

# THERAPEUTIC USES OF COFFEA ARABICA, Linn.

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**English:** Coffee

**Sanskrit:** Mlech-phala

**Tamil:** Kapi-kottai.

**Family:** Rubiaceae



Coffee is more frequently consumed in day-to-day life. It becomes essential to know about the effects, side-effects of coffee. This article deals with the main alkaloids, metabolism, mode of action, effects due to over consumption & therapeutic uses of coffee (with special reference to Traditional Indian Medicine namely Siddha & Ayurveda).

## *Habitat:*

Coffea arabica and several other species of the plant are luxuriantly cultivated in Southern India, Madras, Mysore, Coorg, Travancore and Cochin.

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**Parts used:**

Coffee beans or the dried seeds of coffee.

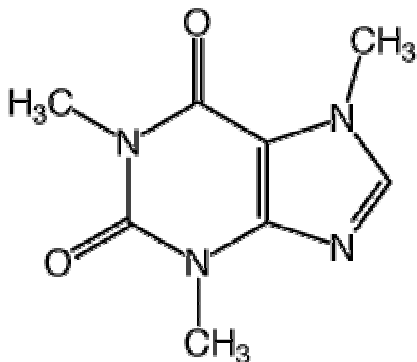
**Constituents:**

Alkaloids of Coffea arabica are caffeine, adenine, xanthine, hypoxanthine, guanosine and proteids. Dried seeds of coffee beans yield the crystalline principle "**Caffeine**" which is identical with Theine contained in tea. Caffeine is present in the coffee bean in both the free & combined states. The caffeine content of a cup of coffee (150ml) is about 100mg. Most people consume 3 cups of coffee a day. The quality of caffeine present varies greatly in different species of coffee. It is never very large in amount, slightly under 2.0% of the dry seeds being the highest recorded.

<b>NAME :</b>	Caffeine
<b>CHEMICAL NAME :</b>	3,7-Dihydro-1,3,7-trimethyl-1H-purine-2,6-dione
<b>ALTERNATE CHEMICAL NAMES :</b>	1,3,7-trimethylxanthine; 1,3,7-trimethyl-2,6-dioxopurine; coffeine
<b>ALTERNATE CHEMICAL NAMES :</b>	thein; guaranine; methyltheobromine; No-Doz
<b>CHEMICAL FORMULA</b>	C <sub>8</sub> H <sub>10</sub> N <sub>4</sub> O <sub>2</sub>
<b>MOLECULAR WEIGHT</b>	194.19

Caffeine is medically known as Trimethyl xanthine, and the chemical formula is C<sub>8</sub>H<sub>10</sub>N<sub>4</sub>O<sub>2</sub>. When isolated in pure form, caffeine is a white crystalline powder that tastes very bitter. The chief source of pure caffeine is the process of decaffeinating coffee and tea.

### *Chemical structure of Caffeine.*



### *Uses of Coffee as per the Traditional Indian Medicine:*

- Coffee is a palliative in spasmodic asthma, in whooping cough, delirium tremors, and hysterical affections and in the palpitation of the heart; it is highly recommended in cholera infantum; successful in chronic diarrhoea.
- Coffee and caffeine have been used as diuretic in dropsy.
- The alkaloid caffeine and its salts, e.g., caffeine, citras, caffeine soda benzoas, etc., are largely employed in medicine.
- It is said that in early stages of typhoid fever, coffee is almost a specific.
- Roasted coffee has disinfectant and deodorant properties.
- A strong infusion of Black coffee is useful as an antisoporific in cases of poisoning such as by opium, alcohol and other stupefying or narcotic poisons.
- Given in teaspoonful doses frequently at short intervals to patients after surgical operations it checks vomiting.
- It is a good vehicle for the administration of quinine and sulphide of magnesia as it conceals the bitter and nauseous tastes of those medicines.
- A strong cup of coffee is considered a good protection from the effects of malaria.
- In their raw state coffee berries are prescribed for hemicranias and intermittent fevers.

- It is well-known that moderate quantity of coffee is not only harmful but is even beneficial. When taken in excess it produces harmful effects.

## ***Metabolism and excretion of the drug***

### ***Absorption:***

Readily absorbed after oral or parenteral administration. Absorption of methylxanthines relates more to lipophilicity than to water solubility.

