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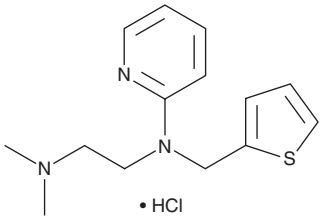
PRODUCT INFORMATION

Methapyrilene (hydrochloride)

Item No. 33434

CAS Registry No.: 135-23-9
Formal Name: N^1,N^1-dimethyl-N^2-2-pyridinyl-N^2-(2-thienylmethyl)-1,2-ethanediamine, monohydrochloride
MF: $C_{14}H_{19}N_3S \bullet HCl$
FW: 297.8
Purity: ≥98%
UV/Vis.: λ_{max}: 241 nm
Supplied as: A crystalline 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

Methapyrilene (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the methapyrilene (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Methapyrilene (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of methapyrilene (hydrochloride) in these solvents is approximately 1, 10, and 15 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of methapyrilene (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of methapyrilene (hydrochloride) in PBS (pH 7.2) is approximately 1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Methapyrilene is a histamine H_1 receptor antagonist (K_i = 4.5 nM) and non-genotoxic carcinogen.[1,2] Dietary administration of methapyrilene (0.1%) induces liver tumors in rats, with greater than 50% of rats incurring distant metastases.[3] It decreases the acetylation of histone 3 lysine 9 (H3K9) and H3K56, as well as the di- and trimethylation of H3K4 and H4K20, respectively, in rat liver when administered at 40 mg/kg per day for six weeks.[2] Methapyrilene also increases 4-hydroxy nonenal (4-NHE) protein adducts in rat liver. Formulations containing methapyrilene were previously used as sleep aids.

References

1. Tran, V.T., Chang, R.S.L., and Snyder, S.H. Histamine H_1 receptors identified in mammalian brain membranes with [^{3}H]mepyramine. *Proc. Natl. Acad. Sci. USA* **75(12)**, 6290-6294 (1978).
2. Shpyleva, S., Dreval, K., de Conti, A., *et al.* Editor's highlight: Organ-specific epigenetic changes induced by the nongenotoxic liver carcinogen methapyrilene in Fischer 344 rats. *Toxicol. Sci.* **156(1)**, 190-198 (2017).
3. Lijinsky, W., Reuber, M.D., and Blackwell, B.N. Liver tumors induced in rats by oral administration of the antihistaminic methapyrilene hydrochloride. *Science* **209(4458)**, 817-819 (1980).

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