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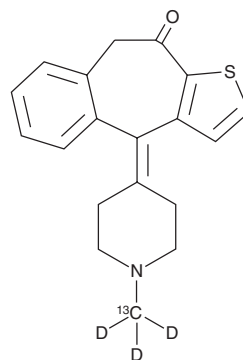
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PRODUCT INFORMATION



Ketotifen-¹³C-d₃ Item No. 28802

Formal Name: 4-(1-(methyl-¹³C-d₃)piperidin-4-ylidene)-4,9-dihydro-10H-benzo[4,5]cyclohepta[1,2-b]thiophen-10-one
MF: C₁₈[¹³C]H₁₆D₃NOS
FW: 313.4
Chemical Purity: ≥98% (Ketotifen)
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

Ketotifen-¹³C-d₃ is intended for use as an internal standard for the quantification of ketotifen (Item No. 20303) 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).

Ketotifen-¹³C-d₃ is supplied as a solid. A stock solution may be made by dissolving the ketotifen-¹³C-d₃ in the solvent of choice, which should be purged with an inert gas. Ketotifen-¹³C-d₃ is soluble in organic solvents such as methanol, DMSO, acetonitrile, and dimethyl formamide.

Description

Ketotifen is a histamine H₁ receptor antagonist (K_i = 1.3 nM) and mast cell stabilizer.^{1,2} It is selective for H₁ receptors over H₂ and H₃ receptors (K_s = 987 and 2,500 nM, respectively).¹ Ketotifen (50 and 100 μM) inhibits degranulation of rat peritoneal mast cells induced by compound 48/80 (Item No. 22173).² It inhibits the passive cutaneous anaphylaxis (PCA) reaction in rats by 54.6% when administered orally at a dose of 20 mg/kg.³ Ketotifen (30 mg/kg) inhibits the quick phase airway response in a rat ovalbumin-induced immediate airway response model.⁴ Formulations containing ketotifen have been used in the treatment of itching associated with allergic conjunctivitis.

References

1. Sharif, N.A., Xu, S.X., and Yanni, J.M. Olopatadine (AL-4943A): Ligand binding and functional studies on a novel, long acting H₁-selective histamine antagonist and anti-allergic agent for use in allergic conjunctivitis. *J. Ocul. Pharmacol. Ther.* **12(4)**, 401-407 (1996).
2. Baba, A., Tachi, M., Ejima, Y., *et al.* Anti-allergic drugs tranilast and ketotifen dose-dependently exert mast cell-stabilizing properties. *Cell Physiol. Biochem.* **38(1)**, 15-27 (2016).
3. Nishikawa, Y., Shindo, T., Ishi, K., *et al.* Acrylamide derivatives as antiallergic agents. III. Synthesis and structure-activity relationships of N-[4-(4-diphenylmethyl-1-piperazinyl)butyl]- and N-[4-(4-diphenylmethylene-1-piperidyl)butyl]-3-heteroarylacrylamides. *Chem. Pharm. Bull. (Tokyo)* **37(3)**, 684-687 (1989).
4. Miyagawa, N., Iwasaki, H., Kato, T., *et al.* Two pharmacological phases in antigen-induced immediate airway response in rats. *Biol. Pharm. Bull.* **32(12)**, 2260-2264 (2008).

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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