



## USE OF ORGANIC ENTITIES AS CENTRAL NERVOUS SYSTEM STIMULANTS BY SPORTS PERSON

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**Abstract:** The present article focuses on the chemistry, actions and side effects of organic entities such as Amphetamine, Cocaine, Caffeine and Ephedrine used as Central Nervous System (CNS) stimulants by sports persons.

**Keywords:** CNS stimulants, Amphetamine, Cocaine, Caffeine, Ephedrine

### Introduction:

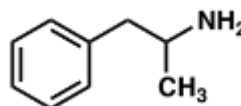
**Central Nervous System Stimulants:** CNS stimulants<sup>1</sup> are a group of drugs which produce an increase in behavioral activity i.e. mental and motor activity when administered. CNS stimulants are used to treat attention deficit hyperactivity disorder (ADHD) and narcolepsy. They may be used to reduce tiredness and increase alertness, competitiveness and aggression.

Central Nervous System stimulants were originally used by athletes to improve performance on the day of competition. Although, there was evidence that these drugs might be linked with sudden collapse or death, usually from cardiac or respiratory arrest, particularly during competition, the long term side effects of addiction and physiological damage to the body were regarded as minor or were not mentioned<sup>2-3</sup>.

**Classification:** The class of stimulants includes

- Psychomotor stimulants : Example- Amphetamines, Cocaine, Caffeine
- Sympathomimetics : Example- Ephedrine

**Amphetamine (C<sub>9</sub>H<sub>13</sub>N):** Amphetamine is a stimulant used in the treatment of attention deficit hyperactivity disorder (ADHD), narcolepsy and obesity. Amphetamines are controlled substances under general drugs legislation, although they have been prescribed as appetite suppressants and for the treatment of narcolepsy. They are known to produce dependence, often in increasing doses.



### Amphetamine

Athletes are likely to use amphetamines<sup>4</sup> to sharpen reflexes and reduce tiredness. However, athletes have died as a result of amphetamine misuse, since the increase in blood pressure combined with increased physical activity and peripheral vasoconstriction makes it difficult for the body to cool down. If the body overheats, it dehydrates and blood circulation decreases, and the heart and other organs are unable to work normally. Amphetamine was prescribed unsuccessfully as a nasal decongestant, antidepressant, and appetite suppressant, but soon appeared to be a powerful CNS stimulant. It acts primarily by enhancing the brain activity of noradrenaline and dopamine, intensifying psychological sensations of alertness, concentration, and self confidence.

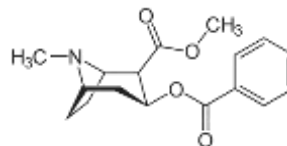
**Actions and effects<sup>5</sup>:** The positive effects of amphetamine include an increase in physical energy, mental aptitude, talkativeness, restlessness, excitement, and good humour. Sportsman taking amphetamine also report that they feel confident, efficient, ambitious and that their food intake is reduced. Some negative effects of amphetamine (that can be dose dependent) are anxiety, indifference, and slowness in reasoning, irresponsible behavior.

**Action:** The action of amphetamine on sporting performance was first investigated in 1959. It has since been concluded that amphetamines enhanced anaerobic performance while having little or no effect on aerobic performance. Amphetamines may enhance sports performance from a supplemental mental stimulant effect as well as the effects on physical power derived from all three human energy systems, the ATP-CP, lactic acid, and oxygen energy systems. Depending on the type of effect or effort the athlete has to do, the dosage might be important for the user. Aggressiveness seems to increase with high dosage, whereas alertness is stimulated by lower doses. All amphetamines are banned by the World Anti-Doping Agency (WADA) and International Olympic Committee (IOC) codes. The presence of amphetamine in urine can be described as a severe doping offence because amphetamines are no longer used therapeutically. Many countries prohibit its use because of the adverse effects. Amphetamines are part of the category S6 of the prohibited substances in competition.

