Gentiopicroside

Cat. No.: HY-N0494
CAS No.: 20831-76-9
Molecular Formula: C₁₆H₂₀O₉
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: ≥ 100 mg/mL (280.65 mM)
* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td></td>
<td>2.8065 mL</td>
<td>14.0323 mL</td>
<td>28.0647 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td></td>
<td>0.5613 mL</td>
<td>2.8065 mL</td>
<td>5.6129 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td></td>
<td>0.2806 mL</td>
<td>1.4032 mL</td>
<td>2.8065 mL</td>
</tr>
</tbody>
</table>

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

In Vivo
1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.02 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.02 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.02 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Gentiopicroside, a naturally occurring iridoid glycoside, inhibits P450 activity, with an IC₅₀ and a Kᵢ of 61 µM and 22.8 µM for CYP2A6; Gentiopicroside has antianti-inflammatory and antioxidative effects.

IC₅₀ & Target
IC₅₀: 61 µM (CYP2A6)[1]
Kᵢ: 22.8 µM (CYP2A6)[1]
### 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. 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 obviously decreases the levels of HSP-70, TNF-α, IL-6, MDA and increases increased GSH level and SOD activity. In addition, Gentiopicroside normalizes EGF and VEGF level in mice.$^{[2]}$

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### PROTOCOL

#### Cell Assay

<table>
<thead>
<tr>
<th>Mice (1x10$^4$ cells/well)</th>
</tr>
</thead>
<tbody>
<tr>
<td>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.$^{[3]}$</td>
</tr>
<tr>
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#### Animal Administration

<table>
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<th>Mice</th>
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<tr>
<td>Are randomly 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 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 oral 1 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's stomach 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.$^{[2]}$</td>
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### REFERENCES