### ***Distribution:***

Rapidly distributed to all body compartments; readily crosses the placenta and blood-brain barrier. Volume of distribution (Vol D) in adults ranges from 0.4 to 0.6 liter per kg of body weight (L/kg). Vol D in neonates averages between 0.78 and 0.92 L/kg.

### ***Protein binding:***

Low (25 to 36%).

### ***Biotransformation:***

Hepatic. 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.

### ***Half-life:***

Adults 3 to 7 hours.

Neonates 65 to 130 hours. Decreases to adult values by 4 to 9 months post-term and is inversely proportional to gestational/postconceptual age

### ***Time to peak plasma concentration***

In adults 50 to 75 minutes following oral administration.

In preterm neonates 30 to 120 minutes following oral administration of 10 mg of caffeine base per kg of body weight.

***Therapeutic plasma concentration***

5 to 25 mcg per mL (25.8 to 128.8 micromoles per L).

***Elimination:***

Adults Renal; primarily as metabolites; about 1 to 2% excreted unchanged.

Neonates Renal; about 85% excreted unchanged.

***Mode(s) of action of the drug.***

**Mechanism of action/Effect:**

***Central nervous system:*** stimulant Caffeine stimulates all levels of the CNS, in larger doses, caffeine stimulates medullary, vagal, vasomotor, and respiratory centers, promoting bradycardia, vasoconstriction, and increased respiratory rate.

***Analgesia adjunct:*** Caffeine constricts cerebral vasculature with an accompanying decrease in cerebral blood flow and in the oxygen tension of the brain. In some patients, caffeine may reduce headache pain.

***Respiratory stimulant adjunct:*** Although the exact mechanism of action has not been completely established, caffeine, as other methylxanthines, is believed to act primarily through stimulation of the medullary respiratory center.

***Cardiac Caffeine:*** produces a positive inotropic effect on the myocardium and a positive chronotropic effect on the sinoatrial node, causing transient increases in heart rate, force of contraction, and cardiac output.

***Vascular Caffeine:*** causes constriction of cerebral vasculature with an accompanying decrease in cerebral blood flow and in the oxygen tension in the brain.

***Skeletal muscles:*** Caffeine stimulates voluntary skeletal muscle possibly by inducing the release of acetylcholine, increasing the force of contraction and decreasing muscle fatigue. This stimulation of diaphragmatic muscles decreases the work of breathing.

***Gastrointestinal secretions*** Caffeine causes secretion of both pepsin and gastric acid from parietal cells.

**Renal Caffeine** increases renal blood flow and glomerular filtration rate and decreases proximal tubular reabsorption of sodium and water, resulting in a mild diuresis.

Caffeine also inhibits uterine contractions, increases plasma and urinary catecholamine concentrations, and transiently increases plasma glucose by stimulating glycogenolysis and lipolysis.

In neonates, caffeine causes a 25% increase in oxygen consumption, blood vessel dilatation, cerebral vessel vasoconstriction, and smooth muscle relaxation.

### ***Side/Adverse effects of Caffeine:***

While caffeine is a stimulant, its excess use causes undesirable effects on mental & physical health. It is as much a health hazard as alcohol & nicotine chronic caffeine intoxication results in a number of symptoms [caffeinism] which include sleep disturbances, frequent micturition, muscular tension, jitteriness, anxiety etc.

### ***Incidence more frequent***

CNS stimulation, excessive (dizziness; fast heartbeat; irritability, nervousness, or severe jitters in neonates; tremors; trouble in sleeping); gastrointestinal irritation (diarrhea; nausea; vomiting); hyperglycemia (blurred vision; drowsiness; dry mouth; flushed, dry skin; fruit-like breath odor; increased urination [frequency and volume]; ketones in urine; loss of appetite; stomach ache, nausea, or vomiting; tiredness; troubled breathing [rapid and deep]; unconsciousness; unusual thirst in neonates ; hypoglycemia (anxiety; blurred vision; cold sweats ; confusion; cool, pale skin; drowsiness; excessive hunger; fast heartbeat ; nausea; nervousness; restless sleep; shakiness; unusual tiredness or weakness).

### ***Incidence rare***

Necrotizing enterocolitis (abdominal distention; dehydration; diarrhea, bloody; irritability; unusual tiredness or weakness; vomiting).

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