Data Sheet (Cat.No.T6446)

TargetMol

Clevudine

Chemical Properties

CAS No. : 163252-36-6

Formula: C10H13FN2O5

Molecular Weight: 260.219

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Clevudine (Levovir) is a synthetic pyrimidine analogue with activity against hepatitis B virus (HBV). Intracellularly, clevudine is phosphorylated to its active metabolites, clevudine monophosphate and triphosphate. The triphosphate metabolite competes with thymidine for incorporation into viral DNA, thereby causing DNA chain termination and inhibiting the function of HBV DNA polymerase (reverse transcriptase). Clevudine has a long half-life and shows significant reduction of covalently closed circular DNA (cccDNA), therefore the patient is less likely to have a relapse after treatment is discontinued.
Targets(IC50)	DNA/RNA Synthesis,HBV,Virus Protease
In vitro	Clevudine is a potent antiviral agent against HBV (EC50 0.1 μM in HepG2 2.2.15 cells) as well as EBV, which has low cytotoxicities in a variety of cell lines including MT2, CEM, H1 and HepG2 2.2.15 and bone marrow progenitor cells. Clevudine is metabolized in cells by the cellular thymidine kinase as well as deoxycytidine kinase to its monophosphate, and subsequently to the di- and triphosphate. Clevudine is known to act specifically on viral DNA synthesis, and its triphosphate inhibits the HBV DNA synthesis in a dose-dependent manner without being incorporated into the DNA or chain termination. [1] Clevudine results in increase of the amounts of the diphosphate and triphosphate metabolites of these analogs. Clevudine monophosphate (L-FMAUMP) is a poorer substrate than its D-configuration anomer. [2] Clevudine is readily phosphorylated to the corresponding 5'-triphosphate form of the compound in cell culture, which involves the mechanism of action of Clevudine. [3]
In vivo	Clevudine is a potent antiviral agent against HBV (EC50 0.1 μM in HepG2 2.2.15 cells) as well as EBV, which has low cytotoxicities in a variety of cell lines including MT2, CEM, H1 and HepG2 2.2.15 and bone marrow progenitor cells. Clevudine is metabolized in cells by the cellular thymidine kinase as well as deoxycytidine kinase to its monophosphate, and subsequently to the di- and triphosphate. Clevudine is known to act specifically on viral DNA synthesis, and its triphosphate inhibits the HBV DNA synthesis in a dose-dependent manner without being incorporated into the DNA or chain termination. [1] Clevudine results in increase of the amounts of the diphosphate and triphosphate metabolites of these analogs. Clevudine monophosphate (L-FMAUMP) is a poorer substrate than its D-configuration anomer. [2] Clevudine is readily phosphorylated to the corresponding 5'-triphosphate form of the compound in cell culture, which involves the mechanism of action of Clevudine. [3]

Solubility Information

Solubility	H2O: 48.00 mg/mL (184.46 mM),Sonication is recommended. DMSO: 49.00 mg/mL (188.30 mM),Sonication is recommended. Ethanol: 4.00 mg/mL (15.37 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2.00 mg/mL (7.69 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.8429 mL	19.2145 mL	38.429 mL
5 mM	0.7686 mL	3.8429 mL	7.6858 mL
10 mM	0.3843 mL	1.9215 mL	3.8429 mL
50 mM	0.0769 mL	0.3843 mL	0.7686 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Chong Y, et al. Bioorg Med Chem Lett, 2002, 12(23), 3459-3462.
Hu R, et al. Antimicrob Agents Chemother, 2005, 49(5), 2044-2049.
Korba BE, et al. Expert Rev Anti Infect Ther, 2006, 4(4), 549-561.
Jacquard AC, et al. Antimicrob Agents Chemother, 2004, 48(7), 2683-2692.