Enerisant

Cat. No.: HY-17610

CAS No.: 1152747-82-4

Molecular Formula: $C_{22}H_{30}N_4O_3$

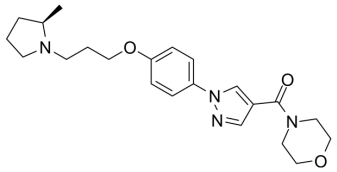
Molecular Weight: 398.5

Target: Histamine Receptor

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (250.94 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5094 mL	12.5471 mL	25.0941 mL
	5 mM	0.5019 mL	2.5094 mL	5.0188 mL
	10 mM	0.2509 mL	1.2547 mL	2.5094 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (6.27 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.27 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (6.27 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Enerisant is a potent, highly selective, competitive and orally active histamine H_3 receptor antagonist/inverse agonist with IC_{50}s of 2.89 nM and 14.5 nM against human and rat histamine H_3 receptors, respectively[1].

IC_{50} & Target

IC50: 2.89 nM (human histamine H_3 receptor), 14.5 nM (rat histamine H_3 receptor)
Ki: 1.65 nM (human histamine H_3 receptor), 7.87 nM (rat histamine H_3 receptor)[1]

In Vivo

Enerisant hydrochloride (0.3-1 mg/kg; p.o.; once) attenuats the dipsogenia response in rats[1].

Enerisant hydrochloride (0.1-3 mg/kg; p.o.; once) results in the occupancy of the histamine H_3 receptor in a dose-dependent manner in rats. A dose eliciting a half-maximal receptor occupancy is 0.78 mg/kg[1].

Enerisant hydrochloride (1 mg/kg; s.c.; once) increases the total extracellular histamine levels in the posterior hypothalamus in rats[1].

Enerisant hydrochloride (1 mg/kg; i.p.; once) increases the total extracellular dopamine and acetylcholine levels in the medial prefrontal cortex (mPFC) in rats[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male SD rats, R-α-methylhistamine-induced dipsogenia model[1]
Dosage:	0.1, 0.3 and 1 mg/kg
Administration:	Oral, single dose
Result:	Attenuated the dipsogenia response, reaching statistical significance at doses of 0.3 mg/kg and 1 mg/kg.

REFERENCES

[1]. 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. Journal of Pharmacology and Experimental Therapeutics, 2020, 375(2): 276-285.

Caution: Product has not been fully validated for medical applications. For research use only.

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