

**TESTEX**  
**TESTOSTERONE CYPIONATE 200 mg.**  
**MUSCLE**  
**Injectable Oily Solution**

**FORMULA:**

Each ML contains

Testosterone cypionate.....200 mg.

Excipients.....c.s.p.

**MECHANISM OF ACTION AND PHARMACOKINETIC DATA:**

At many sites of action, testosterone is not the active form of the hormone. In the target organs it is converted by steroid 5 $\alpha$ -reductase into the more active dihydrotestosterone. Most of the steroid 5 $\alpha$ -reductase 1 is located in the non-genital region and in the liver; and steroid 5 $\alpha$ -reductase 2 is found mainly in the urogenital tract of the male, and in the genital skin of both sexes. Testosterone itself is the main mediator of the regulation of luteinizing hormone production by the hypothalamic-pituitary system and of spermatogenesis.

Testosterone or dihydrotestosterone binds to an intracellular receptor protein, and the hormone-receptor complex is attached, in the nucleus, to specific hormone regulatory elements on the chromosomes, and acts to increase the synthesis of specific RNA and proteins: The human androgen receptor is a characteristic member of the superfamily of steroid and thyroid hormone receptors. It is encoded by a gene on the x chromosome and contains androgen-binding, DNA-binding, and functional domains. Testosterone and dihydrotestosterone are thought to act to promote virilization in the male. Testosterone injected as an oil solution is rapidly absorbed, metabolized, and excreted, so the androgenic effect is small. The cypionate ester is fully useful when administered at intervals of 1 to 3 weeks, at proportionally larger doses. Testosterone is primarily inactivated in the liver. After administration of radiolabeled testosterone, about 90% of the radioactivity appears in the urine, 6% appears in the feces after undergoing enterohepatic circulation. Testosterone esters are hydrolyzed to free testosterone and then metabolized in the same way as testosterone itself. Unaltered compounds, metabolites, and conjugates are found in urine and feces, due to the fact that it is excreted in urine and feces. Due to the fact that it is excreted in the urine, it allows us to detect its use by athletes when they take it to increase performance.

**PROPERTIES:**

The main natural androgen testosterone is responsible for the development and maintenance of male secondary sexual characteristics, exerting an important anabolic action. This last property contributes, above all, to the acceleration of the growth process at puberty by stimulating bone growth and modulating the welding process of the epiphysis of long bones. In the form of cypionate, it has a prolonged therapeutic action, once it has been hydrolyzed in vivo to free testosterone, being in this aspect superior to propionate. Testosterone cypionate is approximately 99% strongly bound to plasma proteins and 80% to globulin, 19% to albumin, 1% free. It is biotransformed in the liver and eliminated mainly in the urine. Its half-life as intramuscular cypionate is approximately 8 days. In white tissues it is converted to 5 $\alpha$ -dihydrotestosterone, which suppresses GHR, LH and FSH by negative feedback. In a normal man, testosterone stimulates erythrocyte production because it favors the synthesis of erythropoiesis-stimulating factors.

**THERAPEUTIC INDICATIONS:**

Congenital or acquired primary hypogonadism, when there is testicular failure due to cryptorchidism, bilateral torsion, testicular absence syndrome, or orchidectomy. Hypogonadotrophic hypogonadism, when there is congenital or acquired deficiency of LH-RH (luteinizing hormone-releasing hormone) or hypothalamic-pituitary damage due to surgery, trauma, tumors or radiation. Delayed puberty, when there is a familial pattern of delayed puberty, not secondary to a pathological disorder, in patients who did not respond to supportive psychological therapy. Male climacteric: as repository therapy in impotence or other symptoms associated with this disorder, when the etiology is due to a verified androgen deficiency. Severe malnutrition: myelofibrous aplastic anemia, myeloclerosis, agnogenic myeloid metaplasia, hypoplastic anemias caused by malignancy or myelotoxic drugs. Inoperable carcinoma of the breast in women with hormone-receptive tumors or those who have demonstrated a prior response to hormone therapy

**ADVERSE REACTIONS:**

Vinylization and menstrual irregularities in women, bladder irritability, gynecomastia, anaphylaxis, edema, erythrocytosis, gastrointestinal irritation, hyperkalemia and polycythemia, androgenic alopecia, seborrhea and acne in men and women. Carcinoma, prostatic hypertrophy and increased sexual desire develops in patients. These are adverse reactions of rare incidence during long-term or high-dose therapy. Hepatic necrosis, leukopenia, hepatic purpura. Other adverse reactions are: Constipation, nausea, diarrhoea, infection, redness, pain or irritation at the injection site, libido changes, stomach pain, sleep difficulties, impotence, testicular atrophy, headaches, anxiety, depression, generalized paresthesia, sleep apnea, skin rash

**CONTRAINDICATIONS**

It is contraindicated in carriers of breast cancer (male), diagnosed or suspected prostate cancer or adenoma, severe hepatic or renal heart failure in pre-pubertal or aggressive individuals, pregnancy.

**POSOLGY:**

Hypogonadism, climacteric and impotence (male replacement therapy): 50-400 mg. I.M. every 2 to 4 weeks. Male delayed puberty (replacement therapy): 25 to 200mg. to every 2 to 4 weeks, for a period usually limited to 6 months. Antineoplastic, in inoperable breast cancer (female): 200 to 400mg. I.M. every 2 to 4 weeks.

**PRECAUTIONS AND WARNINGS:**

In the palliative treatment of breast cancer, do not continue the therapy if after 3 months the disease continues again or if hypocalcemia was verified in any phase of the same. Androgen therapy in women, even for a certain duration, can produce virilization, particularly vocal and hairy. Androgen therapy is inadvisable to improve athletic performance. In children, this medication should be used with caution due to adverse effects on the process of bone maturation, which can be accelerated without producing a compensatory gain in linear growth. When male patients over the age of 50 are treated with androgens, the risk of prostate enlargement or development of prostate cancer becomes greater. For this reason, prostate and blood tests are often performed before prescribing androgens for males of this age. During long-term treatment, oligospermia, zoospermia or reduced sperm function may occur, resulting in possible infertility, with spontaneous remission after discontinuation of treatment. Patients with acute prostatic hypertrophy may develop acute urethral obstruction, requiring immediate discontinuation of medication. Urinary serum calcium levels should be determined frequently in women with metastatic breast carcinoma under testosterone treatment. During treatment with pre-pubertal minors, bone X-rays should be performed every 6 months. In patients with acute intermittent porphyria, androgens may precipitate attacks of this condition. It is usually preferable to start treatment with full doses, later adjusting to individual characteristics.

**DRUG INTERACTIONS:**

Testosterone Cypionate can react with the following drugs:

- Adeno, gluco or mineralocorticoids: corticotropin, foods or medications containing sodium (may increase the risk of edema and predispose acne).
- Oral antidiabetics or insulin because there may be a reduction in serum glucose levels.
- Somatropin.
- Hepatotoxic drugs (hepatotoxicity is increased).

**SOBREDOSIFICACION:**

Quickly resort to the Toxicology Center of the Hospital for Medical Emergencies

**RECOMMENDATIONS**

The intramuscular injection should be administered deep into the gluteal or deltoid muscle. Do not administer intravenously. Protect from freezing. Shake before using.

**PRESENTATION:**

- Box containing 1 vial with 10 ml injectable oily solution
- Box containing 1.5 ampoule with injectable oily solution X 1ml

Keep at a temperature of 15 to 30 °C, in a dry place  
and out of the reach of children