GAL-021

Cat. No.: HY-101422
CAS No.: 1380341-99-0
Molecular Formula: C₁₁H₂₂N₆O
Molecular Weight: 254.33
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: ≥ 30 mg/mL (117.96 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></td>
<td>1 mM</td>
<td>3.9319 mL</td>
<td>19.6595 mL</td>
<td>39.3190 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.7864 mL</td>
<td>3.9319 mL</td>
<td>7.8638 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.3932 mL</td>
<td>1.9659 mL</td>
<td>3.9319 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 (8.18 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (8.18 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (8.18 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GAL-021 is being developed as a novel breathing control modulator to preserve respiratory drive and protect patients from respiratory impairment due to opioids and other modalities. Using inside-out patches in GH3 cells, GAL-021 exerts concentration-dependent inhibition of single-channel KCa1.1 activity. When evaluated against 12 different cardiac ion channels, inhibition is 35% or less at 30 μM. No significant kinase inhibition is observed at 10 μM. At 30 μM in the radioligand binding assays, interactions (defined as >50% radioligand displacement) are detected at adenosine A1 (65% I), A2A (79% I, IC
50 approximately 5 μM), and A3 (93% I; IC50 approximately 1 μM) receptors, at 5-HT2B receptors (60% I; IC50 approximately 30 μM)[1]

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

In Vivo

Intravenously administered GAL-021 attenuates opiate-induced respiratory depression in rats and nonhuman primates without affecting morphine analgesia in rats. GAL-021 ventilatory stimulation in rats is attenuated by carotid sinus nerve transection. GAL-021 ventilatory stimulation is attenuated in mice lacking the pore-forming α-subunit of the KCa 1.1 channel [1]

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

PROTOCOL

Kinase Assay [1]

GAL-021 is dissolved in DMSO, and final assay concentration of DMSO is 0.1% or less. The effects of GAL-021 (30 μM) on a panel of 55 receptors, transporters, and ion channels are evaluated using radioligand binding analyses. Potential kinase inhibition by GAL-021 (10 μM) is assessed using the Kinase HotSpot Screen where activity of 50 kinases is measured in the presence of adenosine triphosphate (10 μM)[1]

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

Animal Administration [1]

Rats: The effects of GAL-021 on mean arterial pressure (MAP) and heart rate (HR) are evaluated using IV infusions. GAL-021 (0.125 mg/kg/min for 25 min, increasing to 0.20 mg/kg/min for an additional 25 min IV) and vehicle (0.9% saline, for 50 min) are administered at a constant infusion rate (6 mL/kg/h). All rats receive additional fluid support (50:50 mixture of lactated Ringer's solution and 6% hetastarch in 0.9% saline) [1]. For rat and Mouse Spirometry section, for rats, tracheal airflow is measured using flow spirometry before and after IV (femoral vein) bolus administration of GAL-021 (0.01, 0.03, 0.1, 0.3, 1.0, and 3.0 mg/kg) and vehicle (0.9% saline)[1]

Mice: The effects of GAL-021 on ventilation are also evaluated in age-matched male and female adult Slo1+/- and Slo1-/- mice. Mice are anesthetized using 2 to 2.5% isoflurane in air[1]

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

CUSTOMER VALIDATION

- Biomolecules. 2020 Jan 25;10(2):188.

See more customer validations on [www.MedChemExpress.com](http://www.MedChemExpress.com)

REFERENCES

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

Tel: 609-228-6898                        Fax: 609-228-5909                        E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA