# Introduction to Pharmacology

Humans have been treating diseases with substances around them for over 4000 yrs.
These “drugs’ were chosAntibiotics are medications taken to fight infections caused by bacteria. When they first became available during World War II (1939-1945), antibiotics were called "wonder drugs" because of their stunning record for safety and effectiveness. Well-known antibiotics include **penicillin, streptomycin,** and erythromycin. Antibiotics are usually taken orally (by mouth) or given as **inoculations.**

**Pharmacology- The science concerned with the interaction of chemical substances with living cells, tissues and organisms and the mechanisms by which drugs counteract the manifestations of disease or affect fertility.**

**More Definitions**

Pharmacy- Profession concerned with the preparation, storage dispensing and proper utilization of drug products.

Pharmacognosy- Isolation and characterization of drugs from natural sources including: Plants, animal tissues, microbes, and minerals

Medicinal Chemistry - Design and chemical synthesis of drugs

Pharmaceutical chemistry (Pharmaceutics) - concerned with the formulation and chemical properties of pharmaceutical products,

dosage form of drugs; tablets, capsules, liquid solutions, and aerosols

# Sources of drugs

- *Plant sources…*
- *Microbe sources…*
- *Animal sources…*
- *Mineral sources…*

*The other preparations being synthetic and semi synthetic*

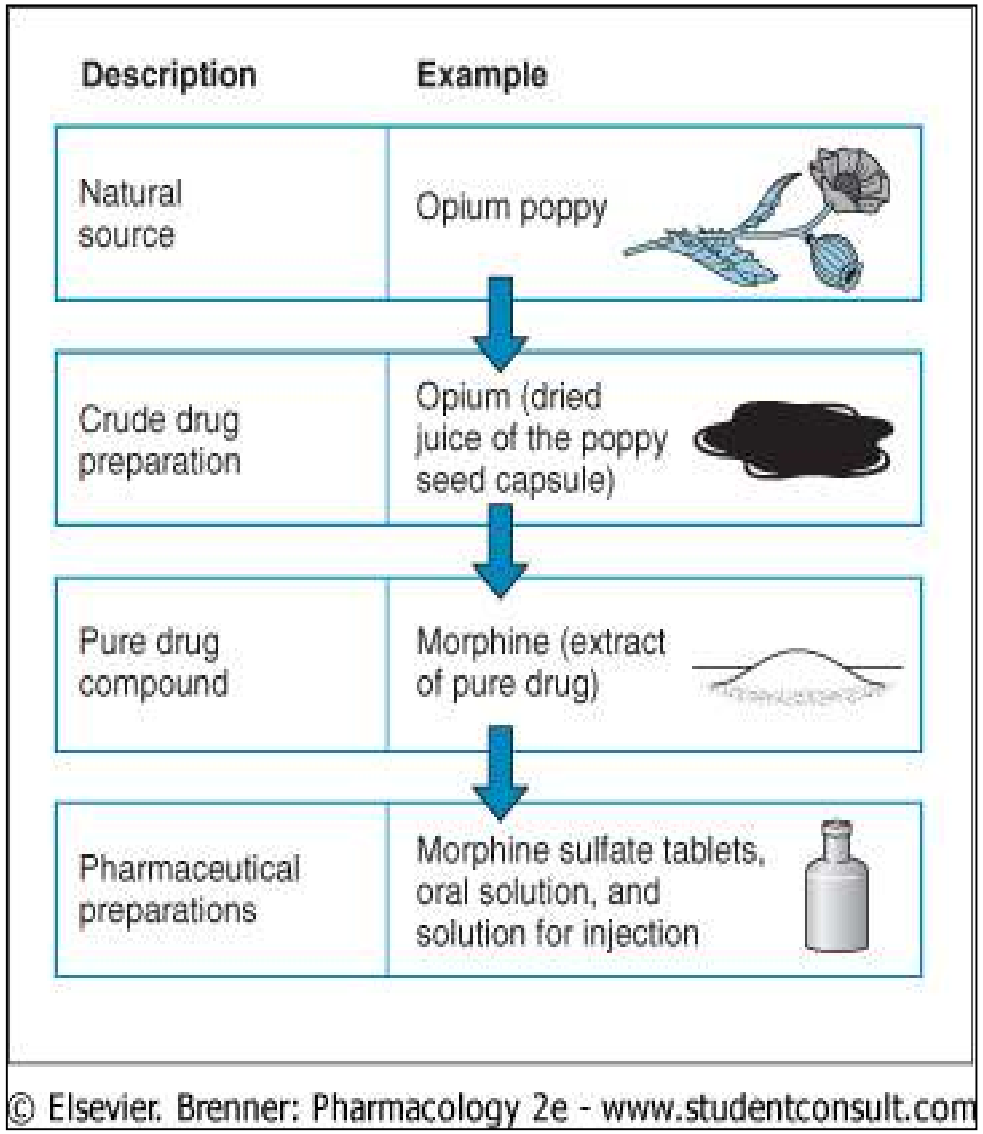



Figure 1-2 Types of drug preparations. A crude drug preparation retains most or all of the active and inactive compounds contained in the natural source from which it was derived. After a pure drug compound (e.g., morphine) is extracted from a crude drug preparation (in this case, opium), it is possible to manufacture pharmaceutical preparations that are suitable for administration of a particular dose to the patient.

Drug Preparations

- Crude drug preparations
  - Drying, pulverizing
  - Extraction; Alcohol, hot water>Coffee, Tea, Opium
- Pure drug compounds
  - Morphine, Insulin
- Pharmaceutical preparations

# plant sources

| DRUG | ACTION | PLANT SOURCE |
|---|---|---|
| Acetyldigoxing | Cardiotonic | Digitalis lanata |
| Adoniside | Cardiotonic | Adonis vernalis |
| Aescin | Anti-inflammatory | Aesculus hippocastanum |
| Aesculetin | Anti-dysentery | Frazinus rhychophylla |
| Agrimophol | Anthelmintic | Agrimonia supatoria |
| Ajmalicine | Circulatory Disorders | Rauvolfia sepentina |
| Allantoin | Vulnerary | Several plants |
| Allyl isothiocyanate | Rubefacient | Brassica nigra |
| Anabesine | Skeletal muscle relaxant | Anabasis sphylla |
| Andrographolide | Baccillary dysentery | Andrographis paniculata |
| Anisodamine | Anticholinergic | Anisodus tanguticus |
| Anisodine | Anticholinergic | Anisodus tanguticus |
| Arecoline | Anthelmintic | Areca catechu |
| Asiaticoside | Vulnerary | Centella asiatica |
| Atropine | Anticholinergic | Atropa belladonna |

| | | |
|---|---|---|
| Benzyl benzoate | Scabicide | Several plants |
| Berberine | Bacillary dysentery | Berberis vulgaris |
| Bergenin | Antitussive | Ardisia japonica |
| Betulinic acid | Anticancerous | Betula alba |
| Borneol | Antipyretic, analgesic, antiinflammatory | Several plants |
| Bromelain | Anti-inflammatory, proteolytic | Ananas comosus |
| Caffeine | CNS stimulant | Camellia sinensis |
| Camphor | Rubefacient | Cinnamomum camphora |
| Camptothecin | Anticancerous | **Camptotheca acuminata** |
| (+)-Catechin | Haemostatic | Potentilla fragarioides |
| Chymopapain | Proteolytic, mucolytic | **Carica papaya** |
| Cissampeline | Skeletal muscle relaxant | **Cissampelos pareira** |
| Cocaine | Local anaesthetic | Erythroxylum coca |
| Codeine | Analgesic, antitussive | Papaver somniferum |
| Colchiceine amide | Antitumor agent | **Colchicum autumnale** |

| | | |
|---|---|---|
| Colchicine | Antitumor agent, anti-gout | **Colchicum autumnale** |
| Convallatoxin | Cardiotonic | Convallaria majalis |
| Curcumin | Choleretic | **Curcuma longa** |
| Cynarin | Choleretic | **Cynara scolymus** |
| Danthron | Laxative | **Cassia species** |
| Demecolcine | Antitumor agent | Colchicum autumnale |
| Deserpidine | Antihypertensive, tranquillizer | Rauvolfia canescens |
| Deslanoside | Cardiotonic | Digitalis lanata |
| L-Dopa | Anti-parkinsonism | **Mucuna sp** |
| Digitalin | Cardiotonic | Digitalis purpurea |
| Digitoxin | Cardiotonic | Digitalis purpurea |
| Digoxin | Cardiotonic | Digitalis purpurea |

| | | |
|---|---|---|
| Emetine | Amoebicide, emetic | Cephaelis ipecacuanha |
| Ephedrine | Sympathomimetic, antihistamine | Ephedra sinica |
| Etoposide | Antitumor agent | **Podophyllum peltatum** |
| Galanthamine | Cholinesterase inhibitor | Lycoris squamigera |
| Gitalin | Cardiotonic | Digitalis purpurea |
| Glaucarubin | Amoebicide | **Simarouba glauca** |
| Glaucine | Antitussive | Glaucium flavum |
| Glasiovine | Antidepressant | Octea glaziovii |
| Glycyrrhizin | Sweetener, Addison's disease | **Glycyrrhiza glabra** |
| Gossypol | Male contraceptive | Gossypium species |
| Hemsleyadin | Bacillary dysentery | Hemsleya amabilis |
| Hesperidin | Capillary fragility | **Citrus species** |
| Hydrastine | Hemostatic, astringent | Hydrastis canadensis |
| Hyoscyamine | Anticholinergic | Hyoscyamus niger |
| Irinotecan | Anticancer, antitumor agent | **Camptotheca acuminata** |

| | | |
|---|---|---|
| Kaibic acud | Ascaricide | Digenea simplex |
| Kawain | Tranquillizer | Piper methysticum |
| Kheltin | Bronchodilator | Ammi visaga |
| Lanatosides A, B, C | Cardiotonic | Digitalis lanata |
| Lapachol | Anticancer, antitumor | **Tabebuia sp.** |
| a-Lobeline | Smoking deterrant, respiratory stimulant | Lobelia inflata |
| Menthol | Rubefacient | **Mentha species** |
| Methyl salicylate | Rubefacient | Gaultheria procumbens |
| Monocrotaline | Antitumor agent (topical) | Crotalaria sessiliflora |
| Morphine | Analgesic | Papaver somniferum |
| Neoandrographolide | Dysentery | Andrographis paniculata |
| Nicotine | Insecticide | Nicotiana tabacum |
| Nordihydroguaiaretic acid | Antioxidant | Larrea divaricata |
| Noscapine | Antitussive | Papaver somniferum |
| Ouabain | Cardiotonic | Strophanthus gratus |
| Pachycarpine | Oxytocic | Sophora pschycarpa |

| | | |
|---|---|---|
| Palmatine | Antipyretic, detoxicant | Coptis japonica |
| Papain | Proteolytic, mucolytic | **Carica papaya** |
| Papavarine | Smooth muscle relaxant | Papaver somniferum |
| Phyllodulcin | Sweetner | Hydrangea macrophylla |
| Physostigmine | Cholinesterase Inhibitor | Physostigma venenosum |
| Picrotoxin | Analeptic | Anamirta cocculus |
| Pilocarpine | Parasympathomimetic | **Pilocarpus jaborandi** |
| Pinitol | Expectorant | Several plants |
| Podophyllotoxin | Antitumor anticancer agent | **Podophyllum peltatum** |
| Protoveratrines A, B | Antihypertensives | Veratrum album |
| Pseudoephredrine* | Sympathomimetic | Ephedra sinica |
| Pseudoephedrine, nor- | Sympathomimetic | Ephedra sinica |
| Quinidine | Antiarrhythmic | **Cinchona ledgeriana** |
| Quinine | Antimalarial, antipyretic | **Cinchona ledgeriana** |
| Qulsqualic acid | Anthelmintic | Quisqualis indica |

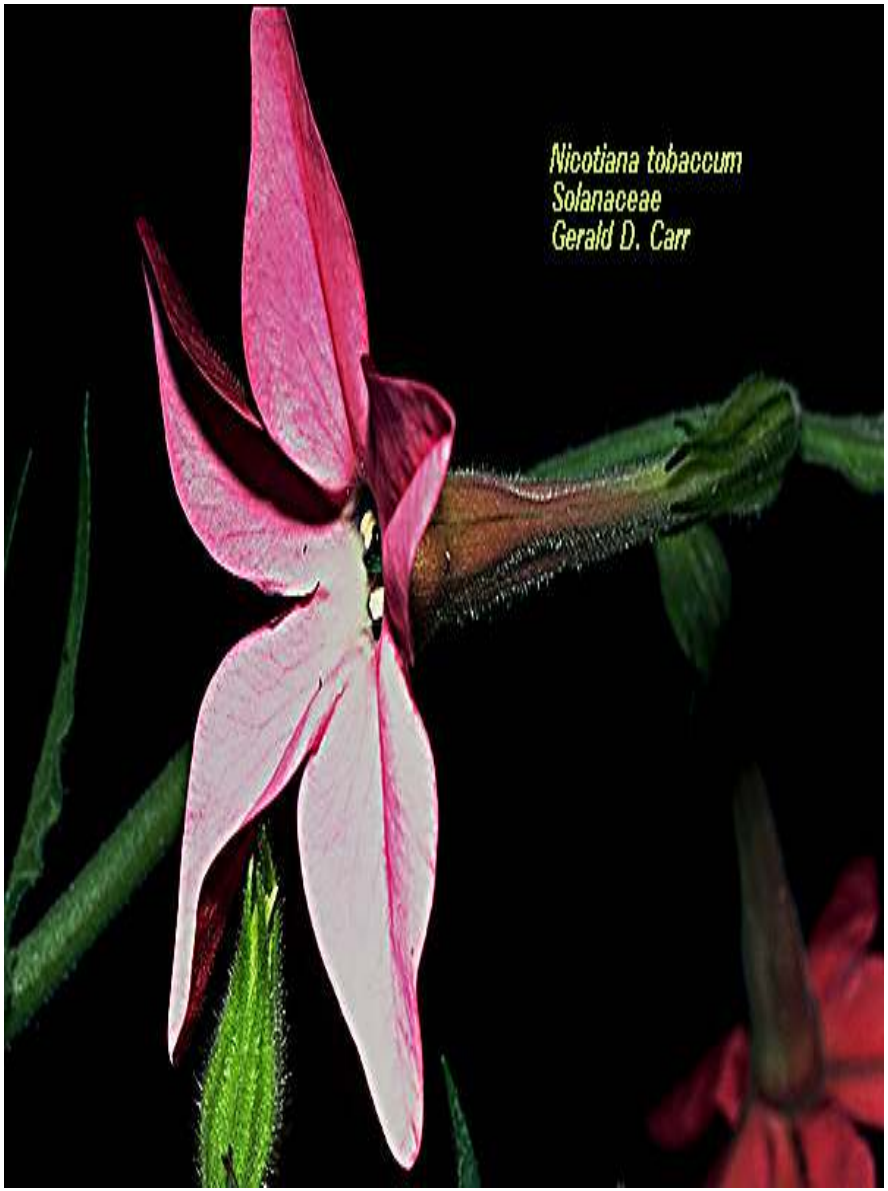
| | | |
|---|---|---|
| Rescinnamine | Antihypertensive, tranquillizer | Rauvolfia serpentina |
| Reserpine | Antihypertensive, tranquillizer | Rauvolfia serpentina |
| Rhomitoxin | Antihypertensive, tranquillizer | Rhododendron molle |
| Rorifone | Antitussive | Rorippa indica |
| Rotenone | Piscicide, Insecticide | Lonchocarpus nicou |
| Rotundine | Analagesic, sedative, traquillizer | Stephania sinica |
| Rutin | Capillary fragility | **Citrus species** |
| Salicin | Analgesic | Salix alba |
| Sanguinarine | Dental plaque inhibitor | Sanguinaria canadensis |
| Santonin | Ascaricide | Artemisia maritma |
| Scillarin A | Cardiotonic | Urginea maritima |
| Scopolamine | Sedative | Datura species |
| Sennosides A, B | Laxative | **Cassia species** |

| | | |
|---|---|---|
| Silymarin | Antihepatotoxic | Silybum marianum |
| Sparteine | Oxytocic | Cytisus scoparius |
| Stevioside | Sweetner | **Stevia rebaudiana** |
| Strychnine | CNS stimulant | Strychnos nux-vomica |
| Taxol | Antitumor agent | **Taxus brevifolia** |
| Teniposide | Antitumor agent | **Podophyllum peltatum** |
| a-Tetrahydrocannabinol(THC) | Antiemetic, decrease occular tension | **Cannabis sativa** |
| Tetrahydropalmatine | Analgesic, sedative, traquillizer | Corydalis ambigua |
| Tetrandrine | Antihypertensive | Stephania tetrandra |
| Theobromine | Diuretic, vasodilator | **Theobroma cacao** |
| Theophylline | Diuretic, brochodilator | **Theobroma cacao and others** |
| Thymol | Antifungal (topical) | Thymus vulgaris |
| Topotecan | Antitumor, anticancer agent | **Camptotheca acuminata** |

| | | |
|---|---|---|
| Trichosanthin | Abortifacient | Trichosanthes kirilowii |
| Tubocurarine | Skeletal muscle relaxant | Chondodendron tomentosum |
| Valapotriates | Sedative | Valeriana officinalis |
| Vasicine | Cerebral stimulant | Vinca minor |
| Vinblastine | Antitumor, Antileukemic agent | Catharanthus roseus |
| Vincristine | Antitumor, Antileukemic agent | Catharanthus roseus |
| Yohimbine | Aphrodisiac | Pausinystalia yohimbe |
| Yuanhuacine | Abortifacient | Daphne genkwa |
| Yuanhuadine | Abortifacient | Daphne genkwa |


Ephidra sinica-acetyl choline


Amanita muscaria- muscarine




Nicotiana tabaccum-nicotine

Lopophora willliamsi-mescaline

Erythroxylon coca-
cocaine

Atropa belladona-acetyl
choline

Hyoscamus niger-scopolamin

Chondodendron toomentosum-curarin

Opium Poppies in Northern Thailand
photo by John W. Allen

Papaver somniferum-papavarine
-noskapin
-codeine
-thebaine
-morphine

Rauwolfia serpentina-reserpine

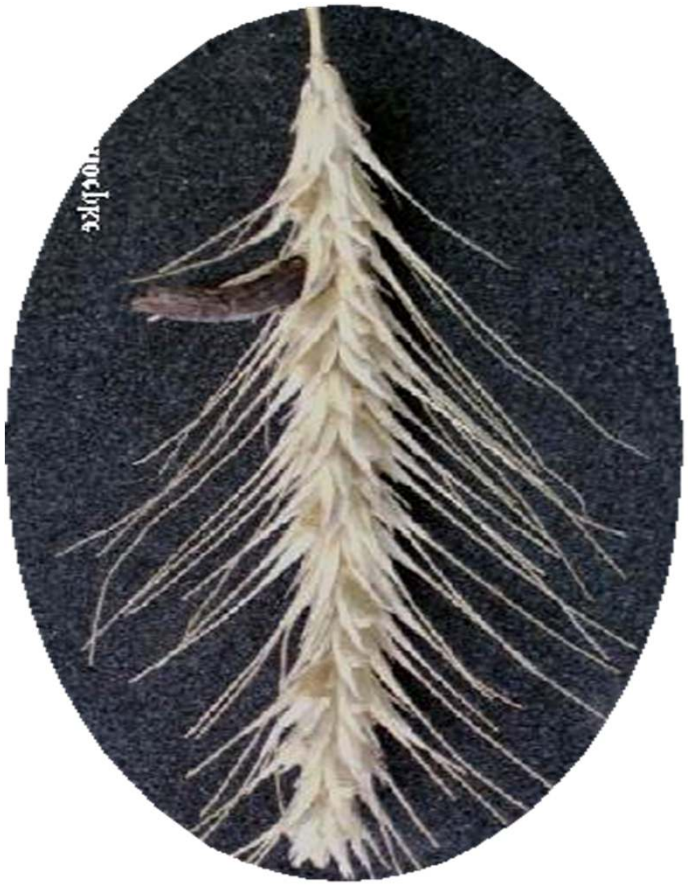
Vinca rosea-vinblastin
vinkristin

Psilocybe semilanceata


Psilocybe mexicana

hallucinogens,.serotogonists

Cinchona pubescens-quinine,quinidine



Claviceps purpurea-metylergomatin
-ergomatin
-bromocryptin


Crysanthemum cineriforium-permetrin

Strychnos nux vomica-strychnine

Cannabis sativa-cannabinoids

Podophylum peltarum-toxic lead for anticancer drugs

Digitalis purpurae-
digitoxin
digoxin

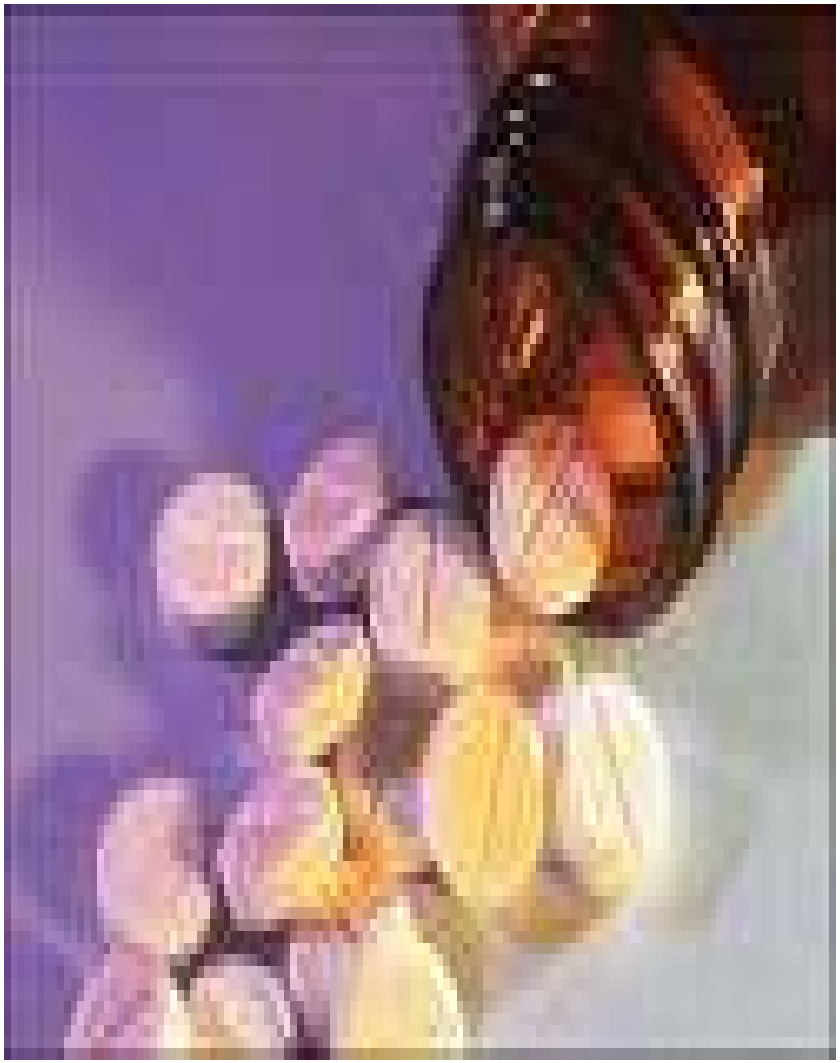
# Microbial sources

*Antibiotics are medications taken to fight infections caused by bacteria. When they first became available during World War II (1939-1945), antibiotics were called "wonder drugs" because of their stunning record for safety and effectiveness. Well-known antibiotics include* **penicillin**, **streptomycin**, *and erythromycin. Antibiotics are usually taken orally (by mouth) or given as* **inoculations.**

# Penicillin

*Scientists of the early 1800s first classified bacteria. In 1829 they established the name Bacterium as their genus (a grouping of species with common origins). Bacteriology was an experimental science that emerged slowly until a major breakthrough occurred in 1928 that led to the development of penicillin. Scottish doctor Sir Alexander Fleming (1881-1955; winner of the 1945 Nobel Prize in medicine with Howard Walter Florey and Ernst Boris Chain) was growing colorful patches of bacteria in covered dishes in his crowded St. Mary's Hospital Medical School laboratory. He noticed that a green mold had gotten into one of the dishes. Fleming knew that mold spores traveled through the air and could easily land and grow in any dish left uncovered. In this particular dish the bacteria closest to the green mold seemed to have disappeared or dissolved. Fleming examined the mold carefully and photographed it. An associate identified the growth as Penicillium notatum.*

# Penicillin preparations



*Curious about how the bacteria in this dish were killed, Fleming took the greenish "fluff in the dish and made a mixture that his laboratory workers called "mold juice." Fleming named the juice "penicillin" and gave it to some laboratory mice. He found that the penicillin killed only the harmful bacteria and not the healthy cells in the mice. This made Fleming's "mold juice" safer than any other known bacteria-killing substances. It was an incredible discovery. If this mold mixture could be made into a drug, then someone with an infection could be cured of disease without being harmed by the cure. Unfortunately, Fleming ran into difficulties turning penicillin into a drug because he was unable to purify and concentrate the substance.*

# streptomycin

*Despite its effectiveness, penicillin did not cure every bacterial infection. Eventually scientists understood that the drug worked only against Gram-positive bacteria (a range of bacteria that reveal a blue stain in certain laboratory tests). During the early 1940s Waksman focused on Gramnegative bacteria (a range of bacteria that loses the blue stain). He eventually found a nontoxic compound derived from Streptomycetes griseus mold which he named "streptomycin." In January of 1944, he announced that this antibiotic could work against both Gram-positive and Gram-negative bacteria and was particularly effective against tuberculosis.*

from
micro
organisms

| substance | disease | moa | source |
|---|---|---|---|
| artemisinin | antimalarial | Heme detoxification | Artemisia annua |
| thienamycin | antibacterial | Bacterial cell wall synthesis inhibitor | Streptomyces cattalya |
| Pnemocandin | antifungal | 1-3,beta-D- glucan synthesis inhibitor | Glarea lozoyensis |

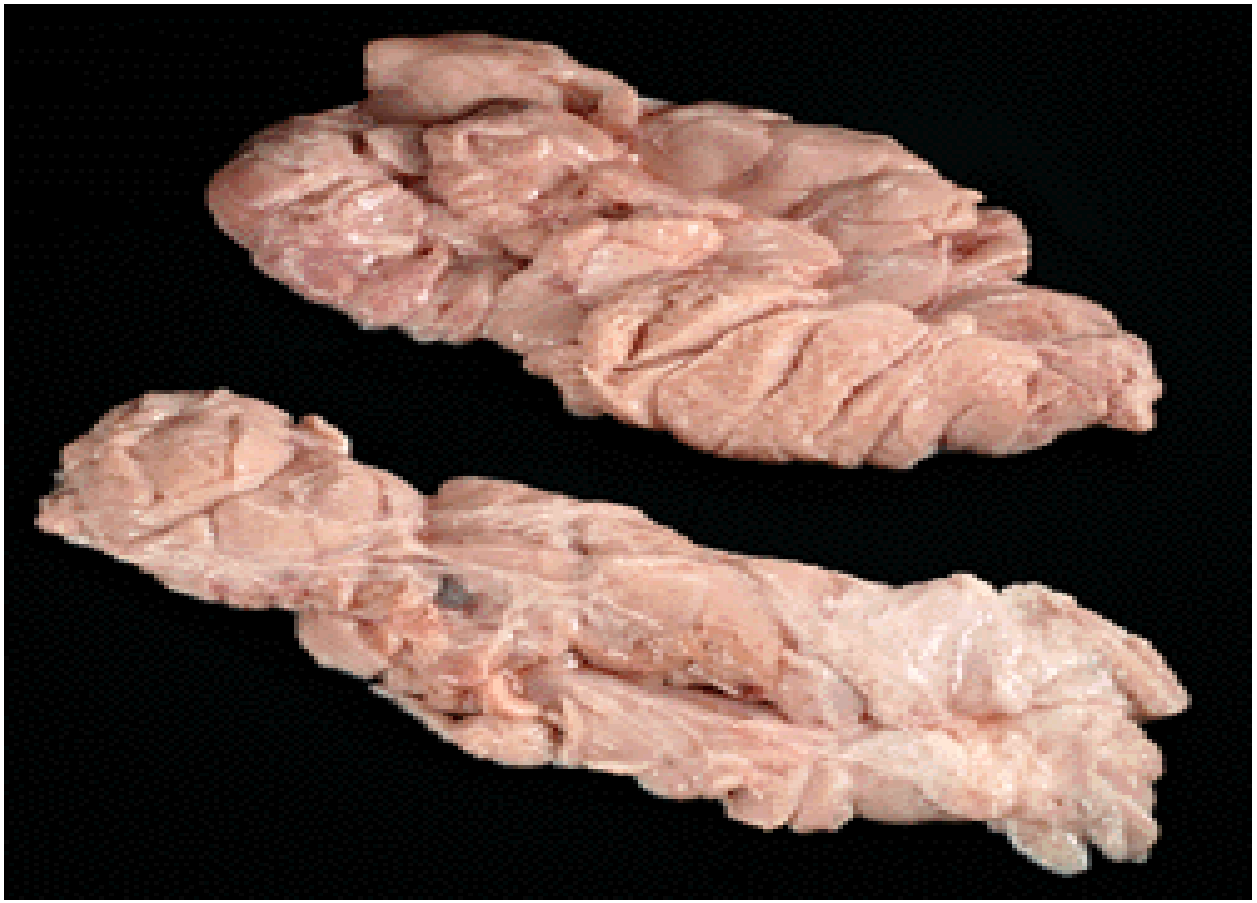
| | | | |
|---|---|---|---|
| *Erythromycin* | *antibacterial* | *Inhibition of protein synthesis* | *Sacharopolyspora erthraea* |
| *Ascomycin* | *Atopic dermatitis* | *Prevents release of cytokines* | *Strepyomyces hygroscopicus* |
| *micafungin* | *antifungal* | *1-3,beta-D- glucan synthesis inhibitor* | *Coleophoma empeteri* |
| *doxorubicin* | *anticancer* | *inhibition of topoisomerase 11* | *Sreptomyces puecetius* |
| *1-deoxynojirimycin* | *Type 1 gaucher's disease* | *Inhibition of glucosyl seramide* | *Streptomyes trehalosyticus* |
| *Mycophenolate sodium* | *immunosupprsion* | *Inhibits inosol monophosphate dehydrogenase* | *Penicillium brevicompactum* |

| | | | |
|---|---|---|---|
| *rosuvastatin* | *dyslipidemia* | *Inhibiton of HMG-CoA reductase* | *P.citrimun* |
| *mevastatin* | *dyslipidemia* | *Inhibiton of HMG-CoA reductase* | *P.brevicompactum* |
| *daptomycin* | *antibacterial* | *Inhibiton of protein DNA and RNA synthesis* | *Streptomyces roseosporus* |

*“A pig's or cow's pancreas, horse urine, snake and spider venom, or Gila monster spit”’Yet all of these are existing or potential sources of drugs, some of which are life-saving. These "pharmazooticals" represent just a small portion of drugs derived from natural sources. medicine*

*Modern investigation of animal sources may have started in 1921, back when they called diabetes "sugar disease." The Nobel prize-winning work of Canadian surgeon Frederick Banting and his assistant Charles Best led to the discovery of insulin and its ability to lower blood sugar. It's estimated that since that time, insulin -- mainly derived from the pancreas of pigs and cows -- has saved the lives of 15 million people with diabetes.*

# Drugs derived from animal tissues



Cow’s pancreas


Pregnant horse

*One of the most widely used and most controversial drugs derived from animals is Premarin, an estrogen given as hormone therapy. The drug is derived from the urine of pregnant horses, and the treatment of those animals and their foals on so-called PMU (pregnant mares' urine)*

Brazilian arrow head viper

*The ACE inhibitor Captopril used to lower blood pressure comes from the Brazilian arrowhead viper.*

Carribbean sponge

*ARA-C, modeled after compounds from the Caribbean sponge, treats leukemia and lymphoma.*

Southeastern pygmy snake

*Integrelin, which comes from a protein in the venom of the southeastern pygmy rattlesnake, is used to treat acute coronary syndrome.*

Coho salmon

*Calcimar and Miacalcin are calcitonin hormones derived from Coho salmon and used to treat osteoporosis.*

Black spider

*Components of spider venom, proves to have medical applications. "The venom they inject to paralyze prey contains novel neurotoxins that block certain receptors,"*

*Today, another creature brings hope to people with type 2 diabetes whose blood sugar levels remain high in spite of treatment. An investigational drug called exenatide comes from lizard spit, specifically an enzyme in the venom of the Gila monster. It also appears to promote weight loss.*

