# Cimetidine

**Cat. No.:** HY-14289

**CAS No.:** 51481-61-9

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

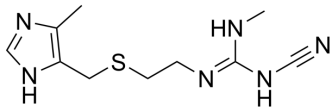
**Molecular Weight:** 252.34

**Target:** Histamine Receptor; 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)

$H_2O$ : 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: PBS
   Solubility: 3.12 mg/mL (12.36 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. 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
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3 mg/mL (11.89 mM); Clear solution
4. 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].

| | | |
|---|---|---|
| **$IC_{50}$ & Target** | $H_2$ Receptor | Histamine Receptor<br>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].<br>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].<br>Cimetidine-mediated down-regulation of NCAM involved suppression of the nuclear translocation of NF-kappaB, a transcriptional activator of NCAM gene expression[5].<br>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].<br>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].<br>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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