Tolebrutinib

Cat. No.: HY-109192
CAS No.: 1971920-73-6
Molecular Formula: C₂₆H₂₅N₅O₃
Molecular Weight: 455.51
Target: Btk
Pathway: Protein Tyrosine Kinase/RTK
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: 100 mg/mL (219.53 mM; Need ultrasonic)

<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>2.1953 mL</td>
<td>10.9767 mL</td>
<td>21.9534 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4391 mL</td>
<td>2.1953 mL</td>
<td>4.3907 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2195 mL</td>
<td>1.0977 mL</td>
<td>2.1953 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 (5.49 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.49 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.49 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tolebrutinib (SAR442168) is a potent, selective, orally active and brain-penetrant inhibitor of Bruton tyrosine kinase (BTK), with IC₅₀s of 0.4 and 0.7 nM in Ramos B cells and in HMC microglia cells, respectively. Tolebrutinib exhibits efficacy in central nervous system immunity. Tolebrutinib can be used for the research of multiple sclerosis (MS)[1][2].

IC₅₀ & Target

IC₅₀: 0.7 nM (BTK; in HMC microglia cells)[2]

In Vitro

PRN2246 blocks the BCR-mediated activation (IC₅₀=10 nM) and Fc receptor activation (IC₅₀=166 and 9.6 nM for FceR and Fcy
PRN2246 inhibits microglial FcγR activation through durable occupancy of BTK, with an IC\textsubscript{50} of 157 nM\textsuperscript{[2]}. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

PRN2246 (1-5 mg/kg; p.o. q.d. for 28 d) produces dose-dependent protection from in myelin oligodendrocyte glycoprotein (MOG)-induced experimental autoimmune encephalomyelitis (EAE) model\textsuperscript{[2]}. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES
