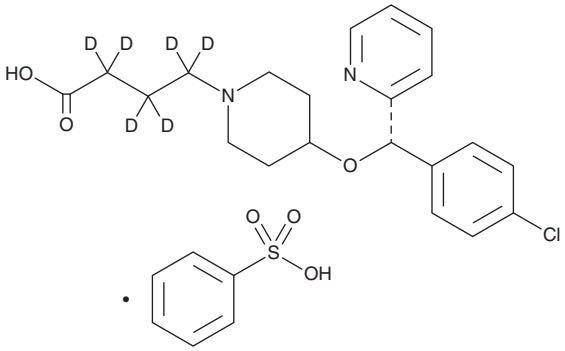
PRODUCT INFORMATION

Bepotastine-d_6 (besylate)

Item No. 40233

CAS Registry No.: 2469626-71-7
Formal Name: 4-[(S)-(4-chlorophenyl)-2-pyridinylmethoxy]-1-piperidinebutanoic-d_6 acid, monobenzenesulfonate
Synonym: Bepotastine besilate-d_6
MF: $C_{21}H_{19}ClD_6N_2O_3 \bullet C_6H_6O_3S$
FW: 553.1
Chemical Purity: ≥95% (Bepotastine)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_6); ≤1% d_0
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

Bepotastine-d_6 (besylate) is intended for use as an internal standard for the quantification of bepotastine (Item No. 23721) 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).

Bepotastine-d_6 (besylate) is supplied as a solid. A stock solution may be made by dissolving the bepotastine-d_6 (besylate) in the solvent of choice, which should be purged with an inert gas. Bepotastine-d_6 (besylate) is slightly soluble in DMSO (warmed) and methanol.

Description

Bepotastine is an antagonist of the histamine H_1 receptor that is selective over H_3, α_1-, α_2-, and β-adrenergic, dopamine D_{2long}, serotonin 5-HT_2, muscarinic acetylcholine, and benzodiazepine receptors.[1] It reduces dye leakage from the nasal passages of rats acutely sensitized to an antigen (ED_{50} = 0.03 mg/kg) and inhibits histamine-induced bronchoconstriction in the anesthetized dog (ED_{50} = 3.2 µg/kg).[2,3] Bepotastine prevents conjunctival vascular hyperpermeability in a guinea pig model of conjunctivitis in a dose-dependent manner.[4] Formulations containing bepotastine have been used in the treatment of itching associated with allergic conjunctivitis.

References

1. Kato, M., Nishida, A., Aga, Y., *et al.* Pharmacokinetic and pharmacodynamic evaluation of central effect of the novel antiallergic agent betotastine besilate. *Arzneimittelforschung.* **47(10)**, 1116-1124 (1997).
2. Murata, T., Matsumoto, Y., Suzuki, T., *et al.* Effect of betotastine besilate (TAU-284), a novel anti-allergic agent, on experimental allergic rhinitis. *Arerugi* **46(7)**, 576-584 (1997).
3. Matsubara, S., Ono, C., Yamazaki, N., *et al.* Inhibitory effects of bepotastine, a novel anti-allergic drug on histamine-induced bronchoconstriction in anesthetized dogs. *Yakuri to Chiryo* **25(4)**, 895-900 (1997).
4. Kida, T., Fuji, A., Sakai, O., *et al.* Bepotastine besilate, a highly selective histamine H_1 receptor antagonist, suppresses vascular hyperpermeability and eosinophil recruitment in in vitro and in vivo experimental allergic conjunctivitis models. *Exp. Eye Res.* **91(1)**, 85-91 (2010).

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