STUDY OF CAFFEINE & OTHER RELATED CHEMICAL PROPERTIES

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INTRODUCTION

Caffeine is a bitter, white crystalline xanthine alkaloid that is a psychoactive stimulant drug. Caffeine was discovered by a German chemist, Friedrich Ferdinand Runge, in 1819. He coined the term kaffein, a chemical compound in coffee, which in English became caffeine. Caffeine is also part of the chemical mixtures and insoluble complexes **guaranine** found in guarana, **mateine** found in mate, and **theine** found in non-herbal tea; all of which contain additional alkaloids such as the cardiac stimulants theophylline and theobromine, and often other chemicals such as polyphenols which can form insoluble complexes with caffeine.

Xanthine (3,7-dihydro-purine-2,6-dione), is a purine base found in most human body tissues and fluids and in other organisms. A number of mild stimulants are derived from xanthine, including caffeine and theobromine.

Xanthine is a product on the pathway of purine degradation.

- It is created from guanine by guanine deaminase.
- It is created from hypoxanthine by xanthine oxidoreductase.

Caffeine is found in varying quantities in the beans, leaves, and fruit of some plants, where it acts as a natural pesticide that paralyzes and kills certain insects feeding on the plants. It is most commonly consumed by humans in infusions extracted from the cherries of the coffee plant and the leaves of the tea bush, as well as from various foods and drinks containing products derived from the kola nut. Other sources include yerba mate, guarana berries, and the Yaupon Holly.

In humans, caffeine is a central nervous system (CNS) stimulant, having the effect of temporarily warding off drowsiness and restoring alertness. Beverages containing caffeine, such as coffee, tea, soft drinks, and energy drinks enjoy great popularity. Caffeine is the world's most widely consumed psychoactive substance, but unlike many other psychoactive substances it is legal and unregulated in nearly all jurisdictions. In North America, 90% of adults consume caffeine daily. The U.S. Food and Drug Administration lists caffeine as a "multiple purpose generally recognized as safe food substance".

OCCURRENCE

Roasted coffee beans, a common source of caffeine

Caffeine is found in many plant species, where it acts as a natural pesticide, with high caffeine levels being reported in seedlings that are still developing foliages, but are lacking mechanical protection; caffeine paralyzes and kills certain insects feeding upon the plant. High caffeine levels have also been found in the surrounding soil of coffee bean seedlings. It is therefore understood that caffeine has a natural function as both a natural pesticide and as an inhibitor of seed germination of other nearby coffee seedlings thus giving it a better chance of survival.

The most commonly used sources of caffeine are coffee, tea, and to a lesser extent cacao. Less commonly used sources of caffeine include the yerba maté and guarana plants, which are sometimes used in the preparation of teas and energy drinks. Two of caffeine's alternative names, mateine and guaranine, are derived from the names of these plants. Some yerba mate enthusiasts assert that mateine is a stereoisomer of caffeine, which would make it a different substance altogether. This is not true because caffeine is an achiral molecule, and therefore has no enantiomers; nor does it have other stereoisomers. The disparity in experience and effects between the various natural caffeine sources could be due to the fact that plant sources of caffeine also contain widely varying mixtures of other xanthine alkaloids, including the cardiac stimulants theophylline and theobromine and other substances such as polyphenols which can form insoluble complexes with caffeine.

