

Tianeptine

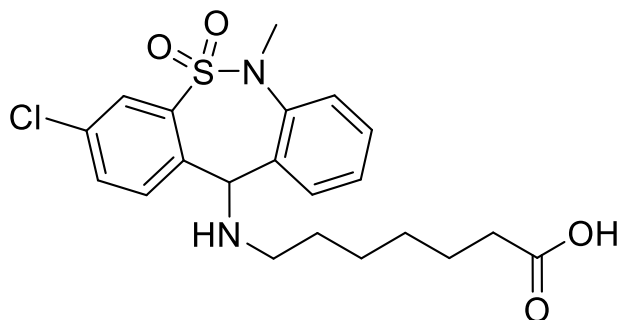
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Introduction:

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 National Poison Data System (NPDS) of the American Association of Poison Control Centers and 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, such as heroin. Severe adverse health effects, including respiratory depression, severe sedation, and death, have occurred from the misuse of tianeptine.

Chemistry:

The chemical structure for tianeptine¹ is shown below.



Tianeptine is often encountered in a salt form, such as its sodium or sulfate salt

Pharmacology:

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

Licit Uses:

While tianeptine is available for use in other countries, tianeptine has not been approved by the United States Food and Drug Administration (FDA) for any medical use nor are there any commercial uses for tianeptine in the United States.

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 resulting in 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, the 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, whereas 207 calls were reported from 2014 through 2017 (2014 – 5; 2015 – 38; 2016 – 83; 2017 – 81). There were 29 tianeptine withdrawal-associated calls reported to NPDS, of which 21 (72.4%) calls involved tianeptine only. The most commonly reported adverse effects among the 21 tianeptine withdrawal-associated calls consisted of: agitation, nausea, vomiting, tachycardia, hypertension, diarrhea, tremor, and diaphoresis. Amidst the current opioid crisis, this rapid and marked increase in calls to poison control centers related to tianeptine, an opioid-like drug, is of extreme public health concern. These data demonstrate that the abuse of tianeptine is increasing while contributing to the current opioid epidemic.

Illicit Distribution:

The National Forensic Laboratory Information System (NFLIS), a system that collects drug analysis information from state and local forensic laboratories, contains 29 reports for tianeptine in 2017 and 2018.

Control Status

Tianeptine is not currently controlled under the Controlled Substances Act. On April 5, 2018, Michigan passed Public Act 107 of 2018 adding tianeptine sodium (a salt form of tianeptine) to Michigan's list of schedule 2 controlled substances (effective July 4, 2018). Tianeptine is not approved by the FDA for medical use within the United States.

Comments and additional information are welcomed by the Drug and Chemical Evaluation Section; Fax 202-353-1263, telephone 202-307-7183, or E-mail DPE@usdoj.gov.

¹ Chemical name: 7-((3-chloro-6-methyl-5,5-dioxido-6,11-dihydrodibenzo[c,f][1,2]thiazepin-11-yl)amino)heptanoic acid