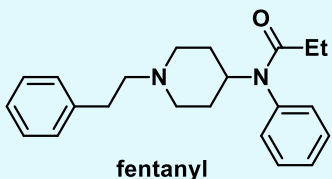


# Drug of the Week: Fentanyl

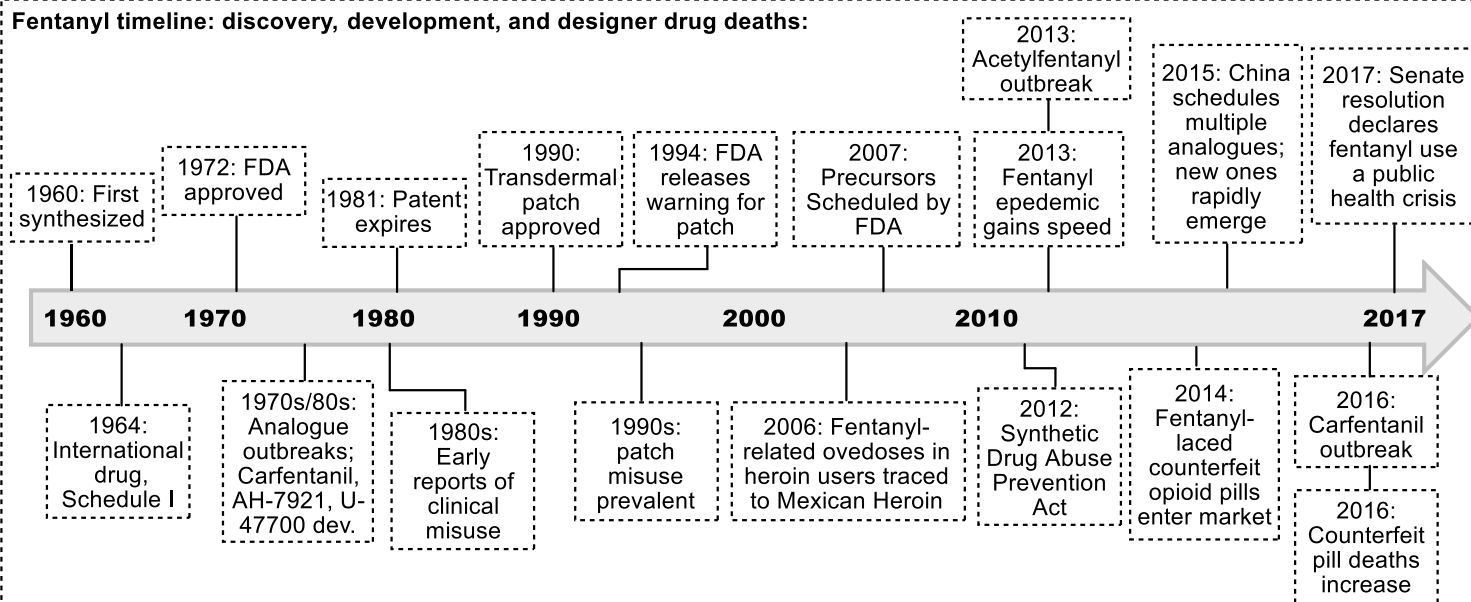


Brand names: Sublimaze, Abstral, Subsys, Duragesic, Ionsys

Street names: Apache, China Girl, China White, Dance Fever, Friend, Goodfella, Jackpot, Murder 8, Tango and Cash, TNT

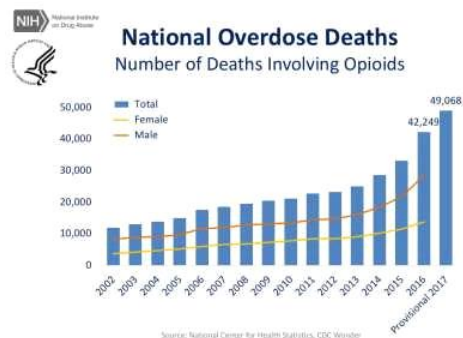
<https://drugfree.org/drug/prescription-pain-relievers-opioids/>

