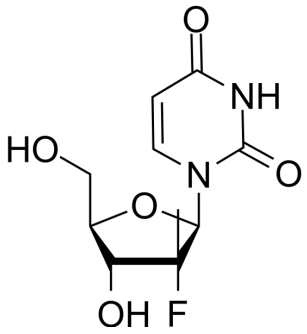
PSI-6206

Cat. No.:	HY-15236
CAS No.:	863329-66-2
Molecular Formula:	$C_{10}H_{13}FN_2O_5$
Molecular Weight:	260.22
Target:	HCV
Pathway:	Anti-infection
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (384.29 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.8429 mL	19.2145 mL	38.4290 mL
	5 mM	0.7686 mL	3.8429 mL	7.6858 mL
	10 mM	0.3843 mL	1.9215 mL	3.8429 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (9.61 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.61 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.61 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PSI-6206 (RO 2433) is the deaminated derivative of PSI-6130, which is a potent and selective inhibitor of HCV NS5B polymerase. PSI-6206 low potently inhibits HCV replicon with EC_{90} of >100 μM.

IC_{50} & Target

EC90>100 μM (HCV replicon)[1]

In Vitro

PSI-6206 (RO 2433) is tested for anti-HCV activity in both a cell-based quantitative real-time RT-PCR assay and surrogate bovine viral diarrhea virus (BVDV) assays. PSI-6206 demonstrates no activity or cytoxicity in any assay[1]. The formation of

the 5′-triphosphate (TP) of PSI-6130 (PSI-6130-TP) and RO2433 (RO2433-TP) increases with time and reached steady state levels at 48 h. RO2433-TP also inhibits RNA synthesis by the native HCV replicase isolated from HCV replicon cells and the recombinant HCV polymerase NS5B[2]. PSI-6206 (RO2433) is the deaminated derivative of PSI-6130, which is a potent and selective inhibitor of HCV NS5B polymerase[3].

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

CUSTOMER VALIDATION

- Naunyn Schmiedebergs Arch Pharmacol. 2017 Mar;390(Suppl 1):1-101.
- Naunyn Schmiedebergs Arch Pharmacol. 2016 Feb;389 Suppl 1(Suppl 1):1-104.
- J Chromatogr B Analyt Technol Biomed Life Sci. 2019 Mar 15;1110-1111:15-24.

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REFERENCES

[1]. Clark JL, et al. Design, Synthesis, and Antiviral Activity of 2'-Deoxy-2'-fluoro-2'-C-methyl-cytidine, a Potent Inhibitor of Hepatitis C Virus Replication. J Med Chem. 2005 Aug 25;48(17):5504-8.

[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):29

[3]. Wang P, et al. An efficient and diastereoselective synthesis of PSI-6130: a clinically efficacious inhibitor of HCV NS5B polymerase. J Org Chem. 2009 Sep 4;74(17):6819-24.

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

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