

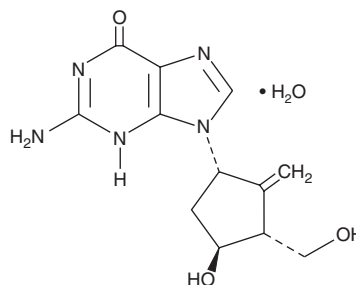
PRODUCT INFORMATION



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, SQ 34,676
MF: C₁₂H₁₅N₅O₃ • H₂O
FW: 295.3
Purity: ≥95%
UV/Vis.: λ_{max}: 256 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

Entecavir (hydrate) is supplied as a crystalline solid. A stock solution may be made by dissolving the entecavir (hydrate) in the solvent of choice, which should be purged with an inert gas. Entecavir (hydrate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). 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.

Description

Entecavir is an antiviral nucleoside analog of 2'-deoxyguanosine (Item No. 9002864) and inhibitor of hepatitis B virus (HBV) reverse transcriptase (IC₅₀ = 0.5 nM).^{1,2} 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).
4. 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.

SAFETY DATA

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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