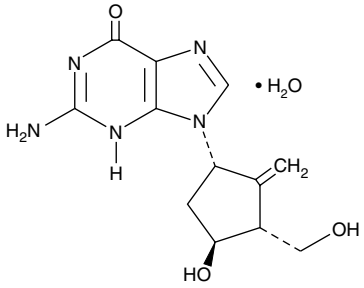
Product Information

Entecavir (hydrate)

Item No. 13831



CAS Registry No.: 209216-23-9
Formal Name: 2-amino-1,9-dihydro-9-[(1S,3R,4S)-4-hydroxy-3-(hydroxymethyl)-2-methylenecyclopentyl]-6H-purin-6-one, monohydrate
Synonyms: BMS 200475, Baraclude, SQ 34,676
MF: $C_{12}H_{15}N_5O_3 \bullet H_2O$
FW: 295.3
Purity: ≥95%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 256, 254 nm

Laboratory Procedures

For long term storage, we suggest that entecavir (hydrate) be stored as supplied at -20°C. It should be stable for at least two years.

Entecavir (hydrate) is supplied as a crystalline solid. A stock solution may be made by dissolving the entecavir (hydrate) in the solvent of choice. Entecavir (hydrate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of entecavir (hydrate) in these solvents is approximately 0.1, 12 and 14 mg/ml, respectively.

Entecavir (hydrate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, entecavir (hydrate) should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Entecavir (hydrate) has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Entecavir is a potent deoxyguanosine nucleoside analog with antiviral activity selective for hepadnaviruses (EC_{50} = 3.7 nM against the hepatitis B virus (HBV) in cultured 2.2.15 liver cells).[1] *In vitro*, the active intracellular form of entecavir, entecavir triphosphate, demonstrates a higher binding affinity for HBV DNA polymerase than the natural guanosine triphosphate substrate and effectively inhibits HBV DNA replication at 3 stages in the replication pathway: priming, reverse transcription, and DNA-dependent DNA synthesis.[2] The potential therapeutic benefits of entecavir have been demonstrated in woodchuck and duck models of HBV.[3] Activity was confirmed in phase I/II preclinical studies and entecavir received approval from the U.S. Food and Drug Administration for the treatment of adults with chronic hepatitis B.[4]

References

1. Innaimo, S.F., Seifer, M., Bisacchi, G.S., *et al.* Identification of BMS-200475 as a potent and selective inhibitor of hepatitis B virus. *Antimicrob. Agents Chemother.* **41(7)**, 1444-1448 (1997).
2. Seifer, M., Hamatake, R.K., Colonno, R.J., *et al. In vitro* inhibition of hepadnavirus polymerases by the triphosphates of BMS-200475 and lobucavir. *Antimicrob. Agents Chemother.* **42(12)**, 3200-3208 (1998).
3. Marion, P.L., Salazar, F.H., Winters, M.A., *et al.* Potent efficacy of entecavir (BMS-200475) in a duck model of hepatitis B virus replication. *Antimicrob. Agents Chemother.* **46(1)**, 82-88 (2002).
4. Keeffe, E.B. and Marcellin, P. New and emerging treatment of chronic hepatitis B. *Clin. Gastroenterol. Hepatol.* **5(3)**, 285-294 (2007).

Related Products

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