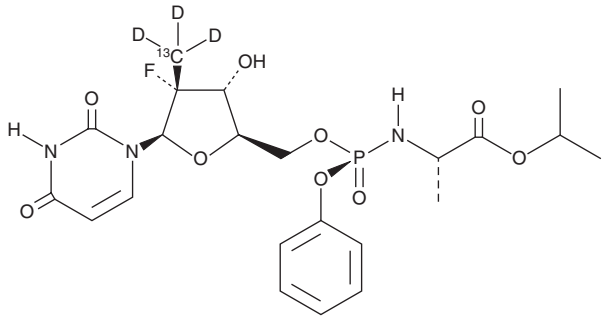
PRODUCT INFORMATION

PSI-7977-^{13}C-d$_3$

Item No. 25045

CAS Registry No.: 2070009-25-3
Formal Name: isopropyl ((S)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-(methyl-^{13}C-d$_3$)tetrahydrofuran-2-yl)methoxy)(phenoxy)phosphoryl)-L-alaninate
Synonym: Sofosbuvir-^{13}C-d$_3$
MF: $C_{21}[^{13}C]H_{26}D_3FN_3O_9P$
FW: 533.5
Chemical Purity: ≥98% (PSI-7977)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_3); ≤1% d_0
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PSI-7977-^{13}C-d$_3$ is intended for use as an internal standard for the quantification of PSI-7977 (Item No. 15402) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

PSI-7977-^{13}C-d$_3$ is supplied as a solid. A stock solution may be made by dissolving the PSI-7977-^{13}C-d$_3$ in the solvent of choice, which should be purged with an inert gas. PSI-7977-^{13}C-d$_3$ is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of PSI-7977-^{13}C-d$_3$ in ethanol is approximately 25 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Description

PSI-7977 is a phosphoramidate prodrug of PSI-7851, a nucleoside analog that, when phosphorylated, inhibits the RNA-dependent RNA polymerase of hepatitis C virus (EC_{50} = 92 nM).[1-3] PSI-7977 is effective *in vitro* and *in vivo*.[2,4,5]

References

1. Murakami, E., Tolstykh, T., Bao, H., *et al.* Mechanism of activation of PSI-7851 and its diastereoisomer PSI-7977. *J. Biol. Chem.* **285(45)**, 34337-34347 (2010).
2. Sofia, M.J., Bao, D., Chang, W., *et al.* Discovery of a β-D-2'-deoxy-2'-α-fluoro-2'-β-C-methyluridine nucleotide prodrug (PSI-7977) for the treatment of hepatitis C virus. *J. Med. Chem.* **53(19)**, 7202-7218 (2010).
3. Lam, A.M., Espiritu, C., Bansal, S., *et al.* Genotype and subtype profiling of PSI-7977 as a nucleotide inhibitor of hepatitis C virus. *Antimicrob. Agents Chemother.* **56(6)**, 3359-3368 (2012).
4. Gane, E.J., Stedman, C.A., Hyland, R.H., *et al.* Nucleotide polymerase inhibitor sofosbuvir plus ribavirin for hepatitis C. *N. Engl. J. Med.* **368(1)**, 34-44 (2013).
5. Lawitz, E., Mangia, A., Wyles, D., *et al.* Sofosbuvir for previously untreated chronic hepatitis C infection. *N. Engl. J. Med.* **368(20)**, 1878-1887 (2013).

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