Drug Status Report

Drug: 4-chloro-17a-methyl-androst-4-ene-17b-ol-3,11-dione

Drug Name Status: 4-chloro-17a-methyl-etioallochol-4-ene-17b-ol-3,11-dione is a common name.

Chemical Name: 4-chloro-17a-methyl-androst-4-ene-17b-ol-3,11-dione

Other Names: 4-chloro-11-ketotestosterone; 4-chloro-11-Oxotestosterone; Oxanabolon; Oxyguno

Chemical structure:



Molecular Formula: C₁₉H₂₅ClO₃

Pharmacological class / Application: steroid

International Status:

US: 4-chloro-17a-methyl-androst-4-ene-17b-ol-3,11-dione is not presently listed in the US CSA and is not mentioned on the CSA website.

UN: The substance is not listed on the Yellow List - List of narcotic Drugs under International Control nor the Green List - List of Psychotropic Substances under International Control.

Canadian Status: The substance is not listed specifically in the CDSA. The substance is presently marketed for bodybuilding purposes under trade names such as Oxanabolon¹ or Oxyguno² where it is claimed to be a prohormone.

4-chloro-17a-methyl-androst-4-ene-17b-ol-3,11-dione is a derivative of 11-ketotestosterone, a potent androgen that is found predominantly in teleosts. An earlier review of 11-ketotestosterone considered the substance to be controlled under item 23 of Schedule IV to the CDSA and a copy of the status report is appended. It is noteworthy that the status of 11-ketotestosterone was recently challenged and a secondary review of 11-ketotestosterone was performed. Details of the pharmacological properties of 11-ketotestore as discussed in the secondary review is

¹http://www.iprohormones.com/oxanabolon.html

²http://advancednutritiontx.com/PROHORMONEPROF.aspx

provided:

11-ketotestosterone is a well-established, potent androgen in teleosts and is present at significantly higher levels in the male, where the steroid is involved in a range of male reproductive processes including spermatogenesis, the development of secondary sex characteristics, and the modulation of behaviour. The substance has also been shown to be involved the induction sex reversal (female-to-male) in some teleost species. In humans, the production of 11-ketotestosterone as a key androgen has mostly been replaced by dihydrotestosterone (DHT) through evolution.

The biosynthetic pathway of 11-ketostesterone in teleosts is well-characterised and the substance is known to be derived from testosterone as follows:



11-ketotestesterone biosynthesis

Studies on the biosynthesis of 11-ketotestosterone in mammals (including humans) is limited. That being said, data in the recent scientific literature shows that the biosynthesis of 11-ketotestosterone from testosterone is a conserved process between teleosts and mammals, albeit a more active process in the ovaries of mammals³. In addition, it has been demonstrated that 11-ketotestosterone is as effective a ligand as testosterone for the mammalian androgen receptor (AR) and that 11-ketotestosterone activates mammalian AR-mediated transcription in granulosa cells in the ovary to maintain the androgenic effects of testosterone^{3,4} It is noteworthy that chemically synthesized 11-ketotestosterone and its esters have been also reported to produce pronounced anabolic effects⁵.

Anabolic steroids and their derivatives are controlled under Item 23 of Schedule IV to the CDSA. Although 11-ketotestosterone is chiefly a key androgen in teleosts, the substance is synthesized *in vivo* from testosterone in both teleosts and mammals. The substance can also be readily synthesized using chemical methods and regardless of whether the substance is of an endogenous

³Yazawa, T *et al.* (2008) Cyp11b1 is induced in the murine gonad by luteinizing hormone/human chorionic gonadotropin and involved in the production of 11-ketotestosterone, a major fish androgen: conservation and evolution of the androgen metabolic pathway, Endocrinol. **149**:1789-1792.

⁴Olsson, P-E *et al.* (2005) Molecular cloning and characterization of a nuclear androgen receptor activated by 11-ketotestosterone, Reproductive Biol. Endocrinol. **3**:37-54

⁵Heyl, FW. *et al.* (1953) 11-ketotestosterone, 11-keto-19-nortestestorone, esters thereofre and process, US Patent 2781368.

or synthetic, it has been shown in the literature to display anabolic activity. Accordingly, 11ketotestosterone falls under the heading "Anabolic steroids and their derivatives" and therefore must be included under Item 23 of Schedule IV to the CDSA and as a derivative of 11ketotestosterone, 4-chloro-17a-methyl-androst-4-ene-17b-ol-3,11-dione would be included under item 23 of Schedule IV to the CDSA.

Recommendation: 4-chloro-17a-methyl-androst-4-ene-17b-ol-3,11-dione is included under item 23 of Schedule IV to the CDSA. included under Item 23 of Schedule to the CDSA and is considered a controlled substance.

July 19th, 2010.





STATUS DECISION OF CONTROLLED AND NON-CONTROLLED SUBSTANCE(S)

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Substance: <u>11-Ketotestosterone</u>

Based on the current information available to the Office of Controlled Substances, it appears that the above substance is:

> Controlled \Box Not Controlled \Box

under the schedules of the *Controlled Drugs and Substances Act* (CDSA) for the following reason(s):

the substance is a steroid and has anabolic activity
the substance is also a controlled substance in the U.S.A. by the C.S.A.

Supporting document(s) attached: \Box

Prepared by:		Date:
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Verified by:		Date:
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Approved by:

Date:

DIRECTOR, OFFICE OF CONTROLLED SUBSTANCES

 $Cdsa \ Cdsa \$





