Clemastine fumarate

Cat. No.: HY-B0298A
CAS No.: 14976-57-9
Molecular Formula: C₂₅H₃₀ClNO₅
Molecular Weight: 459.96
Target: Histamine Receptor; Autophagy
Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling; Autophagy
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: 14.29 mg/mL (31.07 mM; Need ultrasonic)
- H₂O: 0.67 mg/mL (1.46 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Concentration</th>
<th>Mass (1 mg)</th>
<th>Mass (5 mg)</th>
<th>Mass (10 mg)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.1741 mL</td>
<td>10.8705 mL</td>
<td>21.7410 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4348 mL</td>
<td>2.1741 mL</td>
<td>4.3482 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2174 mL</td>
<td>1.0871 mL</td>
<td>2.1741 mL</td>
</tr>
</tbody>
</table>

Preparing Stock Solutions

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.43 mg/mL (3.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.43 mg/mL (3.11 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.43 mg/mL (3.11 mM); Clear solution

**BIOLOGICAL ACTIVITY**

**Description**
Clemastine (fumarate) (HS-592 (fumarate)) is a selective histamine H1 receptor antagonist with IC₅₀ of 3 nM.

**IC₅₀ & Target**
Histamine H1 Receptor[1].

**In Vitro**
Clemastine (fumarate) (HS-592 (fumarate)) inhibits histamine induced rise in [Ca²⁺] in HL-60 cells with an IC₅₀ of 3 nM as compared with that of chlorpheniramine or diphenhydramine with IC₅₀ values of 20 nM and 100 nM, respectively[1].
Clemastine showed a first-pass reduction in the extent of absorption, with oral bioavailability calculated as 39.2 +/- 12.4%. Extravascular distribution of drug was suggested by the high volume of distribution (799 +/- 315 L) and low Cmax (0.577 +/- 0.252 ng/mL/mg) observed at 4.77 +/- 2.26 hours after administration, and by the biphasic decline in plasma concentration. The terminal elimination half-life (t1/2) of clemastine was 21.3 +/- 11.6 hours. Steady-state concentrations of clemastine were consistent with linear pharmacokinetic processes, and clearance was unaffected by age in the range studied, or by race[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES


Customer Validation

- Cell Prolif. 2020 Nov 19;e12953.
- Biochem Biophys Res Commun. 2019 Dec 2. pii: S0006-291X(19)32185-0.

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