HOSPIMAX
Maximising Life

HosVilda™

Vildagliptin 50 mg Tablets

Complete Command Over Diabetes

Product Description-

- **HosVilda:-**Each tablet contains 50 mg of Vildagliptin

General Information

Vildagliptin is an orally active antihyperglycemic agent that selectively inhibits the dipeptidyl peptidase-4 (DPP-4) enzyme. It is used to manage type II diabetes mellitus, where GLP-1 secretion and insulinotropic effects are impaired. By inhibiting DPP-4, vildagliptin prevents the degradation of glucagon-like peptide 1 (GLP-1) and glucose-dependent insulinotropic polypeptide (GIP), which are incretin hormones that promote insulin secretion and regulate blood glucose levels. Elevated levels of GLP-1 and GIP consequently results in improved glycaemic control. In clinical trials, vildagliptin has a relatively low risk of hypoglycemia.

Indication & Usage: -

Vildagliptin is indicated in the treatment of type II diabetes mellitus in adults. As monotherapy, vildagliptin is indicated in adults inadequately controlled by diet and exercise alone and for whom metformin is inappropriate due to contraindications or intolerance.

Dosage & Administration

- The recommended daily dose of Vildagliptin is 100 mg, administered as one dose of 50 mg in the morning and one dose of 50 mg in the evening.

Mechanism Of Action: -

Glucagon-like peptide-1 (GLP-1) and glucose-dependent insulinotropic peptide (GIP) are incretin hormones that regulate blood glucose levels and maintain glucose homeostasis. It is estimated that the activity of GLP-1 and GIP contribute more than 70% to the insulin response to an oral glucose challenge. They stimulate insulin secretion in a glucose-dependent manner via G-protein-coupled GIP and GLP-1 receptor signalling. In addition to their effects on insulin secretion, GLP-1 is also involved in promoting islet neogenesis and differentiation, as well as attenuating pancreatic beta-cell apoptosis. Incretin hormones also exert extra-pancreatic effects, such as lipogenesis and myocardial function. In type II diabetes mellitus, GLP-1 secretion is impaired, and the insulinotropic effect of GIP is significantly diminished.

Vildagliptin exerts its blood glucose-lowering effects by selectively inhibiting dipeptidyl peptidase-4 (DPP-4), an enzyme that rapidly truncates and inactivates GLP-1 and GIP upon their release from the intestinal cells. DPP-4 cleaves oligopeptides after the second amino acid from the N-terminal end. Inhibition of DPP-4

substantially prolongs the half-life of GLP-1 and GIP, increasing the levels of active circulating incretin hormones. The duration of DPP-4 inhibition by vildagliptin is dose-dependent. Vildagliptin reduces fasting and prandial glucose and HbA1c. It enhances the glucose sensitivity of alpha- and beta-cells and augments glucose-dependent insulin secretion. Fasting and postprandial glucose levels are decreased, and postprandial lipid and lipoprotein metabolism are also improved.

Pharmacokinetics:

Absorption

In a fasting state, vildagliptin is rapidly absorbed following oral administration. Peak plasma concentrations are observed at 1.7 hours following administration. Plasma concentrations of vildagliptin increase in an approximately dose-proportional manner.

Food delays T_{max} to 2.5 hours and decreases C_{max} by 19%, but has no effects on the overall exposure to the drug (AUC). Absolute bioavailability of vildagliptin is 85

Distribution

The mean volume of distribution of vildagliptin at steady state after intravenous administration is 71 L, suggesting extravascular distribution

Metabolism

About 69% of orally administered vildagliptin is eliminated via metabolism not mediated by cytochrome P450 enzymes. Based on the findings of a rat study, DPP-4 contributes partially to the hydrolysis of vildagliptin.Vildagliptin is metabolized to pharmacologically inactive cyano (57%) and amide (4%) hydrolysis products in the kidney.LAY 151 (M20.7) is a major inactive metabolite and a carboxylic acid that is formed via hydrolysis of the cyano moiety: it accounts for 57% of the dose.Other circulating metabolites reported are an N-glucuronide (M20.2), an N-amide hydrolysis product (M15.3), two oxidation products, M21.6 and M20.

Route of elimination

Vildagliptin is eliminated via metabolism. Following oral administration, approximately 85% of the radiolabelled vildagliptin dose was excreted in urine and about 15% of the dose was recovered in feces. Of the recovered dose in urine, about 23% accounted for the unchanged parent compound.

Toxicity

There is limited information regarding overdose with vildagliptin. In one study, patients experienced muscle pain, mild and transient paraesthesia, fever, oedema, and a transient increase in lipase levels at a dose of 400 mg. At 600 mg, one subject experienced oedema of the feet and hands and increases in creatine phosphokinase (CPK), aspartate aminotransferase (AST), C-reactive protein (CRP) and myoglobin levels. Supportive management is recommended in case of an overdose. There is no known antidote, and vildagliptin and its major metabolite cannot be removed via haemodialysis.

Use in specific population

- **Elderly (≥ 65 years)** -No dose adjustments are necessary in elderly patients
- **Renal impairment** -No dose adjustment is required in patients with mild renal impairment (creatinine clearance ≥ 50 ml/min). In patients with moderate or severe renal impairment or with end-stage renal disease (ESRD), the recommended dose of Vildagliptin is 50 mg once daily.
- **Hepatic impairment**- Vildagliptin should not be used in patients with hepatic impairment, including patients with pre-treatment alanine aminotransferase (ALT) or aspartate aminotransferase (AST) > 3x the upper limit of normal (ULN).
- **Paediatric population** Vildagliptin is not recommended for use in children and adolescents (< 18 years). The safety and efficacy of Vildagliptin in children and adolescents (< 18 years) have not been established. No data are available.
- **Pregnancy**-There are no adequate data from the use of vildagliptin in pregnant women.Should not be used during pregnancy.
- **Lactation**-It is unknown whether vildagliptin is excreted in human milk or not. Should not be used during breast-feeding.

Contraindications

Hypersensitivity to the active substance or to any of the excipients listed in section.

Special warnings and precautions

- **General**-Vildagliptin is not a substitute for insulin in insulin-requiring patients. Vildagliptin should not be used in patients with type 1 diabetes or for the treatment of diabetic ketoacidosis.
- **Renal impairment**-There is limited experience in patients with ESRD on haemodialysis. Therefore,Vildagliptin should be used with caution in these patients
- **Hepatic impairment**Vildagliptin should not be used in patients with hepatic impairment, including patients with pre-treatment ALT or AST > 3x ULN.
- **Liver enzyme monitoring-** Patients may develop jaundice or other signs suggestive of liver dysfunction should discontinue vildagliptin.
- **Acute pancreatitis** Use of vildagliptin has been associated with a risk of developing acute pancreatitis. Patients should be informed of the characteristic symptom of acute pancreatitis.

Adverse Effects:

Common: Hypoglycaemia , Headache, chills , Nausea, Gastro-oesophageal reflux disease, , Dizziness, tremor , Hyperhidrosis , Asthenia, Weight increase , Oedema peripheral

Uncommon: Diarrhoea, Flatulence , Oedema peripheral , Constipation , Arthralgia , Hypoglycaemia Headache , Asthenia

Very Rare: Upper respiratory tract infection , Nasopharyngitis