**Side effects of amphetamine in relation to sport:** Side effects of amphetamine, besides headaches, sleeplessness and anxiety, are particularly important to athletes. Indeed, amphetamine use may carry significant health risks for the sportsperson as evidenced by several amphetamine-linked deaths in sport. Two of the major risks are amphetamine induced heatstroke and cardiac arrest, which have resulted in several fatalities among cyclists during arduous effort. Amphetamines obscure pain from injuries and have enabled athletes in some sports to continue to compete and thus exacerbated their injuries. The side effects of amphetamine with regard to behavior also are important in sport. The euphoriant effects of amphetamine taken to promote aggression and lower fatigue has led in misjudgments and major fouls on the pitch.

**Cocaine (C<sub>17</sub>H<sub>21</sub>NO<sub>4</sub>):** Cocaine<sup>6-7</sup> is the most potent CNS stimulant of natural origin. As opposed to amphetamines, which are pure synthetic compounds, cocaine is primarily obtained from Coca species and its notoriety

belies the fact that the drug has been used as a stimulant for thousands of years. It was also one of the original ingredients of Coca-Cola until it was removed in 1903.



### Cocaine

Its potential for use as a recreational drug emphasizes the lifestyle pressures faced by some athletes. In some disciplinary sports, such as sprinting, cocaine is likely to increase production of heat and lactic acid, which, coupled with vasoconstriction, could contribute to fatal cardiac arrest. Cocaine can be snorted, smoked, or injected.

**Cocaine in sport:** Despite the popular myth, cocaine does not really enhance performance, whether in the job, in sports, at school, or during sex. On the contrary, long term use can lead to loss of concentration, irritability and loss of memory, paranoia, loss of energy, anxiety, and a loss of interest in sex. In particular, several studies have shown that cocaine has no beneficial effect on running times and reduces endurance performance. Furthermore, at all doses, cocaine significantly increases glycogen degradation while increasing plasma lactate concentration without producing consistent changes in plasma catecholamine levels<sup>8</sup>. Nevertheless, despite these apparently detrimental effects, cocaine continues to be misused in sport. It may be that cocaine only affects activities of short duration requiring a burst of high intensity energy output. It is possible that the central nervous stimulatory effect may be more important than its action on peripheral metabolism. It has been suggested that athletes are drawn to cocaine because of the effects of heightened arousal and increased alertness, achieved principally at low doses. Federal regulations for cocaine were introduced in December 1914. This act banned non-medical use of cocaine, prohibited its importation and selling. Cocaine is banned by both WADA and IOC, including its use as a local anesthetic. Like

amphetamines, it comes under category S6 of the prohibited substances in competition. The presence of cocaine and/or its metabolites (benzoylecgonine and methylecgonine) in urine can be described as severe doping offence.

**Side effects of cocaine in relation to sport:**

A number of dramatic fatalities associated with coronary occlusion have occurred in athletes misusing cocaine, usually those who have been exercising intensely following drug administration. Many sportspeople who misuse cocaine complain of negative central effects such as perceptual misjudgments and time disorientation that sometime reduce their athletic performance. Furthermore, cocaine addicts frequently turn to other drugs to relieve the down feeling when more cocaine is not available. When used together, these drugs and cocaine can prove even more deadly than when used alone. Some fatalities have also occurred when cocaine misuse has been mixed with alcohol or anabolic steroids. The joint misuse of alcohol and cocaine is extremely cardiotoxic. These practices increase the risk of sudden death by cardiac arrest or seizures followed by respiratory arrest.<sup>9</sup>

**Caffeine (C<sub>8</sub>H<sub>10</sub>N<sub>4</sub>O<sub>2</sub>)<sup>10</sup>** : Caffeine is a stimulant and it is believed to work by blocking adenosine (C<sub>10</sub>H<sub>13</sub>N<sub>5</sub>O<sub>4</sub>) receptors in the brain and other organs.



