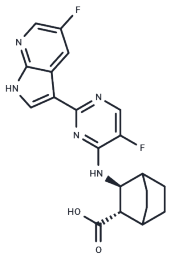
Data Sheet (Cat.No.T4377)

TargetMol

Pimodivir

Chemical Properties



CAS No. : 1629869-44-8

Formula: C20H19F2N5O2

Molecular Weight: 399.39

Storage: 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	Pimodivir (VX-787), an influenza A virus polymerases inhibitor via oral, interacts with the viral PB2 subunit.
Targets(IC50)	Influenza Virus
In vitro	Pimodivir is very potent against influenza A strains,and shows potent activity against all influenza A virus strains tested, with an EC50 range of 0.13 to 3.2 nM.
In vivo	In mouse influenza model,Pimodivir (1, 3, or 10 mg/kg, bid) provided complete survival. Pimodivir (2, 6, and 20 mg/kg/day, p.o.) completely prevent death in the H1N1pdm virus infection in mice.
Kinase Assay	Virus sensitivity to neuraminidase inhibitors is determined by the chemiluminescent neuraminidase inhibitor assay using an NA-XTD kit. Viruses are diluted in NA-XTD assay buffer (26 mM morpholineethanesulfonic acid, 4 mM CaCl2 [pH 6.0]) such that the signal-to-noise ratio is greater than 40:1. Resistance is defined as determination of a 50% inhibitory concentration greater than 10-fold the mean for the type/subtype
Cell Research	Pimodivir is dissolved in DMSO.The compound cytotoxicity and efficacy testing is performed in 96-well plates with macrophages at 95% confluence. The compounds are added to the medium, and 30 min later, the cells are infected with virus or non-infected. The cell viability is analyzed with the Cell Titer Glo assay at 24 hpi. The luminescence is read with a PHERAstar FS plate reader.
Animal Research	The mice, infected intranasally with influenza virus, are given Pimodivir(prepared in 0.5% methylcellulose) twice a day.

Solubility Information

Solubility	DMSO: 50 mg/mL (125.19 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 5 mg/mL (12.52 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (12.52 mM),Suspension. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one.*

In vivo Formulation	*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	2.5038 mL	12.5191 mL	25.0382 mL
5 mM	0.5008 mL	2.5038 mL	5.0076 mL
10 mM	0.2504 mL	1.2519 mL	2.5038 mL
50 mM	0.0501 mL	0.2504 mL	0.5008 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

Smee DF, et al. Activities of JNJ63623872 and oseltamivir against influenza A H1N1pdm and H3N2 virus infections in mice. Antiviral Res. 2016 Dec;136:45-50.
Fu Y, et al. JNJ872 inhibits influenza A virus replication without altering cellular antiviral responses. Antiviral Res. 2016 Sep;133:23-31.
Boyd MJ, et al. Isosteric replacements of the carboxylic acid of drug candidate VX-787: Effect of charge on antiviral potency and kinase activity of azaindole-based influenza PB2 inhibitors. Bioorg Med Chem Lett. 2015 May 1;25(9):11990-4.
Byrn RA, et al. PreClinicalal activity of VX-787, a first-in-class, orally bioavailable inhibitor of the influenza virus polymerase PB2 subunit. Antimicrob Agents Chemother. 2015 Mar;59(3):1569-82.