Novel Biologically Active Bibenzyls from *Bauhinia saccocalyx* Pierre

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Abstract

Four new bibenzyls, bauhinols A-D (1-4), together with the two known bibenzyls 5 and 6, were isolated from the roots of *Bauhinia saccocalyx*, and their structures were elucidated by analyses of spectroscopic data.

Bauhinol A (1) exhibits significant cytotoxicity towards NCI-H187 (small-cell lung cancer), BC (breast cancer), and KB (oral-cavity cancer) cell lines, with *IC*₅₀ values of 2.7-4.5 µg/ml. Bauhinol B (2) is cytotoxic against NCI-H187 (*IC*₅₀=1.1 µg/ml) and BC (*IC*₅₀=9.7 µg/ml) cell lines, but inactive toward the KB cell line (at 20 µg/ml). Compound 2 also is mildly antifungal towards *Candida albicans* (*IC*₅₀=28.9 µg/ml). Bibenzyl 6 is active against NCI-H187 (*IC*₅₀=14.1 µg/ml) and BC (*IC*₅₀=4.0 µg/ml) cells, but inactive (at 20 µg/ml) toward the KB cell line.

Compounds 1, 2, and 6 show mild antimycobacterial activities, with *MIC* values of 25-50 µg/ml, but are inactive at 20 µg/ml against the K1 malarial parasite strain (*Plasmodium falciparum*). While bauhinol A (1) is inactive against cyclooxygenase 1 (COX-1) and cyclooxygenase 2 (COX-2), compounds 2 and 6 inhibit both COX-1 and COX-2, with *IC*₅₀ values comparable to those of the standard drug, aspirin (*Table 3*).