

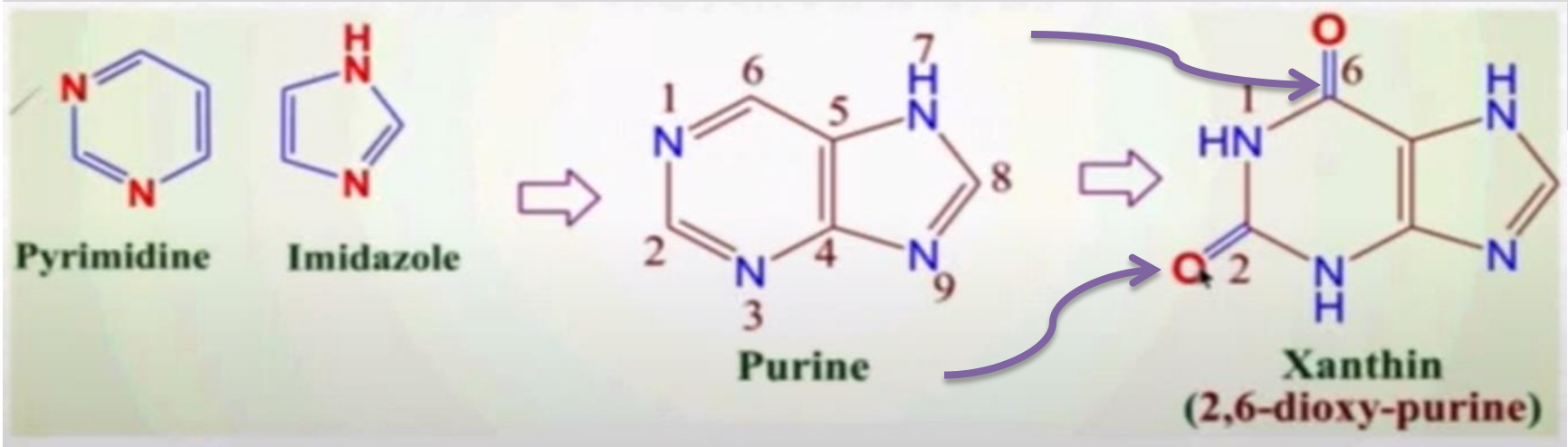
Purine (Xanthine) Alkaloids

Pharmacognosy Three Stage By D. Zainab Tuama



Purine (Xanthine) Alkaloids

The purines are consisting of a six-membered **pyrimidine** ring fused to a five membered **imidazole** ring. Purines are Pseudo alkaloids (Are not derived from amino acids but have nitrogen in a heterocyclic ring)



