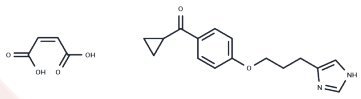
Data Sheet (Cat.No.T2004)

TargetMol

Ciproxifan maleate

Chemical Properties



CAS No. : 184025-19-2

Formula: C20H22N2O6

Molecular Weight: 386.4

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Ciproxifan maleate (FUB 359)(FUB-359 maleate) is a highly potent and selective histamin H3-receptor antagonist with IC50 of 9.2 nM, with low apparent affinity at other receptor subtypes.
Targets(IC50)	Histamine Receptor
In vitro	Ciproxifan inhibits [3H]HA release from synaptosomes with Ki of 0.5 nM. Ciproxifan inhibits the binding of [125I]iodoproxyfan at the brain H3 receptor with Ki of 0.7 nM. Ciproxifan displays high affinity at H3 receptor but shows low apparent affinity at other receptor subtypes as evaluated in functional tests on isolated organs (histamine H1 and H2, muscarinic M3, adrenergic α1D and β1, serotonin 5-HT1B, 5-HT2A, 5-HT3 and 5-HT4). [1]
In vivo	Ciproxifan intravenously injected at dose of 1 mg/kg decreases the H3-receptor ligand concentration in serum in Male Swiss mice, with half-times of distribution phase of 13min and elimination phase of 87 min, respectively. Ciproxifan (1 mg/kg, p.o.) rises brain t-MeHA level rapidly in Male Swiss mice, being already significantly increased after 30 min, reaching a plateau between 90 and 180 min and still remaining enhanced after 270 min. Ciproxifan leads to ED50 values of 0.23 mg/kg in cerebral cortex, 0.28 mg/kg in striatum and 0.30 mg/kg in hypothalamus in Male Wistar rats. Ciproxifan (3 mg/kg, i.p.) significantly increases choice accuracy as evaluated in the five-choice task performed using a short stimulus duration. 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 (10 mg/kg i. p.) enhances prepulse inhibition in the DBA/2 strain mice. [3] Ciproxifan (3 mg/kg i.p.) alleviates hyperactivity and cognitive deficits in the APP Tg2576 mouse model of Alzheimer's disease. [4] Ciproxifan (3 mg/kg i.p.) improves accuracy and decreased impulsivity in adult male hooded Lister rats. [5]

Solubility Information

Solubility	Ethanol: 54 mg/mL (139.75 mM),Sonication is recommended. DMSO: 50 mg/mL (129.4 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.18 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.588 mL	12.940 mL	25.8799 mL
5 mM	0.5176 mL	2.588 mL	5.176 mL
10 mM	0.2588 mL	1.294 mL	2.588 mL
50 mM	0.0518 mL	0.2588 mL	0.5176 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Ligneau X, et al. J Pharmacol Exp Ther, 1998, 287(2), 658-666.
Xie L, Wu Q, Hu W, et al. Impact of histaminergic H3 receptor antagonist on hypoglossal nucleus in chronic intermittent hypoxia conditions. Psychopharmacology. 2020: 1-11
Pillot C, et al. J Neurosci, 2002, 22(16), 7272-7280.
Browman KE, et al. Behav Brain Res, 2004, 153(1), 69-76.
Bardgett ME, et al. Neurobiol Learn Mem, 2011, 95(1), 64-72.
Day M, et al. Biochem Pharmacol, 2007, 73(8), 1123-1134.
Xie L, Wu Q, Hu W, et al. Impact of histaminergic H3 receptor antagonist on hypoglossal nucleus in chronic intermittent hypoxia conditions[J]. Psychopharmacology. 2020: 1-11.