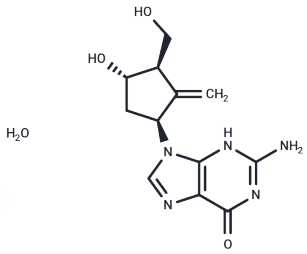
Data Sheet (Cat.No.T0085)

TargetMol

Entecavir monohydrate

Chemical Properties



CAS No. :	209216-23-9
Formula:	C12H15N5O3·H2O
Molecular Weight:	295.3
Storage:	Keep away from direct sunlight,Store under nitrogen 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	Entecavir monohydrate (BMS-200475) is a selective inhibitor of the replication of the hepatitis B virus (HBV). It, a new deoxyguanine nucleoside analog.
Targets(IC50)	HBV
In vitro	In ducks, Entecavir administration results in a 4-log reduction of serum DHBV DNA levels within 80 days and a 2- to 3-log decrease in hepatitis B virus surface antigen within 120 days. Treatment with Entecavir also decreases replication intermediates of DHBV DNA in the liver by a factor of 70, while covalently closed circular DNA levels are only reduced fourfold under stable template conditions. Additionally, Entecavir reduces both the intensity of antigen staining and the percentage of antigen-positive cells in the liver, although there is an increase in antigen staining intensity in bile duct cells.
In vivo	In liver biopsy samples, Entecavir reduces both covalently closed circular DNA and the negativity of the hepatitis B virus core antigen. Entecavir triphosphate acts as an effective inhibitor of the wild-type HBV polymerase and is 100-300 times more potent than lamivudine triphosphate against 3TC-resistant HBV polymerase. To inhibit the replication of 3TC-resistant HBV, Entecavir requires a concentration that is 20-30 times higher. With an EC50 of 0.1 nM, Entecavir demonstrates significant activity against HIV. It can be utilized in a single-cycle, single-cell line pseudovirus assay, measuring green fluorescent protein in CD4+ lymphocytes using fluorescence-activated cell sorting.
Cell Research	BMS 200475 is prepared in phosphate-buffered saline (PBS) and diluted with appropriate medium containing 2% fetal bovine serum. HepG2 2.2.15 cells are plated at a density of 5×105 cells per well on 12-well Biocoat collagen-coated plates and are maintained in a confluent state for 2 to 3 days before being overlaid with 1 mL of medium spiked with BMS 200475. Quantification of HBV was performed on day 10[1].

Solubility Information

Solubility	DMSO: 55 mg/mL (186.25 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2.5 mg/mL (8.47 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	3.3864 mL	16.9319 mL	33.8639 mL
5 mM	0.6773 mL	3.3864 mL	6.7728 mL
10 mM	0.3386 mL	1.6932 mL	3.3864 mL
50 mM	0.0677 mL	0.3386 mL	0.6773 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

Levine S, et al. Antimicrob Agents Chemother, 2002, 46(8), 2525-2532.
Honkoop P1, et al. Expert Opin Investig Drugs, 2003, 12(4), 683-688.
Lin PF, et al. Antimicrob Agents Chemother, 2008, 52(5), 1759-1767.
Foster WK, et al. Antimicrob Agents Chemother, 2003, 47(8), 2624-2635.