M8891

Cat. No.: HY-133016
CAS No.: 1464842-09-8
Molecular Formula: C₂₀H₁₇F₂N₃O₃
Molecular Weight: 385.36
Target: Others
Pathway: Others
Storage: 4°C, protect from light
* In solvent: -80°C, 6 months; -20°C, 1 month (protect from light)

SOLVENT & SOLUBILITY

In Vitro

DMSO: 90 mg/mL (233.55 mM; Need ultrasonic)

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Concentration</th>
<th>Solvent</th>
<th>Mass</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1 mg</td>
<td>2.5950 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>1 mg</td>
<td>12.9749 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>1 mg</td>
<td>25.9498 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 (5.40 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.08 mg/mL (5.40 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.08 mg/mL (5.40 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
M8891 is an orally active, reversible and brain penetrant Methionine Aminopeptidase-2 (MetAP-2) inhibitor with an IC⁵₀ of 54 nM and a Kᵢ of 4.33 nM. M8891 does not inhibit MetAP-1 (IC⁵₀>10 µM)\(^1\). M8891 inhibits growth of primary endothelial cells as well as tumor cells and demonstrates antiangiogenic and antitumoral activity\(^2\).

IC⁵₀ & Target
IC⁵₀: 54 nM (MetAP-2)\(^1\)
Ki: 4.33 nM (MetAP-2)\(^1\)

In Vitro
M8891 has an IC⁵₀ of 20 nM for HUVEC proliferation\(^1\).
MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo

<table>
<thead>
<tr>
<th>Animal Model</th>
<th>Female CD-1 nude mice aged 6-7 weeks with human U87-MG glioblastoma(^1)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage</td>
<td>20 mg/kg</td>
</tr>
<tr>
<td>Administration</td>
<td>Po; once a day for 14 days</td>
</tr>
<tr>
<td>Result</td>
<td>Exhibited strong tumor growth inhibition.</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th>Animal Model</th>
<th>Rat, dog and monkey(^1)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage</td>
<td>0.2 mg/kg (Pharmacokinetic Analysis)</td>
</tr>
<tr>
<td>Administration</td>
<td>IV</td>
</tr>
<tr>
<td>Result</td>
<td>Showed low clearance (CL ~0.03-0.4 L/h/kg corresponding to ~1-6% of the liver blood-flow), small to medium volume of distribution (Vss ~0.23-1.3 L/kg), and medium to high oral bioavailability (F ~40-80%).</td>
</tr>
</tbody>
</table>

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