## Fentanyl timeline: discovery, development, and designer drug deaths:

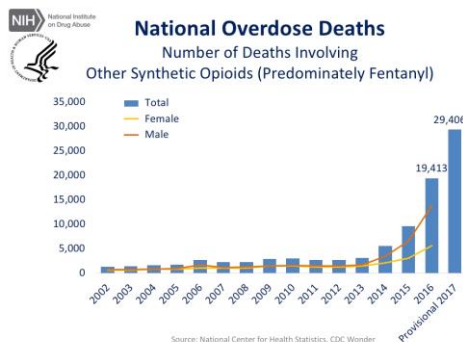


Adapted from: *Neuropharmacology* **2018**, 134, 121-132.

## Fentanyl and the Opioid Crisis:



The number of deaths from opioid-related overdoses has grown significantly in recent years, and fentanyl has played an increasingly large role in causing those deaths.



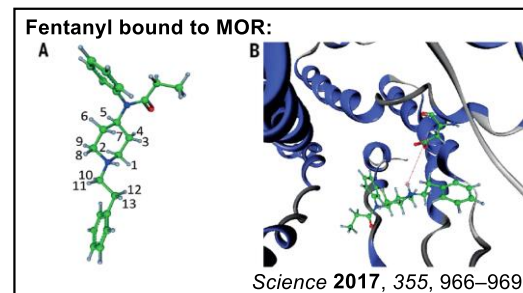
<https://www.drugabuse.gov/related-topics/trends-statistics/overdose-death-rates>

## Mechanism of action:

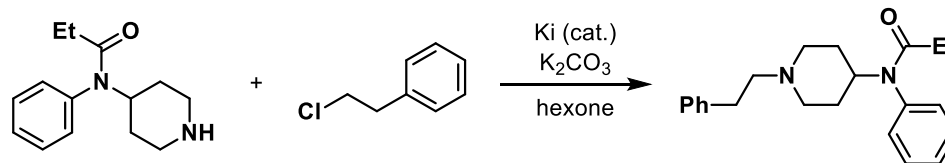
Fentanyl and related compounds are opioid receptor agonists, specifically for the  $\mu$ -opioid receptor (MOR). While the data for the activity of these compounds leave something to be desired, fentanyl has been suggested to have ~50-100 times the potency of morphine, and some analogues have been described to have potencies that are up to 10,000-fold stronger than morphine (e.g. carfentanil).

Fentanyl is rapidly passed through the BBB due to its lipophilic structure. It can be dosed orally, transdermally, or via injection.

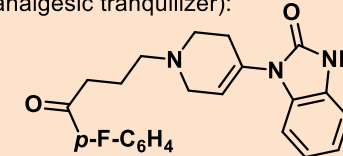
Side effects include euphoria, drowsiness, nausea, constipation, respiratory depression, chest wall rigidity, and death. *Neuropharmacology* **2018**, 134, 121-132.



## 1964 US patent synthesis: Method for Producing Analgesia (US 3141823)



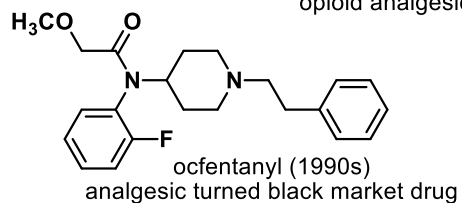
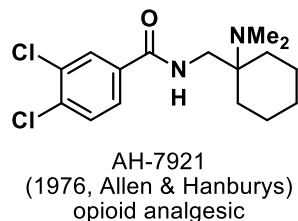
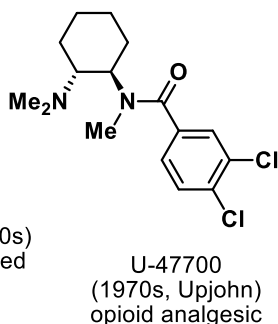
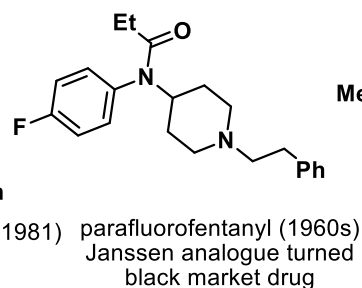
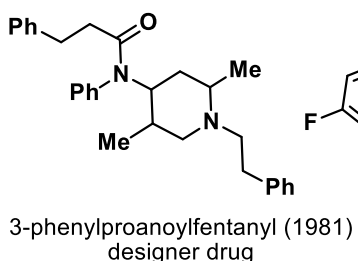
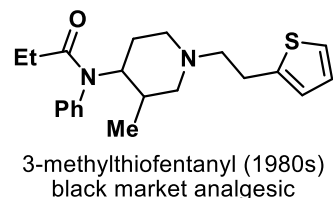
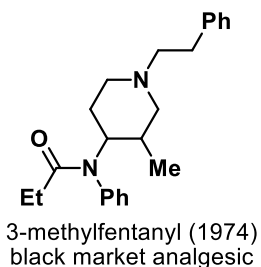
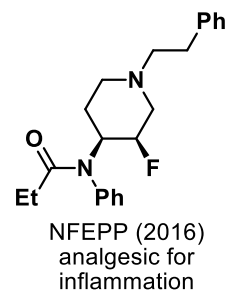
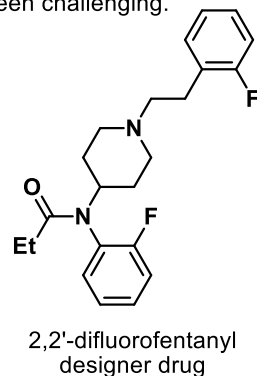
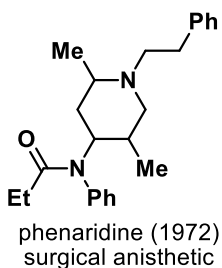
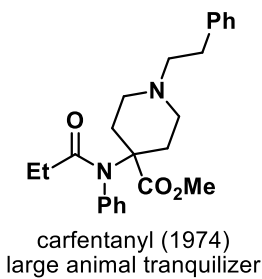
Dosed with dehydrobenzperidol (non-analgesic tranquilizer):



"Depending on the preference of one skilled in the art the components of the novel combination may be used in admixture in the form of any one of a wide variety of salts including the therapeutically effective, nontoxic forms: hydrochlorides, hydrobromides, sulfates, nitrates, phosphates, acetates, propionates, glycolates, oxalates, malonates, formates, maleates, and preferably, tartrates, lactates, citrates, benzoates and dihydrogen citrates"

