Mizolastine

Cat. No.: HY-B0164

CAS No.: 108612-45-9

Molecular Formula: $C_{24}H_{25}FN_6O$

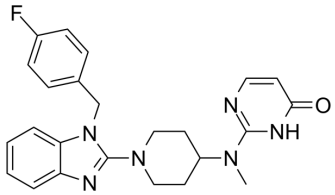
Molecular Weight: 432.49

Target: Histamine Receptor

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (57.80 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3122 mL	11.5610 mL	23.1219 mL
	5 mM	0.4624 mL	2.3122 mL	4.6244 mL
	10 mM	0.2312 mL	1.1561 mL	2.3122 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: ≥ 2.5 mg/mL (5.78 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.78 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.78 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mizolastine is an orally active, high affinity and specific peripheral histamine H1 receptor antagonist (second generation antihistamine). Mizolastine effectively inhibits mRNA expression of VEGF165, VEGF120, TNF-α and KC. Mizolastine can be used in studies of allergic rhinitis and chronic idiopathic urticarial[1][2][3].

In Vitro

Mizolastine (1-10000 nM; 0.5-6 h) shows inhibitory effects on VEGF, KC and TNF-α release in mast cells[1].

Mizolastine (0.1 μM; 4 h) significantly reduces VEGF165, VEGF120, TNF-α and KC mRNA expression in mast cells[1].

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

Cell Viability Assay[1]

Cell Line:	Mast cells (from Kunming mice)
Concentration:	1-10000 nM
Incubation Time:	0.5-6 h
Result:	Markedly inhibited release of KC, VEGF and TNF-α in a time- and dose- dependent manner.

RT-PCR[1]

Cell Line:	Mast cells (from Kunming mice)
Concentration:	0.1 μM
Incubation Time:	4 h
Result:	Led to a significant reduction of induced VEGF165, VEGF120, TNF-α and KC mRNA synthesis.

In Vivo

Mizolastine (0.3 mg/kg; p.o.; single daily for 7 days) inhibits production of 5-LOX AA (arachidonic acid) metabolite leukotriene B4 (LTB4), and suppresses expression of 5-LOX, cytosolic PLA2 (cPLA2), 5-LOX-activating protein, and LTB4 receptor mRNA in the AA-induced inflammation model[2].

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

Animal Model:	Male Sprague-Dawley rats (specific-pathogen-free; 234-254 g; 7 to 8-week-old; rat paw edema model)[2].
Dosage:	0.3 mg/kg
Administration:	Oral gavage; single daily for 7 days.
Result:	Significantly reduced paw edema by 21% at 1 h, and by 14□18% between 2 and 4 h. Inhibited inflammatory cell infiltration and significantly reduced levels of LTB4. Suppressed expression of 5□LOX, cPLA2, FLAP and LTB4r mRNA.

REFERENCES

[1]. Xia Q, et al. The effect of mizolastine on expression of vascular endothelial cell growth factor, tumour necrosis factor-alpha and keratinocyte-derived chemokine in murine mast cells, compared with dexamethasone and loratadine. Clin Exp Dermatol. 2005 Mar

[2]. Ren X, et al. The anti-inflammatory effects of Yunnan Baiyao are involved in regulation of the phospholipase A2/arachidonic acid metabolites pathways in acute inflammation rat model. Mol Med Rep. 2017 Oct;16(4):4045-4053.

[3]. Prakash A, et al. Mizolastine: a review of its use in allergic rhinitis and chronic idiopathic urticaria. BioDrugs. 1998 Jul;10(1):41-63.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA