PHARMACOLOGY

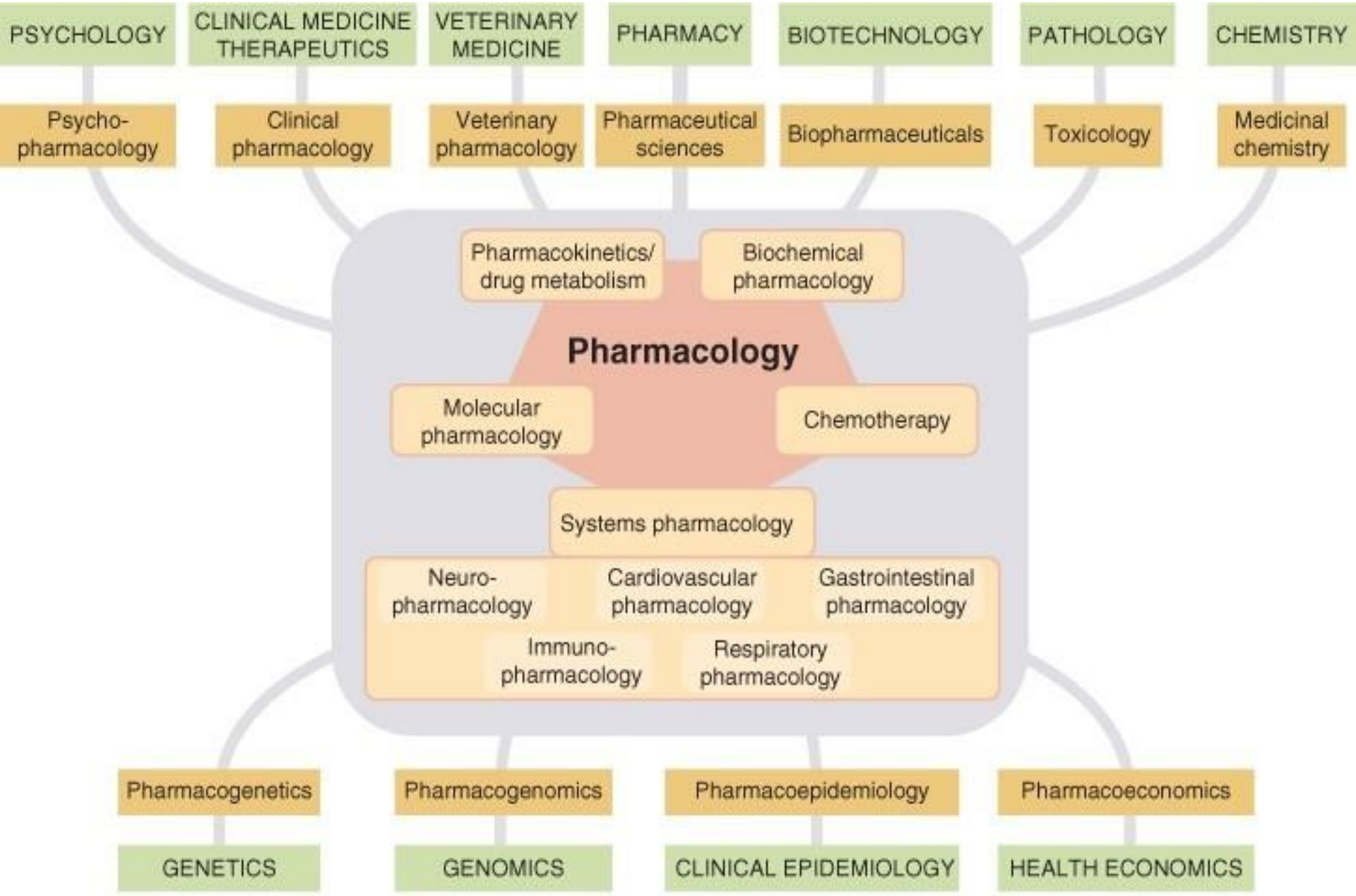
INTRODUCTION TO PHARMACOLOGY:

Pharma=Drugs, Logos=Knowledge

(Pharmacology = The study or science of drugs)

➢**Pharmacology:** It is the science of drugs derived from two Greek words: *Pharmakon* (Greek word for drugs) and *logos* (the Greek word for science). It is the study of the actions of drugs on living system.

PHARMACOLOGY, Link to other biomedical principles…



Definitions

- **Drugs :**

All medicines for internal or external use of human beings or animals and all substances intended to be used for or in the diagnosis, treatment, mitigation or prevention of any disease or disorder in human beings or animals, including preparations applied on human body for the purpose of repelling insects like mosquitoes.

HISTORY OF PHARMACOLOGY….

- Knowledge of drugs and their uses in diseases are as old as history of mankind.
- Primitive men gather the knowledge of healing and medicines by observing the nature, noticing the animals while ill and personal experience after consuming plants and herbs as remedies.
- Ancient civilizations discovered that extracts from plants, animals and minerals had medicinal effects on body tissue. These discoveries became the foundation of pharmacology.

Historical developments in Pharmacology:

- ✓ **PEN PSAO (2700 BC):** It was the great herbal materia medica written in china.
- ✓ **Kahun Papyrus (2000 BC):** Is an oldest Egyptian document containing information about veterinary medicines and uterine diseases of women.
- ✓ **Ebers papyrus (1550 BC):** Also an Egyptian document containing information about number of diseases and 829 prescription where castor oil, opium like drug are being used.

Cont…..

- ✓ **Hippocrates (460-375 BC):** A greek physician consider **"father of Medicine"**. He was the first person who recognize disease as abnormal reaction of body. He introduce use of metallic salts for the treatment of disease.
- ✓ **Theophrastus (380-287 BC)** A great philosopher called father of Pharmacognosy. He classified medicinal plants on the base of medicinal characteristics.

Modern Pharmacology….

Conversion of old medicines into the modern pharmacology start taking shape following the introduction of animal experimentation and isolation of active ingredients from plants.

Francois Megendie (1783-1855) a first pharmacologist established the foundation of modern pharmacology. He developed experiment to elucidate the physiological processes and action of drugs on the body.

Rudolph Buchheim (1820–1879) German pharmacologist a key figure in the development of pharmacology, a who at the University of Dorpat, created the first pharmacological institute.

- **Frederich Serturner:** German pharmacist's assistant, isolated morphine—the first pure drug—in 1805
- **Claude Bernard (1813-1878):** Considered Father of experimental Medicine. He identifies the site of action of curare (arrow Poisoning).

➢**Oswald Schmiedeberg (1838-1921): Father of Pharmacology.** He established pharmacology as discipline. He started teaching pharmacology in University of Strasbourg (France)

➢**John Jacob Abel (1857-1938):** Founded first department of pharmacology in USA in the University of Michigan in 1893.

Scope of Pharmacology…

- ✓ Scientific understanding of drugs enables us to predict the pharmacological effect of a new chemical that will produce a specified therapeutic effect.
- ✓ The scope of pharmacology has expanded greatly over the last decade to incorporate many new approaches such as computer-assisted drug design, genetic screens, protein engineering and use of novel drug delivery vehicles including viruses and artificial cells.
- ✓ Our society needs pharmacologists who understand the basis of modern therapeutics for careers within academic, pharmaceutical and governmental laboratories to study and develop tomorrow's drugs.

Sources of Drug Information

- ✓ The sources of drug information is received by pharmacopeia, that is a book which contains a list of established and officially approved drug with description of their physical and chemical characteristics and tests for their identification, purity, methods of storage etc. some of the pharmacopeia's are:
- ✓ **Indian Pharmacopeia.(I.P.)**
- ✓ **British Pharmacopeia (B.P.)**
- ✓ **European Pharmacopeia.(E.P)**
- ✓ **United State Pharmacopeia.(U.S.P)**

Other sources of drug information are…

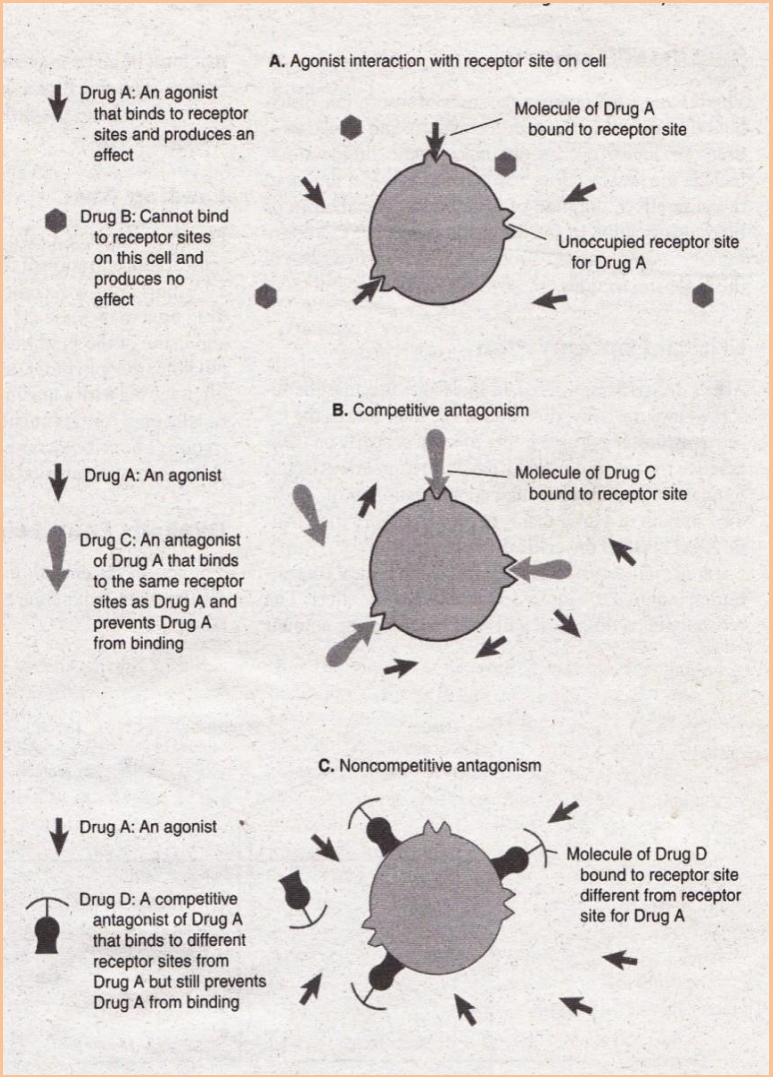
- ✓ National formulary (NF)
- ✓ Physician desk Reference (PDR)
- ✓ American Medical Association drug Evaluation
- ✓ Textbook & Journal of pharmacology and therapeutics, Drug bulletins, data bases like drug Micromedex Medline, Cochrane library etc.
- ✓ Sources of drug information is also present in Formulary which provides information about available drugs – their use, dosage, adverse effect, contraindications, precautions, warnings and guidance on selecting right drug for storage condition

Receptor- Medication chemically binds to specific sites called "receptor sites"

Agonist- Chemical fits at receptor site well

Antagonist- A chemical blocks another chemical from getting to a receptor

Partial agonist- Attach to the receptor but only produce a small effect

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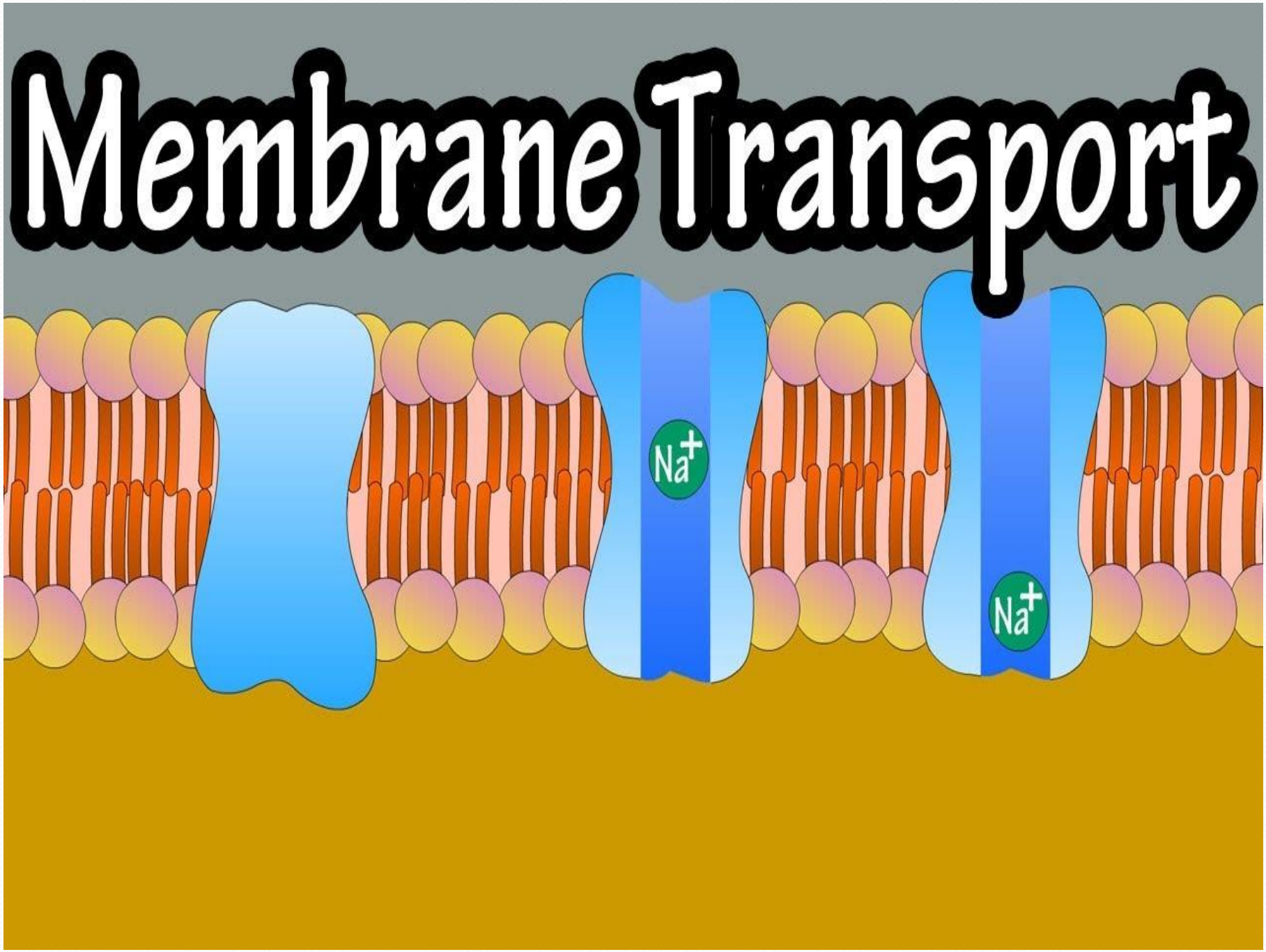
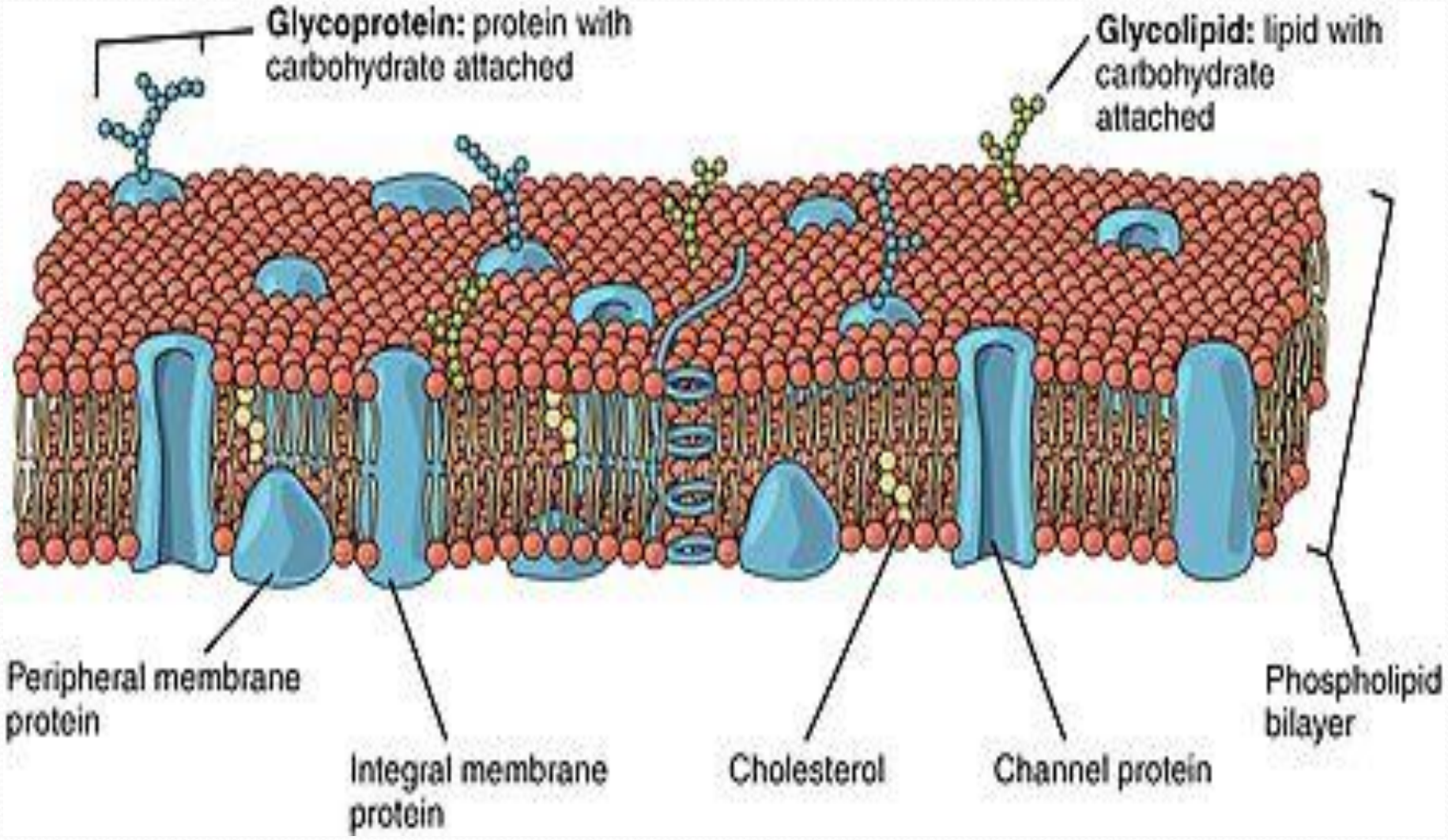
Membrane Transport



Biological Membrane Image



Basic Membrane Function

- ✓ Maintain internal cell environment at a steady state regardless of changes in the external environment.
- ✓ Similar to the concept of homeostasis in the human body but this is at the cellular level.
- ✓ Acts as a selective barrier regulating the movement of substances into and out of the cell

DIFFERENT TRANSPORT MECHANISMS

Passive Transport:

- Simple diffusion
- Facilitated diffusion: channel and carrier
- Osmosis
- Filteration

Active Transport:

- Pump

Bulk Membrane Transport:

- Endocytosis: pinocytosis, phagocytosis, receptor-mediated
- Exocytosis

Passive Transport

✓Also known as Down-hill transport system.

✓Majority of drugs diffuses across the membrane in the direction of concentration gradient

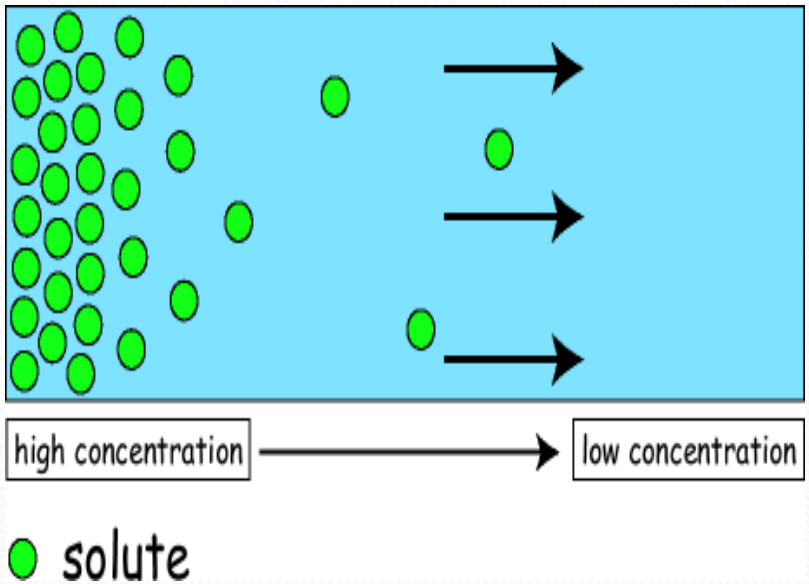
✓No active role of the membrane

✓Proportional to lipid : water partition coefficient

✓Lipid soluble drugs diffuse by dissolving in the lipoidal matrix of the membrane

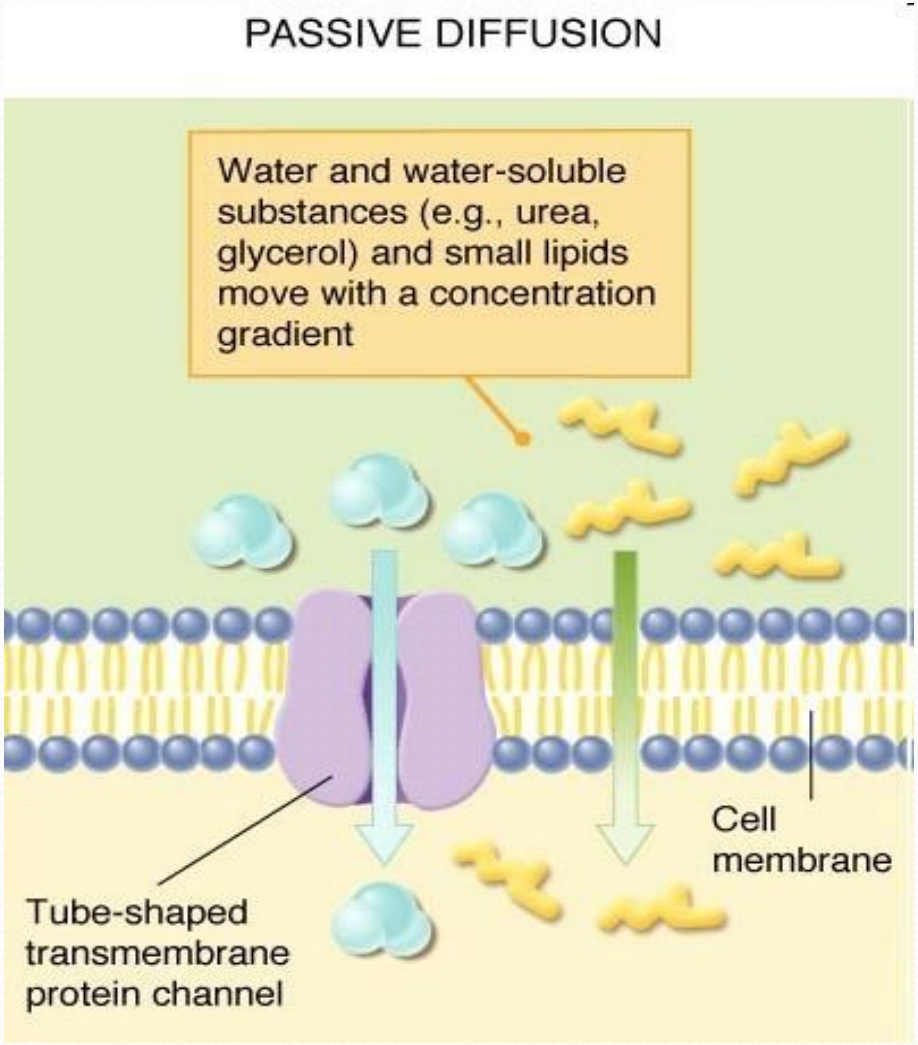
Characterstics:

- Not requiring energy
- Having no saturation
- Having no carriers
- Not resisting competitive inhibition



Factors Affecting Passive Transport….

- The size of molecule
- Lipid solubility
- Polarity
- Degree of ionization
- PH of the environment



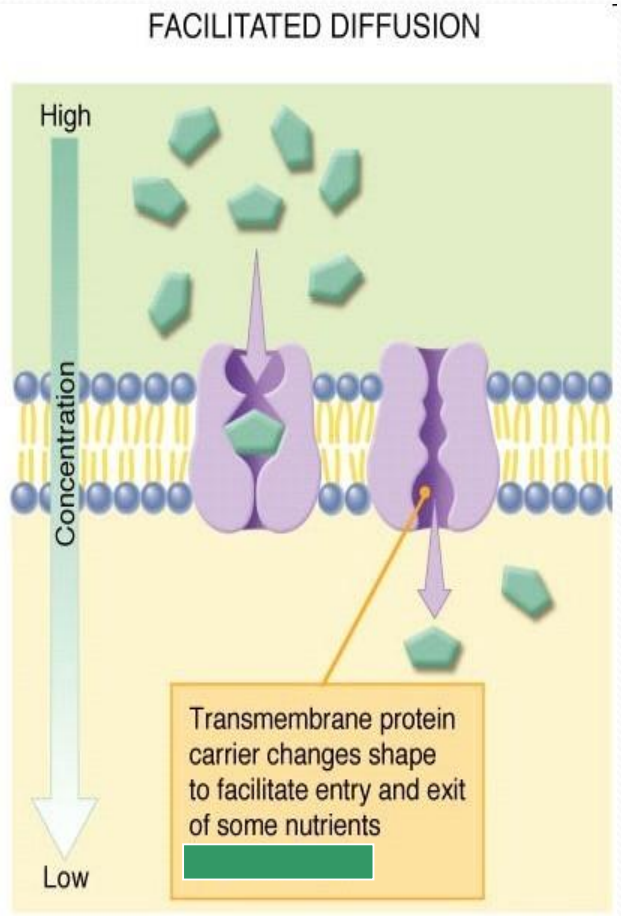
Facilitated Transport

Similar to passive diffusion in that:

- Across a semi-permeable membrane
- Continues until equilibrium is achieved

Difference is that a transport protein is involved in the movement of molecules:

- Channel and carrier proteins



Channel Proteins

- ✓ Move small charged molecules (e.g. ions).
- ✓ Charged particles need help crossing the hydrophobic core.
- ✓ Particles transported have the opposite charge to that of the protein.
- ✓ Acts like a tunnel

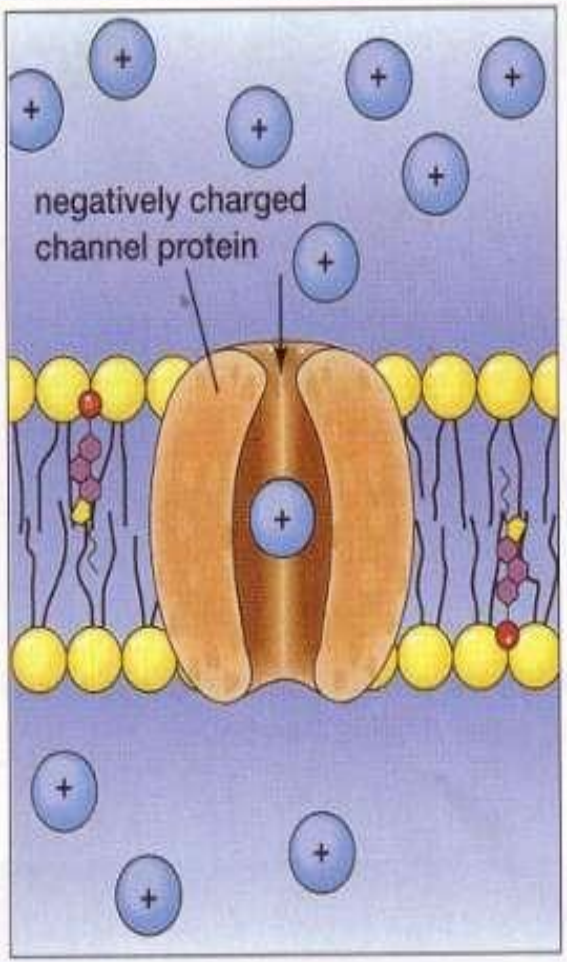


Figure 1.35 Channel proteins provide water-filled passages through which small dissolved ions can diffuse.

Carrier Proteins

- Moves large uncharged molecules (e.g. glucose)
- Proteins change shape (conformational change) to allow molecules
- Acts like a gate/door

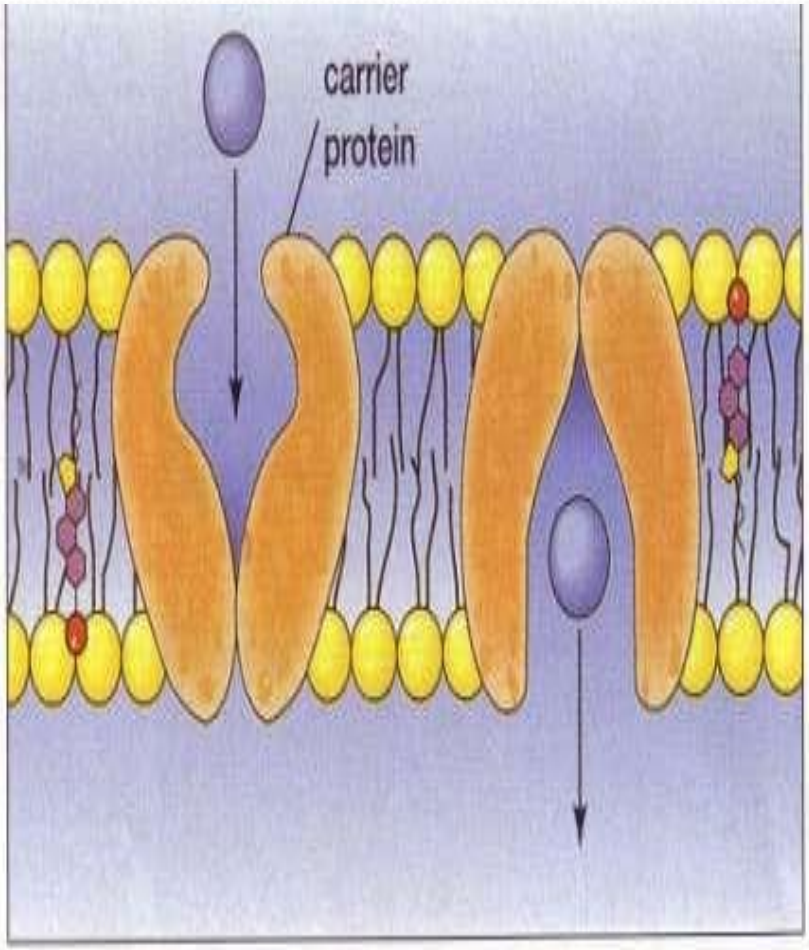


Figure 1.34 Carrier proteins change shape to allow certain molecules to cross the cell membrane.

