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(71) Demandeur/Applicant:
AJINOMOTO CO., INC., JP

(72) Inventeurs/Inventors:
AMINO, YUSUKE, JP;
TAKEMOTO, TADASHI, JP;
YUZAWA, KAZUKO, JP;
NAKAMURA, RYOICHIRO, JP

(74) Agent: OGILVY RENAULT

(54) Titre : DERIVES ESTERS ASPARTYL-DIPEPTIDIQUES ET EDULCORANTS
(54) Title: ASPARTYL DIPEPTIDE ESTER DERIVATIVES AND SWEETENERS

(57) Abrégé/Abstract:
Novel aspartyl dipeptide ester derivatives (including salts thereof) such as N-[N-[3-(3,4-dihydroxyphenyl)-3-methylbutyl]-L-α-aspartyl]-L-phenylalanine 1-methyl ester which are excellent in sweetness and usable as sweetening agents. Because of being low caloric and excellent particularly in sweetness compared with the conventional products, these derivatives make it possible to provide sweetening agents, foods, drinks, etc. containing the same.

ABSTRACT

There is provided a novel aspartyl dipeptide ester derivative (including that in the form of salt) excellent in a sweetening potency and usable as a sweetener component, such as a N-[N-[3-(3,4-dihydroxyphenyl)-3-methylbutyl]-L-α-aspartyl]-L-phenylalanine 1-methyl ester and the like.

The derivative is low-calory and excellent in a sweetening potency in particular in comparison with ordinary sweeteners, and thus makes it possible to provide a sweetener, a food and drink and the like comprising the same.

DESCRIPTION

ASPARTYL DIPEPTIDE ESTER DERIVATIVES AND SWEETENERS

Technical Field

The present invention relates to novel aspartyl dipeptide ester derivatives, sweeteners comprising the same as an active ingredient, products such as food and drink and the like having a sweetness, and a method for imparting a sweetness.

Background of the Invention

In recent years, eating habits have been improved to a high level, and especially fatness caused by excessive intake of sugar and various diseases accompanied by the fatness have been at issue. Therefore, the development of a low-calory sweetener that replaces sugar has been in demand. As a sweetener that is widely used at present, there is aspartame which is excellent in terms of a safety and a quality of sweetness. Nevertheless, it has been somewhat problematic in a stability. A Kokai Publication of the International Patent WO 94/11391 describes that a sweetening potency (degree of sweetness) of derivatives obtained by introducing an alkyl group into a nitrogen atom of aspartic acid constituting aspartame is markedly improved, and reports that a slight improvement is found in a stability as well. Most excellent

among compounds described in this Publication is N-[N-(3,3-dimethylbutyl)-L-α-aspartyl]-L-phenylalanine 1-methyl ester having a 3,3-dimethylbutyl group as an alkyl group, and the sweetening potency (degree of sweetness) is 10,000 times that of sucrose (compared with 2, 5 and 10 % sucrose solutions). Aspartame derivatives having introduced therein 20 types of substituents other than the 3,3-dimethylbutyl group are described. However, all the sweetening potencies (degrees of sweetness) thereof are reported to be not more than 2,500 times. Derivatives having a 3-(substituted phenyl)propyl group as an alkyl group are also described. With respect to derivatives having a relatively high sweetening potency among them, it is reported that a sweetening potency of N-[N-(3-phenylpropyl)-L-α-aspartyl]-L-phenylalanine 1-methyl ester is 1,500 times and a sweetening potency of N-[N-[3-(3-methoxy-4-hydroxyphenyl)propyl]-L-α-aspartyl]-L-phenylalanine 1-methyl ester is 2,500 times. However, the sweetening potencies of these derivatives do not reach 10,000 times of N-[N-(3,3-dimethylbutyl)-L-α-aspartyl]-L-phenylalanine 1-methyl ester. Further, it is reported that a sweetening potency of N-[N-[(RS)-3-phenylbutyl]-L-α-aspartyl]-L-phenylalanine 1-methyl ester having a substituent of a structure that a methyl group is further introduced in the 3-position of a 3-phenylpropyl group, namely a 3-phenylbutyl group as an alkyl group is 1,200 times. Thus, by

introducing the methyl group in the 3-position, the sweetening potency is somewhat decreased in comparison with N-[N-(3-phenylpropyl)-L-α-aspartyl]-L-phenylalanine 1-methyl ester.

