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Two New Triterpenoid Saponins from the Roots of *Gypsophila paniculata* with Potent α-Glucosidase Inhibition Activity

YAO Shun^{1, 2}, LUO Jian-Guang¹, MA Li¹, KONG Ling-Yi^{1*}

¹ Department of Natural Medicinal Chemistry, China Pharmaceutical University, Nanjing 210009, China; ² Department of Pharmaceutical and Biological Engineering, Sichuan University, Chengdu 610065, China

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[ABSTRACT] AIM: To investigate chemical constituents of *Gypsophila paniculata*. **METHODS:** The compounds were isolated by silica gel, Sephadex LH-20, Rp-C₁₈ column chromatography and preparative liquid chromatography. Their structures were elucidated by ESI-MS, NMR techniques (¹H, ¹³C, HMQC, HMBC, TOCSY) and acid hydrolysis. Moreover their α -glucosidase inhibition activity was evaluated compared with that of their aglycone. **RESULTS:** Two triterpenoid saponins, caryophyllacosides A (1) and B (2), were isolated from the roots of *Gypsophila paniculata*, without having recourse to chemical degradation or modification. Both of them were found to have potent α -glucosidase inhibitiory activity. **CONCLUSION:** The two triterpenoid saponins are new boactive compounds. **[KEY WORDS]** *Gypsophila paniculata*; Caryophyllaceae; Triterpenoid saponins; Glucosidase inhibition

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1 Introduction

Gypsophila paniculata L. (Caryophyllaceae) is a small perennial herb widely distributed in the northern regions of China. Its roots have been used as a substitute for the traditional Chinese herb Shan-Yin-Chai-Hu (roots of *Stellaria dichotoma* var. *lanceolata* Bge.) to treat fever, consumptive disease, and infantile malnutrition syndrome ^[1]. Commonly known as baby's breath, it has been used as contraceptive and purgative for a very long time in Europe ^[2]. Many previous chemical studies have shown that triterpenoid saponins^[3-8] are the main constituents from the roots of *G. paniculata*. In searching for more chemical constituents from this plant, the present paper introduced the isolation and structural elucidation of two new saponins (1 and 2, Fig. 1) obtained in our latest study.

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[*Corresponding author] KONG Ling-Yi: Prof., Tel: 86-25-8539-1289, Fax: 86-25-85301528, E-mail: cpu_lykong@126.com These authors have no any conflict of interest to declare.

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2 Experimental

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2.1 *General experimental procedures* Optical rotations were measured with a JASCO P-1020

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polarimeter. IR (KBr-discs) spectra were recorded on a Nicolet Impact-410 spectrometer. 1D and 2D NMR spectra were recorded at 300K on a Bruker ACF-500 instrument (¹H: 300 MHz, ¹³C: 125 MHz), with TMS as internal standard. Mass spectra were obtained on a MS Agilent 1100 Series LC/MSD Trap Mass spectrometer (HPLC-ESI-MSⁿ). GC/MS was done on a Varian CP-3800 instrument equipped with a Saturn 2200 mass detector, with a CP-sil 5 CB capillary column (30 m × 0.25 mm, i.d., 0.25 µm). TLC was performed on pre-coated silica gel 60 F254 (Oingdao Marine Chemical Co., Ltd.) and detection was achieved by 10% H₂SO₄-EtOH for saponins, aniline-phthalate reagents for sugars. Silica gel H (Oingdao Marine Chemical Co., Ltd.), Sephadex LH-20 (Pharmacia), and Rp-C₁₈ (40-63 µm, Fuji) were used for column chromatography. Preparative HPLC was carried out using an Agilent 1100 series with Shim-park Rp-C₁₈ column (200 mm \times 20 mm).

2.2 Plant material

The roots of *G. paniculata* L. were collected in suburbs of Kunming, Yunnan Province, China in October 2005, and identified by Prof. ZHANG Mian of the Department of Pharmacognosy, China Pharmaceutical University. A voucher specimen (No. 051022) was deposited in the Department of Natural Medicinal Chemistry, China Pharmaceutical University.

