CJ-42794

Cat. No.: HY-10797
CAS No.: 847728-01-2
Molecular Formula: C₂₂H₁₇ClFNO₄
Molecular Weight: 413.83
Target: Prostaglandin Receptor
Pathway: GPCR/G Protein
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: ≥ 28 mg/mL (67.66 mM)
* "≥" means soluble, but saturation unknown.

Preparation of Stock Solutions

<table>
<thead>
<tr>
<th>Concentration</th>
<th>Mass for 1 mg</th>
<th>Mass for 5 mg</th>
<th>Mass for 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.4165 mL</td>
<td>12.0823 mL</td>
<td>24.1645 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4833 mL</td>
<td>2.4165 mL</td>
<td>4.8329 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2416 mL</td>
<td>1.2082 mL</td>
<td>2.4165 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 (6.04 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.04 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.04 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CJ-42794 is a selective prostaglandin E receptor subtype 4 (EP4) antagonist, inhibits [3H]-PGE2 binding to the human EP4 receptor with a mean pIC50 value of 8.5, a binding affinity that was at least 200-fold more selective for the human EP4 receptor than other human EP receptor subtypes (EP1, EP2, and EP3). IC50 value: 8.5 (pIC50) [1] Target: EP4 in vitro: CJ-042794 competitively inhibits PGE2-evoked elevations of intracellular cAMP levels in HEK293 cells overexpressing human EP4 receptor with a mean pA2 value of 8.6. PGE2 inhibits the lipopolysaccharide (LPS)-induced production of tumor necrosis factor α (TNFα) in human whole blood (HWB); CJ-042794 reverses the inhibitory effects of PGE2 on LPS-induced TNFα production in a concentration-
dependent manner. [1]in vivo: CJ-42794 significantly delays the ulcer healing in rats and mice. The expression of VEGF in primary rat gastric fibroblasts was increased by PGE2 or AE1-329 (EP4 agonist), and these responses were both attenuated by coadministration of CJ-42794.[2]

REFERENCES
