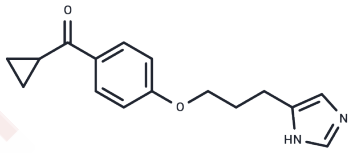
Data Sheet (Cat.No.T6164)

TargetMol

Ciproxifan

Chemical Properties



CAS No. : 184025-18-1

Formula: C16H18N2O2

Molecular Weight: 270.33

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Ciproxifan (FUB-359) is a highly effective and specific histamin H3-receptor antagonist (IC50: 9.2 nM).
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]
Kinase Assay	PPMTase Assays : Synaptosomal membranes of rat brain cerebellum or total membranes of cultured cell lines (100,000 × g pellet) are used for methyltransferase assays in the cell-free systems. Methyltransferase assays are performed at 37°C in 50 mM Tris-HCl buffer, pH 7.4, using 100 μg of protein, 25 μM [methyl-3H]AdoMet (300,000 cpm/nmol), and 50 μM AFC (prepared as a stock solution in DMSO) in a total volume of 50 μL. DMSO concentration in all assays is 8%. Various AFC concentrations are used in several experiments as indicated in the text. Reactions are terminated after 10 min by addition of 500 μL of chloroform:methanol (1:1) with subsequent addition of 250 μL of Water, mixing, and phase separation. A 125-μL portion of the chloroform phase is dried at 40°C, and 200 μl of 1 N NaOH, 1% SDS solution is added. The methanol thus formed is counted by the vapor phase equilibrium method. Typical background counts (no AFC added) are 50-100 cpm, while typical reactions with AFC yield 500-6,000 cpm. Assays are performed in triplicate, and background counts are subtracted. Methylation of endogenous substrates and gel electrophoresis are performed. Protein carboxyl methylation in intact cells is determined using 100 μCi/mL [methyl-3H]methionine. Cells are assayed in near confluent cultures grown in 10-cm plates with 5 mL of labeling medium.

Solubility Information

Solubility	Ethanol: 54 mg/mL (199.76 mM),Sonication is recommended. DMSO: 10 mM,Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.6992 mL	18.4959 mL	36.9918 mL
5 mM	0.7398 mL	3.6992 mL	7.3984 mL
10 mM	0.3699 mL	1.8496 mL	3.6992 mL
50 mM	0.074 mL	0.3699 mL	0.7398 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.

Reference

Ligneau X, et al. J Pharmacol Exp Ther, 1998, 287(2), 658-666.
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.