$ - $C_6$ -haloalkynyl,  $C_1$ - $C_1$ - $C_2$ - $C_3$ -haloalkynyl,  $C_1$ - $C_3$ - $C_4$ -alkyl,  $C_2$ - $C_6$ -alkenyl,  $C_1$ - $C_2$ - $C_3$ - $C_4$ -alkyl,  $C_1$ - $C_3$ - $C_4$ -alkyl,  $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -haloalkenyl,  $C_2$ - $C_6$ -alkynyl,  $C_2$ - $C_6$ -haloalkynyl,  $C_2$ - $C_6$ -alkynyl,  $C_2$ - $C_6$ -haloalkenyl,  $C_3$ - $C_4$ - $C_6$ -alkynyl,  $C_4$ - $C_6$ -alkenyl,  $C_4$ -alkyl,  $C_4$ - $C_6$ -alkenyl,  $C_4$ - $C_6$ - $C_6$ -alkenyl,  $C_4$ - $C_6$ - $C_6$ - $C_6$ - $C_6$ -alkenyl,  $C_4$ - $C_6$ 

35

30

last-mentioned radicals is unsubstituted or substituted with 1, 2, 3, 4 or 5 substituents R<sup>10</sup>, and

a 3-, 4-, 5-, 6- or 7- membered saturated, partly unsaturated or maximally unsaturated C-bound heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 substituents R<sup>10</sup>, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized,

PCT/EP2016/063316

or

5

10

15

20

25

30

35

R<sup>9a</sup> and R<sup>9b</sup>, together with the nitrogen atom they are bound to, form a 3-, 4-, 5-, 6-, 7- or 8-membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring, where the heterocyclic ring may contain one or two further heteroatoms selected from oxygen, sulfur and nitrogen as ring members, and wherein the nitrogen and the sulfur atom(s) of the heterocyclic ring may optionally be oxidized, and where the heterocyclic ring may be substituted with 1, 2, 3 or 4 radicals selected from halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, C<sub>1</sub>-C<sub>6</sub>-haloalkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-haloalkylthio, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-halocycloalkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-haloalkenyl, C<sub>2</sub>-C<sub>6</sub>-alkynyl, C<sub>2</sub>-C<sub>6</sub>haloalkynyl, phenyl, optionally substituted with 1, 2, 3, 4 or 5 substituents R<sup>10</sup>, and a 3-, 4-, 5-, 6- or 7- membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 substituents R<sup>10</sup>, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized,

or

 $R^{9a}$  and  $R^{9b}$  together may form a group = $CR^{13}R^{14}$ ,  $S(O)_nR^8$ , = $S(O)_nNR^{17a}R^{17b}$ , = $NR^{17a}$  or = $NOR^{16}$ ;

each R<sup>10</sup> is independently selected from the group consisting of halogen, cyano, azido, nitro, SCN, SF<sub>5</sub>, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, wherein each of the 4 last-mentioned aliphatic and cycloaliphatic radicals may be partly or completely halogenated and/or may carry 1, 2, 3, 4 or 5 radicals R<sup>19</sup>, Si(R<sup>11</sup>)<sub>2</sub>R<sup>12</sup>, OR<sup>16</sup>, OS(O)<sub>n</sub>R<sup>16</sup>, -S(O)<sub>n</sub>R<sup>16</sup>, S(O)<sub>n</sub>NR<sup>17a</sup>R<sup>17b</sup>, NR<sup>17a</sup>R<sup>17b</sup>, C(=O)R<sup>7a</sup>, C(=S)R<sup>7a</sup>, C(=O)OR<sup>16</sup>, -C(=NR<sup>17a</sup>)R<sup>7a</sup>, C(=O)NR<sup>17a</sup>R<sup>17b</sup>, C(=S)NR<sup>17a</sup>R<sup>17b</sup>, phenyl, optionally substituted with 1, 2, 3, 4 or 5 radicals independently selected from OH, halogen, cyano, nitro, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy and C<sub>1</sub>-C<sub>6</sub>-haloalkoxy, and

127

a 3-, 4-, 5-, 6- or 7-membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, where the heterocyclic ring is unsubstituted or may be substituted with 1, 2, 3, 4 or 5 substituents independently selected from halogen, cyano, NO<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy and C<sub>1</sub>-C<sub>6</sub>-haloalkoxy, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized;

or

two R<sup>10</sup> present on the same carbon ring atom of a saturated or partly unsaturated carbocyclic or heterocyclic radical may form together a group =O, =CR<sup>13</sup>R<sup>14</sup>, =S, =NR<sup>17a</sup>, =NOR<sup>16</sup> or =NNR<sup>17a</sup>R<sup>17b</sup>;

or

two R¹⁰ bound on adjacent ring atoms may form together a bivalent radical selected from CH₂CH₂CH₂CH₂, CH=CH-CH=CH, N=CH-CH=CH, CH=N-CH=CH, N=CH-N=CH, OCH₂CH₂CH₂, OCH=CHCH₂, CH₂OCH₂CH₂, OCH₂CH₂O, OCH₂OCH₂, CH₂CH₂CH₂, CH=CHCH₂, CH₂CH₂O, CH=CHO, CH₂OCH₂, CH₂C(=O)O, C(=O)OCH₂, O(CH₂)O, SCH₂CH₂CH₂, SCH=CHCH₂, CH₂SCH₂CH₂, SCH₂CH₂CH₂, SCH₂CH₂S, SCH₂SCH₂, CH₂CH₂S, CH=CHS, CH₂SCH₂, CH₂C(=S)S, C(=S)SCH₂, S(CH₂)S, CH₂CH₂NR¹¹⁻³, CH₂CH=N, CH=CH-NR¹¹⁻³, OCH=N and SCH=N, thus forming together with the carbon atoms to which the two R¹⁰ are bonded a 5-membered or 6-membered saturated, partly unsaturated or maximally unsaturated carbocyclic or heterocyclic ring, wherein the ring may optionally be substituted with one or two substituents independently selected from =O, OH, CH₃, OCH₃, halogen, cyano, halomethyl and halomethoxy;

25

5

10

15

20

R<sup>11</sup>, R<sup>12</sup>, independently of their occurrence and independently of each other, are selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-haloalkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>2</sub>-C<sub>6</sub>-haloalkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>2</sub>-C<sub>6</sub>-haloalkynyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-halocycloalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-halocycloalkyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, phenyl and benzyl, where phenyl ring in last two radicals is unsubstituted or substituted with 1, 2, 3, 4 or 5 radicals independently selected from halogen, OH, cyano, NO<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy and C<sub>1</sub>-C<sub>6</sub>-haloalkoxy;

30

128

R<sup>13</sup>, R<sup>14</sup>, independently of each other, are selected from the group consisting of hydrogen, halogen, CN, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, phenyl and benzyl;

5

each R<sup>16</sup> is independently selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkynyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, wherein the five last-mentioned aliphatic and cycloaliphatic radicals may be partially or fully halogenated and/or may carry 1 or 2 radicals independently selected from =O and C<sub>1</sub>-C<sub>4</sub>-alkoxy;

10

phenyl, benzyl and pyridyl, wherein the last three radicals may be unsubstituted or may carry 1, 2, 3, 4 or 5 substituents independently selected from halogen,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_6$ -haloalkoxy,  $(C_1$ - $C_6$ -alkyl)amino or di- $(C_1$ - $C_6$ -alkyl)amino;

15

each R<sup>17</sup> is independently selected from the group consisting of hydrogen, trimethylsilyl, triethylsilyl, tertbutyldimethylsilyl,

20

 $C_1\text{-}C_6\text{-}alkyl,\ C_2\text{-}C_6\text{-}alkenyl,\ C_2\text{-}C_6\text{-}alkynyl,\ C_3\text{-}C_8\text{-}cycloalkyl,\ C_3\text{-}C_8\text{-}cycloalkyl-}C_1\text{-}C_4\text{-}alkyl,\ C_1\text{-}C_6\text{-}alkoxy,\ C_2\text{-}C_6\text{-}alkenyloxy,\ C_2\text{-}C_6\text{-}alkynyloxy,\ C_3\text{-}C_8\text{-}cycloalkoxy,\ C_3\text{-}C_8\text{-}cycloalkyl-}C_1\text{-}C_4\text{-}alkoxy,\ C_1\text{-}C_6\text{-}alkylthio,\ C_1\text{-}C_6\text{-}alkylsulfinyl,\ C_1\text{-}C_6\text{-}alkylsulfonyl}$  wherein the 13 last-mentioned aliphatic and cycloaliphatic radicals may be partially or fully halogenated and/or may carry 1 or 2 radicals independently selected from =O and  $C_1\text{-}C_4\text{-}alkoxy;$ 

