PRODUCT INFORMATION



Cyproheptadine-d₂

Item No. 29023

CAS Registry No.:	2712455-05-3	
Formal Name:	4-(5H-dibenzo[a,d]cyclohepten-5-	
	ylidene)-1-(methyl-d ₃)-piperidine	
MF:	C ₂₁ H ₁₈ D ₃ N	
FW:	290.4	
Chemical Purity:	≥98% (Cyproheptadine)	
Deuterium		
Incorporation:	≥99% deuterated forms (d ₁ -d ₃); ≤1% d ₀	N
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

Cyproheptadine-d₃ 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-d₃ is supplied as a solid. A stock solution may be made by dissolving the cyproheptadine-d₃ 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-HT₂ 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 (IC₇₅ = 0.0014 μ g/ml).³ It protects against intravenous histamine diphosphate-induced death with a 50% protective dose (PD₅₀) value of 0.08 mg/kg and delays induction of aerosolized histamine diphosphate-induced coughing ($ED_{100sec} = 0.29 \text{ mg/kg}$) in guinea pigs. Cyproheptadine also inhibits the lysine methyltransferase SET7/9 (IC₅₀ = 1 μ M), decreasing the expression of estrogen receptor α (ER α) 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).

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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