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Three Tetraoxygenated Xanthones from *Swertia longifolia*

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Abstract

Three xanthones were isolated from petroleum ether extract of the aerial parts of the herb, *Swertia longifolia*, an endemic plant of Flora Iranica. The structures were confirmed by various spectroscopic methods (UV, IR, ^{1}H-NMR, ^{13}C-NMR and MS) as swerchirin (1,8-dihydroxy-3,5-dimethoxyxanthone), swertiaperenine (1,8-dihydroxy-2,6-dimethoxyxanthone), and gentiacauleine (2,8-dihydroxy-1,6-dimethoxyxanthone).

Keywords: Aerial Part, Gentiacauleine, Gentianaceae, swerchirin, *Swertia longifolia*, swertiaperenine, xanthone.

Introduction

Plants of the genus *Swertia* (Gentianaceae) have been widely used in Asian countries as medicinal plants for different purposes: as an anthelmintic, a febrifuge, a bitter tonic (Basnet et al., 1994; Ghosal et al., 1973), a remedy for scanty urine, an antiepileptic agent, for certain types of mental disorders (Ghosal et al., 1973) and liver injuries (Asthana et al., 1991). Most recent studies have been done on hepatoprotective (Hase et al., 1997; Karan et al., 1999a,b; Mukherjee et al., 1997) and antidiabetic (Basnet et al., 1994; Ya & Gen, 1998) effects of the plants. It has been determined that most of their effects are related to xanthones (Basnet et al., 1994; Ya et al., 1998).

In the present study, *Swertia longifolia*, an endemic plant of Flora Iranica growing abundantly in north of Iran, was selected to determine its xanthone content. This investigation led to the isolation and structure elucidation of three known xanthones **1**, **2** and **3** from the aerial parts of this plant.

Materials and methods

Plant materials

Swertia longifolia (aerial parts) was collected in July 2001 from the north of Iran, Mazandaran province, Road to Yush, Lavashm mountain, ca. 2900 m, Hajimehdipour and Mozaffarian, No. 81007 (TARI) and identified by Dr. V. Mozaffarian from Research Institute of Forests and Rangelands (Tehran).

General experimental procedures

Melting points were determined with a Richert-Jung apparatus and are uncorrected. UV spectra were recorded on a Shimadzu 160A spectrophotometer. FTIR spectra were recorded on a Nicolet 550 spectrophotometer. ^{1}H-NMR and ^{13}C-NMR spectra were taken on a varian 400 Unity Plus NMR spectrometer with tetramethylsilane (TMS) as an internal standard and $CDCl_3$ as solvent. MS spectra were taken on a Finnigan TSQ-Mat 70 (70 eV) spectrometer. Column chromatography was performed using silica gel (Kieselgel 60, 0.2–0.5 mm, 35–70 mesh ASTM, Merck Germany) and TLC was carried out using Merck Kieselgel 60 F_{254} on glass plates.

Extraction and isolation

Dried and milled aerial parts of plant (1 kg) were extracted in a Soxhlet apparatus with petroleum ether (60–80 °C) for 12 h. The filtrate was evaporated under reduced pressure to obtain the dark green viscous mass (37.8 g). The residue was dissolved in chloroform (200 ml) and the solution was extracted with aqueous sodium hydroxide 5% (4 × 200 ml). The alkaline aqueous layer was acidified with concentrated hydrochloric acid and the acidic solution was successively

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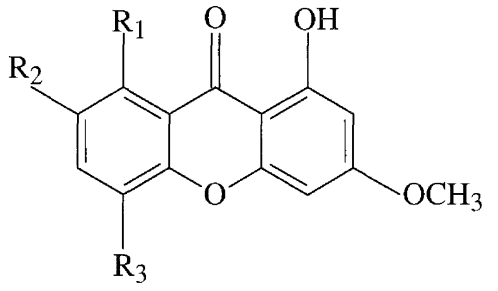

	R_1	R_2	R_3
1	OH	H	OCH_3
2	OH	OCH_3	H
3	OCH_3	OH	H

Figure 1. Structures of xanthones **1**, **2**, and **3**.

extracted with chloroform (4 × 500 ml). On concentrating the combined chloroform extract under reduced pressure, it yielded a 1.1 g mass which was then mixed with silica gel (5 g) and subjected to silica gel (350 g) column chromatography (4 cm × 60 cm), eluted with toluene (1 L) and toluene: ethyl acetate (70: 30, 2 L), respectively.

Xanthone **1** (28 mg) was obtained from toluene fractions and crystallized from ethanol to give yellow needles. Xanthones **2** (32 mg) and **3** (50 mg) were isolated from toluene: ethyl acetate fractions. Xanthone **2** was further purified by PTLC on silica gel plates (toluene: acetic acid 100: 2, R_f = 0.17). Both xanthones were further crystallized from ethanol.

