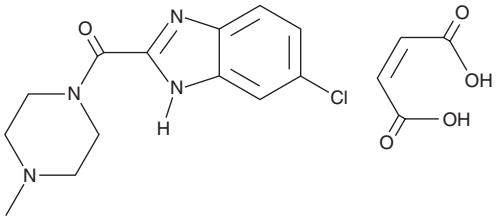
PRODUCT INFORMATION

JNJ-10191584 (maleate)

Item No. 42265

CAS Registry No.:	869497-75-6
Formal Name:	1-[(5-chloro-1H-benzimidazol-2-yl)carbonyl]-4-methyl-piperazine, 2Z-butenedioate
Synonym:	VUF 6002
MF:	$C_{13}H_{15}ClN_4O \bullet C_4H_4O_4$
FW:	394.8
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

JNJ-10191584 (maleate) is supplied as a solid. A stock solution may be made by dissolving the JNJ-10191584 (maleate) in the solvent of choice, which should be purged with an inert gas. JNJ-10191584 (maleate) is sparingly soluble (1-10 mg/ml) in DMSO.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of JNJ-10191584 (maleate) can be prepared by directly dissolving the solid in aqueous buffers. JNJ-10191584 (maleate) is slightly soluble (0.1-1 mg/ml) in PBS (pH 7.2). We do not recommend storing the aqueous solution for more than one day.

Description

JNJ-10191584 is a histamine H_4 receptor antagonist (K_i = 79.42 nM).[1] It is selective for the histamine H_4 receptor over the histamine H_3 receptor (K_i = 3,981 nM). JNJ-10191584 inhibits eosinophil and mast cell chemotaxis (IC_{50}s = 530 and 138 nM, respectively).[2] It decreases colonic injury in a rat model of TNBS-induced colitis when administered at doses of 30 and 100 mg/kg and reduces colonic mucosal and submucosal thickness at 100 mg/kg in the same model.[3] JNJ-10191584 (6 mg/kg) reduces the percentage of Th1, Th9, Th17, and regulatory T cells in the spleen, the expression of a variety of cytokines, including *Ifng*, *Il9*, and *Tgfb1*, in the brain, and the development of experimental autoimmune encephalomyelitis (EAE) in mice.[4]

References

1. Terzioglu, N., van Rijn, R.M., Bakker, R.A., *et al.* Synthesis and structure-activity relationships of indole and benzimidazole piperazines as histamine H_4 receptor antagonists. *Bioorg. Med. Chem. Lett.* **14(21),** 5251-5256 (2004).
2. Venable, J.D., Cai, H., Chai, W., *et al.* Preparation and biological evaluation of indole, benzimidazole, and thienopyrrole piperazine carboxamides: Potent human histamine H_4 antagonists. *J. Med. Chem.* **48(26),** 8289-8298 (2005).
3. Varga, C., Horvath, K., Berko, A., *et al.* Inhibitory effects of histamine H_4 receptor antagonists on experimental colitis in the rat. *Eur. J. Pharmacol.* **522(1-3),** 130-138 (2005).
4. Aldossari, A.A., Assiri, M.A., Ansari, M.A., *et al.* Histamine H4 receptor antagonist ameliorates the progression of experimental autoimmune encephalomyelitis via regulation of T-cell imbalance. *Int. J. Mol. Sci.* **24(20),** 15273 (2023).

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