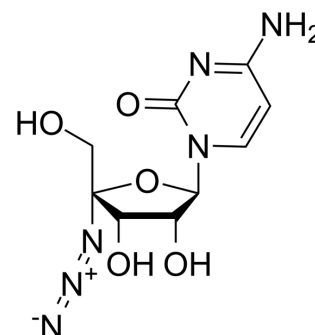


R-1479

Cat. No.:	HY-10444		
CAS No.:	478182-28-4		
Molecular Formula:	C ₉ H ₁₂ N ₆ O ₅		
Molecular Weight:	284.23		
Target:	HCV; DNA/RNA Synthesis		
Pathway:	Anti-infection; Cell Cycle/DNA Damage		
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 (351.83 mM; Need ultrasonic)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	3.5183 mL	17.5914 mL	35.1828 mL
	5 mM	0.7037 mL	3.5183 mL	7.0366 mL
	10 mM	0.3518 mL	1.7591 mL	3.5183 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (8.80 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (8.80 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (8.80 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

R-1479 (4'-Azidocytidine), a nucleoside analogue, is a specific inhibitor of RNA-dependent RNA polymerase (RdRp) of HCV. R-1479 inhibits HCV replication in the HCV subgenomic replicon system (IC₅₀=1.28 μM)^{[1][2][3]}. R-1479 is a click chemistry reagent, it contains an Azide group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAC) with molecules containing Alkyne groups. Strain-promoted alkyne-azide cycloaddition (SPAAC) can also occur with molecules containing DBCO or BCN groups.

IC₅₀ & Target

IC₅₀: 1.28 μM (HCV replication)^[1]

In Vitro

R-1479 (R1479) inhibits HCV RNA replication with a mean IC_{50} value of 1.28 μM when measured as dose-dependent reduction of Renilla luciferase activity after a 72 h incubation of proliferating replicon cells. R-1479 shows no effect on cell viability or proliferation of HCV replicon or Huh-7 cells at concentrations up to 2 mM^[1]. The most potent and non-cytotoxic derivative is R-1479 with an IC_{50} of 1.28 μM in the HCV replicon system. The triphosphate of R-1479 is prepared and shown to be an inhibitor of RNA synthesis mediated by NS5B (IC_{50} =320 nM), the RNA polymerase encoded by HCV. R-1479 displays good activity in the replicon assay with no measurable cytotoxic or cytostatic effect^[2].

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

PROTOCOL

Kinase Assay ^[1]

The membrane-associated, native HCV replicase complex is isolated from 2209-23 HCV replicon cells and a derived cell line carrying HCV replicon RNA with a S282T mutation in the NS5B coding sequence. The in vitro replicase assay contains 10 μL of cytoplasmic membrane fraction, 50 mM HEPES (pH 7.5), 10 mM KCl, 10 mM dithiothreitol, 5 mM $MgCl_2$, 20 $\mu g/mL$ actinomycin D, 1 mM ATP, 1 mM GTP, 1 mM UTP, 30 μCi of [α -³³P]CTP (3000 Ci/mmol, 10 mCi/mL), 1 unit/ μL SUPERase•In, 10 mM creatine phosphate, and 200 $\mu g/mL$ creatine phosphokinase in a final volume of 25 μL . Inhibition by nucleotide analogs is determined^[1].

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

Cell Assay ^[1]

The effect of R-1479 on the incorporation of tritiated thymidine into cellular DNA is measured using the [³H]thymidine incorporation scintillation proximity assay system. MTT and WST-1 assay systems are used to measure cell viability. The ATP bioluminescence assay kit HSII is used to measure intracellular ATP levels^[1].

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

CUSTOMER VALIDATION

- J Infect Dis. 2016 Sep 1;214(5):707-11.
- Antivir Res. 2020 Jun;178:104786.
- Antiviral Res. 2019 Oct;170:104570.

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REFERENCES

[1]. Klumpp K, et al. The novel nucleoside analog R1479 (4'-azidocytidine) is a potent inhibitor of NS5B-dependent RNA synthesis and hepatitis C virus replication in cell culture. J Biol Chem. 2006 Feb 17;281(7):3793-9.

[2]. Smith DB, et al. Design, synthesis, and antiviral properties of 4'-substituted ribonucleosides as inhibitors of hepatitis C virus replication: the discovery of R1479. Bioorg Med Chem Lett. 2007 May 1;17(9):2570-6.

[3]. Nguyen NM, et al. A randomized, double-blind placebo controlled trial of balapiravir, a polymerase inhibitor, in adult dengue patients. J Infect Dis. 2013 May 1;207(9):1442-1450.

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

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