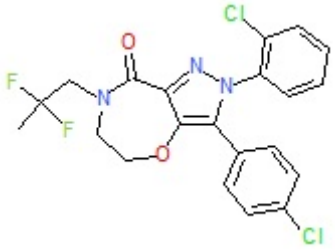
Drug Status Report

Drug: PF514273

Drug Name Status: PF514273 is the common name.

Chemical Name: 2-(2-Chlorophenyl)-3-(4-chlorophenyl)-7-(2,2-difluoropropyl)-6,7-dihydro-2H-pyrazolo[3,4-f][1,4]oxazepin-8(5H)-one

Chemical structure:



Molecular Formula: $C_{21}H_{17}Cl_2F_2N_3O_2$

Pharmacological class / Application: Cannabinoid CB_1 receptor antagonist

International status:

US: The substance is not listed on the schedules to the CSA 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. The drug is not listed on the Green List - List of Psychotropic Substances under International Control.

Canadian Status: PF514273 was among a number of compounds that were developed by Pfizer and as selective cannabinoid CB_1 receptor antagonists[1]. PF514273 has been shown to display significantly greater selectivity for the CB_1 receptor compared to the CB_2 receptor and demonstrate potent CB_1 receptor antagonist activity in functional assays. Cannabinoid receptor agonists have been declared to be included within item 1 of Schedule II to the CDSA by virtue of being "similar synthetic preparations." Cannabinoid receptor antagonists have been declared to fall outside item 1 of Schedule II to the CDSA. Given that PF514273 is potent cannabinoid receptor antagonist, the substance should not be included in item 1 of Schedule II.

Recommendation: PF514273 is not included in Schedule II to the CDSA and is a not controlled substance.

January 7th, 2010

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[1]Dow, RL. *et al*. (2009) Discovery of 2-(2-Chlorophenyl)-3-(4-chlorophenyl)-7-(2,2-difluoropropyl)-6,7-dihydro-2H-pyrazolo[3,4-f][1,4]oxazepin-8(5H)-one (PF-514273), a novel, bicyclic lactam-based cannabinoid-1 receptor antagonist for the treatment of obesity, J. Med. Chem. **52**:2652-2655.