2.3 *Extraction and isolation*

The air-dried roots (20 kg) of *G paniculata* were extracted with 75% EtOH (40 L × 2 h × 3) under reflux. After removal of the solvent under vacuum, the residue was suspended in H₂O and partitioned successively with ethyl acetate and *n*-BuOH. The *n*-BuOH portion (518 g) was fractionated by a silica gel column (100–200 mesh), which was eluted with CHCl₃/MeOH/H₂O (100 : 10 : $0\rightarrow100$: 100 : 1) to give ten fractions (Frs. 1–10). Each fraction was further subjected to repeated Rp-C₁₈ column with MeOH/H₂O 3 : $7\rightarrow9$: 1 as eluents. Then subfractions were purified by preparative liquid chromatography and Sephadex LH-20 column (MeOH-H₂O, 1 : 1) to obtain pure saponins **1** (8.8 mg) and **2** (9.6 mg).

2.4 Acid hydrolysis

Each compound was heated in 2 mol·L⁻¹ HCl (5 mL) at 90 °C for 4 h. The reaction mixture was extracted with EtOAc (5 mL × 3). The EtOAc extract was analyzed on TLC and compared with the authentic samples, which proved to be quillaic acid ($R_{\rm f}$: 0.25, CHCl₃-MeOH, 20 : 1).

2.5 Enzyme inhibition assay

The α -glucosidase inhibition assay was performed according to the slightly modified method of Pierre *et al* ^[9]. α -Glucosidase (E.C.3.2.1.20) was purchased from Sigma company (No. G-5003, Lot. 081k7415). The inhibition was measured spectro-photometrically at pH 6.8 and at 37 °C for 10 min, using 0.01 mol·L⁻¹ *p*-nitro-phenyl α -D-glucopyranoside (PNPG) as a substrate and 1 U·mL⁻¹ of enzyme, in 0.067 mol·L⁻¹ KH₂PO₄-Na₂HPO₄ buffer. Acarbose was used as

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positive controls. The increment in absorption at 410 nm due to the hydrolysis of PNPG by α -glucosidase was monitored continuously with an auto multi-functional microplate reader (BIO-RAD680).

3 Results and Discussion

3.1 Structure elucidation and identification

Compound 1 was obtained as white amorphous solid with the molecular formula C₈₅H₁₂₄O₄₃ (molecular weight 1 832), as confirmed from the negative-ion-mode ESI-MS and NMR data. The IR spectrum showed absorption at 3 415 (OH), 1 719 (ester C=O), 1 678 and 1 633 (benzene ring and C=C) cm⁻¹. It gave positive result in Liebermann-Burchard and Molish reactions. Acid hydrolysis of 1 with 2 mol· L^{-1} afforded quillaic acid HC1 ((3b, 4a, 16a)-3, 16-dihydroxy-23-oxo olean-12-en-28-oic acid) identified by TLC comparison with an authentic sample, together with D-fucose, D-galactose, D-xylose, D-glucuronic acid and L-arabinose (1:1:2:1:3) based on GC-MS analysis ^[10]. The aglycone was further determined to be quillaic acid by comparison of its ¹H and ¹³C NMR data (Table 1) with the reported data [11-12].

 Table 1
 ¹³C NMR data (125 MHz, pyridine-d₅) for aglycone moieties

No.	1	2	No.	1	2
1	37.4	37.8	16	74.6	76.6
2	26.1	25.6	17	46.4	46.1
3	83.6	84.5	18	41.2	41.8
4	54.7	54.9	19	45.6	46.1
5	50.7	48.2	20	30.0	30.4
6	23.0	25.0	21	33.2	33.7
7	32.3	32.1	22	32.3	32.8
8	39.8	39.9	23	209.5	209.9
9	47.5	48.2	24	10.2	10.8
10	35.5	35.9	25	15.4	15.9
11	24.4	23.4	26	16.2	17.2
12	121.9	122.3	27	25.2	25.6
13	143.5	143.7	28	176.3	176.0
14	41.5	41.7	29	32.7	32.8
15	27.5	27.8	30	22.7	23.4

