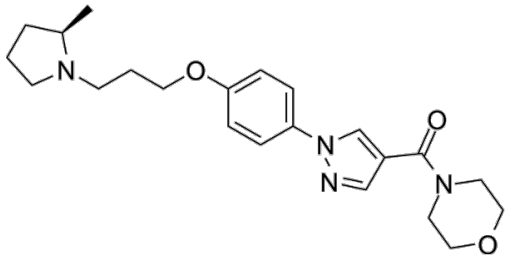
Product Data Sheet

Product Name: Enerisant
Cat. No.: GC74093

Chemical Properties

Cas. No.	1152747-82-4		
Formula	$C_{22}H_{30}N_4O_3$	M.Wt	398.5
Solubility	DMSO : 100 mg/mL (250.94 mM; Need ultrasonic)	Storage	-20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			



Background

Enerisant is a potent, highly selective, competitive and orally active histamine H3 receptor

antagonist/inverse agonist with IC50s of 2.89 nM and 14.5 nM against human and rat histamine H3 receptors, respectively.

Enerisant drochloride (0.3-1 mg/kg; p.o.; once) attenuats the dipsogenia response in rats[1].Enerisant drochloride (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 drochloride (1 mg/kg; s.c.; once) increases the total extracellular histamine levels in the posterior pothalamus in rats[1].Enerisant drochloride (1 mg/kg; i.p.; once) increases the total extracellular dopamine and acetylcholine levels in the medial prefrontal cortex (mPFC) in rats[1].

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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