

SUSTANON

250mg/mL for injection
Oily solution for intramuscular use

Presentation

A clear, pale yellow solution.

Each ml of the solution contains:

testosterone propionate	30mg
testosterone phenylpropionate	60mg
testosterone isocaproate	60mg
testosterone decanoate	100mg
in 1ml arachis oil	

The total amount of testosterone per mL is 176mg.

Uses**Actions**

Pharmacotherapeutic group: Androgens. ATC code G03B A03.

Treatment of hypogonadal men with SUSTANON results in a clinically significant rise of plasma concentrations of testosterone, dihydrotestosterone, oestradiol and androstenedione, as well as a decrease of SHBG (sex hormone binding globulin). Luteinizing hormone (LH) and follicle-stimulating hormone (FSH) are restored to the normal range. In hypogonadal men, treatment with SUSTANON results in an improvement of testosterone deficiency symptoms. Moreover, treatment increases bone mineral density and lean body mass, and decreases body fat mass. Treatment also improves sexual function, including libido and erectile function. Treatment decreases serum LDL-C, HDL-C and triglycerides, increases haemoglobin and hematocrit, whereas no clinically relevant changes in liver enzymes and PSA have been reported. Treatment may result in an increase in prostate size, but no adverse effects on prostate symptoms have been observed. In hypogonadal diabetic patients, improvement of insulin sensitivity and/or reduction in blood glucose have been reported with the use of androgens. In boys with constitutional delay of growth and puberty, treatment with androgens accelerates growth and induces development of secondary sex characteristics.

In female-to-male transsexuals, treatment with androgens/SUSTANON induces masculinization.

Pharmacokinetics

SUSTANON 250 contains four esters of testosterone with different durations of action. The esters are hydrolyzed into the natural hormone testosterone as soon as they enter the general circulation.

Absorption

A single dose of SUSTANON 250 leads to an increase of total plasma testosterone with peak levels of approximately 70 nmol/l (C_{max}), which are reached approximately 24-48hrs (t_{max}) after administration. Plasma testosterone levels return to the lower limit of the normal range in males in approximately 21 days.

Distribution

Testosterone displays a high (over 97%) non-specific binding to plasma proteins and sex hormone binding globulin in *in vitro* tests.

Biotransformation

Testosterone is metabolized to dihydrotestosterone and oestradiol, which are further metabolized via the normal pathways.

Elimination

Excretion mainly takes place via the urine as conjugates of etiocholanolone and androsterone.

Preclinical Safety Data

Preclinical data reveal no hazard for humans.

Indications

Testosterone replacement therapy in males for conditions associated with primary and secondary hypogonadism, either congenital or acquired.

In female to male transsexuals:

- masculinization

Moreover, in men testosterone therapy may be indicated in osteoporosis caused by androgen deficiency.

Dosage And Administration

In general, the dose should be adjusted according to the response of the individual patient.

Usually, one injection of 1ml per three weeks is adequate.

SUSTANON should be administered by deep intramuscular injection.

Safety and efficacy have not been adequately determined in children. SUSTANON contains benzyl alcohol and should not be given to children under 3 years of age.

Contraindications

- Pregnancy
- History or presence of prostate or breast cancer
- Breastfeeding
- Hypersensitivity to the active substance or to any of the excipients, including arachis oil. SUSTANON is therefore contraindicated in patients allergic to peanuts or soya (see **Warnings And Precautions**).

Warnings And Precautions

Physicians should consider monitoring subjects receiving SUSTANON before the start of treatment, at quarterly intervals for the first 12 months and yearly thereafter for the following parameters:

- digital rectal examination (DRE) of the prostate and PSA to exclude benign prostate hyperplasia or a sub-clinical prostate cancer
- hematocrit and haemoglobin to exclude polycythemia.

In patients with pre-existing cardiac, renal or hepatic disease androgen treatment may cause complications characterized by oedema with or without congestive heart failure

Androgens in general and SUSTANON can improve the glucose tolerance and the anticoagulant action (see also **Interactions**).

