Product Data Sheet

Product Name: Oseltamivir
Cat. No.: GC17609

Chemical Properties

Cas. No.	196618-13-0		
Chemical Name	ethyl (3R,4R,5S)-4-acetamido-5-amino-3-pentan-3-yloxycyclohexene-1-carboxylate		
SMILES	CCC(CC)OC1C=C(CC(C1NC(=O)C)N)C(=O)OCC		
Formula	$C_{16}H_{28}N_2O_4$	M.Wt	312.4
Solubility	≥ 31.2 mg/mL in DMSO, ≥ 119.4 mg/mL in EtOH with gentle warming, ≥ 82 mg/mL in Water with gentle warming	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Oseltamivir		

Protocol

Cell experiment [1]:

Cell lines	MDCK cells infected with the H1N1 IVA virus
Preparation Method	The inhibitory dose range of Oseltamivir was tested by adding 100 $TCID_{50}$ of IVA447 to the MDCK cells. Cells were first washed with PBS, and replenished with 100ul medium containing Oseltamivir of respective concentrations for 72h.

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Reaction Conditions	0-12.8nM; 72h
Applications	Partial rescue of the cells was observed with Oseltamivir treatment at 0.0125nM and exerted complete inhibition at more than 0.05nM.

Animal experiment [2]:

Animal models	RAGxCγ double mutant mice bearing heterotopic xenografts of MDA-MB-231 tumors
Preparation Method	Treatment with 30mg/kg and 50mg/kg of soluble Oseltamivir in sterile saline were injected daily intraperitoneally starting at day 10 postimplantation, when the tumor volumes reached 10-20mm^3. For the 50mg/kg Oseltamivir cohort, the daily treatment regimen continued to day 111, then once a week until day 124, when the mice were taken off the drug treatment.
Dosage form	30mg/kg and 50mg/kg; i.p.

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Applications	Treatment with 30mg/kg and 50mg/kg of soluble Oseltamivir in sterile saline with daily injections intraperitoneally at day 10 postimplantation when the tumor volume reached 10-20mm^3 attenuated the aggressive tumor vascularization with skin lesions and the tumor growth.Live tumor weight per mouse body weight indicated a significant reduction for the Oseltamivir 30mg/kg cohort at days 32-42 compared to the untreated cohort. There were no visible tumors for the 50mg/kg Oseltamivir cohort at day 180. The survival rate of the 50mg/kg Oseltamivir-treated cohort was significant compared to the untreated control group. The daily dosage of 30mg/kg and 50mg/kg Oseltamivir treatment intraperitoneally, significantly attenuated the metastatic spread of MDA-MB-231 breast cancer cells to the lungs compared to the extensive metastatic clusters of cancer cells in the lungs for the untreated cohort.

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References:
[1] Chan RWY, Tao KP, Ye J, et al. Inhibition of Influenza Virus Replication by Oseltamivir Derivatives. Pathogens. 2022;11(2):237.
[2] Haxho F, Allison S, Alghamdi F, et al. Oseltamivir phosphate monotherapy ablates tumor neovascularization, growth, and metastasis in mouse model of human triple-negative breast adenocarcinoma. Breast Cancer (Dove Med Press). 2014;6:191-203.

Background

Oseltamivir is an inhibitor of influenza neuraminidase, with IC_{50} values of 13nM, 1.34nM, 0.9nM, and 0.67nM against Influenza B, A/H1N1, A/H1N2, and A/H3N2 viruses, respectively[1]. As a neuraminidase inhibitor, Oseltamivir attenuates the penetration of viruses through the mucus on the respiratory tract and inhibits the release of virus progeny from infected cells[2].

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In vitro, with 3 days of treatment, Oseltamivir at 0.0125nM showed partial inhibition, whereas concentrations above 0.05nM showed complete inhibition in H1N1 IVA-infected MDCK cells[2]. Oseltamivir (500-800μg/mL; 24-72h) inhibited the viability of MDA-MB-231 and MCF-7 cells in a dose- and time-dependent manner[3].

In vivo, in BALB/c mice infected with the H3N1 influenza virus, Oseltamivir (1 and 10mg/kg/d; p.o.; twice daily from 4 hours before infection to 5 days post-infection) dose-dependently reduced total cells, neutrophils, macrophages, and pro-inflammatory cytokines in bronchoalveolar lavage fluid (BALF)[4].

References:
[1] 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 research vol. 68,1 (2005): 43-8.
[2] Chan RWY, Tao KP, Ye J, et al. Inhibition of Influenza Virus Replication by Oseltamivir Derivatives. Pathogens. 2022;11(2):237.
[3] Haxho F, Allison S, Alghamdi F, et al. Oseltamivir phosphate monotherapy ablates tumor neovascularization, growth, and metastasis in mouse model of human triple-negative breast adenocarcinoma. Breast Cancer (Dove Med Press). 2014;6:191-203.
[4] Wong ZX, Jones JE, Anderson GP, Gualano RC. Oseltamivir treatment of mice before or after mild influenza infection reduced cellular and cytokine inflammation in the lung. Influenza Other Respir Viruses. 2011;5(5):343-350.

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