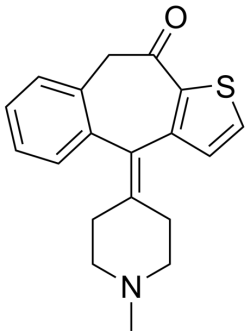
Ketotifen

Cat. No.:	HY-B0157
CAS No.:	34580-13-7
Molecular Formula:	$C_{19}H_{19}NOS$
Molecular Weight:	309.43
Target:	Endogenous Metabolite; Histamine Receptor; SARS-CoV; Influenza Virus
Pathway:	Metabolic Enzyme/Protease; GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling; Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Ketotifen (HC 20-511) is an orally active second-generation noncompetitive histamine 1 (H1) receptor blocker and mast cell stabilizer. Ketotifen can block 6-phosphogluconate dehydrogenase (PGD) in vitro. Ketotifen also has antiviral activity against SARS-CoV-2 and Influenza virus. Ketotifen can be used to the research of autoimmune encephalomyelitis (EAE) and asthma attack prevention[1][2][3][4].
IC_{50} & Target	H_1 Receptor
In Vitro	Ketotifen (0-100 μM; 2 or 4 days) inhibits SARS-CoV-2 with an EC_{50} of 48.9 μM; and increases the percentage inhibition of SARS-CoV-2 to 79%, 83% and 93% when co-administers with 25, 50 and 100 μM Indomethacin, respectively[3]. Ketotifen (0-50 μM; 24 h) has inhibitory activity against PR8, pH1N1 and H3N2 with EC_{50}s of 5.9 μM, 33.7 μM and 48.5 μM, respectively; and exhibits relatively low cytotoxicity in MDCK cells (EC_{50}=291 μM)[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Ketotifen (80 mg/kg; i.g.; daily for 3 days) reduces end organ damage and mortality in mice infected with influenza virus[4]. Ketotifen (0.4 mg/kg; i.p.; daily for 10 days) reduces encephalomyelitis (EAE) prevalence and severity[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female C57BL/6 mice (4-6 weeks; intranasal infection with 1×10^3 $TCID_{50}$ of PR8 in 30 μL of DMEM)[4]
Dosage:	80 mg/kg
Administration:	i.g.; daily for 3 days
Result:	Reduced end organ damage and mortality in infected mice.

Animal Model:	Female C57BL/6 mice (5-6 weeks old; subcutaneously immunized with 150 μg of MOG_{35-55} peptide containing 4 mg/mL of Mycobacterium tuberculosis)[5]
Dosage:	0.4 mg/kg
Administration:	i.p.; daily for 10 days (from the 7th day of infection)

Result:	Reduced EAE prevalence and severity; reduced oxidative stress status and inflammasome activation at the CNS; reduced the amount of T cells, especially Th1, in the CNS; downregulated local mRNA expression for mast cell enzymes and preserves blood-CNS barrier permeability; triggered lymphocyte accumulation in draining lymph nodes.

CUSTOMER VALIDATION

- Cell Oncol. 2023 Apr 29.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Klooker TK, et al. The mast cell stabiliser ketotifen decreases visceral hypersensitivity and improves intestinal symptoms in patients with irritable bowel syndrome. Gut. 2010 Sep;59(9):1213-21.

[2]. Zhang H, et al. Advances in the discovery of exosome inhibitors in cancer. J Enzyme Inhib Med Chem. 2020;35(1):1322-1330.

[3]. Kiani P, et al. In Vitro Assessment of the Antiviral Activity of Ketotifen, Indomethacin and Naproxen, Alone and in Combination, against SARS-CoV-2. Viruses. 2021 Mar 26;13(4):558.

[4]. Enkirch T, et al. Identification and in vivo Efficacy Assessment of Approved Orally Bioavailable Human Host Protein-Targeting Drugs With Broad Anti-influenza A Activity. Front Immunol. 2019 Jun 5;10:1097.

[5]. Pinke KH, et al. Calming Down Mast Cells with Ketotifen: A Potential Strategy for Multiple Sclerosis Therapy? Neurotherapeutics. 2020 Jan;17(1):218-234.

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