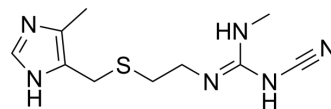


Cimetidine

Cat. No.:	HY-14289		
CAS No.:	51481-61-9		
Molecular Formula:	C ₁₀ H ₁₆ N ₆ S		
Molecular Weight:	252.34		
Target:	Histamine Receptor; Bacterial		
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling; Anti-infection		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 60 mg/mL (237.77 mM; Need ultrasonic)
 H₂O : 2 mg/mL (7.93 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1 mg	5 mg	10 mg
	1 mM		3.9629 mL	19.8145 mL	39.6291 mL
	5 mM		0.7926 mL	3.9629 mL	7.9258 mL
	10 mM		0.3963 mL	1.9815 mL	3.9629 mL

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

In Vivo

- Add each solvent one by one: PBS
Solubility: 3.12 mg/mL (12.36 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: 3 mg/mL (11.89 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 3 mg/mL (11.89 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 3 mg/mL (11.89 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cimetidine (SKF-92334) is an orally active and inverse histamine H₂ receptor antagonist with a K_i of 0.6 μM. Cimetidine is a gastric acid reducer, and can be used for duodenal and gastric ulcers research. Cimetidine has anti-cancer and anti-inflammatory activity^{[1][2][5]}.

IC₅₀ & Target	H ₂ Receptor	Histamine Receptor 0.6 μM (Ki)
In Vitro	<p>Cimetidine (SKF-92334), a partial agonist for H₂R, has a pharmacological profile different from ranitidine and famotidine, possibly contributing to its antitumor activity on gastrointestinal cancers [1]. Cimetidine had no effect on the uptake and cytotoxicity of cisplatin in ovarian cancer cells with high OCT2 mRNA levels (IGROV-1 cells)^[3].</p> <p>Cimetidine showed no effect on proliferation, survival, migration and invasion of 3LL cells. Cimetidine reversed MDSC-mediated T-cell suppression, and improved IFN-γ production^[4].</p> <p>Cimetidine-mediated down-regulation of NCAM involved suppression of the nuclear translocation of NF-kappaB, a transcriptional activator of NCAM gene expression^[5].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>	
In Vivo	<p>Cimetidine (SKF-92334) reduces CD11b(+)Gr-1(+) myeloid derived-suppressive cell (MDSC) accumulation in spleen, blood and tumor tissue of tumor-bearing mice^[4].</p> <p>Cimetidine exerts a beneficial effect on periodontal disease in rats, decreasing the RANKL/OPG ratio in gingival connective tissue and reducing alveolar bone resorption^[6].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>	

CUSTOMER VALIDATION

- Chemosphere. 2019 Jun;225:378-387.
- Ann Transl Med. 2020 Oct;8(20):1304.

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REFERENCES

- [1]. Takahashi, H.K., et al., Cimetidine induces interleukin-18 production through H₂-agonist activity in monocytes. *Mol Pharmacol*, 2006. 70(2): p. 450-3.
- [2]. Sprowl, J.A., et al., Conjunctive therapy of cisplatin with the OCT2 inhibitor cimetidine: influence on antitumor efficacy and systemic clearance. *Clin Pharmacol Ther*, 2013. 94(5): p. 585-92.
- [3]. Zheng, Y., et al., Cimetidine suppresses lung tumor growth in mice through proapoptosis of myeloid-derived suppressor cells. *Mol Immunol*, 2013. 54(1): p. 74-83.
- [4]. Fukuda, M., K. Kusama, and H. Sakashita, Cimetidine inhibits salivary gland tumor cell adhesion to neural cells and induces apoptosis by blocking NCAM expression. *BMC Cancer*, 2008. 8: p. 376.
- [5]. Longhini, R., et al., Cimetidine Reduces the Alveolar Bone Loss in Induced Periodontitis in Rat Molars. *J Periodontol*, 2013.
- [6]. M J Smit, et al. Inverse agonism of histamine H₂ antagonist accounts for upregulation of spontaneously active histamine H₂ receptors. *Proc Natl Acad Sci U S A*. 1996 Jun 25;93(13):6802-7.

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

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