Olistat™

Orlistat USP

PRESENTATION

Olistat™ 60 Capsule: Each capsule contains Orlistat pellets equivalent to Orlistat USP 60 mg.

Olistat™ 120 Capsule: Each capsule contains Orlistat pellets equivalent to Orlistat USP 120 mg.

PHARMACOLOGY

Orlistat is a reversible inhibitor of gastrointestinal lipase. It exerts its therapeutic activity in the lumen of the stomach and small intestine by forming a covalent bond with the active serine residue site of gastric and pancreatic lipase. The inactivated enzymes are thus unavailable to hydrolyze dietary fat in the form of triglycerides into absorbable free fatty acids and monoglycerides. As undigested triglycerides are not absorbed, the resulting caloric deficit may have a positive effect on weight control.

PHARMACOKINETICS

Systemic exposure to Orlistat is minimal. In vitro Orlistat was > 99% bound to plasma proteins (lipoproteins and albumin are major binding proteins). Orlistat is minimally partitioned into erythrocytes. The time to reach complete excretion (fecal plus urinary) is 3 to 5 days. The disposition of Orlistat appeared to be similar between normal weight and obese subjects. Based on limited data, the half-life of the absorbed Orlistat is in the range of 1 to 2 hours.

INDICATIONS AND USES

Orlistat is indicated for obesity management including weight loss and weight maintenance when used in conjunction with a reduced-calorie diet. Orlistat is also indicated for the reduction of the risk of weight regain after prior weight loss. Orlistat120 mg is indicated for obese patients with an initial body mass index (BMI) ≥30 kg/m^2 or ≥27 kg/m^2 in the presence of other risk factors (eg, hypertension, diabetes, dyslipidemia) and Orlistat 60 mg is indicated for overweight & obese patients with an initial body mass index (BMI) ≥25 kg/m^2.

DOSAGE AND ADMINISTRATION

The recommended dose of Orlistat is one 60 mg or 120 mg capsule three times a day with each main meal containing fat (during or up to 1 hour after the meal). The patient should be on a nutritionally balanced, reduced-calorie diet that contains approximately 30% of calories from fat. The daily intake of fat, carbohydrate, and protein should be distributed over three main meals. If a meal is occasionally missed or contains no fat, the dose of Orlistat can be omitted.

Because Orlistat has been shown to reduce the absorption of some fat-soluble vitamins and beta-carotene, patients should be counseled to take a multivitamin containing fat-soluble vitamin to ensure adequate nutrition. The vitamin supplement should be taken at least 2 hours before or after the administration of Orlistat, such as at bedtime.

CONTRAINDICATIONS

Orlistat is contraindicated in: Pregnancy, Patients with chronic malabsorption syndrome, Patients with cholestasis, Patients with known hypersensitivity to Orlistat or to any component of this product.

ADVERSE EFFECTS

Commonly-observed adverse events associated with the use of Orlistat include oily spotting, flatus with discharge, fecal urgency, fatty/oily stool, oily evacuation, increased defecation, fecal incontinence.

WARNINGS AND PRECAUTIONS

Concomitant Drug and Vitamin Use: Orlistat and cyclosporine should not be simultaneously coadministered. To reduce the chance of a drug-drug interaction, cyclosporine should be taken at least 3 hours before or after taking Orlistat in patients taking both drugs. In addition, in those patients whose cyclosporine levels are being measured, more frequent monitoring should be considered. Patients should be strongly encouraged to take a multivitamin supplement that contains fat-soluble vitamins to ensure adequate nutrition because Orlistat has been shown to reduce the absorption of some fat-soluble vitamins and beta-carotene. In addition, the levels of vitamin D and betacarotene may be low in obese patients compared with non-obese subjects. The supplement should be taken once a day at least 2 hours before or after the administration of Orlistat, such as at bedtime. *Liver Injury:* Patients should be instructed to report any symptoms of hepatic dysfunction (anorexia, pruritus, jaundice, dark urine, light-colored stools, or right upper quadrant pain) while taking Orlistat. When these symptoms occur, Orlistat and other suspect medications should be discontinued immediately and liver function tests and ALT and AST levels obtained. *Increases in Urinary Oxalate:* Some patients may develop increased levels of urinary oxalate following treatment with Orlistat. Cases of oxalate nephrolithiasis and oxalate nephropathy with renal failure have been reported. Monitor renal function when prescribing Orlistat to patients at risk for renal impairment and use with caution in those with a history of hyperoxaluria or calcium oxalate nephrolithiasis. Cholelithiasis: Substantial weight loss can increase the risk of cholelithiasis. Miscellaneous: Organic causes of obesity (eg, hypothyroidism) should be excluded before prescribing Orlistat. Patients should be advised to adhere to dietary guidelines [see Dosage and Administration (2)]. Gastrointestinal events may increase when Orlistat is taken with a diet high in fat (>30% total daily calories from fat). The daily intake of fat should be distributed over three main meals. If Orlistat is taken with any one meal very high in fat, the possibility of gastrointestinal effects increases.

DRUG INTERACTIONS

Cyclosporine: Data from a Orlistat and cyclosporine drug interaction study indicate a reduction in cyclosporine plasma levels when Orlistat was coadministered with cyclosporine. Orlistat and cyclosporine should not be simultaneously coadministered. Cyclosporine should be administered 3 hours before or after the administration of Orlistat.

Fat-soluble Vitamin Supplements and Analogues: Data from a pharmacokinetic interaction study showed that the absorption of beta-carotene supplement is reduced when concomitantly administered with Orlistat. Orlistat inhibited absorption of a vitamin E acetate supplement. The effects of Orlistat on the absorption of supplemental vitamin D, vitamin A, and nutritionally-derived vitamin K are not known at this time.

Levothyroxine: Hypothyroidism has been reported in patients treated concomitantly with Orlistat and levothyroxine postmarketing. Patients treated concomitantly with Orlistat and levothyroxine should be monitored for changes in thyroid function. Administer levothyroxine and Orlistat at least 4 hours apart.

Warfarin: Vitamin K absorption may be decreased with Orlistat. Patients on chronic stable doses of warfarin and Orlistat should be monitored closely for changes in coagulation parameters.

USE IN PREGNANCY AND LACTATION

Pregnancy Category X. Orlistat is contraindicated during pregnancy, because weight loss offers no potential benefit to a pregnant woman and may result in fetal harm.

It is not known whether Orlistat is present in human milk or not. Caution should be exercised when Orlistat is administered to a nursing woman.

PEDIATRIC USE

Safety and effectiveness in pediatric patients below the age of 12 have not been established.

STORAGE CONDITION

Store below 25°C (77°F); excursions permitted to 15° to 30°C. Keep the medicine out of reach of children.

HOW SUPPLIED

Olistat™ 60 Capsule: Each box contains 20 capsules in blister pack.

Olistat™ 120 Capsule: Each box contains 20 capsules in blister pack.

Manufactured by

SQUARE
FORMULATIONS LTD.
Tangail, Bangladesh

TM - Trade Mark

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