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-methylene cyclopentyl]-6H-purin-6-one, monohydrate

Synonyms: BMS 200475, SQ 34,676

MF: C_{12}H_{15}N_{5}O_{5} \cdot H_{2}O
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 (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 HepG2/2.15 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