Felodipine

Lodistad ER

Calcium Channel Blocker

Formulation:

Each tablet contains:

Felodipine 5mg

Pharmacological properties:

Felodipine is a calcium antagonist of the dihydropyridine class of calcium channel blockers. Calcium antagonists interfere with the voltage-dependent L-type (slow) calcium channels in the plasma membranes of smooth muscle cells and reduce the inflow of calcium ions with the result of vasodilation. Felodipine selectively dilates arterioles with no effect on venous vessel. Felodipine leads to a dose-related lowering blood pressure via a vasodilation and consequently a reduction of peripheral vascular resistance. It reduces both systolic and diastolic blood pressure. The hemodynamic effect of Felodipine is accompanied by a reflex (baroreceptor-mediated) tachycardia. In therapeutic doses. Felodipine has no direct on either cardiac contractility or cardiac conduction. Felodipine reduces renal vascular resistance. The glomerular filtration rate remains unchanged.

Pharmacokinetics:

Felodipine is rapidly and completely absorbed following oral administration. Peak plasma levels are reached with prolonged release formulation after 3-5hours. Constant levels are achieved approximately 3days after starting treatment. Due to extensive first pass-effect, only approximately 15% of the administered dose is systematically available.

The plasma protein binding of Felodipine is > 99%. The volume of distribution is 10L/Kg so that Felodipine is rapidly distributed in extravascular tissue. Felodipine is extensively metabolized in the liver. No unchanged parent substance is detectable in the urine. The inactive hydrophilic metabolites formed by hepatic biotransformation are mainly eliminated in several phases. Approximately 50% of the administered dose is excreted with half life of 4 hours and the mean terminal half-life is 18 hours. The mean plasma clearance is 1100mL/L and depends on the hepatic blood flow. Elevated plasma concentrations have been measured in patients with impaired hepatic functions and in elderly patients. Renal impairment does not affect the pharmacokinetics of Felodipine, although accumulation of inactive metabolites occurs in renal failure. The bioavailability of Felodipine is affected by the simultaneous ingestion of fatty food (increase in plasma level).

Indication:

Essential hypertension.

Side Effects:

Frequently, flushing, headache or tinnitus may occur, particularly at the beginning of treatment when the doses is increased or when the dose is increased or when high doses are administered. Occasionally peripheral edema occurs. Occasionally, particulary at the begining of treatment, angina pectoris attacks may occur or in patients with pre-existing angina pectoris there may be an increase in the frequency, duration, and severity of the attacks. Myocardial infarction has been reported in isolated cases. Dizziness, fatigue, hypotension, syncope, palpitation, tachycardia, and dyspnea, restleness, paresthesia, tremors, myalgia, arthralgia, gastro-intestinal complaints (e.g., nausea, vomiting, diarrhea, constipation, weight gain, sweating, pollakiuria, skin and hypersensitivity reactions such as pruritus, urticaria and rash have been observed rarely. Very rarely leukocytoclastic vasculitis and photosentization. Felodipine treatment may lead to gingival hyperplasia and gingivitis in rare cases. In individual cases hepatic function disorders (elevated transaminase levels), exfoliative dermatitis, angioedema and fever were observed. In individual cases erection disorders and gynecomastia have been reported. The doctor or pharmacist should be informed if any adverse effects not described in this leaflet is experienced.

Precaution for use and warnings:

Felodipine should be used with caution in patients with:

- Conduction disorders, heart failure, tachycardia and hemodynamically relevant aortic and/or miral valve stenosis.
- Mild to moderate hepatic impairment as the antihypertensive effect may be enhanced.
- If treatment with Felodipine is discontinued abruptly, a hypertensive crisis may occur in individual cases.

Use in children:

Felodipine should not be used in children because safety has not been established.

Using during pregnancy or breastfeeding:

Felodipine is contraindicated during the entire duration of pregnancy, as animal experiments have demonstrated fetal damage. Pregnancy must be excluded before starting treatment with Felodipine. Felodipine is excreted in breastmilk. I the breast-feeding mother is taking therapeutic doses of Felodipine a fully breast-fed infant absorbs only a very low dose of the active substance with the breast milk. There exist no experience concerning the risks for the infant.

Effects on ability to drive and use machines:

Treatment of essential hypertension with Felodipine requires regular medical monitoring. Individually, different reactions may alter alertness to such an extent that the ability to actively participate in road traffic, operate machines or work without a firm support is impaired. This applies especially at the start of therapy, when increasing the dose, switching medications, or using alcohol at the same time.

