

University of Karbala

College of veterinary medicine

Pharmacology – 2nd semester –
Laboratory Lect # 1

Nature and Sources of Drugs

Dr. Sattar K. Abdul Hussain

Where do drugs come from?

Records of using drug are found dating back to **2,700 B.C.** in the **Middle East and China**. The drugs most commonly used were laxatives and anti-emetics to relieve pain, Opium extract was used. Ephedrine was used for the treatment of respiratory tract disorders.

Until the beginning of twentieth century, the substances used for the treatment of diseases were obtained from natural sources.

What are the sources of drugs?

The **sources of drugs** can be grouped according to the following:

- A. Plants**
- B. Animals**
- C. Minerals**
- D. Synthesis in Laboratory**
- E. Microorganisms**

A. Plants as Sources of Drugs

All parts of a specific plant do not equally contain a specific drug. For example, **atropine, caffeine, cocaine, digoxin, and pilocarpine** are obtained from the **leaves** of specific plants. **Seeds** some plants are used to extract **castor oil, colchicine, morphine, strychnine and theobromine**. **Barks** of some plants are used for the extraction of drugs like **cinnamon, quinidine, and quinine**. **Roots** of some plants are used to extract reserpine and atropine.

The pharmacologically active constituents of different plants are grouped according to their physio-chemical properties and include:

- a) Alkaloid
- b) Glycoside
- c) Oil
- d) Gum
- e) Carbohydrate and related compounds

Some of these active constituents can be extracted by soaking the plant in alcohol.

1. Alkaloids

The majority of the alkaloids are **extracted** from the flowering plants abundant in seeds and roots. Only a few alkaloids are obtained from the flowerless plants or produced synthetically.

Classification of alkaloids:

Alkaloids are **broadly classified** according to their plant source, i.e. from which plant they are obtained. These are:

- a) Belladonna
- b) Cinchona
- c) Cocaine
- d) Ergot
- e) Opium
- f) Vinca
- g) Xanthine



Atropa Belladonna plant

a). Belladonna alkaloids:

Belladonna alkaloids include:

- Atropine
- Scopolamine (hyoscine)
- Hyoscyamine.

b). Cinchona alkaloids:

The important alkaloids of cinchona are;

- Quinine
- Quinidine

- Cinchonine
- Cinchonidine.

Quinine is present abundantly in the bark of cinchona tree. It was used as antimalarial, antipyretic and analgesic.

c). Cocaine alkaloids

Cocaine alkaloids include:

- Cocaine
- Cegonine

Cocaine (the first discovered local anesthetic) is obtained in large amount (0.6 to 1.8%) in the leaves of *Erythroxylon coca*.



Ergot parasitizing rye

d). Ergot alkaloids

Ergot alkaloids contain:

- Ergine
- Ergonovine
- Ergotamine

Ergot is the product of the fungus (*Claviceps purpurea*) that grows up on rye and other grains.

e). Opium alkaloids

Opium is **obtained** from the milky juice derived from the **unripe** seed capsule of the poppy plant (*Papaver somniferum*). This includes **at least 20 alkaloids** of which the ones having clinical importance are:

- Morphine
- Codeine

f). Xanthine alkaloids

Xanthine alkaloids include:

- Caffeine
- Theophylline
- Theobromine

2. Glycoside

Glycosides are non-nitrogenous, colorless, crystalline solids that split up into sugar (one to four molecules) and non-sugar parts. Some are poisonous. The **pharmacological activity** of glycoside resides in the aglycone part.

Glycoside is widely **distributed** in the bark, seed and leaf of the plant.

Some important glycosides are:

- Digoxin and digitoxin** (isolated from the leaves of purple foxgloves *Digitalis purpurea*) are called digitalis cardiac glycosides. They have powerful action on the myocardium.
- Salicylic acid** (orthohydrobenzoic acid) was obtained first from salicin, a glycoside bitter in taste found in the willow bark in 1838. On hydrolysis, salicin yields glucose and salicylic alcohol. Salicylic alcohol is then converted into salicylic acid.

3. Oils

Oils used as drug are of **two kinds**: fixed and volatile.

a) Fixed oil

Examples of fixed oils are olive oil and castor oil. Metabolites of **castor oil** irritate the mucosa of gastrointestinal tract producing peristalsis leading to evacuation and are used as cathartic.

Olive oil is usually edible and can be used as emollient.

b) Volatile oil

Volatile oil is the odorous principle found in various parts of plant. The term essential is used because volatile oil represents the essence or odoriferous constituent of the plant.

Volatile oil is colorless when fresh, but on standing it may be oxidized and resinified, thus its color is converted to dark. So, it should be stored in cool, dry place in tightly stoppered, preferably amber glass container.

Examples of volatile oils are Peppermint oil, spearmint oil, clove oil, wintergreen oil, and lemon oil.

The active portion of **peppermint oil** is menthol. In case of **clove oil**, the active component is eugenol. Clove oil relieves pain when applied locally

(in case of toothache). **Wintergreen oil** is used locally in the relief of joint pain. **Peppermint and spearmint oils** are used as solvent and flavor in the compounding of prescription.

4. Gums

Gum is a secretory hydrocarbon product of plant origin. Chemically, it is anionic or nonionic polysaccharide or salt of polysaccharide which on hydrolysis produces sugar.

Gum readily dissolves in water, whereas mucilage forms slimy mass.

Examples of natural gums include Agar and psyllium seed. When they are swallowed, they absorb water to form bulk, and exert a laxative effect.

5. Carbohydrate and related compounds

The carbohydrate sucrose and other sugars like dextrose and fructose are **used** in many circumstances. For example:

- a) Sucrose is used as a demulcent and nutrient
- b) Sucrose in sufficient concentration (65%) in aqueous solution, is bacteriostatic and preservative
- c) Dextrose is a nutrient and may be given by mouth or by intravenous injection as required.
- d) Dextrose is used as an ingredient in many preparation such as dextrose in aqua and dextrose in saline.
- e) Dextrose is used as an ingredient of anticoagulant such as dextrose citrate sodium, citrate phosphate dextrose solution, etc. These solutions are used for the storage of whole blood.
- f) Fructose is used for food for diabetic patients and may be of particular benefit in diabetic acidosis.
- g) A carbohydrate related compound, Alcohol (70%) is used as an antiseptic.

B. Animals as Sources of Drugs

There was a time when the Chinese people used the dried skin of **toad** to treat toothache and bleeding in gum. Later it was found that toad skin contains **adrenaline**.

The **liver of cod fish (cod liver oil)** contains high levels of omega 3 fatty acids, vitamin A and vitamin D.

Insulin is extracted from the **pancreas** of bovine or porcine.

Immunoglobulin G is prepared by the injecting antigen into an **animal** and

collecting the antibody formed as a reaction to the antigen. Immunoglobulin of animal origin (**antisera**) is frequently associated with hypersensitivity reactions which has led to its virtual abandonment. For example, horse globulin containing anti-tetanus and anti-diphtheria toxin has been extensively used at one time, but nowadays their use is more restricted as they give rise to complications like serum sickness.

So antisera is replaced by **human immunoglobulin**. Human immunoglobulin is prepared from pools of at least 1000 donations of **human plasma** containing the antibody to measles, mumps, hepatitis A and other viruses.

Injection of human immunoglobulin produces immediate passive immunity lasting for about 4 to 6 weeks.

Specific immunoglobulin (hepatitis B immunoglobulin, rabies immunoglobulin, tetanus immunoglobulin) are prepared by pooling the plasma of selected donors with high levels of the specific antibody required.

Human menopausal gonadotropins (hMG) is isolated from the **urine** of postmenopausal women and contains a mixture of follicle stimulating hormone (**FSH**) and luteinizing hormone (**LH**).

Human chorionic gonadotropin (hCG) is produced by the **placenta** and can be isolated and purified from the **urine** of pregnant woman. The hCG is nearly identical in activity to LH but it differs in sequence and carbohydrate content.

Heparin is commonly extracted from porcine intestinal mucosa or bovine lung.

C. Minerals as Sources of Drugs



The sword symbolizes strength and power, the early Greek physicians attempt to use **iron** therapy against weakness and **anemia**.

Various **clays** have been used for the treatment of **diarrhea**.

Calomel was used for the treatment of **constipation**. It contains **mercury** and subsequently found to have a diuretic effect and was used with digitals for the treatment of congestive cardiac failure. The diuretic effect of mercury was also observed following the use of that compound in the treatment of syphilis.

- a) **Iodine** is used for the treatment of **goiter**.
- b) **Gold** is used for the treatment of arthritis.
- c) **Sulfur** is used externally in **skin diseases**.
- d) **Aluminum hydroxide** and **magnesium trisilicate** are widely used as **antacids**.
- e) **Magnesium sulfide** is used to relieve **constipation** and to control **eclamptic seizure**.

D. Synthetic drugs

Nowadays **most drugs are produced artificially** by combining two or more compounds or elements. It may be partially or totally synthesized. The structural alteration of the natural substance by the addition of a pure chemical substance leads to the production of a partially synthetic substance. With the improvement of organic chemical industry, the synthesis of chemical substances in the laboratory has become extremely advanced. In most cases.

Drugs produced in laboratories are:

- a) High quality,
- b) Less expensive,
- c) Produced in large scale within short time,
- d) Safer, and
- e) More effective than drugs extracted from plants or animals.

For example, 1 mg of digoxin produced in the laboratory has the same pharmacological effect as produced from 1000 mg of crude leaves of purple foxgloves.

- a) **Salicylates** originally extracted from the plant source are nowadays produced in the laboratory.
- b) **Sulfonamide** synthesis began from protosil dye.
- c) **Human insulin** is produced by **modification** of porcine insulin or by bacteria using recombinant DNA technology.

- d) **Analgesics, chemotherapeutic drugs, hypnotics and local anesthetics** are produced in the laboratory.
- e) **Caffeine**, the natural source of **caffeine** is the tea or coffee. Large amount of caffeine is nowadays obtained as the by-product of manufacturing decaffeinated coffee.
- f) **Theophylline** can be produced by methylation of theobromine (partial synthesis) or from urea (total synthesis).

E. Microorganisms as Sources of Drugs

- a) **Antibiotics** produced by the **actinomycetes** are actinomycin, amphotericin, chloramphenicol, erythromycin, kanamycin, neomycin, gentamicin, streptomycin and tetracycline.
- b) **Aspergillate** group of fungi produce antibiotics such as penicillin, griseofulvin and cephalosporin.
- c) Among the bacteria, genus ***Bacillus*** produces antibiotics such as polymyxin B and bacitracin.