Pradefovir mesylate

Cat. No.: HY-112690A

CAS No.: 625095-61-6

Molecular Formula: $C_{18}H_{23}ClN_5O_7PS$

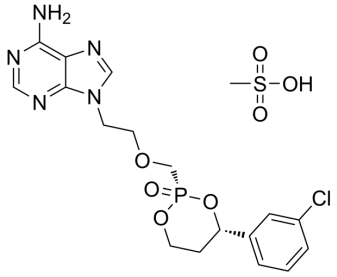
Molecular Weight: 519.9

Target: Cytochrome P450

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (192.34 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9234 mL	9.6172 mL	19.2345 mL
5 mM	0.3847 mL	1.9234 mL	3.8469 mL
10 mM	0.1923 mL	0.9617 mL	1.9234 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (192.34 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Pradefovir mesylate is a good substrate for liver CYP3A4. Pradefovir is converted to 9-(2-phosphonylmethoxyethyl)adenine (PMEA) in human liver microsomes with a K_m of 60 μM.

IC_{50} & Target

CYP3

In Vitro

Pradefovir is a cyclodiester prodrug of PMEA. It is one of the HepDirect prodrugs, which are designed to be efficiently and specifically activated through an oxidative reaction catalyzed by CYP3A4, which is located mainly in the liver. Pradefovir is converted to PMEA in human liver microsomes with a K_m of 60 μM, a maximum rate of metabolism of 228 pmol/min/mg protein, and an intrinsic clearance of about 359 L/min[1].

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

In Vivo

Daily oral dosing of Pradefovir (300 mg/kg) to rats for 8 days does not affect body weight; liver weight; liver weight-body weight ratio; liver microsomal protein content; total CYP content; enzyme activities for CYP1A, CYP2B, and CYP3A; and apoprotein contents for CYP1A1, CYP2B1/2, CYP3A1/2, and CYP4A1/3, indicating that Pradefovir is not a CYP inducer in rats[1]

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PROTOCOL

Animal Administration [1]

Rats[1]

Five rats receive Pradefovir (300 mg/kg/day) orally for 8 days. At 24 h after administration of the last dose, the rats are killed and liver samples are collected. Body weight, liver weight, liver protein content, and liver microsomal P450 contents are determined. The apoprotein levels for CYP1A1, CYP2B1/2B2, CYP3A1/3A2, and CYP4A1/4A3 and the enzyme activities for CYP1A, CYP2B, and CYP3A are also determined by Western blot and LC analysis, respectively[1].

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

CUSTOMER VALIDATION

- Mol Pharm. 2018 Dec 3;15(12):5646-5652.

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REFERENCES

[1]. Lin CC, et al. Metabolic activation of Pradefovir by CYP3A4 and its potential as an inhibitor or inducer. Antimicrob Agents Chemother. 2006 Sep;50(9):2926-31.

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