pharmacognosy

3rd stage/2nd term

Pyridine and Piperdine alkaloids

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Lec .2

Addition to lecture 1
Alkaloids

Description

- Alkaloids are bitter tasting
- They give precipitate with **heavy metal iodides.**

Exception of caffeine (a purine derivative) **does not precipitate like most alkaloids.**

In plant alkaloids may exist in the free state, as salts or as N-oxides.

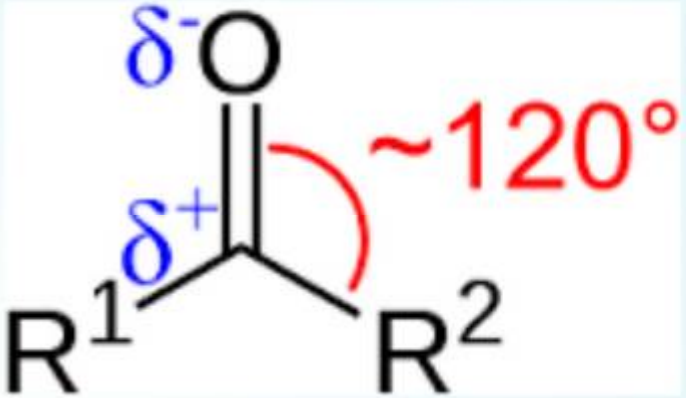
Occurrence

- Alkaloids occur in bacteria (pseudomonas aeruginosa).
- All alkaloids of one plant will have a common biogenetic origin.

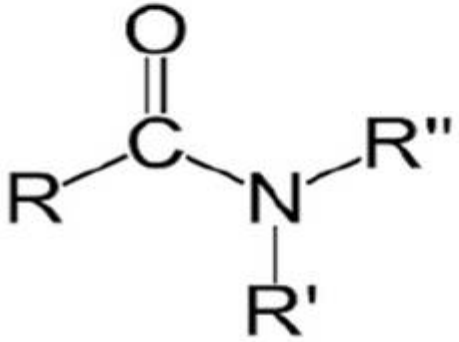
Physical chemical properties

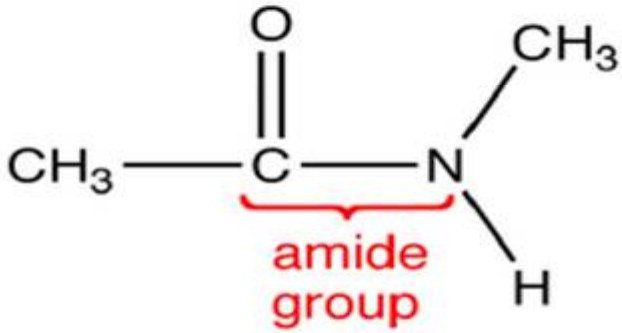
- MW: 100-900
- Most solid bases rotate the plane of polarized light.
- Have high melting points.
- The basicity of alkaloids depends on the availability of the pair or e-on the N atoms, C –donating group enhance basicity while e-withdrawing groups decrease it.
- Because some alkaloids have carbonyl group on the amide, they also can be neutral (Colchicine and piperine).

Carbonyl group



Amide





- Basic characteristic renders complex alkaloids unstable, so that in solution they are sensitive to heat, light and oxygen.
- Solid salts can be conserved well and are common commercial form of alkaloids.

Extraction of alkaloids

- Depends on Salt and base solubility
- Herbs often contain other materials which can interfere with extraction such as large amounts of fat, waxes, terpenes, pigments and other lipophilic substances e.g. (by forming emulsions).
- We can avoid it by defatting the crushed herb (using petroleum ether and hexane).

Extraction of alkaloids

- Extraction method normally depends on the

1. Raw material

2. The purpose of extraction

3. The scale on which is to be performed.

- E.g. for research purposes chromatography allows for quick and reliable results.

- In large amounts of alkaloids need to be extracted using other specialized method.

pharmacological actions of Alkaloids

- (Morphine, codeine) are analgesics and narcotics
- Brucine and strychnine are central stimulants.
- Some alkaloids (atropine) are mydriaticwhereas others (pilocarpine) are mitotic.
- Some (ephedrine) cause rise blood pressure
- Others (reserpine) produce fall in excessive hypertension.
- CNS Action: Stimulants (caffeine) or depressant (morphine).
- ANS : Sympathomimetic (ephedrine) or sympatholytic (yohimbine, ergot alkaloid), parasympathomimetics(pilocarpine).

