

pharmacognosy

3rd stage/2nd term

Tropane Alkaloids

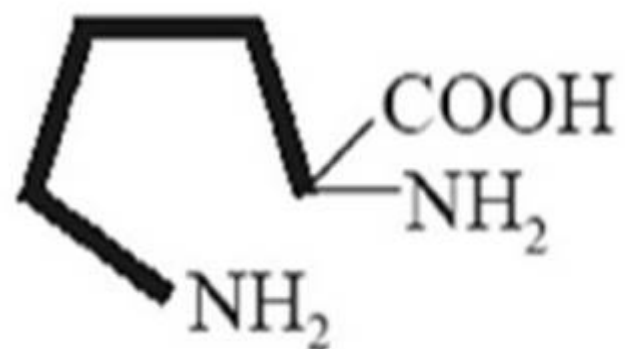
Dr. Zahraa Shubber

Lec .3

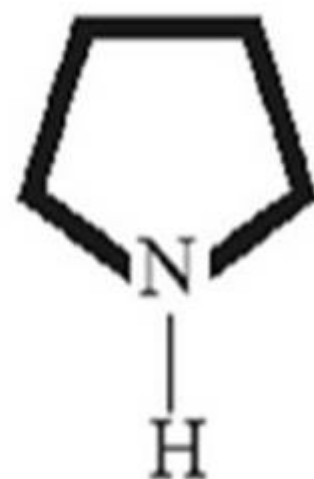
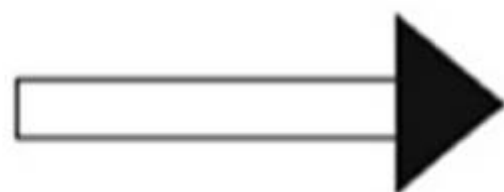


Tropane Alkaloids

- Tropine alkaloids is a bicyclic compound formed by the condensation of **pyrrolidine precursor (ornithine) with 3 acetate derivative carbon atoms.**
- The 3-hydroxyl derivatives of tropine are known as **tropine**, its esterification with tropic acid yield hyoscyamine (tropinetropate) which may racemized to form atropine.
- Important drugs and alkaloids of this group are **Belladonna leaf, hyoscyamus, stramonium, coca, atropine, scopolamine and cocaine.**



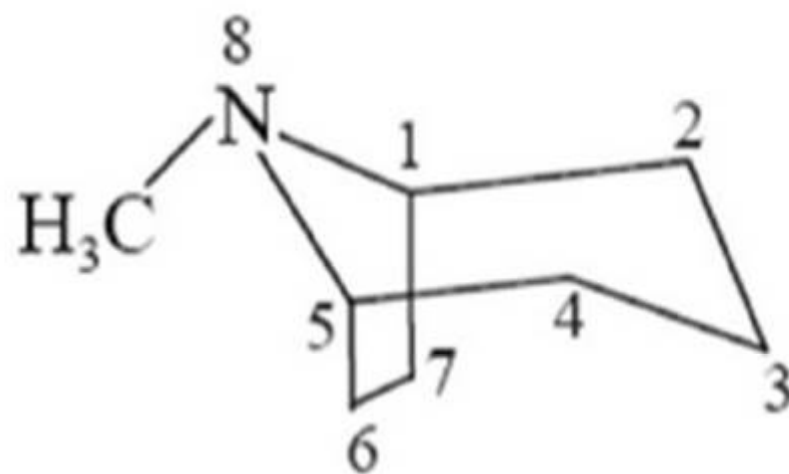
L-Ornithine



Pyrrolidine [C_4N]