**Caffeine**

Caffeine is the pharmacologically active substance found in tea, coffee and cola. The amount of caffeine present varies according to the type of drink and the way it has been prepared. Caffeine may also be a constituent of some common medicines such as cold preparations and pain relief treatments, usually in quantities of less than 100 mg per dose. Caffeine produces mild CNS stimulation, reducing fatigue and increasing concentration and alertness.

**Caffeine in sports<sup>11</sup>:** Caffeine has multiple beneficial effects on the physical and skill

activities required in an intermittent high-intensity team sport. Athletes who use caffeine before exercising or competition may be helping themselves more than they think. Caffeine has the same effects that amphetamines and cocaine have, just in a lesser degree. Studies have shown that caffeine can help an athlete perform better in a variety of different activities. It has been shown to be a powerful ergogenic aid that is beneficial in athletic performance and training.

Caffeine could improve endurance performance by elevating plasma free fatty acids, thereby sparing muscle glycogen. Caffeine has been shown to increase speed and power output, improve the length an athlete can train, and assist the athlete in resisting fatigue. Caffeine has also been proven to stimulate the brain which contributes to an athlete's clearer thinking and ability to concentrate harder on the task at hand. Studies have shown that up to 25% of athlete's ages 11-18 years old have used caffeine in effort to increase their athletic performances. Caffeine influences several processes in the CNS to reduce fatigue with repeated sprint and permit a higher level of motor drive and motor skills throughout games. Because of caffeine's effect on the body and its ability to increase an athlete's performance Olympic Committees have debated on whether caffeine should be tested before the Olympic Games.

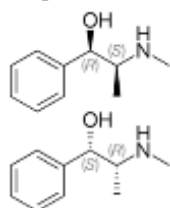
**Side effects of Caffeine in relation to sport<sup>12-13</sup>:**

Caffeine can increase heart rate during exercise and increases heart rate and blood pressure in mildly hypertensive individuals. Although the full range of effects of caffeine on the cardiovascular system in response to exercise has not been fully characterized, the effects on heart rate and blood pressure would be detrimental to an athlete. Caffeine has a diuretic effect, which means that it causes the kidneys to remove extra fluid from the body into the urine, leaving less fluid in the body; under normal condition urine output is increased. However, during exercise, caffeine did not increase diuresis. High doses can cause anxiety, insomnia and nervousness. The diuretic effect combined with sweating that

takes place during exercise can lead to dehydration if only energy drinks are used during long periods of exercise. Caffeine has a negative effect on endurance events because of increased loss of calcium, which may lead to decreased muscle contraction, and loss of magnesium that may lead to muscle cramping. Caffeine prolongs wakefulness and causes disturbances in sleep patterns. Such actions during training or prior to competition may have a negative impact on athletic performance. Caffeine can cause anxiety and panic disorder. Caffeine through its actions on adenosine receptors may decrease the body's production of erythropoietin, the hormone that signals for production of red blood cells thus decreasing the body's ability to carry oxygen.

**Ephedrine (C<sub>10</sub>H<sub>15</sub>NO)<sup>14</sup>:** Ephedrine, is nowadays produced by chemical synthesis but its CNS actions are much less potent but longer acting than those of the amphetamines.

**Ephedrine in sport<sup>15</sup>:** With their stimulant properties and sympathomimetic actions, ephedra alkaloids have been perceived as products that can potentially be used to enhance athletic performance<sup>16</sup> and lending unfair advantages to athletes, even if used in supplement forms. Research has shown that the isolated use of ephedrine, pseudoephedrine and phenylpropanolamine alone at usual dosages has an inconsistent, and probably insignificant, ergogenic benefit for power, endurance, strength, or speed.



