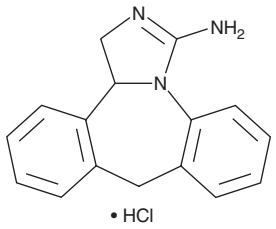
PRODUCT INFORMATION

Epinastine (hydrochloride)

Item No. 18136

CAS Registry No.:	108929-04-0
Formal Name:	9,13b-dihydro-1H-dibenz[c,f] imidazo[1,5-a]azepin-3-amine, monohydrochloride
Synonym:	WAL 801CL
MF:	$C_{16}H_{15}N_3$ • HCl
FW:	285.8
Purity:	≥98%
Supplied as:	A crystalline 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

Epinastine (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the epinastine (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Epinastine (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of epinastine (hydrochloride) in these solvents is approximately 30 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of epinastine (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of epinastine (hydrochloride) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Epinastine is a histamine H_1 receptor antagonist (apparent K_is = 1.41 and 1.62 nM using guinea pig cerebellar and lung membranes, respectively) and mast cell stabilizer.[1,2] It inhibits IgE-induced histamine, TNF-α, and IL-10 secretion in human cord blood stem cell-derived mast cells (CBMCs) when used at a concentration of 0.1 µg/ml.[2] Epinastine inhibits histamine-induced cutaneous vascular permeability in rats and bronchoconstriction in anesthetized guinea pigs (ID_{50}s = 5 and 0.1 mg/kg, respectively).[3] It inhibits dye leakage into the conjunctiva in a rat model of passive anaphylaxis reaction-induced vascular hyperpermeability of the conjunctiva (ID_{50} = 9.7 mg/kg, p.o.).[4] Topical administration of formulations containing epinastine (0.05% three times per day) reduces lid edema, tearing, and redness, as well as the number of neutrophils and eosinophils in the lid fornix, in a mouse model of atopic conjunctivitis.[2] Formulations containing epinastine have been used in the prevention of itching associated with allergic conjunctivitis.

References

1. Ter Laak, A.M., Donné-Op den Kelder, G.M., Bast, A., *et al. Eur. J. Pharmacol.* **232(2-3)**, 199-205 (1993).
2. Galatowicz, G., Ajayi, Y., Stern, M.E., *et al. Clin. Exp. Allergy* **37(11)**, 1648-1656 (2007).
3. Matsushita, K., Nobutoshi, A., and Aritake, K. *Jpn. J. Pharmacol.* **78(1)**, 11-22 (1998).
4. Tamura, T., Sato, H., Miki, I., *et al. Allergol. Int.* **52(2)**, 77-83 (2003).

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