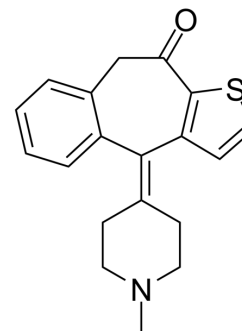


Ketotifen

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]} .	
IC₅₀ & 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.

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REFERENCES

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- [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.

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

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