

Product Data Sheet

Product Name: Baicalein

Cat. No.: GN10158

Chemical Properties

Cas. No. 491-67-8

Chemical Name 5,6,7-trihydroxy-2-phenylchromen-4-one

SMILES C1=CC=C(C=C1)C2=CC(=O)C3=C(C(=C(C=C3O2)O)O)O

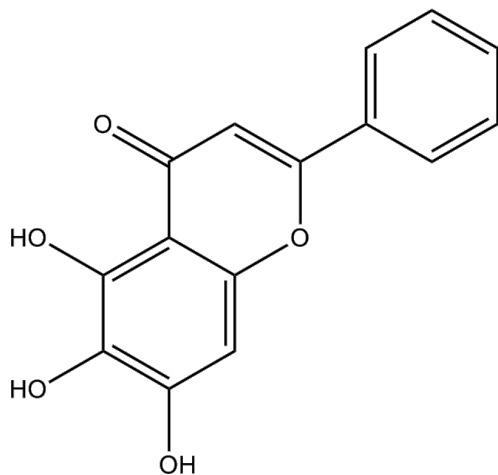
Formula $C_{15}H_{10}O_5$ M.Wt 270.24

Solubility $\geq 10.9\text{mg/mL}$ in DMSO Storage 4°C , protect from light

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines Murine splenic lymphocytes

Caution: Product has not been fully validated for medical applications. For research use only.

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Preparation Method CFSE stained murine splenic lymphocytes were pre-treated with 5 to 25µM of Baicalein for 2 h and stimulated with Con A (T-cell mitogen) or anti-CD3/CD28 mAb and proliferation was assessed by CFSE dye dilution using a flow cytometer.

Reaction Conditions 5-25µM; 2h

Applications Pre-treatment with 25µM Baicalein significantly suppressed Con A or anti-CD3/CD28 mAb induced proliferation as well as cytokine secretion.

Animal experiment [2]:

Animal models Male nude mice

Preparation Method H-460 cells were administered subcutaneously into the left dorsal flank of 6-week-old male nude mice (BALBc). When tumour size reached approximately 50 mm³, animals were randomised into control and treatment groups. Mice were administered Baicalein (1 mg/kg or 3 mg/kg in 50µl DMSO/PBS), or an equal volume of a vehicle control, by intratumoural injection. Intratumoural injection was carried out twice weekly, and tumour size was measured every 48 h using a digital callipers.

Dosage form 1, 3mg/kg; intratumoural injection

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Applications Baicalein-treated H-460 tumors showed significantly reduced growth, decreased 12-LOX and VEGF expressions, and significantly decreased mitotic cell index and microvessel density.

References:

- [1] Patwardhan R S, Sharma D, Thoh M, et al. Baicalein exhibits anti-inflammatory effects via inhibition of NF- κ B transactivation[J]. Biochemical Pharmacology, 2016, 108: 75-89.
- [2] Cathcart M C, Useckaite Z, Drakeford C, et al. Anti-cancer effects of baicalein in non-small cell lung cancer in-vitro and in-vivo[J]. BMC cancer, 2016, 16: 1-13.

Background

Baicalein (5,6,7-trihydroxyflavone) is a flavonoid compound with multiple biological activities. It is a xanthine oxidase inhibitor with an IC_{50} value of $3.12\mu M$ ^[1]. Baicalein interacts with the benzodiazepine binding site of the GABA_A receptor in mouse cortical membrane preparations with a K_i value of $13.1\mu M$ ^[2]. Baicalein has anti-tumor and anti-inflammatory activities, and can also play a neuroprotective and mitochondrial protective role^[3].

In vitro, Baicalein ($25\mu M$) treatment of mouse spleen lymphocytes for 2h significantly inhibited mitogen-induced T cell proliferation and cytokine secretion, and also inhibited the upregulation of CD69 and CD25 (IL2R α), but did not inhibit CD54 (ICAM-1) expression^[4]. Baicalein ($0-160\mu M$) was treated for 24 h in two ovarian cancer (OVCAR-3 and CP-70) cell lines and one normal ovarian cell line (IOSE-364), selectively inhibiting cancer cell viability, while the inhibitory effect on normal ovarian cells was significantly less. It also inhibited the expression of oncogenes such as VEGF, HIF-1 α , cMyc and NF κ B^[5].

In vivo, Baicalein (1, 3 mg/kg) was treated by intratumoral injection in mice inoculated with H-460 tumor cells for 4 weeks, which significantly inhibited tumor growth, reduced the expression of 12-LOX and VEGF in tumor tissue, and reduced the mitotic cell index and microvascular density^[6]. Baicalein (30, 100 mg/kg) was used to treat mice with acidified ethanol-induced acute gastric ulcers, significantly inhibited the formation of acute ulcers, reduced the inflammatory process, stimulated

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cellular antioxidant mechanisms, and increased gastric mucus secretion^[7].

References:

- [1] Shieh D, Liu L T, Lin C C. Antioxidant and free radical scavenging effects of baicalein, baicalin and wogonin[J]. Anticancer research, 2000, 20(5A): 2861-2865.
- [2] Liao J F, Hung W Y, Chen C F. Anxiolytic-like effects of baicalein and baicalin in the Vogel conflict test in mice[J]. European journal of pharmacology, 2003, 464(2-3): 141-146.
- [3] De Oliveira M R, Nabavi S F, Habtemariam S, et al. The effects of baicalein and baicalin on mitochondrial function and dynamics: A review[J]. Pharmacological Research, 2015, 100: 296-308.
- [4] Patwardhan R S, Sharma D, Thoh M, et al. Baicalein exhibits anti-inflammatory effects via inhibition of NF- κ B transactivation[J]. Biochemical Pharmacology, 2016, 108: 75-89.
- [5] Chen J, Li Z, Chen A Y, et al. Inhibitory effect of baicalin and baicalein on ovarian cancer cells[J]. International journal of molecular sciences, 2013, 14(3): 6012-6025.
- [6] Cathcart M C, Useckaite Z, Drakeford C, et al. Anti-cancer effects of baicalein in non-small cell lung cancer in-vitro and in-vivo[J]. BMC cancer, 2016, 16: 1-13.
- [7] Ribeiro A R S, do Nascimento Valença J D, da Silva Santos J, et al. The effects of baicalein on gastric mucosal ulcerations in mice: Protective pathways and anti-secretory mechanisms[J]. Chemico-Biological Interactions, 2016, 260: 33-41.

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