

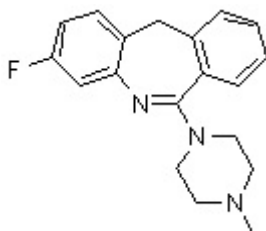
Drug Status Report

Drug: Fluperlapine

Drug Name Status: Fluperlapine is the proper name (INN).

Chemical Name: 3-Fluoro-6-(4-methyl-1-piperazinyl)morphanthridine

Chemical structure:



Molecular Formula: C₁₉H₂₀FN₃

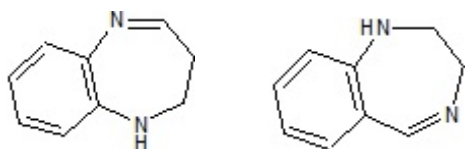
Pharmacological class / Application: Antipsychotic

International status:

US: The substance is not currently listed on the US Controlled Substances Act and is not mentioned on the DEA website.

United Nations: The substance is 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: Fluperlapine is a structural analog of the antipsychotic drug clozapine and was developed in the search for new antipsychotic drugs that would not cause agranulocytosis in the treatment of schizophrenia¹. Clonazepin is excluded specifically from the heading “Benzodiazepines, their salts and derivatives, including” of item 18 of Schedule IV to the CDSA and listed as sub-item 32.1. While fluperlapine bears some structural similarity to the benzodiazepines, the substance belongs to a class of compounds known as the dibenzazepines², which do not contain the essential structural elements of the benzodiazepines shown below:



Characteristic structural features of benzodiazepines

¹Lai, WG. *et al.* (1999) Bioactivation and covalent binding of hydroxyfluperlapine in human neutrophils: implications for fluperlapine-induced agranulocytosis, *Drug Met. Disp.* **28**:255-263.

²Liegeois J-F F. *et al.* (1994) Pyridobenzoxazepine and pyridobenzothiazepine derivatives as potential nervous system agents: Synthesis and neurochemical study, *J. Med. Chem* **37**:519-525..

In addition, the substance is not a salt or derivative of a benzodiazepine and therefore is not included under the heading “Benzodiazepines, their salts and derivatives, including” of Item 18 of Schedule IV to the CDSA.

Recommendation: Fluperlapine is not included in the Schedules to the CDSA and is not a controlled substance.

June 19th 2010