Lamivudine

Cat. No.: HY-B0250

CAS No.: 134678-17-4

Molecular Formula: $C_8H_{11}N_3O_3S$

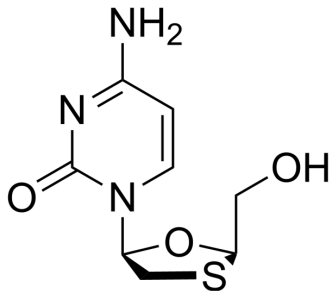
Molecular Weight: 229.26

Target: HIV; Reverse Transcriptase; HBV

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 : 50 mg/mL (218.09 mM; Need ultrasonic)

H_2O : ≥ 50 mg/mL (218.09 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.3619 mL	21.8093 mL	43.6186 mL
5 mM	0.8724 mL	4.3619 mL	8.7237 mL
10 mM	0.4362 mL	2.1809 mL	4.3619 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 (436.19 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (10.90 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.90 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lamivudine (BCH-189) is an orally active nucleoside reverse transcriptase inhibitor (NRTI). Lamivudine can inhibit HIV reverse transcriptase 1/2 and also the reverse transcriptase of hepatitis B virus. Lamivudine salicylate can penetrate the CNS [1][2].

In Vitro

Lamivudine (1 μM) is potent inhibitor of hepatitis B virus (HBV) replication, shows antiviral activity in primary duck hepatocyte (PDH) cultures derived from ducklings congenitally infected with the duck hepatitis B virus (DHBV)[1].
Lamivudine (0-20 μM; 2, 4, 9 d) inhibits DHBV replication with 50% inhibitory concentration of 0.55 μM[1].
Lamivudine, combinded with penciclovir (9-[2-hydroxy-1-(hydroxymethyl)ethoxymethyl]guanine [PCV]), (1 μM; 2, 4, 9 d) shows synergistic effect, acts potent function in reducing the normally recalcitrant viral covalently closed circular (CCC) DNA form of DHBV[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Lamivudine (20-500 mg/kg/d; p.o.; 15 or 45 d) causes oxidative stress and is toxic to rat liver[2].
Lamivudine (50 mg/kg; i.p.; single dose) penetrates well in CNS and localizes in brain regions susceptible to HIV neurodegeneration in rat[3].
Pharmacokinetic Parameters of Lamivudine in HIV-infected Rats[3]

Parameter	C_{max} (μg/mL)	T_{max} (h)	$T_{1/2}$ (h)	AUC (h·ng/mL)
Plasma	25,846	0.25	0.68	22,172
Brain	272	0.5	1.2	967

Pharmacokinetic data measured over a 24-h period, sampling was done at 0.25, 0.5, 1.0, 2.0, 4.0, 6.0, 8.0, and 24.0 h postdose.
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Wistar female rats[2]
Dosage:	20-500 mg/kg/day
Administration:	Oral gavage; single or repeated dose; 15 or 45 days
Result:	Increased activities of the aminotransferases (ALT and AST), γ-glutamyltransferase (GGT) and total protein concentration in serum at 500 mg/kg dose. Increased activities of glutathione S-transferase (GST), GGT and superoxide dismutase (SOD) as well as concentrations of malondialdehyde (MDA) and protein at 20 mg/kg dose. Caused multifocal lymphocyte population and hepatocyte edema degeneration in hepatic sinusoids of chickens.

CUSTOMER VALIDATION

- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- Phytother Res. 2021 Jun 19.
- J Cell Mol Med. 2021 Aug 10.
- Virus Res. 2019 Oct 2;271:197677.
- Biomedicines. 2022, 10(2), 268.

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REFERENCES

[1]. Colledge D, et al. Synergistic inhibition of hepadnaviral replication by lamivudine in combination with penciclovir in vitro. Hepatology. 1997 Jul;26(1):216-25.

[2]. Olaniyan LW, et al. Lamivudine-Induced Liver Injury. Open Access Maced J Med Sci. 2015 Dec 15;3(4):545-50.

[3]. Mdanda S, et al. Zidovudine and Lamivudine as Potential Agents to Combat HIV-Associated Neurocognitive Disorder. Assay Drug Dev Technol. 2019 Oct;17(7):322-329.

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