Product Data Sheet

JNJ10191584 maleate

Cat. No.: HY-107558 CAS No.: 869497-75-6 Molecular Formula: $C_{17}H_{19}ClN_4O_5$

Molecular Weight: 394.81

Target: Histamine Receptor

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

BIOLOGICAL ACTIVITY

Description	JNJ10191584 (VUF6002) maleate (compound 40) is an orally active and selective histamine H_4 receptor antagonist with a K_i value of 26 nM. JNJ10191584 maleate shows 540-fold selectivity to H_4 receptor over the H_3 receptor with a K_i value of 14.1 μ M. JNJ10191584 maleate inhibits chemotaxis of eosinophils and mast cells with IC $_{50}$ values of 530 nM and 138 nM, respectively ^{[1][2]} .	
IC ₅₀ & Target	Human H ₄ Receptor 26 nM (Ki)	human H ₃ receptor 14.1 μM (Ki)
In Vitro	JNJ10191584 maleate shows binding affinity of 26 nM and 14.1 μ M to H ₄ and H ₃ receptor, respectively ^[1] . JNJ10191584 maleate (3 h) shows inhibitory effects to chemotaxis of eosinophils and mast cells with IC ₅₀ values of 530 nM and 138 nM, respectively ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	JNJ10191584 maleate (10 μ g/ μ L; intra locus coeruleus (LC) administration; once) abolishs VUF-induced anti-allodynic effect in spared nerve injury (SNI) mice ^[1] . JNJ10191584 maleate (10 μ g/ μ L; intra LC administration; once) prevents the anti-allodynic effect of VUF 8430 in SNI mice ^[1] . JNJ10191584 maleate (6 μ g/mouse; intrathecal administration; pretreat once) prevents VUF 8430-induced anti-allodynic effect in SNI mice ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

REFERENCES

[1]. Venable JD, et al. Preparation and biological evaluation of indole, benzimidazole, and thienopyrrole piperazine carboxamides: potent human histamine h(4) antagonists. J Med Chem. 2005 Dec 29;48(26):8289-98.

[2]. Sanna MD, et al. Histamine H4 receptor stimulation in the locus coeruleus attenuates neuropathic pain by promoting the coeruleospinal noradrenergic inhibitory pathway. Eur J Pharmacol. 2020 Feb 5;868:172859.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

Tel: 609-228-6898 Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA

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