The assignments of the signals of the sugar moieties (Table 2) were accomplished by a combination of TOCSY, HSQC and HMBC experiments. The eight sugar anomeric C-atoms were detected at δ 93.9, 101.2, 102.8, 103.2, 104.1, 104.5, 105.6, and 106.3 in the ¹³C NMR spectrum (Table 2),

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1		2		1		2			
3-O-sugars				28-O-sugars					
No.	$\delta_{\rm C}$	No.	$\delta_{\rm C}$	No.	$\delta_{\rm C}$	No.	$\delta_{\rm C}$		
GlcA 1	102.8	GlcA 1	103.6	Xyl' 1	105.6	Xyl' 1	105.1		
2	70.4	2	71.1	2	76.1	2	71.9		
3	77.7	3	77.9	3	84.9	3	84.3		
4	71.5	4	72.5	4	74.0	4	73.0		
5	76.7	5	76.5	5	67.4	5	66.8		
6	171.0	6	171.6	Ara' 1	104.5	Xyl" 1	106.8		
Gal 1	103.9	Ara 1	101.8	2	73.2	2	72.5		
2	71.7	2	72.0	3	74.0	3	78.0		
3	74 1	3	73.9	4	78.6	4	70.5		
4	70.8	4	70.9	5	66.6	5	66.7		
5	76.1	5	66.5	Ara'' 1	106.3	5	00.7		
5	62.0	Gal 1	103.0	2	72.5				
0 A == 1	02.0		70.2	2	72.3				
Ara I	101.2	2	70.2	3	72.1				
2	72.2	3	/3.8	4	/0.1				
3	/4.0	4	73.0	5 MC 1"	00.5	MC 1"	127.0		
4	69.3	5	/6.0	MC I	126.8	MC I	127.0		
5	66.5	6	61.1	2"	131.0	2"	130.6		
F 1	28-0-	sugars	04.0	3	114.4	3	114.6		
Fue I	93.9	Fue I	94.0 76.0	4	161.2	47	161.6		
2	83.5	2	/6.8	5	114.4	5	114.6		
3	72.0	3	72.5	6	131.0	0	130.6		
4	73.2	4	/4.8	α	115.2	α	114.6		
5	/3.0	5	/2.9	β	144.2	β	144.3		
6	16.2	6	18.4	C=O	165.7	C=O	166.9		
Xyl 1	104.1	Xyl 1	104.7	OCH ₃	55.4	OCH ₃	55.2		
2	77.5	2	74.0	OAC	20.1	OAC	20.1		
3	85.9	3	85.8						
4	74.0	4	76.5						
5	67.5	5	66.7						

Table 2 ¹³C NMR data (125 MHz, pyridine-d₅) for sugar moieties

attached to the H-atoms at δ 5.84 (d, J = 8.2 Hz), 5.29 (br s), 4.65 (d, J = 7.3 Hz), 5.12 (d, J = 6.9 Hz), 4.92 (d, J = 7.4 Hz), 4.82 (d, J = 7.2 Hz), 4.74 (d, J = 7.3 Hz), and 4.59 (d, J = 7.2 Hz), respectively, as established by the HSQC experiment. The assignments of the C-atom signals of the sugar components (Table 2) were further determined from TOCSY, HSQC, and HMBC plots. The β -anomeric configurations for the D-glucuronic acid, D-fucose, D-galactose and D-xylose units, and the α -anomeric configurations for the L-arabinose unit were determined by their large ${}^{3}J$ (1, 2) coupling constants of 7-8 Hz and 13 C NMR data ${}^{[13]}$. The correlations between H-1 of glucuronic acid (δ 4.65) and C-3 (δ 83.6) of quillaic acid, as well as that between H-1 of fucose (δ 5.84) and C-28 (δ 176.3) of quillaic acid in the HMBC spectrum, indicated that the two sugar chains are attached to C-3 and C-28 of the aglycone. The linkages of the other monosaccharides to glucuronic acid and fucose were established from the related HMBC correlations. Besides those of the sugars and the aglycone, there were other signals in the ¹H and ¹³C NMR spectra of **1**, suggesting the presence of an *cis-p*-methoxy cinnamoyl group [(*Z*)-MC group] at δ 6.86 and 5.80 (d, *J* = 12.1 Hz, 1 H each, H- β and H- α), and 7.72 and 6.79 (d, *J* = 9.0 Hz, 2 H each, AA'BB' system, H-2, 6 and H-3, 5). The downfield signal of Fuc H-4 at δ 5.37 gave a cross-peak with the signal of the C=O C-atom of the (*Z*)-MC group at 165.7 in the HMBC spectrum which revealed the location of the substituent group (Fig. 2). An acetyl group was found to attach to C-3 of the D-fucose by the correlation between the

