

Drug Status Report

Drug: I - Delta4-tibolone
II - 10beta-peroxy-delta4-tibolone

Drug Name Status:

I - 7alpha-Methyl-17-ethinyl-19-nortestosterone
II - 10beta-peroxy-delta4-tibolone are the common names.

Chemical Name:

I - 17-Hydroxy-7alpha-methyl-19-nor-17alpha-preg-4-en-20-yn-3-one
II - (7alpha,17alpha)-19-hydroperoxy-17-hydroxy-7-methyl-19-norpreg-4-en-20-yn-3-one

Chemical structure:



Molecular Formula: I - $C_{21}H_{28}O_2$; II - $C_{21}H_{28}O_4$

Pharmacological class / Application: Steroid

CAS RN: I - 1162-60-3; II - 105186-34-3

International status:

US: Delta4-tibolone and 10beta-peroxy-delta4-tibolone are not listed in the Schedules to the CSA and are not mentioned anywhere on the DEA website.

United Nations: Delta4-tibolone and 10beta-peroxy-delta4-tibolone are 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: Delta4-tibolone and 10beta-peroxy-delta4-tibolone are not currently listed in the CDSA. Delta4-tibolone is one of the major metabolites of tibolone¹ while 10beta-peroxy-delta-4-tibolone is claimed to be a derivative and potential synthetic impurity of delta4-tibolone. Tibolone is currently listed as item 23(41) of Schedule IV to the CDSA under the heading "Anabolic steroids and their derivatives:" therefore as a metabolite of tibolone, delta4-tibolone is

¹Colombo, D. *et al.* (2008) Anti-tumor-promoting activity of tibolone and its metabolites, *Arzneimittelforschung*, **58**:86-90.

considered to be included under item 23(41) of Schedule IV. 10beta-peroxy-delta4-tibolone, however, is not a direct derivative of an anabolic steroid and is not reported in the scientific literature to display any anabolic activity and therefore is not included under item 23 of Schedule IV to the CDSA.

Recommendation: Delta4-tibolone is included under item 23(41) of Schedule IV to the CDSA and is considered a controlled substance. 10beta-peroxy-delta4-tibolone however is not included under item 23 of Schedule IV to the CDSA and is not a controlled substance.

October 4th 2010