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>Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 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>
</tr>
<tr>
<td>5 mM</td>
<td>0.4592 mL</td>
<td>2.2961 mL</td>
<td>4.5922 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2296 mL</td>
<td>1.1481 mL</td>
<td>2.2961 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: ≥ 2.5 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 novel and highly selective inhibitor of TGF-β receptor type 1 (TGFβRI).

IC₅₀ & Target
TGFβRI

In Vitro
LY3200882 is a novel, highly selective inhibitor of TGF-β receptor type 1 (TGFβRI). LY3200882 potently inhibits TGFβ mediated SMAD phosphorylation in vitro in tumor and immune cells. LY3200882 has shown anti-metastatic activity in
In vitro in migration assays. In in vitro immune suppression assays, LY3200882 has shown the ability to rescue TGFβ1 suppressed or T regulatory cell suppressed naïve T cell activity and restore proliferation[1].

| In Vivo | LY3200882 potently inhibits TGFβ mediated SMAD phosphorylation in vivo in subcutaneous tumors in a dose dependent fashion. LY3200882 has shown anti-metastatic activity in vivo in an experimental metastasis tumor model (intravenous EMT6-LM2 model of triple negative breast cancer)[1]. |

CUSTOMER VALIDATION

- ACS Comb Sci. 2019 Nov 5.

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REFERENCES