

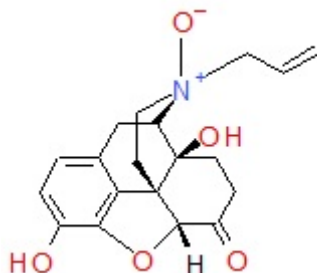
Drug Status Report

Drug: Naloxone-N-oxide

Drug Name Status: Naloxone-N-oxide is the common name.

Chemical Name: (5alpha)-4,5-Epoxy-3,14-dihydroxy-17-(2-propenyl)morphinan-3-yl, 17-oxide

Chemical structure:



Molecular Formula: C₁₉H₂₁NO₅

Pharmacological class / Application: Derivative of naloxone.

International status:

US: The substance is not listed on the schedules to the CSA and is 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 derivatives of naloxone.

United Nations: The substance is not listed on the Yellow List - List of Narcotic Drugs under International Control. The drug is not listed on the Green List - List of Psychotropic Substances under International Control.

Canadian Status: Naloxone-N-oxide is a derivative of naloxone and was developed as a pro-drug to increase the oral bioavailability of naloxone¹. Although naloxone is excluded from item 1 of Schedule I of the CDSA by the “but not including” part of this item, naloxone-N-oxide is considered to fall under the heading “Opium poppy (*Papaver somniferum*), its preparations, derivatives, alkaloids and salts, including:” of item 1 of Schedule I on the basis that it is a derivative of naloxone. A number of substances structurally related to naloxone were recently

¹Boswell, GA. and Myers, MJ. (1985) N-oxide prodrug derivatives of 3-hydroxy morphinans and partial morphinans having improved oral bioavailability, pharmaceutical compositions and processes, US patent 06/803222.

reviewed, for example 7,8-Didehydronaloxone, 2,2'-Binaloxone, 3-O-Methylnaloxone, 3-O-Allylnaloxone, and naloxone benzoylhydrazone, and were included in item 1 of Schedule I to the CDSA and therefore, naloxone-N-oxide is therefore included under item 1 of Schedule I.

Recommendation: Naloxone-N-oxide is included in item 1 of Schedule I to the CDSA and is a controlled substance.

August 31st 2010.