

产品名称: **VX-222 (VCH-222, Lomibuvir)**

产品别名: **VX-222**

生物活性:

Description	<p>VX-222 (VCH-222) is a novel, potent and selective inhibitor of HCV polymerase with IC50 of 0.94-1.2 μM, 15.3-fold less effective for mutant M423T, and 108-fold less effective for mutant I482L. IC50 Value: 0.94 μM (HCV NS5B 1a); 1.2 μM (HCV NS5B 1b) Target: HCV VX-222 is a small molecule non-nucleoside inhibitor of HCV NS5B polymerase that is being investigated for the treatment of hepatitis C virus infection. VX-222 exhibits non-competitive and selective inhibition in HCV NS5B of genotype 1a and 1b, with IC50 of 0.94 and 1.2 μM, respectively. VX-222 selectively inhibits the replication of subgenomic HCV genotype 1a and 1b with an EC50 of 22.3 and 11.2 nM, respectively. [1] Similarly, a recent study shows that VX-222 inhibits the 1b/Con1 HCV subgenomic replicon, with an EC50 of 5 nM. In rats and dogs, VCH-222 displays fine pharmacokinetic profile, including low total body clearance and excellent oral bioavailability (greater than 30%) and good ADME properties. VCH-222 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.</p>			
Solvent&Solubility	<p><i>In Vitro:</i></p> <p>DMSO : \geq 32 mg/mL (71.81 mM)</p> <p>* "\geq" means soluble, but saturation unknown.</p>			
	Preparing Stock Solutions	Solvent	Mass	
		Concentration		
			1 mg	5 mg
				10 mg
		1 mM	2.2441 mL	11.2206 mL
		5 mM	0.4488 mL	2.2441 mL
		10 mM	0.2244 mL	1.1221 mL
	<p>*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。</p> <p>储备液的保存方式和期限 -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。</p>			
References	<p>[1]. Yi G, Deval J, Fan B, Cai H, Soulard C, Ranjith-Kumar CT, Smith DB, Blatt L, Beigelman L, Kao CC. Biochemical study of the comparative inhibition of hepatitis C virus RNA polymerase by VX-222 and filibuvir. Antimicrob Agents Chemother. 2012 Feb;56(2):830-7. Epub 2011 Dec 5.</p> <p>[2]. Godzik P, Komorowski M, Cielecka-Kuszyk J, Madaliński K. [Inhibitors of hepatitis C virus--current standards and status of investigations]. Przegl Epidemiol. 2010;64(4):473-8.</p> <p>[3]. M. Rodriguez-Torres et al. SAFETY AND ANTIVIRAL ACTIVITY OF THE HCV NON-NUCLEOSIDE POLYMERASE INHIBITOR VX-222 IN TREATMENT-NAIVE GENOTYPE 1 HCV-INFECTED PATIENTS Journal of Hepatology Volume 52, Supplement 1 , Page S14, April 2010</p>			