LY3200882

Cat. No.: HY-103021
CAS No.: 1898283-02-7
Molecular Formula: C₂₄H₂₉N₅O₃
Molecular Weight: 435.52
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: 130 mg/mL (298.49 mM; Need ultrasonic and warming)

<table>
<thead>
<tr>
<th>Solvent Concentration</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>2.2961 mL</td>
<td>11.4805 mL</td>
<td>22.9611 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4592 mL</td>
<td>2.2961 mL</td>
<td>4.5922 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2296 mL</td>
<td>1.1481 mL</td>
<td>2.2961 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: ≥ 2.5 mg/mL (5.74 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
LY3200882 is a potent, highly selective, ATP-competitive and orally active TGF-β receptor type 1 (ALK5) inhibitor with an IC₅₀ of 38.2 nM. LY3200882 inhibits various pro-tumorigenic activities and is also used as an immune modulatory agent[1][2].

IC₅₀ & Target
IC₅₀: 38.2 nM (TGF-β receptor type 1 (ALK5))[2]
**In Vitro**

LY3200882 potently inhibits TGFβ mediated SMAD phosphorylation in vitro in tumor and immune cells in a dose dependent fashion[1]. LY3200882 shows potent anti-tumor activity in the orthotopic 4T1-LP model of triple negative breast cancer and this activity correlated with enhanced tumor infiltrating lymphocytes in the tumor microenvironment[1]. In in vitro immune suppression assays, LY3200882 has shown the ability to rescue TGFβ1 suppressed or T regulatory cell suppressed naive T cell activity and restore proliferation[1]. LY3200882 inhibits NIH3T3 cell viability with an IC50 of 82.9 nM[2].

**In Vivo**

LY3200882 (60 mg/kg; oral gavage; twice a day; for 21 days; BALB/C female mice) treatment significantly delays tumor growth in CT26 model[2]. LY3200882 potently inhibits TGFβ mediated SMAD phosphorylation in vivo in subcutaneous tumors in a dose dependent fashion[1]. LY3200882 has shown anti-metastatic activity in vivo in an experimental metastasis tumor model (intravenous EMT6-LM2 model of triple negative breast cancer)[1].

<table>
<thead>
<tr>
<th>Animal Model:</th>
<th>BALB/C female mice (5-8-week-old) injected with CT26 cells[2]</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage:</td>
<td>60 mg/kg</td>
</tr>
<tr>
<td>Administration:</td>
<td>Oral gavage; twice a day; for 21 days</td>
</tr>
<tr>
<td>Result:</td>
<td>A statistically significant tumor growth delay in CT26 model was observed.</td>
</tr>
</tbody>
</table>

**REFERENCES**
