

Drug Status Report

Drug: Naloxone related substances

A client requested the status of the following six naloxone related substances.

Drug Name Status: I - 3-O-Allylnaloxone

II - 10 α -Hydroxynaloxone

III - 10 β -Hydroxynaloxone

IV - 3-O-Methylnaloxone

V - 7,8-Didehydronaloxone

VI - 2,2'-Binaloxone

Chemical Name: I - 4,5a-Epoxy-3,14-dihydroxy-17-(2-propenyl)morphinan-6-one

II - (10a)-4,5a-Epoxy-3,10,14-trihydroxy-17-(2-propenyl)morphinan-6-one

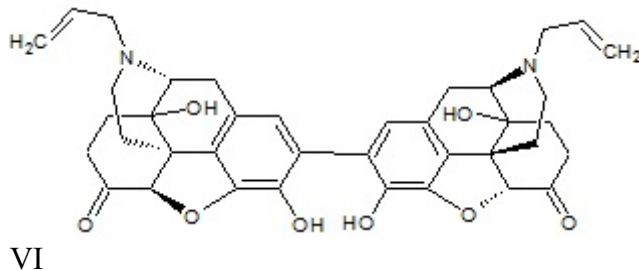
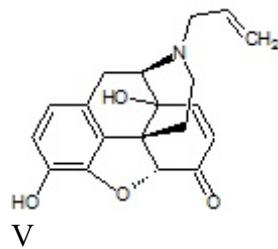
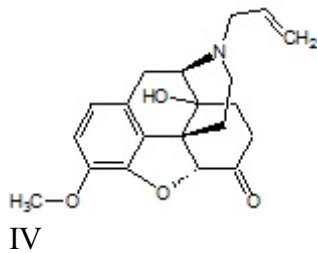
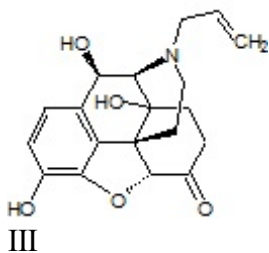
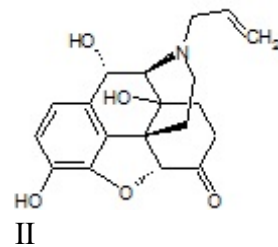
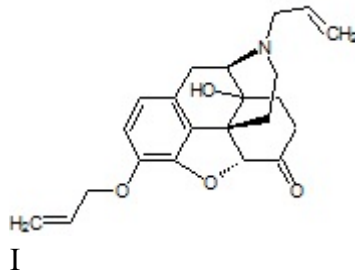
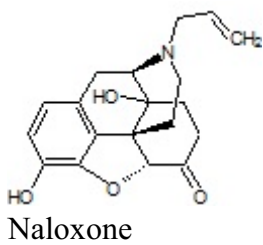
III - (10b)-4,5a-Epoxy-3,10,14-trihydroxy-17-(2-propenyl)morphinan-6-one

IV - 4,5a-Epoxy-14-hydroxy-3-methoxy-17-(2-propenyl)morphinan-6-one

V - 7,8-Didehydro-4,5a-epoxy-3,14-dihydroxy-17-(2-propenyl)morphinan-6-one

VI - (5 α)-(5' α)-4,5:4',5'-diepoxy-3,3',14,14'-tetrahydroxy-17,17'-bis(2-propenyl)-2,2'-(bimorphinan)-6,6'-dione

Chemical structure:



Molecular Formula: I - $C_{22}H_{25}NO_4$

II - $C_{19}H_{21}NO_5$

III - $C_{19}H_{21}NO_5$

IV - $C_{20}H_{23}NO_4$

V - $C_{19}H_{19}NO_4$

VI - $C_{38}H_{40}N_2O_8$

Pharmacological/chemical class / Application: Drug related substances

International status:

US: These chemicals are not currently listed on the US Controlled Substances Act and are not mentioned on the DEA website. However the definition of narcotic drug in the CSA “(A) Opium, opiates, derivatives of opium and opiates, including their isomers, esters, ethers, salts, and salts of isomers, esters, and ethers, whenever the existence of such isomers, esters, ethers, and salts is possible within the specific chemical designation” may include these naloxone related substances.

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: Naloxone is considered to be included under the heading for item 1 of Schedule I: Opium poppy (*Papaver somniferum*), its preparations, derivatives, alkaloids and salts, including opium, codeine, morphine and thebaine and the salts, derivatives and salts of derivatives of these four opium alkaloids. It has been excluded from item 1 by the “but not including” part of this item.

Substances that are structurally related to substances listed in the “but not including” part of item 1 and that are not specifically mentioned in this exclusionary section are considered to be included in item 1. The following are examples of such substances which are listed on the OCS Controlled List: bis-naltrexone, 10-ketonaltrexone, 10-hydroxynaltrexone and Naltrexone related substance A ((5a)-17-(3-butenyl)]-4,5-epoxy-3,14-dihydroxymorphinan-6-one).

As these six naloxone related substances are not excluded from item 1 of Schedule 1, they are included.

Recommendation: Naloxone related substances I to VI are included in item 1 of Schedule I to the CDSA and are controlled substances.

November 8, 2008