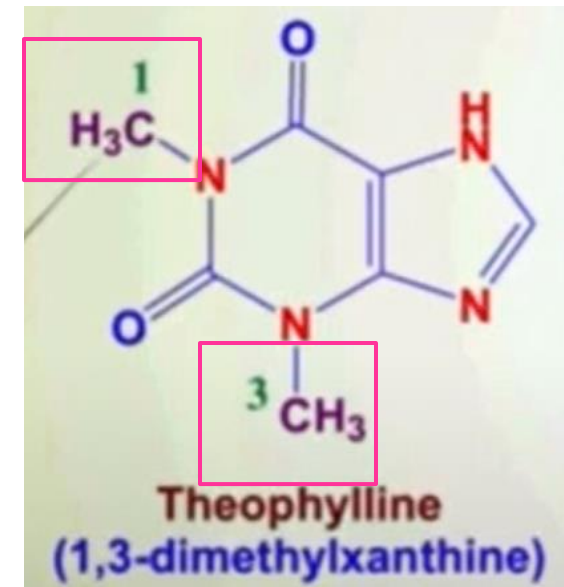
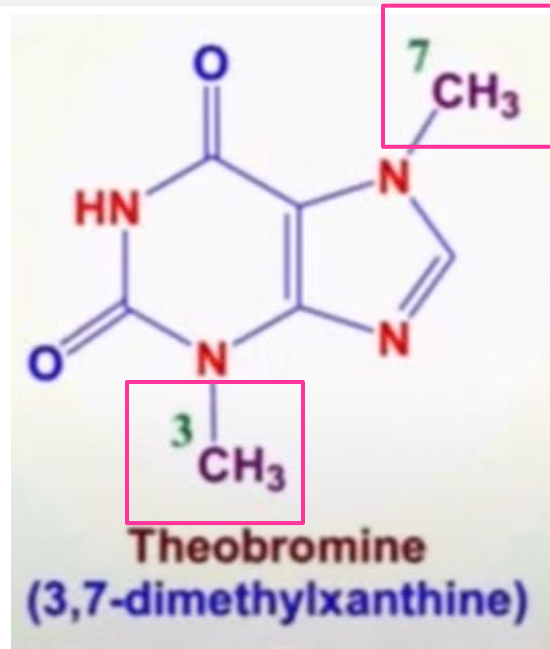
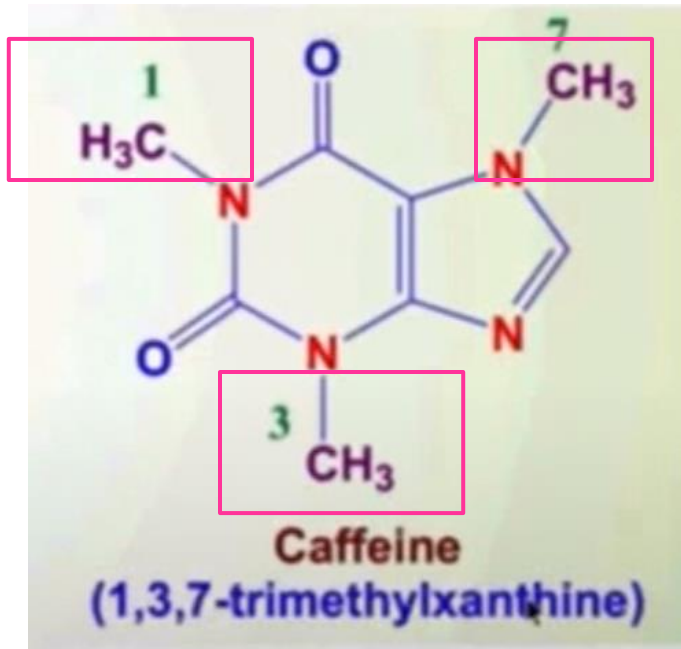
The pharmaceutically important bases of this group are all methylated derivatives of 2,6 dioxo-purine (Xanthine).

This group includes mainly

1- Caffeine

2- Theobromine

3- Theophylline



- ❑ Xanthine alkaloids are very weak bases so they form salts only with strong acid and these can be extracted with water immiscible organic solvents
- ❑ they give no precipitate with **Mayer's reagent**.

Sources of purines

- 1. Coffee (*Coffea arabica* family Rubiaceae) Coffee seeds contain about (1-2 %) of Caffeine**
- 2. Tea (*Camellia sinensis* family Theaceae) Tea leaves contain about (2- 5%) of Caffeine**
- 3. Cola (*Cola nitida* family Sterculiaceae) Cola leaves contain about (2- 3%) of Caffeine**

**Gurana seeds (2.5- 5 %)
and Cacao seeds, (0.2- 0.5 %).**



☐ Caffeine Properties:

