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A XANTHONE FROM *GARCINIA CAMBOGIA*

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Key Word Index—*Garcinia cambogia*; Guttiferae; garbogiol; xanthone.

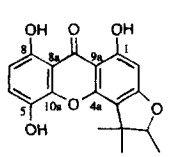
Abstract—As a chemical constituent of *Garcinia cambogia*, a new xanthone, garbogiol, was isolated from the root; a known xanthone (rheediaxanthone A) and two known benzophenones (garcinol and isogarcinol) were obtained from the bark. The structures were established by spectral analysis. © 1998 Elsevier Science Ltd. All rights reserved

INTRODUCTION

Garcinia cambogia Desr. (syn. *G. gummi-gutta* Robs.) is classified in the same section *Gamogin* (Guttiferae) as *G. indica* [1]. In our previous papers, we reported that some xanthones and benzophenones isolated from these plants possessed antibacterial activity against methicillin-resistant *Staphylococcus aureus* [2, 3] and an inhibitory effect against topoisomerases I and II [4]. In continuation of our search for biologically active compounds in the Guttiferae [5–7], we investigated the chemical constituents in *G. cambogia*. The structures of a new xanthone and two known benzophenones [8] are described in this paper.

RESULTS AND DISCUSSION

Dried and ground root and bark of *G. cambogia* were extracted separately with benzene, acetone and 70% MeOH. Each extract was repeatedly chromatographed on Si and Sephadex LH-20 to isolate **1** (from benzene extract of the root), **2**, **3** (benzene extract of the bark) and **4** (acetone extract of the bark).



1

Compound **1**, a pale yellow amorphous solid, reacted positively to the $FeCl_3$ test. The HREIMS showed the molecular ion at *m/z* 328.0936 corresponding to $C_{18}H_{16}O_6$. An absorption band at 1671 cm^{-1} in the IR spectrum showed the presence of a conjugated carbonyl group. Its UV spectral data suggested that **1** was a xanthone. In the ^1H NMR spectrum, signals of an isolated aromatic proton [δ 6.21 (1H, *s*)] and two *ortho*-coupled protons [δ 6.62 and 7.33 (1H each, *d*, $J = 8.3$ Hz)] were observed in addition to signals based on a 1,1,2-trimethyldihydrofuran ring [δ 1.34, 1.63 (3H each, *s*), 1.42 (3H, *d*, $J = 6.4$ Hz) and 4.62 (1H, *q*, $J = 6.4$ Hz)] and three hydroxyl groups [δ 8.47 (1H, *br s*), 11.27 and 12.27 (1H each, *s*, chelated)]. In the NOE experiment, an NOE was observed between the hydroxyl group and one of the *ortho*-coupled protons (δ 6.62) when one of the chelated hydroxyl groups (δ 11.27) was irradiated. Other NOEs were also observed between another chelated hydroxyl group (δ 12.27) and the isolated proton (δ 6.21), and between the hydroxyl group at δ 8.47 and another *ortho*-coupled proton (δ 7.33). These results suggested **1** is a 1,5,8-trihydroxyxanthone with the 1,1,2-trimethyldihydrofuran ring which is fused at C-3 and C-4 in the skeleton. The ^1H and ^{13}C NMR spectral data due to a 5,8-dihydroxyxanthone moiety closely resembled those of a 1,4,5-trihydroxyl benzene ring as found in subelliptenone G previously isolated from *Garcinia subelliptica* [9]. The structure of another aromatic ring in the xanthone was determined as follows. Aromatic carbons with an oxygen function were observed at δ 153.9, 164.7 and 168.2 in the ^{13}C NMR spectrum, which indicated that this aromatic ring was a phloroglucinol ring. Therefore the furan ring was fused at C-4 through an oxygen at C-3. Compared with the ^1H and ^{13}C NMR spectral data of caloxanthone B [10], the data based on the partial structure were well agreed. The structure of garbogiol was thus characterized as **1**.

Compounds **2**–**4** were identified as garcinol (**2**), isogarcinol (**3**) [3] and rheediaxanthone A (**4**) [11], respectively, by spectroscopic analysis.

EXPERIMENTAL

Plant material. Root and bark of *G. cambogia* was collected in India, in April, 1995. Both voucher specimens are deposited in the Herbarium of Gifu Pharmaceutical University.

Extraction and isolation. The dried and ground roots of *G. cambogia* (1 kg) were extracted successively with benzene (2 l × 12 hr × 3) (residual weight after concentration: 10 g), acetone (2 l × 12 hr × 3) (50 g) and 70% MeOH (2 l × 12 hr × 3) (45 g) under reflux. The dried and ground bark of *G. cambogia* (1 kg) was also extracted in the same way; benzene (30 g), acetone (40 g) and 70% MeOH (130 g) extract. The benzene extract (5 g) was subjected to Si CC eluted with *n*-hexane-EtOAc system to give seven fractions (Fr. 1–7). Fr. 4 (*n*-hexane-EtOAc 5:1) was chromatograph on Sephadex LH-20 eluted with acetone to give **1** (1 mg). On the other hand, the benzene extract of the bark (25 g) was subjected to CC on Si gel eluted with benzene-acetone system. The benzene-acetone (20:1) eluent was further chromatographed on Sephadex LH-20 (acetone) to give **2** (500 mg). Compound **3** (30 mg) was purified by recrystallization from benzene-acetone. The acetone extract (25 g) of the bark was subjected to Si CC eluted with benzene-acetone system to give **4** (8 mg).

Compound **1** (*garbogiol*). A pale yellow amorphous solid $[\alpha]_D^{20}$: 0° (*c* 0.1, MeOH); HREIMS *m/z* 328.0936 for $C_{18}H_{16}O_6$ (Calcd. 328.0947); EIMS *m/z* (rel. int.): 328 (M^+, 41), 313 (100), 298 (13), 297 (11), 285 (11), 257 (5), 149 (10), 58 (19); IR ν (cm^{-1}, KBr): 3411, 3127, 2962, 1671, 1636, 1611, 1594; UV λ (nm, MeOH): 206, 225, 257, 281, 346; ^{1}H NMR (400 MHz, acetone-d_6) δ: 1.34, 1.63 (3H each, *s*, H-12, 13), 1.42 (3H, *d*, *J* = 6.4 Hz, H-15), 4.62 (1H, *q*, *J* = 6.4 Hz, H-14), 6.21 (1H, *s*, H-2), 6.62 (1H, *d*, *J* = 8.3 Hz, H-7), 7.33 (1H, *d*, *J* = 8.3 Hz, H-6), 8.47 (1H, *br s*, OH-C-5), 11.27 (1H, *s*, OH-C-8), 12.27 (1H, *s*, OH-C-1); ^{13}C NMR (100 MHz, acetone-d_6) δ: 164.7 (C-1), 94.6 (C-2), 168.2 (C-3), 114.4 (C-4), 138.1 (C-5), 125.1 (C-6), 108.6 (C-7), 154.5 (C-8), 185.7 (C-9), 153.9 (C-4a), 108.5 (C-8a), 103.2 (C-9a), 144.8 (C-10a), 44.6 (C-11), 21.5, 25.9 (C-12, 13), 92.3 (C-14), 14.7 (C-15).

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