### Ephedrine

Other studies looking at the use of ephedrine combined with vitamins, minerals, or caffeine have supported potential ergogenic effects. Indeed, many athletes use food supplements containing ephedra alkaloids because of perceived benefits of increased energy, decreased time to exhaustion and potential thermogenic properties with increased metabolism,

increased fat loss, and improved muscle strength. In particular, a series of studies evaluated the effects of ephedrine in combination with caffeine showing an increased time to exhaustion and decreased rating of perceived exhaustion on cycle ergometry compared with either drug alone or placebo. The medical use of ephedrine is tolerated by WADA and IOC at therapeutic levels.

**Side effects of ephedrine in relation to sport<sup>17</sup>:** Ephedrine appears to be an effective CNS stimulant with thermogenic and lipolytic effects. But some of the minor side effects associated with ephedrine include tremors, palpitations, headache, restlessness, anxiety, and insomnia. Ephedrine can increase heart rate, contractility, cardiac output, and peripheral resistance. Increases in both heart rate and blood pressure are common observations after ephedrine ingestion.

**Conclusion:** Taking high doses of some CNS stimulants can result in an irregular heartbeat, dangerously high body temperatures, and/or the potential for cardiovascular failure or seizures. Similarly, repeated usage of these over a short period of time can lead to hostility or feelings of paranoia in some individuals. The key to performance is a healthy diet and a well-developed training program; there is no "quick fix" or "shortcut to success." As allied health professionals, athletic trainers must be able to educate athletes on these issues so they continue to perform at optimal levels in a safe and healthy manner. Continued evaluation of the use of these stimulants is necessary, as is continued education of athletes, parents, coaches, and trainers regarding the health risks associated with them and corresponding supplements.

### References:

1. **Mottram, D. R.**, Drugs in Sport, Second edition, Liverpool John Moores University Liverpool, UK, Taylor & Francis e-Library, 2002, 86.
2. **George, A.J.**, Central nervous system stimulants, Best Pract. Res. Clin. Endocrinol Metab, 2000, 14, 79.
3. **Bohn, A.M., Khodae, M., Schwenk, T.L.** Ephedrine and other stimulants as

ergogenic aids. *Curr. Sports Med Rep*, 2003, 2, 220.

4. **Rothman, R. B., Baumann, M. H., Dersh, C. M., Romero, D.V., RICE, K.C., Carroll, F. I, and John, P. S.**, *Synapse*, 2001, 39, 32.

5. **Logan, B.K.**, *Forens. Sci. Rev* 2002,14(1/2),133.

6. **Avois, L., Robinson, N., Saudan, C., Baume, N., Mangin, P., and Saugy, M.** Central nervous system stimulants and sport practice. *Br. J. Sports Med*, 2006, 40, 1, i16.

7. **Kloner, R. A. and Rezkalla, S. H.** Cocaine and the heart. *N Engl J Med*, 2003, 348, 487.

8. **Braiden, R. W., Fellingham, G. W., & Conlee, R. K.** *Med Sci. Sports Exerc.*, 1994, 26, 695-700.

9. **Davis, E., Loiacono, R., and Summers, R. J.** *Br. J. Pharmacol.*, 2008, 154, 584.

10. **Louise, B., Ben, D., Lawrence, S.**, Caffeine for Sports Performance, e-Book, 2013.

11. **L.M. Burke.** *Appl. Physiol. Nutr. Metab.* 2008, 33, 1319.

12. **Armstrong, L.E.** *Int. J. Sport Nutr. Exerc. Metab.* 2002, 12, 189.

13. **Armstrong, L.E., Pumerantz, A.C., Roti, M.W., Judelson, D. A., Watson, G., Dias, J.C.**, et al. *Int. J. Sport Nutr. Exerc. Metab.* 2005, 15, 252.

14. **Debasis Bagchi; Harry G. Preuss**, eds. Obesity epidemiology, pathophysiology, and prevention (2nd ed.). Boca Raton, Florida: CRC Press. 2013, p. 692.

15. **Michael E. Powers, J.** *Athl. Train.* 2001, 36(4), 420.

16. **Magkos F, Kavouras SA.** *Sports Med.* 2004, 34(13), 871.

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