A-317491

Cat. No.: HY-15568
CAS No.: 475205-49-3
Molecular Formula: C₃₃H₂₇NO₈
Molecular Weight: 565.57
Target: P2X Receptor
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: ≥ 47 mg/mL (83.10 mM)
H₂O: < 0.1 mg/mL (insoluble)
* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>Solvent Concentration</td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>1 mM</td>
<td>1.7681 mL</td>
<td>8.8406 mL</td>
<td>17.6813 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3536 mL</td>
<td>1.7681 mL</td>
<td>3.5363 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1768 mL</td>
<td>0.8841 mL</td>
<td>1.7681 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: ≥ 2.5 mg/mL (4.42 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.42 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.42 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

A-317491 is a potent, selective and non-nucleotide antagonist of P2X₃ and P2X₂/₃ receptors, with Kᵢ₅ of 22, 22, 9, and 92 nM for hP2X₃, rP2X₃, hP2X₂/₃, and rP2X₂/₃, respectively. A-317491 is highly selective (IC₅₀>10 μM) over other P2 receptors and other neurotransmitter receptors, ion channels, and enzymes. A-317491 reduces inflammatory and neuropathic pain by blocking P2X₃ and P2X₂/₃ receptor-mediated calcium flux.²

(1) [Reference 1]
(2) [Reference 2]
<table>
<thead>
<tr>
<th><strong>IC₅₀ &amp; Target</strong></th>
<th>Ki: 22 nM (hP2X3), 22 nM (rP2X3), 9 nM (hP2X2/3), 92 nM (rP2X2/3)[1]</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>In Vitro</strong></td>
<td>A-317491 potently blocks recombinant human and rat P2X₃ and P2X₂/₃ receptor-mediated calcium flux (Kᵢ=22-92 nM)[¹]. A-317491 (1 nM-10 μM) produces a concentration-dependent block of dorsal root ganglion (DRG) currents with an IC₅₀ of 15 nM[¹]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</td>
</tr>
<tr>
<td><strong>In Vivo</strong></td>
<td>A-317491 (0.1-30 mg/kg; a single s.c.) dose-dependently reverses inflammatory mechanical hyperalgesia in rats[²]. A-317491 (3-30 mg/kg; a single .v.) exhibits the plasma half-life (7.38 h), clearance rate (1.83 L/h/kg), and volume of distribution (0.17 L/kg)[²]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</td>
</tr>
</tbody>
</table>

| Animal Model:    | Male adult Sprague-Dawley rats received an intraplantar injection of Freund’s complete adjuvant[²] |
| Dosage:          | 0.1, 1, 3, 10, 30 mg/kg |
| Administration:  | A single s.c. |
| Result:          | Produced a dose-dependent reduction in mechanical hyperalgesia 1 h, 3 h and 5 h post-administration. |

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**REFERENCES**
