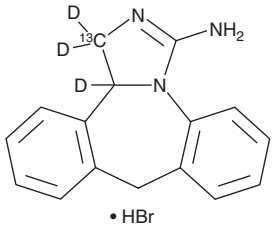
PRODUCT INFORMATION

Epinastine-^{13}C-d$_3$ (hydrobromide)

Item No. 30089

Formal Name: 9,13b-dihydro-1H-dibenzo[c,f] imidazo[1,5-a]azepin-1-^{13}C-1,1,13b-d$_3$-3-amine, monohydrobromide
Synonym: WAL 801CL-^{13}C-d$_3$
MF: $C_{15}[^{13}C]H_{12}D_3N_3 \bullet HBr$
FW: 334.2
Chemical Purity: ≥98% (Epinastine)
Deuterium Incorporation: ≥99% deuterated forms (d$_1$-d$_3$); ≤1% d$_0$
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

Epinastine-^{13}C-d$_3$ (hydrobromide) is intended for use as an internal standard for the quantification of epinastine (Item No. 18136) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Epinastine-^{13}C-d$_3$ (hydrobromide) is supplied as a solid. A stock solution may be made by dissolving the epinastine-^{13}C-d$_3$ (hydrobromide) in the solvent of choice. Epinastine-^{13}C-d$_3$ (hydrobromide) is soluble in organic solvents such as DMSO, which should be purged with an inert gas.

Description

Epinastine is a histamine H$_1$ receptor antagonist (K$_{iapp}$s = 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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