Anionic Sweet Tasting Derivatives of the Anti-Inflammatory Drug β -Glycyrrhetic Acid

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Abstract : Anionic derivatives of β -glycyrrhetic acid (GA) were prepared and assessed for sweetness. It was found that the presence of both a carboxyl group (COOH, free form) at C20 position and a carboxylate moiety (COO⁻) at C3 position in the GA molecule is essential for sweet taste. A plausible mechanism for sweet taste is proposed.

Key words : β -glycyrrhetic acid, anionic derivatives, sulfates, sweet taste, sweetness mechanism

1 Introduction

There have been known a huge number of natural or synthetic sweet substances with low molecular weight : most mono- and oligo-saccharides, such as sucrose, D-glucose and D-fructose ; glycine; many D-amino acids ; several L-amino acids, such as histidine, leucine, tyrosine, phenylalanine, and tryptophan ; others : CHCl₃, nitrobenzene, benzimidazole, cyclamate, aspartame, saccharin etc¹⁾. The sugars are now indispensable in our modern life. Among synthetic non-sugar sweeteners permitted as a food additive is aspartame²⁾, which is often utilized as a sugar substitute for a reducing diet in daily life and for therapeutical purposes.

Meanwhile, nature provides relatively large organic molecules exhibiting sweet taste, such as steviosides, dipotassium β -glycyrrhizinate (GK2, glycyrrhizin)³⁾ and its monoglucuronide derivative⁴⁾. Most of these compounds contain at least one glycosidic moiety in the molecule. Early work suggested that these natural sweet glycosides are all bifunctional, possessing two hydrophilic binding sites at both ends of the hydrophobic scaffold⁵⁾ ; namely, the synergistic weak nonbonding interactions may contribute to expression and enhancement of sweetness⁶⁾. Of course, not only the appropriate hydrophile-lipophile balance but also the solubility-in-water of the target molecule

seems important for a sweet tasting event. However, further certification should be demanded for the validity of this sweetness mechanism.

The traditional herbal medicine GK2, a natural sweet substance, has been recognized as a surface-active triterpenoid saponin with potent anti-inflammatory and anti-allergic activities widely used today. However, there have been only a few reports on the structure-sweetness relationship for β -glycyrrhetic acid (GA)-based sweetening agents^{4),7)}, where GA is the highly hydrophobic aglycon of GK2. Potential significance of such GA-derived compounds as practical sweeteners shows promise for their utilization in food and pharmaceutical industries due to the nontoxicity. In order to develop a sweetener based on the GA, accordingly, at least some of the requirements mentioned above must be incorporated.

In this paper we will offer a definitive criterion for sweetness of GA derivatives, because a better understanding of the relationship between the sweetness and the chemical structures is of possible importance in developing new sweeteners.

2 Experimental

Instruments.

IR spectra were recorded on a Shimadzu FT-IR 8200 spectrometer. ¹H-NMR spectra were measured using a Nihondensi Detum α -400 spectrometer operating at 400 MHz. The FAB-MS spectra were recorded on a Nihon Bunko JMS-7000 spectrometer.

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General.

Tetrahydrofuran (THF) was freshly distilled from sodium benzophenone ketyl. All other solvents were distilled after drying before use. Reagents were used as received. $^1\text{H-NMR}$ spectra were obtained in CDCl_3 or DMSO-d_6 and chemical shifts were referenced to tetramethylsilane.

The benzylated and the acid compounds of the corresponding potassium salt are denoted by symbols, -Bn and -H, respectively: for example, 1S-Bn and 1S-H for a potassium salt 1S. The symbols of other potassium compounds are indicated in **Table 1**. All potassium salts that are summarized in **Table 1** were obtained as precipitates by adding required amounts of KOH in MeOH to a solution of the corresponding carboxylic acids, and were characterized by comparing their IR and NMR spectra to those of the corresponding benzylated and/or acid precursors. The low resolution mass spectra of the potassium salts showed a molecular peak at the expected molecular weight. Generally, a carboxyl group(s), if necessary, was protected with a benzyl group, which was removed in the final step by $\text{Pd}(\text{OAc})_2$ - or 10% Pd/C-catalyzed hydrogenolysis that is a clean and efficient process. The procedures described below are representative.

