MK-3207

**Cat. No.:** HY-10301  
**CAS No.:** 957118-49-9  
**Molecular Formula:** C₃₁H₂₉F₂N₅O₃  
**Molecular Weight:** 557.59  
**Target:** CGRP Receptor  
**Pathway:** GPCR/G Protein; Neuronal Signaling  
**Storage:** 4°C, stored under nitrogen

* In solvent: -80°C, 6 months; -20°C, 1 month (stored under nitrogen)

**SOLVENT & SOLUBILITY**

**In Vitro**  
DMSO: ≥ 100 mg/mL (179.34 mM)  
* "≥" means soluble, but saturation unknown.

<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>1.7934 mL</td>
<td>8.9672 mL</td>
<td>17.9343 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.3587 mL</td>
<td>1.7934 mL</td>
<td>3.5869 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.1793 mL</td>
<td>0.8967 mL</td>
<td>1.7934 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 (4.48 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
   Solubility: ≥ 2.5 mg/mL (4.48 mM); Clear solution

3. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 2.5 mg/mL (4.48 mM); Clear solution

**BIOLOGICAL ACTIVITY**

**Description**  
MK-3207 is a potent and orally bioavailable CGRP receptor antagonist (IC50 = 0.12 nM; Ki value = 0.024 nM); highly selective versus human AM1, AM2, CTR, and AMY3. IC50 Value: 0.024 nM (Ki, Human CGRP) [1] In common with other CGRP receptor antagonists, MK-3207 displays lower affinity for human CGRP receptors from other species, including canine and rodent.  

In vitro: MK-3207 is a potent antagonist of the human and rhesus monkey CGRP receptors (Ki = 0.024 nM). In vivo: MK-3207 produced a concentration-dependent inhibition of dermal vasodilation, with plasma concentrations of 0.8 and 7 nM required to block 50 and 90% of the blood flow increase, respectively. The tritiated analog [3H]MK-3207 was used to study the binding characteristics on the human CGRP receptor. [3H]MK-3207
displayed reversible and saturable binding (K(D) = 0.06 nM), and the off-rate was determined to be 0.012 min(-1), with a t(1/2) value of 59 min [1]. After the first interim analysis, the two lowest MK-3207 doses (2.5, 5 mg) were identified as showing insufficient efficacy. Per the pre-specified adaptive design decision rule, only the 2.5-mg group was discontinued and the five highest doses (5, 10, 20, 50, 100 mg) were continued into the second stage [2].

Clinical trial: MK-3207 for the treatment of acute migraines. Phase 2b

REFERENCES
