Ciproxifan

Cat. No.: HY-14567

CAS No.: 184025-18-1

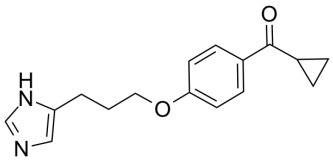
Molecular Formula: $C_{16}H_{18}N_2O_2$

Molecular Weight: 270.33

Target: Histamine Receptor

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

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Ciproxifan (FUB 359) is a potent, selective, orally bioavailable and competitive antagonist of histamine H_3-receptor, with an IC_{50} of 9.2 nM. Ciproxifan displays low apparent affinity at other receptor subtypes. Ciproxifan 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 was 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 H?-receptor antagonist?/?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.

[2]. Bardgett ME, et al. Ciproxifan, an H3 receptor antagonist, alleviates hyperactivity and cognitive deficits in the APP Tg2576 mouse model of Alzheimer's disease.Neurobiol Learn Mem. 2011 Jan;95(1):64-72.

[3]. Bardgett ME, et al.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.

[4]. Day M, et al. Differential effects of ciproxifan and nicotine on impulsivity and attention measures in the 5-choice serial reaction time test.Biochem Pharmacol. 2007 Apr 15;73(8):1123-34.

[5]. Pillot C, et al. Ciproxifan, a histamine H3-receptor antagonist/inverse agonist, modulates the effects of methamphetamine on neuropeptide mRNA expression in rat striatum.Eur J Neurosci. 2003 Jan;17(2):307-14.

[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.

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