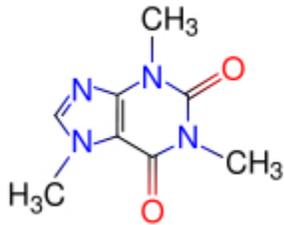


CAFFEINE

Overview

Caffeine use dates back to the Stone Age and is today the most widely used stimulant in the world. It is a xanthine alkaloid compound found in plants where it acts as a natural [pesticide](#), paralyzing and killing certain insects. It is also a stimulant in humans and is mostly distributed through drinks including tea, coffee, soft drinks, and chocolate. It is a central nervous stimulant that fends off drowsiness. See also [Caffeine and Tea](#).

Caffeine Content of Food Items and OTC Preparations



- ♣ Brewed coffee, 8-oz cup: 135-150 mg
- ♣ Instant coffee, 8-oz cup: 95 mg
- ♣ Powdered cappuccino beverage, 8-oz cup: 45-60 mg
- ♣ Tea brewed from leaves or bag, 8-oz cup: 50 mg
- ♣ Iced tea from mix, 8-oz glass: 25-45 mg
- ♣ Snapple iced tea, 8-oz glass: 21 mg
- ♣ Mountain Dew, 8-oz glass: 38 mg
- ♣ Dr. Pepper, 8-oz. glass: 28 mg
- ♣ Diet cola, 8-oz glass: 31 mg
- ♣ Root beer, 8-oz glass: 16 mg
- ♣ Coffee ice cream, 8-oz serving: 60-85 mg
- ♣ Coffee yogurt, 8-oz serving: 45 mg.
- ♣ Dark chocolate candy bar, 1.5 oz: 31 mg
- ♣ NoDoz, regular strength, 1 tablet: 100 mg
- ♣ NoDoz, maximum strength, 1 tablet: 200 mg
- ♣ Excedrin, 2 tablets: 130 mg

Pharmacology and Metabolism

Caffeine is completely absorbed by the stomach and small intestine in under an hour. After ingestion it is distributed throughout the body and is then removed by first order reactions ([#Newton, et al](#)).

The rate at which caffeine is digested and metabolizes varies widely between individuals. In addition to the amount of caffeine consumed, such factors as age, liver function, other drugs taken concurrently, pregnancy, and the level of enzymes present in one's liver can alter metabolic rate for caffeine. Caffeine has a [Half Life](#) - amount of time it takes for half of the caffeine to fully metabolize - of approximately 3-7 hours but this span can double for women in later stages of pregnancy ([#Chawla and Suleman](#)). Additionally, acute liver disease can increase the half life of caffeine to up to 96 hours.

Caffeine is metabolized in the liver, according to The Pharmacogenetics and Pharmacogenomics Knowledge Base, by the three separate [xanthines](#):

"In adults, about 80% of a dose of caffeine is metabolized to paraxanthine (1,7-dimethylxanthine), about 10% is metabolized to theobromine (3,7-dimethylxanthine), and about 4% is metabolized to theophylline (1,3-dimethylxanthine). These compounds are further demethylated to monomethylxanthines and then to methyl [Uric Acids](#). In premature neonates, cytochrome P450 1A2 is involved in caffeine biotransformation; however, caffeine metabolism is limited due to hepatic enzyme immaturity. In the neonate, caffeine and theophylline are interconverted, with caffeine concentrations measuring approximately 25% of [Theophylline](#) concentrations after [Theophylline](#) administration and [Theophylline](#) concentrations measuring approximately 3% to 8% of caffeine concentrations after caffeine administration." ([#Klein, et al., 2001](#)).

According to the University of Bristol, UK:

"Caffeine and adenosine have a similar chemical structure and because of this, caffeine binds to the adenosine receptor in its place. However, since adenosine suppresses physiological activity, the blockage of adenosine receptors by caffeine produces a stimulant effect. The binding of adenosine causes drowsiness by slowing down nerve cell activity; this is why caffeine is used to prevent tiredness. Caffeine causes the brain's blood vessels to constrict because it inhibits adenosine's ability to open them up. This is why some headache tablets contain caffeine, because it will constrict the blood vessels and relieve it." ([#University of Bristol Chemistry Department](#)).

Uses

Caffeine is used widely as a central nervous stimulant to ward off drowsiness. Over 90% of American adults use caffeine to increase energy in the short term.

Health Effects

The main effects of caffeine is as a central nervous system and metabolic stimulant and is used medically and recreationally to ward off drowsiness. Caffeine is also ergonic - it increases the capacity for mental or physical labor. But, the most recognizable feature of caffeine is that it keeps people awake. Interestingly enough, the desirable effects of caffeine do not lesson over the long term nor does the half life of the coffee decrease ([#Gilbert, 2004](#)).

According to the Encyclopedia of Mental Disorders:

"When the caffeine reaches the brain, it increases the secretion of norepinephrine, a neurotransmitter that is associated with the so-called fight or flight stress response. The rise in norepinephrine levels and the increased activity of the neurons, or nerve cells, in many other areas of the brain helps to explain why the symptoms of caffeine intoxication resemble the symptoms of a panic attack."

Overuse leads to an effect known as "caffeinism." This results in an addiction to caffeine, nervousness, irritability, anxiety, tremors, insomnia, and heart palpitations. (See [Caffeine Toxicity and Mental Ill Health: Past Disorder/Current Epidemic](#) by Ruth Whalen for a discussion of the numerous "psychiatric" effects of caffeine.) This overuse can lead to additional internal problems including peptic ulcers, erosive esophagitis, and gastrointestinal reflux disease. However, it should be noted that caffeine is most likely not responsible for the internal disorders because both excessive amounts of caffeinated and de-caffeinated coffee have shown to cause the problems.

Caffeine leads directly to increased alertness that increases the heart rate in the short term and is relatively safe for human consumption. Numerous studies have been done to attempt to establish a link between caffeine use and heart disease with results being scientifically ambiguous. Similarly, the effects of caffeine on pregnancy have been conflicting. Some have found that caffeine can lead to birth defects, but these studies have been proven inconclusive because they failed to consider other lifestyle factors that could have led to similar birth defects (Center for the Evaluation of Risks to Human Reproduction (CERHR)). Most studies do agree that small amounts of caffeine, around one cup a day, will have no effect on the pregnancy or the development of the baby. However, women who consume a large amount of caffeine, over 500 mg daily, may effect their babies negatively. A March of Dimes study has shown that babies born to high caffeine consuming women have exemplified some of the same effects that plague

high caffeine using adults such as increased breathing and heart rate, tremors, and difficulty sleeping..

Though numerous studies have been conducted attempting to link caffeine (moderate use) with such side effects as cancer, heart disease, osteoporosis, ulcers, liver disease, remenstrual syndrome, kidney disease, sperm motility, fertility, fetal development, hyperactivity, athletic performance, and mental dysfunction, none has been established.

External Sources on Health Effects:

- ♣ [U.S. Food and Drug Administration \(FDA\) - Perplexities Of Pregnancy](#) (accessed: 9 April 2003). This FDA document provided information on caffeine during pregnancy and advises "pregnant women to eliminate caffeine from their diets".
- ♣ [U.S. Center for the Evaluation of Risks to Human Reproduction](#) (accessed: 2 April 2003).

Precaution

An apt summation of caffeine is from Steven Gilbert:

"Caffeine is the perfect money making drug. First, it has very desirable stimulatory effects on the central nervous system. Second, you cannot consume too much at one time because the drug produces undesirable nervous system consequences. Third, you cannot stop drinking it because you will get a headache. Fourth, the half-life of the drug is relatively short, so that you must go back for more. Fifth, you do not lose your craving for it. And finally, it is a naturally occurring substance with a long history of use that is recognized by the regulatory authorities as being safe...Each of us should be aware of our dose-response to caffeine and limit our consumption accordingly." (#Gilbert, 2004)

Current Events

[Caffeine:News](#)

[Caffeine:Scientific studies](#)

History

The use of caffeine is ancient having begun even before our own modern epoch. Peoples of the Stone Age found that by ingesting parts of certain plants, they could stave off drowsiness and increase their own alertness. The majority of legends concerning caffeine use arise out of the East including but not limited to China and the Persian world.[Emporer Shen Nung](#) is credited in

Chinese lore as having discovered tea, a popular beverage containing caffeine, in 2737 BCE while sitting under a wild tea tree drinking a cup of hot water when a tea leaf fell into his drink. In Lu Yu's very early investigation of tea origins, [Shen Nung](#) is mentioned to have said that a fragrant, and restorative drink resulted from this chance encounter. [Homer](#) also makes reference in 900 BCE, as do many Arabian legends dating to similar years, to a mysterious black and bitter beverage with the power to ward off sleep ([Vaults of Erowid](#)). Tea continued to grow in popularity in China and was often prescribed for medicinal purposes as well.

The history of coffee is less precise but myth traces the discovery of to an Egyptian goat herder named Kaldi around 850 AD. Upon discovering his goats had failed to return during the night, Kaldi set out to find them. When he finally reached them they were "dancing around a shrub with red berries" ([Erowid](#)). When Kaldi tried the berries he too began invigorated and later collaborated with local monks to make a drink out of the berries. Coffee then began to spread north and became popular throughout the Arabian world. The world's first coffee shop, Kiva Han, opened in Constantinople in 1475 AD. The importance of coffee to Arabian society is highlighted by a law enacted in Turkey that allows a husband to divorce his wife if she fails to provide him with his daily quota of coffee ([Erowid](#)). One of the reasons that coffee became so popular throughout the Arabian world is that it was often used as a substitute for wine, which is banned under Islamic law. Europe's first coffeehouse was started with Turkish coffee that was left behind when a fleeing Turkish Army abandoned Vienna after a defeat in 1529.



The late 17th Century saw prolific growth of the sources of caffeine, namely coffee, tea, and chocolate, throughout the British Empire and all of Western Europe ([#Erowid](#)). Coffeehouses opened in Italy, England, Paris, and coffee replaced beer as the most popular drink in New York. Around this time, coffee growing has spread throughout the world and it was produced for commercial usage for the first time. Later, around 1890 tea followed with the establishment of the Lipton Tea Company ([Erowid](#)). Today, coffee is the world's most traded commodity second only to oil ([Erowid](#)).

Emil Fischer (October 9, 1852 - July 15, 1919), was a Nobel Prize winning German chemist who was the first to isolate Caffeine in 1895 from plant extracts, the stimulant of choice. In addition to isolating Caffeine, he contributed greatly to the present knowledge and classification of certain sugars and proteins.

Source : <http://www.toxipedia.org/display/toxipedia/Caffeine>