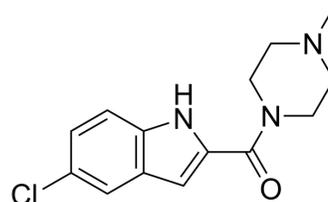


## JNJ-7777120

<b>Cat. No.:</b>	HY-13508		
<b>CAS No.:</b>	459168-41-3		
<b>Molecular Formula:</b>	C <sub>14</sub> H <sub>16</sub> ClN <sub>3</sub> O		
<b>Molecular Weight:</b>	277.75		
<b>Target:</b>	Histamine Receptor		
<b>Pathway:</b>	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling		
<b>Storage:</b>	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 Concentration	Mass		
		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

- 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
- 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
- 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<sub>4</sub> receptor antagonist (K<sub>i</sub>=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<sup>[1][2]</sup>.

#### IC<sub>50</sub> & Target

H<sub>4</sub> 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<sup>[1]</sup>.

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

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<sup>[2]</sup>.

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

**Cell Viability Assay<sup>[1]</sup>**

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<sup>[1]</sup>**

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<sup>[1]</sup>**

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<sub>1</sub>, H<sub>2</sub>, or H<sub>3</sub> receptors<sup>[1]</sup>.

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

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<sup>[1]</sup>.

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

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

Animal Model:	BALB/c mice <sup>[1]</sup> .
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.

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

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## 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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**Caution: Product has not been fully validated for medical applications. For research use only.**

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