Product Data Sheet

Cetirizine dihydrochloride

Cat. No.: HY-17042A CAS No.: 83881-52-1 Molecular Formula: $C_{21}H_{27}Cl_3N_2O_3$ Molecular Weight: 461.81

Target: **Histamine Receptor**

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

Storage: 4°C, sealed storage, away from moisture

* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

SOLVENT & SOLUBILITY

In Vitro

DMSO: 100 mg/mL (216.54 mM; Need ultrasonic) H₂O: 100 mg/mL (216.54 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.1654 mL	10.8270 mL	21.6539 mL
	5 mM	0.4331 mL	2.1654 mL	4.3308 mL
	10 mM	0.2165 mL	1.0827 mL	2.1654 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: PBS Solubility: 120 mg/mL (259.85 mM); Clear solution; Need ultrasonic
- 2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (5.41 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.41 mM); Clear solution
- 4. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.41 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Cetirizine dihydrochloride, a second-generation antihistamine and the carboxylated metabolite of hydroxyzine, is a specific, orally active and long-acting histamine H1-receptor antagonist. Cetirizine dihydrochloride marks antiallergic properties and inhibits eosinophil chemotaxis during the allergic response ^{[1][2][3]} .
In Vitro	Cetirizine (>5 μ M) at higher concentrations can reduce the release of GM-CSF and IL-8 from A549 cells stimulated with IL-1 β . Cetirizine exerts anti-inflammatory effects beyond histamine H1-receptor antagonist ^[2] .

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay^[2]

Cell Line: Human airway epithelial cell line A549.

Concentration: 0-10 μM.

Incubation Time: 24 h.

Result: The survival of A549 cells incubated with various concentrations of cetirizine (0.1, 1, 2.5, 5, and 10 μM) for 24 hours were all higher than 90% when comparing with the control group by MTT test.

Cetirizine, 5 and 10 μM, suppressed GM-CSF release by 70.71 and 61.55%, respectively.

Preincubation with cetirizine, 10 μM, suppressed the IL-8 secretion by 75.04%.

In Vivo

Cetirizine (20 mg/kg, mice, orally) exerts its anti-inflammatory effects by inhibiting MIF as well as IL-8 production in mice immunized and challenged with ragweed pollen^[3]·

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Animal Model:	Male 8-week-old BALB/c mice (25-30 g) immunized and challenged with ragweed pollen ^{[3]-}	
Dosage:	2 or 20 mg/kg.	
Administration:	Orally, diluted in sterile water on days 18, 19, and 20.	
Result:	The neutrophilia at 8 h and eosinophilia at 24 h induced by ragweed pollen extract per oswere significantly reduced in the mice treated with 20 mg/kg. The dosage with 2 mg/kg had no effect.	

CUSTOMER VALIDATION

- Pharmacol Res. 2017 Nov;125(Pt B):150-160.
- Behav Brain Res. 2021 May 27;113388.

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REFERENCES

- [1]. Caroline M. Spencer, et al. Cetirizine. Drugs 46 (6): 1055•1080, 1993.
- [2]. Shih MY, et al. Influence of cetirizine and levocetirizine on two cytokines secretion in human airway epithelial cells. Allergy Asthma Proc. 2008 Sep-Oct;29(5):480-5.
- [3]. Shimizu T, et al. Cetirizine, an H1-receptor antagonist, suppresses the expression of macrophage migration inhibitory factor: its potential anti-inflammatory action. Clin Exp Allergy. 2004 Jan;34(1):103-9.

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

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