Cilomilast

Cat. No.: HY-10790
CAS No.: 153259-65-5
Molecular Formula: C₂₀H₂₅NO₄
Molecular Weight: 343.42
Target: Phosphodiesterase (PDE)
Pathway: Metabolic Enzyme/Protease
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 (291.19 mM)
* "≥" 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>1 mM</td>
<td>2.9119 mL</td>
<td>14.5594 mL</td>
<td>29.1189 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5824 mL</td>
<td>2.9119 mL</td>
<td>5.8238 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2912 mL</td>
<td>1.4559 mL</td>
<td>2.9119 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 (7.28 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (7.28 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (7.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Cilomilast (SB 207499; Ariflo) is a potent PDE4 inhibitor with IC50 of about 110 nM, has anti-inflammatory activity and low central nervous system activity. IC50 value: 110 nM
Target: PDE4
Cilomilast (SB-207499) inhibits HPDE4 and LPDE4 catalytic activity with equal potency (Ki ≈100 nM). SB-207499 and rolipram are equipotent against LPDE4, but Cilomilast (Ariflo, SB-207499) is 100-fold less potent against HPDE4. This profile suggests that Cilomilast (Ariflo, SB-207499) retain the anti-inflammatory activity of rolipram yet have a decreased tendency to produce side effects.
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REFERENCES


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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