Epastat®

Epalrestat INN

Description: Epastat® (Epalrestat) is a noncompetitive and reversible aldose reductase enzyme inhibitor used for the treatment of diabetic neuropathy.

Mode of action: Aldose reductase enzyme is activated by patient's hyperglycemic condition and increases the production of sorbitol from glucose. Excessive amount of sorbitol causes nerve dysfunction and neuropathic pain. Epalrestat inhibits aldose reductase enzyme and accumulation of Sorbitol in the nerve; thereby improves subjective symptoms and nerve dysfunction in patients with diabetic peripheral neuropathy.

Pharmacokinetics: Peak plasma concentration of 3.9 µg/ml is reached approximately 1 hour after administration of a 50 mg of Epalrestat oral dose to healthy adults before meals. Metabolism occurs in the liver by phase 1 and phase 2 reactions. During phase 1 metabolism, Epalrestat is metabolized through hydroxylation into two metabolites, monohydroxy and dihydroxy compounds. These compounds are further metabolized by a phase 2 reaction to produce glucuronide and sulfate conjugates. The unchanged parent drug is excreted in the urine, as are sulfate conjugates of the two metabolites. Epalrestat is highly protein bound, with a protein binding rate of 90.1%.

Composition: Epastat® 50 mg Tablet: Each film-coated tablet contains Epalrestat INN 50 mg

Indications: Epastat® is indicated to improve peripheral neuropathy. It is mainly used to improve numbness, pain and abnormality of vibration sensation/heart rate variability associated with diabetic peripheral neuropathy.

Dosage and Administration: The recommended dosage is **Epastat®** 50 mg Tablet 3 times daily orally before each meal. **Epastat®** is particularly recommended for use in patients with high glycosylated hemoglobin levels.

Contraindications: For patients with a history of a serious hypersensitivity reaction to Epalrestat is contraindicated.

Side Effects: The most commonly reported adverse reactions are: hepatic function abnormalities, thrombocytopenia, abdominal pain, nausea, dull, rash, itch, erythema and blister. In cases of hepatic abnormalities drug should be discontinued immediately and appropriate measures should be taken.

Use in pregnancy & lactation: It has not been determined whether Epalrestat is safe to use during pregnancy. Use during pregnancy only if the potential benefit justifies the potential risk to the fetus. **Epastat®** should not be administered to a nursing mother.

Precaution: The administration of **Epastat®** should be considered to patients showing high glycohemoglobin values even after fundamental therapies for diabetes mellitus such as diet therapy, exercise therapy and treatment with an oral hypoglycemic agent, insulin etc. The patient should be carefully monitored during the administration of this product. When the efficacy of this product is not observed even after 12 weeks of administration, other appropriate therapies should be taken.

Drug interactions: No drug-drug interactions have been established with Epalrestat.

Overdosage: Effects of over dosage of Epalrestat in clinical studies has not been established. In the event of an overdose, it is reasonable to employ the supportive measures and employ clinical monitoring (including obtaining an electrocardiogram) and institute supportive therapy as dictated by the patient's clinical status.

Storage: Keep out of reach of children. Store in a dry place, below 25° C temperature and protected from light.

Packaging: Epastat® 50 mg Tablet: Each carton contains 14X3 tablets in Alu-Alu blister pack.

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Opsonin Pharma
Ideas for healthcare

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