GA-Benzyl ester (GA-Bn). GA was esterified by the reaction with a large excess of benzyl bromide in the presence of K_2CO_3 in refluxing acetone, followed by a usual work-up of the reaction mixture and recrystallization of the crude product from acetone/hexane, giving the title ester in 78.5% yield; mp $129\sim 131^\circ\text{C}$, $^1\text{H-NMR}(\text{CDCl}_3)$ δ : 0.74, 0.81, 1.00, 1.11, 1.14, 1.16, 1.42 (each 3H, each s, CH_3), 3.23 (1H, dd, $J=6.9$ Hz, CH-OH), 5.07 $\sim$ 5.22 (2H, m, Ph- CH_2), 5.55 (1H, s, C12-H), 7.25 $\sim$ 7.37 (5H, m, Ph).

3-Succinyloxy-GA (1S-H)⁸⁾ and 1S-Bn. GA was allowed to react with succinic anhydride in pyridine at 90°C for 5 days. The solution was concentrated and then acidified with aq. HCl to give the precipitates of 1S-H. The crude product was recrystallized from MeOH/ H_2O to give 1S-H in 52.0% yield; dec. $> 300^\circ\text{C}$, $\text{IR}(\text{cm}^{-1})$ 1740 (COOCH), 1705(COOH), 1651($\text{C}=\text{C}=\text{O}$).

$^1\text{H-NMR}(\text{CDCl}_3)$ δ : 0.84, 0.88, 0.88, 1.13, 1.16, 1.22, 1.39 (each 3H, each s, C- CH_3), 2.15 $\sim$ 2.19 (4H, m, $\text{CO}(\text{CH}_2)_2\text{COOH}$), 4.56 (1H, m, CH-OH), 5.69 (1H, s, C12-H). Similar treatment of

GA-Bn with succinic anhydride and recrystallization of the resulting product from MeOH gave 1S-Bn: yield 51.3%, mp $208\sim 209^\circ\text{C}$, $^1\text{H-NMR}(\text{CDCl}_3)$ δ : 0.84, 0.88, 0.88, 1.13, 1.16, 1.22, 1.39 (each 3H, each s, C- CH_3), 2.15 $\sim$ 2.19 (4H, m, $\text{CO}(\text{CH}_2)_2\text{COOH}$), 4.56 (1H, m, CH-OH), 5.69 (1H, s, C12-H) 7.25 $\sim$ 7.37 (5H, m, Ph).

2S-, 3S-, 4S-, 5S- and 6S-H. General procedure. The title compounds were obtained by the reaction of 1S-Bn with a variety of amino acid benzyl ester toluenesulfonic acid salts in the presence of 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide, 4-dimethylaminopyridine and triethylamine in CH_2Cl_2 ⁹⁾ and the subsequent debenzylation in MeOH/THF at 40°C ; 2S-H: yield 45.7%, dec. $263\sim 265^\circ\text{C}$, $^1\text{H-NMR}(\text{DMSO-d}_6)$ δ : 0.76, 0.81, 0.82, 1.04, 1.06, 1.10, 1.37 (each 3H, each s, C- CH_3), 3.67 (2H, d, Gly- CH_2), 4.43 (1H, dd, CH-OH), 5.41 (1H, s, C12-H), 8.08 (1H, br.s, N-H). MS Calcd for $\text{C}_{36}\text{H}_{53}\text{NO}_8$ (M^+) 627.4, Found 627; 3S-H: yield 24.8%, mp $244\sim 245^\circ\text{C}$, $^1\text{H-NMR}(\text{DMSO-d}_6)$ δ : 0.75, 0.80, 0.82, 1.04, 1.06, 1.10, 1.36 (each 3H, each s, C- CH_3), 4.15 (1H, m, Ala-CH), 4.40 (1H, m, CH-OH), 5.40 (1H, s, C12-H), 8.18 (1H, d, $J=7.6$ Hz, N-H). MS Calcd for $\text{C}_{37}\text{H}_{55}\text{NO}_8$ (M^+) 641.4, Found 641.4; 4S-H: yield 76.4%, dec. $251\sim 254^\circ\text{C}$, $^1\text{H-NMR}(\text{DMSO-d}_6)$ δ : 0.76, 0.81, 0.82, 1.04, 1.06, 1.10, 1.37 (each 3H, each s, C- CH_3), 4.31 (1H, m, Asp-CH), 4.41 (1H, m, Hz CH-OH), 5.41 (1H, s, C12-H), 7.97 (1H, br.s, N-H). MS Calcd for $\text{C}_{38}\text{H}_{55}\text{NO}_{10}\text{Na}$ ($[\text{M}+\text{Na}]^+$) 708.3, Found 708.3; 5S-H: yield 39.2%, mp $246\sim 248^\circ\text{C}$, $^1\text{H-NMR}(\text{DMSO-d}_6)$ δ : 0.81, 0.87, 0.88, 1.13, 1.23, 1.24, 1.40 (each 3H, each s, C- CH_3), 4.39 $\sim$ 4.43 (1H, m, CH-OH), 5.40 (1H, s, C12-H), 8.16 (1H, d, $J=8$ Hz, N-H). MS Calcd for $\text{C}_{39}\text{H}_{57}\text{NO}_{10}$ (M^+) 699.4, Found 699.4; 6S-H: yield 32.3%, dec. $223\sim 226^\circ\text{C}$, $^1\text{H-NMR}(\text{DMSO-d}_6)$ δ : 0.75, 0.85, 0.86, 1.04, 1.06, 1.08, 1.36 (each 3H, each s, C- CH_3), 3.69 $\sim$ 3.74 (4H, m, Gly-Gly-CH), 4.41 (1H, dd, $J=4$ and 8 Hz, Hz CH-OH), 5.44 (1H, s, C12-H), 7.65 (1H, br.s, N-H). MS Calcd for $\text{C}_{38}\text{H}_{56}\text{N}_2\text{O}_9$ (M^+) 684.4, Found 684.4.

