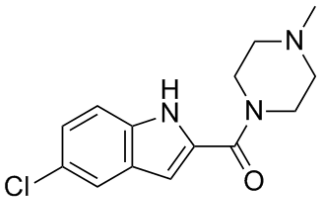
Data Sheet

Product Name:	JNJ–7777120
Cat. No.:	HY-13508
CAS No.:	459168-41-3
Molecular Formula:	C14H16ClN3O
Molecular Weight:	277.75
Target:	Histamine Receptor
Pathway:	GPCR/G Protein; Immunology/Inflammation
Solubility:	10 mM in DMSO



BIOLOGICAL ACTIVITY:

JNJ–7777120 is a selective H4R antagonist with Ki of 4 ±1 nM, exhibits >1000–fold selectivity over the other histamin receptors.
IC50 value: 4 ±1 nM (Ki) [1]
Target: histamine H4 receptor
in vitro: JNJ–7777120 prevents fibronectin–induced lung fibroblast migration, thus suggesting that H4R could represent an attractive target for the development of new drugs for lung fibrosis treatment .[2]
in vivo: JNJ 7777120 blocks histamine–induced chemotaxis and calcium influx in mouse bone marrow–derived mast cells. In addition, it can block the histamine–induced migration of tracheal mast cells from the connective tissue toward the epithelium in mice. JNJ 7777120 significantly blocks neutrophil infiltration in a mouse zymosan–induced peritonitis model. [3]

PROTOCOL (Extracted from published papers and Only for reference)

Kinase assay [3] A panel of 50 different biogenic amine receptors, neuropeptide receptors, ion channel binding sites, and neurotransmitter transporter binding assays were run. The targets run were: human adenosine A1, A2A, and A3 receptors; adrenergic receptors α1 (nonselective), α2 (nonselective), and β1; norepinephrine (NE) transporter; angiotensin II receptor (AT2); rat brain benzodiazepine receptor (BZD); bradykinin receptor 2 (B2); cholecystokinin receptor 1 (CCK1); dopamine D1 and D2 receptors; dopamine transporter (DA); endothelin receptor A (ETA); rat brain GABA receptor; galanin receptor 2 (GAL2); CXCR2; CCR1; vasopressin receptor 1A (V1A); melanocortin receptor 4 (MC4); chicken melatonin receptor 1 (MT1); muscarinic receptors M1, M2, and M3; neurokinin receptors 2 and 3 (NK2, NK3); neuropeptide receptors 1 and 2 (NPY1, NPY2); neurotensin receptor 1 (NT1); opioid receptors δ (DOP), κ (KOP), and μ (MOP); nociceptin receptor (ORL1); serotonin receptors 5–HT1A, 5–HT2A, 5–HT3, 5–HT5A, 5–HT6, 5–HT7, and rat 5–HT1B; rat σ receptor (SST); vasoactive intestinal peptide receptor 1 (VIP1); rat Ca2+ channel verapamil site; rat brain voltage–gated potassium channel (K+V channel); rat brain small–conductance Ca2+–activated K+ channel (SK+Ca channel); rat Na+ channel (site 2); and rat Cl– channel. All assays were run using recombinant human receptors, except where noted. The assays were run at 1 μM of JNJ 7777120, and the percentage of inhibition is given as the average of three determinations. Animal administration [3] Mice (n = 10 per group) were dosed with either vehicle or JNJ 7777120 15 min before being challenged by a 20–min aerosol inhalation of 0.1 M histamine dihydrochloride or PBS. This was repeated for 2 days. JNJ 7777120 was administered at 20 mg/kg s.c. in 20% (w/v) hydroxypropyl–β–cyclodextrin. Four hours after the last challenge, animals were euthanized by pentobarbital overdose (i.p.) and severing of the abdominal aorta. Trachea were cleared of blood via perfusion of PBS/heparin through the right ventricle and fixed in 10% (w/v) formaldehyde (neutral buffered formalin) for subsequent paraffin cross–sectioning and toluidine blue staining.

References:

[1]. Jablonowski JA, et al. The first potent and selective non–imidazole human histamine H4 receptor antagonists. J Med Chem. 2003 Sep 11;

46(19):3957–3960.
[2]. Rosa AC, et al. Prevention of bleomycin–induced lung inflammation and fibrosis in mice by naproxen and JNJ–7777120 treatment. J Pharmacol Exp Ther. 2014 Nov;351(2):308–316.
[3]. Thurmond RL, et al. A potent and selective histamine H4 receptor antagonist with anti–inflammatory properties. J Pharmacol Exp Ther. 2004 Apr; 309(1):404–413.

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