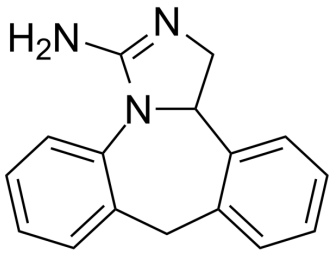
Epinastine

Cat. No.:	HY-B0640
CAS No.:	80012-43-7
Molecular Formula:	$C_{16}H_{15}N_3$
Molecular Weight:	249.31
Target:	Histamine Receptor
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling
Storage:	4°C, protect from light, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (200.55 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.0111 mL	20.0554 mL	40.1107 mL
5 mM	0.8022 mL	4.0111 mL	8.0221 mL
10 mM	0.4011 mL	2.0055 mL	4.0111 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: ≥ 2.5 mg/mL (10.03 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.03 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Epinastine (WAL801) is an antihistamine and mast cell stabilizer. Epinastine is a potent, selective and orally-active histamine H1 receptor antagonist. Epinastine also inhibits IL-8 release and has an antiallergic action[1][2][3][4].

In Vitro

Epinastine is able to displace specific [^{3}H]NC-5Z binding at low concentrations in the locust nervous tissue. Epinastine binds to the honey bees neuronal octopamine receptor with K_i of 1.1 nM. Epinastine antagonises octopamine-induced cAMP formation in the insect brain[2].

	Epinastine causes an inhibition of histamine release from rat peritoneal mast cells induced by both antigen-antibody reaction and compound 48/80. Epinastine is similarly effective in inhibiting compound 48/80-induced histamine release not only from isolated rat peritoneal mast cells but also from rat mesenterial pieces. Epinastine is effective in inhibiting not only Ca^{2+} uptake into lung mast cells in actively sensitized guinea pigs but also Ca^{2+} release from the intracellular Ca store of rat peritoneal mast cells exposed to both compound 48/80 and substance P[3]. Epinastine shows a dose- and time-dependent suppressive effect on IL-8, one of the chemokines for eosinophils, released from eosinophils isolated from atopic diseases[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Epinastine shows a high affinity to H1-receptors in receptor binding studies in the guinea pig ileum. Epinastine inhibits histamine-induced reactions in the skin or the lung of rats, dogs and guinea pigs[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Fugner, A., et al., In vitro and in vivo studies of the non-sedating antihistamine epinastine. Arzneimittelforschung, 1988. 38(10): p. 1446-53.

[2]. Roeder, T., J. Degen, and M. Gewecke, Epinastine, a highly specific antagonist of insect neuronal octopamine receptors. Eur J Pharmacol, 1998. 349(2-3): p. 171-7.

[3]. Kamei, C., et al., Antiallergic effect of epinastine (WAL 801 CL) on immediate hypersensitivity reactions: (I). Elucidation of the mechanism for histamine release inhibition. Immunopharmacol Immunotoxicol, 1992. 14(1-2): p. 191-205.

[4]. Kohyama, T., et al., A novel antiallergic drug epinastine inhibits IL-8 release from human eosinophils. Biochem Biophys Res Commun, 1997. 230(1): p. 125-8.

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