ROSUTOR10

ROSUVASTATIN CALCIUM TABETS 10 mg

Each film coated tablet contains:

Rosuvastatin Calcium NAFDAC REG. NO: B4-0600

Equivalent to Rosuvastatin…. 10mg

Excipients……. q. s.

DESCRIPTION

ROSUTOR 10 (rosuvastatin calcium) is a synthetic lipid- lowering agent for oral administration. The chemical name for rosuvastatin calcium is bis[(E)-7-[4-(4-fluorophenyl)-6-isopropyl 2-[methyl (methylsulfonyl) amino] pyrimidin-5-yl] (3R,5S)-3,5-dihydroxyhept-6-enioc acid] calcium salt. The empirical formula for rosuvastatin calcium is $(C_{22}H_{27}FN_3O_6S)_2Ca$ and the molecular weight is 1001. 14. Rosuvastatin calcium is a white amorphous power that is sparingly soluble in water and methanol, and slightly soluble in ethanol. Rosuvastatin calcium is hydrophilic compound with a partition coefficient (octanol/water) of 0. 13 at Ph of 7.0.

CLINICAL PHARMACOLOGY

Mechanism of Action

ROSUTOR 10 is a selective and competitive inhibitor of HMG-CoA reductase, the rate- limiting enzyme that converts 3-hydroxyl-3-methylglutaryl coenzyme-A to mevalonate, a precursor of cholesterol. In vivo studies in animal, and in vitro studies in cultured animal and human cells have shown rosuvastatin to have a high uptake into, and selectivity for action in the liver, the target organ for cholesterol lowering. In vivo and in vitro studies, rosuvastatin produces it lipid modifying effects in two ways, First, it increases the number of hepatic LDL receptors on the cell-surface to enhance uptake and catabolism of LDL second, rosuvastatin inhibits hepatic synthesis of VLDL, which reduces the total number VLDL and LDL particles.

PHARMARCOKINETICS

Absorption: The absolute bioavailability of rosuvastatin is approximately 20%.

Distributor: Mean volume of distribution at steady – state of rosuvastatin is approximately 134 liters.

Rosuvastatin 88% bound to plasma proteins, mostly albumin. This binding is reversible and independent of plasma concentrations.

Metabolism: Rosuvastatin is not extensively metabolized. Approximately 10% of a radio labelled dose is recovered as metabolite. The major metabolite is N -desmethyl rosuvastatin which is formed principally by cytochrome P450 2C9.

Excretion: Following oral administration, rosuvastatin and its metabolites are primarily excreted in the feces (90%). The elimination half -life (t1/2) of rosuvastatin is approximately 19 hours.

INDICATIONS AND USAGE

ROSUTOR 10 is an HMG Co- A reductase inhibitor indicated for:

Patients with primary hyperlipidemia and mixed dyslipidemias an adjunct to diet to reduce elevated Total-C, LDL-C, ApoB, nonHDL-C, and TG levels and to increase HDL-C.

Patients with hypertriglyceridemia as an adjunct to diet.

Patients with primary dysbetalipoproteinemia (Type III hyperlipoproteinemia) as an adjunct to diet.

Patient with homozygous familial hypercholesterolemia (HoFH) to reduce LDL-C, Total -C and ApoB.

Slowing the progression of atherosclerosis as part of a treatment strategy to lower Total-C and LDL -C as an adjunct to diet.

Pediatric patients 10 to 17 years of age with heterozygous familial hypercholesterolemia (HeFH)to reduce elevated Total-C, LDL-C and ApoB after failing an adequate trial of diet therapy.

Risk reduction of MI, stroke, and arterial revascularization procedures in patients without clinically evident CHD, but with multiple risk factors.

DOSAGE AND ADMINISTRATION

ROSUTOR 10 can be taken with or without food, at any time of day.

Dose range: 5-40 mg 0nce daily. Use 40 mg dose only for patients not reaching LDL-C goal with 20 mg.

HoFH: starting dose 20 mg.

In pediatric patients 10 to 17 years of age with HeFH: The usual dose range is 5- 20mg/day.

INTERACTIONS: In vitro and vivo data indicate that rosuvastatin clearance is not dependent on metabolism by cytochrome P450 3A4 to clinically significant extent. The following drugs may interact with rosuvastatin – ketoconazole, erythromycin, itraconazole, fluconazole, cyclosporine, warfarin, digoxin, fenofibrate, demfibrozil, antacid, oral contraceptives.

CONTRAINDICATIONS

Known hypersensitivity to product components.

Active liver disease, which may include unexplained persistent elevations in hepatic transaminase levels.

Patients with severe renal impairment (creatinine clearance<30ml/min) &myopathy.

WARNINGS AND PRECAUTIONS

Skeletal muscle effects (e.g., myopathy and rhabdomyolysis): Risk increase with use of 40mg dose, advanced age (^{3}65), hypothyroidism, renal impairment, and combination use with cyclosporine, lopinavir/ ritonavir, atazanavir/ritonavir, or other lipid lowering drugs.

Advice patients to promptly report unexplained muscle pain, tenderness, or weakness and discontinue ROSUTOR 10 if signs or symptoms appear.

Liver enzyme abnormalities and monitoring: persistent elevations in hepatic transaminases can occur. Monitor liver enzymes and before and during treatment.

Pregnancy:

Rosuvastatin may cause fetal harm when administered to a pregnant woman. Rosuvastatin is contraindicated in women who are or may become pregnant. Safety in pregnant women has not been established.

NURSING MOTHERS: It is not known whether rosuvastatin is excreted in human milk. Because many drugs are excreted in human milk and because of the potential for serious adverse reactions in nursing infants from rosuvastatin, a decision should be made whether to discontinue nursing or administration of rosuvastatin taking into account the importance of the drug to the lactating woman.

ADVERSE REACTIONS

Most frequent adverse reaction is headache, myalgia, abdominal pain, asthenia, and nausea.

OVERDOSAGE

There is no specific treatment in the event of overdose. The patient should be treated symptomatically and supportive measures instituted as required. Hemodialysis does not significantly enhance clearance of rosuvastatin.

STORAGE: Store in a cool, dry and dark place.

KEEP ALL MEDICINES OUT OF REACH OF CHILDREN

PRESENTATION: Available as alu-alu pack of 10 tablets in carton of 3× 10 tablets.

Manufactured by: Swiss Pharma Pvt. Ltd.

3709,GIDC, Phase IV, Vatva, Ahmedabad – 382 445, Gujarat, India.

Marketed By:

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