Parr, MK[1)], Blatt, C[1,2)], Zierau, O[3)], Geyer, H[1)], Diel, P[2)], Schänzer, W[1)]

Insights on effects of "dietary supplement" ingredient methyl-1-testosterone

[1)]Institute of Biochemistry, German Sport University, Cologne, Germany

[2)]Institute of Cardiovascular Research, German Sport University, Cologne, Germany

[3)]Molecular Cell Physiology and Endocrinology, Institute of Zoology, Technical University Dresden, Germany

Abstract

Various products containing unapproved anabolic androgenic steroids have been marketed as dietary supplements in the recent years. Thereof a number of products containing methyl-1-testosterone (M1T, figure 1) are available. It is advertised to be highly anabolic and moderately androgenic.

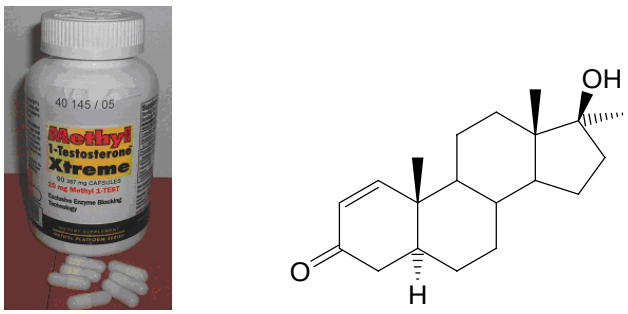

***Figure 1**: „Dietary Supplement" Product „Methyl 1-Testosterone Xtreme", brand name „IDS" and chemical structure of methyl-1-testosterone (M1T)*

The aim of this study was to further describe the biological activity of M1T and its metabolism. In a yeast androgen receptor transactivation assay M1T was characterized as potent androgen (potency in the range of the endogenous androgen receptor (AR) ligand dihydrotestosterone). To determine its tissue specific androgenic and anabolic potency and to identify potential adverse effects M1T was studied in a rat animal model. Orchiectomized rats were treated with M1T for 12 days either subcutaneously (s.c.) or orally (p.o.) with doses of 0.03, 0.3 or 2 mg/kg BW/day. After necropsy, tissue wet weights of interest were determined as a measure for the anabolic (levator ani muscle, *lev. ani*) and androgenic (prostate) activity.

Additionally the expression of molecular and physiological markers in liver (tyrosine aminotransferase, TAT), prostate (proliferation, PCNA staining), and *m. gastrocnemius* (IGF-I, AR) were determined and correlated to the serum concentrations of M1T. Analysis of prostate and *lev. ani* weight demonstrated that after s.c. administration M1T dose dependently stimulated the weight of these tissues, while oral administration had no effect on the weight. However, proliferation in the prostate and IGF-I and AR expression in the *m. gastrocnemius* were modulated in a dose dependent manner in good agreement to the determined M1T serum levels in both administration routes. This data clearly demonstrated that M1T is also a potent androgenic and anabolic steroid in-vivo, as well after oral as s.c. administration. Analysis of TAT expression indicated possible liver toxicity especially after oral administration. Following administration in man M1T was excreted in urine together with its main metabolites 17α-methyl-5α-androst-1-ene-3α,17β-diol and 17α-methyl-5α-androstane-3α,17β-diol. This enables the detection of M1T abuse in urine samples.

Further information is available in

Parr MK, Blatt C, Zierau O, Hess C, Gütschow M, Fußhöller G, Opfermann G, Schänzer W, Diel P. Endocrine Characterization of the Designer Steroid Methyl-1-Testosterone - Investigations on Tissue Specific Anabolic-Androgenic Potency, Side Effects and Metabolism. Endocrinology, doi:10.1210/en.2011-1164, [Epub ahead of print]