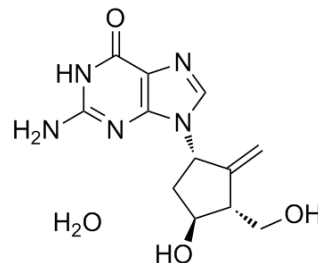


Data Sheet

Product Name:	Entecavir (monohydrate)
Cat. No.:	HY-13623A
CAS No.:	209216-23-9
Molecular Formula:	C ₁₂ H ₁₇ N ₅ O ₄
Molecular Weight:	295.29
Target:	HBV
Pathway:	Anti-infection
Solubility:	DMSO: ≥ 32 mg/mL



BIOLOGICAL ACTIVITY:

Entecavir monohydrate (SQ 34676; BMS 200475) is a potent and selective inhibitor of **HBV**, with an **EC₅₀** of 3.75 nM in HepG2 cell. IC₅₀ & Target: EC₅₀:3.75 nM (anti-HBV, HepG2 cell)^[1]

In Vitro: BMS-200475 has a EC₅₀ of 3.75 nM against HBV. It is incorporated into the protein primer of HBV and subsequently inhibits the priming step of the reverse transcriptase. The antiviral activity of BMS-200475 is significantly less against the other RNA and DNA viruses^[1]. Entecavir is more readily phosphorylated to its active metabolites than other deoxyguanosine analogs (penciclovir, ganciclovir, lobucavir, and aciclovir) or lamivudine. The intracellular half-life of entecavir is 15 h^[2].

In Vivo: Daily oral treatment with BMS-200475 at doses ranging from 0.02 to 0.5 mg/kg of body weight for 1 to 3 months effectively reduces the level of woodchuck hepatitis virus (WHV) viremia in chronically infected woodchucks^[3].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: ^[1]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].

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):1444-8.
- [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):1845-56.
- [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):3209-17.

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

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