Cipralisant maleate

Cat. No.: HY-106993A

CAS No.: 223420-20-0

Molecular Formula: $C_{18}H_{24}N_2O_4$

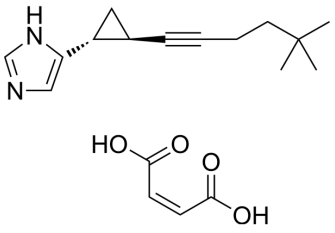
Molecular Weight: 332.39

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 (300.85 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0085 mL	15.0426 mL	30.0851 mL
	5 mM	0.6017 mL	3.0085 mL	6.0170 mL
	10 mM	0.3009 mL	1.5043 mL	3.0085 mL

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

BIOLOGICAL ACTIVITY

Description

Cipralisant (GT-2331) (maleate) is an orally active, low-toxicity, potent, selective, high affinity histamine H3 receptor full antagonist in vivo, and an agonist in vitro, with a pK_i of 9.9 for histamine H3 receptor and a K_i of 0.47 nM for rat histamine H3 receptor. Cipralisant (maleate) has the potential for attention-deficit hyperactivity disorder research[1][2][3][4]. Cipralisant (maleate) is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

H_3 receptor	rat H_3 receptor
9.9 (pKi)	0.47 nM (Ki)

In Vitro

Cipralisant (maleate) behaves as a full agonist on adenylyl cyclase inhibition. Cipralisant (maleate) (HEK cells) potently inhibits forskolin-induced cAMP accumulation, showing that Cipralisant (maleate) works as a potent full histamine H3 receptor agonist. Cipralisant (maleate) increases the basal [^{35}S]GTPγS binding activities in membranes from HEK cells expressing the rat histamine H3 receptor (EC_{50}, 5.6 nM)[3].

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

In Vivo

Cipralisant (maleate) (0.3~30 mg/kg; s.c.) enhances acquisition over five trials, reaching significance at 1 mg/kg[2].
Cipralisant (maleate) (10 mg/kg; p.o.) completely blocks R-α-methylhistamine-induced drinking[3].
Cipralisant (maleate) promotes wakefulness in the rat. Cipralisant (maleate) potently and significantly improves performance in the repeated acquisition model, in line with its high affinity for the rat H3 receptor and good CNS penetration. Cipralisant (maleate) does not appear to be as efficacious as 3 mg/kg ciproxifan at its maximally effective dose [2]. Cipralisant (maleate) behaves as a partial agonist in a rat brain synaptosome model[3].

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Animal Model:	Male SHR pups (35–50 g)[2]
Dosage:	0.3~30 mg/kg
Administration:	S.c.
Result:	Significantly enhanced performance of the SHR pups in a dose-related manner at 1 mg/kg.

Animal Model:	Male Sprague-Dawley rats[3]
Dosage:	10 and 30 mg/kg
Administration:	P.o.
Result:	Achieved greater brain exposure and water intake was monitored for 60 min after administration.

REFERENCES

[1]. Raddatz R, et al. Histamine H3 antagonists for treatment of cognitive deficits in CNS diseases. Curr Top Med Chem. 2010;10(2):153-169.

[2]. Fox GB, et al. Effects of histamine H(3) receptor ligands GT-2331 and ciproxifan in a repeated acquisition avoidance response in the spontaneously hypertensive rat pup. Behav Brain Res. 2002;131(1-2):151-161.

[3]. Ito S, et al. Detailed pharmacological characterization of GT-2331 for the rat histamine H3 receptor. Eur J Pharmacol. 2006;529(1-3):40-46.

[4]. Tedford CE, et al. High antagonist potency of GT-2227 and GT-2331, new histamine H3 receptor antagonists, in two functional models. Eur J Pharmacol. 1998;351(3):307-311.

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