How much stimulation do you need?

What are stimulants?

Also called “uppers”, these drugs activate body and mind by stimulation of the brain. They reduce tiredness while increasing alertness, competitiveness and aggressiveness. In sports, they enhance explosive power and endurance performance, since the athlete can exercise more strenuously and feels less pain. Most athletes take stimulants on the day of a competition, but they may also be used to undergo more intense training. Stimulants are especially dangerous in contact sports because they increase an athlete’s aggression towards other competitors or officials. As relatively high doses are needed to reduce fatigue, performance may be reduced by side effects.

![Chemical structure of stimulants](image)

Fig 1: Chemical structure of amphetamine, ephedrine and cocaine

The stimulants include several different groups of substances which are described below. This class of drugs accounted for 0.35 % of positive samples collected in WADA-accredited laboratories worldwide in 2003.

Caffeine, a mild stimulant, is found in tea, coffee and cola as well as in cold preparations and pain relief treatments. It reduces fatigue and increases concentration, alertness, heart rate and output, metabolic rate and urine production. High doses can cause anxiety, insomnia and nervousness. Caffeine was removed from the list of prohibited substances in 2004 and is now only monitored.

Amphetamine

Amphetamine was synthesised for the first time in 1920 and was used to reduce fatigue and increase alertness during World War II. Since then, many derivatives have been developed,
such as methamphetamine, dimethamphetamine or methylendioxymethamphetamine (MDMA, “ecstasy”), they are all forbidden in sports.

Amphetamine is removed from the body by filtration in the kidneys. After a single dose, amphetamine can be detected in the first urine void and for at least 48 hours afterwards. Acidification of the urine enhances excretion and is used in the treatment of amphetamine overdose.

**Amphetamine effects**

Subjects taking amphetamine feel confident, efficient, ambitious and eat less. They report increased physical energy and mental aptitude as well as restlessness, excitement and good humour.

Many countries prohibit amphetamine use because of adverse effects. The list is in fact long: anxiety, indifference, slowness in reasoning, irresponsible behaviour, irritability, insomnia, confusion, delirium, dry mouth, sweating, muscle and joint pain as well as hypertension, rapid breathing and heartbeat, tremors. Chronic abuse may damage the heart muscle and retard growth in adolescents. In most cases, low doses induce personality changes that are reversed gradually after the drug is withdrawn. However, high doses over a long time may lead to persistent changes, paranoid delusions and tactile hallucinations called *amphetamine psychosis*.

Users develop rapidly tolerance to many of the effects of the amphetamines. Tolerance means that increasingly higher doses of a drug are required to maintain the same response. Amphetamines induce drug dependence and the addict may become psychotic, aggressive and anti-social. Withdrawal of amphetamines causes mental and physical depression.

**Amphetamines in sport**

In sports, amphetamines are used to enhance endurance, sharpen reflexes and reduce tiredness. They might improve reaction time when fatigued, increase muscular strength endurance and acceleration as well as aerobic endurance capacity and reduce body fat. They may well enhance performance by an additional mental stimulant effect. The desired effect depends on the dosage: aggressiveness increases at high dosages, when alertness is stimulated by lower quantities.

The health risks are considerable and athletes have died as a result of their amphetamine misuse. Amphetamine-induced heatstroke and cardiac arrest during arduous effort are well-known. The increase in blood pressure and constriction of peripheral vessels combined with physical activity hampers cooling. When the body overheats, it dehydrates, the blood circulation decreases, and the heart and other organs cannot work normally.
In addition, amphetamines obscure pain so that players may continue to compete and exacerbate their injuries. Due to the euphoric effects on behaviour, amphetamines administered to promote aggression and weaken fatigue may lead to misjudgements and major fouls on the pitch.

All amphetamines are banned by WADA and IOC, they belong to the S6 category of the prohibited substances in competition. Laboratory analysis verifies the presence of the substance in the urine, which is a severe doping offence.

Cocaine

Cocaine accounts for about on third of all positive doping samples in football. It is the most potent stimulant of natural origin and primarily obtained from the leaves of the South American coca plant. Incas used to chew coca leaves to combat tiredness.

For many years, Cocaine was used as a medication. In former times, cocaine was used as a local anaesthetic in surgery because it is both anaesthetic and limits bleeding by constricting vessels. Today, many of its therapeutic applications are obsolete since doctors now have safer drugs at their disposal.

The misuse of cocaine is linked to its euphoric effects and a feeling of decreased fatigue. As a recreational drug, the most popular route of administration is snorting, where a powder is inhaled and absorbed into the blood through the nasal tissues. Crack is cocaine that has been processed to a free base for smoking that is rapidly absorbed into the blood. When injected, the needle releases the drug directly into the bloodstream. Each of these methods poses great risks to the user.

Cocaine effects

Cocaine is a strong stimulant and probably the most addictive agent known. The duration of its immediate euphoric effects of hyper-stimulation, reduced fatigue and mental clarity depends on the route of administration. The faster the absorption, the more intense the effects and the shorter their duration: After snorting, effects are maximal after five to 15 minutes and may last from 30 minutes up to one hour. After smoking, the peak effect is reached in less than one minute, but the effects last only five to ten minutes. Intense use reduces the time a user feels high and increases the risk of addiction.

Cocaine users usually feel an initial “rush” or sense of well-being, of having more energy and being more alert. This effect quickly wears off, often leaving the user feeling more “down” or depressed than before. This “down” feeling leads to use of more cocaine, sometimes just to feel “normal”. With time, the amount and the frequency of cocaine use gradually increase.
Classic physical effects of cocaine include constricted blood vessels, dilated pupils and increased temperature, heart rate and blood pressure. The increasingly higher doses may lead to a state of irritability, restlessness, anxiety and paranoia. Other complications are irregular heart beat, chest pain, heart attacks, respiratory failure, abdominal pain and nausea. Cocaine abuse is strongly associated with headaches, seizures and strokes arising from rupture or spasm of cerebral vessels. Additionally, the method of use poses specific risks: Regular snorting can lead to loss of sense of smell, nosebleeds, problems with swallowing, hoarseness, and a permanent runny nose. Ingesting cocaine can cause severe bowel damage. Injecting cocaine can trigger severe allergic reactions and carries the risk of HIV and other blood borne diseases.

**Cocaine in sport**

Contrary to popular belief, cocaine does not really enhance performance, whether on the job, in sport, at school or during sex. In reality, long-term use can lead to loss of energy, concentration and memory, irritability, paranoia, anxiety and decreased sexual desire. The controlling effect cocaine has on can lead an addict to exclude all other facets of life.

Cocaine has no beneficial effect on running times and actually reduces endurance performance. In some sports disciplines, like sprinting, cocaine is likely to increase heat and lactate formation, which, coupled with constriction of vessels, could lead to lethal cardiac damage. A number of dramatic fatalities associated with occlusion of heart vessels have occurred in athletes, usually those who exercised intensely following cocaine intake.

Many sportsmen who abuse cocaine complain of perceptual misjudgements and time disorientation that sometimes reduces their performance. Furthermore, addicts frequently turn to other drugs to relieve the “down” feeling induced by cocaine. Such combined use can prove even more deadly. Fatalities have occurred when cocaine was jointly used with anabolic steroids. Combined abuse of alcohol and cocaine is extremely toxic to the heart, increasing the risk of sudden death by heart or respiratory arrest.

Cocaine is banned by both WADA and the IOC. It is part of category S6 of the prohibited substances in competition and the presence of cocaine and/or its metabolites in urine constitutes a severe doping offence.

**Ephedrines**

Ephedra alkaloids are naturally occurring stimulants obtained from ephedra plant species. Purified forms of these substances include ephedrine, pseudo-, nor-, methyl-, norpseudo- and methylpseudoephedrine.

Ephedrine is likely to be misused for its stimulant effect. It could also be ingested inadvertently because of its wide availability in over-the-counter medications as a decongestant.
It can also be found in numerous nutritional supplements to increase energy and facilitate weight loss. Pseudoephedrine is also found in many prescription and over-the-counter preparations used for treating respiratory infections or allergies. Phenylpropanolamine is a synthetic compound similar in effect which has recently been removed from the market because of a suspected increase of strokes in women.

**Ephedrines effect**

Historically, ephedra alkaloids have been used for both asthma and allergies for more than 5,000 years. Ephedrine increases heart rate and cardiac output, but also constricts peripheral vessels which can lead to a sustained rise in blood pressure. It relaxes the bronchial smooth muscle which explains its favourable effect in asthma. It is closely related to metamphetamine, but its central actions are much less potent and longer-acting.

Common side-effects of ephedrine are similar to those of amphetamines, but are generally milder: headache, dizziness, irritability, anxiety, tremor and psychosis. An overdose can cause restlessness, insomnia, rapid pulse, sweating, respiratory difficulties, confusion, hallucinations, delirium and convulsions. A number of psychoses, clinically similar to amphetamine psychosis, have resulted from chronic high-dose abuse. There are serious safety concerns regarding food supplements containing ephedra alkaloids. Supplements are not considered therapeutic and are not held to the same level of rigor in claiming efficacy and safety as that required of medications. Since 1994, an increased number of adverse events have been documented, including hypertension, arrhythmia, heart attack, seizure, strokes and death. The joint use of ephedrine and caffeine can augment the adverse effects on the brain, heart and vessels.

**Ephedrine in sport**

Ephedra alkaloids are thought to potentially enhance performance, lending an unfair advantage to a user. Research has shown that the isolated use of ephedrine, pseudoephedrine and phenylpropanolamine at typical dosages has only an inconsistent, and probably insignificant, ergogenic benefit for power, endurance, strength and speed. Combined with vitamins, minerals or caffeine, more potent ergogenic effects are possible, like longer time to exhaustion and lower rating of perceived exhaustion when ephedrine was combined with caffeine. Many players use food supplements containing ephedra alkaloids because of a perceived increase in energy, metabolism, fat loss and muscle strength. Therefore, continued education of players, coaches and trainers regarding the health risks associated with these supplements is vital.
Ephedrine is excreted largely unchanged in urine. The medical use of ephedrine is tolerated by WADA and the IOC at therapeutic levels. Urine concentrations of greater than the threshold of 10 µg/ml are considered positive. Ephedrine is a category S6 prohibited substance.