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PRODUCT INFORMATION



Epinastine-¹³C-d₃ (hydrobromide)

Item No. 30089

Formal Name: 9,13b-dihydro-1H-dibenzo[c,f]imidazo[1,5-a]azepin-1-¹³C-1,1,13b-d₃-3-amine, monohydrobromide

Synonym: WAL 801CL-¹³C-d₃

MF: C₁₅[¹³C]H₁₂D₃N₃ • HBr

FW: 334.2

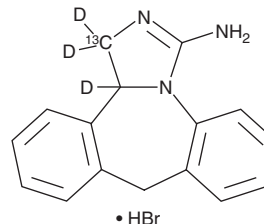
Chemical Purity: ≥98% (Epinastine)

Deuterium Incorporation: ≥99% deuterated forms (d₁-d₃); ≤1% d₀

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-¹³C-d₃ (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-¹³C-d₃ (hydrobromide) is supplied as a solid. A stock solution may be made by dissolving the epinastine-¹³C-d₃ (hydrobromide) in the solvent of choice. Epinastine-¹³C-d₃ (hydrobromide) is soluble in organic solvents such as DMSO, which should be purged with an inert gas.

Description

Epinastine is a histamine H₁ receptor antagonist (K_{i,app}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 (ID₅₀s = 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 (ID₅₀ = 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).

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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