Belzutifan

Cat. No.: HY-125840
CAS No.: 1672668-24-4
Molecular Formula: C₁₇H₁₂F₃NO₄S
Molecular Weight: 383.34
Target: HIF/HIF Prolyl-Hydroxylase
Pathway: Metabolic Enzyme/Protease
Storage: -20°C, stored under nitrogen
* In solvent: -80°C, 6 months; -20°C, 1 month (stored under nitrogen)

SOLVENT & SOLUBILITY

In Vitro

DMSO: 50 mg/mL (130.43 mM; Need ultrasonic)

<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>2.6087 mL</td>
<td>13.0433 mL</td>
<td>26.0865 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.5217 mL</td>
<td>2.6087 mL</td>
<td>5.2173 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2609 mL</td>
<td>1.3043 mL</td>
<td>2.6087 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: 1% DMSO >> 99% saline
   Solubility: ≥ 0.5 mg/mL (1.30 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.52 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.52 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Belzutifan (PT2977) is an orally active and selective HIF-2α inhibitor with an IC₅₀ of 9 nM. Belzutifan, as a second-generation HIF-2α inhibitor, increases potency and improves pharmacokinetic profile. Belzutifan is a potential treatment for clear cell renal cell carcinoma (ccRCC)[1].

IC₅₀ & Target
IC₅₀: 9 nM (HIF-2α)[1]

In Vitro
Belzutifan (PT2977) potently and dose-dependently reduces mRNA levels of human cyclin D1, a target gene regulated by...
HIF-2α, and leads to rapid and dose-dependent reduction in EPO expression[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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REFERENCES