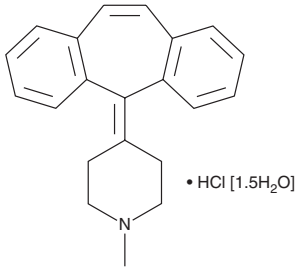
PRODUCT INFORMATION

Cyproheptadine (hydrochloride hydrate)

Item No. 19551

CAS Registry No.: 41354-29-4
Formal Name: 4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-methyl-piperidine, monohydrochloride, sesquihydrate
MF: $C_{21}H_{21}N \bullet HCl\ [1.5H_2O]$
FW: 350.9
Purity: ≥98%
UV/Vis.: λ_{max}: 225, 287 nm
Supplied as: A crystalline 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 (hydrochloride hydrate) is supplied as a crystalline solid. A stock solution may be made by dissolving the cyproheptadine (hydrochloride hydrate) in the solvent of choice, which should be purged with an inert gas. Cyproheptadine (hydrochloride hydrate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of cyproheptadine (hydrochloride hydrate) in these solvents is approximately 30 mg/ml.

Cyproheptadine (hydrochloride hydrate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, cyproheptadine (hydrochloride hydrate) should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Cyproheptadine (hydrochloride hydrate) has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

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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