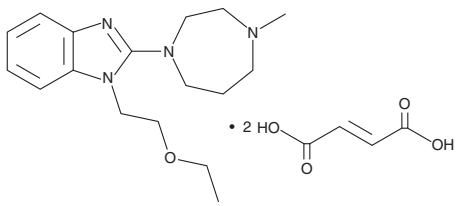
PRODUCT INFORMATION

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: $C_{17}H_{26}N_4O \bullet 2C_4H_4O_4$
FW: 534.6
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 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 H_1 receptor antagonist (K_i = 1.3 nM).[1] It is selective for histamine H_1 over H_2 and H_3 receptors (K_is = 49 and 12.43 µM, respectively), as well as α_1-, α_2-, and β_1-adrenergic and dopamine D_1 and D_2 receptors, and the serotonin (5-HT) receptor subtypes 5-HT_1 and 5-HT_2 at 10 µM.[1,2] Emedastine inhibits histamine-induced phosphoinositide turnover and intracellular calcium mobilization in primary human conjunctival epithelial cells (HCECs; IC_{50}s = 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 (IC_{50}s = 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 H_1-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 H_1 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 H_1 antagonist emedastine. *Int. Arch. Allergy. Immunol.* **115(4)**, 288-293 (1998).

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