- Local anesthetic (Cocaine).
- Antiarrhythmic (quinidine).
- Antitumor (Vincristine).
- Antimalarial (quinine).
- Anti bacterial (Berberine)
- Some are used galenical such as Belladonna
- Most of alkaloids are used as starting materials for industrial extraction such as

Morphine from opium

Quinine from cinchona bark

Biosynthesis(main precursors of alkaloids):

Group 1: Aliphatic amino acids-ornithine and lysine

They are precursors to pyrrolidine, piperidineand tropane alkaloids

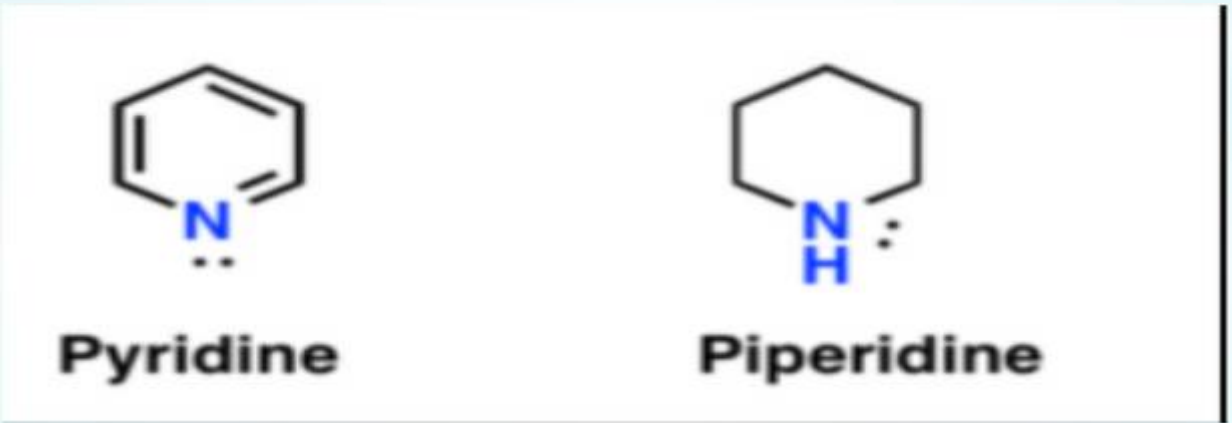
Group 2: Aromatic amino acids –Phenylalanine, tyrosine, tryptophan

Group 3: Precursors of terpenes, steroids and polyketides – often together with the aliphatic or aromatic amino acids result in alkaloids of mixed biosynthetic origin.

Pyridine and Piperdine alkaloids

- On reduction, pyridine (tertiary base) converted to piperidine(Secondary base).
- This group of alkaloids is divided into

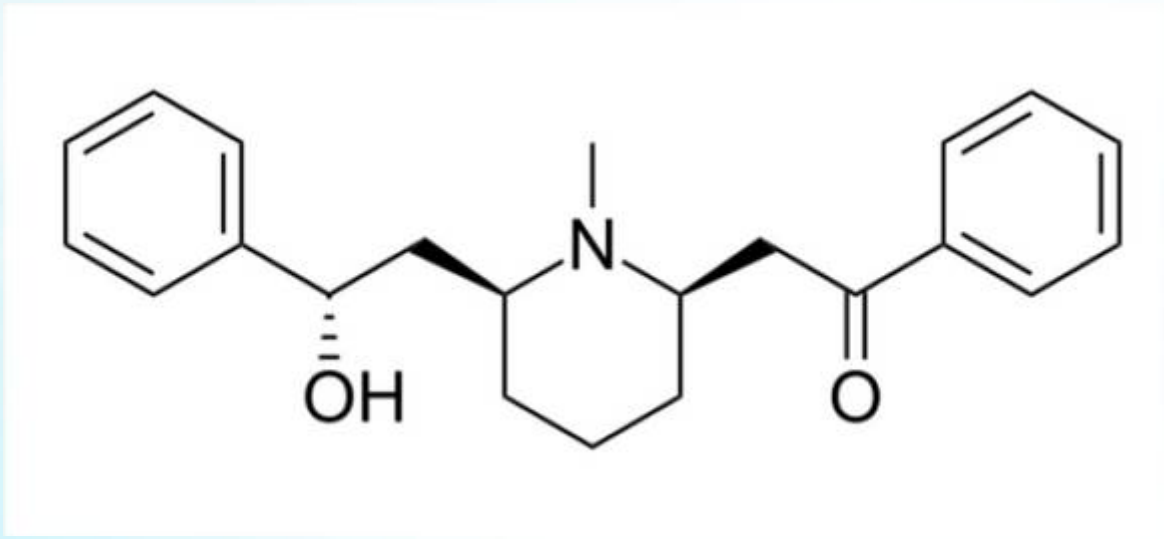
1. Derivative of piperidine (lobeline) from lobelia
2. Derivative of nicotinic acid (arecoline) from areca
3. Derivative of both pyridine and pyrrolidineincluding nicotine from tobacco.



