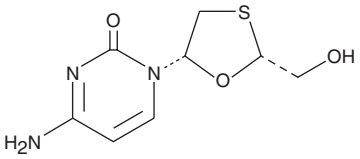
PRODUCT INFORMATION

Lamivudine

Item No. 18514

CAS Registry No.:	134678-17-4
Formal Name:	4-amino-1-[(2R,5S)-2-(hydroxymethyl)1,3-oxathiolan-5-yl]-2(1H)-pyrimidinone
Synonyms:	(-)-BCH 189, 3TC, 2',3'-dideoxy-3'-Thiacytidine
MF:	$C_8H_{11}N_3O_3S$
FW:	229.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 233, 271 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Lamivudine is supplied as a crystalline solid. A stock solution may be made by dissolving the lamivudine in the solvent of choice, which should be purged with an inert gas. Lamivudine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of lamivudine in ethanol is approximately 0.5 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of lamivudine can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of lamivudine in PBS (pH 7.2) is approximately 3 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Lamivudine is a nucleoside reverse transcriptase inhibitor (NRTI).[1] It is phosphorylated intracellularly to its active form lamivudine-5'-triphosphate by the successive actions of deoxycytidine kinase, cytidine monophosphate kinase, and deoxycytidine monophosphate kinase. It reduces HIV-1 p24 antigen levels in the culture supernatant of human peripheral blood lymphocytes infected with laboratory-adapted HIV-1 strains, indicating inhibition of HIV replication, with IC_{50} values ranging from 0.0025 to 0.09 µM.[2] Lamivudine inhibits syncytium formation between various $CD4^+$ cell lines and several strains of HIV-1 or HIV-2 (IC_{50}s = 0.014-0.61 µM). It reduces serum viral titers in a woodchuck model of chronic hepatitis B virus (HBV) infection when administered at doses of 40 or 200 mg/kg.[3] Formulations containing lamivudine have been used in the treatment of HIV and HBV infections.

References

1. Johnson, M.A., Moore, K.H., Yuen, G.J., *et al.* Clinical pharmacokinetics of lamivudine. *Clin. Pharmacokinet.* **36(1)**, 41-66 (1999).
2. Coates, J.A., Cammack, N., Jenkinson, H.J., *et al.* (–)-2'-Deoxy-3'-thiacytidine is a potent, highly selective inhibitor of human immunodeficiency virus type 1 and type 2 replication in vitro. *Antimicrob. Agents Chemother.* **36(4)**, 733-739 (1992).
3. Mason, W.S., Cullen, J., Moraleda, G., *et al.* Lamivudine therapy of WHV-infected woodchucks. *Virology* **245(1)**, 18-32 (1998).

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