GA-methyl ester¹⁰⁾ GA was esterified with diazomethane in THF according to the method reported previously; yield 99.0%, mp $239\sim 242^\circ\text{C}$, $\text{IR}(\text{cm}^{-1})$ 1724, (COOMe), 1659($\text{C}=\text{C}=\text{O}$).

8S-H. For succinylation of GA-Me, the same method as employed for 1S-Bn was followed; yield 18.4%, mp $270\sim 271^\circ\text{C}$, $^1\text{H-NMR}(\text{CDCl}_3)$ δ :

Table1 Sweetness and Bitterness of Anionic GA-Derivatives^{a)}.

sweet group	tasteless group	bitter group
$\frac{R_{20}=\text{CO}_2\text{H}}{R_3}$	$\frac{R_{20}=\text{CO}_2\text{Me}}{R_3}$	$\frac{R_3=\text{OH}}{R_{20}}$
1S -OCO(CH ₂) ₂ CO ₂ ⁻ (30)	8S -OCO(CH ₂) ₂ CO ₂ ^{-b)}	12A -CO ₂ CH ₂ CO ₂ ⁻
2S -OCO(CH ₂) ₂ CONHCH ₂ CO ₂ ⁻ (80) (Gly)		13A -CO ₂ CH ₂ CONHCH ₂ CO ₂ ⁻ (Gly)
3S -OCO(CH ₂) ₂ CONHCHMeCO ₂ ⁻ (50) (L-Ala)		
4S -OCO(CH ₂) ₂ CONHCHCO ₂ ⁻ (50) CH ₂ CO ₂ ⁻ (L-or D-Asp)	9S -OCO(CH ₂) ₂ CONHCHCO ₂ ⁻ CH ₂ CO ₂ ⁻ (L-Asp)	14A -CO ₂ CH ₂ CONHCHCO ₂ ⁻ CH ₂ CO ₂ ⁻ (L-or D-Asp)
5S -OCO(CH ₂) ₂ CONHCHCO ₂ ⁻ (50) CH ₂ CH ₂ CO ₂ ⁻ (L-Glu)		
6S -OCO(CH ₂) ₂ CO(NHCH ₂ CO) ₂ O ⁻ (100) (Gly-Gly)		15A -CO ₂ CH ₂ CO(NHCH ₂ CO) ₂ O ⁻ (Gly-Gly)
7 -OSO ₃ ⁻ (150)	10 -OSO ₃ ^{-c)}	
	11A R ₃ = -OSO ₃ ⁻ R ₂₀ = CO ₂ CH ₂ CO ₂ ⁻	

The numbers in parentheses denote the relative sweetness potency of the GA-derivatives to 4% sucrose.

a) as potassium salts. b) sparingly soluble in water. c) slightly soluble in water.

0.84, 0.88, 0.88, 1.13, 1.16, 1.22, 1.39 (each 3H, each s, C-CH₃), 2.15~2.19 (4H, m, CO(CH₂)₂COOH), 3.70 (3H, s, COOMe), 4.56 (1H, m, CH-OH), 5.69 (1H, s, C12-H). MS Calcd for C₃₅H₅₂O₇ (M⁺) 584.4, Found 584.4.

9S-H. 8S-H was coupled with L-aspartic acid α,β -dibenzyl ester and the product thus obtained was subjected to debenzylation; yield 91.4%, mp 180~182°C, ¹H-NMR (DMSO-d₆) δ : 0.78, 0.85, 0.86, 1.08, 1.10, 1.11, 1.40 (each 3H, each s, C-CH₃), 3.64 (3H, s, COOMe), 4.43~4.47 (1H, m, Hz CH-OH), 5.46 (1H, s, C12-H), 7.65 (1H, br.s, N-H). MS Calcd for C₃₉H₅₇NO₁₀ (M⁺) 699.4, Found 699.4.

12A-H. The C20-COOH terminal of GA was

extended by reaction with benzyl bromoacetate in the presence of K₂CO₃ in refluxing acetone, affording GA-COOCH₂COOBn (12A-Bn: yield 79.4%, mp 109~111°C), which was debenzylated with 10% Pd/C-H₂ in MeOH/THF; yield 38.4%, mp 277~278°C, ¹H-NMR(DMSO-d₆) δ : 0.69, 0.76, 0.91, 1.02, 1.03, 1.14, 1.35 (each 3H, each s, CH₃), 4.54~4.67 (2H, m, COO-CH₂COOH), 5.48 (1H, s, C12-H). MS Calcd for C₃₂H₄₈O₆ (M⁺) 528.3, Found 528.3.

13A-H, 14A-H, 15A-H. The title compounds were obtained by reaction of 12A-H with C-benzyl protected amino acid toluenesulfonic acid salts in the presence of 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide, 4-dimethylaminopyridine and tri-

Fig. 1 GA and Its Related Derivatives.

ethylamine in CH₂Cl₂, followed by deprotection with 10% Pd/C at 40°C under hydrogen for 1h in MeOH/THF; 13A-H: yield 48.6%, mp 240 ~ 244°C, ¹H-NMR(CDCl₃) δ 0.69, 0.76, 0.91, 1.03, 1.04, 1.15, 1.35 (each 3H, each s, C-CH₃), 3.01 (1H, dd, CH-OH) 3.80 (2H, d, J=6 Hz, Gly-CH₂), 4.50~4.64 (2H, m, COO-CH₂-CO), 5.48 (1H, s, C12-H), 8.29 (1H, t, J=6 Hz N-H). MS Calcd for C₃₄H₅₁NO₇ (M⁺) 585.4, Found 585.4; 14A-H: yield 67.2%, mp 200 ~ 202°C, ¹H-NMR (DMSO-d₆) δ : 0.69, 0.76, 0.91, 1.02, 1.04, 1.15, 1.35 (each 3H, each s, C-CH₃), 4.49~4.63 (2H, m, COO-CH₂-CO), 5.49 (1H, s, C12-H), 8.28 (1H, d, J=8 Hz, N-H). MS Calcd for C₃₆H₅₃NO₉ (M⁺) 643.4, Found 643.4; 15A-H: yield 45.1%, mp 162 ~ 164°C, ¹H-NMR (DMSO-d₆) δ : 0.68, 0.75, 0.90, 1.02, 1.03, 1.14, 1.35 (each 3H, each s, C-CH₃), 4.50~4.65 (2H, m, COO-CH₂-CO), 5.47 (1H, s, C12-H), 8.28 (1H, br.s, N-H). MS Calcd for C₃₆H₅₄N₂O₈ (M⁺) 642.4, Found 642.4.

7, 10, 11A. GA, GA-Me, and 12A-H were sulfated with three to five equivalents of SO₃·pyridine complex in THF. The resulting precipitates were filtered off and washed with THF. The dissolution of the precipitates in MeOH, followed by neutralization to pH 8 with a 2M KOH solution in MeOH, removal of precipitated K₂SO₄ and purification of the crude products, eventually gave the GA-sulfate dipotassium salt 7, the GA-Me-sulfate monopotassium salt 10, and the 12A-sulfate dipotassium salt 11A, respectively; salt 7: yield 8.3%, dec. 265~270°C, IR(cm⁻¹) 1653(C=C=O), 1558(COOK). ¹H-NMR (DMSO-d₆) δ : 0.69, 0.71, 0.75, 0.78, 1.03, 1.04, 1.40 (each 3H, each s, C-CH₃), 3.71~3.76 (1H, m, CH-OH), 5.50

