Sitadus M® ER

Sitagliptin + Metformin HCL USP

Description: Sitadus M® ER is a fixed dose combination of two antihyperglycemic agents with different mechanisms of action. Sitagliptin is a member of the DPP-4 (dipeptidyl-peptidase-4) inhibitor class and Metformin hydrochloride is a member of the biguanide.

Mode of action: Sitagliptin inhibits dipeptidyl peptidase 4 (DPP-4), the enzyme responsible for the inactivation of the incretin hormones which are released into the circulation in response to food intake. Inhibition of DPP-4, results in higher levels of active incretin hormones, stimulating insulin release and reducing glucagon release in a glucose dependent manner.
Metformin decreases hepatic glucose production, decreases intestinal absorption of glucose and improves insulin sensitivity by increasing peripheral glucose uptake and utilization.

Pharmacokinetics: Sitagliptin is rapidly absorbed with peak plasma concentration observed at 1-4 hours. Sitagliptin can be given with or without food. The absolute bioavailability is 87%. The plasma protein binding of Sitagliptin is low (38%) and Sitagliptin distributes equally between plasma and red blood cells. Primary enzyme responsible for the limited metabolism of sitagliptin was CYP3A4, with contribution from CYP2C8. Approximately 87% of the dose of Sitagliptin was excreted into the urine and 13% of the dose was recovered in the faeces.
The absolute bioavailability of Metformin given under fasting condition is approximately 50-60%. Food decreases the extent and slightly delays the absorption of Metformin. Metformin is negligible to bound plasma proteins in contrast to sulfonylureas. The elimination half-life is approximately 17.6 hours

Composition: Sitadus M® 50/500 ER Tablet: Each tablet contains Sitagliptin Phosphate Monohydrate INN 64.25 mg equivalent to Sitagliptin 50 mg (Immediate release) and Metformin USP 500 mg (extended release).
Sitadus M® 50/1000 ER Tablet: Each tablet contains Sitagliptin Phosphate Monohydrate INN 64.25 mg equivalent to Sitagliptin 50 mg (Immediate release) and Metformin (extended release) USP 1000 mg.

Indications: Sitadus M® ER is indicated as an adjunct to diet and exercise to improve glycemic control in adults with type-2 diabetes mellitus when treatment with both Sitagliptin and Metformin extended release is appropriate

Dosage & Administration: May adjust the dosing based on effectiveness and tolerability while not exceeding the maximum recommended daily dose of Sitagliptin100 & Metformin 2000 mg extended release. Administer once daily with a meal preferably in the evening. Gradually escalate the dose to reduce the gastrointestinal side effects due to Metformin. Maintain the same total daily dose of Sitagliptin & Metformin when changing between Sitagliptin+ Metformin ER, without exceeding the maximum recommended daily dose of 2000 mg Metformin extended release. Swallow whole, never split, crush or chew.

Contraindications: Renal impairment (eg, SrCr ≥1.5mg/dL [men], ≥1.4mg/dL [women], or abnormal CrCl), acute or chronic metabolic acidosis, including diabetic ketoacidosis.

Side effects: • The most common adverse reactions reported in ≥5% of patients simultaneously started on sitagliptin and Metformin and more commonly than in patients treated with placebo were diarrhea, upper respiratory tract infection, and headache. • Adverse reactions reported in ≥5% of patients treated with sitagliptin in combination with sulfonylurea and Metformin and more commonly than in patients treated with placebo in combination with Sulfonylurea and Metformin were hypoglycemia and headache. • Hypoglycemia was the only adverse reaction reported in ≥5% of patients treated with sitagliptin in combination with insulin and Metformin and more commonly than in patients treated with placebo in combination with insulin and Metformin.

Use in pregnancy & lactation: *Pregnancy:* There are no adequate and well-controlled studies in pregnant women with Sitagliptin+Metformin ER or its individual components; therefore, the safety of Sitagliptin+Metformin ER in pregnant women is not known. Sitagliptin+Metformin ER should be used during pregnancy only if clearly needed.
Lactation: It is not known whether Sitagliptin or Metformin are excreted in human milk. Because many drugs are excreted in human milk, caution should be exercised when Sitagliptin+Metformin ER is administered to a nursing woman.

Precautions: Do not use the combination of Sitagliptin+ Metformin in patients with hepatic disease. Before initiating the combination and at least annually thereafter, assess renal function and verify as normal. May need to discontinue the combination and temporarily use insulin during periods of stress and decreased intake of fluids and food as may occur with fever, trauma, infection or surgery.

Drug interactions: Cationic drugs eliminated by renal tubular secretion: Use with caution. Carbonic anhydrase inhibitors should be used with caution treated with Sitagliptin+Metformin ER, as the risk of lactic acidosis may increase.

Over dosage: There is no experience with doses above 800 mg in clinical studies. In Phase I multiple-dose studies. In the event of an overdose, it is reasonable to employ the usual supportive measures, e.g., remove unabsorbed material from the gastrointestinal tract, employ clinical monitoring (including obtaining an electrocardiogram), and institute supportive therapy as dictated by the patient's clinical status. It is not known if sitagliptin is dialyzable by peritoneal dialysis.

Storage: Store in a cool and dry place, protected from light.

Packaging: Sitadus M® 50/500 ER Tablet: Each carton contains 10X2 tablets in Alu-Alu blister pack
Sitadus M® 50/1000 ER Tablet: Each carton contains 6X3 tablets in Alu-Alu blister pack

Opsonin Pharma
Ideas for healthcare

Manufactured by
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