Bavisant dihydrochloride hydrate

Cat. No.: HY-14880B

CAS No.: 1103522-80-0

Molecular Formula: $C_{19}H_{31}Cl_2N_3O_3$

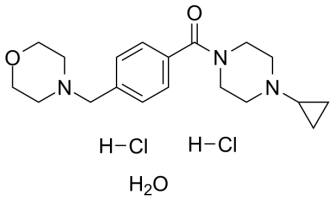
Molecular Weight: 420.37

Target: Histamine Receptor

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 50 mg/mL (118.94 mM)

DMSO : ≥ 1 mg/mL (2.38 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3789 mL	11.8943 mL	23.7886 mL
	5 mM	0.4758 mL	2.3789 mL	4.7577 mL
	10 mM	0.2379 mL	1.1894 mL	2.3789 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (118.94 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Bavisant dihydrochloride hydrate (JNJ31001074AAC) is a highly selective, orally active antagonist of the human H3 receptor with a novel mechanism of action, involving wakefulness and cognition, with potential as a treatment for ADHD. IC50 Value: Target: H3 receptorin vitro: Bavisant completed a phase II ADHD trial, but no results have been reported [1].in vivo: Mean change from baseline in the total ADHD-RS-IV score at day 42 (primary efficacy endpoint) was -8.8 in the placebo group versus -9.3, -11.2 and -12.2 in the bavisant 1 mg/day, 3 mg/day and 10 mg/day groups, respectively; the change in the 10 mg/day group was not statistically superior to placebo (p=0.161), and hence statistical comparisons of the 1 mg/day and 3 mg/day groups with placebo based on a step-down closed testing procedure were not performed [2].Clinical trial: A Study to Characterize the Pharmacokinetics and Effect of Food on JNJ-31001074 in Healthy Volunteers. Phase 2

REFERENCES

[1]. Robert L. Hudkins, Rita Raddatz, Ming Tao, Discovery and Characterization of 6-{4-[3-(R)-2-Methylpyrrolidin-1-yl)propoxy]phenyl}-2H-pyridazin-3-one (CEP-26401, Irdabisant):A Potent, Selective Histamine H3 Receptor Inverse Agonist. J. Med. Chem. 2011, 54, 4781-4792

[2]. Weisler RH, Pandina GJ, Daly EJ, Randomized clinical study of a histamine H3 receptor antagonist for the treatment of adults with attention-deficit hyperactivity disorder. CNS Drugs. 2012 May 1;26(5):421-34.

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