

Product Data Sheet

Product Name: Herbacetin

Cat. No.: GC38635

Chemical Properties

Cas. No. 527-95-7

SMILES O=C1C(O)=C(C2=CC=C(O)C=C2)OC3=C(O)C(O)=CC(O)=C13

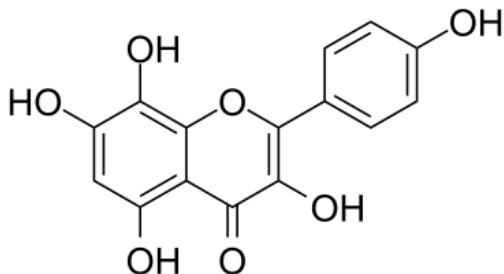
Formula $C_{15}H_{10}O_7$ M.Wt 302.24

Solubility DMSO: 100 mg/mL (330.86 mM) Storage Store at -20°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

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

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Cell experiment [1]:

Cell lines HCT116, DLD1, and HT29

Cells were seeded in 96-well plates and incubated for 24h and then treated with Preparation Method different doses of Herbacetin. Detect the effect of Herbacetin on the doubling time and cell cycles of each colon cancer cell line.

Reaction Conditions 5-30μM; 48h

Applications Herbacetin inhibits the proliferation of colon cancer cells in a dose-dependent manner, particularly HCT116 cells expressing high levels of ODC. Herbacetin increased the doubling time of colon cancer cells in a dose-dependent manner.

Animal experiment [1]:

Animal models C57BL/6J APC^{Min+}

Ornithine decarboxylase (ODC) gene expression is up-regulated in the intestinal tissue of APC^{Min+} mice. Mice (5-6 weeks old) were divided into 3 groups: 1) Preparation Method untreated vehicle group; 2) mice treated with Herbacetin (0.4mg/kg); 3) mice treated with Herbacetin (2mg/kg). Herbacetin or vehicle was injected i.p. 3 times a week for 8 weeks.

Dosage form 0.4 and 2mg/kg; i.p.; 3 times a week for 8 weeks.

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	Treatment of mice with 0.4 or 2mg/kg of Herbacetin significantly suppressed polyp number, size, and ODC activity compared to the vehicle-treated group.
Applications	Mice tolerated treatment with Herbacetin without overt signs of toxicity or significant body weight loss. Putrescine and spermidine, but not spermine, content was markedly decreased by treatment with Herbacetin.

References:

[1] Kim DJ, Roh E, Lee MH, et al. Herbacetin Is a Novel Allosteric Inhibitor of Ornithine Decarboxylase with Antitumor Activity. Cancer Res. 2016;76(5):1146-1157.

Background

Herbacetin, a natural compound found in flax and other plants, is an effective and nontoxic allosteric inhibitor of Ornithine decarboxylase (ODC). ODC is a rate-limiting enzyme in the first step of polyamine biosynthesis that is associated with cell growth and tumor formation. Herbacetin exhibits potent anticancer activity in colon cancer cell lines with high ODC expression by binding to aspartate 44 in ODC^[1]. Herbacetin also exerts potent anti-inflammatory effects by inhibiting the release of pro-inflammatory cytokines such as TNF- α and IL-1 β and reducing cellular nitric oxide (NO) production, while also exhibiting antihyperglycemic and antihyperlipidemic properties^{[2][3]}. Herbacetin is also a potent cytochrome P450 (CYP) inhibitor that inhibits CYP3A4, CYP2B6, CYP2C9, and CYP2E1 in a mixed manner and non-competitively blocks CYP2D6, with IC₅₀ values below 10 μ M for each tested isoenzyme^[4].

In vitro, Herbacetin (5-30 μ M; 48h) inhibited the proliferation and increased the doubling time of colon cancer cells (HCT116, DLD1 and HT29) in a dose-dependent manner, particularly HCT116 cells expressing high levels of ODC^[1]. Herbacetin (5-30 μ M; 48h) dose-dependently inhibited ODC activity in the HCT116 cell line, thereby suppressing the reporter activity of the MAP kinase transcription factor activator protein-1 (AP-1)^[1].

In vivo, treatment with Herbacetin (0.4 and 2mg/kg; i.p.; 3 times per week for 8 weeks) significantly

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suppressed polyp number, size, ODC activity, and markedly decreased putrescine and spermidine levels in APC^{Min/+} mice, in which ODC expression is upregulated in intestinal tissue, without overt signs of toxicity or significant body weight loss^[1]. Treatment with Herbacetin (0.4 and 2mg/kg; i.p.; 3 times per week for 2 weeks) strongly suppressed tumor growth by more than 70% and markedly decreased the expression of phosphorylated ERKs and RSK in HCT116 colon cancer xenograft mice^[1]. Herbacetin (100mg/kg; p.o.; 5 times per week for 18 days) significantly suppressed tumor growth and phosphorylated ERKs and RSK in HCT116 colon cancer xenograft mice^[1].

References:

- [1] Kim DJ, Roh E, Lee MH, et al. Herbacetin Is a Novel Allosteric Inhibitor of Ornithine Decarboxylase with Antitumor Activity. *Cancer Res.* 2016;76(5):1146-1157.
- [2] Li, Liang et al. "Herbacetin inhibits RANKL-mediated osteoclastogenesis in vitro and prevents inflammatory bone loss in vivo." *European journal of pharmacology* vol. 777 (2016): 17-25.
- [3] Veeramani, Chinnadurai et al. "Herbacetin, a flaxseed flavonoid, ameliorates high percent dietary fat induced insulin resistance and lipid accumulation through the regulation of hepatic lipid metabolizing and lipid-regulating enzymes." *Chemico-biological interactions* vol. 288 (2018): 49-56.
- [4] Qian, Jianchang et al. "Herbacetin Broadly Blocks the Activities of CYP450s by Different Inhibitory Mechanisms." *Planta medica* vol. 88,7 (2022): 507-517.

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