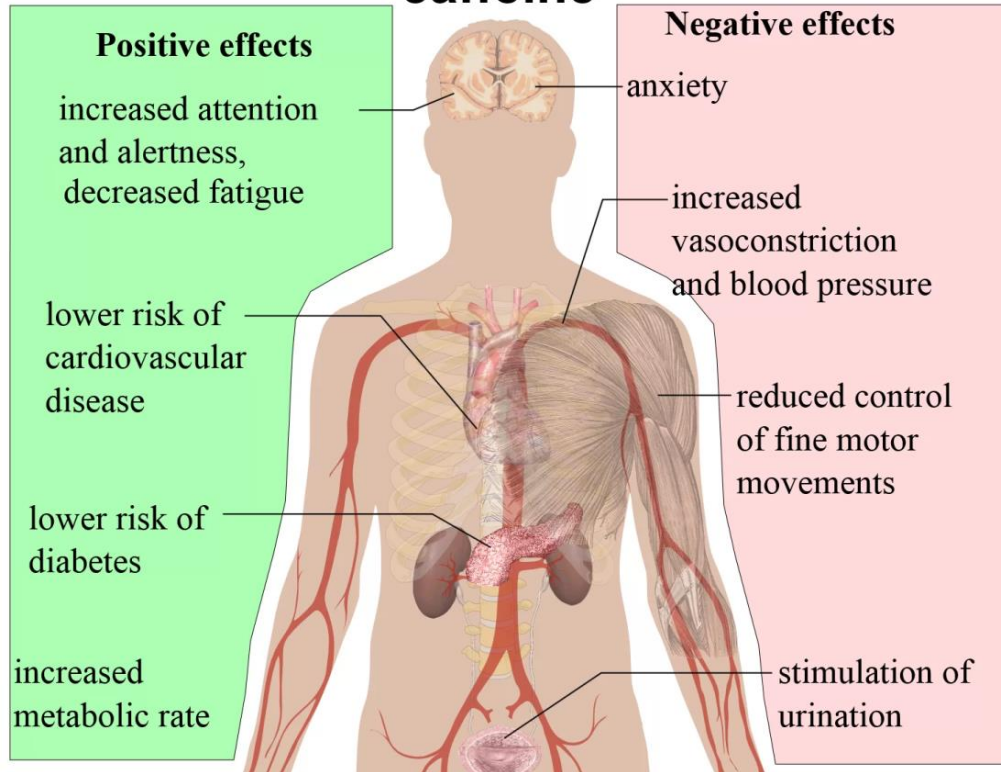
- 1- Water soluble**
- 2- Sublimable (solid substances that directly convert into their gaseous state upon heating, without changing into liquid state)**

Uses:

Caffeine has a CNS stimulant effect, it is used mainly to relieve headache. Inside the body caffeine acts through several mechanisms, but its most important effect is to counteract a substance called **adenosine** that naturally circulates at high levels throughout the body, and especially in the nervous system. In the brain, adenosine plays a generally protective role, part of which is to reduce neural activity levels.

Adenosine acts as an inhibitor neurotransmitter that suppresses activity in the central nervous system. Consumption of caffeine antagonizes adenosine and increases activity in neurotransmission including acetylcholine, epinephrine, dopamine, serotonin, glutamate, norepinephrine, cortisol, and in higher doses, increases activity endorphins which explains the analgesic effect to some users. At very high doses (exceeding 500 milligrams) caffeine inhibits GABA (Gamma-aminobutyric acid), functions as an inhibitory neurotransmitter. This evidence explains why caffeine causes anxiety, insomnia, rapid heart and respiration rate.

Health effects of **caffeine**



Theobromine:

Occurrence: Cacao seeds (*Theobroma cacao*)
(family: Sterculiaceae).

Properties:

1- Sparingly soluble in water.

2- Sublimable.

3- Amphoteric.

In modern medicine, theobromine is used as a vasodilator (a blood vessel widener)

a diuretic (urination aid), because its ability increases urine production, heart stimulant dilate blood vessels. Theobromine has been used to treat high blood pressure and other circulatory problems including arteriosclerosis, certain vascular diseases, angina pectoris, and hypertension.

Theophylline Occurrence:

Traces in Tea leaves, mostly synthetic.

Properties: Sparingly soluble in water.

Uses: Theophylline and derivatives are smooth muscle relaxant especially in the upper respiratory tract. They used as **bronchodilator**. Theophylline also act as **diuretic**.

Uses:

1- CNS stimulant.

Caffeine > Theophylline > Theobromine

2- Mild diuretic.

Theobromine > Theophylline > Caffeine

3- Smooth muscle relaxant.

Theophylline > Theobromine > Caffeine

Color tests:

Murexide test: (caffeine, theobromine and theophylline).

Crystals of caffeine + drops of concentrated HCl and traces of KClO_3 → evaporated on water bath → red color is produced which turns to violet on exposure to ammonia vapor.

