PRODUCT INFORMATION



Entecavir

Item No. 36926

CAS Registry No.: 142217-69-4

Formal Name: 2-amino-1,9-dihydro-9-[(1S,3R,4S)-4-hydroxy-

3-(hydroxymethyl)-2-methylenecyclopentyl]-

6H-purin-6-one

BMS 200475, SQ 34,676 Synonyms:

MF: $C_{12}H_{15}N_5O_3$ FW: 277.3 **Purity:** ≥98% UV/Vis.: λ_{max} : 256 nm Supplied as: A solid -20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Entecavir is supplied as a solid. A stock solution may be made by dissolving the entecavir in the solvent of choice, which should be purged with an inert gas. Entecavir is slightly soluble in methanol.

Description

Entecavir is an antiviral nucleoside analog of 2'-deoxyguanosine (Item No. 9002864) and inhibitor of hepatitis B virus (HBV) reverse transcriptase ($IC_{50} = 0.5 \text{ nM}$). It undergoes phosphorylation by cellular kinases to its active form, entecavir triphosphate. ^{2,3} Entecavir reduces virion DNA in the culture supernatant of HepG2 2.2.15 cells infected with hepatitis B virus (HBV; EC₅₀ = 3.75 nM).¹ It reduces serum and hepatic levels of viral DNA in a duckling model of HBV infection when administered at a dose of 1 mg/kg.4 Formulations containing entecavir have been used in the treatment of chronic HBV infection.

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. Langley, D.R., Walsh, A.W., Baldick, C.J., et al. Inhibition of hepatitis B virus polymerase by entecavir. J. Virol. 81(8), 3992-4001 (2007).
- 3. Fung, J., Lai, C.-L., Seto, W.-K., et al. Nucleoside/nucleotide analogues in the treatment of chronic hepatitis B. J. Antimicrob. Chemother. 66(12), 2715-2725 (2011).
- 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).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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