Under these circumstances, the development of sweeteners having a higher sweetening potency has been in demand.

Problem before the Invention

The problem to be solved by the present invention is to provide a novel aspartyl dipeptide ester derivative excellent in safety and having a sweetening potency which is equal to or higher than that of the N-[N-(3,3-dimethylbutyl)-L-α-aspartyl]-L-phenylalanine 1-methyl ester described above, a low-calory sweetener comprising the same and the like.

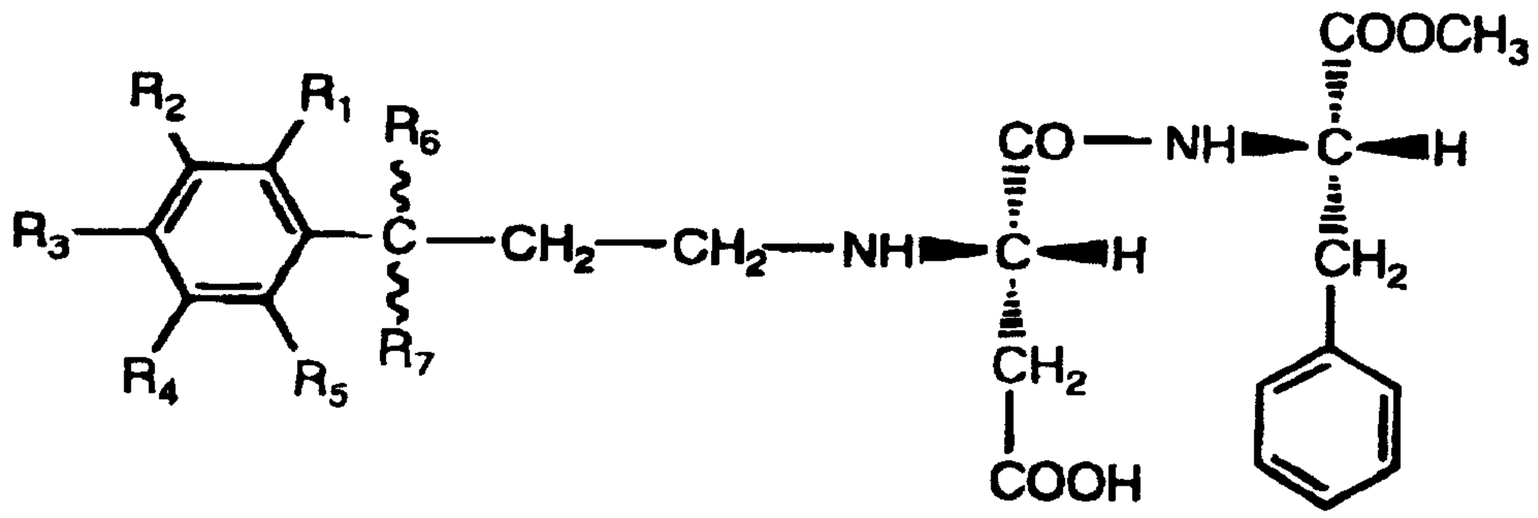
Disclosure of the Invention

The present inventors have synthesized, for solving the foregoing problem, various compounds in which various 3-(substituted phenyl)propyl groups [for example, a 3,3-dialkyl-3-(substituted phenyl)propyl group] are introduced into nitrogen of aspartic acid constituting aspartame by a reductive alkylation reaction using 3-phenylpropionaldehyde derivatives or cinnamaldehyde derivatives (including derivatives having an alkyl substituent in a main chain) having various substituents, especially two or more hydroxyl groups

in a phenyl group, and have examined a sweetening potency thereof. As a result, in view of a sweetening potency, it has been found that there are compounds having a sweetening potency which is by far higher than that of N-[N-(3,3-dimethylbutyl)-L-α-aspartyl]-L-phenylalanine 1-methyl ester reported to have a sweetening potency of 10,000 times in the foregoing Kokai Publication of the International Patent WO 94/11391, not to mention N-[N-[(RS)-3-phenylbutyl]-L-α-aspartyl]-L-phenylalanine 1-methyl ester reported therein to have a sweetening potency of 1,200 times, N-[N-3-(3-methoxy-4-hydroxyphenyl)propyl]-L-α-aspartyl]-L-phenylalanine 1-methyl ester reported therein to have a sweetening potency of 2,500 times, and the like, and that compounds represented by the following general formula (1) are especially excellent as sweeteners. The present invention has been completed on the basis of this finding.

That is, the present invention lies in aspartyl dipeptide ester derivatives represented by the following general formula (1) (including those in the form of salts), sweeteners and products such as food and drink and the like comprising the same, and a method for imparting a sweetness.

[Formula 1]



(1)

wherein R_1, R_2, R_3, R_4 and R_5, independently from each other, represent a hydrogen atom (H) or a hydroxyl group (OH), at least any two selected from R_1, R_2, R_3, R_4 and R_5 are hydroxyl groups, and R_6 and R_7, independently from each other, represent a hydrogen atom (H) or an alkyl group having 1 to 3 carbon atoms (CH_3, CH_2CH_3, $CH(CH_3)_2$ or the like).

When R_6 and R_7 represent different substituents, a steric configuration of a carbon atom to which these substituents are bound is not particularly limited, and it may be any of (R), (S) and (RS), or a mixed form of these plural forms. Incidentally, in the general formula (1) described above, the binding site (linkage) between R_6 or R_7 and the carbon atom is indicated by a wavy line, meaning that there are no limitations on the direction of linkage.

Mode for Carrying Out the Invention

The compounds represented by the general formula (1)

described above and those in the form of salts are both included in the novel aspartyl dipeptide ester derivatives of the present invention.

When they are incorporated in sweeteners and the like, it is advisable that at least one of the compounds and those in the form of salts is incorporated. Accordingly, one of the compounds or a mixture of more than one thereof, one of the salts of the compounds or a mixture of more than one thereof, a mixture of the compound(s) and the salt(s) of the compound(s), and the like can all be incorporated in sweetener(s), food and drink and the like of the present invention.

Amino acids (aspartic acid and phenylalanine) constituting the derivatives described above are both preferably L-isomers because they are present in nature.

With respect to the preferable forms of the compounds, the following inventions are included in the compounds of the present invention.

[1] Compounds represented by the general formula (1) described above.

In the general formula (1), R_1, R_2, R_3, R_4 and R_5, independently from each other, represent a hydrogen atom (H) or a hydroxyl group (OH), and at least any two selected from R_1, R_2, R_3, R_4 and R_5 are hydroxyl groups, and

R_6 and R_7, independently from each other, represent a hydrogen atom (H) or an alkyl group having 1 to 3 carbon atoms

(CH_3, CH_2CH_3, $CH(CH_3)_2$ or the like).

When R_6 and R_7 represent different substituents, a steric configuration of a carbon atom to which these substituents are bound is not particularly limited, and it may be any of (R), (S) and (RS).

[2] Compounds described in [1] above in which in the formula any two selected from R_1, R_2, R_3, R_4 and R_5 are hydroxyl groups.

[3] Compounds described in [1] above in which in the formula any three selected from R_1, R_2, R_3, R_4 and R_5 are hydroxyl groups.

[4] Compounds described in [1] above in which in the formula R_6 and R_7 are hydrogen atoms.

[5] Compounds described in [1] above in which in the formula R_6 is a methyl group.

[6] Compounds described in [1] above in which in the formula R_7 is a methyl group.

[7] Compounds described in [1] above in which in the formula R_1 and R_3 are hydroxyl groups, and R_2, R_4, R_5, R_6 and R_7 are hydrogen atoms.

[8] Compounds described in [1] above in which in the formula R_2 and R_3 are hydroxyl groups, and R_1, R_4, R_5, R_6 and R_7 are hydrogen atoms.

[9] Compounds described in [1] above in which in the formula R_1, R_2 and R_3 are hydroxyl groups, and R_4, R_5, R_6 and

R_7 are hydrogen atoms.

[10] Compounds described in [1] above in which in the formula R_2, R_3 and R_4 are hydroxyl groups, and R_1, R_5, R_6 and R_7 are hydrogen atoms.

[11] Compounds described in [1] above in which in the formula R_2 and R_3 are hydroxyl groups, R_1, R_4 and R_5 are hydrogen atoms, and R_6 and R_7 are methyl groups.

[12] Compounds described in [1] above in which when R_6 and R_7 in the formula represent different substituents, the steric configuration of the carbon atom to which R_6 is bound is any of (R), (S) and (RS).

The present invention also includes the following inventions as preferable embodiments.

[13] Sweeteners, foods and drinks having a sweetness and other products having a sweetness, comprising the derivatives (including those in the form of salts) of the present invention as an active ingredient.

Further, a carrier and/or a filler (a bulking agent) for sweeteners may be contained therein. As described above, at least one of the derivatives described above can be contained in the sweeteners and the like described above.

[14] A method for imparting a sweetness (a sweet taste) wherein the derivative(s) of the present invention is contained (mixed or added) in products requiring a sweetness (foods and drinks, pharmaceuticals, oral sanitary products and the like)

and intermediate products during the production stage thereof.

The method for using the derivatives of the present invention in the production stage of sweeteners, products and the like is not particularly limited, and it can be conducted using a method known as a method for using sweet ingredient(s) or various methods which will be developed in future.

The derivatives of the present invention include the compounds represented by the formula (1) or salts thereof. Examples of the salts of the compounds include salts with alkali metals such as sodium, potassium and the like, salts with alkaline earth metals such as calcium, magnesium and the like, ammonium salt with ammonia, salts with amino acids such as lysine, arginine and the like, salts with inorganic acids such as hydrochloric acid, sulfuric acid and the like, salts with organic acids such as citric acid, acetic acid and the like, and salts with other sweeteners such as saccharin, acesulfame, cyclamic acid, glycyrrhizic acid and the like. These are also included in the derivatives of the present invention as stated above.

It is not particularly difficult to produce the aspartyl dipeptide ester derivatives of the present invention. Preferably, they can easily be formed by reductively alkylating aspartame with 3-phenylpropionaldehyde derivatives or cinnamaldehyde derivatives (including derivatives having alkyl substituent(s) in a main chain) having various

substituents in a phenyl group and a reducing agent (for example, hydrogen/palladium carbon catalyst). Alternatively, they can be formed by a method which comprises reductively alkylating aspartame derivatives (for example, β-O-benzyl-L-α-aspartyl-L-phenylalanine methyl ester) having a protecting group in a carboxyl group in the β-position which can be obtained according to an ordinary peptide synthesis method (refer to Izumiya et al., *pepuchido gosei no kiso to jikken* [Base and Experiment of Peptide Synthesis] : Maruzen, published January 20, 1985) with the foregoing 3-phenylpropionaldehyde derivatives or cinnamaldehyde derivatives and a reducing agent (for example, $NaB(OAc)_3H$) (refer to A. F. Abdel-Magid et al., Tetrahedron Letters, 31, 5595 (1990)) and thereafter removing the protecting group therefrom, or a method in which an unsaturated bond is saturated with a reducing agent as required. However, the process for producing the compounds of the present invention is not limited thereto. Of course, their acetals or the like can be used as an aldehyde component in the reductive alkylation instead of the foregoing 3-phenylpropionaldehyde derivatives or cinnamaldehyde derivatives.

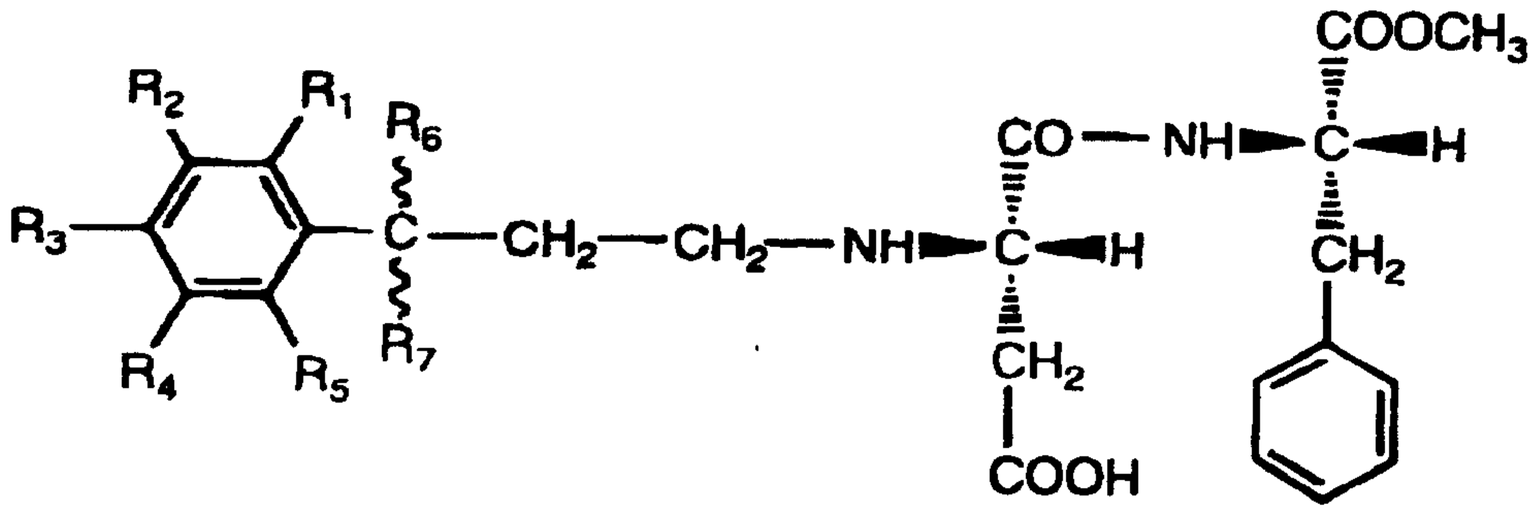
As a result of an organoleptic (sensory) test, it was found that the derivatives of the present invention, namely, the compounds and those in the form of salts in the present invention had a quality of sweet taste similar to that of sugar and a strong sweetness. For example, a sweetening potency of

N-[N-[3-(3,4-dihydroxyphenyl)-3-methylbutyl]-L-α-aspartyl]-L-phenylalanine 1-methyl ester was approximately 50,000 times (relative to sugar), and a sweetening potency of N-[N-[3-(3,4,5-trihydroxyphenyl)propyl]-L-α-aspartyl]-L-phenylalanine 1-methyl ester was approximately 25,000 times (relative to sugar).

The structures and the results of the sensory test on some aspartyl dipeptide ester derivatives (represented by the following general formula (1)) formed are shown in Table 1.

As is clear from the results in Table 1, it is understood that the novel derivatives of the present invention are especially excellent in the sweetening potency.

[Formula 2]



(1)

[Table 1]

Structures and sweetening potencies of aspartyl dipeptide ester derivatives

Compound No.	R_1	R_2	R_3	R_4	R_5	R_6	R_7	Sweetening potency*)
1	OH	H	OH	H	H	H	H	10000
2	H	OH	OH	H	H	H	H	15000
3	OH	OH	OH	H	H	H	H	10000
4	H	OH	OH	OH	H	H	H	25000
5	H	OH	OH	H	H	CH_3	CH_3	50000

*) Value compared with a 4 % sucrose aqueous solution.

Incidentally, when the derivatives of the present invention (including the compounds of the present invention and those in the form of salts) are used as sweeteners, they may naturally be used in combination with other sweeteners unless inviting particular or special troubles.

When the derivatives of the present invention are used as sweeteners, a carrier and/or a filler (bulking agent) may be used as required. For example, a carrier, a filler and the like for sweeteners which have been so far known or used are available.

The derivatives of the present invention can be used as sweeteners or sweetener ingredients, and further as sweetness-imparting ingredients of various products such as food and drink and the like required to have a sweetness (sweet taste), for example, confectionery, a chewing gum, sanitary (hygiene) products, toiletries (cosmetics), pharmaceuticals, products for animals except humans, and so forth. Further, the derivatives of the present invention can be used in the

form of products comprising the derivative(s) of the present invention and having a sweetness and in the method for imparting a sweetness to the products required to have a sweetness. The use method or the like can follow an ordinary method or other known methods for sweeteners.

Examples

The present invention is illustrated specifically below by referring to Examples. However, the scope of the present invention is not limited to that of the following Examples.

The NMR spectrum was measured with Varian Gemini-300 (300 MHz) and the MS spectrum with Thermo Quest TSQ 700.

(Example 1)

Synthesis of N-[N-[3-(2,4-dihydroxyphenyl)propyl]-L-α-aspartyl]-L-phenylalanine 1-methyl ester

Ten milliliters of a 4N-HCl/dioxane solution was added to 1.07 g (2.20 mmol) of N-t-butoxycarbonyl-β-O-benzyl-L-α-aspartyl-L-phenylalanine methyl ester, and the mixture was stirred at room temperature for 1 hour. The resulting reaction solution was concentrated in vacuo, and 50 ml of a 5 % sodium hydrogencarbonate aqueous solution was added to the residue. The solution was extracted twice with 50 ml of ethyl acetate. An organic layer was washed with a saturated aqueous solution of sodium chloride, and dried over anhydrous magnesium sulfate. Magnesium sulfate was then removed by filtration, and the

filtrate was concentrated in vacuo to obtain 780 mg (2.03 mmol) of β-O-benzyl-L-α-aspartyl-L-phenylalanine methyl ester as viscous oil.

The foregoing β-O-benzyl-L-α-aspartyl-L-phenylalanine methyl ester (780 mg, 2.03 mmol) was dissolved in 20 ml of tetrahydrofuran (THF), and this solution was maintained at 0°C. To this were added 689 mg (2.00 mmol) of 3-(2,4-dibenzyloxyphenyl)-2-propenylaldehyde, 0.11 ml (2.00 mmol) of acetic acid and 636 mg (3.00 mmol) of $NaB(OAc)_3H$, and the mixture was stirred at 0°C for 1 hour and further overnight at room temperature. To the resulting reaction solution was added 50 ml of a saturated aqueous solution of sodium hydrogencarbonate, and the solution was extracted twice with 50 ml of ethyl acetate. An organic layer was washed with a saturated aqueous solution of sodium chloride, and dried over anhydrous magnesium sulfate. Magnesium sulfate was then removed by filtration, and the filtrate was concentrated in vacuo. The residue was purified by preparative thin layer chromatography (PTLC) to obtain 937 mg (1.31 mmol) of N-[N-[3-(2,4-dibenzyloxyphenyl)-2-propenyl]-β-O-benzyl-L-α-aspartyl]-L-phenylalanine 1-methyl ester as viscous oil.

The foregoing N-[N-[3-(2,4-dibenzyloxyphenyl)-2-propenyl]-β-O-benzyl-L-α-aspartyl]-L-phenylalanine 1-methyl ester (937 mg, 1.31 mmol) was dissolved in a mixed solvent of 30 ml of methanol and 2 ml of water, and 400 mg of

10 % palladium carbon (water content 50 %) was added thereto. This was reduced in a hydrogen atmosphere at room temperature for 3 hours. The catalyst was removed by filtration, and the filtrate was concentrated in vacuo. The resulting residue was purified by PTLC to obtain 378 mg (0.85 mmol) of N-[N-[3-(2,4-dihydroxyphenyl)propyl]-L-α-aspartyl]-L-phenylalanine 1-methyl ester as a solid.

^{1}HNMR (DMSO-d6) δ: 1.46-1.58 (m, 2H), 2.14-2.40 (m, 6H), 2.60-2.98 (m, 1H), 3.02-3.12 (m, 1H), 3.38-3.48 (m, 1H), 3.62 (s, 3H), 4.52-4.62 (m, 1H), 6.11 (d, 1H), 6.25 (s, 1H), 6.75 (d, 1H), 7.18-7.28 (m, 5H), 8.55 (brd, 1H), 8.95 (brs, 2H).

ESI-MS 445.3 (MH^+)

Sweetening potency (relative to sugar) 10,000 times

(Example 2)

Synthesis of N-[N-[3-(3,4-dihydroxyphenyl)propyl]-L-α-aspartyl]-L-phenylalanine 1-methyl ester

N-[N-[3-(3,4-dihydroxyphenyl)propyl]-L-α-aspartyl]-L-phenylalanine 1-methyl ester was obtained as a solid in a total yield of 52.3 % in the same manner as in Example 1 except that 3-(3,4-dibenzyloxyphenyl)-2-propenylaldehyde was used instead of 3-(2,4-dibenzyloxyphenyl)-2-propenylaldehyde.

^{1}HNMR (DMSO-d6) δ: 1.48-1.57 (m, 2H), 2.40-2.80 (m, 6H), 2.91 (dd, 1H), 3.06 (dd, 1H), 3.37-3.43 (m, 1H), 3.62 (s, 3H), 4.52-4.62 (m, 1H), 6.39 (d, 1H), 6.54 (s, 1H), 6.61 (d, 1H), 7.18-7.28 (m, 5H), 8.50 (d, 1H), 8.62 (brs, 2H).

