Drug Status Report

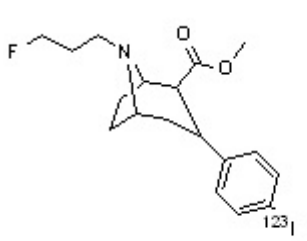
Drug: [^{123}I]Ioflupane

Drug Name Status: Ioflupane (^{123}I) is the proper name (INN).

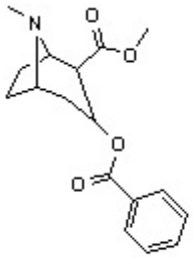
Chemical Name: Methyl 8-(3-fluoropropyl)-3β-(*p*-iodo-^{123}I-phenyl)-1α,5αH-nortropane -2β-carboxylate

Other Names: [1R-(*exo*,*exo*)]-8-(3-Fluoropropyl)-3[4-(iodo^{123}I)phenyl]-8-azabicyclo[3.2.1]octane-2-carboxylic acid methyl ester; N-(3-fluoropropyl)-2β-carboxymethoxy-3β-(4-^{123}I-iodophenyl)nortropane); ^{123}I-FP-CIT; DaTSCAN

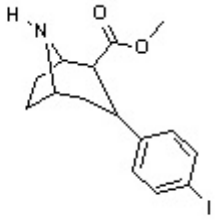
Chemical structure:



^{123}Ioflupane



Cocaine



Nor-β-CIT

Molecular Formula: $C_{18}H_{23}F^{123}INO_2$

Pharmacological class / Application: Radioactive imaging agent

International status:

US: The substance is not listed specifically in the Schedules to the CSA and is not mentioned in 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: [^{123}I]Ioflupane is a radioactive imaging agent that was developed for molecular imaging studies of neurological conditions such as Parkinson's Disease[1], Alzheimer's

[1]Vlaar, AMM. *et al*. (2008) Diagnostic value of 123I-ioflupane and 123I-iodobenzamide SPECT scans in 248 patients with Parkinsonian syndromes, Eur. Neurol. **59**:258-266.

disease and dementia[2] and Creutzfeldt-Jakob disease[3]. The substance is a structural analogue of cocaine, synthesized from Nor-β-CIT, and demonstrates specific binding to the dopamine transporters[4].

Cocaine is currently listed as Sub-item 2 under Item 2 of Schedule I to the CDSA, under the heading "Coca (Erythroxylon), its preparations, derivatives, alkaloids and salts including:". A recent review of Nor-β-CIT determined the substance to be controlled under Item 2 of Schedule I to the CDSA. While [^{123}I]Ioflupane is mainly used for the purposes of diagnostic neurological imaging, ioflupane is derived from Nor-β-CIT, which in turn is prepared directly from cocaine, and thus is considered to be included under Item 2(2) of Schedule I under the heading "Coca (Erythroxylon), its preparations, derivatives, alkaloids and salts, including:" Accordingly, the ^{123}I radioisotope of isoflupane would also be included under Item 2 of Schedule I to the CDSA.

Recommendation: [^{123}I]Ioflupane is included in Item 2 of Schedule I to the CDSA and is a controlled substance.

March 19th, 2010.

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[2]Colloby, SJ. *et al*. (2008) A comparison of 99mTc-exametazime and ^{123}I-FP-CIT SPECT imaging in the differential diagnosis of Alzheimer's disease and dementia with Lewy bodies, Int. Pscyhogeriatrics, **20**:1124-40.

[3]Ragno, M. *et al*. (2009) Striatal [123I] FP-CIT SPECT demonstrates dopaminergic deficit of a sporadic case of Creutzfeldt-Jakob disease, Acta Neurlogica Scandinavica, **119**:131-134.

[4]Neumeyer, JL. *et al*. (1994) N-ω-Fluoroalkyl analogues of (1R)-2β-Carbomethoxy-3β-(4-iodophenyl)-tropane (β-CIT): Radiotracers for Positron Emission Tomography and Single Photon Emission Computed Tomography Imaging of Dopamine Transporters, J. Med. Chem. **37**:1558-1561.