DESCRIPTION & COMPOSITION

Terazosin hydrochloride is a selective blocking drug with a long lasting action towards the adrenergic receptors alpha-1.
Chemically it is a derivative of quinazoline having the following formula: 2-[4-(tetrahydro-2-furanyl)-carbonyl]
1-piperazinyl 6,7-dimethoxy-4-quinazolineamine monohydrochloride dihydrate.

PHARMACOLOGICAL ACTIONS

Pharmacodynamics

Terazosin is a competitive/selective alpha-1-blocking agent producing reduction of the peripheral vascular resistance and smooth muscle relaxation.

Benign Prostatic Hyperplasia (BPH):

The symptoms associated with BPH are related to bladder outlet obstruction, which is comprised of two underlying components: a static component due to an increase in prostate size and a dynamic component which is due to an increase in smooth muscle tone in the prostate and bladder neck, leading to constriction of the bladder outlet. Since the bladder body contains few alpha-1 adrenoreceptores while the bladder neck and the prostate contain high density of alpha-1 adrenoreceptores, selective alpha-1 blockade following administration of terazosin decrease the dynamic component of BPH by decreasing bladder outlet resistance without impairing bladder contraction and decreasing prostate muscular tone leading to reduction in symptoms of BPH and improvement of urine flow rates. Clinical studies have demonstrated that the size of the prostate does not correlate with the severity of BPH.

Hypertension:

Since terazosin develops a selective alpha-1 blocking action, it causes a decrease in blood pressure by decreasing total peripheral vascular resistance. Terazosin decreases
blood pressure gradually within 15 minutes following oral administration. The hypotensive action of the drug produced by its daily single dose is clinically manifested in an appreciable way during the whole period of 24 hours. The reduction of blood pressure following the oral daily dose manifests itself gradually; the eventual occurrence of orthostatic effects during the first day of therapy is comparable to that observed with other quinazoline derivatives. Terazosin has proved to possess the same efficiency of other antihypertensive preparations with a clear therapeutic activity.

BPH and Hypertension:

On long term therapy, terazosin did not induce tolerance in any of the two indications. It is known that quinazoline derivatives, like terazosin, induce positive effects on the serum lipids, decreasing significantly total cholesterol, LDL, VLDL, and LDL/cholesterol ratio and a favourable reduction of the triglyceride levels. This action represents an advantage with respect to diuretics and beta - blocking drugs which, as known, have unfavourable effects on these parameters.
Since the arterial hypertension and the increase of serum lipids are strictly related to the pathology of the coronary, the positive effect exerted by terazosin both on the arterial pressure and on the lipids, may result in reduction of the risk factors for coronary pathies.
The long term therapy with terazosin does not produce any clinical significant changes in the most important laboratory parameters as (glycemia, uricemia, creatininemia, azotemia and transaminasemia); therefore, the drug can be safely used in diabetics, hyperuricemics, and elderly patients.
Interactions with the following drugs were never observed; thiazide diuretics, beta-blocking drugs, analgesics, non-steroidal anti-inflammatory drugs, hypoglycaemic drugs, antibiotics, anxiolytics and bronchodilatores.

PHARMACOKINETICS

Terazosin administered orally is proved to be comletely absorbed in man. The effect of food on the absorption of the drug is negligible. The plasma levels of the drug reach the peak concentration within one hour after oral administration, and then decline with a half-life of approximately 12 hours, thus maintaining levels of therapeutic effect allowing the drug to be administered only once daily.

INDICATIONS

Terazosin HCL is indicated in the symptomatic treatment of Benign Prostatic Hyperplasia (BPH) and in the therapy of mild to moderate hypertension as single therapy or associated with other antihypertensive drugs.

CONTRAINDICATIONS

Ascertained or presumed hypersensitivity to quinazoline derivatives, history of orthostatic hypotension and in pregnancy and lactation.

UNDESIRABLE EFFECTS

Benign Prostatic Hyperplasia (BPH):

The following adverse reactions have been reported: asthenia, palpitations, nausea, dizziness, somnolence, nasal congestion and blurred vision. Like all quinazoline derivatives it can cause orthostatic hypotension, particularly associated with the first dose.

Hypertension:

The following side effects have been reported: vertigo, headache, fever outsets: abdominal, cervical and thoracic pains. In most cases such symptoms disappear by carrying on the therapy without requiring reduction of dosage.

As with all quinazoline derivatives, troubles of postural nature can occur, especially as a result of the first dose. Also other symptoms have been reported, but they are not distinguishable clearly from those possibly occurring by themselves in hypertensive subjects not under terazosin therapy, particularly: depression, insomnia, irritability and paresthesia.

DOSAGE AND ADMINISTRATION

Benign Prostatic Hyperplasia (BPH):

The usual recommended dose range is 5 to 10 mg administered once a day. Treatment should always be initiated with 1 mg dose (half-2 mg tablet) given at bed time, then the daily dose should be doubled every two weeks up to 5 mg or 10 mg per day.

If the drug administration is discontinued for several days, therapy should be reinstituted using the initial dosage regimen.

Hypertension:

The dosage of ITRIN must be adjusted following the behaviour of the blood pressure values.

It is advisable to start treatment by 1 mg (half-2 mg tablet) at bedtime as a starting dose. After one to two weeks of treatment, the daily single dose can be increased to 2 mg, and then to 5 or 10 mg daily, until the desired value of the blood pressure is achieved. In case of complementing the therapy with a thiazide diuretic or a beta-blocking agent, it may be necessary to reduce the ITRIN dose, according to doctor's instructions.

WARNINGS

Safety and effectiveness in children have not been ascertained. Since in some cases signs of drowsiness or vertigo have been manifested, it is recommended to be careful in driving motor cars and/or operating machinery requiring particular attension for at least 12 hours after the administration of the starting dose or in case the dose is increased. In case of overdosage and consequent hypotension, keep the patient lying on his back with the head in downward position.

While treating BPH, should ITRIN be administered in combination with antihypertensive drugs, their dosage should be adjusted, following the physician's advise.

HOW SUPPLIED

- Blisters of 30 tablets of 2 mg each
- Blisters of 14 tablets of 5 mg each