Pharmacognosy

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2nd semester ***Lec:5***

Alkaloids Derived from Nicotinic Acid

The alkaloids derived from the nicotinic acid are commonly known as the 'Pyridine Alkaloids'. In general, the alkaloids found in tobacco (*Nicotiana tabacum*, Solanaceae) include a variety of alkaloids, such as: nicotine, anabasine, and niacin (Vitamin B3, nicotinic acid). Interestingly, the 'pyridine unit' has its origins in vitamin B3 (nicotinic acid); whereas, 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.

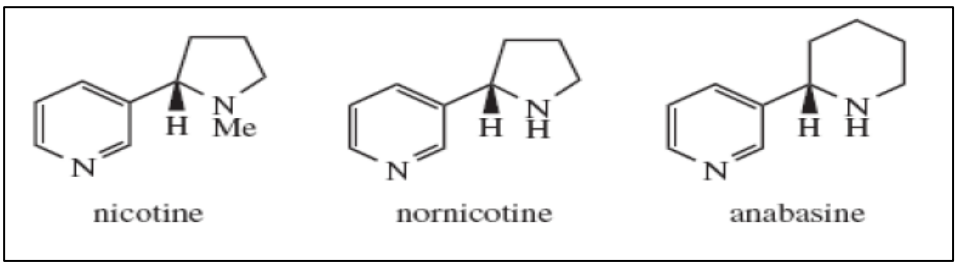
Tobaccos, *Nicotiana tabacum L., N. rustica L.,* Solanaceae. These tobaccos and their multiple cultivars are grown for the production of leaves for smoking.

N. tabacum is an annual plant reaching 2m in height. Characterized by very large (50-70 x 30-45 cm) alternate, sessile or sheathing leaves, and panicles of flowers with a tubulous flared corolla, ranging in color from pinkish to ruby red.

Chemical Composition

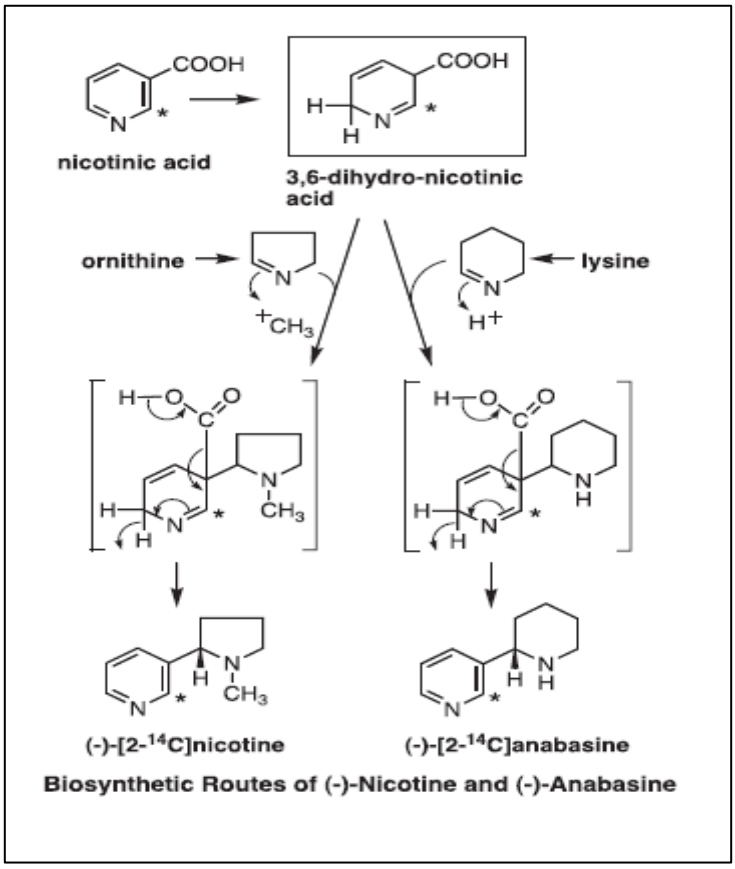
•Green tobacco leaves are rich in sugars (40%; starch, pectin, cellulose, soluble sugars), proteins and organic acids (15-20%).The alkaloid concentration varies greatly depending on the cultivation practices and the variety (2-10%, more than 15% in some cultivars of N. rustica).

•The chief alkaloid is (S)-(-)-nicotine.The other alkaloids are very close structurally and are anabasine, nornicotine, the N-oxidized derivatives of nicotine. Nicotine is a strong volatile base.

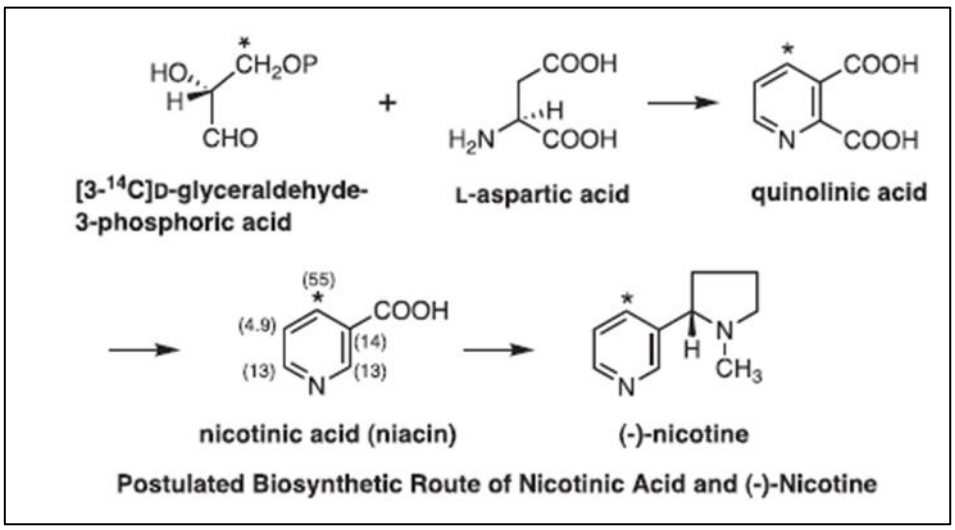


Biosynthesis of nicotine and anabasine

The structures of these alkaloids also have similarities in that one contains a pyrrolidine ring derived from ornithine and the other a piperidine ring derived from lysine, both of which are joined at C-3 of the pyridine ring, itself derived from nicotinic acid



Regarding the biosynthesis of the nicotinic acid moiety, [3–14C]d-glyceraldehydewas incorporated into *Nicotiana rustica* (Solanaceae). this also demonstrates that the precursor uniting with aspartic acid to form quinolinic acid and finally give nicotinic acid.



***Nicotiana tabacum*, Pharmacological activity**

Nicotine is a 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 symptomes 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.

These products (being considered to be highly toxic) can be useful as adjuncts in highly dependent patients, but they are no substituents for a strong motivation to quit smoking and long-term psychological support.

Both nicotine and anabasine possess strong insecticidal activity

Betel (Nut) Palm, Arecae semen

***Areca catechu L.*, Palmae**

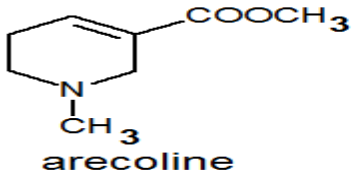
The betel palm is **a palm tree** with a slender stipe ending with a bunch of feathered leaves. The **frui**t is a fibrous **drupe** and it contains only one seed. The tree is **widely cultivated**, from India and Sri Lanka to south of China and the Philippines , in Malaysia and in Indonesia; it is also found in Africa .

Pharmacognosy

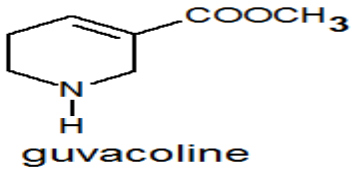
Areca nut

Areca nut (betel-nut), the seed of *Areca catechu*, is a hard ovoid mass (2 cm in diameter) the color of cinnamon. Constituents: 50-60 % sugars, 15 % lipids, 15 % condensed tannins, and 0,2-0,5 % alkaloids.

•Alkaloids: areca contain several alkailoids that are reduced pyridine derivatives. Among them are Arecoline, arecaidine, guvacine (tetrahydronicotinic acid), and guvacoline. its precursor is not an amino acid but tetrahydronicotinic acid. Therefore, it is a pseudoalkaloid of pyridine nucleotide origin.



arecoline



guvacoline

Pharmacological effects

•Arecoline is a parasympathomimetic which acts on the muscarinic receptors, and at high doses , on the nicotinic receptors.

This results in multiple actions: vasodilation, hypotension, and reflex of tachycardia at low doses, stimulation of intestinal tone and peristalsis, increase in secretions (hypersalivation and sweating), myosis, and bladder contraction.

Traditional use:-

The drug has been used as a antithelmintic in veterinary medicine and is employed as a vermicide and taeniafuge. Betel is widely used as a masticatory in all of southeast Asia; its consumption is a very ancient social, religious, and cultural practice.