Pibrentasvir

Cat. No.: HY-101662

CAS No.: 1353900-92-1

Molecular Formula: $C_{57}H_{65}F_5N_{10}O_8$

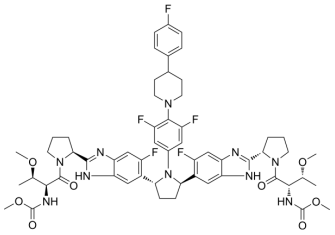
Molecular Weight: 1113.18

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 : 62 mg/mL (55.70 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.8983 mL	4.4916 mL	8.9833 mL
	5 mM	0.1797 mL	0.8983 mL	1.7967 mL
	10 mM	0.0898 mL	0.4492 mL	0.8983 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 (2.25 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (2.25 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.25 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pibrentasvir is a novel and pan-genotypic hepatitis C virus (HCV) NS5A inhibitor with EC_{50}s ranging from 1.4 to 5.0 pM against HCV replicons containing NS5A from genotypes 1 to 6.

IC_{50} & Target

HCV[1]

In Vitro

Pibrentasvir inhibits HCV genotype 1a-H77, 1b-Con1, and 2a-JFH-1 subgenomic replicons with 50% effective concentrations (EC_{50}s) of 1.8, 4.3, and 5.0 pM, respectively. The antiviral activity of Pibrentasvir is attenuated 35- to 47-fold in the presence

of 40% human plasma through sequestration of compound due to plasma protein binding. Pibrentasvir retains full activity against all of the genotype 1a and 1b single-position NS5A substitutions tested, except Y93H and Y93N in genotype 1a, which confers a ≤7-fold increase in EC_{50} to Pibrentasvir[1].

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

PROTOCOL

Cell Assay [1]

The inhibitory effect of Pibrentasvir on HCV replication in replicon cells is determined in Dulbecco's modified Eagle's medium (DMEM) containing 5% fetal bovine serum with or without 40% human plasma. The cells are incubated with Pibrentasvir for 3 days and are subsequently lysed and processed according to the manufacturer's instructions to measure luciferase reporter activity using a Victor II luminometer. The 50% effective concentration (EC_{50}) value is calculated using nonlinear regression curve fitting to the four-parameter logistic equation in software[1].

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

REFERENCES

[1]. Ng TI, et al. In Vitro Antiviral Activity and Resistance Profile of the Next-Generation Hepatitis C Virus NS5A Inhibitor Pibrentasvir. Antimicrob Agents Chemother. 2017 Apr 24;61(5). pii: e02558-16.

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