Astemizole

Cat. No.: HY-12532

CAS No.: 68844-77-9

Molecular Formula: $C_{28}H_{31}FN_4O$

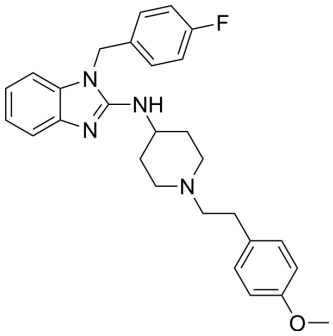
Molecular Weight: 458.57

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)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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 agent to diminish allergic symptoms with a long duration of action, is a histamine H1-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].

IC_{50} & Target

H_1 Receptor

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.
- Int Immunopharmacol. 2022 Dec 24;115:109630.
- Biochem Biophys Res Commun. 20 December 2021.
- SSRN. 2023 Jun 27.

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REFERENCES

[1]. Ikuo Horii, et al. Development of telemetry system in the common marmoset--cardiovascular effects of astemizole and nicardipine. J Toxicol Sci. 2002 May;27(2):123-30.

[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.

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