Omecamtiv mecarbil

Cat. No.: HY-14233
CAS No.: 873697-71-3
Molecular Formula: C₂₀H₂₄FN₅O₃
Molecular Weight: 401.43
Target: Myosin
Pathway: Cytoskeleton
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: 50 mg/mL (124.55 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>2.4911 mL</td>
<td>12.4555 mL</td>
<td>24.9109 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.4982 mL</td>
<td>2.4911 mL</td>
<td>4.9822 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.2491 mL</td>
<td>1.2455 mL</td>
<td>2.4911 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 (6.23 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.23 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.23 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Omecamtiv mecarbil is a selective cardiac myosin activator.

In Vitro
Omecamtiv mecarbil (10 μM) reduces the maximal ATPase (kcat) 4.5-fold and dramatically reduces the actin concentration at which ATPase is half-maximal (KATPase) 30-fold. The Omecamtiv mecarbil-induced inhibition of the actin-activated ATPase is evaluated in a concentration-dependent manner to determine the EC₅₀ (0.52 ± 0.10 μM). Omecamtiv mecarbil does not change the overall actin affinity. Omecamtiv mecarbil traps a population of myosin.
heads in a weak actin affinity state with slow product release. Omecamtiv mecarbil can reduce the actin sliding velocity more than 100-fold in the in vitro motility assay\(^3\).

**In Vivo**  
Omecamtiv mecarbil (100-1000 ng/mL) demonstrates concentration-dependent increases in FS in Sprague–Dawley rats model. Omecamtiv mecarbil demonstrates good PK parameters in both rats (Sprague–Dawley) and dogs (Beagle) with clearances of 22 and 7.2 mL/min/kg, volumes of 3.5 and 3.6 L/kg, and bioavailabilities (F\%) of 100 and 80\%, respectively\(^1\). Omecamtiv mecarbil does not affect the phosphorylation status of myofilament proteins in both WT and KO hearts as shown by the absence of significant differences between pre and post Omecamtiv mecarbil samples within WT and KO groups, or affect the force generation at maximal Ca\(^{2+}\) activation (pCa 4.5) in any of the groups. Omecamtiv mecarbil increases the responsiveness of the cardiac myofilaments to Ca\(^{2+}\) at submaximal Ca\(^{2+}\)-activations\(^2\).

**REFERENCES**


**Caution:** Product has not been fully validated for medical applications. For research use only.