Drug Status Report

Drug: LY2183240

Drug Name Status: LY2183240 is the common name.

Chemical Name: 5-Biphenyl-4-ylmethyl-tetrazole-1-carboxylic acid dimethylamide

Other Names: 1H-tetrazole-1-carboxamide, 5-([1,1'-biphenyl]-4-ylmethyl)-N,N-dimethyl

Chemical structure:

Molecular Formula: C₁₇H₁₇N₅O

Pharmacological class / Application: Endocannabinoid Transport Inhibitor

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: LY2183240 has been established as an inhibitor of the anandamide transporter binding site¹ and of fatty acid amide hydrolase (FAAH)². LY2183240 has been shown to elevate levels of anandamide levels in the rat cerebellum without affecting motor functioning. Current scientific data suggest that LY2183240 does not bind to the CB1 cannabinoid receptor. A status decision was made on another endocannabinoid transport inhibitor, AM 404, which displays similar pharmacological activity to LY2183240³ and was determined not to be a controlled

¹SA Moore *et al.*, Identification of a high-affinity binding site involved in the transport of endocannabinoides, PNAS (2005) 102:17852-17857.

²JP Alexander and BF Cravatt, The putative endocannabinoid transport blocker LY2183240 is a potent inhibitor of FAAH and several other brain serine hydrolases, J Am Chem Soc (2006) 128:9699-9704.

³G La Rana *et al.*, Modulation of Neuropathic and Inflammatory Pain by the Endocannabinoid Transport Inhibitor AM404 [N-(4-Hydroxyphenyl)-eicosa-5,8,11,14-

substance.

Recommendation: LY2183240 is not included in Schedule II to the CDSA and is a not controlled substance.

November 20, 2009

tetraenamide]. J. Pharmacol. Exp. Ther. (2006) 317: 1365-1371.