Drugs containing Pyridine and Piperdine alkaloids

Lobeline

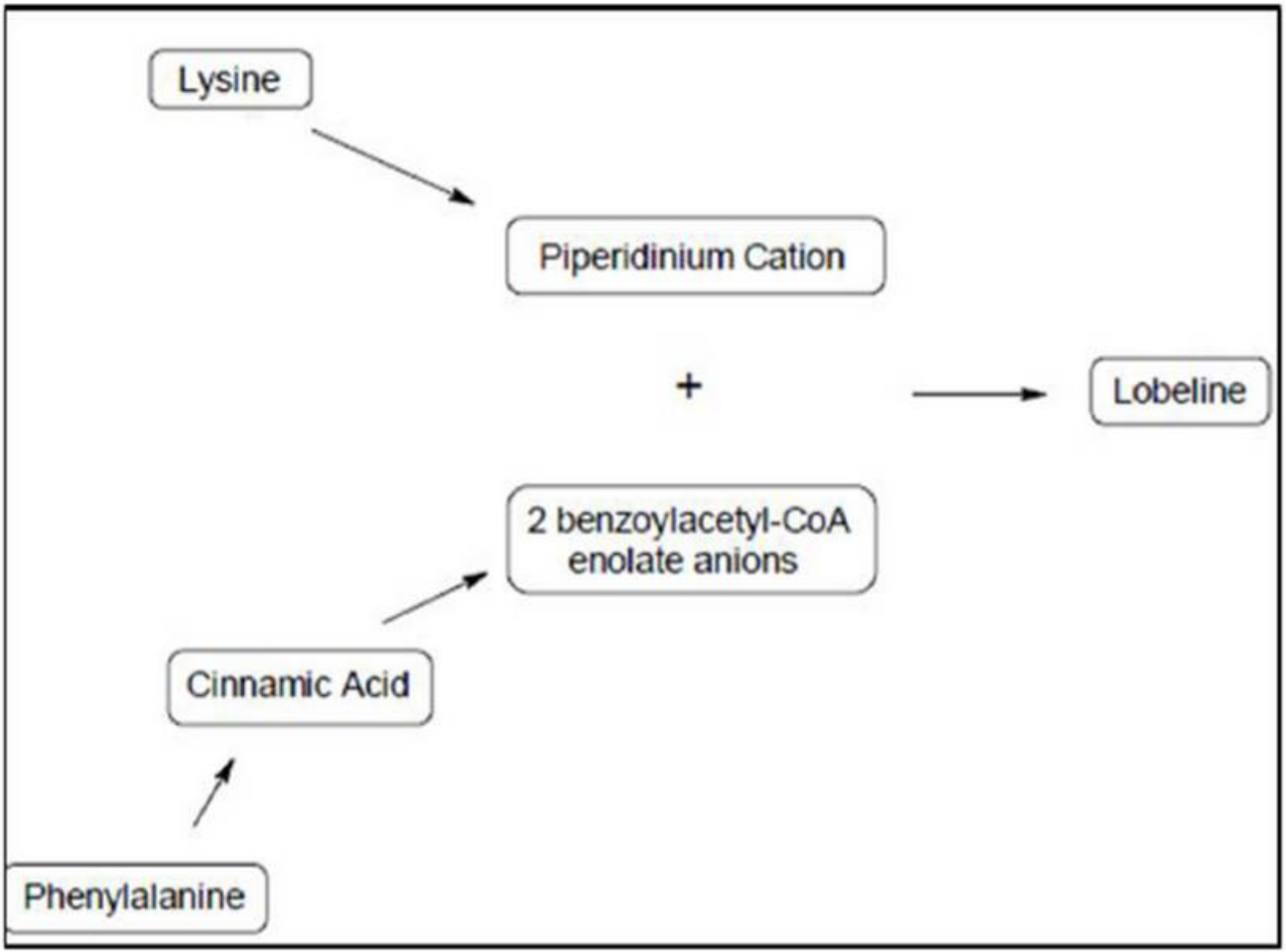
- Lobelia inflata Fam. Lobeliaceae, Indian tobacco
- Dried leaves, the drug contains 14 alkaloids, of which lobelineis the major and most important.



