Cariporide

Cat. No.: HY-19693
CAS No.: 159138-80-4
Molecular Formula: \( \text{C}_{12}\text{H}_{17}\text{N}_{3}\text{O}_{3}\text{S} \)
Molecular Weight: 283.35
Target: Sodium 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: \( \geq 100 \text{ mg/mL} \) (352.92 mM)

<table>
<thead>
<tr>
<th>Solvent &amp; Mass Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>3.5292 mL</td>
<td>17.6460 mL</td>
<td>35.2920 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.7058 mL</td>
<td>3.5292 mL</td>
<td>7.0584 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.3529 mL</td>
<td>1.7646 mL</td>
<td>3.5292 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: \( \geq 2.5 \text{ mg/mL} \) (8.82 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-\( \beta \)-CD in saline)
   Solubility: \( \geq 2.5 \text{ mg/mL} \) (8.82 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: \( \geq 2.5 \text{ mg/mL} \) (8.82 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Cariporide (HOE-642) is a selective \( \text{Na}^+ / \text{H}^+ \) exchange inhibitor.

In Vitro
Cariporide significantly suppresses markers of cell death, such as TUNEL positivity and caspase-3 cleavage, at 8 or 16 hours. Cariporide remarkably suppresses cytosolic \( \text{Na}^+ \) and \( \text{Ca}^{2+} \) accumulation. Cariporide prevents mitochondrial membrane potential loss induced by \( \text{H}^2\text{O}_2 \) [1]. Cariporide (HOE-642) ameliorates myocardial ischemia/reperfusion...
injury, by the well-established reduction of cytosolic Ca\(^{2+}\) in cardiac myocytes through inhibition of Na\(^+\)/H\(^+\) exchange\(^2\). Cariporide (HOE-642), has inhibitory effects on the degranulation of human platelets, the formation of platelet–leukocyte-aggregates, and the activation of the GPIIb/IIIa receptor (PAC-1)\(^3\).

**In Vivo**

Intravenous administration of cariporide significantly decreases brain Na\(^+\) uptake and reduces cerebral edema, brain swelling, and infarct volume\(^4\).

**PROTOCOL**

**Cell Assay**\(^1\)

Neonatal rat cardiomyocytes are randomly separated into groups: (1) control group, (2) incubation with 100 \(\mu\)M hydrogen peroxide, or (3) pretreatment with 10 \(\mu\)M cariporide for 20 minutes followed by 100 \(\mu\)M hydrogen peroxide. Caspase-3 activity is measured by detection of the cleavage of a colorimetric caspase-3 substrate, N-acetyl-Asp-Glu-Val-Asp-p-nitroaniline, using an assay kit\(^1\).

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

**Animal Administration**\(^4\)

Rats: Cariporide and/or bumetanide are administered intravenously (15 or 30 mg/kg in 2 to 4 doses, respectively, of 7.5 mg/kg) starting at 20 minutes before initiation of pMCAO. For neurologic outcome experiments, some rats are given cariporide and/or bumetanide by a single intraperitoneal injection\(^4\).

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