Results

1,8-Dihydroxy-3,5-dimethoxyxanthone (swerchirin) (1)

$C_{15}H_{12}O_6$, Yellow needles. mp. 186–189 °C, UV λ_{max} (EtOH): 232, 254, 278, 331; IR ν_{max}(Cm^{-1}): 3426, 3093, 2924, 2849, 1642, 1492, 1100, 951, 822, 628; EIMS: 288 $[M]^+$, 273 $[M-15]^+$, 260 $[M-28]^+$; ^{1}H-NMR ($CDCl_3$, 400 MHz), δ 12.00 (1H, s, chelated OH), 11.40 (1H, s, chelated OH), 7.24 (1H, d, J = 9.2 Hz, H-6), 6.72 (1H, d, J = 9.2 Hz, H-7), 6.55 (1H, d, J = 2.4 Hz, H-4), 6.36 (1H, d, J = 2.4 Hz, H-2), 3.96 (3H, s, OCH_3-3), 3.90 (3H, s, OCH_3-5); ^{13}C-NMR ($CDCl_3$): δ 184.6 (C-9), 167.4 (C-3), 162.8 (C-1), 157.7 (C-4a), 154.1 (C-8), 145.5 (C-4b), 139.9 (C-5), 120.3 (C-6), 109.3 (C-8a), 108.1 (C-7), 102.8 (C-8b), 97.9 (C-2), 93.10 (C-4), 57.3 (OCH_3-3), 56.0 (OCH_3-5).

1,8-Dihydroxy-2,6-dimethoxyxanthone (swertiaperenine) (2)

$C_{15}H_{12}O_6$, Yellow crystals, mp. 185–188 °C, UV λ_{max} (EtOH): 237, 265, 313, 329; IR ν_{max}(Cm^{-1}): 3432, 2923, 1637, 1476, 1302, 1147, 1082, 817; EIMS: 288 $[M]^+$, 273 $[M-15]^+$, 245 $[M-43]^+$; ^{1}H-NMR ($CDCl_3$, 400 MHz); δ 12.12 (1H, s, chelated OH), 11.98 (1H, s, chelated OH), 7.27 (1H, d, J = 9.0 Hz, H-3), 6.86 (1H, d, J = 9.0 Hz, H-4), 6.40 (1H, d, J = 2.2 Hz, H-5), 6.34 (1H, d, J = 2.2 Hz, H-7), 3.95 (3H, s, OCH_3-6), 3.90 (3H, s, OCH_3-2); ^{13}C-NMR ($CDCl_3$): δ 185.0 (C-9), 167.4 (C-6), 163.0 (C-8), 158.1 (C-4b), 150.2 (C-4a), 149.6 (C-1), 142.9 (C-2), 120.6 (C-3), 107.8 (C-8b), 105.5 (C-4), 102.3 (C-8a), 97.2 (C-7), 92.9 (C-5), 57.1 (OCH_3-6), 55.8 (OCH_3-2).

2,8-Dihydroxy-1,6-dimethoxyxanthone (gentiacauleine) (3)

$C_{15}H_{12}O_6$, Pale yellow needles, mp. 194–195 °C , UV λ_{max} (EtOH): 239, 262, 313, 377; UV λ_{max} (EtOH + NaOAc): 221, 239, 262, 311, 377; IR ν_{max}(Cm^{-1}): 3375, 2925, 1660, 1614, 1481, 1199, 1050, 815; EIMS: 288 $[M]^+$, 270 $[M-18]^+$, 245 $[M-43]^+$; ^{1}H-NMR ($CDCl_3$, 400 MHz): δ 13.15 (1H, s, chelated OH), 7.38 (1H, d, J = 9.0 Hz, H-3), 7.16 (1H, d, J = 9.0 Hz, H-4), 6.37 (1H, d, J = 2.4 Hz, H-5), 6.33 (1H, d, J = 2.4 Hz, H-7), 4.00 (3H, s, OCH_3-6), 3.89 (3H, s, OCH_3-1); ^{13}C-NMR ($CDCl_3$): δ 180.6 (C-9), 166.5 (C-6), 163.6 (C-8), 157.2 (C-4b), 150.7 (C-1), 145.5 (C-4a), 144.3 (C-2), 122.5 (C-3), 114.7 (C-8b), 113.9 (C-4), 104.0 (C-8a), 97.0 (C-7), 92.1 (C-5), 62.8 (OCH_3-6), 55.8 (OCH_3-1).

Discussion

Preliminary examination of a petroleum ether extract of aerial parts of *Swertia longifolia* by analytical TLC suggested the presence of over six xanthones of varying polarity. Three of them were major; therefore, it was decided to isolate them by column chromatography and their structures were determined by spectroscopic methods.

The mp, UV, IR, MS, ^{1}H-NMR and ^{13}C-NMR data of compounds **1**, **2** and **3** were in good agreement with those described in the literature for swerchirin (Asthana et al., 1991; Ya & Gen, 1998), swertiaperenine (Asthana et al., 1991) and gentiacauleine (Fukamiya et al., 1990; Rivaille et al., 1969).

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