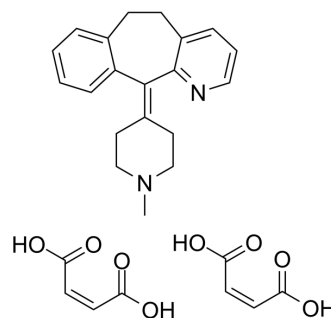


Azatadine dimaleate

Cat. No.:	HY-B0170A
CAS No.:	3978-86-7
Molecular Formula:	C ₂₈ H ₃₀ N ₂ O ₈
Molecular Weight:	522.55
Target:	Histamine Receptor
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H₂O : ≥ 100 mg/mL (191.37 mM)
 DMSO : ≥ 100 mg/mL (191.37 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: PBS
Solubility: 100 mg/mL (191.37 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (4.78 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (4.78 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (4.78 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Azatadine dimaleate is an histamine and cholinergic inhibitor with IC₅₀ of 6.5 nM and 10 nM, respectively. Target: Histamine Receptor. Azatadine, a new antihistamine, was evaluated for its efficacy in 20 patients with chronic allergic rhinitis. Eighty percent of patients had symptomatic relief with a twice daily dosage of 2 mg. Sedation was volunteered as a side effect by six of the patients and was admitted by two further patients after specific questioning. A choice reaction time test gave slowing of motor function in these sedated patients. Four of the previously sedated patients experienced good symptomatic

control with minimal sedation when the azatadine dose was reduced to 1 mg twice daily; slowing of motor function was not observed at this, the normal recommended dose. Azatadine delays the onset of dyspnea-induced by aerosolized histamine, acetylcholine and serotonin in the conscious guinea-pig with PD50 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 PD50 of 0.009 mg/kg in guinea-pig and 0.22 mg/kg in mice.

REFERENCES

- [1]. Wilson JD, et al. Azatadine maleate (Zadine): evaluation in the management of allergic rhinitis. *N Z Med J.* 1981 Aug 12;94(689):79-81.
- [2]. Tozzi S, et al. The pharmacology of azatadine, a potential anti-allergy drug. *Agents Actions.* 1974 Oct;4(4):264-70.
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Caution: Product has not been fully validated for medical applications. For research use only.

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