Telaglenastat

Cat. No.: HY-12248
CAS No.: 1439399-58-2
Molecular Formula: $C_{26}H_{24}F_3N_7O_3S$
Molecular Weight: 571.57
Target: Autophagy; Glutaminase
Pathway: Autophagy; Metabolic Enzyme/Protease
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 : ≥ 30 mg/mL (52.49 mM)
H$_2$O : < 0.1 mg/mL (insoluble)
* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>1.7496 mL</td>
<td>8.7478 mL</td>
<td>17.4957 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.3499 mL</td>
<td>1.7496 mL</td>
<td>3.4991 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.1750 mL</td>
<td>0.8748 mL</td>
<td>1.7496 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: 2.08 mg/mL (3.64 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.64 mM); Clear solution
3. Add each solvent one by one: 20% HP-β-CD/10 mM citrate pH 2.0
   Solubility: 1 mg/mL (1.75 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description
Telaglenastat (CB-839) is a first-in-class, reversible and orally bioavailable glutaminase 1 (GLS1) inhibitor. Telaglenastat (CB-839) selectively inhibits GLS1 splice variants KGA (kidney-type glutaminase) and GAC (glutaminase C) compared to GLS2. The IC$_{50}$s are 23 and 28 nM for endogenous glutaminase in mouse kidney and brain, respectively. Antitumor activity\(^1\).

IC₅₀ & Target
IC₅₀: 23 nM (GLS1 in kidney), 28 nM (GLS1 in brain), >1 μM (GLS2 in liver)[1]

In Vitro
Telaglenastat (CB-839) (0.1-1000 nM; 72 hours) has antiproliferative activity in HCC1806 and MDA-MB-231 cells with IC₅₀ s of 49 nM and 26 nM, respectively[1].
Telaglenastat (CB-839) (1 μM; 72 hours) activates caspase 3/7 and induces apoptosis in MDA-MB-231 and HCC1806 cells[1].

Cell Proliferation Assay[1]

<table>
<thead>
<tr>
<th>Cell Line</th>
<th>HCC1806, MDA-MB-231 cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration</td>
<td>0.1, 1, 10, 100, 1000 nM</td>
</tr>
<tr>
<td>Incubation Time</td>
<td>72 hours</td>
</tr>
<tr>
<td>Result</td>
<td>Has a potent effect on the proliferation of the two TNBC cell lines (IC₅₀ of 49 nM and 26 nM for HCC1806 and MDA-MB-231 cells).</td>
</tr>
</tbody>
</table>

Apoptosis Analysis[1]

<table>
<thead>
<tr>
<th>Cell Line</th>
<th>MDA-MB-231, HCC1806 cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration</td>
<td>1 μM</td>
</tr>
<tr>
<td>Incubation Time</td>
<td>72 hours</td>
</tr>
<tr>
<td>Result</td>
<td>Caspase 3/7 activation.</td>
</tr>
</tbody>
</table>

In Vivo
Telaglenastat (CB-839) (200 mg/kg; p.o.; twice daily for 28 days) has antitumor activity in xenograft models of TNBC[1].

Animal Model: Female nu/nu mice with age 4–6 weeks (TNBC patient-derived xenograft model)[1]
Dosage: 200 mg/kg
Administration: Oral administration; twice daily for 28 days
Result: Suppressed tumor growth by 61% relative to vehicle control at the end of study.

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REFERENCES