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*based on spider and scorpion venoms,.In the pipeline is a new class of drugs called "delucemines" (NPS1506) which act to protect brain cells and minimize brain cell death in stroke victims until blood flow can be restored. The drugs might also have potential in the treatment of depression.*

*The cone snail is celebrated for its beauty and feared for its poison, which on occasion has been known to kill swimmers. The deadly venom, however, is exceptionally rich in compounds called conopeptides that could be used or synthesized to make an array of pharmaceuticals on applications for acute and chronic pain, epilepsy, local anesthesia, heart disease, stroke, neuromuscular back pain, multiple sclerosis, and spinal cord injury.*

Cone snail

Israeli yellow scorpion

South american frog

*Cancer :TM 601 is derived from the Israeli yellow scorpion and attacks malignant brain tumors called glioma tumors responsible for two-thirds of the cases of brain cancer, without harming healthy cells.*

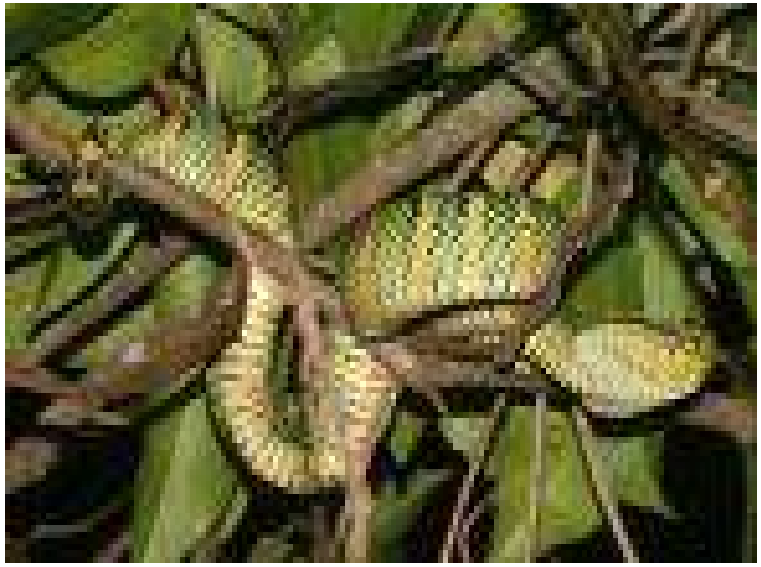
- *Painkillers. ABT 594 comes from the skin of the South American frog. It appears to be more effective than morphine without being addictive.*

• *Cancer. ET 743, which comes from sea squirts, is being tested for treatment of ovarian cancer and soft tissue sarcoma.*

Sea squirt

• *Antibiotics. A substance called magainin 2 -- comes from the skin of frogs and looks promising in the search for antibiotics that bacteria can't develop resistance to.*

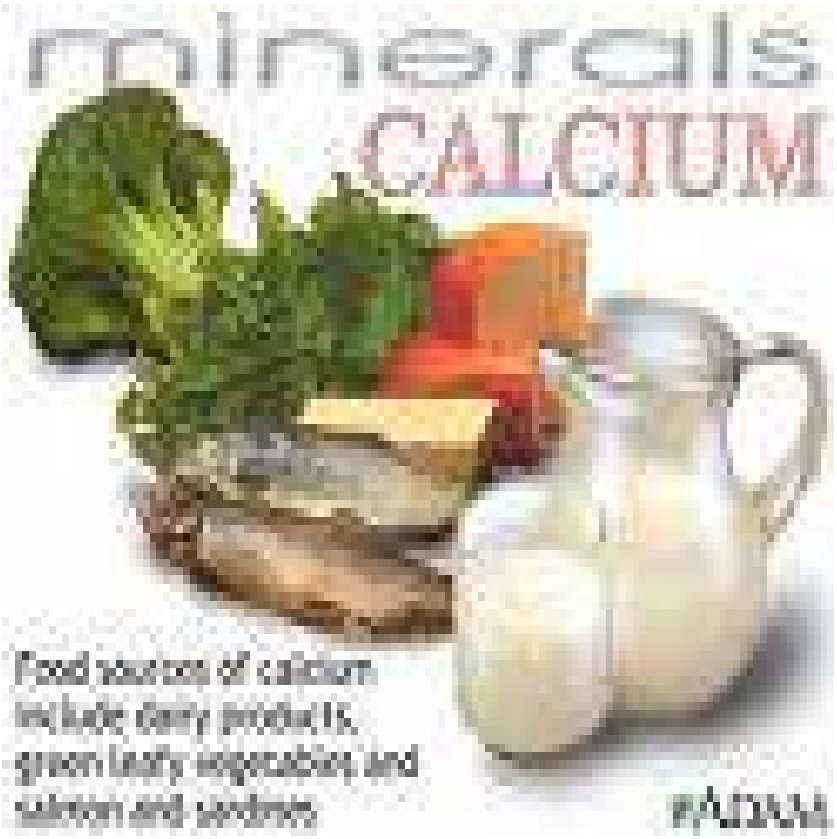
ANCROD



MALAYSIAN PIT VIPER

- *Stroke. Ancrod has an anticoagulant with potential to prevent cell damage and death when someone suffers a stroke. Similarly active anticogulant ingredient comes from the venom of the Malaysian pit viper.*

# *Calcium*




## Sources

*Dairy products, broccoli, dark leafy greens like spinach and rhubarb, and fortified products, such as orange juice, soy milk, and tofu.*

## Functions

*Helps build and maintain strong bones and teeth.*

# *Chromium*

## Sources

*Some cereals, beef, turkey, fish, beer, broccoli, and grape juice.*

## Functions

*Helps maintain normal blood sugar (glucose) levels.*

# *Copper*

*Organ meats, oysters, clams, crabs, cashews, sunflower seeds, wheat bran cereals, whole-grain products, and cocoa products.*

*Aids in metabolism of iron and red cell formation. Helps in the production of energy for cells.*

# *Fluoride*

*Fluorinated water, teas, marine fish, and some dental products.*

*Prevents dental cavities and stimulates new bone formation.*

# *Iodine*

*Processed foods and iodized salt.*

*Works to make thyroid hormones.*

## Iron


*Leafy green vegetables, beans, shellfish, red meat, poultry, soy foods, and some fortified foods.*

*Needed to transport oxygen to all parts of the body via the red blood cells.*

## Magnesium

*Whole-grain products, leafy green vegetables, almonds, peanuts, hazelnuts, lima beans, black-eyed peas, avocados, bananas, kiwifruit, shrimp, and chocolate.*

*Helps muscles and nerves function properly, steadies heart rhythm, maintains bone strength, and helps the body create energy and make proteins.*

# *Manganese*

*Pecans, almonds, legumes, green and black tea, whole grains, and pineapple juice.*

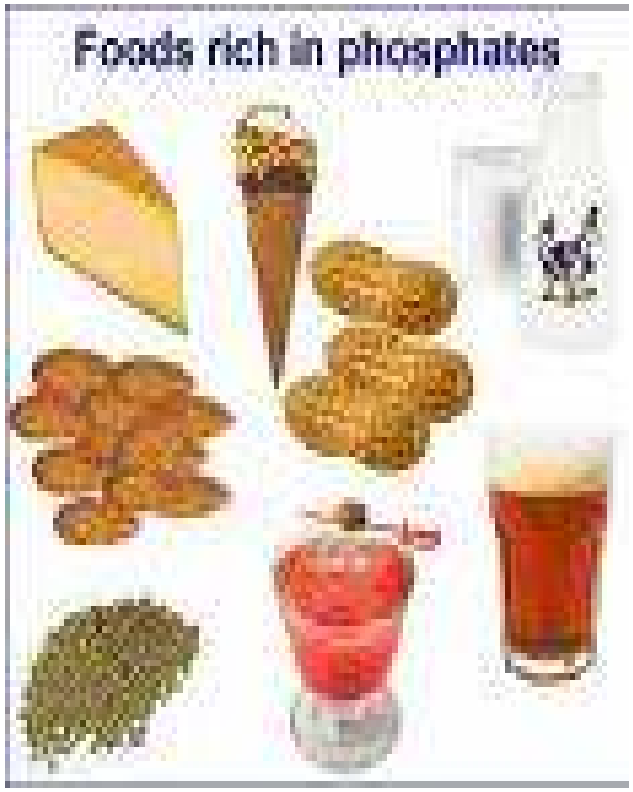
*Involved in bone formation and wound healing, metabolism of proteins, cholesterol, and carbohydrates. It is also an antioxidant.*

