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

Substance: I - Toremifene Citrate
II - 4-Hydroxy Toremifene

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

Controlled  ☐
Not Controlled  ✓

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

• The substances are used for the treatment of breast cancer and are not structurally
  similar to any of the substances included in the schedules to the CDSA.

Prepared by: ________________________________  Date: Sept 16, 2011
Evelyn C Soo

Verified by: ________________________________  Date: __________
Mark Kozlowski

Approved by: ________________________________  Date: __________
DIRECTOR, OFFICE OF CONTROLLED SUBSTANCES

This status was requested by: “third party information removed as per agreement with applicant”
Drug Status Report

**Drug:** I - Toremifene  
II - 4-Hydroxytoremifene

**Drug Name Status:**  
I - Toremifene is the proper (INN) name.  
II - 4-Hydroxytoremifene is the common name.

**Chemical Name:**  
I - 2-(4-((1Z)-4-chloro-1,2-diphenyl-1-butenyl)phenoxy)-N,N-dimethylethanamine  
II - (Z)-4-(4-Chloro-1-4-(2-(dimethylamino)ethoxy)phenyl)-2-phenyl-1-butenyl)phenol

**Chemical structure:**

![Chemical Structure](image)

**Molecular Formula:**  
I - C_{26}H_{28}ClNO; II - C_{26}H_{28}ClNO_{2}

**Pharmacological class / Application:** Antineoplastic agent

**CAS-RN:**  
I - 89778-26-7 (Citrate: 89778-27-8); II - 110503-62-3

**International status:**

**US:** Toremifene and 4-hydroxytoremifene are not listed in the Controlled Substances Act and are not mentioned anywhere on the DEA website.

**United Nations:** The substances 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:** Toremifene and 4-hydroxytoremifene are not listed in the Schedules to the CDSA. The substances are analogues of tamoxifen and have been shown useful for the
treatment of breast cancer\textsuperscript{1,2}. Toremifene and 4-hydroxytoremifene are not structurally similar to any of the substances included in the CDSA.

**Recommendation:** Toremifene and 4-hydroxytoremifene are not included in the schedules to the CDSA and are not controlled substances.

**Date:** Sept 16th, 2011

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\textsuperscript{2}Styless, JA et a; (1997) Clastogenic and aneugenic effects of tamoxifen and some of its analogues in hepatocytes from dosed rats in human lymphoblastoid cells transfected with human P450 cDNAs (MCL-5 cells), Carcinogenesis, \textbf{18}:303-313.