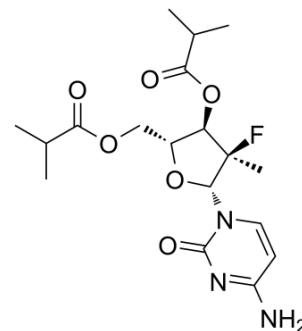


Mericitabine

Cat. No.:	HY-10240		
CAS No.:	940908-79-2		
Molecular Formula:	C ₁₈ H ₂₆ FN ₃ O ₆		
Molecular Weight:	399.41		
Target:	HCV		
Pathway:	Anti-infection		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (250.37 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.5037 mL	12.5185 mL	25.0369 mL
	5 mM	0.5007 mL	2.5037 mL	5.0074 mL
	10 mM	0.2504 mL	1.2518 mL	2.5037 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 (6.26 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (6.26 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (6.26 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mericitabine (RG 7128; R-7128) is a nucleoside inhibitor of the HCV NS5B polymerase that acts as an RNA chain terminator and prevents elongation of RNA transcripts during replication.

IC₅₀ & Target

HCV NS5B polymerase^[1]

In Vitro

Mericitabine (RG 7128; R-7128) is an oral prodrug of PSI-6130, a cytidine analogue. Pre-clinical observations demonstrated that PSI-6130 has an EC₉₀ value of 4.6±2 μM in the HCV replicon assay. Mericitabine (RG 7128; R-7128) shows high specificity

for HCV, minimal cytotoxicity and does not affect mitochondrial DNA. PSI-6130 is converted through phosphorylation by cellular kinases to an active 5'-triphosphate metabolite, which inhibits the NS5B RNA polymerase of HCV. Mericitabine (RG 7128; R-7128) demonstrates a relatively good safety profile and significant potency against HCV-1^[2]. Mericitabine is a first-in class nucleoside polymerase inhibitor (NPI), which requires intracellular uptake and phosphorylation to two active triphosphates. Mericitabine (RG 7128; R-7128) is an oral cytidine nucleoside analog prodrug that exhibits strong antiviral effectiveness against the HCV polymerase across all HCV genotypes^[3].

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

CUSTOMER VALIDATION

- Antimicrob Agents Chemother. 2015 Sep;59(9):5483-93.
- Antimicrob Agents Chemother. 2015 May;59(5):2496-507.
- Antimicrob Agents Chemother. 2014 Jun;58(6):3327-34.
- Antiviral Res. 2019 Oct;170:104570.
- Antiviral Res. 2016 Sep;133:119-29.

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REFERENCES

[1]. Le Pogam S, et al. Characterization of HCV quasispecies dynamics upon short term dual-therapy with the HCV NS5B nucleoside polymerase inhibitor mericitabine and the NS3/4 protease inhibitor danoprevir. Antimicrob Agents Chemother. 2012 Nov;56(11):5494-5

[2]. Soriano V, et al. Directly acting antivirals against hepatitis C virus. J Antimicrob Chemother. 2011 Aug;66(8):1673-86

[3]. Guedj J, et al. Hepatitis C viral kinetics with the nucleoside polymerase inhibitor mericitabine (RG7128). Hepatology. 2012 Apr;55(4):1030-7.

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

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