Direction of Transport

Uniport: movement of a single molecule in one direction

E.g. all channel proteins

Symport: movement of 2 molecules in the same direction

E.g. Na/glucose symporter

Antiport: movement of 2 molecules in opposite directions

E.g. Na/K pump

Osmosis

- Diffusion of water
  - *In-vitro* this could occur by simple diffusion
  - *In-vivo* (e.g. a cell), this would be facilitated by aquaporins
- Water moves from an area of high water concentration to an area of low water concentration
- Water will always move in the direction to dilute the solute
- Movement of water is in the opposite direction of the solute

Osmotic Environments…

Tonicity:

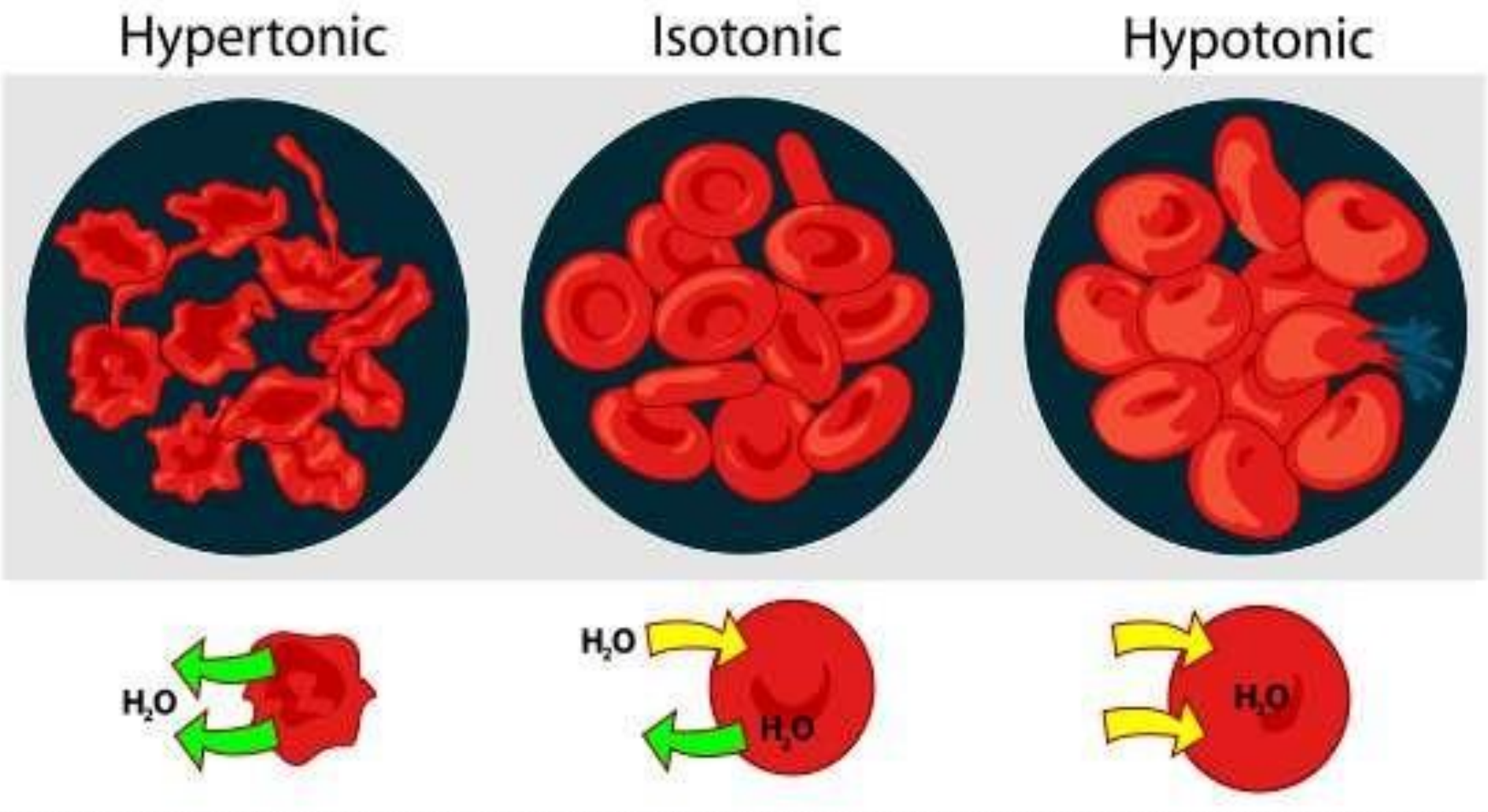
- Osmotic pressure due to the difference in concentration across a semi-permeable membrane
- Influenced by solutes that cannot cross a membrane
- Refers to the concentration of solutes in the environment surrounding the cell (external)

Isotonic: Iso = same

Hypotonic: Hypo = less

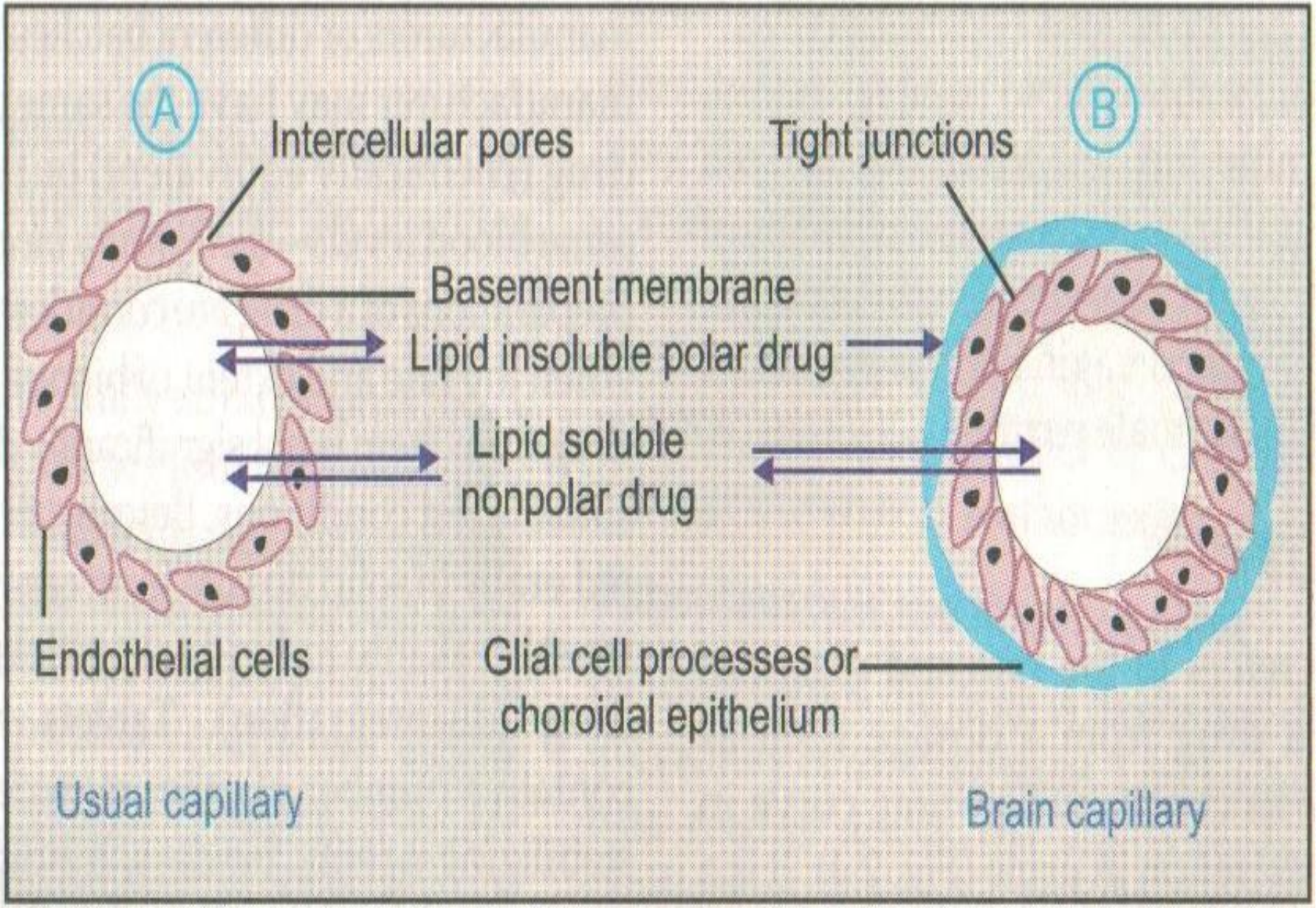
Hypertonic: Hyper = more

Red BloodCell….



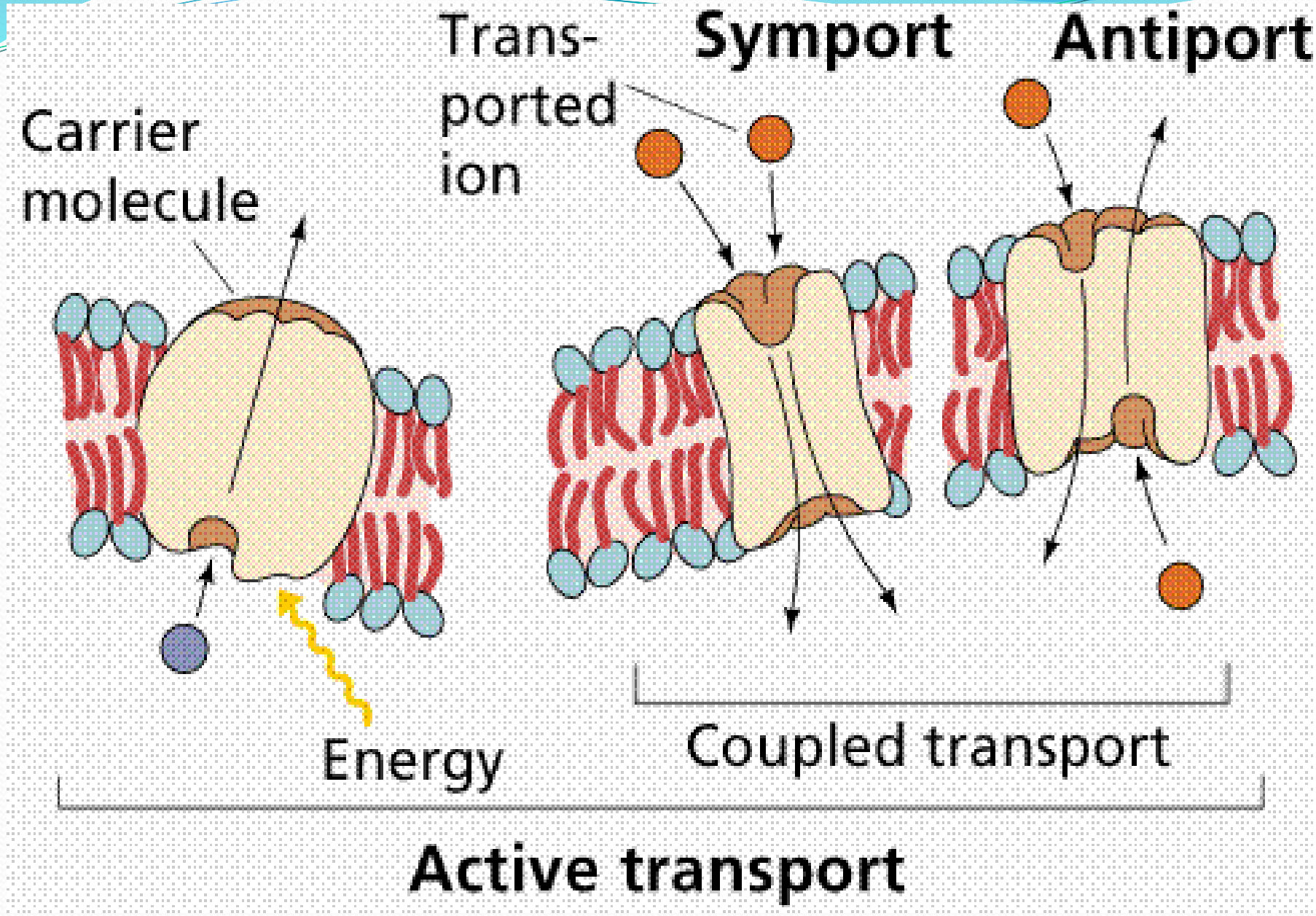
Filtration:

- Passage of drugs through aqueous pores in membrane or through para-cellular space
- Lipid insoluble drugs can cross, if the molecular size is small
- Majority of intestinal mucosa and RBC's have small pores and drugs cannot cross
- But, capillaries have large paracellular space and most drugs can filter through this


A
Intercellular pores
Basement membrane
Lipid insoluble polar drug
Lipid soluble
nonpolar drug
Endothelial cells
Usual capillary
B
Tight junctions
Glial cell processes or
choroidal epithelium
Brain capillary

Active Transport

- ✓ Movement of molecules against concentration gradient.
- ✓ From low to high concentration.
- ✓ Requires energy in the form of ATP.
- ✓ Requires a transport protein pump.
- ✓ ATP induces a conformational change in the transporter pump to allow specific molecules to enter/exit cell against its concentration gradient


Trans-
ported
ion
Symport
Antiport
Carrier
molecule
Energy
Coupled transport
Active transport

Bulk MembraneTransport

Transport of molecules too large or too polar to pass through the membrane involves the folding of the cell membrane to form a vesicle

