

# Recreational use of HIV antiretroviral drug linked to its psychoactivity

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More than 1 in 270 people in the US are living with HIV and every 9.5 minutes someone is else is infected. The economic cost estimates associated with HIV/AIDS exceed 36 billion dollars a year. The development of effective drug treatments have allowed people with HIV to live longer with federal health officials now predicting that by 2015 one-half of the population with HIV in the US will be older than 50.

Efavirenz (tradenames: Sustiva, Stocrin) is an antiretroviral (ARV) drug commonly used to treat HIV. Its popularity as a medication, alone or more commonly in combination with other HIV medications (tradename: Atripla), is due to its superior effectiveness in suppressing replication of the virus that causes AIDS. Though highly effective, a standard dose of efavirenz is known to carry a risk of [side effects](#) that include adverse neuropsychiatric complications such as depression, anxiety, [sleep disturbances](#), impaired concentration, aggressive behavior, night terrors, hallucinations, paranoia, psychosis and delusions. However the question remains as to why these side effects occur. Recent anecdotal reports of the recreational use of efavirenz provided some clues.

Dr. John A. Schetz at the University of North Texas Health Science Center in Fort Worth, Texas, utilizes a mechanistic approach to solving problems and answering questions of importance to society. As a neuropharmacologist working to discover and develop new drugs for the treatment of neurological and psychiatric disorders, his experience and intuition helped solve the mystery as to why efavirenz, when taken as

prescribed, can cause adverse psychiatric events, as well as why there are reports of efavirenz being diverted for recreational use. The later practice could encourage the emergence of ARV-resistant [HIV strains](#) by educating the [HIV virus](#).

Dr. Schetz's interest was sparked by a network news report covering the topic of ARV abuse in South Africa. The report described how pills used to treat HIV were being crushed and the powder smoked for its psychoactive effects. Though there were no scientific studies on the topic, Dr. Schetz was aware of studies describing neuropsychiatric side effects in HIV patients taking the medication as prescribed, as well as case reports of sudden onset adverse psychiatric events in patients with no history of mental illness. However, research study results suggested that the later patient population were genetically predisposed because they have less effective variants of the enzyme primarily responsible for metabolizing efavirenz, leading to much slower than expected breakdown of efavirenz, and consequently higher than expected levels of drug in the body. Dr. Schetz initiated his investigation with molecular profiling of the receptor pharmacology of efavirenz which lead to the pinpointing of interactions with multiple established sites of action for other known drugs of abuse. He and a number of his colleagues worked together to achieve a pre-clinical understanding of the psychoactivity induced by efavirenz that may help explain reports both of its adverse neuropsychiatric side effects in HIV patients and of its diversion for recreational use.

Dr. Schetz's work is the first ever study of the mechanisms of efavirenz's psychopharmacology and these new findings will help stimulate interest to support additional research related to the mechanism of ARV side effects and abuse potential. This would help translate the pre-clinical findings into preventative measures addressing HIV medication-induced adverse side effects in patients living with HIV. Additionally, preventative strategies would improve patient adherence and quality of

life, reduce the potential risk for the emergence of HIV drug resistant strains, and could prevent diversion of HIV medication for illicit use."

His findings will be presented April 21, 2012 during Experimental Biology 2013 in Boston, MA.

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