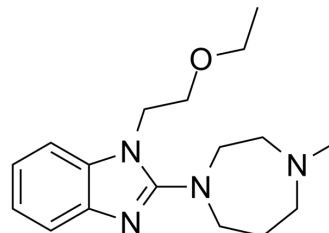


Emedastine

Cat. No.:	HY-108411		
CAS No.:	87233-61-2		
Molecular Formula:	C ₁₇ H ₂₆ N ₄ O		
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 Concentration	Mass		
		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

- 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
- 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
- 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 H₁ 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]}.

IC₅₀ & Target

H ₁ Receptor 1.3 nM (K _i)	H ₂ Receptor 49067 nM (K _i)	H ₃ Receptor 12430 nM (K _i)
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<p>In Vitro</p>	<p>Emedastine inhibits histamine H₂ receptor (K_i=49067 nM) and histamine H₃ 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.</p>								
<p>In Vivo</p>	<p>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 (IC₅₀=6.1 nM)^[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" data-bbox="347 590 1515 863"> <tr> <td data-bbox="347 590 618 653">Animal Model:</td> <td data-bbox="618 590 1515 653">Male ICR mice 5-6 weeks of age^[3]</td> </tr> <tr> <td data-bbox="347 653 618 716">Dosage:</td> <td data-bbox="618 653 1515 716">0.03, 0.1, 0.3 mg/kg</td> </tr> <tr> <td data-bbox="347 716 618 779">Administration:</td> <td data-bbox="618 716 1515 779">Orally; 30 min before pruritogen injection</td> </tr> <tr> <td data-bbox="347 779 618 863">Result:</td> <td data-bbox="618 779 1515 863">Significantly suppressed histamine-induced scratching with pretreatment of 0.1 and 0.3 mg/kg.</td> </tr> </table>	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.
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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 B₄ action in anti-pruritic effects of emedastine in mice. *Eur J Pharmacol.* 2000 Oct 6;406(1):149-52.

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

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