T0901317

Cat. No.: HY-10626
CAS No.: 293754-55-9
Molecular Formula: C₁₇H₁₂F₉NO₃S
Molecular Weight: 481.33
Target: LXR; FXR; ROR; Apoptosis
Pathway: Metabolic Enzyme/Protease; Apoptosis
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 (207.76 mM)
Methanol: ≥ 100 mg/mL (207.76 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.0776 mL</td>
<td>10.3879 mL</td>
<td>20.7758 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4155 mL</td>
<td>2.0776 mL</td>
<td>4.1552 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2078 mL</td>
<td>1.0388 mL</td>
<td>2.0776 mL</td>
<td></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: ≥ 3 mg/mL (6.23 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3 mg/mL (6.23 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3 mg/mL (6.23 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
T0901317 is an orally active and highly selective LXR agonist with an EC₅₀ of 20 nM for LXRα[1]. T0901317 activates FXR with an EC₅₀ of 5 μM[2]. T0901317 is RORα and RORγ dual inverse agonist with Ki values of 132 nM and 51 nM, respectively[3]. T0901317 induces apoptosis and inhibits the development of atherosclerosis in low-density lipoprotein (LDL) receptor-deficient mice[4][5].
**IC₅₀ & Target**

| IC₅₀ | Target | EC₅₀: 20 nM (LXRα) and 5 μM (FXR)¹² | Ki: 132 nM (RORα) and 51 nM (RORγ)³ |

**In Vitro**

T0901317 (5-50 μM; 72 hours) significantly inhibits cellular proliferation in CaOV3, SKOV3, A2780 (human ovarian carcinoma cell lines) in a dose-dependent and time-dependent manner⁵. T0901317 (10 μM; 24-72 hours) decreases the percentage of cells in S phase and increases the percentage of cells in the G0/G1 phase, indicating a cell cycle arrest at the G1-S checkpoint. The percentage of cells in G0/G1 phase increases in a time-dependent manner⁵. T0901317 (10-40 μM; 24 hours) results in a significant increase of cells in early apoptosis⁵. T0901317 (5-40 μM; 48 hours) results in an increase of p21 and p27 protein expression in a dose-dependent manner after 48 hours⁵.

**Cell Proliferation Assay⁵**

<table>
<thead>
<tr>
<th>Cell Line:</th>
<th>A2780, CaOV3 and SKOV3 ovarian cancer cell lines</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration:</td>
<td>5, 10, 20, 40 or 50 μM</td>
</tr>
<tr>
<td>Incubation Time:</td>
<td>72 hours</td>
</tr>
<tr>
<td>Result:</td>
<td>Inhibited cellular proliferation in all cell lines in a dose-dependent and time-dependent manner.</td>
</tr>
</tbody>
</table>

**Cell Cycle Analysis⁵**

<table>
<thead>
<tr>
<th>Cell Line:</th>
<th>A2780, CaOV3 and SKOV3 cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration:</td>
<td>10 μM</td>
</tr>
<tr>
<td>Incubation Time:</td>
<td>24, 48 or 72 hours</td>
</tr>
<tr>
<td>Result:</td>
<td>Decreased the percentage of cells in S phase and increased the percentage of cells in the G0/G1 phase.</td>
</tr>
</tbody>
</table>

**Apoptosis Analysis⁵**

<table>
<thead>
<tr>
<th>Cell Line:</th>
<th>CaOV3 cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration:</td>
<td>10 to 40 μM</td>
</tr>
<tr>
<td>Incubation Time:</td>
<td>24 hours</td>
</tr>
<tr>
<td>Result:</td>
<td>Resulted in a significant increase of cells in early apoptosis.</td>
</tr>
</tbody>
</table>

**Western Blot Analysis⁵**

<table>
<thead>
<tr>
<th>Cell Line:</th>
<th>CaOV3 cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration:</td>
<td>5 to 40 μM</td>
</tr>
<tr>
<td>Incubation Time:</td>
<td>48 hours</td>
</tr>
<tr>
<td>Result:</td>
<td>Resulted in an increase of p21 and p27 protein expression in a dose-dependent manner.</td>
</tr>
</tbody>
</table>

**In Vivo**

T0901317 (10 mg/kg/day; orally; for 12 weeks) inhibits the progression of atherosclerosis⁵. T0901317 (i.p.; 50 mg/kg; twice weekly for 7 days) can protect male C57BL/6 mice from high fat diet-induced obesity.
and insulin resistance[6].

**Animal Model:**
8- to 10-week-old LDL receptor null mice[5]

**Dosage:**
10 mg/kg

**Administration:**
Orally; daily; for 12 weeks

**Result:**
Inhibited the progression of atherosclerosis.

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**REFERENCES**


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

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