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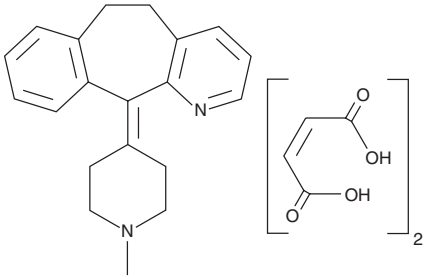
PRODUCT INFORMATION

Azatadine (maleate)

Item No. 29758

CAS Registry No.: 3978-86-7
Formal Name: 6,11-dihydro-11-(1-methyl-4-piperidinylidene)-5H-benzo[5,6]cyclohepta[1,2-b]pyridine, (2Z)-2-butenedioate
MF: $C_{20}H_{22}N_2 \bullet 2C_4H_4O_4$
FW: 522.6
Purity: ≥98%
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

Azatadine (maleate) is supplied as a solid. A stock solution may be made by dissolving the azatadine (maleate) in the solvent of choice, which should be purged with an inert gas. Azatadine (maleate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of azatadine (maleate) in DMSO and DMF is approximately 20 mg/ml and approximately 5 mg/ml in ethanol.

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 azatadine (maleate) can be prepared by directly dissolving the solid in aqueous buffers. The solubility of azatadine (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

Azatadine is an antihistamine with anticholinergic and antiserotonergic activities.[1,2] It is a histamine H_1 receptor antagonist (K_i = 3.9 nM).[3,4] Azatadine inhibits histamine- and acetylcholine-induced contractions in isolated guinea pig ilium and contractions induced by serotonin (5-HT; Item No. 14332) in isolated rat uterus (EC_{50}s = 6.5, 10, and 14 nM, respectively).[2] It delays the onset of dyspnea induced by aerosolized histamine, 5-HT, or acetylcholine in guinea pigs. Azatadine prevents histamine-induced lethality in guinea pigs and paw edema in mice (ED_{50}s = 9 and 68 µg/kg, respectively).[3] It increases survival in guinea pig and mouse models of anaphylactic shock with 50% protective dose (PD_{50}) values of 0.024 and 0.019 mg/kg, respectively.[2]

References

1. Barnett, A., Iorio, L.C., Kreutner, W., *et al*. Evaluation of the CNS properties of SCH 29851, a potential non-sedating antihistamine. *Agents Actions* **43(3-4)**, 149-156 (1994).
2. Tozzi, S., Roth, F.E., and Tabachnick, I.I.A. The pharmacology of azatadine, a potential antiallergy drug *Agents Actions* **4(4)**, 264-270 (1974).
3. Piwinski, J.J., Wong, J.K., Green, M.J., *et al*. Dual antagonists of platelet activating factor and histamine. Identification of structural requirements for dual activity of *N*-Acyl-4-(5,6-dihydro-llH-benzo[5,6]cyclohepta-[1,2-*b*]pyridin-11-y1idene)piperidines. *J. Med. Chem*. **34(1)**, 457-461 (1991).
4. Baroody, F.M. and Naclerio, R.M. Antiallergic effects of H1-receptor antagonists. *Allergy* **55(Suppl 64)**, 17-27 (2000).

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