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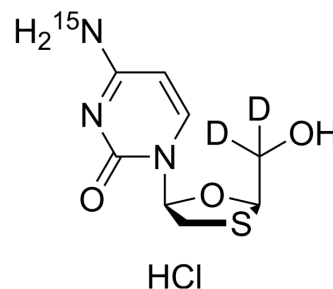
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Lamivudine-¹⁵N,^d₂

Cat. No.:	HY-B0250S
Molecular Formula:	C ₈ H ₁₀ D ₂ ClN ₂ ¹⁵ NO ₃ S
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, _{d2} 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, combined 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] <table><tr><th>Parameter</th><th>C_{max} (μg/mL)</th><th>T_{max} (h)</th><th>T_{1/2} (h)</th><th>AUC (h·ng/mL)</th></tr><tr><td>Plasma</td><td>25,846</td><td>0.25</td><td>0.68</td><td>22,172</td></tr><tr><td>Brain</td><td>272</td><td>0.5</td><td>1.2</td><td>967</td></tr></table> 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.	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
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												

REFERENCES

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- [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.
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Caution: Product has not been fully validated for medical applications. For research use only.

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