

CENTAPRES® Tablets

Composition

Each tablet contains

Cilnidipine 5/10 mg

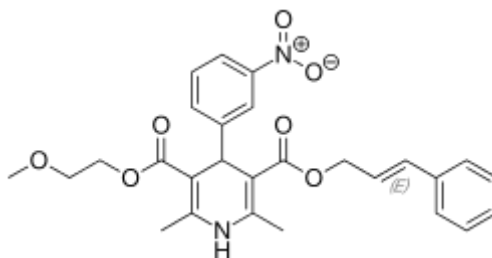
CILNIDIPINE

Generic name: Cilnidipine

Chemical name: 3-(*E*)-3-Phenyl-2-propenyl 5-(2-methoxyethyl) 2,6-dimethyl-4-(*m*-nitrophenyl)-1,4-dihydropyridine-3,5-dicarboxylate

Molecular mass: 492.52 g/mol

Structural formula:



Empirical formula: C₂₇H₂₈N₂O₇

CLINICAL PHARMACOLOGY

Mechanism of Action

Cilnidipine

Cilnidipine is a novel dihydropyridine calcium antagonist and its calcium antagonistic activity is lasting longer than those of Nifedipine and Nicardipine. Cilnidipine has been used for the treatment of hypertension and hypertensive-associated vascular disorders. Its adult dose is about 40 to 80 mg once daily. Cilnidipine has a very low solubility (BCS Class-II drug Low solubility high permeability) and compliance to the medication is always very poor.

Pharmacokinetics

A PK analysis study with 51 subjects was planned. The mean plasma concentration–time profiles of cilnidipine after a single oral administration at 10 mg did not significantly differ when it was administered alone and when it was coadministered with valsartan 160 mg. For example,

the total exposure to cilnidipine was comparable, ie, the GMR (90% confidence interval [CI]) of C_{max} and AUC_{last} for cilnidipine with and without valsartan was 0.91 (0.83–1.00) and 1.04 (0.98–1.10), respectively, although cilnidipine was absorbed slightly slower when it was coadministered with valsartan than when it was administered alone (median t_{max} : 2.0 vs 2.5 hours for cilnidipine alone and in combination, respectively)

Pharmacodynamics

A pharmacodynamic assessment study showed, all treatment groups, both SBP and DBP were decreased after a single administration of cilnidipine or valsartan alone and in combination. The greatest decreases in both SBP and DBP were seen at approximately 6 hours after study drug administration, when coadministered cilnidipine and valsartan resulted in a 2.9-fold significantly larger decrease in SBP (14.7 vs 5.0 mmHg for SBP) and a 2.1-fold significantly larger decrease in DBP than did cilnidipine alone (16.3 vs 7.9 mmHg for DBP) ($P < 0.001$, RM-ANOVA test).

INDICATIONS

Hypertension Management for End Organ Protection

DOSAGE AND ADMINISTRATION

The recommended adult oral dosage of Cilnidipine is 5-10 mg once daily. The dosage can be increased up to 20 mg, if needed.

CONTRAINDICATIONS

Cilnidipine is contraindicated in patients with known hypersensitivity (e.g., anaphylaxis or angioedema) to Cilnidipine or any other component of this product.

WARNINGS AND PRECAUTIONS

Hypotension, poor cardiac reserve, heart failure. Sudden withdrawal may exacerbate angina. Discontinue in patients who experience ischemic pain following administration. Pregnancy, lactation.

DRUG INTERACTIONS

Cilnidipine can interact with aldesleukin, quinidine, phenytoin, rifampicin, erythromycin, other anti-hypertensive drugs and anti-psychotic drugs.

Adverse Effects

- Dizziness
- Flushing

- Headache
- Hypotension
- peripheral oedema
- Tachycardia
- Palpitations
- GI disturbances
- Increased micturition frequency
- Lethargy

SHELF-LIFE -

PACKAGING INFORMATION -