FORMULA:
Each 1 ml of injectable oil solution contains:
Testosterone Propionate: ................................................................. 100 mg
Excipients: .................................................................................... q.s.p.

MECHANISM OF ACTION AND PHARMACOKINETICS:
Testosterone is an androgen, steroid derivative of cyclopentanopropenoic anhydride, which has 19 carbon atoms, a double bond between C4 and C9, an oxygen atom in C3 and a hydroxyl radical (OH) in C7. This structure is necessary to maintain the androgenic activity. In several action sites, testosterone is not the active form of the hormone, in target organs it is converted through the steroid 5-reductase in dihydrotestosterone, which is more active. Most of the steroid 5-reductase 1 is located in the non-gential region and the liver and the steroid 5-reductase 2 is located mainly in the male urogenital tract and in the genital skin of both sexes. It is also believed that testosterone itself is the prime mediator of the regulation of the lutinizing hormone by the hypothalamic-pituitary system and spermatogenesis. Testosterone or dihydrotestosterone binds to an intracellular protein receptor and the hormone-receptor complex is fixed in the core to specific regulatory elements on the chromosome, and acts to increase the synthesis of RNA and specific proteins. The human androgen receptor is a typical member of the superfamily of steroid and thyroid hormones. It is encoded by a gene on chromosome X and contains binding domains to androgen, binding to DNA and functional, it is believed that testosterone and dihydrotestosterone act to promote male virilization. Estersification of testosterone in OH and C17 increases the lipid solubility of testosterone and prolongs its action. Testosterone propionate is particularly active parenteral.

Estersification of group 17-hydroxyl increases lipid solubility and leads to a slower systemic absorption if administered via intramuscular injection. Absorption rate of the esters is related to the size of the ester group. Testosterone esters are hydrolyzed to testosterone after absorption.

Testosterone binds about 80% to sex hormone binding globulin. Derivatives of 19-norethisterone and derivatives of 17-methylated are characterized by a reduced binding to this globulin. Plasma elimination half life of testosterone is between 10 and 100 min. It is primarily metabolized in the liver through an oxidation in the group 17-OH with the formation of androsterone which is further metabolized to androsterone, weakly androgenic, and etiocholanolone, inactive, which are excreted primarily in the urine as glucuronide and sulfates. Approximately 5% is excreted unchanged through faeces after undergoing enterohepatic recirculation. Testosterone is transformed into the most active derivative dihydrotestosterone in some target organs by the effect of 5-reductase. Derivatives 19-nortestosterone are less sensitive to this enzyme. Small amounts of testosterone are aromatized in the body resulting in the formation of estrogen derivatives in the body. In derivatives with a saturated A ring such as mesterolone, less estrogens are aromatized.

INDICATIONS:
- It is used for the treatment of male hypogonadism. It is commonly used in combination with testosterone enanthate.
- It is used in acute renal failure as oily solutions for intramuscular administration.
- It is used as adjuvant in the treatment of postmenopausal breast carcinoma, some postmenopausal disorders and delayed puberty in children.

DOSE:
In male hypogonadism: In adults, as oily solutions for intramuscular administration, doses of 10-50 mg, two or three times a week.

In acute renal failure: 25 mg/day doses, for a maximum of 10 days.

CONTRAINDICATIONS:
TESTOSTERONES should be avoided in the following cases:
- Pregnancy: There are reports of virilization of the female fetus after a treatment of the mother with testosterone or methyltestosterone during pregnancy.
- Breastfeeding: the use of testosterone in breastfeeding women should be avoided, because of the potential androgenic effect on the infant.
- Porphyria: Androgens are not considered safe for patients with porphyria, although there is experimental evidence of porphyrinogen contraction.

ADVERSE REACTIONS:
Testosterone and other androgens can produce side effects related to androgenic and androsterone activities: greater nitrogen, water and sodium retention, oedema, increased skin vascularity, hypertension, disturbances in glucose tolerance and increase of bone growth and weight of the skeleton. Other effects include increased cholesterol values bound to low density lipoprotein, decreased cholesterol values bound to high density lipoprotein, increase of hematoctrit and fibrinolytic activity. Androgens can cause headache, depression and gastrointestinal bleeding. It has been reported that androgens can induce sleep apnea in patients prone to this disturbance.

Abnormal liver test results have been described and reports have been published of cases of hepatotoxicity, such as jaundice, and cholestatic hepatitis. These adverse hepatic effects have been identified predominantly with 17-alkylated derivatives. In men, high doses suppress spermatogenesis and produce degenerative changes in the seminiferous tubules. Priapism is a sign of excessive dosage observed especially in elder men. Gynecomastia has not been described. Androgens can cause prostatic hyperplasia and can accelerate the growth of prostate malignancies.

In women, inhibitory action of androgens on the activity of ovaries and menstruation could be observed. Continuous use causes symptoms of virilization, not reversible in all cases, even after discontinuation of treatment. Children experience signs of virilization: children show early sexual development with phallic hypertrophy and increased frequency of erections, and girls, clitoral hypertrophy. Some boys can experience gynecomastia.

CAUTIONS AND WARNINGS:
Use with caution in patients with cardiovascular diseases, renal or hepatic failure, epilepsy, migraine, diabetes and other processes that may be aggravated by the potential water retention or induced oedema. It should not be given to patients with hypercalcemia and hypercalcuria, and it should be used with caution if there are conditions that pose a risk that these appear, such as bone metastases. Patients with hepatic impairment should not take 17-alkylated derivatives, because these drugs increase the risk of hepatotoxicity; besides, they are totally contraindicated if failure is severe. Androgens and anabolic steroids should be used with caution in pediatric patients due to the masculinizing effects and premature epiphyseal closure, that can lead to an inhibition of linear growth and short stature. During treatment bone maturation should be monitored.

It should not be given during pregnancy because of the risk of virilization of the female fetus. Androgen and anabolic steroids interfere in various laboratory tests, such as glucose tolerance and thyroid function.

INTERACTIONS:
It has been described that testosterone and other androgens and anabolic steroids potentiate the activity of a number of drugs, with a consequent increase of toxicity. Among the affected drugs are cyclosporine, antidiabetics, levotheroxine, and anticoagulants such as warfarin. A resistance to the effects of neuromuscular blockers has also been described.

USAGE RESTRICTIONS:
Do not use in cases of hypersensitivity to some components, during pregnancy and breastfeeding. Do not use in patients with hypertension, nor in patients with cardiovascular problems, hepatic and renal dysfunction.

OVERDOSE:
Adverse events have been reported with the use of doses higher than those therapeutically recommended. These are a consequence of the illegal use of androgens and anabolic steroids by athletes. These effects are abnormal results of liver function tests and hepatic neoplasia, a greater risk of cardiovascular disease and decreased glucose tolerance. They often induce states of acoospermia or oligospermia and testicular atrophy in men, and amenorrhea or oligomenorrhea in women. Gynecomastia is relatively frequent in men, as well as virilization in women. Psychiatric disorders have been described, such as states of mania, hypomania, depression, aggressiveness and emotional lability.

In case of accidental overdose resort to the Emergency Medical Center (Centro de Emergencias Médicas) Dr. Manuel Galigni, Toxicology Department, Phone: 220-410.

PRESENTATION:
Box with one 5 vial with solution x 1 ml.

Keep at room temperature, in a dry place and out of reach of children.

Sale only with Prescription. Made in India

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