Interactions with other drugs and other forms of interaction:

The blood pressure lowering effect of Felodipine may be increased by other blood pressure lowering drugs and by certain (tricyclic) antidepressants. The breakdown of Felodipine involves certain enzymes in the liver (cytochrome P450 3A4). Concurrently, administered drugs interfere with this enzyme systems may therefore interact with Felodipine to produce:

- Increased blood levels of Felodipine when medical products that contains such drugs as cimetidine, erythromycin itraconazole or ketoconazole are used at the same time. Grapefruit which contains enzyme-inhibiting flavonoids can also increase the plasma level of Felodipine.
- Reduced blood levels of Felodipine when medicinal products that contain such drugs as carbamazepine, phenytoin or barbiturates are used at the same time.

Please bear in mind that these precautions may also apply to recently used medicines.

Contraindications:

Felodipine must not be taken by patients with any of the following conditions:

- Hypersensitivity to Felodipine or to any of the excipients.
- Stroke within the last six months.
- Cardiovascular shock.
- Valvular heart disease (higher grade aortic or mitral stenosis).
- Heart muscle disease with narrowing of the cardiac activity (hypertrophic obstructive cardiomyopathy).
- Unstable angina pectoris.
- Acute myocardial infarction (with the last 8 weeks).
- Higher-grade disturbance of impulse conduction from the atria to the ventricles of the heart (second-and-third-degree AV block).
- Congestive heart failure.
- Severe impairment of kidney function (renal insufficiency, GFR <30ml/min, >1.8mL/min.
- Severe impairment of liver function.
- Pregnancy

Dosage and Administration:

Unless prescribed otherwise, the following dosage regimen is recommended:

Treatment should always be started with 5mg of Felodipine (equivalent to 2 Felodipine 2.5mg retard extended-release tablet) once daily. Lower strengths of this drug are available to achieve the starting dose. Dosage may be increased to 10mg Felodipine (equivalent to 4 Felodipine 2.5mg or 2 Felodipine 5mg retard extended-release tablets) once daily. At least 2 weeks should have elapsed before dosage is increased.

The maximum dosage is 10mg or as prescribed by the physician.

Elderly patients:

Elderly patients are recommended a starting dose of 2.5mg of Felodipine once daily. Dose increase should be made with caution.

Patients with liver function impairment:

Patients with mild to moderate impairment of liver function should start treatment with 2.5mg of Felodipine once daily. Dose increases should be made only after critically weighing the effects of Felodipine.

Method of administration and duration of therapy:

The extended-release tablets should be taken in the morning with a sufficient amount of liquid (such as a glass of water, but not grapefruit juice). The extended-release tablets should be swallowed whole and neither chewed nor divided.

The tablets should not be taken with high-fat meal.

If a dose is missed or less than prescribed dose is taken, the dose to make up for a missed dose. Treatment should not be interrupted or stopped prematurely without consulting the doctor beforehand. Abrupt discontinuation of the drug may produce life-threatening blood pressure increase (hypertensive crisis) in isolated instances.

The duration of therapy will be decided by the treating doctor.

Symptoms and management of overdosage:

The main manifestation that might be expected is excessive peripheral vasodilation with marked hypotension and rare cases bradycardia. The therapeutic measure should include elimination of the active ingredient and reconstitution of stable cardiovascular conditions. If hypotension occurs, symptomatic treatment should be provided: the patient should be placed supine with the legs elevated. In case of accompanying bradycardia, atropine (0.5-1.0mg) should be given intravenously. Additional fluid administration should only be initiated if under hemodynamic control to avoid cardiac overhead.

Sympathomimetic drugs with predominant effect on the 1 adrenoreceptor may also be given.

Felodipine is dialysable to minimal extent (approximately 9%)

Storage:

Store at temperatures not exceeding 25°C.

Caution:

Foods, Drugs, Devices and Cosmetics Act prohibits dispensing without prescription. For suspected adverse drug reaction, report to the FDA: www.fda.gov.ph

Availability:

Box of 100's

Registration No.:

DRP-120

Date of First Authorization:

Feb 2009

Revision Date:

March 2022

Manufactured by:

STELLAPHARM J.V. CO. LTD-BRANCH 1
No. 40 Tu Do Avenue, Vietnam-Singapore Industrial Park, An Phu Ward,
Thuan An Town, Binh Duong Province, Vietnam

Imported and Distributed by:

STADA Philippines Inc.
Unit 1001-1003 The Finance Centre 26th Street corner 9th Avenue, Bonifacio
Global City Fort Bonifacio, Taguig City, Philippines