NOTE

New Chalcone from *Garcinia oligantha* and Its Cytotoxicity

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A new chalcone, (5,6-dimethoxybenzofuran-2-yl)(4-hydroxyphenyl)methanone was isolated from the stems of *Garcinia oligantha*. Its structure was elucidated by spectroscopic methods, including extensive 1D and 2D NMR. The new chalcone was tested for its cytotoxicity against five human tumor cell lines (NB4, A549, SHSY5Y, PC3 and MCF7) and it showed modest cytotoxicity against A549 and MCF7 cell with IC₅₀ values of 6.2 and 4.8 μM.

Keywords: *Garcinia oligantha*, Chalcone, Cytotoxicity.

Garcinia is a plant genus of the family Clusiaceae native to Asia, Australia, tropical and southern Africa and Polynesia¹. This genus is known to be a rich source of polyisoprenylated benzophenones and xanthenes. Up to now, series of active components extracted from this genus displayed clear apoptosis-inducing effect against different cancer cells such as HeLa²⁻⁴.

In previous studies, some apoptotic compounds were isolated from the stems of *Garcinia oligantha*⁵. Continuing the efforts to discover bioactive metabolites from local plants. We now reinvestigated the chemical constituents of the *G. oligantha* growing in Xishuangbanna Prefecture, leading to the isolation of a new chalcone. The structure of this new chalcone was determined by means of spectroscopic methods including 1D and 2D NMR techniques and this compound exhibited modest cytotoxicity against A549 and MCF7 cell with IC₅₀ values of 6.2 and 4.8 μM.

IR spectra were obtained in KBr disc on a Bio-Rad Wininfrared spectrophotometer. ESI-MS were measured on a VG Auto Spec-3000 MS spectrometer. ¹H, ¹³C and 2D NMR spectra were recorded on Bruker DRX-500 instrument with TMS as internal standard. Column chromatography was performed on silica gel (200-300 mesh), or on silica gel H (10-40 μm, Qingdao Marine Chemical Inc., China). Second separation was used an Agilent 1100 HPLC equipped with ZORBAX-C₁₈ (21.2 mm × 250 mm, 7.0 μm) column and DAD detector.

The stems of *Garcinia oligantha* were collected in Xishuangbanna Prefecture, Yunnan Province, P.R. China, in

September 2011. The identification of the plant material was verified by Prof. Y.J. Chen (Yunnan Nationalities University). A voucher specimen (YNNI 11-9-38) has been deposited in our laboratory.

Extraction and isolation: The air-dried and powdered stems of *G. oligantha* (4.5 kg) were extracted four times with 70 % methanol (4 × 5 L) at room temperature and filtered. The crude extract (218 g) was applied to silica gel (200-300 mesh) column chromatography, eluting with a chloroform-acetone gradient system (20:1, 9:1, 8:2, 7:3, 6:4, 5:5), to give six fractions A-F. Further separation of fraction C (8:2, 15.6 g) by silica gel column chromatography, eluted with chloroform-methanol (9:1, 8:2, 7:3, 6:4, 1:1), yielded mixtures C1-C5. Fraction C1 (9:1, 1.87 g) was subjected to preparative HPLC (48 % methanol, flow rate 12 mL/min) to give the new chalcone (11.8 mg).

(5,6-Dimethoxybenzofuran-2-yl)(4-hydroxyphenyl)methanone, obtained as a yellow gum; UV (MeOH), λ_{max} (log ε) 372 (3.86), 307 (3.65), 248 (3.59), 210 (4.36) nm; IR (KBr, λ_{max}, cm⁻¹): 3358 2960, 2912, 1675, 1612, 1563, 1486, 1268, 1145, 1052, 873, 758; ¹H and ¹³C NMR data (C₅D₅N, 500 and 125 MHz, respectively), Table-1; ESIMS (positive ion mode) *m/z* 321 [M + Na]⁺; HRESIMS (positive ion mode) *m/z* 321.0746 [M + Na]⁺ (calcd. (%) 321.0739 for C₁₇H₁₄O₅Na).

A 70 % aq. methanol extract prepared from the stems of *G. oligantha* was subjected repeatedly to column chromatography on Si gel, Sephadex LH-20, RP-18 and Preparative HPLC to

No.	δ_{C} (m)	δ_{H} (m, <i>J</i> , Hz)	No.	δ_{C} (m)	δ_{H} (m, <i>J</i> , Hz)
2	154.6 s	—	10	183.2 s	—
3	116.8 d	7.62, s	1'	124.2 s	—
4	106.4 d	7.18, s	2', 6'	131.3 d	7.74, d, <i>J</i> = 8.6
5	148.8 s	—	3', 5'	118.5 d	6.94, d, <i>J</i> = 8.6
6	149.6 s	—	4'	158.2 s	—
7	98.9 d	6.80, s	OMe-5	55.8 q	3.82, s
8	154.7 s	—	OMe-6	56.1 q	3.87, s
9	125.2 s	—	Ar-OH-4'	—	10.85, brs

and C-6. On the other hand, the phenolic hydroxy group at C-4' was supported by the HMBC correlations observed between the hydroxy proton (δ_{H} 10.85) and C-4' (δ_{C} 158.2), C-3', 5' (δ_{C} 118.5), respectively. Two methoxy groups at C-6 and C-4' were also supported by the cross-peak between the methoxy proton signals (δ_{H} 3.82 and 3.87) and H-4 (δ_{H} 7.18)/H-7 (δ_{H} 6.80) in the NOESY experiment. On the basis of the above observations, the structure of new chalcone was elucidated as (5,6-dimethoxybenzofuran-2-yl)(4-hydroxyphenyl)-methanone.

Since some of the flavonoids derivatives exhibit potential cytotoxicity⁸⁻¹⁰. The new chalcone was tested for its cytotoxicity against five human tumor cell lines (NB4, A549, SHSY5Y, PC3 and MCF7) using the MTT method as reported previously¹¹. Taxol was used as the positive control. The results shown that the new chalcone exhibited modest cytotoxicity against A549 and MCF7 cell with IC₅₀ values of 6.2 and 4.8 μ M, respectively.

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