



Hz, H-7"), 7.49 (d, $J = 15.6$ Hz, H-7'), 7.02 (1H, s, H-2'), 7.02 (1H, s, H-2"), 6.86 (1H, t, $J = 8.0$ Hz, H-6'), 6.86 (1H, t, $J = 8.0$ Hz, H-6"), 6.76 (1H, t, $J = 8.8$ Hz, H-5'), 6.76 (1H, t, $J = 8.8$ Hz, H-5"), 6.27 (1H, d, $J = 16.4$ Hz, H-8"), 6.15 (1H, d, $J = 16.4$ Hz, H-8'), 5.43 (1H, m, H-3), 5.37 (1H, m, H-5), 3.98 (1H, m, H-4), 3.98 (3H, s, 7-OCH₃), 2.28-2.16 (2H, m, H-2), 2.28-2.16 (2H, m, H-6); ¹³C NMR (CD₃OD, 100 MHz): 174.7 (C-7), 167.8 (C-9"), 167.4 (C-9'), 147.9 (C-4"), 147.7 (C-4'), 146.7 (C-7"), 146.6 (C-7'), 145.0 (C-3"), 144.9 (C-3'), 126.8 (C-1"), 126.4 (C-1'), 122.3 (C-6"), 122.2 (C-6'), 115.5 (C-5"), 115.5 (C-5'), 114.3 (C-2"), 114.3 (C-8"), 114.2 (C-2'), 113.7 (C-8'), 73.8 (C-1), 71.0 (C-5), 70.6 (C-3), 69.7 (C-4), 52.7 (7-OCH₃), 36.5 (C-2), 34.8 (C-6).

Bioassays: Antimalarial activity was evaluated against the parasite *Plasmodium falciparum* (K1, multidrug resistant strain), using the method of Trager and Jensen (Targar, Jensen, 1978). Quantitative assessment of malarial activity *in vitro* was determined by means of the microculture radio isotope technique based up on the method described by Desjardins et al. (Desjardins et al., 1979). The inhibitory concentration (IC₅₀) represents the concentration which causes 50% reduction in parasite growth as indicated by the *in vitro*

incorporation of [³H]-hypoxanthine by *P. falciparum*. The standard compound was dihydroartemisinin (Table 1). The anti-mycobacterial activity was assessed against *Mycobacterium tuberculosis* H37Ra using the Microplate Alamar Blue Assay (MABA) (Collins, Franzblau, 1997). Isoniazid was used as the reference (Table 1). The compounds were also evaluated against human epidermoid carcinoma (KB) and human small cell lung cancer (NCI-H187). The standard was ellipticine. Human breast cancer assays were performed on cell lines (MCF-7) employing the colorimetric method as described by Skehan et al. (Skehan et al., 1990). The reference substances were tamoxifen and doxorubicin (Table 1).

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Table 1 Biological activities of the isolated compounds.

compound	antimalarial	antimycobacterial	cytotoxicity (IC ₅₀ , μM)		
	(IC ₅₀ , μM)	(MIC, μM)	KB ^a	NCI-H187 ^b	MCF-7 ^c
1	inactive	nd ^d	147.37	nd ^d	93.10
2	inactive	inactive	inactive	inactive	inactive
3	inactive	nd ^d	inactive	nd ^d	inactive
4	inactive	inactive	inactive	inactive	inactive
5	inactive	nd ^d	inactive	nd ^d	inactive
6	inactive	nd ^d	inactive	nd ^d	inactive
7	4.50	nd ^d	inactive	nd ^d	inactive
dihydroartemisinin	0.007				
isoniazid		0.34			
ellipticine			4.91	3.80	
tamoxifen					18.84
doxorubicin			1.57	0.10	14.53

^aHuman epidermoid carcinoma in the mouth, ^bHuman lung cancer cell, ^cHuman breast cancer cell, ^dNot determined.

Inactive = >50 μg/mL

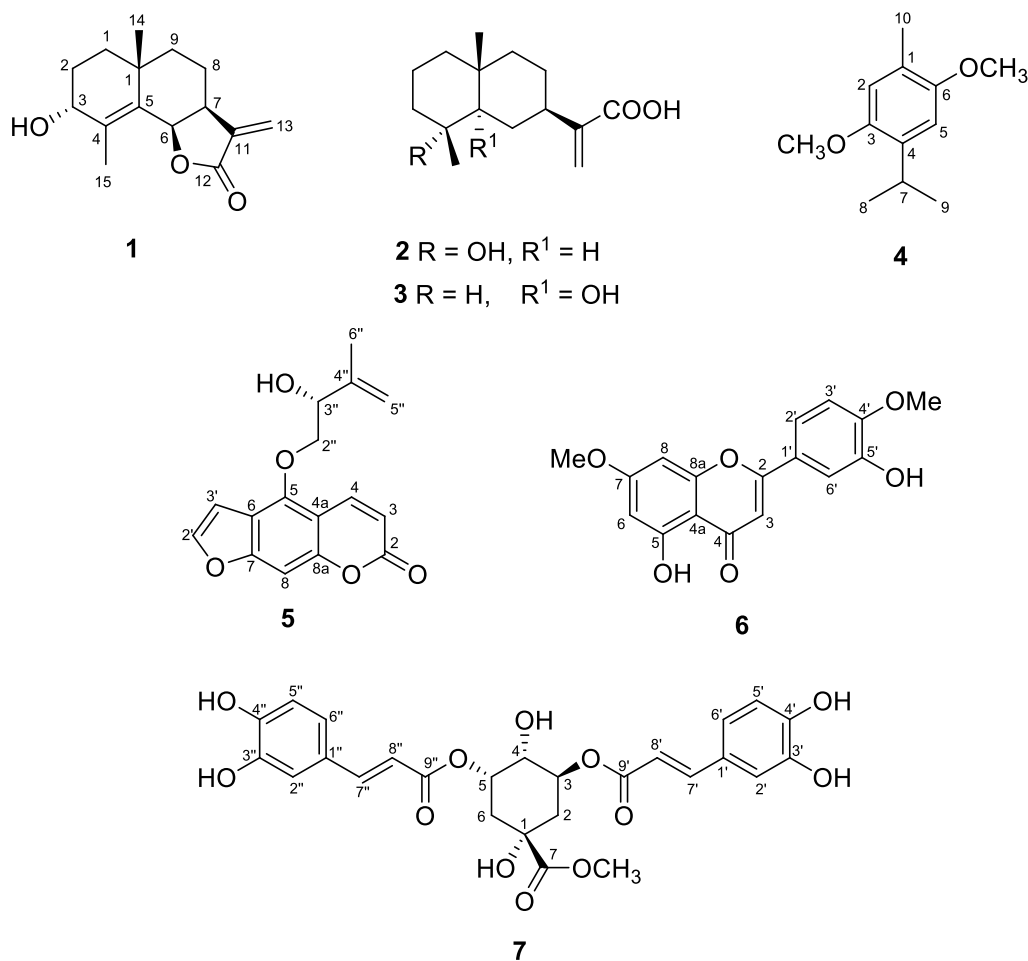


Figure 1 Structures of compounds 1-7.

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