

Product Data Sheet

Product Name: R-7128
Cat. No.: GC10158

Chemical Properties

Cas. No. 940908-79-2

Chemical Name [(2R,3R,4R,5R)-5-(4-amino-2-oxopyrimidin-1-yl)-4-fluoro-4-methyl-3-(2-methylpropanoyloxy)oxolan-2-yl]methyl 2-methylpropanoate

SMILES CC(C)C(=O)OCC1C(C(C(O1)N2C=CC(=NC2=O)N)(C)F)OC(=O)C(C)C

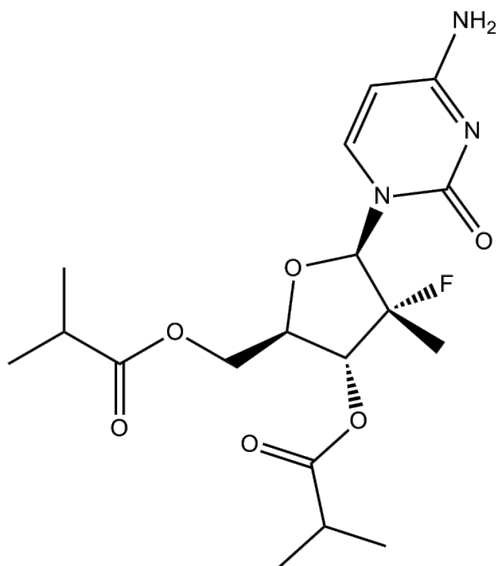
Formula $C_{18}H_{26}FN_3O_6$ M.Wt 399.41

Solubility DMSO : 100 mg/mL (250.37 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

R-7128 is a selective nucleoside analog inhibitor of the hepatitis C virus (HCV) NS5B RNA-dependent RNA polymerase.

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

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Hepatitis C virus (HCV) is an enveloped (+)-single stranded RNA virus, and the viral RNA is replicated in host cell via HCV's RNA-dependent RNA polymerase, which is the cause of hepatitis C and some cancer lymphomas.

R-7128 is a nucleotide triphosphate analog which is the substrate for HCV polymerase NS5B. Incorporation of R-7128 into nascent HCV RNA strongly decreased the efficiency of RNA elongation by RNA polymerase NS5B, leading to the termination of the nascent RNA product. It has been shown that R-7128 is able to inhibit the RNA synthesis of HCV in vitro [1].

32 patient infected with HCV genotype-1 was treated with R-7128 for 14 days with 750-mg or 1500-mg administered once (QD) or twice daily (BID), and the HCV RNA level was frequently measured. Initial decline of HCV RNA was generally slower than treatment with interferon-alpha or protease inhibitors but 12 patients showed a novel pattern of HCV RNA kinetics that the effectiveness in inhibiting viral production gradually increased over time to reach its final value. The final value was high with BID dose (mean 750-mg and 1500-mg: 98.0% and 99.8%, $P=0.018$) and significantly higher than in patients treated QD (mean QD vs BID: 90% vs 99%, $P<10^{-7}$) [2].

References:

- [1] Ma H et al. , Characterization of the metabolic activation of Hepatitis C virus nucleoside inhibitor β -D-2'-Deoxy-2'-fluoro-2'-C-methylcytidine (PSI-6130) and identification of a novel active 5'-Triphosphate species. J Biol Chem. 2007, 282(41): 29812-20.
- [2] Guedj J et al. , Hepatitis C viral kinetics with the nucleoside polymerase inhibitor mericitabine (RG7128). Hepatology. 2012, 55(4): 1030-1037.

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