

OPIATES

The Brain's Response to Drugs

A Library and Resource Center on Alcohol, Tobacco, Other Drugs, Mental Health and Wellness

BACKGROUND

Opiates are powerful drugs derived from the poppy plant that have been used for centuries to relieve pain. They include *opium, heroin, morphine, and codeine*. Even centuries after their discovery, opiates are still the most effective pain relievers available to physicians for treating pain. Although heroin has no medicinal use, other opiates, such as morphine and codeine, are used in the treatment of pain related to illnesses (for example, cancer) and medical and dental procedures. When used as directed by a physician, opiates are safe and generally do not produce addiction. But opiates also possess very strong reinforcing properties and can quickly trigger addiction when used improperly.

MECHANISM OF ACTION

Opiates elicit their powerful effects by activating opiate receptors that are widely distributed throughout the brain and body. Once an opiate reaches the brain, it quickly activates the opiate receptors that are found in many brain regions and produces an effect that correlates with the area of the brain involved. Two important effects produced by opiates, such as morphine, are pleasure (or reward) and pain relief. The brain itself also produces substances known as endorphins that activate the opiate receptors. Research indicates that endorphins are involved in many bodily functions including respiration, nausea, vomiting, pain modulation, and hormonal regulation.

When opiates are prescribed by a physician for the treatment of pain and are taken in the prescribed dosage, they are safe and there is little chance of addiction. However, when opiates are abused and taken in excessive doses, addiction can result. Findings from animal research indicate that, like cocaine and other abused drugs, opiates can also activate the brain's reward system. When a person injects, sniffs, or orally ingests heroin (or morphine), the drug travels quickly to the brain through the bloodstream. Once in the brain, the heroin is rapidly converted to morphine, which then activates opiate receptors located throughout the brain, including within

the reward system. (Note: Because of its chemical structure, heroin penetrates the brain more quickly than other opiates, which is probably why many addicts prefer heroin). Within the reward system, the morphine activates opiate receptors in the ventral tegmental area (VTA), nucleus accumbens, and cerebral cortex. Research suggests that stimulation of opiate receptors by morphine results in feelings of reward and activates the pleasure circuit by causing greater amounts of dopamine to be released within the nucleus accumbens. This causes an intense euphoria, or rush, that lasts only briefly and is followed by a few hours of a relaxed, contented state. This excessive release of dopamine and stimulation of the reward system can lead to addiction.

Opiates also act directly on the respiratory center in the brainstem, where they cause a slowdown in activity. This results in a decrease in breathing rate.



Excessive amounts of an opiate, like heroin, can cause the respiratory centers to shut down breathing altogether. When someone overdoses on heroin, it is the action of heroin in the brainstem respiratory centers that can cause the person to stop breathing and die.

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As mentioned earlier, the brain itself produces endorphins that have an important role in the relief or modulation of pain. Sometimes, though, particularly when pain is severe, the brain does not produce enough endorphins to provide pain relief. Fortunately, opiates, such as morphine are very powerful pain relieving medications. When used properly under the care of a physician, opiates can relieve severe pain without causing addiction.

Feelings of pain are produced when specialized nerves are activated by trauma to some part of the body, either through injury or illness. These specialized nerves, which are located throughout the body, carry the pain message to the spinal cord. After reaching the spinal cord, the message is relayed to other neurons, some of which carry it to the brain. Opiates help to relieve pain by acting in both the spinal cord and brain. At the level of the spinal cord, opiates interfere with the transmission of the pain messages between neurons and therefore prevent them from reaching the brain. This blockade of pain messages protects a person from experiencing too much pain. This is known as analgesia.

Opiates also act in the brain to help relieve pain, but the way in which they accomplish this is different than in the spinal cord.

There are several areas in the brain that are involved in interpreting pain messages and in subjective responses to pain. These brain regions are what allow a person to know he or she is experiencing pain and that it is unpleasant. Opiates also act in these brain regions, but they do not block the pain messages themselves. Rather, they change the subjective experience of the pain. This is why a person receiving morphine for pain may say that they still feel the pain but that it doesn't bother them anymore.

Although endorphins are not always adequate to relieve pain, they are very important for survival. If an animal or person is injured and needs to escape a harmful situation, it would be difficult to do so while experiencing severe pain. However, endorphins that are released immediately following an injury can provide enough pain relief to allow escape from a harmful situation. Later, when it is safe, the endorphin levels decrease and intense pain may be felt. This also is important for survival. If the endorphins continued to blunt the pain, it would be easy to ignore an injury and then not seek medical care.

There are several types of opiate receptors, including the delta, mu, and kappa receptors. Each of these three receptors is involved in controlling different brain functions. For example, opiates and endorphins are able to block pain signals by binding to the mu receptor site.

The powerful new technology of cloning has enabled scientists to copy the genes that make each of these receptors. This in turn is allowing researchers to conduct laboratory studies to better understand how opiates act in the brain and, more specifically, how opiates interact with each opiate receptor to produce their effects. This information may eventually lead to more effective treatments for pain and opiate addiction.



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