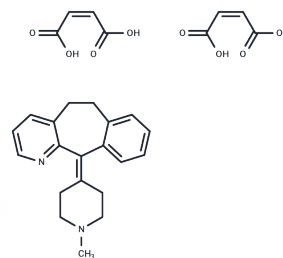


Azatadine dimaleate

Chemical Properties

CAS No. :	3978-86-7
Formula:	C ₂₈ H ₃₀ N ₂ O ₈
Molecular Weight:	522.55
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Azatadine dimaleate (SCH10649) is an inhibitor for histamine (IC ₅₀ =6.5 nM) and cholinergic (IC ₅₀ =10 nM) .
Targets(IC ₅₀)	5-HT Receptor,AChR,Histamine Receptor
In vitro	95% of Azatadine is biotransformed in Sabouraud dextrose broth within 72 hours treatment with two major metabolites, 7-hydroxyazatadine (25%) and 8-hydroxyazatadine (50%), and two minor metabolites, N-desmethyazatadine and 9-hydroxyazatadine. [2]
In vivo	Azatadine delays the onset of dyspnea-induced by aerosolized histamine, acetylcholine and serotonin in the conscious guinea-pig with PD ₅₀ of 0.01 mg/kg, 0.739 mg/kg and 0.86 mg/kg. Azatadine protects conscious guinea-pigs against death induced by the intravenous injection of histamine with oral PD ₅₀ of 0.009 mg/kg in guinea-pig and 0.22 mg/kg in mice. [1] Following a single oral dose of Azatadine (4 mg) in fasted volunteers, a C _{max} of 3 µg/L has been measured by RIA 4.2 hours after administration. Azatadine is almost completely metabolised by hydroxylation, demethylation and formation of zwitterion isomers by oxidative ring opening. Azatadine (8.8 mg oral) in healthy volunteers results in a C _{sub>max>} of 5.9 µg/L at 5.3 hours after treatment and bioavailability of 80%. [3] Azatadine (1 mg twice daily for 14 days) is effective in relieving the histamine-mediated symptoms of seasonal allergic rhinitis. [4]

Solubility Information

Solubility	H ₂ O: 96 mg/mL (183.71 mM),Sonication is recommended. DMSO: 97 mg/mL (185.63 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9137 mL	9.5685 mL	19.1369 mL
5 mM	0.3827 mL	1.9137 mL	3.8274 mL
10 mM	0.1914 mL	0.9568 mL	1.9137 mL
50 mM	0.0383 mL	0.1914 mL	0.3827 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

- Tozzi S, et al. Agents Actions, 1974, 4(4), 264-270.
Zhang D, et al. Appl Environ Microbiol, 1996, 62(9), 3477-3479.
Simons FE, et al. Clin Pharmacokinet, 1991, 21(5), 372-393.
Katelaris C, et al. Asian Pac J Allergy Immunol, 1990, 8(2), 103-107.

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