GSK2795039

Cat. No.: HY-18950
CAS No.: 1415925-18-6
Molecular Formula: C₂₃H₂₆N₆O₂S
Molecular Weight: 450.56
Target: NADPH Oxidase; Reactive Oxygen Species; Apoptosis
Pathway: Metabolic Enzyme/Protease; Immunology/Inflammation; NF-κB; Apoptosis
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: 100 mg/mL (221.95 mM; Need ultrasonic)

<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>1 mM</td>
<td>2.2195 mL</td>
<td>11.0973 mL</td>
<td>22.1946 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4439 mL</td>
<td>2.2195 mL</td>
<td>4.4389 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2219 mL</td>
<td>1.1097 mL</td>
<td>2.2195 mL</td>
<td></td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

In Vivo
1. Add each solvent one by one: 20% DMSO, 20% Tween 80, 60% polyethylene glycol 200
   Solubility: 10 mg/mL (22.19 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 15% Cremophor EL >> 85% Saline
   Solubility: 6.67 mg/mL (14.80 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.55 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.55 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
GSK2795039 is a NADPH oxidase 2 (NOX2) inhibitor with a mean pIC₅₀ of 6 in different cell-free assays. GSK2795039 inhibits reactive oxygen species (ROS) production and NADPH consumption[1]. GSK2795039 reduces apoptosis[2].

In Vitro
GSK2795039 (25 μM; 24 hours) reduces the combinatory effect of FeSO₄ and LPS-increased levels of apoptosis and reduced the presence of caspase-3-positive PC12 cells[2].
Apoptosis Analysis\(^2\)

<table>
<thead>
<tr>
<th>Cell Line</th>
<th>PC12 cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration</td>
<td>25 μM</td>
</tr>
<tr>
<td>Incubation Time</td>
<td>24 hours</td>
</tr>
<tr>
<td>Result</td>
<td>Reduced apoptosis among PC12 cells.</td>
</tr>
</tbody>
</table>

In Vivo

<table>
<thead>
<tr>
<th>Animal Model:</th>
<th>C57BL6 mice(^1)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage:</td>
<td>100 mg/kg</td>
</tr>
<tr>
<td>Administration:</td>
<td>Intraperitoneal injection; 100 mg/kg; 1 hour before</td>
</tr>
<tr>
<td>Result:</td>
<td>Caused 50% reduction in the level of serum amylase activity.</td>
</tr>
</tbody>
</table>

GSK2795039 (intraperitoneal injection; 100 mg/kg; 1 hour before) decreases activity in a murine model of acute pancreatitis, reducing the levels of serum amylase triggered by systemic injection of cerulein\(^1\).

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REFERENCES

