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

Substance: 6alpha-Naltrexol

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 substance is a derivative of naltrexone and is included under item 1 of Schedule I to the CDSA.

Prepared by: ____________________________ Date: December 6th, 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:** 6alpha-Naltrexol

**Drug Name Status:** 6alpha-Naltrexol is the common name.

**Chemical Name:** 17-(Cyclopropylmethyl)-4,5-epoxymorphinan-3,6beta,14-trol

**Other Names:** 6alpha-Hydroxynaltrexone; N-Cyclopropylmethyl-7,8-dihydro-14-hydroxynorisomorphone

**Chemical structure:**

![Chemical Structure](image)

**Molecular Formula:** $C_{20}H_{25}NO_4$

**CAS RN:** 49625-89-0

**Pharmacological class / Application:** Pharmaceutical-related substance

**International status:**

US: 6alpha-Naltrexol is not listed on the schedules to the US Controlled Substances Act and is not mentioned anywhere on the DEA website.

United Nations: The substance is not listed on the Yellow List - List of Narcotic Drugs under International Control, the Green List - List of Psychotropic Substances under International Control, nor the Red List - List of Precursors and Chemicals Frequently Used in the Illicit Manufacture of Narcotic Drugs and Psychotropic Substances Under International Control.

Canadian Status: 6alpha-Naltrexol is not listed specifically in the CDSA. The substance has been reported in the scientific literature to be a minor metabolite of naltrexone$^{1,2}$, which is currently listed under the “but not including” provision as sub-item I(34.2) in Schedule I to the

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$^{2}$Li, JX et al. (2008) Comparison of naltrexone, 6a-naltrexol, and 6b-naltrexol in morphine-depenedent and in nondependent rhesus monkeys, Psychopharmacol. 195:479-486.
CDSA under “Opium Poppy (Papaver somniferum), its preparations, derivatives, alkaloids and salts including” of Schedule I to the CDSA. Since the derivatives of naltrexone are not included under sub-item 1(34.2) of Schedule I to the CDSA, 6alpha-naltrexol must be included under item 1 of Schedule I to the CDSA.

**Recommendation:** 6alpha-Naltrexol is included under Item 1 of Schedule I to the CDSA and is a controlled substance.

**Date:** December 6th, 2011