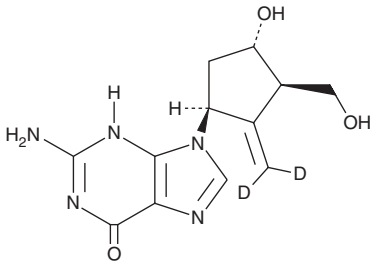
PRODUCT INFORMATION

Entecavir-d_2

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:	$C_{12}H_{13}D_2N_5O_3$
FW:	279.3
Chemical Purity:	≥95% (Entecavir)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_2); ≤1% d_0
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-d_2 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-d_2 is supplied as a solid. A stock solution may be made by dissolving the entecavir-d_2 in the solvent of choice. Entecavir-d_2 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 (IC_{50} = 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; EC_{50} = 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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM