PFE-360

Cat. No.: HY-120085
CAS No.: 1527475-61-1
Molecular Formula: C₁₆H₁₆N₆O
Molecular Weight: 308.34
Target: LRRK2
Pathway: Autophagy
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 : 10.42 mg/mL (33.79 mM; Need ultrasonic)

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>3.2432 mL</td>
<td>16.2159 mL</td>
<td>32.4317 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.6486 mL</td>
<td>3.2432 mL</td>
<td>6.4863 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.3243 mL</td>
<td>1.6216 mL</td>
<td>3.2432 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: ≥ 1.04 mg/mL (3.37 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.04 mg/mL (3.37 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.04 mg/mL (3.37 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
PFE-360 (PF-06685360) is a potent, selective, brain penetrated and orally active leucine-rich repeat kinase 2 (LRRK2) inhibitor with a mean IC₅₀ of 2.3 nM in vivo[1][2].

IC₅₀ & Target
IC₅₀: 2.3 nM (LRRK2 in vivo) [1][2].

In Vivo
PFE-360 (4 mg/kg and 7.5 mg/kg, orally, BID, 10-12 weeks) treatment potently decreases the LRRK2-pSer935/total...
<table>
<thead>
<tr>
<th>Animal Model</th>
<th>Female Sprague Dawley rats (NTac:SD) weighed 225-250 g[3].</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage:</td>
<td>4 mg/kg and 7.5 mg/kg (pharmacokinetics and pharmacodynamics).</td>
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<tr>
<td>Administration:</td>
<td>Orally BID for 10-12 weeks.</td>
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<tr>
<td>Result:</td>
<td>The LRRK2-pSer935/total LRRK2 ratio was significantly decreased at both 1 h and 12 h after dosing.</td>
</tr>
<tr>
<td></td>
<td>The terminal bodyweights exhibited no significant changes.</td>
</tr>
</tbody>
</table>

**REFERENCES**

[1]. Marco A.S. Baptista, et al. LRRK2 Kinase Inhibitors of Different Structural Classes Induce Abnormal Accumulation of Lamellar Bodies in Type II Pneumocytes in Non-Human Primates but are Reversible and Without Pulmonary Functional Consequences.
