Telaglenastat

Cat. No.: HY-12248
CAS No.: 1439399-58-2
Molecular Formula: C₂₆H₂₄F₃N₇O₃S
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)
H₂O : < 0.1 mg/mL (insoluble)
* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent 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 potent, selective, and orally bioavailable inhibitor of glutaminase (KGA and GAC) with IC₅₀ s of 28 and 23 nM for glutaminase in kidney and brain[1].

IC₅₀ & Target
IC₅₀: 23 nM (glutaminase in kindey), 28 nM (glutaminase in brain)[1]
Telaglenastat (CB-839) (0.1-1000 nM; 72 hours) has antiproliferative activity in HCC1806 and MDA-MB-231 cells with IC\textsubscript{50} s of 49 nM and 26 nM, respectively\textsuperscript{[1]}. Telaglenastat (CB-839) (1 μM; 72 hours) activates caspase 3/7 and induces apoptosis in MDA-MB-231 and HCC1806 cells\textsuperscript{[1]}. Telaglenastat (CB-839) significantly improves GAC and KGA expression levels in the majority of triple-negative breast cancer (TNBC) cell lines\textsuperscript{[1]}.  

### Cell Proliferation Assay\textsuperscript{[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 (IC\textsubscript{50} of 49 nM and 26 nM for HCC1806 and MDA-MB-231 cells).</td>
</tr>
</tbody>
</table>

### Apoptosis Analysis\textsuperscript{[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>

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

### Animal Model: |
Female nu/nu mice with age 4–6 weeks (TNBC patient-derived xenograft model)\textsuperscript{[1]}  

### Dosage: |
200 mg/kg  

### Administration: |
Oral administration; twice daily for 28 days  

### Result: |
Suppresses tumor growth by 61% relative to vehicle control at the end of study.

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**REFERENCES**


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