MK-5046

Cat. No.: HY-14342
CAS No.: 1022152-70-0
Molecular Formula: \text{C}_{20}\text{H}_{18}\text{F}_{6}\text{N}_{4}\text{O}
Molecular Weight: 444.37
Target: Bombesin Receptor
Pathway: GPCR/G Protein
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 : 14.29 mg/mL (32.16 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></td>
<td>2.2504 mL</td>
<td>11.2519 mL</td>
<td>22.5038 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.4501 mL</td>
<td>2.2504 mL</td>
<td>4.5008 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.2250 mL</td>
<td>1.1252 mL</td>
<td>2.2504 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: ≥ 1.43 mg/mL (3.22 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.43 mg/mL (3.22 mM); Clear solution

3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.43 mg/mL (3.22 mM); Clear solution

BIOLOGICAL ACTIVITY

MK-5046 is a novel BRS-3 agonist, binds to BRS-3 with high affinity (mouse Ki = 1.6 nM, human Ki = 25 nM); IC50 value: 1.6 nM (Ki, for mouse), 25 nM (Ki, for human) [1]Target: BRS-3

in vitro: MK-5046 is a novel BRS-3 agonist, with improved BRS-3 potency, specificity, and pharmacokinetic properties that allows in-depth investigation of BRS3 agonism in preclinical species and is also potentially suitable for use in humans. MK-5046 exhibits no appreciable binding activity at the neuromedin B and gastrin-releasing peptide receptors, as well as many other receptors, ion channels, and enzymes. In a cell-based Ca2+ mobilization functional assay, MK-5046 activates human BRS-3 with
similar agonist efficacy as the peptide BRS-3 agonist.[1] MK-5046 is a potent, selective bombesin receptor subtype-3 agonist for the treatment of obesity.[2] In vivo: MK-5046 is the first BRS-3 agonist with properties suitable for use in larger mammals. In dogs, MK-5046 treatment produced statistically significant and persistent weight loss, which was initially accompanied by increases in body temperature and heart rate that abated with continued dosing. MK-5046 also effectively reduced body weight in rats and caused modest increases in body temperature, heart rate, and blood pressure. MK-5046 in rodents and dogs and further support BRS-3 agonism as a new approach to the treatment of obesity.[1]

REFERENCES