# *Molybdenum*

*Legumes, grain products, and nuts.*

*Plays a role in processing proteins and other substances.*

# *Phosphorus*




*Dairy products, beef, chicken, halibut, salmon, and whole-wheat breads.*

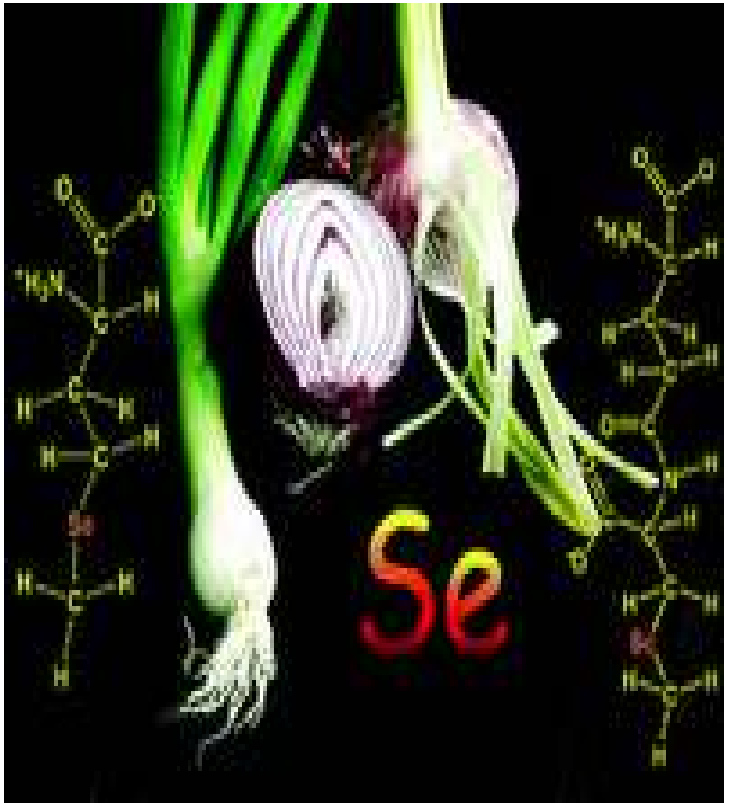
*Helps cells function normally and help the body make energy. Helps red blood cells deliver oxygen. Important in the formation of bone.*

# *Zinc*


*Red meat, fortified cereals, oysters, almonds, peanuts, chickpeas, soy foods, and dairy products.*

*Vital to many internal processes and supports immune function, reproduction, and the nervous system.*

# *Selenium*




*Organ meats, shrimp, crabs, salmon, halibut, and Brazil nuts.*

*Helps protect cells from damage and regulates thyroid hormone action and other processes.*

# *Potassium*

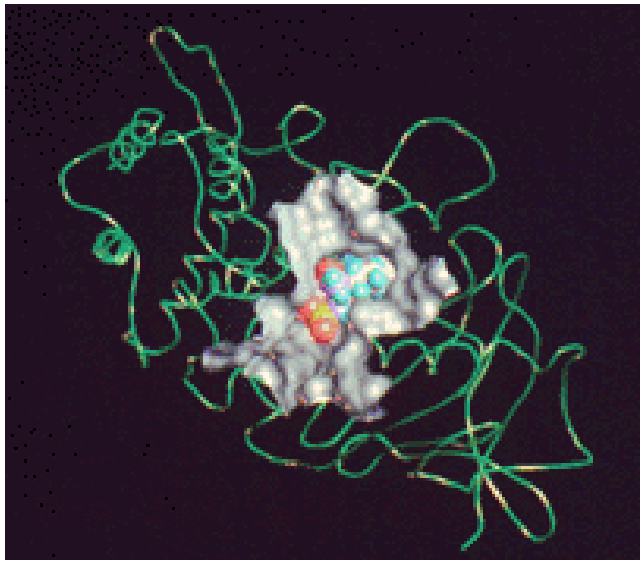
*Broccoli, potatoes (with the skins on), prune juice, orange juice, leafy green vegetables, bananas, raisins, and tomatoes.*

*Aids in nervous system and muscle function. Also helps maintain a healthy balance of water in the blood and body tissues.*

# Sythethetic preparations

*Initially made only from natural substances, antibiotics were soon formulated from synthetic (non-living) or partly synthetic materials. In 1945 Benjamin Dugger, Y. Subbarow, and A. Dormbush discovered* ***aureomycin,*** *the first of the class of antibiotics known as tetracyclines. John Ehrlich and Quentin Bartz isolated another soil microbe in 1947 that chemists at Parke Davis & Company found could be synthesized (made) into an antibiotic. The new drug, chloramphenicol (an antibiotic that is antagonistic, or harmful, to a wide spectrum of bacteria), became one of the first bestselling synthetic drugs. Other synthetic antibiotics include terramycin, erythromycin, and bacitracin.*

Recently chemists have developed computer programs to facilitate the design of new drugs. These programs help design chemicals that fit to the 3-D conformation of the receptor.



**-led to the discovery of HIV protease inhibitors, ACE inhibitors etc**.

# ROUTES OF DRUG ADMINISTRATION

# CLASSIFICATION

## SYSTEMIC

### Enteral

*Oral*

*Sublingual*

*Rectal*

### Parenteral

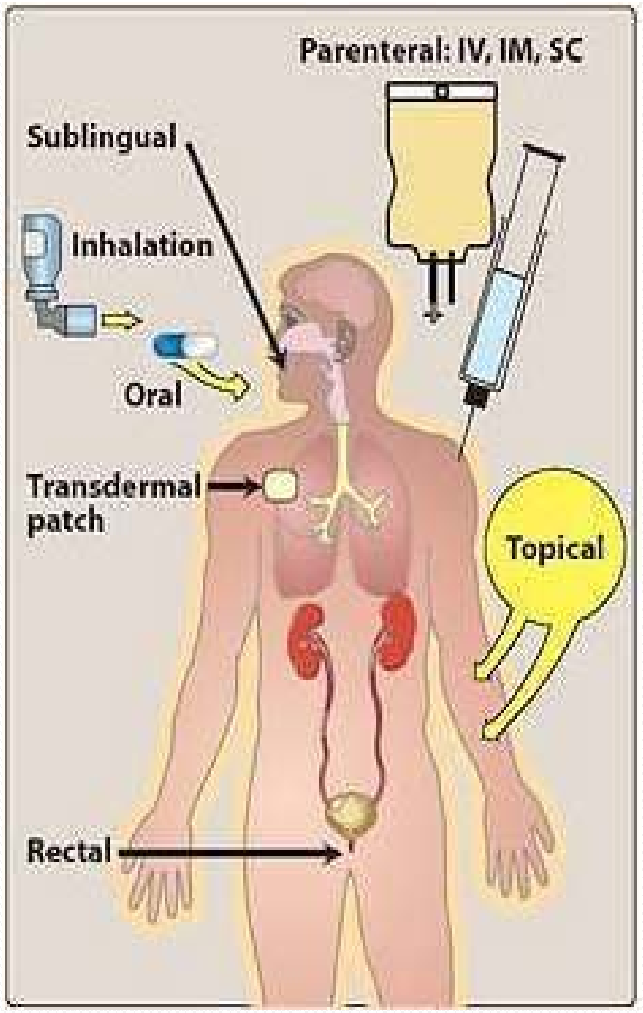
*Inhalational* *Injections*

*Transdermal*

Intravenous
Intramuscular
Subcutaneous Intra-arterial Intra-articular
Intrathecal Intradermal

Enteral; oral, sub-lingual (buccal), rectal. Note soluble, enteric coated or slow release formulations

- Parenteral; iv, im, sc, id, it, etc. Different rates of absorption, different plasma peaks. Note iv infusors
- Skin; for local or systemic effect - note patches
- Lungs; inhalation; local or systemic effect?
- Vaginal; (usually local)
- Eye; (usually local)



# ORAL ROUTE

- The most common route of drug administration.
- Drug is given through oral cavity.

