

TIANEPTINE

Introduction:

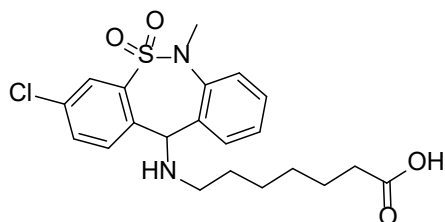
Tianeptine has recently emerged on the illicit drug market in the United States. The Centers for Disease Control and Prevention (CDC) reviewed data from 2000 to 2017 from the America's Poison Centers National Poison Data System (NPDS). CDC noted a rapid and marked increase in tianeptine-related calls during this time period. According to recent case reports, tianeptine is abused for its euphoric properties, similar to other opioids (e.g., heroin). Severe adverse health effects—including respiratory depression, severe sedation, and death—have occurred from the misuse of tianeptine.

Licit Uses:

While tianeptine is available for use in other countries, the Food and Drug Administration (FDA) has not approved tianeptine for any medical use. Tianeptine also does not have any commercial uses in the United States.

Chemistry:

Tianeptine is chemically known as 7-((3-chloro-6-methyl-5,5-dioxido-6,11-dihydrodibenzo[*c,f*][1,2]thiazepin-11-yl)amino)heptanoic acid. Tianeptine is often encountered in a salt form, such as its sodium or sulfate salt. The chemical structure for tianeptine is shown below:



Pharmacology:

Data from preclinical studies show that tianeptine binds to and acts as an agonist at the mu opioid receptor. Additional studies demonstrate that tianeptine has no activity at NMDA glutamate (GluN1a/GluN2a) receptors or affinity for the dopamine, serotonin, or norepinephrine transporters.

Illicit Uses:

Tianeptine has been encountered in the United States by law enforcement in various forms, including bulk powder, counterfeit pills mimicking hydrocodone and oxycodone pharmaceutical products, and individual stamp bags commonly used to distribute heroin. Severe withdrawal symptoms in humans that have led to hospitalization following the use of tianeptine have been reported.

Published case reports have provided evidence of adverse respiratory, neurological, cardiovascular, gastrointestinal, and withdrawal effects associated with the use of tianeptine.

User Population:

In August 2018, CDC published an analysis of the tianeptine-related calls to the NPDS between 2000 and 2017. During the first 14 years of the study period (2000–2013), NPDS reported a total of 11 tianeptine exposure calls. From 2014 through 2017, NPDS reported 207 calls [2014 (5 calls); 2015 (38); 2016 (83); 2017 (81)]. In addition, NPDS reported 29 withdrawal-associated calls, of which 21 (72.4%) calls involved tianeptine only. Among these withdrawal-associated calls, the most commonly reported adverse effects included agitation, nausea, vomiting, tachycardia, hypertension, diarrhea, tremor, and diaphoresis. This rapid and marked increase in tianeptine-related calls to poison control centers, amid the current opioid crisis, is of extreme public health concern. These data demonstrate that the abuse of tianeptine, an opioid-like-drug, is increasing and contributing to the current opioid epidemic. Since February 2022, FDA has published multiple alerts regarding the severe adverse effects of tianeptine.¹

Illicit Distribution:

The Drug Enforcement Administration's National Forensic Laboratory Information System (NFLIS) Drug database collects scientifically verified data on drug items and cases submitted to and analyzed by federal, state, and local forensic drug laboratories. NFLIS-Drug received over 190 reports of tianeptine since its first report in 2017.

Control Status:

Tianeptine is not controlled under the Controlled Substances Act. Multiple individual states have proposed to control or currently control tianeptine as a schedule I or schedule II substance. Tianeptine is not approved by FDA for medical use within the United States.

Comments and additional information are welcomed by the Drug and Chemical Evaluation Section; Fax 571-362-4250, Telephone 571-362-3249, or Email DPE@dea.gov.

¹ <https://www.fda.gov/consumers/consumer-updates/tianeptine-products-linked-serious-harm-overdoses-death>
<https://www.fda.gov/drugs/drug-safety-and-availability/fda-warns-consumers-not-purchase-or-use-neptunes-fix-or-any-tianeptine-product-due-serious-risks>