# Synthesis and biological evaluation of baicalein derivatives as potent antitumor agents.

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https://www.ncbi.nlm.nih.gov/pubmed/24507925

## Abstract

Baicalein (5,6,7-trihydroxy-2-phenyl-4H-chromen-4-one), a major flavonoid extracted from the root of Scutellaria baicalensis Georgi (Chinese name: Huangqin), showed potent anti-proliferative activity against a broad panel of human cancer cell lines both in vitro and in vivo. A novel series of baicalein derivatives were synthesized by introducing a group to C6-OH and a nitrogen-containing hydrophilic heterocyclic ring to C7-OH via a length of 3 or 4-carbon chain in this study. The in vitro antiproliferative activities of the 30 derivatives against HepG2, A549, BCG-823 cancer cell lines were evaluated. Among them, 10 compounds exhibit more potent cytotoxicity than baicalein against the three cancer cell lines. The most potent compound 9b possesses highest anti-proliferative potency against HepG2, A549, and BCG-823 with an IC50 value of 2.0 μM, 0.8 μM and 3.2 μM, respectively. Preliminary mechanism studies with compound 9b using Annexin V/PI double-staining assay and DAPI staining assay indicated that 9b inhibits tumor cell proliferation potentially through inducing apoptosis.

**KEYWORDS:**

Antitumor; Apoptosis; Baicalein; Flavanoid; Synthesis