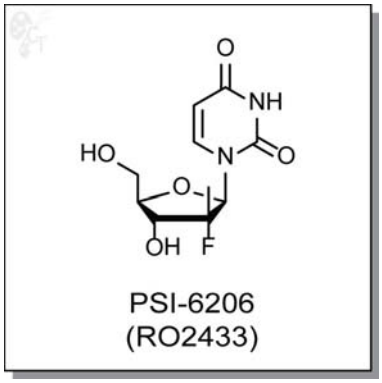
Cellagen Technology

Product Specification Sheet

Product Name: PSI-6206 (RO2433)

Catalog Number: C7620



PSI-6206
(RO2433)

Technical information:

Chemical Formula:	$C_{10}H_{13}FN_2O_5$
CAS #:	863329-66-2
Molecular Weight:	260.22
Purity:	> 98%
Appearance:	Clear Crystal solid
Solubility:	Soluble in DMSO up to 100 mM
Chemical Name:	(2'R)-2'-Deoxy-2'-fluoro-2'-methyluridine
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.384mL of DMSO for each mg of PSI-6206 (RO2433).
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

PSI-6206 (RO2433) is the unphosphorylated parent compound of triphosphate analog PSI-7409, which is a potent inhibitor of the HCV NS5B RNA dependent RNA polymerase. The monophosphate form of PSI-6206 was shown to be metabolized in primary human hepatocytes to its triphosphate analog PSI-7409. Furthermore, the phosphoramidate prodrug of PSI-6206 monophosphate, PSI-7851, was developed. Alternatively, PSI-6130, an aminated analog of PSI-6206 monophosphate, was also developed. (1,2,3)

PSI-7409, the triphosphate of PSI-6206 inhibits wild-type and S282T HCV RdRp with Ki values of 0.42 and 22 uM, respectively. PSI-7851, the phosphoramidate of PSI-6206 monophosphate, showed an EC50 value of 1.62 uM for inhibiting HCV RNA replication. (2)

Reference:

1. Rodriguez-Torres et al., Antiviral Activity, Pharmacokinetics, Safety, and Tolerability of PSI-7851, a Novel Nucleotide Polymerase Inhibitor for HCV, Following Single and 3 Day Multiple Ascending Oral Doses in Healthy Volunteers and Patients with Chronic HCV Infection. 60th AASLD Annual Meeting, 2009.

2. Murakami et al., The Mechanism of Action of b-D-2'-Deoxy-2'-Fluoro-2'-C-Methylcytidine Involves a Second Metabolic Pathway Leading to b-D-2'-Deoxy-2'-Fluoro-2'-C-Methyluridine 5'-Triphosphate, a Potent Inhibitor of the Hepatitis C Virus RNA-Dependent RNA Polymerase. Antimicrob. Agents. Chemother. 2008, 52(2), 458-464. Pubmed ID: 17999967

3. Ma et al., Characterization of the Metabolic Activation of Hepatitis C Virus Nucleoside Inhibitor b-D-2'-Deoxy-2'-fluoro-2'-C-methylcytidine (PSI-6130) and Identification of a Novel Active 5'-Triphosphate Species. J. Biol. Chem. 2007, 282, 29812-29820. Pubmed ID: 17698842

To reorder: http://www.cellagentech.com/PSI-6206-RO2433/

For Technical Support: technical@cellagentech.com

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