

**Caffeine** Cas No.58-08-2Taj Pharmaceuticals Chemical List  
Fine Chemicals

PRODUCT CODE- 3CAFT954

Taj Pharmaceuticals Ltd.

**Caffeine BP / USP**

CAS No. 58-08-2



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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.

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".

Caffeine has diuretic properties, at least when administered in sufficient doses to subjects who do not have a tolerance for it. Regular users, however, develop a strong tolerance to this effect, and studies have generally failed to support the common notion that ordinary consumption of caffeinated beverages contributes significantly to dehydration.

Caffeine is a drug that is naturally produced in the leaves and seeds of many plants. It's also produced artificially and added to certain foods. Caffeine is defined as a drug because it stimulates the central nervous system, causing increased alertness. Caffeine gives most people a temporary energy boost and elevates mood.

Caffeine is in tea, coffee, chocolate, many soft drinks, and pain relievers and other over-the-counter medications. In its natural form, caffeine tastes very bitter. But most caffeinated drinks have gone through enough processing to camouflage the bitter taste.

Teens usually get most of their caffeine from soft drinks and energy drinks. (In addition to caffeine, these also can have added sugar and artificial flavors.) Caffeine is not stored in the body, but you may feel its effects for up to 6 hours.

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Caffeine is metabolized in the liver into three primary metabolites: paraxanthine (84%), theobromine (12%), and theophylline (4%)

Caffeine from coffee or other beverages is absorbed by the stomach and small intestine within 45 minutes of ingestion and then distributed throughout all tissues of the body. It is eliminated by first-order kinetics. Caffeine can also be ingested rectally, evidenced by the formulation of suppositories of ergotamine tartrate and caffeine (for the relief of migraine) and chlorobutanol and caffeine (for the treatment of hyperemesis)

The half-life of caffeine — the time required for the body to eliminate one-half of the total amount of caffeine — varies widely among individuals according to such factors as age, liver function, pregnancy, some concurrent medications, and the level of enzymes in the liver needed for caffeine metabolism. In healthy adults, caffeine's half-life is approximately 4.9 hours. In women taking oral contraceptives this is increased to 5–10 hours, and in pregnant women the half-life is roughly 9–11 hours. Caffeine can accumulate in individuals with severe liver disease, increasing its half-life up to 96 hours. In infants and young children, the half-life may be longer than in adults; half-life in a newborn baby may be as long as 30 hours. Other factors such as smoking can shorten caffeine's half-life. Fluvoxamine reduced the clearance of caffeine by 91.3%, and prolonged its elimination half-life by 11.4-fold (from 4.9 hours to 56 hours).

Caffeine is metabolized in the liver by the cytochrome P450 oxidase enzyme system (specifically, the 1A2 isozyme) into three metabolic dimethylxanthines, which each have their own effects on the body:

- Paraxanthine (84%): Has the effect of increasing lipolysis, leading to elevated glycerol and free fatty acid levels in the blood plasma.
- Theobromine (12%): Dilates blood vessels and increases urine volume. Theobromine is also the principal alkaloid in cocoa, and therefore chocolate.
- Theophylline (4%): Relaxes smooth muscles of the bronchi, and is used to treat asthma. The therapeutic dose of theophylline, however, is many times greater than the levels attained from caffeine metabolism.

Each of these metabolites is further metabolized and then excreted in the urine.

This document plus the full buyer / prescribing information, prepared for health professionals can be found at:

<http://www.tajapi.com>

or by contacting the sponsor, Taj Pharmaceuticals Limited., at:

91 022 30601000.

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