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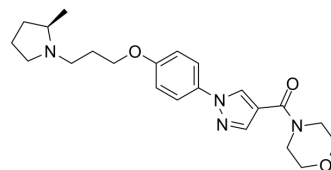
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Enerisant

Cat. No.:	HY-17610		
CAS No.:	1152747-82-4		
Molecular Formula:	C ₂₂ H ₃₀ N ₄ O 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	Solvent Concentration Mass	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	IC ₅₀ : 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) attenuates the dipsogenia response in rats ^[1] .

Enerisant hydrochloride (0.1-3 mg/kg; p.o.; once) results in the occupancy of the histamine H₃ 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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