Glucocorticoid receptor agonist

Cat. No.: HY-14234
CAS No.: 1245526-82-2
Molecular Formula: \( \text{C}_{20}\text{H}_{20}\text{F}_{4}\text{N}_{2}\text{O}_{2} \)
Molecular Weight: 396.38
Target: Glucocorticoid 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: \( \geq 100 \text{ mg/mL} \) (252.28 mM)

*“\( \geq \)” means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>2.5228 mL</td>
<td>12.6142 mL</td>
<td>25.2283 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.5046 mL</td>
<td>2.5228 mL</td>
<td>5.0457 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2523 mL</td>
<td>1.2614 mL</td>
<td>2.5228 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 \( \gg \) 40% PEG300 \( \gg \) 5% Tween-80 \( \gg \) 45% saline
   - Solubility: \( \geq 2.5 \text{ mg/mL} \) (6.31 mM); Clear solution
2. Add each solvent one by one: 10% DMSO \( \gg \) 90% (20% SBE-\( \beta \)-CD in saline)
   - Solubility: \( \geq 2.5 \text{ mg/mL} \) (6.31 mM); Clear solution
3. Add each solvent one by one: 10% DMSO \( \gg \) 90% corn oil
   - Solubility: \( \geq 2.5 \text{ mg/mL} \) (6.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Glucocorticoid receptor agonist is a potent Glucocorticoid receptor agonist. IC50 value:

PROTOCOL

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Animal administration [1] Female Balb/c mice weighing approximately 20 g were used. Mice were administered the test compound and in Cremophor (po) approximately 60 min prior to LPS/D-gal administration. The volume of oral gavage was 0.15 mL. Then mice were administered LPS (E. coli LPS 055:85, 1.0 μg/mouse) plus D-gal (50 mg/kg) intravenously in 0.2 mL of pyrogen-free saline. One hour after LPS/D-gal, each mouse was anesthetized, bled by cardiac puncture, and collected for serum TNF-R and compound levels. Blood samples were centrifuged at 2500 rpm for 10-15 min, the serum was decanted, and samples were stored frozen at -70°C until transfer either for TNF-R determination or to Drug Metabolism and Pharmacokinetics for plasma concentration analysis by HPLC. The concentration of TNF-R in the serum was measured by a commercially available ELISA kit. ELISA was performed. All samples are assayed in duplicate.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES