Gentiopicroside

Cat. No.: HY-N0494

CAS No.: 20831-76-9

Molecular Formula: $C_{16}H_{20}O_9$

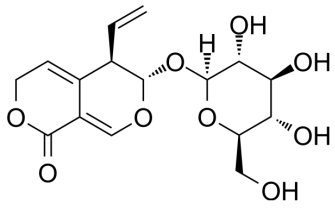
Molecular Weight: 356.32

Target: Cytochrome P450; HCV

Pathway: Metabolic Enzyme/Protease; Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (701.62 mM; Need ultrasonic)

H_2O : ≥ 100 mg/mL (280.65 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.8065 mL	14.0323 mL	28.0647 mL
5 mM	0.5613 mL	2.8065 mL	5.6129 mL
10 mM	0.2806 mL	1.4032 mL	2.8065 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (280.65 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.84 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.84 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.84 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Gentiopicroside, a naturally occurring iridoid glycoside, inhibits P450 activity, with an IC_{50} and a K_i of 61 μM and 22.8 μM for CYP2A6; Gentiopicroside has anti-inflammatoryand antioxidative effects.

IC_{50} & Target	CYP2
In Vitro	Gentiopicroside inhibits P450 activity, with an IC_{50} and a K_i of 61 μM and 8.12 μM for CYP2A6, also slightly inhibits CYP2E1 activity with an IC_{50} of 1.6 mM, but shows no inhibition on CYP1A2 and CYP3A4[1]. Gentiopicroside (12.5, 25 and 50 μM) inhibits RANKL-induced osteoclast formation from mouse bone marrow macrophages (BMMs) in a dose-dependent manner, blocks the expression of osteoclast-related proteins, prevents receptor activator of nuclear factor-κB ligand (RANKL)-triggered JNK and NF-κB activation. Gentiopicroside (50 μM) also inhibits RANKL-induced bone resorption[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Gentiopicroside (20, 40, and 80 mg/kg, p.o.) significantly reduces gastric ulcerindex in mice. Gentiopicroside (20, 40, and 80 mg/kg) also ovbiously decreases the levels of HSP-70, TNF-α, IL-6, MDA and increases ncreased GSH level and SOD activity. In addition, Gentiopicroside normalizes EGF and VEGF level in mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [3]	Cell viability is evaluated using the CCK-8 assay. In brief, bone marrow macrophages (BMMs) (1×10^4 cells/well) are placed in a 96-well plate and cultured with various concentrations of Gentiopicroside (12.5, 25 and 50 μM) for 48 h in the presence of M-CSF (30 ng/mL) and RANKL (100 ng/mL). Then, 10 μL of the CCK-8 solution is added to each well and the mixture is incubated for 4 h at 37 °C. The absorbance is evaluated at 450 nm using a microplate reader[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Mice[2] Mice are ran-domLy divided into six groups with 10 animals each. Drug is given by intragastric administration once a day for three consecutive days. Mice in the normal control group and the ethanol control group (negative control) receive saline at a dose of 2.5 mL/kg; Mice in the cimetidine control group (positive control) receive cimetidine at a dose of 100 mg/kg; mice in three Gentiopicroside investigative groups receive different doses of Gentiopicroside (20, 40, and 80 mg/kg), respectively; On the third day, except that mice in the normal control group receive saline, mice in other groups receive 70% ethanol at a dose of 0.01 mL/g by oral1 hr after the last intragastric administration. One hour after the induction, animals are euthanized under anesthesia by cervical dislocation, removed and cut the stomach longitudinally. The stomach are opened along the greater curvature and rinsed slightly with ice-cold saline to remove the gastric contents, and then the severity of gastric mucosal injury is evaluated by gastric ulcer index. Subsequently, each animal'sstomach is cut into two moieties, with one moiety of stomach stored at −80°C for biochemical assessment and the other moiety immersed in 4% paraformaldehyde solution for histopathological examinations[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Deng Y, et al. In vitro inhibition and induction of human liver cytochrome P450 enzymes by gentiopicroside: potent effect on CYP2A6. Drug Metab Pharmacokinet. 2013;28(4):339-44. Epub 2013 Feb 19.

[2]. Yang Y, et al. Protective effect of gentiopicroside from Gentiana macrophylla Pall. in ethanol-induced gastric mucosal injury in mice. Phytother Res. 2018 Feb;32(2):259-266.

[3]. Chen F, et al. Gentiopicroside inhibits RANKL-induced osteoclastogenesis by regulating NF-κB and JNK signaling pathways. Biomed Pharmacother. 2018 Apr;100:142-146.

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

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