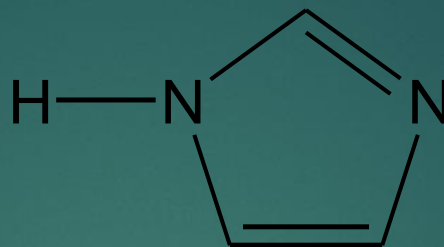


Imidazole Alkaloids



5 membered unsaturated cyclic structure with 2 N atoms. These imidazoles share the 1,3-C3 N2 ring but feature varied substituents.

Histidine

Histamine

Ergothioneine is a naturally occurring amino acid and is a thiourea derivative of histidine, containing a sulfur atom on the imidazole ring)

Casimiroedine (An alkaloid isolated from *Casimiroa edulis*, edible fruits in Mexico)

Plants containing imidazole derivatives

- ▶ *Pilocarpus jaborandi* (Pernambuco jaborandi)
- ▶ *P. pennatifolius* (Paraguay Jaborandi)
- ▶ *P. microphyllus* (Maranhã Jaborandi), ve
- ▶ *P. trachylopus* (Ceara Jaborandi)

Folia Jaborandi

Small trees and shrubs growing naturally in Central and South America.

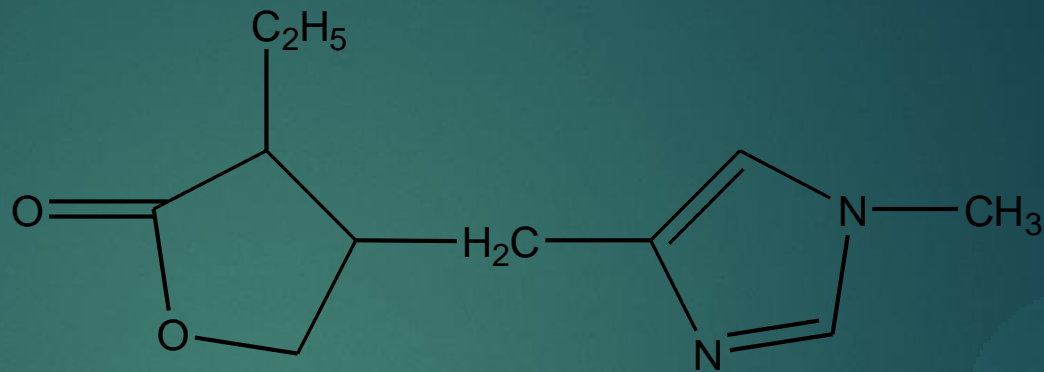
Leaves are compound, imparipinnate,

Anatomically; glandular cells close to epiderm, druses and simple crystals.

Contains 0.5-1% alkaloids.. (Pilocarpine, isopilocarpine, pilosine ve isopilosine).

Isopilocarpine; occurs very little in the leaves, usually forms during extraction.

A **druse** is a group of **crystals** of **calcium oxalate**, silicates, or carbonates present in plants, and are thought to be a defense against herbivory due to their toxicity. **Calcium oxalate** ($\text{Ca}(\text{COO})_2$, CaOx) **crystals** are found in algae, angiosperms and gymnosperms in a total of more than 215 families.



Lactone and imidazole rings,


Other alkaloids; isopilocarpine, pilosine and isopilosine.

Pilocarpine HCl;

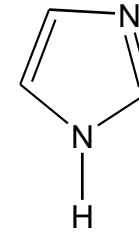
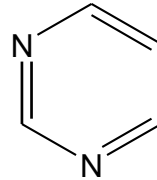
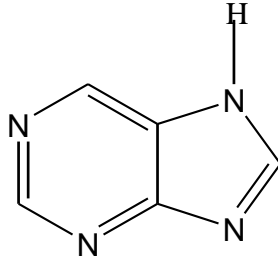
Parasympathomimetic; Atropine antagonist. Enhances secretion and makes myosis. Used for glaucoma treatment.

2% and 4% ophthalmic solution is used in glaucoma.

0.2% ethanol solution is used for preventing hair loss.

- 
- ▶ Strong and long standing myotic effect is supplied by ophthalmic solutions. Myotic effect starts in 10-30 min, continues for 4-8 hours.
 - ▶ Used for treatment of **xerostomia** due to cancer treatment (chemo-radiotherapy)

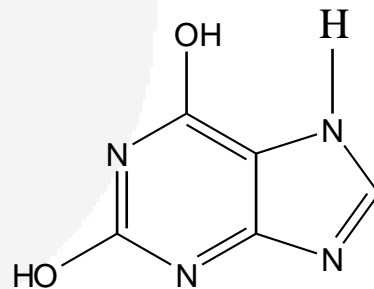
Purine (Pyrimidine + imidazole)

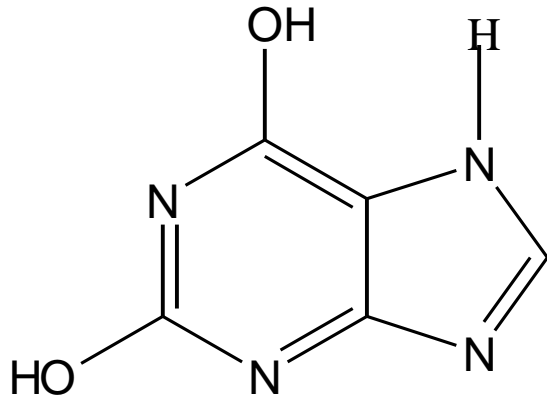


Occurs in various families.

