

Product Data Sheet

Product Name: Testosterone undecanoate

Cat. No.: GC63217

Chemical Properties

Cas. No. 5949-44-0

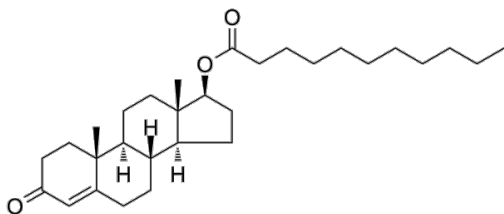
Formula $C_{30}H_{48}O_3$ M.Wt 456.7

Solubility DMSO : 10 mg/mL (21.90 mM; Need ultrasonic and warming) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines MCF-7 cells

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Preparation Method	Approximately 5×10^4 MCF-7 cells were distributed into 6-well plates with 2 ml Dulbecco's Modified Eagle Medium (DMEM) containing 10% fetal bovine serum (FBS), and 5×10^3 MCF-7 cells were placed into 96-well plates using 0.2 ml DMEM with 10% FBS. The cells grew in an atmosphere enriched with 5% CO₂ at a temperature of 37°C. During the experiment the cell density reached between 60 and 70% confluency at which point the existing media was changed. The stock solutions of anastrozole and testosterone undecanoate underwent dilution in DMEM with 5% FBS before application. Cells were treated with 0.1 or 0.01 µg/ml anastrozole. The combinations of anastrozole and testosterone undecanoate used for the in vitro investigations were: 0.1 µg/ml anastrozole with either 20 or 200 µg/ml Testosterone undecanoate and 0.01 µg/ml anastrozole with either 20 or 200 µg/ml Testosterone undecanoate. After applying testosterone undecanoate for time intervals of 24, 48 and 72 hours the cells from the 6-well plate were collected for western blotting and flow cytometric analysis while cells from the 96-well plate were collected for the CCK-8 assay.
Reaction Conditions	20 or 200 µg/ml; 0, 24, 48 and 72 hours
Applications	Testosterone undecanoate and anastrozole have antiproliferative activity, causing the high percentage of apoptotic cells and significant decrease in androgen receptor protein expression.
Animal experiment [2]:	
Animal models	Male New Zealand white rabbits

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24 male New Zealand white rabbits who weighed an average of 2500g at three months old were employed. Each rabbit was kept alone under a 12-hour light schedule with room temperature maintained at 20°C. During 18-week period the rabbits received unrestricted access to a commercial rabbit food. Split the rabbits into three groups (Group 1-3) with each group containing 8 rabbits. Group 1 acts as the control group without any alterations. Anesthetize rabbits in Groups 2 and 3 with ketamine (35mg/kg) and xylazine (5mg/kg) before

Preparation Method performing bilateral castration through 3-cm scrotal incisions. The Group 3 received an initial intramuscular injection of Testosterone undecanoate (6mg/kg) in the gluteal region followed by another injection after 6 weeks. Measurements of whole blood viscosity and various biochemical parameters including total plasma testosterone, hemoglobin (Hb), hematocrit (Hct), fibrinogen (FBN), total cholesterol (TC), triglyceride (TG), high-density lipoprotein cholesterol (HDL-C) and low-density lipoprotein cholesterol (LDL-C) were conducted at baseline as well as at 6-week and 18-week intervals.

Dosage form 6mg/kg/dosage; 1, 6 and 18 weeks; i.m.

Applications Testosterone undecanoate treatment reduces whole blood viscosity and increases fibrinogen, hemoglobin and hematocrit levels during 6 weeks.

References:

- [1] Chen R, Cui J, Wang Q, et al. Antiproliferative effects of anastrozole on MCF-7 human breast cancer cells in vitro are significantly enhanced by combined treatment with testosterone undecanoate[J]. Molecular Medicine Reports, 2015, 12(1): 769-775.
- [2] Zhao C, Moon D G, Park J K. Effect of testosterone undecanoate on hematological profiles, blood lipid and viscosity and plasma testosterone level in castrated rabbits[J]. Canadian Urological Association Journal, 2013, 7(3-4): E221.

Background

Testosterone undecanoate, an orally administered ester prodrug of the anabolic steroid of

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testosterone, acts as an effective testosterone replacement therapy^[1]. Testosterone undecanoate demonstrates the capability to stabilize testosterone levels while creating azoospermia conditions in men^[2]. Testosterone undecanoate serves as a treatment for hypogonadism and is being tested for male contraceptive use^[2].

In vitro, Testosterone undecanoate has anti-proliferative activity^[3]. Treatment of 200µg/ml testosterone undecanoate plus 0.1µg/ml anastrozole for 24-48 hours can inhibit the proliferation of MCF-7 cells, promote cell apoptosis, and cause a significant decrease in androgen receptor protein expression^[3].

In vivo, Testosterone undecanoate can cause benign prostatic hyperplasia^[4]. Subcutaneous injection of 125mg/kg Testosterone undecanoate every 2-3 weeks caused high serum levels of testosterone, dihydrotestosterone, and 5-alpha reductase in castrated male Wistar rats (12 week-age), accompanied by elevated prostate weight, prostate volume, and prostate index^[4]. Intramuscular injection of 1000mg Testosterone undecanoate at 12-week intervals was well tolerated in male hypogonadism patients, resulting in a return of testosterone levels to the normal range (16.5±8.0nmol/L)^[5]. Following intramuscular injection of Testosterone undecanoate (20mg/kg/week) in male adult rhesus monkeys for 60 days, massive germ cell apoptosis occurred in the testis, and the HSP70-2 mRNA and protein levels decreased significantly^[6-7]. Intramuscular injection of Testosterone undecanoate (500mg/kg/45days) caused the improved locomotor activity, the enhanced preference to novel objects, spatial memory, and reversed anxiety and depression induced by AlCl₃+D-galactose administration in male mice with Alzheimer's disease, along with the altered gene expression levels of Na/K ATPase isoforms in the hippocampus of the brain^[8].

References:

- [1]Zgair A, Dawood Y, Ibrahem S M, et al. Predicting intestinal and hepatic first-pass metabolism of orally administered testosterone undecanoate[J]. Applied Sciences, 2020, 10(20): 7283.
- [2]Yu M, Cao X, Xu J, et al. Effects of testosterone undecanoate as a male contraceptive candidate on rat immunological features[J]. Immunopharmacology and immunotoxicology, 2003, 25(4): 627-643.
- [3] Chen R, Cui J, Wang Q, et al. Antiproliferative effects of anastrozole on MCF-7 human breast cancer cells in vitro are significantly enhanced by combined treatment with testosterone

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undecanoate[J]. Molecular Medicine Reports, 2015, 12(1): 769-775.

[4]An J, Kong H. Comparative application of testosterone undecanoate and/or testosterone propionate in induction of benign prostatic hyperplasia in Wistar rats[J]. PloS one, 2022, 17(5): e0268695.

[5]Schubert M, Minnemann T, Hubler D, et al. Intramuscular testosterone undecanoate: pharmacokinetic aspects of a novel testosterone formulation during long-term treatment of men with hypogonadism[J]. The Journal of Clinical Endocrinology & Metabolism, 2004, 89(11): 5429-5434.

[6]Zhou X C, Zhang Z H, Hu Z Y, et al. Expression of Hsp70-2 in rhesus monkey testis during germ cell apoptosis induced by testosterone undecanoate[J]. Contraception, 2002, 66(5): 377-382.

[7]Zhang Z H, Zhou X C, Wei P, et al. Expression of Bcl-2 and Bax in rhesus monkey testis during germ cell apoptosis induced by testosterone undecanoate[J]. Archives of andrology, 2003, 49(6): 439-447.

[8]Elfouly A, Awany M, Ibrahim M K, et al. Effects of Long-Acting Testosterone Undecanoate on Behavioral Parameters and Na⁺, K⁺-ATPase mRNA Expression in Mice with Alzheimers Disease[J]. Neurochemical Research, 2021, 46(9): 2238-2248.

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