Ciproxifan maleate

Cat. No.: HY-15289

CAS No.: 184025-19-2

Molecular Formula: $C_{20}H_{22}N_2O_6$

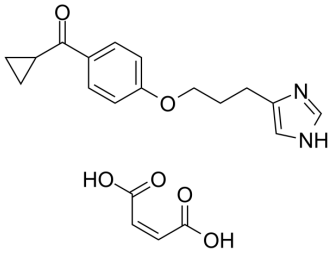
Molecular Weight: 386.4

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

DMSO : ≥ 100 mg/mL (258.80 mM)

H_2O : 3.57 mg/mL (9.24 mM; ultrasonic and warming and heat to 60°C)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5880 mL	12.9400 mL	25.8799 mL
5 mM	0.5176 mL	2.5880 mL	5.1760 mL
10 mM	0.2588 mL	1.2940 mL	2.5880 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 (6.47 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.47 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.47 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ciproxifan maleate (FUB 359 maleate) is a potent, selective, orally bioavailable and competitive antagonist of histamine H_3-receptor, with an IC_{50} of 9.2 nM. Ciproxifan maleate displays low apparent affinity at other receptor subtypes. Ciproxifan maleate can be used for the research of aging disorders and Alzheimer's disease[1][3].

IC_{50} & Target

H_3 receptor

9.2 nM (IC_{50})

In Vitro	Ciproxifan inhibits [^{3}H]HA release from synaptosomes of rat cerebral cortex, with a K_i of 0.5 nM[1]. Ciproxifan (0.01 nM-1 μM; 60 min) inhibits the binding of [^{125}I]iodoproxyfan with rat striatal membranes, with a K_i of 0.7 nM [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Ciproxifan (1 mg/kg; a single p.o.) increases the t-MeHA level in mouse brain, with an ED_{50} of 0.14 mg/kg[1]. Ciproxifan (3 mg/kg, i.p.) improves the accuracy of responding in the five-choice task in rats only when the stimulus duration is 0.25 sec instead of 0.50 sec[1]. Ciproxifan (0.15-2 mg/kg; p.o.) induces marked signs of neocortical electroencephalogram activation manifested by enhanced fast-rhythms density and an almost total waking state in cats[1]. Ciproxifan (1 mg/kg; a single i.v.) decreases the H_3-receptor ligand concentration in serum in mice, with the half-lives ($t_{1/2}$) of 13 and 87 min for the distribution and elimination phases in mice, respectively[1]. Ciproxifan (1 mg/kg; a single p.o.) exhibits oral bioavailability (F=62%) and maximal concentration (C_{max}=420 nM) in mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Motawaj M, Arrang JM. Ciproxifan, a histamine H3-receptorantagonist / inverse agonist, modulates methamphetamine-induced sensitization in mice. Eur J Neurosci. 2011 Apr;33(7):1197-204. doi: 10.1111/j.1460-9568.2011.07618.x.

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[3]. Bardgett ME, Points M, Kleier J, Blankenship M, Griffith MS. The H3 antagonist, ciproxifan, alleviates the memory impairment but enhances the motor effects of MK-801 (dizocilpine) in rats. Neuropharmacology. 2010 Nov;59(6):492-502.

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[6]. Ligneau X, et, al. Neurochemical and behavioral effects of ciproxifan, a potent histamine H3-receptor antagonist. J Pharmacol Exp Ther. 1998 Nov;287(2):658-66.

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