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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

Lamivudine-$^{15}N,d_2$

Cat. No.: HY-B0250S

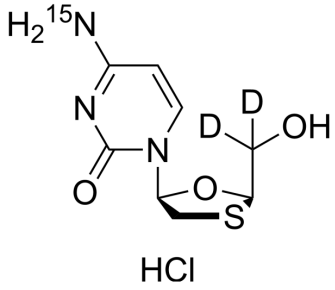
Molecular Formula: $C_8H_{10}D_2ClN_2{}^{15}NO_3S$

Molecular Weight: 268.72

Target: HBV; HIV; Reverse Transcriptase; Isotope-Labeled Compounds

Pathway: Anti-infection; Others

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Lamivudine-$^{15}N,d_2$ is ^{15}N and deuterated labeled Lamivudine (HY-B0250). 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

Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1].

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)[2].

Lamivudine (0-20 μM; 2, 4, 9 d) inhibits DHBV replication with 50% inhibitory concentration of 0.55 μM[2].

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[2].

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[3].

Lamivudine (50 mg/kg; i.p.; single dose) penetrates well in CNS and localizes in brain regions susceptible to HIV neurodegeneration in rat[4].

Pharmacokinetic Parameters of Lamivudine in HIV-infected Rats[4]

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.

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.

[4]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA