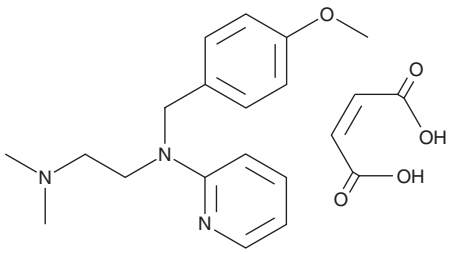
PRODUCT INFORMATION

Mepyramine (maleate)

Item No. 20978

CAS Registry No.:	59-33-6
Formal Name:	N^1-[(4-methoxyphenyl)methyl]-N^2,N^2-dimethyl-N^1-2-pyridinyl-1,2-ethanediamine, 2Z-butenedioate
Synonyms:	NSC 3604, Pyrilamine
MF:	$C_{17}H_{23}N_3O \bullet C_4H_4O_4$
FW:	401.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 245, 306 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

Mepyramine (maleate) is supplied as a crystalline solid. A stock solution may be made by dissolving the mepyramine (maleate) in the solvent of choice. Mepyramine (maleate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of mepyramine (maleate) in ethanol and DMSO is approximately 20 mg/ml and approximately 25 mg/ml in DMF.

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 mepyramine (maleate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of mepyramine (maleate) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Mepyramine is a first generation antihistamine that acts as an inverse agonist at the histamine H_1 receptor. It is reported to bind with high affinity to a $G_{q/11}$ protein-coupled form of the receptor and to promote a G protein-coupled inactive state of the H_1 receptor that interferes with the $G_{q/11}$-mediated signaling of the endogenously expressed receptor, as well as to reduce G protein availability for other non-related receptors associated with this signaling pathway.[1] Mepyramine has been shown to inhibit histamine-induced inositol phosphate production with a log EC_{50} value of -7.94.[1]

Reference

1. Fitzsimons, C.P., Monczor, F., Fernández, N., *et al.* Mepyramine, a histamine H_1 receptor inverse agonist, binds preferentially to a G protein-coupled form of the receptor and sequesters G protein. *J. Biol. Chem.* **279(33)**, 34431-34439 (2004).

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