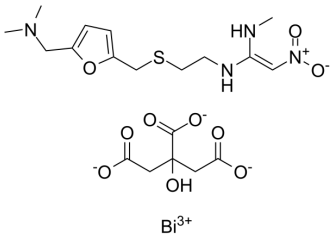
Ranitidine bismuth citrate

Cat. No.:	HY-B0693A
CAS No.:	128345-62-0
Molecular Formula:	$C_{19}H_{27}BiN_4O_{10}S$
Molecular Weight:	712.48
Target:	Histamine Receptor; Bacterial; SARS-CoV
Pathway:	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	Ranitidine bismuth citrate is an orally active Histamine H2-receptor antagonist with an IC_{50} of 3.3 μM. Ranitidine bismuth citrate has high selectivity for SARS-CoV-2-infected cells. Ranitidine bismuth citrate is a commonly used agent anti-Helicobacter pylori infection with an MIC_{90} value of 16 ng/L[1][2][3].
IC_{50} & Target	IC50: 3.3 μM[1]

In Vitro

Ranitidine bismuth citrate (0.1-1 μM, 5 min) is a potent irreversible inhibitor of both the ATPase (IC_{50}=0.69 μM, K_i =0.97 μM) and DNA-unwinding (IC_{50} =0.74 μM, K_i =0.39 μM) of the SARS-CoV-2 helicase[2].

Ranitidine bismuth citrate (24 hours) shows potent activity against SARS-CoV-2 with an EC_{50} value of 2.3 μM in Vero E6 cells [2].

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

Cell Cytotoxicity Assay[2]

Cell Line:	Monkey kidney Vero E6 cells, human colorectal Caco-2 cells
Concentration:	400-3,740 μM
Incubation Time:	48 hours
Result:	Showed low cytotoxicity with the 50% cytotoxicity concentrations (CC_{50}) ranging from 2.2 mM and 2.5 mM.

In Vivo

Ranitidine bismuth citrate (150 mg/kg; intranasally inoculation; once daily; 4 days) suppresses SARS-CoV-2 replication, and relieves virus-associated pneumonia in a golden Syrian hamster model[2].

Ranitidine bismuth citrate (48 mg/kg, i.p.) is effective in eradicating H. pylori and H. mustelae in female ferrests with MIC values of 8 ng/L and 1-2 ng/L, respectively[3].

Ranitidine bismuth citrate (0.1 mg/kg, 0.3 mg/kg; p.o.) is effective in inhibiting gastric acid secretion and (1.0 mM) inhibits human pepsin isoenzymes activity[4].

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

Animal Model:	Male and female Syrian hamsters (6–10 weeks)[1]

Dosage:	150 mg/kg
Administration:	Intranasally inoculation; intraperitoneally given; once daily; 4 days
Result:	Suppressed SARS-CoV-2 replication, and relieved virus-associated pneumonia in a golden Syrian hamster model.

Animal Model:	Female Beagle dogs (14-20 kg)[3]
Dosage:	0.1 mg/kg
Administration:	Oral dosed every hour, for 5 hours
Result:	Inhibited gastric acid secretion.

Animal Model:	Female, random-bred hooded rats (weight range 90-120 g)[4]
Dosage:	0.5 mL/100 g
Administration:	Pre-treated with indomethacin (5 mg/kg s.c.); oral gavage
Result:	Inhibited gastric mucosal damage in the rat.

REFERENCES

[1]. Herling AW, et al. Inhibition of 14C-aminopyrine accumulation in isolated rabbit gastric glands by the H2-receptor antagonist HOE 760 (TZU-0460). Agents Actions. 1987 Feb. 20(1-2):35-9.

[2]. Yuan S, et al. Metallodrug ranitidine bismuth citrate suppresses SARS-CoV-2 replication and relieves virus-associated pneumonia in Syrian hamsters. Nat Microbiol. 2020 Nov. 5(11):1439-1448.

[3]. Lambert JR, et al. The actions of bismuth in the treatment of Helicobacter pylori infection. Aliment Pharmacol Ther. 1997 Apr. 11(Suppl 1):27-33.

[4]. Stables R, et al. Gastric anti-secretory, mucosal protective, anti-pepsin and anti-Helicobacter properties of ranitidine bismuth citrate. Aliment Pharmacol Ther. 1993 Jun. 7(3):237-46.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA