Pharmacognosy

HISTORY

Introduction to Pharmacognosy

- A brief history of natural products in medicine
- Value of natural drug products
- Production of natural drug products
- The role of natural products in drug discovery
- General principles of botany: morphology and systematics

I. The history of natural products in medicine

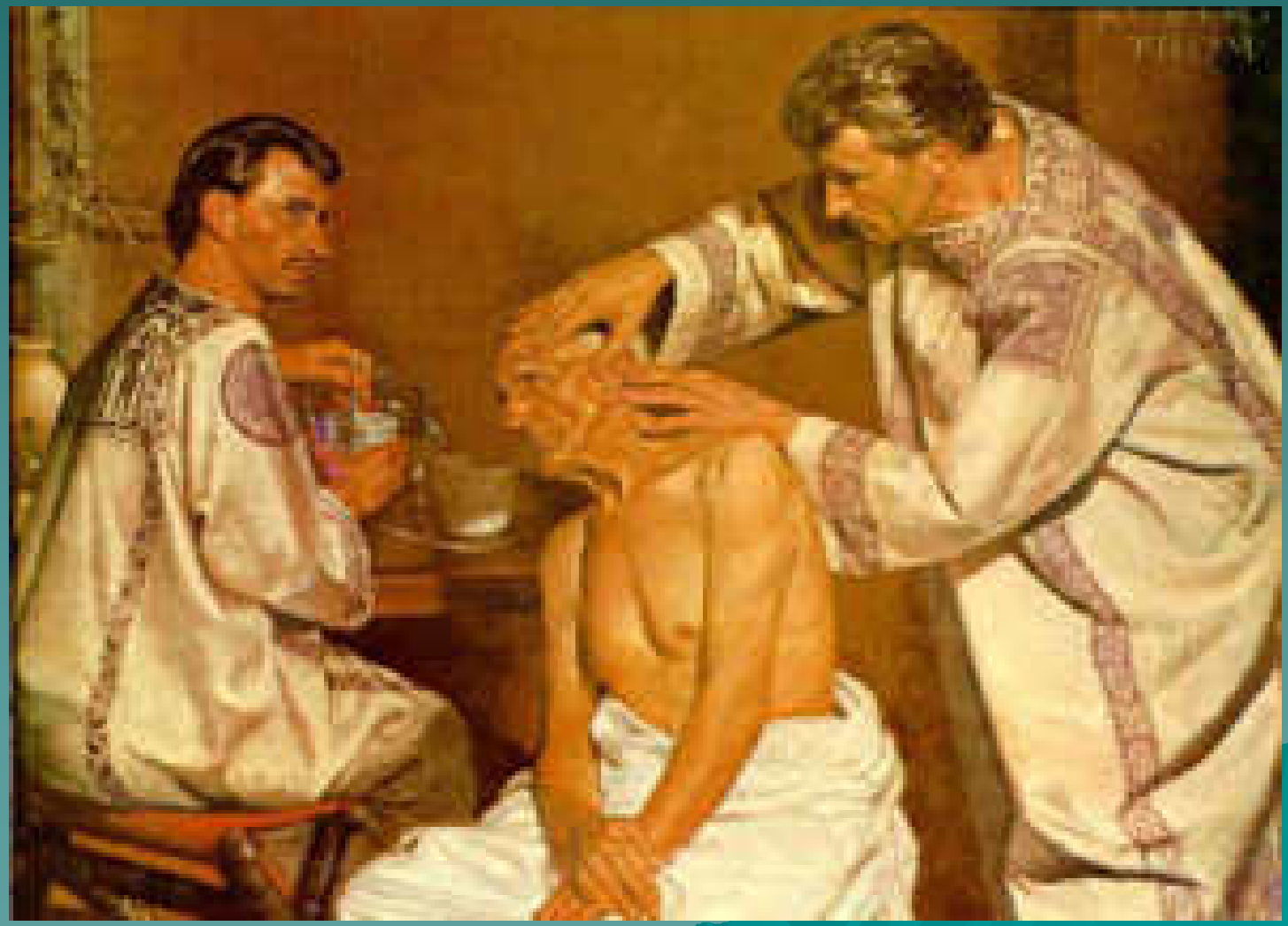
- A great proportion of the natural products used as drugs
- The study of drugs used by traditional healers is an important object of pharmacognostical research
- Sumerians and Akkadians (3rd millennium BC)

Egyptians (*Ebers papyrus*, 1550 BC)

Authors of antiquity

Hippocrates (460-377 BC)

“The Father of Medicine”



Dioscorides (40-80 AD)

"De Materia Medica" **(600 medicinal plants)**

The Islamic era

Ibn Altabari (770–850)

“ فردوس الحكمه”

Ibn Sina (980-1037)

"القانون في الطب"

Ibn Albitar (1148-1197)

"الجامع لمفردات الأدوية والأغذية"

The era of European exploration overseas (16th and 17th century)

The 18th century, Pharmacognosy

- Johann Adam **(1759-1809)**
- Linnaeus **(naming and classifying plants)**
- At the end of the 18th century, crude drugs were still being used as powders, simple extracts, or tinctures

The era of pure compounds

(In 1803, a new era in the history of medicine)

- Isolation of morphine from opium
- Strychnine (1817)
- Quinine and caffeine (1820)
- Nicotine (1828)
- Atropine (1833)
- Cocaine (1855)

- In the 19th century, the chemical structures of many of the isolated compounds were determined

- In the 20th century, the discovery of important drugs from the animal kingdom, particularly hormones and vitamins.

  microorganisms have become a very important source of drugs

Definitions

- Pharmacognosy:

  It is the science of biogenic or nature-derived pharmaceuticals and poisons

- Crude drugs:

  It is used for those natural products such as plants or part of plants, extracts and exudates which are not pure compounds

- Ethnobotany:

  It is a broad term referring to the study of plants by humans

- Ethnomedicine:

  It refers to the use of plants by humans as medicine

- Traditional medicine:

  It is the sum total of all non-mainstream medical practices, usually excluding so called “western” medicine

- Natural products: they can be

1. Entire organism (plant, animal, organism)
2. Part of an organism (a leaf or flower of a plant, an isolated gland or other organ of an animal)
3. An extract or an exudate of an organism
4. Isolated pure compounds

Types of drugs derived from plants

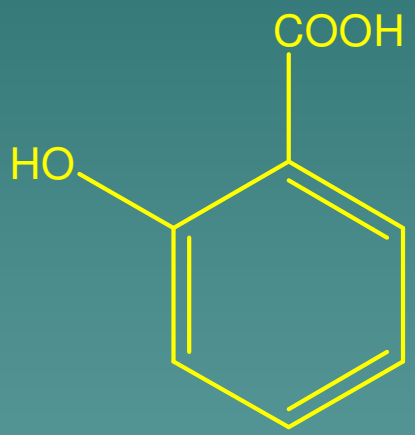
1. Herbal drugs, derived from specific parts of a medicinal plant
2. Compounds isolated from nature
3. Nutraceuticals, or “functional foods”

II. Value of natural products

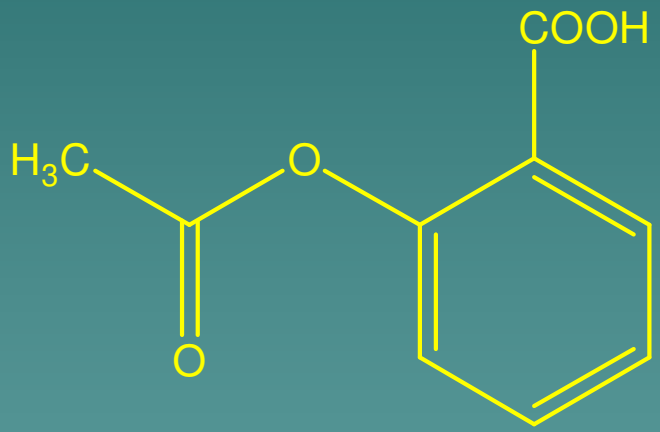
- *Compounds from natural sources play four significant roles in modern medicine:*

1. They provide a number of extremely useful drugs that are difficult, if not impossible, to produce commercially by synthetic means
2. Natural sources also supply basic compounds that may be modified slightly to render them more effective or less toxic

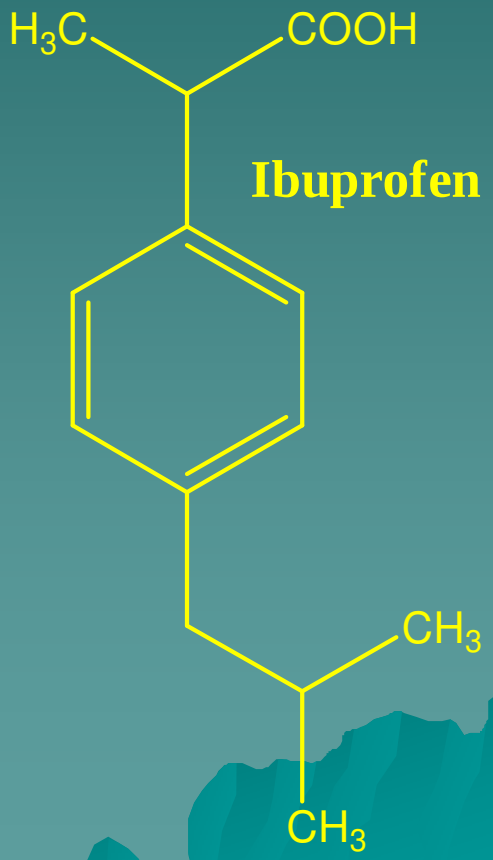
3. Their utility as prototypes or models for synthetic drugs possessing physiologic activities similar to the originals



Salicylic Acid



Aspirin



Ibuprofen

4. Some natural products contain compounds that demonstrate little or no activity themselves but which can be modified by chemical or biological methods to produce potent drugs not easily obtained by other methods

Baccatin III → Taxol

III. Production of natural drug products

1. Collection (wild)
2. Cultivation (commercial), collection, harvesting, drying, garbling, packaging, storage and preservation e.g. ginseng, ginkgo, peppermint
3. Fermentation (Recombinant DNA technology or Genetically engineered drugs)
4. Cell-culture techniques
5. Microbial transformation
6. Biologics (prepared from the blood of animals)

IV. The role of natural products in drug discovery

1. Combinatorial chemistry
2. High-throughput screening of natural products
3. Combinatorial biosynthesis
4. Ethnopharmacology

V. General principles of botany: morphology and systematics

- ***How to define a pharmaceutical plant-derived drug from the botanical point of view ?***

a botanical drug is a product that is either:

Derived from a plant and transformed into a drug by drying certain plant parts, or sometimes the whole plant, or

1. Obtained from a plant, but no longer retains the structure of the plant or its organs and contains a complex mixture of biogenic compounds (e.g. fatty and essential oils, gums, resins, balms)

- ***isolated pure natural products are thus not "botanical drugs", but rather chemically defined drugs derived from nature.***

- the following plant organs are the most important, with the Latin name that is used, for example in international trade, in parentheses:

1. Aerial parts or herb (herba)
2. Leaf (folia)
3. Flower (flos)
4. Fruit (fructus)
5. Bark (cortex)
6. Root (radix)
7. Rhizome (rhizoma)
8. Bulb (bulbus)

- The large majority of botanical drugs in current use are derived from leaves or aerial parts.

- A plant-derived drug should be defined not only in terms of the species from which it is obtained but also the plant part that is used to produce the dried product. Thus, a drug is considered to be adulterated if the wrong plant parts are included (e.g. aerial parts instead of leaves)

Taxonomy

- It is the science of naming organisms and their correct integration into the existing system of nomenclature

- The names of species are given in binomial form: the first part of the name indicates the wider taxonomic group, the ***genus***; the second part of the name is the ***species***.