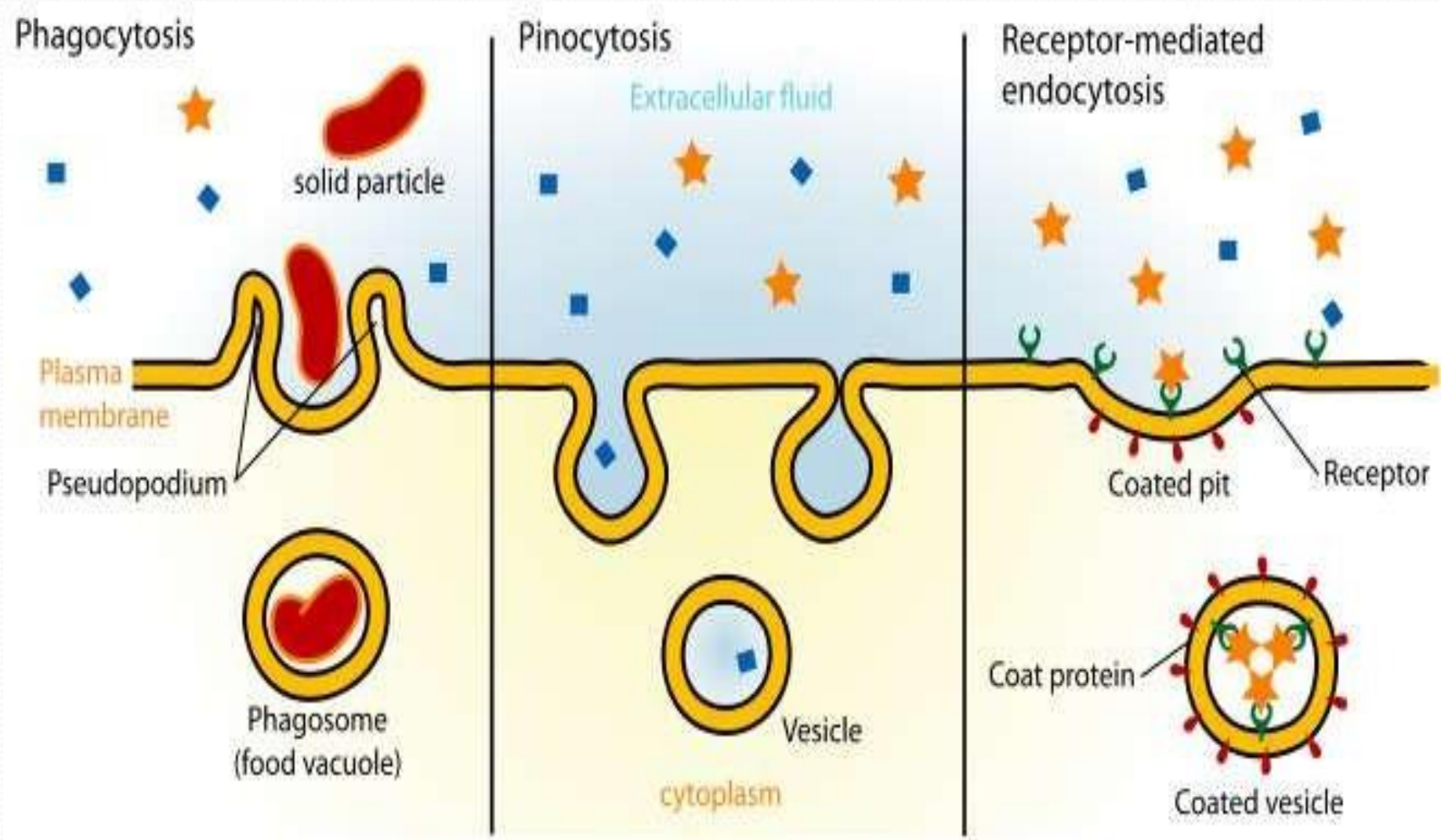
Types of Bulk Membrane Transport

Endocytosis- Entry into the cell

- Phagocytosis
- Pinocytosis
- Receptor-mediated endocytosis

Exocytosis- Exiting the cell

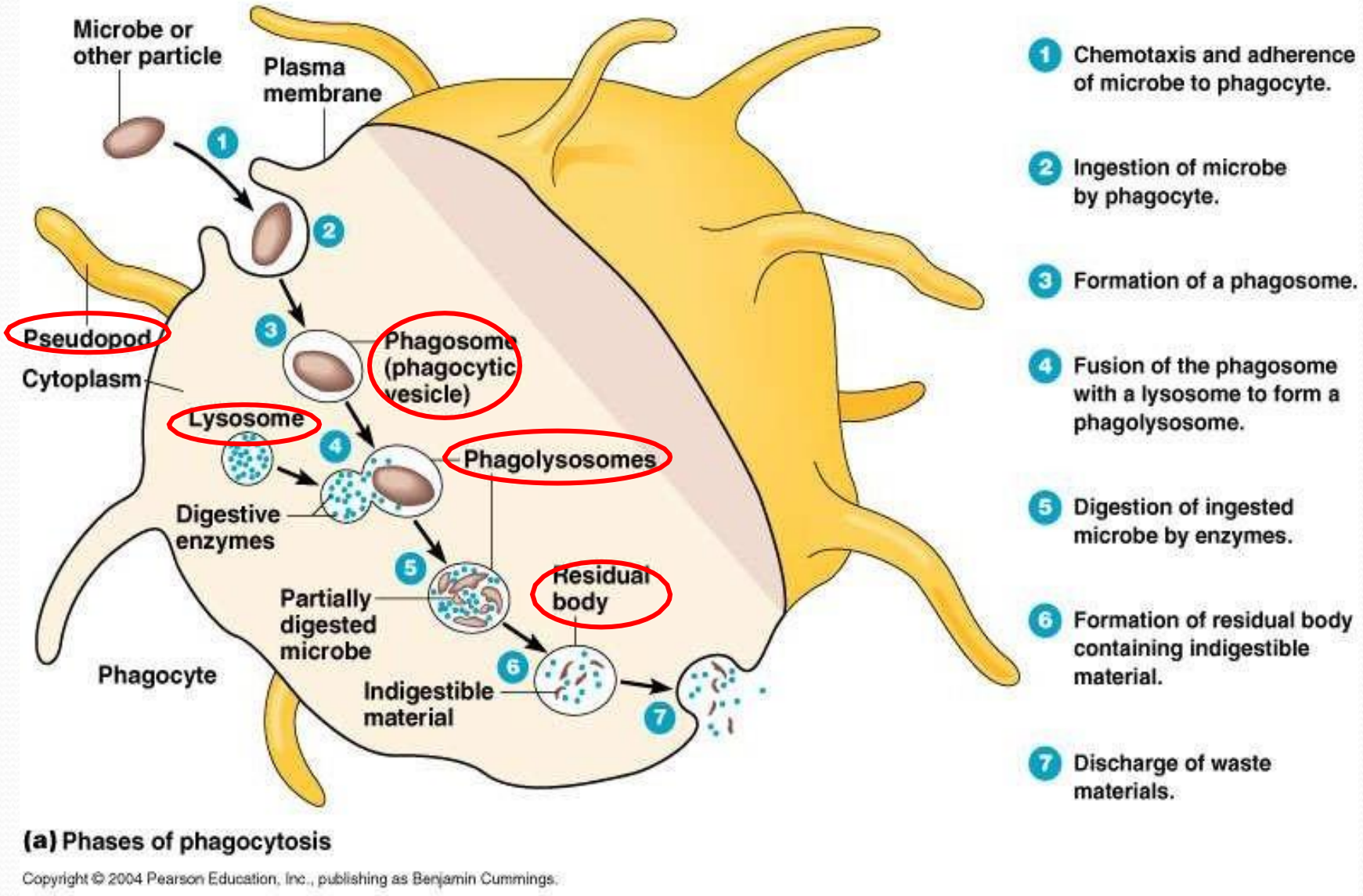
Endocytosis



Phagocytosis

- Also known as "Cellular eating"
- A process by which living cells ingest other cells or large particles.
- Found in simpler forms of life for feeding (e.g. amoebas).
- Used in higher order organisms as a defense mechanism against invasion by foreign particles

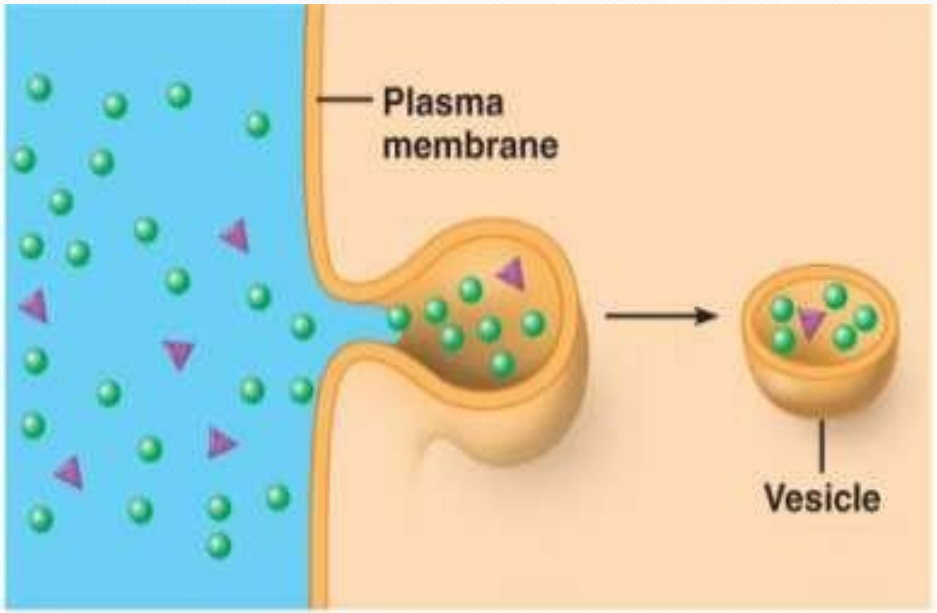
Mechanisms of Phagocytosis

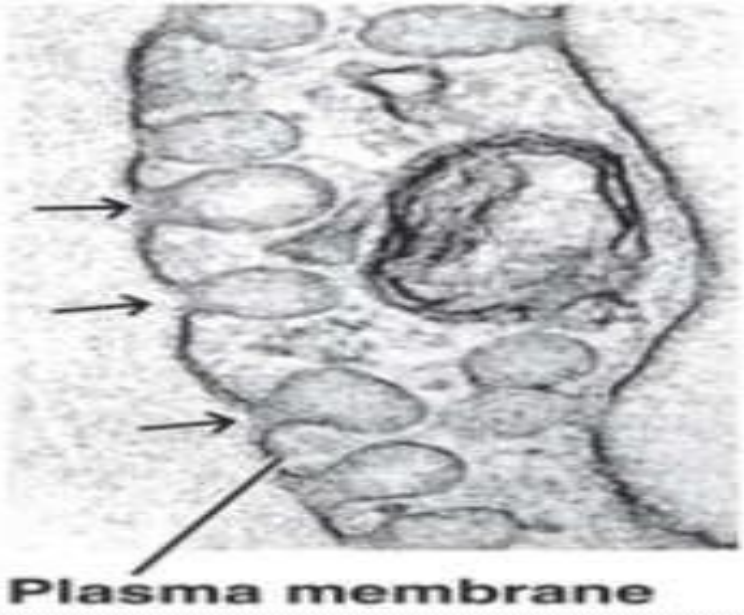


(a) Phases of phagocytosis

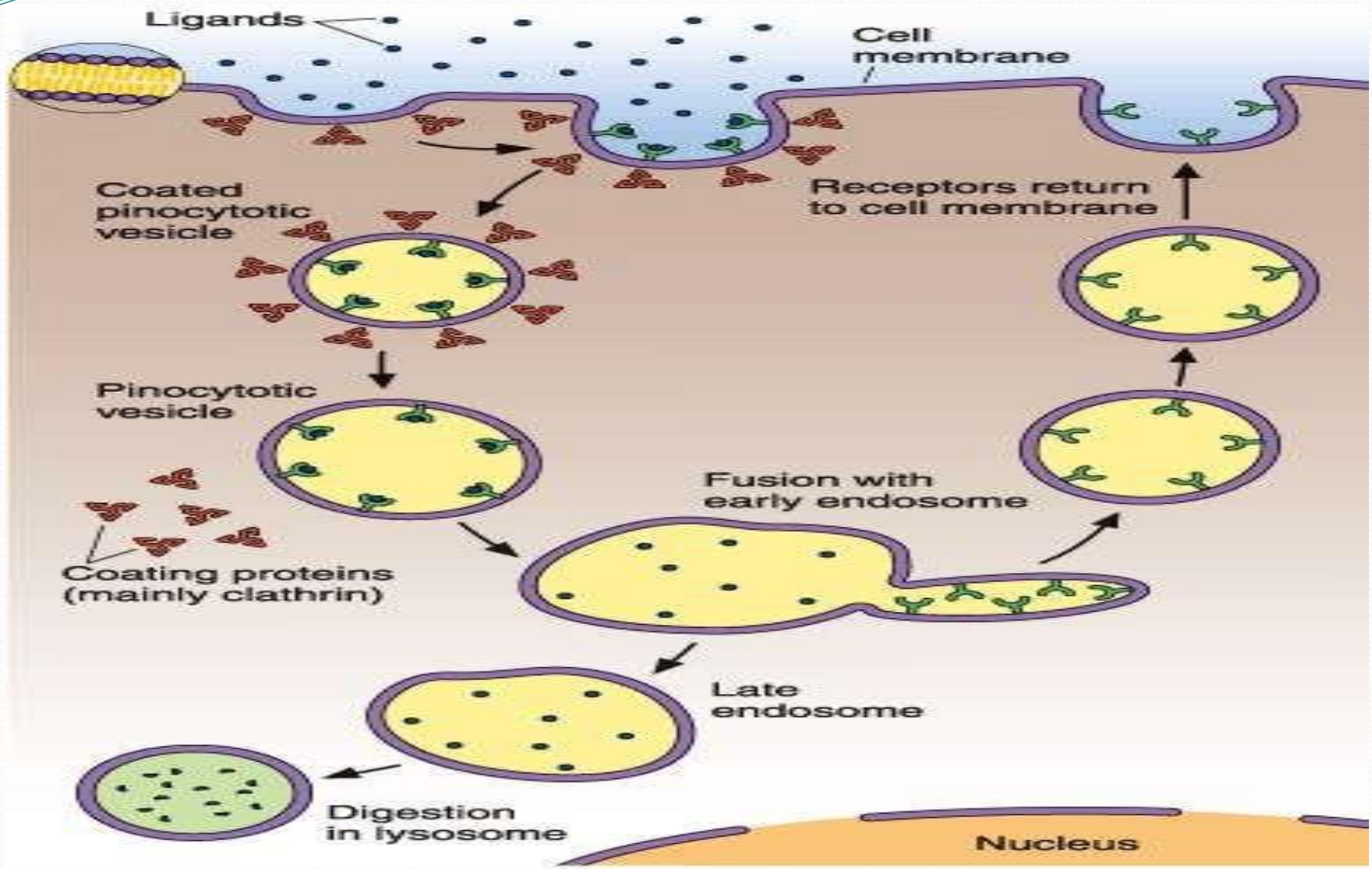
Pinocytosis

- Also known as "Cellular drinking".
- Ingestion of dissolved materials.
- Occurs in most cell types





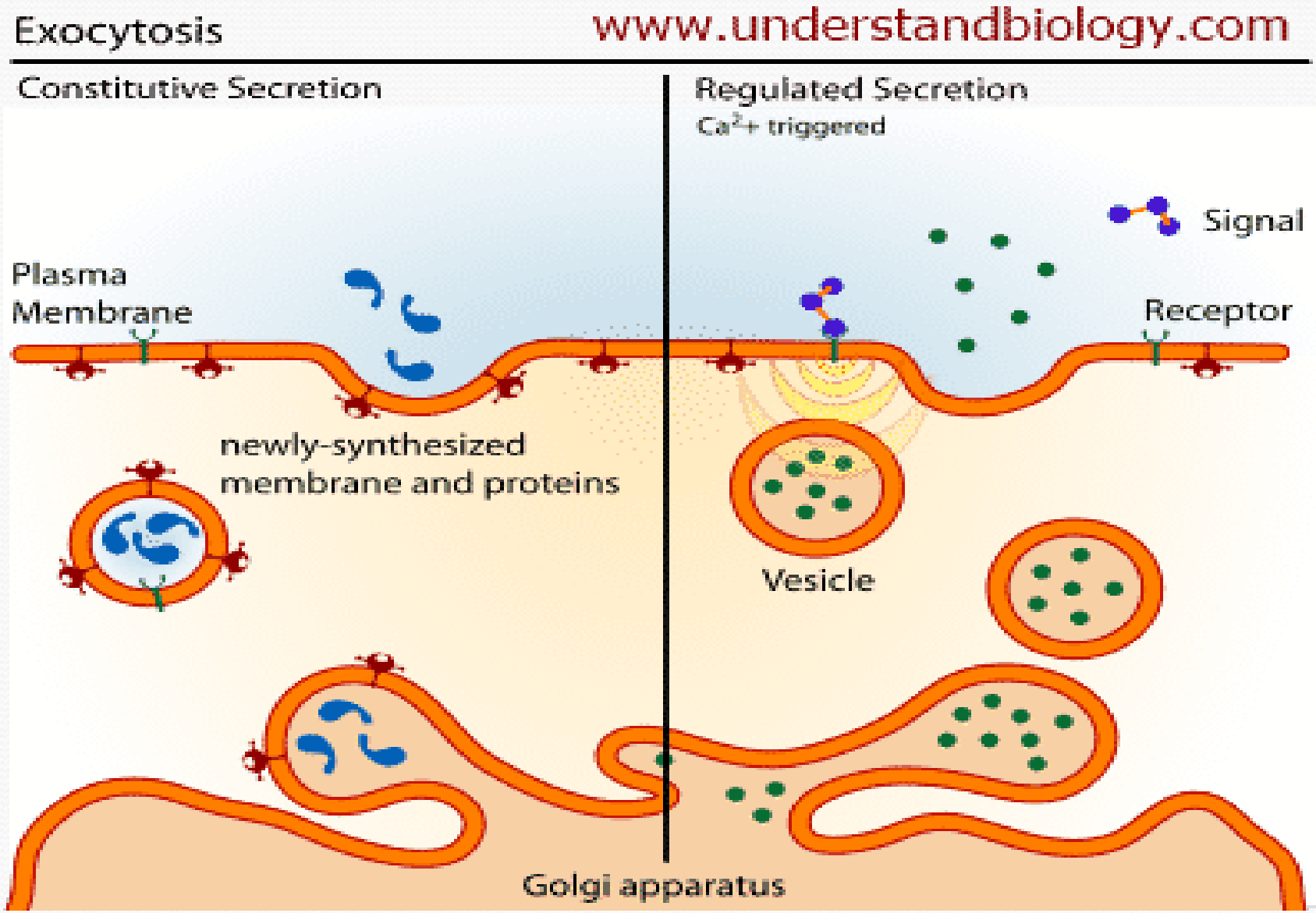
Mechanism of Receptor-Mediated Endocytosis



Exocytosis

- Movement of materials from the cell to the cell surface within membrane bound vesicles.
- Vesicles formed off golgi body or from endocytosis
- Reverse of endocytosis

Mechanisms of Exocytosis…




Thank
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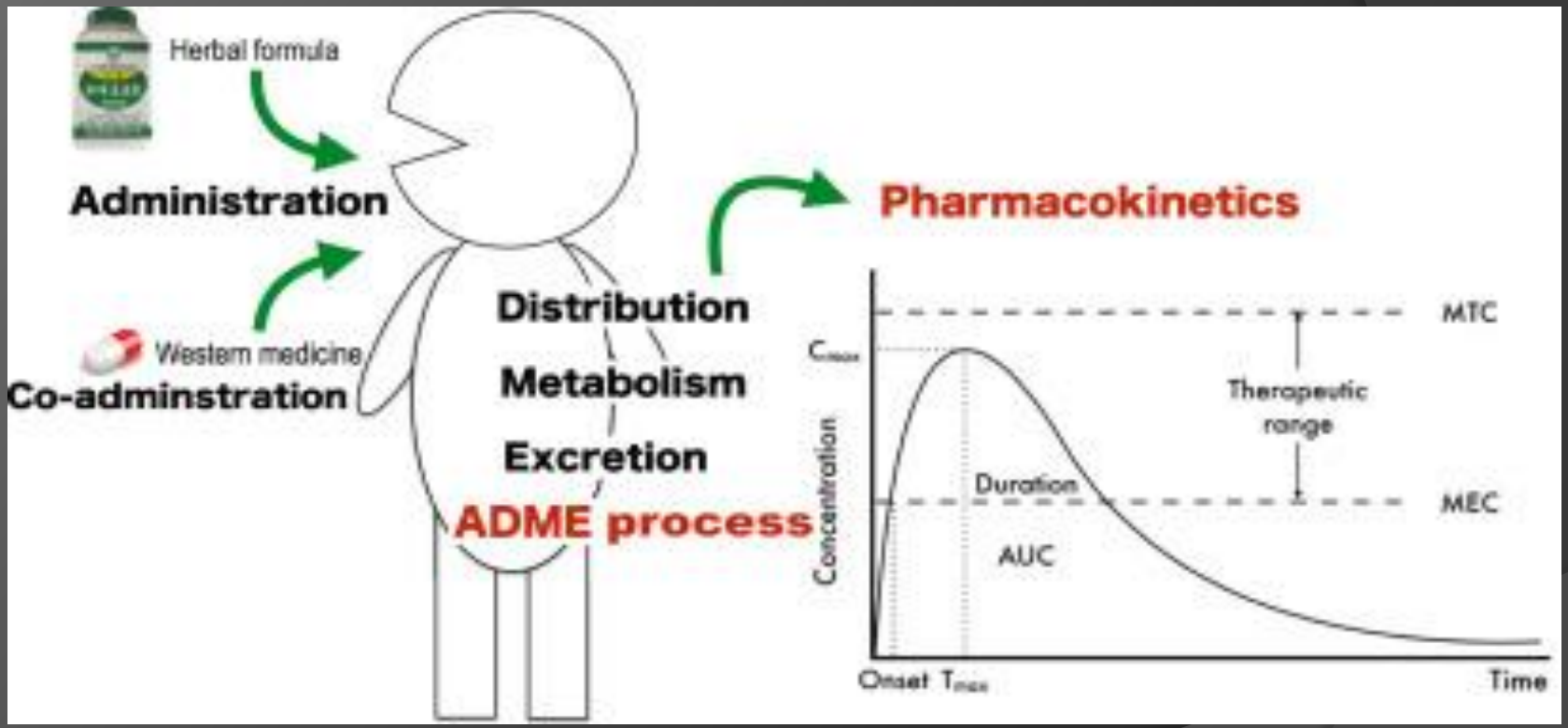
Pharmacokinetics