Tannic acid test: (caffeine and theophylline):

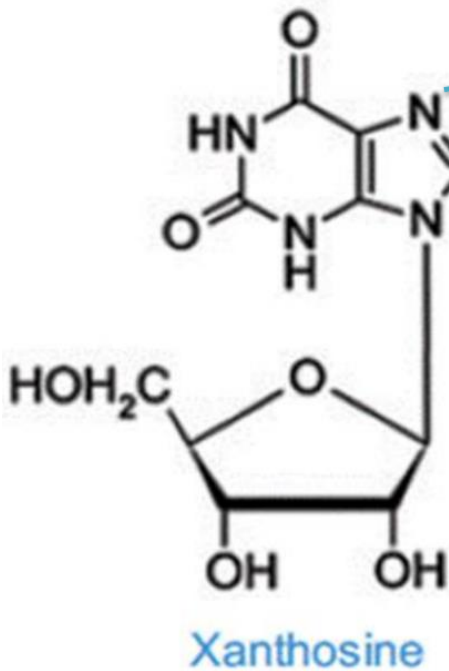
A concentrated solution of the alkaloid + tannic acid → white precipitate is obtained that dissolves in excess of the reagent.

Ferrous sulfate test: (**theobromine**):

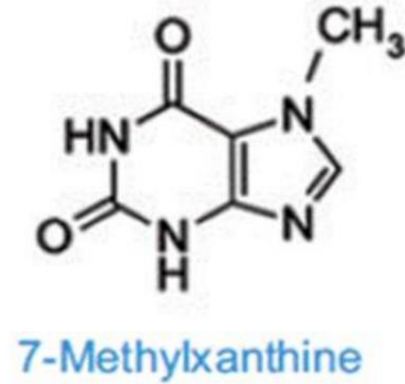
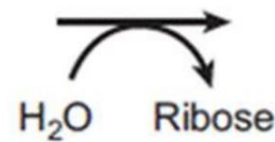
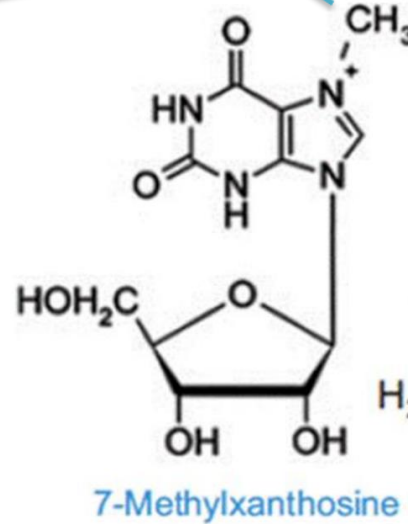
To a solution of the alkaloid + drops of concentrated HCl + few drops of Br_2 water + a drop of FeSO_4 + few drops of ammonia → **Blue color**

Alkaloid biosynthesis in plant

- purine alkaloids

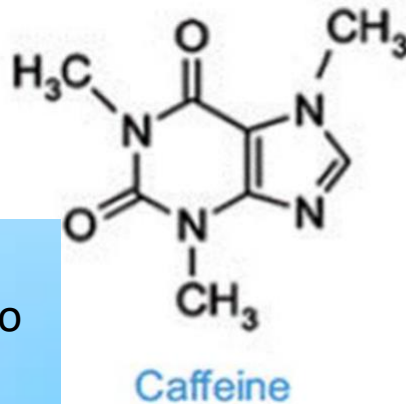


XMT
xanthosine N7-
methyltransferase

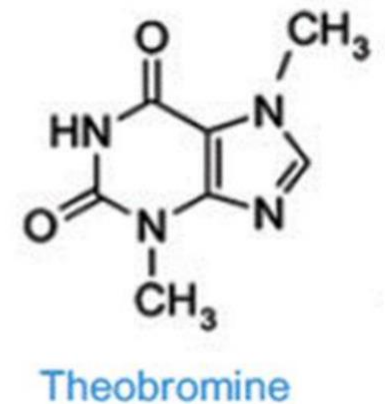


7-methylxanthine-N-methyltransferase
(MXMT) (also called theobromine synthase)

MXMT ↓ **CS/TS**



DXMT
← **CS**



dimethylxanthine-N-
methyltransferase (DXMT) (also
called caffeine synthase).