Caffeine As an Ergogenic Aid

Brian D. Keisler, MD, and Thomas D. Armsey II, MD

Corresponding author
Brian D. Keisler, MD
Department of Family and Sports Medicine, University of South Carolina, 3209 Colonial Drive, Columbia, SC 29223, USA.
E-mail: brian.keisler@sc.edu

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Caffeine is a naturally occurring substance that is widely consumed in a variety of forms. It produces multiple physiologic effects throughout the body. It is thought that this is mediated mainly through action at centrally located adenosine receptors. Caffeine has been studied for its potential use as an ergogenic aid. Several studies have demonstrated an improvement in exercise performance in submaximal endurance activities. Its potential ergogenic effect in acute, high-intensity exercise is less clear. Because of its potential use as an ergogenic aid, it use in sports is regulated by most sanctioning bodies.

Introduction

Caffeine is a naturally occurring plant alkaloid [1]. It is classified as a methylxanthine. Other examples of methylxanthines include theophylline and theobromine [2]. It is found in over 60 different plant species including *Caffea arabica* (coffee), *Thea sinenis* (tea), and *Cola acuminate* (cola) [3•]. Caffeine is a stimulant and is classified as a drug because it does not have any nutritional value [4].

Prevalence

Caffeine is consumed in a variety of forms, including coffee, soft drinks, and chocolate. It is also found in a variety of over the counter stimulants, appetite suppressants, analgesics, and cold and sinus preparations [2]. More recently, caffeine has been included in different forms of bottled water [5]. In fact, caffeine is the world's most commonly used and widely consumed pharmacologic substance. Approximately 75% of caffeine is consumed in the form of coffee. In terms of international commerce, coffee is second only to oil in dollar amount traded. A significant portion of that consumption occurs here in the United States. The US imports approximately 30% of the world's coffee and Americans consume about 45 million pounds of coffee annually [6,7]. Consumption of caffeine is actually higher in the United Kingdom and Scandinavian countries (400 mg/person/d compared with 238 mg/person/d in the United States) [8].

History

Caffeine has been consumed in the form of coffee since around 850 AD when its use was popularized in Egypt [7]. Caffeine has long held interest as a potential ergogenic aid. In fact, the fatigue-masking effects of caffeine have been known since the early 1900s. Research in the late 1970s indicating improved exercise performance with caffeine popularized its use as a potential ergogenic aid [9].

Physiology of Caffeine

Caffeine's physiologic effects on the body have been well studied. Caffeine is metabolized through the liver via the cytochrome P450 enzyme system. It is rapidly absorbed through the gastrointestinal tract, with approximately 90% cleared from the stomach within 20 minutes. Peak plasma concentrations of caffeine are achieved in 40 to 60 minutes [10]. Its half-life is approximately 3 to 5 hours [11]. Caffeine is lipophilic and readily crosses most bodily membranes. It crosses to blood-brain barrier (BBB) and also crosses the placenta [7].

Caffeine has been theorized to exert its effects through fat oxidation, central nervous system (CNS) stimulation, and direct action at skeletal muscle [12]. There are several purported mechanisms for these effects including antagonism of adenosine receptors, inhibition of cyclic AMP, phosphodiesterase activity, increased calcium mobilization, and antagonism of benzodiazepine receptors [2,3•]. Caffeine has been reported to increase lipolysis throughout the body with a resultant increase in plasma free fatty acid levels. It is thought that by having increased levels of fatty acids available for use by the body, muscle glycogen can be spared [3•,13].

Current research suggests that the main mechanism responsible for the physiologic effects of caffeine is blockade of CNS adenosine receptors. Because it easily crosses the BBB, caffeine can readily have an effect on the CNS. The dosage of caffeine required to block adenosine receptors is much less than that required for caffeine to perform most of the other theorized physiologic mechanisms. A study using laboratory animals compared the results of centrally administered caffeine (an adenosine antagonist) with 5'-N-ethylcarboxaminoadenosine (NECA), an adenosine agonist. Caffeine improved run time to fatigue whereas NECA reduced it. Administration of caffeine peripherally failed to produce the same effect [14••].