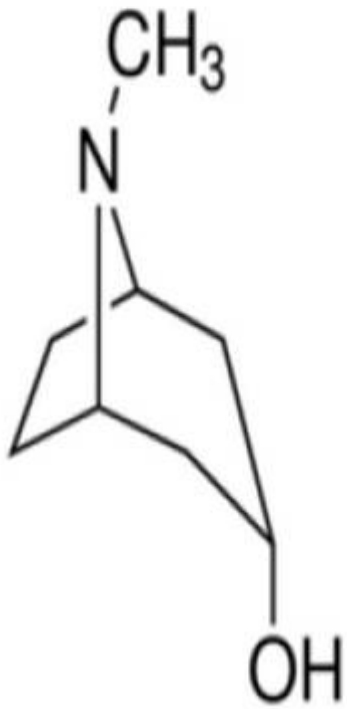


Tropane

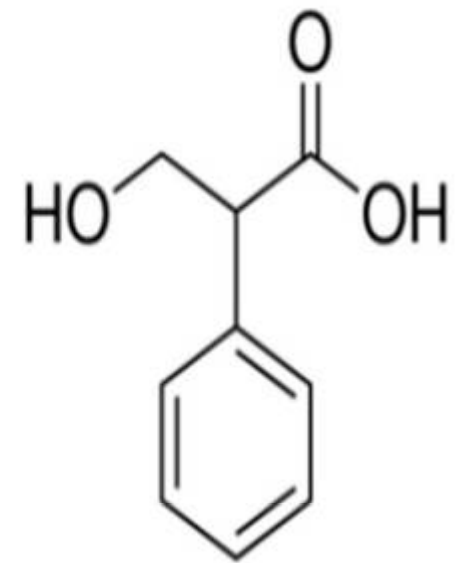
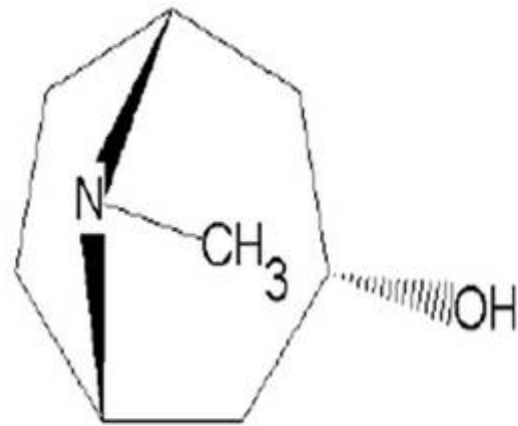


Tropane

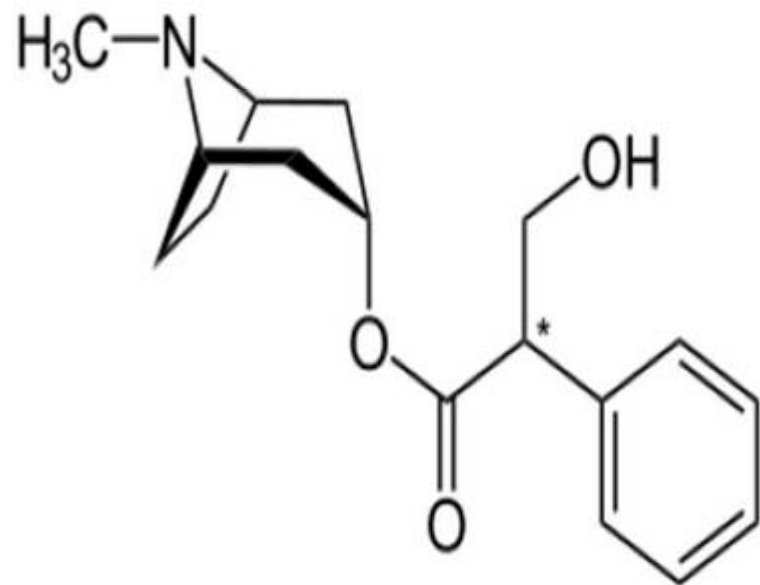
Tropane alkaloids



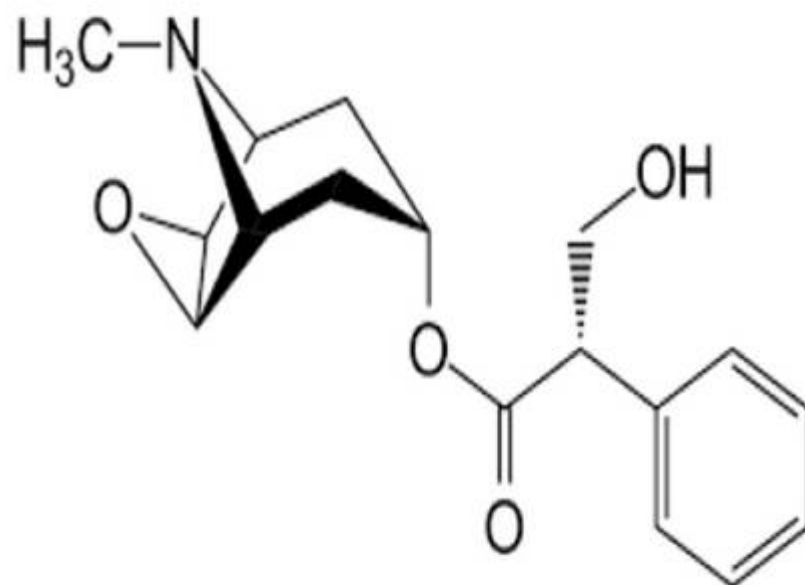
Tropine



Tropic acid

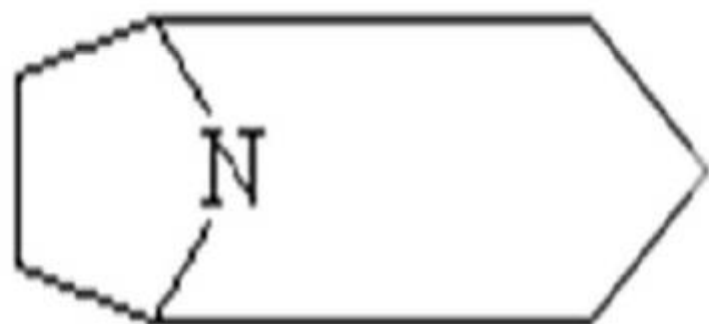


Hyoscyamine



Scopolamine

Tropane alkaloid

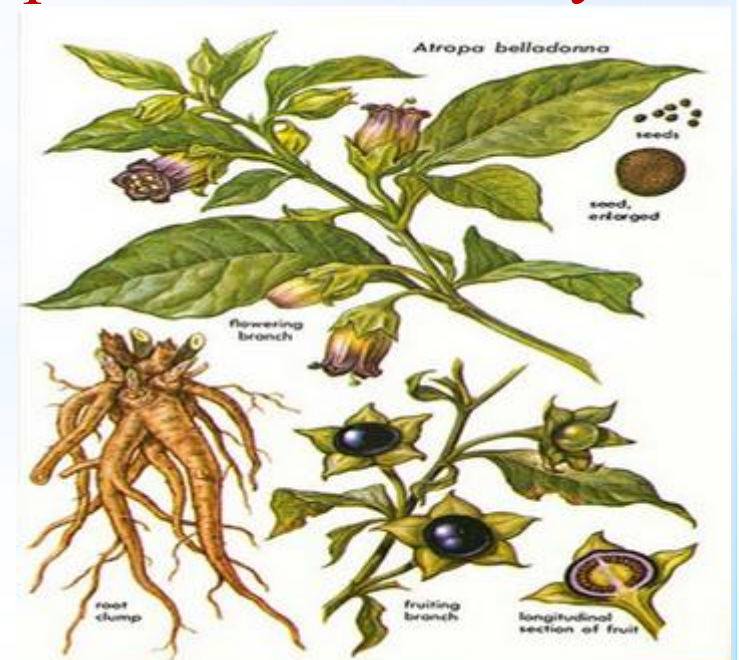


Belladonna

- Belladonna leaf or belladonna herb deadly night shade leaf consist of the dried and flowering or fruiting top of **atropa belladonna**(F. Solanaceae).
- The leaf yields alkaloids (-) **hyoscyamine and atropine** is formed by **racemization** during the extraction process, other alkaloid present in **root** but in the **leaf** include **apoa tropine, belladonnine, cuscohygrine and scopolamine**.



- Belladonnine act as a parasympathetic depressant which account for it is used as **spasmolytic agent**.
- The drug uses as aid therapy in the treatment of **peptic ulcer functional digestive disorders including spastic, mucous and ulcerative colitis, diarrhoea, diverticulitis and pancreatitis**.
- It possesses **anticholinergic** properties and is used to **excess motor activity of the GIT and spasm of the urinary tract**.
- Leaf is commonly administered in tincture or extracts.



■ Solanaceous alkaloids:

➤ The principal of this group are

- **(-) hyoscyamine, atropine (+/-hyoscyamine),**
- **scopolamine (or hyoscine)**
- **and anhydride of atropine (apoa tropine) and its isomer, belladonnine.**

➤ They are tropine and esters derivatives.

■ **Hyoscyamine:**

- Is the tropine ester of (-) tropic acid. When (-) hyoscyamine is extracted from the plants in which it occur, it is usually racemized during the process and thus converted into (+/-) compound which is atropine.
- **Hyoscyaminesulphate** is sulphate of an alkaloids obtained from hyoscyamus or other genera of Solanaceae. It is extremely **poisonous**.

Uses:

- Hoscyminesulphate is an **anticholinergic**, used as aid in control of gastric secretion, visceral spasm, hyper motility of spastic., colitis, pylorospasmand associated abdominal cramps.
- In **parkinsonism** used to **reduce rigidity and tremors**.
- Drug in pharmacy: antispasmine tab, syr & inj

■ Atropine

•Is an alkaloids obtained from **atropa belladonna**, **Datura sp.** And **hyoscyamus sp.** F. (Solanaceae or produced synthetically). It is extremely poisonous.

