Product Name: Entecavir
Catalog Number: T0085L
CAS Number: 142217-69-4
Molecular Formula: C12H15N5O3
Molecular Weight: 277.28
Appearance: Solid

Description: Entecavir is a guanosine nucleoside analogue used in the treatment of chronic hepatitis B virus (HBV) infection. Entecavir therapy can be associated with flares of the underlying hepatitis B during or after therapy, but has not been linked to cases of clinically apparent liver injury.

Storage: 2 years -80°C in solvent; 3 years -20°C powder;

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<tr>
<th>Solubility</th>
<th>DMSO</th>
<th>44 mg/mL</th>
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<td>(&lt; 1 mg/ml refers to the product slightly soluble or insoluble)</td>
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Receptor (IC50): HBV (HepG2 cell) EC50:3.75nM

In vitro Activity
BMS-200475 has an EC50 of 3.75 nM against HBV. It is incorporated into the protein primer of HBV and subsequently inhibits the priming step of the reverse transcriptase. The antiviral activity of BMS-200475 is significantly less against the other RNA and DNA viruses[1]. Entecavir is more readily phosphorylated to its active metabolites than other deoxyguanosine analogs (penciclovir, ganciclovir, lobucavir, and aciclovir) or lamivudine. The intracellular half-life of entecavir is 15 h[2].

In vivo Activity
Daily oral treatment with BMS-200475 at doses ranging from 0.02 to 0.5 mg/kg of body weight for 1 to 3 months effectively reduces the level of woodchuck hepatitis virus (WHV) viremia in chronically infected woodchucks[3].

Cell Assay
BMS 200475 is prepared in phosphate-buffered saline (PBS) and diluted with appropriate medium containing 2% fetal bovine serum. HepG2 2.2.15 cells are plated at a density of 5×10^5 cells per well on 12-well Biocoat collagen-coated plates and are maintained in a confluent state for 2 to 3 days before being overlaid with 1 mL of medium spiked with BMS 200475. Quantification of HBV was performed on day 10[1].

Cell line:

Reference

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