Adenosine is a modulator of CNS neurotransmission [8]. It is a potent vasodilator. It also decreases catecholamine release and inhibits lipolysis. Caffeine nonselectively blocks adenosine receptors, thus competitively inhibiting the action of adenosine [7,15]. Upregulation of these receptors occurs with regular consumption of caffeine [16].

Caffeine produces its effect on various systems throughout the body. In the cardiovascular system, caffeine acts to increase heart rate and blood pressure [3•]. There is a positive relationship with caffeine consumption (in the form of coffee intake) and elevated systolic blood pressure. The extent of blood pressure elevation appears to depend on the individual's baseline blood pressure. Studies have shown that individuals with diagnosed hypertension have a greater increase in blood pressure in response to caffeine than do normotensive individuals [5]. These effects are additive to that of other pressor agents, including cigarette smoking and psychologic stress [10]. Caffeine may also increase the incidence of premature ventricular contractions (PVCs) [2].

Although these hemodynamic effects are similar despite sex, the underlying mechanism appears to differ slightly when comparing men and women. Men given caffeine show an increase in vascular resistance with no effect on cardiac output. However, women given similar amounts of caffeine show no difference in vascular resistance. There is an increase in stroke volume, which results in an increased cardiac output, which accounts for the hemodynamic changes that are seen. There is speculation that this effect may be due to estrogen effects, but has not been proven [6]. In the respiratory system, caffeine produces bronchial dilation and relaxation of pulmonary smooth muscle [3•]. It also increases respiratory rate. In neonates, caffeine is used as a respiratory stimulant to prevent apneic episodes [7]. Interestingly, caffeine ingestion potentiates the slowed reaction time that is induced by alcohol consumption. Therefore, the popularly held belief that coffee is an "antidote" for alcohol intoxication is false [7].

Ergogenic Potential of Caffeine

Beneficial effects

Most of the beneficial effects of caffeine have been shown in relation to alertness and neurocognitive performance, particularly in periods of sleep deprivation. Caffeine has also been implicated with having an analgesic as well as antinociceptive effect [3•].

Specific studies

Several studies have been conducted looking at caffeine as an ergogenic aid. As mentioned previously, those looking at caffeine use during periods of sleep deprivation have shown a benefit to caffeine administration in terms of alertness and neurocognitive performance. Time to fall asleep on the multiple sleep latency test (MSLT) following periods of sleep deprivation was increased with administration of caffeine. Similarly, performance on a driving simulator following a period of sleep deprivation was found to be improved with caffeine administration. Testing on Navy SEAL trainees has shown increased maintenance of performance levels and lower ratings of perceived exertion when administered caffeine during a 28-hour period of wakefulness [3•].

Most of the studies looking at caffeine in improving exercise or athletic performance of focused on endurance, submaximal exercise activities such as running and cycling. In these situations, caffeine has generally been shown to improve or sustain exercise performance, typically through an increase in the duration of the exercise or a decreased perception of exertion [3•,12]. In cycling, caffeine has been shown to increase time to exhaustion at 85% VO_2max and decrease times to finish a fixed period of activity. Increased times to exhaustion have been seen in running as well as decreased times to run set distances [15]. Other benefits on exercise that have been discovered are improved tennis performance and decreased 1500-meter swim times [17].

It appears that the ergogenic effect of caffeine occurs regardless of the timing of intake (either before or during the event) [18]. The effect of caffeine intake appears to be prolonged to as much as 6 hours following ingestion. This ergogenic effect is seen at a similar magnitude with both a one-time ingestion prior to exercise as well as with multiple smaller, but equal dosages given throughout a period of prolonged exercise [19]. An interesting finding is that the ergogenic effect of caffeine is more pronounced in nonusers (< 50 mg daily) compared with regular users (> 300 mg daily) of caffeine. This is most likely explained by the upregulation of adenosine receptors with the regular consumption of caffeine [16].

The effect of caffeine on acute, high-intensity exercise is less clear. A recent study looking at the effects of caffeine ingestion on repeated 20-meter sprints failed to show any significant ergogenesis. There was a mild enhancement of performance for the initial sprint; however, increased fatigue was seen after repeated sprints in subjects using caffeine compared with those taking a placebo [20].

There is some evidence to suggest that an ergogenic benefit can be seen. Stuart et al. [13] looked at various exercise parameters in situations devised to simulate the repeated bouts of exercise required in rugby, a high-intensity team sport. Subjects were given either placebo or a moderate dose of caffeine (6 mg/kg) that previously has been shown to demonstrate ergogenic effects in submaximal exercise situations. Participants were then put through a series of 14 exercise circuits divided into two 40-minute halves. A 10-minute rest period occurred between the two halves. The design was intended to simulate the conditions of an actual rugby game with a first half, halftime, and second half. Subjects taking caffeine showed improvement in a variety of skill tasks including sprint tasks, power tasks, and passing accuracy tasks.

A study by Jackman et al. [21] looked at the effect of caffeine during brief, intense exercise. Cyclists performed for a pair of 2-minute periods separated by 6-minute breaks followed by cycling to voluntary exhaustion. Those subjects consuming caffeine showed increases in times to exhaustion.

In the majority of studies that look at caffeine as an ergogenic aid, the caffeine is consumed in capsule form. As already discussed, by far the most common form of caffeine consumption is coffee. Graham et al. [22] looked at the ergogenic potential of caffeine ingested in the form of coffee. The plasma concentrations of caffeine were similar whether ingested in the form of coffee or capsule. However, enhancement of endurance was seen only when caffeine was consumed independent of coffee. Likely, there are substances in coffee that antagonize the ergogenic potential of caffeine.

Another source of caffeine that has been studied in terms of its ergogenic potential is caffeinated soft drinks. There is a practice among some endurance athletes to use a "defizzed" soft drink as a replacement for sports drinks during the latter stages of such events, believing that the caffeine intake produces an ergogenic effect. A study out of Australia suggests that the use of Coca-Cola (Coca-Cola, Atlanta, GA) produces an ergogenic effect similar to that of more conventional forms of caffeine intake. These findings are of undetermined significance, however, as the dose of caffeine consumed through Coca-Cola in this study are less than dosages of caffeine previously proven to be ergogenic [18].

Potential adverse effects

Caffeine can potentially cause some adverse effects. Reported effects at moderate doses include locomotor agitation, tachycardia, diuresis, insomnia, irritability, and increased anxiety [3•,23]. Severe caffeine toxicity has been linked to seizures and arrhythmias [24].

In addition, it has been well documented that caffeine produces a withdrawal syndrome with cessation of repeated use. This can occur even with repeated usage at low dosages. Studies have demonstrated that withdrawal symptoms can occur with cessation of caffeine use for a short time period—as soon as 3 days after administration in novel users and as soon as 12 hours in habitual users [11]. Common symptoms of caffeine withdrawal include headache, irritability, increased fatigue, drowsiness, decreased alertness, difficulty concentrating, and decreased energy and activity levels. Symptoms can be mild to moderate in severity. Fortunately, withdrawal symptoms are generally short-lived [3•].

Some of these adverse effects that have been mentioned could prove deleterious to athletes. Several studies have shown that caffeine can increase core body temperature. Increased diuresis with a concomitant decrease in body weight has also been demonstrated after administration of caffeine [3•]. These affects could prove to be harmful to athletes training in high ambient temperatures.

Table 1. Caffeine content of common substances

Substance	Caffeine content, *mg*
Coffee, 7.5 oz	100
Coca-Cola*, 12 oz	45.6
Diet Coke*, 12 oz	45.6
Mountain Dew†, 12 oz	54
Dr. Pepper‡, 12 oz	39.6
Sprite*, 12 oz	0
Iced tea, 12 oz	70
Over-the-counter stimulants (eg, NoDoz§), 1 capsule	100

*Coca Cola, Atlanta, GA.
†PepsiCo, Purchase, NY.
‡Dr. Pepper/Seven Up, Plano, TX.
§Bristol-Myers Squibb, Princeton, NJ.

