R-268712

Cat. No.: HY-12953
CAS No.: 879487-87-3
Molecular Formula: C₂₀H₁₈FN₅O
Molecular Weight: 363.39
Target: TGF-β Receptor
Pathway: TGF-beta/Smad
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: 125 mg/mL (343.98 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent 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>2.7519 mL</td>
<td>13.7593 mL</td>
<td>27.5186 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.5504 mL</td>
<td>2.7519 mL</td>
<td>5.5037 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2752 mL</td>
<td>1.3759 mL</td>
<td>2.7519 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 (5.72 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.72 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.72 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
R-268712 is a potent and selective inhibitor of ALK5 with an IC50 of 2.5 nM. IC50 value: 2.5 nM [1] Target: ALK5
in vitro:
R-268712 is a novel and specific inhibitor of activin receptor-like kinase 5 (ALK5), a transforming growth factor β (TGF-β) type I receptor. R-268712 is a potent and selective inhibitor of ALK5 with an IC50 of 2.5 nM, an approximately 5000-fold more selectivity for ALK5 than p38 mitogen-activated protein kinase (MAPK). R-268712 is a weak inhibitor of p38 MAP kinase (IC50: 12.1 μM); [1]
in vivo:
Oral administration of R-268712 at doses of 1, 3 and 10 mg/kg also inhibited the development of renal fibrosis in a dose-dependent manner in a unilateral ureteral obstruction (UUO).
REFERENCES