VU0810464

Cat. No.: HY-127106
CAS No.: 2126040-21-7
Molecular Formula: C₁₈H₂₁ClFN₃O
Molecular Weight: 349.83
Target: Potassium Channel
Pathway: Membrane Transporter/Ion Channel
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: ≥ 250 mg/mL (714.63 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<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>2.8585 mL</td>
<td>14.2927 mL</td>
<td>28.5853 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5717 mL</td>
<td>2.8585 mL</td>
<td>5.7171 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2859 mL</td>
<td>1.4293 mL</td>
<td>2.8585 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: ≥ 2.08 mg/mL (5.95 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
VU0810464 is a potent and selective non-urea G protein-gated inwardly-rectifying potassium channels (GIRK, Kir3) activator. VU0810464 displays nanomolar potency for neuronal (EC₅₀=165 nM) and GIRK1/4 (EC₅₀=720 nM) channels with improved brain penetration[1][2].

IC₅₀ & Target
EC₅₀: 165 nM (GIRK 1/2); 720 nM (GIRK1/4) [1][2]

In Vitro
VU0810464 (0, 0.1, 0.3, 1, 3, 10, 30 μM) produces a concentration-dependent response curves of currents in SAN and HPC cells, in addition, VU0810464 is 98-fold higher potency for Kir3 channel activation in neurons as compared to SAN cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo
VU0810464 (intraperitoneal injection; 30 mg/kg, 10 mg/kg; 30mg/kg; pre-treated 30 mins) produces a dose-dependent
reduction of SIH response in Male C57BL/6J mice. To test if VU0810464 plays a role through Kir3 channel activation, VU0810464 (10 mg/kg) suppresses the SIH response in wild type mice, but has no impact on Kcnj3−/− mice[2]. VU0810464 (intraperitoneal injection; 30 mg/kg; 15, 30, 45, or 60 min post injection) displays a favourable distribution to the brain (Kpu = 0.83), has an improvement over ML297 (Kpu = 0.32). Clearance of VU0810464 is rapid, brain and plasma half-lives is 20 min in a PK study[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model: Male C57BL/6J mice, Kcnj3−/− siblings female and male C57BL/6J mice  
Dosage: 10 mg/kg; 30mg/kg  
Administration: Intraperitoneal injection  
Result: Reduced stress-induced hyperthermia (SIH), a physiological test of anxiolytic efficacy in wild mice, but had no impact in and Kcnj3 (Girk1)−/− mice.

REFERENCES


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