Cimetidine

Cat. No.: HY-14289

CAS No.: 51481-61-9

Molecular Formula: $C_{10}H_{16}N_6S$

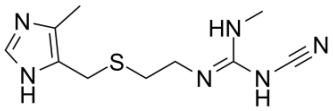
Molecular Weight: 252.34

Target: Histamine Receptor

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

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

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

H_2O : 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

1. 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
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3 mg/mL (11.89 mM); Clear solution
3. 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 an inverse agonist. Cimetidine has anti-cancer and anti-inflammatory activity[1][2][5].

IC_{50} & Target

Histamine Receptor
0.6 μM (Ki)

In Vitro	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]. 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]. Cimetidine-mediated down-regulation of NCAM involved suppression of the nuclear translocation of NF-kappaB, a transcriptional activator of NCAM gene expression[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Cimetidine (SKF-92334) reduceS CD11b(+)Gr-1(+) myeloid derived-suppressive cell (MDSC) accumulation in spleen, blood and tumor tissue of tumor-bearing mice[4]. 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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