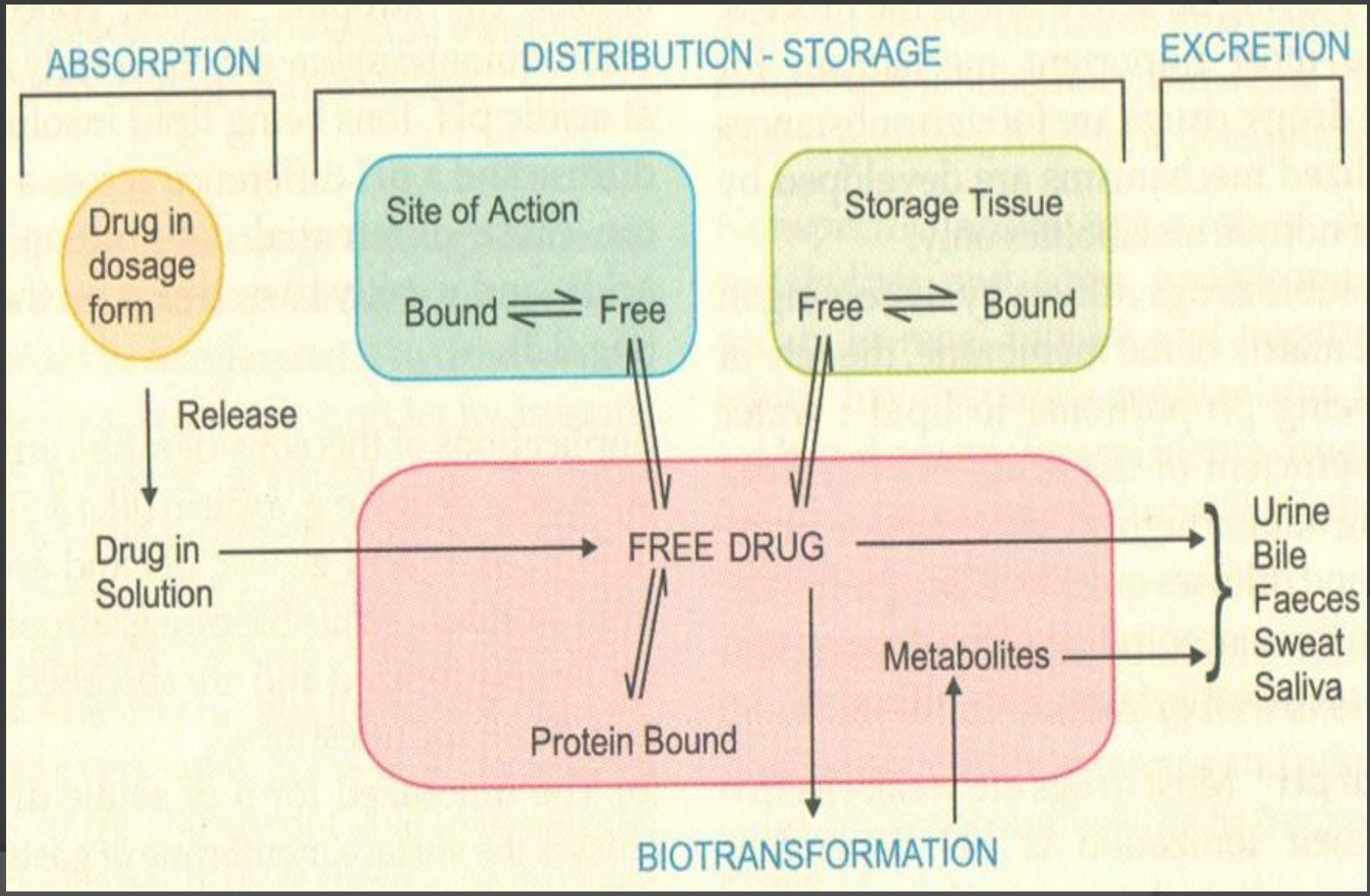


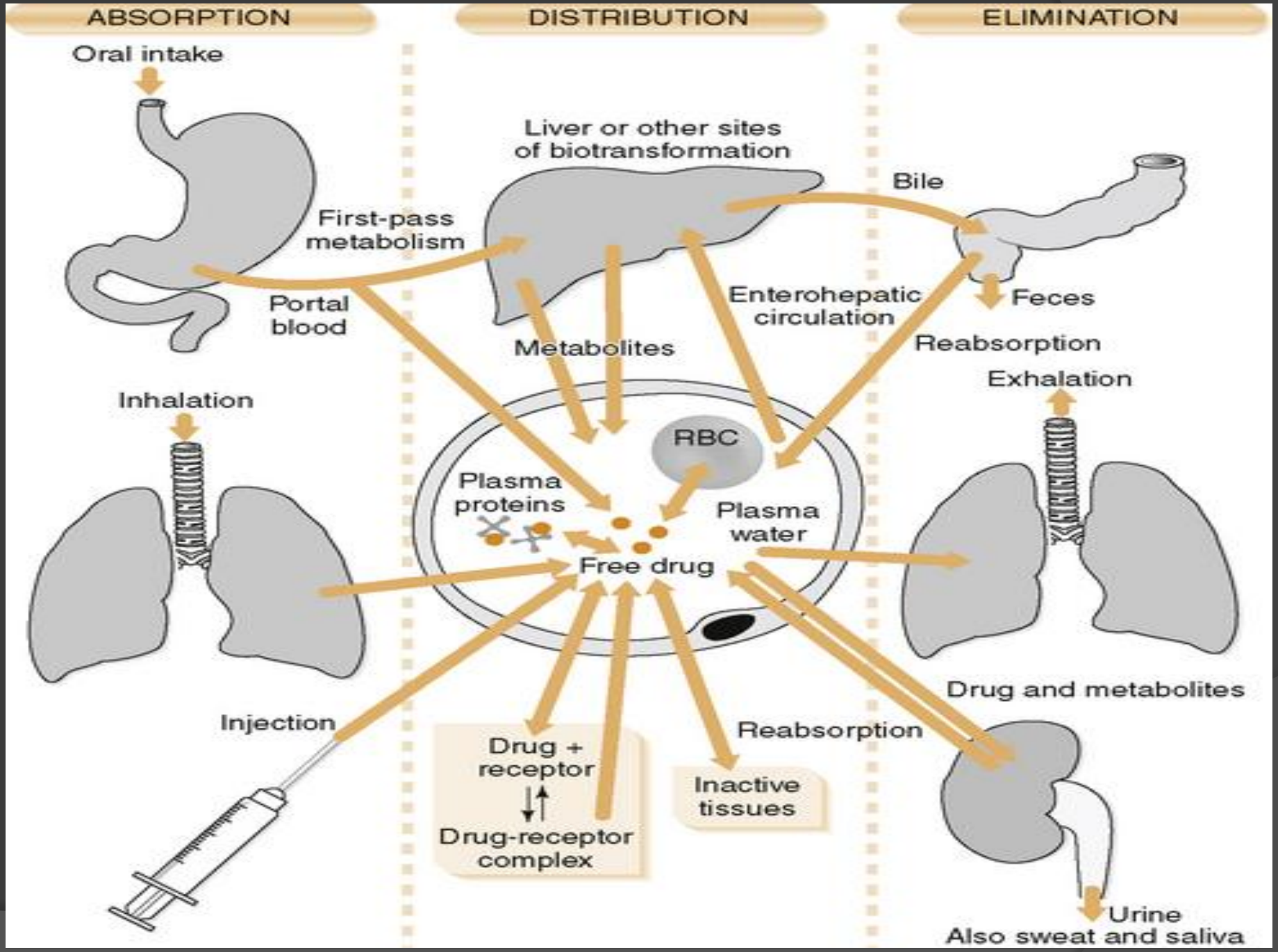
What is Pharmacokinetics??

How the human body act on the drugs…

✓ Pharmacokinetics is the quantitative study of drug movement in, through and out of the body. Intensity of effect is related to concentration of the drug at the site of action, which depends on its pharmacokinetic properties

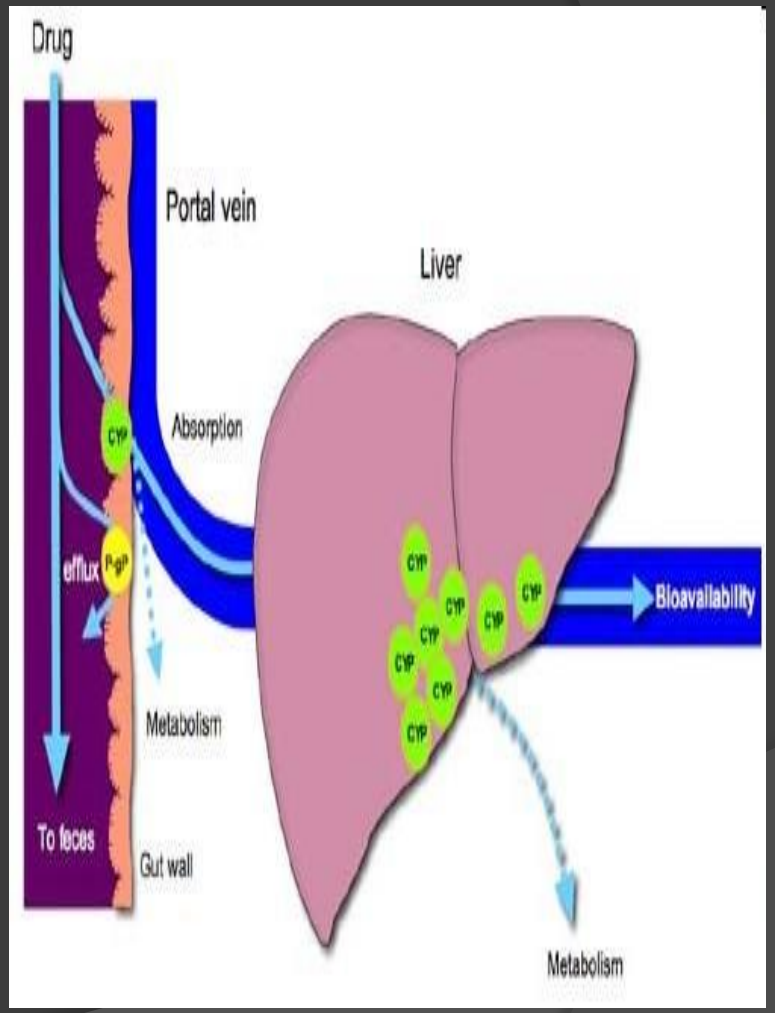
The Pharmacokinetic Process




ABSORPTION
DISTRIBUTION
ELIMINATION
Oral intake
Liver or other sites of biotransformation
Bile
First-pass metabolism
Portal blood
Enterohepatic circulation
Feces
Reabsorption
Metabolites
Exhalation
Inhalation
RBC
Plasma proteins
Plasma water
Free drug
Drug and metabolites
Injection
Reabsorption
Drug + receptor
Inactive tissues
Drug-receptor complex
Urine
Also sweat and saliva

Absorption of Drugs

- Absorption is the transfer of a drug from its site of administration to the blood stream.
- Most of drugs are absorbed by the way of passive transport
- Intravenous administration has no absorption
- Fraction of administered dose and rate of absorption are important



Factors affecting absorption:

- ✓ Drug properties:

  lipid solubility, molecular weight and polarity
- ✓ Blood flow to the absorption site
- ✓ Total surface area available for absorption
- ✓ Contact time at the absorption surface
- ✓ Affinity with special tissue
- ✓ Routes of Administration

Bioavailability

✓ Bioavailability refers to the rate and extent of absorption of a drug from dosage form as determined by its concentration-time curve in blood or by its excretion in urine.

✓ It is a measure of the fraction (F) of administered dose of a drug that reaches the systemic circulation in the unchanged form

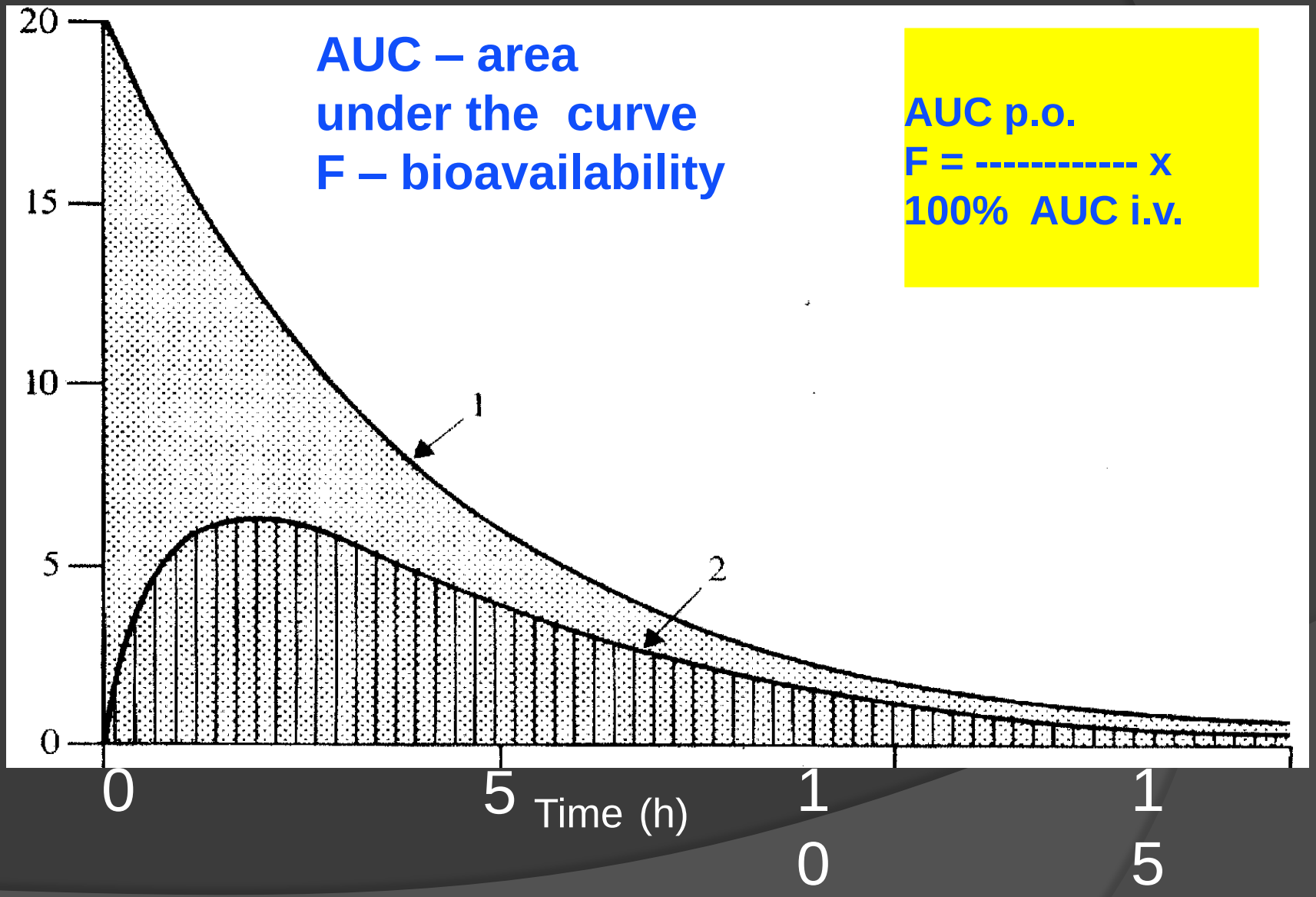
✓ Bioavailability of drug injected i.v. is 100%, but is frequently lower after oral ingestion, because:

