BI-671800

Cat. No.: HY-114141
CAS No.: 1093108-50-9
Molecular Formula: C₂₅H₂₆F₃N₅O₃
Molecular Weight: 501.5
Target: Prostaglandin Receptor
Pathway: GPCR/G Protein
Storage: 4°C, protect from light
* In solvent: -80°C, 6 months; -20°C, 1 month (protect from light)

SOLVENT & SOLUBILITY

In Vitro
DMSO: 135 mg/mL (269.19 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td></td>
<td>1.9940 mL</td>
<td>9.9701 mL</td>
<td>19.9402 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td></td>
<td>0.3988 mL</td>
<td>1.9940 mL</td>
<td>3.9880 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td></td>
<td>0.1994 mL</td>
<td>0.9970 mL</td>
<td>1.9940 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 >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.25 mg/mL (4.49 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.25 mg/mL (4.49 mM); Clear solution

BIOLICAL ACTIVITY

Description
BI-671800 is a highly specific and potent antagonist of chemoattractant receptor-homologous molecule on Th2 cells (DP2/CRTH2), with IC₅₀ values of 4.5 nM and 3.7 nM for PGD2 binding to CRTH2 in hCRTH2 and mCRTH2 transfected cells, respectively [1]. BI-671800 has potential for the treatment of poorly controlled asthma [2].

IC₅₀ & Target

<table>
<thead>
<tr>
<th>Target</th>
<th>IC₅₀</th>
</tr>
</thead>
<tbody>
<tr>
<td>hCRTH2</td>
<td>4.5 nM (IC₅₀ in CRTH2 transfected cells)</td>
</tr>
<tr>
<td>mCRTH2</td>
<td>3.7 nM (IC₅₀ in CRTH2 transfected cells)</td>
</tr>
</tbody>
</table>

In Vitro
BI-671800 (compound A) exhibits low nM potency as an antagonist of human or mouse CRTH2 in transfected cells [1].

In Vivo
BI-671800 (compound A, 0.1-10 mg/kg, i.g.) shows significant inhibition of AHR in mice [1].
BI-671800 (compound A), effectively blocks edema formation and greatly reduces the inflammatory infiltrate and skin pathology observed in drug vehicle-treated animals\(^3\).

**Animal Model:** 6-8-week-old age- and sex-matched BALB/c mice (mice were sensitized for 14 days, challenged intranasally)\(^1\).

**Dosage:** 10-0.1 mg/kg

**Administration:** Oral gavage for 4 weeks

**Result:** Shows significant inhibition of AHR in mice.

**REFERENCES**