There is insufficient evidence for a recommendation regarding the safety of treatment with testosterone esters in men with sleep apnoea. Good clinical judgment and caution should be employed in subjects with risk factors such as adiposity or chronic lung diseases.

In pre-pubertal children statural growth and sexual development should be monitored since androgens in general and SUSTANON in high dosages may accelerate epiphyseal closure and sexual maturation.

If androgen-associated adverse reactions occur, treatment with SUSTANON should be discontinued and upon resolution of the complaints be resumed with lower dosages.

The misuse of androgens to enhance ability in sports carries serious health risks and is to be discouraged.

SUSTANON contains arachis oil (peanut oil) and should not be taken/ applied by patients known to be allergic to peanut. As there is a possible relationship between allergy to peanut and soya, patients with soya allergy should also avoid Sustanon (see **Contraindications**).

SUSTANON contains 100 mg benzyl alcohol per mL solution and must not be given to premature babies or neonates. Benzyl alcohol may cause toxic reactions and anaphylactoid reactions in infants and children up to 3 years old.

Pregnancy and Lactation

There are no adequate data for the use of SUSTANON in pregnant women. In view of the risk of virilization of the foetus, SUSTANON should not be used during pregnancy. Treatment with SUSTANON should be discontinued when pregnancy occurs. There are no adequate data for the use of SUSTANON during lactation. Therefore, SUSTANON should not be used during lactation.

Effects on Ability to Drive and Use Machines

As far as is known SUSTANON has no effect on alertness and concentration.

Adverse Effects

Due to the nature of SUSTANON side effects cannot be quickly reversed by discontinuing medication. Injectables in general, may cause a local reaction at the injection site.

The following adverse reactions have been associated with androgen therapy in general.

System Organ Class	MedDRA term*
Neoplasms benign, malignant and unspecified (incl. cysts and polyps)	Prostatic cancer ¹
Blood and lymphatic system disorders	Polycythaemia
Metabolism and nutrition disorders	Fluid retention
Psychiatric disorders	Depression, nervousness, mood disturbances, libido increased, libido decreased
Musculoskeletal and connective tissue disorders	Myalgia
Vascular disorders	Hypertension
Gastrointestinal disorders	Nausea
Skin and subcutaneous tissue disorders	Pruritus, acne
Reproductive system and breast disorders	Gynaecomastia, oligozoospermia, priapism, prostatic disorder ²
Investigations	Hepatic function abnormal, lipids abnormal ³ , PSA increased

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¹ Progression of a sub-clinical prostatic cancer

² Prostatic growth (to eugonadal state)

³ Decrease in serum LDL-C, HDL-C and triglycerides

Interactions

Enzyme-inducing agents may decrease and enzyme-inhibiting drugs may increase testosterone levels. Therefore, adjustment of the dose of Sustanon may be required. Androgens may improve glucose tolerance and decrease the need for insulin or other anti-diabetic medicines in diabetic subjects (see **Warnings and Precautions**). High doses of androgens may enhance the anticoagulant action of coumarin type agents allowing a reduction of the dose of these agents (see **Warnings and Precautions**).

Overdosage

The acute toxicity of testosterone is low. If symptoms of chronic overdose occur (e.g. polycythemia, priapism) treatment should be discontinued and after disappearance of the symptoms, be resumed at lower dosage.

Pharmaceutical Precautions

Ampoules: Shelf-life 60 months. SUSTANON may be used until the expiration date indicated on the package.

Since an opened ampoule cannot be resealed in such a way to further guarantee the sterility of the contents, the solution should be used immediately.

Incompatibilities

Not applicable.

Special precautions for storage

Store below 30°C; do not refrigerate or freeze. Store in original package and keep container in outer carton.

List of excipients

Arachis (peanut) oil; benzyl alcohol.

Medicine Classification

Prescription Medicine.

Package Quantities

Each package contains one ampoule.

Further Information

All four compounds are esters of the natural hormone testosterone. The solution also contains 10 per cent benzyl alcohol.

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