PF-06952229

Cat. No.: HY-136244
CAS No.: 1801333-55-0
Molecular Formula: C₂₃H₂₄ClFN₄O₃
Molecular Weight: 458.91
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: 50 mg/mL (108.95 mM; Need ultrasonic)

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent 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>2.1791 mL</td>
<td>10.8954 mL</td>
<td>21.7908 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4358 mL</td>
<td>2.1791 mL</td>
<td>4.3582 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2179 mL</td>
<td>1.0895 mL</td>
<td>2.1791 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 (5.45 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.53 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
PF-06952229 is a potent, selective and orally active TGFbR1 inhibitor. PF-06952229 specifically binds to TGFbR1 and prevents TGFbR1-mediated signal transduction. PF-06952229 is a promising antineoplastic agent for the study solid tumors, specifically metastatic breast cancer[1].

IC₅₀ & Target
IC₅₀: transforming growth factor-beta receptor 1 (TGFbR1)[1]

In Vivo
PF-06952229 (oral gavage; 30 mg/kg; twice daily; 21 days) combines with Palbociclib 21 days results in an improved inhibition of pSMAD2 in the MCF7 ER⁺ xenograft breast cancer tumor model. This combination also leads to a significant increase in survival relative to PF-06952229 monotherapy[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Model: MCF-7 ER\(^+\) HER2-xenograft breast cancer tumor model\(^{[1]}\)

Dosage: 30 mg/kg

Administration: Oral gavage; twice daily; 44 days

Result: Resulted in an increase in tumor growth inhibition when combined with Palbociclib.

REFERENCES