

Product Name: JNJ 10191584 maleate

Catalog No.: 2441

Batch No.: 1

CAS Number: 869497-75-6

IUPAC Name: 1-[(5-Chloro-1*H*-benzimidazol-2-yl)carbonyl]-4-methylpiperazine maleate

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₁₃H₁₅ClN₄O·C₄H₄O₄

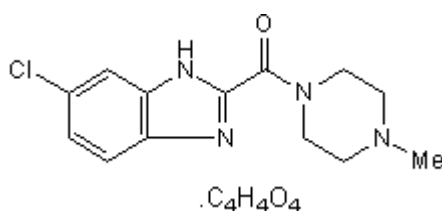
Batch Molecular Weight: 394.81

Physical Appearance: Off-white solid

Solubility: DMSO to 50 mM

Storage: Desiccate at RT

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.14 (Dichloromethane:Methanol [95:5])

HPLC: Shows 100% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	51.72	4.85	14.19
Found	51.45	4.78	14.13

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Description:

Highly selective histamine H₄ receptor silent antagonist; binds with high affinity to the human H₄ receptor (K_i = 26 nM). > 540-fold selective over the H₃ receptor (K_i = 14.1 μM). Inhibits mast cell and eosinophil chemotaxis in vitro with IC₅₀ values of 138 and 530 nM respectively. Orally active in vivo.

Physical and Chemical Properties:

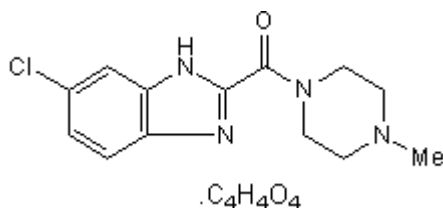
Batch Molecular Formula: C₁₃H₁₅ClN₄O.C₄H₄O₄

Batch Molecular Weight: 394.81

Physical Appearance: Off-white solid

Minimum Purity: >99%

Batch Molecular Structure:



Storage: Desiccate at RT

Solubility & Usage Info:

DMSO to 50 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Terzioglu et al (2004) Synthesis and structure-activity relationships of indole and benzimidazole piperazines as histamine H₄ receptor antagonists. *Bioorg.Med.Chem.Lett.* **14** 5251. PMID: 15454206.

Venable et al (2005) Preparation and biological evaluation of indole, benzimidazole, and thienopyrrole piperazine carboxamides: potent human histamine H₄ antagonists. *J.Med.Chem.* **48** 8289. PMID: 16366610.

Varga et al (2005) Inhibitory effects of histamine H₄ receptor antagonists on experimental colitis in the rat. *Eur.J.Pharmacol.* **522** 130. PMID: 16213481.

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bio-techne.com

info@bio-techne.com

techsupport@bio-techne.com

North America

Tel: (800) 343 7475

China

info.cn@bio-techne.com

Tel: +86 (21) 52380373

Europe Middle East Africa

Tel: +44 (0)1235 529449

Rest of World

www.tocris.com/distributors

Tel: +1 612 379 2956