Taj Pharmaceuticals Ltd.

Lercanidipine Hcl

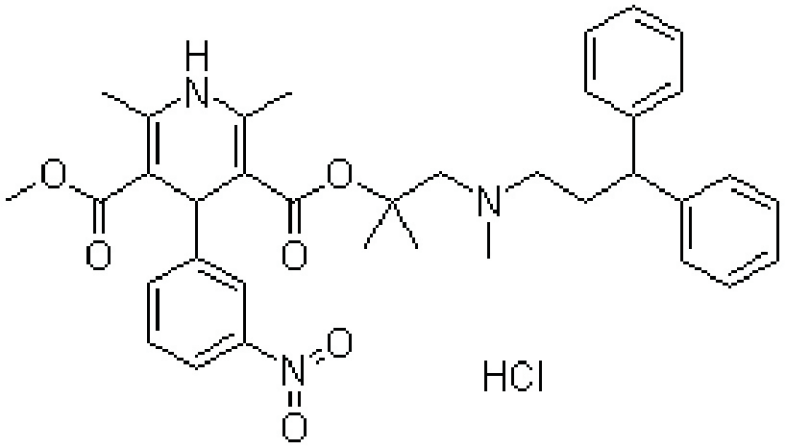
CAS No. : 132866-11-6

Systematic (IUPAC) name

2[(3,3-diphenylpropyl)(methyl)amino]-1,1-dimethylethyl methyl 2,6-dimethyl-4-(3-nitrophenyl)-1, 4-dihydropyridine-3,5-dicarboxylate

Identifiers

Molecular Formula C36H41N3O6.HCl
Molecular Weight 648.19
CAS Registry Number 132866-11-6



Identifiers

CAS number 100427-26-7
ATC code C08CA13
PubChem 65866
DrugBank APRD00193

Chemical data

Formula C36H41N3O6
Mol. mass 611.727 g/mol

DOSAGE

* Always read the manufacturer's information leaflet, if possible, before beginning treatment.
* Take lercanidipine exactly as directed by your doctor.
* Take lercanidipine an hour before food or on an empty stomach.
* Try to take lercanidipine at the same times each day to avoid missing any doses.
* If you miss a dose of lercanidipine take it as soon as you remember. However, if it is almost time for your next dose, skip the missed dose and continue taking it at the usual times. Never take two doses at the same time to compensate. If in doubt speak with your doctor or pharmacist.
* Do not stop taking lercanidipine without speaking to your doctor first.
* Lercanidipine is for you. Never give it to others even if their condition appears to be the same as yours.
* Never take more than the prescribed dose. If you suspect that you or someone else has taken an overdose of lercanidipine contact your doctor or go to the accident and emergency department of your local hospital at once. Always take the container with you, if possible, even if it is empty.

SIDE EFFECTS

Dizziness - Uncommon
Flushing - Uncommon
Headache - Uncommon
Oedema - Uncommon
Palpitations - Uncommon
Tachycardia - Uncommon
Abdominal pain - Rare

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Angina pectoris - Rare
Chest pain - Rare
Diarrhoea - Rare
Dyspepsia - Rare
Fatigue/asthenia - Rare
Myalgia - Rare
Nausea - Rare
Polyuria - Rare
Rash - Rare
Somnolence - Rare
Vomiting - Rare
Acute myocardial infarction
ALT/SGPT level abnormal
AST/SGOT level raised
Frequency of micturition
Gamma GT level abnormal
Gingival hyperplasia
Hypotension

PRECAUTIONS

* Before taking any 'over-the-counter' medicines, check with your pharmacist which medicines are safe for you to take alongside lercanidipine.
* Before having any kind of surgery, including dental or emergency treatment, tell the doctor, dentist or surgeon you are taking this medicine
* Keep your regular appointments with your doctor so your progress can be checked.
* Do not drink grapefruit juice while you are being treated with Lercanidipine.
* Lercanidipine may cause dizziness or drowsiness. Make sure you know how you react to this medicine before driving, operating machinery or doing any other jobs which could be dangerous if you were not fully alert.
* When you first start taking lercanidipine you may experience headaches, hot flushes and swollen ankles. This usually goes away a few days after starting treatment.
* Lercanidipine may cause constipation. Constipation can often be eased by eased by eating plenty of fibre, such as fruit, vegetables, potatoes, bran and by drinking plenty of water.

