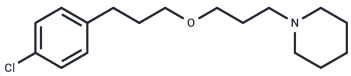
Data Sheet (Cat.No.T60636)

TargetMol

Pitolisant

Chemical Properties



CAS No. : 362665-56-3

Formula: C17H26ClNO

Molecular Weight: 295.85

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

Biological Description

Description	Pitolisant is a potent and selective nonimidazole inverse agonist that targets the recombinant human histamine H3 receptor, with a Ki of 0.16 nM.
Targets(IC50)	Histamine Receptor
In vitro	When stimulating [35S]-thiophosphate-labeled GTPγS binding to recombinant human H3 receptors, Pitolisant (BF2.649) acts as a competitive antagonist with a Ki value of 0.16 nM. As a reverse agonist, its EC50 value is 1.5 nM, with intrinsic activity about 50% higher than that of ciproxifan[1].
In vivo	Pitolisant (BF2.649) dose-dependently enhances histamine levels in the mouse brain, with an ED50 value of 1.6 mg/kg p.o. This effect persists after repeated administration for 17 days[1].

Solubility Information

Solubility	DMSO: 80 mg/mL (270.41 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: 3.3 mg/mL (11.15 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	3.3801 mL	16.9005 mL	33.8009 mL
5 mM	0.676 mL	3.3801 mL	6.7602 mL
10 mM	0.338 mL	1.690 mL	3.3801 mL
50 mM	0.0676 mL	0.338 mL	0.676 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. BF2.649 [1-{3-[3-(4-Chlorophenyl)propoxy]propyl}piperidine, hydrochloride], a nonimidazole inverse agonist/antagonist at the human histamine H3 receptor: Preclinical pharmacology. J Pharmacol Exp Ther. 2007 Jan;320(1):365-75.

Dudek M, et al. H3 histamine receptor antagonist pitolisant reverses some subchronic disturbances induced by olanzapine in mice. Metab Brain Dis. 2016 Oct;31(5):1023-9.

Uguen M, et al. Preclinical evaluation of the abuse potential of Pitolisant, a histamine H? receptor inverse agonist/antagonist compared with Modafinil. Br J Pharmacol. 2013 Jun;169(3):632-44.