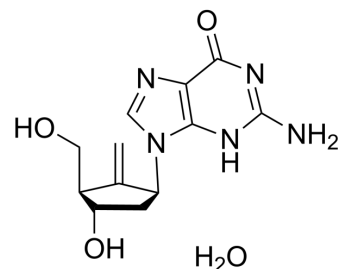


## Entecavir monohydrate

<b>Cat. No.:</b>	HY-13623A		
<b>CAS No.:</b>	209216-23-9		
<b>Molecular Formula:</b>	C <sub>12</sub> H <sub>17</sub> N <sub>5</sub> O <sub>4</sub>		
<b>Molecular Weight:</b>	295.29		
<b>Target:</b>	HBV		
<b>Pathway:</b>	Anti-infection		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : ≥ 50 mg/mL (169.33 mM)  
 H<sub>2</sub>O : 2.8 mg/mL (9.48 mM; Need ultrasonic and warming)  
 \* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1 mg	5 mg	10 mg
	1 mM		3.3865 mL	16.9325 mL	33.8650 mL
	5 mM		0.6773 mL	3.3865 mL	6.7730 mL
	10 mM		0.3387 mL	1.6933 mL	3.3865 mL

Please refer to the solubility information to select the appropriate solvent.

#### In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 3 mg/mL (10.16 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 3 mg/mL (10.16 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 3 mg/mL (10.16 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

Entecavir monohydrate (BMS200475 monohydrate; SQ34676 monohydrate) is a potent and selective inhibitor of HBV, with an EC<sub>50</sub> of 3.75 nM in HepG2 cell.

#### IC<sub>50</sub> & Target

EC<sub>50</sub> 3.75 nM (anti-HBV, HepG2 cell)<sup>[1]</sup>

#### In Vitro

Entecavir monohydrate (BMS200475 monohydrate; SQ34676 monohydrate) has a EC<sub>50</sub> 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<sup>[1]</sup>.

Entecavir monohydrate 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<sup>[2]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### In Vivo

Daily oral treatment with Entecavir monohydrate 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<sup>[3]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## PROTOCOL

#### Cell Assay <sup>[1]</sup>

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 5×10<sup>5</sup> 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<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- Adv Sci (Weinh). 2022 May;9(16):e2103135.
- Emerg Microbes Infect. 2020 Dec 9;1-22.
- Antiviral Res. 2020 Aug;180:104826.
- Cell Mol Gastroenterol Hepatol. 2021 Dec 8;S2352-345X(21)00249-6.
- PLoS Pathog. 2021 Aug 9;17(8):e1009838.

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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):1444-8.

[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.**

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: [tech@MedChemExpress.com](mailto:tech@MedChemExpress.com)

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA