



السنة الثالثة

كيمياء عقاقير

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القسم الأخير

كيمياء دكتور - المحاضرة الثانية
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Alkaloids

Jean Bruneton
Pharmacognosy
790-798

Physico-chemical properties

- Alkaloids have molecular weights ranging from 100 to 900.
- Most of the bases that do not contain oxygen atoms are liquid at ordinary temperatures (nicotine, sparteine, coniin).
- Alkaloids which contain oxygen atoms are crystallizable solids and in rare cases they are colored (berberine).

Physico-chemical properties

- All of the crystallized bases rotate the plane of polarized light, and have sharp melting points, without decomposition, especially below 200° C.
- Alkaloids as bases are not soluble or are sparingly soluble in water, soluble in apolar or only slightly polar organic solvents, and are soluble in concentrated hydroalcoholic solutions.

Physico-chemical properties

- The basicity of alkaloids varies greatly, since this property depends entirely on the availability of the lone pair of electrons on the nitrogen atom. Electron-withdrawing groups in close proximity to the nitrogen atom decrease the basicity, whereas electron-donating groups enhance it: colchicine and piperine are, because of the presence of the carbonyl group on the amide, practically neutral.

Physico-chemical properties

- The basic character of alkaloids allows the formation of salts with mineral acids or organic acids.
- Alkaloid salts are generally soluble in water and in dilute alcohols, and they are, except in rare cases, not soluble in organic solvents.

Detection and characterization

- A detection technique ought to be, to the extent possible, rapid, simple, reproducible, and sensitive; it must be applicable to a small sample.
- The detection methods currently in use are preceded by an extraction and consist, most generally, in precipitating the alkaloids by using fairly specific reagents.

Detection and characterization

- The general reagents for alkaloids are used.
- The preliminary extraction can be a classic alkaloid extraction or an alcoholic maceration, which takes less time: the alcoholic solution is evaporated and the residue redissolved in acidic water; after filtering, the alkaloids are characterized in the filtrate.

Detection and characterization

- The general reactions of precipitation are based on the fact that alkaloids form combinations with metals and metalloids: Bismuth, mercury, tungsten, and iodine.
- In practice, what is used is a solution containing iodine and iodide, or a solution containing potassium iodide and mercuric chloride-known as Mayer's reagent-or a reagent containing bismuth nitrate and potassium iodide (daragendroff reagent)

Detection and characterization

- It is also possible to use silicotungstic acid (mixture of tungsten and silicon oxides), or alkaline solution of iodoplatinates.
- The specificity of these reagents is not absolute: proteins, ألفا-pyrones, some coumarins, hydroxyflavones, lignans, and other compounds can give false positive reactions with dragendroff reagent.

Detection and characterization

- Other reagents are available to characterize alkaloids, particularly those that give color reactions characteristic of subgroups of alkaloids
- P-dimethyl-amino-benzaldehyde for the ergot alkaloids and pyrrolizidine alkaloids
- Cerium and ammonium sulfate, which differentiate indoles (yellow), dihydroindoles (red), B-anilinoacrylates (blue), oxindoles;

Detection and characterization

- Ninhydrin for arylalkylamines
- The Vitali-Morin reaction for esters of tropic acid
- Reagents containing ferric chloride in the presence of hydrochloric acid (tropolones) or perchloric acid (Rauwolfia).
- The reactions listed above show the presence of alkaloids; but are not sufficient to verify the identity of a drug; they also do not provide information on the composition of mixtures.

Detection and characterization

- To this end, and as in the case of many other secondary metabolites from plants, the methods currently used are TLC and HPLC, on normal or reverse phase (with solvents of the water-methanol or water-acetonitrile type).
- Dragendorff's reagent, the iodine-iodide solution (or iodine vapors), potassium iodoplatinate, or cerium and ammonium sulfate are commonly used to visualize TLC plates.

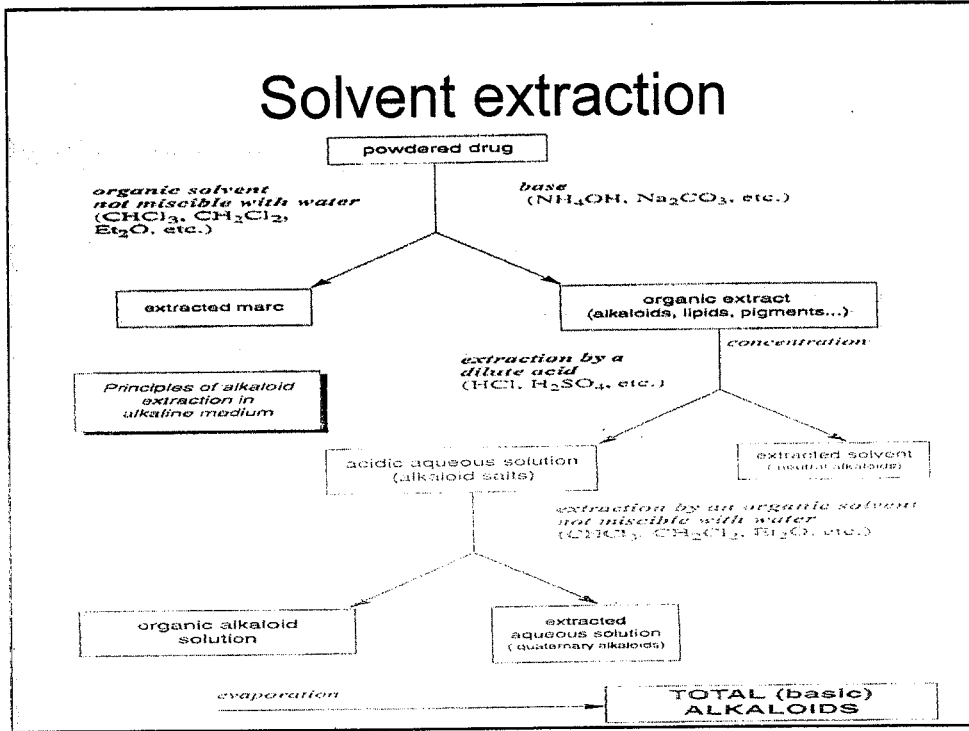
Extraction of alkaloids

- The extraction of alkaloids is based, as a general rule, on the fact that they normally occur in the plant as salts and on their basicity, in other words on the differential solubility of the bases and salts in water and organic solvents.
- The plant material often contains substantial quantities of fats (this is particularly true for the seeds), and also waxes, terpenes, pigments, and other lipophilic substances which may interfere with the extraction procedure, for example, by causing the formation of emulsions.

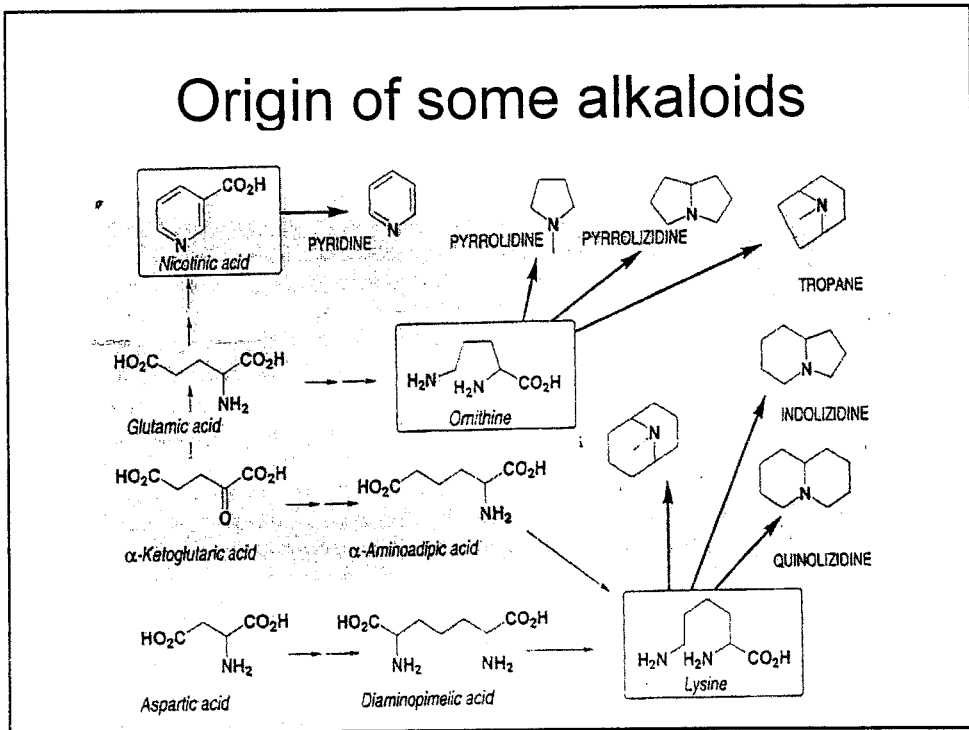
Extraction of alkaloids

- These technical problems can be more or less completely avoided by preliminary defatting of the crushed drug.
- Petroleum ether and hexane are well suited for this step: alkaloids are soluble in these solvents only in exceptional cases, when the medium is neutral.

Solvent extraction



Origin of some alkaloids



Origin of some alkaloids