The most concerning evidence involving caffeine has to do when it is used in combination with ephedra. Caffeine and ephedra have been used in combination in the past for effects on weight loss in addition to potential ergogenic effects [25]. This combination does have evidence of increased weight loss, most likely secondary to an increased metabolic rate [26]. Unfortunately, there have been multiple deaths linked to the use of caffeine in combination with ephedra. It is felt that, when used in combination, the potential deadly effects are secondary to ephedra, rather than caffeine. However, the cardiovascular effects of ephedra are likely increased with concomitant stimulant use (eg, caffeine) [27]. In fact, based on this evidence, ephedra was banned by the US Food and Drug Administration in 2004. None of the reported deaths were linked to the use of caffeine alone.

Caffeine Dosages

As previously mentioned, caffeine is found in a variety of substances that are consumed on a regular basis (Table 1). The most common form of caffeine consumption is coffee. One cup of coffee contains approximately 100 mg of caffeine. This is the same dosage of caffeine that is contained in most over the counter preparations that are used for promoting wakefulness. Soft drinks are another common form of caffeine consumption. Levels vary depending on the type of soft drink. A 12-oz Coca-Cola can contains approximately 45.6 mg of caffeine [28], a 12-oz glass of iced tea contains approximately 70 mg of caffeine. The average American consumes about 200 mg of caffeine daily; adults average about 2.4 mg/kg/d whereas children average about 1.1 mg/kg/d [7].

Caffeine is widely used throughout the population. Its potential ergogenic effect would seem to make caffeine use popular among athletes. One study surveying athletes showed that 68% of responders used caffeine on a regular basis. However, 82% of users consumed caffeine less than three times daily [1].

Because caffeine is classified as a stimulant, the National Collegiate Athletic Association (NCAA) and International Olympic Committee (IOC) have placed some restrictions on its use [4]. Caffeine is permissible for use by the NCAA to a certain extent. The maximum allowable level with urinary testing is 15 µg/mL, which is equivalent to approximately eight cups of coffee, or around 800 mg [11]. Interestingly, studies have shown that caffeine can produce its ergogenic potential at doses much less than 800 mg, probably as low as 250 mg [12]. Most studies that show ergogenic potential of caffeine have used dosages of around 400 to 600 mg of caffeine.

In terms of international competition, caffeine use was previously banned by the International Olympic Committee [9]. This changed as of January 1, 2004 when caffeine was removed by the IOC from the list of banned substances [11]. As with the NCAA, there is a limit to the amount of caffeine that is permissible. The level which the IOC uses as its cutoff is 12 µg/mL [12].

As mentioned, the most common method used to test for caffeine is a urinary caffeine level. A dose of 100 mg of caffeine (equivalent to one cup of coffee) will produce a urine concentration of approximately 1.5 mg/mL. It would therefore take about 800 mg of caffeine (about eight cups of coffee) in a short period of time to approach the legal dose as defined by the IOC [28].

Conclusions

Caffeine is a commonly used substance that can be ingested in many different forms. It has a variety of different physiologic effects throughout the body. It is a relatively benign substance but can produce some adverse effects on blood pressure with long-term, consistent usage.

The potential of caffeine to produce an ergogenic effect has been well studied. These studies show a pretty consistent benefit in submaximal, endurance exercise by decreasing perceived exertion and increasing time to exhaustion. Most of the studies that show a potential benefit use dosages that are between 400 to 600 mg, which is equivalent to the amount of caffeine in four to six cups of coffee. Some studies suggest that caffeine may produce an ergogenic effect in high-intensity, acute exercise; however, the total body of evidence is inconclusive. Although permissible, because of its ergogenic potential, caffeine use is regulated by both the IOC and NCAA, in addition to other athletic governing bodies.

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