

Cocaine

Information for Health Professionals

Introduction

Cocaine (“coke”, “blow”, “crack”) is a powerful nervous system stimulant. Like amphetamines (speed) and other stimulant drugs, cocaine increases alertness, decreases appetite and the need for sleep, and produces intense feelings of euphoria and well-being. It is prepared from the leaves of the coca bush, a shrub that grows primarily in the Andean Mountains of Bolivia, Colombia, and Peru. Crack and freebase are potent forms of cocaine that can be smoked.

Native cultures in South America traditionally used cocaine (by chewing the coca leaves) to counteract fatigue from living at high altitudes. In the 1860s, pure cocaine powder (cocaine hydrochloride) was produced from extract of the coca leaf, and by the late 1800s, use of cocaine was widespread in the West. Cocaine was used for its tonic properties as an ingredient in patent medicines and other beverages, such as cocaine wines and Coca-Cola (manufacturers stopped adding cocaine to Coca-Cola in 1903). A number of 19th century scientists and researchers, including Sigmund Freud, believed that refined cocaine held great promise both as an anesthetic and as a treatment for a variety of mental and physical disorders. However, the initial enthusiasm on the part of Freud and other researchers subsided after it was discovered that cocaine had significant addictive properties.

Presently, the only accepted medical use for cocaine is as a surface anesthetic in eyes, ears, nose, and throat surgery. Cocaine continues to be one of the more commonly used “street” drugs. It is of special concern because it is a very toxic drug that many users falsely assume is safe and non-addicting. In actuality, cocaine use produces strong psychological dependence and carries a high risk of severe adverse reactions.

Cocaine preparations

North America is the world’s largest cocaine market. It is usually available as cocaine hydrochloride salt, a white powder that is either snorted directly into the nose or dissolved in water and injected to cause a more rapid and intense high. When sold on the street, it is often “cut” with similar-looking substances such as cornstarch, talcum powder, dextrose, or baby laxatives; with local anesthetics such as procaine and benzocaine; or with other stimulants such as amphetamines. Freebase is cocaine base with the hydrochloride removed. It is prepared by heating a cocaine hydrochloride and alkaline solution with organic solvents. A danger in preparing freebase is that the solvents may remain after the extraction process, and are very flammable and explosive.

Crack cocaine is made by dissolving cocaine hydrochloride, and then mixing and heating it with baking soda or sodium bicarbonate. The mixture forms a solid chunk composed of compounds that include freebase cocaine. It is typically divided into small amounts (between 0.3 and 0.5 g) and sold.

The effect of any drug depends on the amount taken, what the person expects, previous exposure to this and other drugs, the setting or location, the user's mental state and other drugs being used. A common pattern among users in social settings involves sniffing ("snorting") cocaine powder into the nostril that has been finely chopped with a razor blade and arranged into "lines". This may be repeated two or three times per hour for several hours. Usual oral doses range from 100 to 200 mg, intravenous doses from 25 to 200 mg, and smoked doses from 250 to 1000 mg. Very heavy users may sniff or smoke up to 10 g (10,000 mg) per day.

How cocaine works

The effects of cocaine appear soon after a single dose and disappear within a few minutes or hours. Cocaine can be used intranasally, by injecting, or by smoking. Smoking creates a high within seconds, and lasts 5-10 minutes, and smoking crack or freebase produces an even faster effect. Injection can produce a rush within 30-45 seconds that lasts 10-20 minutes. Intranasal use takes longer to take effect (a few minutes) and gives a high that lasts 15-30 minutes. Once absorbed into the blood, cocaine easily enters the brain to exert its psychoactive effects. The duration of action of cocaine is much shorter than that of amphetamine. The half-life of cocaine in plasma is about 50 minutes, as the majority of cocaine is metabolized by the liver and excreted in urine. Typically, users will take a number of doses over a period of several hours. Heavy users go on binges or sprees lasting hours or days, often until their drug supply is gone. Some users take depressant drugs like alcohol, tranquilizers or heroin to modify cocaine's effects, and to stop binges. When users stop taking cocaine, they often feel depressed, anxious and agitated.