25

phenyl, benzyl, pyridyl, phenoxy, benzyloxy, pyridyloxy, wherein the six last-mentioned radicals may carry 1, 2, 3, 4 or 5 substituents independently selected from halogen,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_6$ -haloalkoxy and  $(C_1$ - $C_6$ -alkoxy)carbonyl,

30

35

R<sup>17a</sup>, R<sup>17b</sup>, independently of each other, are selected from the group consisting of hydrogen, trimethylsilyl, triethylsilyl, tertbutyldimethylsilyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>2</sub>-C<sub>6</sub>-alkenyloxy, C<sub>3</sub>-C<sub>8</sub>-cycloalkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkynyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl-C<sub>1</sub>-C<sub>4</sub>-alkoxy, wherein the 13 last-mentioned aliphatic and cycloaliphatic radicals may be partially or fully halogenated and/or may carry 1 or 2 radicals independently selected from =O and C<sub>1</sub>-C<sub>4</sub>-alkoxy;

phenyl, benzyl, pyridyl, phenoxy, benzyloxy, pyridyloxy, wherein the six last-mentioned radicals may carry 1, 2, 3, 4 or 5 substituents independently selected from halogen,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_6$ -haloalkoxy and  $(C_1$ - $C_6$ -alkoxy)carbonyl,

or,

5

10

15

20

25

30

35

R<sup>17a</sup> and R<sup>17b</sup>, together with the nitrogen atom they are bound to, form a 3-, 4-, 5-, 6- or 7-membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring, wherein the heterocyclic ring may contain 1 or 2 further heteroatoms selected from oxygen, sulfur or nitrogen, and wherein the heterocyclic ring may be substituted with one or more substituents independently selected from halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy and C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may be oxidized;

or

R<sup>17a</sup> and R<sup>17b</sup> together may form a group =CR<sup>13</sup>R<sup>14</sup>, =NR<sup>17</sup> or =NOR<sup>16</sup>;

each R<sup>18</sup> is independently selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkoxy, phenyl and phenoxy;

each R<sup>19</sup> is independently selected from the group consisting of cyano, azido, nitro, -SCN, SF<sub>5</sub>, Si(R<sup>11</sup>)<sub>2</sub>R<sup>12</sup>, OR<sup>16</sup>, OSO<sub>2</sub>R<sup>16</sup>, S(O)<sub>n</sub>R<sup>16</sup>, S(O)<sub>n</sub>NR<sup>17a</sup>R<sup>17b</sup>, NR<sup>17a</sup>R<sup>17b</sup>, C(=O)NR<sup>17a</sup>R<sup>17b</sup>, C(=S)NR<sup>17a</sup>R<sup>17b</sup>, C(=O)OR<sup>16</sup>, C(=O)R<sup>7a</sup>, C(=S)R<sup>7a</sup>, C(=NR<sup>17a</sup>)R<sup>7a</sup>, C(=NOR<sup>16</sup>)R<sup>7a</sup>,

C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-halocycloalkyl,

phenyl, optionally substituted with 1, 2, 3, 4 or 5 substituents selected from CN, halogen,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_1$ - $C_6$ -alkoxy and  $C_1$ - $C_6$ -haloalkoxy; and a 3-, 4-, 5-, 6- or 7- membered saturated, partly unsaturated or maximally unsaturated heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members, wherein the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 substituents selected from CN, halogen,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_1$ - $C_6$ -alkoxy and  $C_1$ - $C_6$ -haloalkoxy; and wherein the nitrogen and the sulfur atom(s) of the heterocyclic ring may optionally be oxidized, or