ESI-MS 445.2 (MH^+)

Sweetening potency (relative to sugar) 15,000 times

(Example 3)

Synthesis of N-[N-[3-(2,3,4-trihydroxyphenyl)propyl]-L-α-aspartyl]-L-phenylalanine 1-methyl ester

N-[N-[3-(2,3,4-trihydroxyphenyl)propyl]-L-α-aspartyl]-L-phenylalanine 1-methyl ester was obtained as a solid in a total yield of 32.7 % in the same manner as in Example 1 except that 3-(2,3,4-tribenzyloxyphenyl)-2-propenylaldehyde was used instead of 3-(2,4-dibenzyloxyphenyl)-2-propenylaldehyde.

^{1}HNMR (DMSO-d6) δ: 1.48-1.62 (m, 2H), 2.16-2.45 (m, 6H), 2.87-2.98 (m, 1H), 3.02-3.12 (m, 1H), 3.40-3.50 (m, 1H), 3.61 (s, 3H), 4.50-4.60 (m, 1H), 6.19 (d, 1H), 6.29 (d, 1H), 7.15-7.31 (m, 5H), 8.57 (d, 1H), 8.75 (brs, 1H).

ESI-MS 461.2 (MH^+)

Sweetening potency (relative to sugar) 10,000 times

(Example 4)

Synthesis of N-[N-[3-(3,4,5-trihydroxyphenyl)propyl]-L-α-aspartyl]-L-phenylalanine 1-methyl ester

N-[N-[3-(3,4,5-trihydroxyphenyl)propyl]-L-α-aspartyl]-L-phenylalanine 1-methyl ester was obtained as a solid in a total yield of 26.1 % in the same manner as in Example

1 except that 3-(3,4,5-tribenzyloxyphenyl)-2-propenylaldehyde was used instead of 3-(2,4-dibenzyloxyphenyl)-2-propenylaldehyde.

^{1}HNMR (DMSO-d6) δ: 1.44-1.56 (m, 2H), 2.14-2.34 (m, 6H), 2.93 (dd, 1H), 3.10 (dd, 1H), 3.30-3.45 (m, 1H), 3.62 (s, 3H), 4.50-4.62 (m, 1H), 6.07 (s, 2H), 7.15-7.29 (m, 5H), 8.51 (brs, 1H), 8.65 (brs, 1H).

ESI-MS 461.2 (MH^+)

Sweetening potency (relative to sugar) 25,000 times

(Example 5)

Synthesis of N-[N-[3-(3,4-dihydroxyphenyl)-3-methylbutyl]-L-α-aspartyl]-L-phenylalanine 1-methyl ester

N-[N-[3-(3,4-dihydroxyphenyl)-3-methylbutyl]-L-α-aspartyl]-L-phenylalanine 1-methyl ester was obtained as a solid in a total yield of 76.5 % in the same manner as in Example 1 except that 3-(3,4-dibenzyloxyphenyl)-3-methylbutylaldehyde was used instead of 3-(2,4-dibenzyloxyphenyl)-2-propenylaldehyde.

^{1}HNMR (DMSO-d6) δ: 1.44 (s, 6H), 1.76-1.93 (m, 2H), 2.40-2.50 (m, 2H), 2.73-2.80 (m, 2H), 2.91 (dd, 1H), 3.06 (dd, 1H), 3.59 (s, 3H), 3.95-4.05 (m, 1H), 4.45-4.55 (m, 1H), 6.52 (d, 1H), 6.64-6.70 (m, 2H), 7.15-7.30 (m, 5H), 8.73 (brs, 1H), 8.80 (brs, 1H), 8.90 (brs, 1H), 9.09 (brs, 1H).

ESI-MS 473.2 (MH^+)

Sweetening potency (relative to sugar) 50,000 times

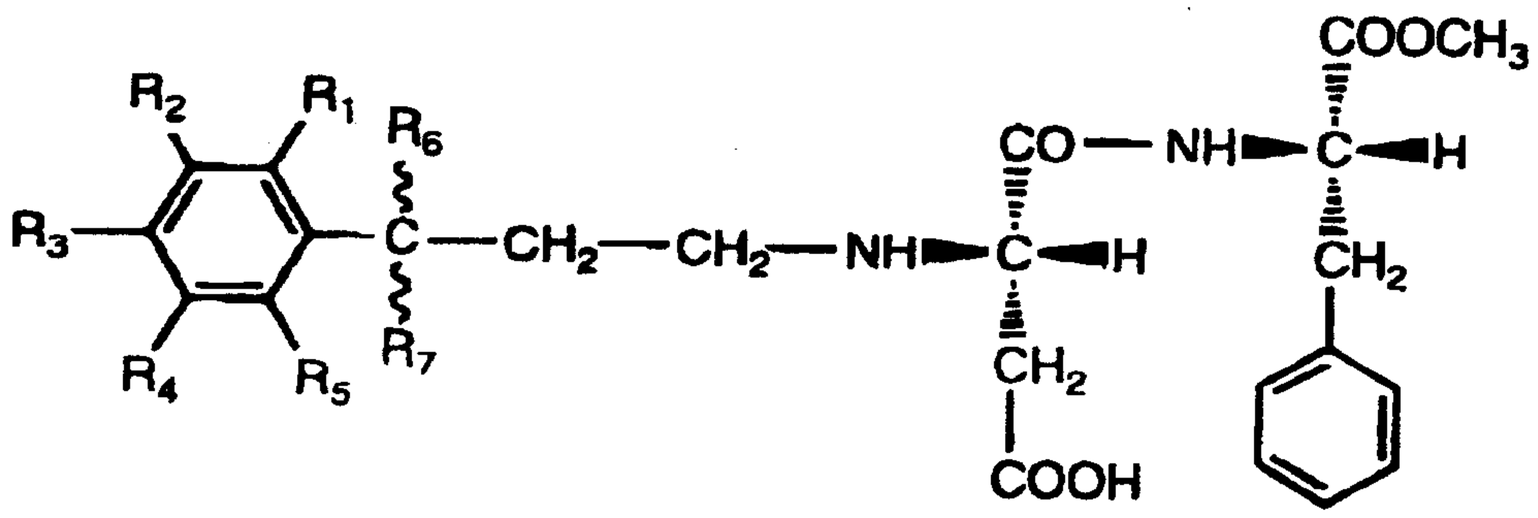
Effects of the Invention

The novel aspartyl dipeptide ester derivatives of the present invention are low-calory, and have a sweet taste excellent in a sweetening potency in particular in comparison with ordinary sweeteners. The present invention can provide novel chemical substances useful as a sweetener ingredient. Accordingly, the novel derivatives can be used as sweeteners, and can also impart a sweetness to products such as food and drink and the like requiring a sweetness.

CLAIMS

1. An aspartyl dipeptide ester derivative (including that in the form of salt) represented by the following general formula (1):

[Formula 3]



(1)

wherein R_1, R_2, R_3, R_4 and R_5, independently from each other, represent a hydrogen atom or a hydroxyl group, at least any two of R_1 to R_5 are hydroxyl groups, and R_6 and R_7, independently from each other, represent a hydrogen atom or an alkyl group having 1 to 3 carbon atoms.

2. The derivative according to claim 1, wherein in the said formula R_1 and R_3 are hydroxyl groups, and R_2, R_4, R_5, R_6 and R_7 are hydrogen atoms.

3. The derivative according to claim 1, wherein in the said formula R_2 and R_3 are hydroxyl groups, and R_1, R_4, R_5, R_6 and R_7 are hydrogen atoms.

4. The derivative according to claim 1, wherein in the said formula R_1, R_2 and R_3 are hydroxyl groups, and R_4, R_5, R_6 and R_7 are hydrogen atoms.

5. The derivative according to claim 1, wherein in the said formula R_2, R_3 and R_4 are hydroxyl groups, and R_1, R_5, R_6 and R_7 are hydrogen atoms.

6. The derivative according to claim 1, wherein in the said formula R_2 and R_3 are hydroxyl groups, R_1, R_4 and R_5 are hydrogen atoms, and R_6 and R_7 are methyl groups.

7. A sweetener, or a food and drink or an other product having a sweetness, characterized by comprising the derivative according to claim 1 as an active ingredient, and

which may further contain a carrier or a filler for a sweetener.

8. A method for imparting a sweetness, characterized in that the derivative according to claim 1 is added to or contained in a product such as a food and drink or the like required to have a sweetness or an intermediate product during the production stage thereof.