Emedastine

Cat. No.: HY-108411

CAS No.: 87233-61-2

Molecular Formula: $C_{17}H_{26}N_4O$

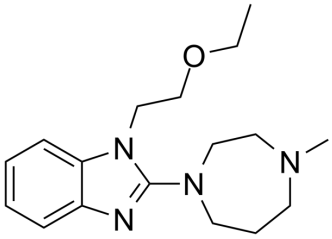
Molecular Weight: 302.41

Target: Histamine Receptor

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

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 : 125 mg/mL (413.35 mM; Need ultrasonic)
Ethanol : 100 mg/mL (330.68 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.3068 mL	16.5338 mL	33.0677 mL
5 mM	0.6614 mL	3.3068 mL	6.6135 mL
10 mM	0.3307 mL	1.6534 mL	3.3068 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: ≥ 2.08 mg/mL (6.88 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.88 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.88 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Emedastine is an orally active, selective and high affinity histamine H_1 receptor antagonist with a K_i value of 1.3 nM. Emedastine is a benzimidazole derivative with potent antiallergic properties and used for allergic rhinitis, allergic skin diseases and allergic conjunctivitis[1][2][3].

IC_{50} & Target

H_1 Receptor	H_2 Receptor	H_3 Receptor
1.3 nM (Ki)	49067 nM (Ki)	12430 nM (Ki)

In Vitro	Emedastine inhibits histamine H_2 receptor (K_i=49067 nM) and histamine H_3 receptor (K_i=12430 nM)[1]. High concentrations of Emedastine (1 and 10 ng/ml) significantly inhibits type 1 collagen production in normal human dermal fibroblasts[2]. Emedastine (1, 10, 100, 1000 nM) at concentrations of ≥ 10 nM inhibits CC chemokine-elicited eosinophil migration[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Emedastine (0.03, 0.1, 0.3 mg/kg; orally; pretreatment of 30 min) significantly suppresses histamine-induced scratching with 0.1 and 0.3 mg/kg but not 0.03 mg/kg[3]. Pretreatment with Emedastine (0.03, 0.1, 0.3 mg/kg; orally) significantly inhibits the scratching induced by substance P and leukotriene B[3]. Emedastine (0.3 mg/kg, p.o.) produces significant inhibition of passive peritoneal anaphylaxis in guinea-pigs[2]. Emedastine inhibits histamine-induced contractions of isolated ileum (IC_{50}=6.1 nM)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male ICR mice 5-6 weeks of age[3]
Dosage:	0.03, 0.1, 0.3 mg/kg
Administration:	Orally; 30 min before pruritogen injection
Result:	Significantly suppressed histamine-induced scratching with pretreatment of 0.1 and 0.3 mg/kg.

REFERENCES

[1]. Sharif NA, et al. Emedastine: a potent, high affinity histamine H1-receptor-selective antagonist for ocular use: receptor binding and second messenger studies. J Ocul Pharmacol. 1994 Winter;10(4):653-64.

[2]. Murota H, et al. Emedastine difumarate: a review of its potential ameliorating effect for tissue remodeling in allergic diseases. Expert Opin Pharmacother. 2009 Aug;10(11):1859-67.

[3]. Andoh T, et al. Involvement of blockade of leukotriene B(4) action in anti-pruritic effects of emedastine in mice. Eur J Pharmacol. 2000 Oct 6;406(1):149-52.

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