## ADVANTAGES

- Safe
- Convenient- self- administe
  pain free, noninvasive and easy
  Economical- compared to other parenteral
- routes
- Usually good absorption- takes place along the whole length of the GI tract
- No need for sterilization

# DISADVANTAGES ORAL ROUTE

1. Slow absorption
2. slow action - can not used in emergency
3. Irritable and unpalatable drugs- nausea and vomiting
4. Cannot be used Unco-operative, vomiting and unconscious patients
5. Some drugs destroyed
6. Sometimes inefficient drug absorbed, some drugs are not absorbed like streptomycin
7. First-pass effect- Due to Biotransformation
8. Food–Drug interactions and Drug-Drug interactions

7. Food–Drug interactions and Drug-Drug interactions

Dosage forms Capsules, powders Tablets, spansules Syrup, emulsion Suspension, elixirs

Syrup

Tablets


Hard- gelatin capsule

Soft- gelatin capsule

Spansule

# SUBLINGUAL/BUCCAL ROUTE

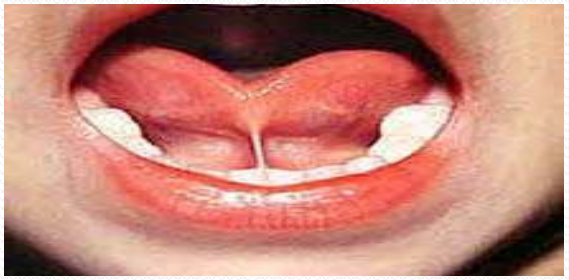
Tab or pellet containing the drug is placed under tongue or crushed in mouth and spread over the buccal mucosa. Ex- GTN, buprenorphine, desaminooxytocin

## ADVANTAGES

- Drug absorption is quick
- Quick termination
- First-pass avoided
- Can be self administered
- Economical

## DISADVANTAGES

- Unpalatable & bitter drugs
- Irritation of oral mucosa
- Large quantities not given
- Few drugs are absorbed



# RECTAL ROUTE

- Drugs that are administered rectally as a suppository.
- In this form, a drug is mixed with a waxy substance that dissolves or liquefies after it is inserted into the rectum.
  - ex. Diazepam, indomethacin, paraldehyde, ergotamine

ADVANTAGES

- Used in children
- Little or no first pass effect (ext haemorrhoidal vein)
- Used in vomiting or unconscious
- Higher concentrations rapidly achieved

DISADVANTAGES

- Inconvenient
- Absorption is slow and erratic
- Irritation or inflammation of rectal mucosa can occur

# PARENTERAL ROUTES

- **Direct delivery of drug in to systemic circulation without intestinal mucosa**

**Intradermal (I.D.) (into skin)**

**Subcutaneous (S.C.) (into subcutaneous tissue)**

**Intramuscular (I.M.) (into skeletal muscle)**

**Intravenous (I.V.) (into veins)**

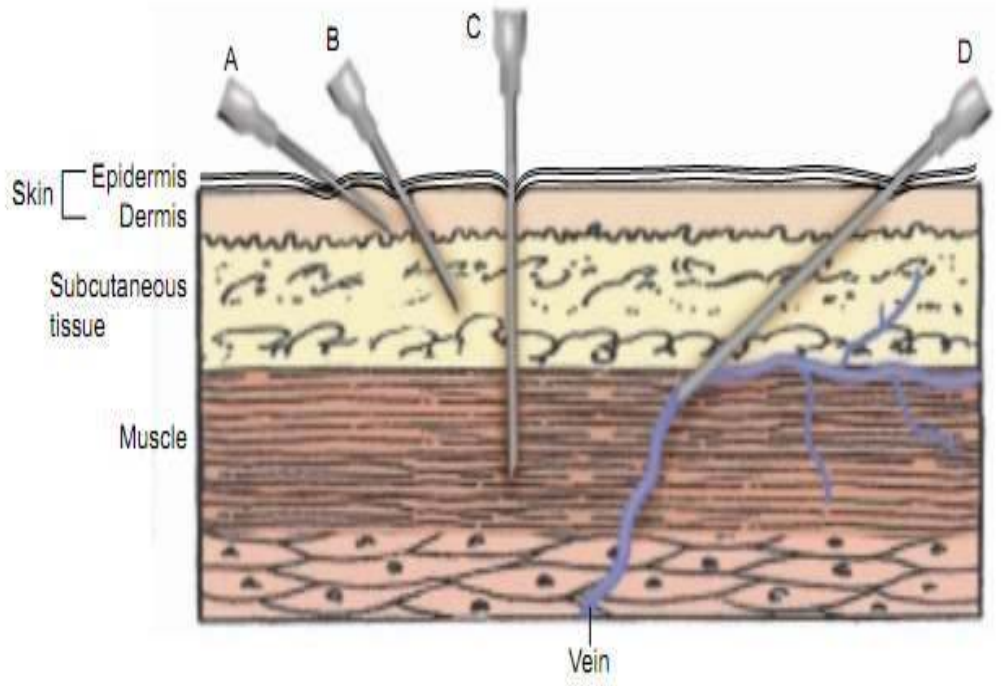
**Intra-arterial (I.A.) (into arteries) Intrathecal (I.T.) (cerebrospinal fluids ) Intraperitoneal (I.P.) (peritoneal cavity) Intra - articular (Synovial fluids)**

