Zanamivir

Cat. No.: HY-13210

CAS No.: 139110-80-8

Molecular Formula: $C_{12}H_{20}N_4O_7$

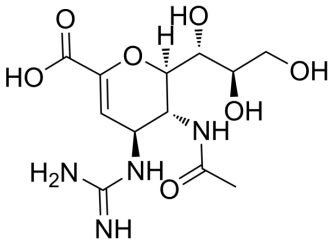
Molecular Weight: 332.31

Target: Influenza Virus; Antibiotic

Pathway: Anti-infection

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 33.33 mg/mL (100.30 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.0092 mL	15.0462 mL	30.0924 mL
5 mM	0.6018 mL	3.0092 mL	6.0185 mL
10 mM	0.3009 mL	1.5046 mL	3.0092 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 9.09 mg/mL (27.35 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

BIOLOGICAL ACTIVITY

Description

Zanamivir is an influenza viral neuraminidase inhibitor with IC_{50} values of 0.95 nM and 2.7 nM for influenza A and B, respectively.

IC_{50} & Target

IC50: 0.95 nM (Influenza A); 2.7 nM (Influenza B)[1]

In Vitro

Zanamivir interacts with a group of amino acids in the active site of neuraminidase, which are conserved in all influenza A and B strains. Zanamivir blocks the action of neuraminidase, which prevents the cleavage of sialic acid on the cell receptors, thus preventing release and spread of the newly formed virions[2].

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

In Vivo

Zanamivir has a poor bioavailability in oral administration, with only 4–17% of the agent. Oral delivery of zanamivir has been

a problem due to its strong hydrophilic nature that limits its transport across the intestinal epithelium. Permeation enhancers such as sodium cholate, hydroxypropyl β-cyclodextrin could be used with zanamivir to enhance the intestinal permeability[3].

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PROTOCOL

Animal Administration [3]

Rats: Formulations PO-SC (Zanamivir with SC for p.o.) and PO-C (Zanamivir control solution for p.o.) are administered orally at a Zanamivir dose of 10 mg/kg, and IV-R (reference Zanamivir saline solution for i.v.) is administered i.v. at a dose of 1 mg/kg to rats under conscious condition. Blood samples are collected prior to and at 0.5, 1, 2, 3, 4, 6, 8, and 24 hr after administration. At each sampling point, three rats from each group are sacrificed after blood collection to extract the lungs. The lungs are cleansed with saline after extraction of lungs from the rats through a chest incision. The lungs are then transferred into E-tube and stored in the freezer (-80°C) until analysis. Plasma samples are harvested by centrifugation at 1,500 × g for 10 min and stored at -20°C until analysis. The analysis of Zanamivir in both plasma and lungs is performed using before-mentioned LC-MS/MS method[3].

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

CUSTOMER VALIDATION

- Signal Transduct Target Ther. 2021 Apr 24;6(1):165.
- Antimicrob Agents Chemother. 2020 Jun 23;64(7):e00222-20.
- PLoS One. 2018 Jul 12;13(7):e0200761.
- bioRxiv. 2020 Mar.
- bioRxiv. July 26, 2018.

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REFERENCES

[1]. Gubareva LV, et al. Comparison of the activities of zanamivir, oseltamivir, and RWJ-270201 against clinical isolates ofinfluenza virus and neuraminidase inhibitor-resistant variants. Antimicrob Agents Chemother. 2001 Dec;45(12):3403-8.

[2]. McKimm-Breschkin JL, et al. Management of influenza virus infections with neuraminidase inhibitors: detection, incidence, and implications of drug resistance. Treat Respir Med. 2005;4(2):107-16.

[3]. Shanmugam S, et al. Zanamivir oral delivery: enhanced plasma and lung bioavailability in rats. Biomol Ther (Seoul). 2013 Mar;21(2):161-9.

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