JNJ-7777120

Cat. No.: HY-13508

CAS No.: 459168-41-3

Molecular Formula: $C_{14}H_{16}ClN_3O$

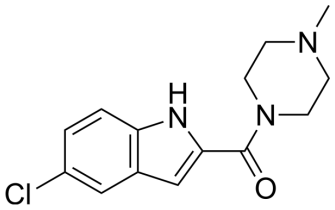
Molecular Weight: 277.75

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	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (180.02 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.6004 mL	18.0018 mL	36.0036 mL
	5 mM	0.7201 mL	3.6004 mL	7.2007 mL
	10 mM	0.3600 mL	1.8002 mL	3.6004 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (9.00 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.00 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.00 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

JNJ-7777120 is a potent and selective histamine H_4 receptor antagonist (K_i=4.5 nM). JNJ-7777120 effectively blocks histamine-induced migration of mouse tracheal mast cells from connective tissue to epithelial cells. JNJ-7777120 also significantly blocks neutrophil infiltration in a mouse Zymosan-induced peritonitis model. JNJ-7777120 has a good potential to study antipruritic and anti-inflammatory[1][2].

IC_{50} & Target

H_4 receptor

In Vitro

JNJ-7777120 (0, 10, 100, 1000 nM; ~6 h) shows functional antagonism of the human and mouse histamine H4 receptors in SK-N-MC cells[1].

JNJ-7777120 (10 μM; 10 min) blocks histamine-induced chemotaxis and calcium influx in mouse bone marrow-derived mast cells[1].

JNJ7777120 (30-100 μM; 30 min) inhibits dose-dependently the production of thymus and activation-regulated chemokine/CCL17 and macrophage-derived chemokine/CCL22 from antigen-stimulated BMMC[2].

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

Cell Viability Assay[1]

Cell Line:	SK-N-MC cells (expressing the human or mouse histamine H4 receptor)
Concentration:	0, 10, 100, 1000 nM
Incubation Time:	~6 h
Result:	Exhibited antagonistic effect on human and mouse histamine H4 receptors.

Immunofluorescence[1]

Cell Line:	Mouse mast cells
Concentration:	10 μM
Incubation Time:	10 min
Result:	Exhibited a very potent antagonistic effect on the H4 receptor in primary cells.

Cell Viability Assay[1]

Cell Line:	BMMC
Concentration:	30-100 μM
Incubation Time:	30 min
Result:	Significantly inhibited the production of TARC (thymus and activation-regulated chemokine) and MDC (macrophage-derived chemokine).

In Vivo

JNJ-7777120 shows equipotent against the human, mouse, and rat receptors and exhibits at least 1000-fold selectivity over H_1, H_2, or H_3 receptors[1].

JNJ-7777120 has an oral bioavailability of ~30% in rats and 100% in dogs, with a half-life of ~3 h in both species[1].

JNJ-7777120 (20 mg/kg; s.c.; single daily for 2 days) blocks the histamine-induced migration of tracheal mast cells from the connective tissue toward the epithelium in mice[1].

JNJ-7777120 (10 mg/kg; s.c.; single) significantly blocks neutrophil infiltration in a mouse zymosan-induced peritonitis model[1].

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

Animal Model:	BALB/c mice[1].
Dosage:	20 mg/kg
Administration:	Subcutaneous injection; single daily for 2 days
Result:	Blocked histamine induced an increase in the number of mast cells per tracheal section and a significant migration of mast cells towards the tracheal epithelium.

Animal Model:	Male outbred Swiss albino mice (zymosan-induced peritonitis model)[1].
Dosage:	10 mg/kg
Administration:	Subcutaneous injection; single
Result:	Led to a statistically significant reduction of neutrophil infiltration.

REFERENCES

[1]. Thurmond RL, et al. A potent and selective histamine H4 receptor antagonist with anti-inflammatory properties. J Pharmacol Exp Ther. 2004 Apr;309(1):404-13.

[2]. Ohsawa Y, et al. The antagonism of histamine H1 and H4 receptors ameliorates chronic allergic dermatitis via anti-pruritic and anti-inflammatory effects in NC/Nga mice. Allergy. 2012 Aug;67(8):1014-22.

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