two R<sup>19</sup> present on the same carbon atom may form together a group =O, =CR<sup>13</sup>R<sup>14</sup>; =S; =S(O)<sub>n</sub>R<sup>16</sup>, =S(O)<sub>n</sub>NR<sup>17a</sup>R<sup>17b</sup>, =NR<sup>17a</sup>, =NOR<sup>16</sup> or =NNR<sup>17a</sup>;

or

two R<sup>19</sup> bound on the same or adjacent carbon atom(s), together with the carbon atom(s) they are bound to, may form a 3-, 4-, 5-, 6-, 7- or 8-membered saturated or partly unsaturated carbocyclic or heterocyclic ring comprising 1, 2 or 3 heteroatoms selected from oxygen, nitrogen and sulfur as ring members; and where the carbocyclic or heterocyclic ring may be substituted with 1, 2, 3, 4 or 5 substituents selected from CN, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy and C<sub>1</sub>-C<sub>6</sub>-haloalkoxy;

R<sup>19</sup> as a substituent on a cycloaliphatic radical is additionally selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-haloalkenyl, C<sub>2</sub>-C<sub>6</sub>-alkynyl and C<sub>2</sub>-C<sub>6</sub>-haloalkynyl; and

n is an integer selected independently from 0, 1 and 2;

and the stereoisomers, tautomers and the agriculturally or veterinarily acceptable salts thereof.

2. The compound of claim 1, wherein Het is selected from the group consisting of radicals of the following formulae Het-1 to Het-7:

Het-1 Het-2 Het-3

$$(R^{6a})_{j}$$
 $(R^{6a})_{j}$ 
 $(R^{6a})_{j}$ 

wherein # denotes the attachment point to the remainder of the molecule;

is 0, 1 or 2; and

5

10

15

20

R<sup>6a</sup> is as defined in claim 1.

3. The compound of claim 2, wherein Het is selected from the group consisting of radicals of formulae Het-1, Het-2, Het-4 and Het-7a.

$$(R^{6a})_{j}$$
Het-1
$$(R^{6a})_{j}$$
Het-2
$$(R^{6a})_{j}$$

$$(R^{6a})_{j}$$
Het-4
$$Het-7a$$

wherein # denotes the attachment point to the remainder of the molecule;

5 j is 0, 1 or 2; and

20

25

 $R^{6a}$  is selected from halogen,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -haloalkyl,  $C_1$ - $C_4$ -alkoxy and  $C_1$ - $C_4$ -haloalkoxy.

4. The compound of claim 3, wherein Het is Het-1a, Het-4a or Het-7b, in particular Het is Het-1a

wherein # denotes the attachment point to the remainder of the molecule; and R<sup>6a</sup> is halogen, C<sub>1</sub>-C<sub>2</sub>-haloalkyl, in particular CF<sub>3</sub>, Cl, or Br.

The compound of any of the preceding claims, wherein R¹, R², independently of each other, are selected from the group consisting of hydrogen, halogen, CN, C¹-C₆-alkyl, C³-C₆-cycloalkyl, C¹-C₆-haloalkyl and C³-C₆-halocycloalkyl; or

R<sup>1</sup> and R<sup>2</sup> may together be =CR<sup>13</sup>R<sup>14</sup>; or

R¹ and R² form, together with the carbon atom which they attached to, a 3-, 4-or 5-membered saturated carbocyclic ring;

and where in particular both R<sup>1</sup> and R<sup>2</sup> are hydrogen.

6. The compound of any of the preceding claims, wherein Z is OR³a, wherein R³a is selected from the group consisting of hydrogen, C₁-C6-alkyl, C₂-C6-alkenyl, C₂-C6-alkynyl, C₃-C6-cycloalkyl, (C₃-C6-cycloalkyl)-C₁-C6-alkyl, (C₁-C6-alkoxy)-C₁-C6-alkyl, C₁-C6-alkyl-NR³aR³b,

