



QUALITATIVE AND QUANTITATIVE COMPOSITION

Omaril 12.5mg tablets

Each film-coated tablet contains:

Omarigliptin.....12.5mg

Omaril 25mg tablets

Each film-coated tablet contains:

Omarigliptin.....25mg

DESCRIPTION

An oral, once-weekly DPP-4 inhibitor indicated for the treatment of adults with type 2 diabetes, shows a sustained DPP4 inhibitory activity over 24 hours / 7 days good glycemic until the next administration immediately before lowering effect was observed. Least soluble in water, non-hygroscopic. Omarigliptin itself is a white powder.

CLINICAL PHARMACOLOGY

Mechanism of Action: Omarigliptin is a long-acting inhibitor of the enzyme dipeptidyl peptidase-4 (DPP-4). DPP-4 rapidly degrades incretin hormones. Incretins lower blood glucose concentrations in response to a meal by increasing insulin and decreasing glucagon levels in a glucose-dependent manner. Thus, omarigliptin's mechanism of action (or MOA) augments the action of incretin hormones.

Pharmacokinetics: Omarigliptin is a competitive, reversible inhibitor of DPP-4 which is cleared by excretion into the urine. It is structurally different from currently available once-daily dosing DPP-4 inhibitors. It is rapidly absorbed after administration, with time to maximum concentration ranging from 0.5-4 hours. The terminal half-life of Omarigliptin was greater than 100 hours which allows for once-weekly administration. Steady state of the drug is achieved after two to three doses. Both pre-clinical and clinical studies have demonstrated significant inhibition of DPP-4 activity with an associated increase in active GLP-1 levels.

Absorption: Absorption was rapid, and food did not influence single-dose PK. Accumulation was minimal, and steady state was reached after 2 to 3 weeks. Weekly (area under the curve) AUC and C_{max}

displayed dose proportionality within the dose range studied at steady state. The average renal clearance of Omarigliptin was ~2 L/h. DPP-4 inhibition ranged from ~77% to 89% at 168 hours following the last of 3 once-weekly doses over the dose range studied. Omarigliptin resulted in ~2-fold increases in weighted average postprandial active GLP-1. Omarigliptin acts by stabilizing active GLP-1, which is consistent with its mechanism of action as a DPP-4 inhibitor. Omarigliptin has a prolonged half-life allowing for once-weekly dosing. Omarigliptin was rapidly absorbed, with peak plasma concentrations observed at 0.5-2 h post-dose.

Elimination: It is mainly excreted in the urine. Disappearance of Omarigliptin is mainly due to renal excretion, glomerular filtration.

INDICATIONS AND USAGE

Omarigliptin is an oral, once-weekly DPP-4 inhibitor indicated for the treatment of adults with type 2 diabetes.

CONTRAINDICATIONS

Patients with a history of hypersensitivity to components of this drug.

Severe ketosis, diabetic coma or precoma in type 1 diabetes patients.

Severe infection in which glycemic control is desired by insulin injection, before and after surgery.

Patients with severe trauma.

Efficacy and safety of concomitant administration of this drug and insulin preparations have not been studied.

INTERACTIONS

Interaction of Omarigliptin is with the following:

Metformin
Glimepiride
Oral contraceptives
Atorvastatin

USE IN SPECIFIC POPULATION

Elderly population: Often renal function is reduced in the elderly patients and this drug is mainly excreted via kidney. Care must be taken especially in patients with severe renal dysfunction, end-stage renal failure patients requiring hemodialysis or peritoneal dialysis.

Children: Safety and efficacy of this drug in children

has not been established.

Pregnant woman: Clinical trials targeting pregnant women have not been performed so its safety cannot be predicted. Breastfeeding should be avoided.

PRECAUTIONS

Since this drug is mainly excreted by the kidneys therefore dose should be adjusted in patients with severe renal impairment, hemodialysis or peritoneal dialysis and end-stage renal failure.

Other anti-diabetic drugs (in particular, insulin preparation or sulfonylurea) should be used with caution in combination with Omarigliptin, as they may cause hypoglycemia.

Following patients or conditions which might cause low blood sugar:

1. Pituitary dysfunction or adrenal insufficiency
2. Malnutrition, starvation, irregular dietary intake, lack of dietary intake or debilitated state
3. Intense muscle movement
4. Excessive alcohol intake
5. The elderly

Caution should be taken in patients engaged in aerial work, operation of an automobile.

Precaution must be taken with drugs that enhances the hypoglycemic action (β -blockers, salicylic acid agents, monoamine oxidase inhibitors, etc.). Blood glucose level should be carefully monitored when using drugs that attenuate the hypoglycemic action (adrenaline, adrenocorticotrophic hormone, thyroid hormone, etc.).

ADVERSE REACTIONS

Nasopharyngitis may occur. The adverse effects of Omarigliptin drug were well tolerated.

SIDE EFFECTS

Hypoglycemia, acute pancreatitis, bowel obstruction and intestinal obstruction.

Other side effects are: Gastrointestinal problem, constipation, diarrhea, skin and subcutaneous tissue disorders.

DOSAGE AND ADMINISTRATION

The usual recommended dose of Omarigliptin is 25mg once weekly as monotherapy or as add-on therapy for optimisation of glycaemic control. The dosage should be reduced to 12.5mg once weekly in patients with

severe renal dysfunction and in patients with end-stage renal failure or in those requiring hemodialysis or peritoneal dialysis according to the following table:

Renal dysfunction	eGFR (ml/min/1.73m ²) Serum creatinine values(mg/dL)*eGFR<30	Dose
Severe end-stage kidney failure	Men: Cr>1.9	12.5mg once a week
	Women: Cr>1.4	

*:Conversion value corresponding to the eGFR (60 years of age)

Missed dose: If you miss a dose, take the missed dose as soon as possible and then follow your regular weekly dosing schedule. You should never take two doses at one time.

STORAGE

Store at 25°C, excursions permitted to 15°C -30°C. Protect from sunlight and moisture.

PRESENTATION

Omaril(Omarigliptin) film-coated tablets 12.5mg are available in Alu-Alu blister pack of 4 tablets with leaflet.

Omaril(Omarigliptin) film-coated tablets 25mg are available in Alu-Alu blister pack of 4 tablets with leaflet.

For detailed information please contact:

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