Mirogabalin

Cat. No.: HY-12650
CAS No.: 1138245-13-2
Molecular Formula: C₁₂H₁₉NO₂
Molecular Weight: 209.28
Target: Calcium Channel
Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling
Storage: Powder -20°C 3 years
       4°C 2 years
       In solvent -80°C 2 years
       -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

H₂O : 7.71 mg/mL (36.84 mM; Need ultrasonic)
DMSO : < 1 mg/mL (insoluble or slightly soluble)

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>4.7783 mL</td>
<td>23.8914 mL</td>
<td>47.7829 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.9557 mL</td>
<td>4.7783 mL</td>
<td>9.5566 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.4778 mL</td>
<td>2.3891 mL</td>
<td>4.7783 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: PBS
   Solubility: 10 mg/mL (47.78 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.83 mg/mL (3.97 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.83 mg/mL (3.97 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.83 mg/mL (3.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Mirogabalin (DS-5565) is a novel, preferentially selective α2δ-1 ligand characterized by high potency and selectivity to the α2δ-1 subunit of voltage-sensitive calcium channel complexes in the CNS.

IC₅₀ & Target
α2δ-1 Calcium Channel[^1]
### In Vitro

Mirogabalin (DS-5565) is a novel, preferentially selective α2δ-1 ligand characterized by high potency and selectivity to the α2δ-1 subunit of voltage-sensitive calcium-channel complexes in the central nervous system (CNS). In vitro experiments using membrane preparations from human and rat α2δ subunit-expressed cells show that Mirogabalin had a slower dissociation rate from α2δ-1 than α2δ-2, in particular, α2δ-1 compared with Pregabalin. Additionally, Mirogabalin shows potent, sustained analgesic effects in streptozotocin-induced diabetic rats with induced pain, and the superior analgesic effects and wider CNS safety margin relative to Pregabalin are attributed to its selectivity for and slow dissociation from α2δ-1 compared with Pregabalin.[1] Mirogabalin (DS-5565) is an α2δ-1 ligand being developed for pain associated with diabetic peripheral neuropathy, fibromyalgia, and postherpetic neuralgia. Mirogabalin targets α2δ-1, an auxiliary protein associated with voltage-sensitive calcium channel complexes in the central nervous system. This binding reduces calcium influx at nerve terminals, therefore reducing the release of several pain neurotransmitters. The ED$_{50}$ (on the transformed scale) for Mirogabalin is estimated to be 20.5 mg with a 90% confidence interval (CI) of 10.1-41.7 mg[2].

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

### In Vivo

Additionally, Mirogabalin shows potent, sustained analgesic effects in streptozotocin-induced diabetic rats with induced pain, and the superior analgesic effects and wider central nervous system (CNS) safety margin relative to Pregabalin are attributed to its selectivity for and slow dissociation from α2δ-1 compared with Pregabalin[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### CUSTOMER VALIDATION

- Pharmaceuticals. 2023 Jul 19, 16(7), 1023.
- Pharmaceuticals. 2022, 15(1), 88.

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### REFERENCES


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

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