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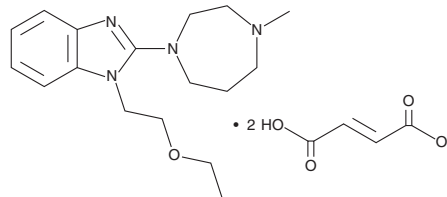
PRODUCT INFORMATION



Emedastine (fumarate)

Item No. 23946

CAS Registry No.: 87233-62-3
Formal Name: 1-(2-ethoxyethyl)-2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-1H-benzimidazole, 2E-butenedioate (1:2)
Synonyms: AL 3432A, LY188695
MF: C₁₇H₂₆N₄O • 2C₄H₄O₄
FW: 534.6
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Emedastine (fumarate) is supplied as a solid. A stock solution may be made by dissolving the emedastine (fumarate) in the solvent of choice, which should be purged with an inert gas. Emedastine (fumarate) is slightly soluble in methanol and DMSO.

Description

Emedastine is a histamine H₁ receptor antagonist (K_i = 1.3 nM).¹ It is selective for histamine H₁ over H₂ and H₃ receptors (K_is = 49 and 12.43 μM, respectively), as well as α₁-, α₂-, and β₁-adrenergic and dopamine D₁ and D₂ receptors, and the serotonin (5-HT) receptor subtypes 5-HT₁ and 5-HT₂ at 10 μM.^{1,2} Emedastine inhibits histamine-induced phosphoinositide turnover and intracellular calcium mobilization in primary human conjunctival epithelial cells (HCECs; IC₅₀s = 1.6 and 2.9 nM, respectively).³ It also inhibits histamine-stimulated secretion of IL-6, IL-8, and GM-CSF by primary HCECs (IC₅₀s = 2.23, 3.42, and 1.50 nM, respectively).⁴ Ocular application of emedastine prior to histamine challenge inhibits vascular permeability in guinea pigs.² Formulations containing emedastine have been used in the treatment of allergic conjunctivitis.

References

1. Sharif, N.A., Su, S.X., and Yanni, J.M. Emedastine: A potent, high affinity histamine H₁-receptor-selective antagonist for ocular use: Receptor binding and second messenger studies. *J. Ocul. Pharmacol.* **10(4)**, 653-664 (1994).
2. Yanni, J.M., Stephens, D.J., Parnell, D.W., et al. Preclinical efficacy of emedastine, a potent, selective histamine H₁ antagonist for topical ocular use. *J. Ocul. Pharmacol.* **10(4)**, 665-675 (1994).
3. Sharif, N.A., Xu, S.X., Magnino, P.E., et al. Human conjunctival epithelial cells express histamine-1 receptors coupled to phosphoinositide turnover and intracellular calcium mobilization: Role in ocular allergic and inflammatory diseases. *Exp. Eye Res.* **63(2)**, 169-178 (1996).
4. Weimer, L.K., Gamache, D.A., and Yanni, J.M. Histamine-stimulated cytokine secretion from human conjunctival epithelial cells: Inhibition by the histamine H₁ antagonist emedastine. *Int. Arch. Allergy. Immunol.* **115(4)**, 288-293 (1998).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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