Product Data Sheet

Product Name: Entecavir (BMS200475)
Cat. No.: GC32093

Chemical Properties

Cas. No.	142217-69-4		
SMILES	O=C1NC(N)=NC2=C1N=CN2[C@@H]3C([C@H](CO)[C@@H](O)C3)=C		
Formula	$C_{12}H_{15}N_5O_3$	M.Wt	277.28
Solubility	DMSO : ≥ 44 mg/mL (158.68 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Entecavir (BMS200475)		

Protocol

Cell experiment:

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].

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

[1]. Innaimo SF, et al. Identification of BMS-200475 as a potent and selective inhibitor of hepatitis B virus. Antimicrob Agents Chemother. 1997 Jul;41(7):1444-9.
[2]. Rivkin A, et al. A review of entecavir in the treatment of chronic hepatitis B infection. Curr Med Res Opin. 2005 Nov;21(11):1845-57.
[3]. Genovesi EV, et al. Efficacy of the carbocyclic 2'-deoxyguanosine nucleoside BMS-200475 in the woodchuck model of hepatitis B virus infection. Antimicrob Agents Chemother. 1998 Dec;42(12):3209-18.

Background

Entecavir is an antiviral nucleoside analog of 2'-deoxyguanosine and inhibitor of hepatitis B virus (HBV) reverse transcriptase (IC_{50} = 0.5 nM).[1,2] It undergoes phosphorylation by cellular kinases to its active form, entecavir triphosphate.[3,2] Entecavir reduces virion DNA in the culture supernatant of HepG2 2.2.15 cells infected with hepatitis B virus (HBV; EC_{50} = 3.75 nM).[1] It reduces serum and hepatic levels of viral DNA in a duckling model of HBV infection when administered at a dose of 1 mg/kg.[4]

Product Data Sheet

Formulations containing entecavir have been used in the treatment of chronic HBV infection.

1.Innaimo, S.F., Seifer, M., Bisacchi, G.S., et al.Identification of BMS-200475 as a potent and selective inhibitor of hepatitis B virusAntimicrob. Agents Chemother.41(7)1444-1448(1997) 2.Langley, D.R., Walsh, A.W., Baldick, C.J., et al.Inhibition of hepatitis B virus polymerase by entecavirJ. Virol.81(8)3992-4001(2007) 3.Fung, J., Lai, C.-L., Seto, W.-K., et al.Nucleoside/nucleotide analogues in the treatment of chronic hepatitis BJ. Antimicrob. Chemother.66(12)2715-2725(2011) 4.Marion, P.L., Salazar, F.H., Winters, M.A., et al.Potent efficacy of entecavir (BMS-200475) in a duck model of hepatitis B virus replicationAntimicrob. Agents Chemother.46(1)82-88(2002)

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