Enviral®

Entecavir

Description: Entecavir is a nucleoside analogue with selective activity against HBV. Entecavir functionally inhibits all of the steps of HBV replication.

Mode of action: Entecavir, a guanosine nucleoside analogue with activity against HBV polymerase, functionally inhibits all three activities of the HBV polymerase (reverse transcriptase), (1) base priming, (2) reverse transcription of the negative strand and (3) synthesis of the positive strand of HBV DNA.

Pharmacokinetics: Absorption: Following oral administration peak plasma concentrations occurred between 0.5 and 1.5 hours. Steady state was achieved after 6 to 10 days of once daily administration with approximately 2-fold accumulation. For a 1-mg oral dose, Cmax was 8.2 ng/ml. The bioavailability of the tablet was 100% relative to the oral solution. The oral solution and tablet may be used interchangeably. Oral administration of 0.5 mg of entecavir with a standard high-fat meal (945 kcal, 54.6 gm fat) or a light meal (379 kcal, 8.2 gm fat) resulted in a delay in absorption.
Distribution: Entecavir after oral dosing is extensively distributed into tissues. Binding of Entecavir to human serum proteins in vitro was approximately 13%.
Metabolism and Elimination: Minor amounts of phase II metabolites (glucuronide and sulfate conjugates) were observed. Entecavir is not a substrate, inhibitor, or inducer of the cytochrome P450 (CYP450) enzyme system. The observed drug accumulation index is approximately 2-fold with once-daily dosing. Entecavir is predominantly eliminated by the kidney with urinary recovery of unchanged drug ranging from 62% to 73% of the administered dose. Renal clearance is independent of dose and ranges from 360 to 471 ml/min.

Composition: Enviral® 0.5 mg Tablet: Each film coated tablet contains Entecavir Monohydrate USP 0.533 mg equivalent to Entecavir 0.5 mg.
Enviral® 1 mg Tablet: Each film coated tablet contains Entecavir Monohydrate USP 1.065 mg equivalent to Entecavir 1 mg.

Indications: Entecavir is indicated for the treatment of chronic hepatitis B virus infection in adults with evidence of active viral replication and either evidence of persistent elevation in serum ALT or AST or histologically active disease.

Dosage and administration: The recommended dose of Entecavir for chronic hepatitis B virus infection in adults and adolescents 16 years of age and older is 0.5 mg once daily.
The recommended dose of Entecavir in adults and adolescents (≥16 years of age) with a history of hepatitis B virus while receiving lamivudine or known lamivudine resistance mutations is 1 mg once daily.
Entecavir should be administered on an empty stomach (at least 2 hours after a meal and 2 hours before the next meal).

Contraindications: Entecavir is contraindicated in patients with previously demonstrated hypersensitivity to Entecavir or any component of the product.

Side effects: The most common adverse events are headache, fatigue, dizziness and nausea.

Use in Pregnancy and Lactation: Pregnancy: There are no data on the effect of Entecavir on transmission of HBV from mother to infant.Therefore, appropriate care should be taken.
Lactation: It is not know whether it is excreted in human milk. Mothers should be instructed not to breast feed if they are taking Entecavir.

Use in clildren: Safety and effectiveness of Entecavir in pediatric patients below the age of 16 years have not been established.

Geriatric Use: Clinical studies of Entecavir did not include sufficient numbers of subjects aged 65 years and over to determine whether they respond differently from younger subjects. But care should be taken in dose selection, and it may be useful to monitor renal function.

Precautions: Lactic acidosis: Lactic acidosis and severe hepatomegaly with steatosis, including fatal cases have been reported with the use of nucleoside analogues alone or in combination with antiretrovirals.
Severe ecute exacerbations of hepatitis B have been reported in patients who have discontinued anti-hepatitis B therapy, including Entecavir.

Drug Interactions: Coadministration of Entecavir with Lamivudine or Adefovir dipivoxil did not result in significant drug interactions. The effects of coadministration of Entecavir with other drugs that are renally eliminated or are known to affect renal function have not been evaluated.

Over dosage: There is no experiences of Entecavir overdosage reported in patients.

Missed dose: If it is almost time for next dose, skip the missed dose and take the next dose at the proper time. Nobody should take a double dose to make up for the missed dose.

Storage: Store in a cool (Below 25° C temperature) and dry place protected from light.

Packaging: Enviral® 0.5 mg Tablet: Each carton contains 14X1 film coated tablets in blister pack.
Enviral® 1 mg Tablet: Each carton contains 14X1 film coated tablets in blister pack.

Opsonin Pharma
Ideas for healthcare

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