Uses:

- Similar but weaker pharmacological effects of nicotine on peripheral circulation, neuromuscular junction and CNS
- Asthma
- Chronic bronchitis
- Anti smoking preparations (tablet or lozenges) (remove from the markets (placebo).
- Toxic dose of the herb has a paralytic effect.

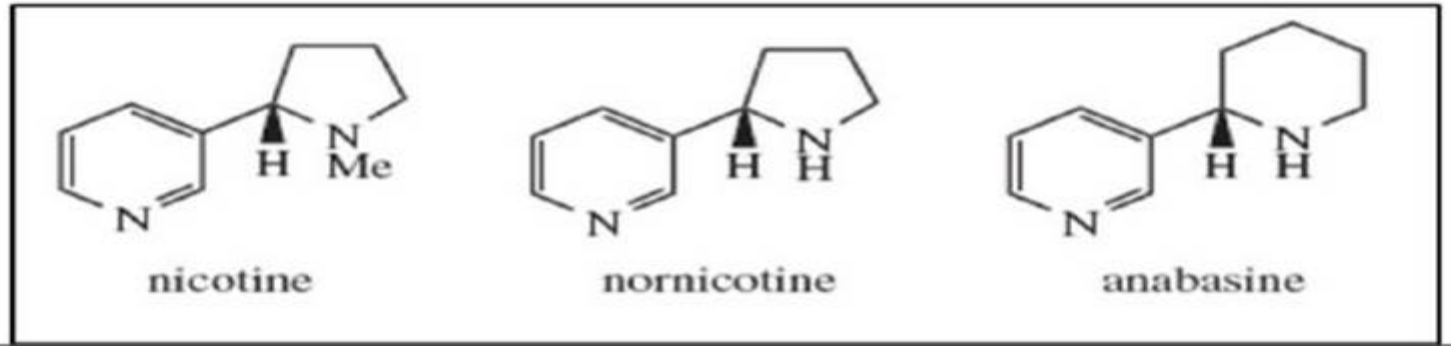
Biosynthesis of lobelia alkaloids



Tobacco

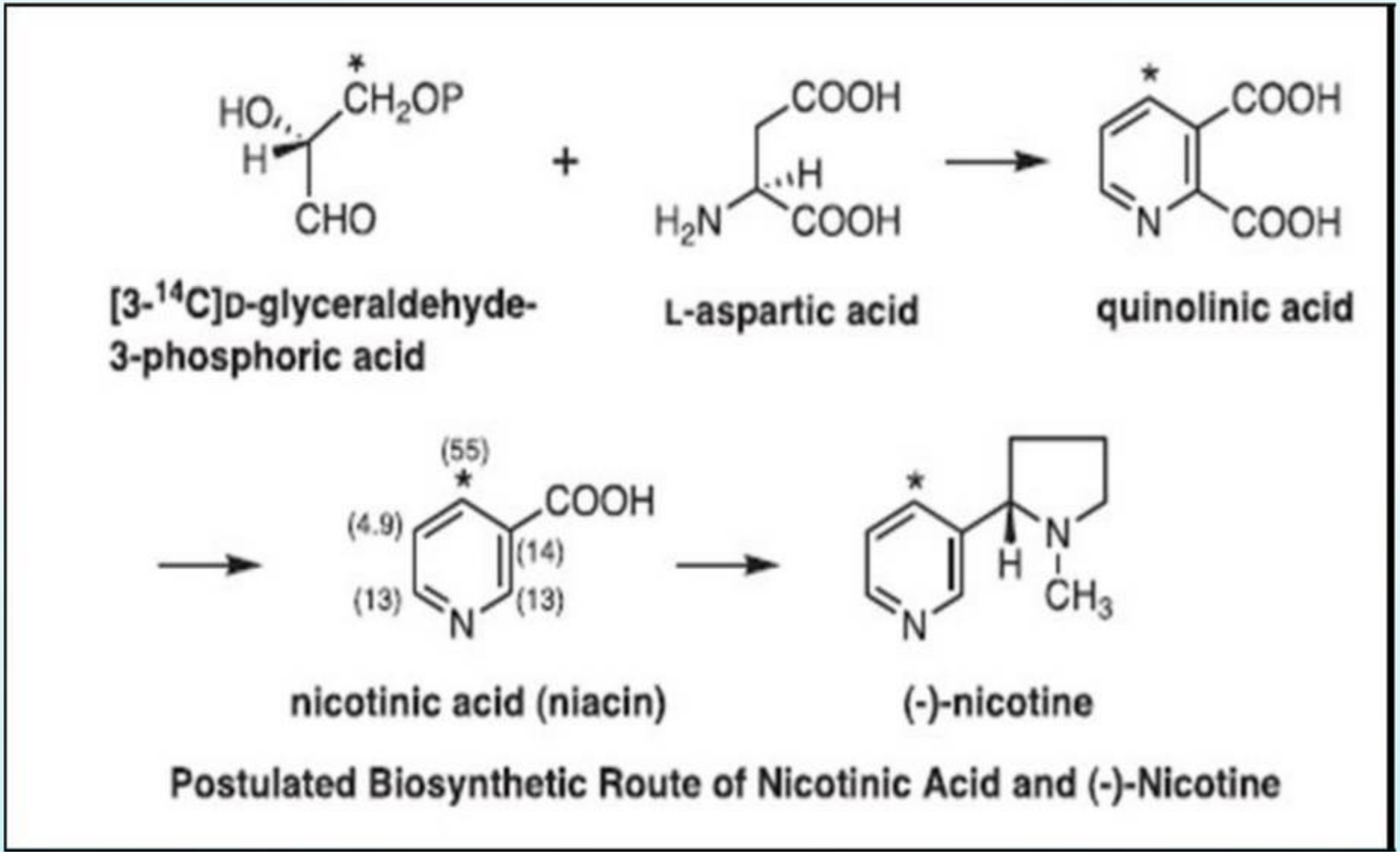
- It is dried leaves of (*Nicotiana tabacum*, Solanaceae)
- It is cultivated for smoking. In general, the alkaloids found in tobacco include a variety of alkaloids, such as: nicotine, anabasine, and niacin (Vitamin B3, nicotinic acid).
- A combination of a pyridine ring with a pyrrolidine ring gives rise to nicotine, or a combination of a pyridine ring with a piperidine unit forms anabasine.

Nicotine is an oily liquid alkaloid, it is colorless liquid but when oxidized convert to yellow color.



TOBACCO

Nicotine is biosynthesized from ornithine , aspartic acid and glyceraldehydes.



Nicotiana tabacum, Pharmacological activity

- Nicotine is a ganglionic (nicotinic) cholinergic receptor agonist with complex pharmacologic actions that include effects mediated by binding to receptors in autonomic ganglia, the adrenal medulla, the neuromuscular junction, and the brain. Chronic use of nicotine may result in psychologic and physical dependence.
- The only medicinal use of nicotine is to relieve the corresponding symptoms during smoking cessation programs.
- Nicotine based products: chewing gum (2-4 mg nicotine), transdermal nicotine system (patch) releasing 5-7 to 15-21 mg/24h of nicotine.

Areca or Areca nut

- The dried ripe seed of areca Arecacatechu fam.Arecaceae
- Areca contains several alkaloids that are reduced pyridine derivatives which are **Arecoline, arecaidine, guvacineand guvacoline.**
- Areca classified as an **anthelmintic** in veterinary practice and is employed as a vermicide and taeniafuge.

Thank you