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signals at δ 169.6 (C=O C-atom of acetyl group) and 4.19 (H-3 of D-fucose).



Fig. 2 Key HMBC correlations in 28-O-sugars chain

From the above analysis, the structure of **1** was elucidated as $3-O-\alpha$ -L-arabinopyranosyl- $(1\rightarrow 2)$ - $[\beta$ -D-galactopyranosyl- $(1\rightarrow 3)$]- β -D-glucuronopyranosyl quillaic acid 28- $O-\alpha$ -L-arabinopyranosyl- $(1\rightarrow 4)-\alpha$ -L-arabinopyranosyl- $(1\rightarrow 3)-\beta$ -D-xylopyranosyl- $(1\rightarrow 3)-\beta$ -D-xylopyranosyl- $(1\rightarrow 2)-3-O$ -ac etyl-4-O-cis-p-methoxy cinnamoyl β -D-fucopyranoside.

Compound 2 displayed a quasimolecularion peak [M -H]⁻ at m/z 1 699 in the negative-ion-mode ESI-MS, in accordance with the molecular formula C₈₀H₁₁₆O₃₉. It also gave positive results in Liebermann-Burchard and Molish reactions. Acid hydrolysis of 2 with 2 mol L^{-1} HCl afforded quillaic acid and the monosaccharides D-fucose, D-xylose, D-galactose, L-arabinose and D-glucuronic acid (1:3:1:1: 1) as determined by co-TLC and GC/MS analysis. The aglycone was further determined as quillaic acid by comparison of its ¹³C NMR data (Table 1) with reported data ^[11-12]The assignments of the signals of the sugar moieties (Table 2) were also accomplished by a combination of TOCSY, HSQC and HMBC experiments. The downfield shift of C-3 (& 84.5) and upfield shift of C-28 (& 176.0) revealed that the aglycone of 2 was linked to two sugar chains at C-3 and C-28, respectively. The anomeric-H-atom signals at δ 6.07 (d, J = 8.2 Hz), 5.60 (d, J = 7.6 Hz), 4.80 (d, J = 7.3 Hz), 5.40 (d, J = 7.0 Hz), 5.20 (d, J = 7.2 Hz), 5.08 (d, J = 7.4Hz), and 4.90 (d, J = 7.1 Hz) correlated with the C-atom signals at 8 94.0, 101.8, 103.6, 103.9, 104.7, 105.1 and 106.8 in the HSQC spectrum, respectively. Large ${}^{3}J(1, 2)$ coupling constants and ¹³C NMR data also determined the β -anomeric configurations for the D-glucuronic acid, D-fucose, D-galactose and D-xylose units, and the α -anomeric configurations for the L-arabinose unit. The correlations between H-1 of glucuronic acid (δ 4.80) and C-3 (δ 84.5) of quillaic acid, as well as the correlation between H-1 of fucose (δ 6.07) and C-28 (§ 176.0) of quillaic acid in the HMBC spectrum, indicated that the two sugar chains are attached to C-3 and C-28 of the aglycone. The linkages of the other monosaccharides to glucuronic acid and fucose were established from related HMBC correlations. Besides those of the sugars and the aglycone, there were also the signals of methoxy cinnamoyl group in ¹H and ¹³C NMR spectra of 2. Different

from the (Z)-MC group in compound **1**, the C=C double bond in MC group of **2** was assigned the configuration *E*, which was supported by a larger ${}^{3}J$ coupling constants (15.7 Hz) between the H- β and H- α . An acetyl group was also found to attach to C-3 of the D-fucose by the correlation between the signals at δ 169.9 (C=O C-atom of acetyl group) and 4.59 (H-3 of D-fucose).