Uses:

Atropine sulphate is an anticholinergic used

➤ In surgery as an **anti sialagogue** to control bronchial, nasal pharyngeal and salivary secretions.

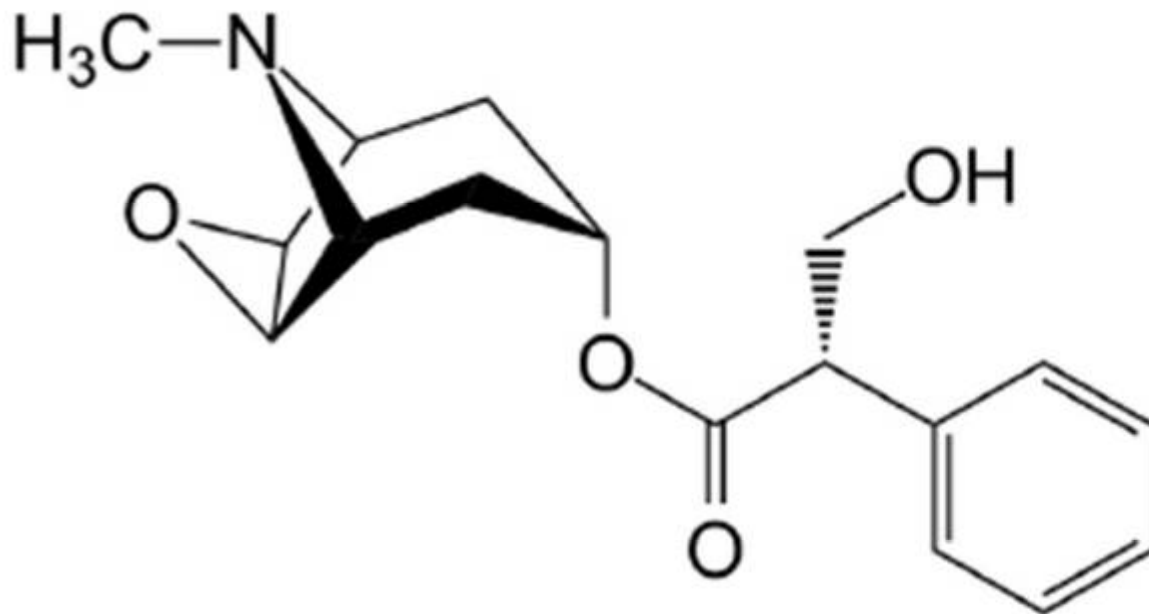


- It is usually injected IM **prior to induction of anaesthesia.**
- During surgery the drug is given IV. **When reduction in pulse range and cessation of cardiac action** are attributable to increase vagal activity.
- Useful in spastic **contraction of GIT and for urethral and ability colic.**
- Cause **mydriasis** is when apply directly on the pupil of eye.



■ Scopolamine: or hyoscine

An alkaloids that is particularly abundant in **Datura fastuosa**.



Uses:

- Scopolamine hydro bromide is classified as anticholinergic at usual therapeutic doses, **scopolamine is a CNS depressant where as atropine is a stimulant** (for this reason) scopolamine used for preanaesthetic sedation and for obstetric amnesia in connection with analgesics, also used for suppress delirium.
- Also scopolamine effective in **prevention of nausea and vomiting associated with motion sickness.**

❖ **Datura stramonium-Solanaceae**

- Definition stramonium Leaf consists of the dried leaves or dried leaves and flowering tops of **Datura stramonium**.
- The drug should contain at least 0.25% alkaloids calculated as **hyoscyamine**.
- Common names Stramonium leaf, thornapple, jimson or Jamestown weed.
- **Main (Tropane) Alkaloids**
 - **Hyoscyamine, Hyoscine (2:1)**
 - Younger plants; **hyoscine** predominant alkaloid.
 - **Also contain atropine**



Toxicity

- Stramonium is generally regarded as a toxic weed frequently causing poisoning in children when seeds were ingested.



The chief toxic symptoms of atropine poisoning.

- Dilated pupils, impaired vision
 - Dryness of skin and secretions, extreme thirst.
 - Hallucinations.
 - Loss of consciousness and finally death.
- Generally the antidote of atropine or Datura is **physostigmine**.

