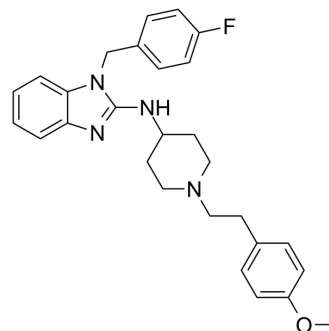


Astemizole

Cat. No.:	HY-12532
CAS No.:	68844-77-9
Molecular Formula:	C ₂₈ H ₃₁ FN ₄ O
Molecular Weight:	458.57
Target:	Histamine Receptor; Potassium Channel
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling; Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro	DMSO : 125 mg/mL (272.59 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
Preparing Stock Solutions	1 mM	2.1807 mL	10.9035 mL	21.8069 mL
	5 mM	0.4361 mL	2.1807 mL	4.3614 mL
	10 mM	0.2181 mL	1.0903 mL	2.1807 mL
Please refer to the solubility information to select the appropriate solvent.				
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 6.25 mg/mL (13.63 mM); Clear solution 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 6.25 mg/mL (13.63 mM); Clear solution			

BIOLOGICAL ACTIVITY

Description	Astemizole (R 43512), a second-generation antihistamine drug to diminish allergic symptoms with a long duration of action, is a histamine H ₁ -receptor antagonist, with an IC ₅₀ of 4 nM. Astemizole also shows potent hERG K ⁺ channel blocking activity with an IC ₅₀ of 0.9 nM. Astemizole has antipruritic effects ^{[1][2]} .
In Vivo	Astemizole (p.o., 10 and 30 mg/kg) and (i.v., 1 and 3 mg/kg) has no effect on respiratory rate, heart rate and blood pressure, and even at high doses of 30 mg/kg and 3 mg/kg, also has no effect on body temperature and exercise capacity in male common marmosets. But Astemizole can prolong the QT interval and induce premature ventricular contractions at 30 mg/kg (po) and 1 mg/kg (iv) ^[3] . Astemizole (p.o., 3 and 30 mg/kg) shows that the pre-drug control values (C) of the idioventricular rate, QT interval and QTcF are 31 beats/min, 319 ms and 256 at dose of 3 mg/kg, while those are 31 beats/min, 331 ms and 270 at dose of 30 mg/kg,

respectively in mice. Moreover, Astemizole at a dose of 30 mg/kg (po) may cause tip-twisting ventricular tachycardia by inhibiting hERG K⁺ channels^[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Med Chem. 2021 Mar 11;64(5):2725-2738.
- Biochem Biophys Res Commun. 20 December 2021.

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REFERENCES

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- [2]. Hiroko Izumi-Nakaseko, et al. Possibility as an anti-cancer drug of astemizole: Evaluation of arrhythmogenicity by the chronic atrioventricular block canine model. J Pharmacol Sci. 2016 Jun;131(2):150-3.
- [3]. Laduron PM, et al. In vitro and in vivo binding characteristics of a new long-acting histamine H1 antagonist, astemizole. Mol Pharmacol. 1982 Mar;21(2):294-300.
- [4]. Richards DM, et al. Astemizole. A review of its pharmacodynamic properties and therapeutic efficacy. Drugs. 1984 Jul;28(1):38-61.

Caution: Product has not been fully validated for medical applications. For research use only.

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