Papaver somniferum L.

- **Species**: *somniferum*, here meaning 'sleep-producing'
- **Genus**: Papaver (a group of species, in this case poppies, which are closely related)
- **Family**: Papaveraceae (a group of genera sharing certain traits)
- **L.:** indicates the botanist who provided the first scientific description of the species and who assigned the botanical name

Morphology of higher plants

1. Flower

- It is the essential reproductive organ of a plant.
- For an inexperienced observer, two characteristics of a flower are particularly noteworthy: the size and the color
- Although the flowers are of great botanical importance, they are only a minor source of drugs used in phytotherapy or pharmacy e.g. chamomile, *Matricaria recutita* L. (Asteraceae)

2. Fruit and seed

- The lower plants, such as algae, mosses and ferns, do not produce seeds

Gymnosperm and Angiosperm

- ***Gymnosperm:*** they are characterized by seeds that are not covered by a secondary outer protective layer, but only by the testa – the seed's outer layer
- ***Angiosperm:*** the seeds are covered with a specialized organ (the carpels) which in turn develop into the pericarp.

- Drugs from the fruit thus have to be derived from **an *angiosperm*** species

- Fruits and seeds have yielded important phytotherapeutic products, including:

  - **Fruit**

    Caraway, *Carum carvi* L. (Umbelliferae)

  - **Seed**

    (white) mustard, *Sinapis alba* L. (Brassicaceae)

3. Leaves

- The function of the leaves, as collectors of the sun's energy and its assimilation, results in their typical general anatomy with a petiole (stem) and a lamina (blade)
- A key characteristic of a species is the way in which the leaves are arranged on the stem, they may be:

1. Alternate
2. Distichous
3. Opposite
4. Decussate
5. Whorled

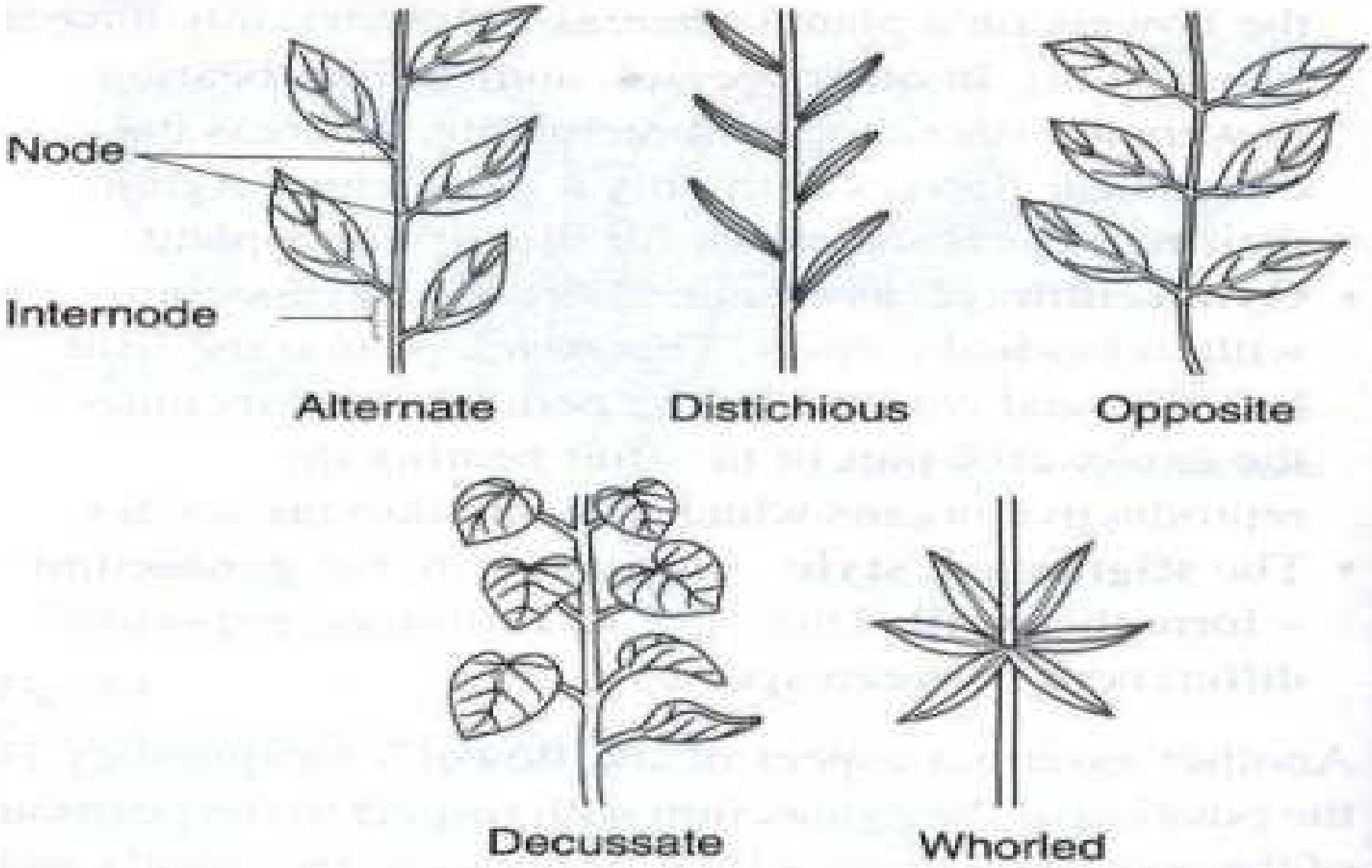
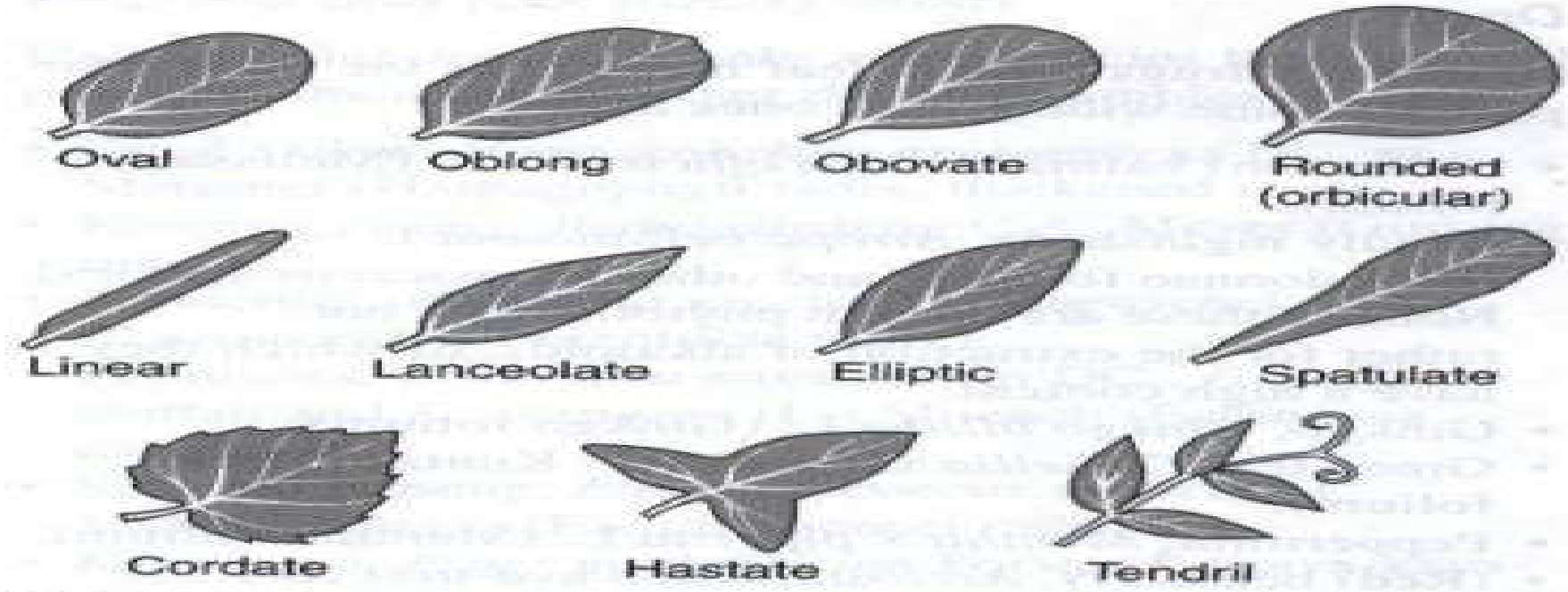


Fig. 3.3
Types of arrangements of leaves.

- The form and size of leaves are essential characteristics e.g. oval, oblong, obovate, rounded, linear, lanceolate, elliptic, spatulate, cordate, hastate or tendril

- The margin of the leaf is another characteristic feature e.g. entire, serrate, dentate, sinuate, ciliate or spinose



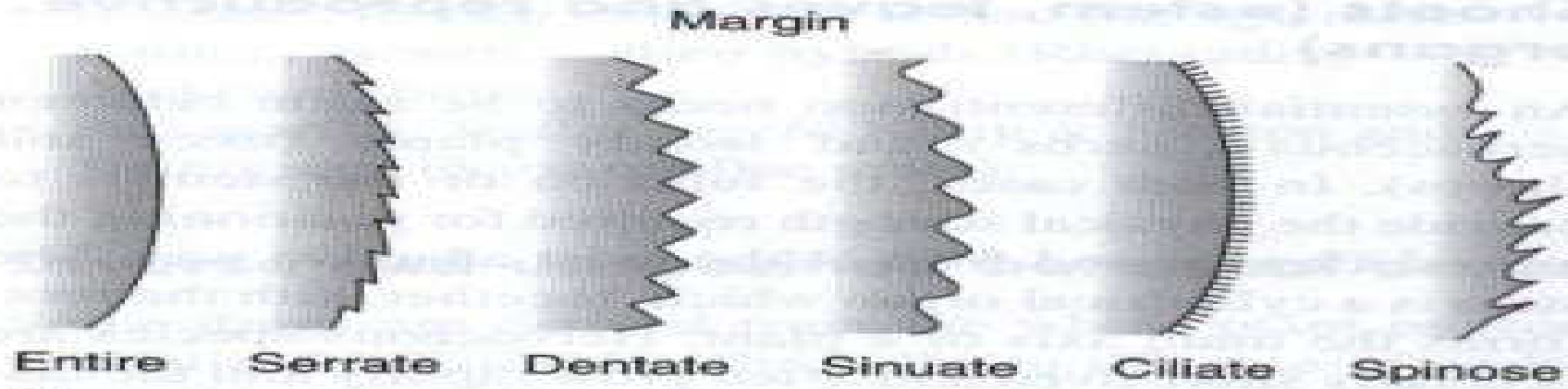


Fig. 3.4
(a) Characteristic shapes of leaves. (b) Characteristic margins of leaves.

- Numerous drugs contain leaf material as the main component. e.g.

Deadly nightshade, *Atropa belladonna* L. (Solanaceae)

4. Bark

- The bark as an outer protective layer frequently accumulates biologically active substances e.g.

  Red cinchona, *Cinchona succirubra* L.

  (Rubiaceae)

- ***No stem-derived drug is currently of major importance***

5. Rhizome and root drugs

- Underground organs of only a few species have yielded pharmaceutically important drugs e.g.

1. Sarsaparilla, *Smilax regelii* (Smilacaceae)
2. Korean ginseng, *Panax ginseng* (Araliaceae)

6. The bulbs and exudates

1. Garlic, *Allium sativum* L. (Liliaceae)
2. *Aloe vera* L. (Asphodelaceae)