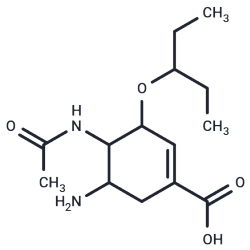
Data Sheet (Cat.No.T5186)

TargetMol

Oseltamivir acid

Chemical Properties



CAS No. : 187227-45-8

Formula: C14H24N2O4

Molecular Weight: 284.35

Storage: Keep away from direct sunlight
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Oseltamivir acid (GS4071) is a potent influenza virus neuraminidase inhibitor and the prodrug of GS4071.
Targets(IC50)	Drug Metabolite,Influenza Virus
In vitro	Oseltamivir acid, a potent influenza virus neuraminidase inhibitor, was highly inhibitory to influenza A/NWS/33 (H1N1), A/Victoria/3/75 (H3N2), A/Shangdong/09/93 (H3N2) and B/Hong Kong/5/72 viruses in Madin Darby canine kidney (MDCK) cells. The 50% effective concentrations in these experiments ranged from 1.8 to 59.5 microM, with no cytotoxicity evident at 1000 microM [1]. Influenza B and A/H1N1 viruses were sensitive to oseltamivir (mean B IC50 value: 13 nM; mean H1N1 IC50 value: 1.34 nM). A/H1N2 and A/H3N2 viruses were more sensitive to oseltamivir (mean H3N2 IC50 value: 0.67 nM; mean H1N2 IC50 value: 0.9 nM) [2].
In vivo	The ethyl ester prodrug of Oseltamivir acid, GS4104, administered by oral gavage (p.o.), had significant inhibitory effects on infections in mice induced by these viruses. No toxicity was seen in dosages up to 100 mg/kg/day. The minimum effective dosage for GS4104 was 0.1 mg/kg/day, with the compound administered twice daily for 5 days beginning 4 h pre-virus exposure. Oral therapy with GS4104 could be delayed from 48 to at least 60 h after exposure of mice to influenza A (H1N1) virus and still render a significant antiviral effect, the time of delay being dependent on the viral challenge dose [1]. Oseltamivir produced a dose-dependent antiviral effect against VN1203/04 in vivo. The 5-day regimen at 10 mg/kg/day protected 50% of mice; deaths in this treatment group were delayed and indicated the replication of residual virus after the completion of treatment. Eight-day regimens improved oseltamivir efficacy, and dosages of 1 and 10 mg/kg/day significantly reduced virus titers in organs and provided 60% and 80% survival rates, respectively [3].
Animal Research	Female 6-week-old BALB/c mice were anesthetized with isofluorane and intranasally inoculated with 50 μL of 10-fold serial dilutions of VN1203/04 virus in PBS. The mouse lethal dose (MLD50) was calculated after a 16-day observation period. Oseltamivir was administered by oral gavage twice daily for 5 or 8 days to groups of 10 mice at dosages of 0.1, 1, and 10 mg/kg/day. Control (infected but untreated) mice received sterile PBS on the same schedule. Four hours after the first dose of oseltamivir, the mice were inoculated intranasally with 5 MLD50 of VN1203/04 virus in 50 μL of PBS. Survival and

Animal Research	weight change were observed for 24 days. Virus titers in the mouse organs were determined on days 3, 6, and 9 after inoculation. Three mice from each experimental and placebo group were killed, and the lungs and brains were removed. The organs were homogenized and suspended in 1 mL of PBS. The cellular debris was cleared by centrifugation at 2000 g for 5 min. The limit of virus detection was 0.75 log10 EID50. For calculation of the mean, samples with a virus titer <0.75 log10 EID50/mL were assigned a value of 0. Virus titers in each organ were calculated by use of the method of Reed and Muench and are expressed as mean log10 EID50/mL ± SE [3].

Solubility Information

Solubility	DMSO: 8.68 mg/mL (30.53 mM),Sonication is recommended. H2O: 56 mg/mL (196.94 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (17.58 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.5168 mL	17.584 mL	35.1679 mL
5 mM	0.7034 mL	3.5168 mL	7.0336 mL
10 mM	0.3517 mL	1.7584 mL	3.5168 mL
50 mM	0.0703 mL	0.3517 mL	0.7034 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Sidwell RW, et al. Inhibition of influenza virus infections in mice by GS4104, an orally effective influenza virus neuraminidase inhibitor. Antiviral Res. 1998 Feb;37(2):107-20.

Luo D, Ye Q, Li R T, et al.PA-E18G substitution in influenza A virus confers resistance to ZX-7101, a cap-dependent endonuclease inhibitor.Virologica Sinica.2023

Ferraris O, et al. Sensitivity of influenza viruses to zanamivir and oseltamivir: a study performed on viruses circulating in France prior to the introduction of neuraminidase inhibitors in clinical practice. Antiviral Res. 2005 Oct;68(1):43-8.

Yen HL, et al. Virulence may determine the necessary duration and dosage of oseltamivir treatment for highly pathogenic A/Vietnam/1203/04 influenza virus in mice. J Infect Dis. 2005 Aug 15;192(4):665-72.

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481