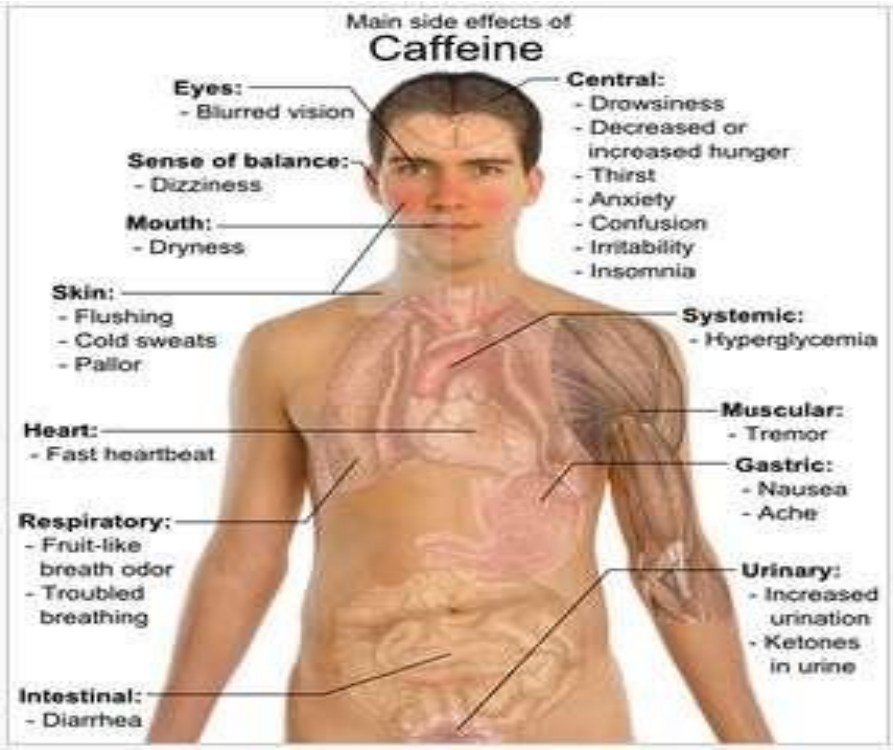
Tea is another common source of caffeine. Although tea contains more caffeine than coffee, a typical serving contains much less, as tea is normally brewed much weaker. Besides strength of the brew, growing conditions, processing techniques and other variables also affect caffeine content. Certain types of tea may contain somewhat more caffeine than other teas. Tea contains small amounts of theobromine and slightly higher levels of theophylline than coffee. Preparation and many other factors have a significant impact on tea, and color is a very poor indicator of caffeine content. Teas like the pale Japanese green tea gyokuro, for example, contain far more caffeine than much darker teas like lapsang souchong, which has very little.

Caffeine content of select common food and drugs.			
Product	**Serving size**	**Caffeine per serving (mg)**	**Caffeine per litre (mg)**
Caffeine tablet (regularstrength)	1 tablet	100	—
Caffeine tablet (extrastrength)	1 tablet	200	—
Excedrin tablet	1 tablet	65	—
Hershey's Special Dark(45% cacao content)	1 bar (43 g; 1.5 oz)	31	—
Hershey's Milk Chocolate(11% cacao content)	1 bar (43 g; 1.5 oz)	10	—
Percolated coffee	207 mL (7 U.S. fl oz)	80–135	386–652
Drip coffee	207 mL (7 U.S. fl oz)	115–175	555–845
Coffee, decaffeinated	207 mL (7 U.S. fl oz)	5-15	24-72
Coffee, espresso	44–60 mL (1.5-2 U.S. fl oz)	100	1691–2254
Coffee, Starbucks	(Tall 12 U.S. fl oz)	240	650-700
Black tea	177 mL (6 U.S. fl oz)	50	282
Green tea	177 mL (6 U.S. fl oz)	30	169
Coca-Cola Classic	355 mL (12 U.S. fl oz)	34	96
Mountain Dew	355 mL (12 U.S. fl oz)	54.5	154
Jolt Cola	695 mL (23.5 U.S. fl oz)	280	402
Red Bull	250 mL (8.2 U.S. fl oz)	80	320

Caffeine is also a common ingredient of soft drinks such as cola, originally prepared from kola nuts. Soft drinks typically contain about 10 to 50 milligrams of caffeine per serving. By contrast, energy drinks such as Red Bull can start at 80 milligrams of caffeine per serving. The caffeine in these drinks either originates from the ingredients used or is an additive derived from the product of decaffeination or from chemical synthesis. Guarana, a prime ingredient of energy drinks, contains large amounts of caffeine with small amounts of theobromine and theophylline in a naturally occurring slow-release excipient.

Chocolate derived from cocoa contains a small amount of caffeine. The weak stimulant effect of chocolate may be due to a combination of theobromine and theophylline as well as caffeine. A typical 28-gram serving of a milk chocolate bar has about as much caffeine as a cup of decaffeinated coffee.

EFFECTS WHEN TAKEN IN MODERATION



Overview of the more common side effects of caffeine, possibly appearing even at levels belowoverdose

The precise amount of caffeine necessary to produce effects varies from person to person depending on body size and degree of tolerance to caffeine. It takes less than an hour for caffeine to begin affecting the body and a mild dose wears off in three to four hours. Consumption of caffeine does not eliminate the need for sleep, it only temporarily reduces the sensation of being tired throughout the day. In general, 25 to 50 milligrams of caffeine is sufficient for most people to report increased alertness and arousal as well as subjectively lower levels of fatigue.

With these effects, caffeine is an ergogenic, increasing a person's capability for mental or physical labor. A study conducted in 1979 showed a 7% increase in distance cycled over a period of two hours in subjects who consumed caffeine compared to control subjects. Other studies attained much more dramatic results; one particular study of trained runners showed a 44% increase in "race-pace" endurance, as well as a 51% increase in cycling endurance, after a dosage of 9 milligrams of caffeine per kilogram of body weight. Additional studies have reported similar effects. Another study found 5.5 milligrams of caffeine per kilogram of body mass resulted in subjects cycling 29% longer during high intensity circuits.

Caffeine citrate has proven to be of short and long term benefit in treating the breathing disorders of apnea of prematurity and bronchopulmonary dysplasia in premature infants. The only short term risk associated with caffeine citrate treatment is a temporary reduction in weight gain during the therapy, and longer term studies (18 to 21 months) have shown lasting benefits of treatment of premature infants with caffeine.

Caffeine relaxes the internal anal sphincter muscles and thus should be avoided by those with fecal incontinence.

While relatively safe for humans, caffeine is considerably more toxic to some other animals such as dogs, horses, and parrots due to a much poorer ability to metabolize this compound. Caffeine has also a pronounced effect on mollusks and various insects as well as spiders.

OVERUSE

In large amounts, and especially over extended periods of time, caffeine can lead to a condition known as caffeinism. Caffeinism usually combines caffeine dependency with a wide range of unpleasant physical and mental conditions including nervousness, irritability, anxiety, tremulousness, muscle twitching (hyperreflexia), insomnia, headaches, respiratory alkalosis, and heart palpitations. Furthermore, because caffeine increases the production of stomach acid, high usage over time can lead to peptic ulcers, erosive esophagitis, and gastroesophageal reflux disease.

There are four caffeine-induced psychiatric disorders recognized by the Diagnostic and Statistical Manual of Mental Disorders, Fourth Edition: caffeine intoxication, caffeine-induced anxiety disorder, caffeine-induced sleep disorder, and caffeine-related disorder not otherwise specified (NOS).

PSYCHOLOGICAL EFFECTS OF CAFFEINE

Because of the wide spread use of caffeine and its known potent physiological effects, caffeine has been the subject of research in psychological related studies. This work has been stimulated by personal experiences and observations as well as by efforts to understand its action and mechanism.

Habituation and Tolerance: Caffeine ingestion and coffee drinking have been investigated with regard to the degree that this habit results in tolerance and withdrawal effects. These studies look beyond the obvious social implications and psychic dependence (Ritchie et al., 1975) of coffee consumption which may be related to the "first cup of coffee to wake me up" or "the coffee break" or to its association with smoking. In the latter case, it is of interest that coffee drinkers were shown to take more nicotine when deprived of coffee (Kozlowski, 1976).

Caffeine has not only been considered habit forming, but also addicting. Crothers considered morphinism and caffeinism to be similar, with caffeine causing loss of self-control, spells of agitation and depression as well as psychotic behavior (Stephenson, 1977). Ritchie mentions a report by Colton that tolerance can develop for the diuretic, salivary stimulation and sleep disturbance effects of caffeine.

Cola consumed in amounts of 48 to 111 ounces per day (144 to 333 mg of caffeine per day) was reported to have caused physical effects on withdrawal (Diamond and Pfifferling, 1974). The resultant effects we'Pe depression, nervousness, decreased alertness, sleeping difficulty, frequent mood changes, and various other behavioral difficulties which were attributed to caffeine withdrawal.

Behavioral Effects: Caffeine's stimulating activity on the central nervous system as well as other body organs results in certain physiological effects which may be considered to be behavior oriented. Caffeine produces more rapid, clearer flow of thought, allays drowsiness and fatigue and increases the capability of a greater sustained intellectual effort and more perfect association of ideas. It also causes a keener appreciation of sensory stimuli, and reaction time is diminished. Motor activity is increased; typists, for example, work faster with fewer errors. Tasks requiring delicate muscular cobrdination and accurate timing may, however, be adversely affected. All of this occurs at doses of 150 to 250 mg of caffeine (approximately two cups of coffee) according to Ritchie (1975).

Effect on Sleep: Caffeine is known to cause insomnia because of its central nervous system stimulating activity. In fact, its major therapeutic use is to allay sleep and drowsiness, being the only OTC stimulant approved by the FDA. Several studies investigating this action in some detail have been published.

Treatment of Hyperkinetic Children: Hyperkinetic children have been shown to respond to central nervous system stimulants, resulting in improved attention, concentration, -and decreased activity. Side effects are usually disturbing with the more powerful drugs and include insomnia, anorexia, nervousness, weight loss and abdominal pain.

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