Product Data Sheet

Product Name: Cipralisant maleate
Cat. No.: GC68869

Chemical Properties

Cas. No.	223420-20-0		
Formula	$C_{18}H_{24}N_2O_4$	M.Wt	332.39
Solubility	DMSO : ≥ 100 mg/mL (300.85 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Cipralisant maleate		

Background

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 peractivity disorder research[1][2][3][4].

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].

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-metlhistamine-induced drinking[3].
Cipralisant (maleate) promotes wakefulness in the rat. Cipralisant (maleate) potently

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

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].

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.

[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 pertensive 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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