

PHENOLIC COMPOUNDS FROM *Acalypha australis*

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The genus *Acalypha* contains above 450 species and is an important genus in the Euphorbiaceae family due to its significant bioactivities [1]. The chemical constituents of *Acalypha* plants are mainly phenols [2], terpenoids [3], and flavonoids [4], but very few chemical studies have been reported on *Acalypha australis* L. until now.

In our recent research, nine compounds were isolated from *A. australis* collected in Guizhou, P. R. China, in August 2008. The air-dried and powdered whole grasses of the plant (20 kg) were refluxed with ethanol (95% v/v) three times for 2 h each time. After removal of the solvent under reduced pressure, the residue was partitioned sequentially with petroleum ether, ethyl acetate, and *n*-butanol to give three portions. The ethyl acetate portion (325 g) was subjected to silica gel column chromatography (200–300 mesh, 5.0 kg), eluting with the gradient CHCl₃–CH₃OH (50:1–20:1–5:1–2:1–0:1), to give five fractions: I (94 g), II (101 g), III (42 g), IV (31 g), and V (10 g).

Fraction I (94 g) was purified over a silica gel column, eluting with petroleum: acetyl acetate (10:1–5:1–2:1), to yield compound **1** (8 mg). Fraction II (101 g) was subjected to silica gel column chromatography and gradiently eluted with CHCl₃–MeOH to afford four subfractions, and the second and third subfractions (17 g and 20 g) were purified by Sephadex LH-20 column chromatography (Pharmacia, 500 g), eluting with CH₃OH to yield two mixtures (M1, M2) and compound **2** (32 g). M1 was chromatographed on RP-HPLC with MeOH–H₂O (35:65) to yield compounds **3** (10 mg) and **4** (8 mg); M2 was chromatographed on RP-HPLC with MeOH–H₂O (25:75) to yield compounds **5** (7 mg) and **6** (8 mg). Fraction III (42 g) was purified over a silica gel column, eluting with CHCl₃–CH₃OH (10:1–5:1–2:1–0:1), to yield compounds **7** (12 mg), **8** (31 mg), and **9** (14 mg).

On the basis of the analysis of UV, ¹H NMR (600 MHz), ¹³C NMR (150 MHz), HMBC, HSQC, and mass spectra, these compounds were determined as (+)-catechin (**3**) [5], (–)-epicatechin (**4**) [5], gallic acid (**9**) [9], and *p*-hydroxybenzaldehyde (**1**) [10]. All these compounds were isolated from *Acalypha australis* for the first time, and compounds **1** and **3–9** were reported from the genus *Acalypha* for the first time. The phenols and flavonoids reported herein imply that the main constituents of *Acalypha australis* are in accordance with those of other species of the genus *Acalypha*.

The testing antimicrobial activity of compounds **1–9** was done *in vitro* using the Kirby-Bauer disc diffusion method [11]. The MIC values against bacterial strains were obtained using the broth macrodilution method [12]. Both the Gram-positive (*B. subtilis*, *S. aureus*) and Gram-negative (*E. coli*, *S. typhi*) bacteria were grown in nutrient agar medium and incubated at 37°C for 48 h. Compounds **1–9** were dissolved in dimethyl sulfoxide (DMSO-d₆). We found that compounds **2**, **3**, and **8** showed moderate activity against *B. subtilis*, *S. aureus*, *E. coli*, and *S. typhiurium*. Compounds **1** and **4–7** showed potent activity against *B. subtilis*, *S. aureus*, and *E. coli*.

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