132

where the aliphatic and cycloaliphatic moieties in the seven last-mentioned radicals are unsubstituted or are partly or completely halogenated;

phenyl and phenyl- $C_1$ - $C_6$ -alkyl, wherein phenyl in the two last-mentioned radicals is unsubstituted or substituted by 1, 2, 3, 4 or 5 substituents independently selected from the group consisting of halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy and C<sub>1</sub>-C<sub>6</sub>-haloalkoxy;

and where  $R^{3a}$  in particular is selected from hydrogen,  $C_1$ - $C_6$ -alkyl,  $(C_1$ - $C_6$ -alkoxy)- $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -cycloalkyl and  $(C_3$ - $C_6$ -cycloalkyl)- $C_1$ - $C_6$ -alkyl, where the aliphatic and cycloaliphatic moieties in the four last-mentioned radicals are unsubstituted or are partly or completely halogenated; and in particular from hydrogen,  $C_1$ - $C_6$ -alkyl and  $C_1$ - $C_6$ -haloalkyl;

or

5

10

15

20

25

30

35

wherein Z is NR³bR³c, wherein R³bR³c are independently of each other selected from hydrogen, C₁-C6-alkyl, C₃-C6-cycloalkyl and (C₃-C6-cycloalkyl)-C₁-C6-alkyl, where the aliphatic and cycloaliphatic moieties in the three last-mentioned radicals are unsubstituted or are partly or completely halogenated;

or R³b and R³c, together with the nitrogen atom to which they are bound, form an N-bound, 5- or 6-membered saturated, partly unsaturated or maximally unsaturated heterocyclic radical which may comprise 1 or 2 further heteroatoms selected from N, O and S as ring members:

or

wherein Z is  $S(O)_mR^{3d}$ , wherein  $R^{3d}$  is selected from hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -cycloalkyl and  $(C_3$ - $C_6$ -cycloalkyl)- $C_1$ - $C_6$ -alkyl, where the aliphatic and cycloaliphatic moieties in the three last-mentioned radicals are unsubstituted or are partly or completely halogenated; and m is an integer selected from 0, 1, and 2.

7. The compound of any of the preceding claims, wherein R<sup>4</sup>, R<sup>5</sup>, independently of each other, are selected from the group consisting of hydrogen, halogen, CN, azido, nitro, SCN, SF<sub>5</sub>, Si(R<sup>11</sup>)<sub>2</sub>R<sup>12</sup>, OR<sup>8</sup>, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl and C<sub>2</sub>-C<sub>6</sub>-alkynyl, wherein the 4 last-mentioned aliphatic and cycloaliphatic radicals may be partly or completely halogenated and/or may carry one or more radicals R<sup>7</sup>; and wherein in particular R<sup>4</sup>, R<sup>5</sup>, independently of each other, are selected from the group consisting of hydrogen, halogen, CN, Si(C<sub>1</sub>-C<sub>6</sub>-alkyl)<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkynyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, wherein the aliphatic and cycloaliphatic moieties of the 5 last-mentioned radicals may be partly or completely halogenated and/or may carry one or more radicals R<sup>7</sup>, wherein R<sup>7</sup> is selected from C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-haloalkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-haloalkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfonyl, C<sub></sub>

8. The compound of any of the preceding claims, wherein the moiety of the formula W.Het

is selected from the group consisting of W.Het-1, W.Het-2, W.Het-3, W.Het-4, W.Het-5, W.Het-6, W.Het-7, W.Het-8, W.Het-9, W.Het-10, W.Het-11, W.Het-12, W.Het-13, W.Het 14, W.Het 15, W.Het 16 and W.Het 17:

134

W.Het-17

wherein # denotes the attachment point to the remainder of the molecule; X, R<sup>1</sup>, R<sup>2</sup> and Het are as defined in any of claims 1 to 5, and

135

- R<sup>6</sup> is selected from halogen, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkyl and C<sub>1</sub>-C<sub>4</sub>-haloalkyl.
- 9. The compound of claim 8, wherein the radical A is selected from the group consisting of W.Het-1, W.Het-2, W.Het-3, W.Het 4 and W.Het 13, and is in particular W.Het-13.
  - 10. The compound of any of the preceding claims of formula (l.a):

$$R^{6a}$$
  $R^{4}$  (I. a)

10 wherein

R<sup>6a</sup> is as defined in claims 1, 3 or 4,

X is as defined in claim 1,

R<sup>4</sup>, R<sup>5</sup> are as defined in claims 1or 7 and

Z is as defined in claims 1 or 6.

