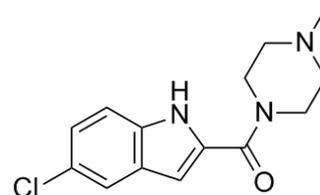


Data Sheet

Product Name:	JNJ-7777120
Cat. No.:	HY-13508
CAS No.:	459168-41-3
Molecular Formula:	C ₁₄ H ₁₆ ClN ₃ O
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 K_i of 4 ± 1 nM, exhibits >1000-fold selectivity over the other histamin receptors.

IC₅₀ value: 4 ± 1 nM (K_i) [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, A_{2A}, and A₃ receptors; adrenergic receptors α_1 (nonselective), α_2 (nonselective), and β_1 ; 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 (V_{1A}); 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-HT_{1A}, 5-HT_{2A}, 5-HT₃, 5-HT_{5A}, 5-HT₆, 5-HT₇, and rat 5-HT_{1B}; 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 μ M 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.

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

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