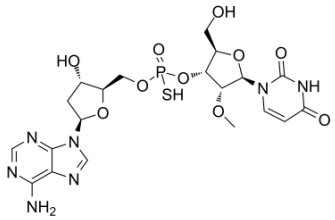
Inarigivir

Cat. No.:	HY-101954
CAS No.:	475650-36-3
Molecular Formula:	$C_{20}H_{26}N_7O_{10}PS$
Molecular Weight:	587.5
Target:	HBV
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 : 200 mg/mL (340.43 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7021 mL	8.5106 mL	17.0213 mL
5 mM	0.3404 mL	1.7021 mL	3.4043 mL
10 mM	0.1702 mL	0.8511 mL	1.7021 mL

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

In Vivo

1. Add each solvent one by one: 1% DMSO >> 99% saline
   Solubility: ≥ 0.25 mg/mL (0.43 mM); Clear solution
2. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.5 mg/mL (4.26 mM); Clear solution
3. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.26 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Inarigivir (ORI-9020;SB-9000) is a dinucleotide which can significantly reduce liver HBV DNA in transgenic mice expressing hepatitis B virus.

IC_{50} & Target

Target: HBV[1]

In Vivo

I.p. injection of Inarigivir at 100 mg/kg/day significantly reduces viral DNA in the liver and shows anti-HBV activity similar ADV positive control. Serum HBV DNA is not reduced in response to treatment. Inarigivir does not affect levels of HBV RNA in liver,

levels of HBeAg in serum, or mean titers of HBsAg. The minimal effective dose is identified to be between 1.6 and 0.5 mg/kg/day using liver HBV DNA values[1].

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

PROTOCOL

Animal Administration [1]

Mice[1]

For the first animal experiment, Inarigivir is prepared fresh daily at a dosage of 100 mg/kg of body weight /day, which is equal to 170 mol/kg/day, and is injected intraperitoneally (i.p.) using cremaphor-ethanol-saline (CES) (10:10:80) or physiological saline as vehicles. ADV, the positive control, is prepared using the CES vehicle. A dosage of 10 mg/kg/day (19.9 mol/kg/day) is used. In the second experiment to determine the minimal effective concentration, Inarigivir is prepared in sterile saline in onehalf-log dilutions from 50 to 0.05 mg/kg/day. The drug is delivered i.p. in a volume of 0.1 ml. Liver samples are analyzed for HBV DNA, HBV RNA, and HBcAg, and serum samples are processed for HBV DNA, HBeAg, and HBsAg [1].

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

REFERENCES

[1]. Iyer RP, et al. Anti-hepatitis B virus activity of ORI-9020, a novel phosphorothioate dinucleotide, in a transgenic mouse model. Antimicrob Agents Chemother. 2004 Jun;48(6):2318-20.

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