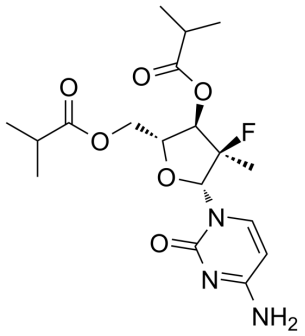
Mericitabine

Cat. No.:	HY-10240
CAS No.:	940908-79-2
Molecular Formula:	$C_{18}H_{26}FN_3O_6$
Molecular Weight:	399.41
Target:	HCV
Pathway:	Anti-infection
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 (250.37 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	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

1. 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
2. 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
3. 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.

IC_{50} & 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 EC_{90} 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

- Antiviral Res. 2016 Sep;133:119-29.
- 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.
- Sci Rep. 2018 Aug 20;8(1):12469.

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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.

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