INCB38579

Cat. No.: HY-101188

CAS No.: 1246207-65-7

Molecular Formula: $C_{25}H_{34}N_6O$

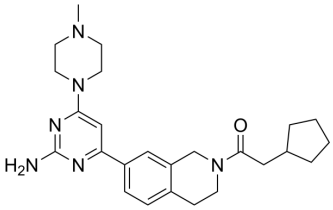
Molecular Weight: 434.58

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 : 230 mg/mL (529.25 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3011 mL	11.5054 mL	23.0107 mL
	5 mM	0.4602 mL	2.3011 mL	4.6021 mL
	10 mM	0.2301 mL	1.1505 mL	2.3011 mL

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

BIOLOGICAL ACTIVITY

Description

INCB38579 is an orally active, highly brain penetrable, and selective histamine H_4 receptor (HH_4R) antagonist (hH_4R IC_{50}=4.8 nM, mH_4R IC_{50}=42 nM, rH_4R IC_{50}=32 nM). INCB38579 shows anti-inflammatory pain and anti-pruritic activities[1].

IC_{50} & Target

Human H_4 Receptor	Mouse H_4 Receptor	Rat H_4 receptor
4.8 nM (IC_{50})	42 nM (IC_{50})	21 nM (IC_{50})

In Vitro

INCB38579 (0.1 nM-10 μM; 1.5 h) inhibits histamine binding to the recombinant human and rodent histamine H_4 receptors[1].

INCB38579 (0.1 nM-10 μM; 20 min) blocks histamine-induced migration of dendritic cells differentiated from human monocytes and mouse bone marrow cells[1].

NCB38579 (0-30 nM; 1.5 h) inhibits histamine-induced cell shape change and migration of purified human eosinophils dose-dependently[1].

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

Cell Viability Assay[1]

Cell Line:	HEK293 cells
Concentration:	0.1 nM-10 μM
Incubation Time:	1.5 hours
Result:	Showed the IC_{50} values of 4.8, 42 and 21 nM for the human, mouse and rat histamine H_4 receptors, respectively.

Cell Viability Assay[1]

Cell Line:	Human monocytes, mouse bone marrow cells, and human eosinophils
Concentration:	0.1 nM-10 μM
Incubation Time:	20 min
Result:	Showed IC_{50}s of 13.2 and 77 nM for human monocytes and mouse bone marrow cells respectively. Showed IC_{50} values of approximately 20-30 nM for purified human eosinophils.

Cell Viability Assay[1]

Cell Line:	HEK293 cells
Concentration:	0-30 nM
Incubation Time:	1.5 hours
Result:	Showed the IC_{50} values of 4.8, 42 and 21 nM for the human, mouse and rat histamine H_4 receptors, respectively.

In Vivo

INCB38579 (oral gavage; 100 mg/kg; once) inhibits histamine-mediated pruritus in mice[1].
INCB38579 (oral gavage; 100 mg/kg; once) shows antinociceptive functions in this acute model of inflammatory pain[1].
INCB38579 (oral gavage; 3, 10, 30, and 100 mg/kg; once) inhibits formalin-induced pain in rats and mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female CD-1 mice histamine-induced pruritus[1]
Dosage:	100 mg/kg
Administration:	Oral gavage; 100 mg/kg; once
Result:	Reduced the number of scratching bouts significantly (P<0.05).

Animal Model:	Sprague-Dawley rats injected with carrageenan[1]
Dosage:	100 mg/kg
Administration:	Oral gavage; 100 mg/kg; once
Result:	Increased the paw withdrawal threshold from a baseline of 61 g to approximately 100 g, achieving approximately 60% in maximal possible effect.

Animal Model:	Male Sprague–Dawley rats and male ICR mice injected with formalin into the hind paws[1]

Dosage:	3, 10, 30, and 100 mg/kg
Administration:	Oral gavage; 3, 10, 30, and 100 mg/kg; once
Result:	Showed a significant dose-dependent analgesic effect from 10 to 100 mg/kg in the phase 1 response and 30 to 100 mg/kg in the phase 2 response in the mouse formalin test. Observed a dose-dependent and statistically significant effect in the phase 1 response, ranging from10 to 100 mg/kg, in the rat formalin test.

REFERENCES

[1]. Niu Shin, et al. INCB38579, a novel and potent histamine H_4 receptor small molecule antagonist with anti-inflammatory pain and anti-pruritic functions. Eur J Pharmacol. 2012 Jan 30;675(1-3):47-56.

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