Emedastine difumarate

Cat. No.: HY-B2178

CAS No.: 87233-62-3

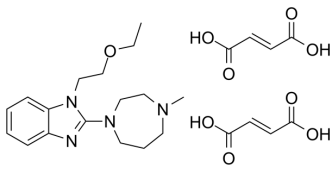
Molecular Formula: $C_{25}H_{34}N_4O_9$

Molecular Weight: 534.56

Target: Histamine Receptor

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

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Emedastine difumarate is an orally active, selective and high affinity histamine H_1 receptor antagonist with a K_i value of 1.3 nM. Emedastine difumarate 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 difumarate inhibits histamine H_2 receptor (K_i=49067 nM) and histamine H_3 receptor (K_i=12430 nM)[1].
High concentrations of Emedastine difumarate (1 and 10 ng/ml) significantly inhibits type 1 collagen production in normal human dermal fibroblasts[2].
Emedastine difumarate (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 difumarate (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 difumarate (0.03, 0.1, 0.3 mg/kg; orally) significantly inhibits the scratching induced by substance P and leukotriene B[3].
Emedastine difumarate (0.3 mg/kg, p.o.) produces significant inhibition of passive peritoneal anaphylaxis in guinea-pigs[2].
Emedastine difumarate 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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