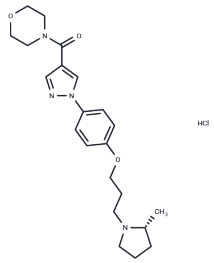
Data Sheet (Cat.No.T70489)

TargetMol

Enerisant hydrochloride

Chemical Properties



CAS No. : 1152749-07-9

Formula: C22H31ClN4O3

Molecular Weight: 434.96

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	Enerisant hydrochloride is a novel potent and selective histamine H3 receptor antagonist, a substrate for P-gp, mediated by cytochrome P450 (CYP) and transporter proteins.
Targets(IC50)	Histamine Receptor,Cytochromes P450
In vivo	Enerisant HCl (0.3-1 mg/kg; p.o.; once; Male SD rats) attenuates the biphagous response in rats.[1] Enerisant hydrochloride (0.1-3 mg/kg; p.o.; once; Male SD rats) causes histamine H3 receptor occupancy in a dose-dependent manner in rats. The dose causing half-maximal receptor occupancy was 0.78 mg/kg.[1] Enerisant HCl (1 mg/kg; s.c.; once; Male SD rats) increases total extracellular histamine levels in the posterior hypothalamus of rats.[1] Enerisant HCl (1 mg/kg; i.p.; once; Male SD rats) increases total extracellular dopamine and acetylcholine levels in the rat medial prefrontal cortex (mPFC).[1]

Solubility Information

Solubility	DMSO: 50 mg/mL (114.95 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 (4.6 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	2.2991 mL	11.4953 mL	22.9906 mL
5 mM	0.4598 mL	2.2991 mL	4.5981 mL
10 mM	0.2299 mL	1.1495 mL	2.2991 mL
50 mM	0.046 mL	0.2299 mL	0.4598 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

Hino N, et al. A Novel Potent and Selective Histamine H3 Receptor Antagonist Enerisant: In Vitro Profiles, In Vivo Receptor Occupancy, and Wake-Promoting and Procognitive Effects in Rodents. J Pharmacol Exp Ther. 2020;375 (2):276-285.

Inoue Y, et al. Optimal dose determination of enerisant (TS-091) for patients with narcolepsy: two randomized, double-blind, placebo-controlled trials. BMC Psychiatry. 2022;22(1):141.

Terasaka S, et al. Drug-drug interaction potential and clinical pharmacokinetics of enerisant, a novel potent and selective histamine H3 receptor antagonist. Xenobiotica. 2021;51(7):786-795.

Kimura Y, et al. Pharmacokinetic and pharmacodynamic assessment of histamine H3 receptor occupancy by enerisant: a human PET study with a novel H3 binding ligand, [11C]TASP457. Eur J Nucl Med Mol Imaging. 2022;49 (4):1127-1135.