DRUG DESCRIPTION

Lercanidipine (HCl) is a long acting calcium channel antagonistwith actions similar to Nifedipine. Used as a once-daily treatment for hypertension.it exerts its antihypertensive effect by inhibiting the influx of extracellular calcium across the cell membranes of myocardial and vascular smooth muscle. Serum calcium levels remain unchanged.
Appearance Light yellow powder
Odour
Melting Point
Solubility soluble in chloroform and methanol, practically insoluble in water
DISPOSAL CONSIDERATIONS

Dissolve or mix material with a suitable combustible solvent and incinerate in a chemical incinerator equipped with an afterburner and scrubber.
Material should be disposed of in keeping with all local and national legislation. Packaging should be disposed of in keeping with all local and national legislation. Handle contaminated containers as product.

Lercanidipine is a vasoselective dihydropyridine calcium antagonist which causes systemic vasodilation by blocking the influx of calcium ions through L-type calcium channels in cell membranes. It is a highly lipophilic drug and as such has a slower onset and longer duration of action than a number of other calcium antagonists. Preclinical evidence suggests that lercanidipine has antiatherogenic potential and it may also protect against end-organ damage. In well controlled clinical studies, once daily administration of lercanidipine 10 or 20mg effectively reduced blood pressure (BP) compared with placebo in patients with mild to moderate hypertension without affecting heart rate. Response rate (percentage of patients with diastolic BP < or =90mm Hg or reduced by > or =10mm Hg from baseline) ranged from 50 to 66% with lercanidipine 10 mg/day and up to 86% with lercanidipine 20 mg/day. The drug had a long duration of action: clinical measurements for diastolic BP yielded a trough/peak ratio of >0.8 for both lercanidipine dosages in 1 study. Comparative trials, either published in full or as abstracts, found lercanidipine 10mg once daily for > or =4 weeks to be at least as effective as atenolol 50mg once daily, candesartan cilexetil 16 mg/day, captopril 25mg twice daily, enalapril 20 mg/day, hydrochlorothiazide 12.5mg once daily, irbesartan 150 mg/day and slow release nifedipine 20mg twice daily in patients with mild to moderate hypertension. In addition, lercanidipine 20 mg/day was as effective as amlodipine 10 mg/day. Lercanidipine is effective in the treatment of elderly patients (aged 60 to 85 years) with mild to moderate essential hypertension and in those with isolated systolic hypertension. In addition, monotherapy with lercanidipine 20 or 40 mg/day has shown efficacy in patients with severe hypertension, and add-on therapy helped control BP in a large proportion of patients with severe hypertension not responding sufficiently to beta-blockers, diuretics or ACE inhibitors. Unpublished data indicate that the drug reduces blood pressure in patients with type 2 (non-insulin-dependent) diabetes mellitus, without adversely affecting glucose homeostasis. Lercanidipine was well tolerated in clinical trials, with most treatment-related adverse events typical of dihydropyridine calcium antagonists, namely headache, flushing, dizziness and ankle oedema. CONCLUSIONS: Lercanidipine is an effective and well tolerated once daily antihypertensive agent in patients with mild to moderate hypertension. In addition, the drug may reduce BP when used as monotherapy in patients with severe hypertension or when used adjunctively in patients with resistant hypertension. Importantly, lercanidipine appears to be at least as effective and well tolerated as other commonly used antihypertensive agents.

This document plus the full buyer/ prescribing information, prepared for health professionals can be found at:
http://www.tajapi.com
or by contacting the sponsor, Taj Pharmaceuticals Limited., at:
91 022 30601000.
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