

PRODUCT INFORMATION



Entecavir-d₂ Item No. 37212

Formal Name: 2-amino-1,9-dihydro-9-[(1S,3R,4S)-4-hydroxy-3-(hydroxymethyl)-2-methylenecyclopentyl]-6H-purin-6-one

MF: C₁₂H₁₃D₂N₅O₃

FW: 279.3

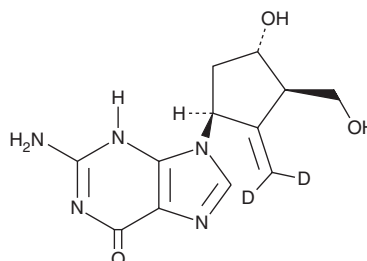
Chemical Purity: ≥95% (Entecavir)

Deuterium Incorporation: ≥99% deuterated forms (d₁-d₂); ≤1% d₀

Supplied as: A solid

Storage: -20°C

Stability: ≥4 years



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

Laboratory Procedures

Entecavir-d₂ is intended for use as an internal standard for the quantification of entecavir (Item Nos. 36926 | 13831) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

Entecavir-d₂ is supplied as a solid. A stock solution may be made by dissolving the entecavir-d₂ in the solvent of choice. Entecavir-d₂ is soluble in organic solvents such as methanol and DMSO, which should be purged with an inert gas.

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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