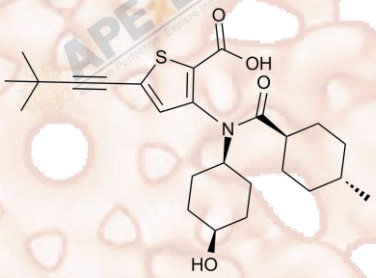
Product Data Sheet

VX-222 (VCH-222, Lomibuvir)



Cat. No.:	A4032
CAS No.:	1026785-59-0
Formula:	C25H35NO4S
M.Wt:	445.6
Synonyms:	Lomibuvir, VCH222,VCH-222, VX222
Target:	Proteases
Pathway:	HCV Protease
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

⩾44.6 mg/mL in DMSO; insoluble in H2O; ⩾97.2 mg/mL in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.2442 mL	11.2208 mL	22.4417 mL
5 mM	0.4488 mL	2.2442 mL	4.4883 mL
10 mM	0.2244 mL	1.1221 mL	2.2442 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	NNI of HCV RNA polymerase
IC_{50} & Target	0.94-1.2 μM (HCV RNA-dependent RNA polymerase)

In Vitro

Cell Viability Assay

Cell Line:	HCV genotype 1b (HCV-1b) mADE replicon cells.
Preparation method：	Soluble in DMSO > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	1 μM; 6 days.

	Applications:	In HCV replicon cells, VX-222 dose-dependently increases IFN-β promoter activity by 5.0-fold and inhibits HCV activity with EC50 and EC90 values of 0.3 and 12 nM, respectively. Also, VX-222 rescues the Sendai virus-activated Rig-I pathway due to the inhibition of viral replication.
In Vivo	**Animal experiment**	
	Dosage form:	100 or 400 mg twice daily; VX-222+telaprevir ('DUAL' regimen), with ribavirin ('TRIPLE' regimen), or with peginterferon+ribavirin ('QUAD' regimen); 12 weeks.
	Applications:	VX-222 (100 or 400 mg twice daily) is well tolerated. Patients exhibit sustained virologic response by 67%, 79% and 90% for TRIPLE (VX-222 400 mg twice daily) and QUAD (VX-222 100 and 400 mg twice daily), respectively.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1]. Yi G, Deval J, Fan B, et al. Biochemical study of the comparative inhibition of hepatitis C virus RNA polymerase by VX-222 and filibuvir. Antimicrob Agents Chemother, 2012, 56(2): 830-837.

[2]. Kalkeri G, Lin C, Gopilan J, et al. Restoration of the activated Rig-I pathway in hepatitis C virus (HCV) replicon cells by HCV protease, polymerase, and NS5A inhibitors in vitro at clinically relevant concentrations. Antimicrob Agents Chemother, 2013, 57(9): 4417-4426.

[3]. Di Bisceglie AM, Sulkowski M, Gane E, et al. VX-222, a non-nucleoside NS5B polymerase inhibitor, in telaprevir-based regimens for genotype 1 hepatitis C virus infection. Eur J Gastroenterol Hepatol, 2014, 26(7): 761-773.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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