Entecavir

Cat. No.: HY-13623

CAS No.: 142217-69-4

Molecular Formula: $C_{12}H_{15}N_5O_3$

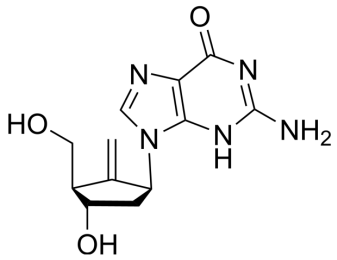
Molecular Weight: 277.28

Target: HBV

Pathway: Anti-infection

Storage: -20°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 44 mg/mL (158.68 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.6065 mL	18.0323 mL	36.0646 mL
5 mM	0.7213 mL	3.6065 mL	7.2129 mL
10 mM	0.3606 mL	1.8032 mL	3.6065 mL

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

BIOLOGICAL ACTIVITY

Description

Entecavir (SQ 34676; BMS 200475) 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)[2]

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].

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

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].

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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):1444-9.

[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.

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