Thus, the structure of **2** was determined as 3-*O*- α -L- arabinopyranosyl- $(1\rightarrow 2)$ -[β -D-galactopyranosyl- $(1\rightarrow 3)$]- β -D-glucuronopyranosyl quillaic acid 28-*O*- β -D- xylopyranosyl- $(1\rightarrow 3)$ - β -D-xylopyranosyl- $(1\rightarrow 3)$ - β -D-xylopyranosyl- $(1\rightarrow 2)$ - β -D-xylopyranosyl- β -D-xylo

3.2 Bioassay

 α -Glucosidase (E.C.3.2.1.20) catalyzes the final step in the digestive process of carbohydrates. Its inhibitors can retard the absorption of dietary carbohydrates and thus suppress postprandial hyperglycemia, and could be used to treat diabetes. The two saponins have been screened as α -glucosidase inhibitors together with their aglycone quillaic acid. Compounds **1**, **2** and quillaic acid are more potent α -glucosidase inhibitors than the positive control acarbose. The IC₅₀ of **1**, **2**, quillaic acid and acarbose were 106.98, 112.94, 133.74 and 398.08 µmol·L⁻¹, respectively. In combination with our results ^[7-8], it seemed that the methoxycinnamoyl group on sugar chain could evidently enhance enzyme inhibition.

3.3 Physical and chemical properties and spectral data

3-*O*- α -L-arabinopyranosyl-(1 \rightarrow 2)-[β -D-galactopyranosy $1-(1\rightarrow 3)$]- β -D-glucuronopyranosyl quillaic acid 28- $O-\alpha$ -Larabinopyranosyl- $(1 \rightarrow 4)$ - α -L-arabinopyranosyl- $(1 \rightarrow 3)$ - β -Dxylopyranosyl- $(1\rightarrow 3)$ - β -D-xylopyranosyl- $(1\rightarrow 2)$ -3-O-acetyl-4-*O*-*cis*-*p*-methoxy cinnamoyl β -D-fucopyranoside (1): White powder, positive to Liebermann-Burchard and Molish tests. Grey spot on TLC by spraying with Komarowsky reagent. $[\alpha]_D^{25}$ + 83.67° (*c* 0.06, pyridine); IR (KBr) v_{max}: 3 415, 1 719, 1 678, 1 633, 1 076 cm⁻¹. Its molecular formula was determined to be $C_{85}H_{124}O_{43}$ by ESI-MS m/z 1 831 [M – H]⁻, 1 699 [M – H – 132]⁻, 1 567 [M – H – 132 – 132]⁻. ¹H NMR (500 MHz, in pyridine-d₅) δ: 0.66, 0.70, 0.75, 1.01, 1.04 and 1.14 (each 3H, s), 3.81 (1H, m, H-3), 5.15 (1H, br. s, H-16), 5.45 (1H, br s, H-12), 9.64 (1H, s, H-23), 5.84 (d, J =8.2 Hz, Fuc-H-1), 5.29 (br s, Ara-H-1), 4.65 (d, J = 7.3 Hz, GlcA-H-1), 5.12 (d, J = 6.9 Hz, Gal-H-1), 4.92 (d, J = 7.4 Hz, Xyl-H-1), 4.82 (d, J = 7.2 Hz, Ara'-H-1), 4.74 (d, J = 7.3 Hz, Xyl'-H-1), 4.59 (d, J = 7.2 Hz, Ara"-H-1), 6.79 and 7.72 (each 2H, d, J = 9.0 Hz, MC-H-3, 5 and 2, 6), 5.80 and 6.85 (each 1H, d, J = 12.1 Hz, MC-H- α and β), 3.56 (3H, s, OMe), 1.91 (3H, s, OAc). ¹³C NMR data, see Tables 1 and 2.

