Cilostazol

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ABSTRACT

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Cilostazol is used in patients with Peripheral occlusive vascular disease having the discomforting symptom of intermittent claudication. It acts by inhibiting cAMP phospho diesterase III inhibition. It inhibits platelet aggregation and has a direct vasodilatory action. Side effects include headache.

Keywords: Intermittent claudication, Vasodilator, Antiplatelet, Peripheral vascular disease.

*See End Note for complete author details

Cilostazol is a medication used in the alleviation of the symptom of intermittent claudication in individuals with peripheral vascular disease. Cilostazol is a selective cAMP phosphodiesterase III inhibitor. It inhibits platelet aggregation and is a direct arterial vasodilator. Its main effects are dilation of the arteries supplying blood to the legs and decreasing platelet coagulation.[1,2]

Structure & Pharmacokinetics

The empirical formula of cilostazol is C20H27N5O2, and its molecular weight is 369.46. Cilostazol is 6-[4-(1-cyclohexyl-1H-tetrazol-5-yl) butoxy]-3,4-dihydro-2(1H)-quinolinone, CAS-73963-72-1. Cilostazol is 95 - 98% protein bound, metabolized and excreted by renal system.

Use

Cilostazol is approved for the treatment of intermittent claudication. The typical dose is 100 mg twice a day. A dose of 50 mg b.i.d. should be considered during coadministration of CYP3A4 inhibitors such as ketoconazole, itraconazole, erythromycin and diltiazem, and during coadministration of CYP2C19 inhibitors as omeprazole. The effects may take as much as 3 months to be evident.

Interactions

Cilostazol is metabolized by CYP3A4 and CYP2C19, two isoenzymes of the cytochrome P450 system. Drugs that inhibit CYP3A4, such as itraconazole, erythromycin, ketoconazole, and diltiazem, are known to interact with cilostazol. The proton pump inhibitor omeprazole and grapefruit juice can exaggerate the effect of Cilostazol.

Adverse effects

Possible side effects of cilostazol use include headache (the most common), diarrhea, abnormal stools, increased heart rate, and palpitations. It is contraindicated in patients with congestive heart failure of any severity, patients with haemostatic disorders or active pathologic bleeding, such as bleeding peptic ulcer and intracranial bleeding, patients with known or suspected hypersensitivity to any of its components. Caution is advised in patients with antiplatelet agents subjecting to surgery at risk of bleeding from surgery or pathologic processes. Platelet agreeability returns to normal within 96 hours of stopping cilostazol. Caution is advised in patients receiving both cilostazol and any other antiplatelet agent, or in patients with thrombocytopenia.

END NOTE

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