Endogenous Production and Excretion of Boldenone (17β-hydroxyandrosta-1,4-dien-3-one), an Androgenic Anabolic Steroid
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ABSTRACT

17β-Hydroxyandrosta-1,4-dien-3-one (boldenone) is an anabolic steroid and banned as a doping substance in sports. The detection of boldenone I and/or one of its main metabolites, 17β-hydroxy-5β-androst-1-en-3-one II, and 3α-hydroxy-5β-androst-1-en-17-one III, in the urine of an athlete is considered as a positive doping case. The detection of I, II, or III in urine samples of individuals who did not apply boldenone or a boldenone analogue has not been previously reported.

In urine samples from two laboratory staff members who were not treated with boldenone, boldenone I and its metabolites II, and III were detected in the routine screening procedure for anabolic steroids. For identification, I, II, and III were isolated from urine after enzymatic hydrolysis, further separated by high performance liquid chromatography (HPLC), derivatized with N-methyl-N-trimethylsilyl-trifluoroacetamide (MSTFA) / trimethylsidosilane (TMIS), and analyzed by gas chromatography/mass spectrometry (GC/MS). The measured GC retention times and mass spectra (low resolution and high resolution) of I, II, and III from the urine samples were identical with those obtained from authentic reference compounds.

Incubation of testosterone or androst-4-en-3,17-dione with feces of a person producing I yielded several reduced products as well as 1-dehydrogenated androst-4-en-3,17-dione (androsta-1,4-diene-3,17-dione IV) in low amount, indicating that this person has 1-dehydrogenase activity in the gut. Incubation of testosterone with feces of a person not producing I did not yield any 1-dehydrogenated product.

Conclusion for a possible origin of I, II and III is that testosterone or androst-4-en-3,17-dione may enter the intestine via the bile ("enterohepatic route") and be 1-dehydrogenated by seldomly distributed enteric microorganisms (bacteria or fungi) to androsta-1,4-diene-3,17-dione IV. Reabsorption of IV into the circulatory system followed by common metabolic pathways leads to boldenone I and its metabolites II, and III.

A comprehensive publication of the presented paper is still in preparation and will be published elsewhere.