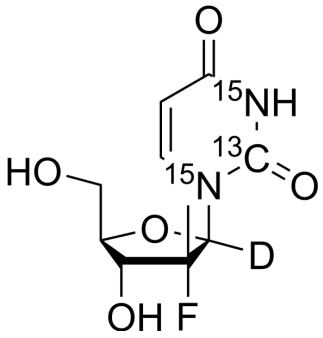
PSI-6206-d^{1},^{13}C,^{15}N$_2$

Cat. No.:	HY-15236S1
Molecular Formula:	$C_9CH_{12}DF^{15}N_2O_5$
Molecular Weight:	264.2
Target:	HCV; Isotope-Labeled Compounds
Pathway:	Anti-infection; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PSI-6206-d1,^{13}C,^{15}N$_2$ is ^{15}N and ^{13}C labeled PSI-6206 (HY-15236). 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.
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1]. 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[2]. 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[3]. PSI-6206 (RO2433) is the deaminated derivative of PSI-6130, which is a potent and selective inhibitor of HCV NS5B polymerase[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. 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.

[2]. 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.

[3]. 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

[4]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

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

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