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; Autophagy
Storage: Pure form -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>Preparing Stock Solutions</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>Solvent Concentration</td>
<td></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>1 mM</td>
<td>2.1741 mL</td>
<td>10.8705 mL</td>
<td>21.7410 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4348 mL</td>
<td>2.1741 mL</td>
<td>4.3482 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2174 mL</td>
<td>1.0871 mL</td>
<td>2.1741 mL</td>
<td></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: ≥ 1.43 mg/mL (3.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.43 mg/mL (3.11 mM); Clear solution
3. 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

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⁺]ᵢ in HL-60 cells with an IC₅₀ of 3
nM as compared with that of chlorpheniramine or diphenhydramine with IC\textsubscript{50} values of 20 nM and 100 nM, respectively\cite{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 (t\textsubscript{1/2}) 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\cite{2}.

REFERENCES

\cite{1}. Seifert, R., et al., Histamine increases cytosolic Ca\textsuperscript{2+} in dibutyryl-cAMP-differentiated HL-60 cells via H1 receptors and is an incomplete secretagogue. Mol Pharmacol, 1992. 42(2): p. 227-34.


Caution: Product has not been fully validated for medical applications. For research use only.

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