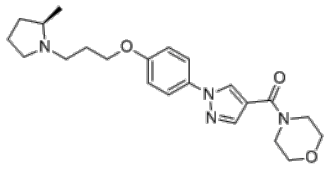
ProbeChem®
Expedite Your Scientific Research

WWW.PROBECHEM.COM

Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Enerisant
Cat. No.	:	PC-72276
CAS No.	:	1152747-82-4
Molecular Formula	:	$C_{22}H_{30}N_4O_3$
Molecular Weight	:	398.507
Target	:	Histamine Receptor
Solubility	:	10 mM in DMSO



Biological Activity

Enerisant (S091,TS-091) is a potent, selective **histamine H3 receptor** antagonist/inverse agonist with IC50 of 2.89 and 14.5 nM against hH3R and rH3R, respectively.
Enerisant inhibited R-α-methylhistamine–stimulated [35S]GTPγS binding to human histamine H3 receptor and rat histamine H3 receptor with IC50 values of 1.06 and 10.05 nM, respectively, inhibited basal [35S]GTPγS binding to human histamine H3 receptor with an EC50 value of 0.357 nM.
Enerisant displays negligible effects on binding to human histamine H1, H2, and H4 receptor subtypes, as well as negligible affinities for 66 other receptors, transporters, and ion channels at 1-10 uM.
Oral administration of enerisant hydrochloride attenuated the dipsogenia response on R-α-methylhistamine–induced dipsogenia in rats, the intraperitoneal administration of enerisant hydrochloride increased the total extracellular acetylcholine levels in the mPFC.
Enerisant hydrochloride significantly decreased slow-wave deep sleep at doses of 1-10 mg/kg ($P < 0.01$-0.05). Enerisant hydrochloride (1, 3 and 10 mg/kg, p.o.) did not affect the accumulated locomotor activity time at up to 7 hours after administration.

References

Noriko Hino, et al. ***J Pharmacol Exp Ther.*** 2020 Nov;375(2):276-285.

E-mail: tech@probechem.com