(1H, s, C12-H). MS Calcd for C₃₀H₄₅O₇SK₂ ([M+H]⁺) 627.2, Found 627.2; Salt 10: yield 17.9%, mp 240~242°, ¹H-NMR (1% CD₃OD-CDCl₃) δ : 0.80, 0.82, 1.03, 1.11, 1.14, 1.15, 1.37 (each 3H, each s, C-CH₃), 3.98~4.00 (1H, m, CH-OH), 5.64 (1H, s, C12-H). MS Calcd for C₃₁H₄₈O₇SK ([M+H]⁺) 603.3, Found 603.3, C₃₁H₄₇O₇SK₂ ([M+K]⁺) 641.2, Found 641.2; Salt 11A: yield 18.4%, dec. 271~275°C, ¹H-NMR (DMSO-d₆) δ : 0.69, 0.75, 0.78, 0.92, 1.03, 1.04, 1.35 (each 3H, each s, C-CH₃), 3.62~3.67 (1H, m, CH-OH), 4.00~4.20 (2H, m, COO-CH₂-CO), 5.53 (1H, s, C12-H). MS Calcd for C₃₂H₄₆O₉SK₂ ([M+K]⁺) 685.2, Found 685.2; C₃₂H₄₆O₉SK₃ ([M+K]⁺) 723.2, Found 723.2.

The chemical structures of GA, GK2, and some related compounds are presented in Fig. 1.

3 Results and Discussion

In order to find out essential elements causing sweetness to anionic derivatives of β -glycyrrhetic acid (GA), in the present work we have synthesized different types of compounds attaching one or two carboxyl substituents (R₃, R₂₀) such as a variety of amino acid residues in the C-3 and/or C-20 positions of the hydrophobic triterpen-backbone through an ester or amide linkage (Table 1). As anticipated, all the materials thus obtained were considerably surface-active except poorly soluble compound 8S (R₃: OCO(CH₂)₂CO₂⁻, R₂₀: CO₂Me). The utilization of GA as a starting material has several advantages: GA itself is cheap and is easily modified through the OH and COOH functional groups; the GA-derivatives thus obtained would be susceptible to hydrolysis under physiological conditions and then be

probably nontoxic. Thus, our major concern in this study is to know whether or not the anionic GA-derivatives so formed exhibit sweetness and to delineate the sweetness mechanism. Generally, the sweet taste of a molecule can be easily assessed by tasting it with the tongue.

Rough sweetness assessment has been performed with 15 samples, which tastes range from the sweet to the bitter. The results thus obtained are summarized in **Table 1**. Inspection of the table reveals that, generally, the compounds containing one easily ionizable carboxyl group (pK_a : 4–5) at the C-3 position of GA were all sweet, and such sweet characters were independent of the spacer length and the number of anionic charges of the side chains (entries 1S–6S, 7). Furthermore, in contrast to a large difference in sweet taste between D- and L-amino acid enantiomers themselves, no distinct difference was recognized between GA-derivatives bearing the corresponding D- and L-amino acid residues (entries 4S and 14A), indicating the response of the taste bud receptor toward chirality being essentially non-selective.

However, the methyl esterification of the C-20 carboxyl group (COOH) of the above compounds 1S and 4S resulted in a tastelessness (entries 8S and 9S), strongly suggesting that the presence of the relatively less ionizable C-20 COOH moiety (pK_a : 8.5) is also substantial for the expression of sweetness; taking the physiological pH value of the salivary solution being 7.1 into consideration, the C-3 and C-20 COOH groups on the GA hydrophobic scaffold of these sweet molecules predominantly exist as the ionized and the free form, respectively. We undoubtedly conclude,

therefore, that there exist at least two different types of polar binding sites in the sweet taste receptor. These distal binding sites are placed more than 13 Å apart, since GA has the hydrophobic part of 13 Å long¹¹.

Hence, we can infer, in agreement with the above observation and presumption, that there exist two different receptive binding sites, separating each other at a large distance, that would bind a stimulant molecule through electrostatic attractions such as hydrogen-bonding, charge-charge and/or charge-dipole interactions: most possibly, one is acting as a proton acceptor (B) comprising basic side-chain functionality such as -COO^- or -NH_2 , while the other as a proton donor (AH) comprising acidic functionality such as COOH or -NH_3^+ on the protein surface. Some nearby OH groups also may participate in binding the stimulant molecule.

According to this inference, we can understand the tastelessness of a dianionic and hydrophilic compound (entry 11A). Its tastelessness may be mainly attributed to a lack of a proton donation group in the molecule. Meanwhile, increased hydrophobicity of a stimulant molecule will impart a bitter taste. Actually, rather hydrophobic compounds (entries 12A–15A) exhibited a bitter taste; these molecules commonly possess at least one proton accepting carboxylate moiety at the C-20 position alone but with no proton donor group except the C-3 OH group that is too weak for a proton donor. It is well documented that, when a stimulant molecule binds to the receptor embedded in the cell membrane, the depolarization of a membrane potential is developed¹². The electric stimulus thus generated will be eventually trans-

Fig. 2 Plausible Nonbonding Multiple Interactions Expressing a Sweet Taste.

Fig. 3 The AH, B System for the Sulfated GA.

mitted as a nerve impulse to the brain cell via the chemical synapse. The oversimplified conceptual diagram is depicted in Fig 2.

Previously, Shallenberger and co-workers proposed that the unit common to sweet tasting compounds is a complementary, bifunctional AH/B system where A and B are electronegative atoms separated by a distance of greater than 2.5 Å, but less than 4 Å¹³. This AH/B molecular theory has often been employed as a guide for designing the structures of sweet substances¹⁴. However, as the entry 7 in Table 1 shows, the sulfated GA prepared by reaction of GA with SO₃ · pyridine complex elicited strong sweetness, although the sulfate group itself has the proton accepting component (B), but lacks a well-defined proton donating component (AH) within a distance of 4 Å. This observation also supports the forementioned suggestion that the C-20 COOH group should act as the proton donating component (AH) (Fig. 3); in fact, when the sulfated GA (entry 7) was methylated at its C-20 COOH group, it lost the sweetness entirely (entry 10). Furthermore, inspection of the molecular structural feature of the sulfated GA suggests that the pockets of the sweet taste receptor are rather shallower in depth than anticipated.

In conclusion, the systematic study of the structure-function relationship for the sweet tasting anionic GA derivatives allowed us to elucidate the molecular mechanism of the sweetness. This study will serve basic information for the future discoveries of new sweetening substances. (Received May 15, 2000 ; Accepted Jul. 27, 2000)

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[報文]

オーストラリア産ボラの卵塩乾物の
ワックスエステル含量と組成

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オーストラリア産ボラ (*Mugil cephalus*) の卵塩蔵物とその塩乾物 (カラスミ) の脂質成分を明らかにした。両者の脂質 (TL) 含有率は、乾燥重量の 30.6~31.6% であり、 C_{32} および C_{34} を主成分 (53.1~61.1%) とするワックスエステル (WE: 82.8~84.3%) を含有した。WE の脂肪アルコールは、16:0 (59.3~63.4%) を主成分とする飽和成分 (83.5~86.4%) が特徴的であった。モノエン成分 (11.9~15.0%) はいずれも二重結合位置異性体を含み、16:1n-7 (5.8~9.2%) が主成分であった。また、15:0 (3.1~12.0%) などの奇数炭素成分が存在した。WE の構成脂肪酸は、16:1n-7 酸 (34.0~38.8%) などのモノエン酸 (56.1~60.6%) および 20:5n-3 酸、22:5n-3 酸、22:6n-3 酸などのポリエン酸 (26.6~31.1%) を多量に含有したが、トリアシルグリセリン (TG) では 16:0 酸 (32.9~34.6%)、16:1n-7 酸 (16.6~22.5%)、18:1n-7 酸 (7.8~8.7%) が特徴的であった。また、酸化によるボラ卵塩乾物の TL、WE、TG のポリエン酸の減少は認められなかった。

(連絡者: 林 賢治) Vol.49, No.11, 1401 (2000)

[報文]

抗炎症薬 β -グリシルレチン酸の
アニオン型甘味誘導体

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β -グリシルレチン酸 (GA) のアニオン型誘導体を多数合成し、それらの甘味を評価したところ、GA の 20 位カルボキシル基 (COOH) と 3 位の解離型アニオン置換基 (COO^-) が甘味に必要であることが分かり、甘味発現機構を提案した。

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