TD-0212 TFA

Cat. No.: HY-114412A
CAS No.: 1073549-11-7
Molecular Formula: C₃₀H₃₅F₄N₃O₆S
Molecular Weight: 641.67
Target: Angiotensin Receptor; Neprilysin
Pathway: GPCR/G Protein; Metabolic Enzyme/Protease
Storage: Powder -20°C 3 years
In solvent -80°C 6 months
-20°C 1 month

SOLVENT & SOLUBILITY

In Vitro
DMSO: 125 mg/mL (194.80 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<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>1.5584 mL</td>
<td>7.7922 mL</td>
<td>15.5843 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3117 mL</td>
<td>1.5584 mL</td>
<td>3.1169 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1558 mL</td>
<td>0.7792 mL</td>
<td>1.5584 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: ≥ 2.08 mg/mL (3.24 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.24 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.24 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
TD-0212 TFA is an orally active dual pharmacology angiotensin II type 1 receptor (AT₁) antagonist and neprilysin (NEP) inhibitor, with a pKi of 8.9 for AT₁ and a pIC₅₀ of 9.2 for NEP[1].

IC₅₀ & Target
pKi: 8.9 (AT₁)
pIC₅₀: 9.2 (NEP)[1].

In Vitro
TD-0212 provides the enhanced activity of dual AT1/NEP inhibition with a potentially lower risk of angioedema relative to dual ACE/NEP inhibition[1].
In Vivo
TD-0212 produces blood pressure reductions similar to omapatrilat and combinations of AT1 receptor antagonists and NEP inhibitors in models of renin-dependent and -independent hypertension\(^1\).

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES