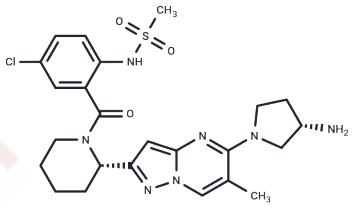
Data Sheet (Cat.No.TQ0085)

TargetMol

Presatovir

Chemical Properties



CAS No. : 1353625-73-6

Formula: C24H30ClN7O3S

Molecular Weight: 532.06

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	Presatovir (GS-5806) (GS-5806) is a novel, orally bioavailable RSV fusion inhibitor (mean EC50: 0.43 nM).
Targets(IC50)	RSV
In vitro	Presatovir exhibits potent activity against a wide range of RSV A and B clinical isolates (mean EC50: 0.43 nM) [1]. GS-5806 inhibits pre to post triggered conformational changes of RSV F protein, suggesting a possible mechanism for antiviral activity [2].
In vivo	In a cotton rat model of RSV infection, Presatovir demonstrates dose-dependent (0-30 mg/kg) antiviral efficacy. Oral bioavailability in preclinical species ranges from 46 to 100%, with penetration of the compound into the lung tissue demonstrated in Sprague-Dawley rats. Multidose oral treatment of Presatovir appears safe in adults, and in healthy human volunteers experimentally infected with RSV, a potent antiviral effect and reduction in disease severity are observed in the high dose group [1].
Cell Research	GS-5806 is diluted in 100% DMSO. To conduct the cytopathic antiviral assay, 0.4 μL of 100×concentrated 3-fold serially diluted drug is added to 20 μL of cell culture medium in a 384-well plate. HEp-2 cells are then suspended in MEM plus 10% FBS at a density of 1×10^5 cells/mL, are infected in bulk with RSV A2 at a titer of approximately 1×10^4.5 tissue culture infectious doses/mL. Immediately following infection, 20 μL of RSV-infected cells are added to each well. The cells are then cultured for 4 days at 37 °C. Following this incubation, the cells are allowed to equilibrate to 25°C. The RSV-induced cytopathic effect is determined by adding 40 μL of Cell-Titer Glo viability reagent. Following a 10 min incubation at 25 °C, cell viability is determined [1].

Solubility Information

Solubility	DMSO: 1 mg/mL (1.88 mM),Sonication is recommended. H2O: Insoluble, (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8795 mL	9.3974 mL	18.7949 mL
5 mM	0.3759 mL	1.8795 mL	3.759 mL
10 mM	0.1879 mL	0.9397 mL	1.8795 mL
50 mM	0.0376 mL	0.1879 mL	0.3759 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

Mackman RL, et al. Discovery of an oral respiratory syncytial virus (RSV) fusion inhibitor (GS-5806) and clinical proof of concept in a human RSV challenge study. J Med Chem. 2015 Feb 26;58(4):1630-1643.
Samuel D, et al. GS-5806 inhibits pre- to postfusion conformational changes of the respiratory syncytial virus fusion protein. Antimicrob Agents Chemother. 2015 Nov;59(11):7109-12.