3-*O*-α-L-arabinopyranosyl-(1 \rightarrow 2)-[β-D-galactopyranosy l-(1 \rightarrow 3)]-β-D-glucuronopyranosyl quillaic acid 28-*O*-β-Dxylopyranosyl-(1 \rightarrow 3)-β-D-xylopyranosyl-(1 \rightarrow 3)-β-D-xylopyranosyl-(1 \rightarrow 2)-3-*O*-acetyl-4-*O*-trans-p-methoxy cinnamoyl β-D-fucopyranoside (2): White powder, positive to Lie-

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bermann-Burchard and Molish tests. Grey spot on TLC by spraying with Komarowsky reagent. $\left[\alpha\right]_{D}^{25} + 71.67^{\circ}$ (c 0.06, pyridine); IR (KBr) vmax: 3 439, 1 750, 1 718, 1 677, 1 635, 1 075 cm⁻¹. Its molecular formula was determined to be $C_{80}H_{116}O_{30}$ by ESI-MS m/z 1 699 [M - H]⁻, 1 567 [M - H -132]⁻, 1 405 [M – H – 132 – 162]⁻. ¹H NMR (500 MHz, in pyridine-d₅, TMS) δ : 0.73, 0.83, 0.93, 0.96, 1.15 and 1.31 (each 3H, s), 4.19 (1H, m, H-3), 5.09 (1H, br s, H-16), 5.34 (1H, br s, H-12), 9.87 (1H, s, H-23), 6.07 (d, J = 8.2 Hz, Fuc-H-1), 5.60 (d, J = 7.6 Hz, Ara-H-1), 4.80 (d, J = 7.3 Hz, GlcA-H-1), 5.40 (d, J = 7.0 Hz, Gal-H-1), 5.20 (d, J = 7.2 Hz, Xyl-H-1), 5.08 (d, J = 7.4 Hz, Xyl-H-1), and 4.90 (d, J = 7.1 Hz, Xyl"-H-1), 6.96 and 7.53 (each 2H, d, J = 9.0 Hz, MC-H-3, 5 and 2, 6), 6.59 and 7.91 (each 1H, d, J = 15.7 Hz, MC-H- α and β), 3.66 (3H, s, OMe), 1.99 (3H, s, OAc). ¹³C NMR data, see Tables 1 and 2.

4 Discussion

Two new saponins, caryophyllacosides A and B, were isolated from the ethanol extract of the roots of *G paniculata*. They have the same aglycone of quillaic acid and their structures were determined as the saponins with two sugar chains substituted with *p*-methoxycinnamoyl and acetyl groups. All of the above glycosides and aglycone showed potent α -glucosidase inhibitory activity. These findings are a valuable supplement about antidiabetogenic oleanane-type triterpene oligoglycosides. More saponins with *p*-methoxycinnamoyl group need to be tested for further structure-activity relationship study.

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圆锥石头花中两个具有 α-葡萄糖苷酶抑制活性的新三萜皂苷

姚 舜^{1,2}、罗建光¹、马 丽¹、孔令义^{1*}

1中国药科大学天然药物化学教研室,南京 210038;

2四川大学化学工程学院制药与生物工程系,成都 610065

【摘 要】 目的:研究圆锥石头花根中的化学成分。方法:用 75%乙醇回流提取、乙酸乙酯和正丁醇依次萃取,采用硅胶、 Sephadex LH-20、Rp-C₁₈ 柱色谱法和制备液相色谱等方法分离纯化,根据光谱数据(一维、二维的核磁共振谱和质谱)及酸水解 鉴定了化合物的结构并进行了-葡萄糖苷酶抑制活性测定。结果:从圆锥石头花根中分离得到 2 个新三萜多糖皂苷——圆锥石头 花皂苷 A (1)和 B (2),两者均具有较强的α-葡萄糖苷酶抑制活性。结论:化合物 1 和 2 是首次从该植物中分离得到的新化合物, 其结构中的对甲氧基-桂皮酰基可能为决定相关活性的关键基团。

【关键词】 圆锥石头花;石竹科;三萜皂苷;葡萄糖苷酶抑制

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