

Losartan

F.C Tablets 25 and 50 mg

Category: Antihypertensive, angiotensin II receptor antagonist.

Composition: Each film-coated tablet contains 25 mg or 50 mg losartan potassium.

Mechanism of action:

Losartan is a nonpeptide angiotensin II receptor antagonist with high affinity and selectivity for the AT1 receptor. Losartan blocks the vasoconstrictor and aldosterone-secreting effects of angiotensin II by inhibiting the binding of angiotensin II to the AT1 receptor. AT1 receptor blockade results in an increase in plasma renin activity (PRA) followed by increases in plasma angiotensin II concentration. The potential clinical consequences of these increases are not clear. Angiotensin II agonist effects have not been demonstrated.

Pharmacokinetics:

- **Absorption:** Well-absorbed following oral administration. Bioavailability is approximately 33%.

- **Protein binding:** Losartan-Very high (98.7%), Carboxylic acid metabolite-Very high (99.8%)

- **Biotransformation:** Losartan undergoes substantial first-pass metabolism by the cytochrome P450 system. Biotransformation results in a major active carboxylic acid metabolite that is 10 to 40 times more potent than the parent compound and is responsible for most of the pharmacologic activity.

- **Duration of action:** Single dose-24 hours or more.

- **Elimination:** Renal - Approximately 35% and Fecal (biliary) - Approximately 60%

Indications:

Losartan is indicated for the treatment of hypertension. It may be used alone or in combination with other antihypertensive agents, including diuretics.

Losartan is indicated to reduce the risk of stroke in patients with hypertension and left ventricular hypertrophy.

Losartan is indicated for the treatment of diabetic nephropathy with an elevated serum creatinine and proteinuria (urinary albumin to creatinine ratio ≥ 300 mg/g) in patients with type 2 diabetes and a history of hypertension.

Contraindications:

Hypersensitivity to losartan or any component of the product.

Drug interactions:

Diuretics (symptomatic hypotension may occur after initiation of losartan therapy in patients taking a diuretic; caution and a lower starting dose are recommended), Cyclosporine, Hypotension - production medications, Fluconazole, Sympathomimetics and Anti-inflammatory drug, nonsteroidal (NSAIDs), especially indomethacin.

Precaution:

Pregnancy: FDA Pregnancy Category C (first trimester) and D (second and third trimesters).

Breast-feeding: It is not known whether losartan is distributed into breast milk. However, significant concentrations of losartan and its active metabolite are present in the milk of rats.

Pediatrics: Safety and efficacy have not been established in children younger than 6 years of age.

Geriatrics: Use of losartan in a limited number of patient 65 years of age over has not demonstrated geriatrics-specific problems that would limit the usefulness of losartan in the elderly, but greater sensitivity of some older individuals cannot be ruled out.

Risk-benefit should be considered when the following medical problems exist:

Hepatic and renal function impairment, Renal artery stenosis, bilateral or in a solitary kidney (increased risk of renal function impairment)

- Caution is recommended in patients who are sodium- or volume-depleted.

Side/Adverse effects

Those indicating need for medical attention:

-Incidence less frequent: Dizziness, upper respiratory infection

-Incidence unknown: Angioedema, hepatitis, hyperkalemia, hyponatremia, rhabdomyolysis, thrombocytopenia, vasculitis including, Henoch-Schonlein purpura.

Those indicating need for medical attention only if they continue or are bothersome:

- Incidence more frequent: Headache

- Incidence less frequent: Back pain, diarrhea, fatigue, nasal congestion.

-Incidence rare: Dry cough: insomnia, leg pain, muscle cramps or pain, sinus problems.

Dosage and administration:

Usual adult dose:

-Antihypertensive

Initial: Oral, 50 mg once a day.

Maintenance: Oral, 25 to 100 mg a day. Dose may be given once a day or divided into two doses.

-Hypertension with left ventricular hypertrophy (treatment):

Oral, usual starting dose 50 mg once daily. Hydrochlorothiazide 12.5 mg once daily should be added and/ or losartan dose should be increased to 100 mg once daily followed by increase in hydrochlorothiazide to 25 mg once daily based on blood pressure response.

-Hypertrophy, diabetic (treatment):

Oral, usual starting dose 50 mg once daily. Dose should be increased to 100 mg once daily based on blood pressure response.

Usual pediatric dose:

-Antihypertensive

Children 6 years of age and older: Oral, initial dose of 0.7 mg per kg of body weight per day up to 50 mg per day.

Packaging:

Losartan tablets are available in packages of 100's (10 blister of 10's).



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