15

25

30

- 11. The compound of any of the preceding claims, wherein
  - X is O.
- 12. The compound of claims 1 to 9, wherein
- 20 X is S.
  - 13. An agricultural or veterinary composition comprising at least one compound as defined in any of claims 1 to 12, a stereoisomer, a tautomer and/or at least one agriculturally or veterinarily acceptable salt thereof, and at least one inert liquid and/or solid agriculturally or veterinarily acceptable carrier.
  - 14. The use of a compound as defined in any of claims 1 to 12, of a stereoisomer, a tautomer and/or of an agriculturally or veterinarily acceptable salt thereof for combating or controlling invertebrate pests, for protecting growing plants from attack or infestation by invertebrate pests, for protecting plant propagation material, especially seeds, from soil insects, or for protecting the seedlings, roots and shoots of plants from soil and foliar insects.
- 15. A method for combating or controlling invertebrate pests, which method comprises contacting said pest or its food supply, habitat or breeding grounds with a pesticidally

136

effective amount of at least one compound as defined in any of claims 1 to 12, of a stereoisomer, a tautomer and/or of an agriculturally or veterinarily acceptable salt thereof; or

for protecting growing plants from attack or infestation by invertebrate pests, which method comprises contacting a plant, or soil or water in which the plant is growing with a pesticidally effective amount of at least one compound as defined in any of claims 1 to 12, of a stereoisomer, a tautomer and/or of an agriculturally or veterinarily acceptable salt thereof; or

5

10

for the protection of plant propagation material, especially seeds, from soil insects and of the seedlings roots and shoots from soil and foliar insects comprising contacting the plant propagation material before sowing and/or after pregermination with at least one compound as defined in any one of claims 1 to 12, a stereoisomer, tautomer and/or an agriculturally or veterinarily acceptable salt thereof.

16. The compound as defined in any of claims 1 to 12, a stereoisomer, tautomer and/or an agriculturally or veterinarily acceptable salt thereof, for the use in the treatment of animals infested or infected by parasites, for preventing animals of getting infected or infested by parasites or for protecting animals against infestation or infection by parasites.

# **INTERNATIONAL SEARCH REPORT**

International application No PCT/EP2016/063316

A. CLASSII INV. ADD.	FICATION OF SUBJECT MATTER C07D401/14 C07D417/14 A01N43/4	40 A61P33/14	
	o International Patent Classification (IPC) or to both national classifica	ation and IPC	
	SEARCHED  coumentation searched (classification system followed by classification	on symbols)	
C07D	,		
Documentat	tion searched other than minimum documentation to the extent that su	uch documents are included in the fields sea	arched
Electronic da	lata base consulted during the international search (name of data bas	se and, where practicable, search terms use	ed)
	ternal, WPI Data, CHEM ABS Data		
C. DOCUME	ENTS CONSIDERED TO BE RELEVANT		г
Category*	Citation of document, with indication, where appropriate, of the rele	evant passages	Relevant to claim No.
X	EP 0 639 569 A1 (NIPPON SODA CO 22 February 1995 (1995-02-22) cited in the application table 1; compounds 1-6	[JP])	1-16
Furth	her documents are listed in the continuation of Box C.	X See patent family annex.	
"A" docume to be o "E" earlier a filing a cited to specia "O" docume means "P" docume the prio	ent which may throw doubts on priority claim(s) or which is o establish the publication date of another citation or other al reason (as specified) ent referring to an oral disclosure, use, exhibition or other sent published prior to the international filing date but later than lority date claimed actual completion of the international search	"T" later document published after the inter date and not in conflict with the applicate the principle or theory underlying the interprinciple or theory underlying the interprinciple or theory underlying the interprinciple of considered novel or cannot be considered novel or cannot be considered to involve an inventive step combined with one or more other such being obvious to a person skilled in the "&" document member of the same patent for	ation but cited to understand nvention  laimed invention cannot be ered to involve an inventive le laimed invention cannot be p when the document is n documents, such combination e art
2	9 June 2016	08/07/2016	
Name and n	mailing address of the ISA/ European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016	Authorized officer  Gutke, Hans-Jürge	en

## INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No
PCT/EP2016/063316

Patent document cited in search report		Publication date		Patent family member(s)	Publication date
EP 0639569	A1	22-02-1995	EP WO	0639569 A1 9215564 A1	22-02-1995 17-09-1992