**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_{4}O • 2C_{4}H_{4}O_{4}  
**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.*

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**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 potent and selective histamine H\textsubscript{1} receptor antagonist with 37,744-fold and 9,562-fold selectivity over H\textsubscript{2} and H\textsubscript{3}, respectively (K\textsubscript{i}s = 1.3, 49,067, and 12,430 nM for H\textsubscript{1}, H\textsubscript{2}, and H\textsubscript{3}, respectively).\textsuperscript{1} It is selective for H\textsubscript{1} receptors with less than 50% inhibition of a\textsubscript{1}, a\textsubscript{2}, and β\textsubscript{2}-adrenergic, dopamine D\textsubscript{1} and D\textsubscript{2}, and serotonin 5-HT\textsubscript{2} and 5-HT\textsubscript{1} receptors at a concentration of 10 µM.\textsuperscript{2} It inhibits histamine-induced phosphoinositide turnover and intracellular calcium mobilization in primary human conjunctival epithelial cells (HCECs; IC\textsubscript{50}s = 1.6 and 2.9 nM, respectively).\textsuperscript{3} Emedastine inhibits histamine-stimulated cytokine secretion by primary HCECs, including IL-6, IL-8, and GM-CSF secretion (IC\textsubscript{50}s = 2.23, 3.42, and 1.50 nM, respectively).\textsuperscript{4} In guinea pigs, ocular application of emedastine 4 hours after histamine challenge inhibits vascular permeability with an ED\textsubscript{50} value of 0.019% w/v.\textsuperscript{2} Formulations containing emedastine have been used in the treatment of allergic conjunctivitis.

**References**