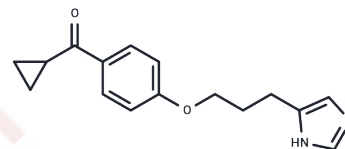


Ciproxifan

Chemical Properties

CAS No. :	184025-18-1
Formula:	C ₁₆ H ₁₈ N ₂ O ₂
Molecular Weight:	270.33
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 (FUB-359) is a highly effective and specific histamin H ₃ -receptor antagonist (IC ₅₀ : 9.2 nM).
Targets(IC ₅₀)	Histamine Receptor
In vitro	Ciproxifan inhibits [3H]HA release from synaptosomes with K _i of 0.5 nM. Ciproxifan inhibits the binding of [125I]iodoproxyfan at the brain H ₃ receptor with K _i of 0.7 nM. Ciproxifan displays high affinity at H ₃ receptor but shows low apparent affinity at other receptor subtypes as evaluated in functional tests on isolated organs (histamine H ₁ and H ₂ , muscarinic M ₃ , adrenergic α ₁ D and β ₁ , serotonin 5-HT ₁ B, 5-HT ₂ A, 5-HT ₃ and 5-HT ₄). [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)
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In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 1 mg/mL (3.7 mM), Sonication is recommended. <i>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.</i>
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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.

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.
- 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.

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