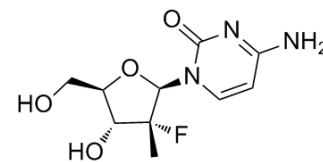


PSI-6130

Cat. No.:	HY-10165		
CAS No.:	817204-33-4		
Molecular Formula:	C ₁₀ H ₁₄ FN ₃ O ₄		
Molecular Weight:	259.23		
Target:	HCV		
Pathway:	Anti-infection		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (192.88 mM)
 * "≥" means soluble, but saturation unknown.

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	3.8576 mL	19.2879 mL	38.5758 mL
	5 mM	0.7715 mL	3.8576 mL	7.7152 mL
	10 mM	0.3858 mL	1.9288 mL	3.8576 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (9.64 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.5 mg/mL (9.64 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (9.64 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PSI-6130 is a potent and selective inhibitor of HCV NS5B polymerase, and inhibits HCV replication with a mean IC₅₀ of 0.6 μM.

IC₅₀ & Target

IC₅₀: 0.6 μM (HCV replication)^[2]

In Vitro

PSI-6130 exhibits potent and specific inhibitory activity against HCV RNA replication mediated by the NS5B polymerase.

Both PSI-6130 inhibit HCV GT-1b (Con1 strain) and GT-1a (H77 strain) subgenomic RNA replication, with mean EC₅₀ values of 0.51 and 0.30 μM, respectively. PSI-6130 inhibits 40% human serum with EC₅₀ of 0.51 μM^[1]. PSI-6130 inhibits HCV replication with a mean IC₅₀ of 0.6 μM, PSI-6130-TP inhibits HCV replicase with a mean IC₅₀ of 0.34 μM. PSI-6130-TP inhibits recombinant HCV Con1 NS5B on a heteropolymeric RNA template derived from the 3'-end of the negative strand of the HCV genome with an IC₅₀ of 0.13 μM and K_i of 0.023 μM^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay ^[1]

The inhibition potency of compounds with respect to the RdRp activity of recombinant NS5B570-BK, NS5B570-Con1, and NS5B570-H77 proteins is determined by measuring the incorporation of radiolabeled NMP into acid-insoluble RNA products by use of a complement strand of internal ribosomal entry site (IRES) RNA template. Briefly, 50% inhibitory concentration (IC₅₀) determinations are carried out using 200 nM in vitro-transcribed IRES RNA template, 1 μCi of tritiated UTP (42 Ci/mmol), 500 μM ATP, 500 μM GTP, 1 μM CTP, 1× TMDN buffer (40 mM Tris-HCl [pH 8.0], 4 mM MgCl₂, 4 mM dithiothreitol, 40 mM NaCl), and 200 nM enzyme. The inhibition potency of compounds with respect to the RdRp activity of NS5B570-S282T-Con1 is determined under GT-1b assay conditions as. NS5B570-BK and NS5B570-Con1 enzymes are used as controls. The final reaction volume is 50 μL under all assay conditions. All reactions contain a final 10% dimethyl sulfoxide. K_m and K_i values are measured.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Antiviral Res. 2019 Oct;170:104570.

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REFERENCES

[1]. Ali S, et al. Selected replicon variants with low-level in vitro resistance to the hepatitis C virus NS5B polymerase inhibitor PSI-6130 lack cross-resistance with R1479. Antimicrob Agents Chemother. 2008 Dec;52(12):4356-69.

[2]. Ma H, et al. Characterization of the metabolic activation of hepatitis C virus nucleoside inhibitor beta-D-2'-Deoxy-2'-fluoro-2'-C-methylcytidine (PSI-6130) and identification of a novel active 5'-triphosphate species. J Biol Chem. 2007 Oct 12;282(41):29812-20. Epub 2007 Aug 13.

Caution: Product has not been fully validated for medical applications. For research use only.

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