**Rimegepant**

**Cat. No.:** HY-15498  
**CAS No.:** 1289023-67-1  
**Molecular Formula:** C₂₈H₂₈F₂N₆O₃  
**Molecular Weight:** 534.56  
**Target:** CGRP Receptor  
**Pathway:** GPCR/G Protein; Neuronal Signaling  
**Storage:** Powder  
-20°C 3 years  
4°C 2 years  

* The compound is unstable in solutions, freshly prepared is recommended.

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**SOLVENT & SOLUBILITY**

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Solubility</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO</td>
<td>10 mg/mL (18.71 mM; Need ultrasonic and warming)</td>
</tr>
<tr>
<td>Ethanol</td>
<td>10 mg/mL (18.71 mM; Need ultrasonic and warming)</td>
</tr>
</tbody>
</table>

**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>1.8707 mL</td>
<td>9.3535 mL</td>
<td>18.7070 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3741 mL</td>
<td>1.8707 mL</td>
<td>3.7414 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1871 mL</td>
<td>0.9353 mL</td>
<td>1.8707 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% EtOH >> 90% PEG300  
Solubility: ≥ 1 mg/mL (1.87 mM); Clear solution

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**BIOLOGICAL ACTIVITY**

**Description**

Rimegepant (BMS-927711) is a highly potent, oral calcitonin gene-related peptide (CGRP) receptor antagonist with a $K_i$ value of 0.027 nM.

**IC₅₀ & Target**

$K_i$: 0.027 nM (CGRP receptor)$^{[1]}$

IC₅₀: 0.14 nM (CGRP receptor)$^{[1]}$

**In Vitro**

Rimegepant (BMS-927711) is a full, competitive CGRP receptor antagonist with IC₅₀ of 0.14±0.01 nM$^{[1]}$.

**In Vivo**

Rimegepant (BMS-927711) has good oral bioavailability in rat and cynomolgus monkey, attractive overall preclinical properties, and shows dose-dependent activity in a primate model of CGRP-induced facial blood flow$^{[1]}$. The ratios of the mean AUC (0-24 h) values for Rimegepant (BMS-927711) (60, 100, and 300 mg/kg) in the DBS matrix, compare...
with plasma, are 0.5-0.6 across all doses in rats. Results from this study suggest a strong correlation of Rimegepant concentrations between rat plasma and rat blood (DBS)[2].

**PROTOCOL**

**Animal Administration**[2]

Rats are treated with drug-free vehicle (control) or Rimegepant (BMS-927711) in vehicle at 60, 100, and 300 mg/kg once daily via oral gavage. There are 6 rats in each treatment group. For each treatment group, blood is collected at 1, 6, and 24 h from first three rats, and at 3 and 8 h from the second three rats on Day 1 and Day 14 from the tail vein following daily oral dosing of Rimegepant (BMS-927711) for two weeks. The mean hematocrits in the blood are 50.2±1.8%, 49.8±2.2%, 46.4±5.2% corresponding to the animal groups of 60, 100, and 300 mg/kg doses, respectively. For DBS evaluation, four 15 μL blood samples are spotted onto DBS cards (4 spots per card), dried at room temperature for at least 2 h, and each card is packaged separately in a ziplock bag with desiccant prior to shipment. The remaining blood in each sample tube is processed to plasma within 1 h of collection and stored at -70°C until analysis. Plasma samples are shipped on dry ice, and DBS cards are shipped at ambient temperature. Rimegepant (BMS-927711) concentrations in rat plasma are analyzed. Rimegepant in rat DBS is analyzed using the DBS method. The toxicokinetic (TK) parameters are calculated from blood concentration and time data using non-compartmental methods using Kinetica.

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

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**REFERENCES**
