Clemastine fumarate

Cat. No.: HY-B0298A

CAS No.: 14976-57-9

Molecular Formula: $C_{25}H_{30}ClNO_5$

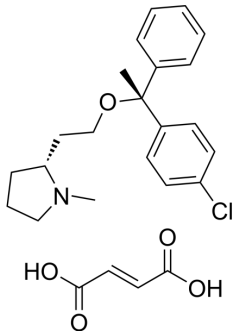
Molecular Weight: 459.96

Target: Histamine Receptor

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 1 year; -20°C, 6 months (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 14.29 mg/mL (31.07 mM; Need ultrasonic)

H_2O : 0.67 mg/mL (1.46 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1741 mL	10.8705 mL	21.7410 mL
5 mM	0.4348 mL	2.1741 mL	4.3482 mL
10 mM	0.2174 mL	1.0871 mL	2.1741 mL

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% (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
4. Add each solvent one by one: PBS
   Solubility: 1.43 mg/mL (3.11 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

BIOLOGICAL ACTIVITY

Description

Clemastine (HS-592) fumarate is a selective histamine H1 receptor antagonist. Clemastine fumarate is an antihistamine mainly used for relieving symptoms of allergic reactions primarily by competing with histamine to bind H1 receptors. Anti-inflammatory effects[1][2].

IC_{50} & Target

H_1 Receptor

In Vitro	Clemastine (fumarate) (HS-592 (fumarate)) inhibits histamine induced rise in $[Ca^{2+}]i$ in HL-60 cells with an IC_{50} of 3 nM as compared with that of chlorpheniramine or diphenhydramine with IC_{50} 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.

CUSTOMER VALIDATION

- Cell Prolif. 2021 Jan;54(1):e12953.
- Prog Neuropsychopharmacol Biol Psychiatry. 2023 Nov 28:110901.
- Viruses. 2021 Jun 28;13(7):1255.
- Microbiol Spectr. 2022 Mar 2;e0054121.
- Biochem Biophys Res Commun. 2020 Feb 19;522(4):862-868.

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REFERENCES

[1]. Seifert, R., et al., Histamine increases cytosolic Ca2+ in dibutyryl-cAMP-differentiated HL-60 cells via H1 receptors and is an incomplete secretagogue. Mol Pharmacol, 1992. 42(2): p. 227-34.

[2]. Clemastine. In: LiverTox: Clinical and Research Information on Drug-Induced Liver Injury. Bethesda (MD): National Institute of Diabetes and Digestive and Kidney Diseases; January 16, 2017.

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