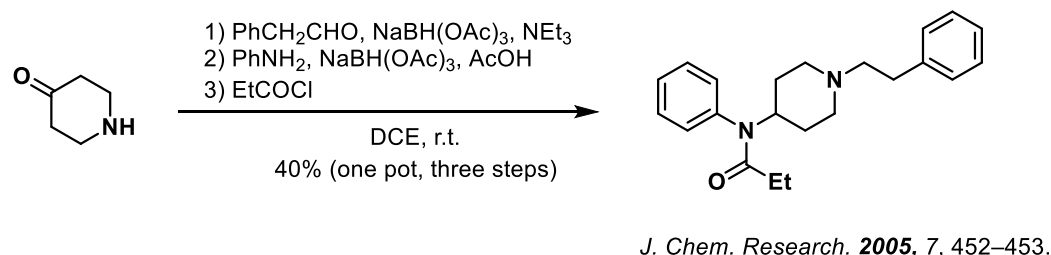
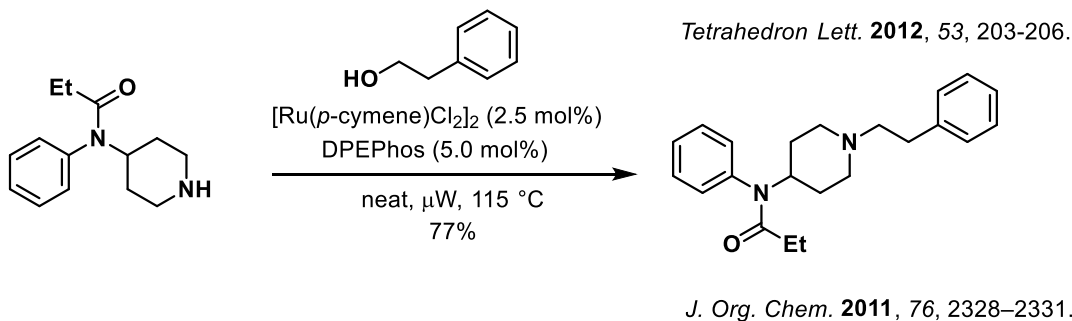
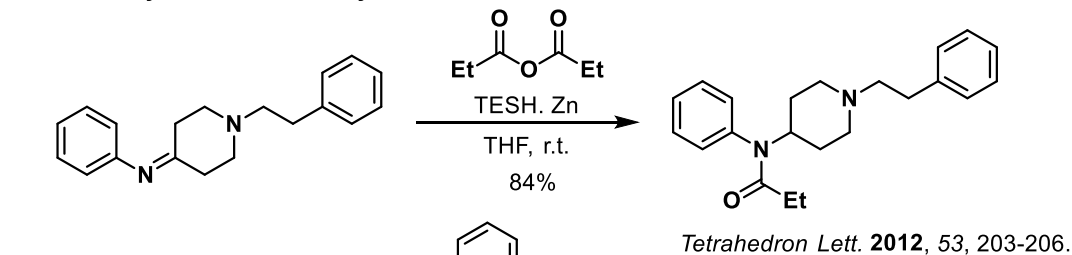
## Analogues of fentanyl:

Since its discovery, thousands of fentanyl analogues have emerged, both in pharmaceutical companies and as illegal designer drugs. A number of these analogues have also been characterized, tested for their potency, and scheduled. In addition, efforts have been made to stem the tide of novel analogues of fentanyl and other drugs. The Federal Analogue Act declares "substantially similar" structures to be treated as scheduled compounds even if they have not previously been deemed so, but enforcing this law has been challenging.

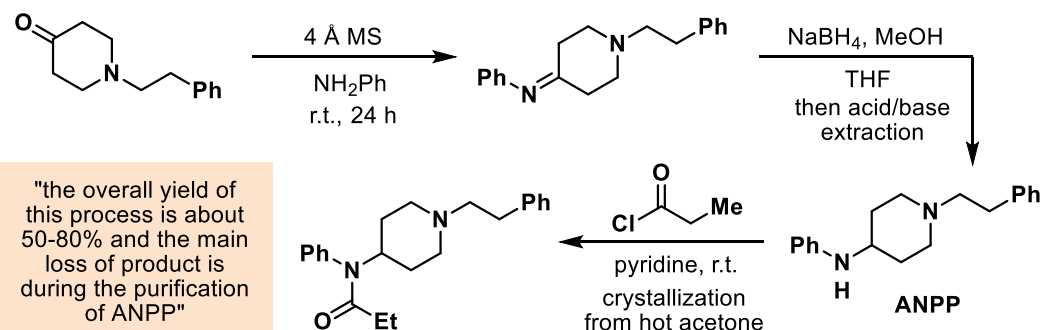


[https://en.wikipedia.org/wiki/List\\_of\\_fentanyl\\_analogues](https://en.wikipedia.org/wiki/List_of_fentanyl_analogues)  
*Forensic Toxicol.* **2018**, 36, 12-32.  
<https://www.law.cornell.edu/uscode/text/21/813>

## Academic syntheses of fentanyl:



## Street synthesis of fentanyl:



(After description of how to prepare fentanyl-laced white heroin): "This type of Heroin was used and sold during a year, and the feedback of the consumers was very good. The consumers were very happy and didn't want the usual brown Heroin any more. So be careful, some people (the Mafia and other dealers) will perhaps turn very jealous!"