What is an opioid? What do opioids do?

Why is there an “opioid overdose crisis”?

What is fentanyl? What about illicit or “bootleg” fentanyl?
What is an opioid? What do opioids do?:

The term opioid can be used to describe any chemical that has “morphine-like” effects.

- Opioids can include chemicals produced in the human brain.
- Opioids can include chemicals that occur in nature.
- Opioids can include chemicals produced by pharmaceutical companies.
- Opioids can include chemicals produced in clandestine labs to be sold as illicit (“street”) drugs.
What is an opioid? What do opioids do?:

Morphine is the prototypical opioid and is found at high concentrations in a species of poppy plant, the opium poppy.

Codeine is also found in the opium poppy.

morphine
codeine
What is an opioid? What do opioids do?:

“Endogenous” opioids, or opioids produced naturally in the brain, e.g. endorphine

Pharmaceutical opioids include: morphine, codeine, buprenorphine, fentanyl, hydrocodone, hydromorphone, meperidine, oxycodone etc.

Common brand names for opioids include: Tylenol 3, Dilaudid, Demerol, Percocet, and OxyNEO (formerly OxyContin)
What is an opioid? What do opioids do?:

Regardless of their source, all opioids affect the body by binding opioid receptors, proteins in cells that interact with the drug lead to the drug’s effects on the body.

There are 3 major opioid receptors:

µ “Mu”
δ “Delta”
κ “Kappa”
What is an opioid? What do opioids do?:

Activation of opioid receptors leads to:

- relief of pain and altered pain perception
- euphoria
- sedation
- miosis (small pupils)
- cough suppression
- nausea and vomiting
- constipation
- sweating
- respiratory depression
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Why is there an “opioid overdose crisis”?:

Pre-1980 opioids were considered highly addictive

A few studies in the 1980s suggested that the addictive properties of opioids were exaggerated

Opioid prescriptions began to rise in the 1990s and continued into the 2000s
Unintentional drug overdose deaths in the U.S. by major type of drug

Number of deaths

Opioid analgesic

Cocaine

Heroin

'99 '00 '01 '02 '03 '04 '05 '06 '07
Why is there an “opioid overdose crisis”?:

At the same time, technological advances in pharmaceuticals allowed for “controlled-release” or “sustained-release” pills and capsules

One type of controlled releases formulation was OxyContin (oxycodone)

Controlled release pills have several advantages
- you can take them 1-2 times per day instead of 3-4
- they deliver steady levels of drug to the body

But, you need to pack 2-3 doses of an opioid into a controlled release pill, and for OxyContin, if you crushed the pill, you lose the “controlled release” mechanism

Why is there an “opioid overdose crisis”?:

Although there was initially resistance from pharmaceutical companies, many, such as Purdue Pharma, changed their formulation and continue to take advantage of “abuse-deterrent” or “crush-proof” pills such as OxyNEO and others

But it was all too late. . .

Many of the people who were using/diverting/illicitly using OxyContin were dependent on opioids and looked to other sources to obtain them
Why is there an “opioid overdose crisis”?:

Those other sources included:
  - other pharmaceutical-grade opioids including fentanyl patches
  - heroin
  - illicit fentanyl analogues and other opioids
What is fentanyl? What about illicit or “bootleg” fentanyls?:

So what?

Fentanyl is the most “potent” pharmaceutical opioid
- it is 80 times more potent than morphine

Illicit fentanyls and other opioids are as potent, or more potent, than fentanyl itself
- manufactured outside of regulatory control
- mislabeled or added to other opioids
What is fentanyl? What about illicit or “bootleg” fentanyls?:

Opioid potency

Let’s assume you could overdose on 200 mg of morphine . . .

1 kg of morphine could cause 5,000 overdoses

1 kg of oxycodone could cause 7,500 overdoses

1 kg of fentanyl could cause 400,000 overdoses
(one quarter of 1 mg - 250 micro-grams)

1 kg of carfentanil could cause the overdose deaths of each and every Canadian with some leftover (40,000,000)
(less than a microgram - invisible to the naked eye)
What is fentanyl? What about illicit or “bootleg” fentanyl?

Why are high potency opioids more dangerous? Why is it easier to overdose?
Naloxone:

Opioids bind the opioid receptor and activate it, causing all of the effects on the brain including respiratory depression.

Opioid receptor blockers (also caused antagonists) bind the opioid receptor but they do not activate it.

They can compete with an opioid for the receptor.

A person suffering from opioid-induced respiratory depression can be rescued if the antagonist (naloxone) can displace enough of the opioid.