Product Data Sheet

Product Name: Irdabisant
Cat. No.: GC69290

Chemical Properties

Cas. No.	1005402-19-6		
Formula	$C_{18}H_{23}N_3O_2$	M.Wt	313.39
Solubility	DMSO : 50 mg/mL (159.55 mM; Need ultrasonic)	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	Irdabisant		

Background

Irdabisant (CEP-26401) is a selective, orally active and blood-brain barrier (BBB) penetrant **histamine H3 receptor** (H3R) inverse agonist/inverse agonist with $\mathbf{K_i}$ values of 7.2 nM and 2.0 nM for rat H3R and human H3R, respectively. Irdabisant has relatively low inhibitory activity against hERG current with an IC_{50} of 13.8 μM. Irdabisant has cognition-enhancing and wake-promoting activities in the rat social recognition model. Irdabisant can be used to research schizophrenia or cognitive impairment[1][2].

Irdabisant (CEP-26401, compound 8a) shows antagonist activity with $K_{b,\ app}$ values of 1.0 nM and 0.4 nM for rat H3R and human H3R, respectively; shows inverse agonist activity with EC_{50} values of 2.0 nM and 1.1 nM for rat H3R and human H3R, respectively[1].
Irdabisant has moderate activity at Muscarinic M_2 (K_i = 3.7 ± 0.0 μM) and Adrenergic α_{1A} (K_i = 9.8 ± 0.3 μM) receptors, Dopamine transporters (K_i = 11 ± 2 μM), Norepinephrine transporters (K_i = 10 ± 1 μM), and phosphodiesterase PDE3 (IC_{50} = 15 ± 1 μM)[1].
Irdabisant inhibits the cytochrome P450 enzymes CYP1A2, 2C9, 2C19, 2D6, and 3A4 with

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IC_{50} values of greater than 30 μM, indicating less potential for drug-drug interactions[1].

CEP-26401 (0.01-0.3 mg/kg; p.o.; single dosage) dose-dependently inhibits H3R agonist

[1]. Hudkins RL, et al. Discovery and characterization of 6-{4-[3-(R)-2-metlpyrrolidin-1-yl)propoxy]phenyl}-2H-pyridazin-3-one (CEP-26401, irdabisant): a potent, selective histamine H3 receptor inverse agonist. J Med Chem. 2011 Jul 14;54(13):4781-92.
[2]. Raddatz R, et al. CEP-26401 (irdabisant), a potent and selective histamine H? receptor antagonist/inverse agonist with cognition-enhancing and wake-promoting activities. J Pharmacol Exp Ther. 2012 Jan;340(1):124-33.

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