(Pyrimidine + imidazole)

Xanthine: -OH takes place in 2nd and 6th C atom.





1,3,7 trimethylxanthin = Caffeine
1,3 dimethylxanthin = Theophylline
3,7 dimethylxanthin = Theobromine

Colour reaction

1) Purine bases \rightarrow HCl + KClO_4 \rightarrow **RED** + KOH/NaOH (Colour vanishes)


2) Mureksid R.

Purine base + HCl + Br water \rightarrow evaporate + KOH/ NH_4OH

Purple

Folia Thea -Tea

- ▶ **Family:** Theaceae
- ▶ **Latin Name:** *Camelia sinensis* (Syn. *Thea sinensis*)
- ▶ **Used parts:** Leaves (Top buds and following two leaves are picked up. Faded in dry high temperature air or in steam boiler to deactivate the enzymes. Later, cooling, bending, drying processes take place.
- ▶ **Fatherland:** China. Also well adapted in India, Srilanca, Japan, Indonesia, Kenya, Argentina and in Turkey (North Anatolia).



▶ **Traditionally usage in TURKEY: Stomachic** (promoting the appetite or assisting digestion), **performance enhancer, diuretic, and makes constipation.**


▶ **Botanical features:**

Evergreen, white flowering, small trees/shrub.

Leaves are dark green, leathery, elliptic and edges toothed.

Fruit type is a capsula. Seeds in 1-2cm size (diameter), globular.

Flowers are single and sometimes stands 2-3 together.

- 
- ▶ Cultivated for many purposes in different parts of the world. Fermentation is the main process. Fermentation level effects the quality and taste of the tea.
 - ▶ Three types as black, green and oolong tea.

▶ **Chemical content:**

In the leaves;


Total Alkaloid (1-5%);

caffeine (2-4) %, theophylline (0.02-0.01%),
theobromine (0.15-0.2%), tannic acid (9.5-21%)

- ▶ Polyphenols (25-30%);
- ▶ flavonols (kaempferol, quercetine and mrricetine),
- ▶ Catechins (10-25%); Flavan type compounds
- ▶ Free amino acids (0.59-3.97%) major ones; theanine and glutamic acid
- ▶ Caffeic acid derivatives; chlorogenic and caffeic acids

Camellia sinensis Pharmacological Activities

- Antioxidant
- Anticarcinogen
- Antimutagenic
- Antihypercholesterolemic
- Cardioprotective
- Antiviral
- Antimicrobial
- Performance enhancer



Tea and coffee are both stimulants due to the caffeine, theophylline and CNS stimulant, mild diuretic. Theophylline has short time activity but stronger diuretic than caffeine. Theophylline relaxes contractions better.

One cup of tea contains 30-400 mg polyphenols.

Green tea and EGCG are used for mouth hygiene.

Green tea is a strong antioxidant.

EGCG enhances metabolism, decreases the absorption of lipids and sugars from intestine.

Thermogenic activity occurs.

1,3,7 Trimethylxanthin = Caffeine

Stands on coffea, tea, guarana, cola and mate.
Obtained synthetically.

Caffein; white powder, bitter taste. It sublimates.

Caffein + Na-benzoate (i.m. stimulate respiration in toxication, also diuretic)

Caffein; CNS stimulant, and coffea and tea are the most popular drinks in the world.

1,3 Dimethylxanthin = Theophylline

Isolated from tea in 1885.

obtained synthetically or semisynthetically from caffeine.

1 k theophylline is soluble in 120k water. (solubility increases with basic compounds)

Theophylline; bronchial asthma prevention, relaxation in bronchial smooth muscles, chronic bronchitis. Positive inotropic activity and increases pulse number (positive chronotropic).

Mechanistically, **theophylline** acts as a phosphodiesterase inhibitor, adenosine receptor blocker, and histone deacetylase activator.

3,7 Dimethylxanthin= Theobromine

Theobroma cacao (Sterculiaceae) dried and mature seeds or synthetically obtained.

Slightly soluble in water and alcohol.

Relaxes the smooth muscles, and diuretic. Vasodilator, and myocardial stimulant. Also antitussive.

Solubility in water:

Caffeine 80 k

Theophylline 120 k

Theobromine 3280 k

Substitution in 1. C atom increases the water solubility.

Coffea

- ▶ In Etiopia Ka; Sun god.
- ▶ Afa means plant on the ground.
- ▶ Coffea is the god of all the plants.

First coffea house was opened in İstanbul.



Date	Event
-------------	--------------

~850 - Coffee beans discovered –

~1100 - First coffee trees and roasting of coffee beans.

1475 - İstanbul – the world's first coffee house.

1600s - Coffee enters Europe and moves quickly to the America.

1700s - Coffee house open throughout Europe.

1723 - First coffee plants are introduced into the Americas.

1822 - First espresso machine is created in France.

1938 - First instant coffee invented by the Nestlé company.

1971 - Starbucks opens its first location in Seattle,
Washington's Pike Place Market.

The coffee and cola industries owe their wealth to the physiological properties of the drug caffeine.

S.G. Gilbert (2001)

1600 Venedic to Europe.

1607 USA

1652 England

1672 Paris

1690 Vienna

1721 Berlin

Semen Coffea, Kahve

Coffea arabica (Etiopia) (Rubiaceae)

Coffea canefora East Africa varieties seeds.

Coffea arabica var typica → Brasil

var mocca → Arabia, India

var maragoype → South America (big seeds)

Coffea canefora var robusta → Cultivated in Africa.

Fruits red, 2 seeded, hard endocarp, testa in silver colour, Endosperm carries oil.

Preparation of coffea (aromatic)

- 1) Endocarp removed.
- 2) Seed polishing, testa discarded.
- 3) Roasted (moving cylinders)

Green seeds → 100 °C yellow colour → 120 °C odour forms. 185-250 °C roasting ends (CO₂ and CO)

1 kg coffeae = 800 gr roasted coffea

Constituents;

1-2.5% caffeine.

Theobromine, theophylline and xanthine.

10-13% lipid


10-13% protein.

Coffea aroma (caffeole)

50% furfural+valerianic acid+phenol+pyridine
(nearly 200 compounds)

5-10 % tannin

(chlorogenic acid 3-4 % in roasted coffea)

- 
- ▶ Coffea; used for diarrhea and mouth-nose inflammation (Com E)
 - ▶ Stimulant
 - ▶ 12 μg caffeine in 1 ml urine (doping), 5 cups of coffea.
 - ▶ Lypolysis.

Plants used instead of Coffea or for adulteration;

Ceratonia siliqua (Leguminosae)

Cichorium intybus (Compositae)

Quercus ilex (Fagaceae)

Hordeum vulgare (Graminae) arpa fruits

Cicer arietinum (Leguminosae)

Pistacia terebinthus (Anacardiaceae) fruits – menengiç coffea

Gundelia tournefortii (Compositae) roasted capitulum, Kenger coffea

Semen Colae

Cola sp. (Sterculiaceae) cotyledones.

Cola acuminata

Cola alba (white)

Cola astrophora (red)

Cola vera (Cola nitida) white or red

West Africa, S. America, Brasil, SriLanka,
Indonesia

After fermentation testa discarded
and cotyledones commercially sold.

Cola cotyledones are reddish brown and partially broken. Odourless and has astringent taste.

Cola seeds carry 3.5% caffeine. Theobromine and theophylline are less than 1%.


Cola vera 2% caffeine,
Cola acuminata 1% caffeine.
Catechins and epicatechins.

Stimulant, diuretic and astringent. Used against fatigue and hunger. Fresh seeds aphrodisiac.

PASTA GUARANA

- ▶ *Paullinia cupana* (Sapindaceae)
- ▶ Testa discarded by roasting, powdered, treated with water (dough viscosity), cylindrical shapes are prepared, dried and ready for commercial purposes.
- ▶ Astringent taste.

In Brasil pasta is used like coffee or tea as drink.



In 1817 transported from S. America to France.
Main alkaloid is caffeine. Carries 25 % tannin.

2.5-5% caffeine. Similar constituents with Cola and Cacao seeds. Similar effects with tea and coffea.

MATE and Paraguay Tea

- ▶ *Ilex paraguariensis* dried leaves. (Argentina, Brasil, Uruguay).
- ▶ 2% caffeine. 0.3-0.5% theobromine. % 10-16 chlorogenic acid.
- ▶ Tonic, diaphoretic, diuretic, stimulant and lypolytic.
- ▶ Mental and physical fatigue.
- ▶ Tea like drink in S. America.

Semen Cacao

Theobroma cacao (Sterculiaceae) roasted seeds.

Naturally grows in S. America.

20-25 cm elliptic fruits carries 40-50 seeds.

Anatomically: many lipids, starch, mucilage cells, stone cells in one line.

1.5 -2% theobromine.

0.2% caffeine and 5-10% polyphenolic compounds.

Cacao oil is obtained from the seed, and the remaining part is used as Cacao powder.