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Zuschläge

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- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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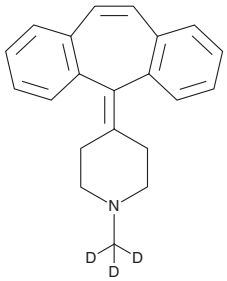
PRODUCT INFORMATION

Cyproheptadine-d_3

Item No. 29023

Formal Name:	4-(5H-dibenzo[a,d]cycloheptен-5-ylidene)-1-(methyl-d_3)-piperidine
MF:	$C_{21}H_{18}D_3N$
FW:	290.4
Chemical Purity:	≥98% (Cyproheptadine)
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

Cyproheptadine-d_3 is intended for use as an internal standard for the quantification of cyproheptadine (Item No. 19551) 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).

Cyproheptadine-d_3 is supplied as a solid. A stock solution may be made by dissolving the cyproheptadine-d_3 in the solvent of choice, which should be purged with an inert gas. Cyproheptadine-d_3 is soluble in methanol.

Description

Cyproheptadine is an antihistamine with antiserotonergic and anticholinergic activities.[1] It binds to histamine H_1, muscarinic, and serotonin 5-HT_2 receptors (K_is = 0.38, 1.26, and 0.83 nM, respectively, in radioligand binding assays).[2] Cyproheptadine reduces histamine-induced spasms in isolated guinea pig ileum (IC_{75} = 0.0014 µg/ml).[3] It protects against intravenous histamine diphosphate-induced death with a 50% protective dose (PD_{50}) value of 0.08 mg/kg and delays induction of aerosolized histamine diphosphate-induced coughing (ED_{100sec} = 0.29 mg/kg) in guinea pigs. Cyproheptadine also inhibits the lysine methyltransferase SET7/9 (IC_{50} = 1 µM), decreasing the expression of estrogen receptor α (ERα) in MCF-7 cells.[4] Formulations containing cyproheptadine have been used in the treatment of allergic reactions including rhinitis, conjunctivitis, and urticaria.

References

1. Greaves, M.W. Antihistamines. *Dermatol. Clin.* **19(1),** 53-62 (2001).
2. Kakiuchi, M., Ohashi, T., Musoh, K., *et al.* Studies on the novel antiallergic agent HSR-609: Its penetration into the central nervous system in mice and guinea pigs and its selectivity for the histamine H_1-receptor. *Jpn. J. Pharmacol.* **73(4),** 291-298 (1997).
3. Lish, P.M., Robbins, S.I., and Peters, E.L. Specificity of antihistamine drugs and involvement of the adrenergic system in histamine deaths in the guinea pig. *J. Pharmacol. Exp. Ther.* **153(3),** 538-543 (1966).
4. Takemoto, Y., Ito, A., Niwa, H., *et al.* Identification of cyproheptadine as an inhibitor of SET domain containing lysine methyltransferase 7/9 (Set7/9) that regulates estrogen-dependent transcription. *J. Med. Chem.* **59(8),** 3650-3660 (2016).

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