Cocaine affects the brain by a mechanism similar to that of amphetamines. Cocaine affects the binding of neurotransmitters to adrenergic receptors, where binding of neurotransmitters (adrenaline, noradrenaline) to these receptors normally initiates the "flight or flight" response of the sympathetic nervous system. Like amphetamines, cocaine is an indirect-acting agonist of these receptors, which results in increased amounts of neurotransmitters available to activate the receptors. However, cocaine enhances neurotransmitter concentrations only by blocking neurotransmitter reuptake, while amphetamines block reuptake and increase neurotransmitter release. Overall, this results in cocaine producing a psychomotor stimulant effect.

Cocaine affects the dopamine pathway by the same mechanism, increasing dopamine levels to reinforce the dopamine reward system. Excess dopamine, in particular, is believed to cause the majority of effects including euphoria, self-confidence, hallucinations and aggressive behavior. Repetitive use can deplete dopamine and other neurotransmitter stores and result in both an intense craving for the drug, as well as lethargy and anhedonia.

Cocaine exerts its local anesthetic effect by blocking sodium channels in neurons. Cocaine acts to inhibit neurons that are firing, allowing it to potentiate its anesthetic effects.

When cocaine is taken, blood vessels constrict, causing the amount of oxygen in the heart to decrease. At the same time, cocaine causes the heart muscles to pump harder and raises blood pressure. This can cause irregular heart rhythm, as well as heart attacks, seizures, and strokes.

Short-term effects

Effects of cocaine include an intense feeling of euphoria and well-being. Other desired effects can include alertness, decreased appetite and need for sleep, and a feeling of enhanced energy. Some users report feeling contemplative and rapturous. Larger doses may intensify the “high”.

Less desired effects can also occur, particularly at higher doses. This includes severe agitation, violent behaviours, paranoid thoughts, depression, impotence, delirium and fainting. The physical effects of cocaine include rapid heart rate and breathing, and increased blood pressure and temperature. Undesirable physical effects are more common with larger doses and include nausea, vomiting, chest pain, tremors, seizures, and abnormal heart rhythms.

Respiratory arrest is a common cause of death from cocaine overdose. It is more likely to occur if a depressant drug such as heroin has also been taken. Death can also result from events as varied as abnormal rhythms of the heart, ruptured blood vessels, and very high body temperature.

The lethal dose of cocaine is not known, but is probably quite variable. Death has resulted from doses as low as 30 mg snorted and 20 mg injected, yet users have survived doses of several grams (several thousand milligrams). Virtually no dose, no matter how small, can be guaranteed safe. Impurities in street cocaine can also produce fatal allergic reactions.

Long-term effects

Headaches and seizures are common complications of cocaine use. Studies have indicated that chronic, heavy cocaine use probably causes some brain damage, but the extent of damage and the amount of impairment is not known. Restricted blood flow to the brain may account for reports of lasting problems with attention, memory and mental flexibility (the ability to shift from one task demand to another). The depletion of dopamine stores can produce lethargy, anhedonia, and poor muscle movement. Some long-term cocaine abusers display disinhibition, or trouble inhibiting appropriate behaviours.

Ironically, while depression is a major symptom of cocaine withdrawal, some users take cocaine to treat pre-existing depression. Regular cocaine users are often restless, extremely excitable, suspicious or paranoid. They may suffer from insomnia, and eventually may experience hallucinations and delusions. This condition is similar to amphetamine psychosis and paranoid schizophrenia.

In addition to the physical effects seen with short-term use, heavy use can cause mood swings, weight loss, constipation, impotence and difficulty urinating. Chronic use of cocaine can cause heart attacks, strokes, abnormal heart rhythms, and other heart muscle abnormalities. Thus, cocaine should be viewed as a direct cardiotoxin. Strokes can occur in young people and first-time users as well as chronic abusers.

Cocaine also depresses the immune system, which may result in users having more infections. In addition, cocaine use can cause allergic reactions, serious stomach and intestinal complications, liver damage, and muscle wasting.

Chronic cocaine sniffing can cause stuffy, runny and bloody noses, and perforation of the nasal septum that divides the two nasal passages. Smoking cocaine damages the lungs and throat; heavy smokers may cough up blood or black phlegm. Additionally, users who inject cocaine and share needles risk getting hepatitis and HIV, a virus that causes AIDS. Sexually transmitted diseases, including syphilis and HIV, can also be spread during the exchange of sex for drugs.

Cocaine and pregnancy

Cocaine use increases complications during pregnancy, such as spontaneous abortions, reduced uterine blood flow, and premature birth. Newborns who were exposed to cocaine in the womb may have reduced weight and head size, blocked blood vessels in the brain, and other physical problems consistent with fetal malformations. They are often irritable, have sleep and feeding problems, and may suffer lasting developmental and neurological problems. Cocaine is also secreted into milk. Consequently, infants breastfed by cocaine-using mothers can experience increased heart rate, rapid breathing, extreme irritability, seizures, and are at risk of sudden death.

Tolerance and dependence

Instant addiction to cocaine does not occur. Recent studies have shown that the majority of people who try cocaine never become addicted. Users who progress from intranasal use to smoking or injecting run a much greater risk of becoming addicted. For those who become addicted, cocaine is a particularly difficult drug to stop using. Intense craving for the drug occurs for many months, and frequently leads to a return to drug use.

When smoked or injected, cocaine reaches the brain very rapidly and produces a brief but dramatic “rush” that is intensely pleasurable. It is followed, however, by an extreme low (“crash”). This sequence can generate an intense drive to use more of the drug, causing a user to quickly progress from a phase of experimentation to dependence.

Chronic users develop tolerance to the euphoria and other psychological effects, and require larger doses to achieve the same effect. However, taking larger doses results in increased sensitivity to cocaine’s adverse effects, including anxiety, depression, seizures and psychosis.

Withdrawal and treatment

Withdrawal from cocaine causes few physical effects, but the psychological effects, including loss of pleasure, disturbed sleep, irritability, depression and low energy, are severe. Three phases of withdrawal have been described. Phase one, the “crash,” follows the end of the binge and lasts for up to four days. In this phase, the user would have a very low energy and mood, may sleep for several days, and may eat large amounts of food. During phase two of withdrawal, which lasts for two to 12 weeks, the user would

feel intense boredom and minimal pleasure from life. This can lead to severe craving, resumption of cocaine use, and cycles of recurrent binges. Phase three, “extinction,” gradually follows if no drug is taken for many months. Normal function returns and eventually craving decreases, or at least is not associated with a relapse to drug use.

Behavioural therapies such as Cognitive Behavioural Therapy (CBT), as well as pharmaceutical therapy, may be used to treat a cocaine addiction or cocaine withdrawal symptoms. If an overdose occurs, supportive treatment depends on the symptoms present. Currently, there are no specific medications that can reverse a cocaine overdose.

Who uses cocaine?

According to 2015 Canadian survey data, 0.8% of adults and 3.5% of youth age 15-24 have used cocaine in the past year. Annual prevalence among the general Canadian population is around 1.1%; this compares to a global use rate of 0.38%.

A Health Canada study that examined drug use among high-risk populations found that cocaine was the second most commonly used substance among adult recreational drug users and those without housing.

Cocaine and the law

Under Canada’s Controlled Drugs and Substances Act, cocaine is classified as a Schedule I drug. The penalty for possession of cocaine is up to seven years imprisonment. For less serious charges tried by summary conviction, the penalty for a first offence is a fine of up to \$1,000 and/or six months imprisonment, which increases to a fine of up to \$2,000 and/or one year imprisonment for each subsequent offence. Producing, trafficking, importing and exporting cocaine are indictable offences punishable by up to life imprisonment. In 2015, cocaine-related drug offences were the second most common types of crime in Canada.

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For more information about this document, contact Knowledge Exchange, Provincial Addiction and Mental Health, Alberta Health Services at researchpartnership@ahs.ca.

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