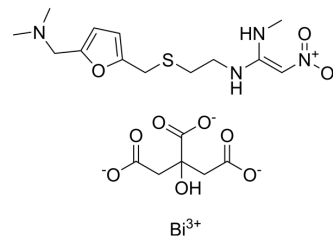


## Ranitidine bismuth citrate

<b>Cat. No.:</b>	HY-B0693A
<b>CAS No.:</b>	128345-62-0
<b>Molecular Formula:</b>	C <sub>19</sub> H <sub>27</sub> BiN <sub>4</sub> O <sub>10</sub> S
<b>Molecular Weight:</b>	712.48
<b>Target:</b>	Histamine Receptor; Bacterial; SARS-CoV
<b>Pathway:</b>	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling; Anti-infection
<b>Storage:</b>	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	Ranitidine bismuth citrate is an orally active Histamine H <sub>2</sub> -receptor antagonist with an IC <sub>50</sub> 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 <sub>90</sub> value of 16 ng/L <sup>[1][2][3]</sup> .								
<b>IC<sub>50</sub> &amp; Target</b>	H <sub>2</sub> Receptor								
<b>In Vitro</b>	<p>Ranitidine bismuth citrate (0.1-1 μM, 5 min) is a potent irreversible inhibitor of both the ATPase (IC<sub>50</sub>=0.69 μM, K<sub>i</sub>=0.97 μM) and DNA-unwinding (IC<sub>50</sub>=0.74 μM, K<sub>i</sub>=0.39 μM) of the SARS-CoV-2 helicase<sup>[2]</sup>.</p> <p>Ranitidine bismuth citrate (24 hours) shows potent activity against SARS-CoV-2 with an EC<sub>50</sub> value of 2.3 μM in Vero E6 cells<sup>[2]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Cytotoxicity Assay<sup>[2]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>Monkey kidney Vero E6 cells, human colorectal Caco-2 cells</td> </tr> <tr> <td>Concentration:</td> <td>400-3,740 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>48 hours</td> </tr> <tr> <td>Result:</td> <td>Showed low cytotoxicity with the 50% cytotoxicity concentrations (CC<sub>50</sub>) ranging from 2.2 mM and 2.5 mM.</td> </tr> </table>	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 <sub>50</sub> ) ranging from 2.2 mM and 2.5 mM.
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<b>In Vivo</b>	<p>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<sup>[2]</sup>.</p> <p>Ranitidine bismuth citrate (48 mg/kg, i.p.) is effective in eradicating H. pylori and H. mustelae in female ferrets with MIC values of 8 ng/L and 1-2 ng/L, respectively<sup>[3]</sup>.</p> <p>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<sup>[4]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Male and female Syrian hamsters (6-10 weeks)<sup>[1]</sup></td> </tr> </table>	Animal Model:	Male and female Syrian hamsters (6-10 weeks) <sup>[1]</sup>						
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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) <sup>[3]</sup>
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) <sup>[4]</sup>
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 H<sub>2</sub>-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.

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

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