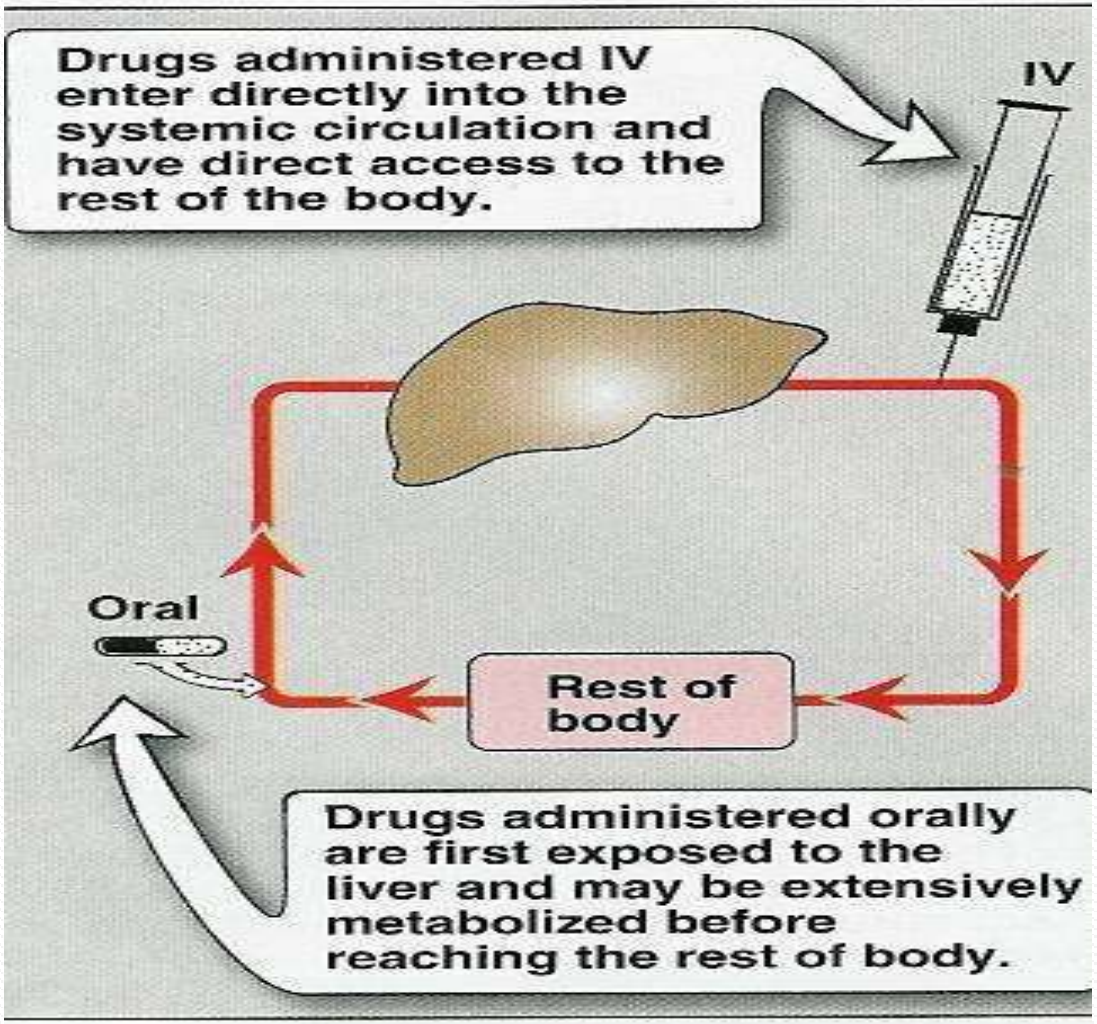
**A) Intradermal –** inj into skin

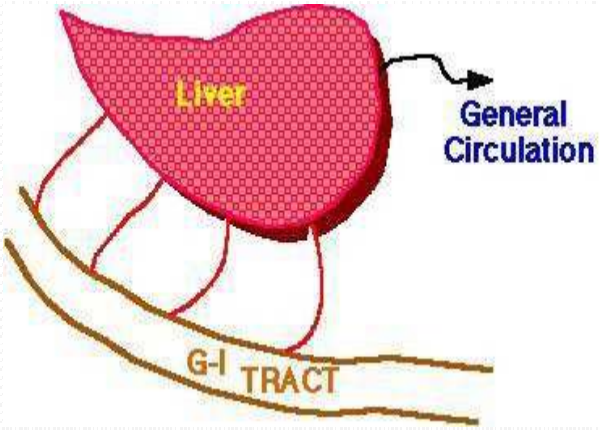
**B) Subcutaneous -** Absorption of drugs from the subcutaneous tissues

**C) Intramuscular (IM)** drug injected into skeletal muscle

**D) Intravascular (IV)-** placing a drug directly into the blood stream






First-pass metabolism can occur with orally administered drugs.

# Parenteral administration

## Advantages

- **high bioavailability**
- **Rapid action (emergency)**
- **No first pass metabolism**

***Suitable for***

**–Vomiting &unconsciousness**

**– Irritant & Bad taste drugs.**

**– No gastric irritation**

**– No food-drug interaction**

***Dosage form:***

**Vial or ampoule**

## Disadvantages

**–Infection**

**–Sterilization.**

**–Invasive assistance require**

**–Pain**

**–Needs skill**

**–Anaphylaxis**

**–Expensive.**

# INTRAVENOUS ROUTE

## ADVANTAGES

- IV is the most common parenteral route. For drugs that are not
- absorbed orally.
- Avoids first-pass metabolism by the liver.
- Intravenous delivery permits a rapid effect and a maximal degree
- of control over the circulating levels of the drug. Titration of
- dose with response.
- large quantities can be given, fairly pain free
- (100% bioavailability) Absorption phase is bypassed

## DISADVANTAGES

- However, unlike drugs in the GI tract, those that are injected cannot be recalled by strategies such as emesis or by binding to activated charcoal.
- IV injection may also induce hemolysis or cause other adverse reactions by the too-rapid delivery of high concentrations of drug to the plasma and tissues also vital organs like heart, brain etc.

# INTRAMUSULAR ROUTE

Large skeletal muscle- Deltoid, triceps, gluteus maximus, rectus femoris

## ADVANTAGES

- Absorption reasonably uniform
- Rapid onset of action
- Mild irritants can be given
- First pass avoided
- Gastric factors can be avoided

## DISADVANTAGES

- Only upto 10ml drug given
- Local pain and abcess
- Expensive
- Infection Nerve damage
- Local hematoma can occur in anticoagulant treated pt.

# SUBCUTANEOUS ROUTE

- Drug is deposited in loose subcutaneous tissue – rich nerve supply- irritant drugs cannot be inj. Less vascular- slow absorption than im route
- Avoid in shock pt – vasoconstriction  Only Small volume can be injected
- Subcutaneous injection minimizes the risks associated with  intravascular injection
- Depot preparation can be injected- Dermojet, Pellet implantation, Sialistic and biodegradable implants

## Intradermal Route

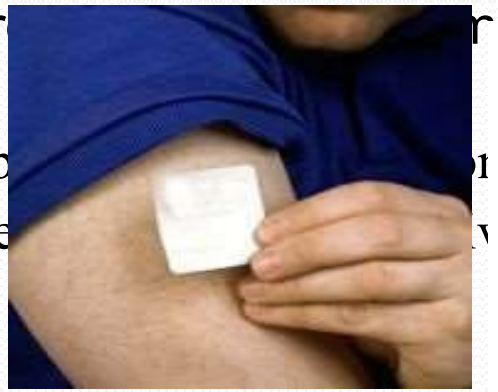
- Inj into skin raising bleb – BCG Vaccine, Sensitivity test

## Intrathecal/intraventricular

- It is sometimes necessary to introduce drugs directly into the cerebrospinal fluid. For example, amphotericin B is used  in treating *Cryptococcal meningitis*

# Transdermal

- This route of administration achieves systemic effects by application of
- drugs to the skin, usually via a transdermal medicated adhesive patch.
  The rate of absorption can vary markedly, depending on the physical characteristics of the drug (lipid soluble) and skin at the
- site of application.
- Slow effect (prolonged drug action)
  This route is most often used for the sustained delivery of drugs, such
  as the antianginal drug nitr… …matic scopolamine,
  the nicotine patches
- ❑Site – Upper arm, chest, ab… …n
- avoided Absorption- increase… …v… …g
  preparation



# Topical application

- Produce local effect to
- Skin (percutaneous) e.g. allergy testing, topical local anesthesia
- Mucous membrane of respiratory tract (Inhalation) e.g. asthma
- Eye drops e.g. conjunctivitis
- Ear drops e.g. otitis externa
- Intranasal, e.g. decongestant nasal spray

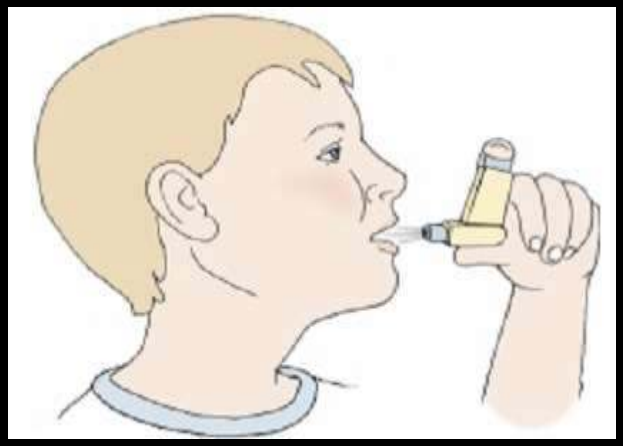
# Inhalation

## Advantages

- Mucous membrane of respiratory system
- Rapid absorption (large surface area)
- Provide local action
- Minor systemic effect
- Low bioavailability
- Less side effects.
- No first pass effect

## Disadvantages

Only few drugs can be used



***Dosage form:*** aerosol, nebulizer

# Inhalation

- Inhalation provides the rapid delivery of a drug across the large surface area of the mucous membranes of the respiratory tract and pulmonary epithelium, producing an effect almost as rapidly as with IV injection.
- This route of administration is used for drugs that are gases (for example, some anesthetics) or those that can be dispersed in an aerosol.

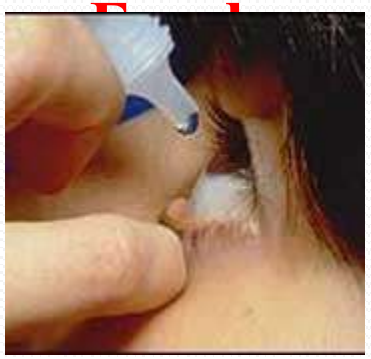
This route is particularly effective and convenient for patients with respiratory complaints (such as asthma, or chronic obstructive pulmonary disease) because the drug is delivered directly to the site of action and systemic side effects are minimized.

Examples of drugs administered via this route include albuterol, and

corticosteroids, such as fluticasone.

# Intranasal

- This route involves administration of drugs directly into the nose. Agents include nasal decongestants such as the anti- inflammatory corticosteroid.
- Desmopressin is administered intranasally in the treatment of diabetes insipidus; salmon calcitonin, a peptide hormone used in the treatment of osteoporosis, is also available as a nasal spray.
- The abused drug, cocaine, is generally taken by intranasal sniffing.



# SKIN - Topical

- Dermal - Oil or ointment for local
- action  Antiseptic cream and lotion
- Sunscreen lotion and powders

No single method of drug

***administration is ideal for all drugs in all circumstances***

# Thank You