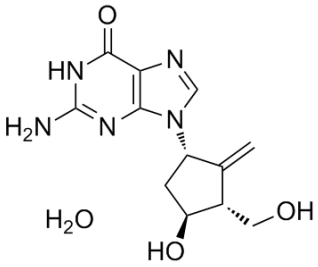
# Data Sheet

| | |
|---|---|
| **Product Name:** | Entecavir (monohydrate) |
| **Cat. No.:** | HY-13623A |
| **CAS No.:** | 209216-23-9 |
| **Molecular Formula:** | $C_{12}H_{17}N_5O_4$ |
| **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 **$EC_{50}$** of 3.75 nM in HepG2 cell.
IC50 & Target: EC50:3.75 nM (anti–HBV, HepG2 cell)[1]
***In Vitro:*** BMS–200475 has a $EC_{50}$ 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 $5\times10^5$ 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.



Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA