NIFETEX-TR

NIFETEX-TR is *a* combination of two well established drugs, Atenolol and Nifedipine. Atenolol is *a* cardioselective beta-1 blocker, while Nifedipine is a calcium channel antagonist, of these two drugs Nifedipine is in a timed release form, for a longer duration of action and dosage convenience.

COMPOSITION

Each capsule contains :

Atenolol B.P. 50 mg
Nifedipine U.S.P. 20 mg (in timed-release form)

CLINICAL PHARMACOLOGY

In the treatment of hypertension many patients may not be adequately controlled on a single drug therapy. Hence, such patients would require a combination, for effective blood pressure control. A combination of Atenolol (a beta-1 blocker) and Nifedipine (a calcium channel antagonist) is very effective since the two drugs acts by different mechanisms.

Atenolol has an energy sparing effect on the myocardium because it prevents the myocardium from responding to excessive beta adrenergic receptor stimulation which occurs during reflex tachycardia. This results in a reduced influx or entry of calcium ions through specific channels called 'receptor controlled calcium conducting channels'. The net effect is the conservation of energy, making more energy available in the form of Adenosine Triphosphate (ATP) for maintaining the structure and function of the heart. Nifedipine also limits calcium entry, but its action differs from that of beta-blockers in that it interacts directly with the calcium conducting channels. In the myocardium this causes energy preservation. In the blood vessels it results in coronary and peripheral vasodilation.

Atenolol is rapidly absorbed from the gastro-intestinal tract. 50% of an oral dose of Atenolol is absorbed and the remaining is excreted unchanged in the stool. Peak plasma levels are reached within 2 to 4 hours after administration. The oral bioavailability is about 50 to 60%. Atenolol does not undergo first pass metabolism. Plasma half life is 6 to 10 hours. Pharmacological effects persists for 24 hours after a single dose. The bioavailability does not change -when administered along with diuretics, hydralazine, other anti-hypertensives, diazepam, ranitidine and cimetidine. Concurrent administration with antacids may reduce systemic bioavailability.

The controlled release formulation enables Nifedipine to change from a short acting rapidly absorbed 'drug with marked variation in blood plasma levels to a long acting, once daily agent with proven efficacy, safety and predictability. Pharmacokinetics studies on the controlled release formulation show that the bio-availability of this dosage form is about 65% after a single dose, but increases to 86% at steady-state because of residual absorption more than 24 hours after dosing. Linear pharmacokinetics are seen following administration of single oral doses of nifedipine controlled release over a range of 30 to 180 mg. Administration of controlled release formulation in the presence of food slightly increases rate of absorption, but does not influence the extent of drug bioavailability. Controlled release formulation will permit once-a day dosing and maintain the desired, constant plasma drug concentration with minimal fluctuation.

INDICATIONS

All grades of Hypertension and Angina.

CONTRAINDICATIONS

NIFETEX-TR should be used with caution in patients with impaired renal function. Since Nifedipine may cause a decrease in peripheral resistance, careful monitoring of blood pressure during initial stages of therapy is suggested.

Care has to be taken in patients with conduction defects or poor cardiac reserve. Care should be taken when co-administered with class one antidysrhythmic agents and with anaesthetics, caution in patients with chronic obstructive airways disease and asthma. Caution should be taken in transferring patients from clonidine. Diabetes patients may require adjustment of their drug therapy.

DRUG INTERACTION /INCOMPATIBILITIES

The effect of antidiabetic drugs may be intensified with NIFETEX-TR. Co-administration of NIFETEX-TR with reserpine, methyldopa and guanethidine can result in lowering of blood pressure and bradycardia. Nifedipine may cause elevation of digoxin levels.

PREGNANCY AND LACTATION

Safety of NIFETEX-TR in pregnancy and lactation has not been established and therefore, it should be used only if the benefits outweighs the potential risks.

USAGE IN CHILDREN

Safety of NIFETEX-TR in children has not been established.

SIDE EFFECTS

In the initial stages of therapy, fatigue, vertigo, headache, flushing, warmth and sweating may occur which usually disappear within one to two weeks. Other occasionally observed side effects are gastrointestinal complaints, itching, muscle cramps and cold extremities. Especially at the start of therapy, patients may occasionally experience angina attacks or patients with pre-existing angina pectoris may occasionally experience an increase in the frequency, duration and severity of angina attacks. There have been isolated reports of myocardial infarction.

DOSAGE AND ADMINISTRATION

Adults: Hypertension one capsule daily.
Adults: Angina one capsule daily.
If necessary, additional Nifedipine or prophylactic nitrate therapy.

PRESENTATION

Blister pack of 10 capsules with 'NIFETEX-TR' with yellow pellets of Atenolol and red pellets of Nifedipine.

STORAGE CONDITIONS

Store below 30'C, Keep away from light

Manufacturer/Batch Releaser:
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