Mavoglurant

Cat. No.: HY-15257
CAS No.: 543906-09-8
Molecular Formula: C₁₉H₂₃NO₃
Molecular Weight: 313.39
Target: mGluR
Pathway: GPCR/G Protein; Neuronal Signaling
Storage:
- Powder: -20°C 3 years
- 4°C: 2 years
- In solvent: -80°C 2 years, -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro
DMSO: 120 mg/mL (382.91 mM; Need ultrasonic)

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>3.1909 mL</td>
<td>15.9546 mL</td>
<td>31.9091 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.6382 mL</td>
<td>3.1909 mL</td>
<td>6.3818 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.3191 mL</td>
<td>1.5955 mL</td>
<td>3.1909 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: ≥ 3 mg/mL (9.57 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3 mg/mL (9.57 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3 mg/mL (9.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Mavoglurant (AFQ056) is a potent, selective, non-competitive and orally active mGluR5 antagonist, with an IC₅₀ of 30 nM. Mavoglurant shows a >300 fold selectivity for the mGluR5 over all targets (238) tested. Mavoglurant can be used for the research of Fragile X syndrome (FXS), and L-dopa induced dyskinesias in Parkinson's disease[1][2]. Mavoglurant is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAC) with molecules containing Azide groups.

IC₅₀ & Target
mGluR5
In Vitro

Mavoglurant (1 nM-10 μM; 10 min) fully antagonizes hMGluR5-mediated responses with IC₅₀s of 110 and 30 nM in Ca²⁺- and PI-turnover assays in L(tk⁻) cells stably expressing mGluR5a[1].

Mavoglurant (0.01 nM-10 μM) displaces the binding of the allosteric binding ligand [³H]-AAE327 in a concentration-dependent manner in rat brain membranes, with an IC₅₀ of 47 nM[1].

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

In Vivo

Mavoglurant (0.1-10 mg/kg; a single p.o.) inhibits the stress-induced hyperthermia (SIH) in a dose-dependent manner in mice[1].

Mavoglurant (9.4 mg/kg; a single p.o.) exhibits moderate oral bioavailability (32%), terminal half-life (2.9 h) and C_max (plasma; brain) (950 pmol/mL; 3500 pmol/g)[1].

Mavoglurant (3.1 mg/kg; a single i.v.) exhibits terminal half-life (0.69 h), C_max (plasma; brain) (3330 pmol/mL; 8400 pmol/g) and T_max (≤0.08 h)[1].

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

<table>
<thead>
<tr>
<th>Animal Model</th>
<th>Male OF1/IC mice[1]</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage</td>
<td>0.1, 1, 10 mg/kg</td>
</tr>
<tr>
<td>Administration</td>
<td>A single p.o. administration</td>
</tr>
<tr>
<td>Result</td>
<td>Attenuated the stress-induced hyperthermia.</td>
</tr>
<tr>
<td></td>
<td>Was comparable to the positive control Chlordiazepoxide.</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th>Animal Model</th>
<th>Male Sprague-Dawley rats (175-250 g)[1]</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage</td>
<td>3.1 mg/kg for i.v.; 9.4 mg/kg for p.o. (Pharmacokinetic Analysis)</td>
</tr>
<tr>
<td>Administration</td>
<td>A single i.v. or p.o. administration</td>
</tr>
<tr>
<td>Result</td>
<td>P.o.: F=32%; T₁/₂=2.9 h; T_max≤0.25 h.</td>
</tr>
<tr>
<td></td>
<td>I.v.: T₁/₂=0.69 h; C_max (plasma/brain)=3330 pmol·mL⁻¹/8400 pmol·g⁻¹; T_max≤0.08 h.</td>
</tr>
</tbody>
</table>

Customer Validation


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References


