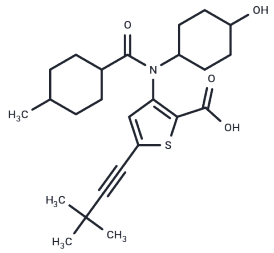
Data Sheet (Cat.No.T6729)

TargetMol

Lomibuvir

Chemical Properties



CAS No. : 1026785-55-6

Formula: C25H35NO4S

Molecular Weight: 445.61

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	Lomibuvir (VCH-222) is a selective, non-nucleoside allosteric inhibitor of HCV NS5B polymerase (RdRp) with a Kd of 17 nM. Lomibuvir inhibits the 1b/Con1 HCV subgenomic replicon with an EC 50 of 5.2 nM. Lomibuvir preferentially inhibits elongative RNA synthesis rather than de novo -initiated RNA synthesis [1].
Targets(IC50)	HCV Protease,DNA/RNA Synthesis
In vitro	Lomibuvir (VX-222) is an effective non-nucleoside, allosteric inhibitor of the hepatitis C virus NS5B polymerase, exhibiting strong clinical efficacy. It demonstrates potent inhibition against the wild-type HCV 1b/Con1 replicon with an EC50 of 5.2 nM and against mutant replicons M423T, L419M, and I482L with EC50s of 79.8, 563.1, and 45.3 nM, respectively. Besides slightly hindering de novo initiation, Lomibuvir prominently impairs primer extension, illustrated by an IC50 of 31 nM for primer-extended RNA synthesis.
In vivo	In rats and dogs, Lomibuvir displays fine pharmacokinetic prole, including low total body clearance and excellent oral bioavailability (greater than 30%) and good ADME properties. Lomibuvir is biotransformed by several enzymes (CYP1A1, 2A6, 2B6, 2C8, CYP 3A4, UGT1A3) and is predicted to be actively transported in liver and excreted mainly intact in bile or as glucuronide adducts. [3]

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 82 mg/mL (184.02 mM),Sonication is recommended. DMSO: 82 mg/mL (184.02 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2441 mL	11.2206 mL	22.4411 mL
5 mM	0.4488 mL	2.2441 mL	4.4882 mL
10 mM	0.2244 mL	1.1221 mL	2.2441 mL
50 mM	0.0449 mL	0.2244 mL	0.4488 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

Bedard J, et al. J Hepatol, 2009, 50(Suppl 1), S340.
Yi G, et al. Antimicrob Agents Chemother, 2012, 56(2), 830-837.
Chauret N, et al. J Hepatol, 2009, 50(Suppl 1), S341-S342.