❖ **Hyoscyamus Niger**



➤ Tropane alkaloids mainly

• **Hyoscyamine, hyoscine (main constituent).**

Uses:

- Resembles belladonna and stramonium in action - only weaker
- Higher hyoscine content: less likely to cause cerebral stimulation.
- Used to relieve spasm of the urinary tract.

❖ **Atropa belladonna**

➤ Mainly tropane alkaloids (**hyoscyamine**)

➤ Also contains

• **Scopoletin**

• **Calcium oxalate**

Used

• Sedative, Stop secretions

• Used externally.



❖ Cocaine

- One of the most of the drugs of abuse and addiction.
- Possession, cultivation and distribution of cocaine is **illegal** for non medicinal and non governmental sanctioned purposes.
- **Peru and Bolivia** are the only two countries currently authorised under international agreements to grow coca legally for the pharmaceutical market.
- The first processing step is turning coca leaves into paste which is easier to transport into cocaine processing laboratory. (it is done by farmer).

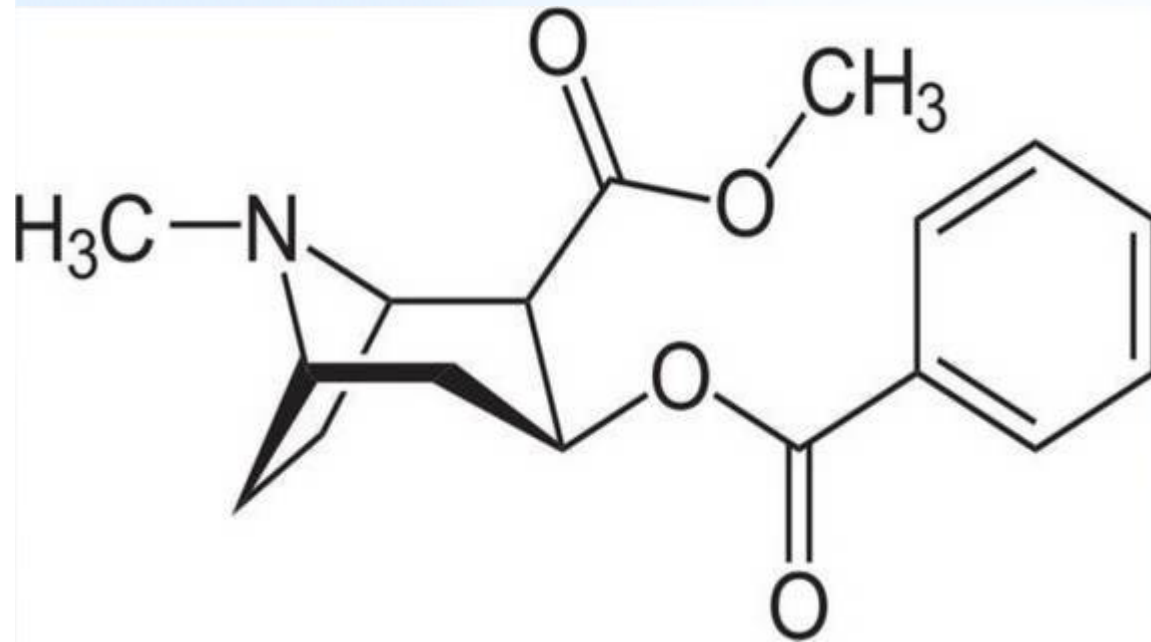
Processing of cocaine paste to cocaine

- It occurs mostly in Columbia (Clandestine laboratory)
- Between 100 and 200 Kg of dried leaves is needed to make a single Kg of paste.
- 2.5 kg of paste yields 1 kg of cocaine.



Cocaine

- Coca or coca leaves have been described as the dried leaves of **Erythroxylum coca**.
(F. Erythroxylaceae).



➤ The Coca leaves contain 3 basic types of alkaloids:

1. Derivatives of ecgonine (coca. Cinnamylcocaine, a and b-truxilline)

2. Tropine (tropacocaine, valerine).

3. Hygrine(hygroline, cuscohygrine).

➤ **Only the ecgonine derivatives are commercially important.**

➤ Ecgonine derived from **ornithine and acetate and phenylalanine**

Cocaine Action

- Cocaine has multiple **central and peripheral nervous system actions**.
- It is **psychomotor stimulant** with a strong abuse potential.
- The action responsible for abuse liability is prolongation of dopamine in the synapse by **blocking reuptake inhibition**.
- Abuse through **administration IV** (cocaine hydrochloride), **sniffing and smoking** (free base).
- It could use as **local anaesthetic or CNS stimulant** (counteract the narcotic-induced respiratory depression and sedation).

Thank you

