

Product Data Sheet

Product Name: Metribolone

Cat. No.: GC19800

Chemical Properties

Cas. No. 965-93-5

Formula $C_{19}H_{24}O_2$

M.Wt 284.39

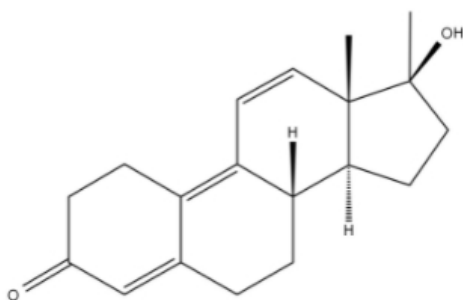
Solubility $\geq 50\text{mg/ml}$ in DMSO

Storage Store at 2-8°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	Calu-3 cells

Caution: Product has not been fully validated for medical applications. For research use only.

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Preparation method	Cells were maintained in this lipophilic hormones-free medium for 7 days, with two medium changes at day 4 and at day 7, before the start of metribolone treatments. For the experiments, cells were treated at day 7 with estradiol (10nM) or Metribolone (100nM) for 30 h in CSS medium.
Reaction Conditions	100nM; 30h
Applications	Metribolone and estradiol promote TMPRSS2 serine protease activation without affecting total TMPRSS2 expression. The expression level of spike protein increased significantly after 100nM Metribolone treatment.
Animal experiment [2]:	
Animal models	Wistar rats
Preparation method	were substituted daily with varying amounts of TP (50, 100, 200, and 400µg/day) or Metribolone (150, 300, 600, and 1200µg/day). The androgens were injected s.c. in 0.1ml of corn oil.
Dosage form	150, 300, 600, and 1200µg/day; s.c.

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Applications	EDS/GnRHa/rec-hFSH animals treated with Metribolone, no difference in relative testis weight . At a dose of 1200µg Metribolone per day, however, the relative prostate and seminal vesicles weights increased well above 100%, whereas relative testis weight was maintained at 93%.
References: [1] Treppiedi D, Marra G, Di Muro G, et al. TMPRSS2 Expression and Activity Modulation by Sex-Related Hormones in Lung Calu-3 Cells: Impact on Gender-Specific SARS-CoV-2 Infection[J]. Frontiers in Endocrinology, 2022, 13: 862789. [2] Van ROIJEN J H, OOMS M P, WEBER R F A, et al. Comparison of the response of rat testis and accessory sex organs to treatment with testosterone and the synthetic androgen methyltrienolone (R1881)[J]. Journal of andrology, 1997, 18(1): 51-61.	

Background

Metribolone (R1881), also known as methyltrienolone, is a synthetic androgen ligand that binds strongly to the androgen receptor (AR) with a K_i value of 0.09nM^[1]. Metrebolone is an agonist of AR and acts as an antagonist of the mineralocorticoid receptor (MR) in aldosterone target cells^[2]. Radiolabeled metrebolone can be used as a tracer for AR ^[3].

In vitro, metrebolone (0.05-5nM) treated vascular endothelial cells and up-regulated the expression of p53, p21 and p27 proteins by activating the AR/cSrc/AKT/p38/ERK/NFκB signaling pathway, thereby inhibiting the expression of vascular endothelial cells. proliferation^[4]. Metrebolone (100nM) treated Calu-3 cells for 30 hours, which promoted the activation of TMPRSS2 without affecting the total expression of TMPRSS2 and significantly increased the expression level of spike protein ^[5].

In vivo, when metrebolone (1200 µg/day; s.c) treated EDS/GnRHa/rec-hFSH rats, the relative weight of the prostate and seminal vesicles increased well above 100%, while the relative weight of the testes was maintained at 93% ^[6]. Metrebolone administered 0.5 or 2.5 mg/kg mixed into feed will

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increase the body weight of male piglets, but not female piglets [7].

References:

- [1] Murthy L R, Chang C H, Rowley D R, et al. Physicochemical characterization of the androgen receptor from hyperplastic human prostate[J]. The Prostate, 1984, 5(6): 567-579.
- [2] Takeda A N, Pinon G M, Bens M, et al. The synthetic androgen methyltrienolone (r1881) acts as a potent antagonist of the mineralocorticoid receptor[J]. Molecular pharmacology, 2007, 71(2): 473-482.
- [3] Raynaud J P, Ojasoo T, Vaché V. Stable and specific tracers[M]//Reproductive Processes and Contraception. Boston, MA: Springer US, 1981: 163-179.
- [4] Huo Y N, Yeh S D, Chou C M, et al. Androgen receptor activation inhibits endothelial cell proliferation through an extra-nuclear signaling pathway[C]//Endocrine Abstracts. Bioscientifica, 2017, 49.
- [5] Treppiedi D, Marra G, Di Muro G, et al. TMPRSS2 Expression and Activity Modulation by Sex-Related Hormones in Lung Calu-3 Cells: Impact on Gender-Specific SARS-CoV-2 Infection[J]. Frontiers in Endocrinology, 2022, 13: 862789.
- [6] Van ROIJEN J H, OOMS M P, WEBER R F A, et al. Comparison of the response of rat testis and accessory sex organs to treatment with testosterone and the synthetic androgen methyltrienolone (R1881)[J]. Journal of andrology, 1997, 18(1): 51-61.
- [7] Szumowski P, Vaissaire J P, Theret M. Effect of the anabolic steroid R. 1881 on growth and fattening of young pigs[J]. Recueil de Medecine Veterinaire, 1967, 143: 343-355.

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