Entecavir monohydrate

Cat. No.: HY-13623A

CAS No.: 209216-23-9

Molecular Formula: $C_{12}H_{17}N_5O_4$

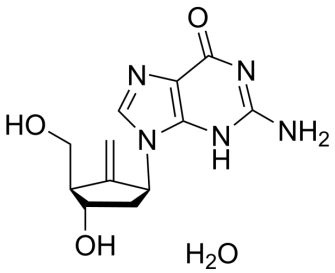
Molecular Weight: 295.29

Target: HBV

Pathway: Anti-infection

Storage:

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_2O : 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

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 3 mg/mL (10.16 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3 mg/mL (10.16 mM); Clear solution
3. 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_{50} of 3.75 nM in HepG2 cell.

IC_{50} & Target

EC50 3.75 nM (anti-HBV, HepG2 cell)[1]

In Vitro	Entecavir monohydrate (BMS200475 monohydrate; SQ34676 monohydrate) 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 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[2]. 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[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

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 5×10^5 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]. 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.

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