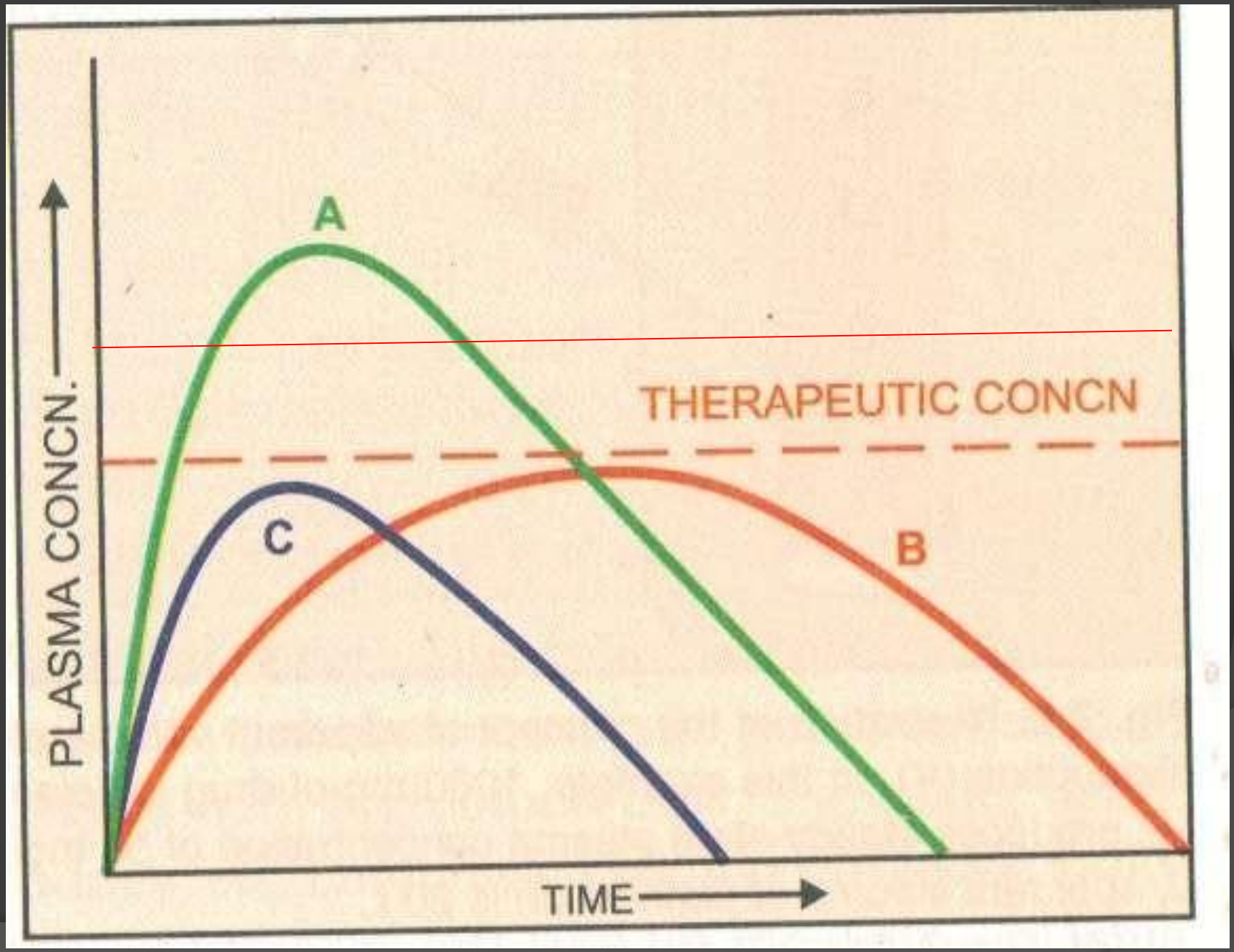
- The drug may be incompletely absorbed

The absorbed drug may undergo first pass metabolism in intestinal wall and/or liver or be excreted in bile.

Bioequivalent

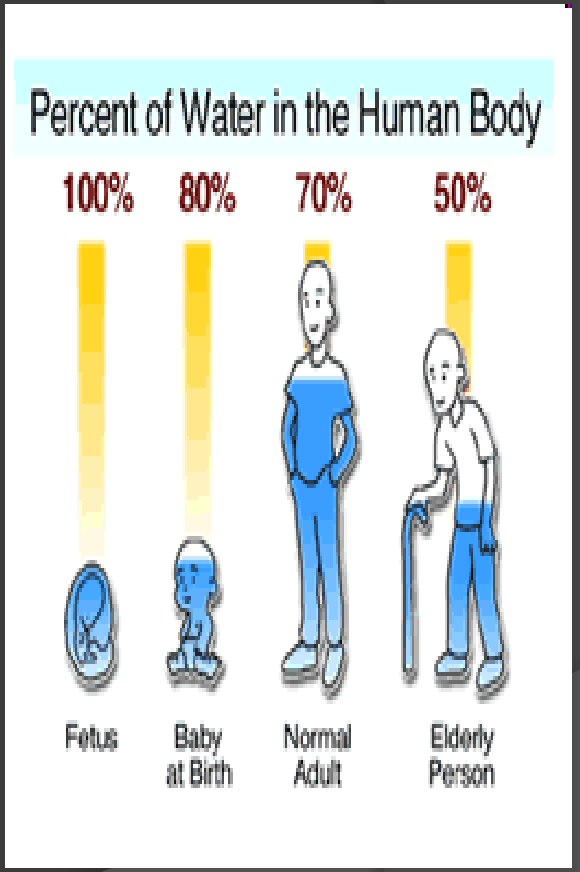
Practical Significance – low safety margin drugs


AUC – area
under the curve
F – bioavailability
AUC p.o.
F = ---------- x
100% AUC i.v.
Plasma concentration
(mcg/ml)
20
15
10
5
0
1
2
0
5
10
15
Time (h)


A
B
C
THERAPEUTIC CONCN
PLASMA CONCN.
TIME
MTC
MEC

Distribution of Drugs

- It is the passage of drug from the circulation to the tissue and site of its action.
- The extent of distribution of drug depends on its lipid solubility, ionization at physiological pH (dependent on pKa), extent of binding to plasma and tissue proteins and differences in regional blood flow, disease like CHF, uremia, cirrhosis
- Movement of drug - until equilibration between unbound drug in plasma and tissue fluids

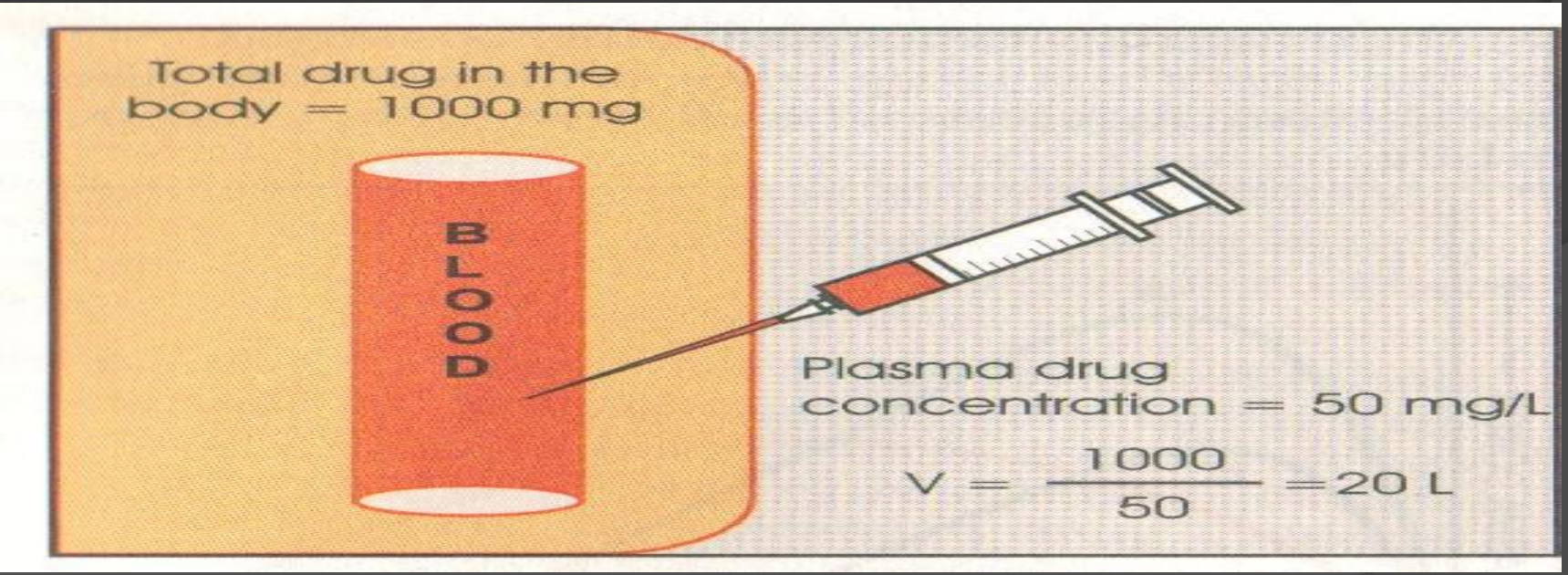


Volume of Distribution (V)

Apparent Volume of distribution is defined as the volume that would accommodate all the drugs in the body, if the concentration was the same as in plasma

Expressed as: in Liters

$$V = \frac{\text{Dose administered IV}}{\text{Plasma concentration}}$$



Drugs may distribute into any or all of the following compartments:

- **Plasma**
- **Interstitial Fluid**
- **Intracellular Fluid**

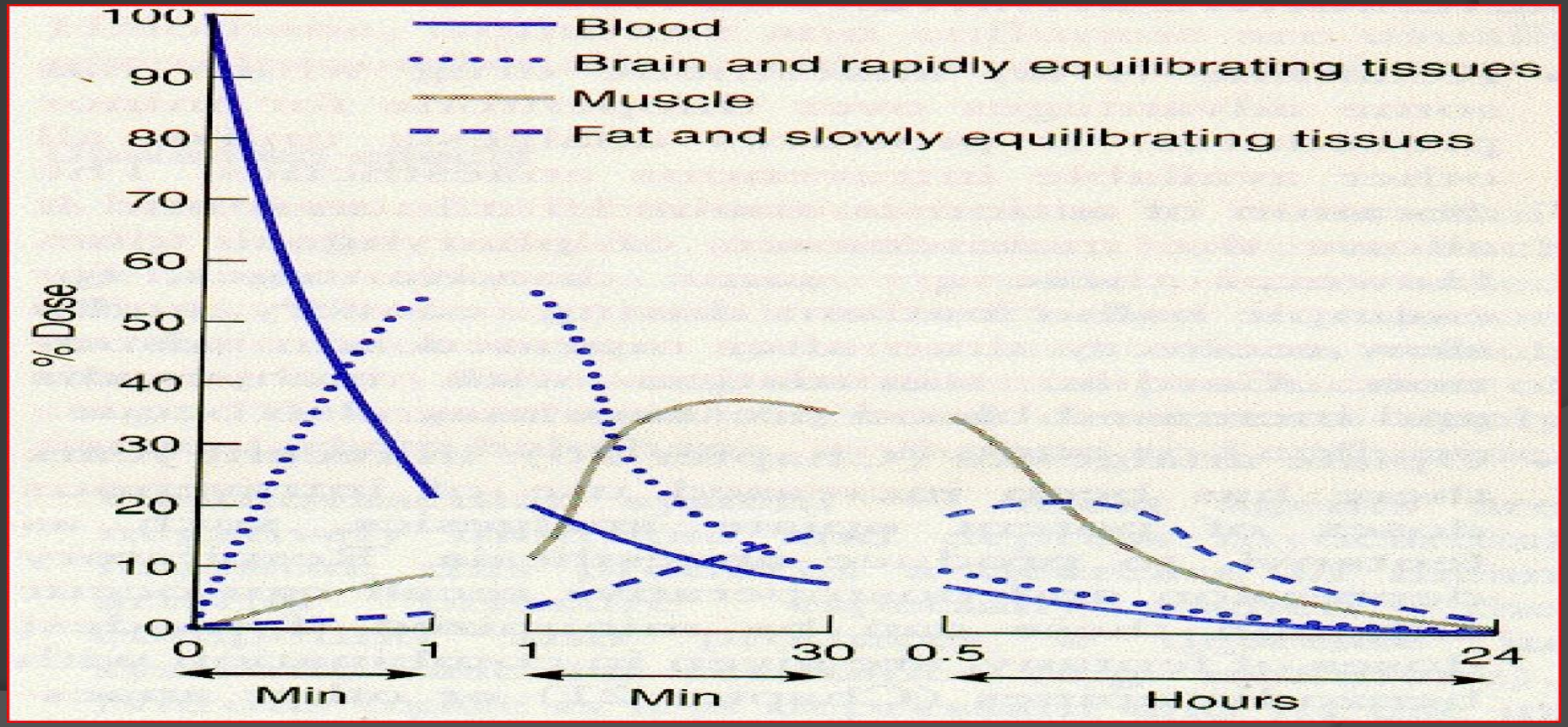
Total Body Fluid = 42 L (approx.)

Factors influencing volume of distribution…

- Lipid solubility (lipid : water partition coefficient)
- pKa of the drug
- Affinity for different tissues
- Blood flow – Brain Vs Fat
- Disease states
- Plasma protein Binding

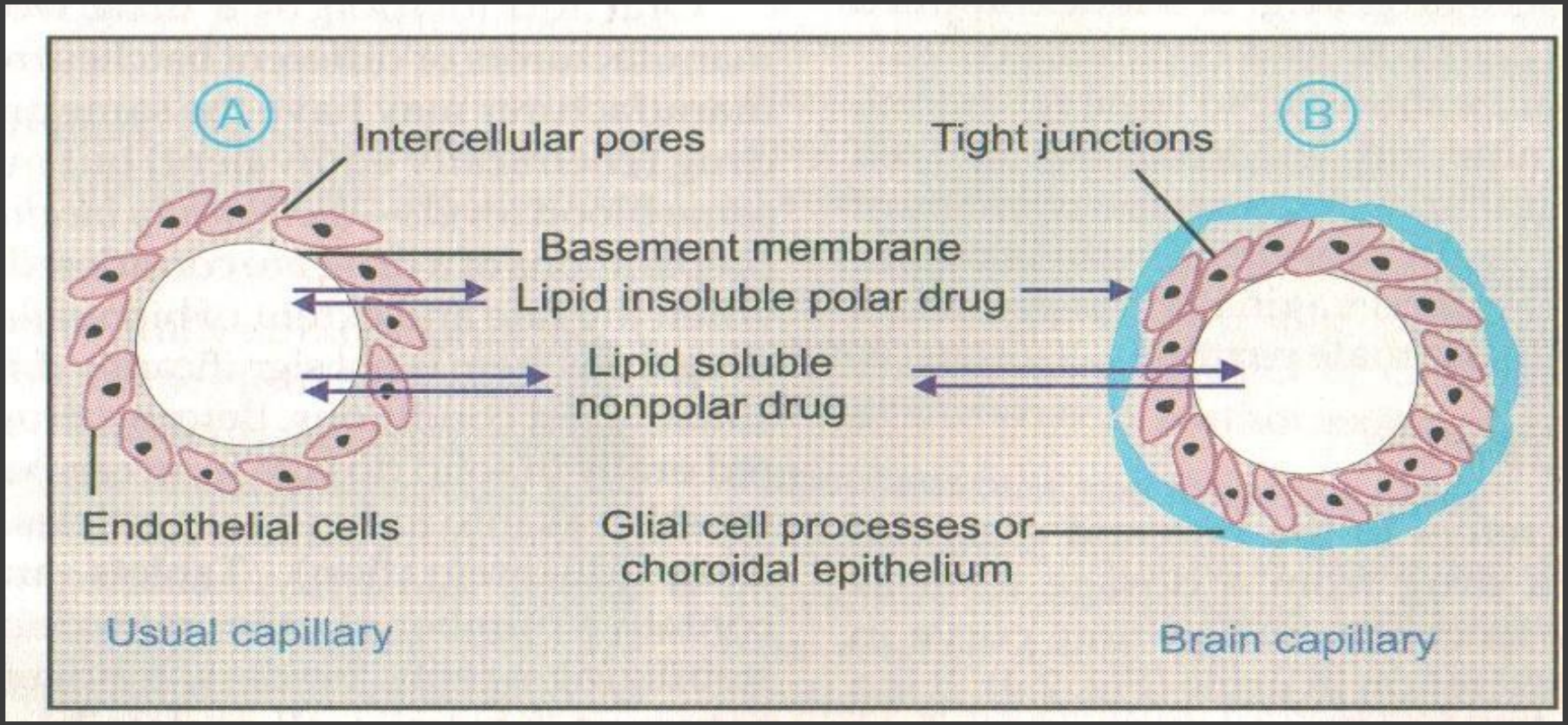
Redistribution

- Highly lipid soluble drugs – distribute to brain, heart and kidney etc. immediately followed by muscle and Fats



Brain and CSF Penetration…

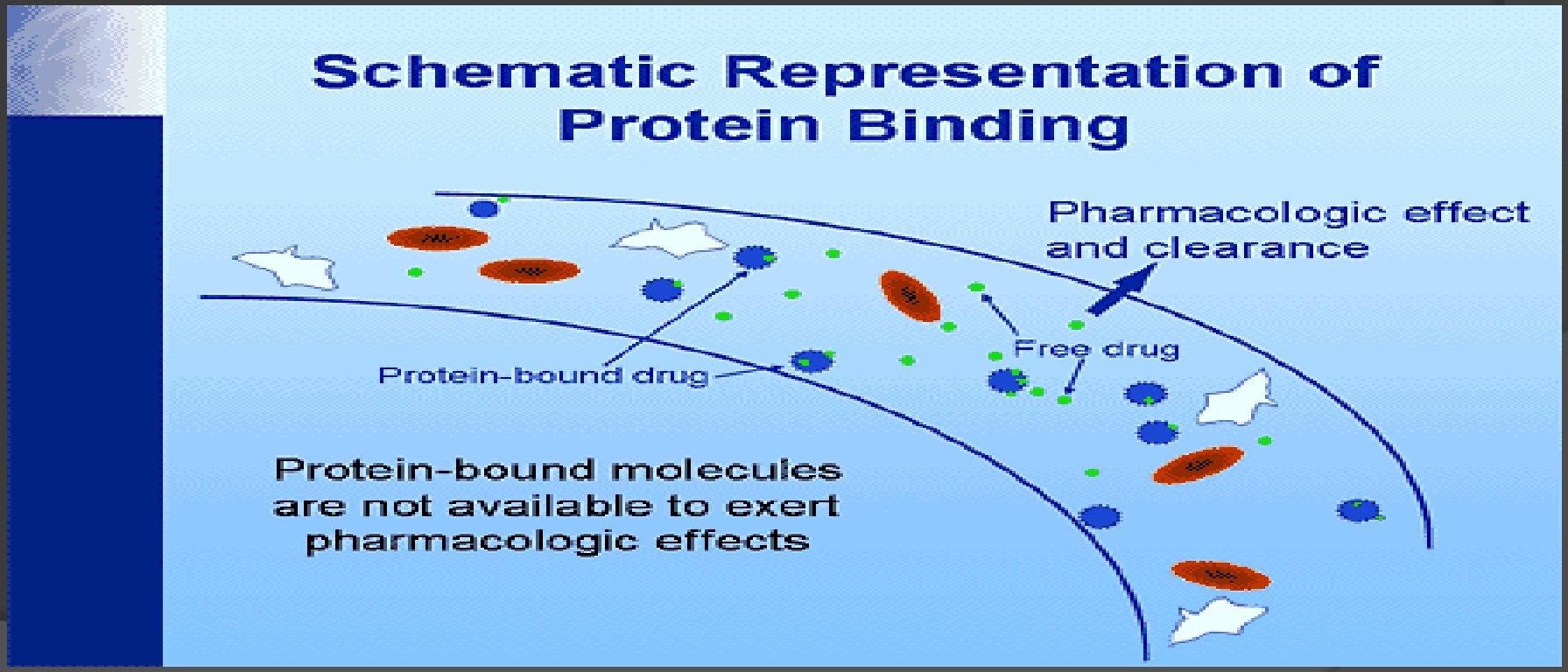
Blood brain barrier (BBB): includes the capillary endothelial cells (which have tight junctions and lack large intracellular pores) and an investment of glial tissue, over the capillaries.



Plasma Protein Binding

Plasma protein binding (PPB): Most drugs possess physicochemical affinity for plasma proteins. ***Acidic drugs bind to plasma albumin and basic drugs to α1-glycoprotein.***

Extent of binding depends on the individual compound. Increasing concentration of drug can progressively saturates the binding sites.



The Clinical significant implications of PPB are:

a) Highly PPB drugs are largely restricted to the vascular compartment and tend to have lower Vd.

b) The PPB fraction is not available for action.

c) There is an equilibration between PPB fraction of drug and free molecules of drug.

d) High degree of protein binding makes the drug long acting, because bound fraction is not available for metabolism, unless it is actively excreted by liver or kidney tubules.

e) Generally expressed plasma concentrations of the drug refer to bound as well as free drug.

f) In hypoalbuminemia, binding may be reduced and high concentration of free drug may be attained (e.g. phenytoin).

What is Biotransformation?

- Chemical alteration of the drug in the body
- Aim to convert non-polar lipid soluble compounds to polar lipid insoluble compounds to avoid reabsorption in renal tubules
- Most hydrophilic drugs are less biotransformed and excreted unchanged – streptomycin, neostigmine and pancuronium etc.
- Biotransformation is required for protection of body from toxic metabolites

Results of Biotransformation…

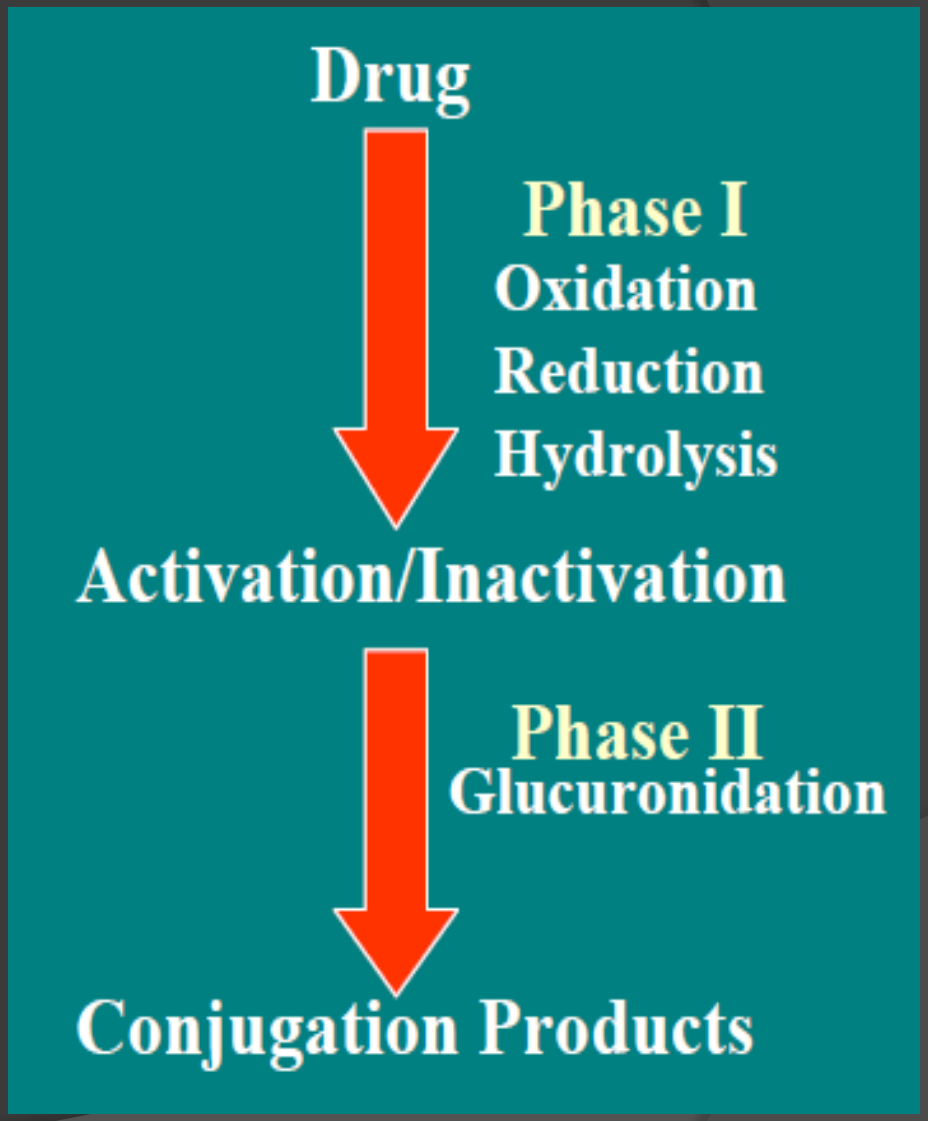
1. Active drug and its metabolite to inactive metabolites- most drugs (Ibuprofen, paracetamol, chlormphenicol etc.)
2. Active drug to active product (phenacetin acetminophen or paracetamol, morphine to Morphine-6-glucoronide, digitoxin to digoxin etc.)
3. Inactive drug to active/enhanced activity (prodrug) – levodopa - carbidopa, prednisone – prednisolone and enlpril – enlprilat)
4. No toxic or less toxic drug to toxic metabolites (Isonizide to Acetyl isoniazide)

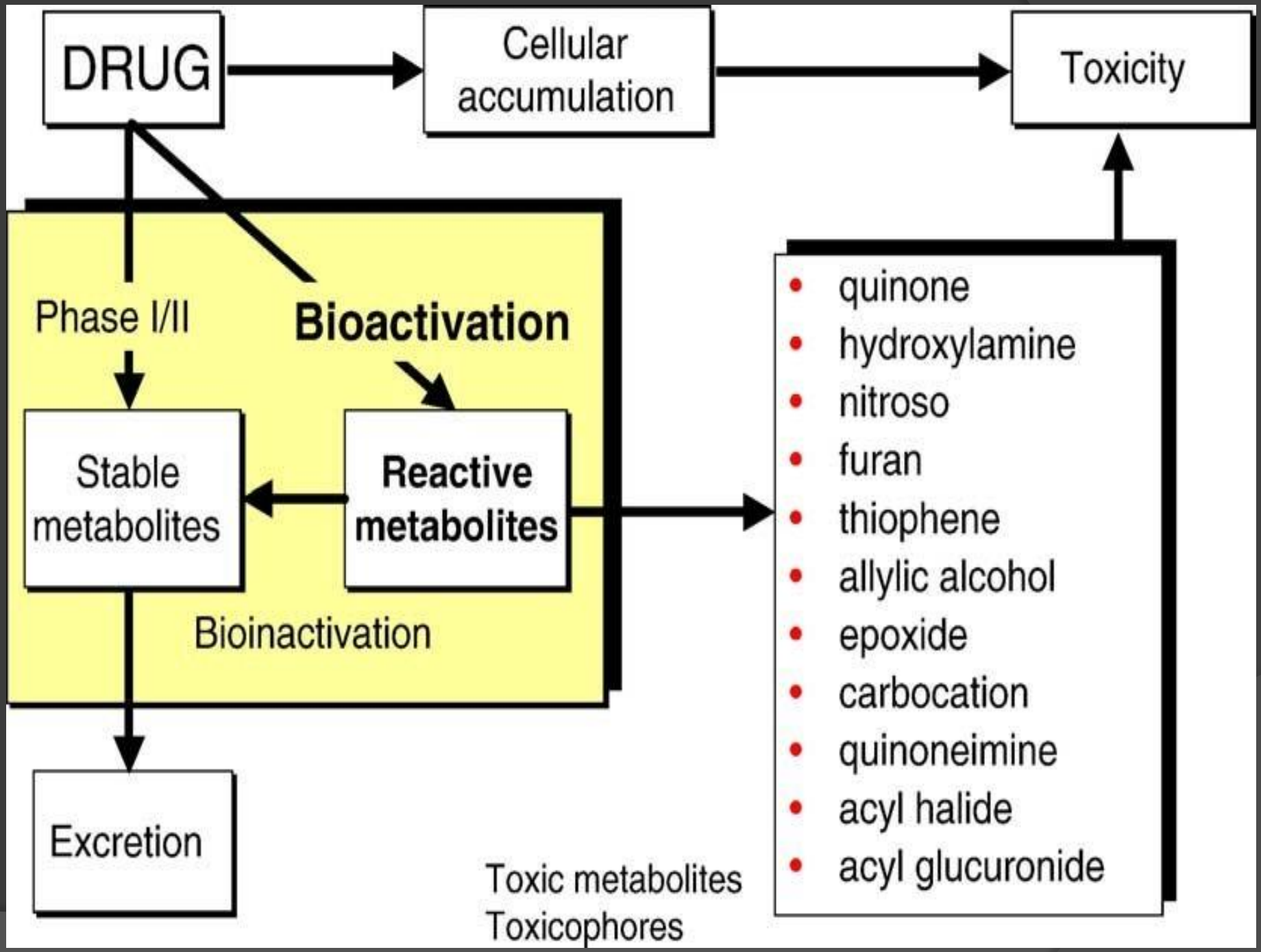
Different Reactions of Biotransformation

Two Phases of Biotransformation:

- Phase I or Non-synthetic-metabolite may be active or inactive

- Phase II or Synthetic – metabolites are inactive (Morphine – M-6-glucoronide is exception)




DRUG
Cellular accumulation
Toxicity
Phase I/II
Bioactivation
Stable metabolites
Reactive metabolites
Bioinactivation
Excretion
quinone
hydroxylamine
nitroso
furan
thiophene
allylic alcohol
epoxide
carbocation
quinoneimine
acyl halide
acyl glucuronide
Toxic metabolites
Toxicophores

Phase I – Oxidation…

- Most important drug metabolizing reaction – addition of oxygen or (–ve) charged radical or removal of hydrogen or (+ve) charged radical
- Various oxidation reactions are – oxygenation or hydroxylation of C-, N- or S-atoms; N or 0-dealkylation
- Examples – Barbiturates, phenothiazines, paracetamol and steroids

Oxidative Reaction Enzymes…

- Involve- cytochrome P-450 monooxygenases (CYP), NADPH and Oxygen.
- More than 100 cytochrome P-450 isoenzymes are identified and grouped into more than 20 families.
- Dehydrogenase
- MAO and COMT
- Xanthine oxidase

Phase I-Reduction…….

- This reaction is conversed of oxidation and involves CYP 450 enzymes working in the opposite direction.
- Examples - Chloramphenicol, levodopa, halothane and warfarin

Phase I-Hydrolysis….

- This is cleavage of drug molecule by taking up of a molecule of water. Similarly, amides and polypeptides are hydrolyzed by amidase and peptidases. Hydrolysis occurs in liver, intestines, plasma and other tissues.

Examples - Choline esters, procaine, lidocaine, pethidine, oxytocin

- Cyclization: is formation of ring structure from a straight chain compound, e.g. proguanil.
- Decyclization: is opening up of ring structure of the cyclic molecule, e.g. phenytoin, barbiturates

Phase-II metabolism reaction

Conjugation of the drug or its phase-I metabolite with an endogenous substrate - polar highly ionized organic acid to be excreted in urine or bile - high energy requirements

Glucoronide conjugation - most important synthetic reaction

Compounds with hydroxyl or carboxylic acid group are easily conjugated with glucoronic acid - derived from glucose

Examples: Chloramphenicol, aspirin, morphine, metroniazole, bilirubin, thyroxine

Drug glucuronides, excreted in bile, can be hydrolyzed in the gut by bacteria, producing beta-glucoronidase - liberated drug is reabsorbed and undergoes the same fate - enterohepatic recirculation (e.g. chloramphenicol, phenolphthalein, oral contraceptives) and prolongs their action

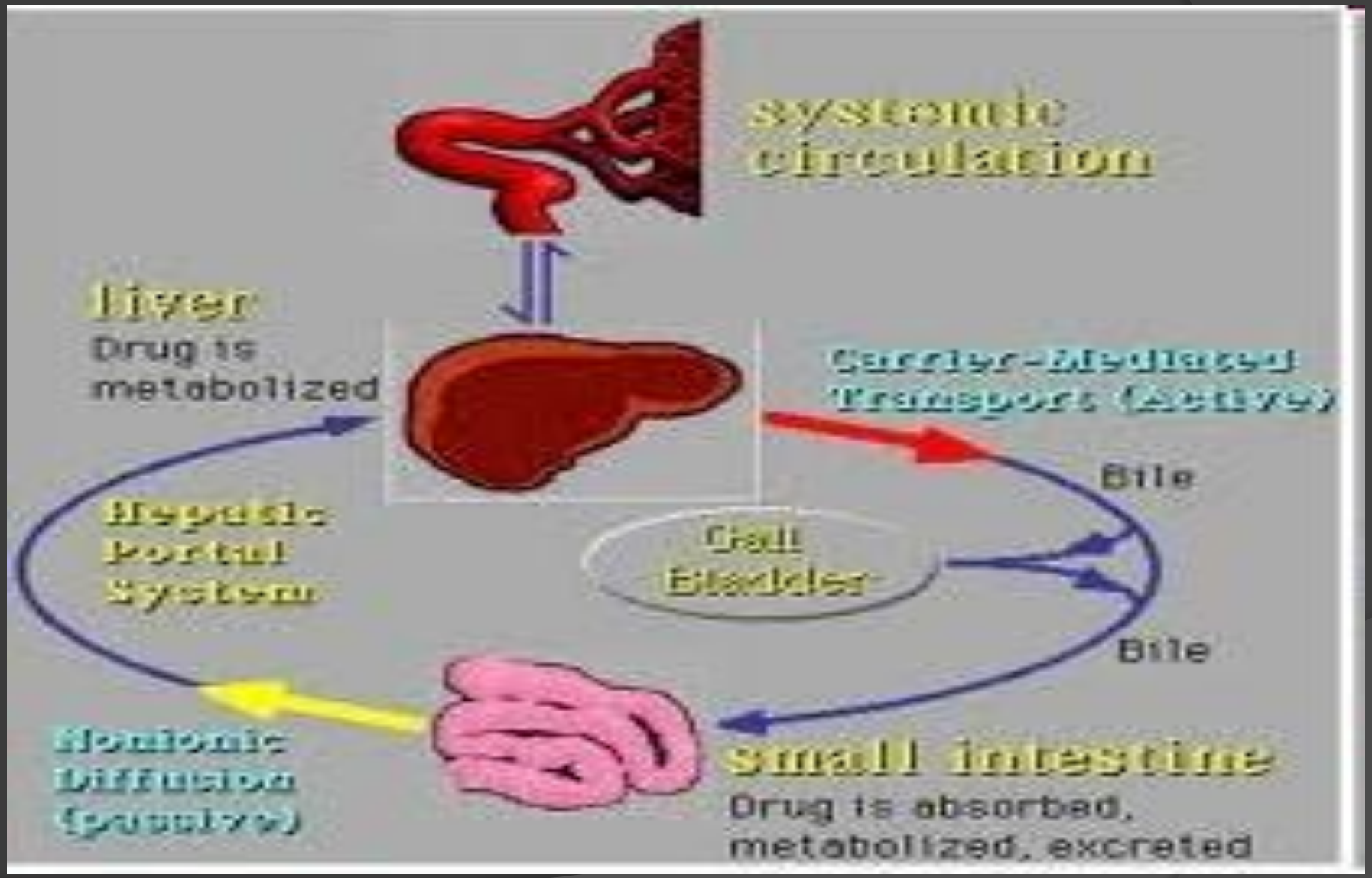
- **Acetylation:** Compounds having amino or hydrazine residues are conjugated with the help of acetyl CoA, e.g.sulfonamides, isoniazid
- **Sulfate conjugation:** The phenolic compounds and steroids are sulfated by sulfokinases, e.g. chloramphenicol, adrenal and sex steroids

- **Methylation:** The amines and phenols can be methylated. Methionine and cysteine act as methyl donors.
- **Ribonucleoside/nucleotide synthesis**: activation of many purine and pyrimidine antimetabolites used in cancer chemotherapy

Factors affecting biotransformation…

- Concurrent use of drugs: Induction and inhibition
- Genetic polymorphism
- Pollutant exposure from environment or industry
- Pathological status
- Age

Excretion


systemic circulation
Liver
Drug is metabolized
Bile
Bile
Small intestine
Drug is absorbed, metabolized, excreted

Organs of Excretion….

- Excretion is a transport procedure which the prototype drug (or parent drug) or other metabolic products are excreted through excretion organ or secretion organ
- Hydrophilic compounds can be easily excreted.
- Routes of drug excretion

  Kidney

  Biliary excretion

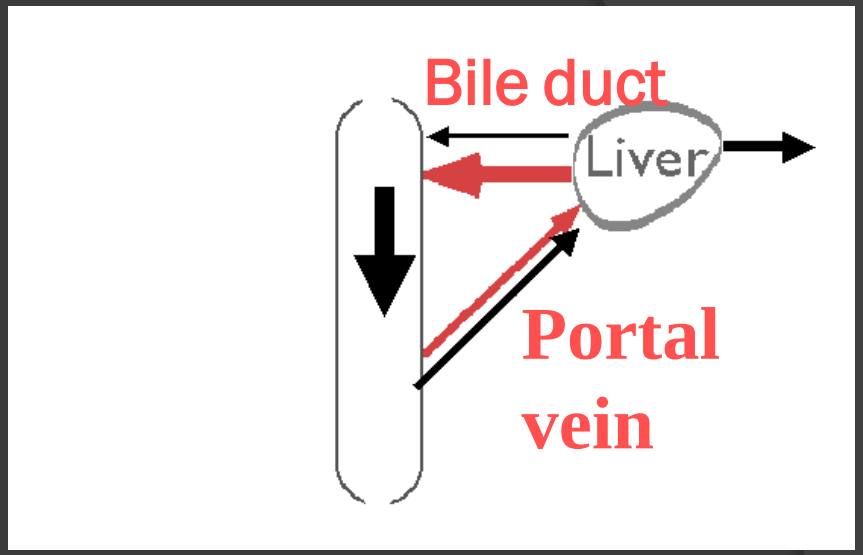
  Sweat and saliva

  Milk

  Pulmonary

Hepatic Excretion…

Drugs can be excreted in bile, especially when the are conjugated with – glucuronic Acid

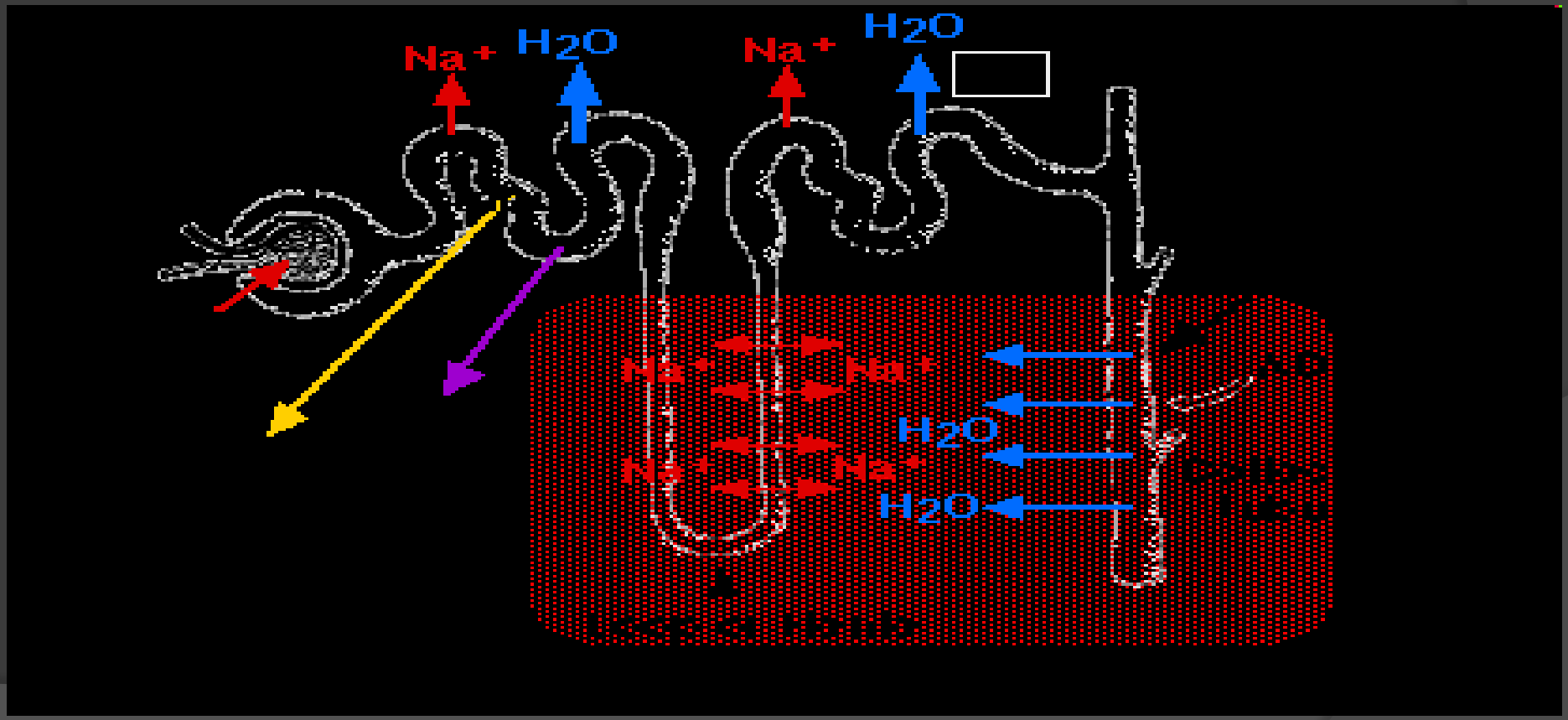


Drug is absorbed then glucuronidated or sulfatated in the liver and secreted through the bile then glucuronic acid/sulfate is cleaved off by bacteria in GI tract and drug is reabsorbed (steroid hormones, rifampicin, amoxycillin, contraceptives)

Anthraquinone, heavy metals – directly excreted in colon

Renal Excretion…

- Glomerular Filtration
- Tubular Reabsorption
- Tubular Secretion



Kinetics of Elimination

Pharmacokinetics - F, V and CL

Clearance: The clearance (CL) of a drug is the theoretical volume of plasma from which drug is completely removed in unit time

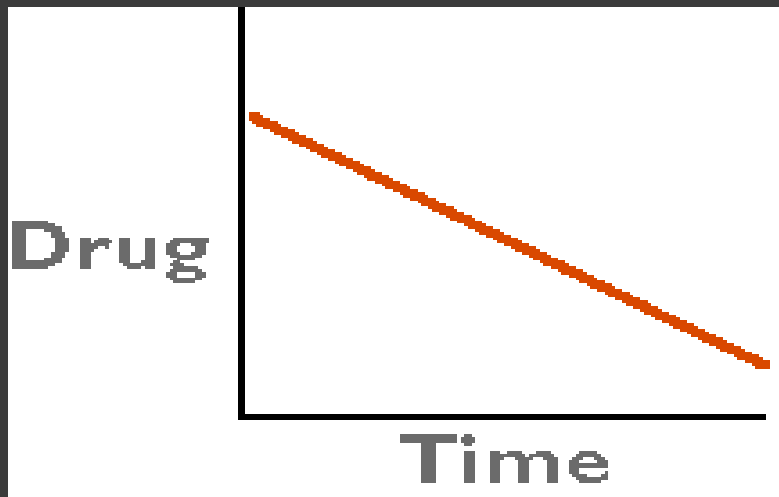
***CL* = Rate of elimination (RoE)/C**

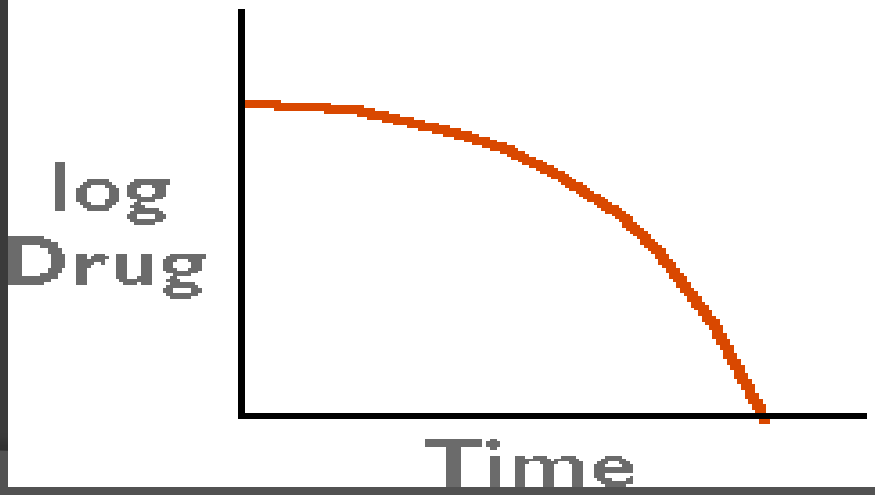
Kinetics of Elimination…

- First Order Kinetics (exponential): Rate of elimination is directly proportional to drug concentration, *CL* remains constant
  - Constant fraction of drug is eliminated per unit time
- Zero Order kinetics (linear): The rate of elimination remains constant irrespective of drug concentration
  - CL decreases with increase in concentration
  - Alcohol, theophyline, tolbutmide etc.

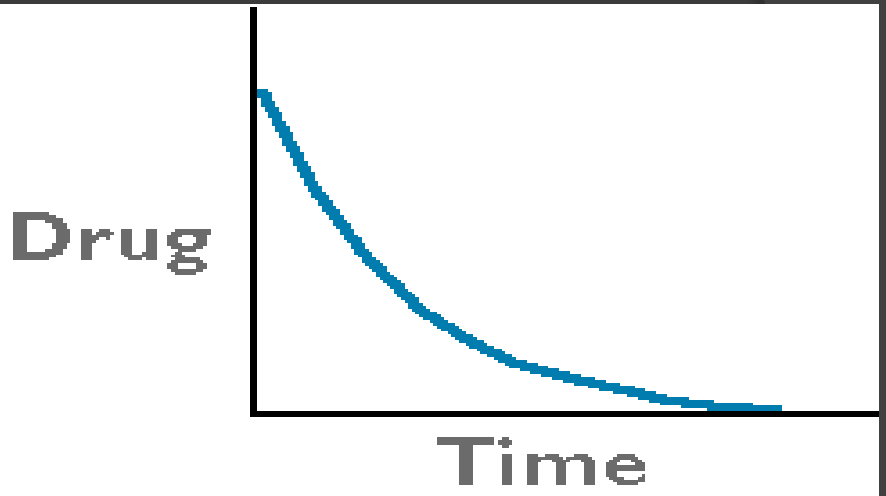
Kinetics of Elimination

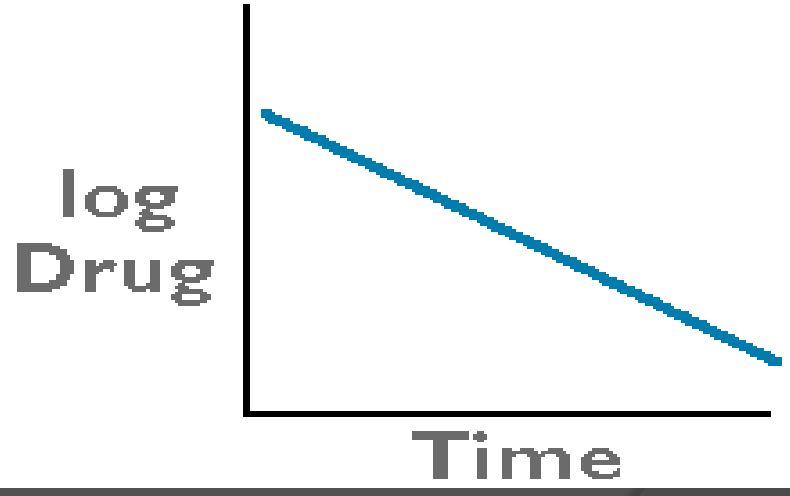
Zero Order





1st Order





Plasma half-life…

Defined as time taken for its plasma concentration to be reduced to half of its original value- 2 phases rapid declining and slow declining

t1/2 = In2/k

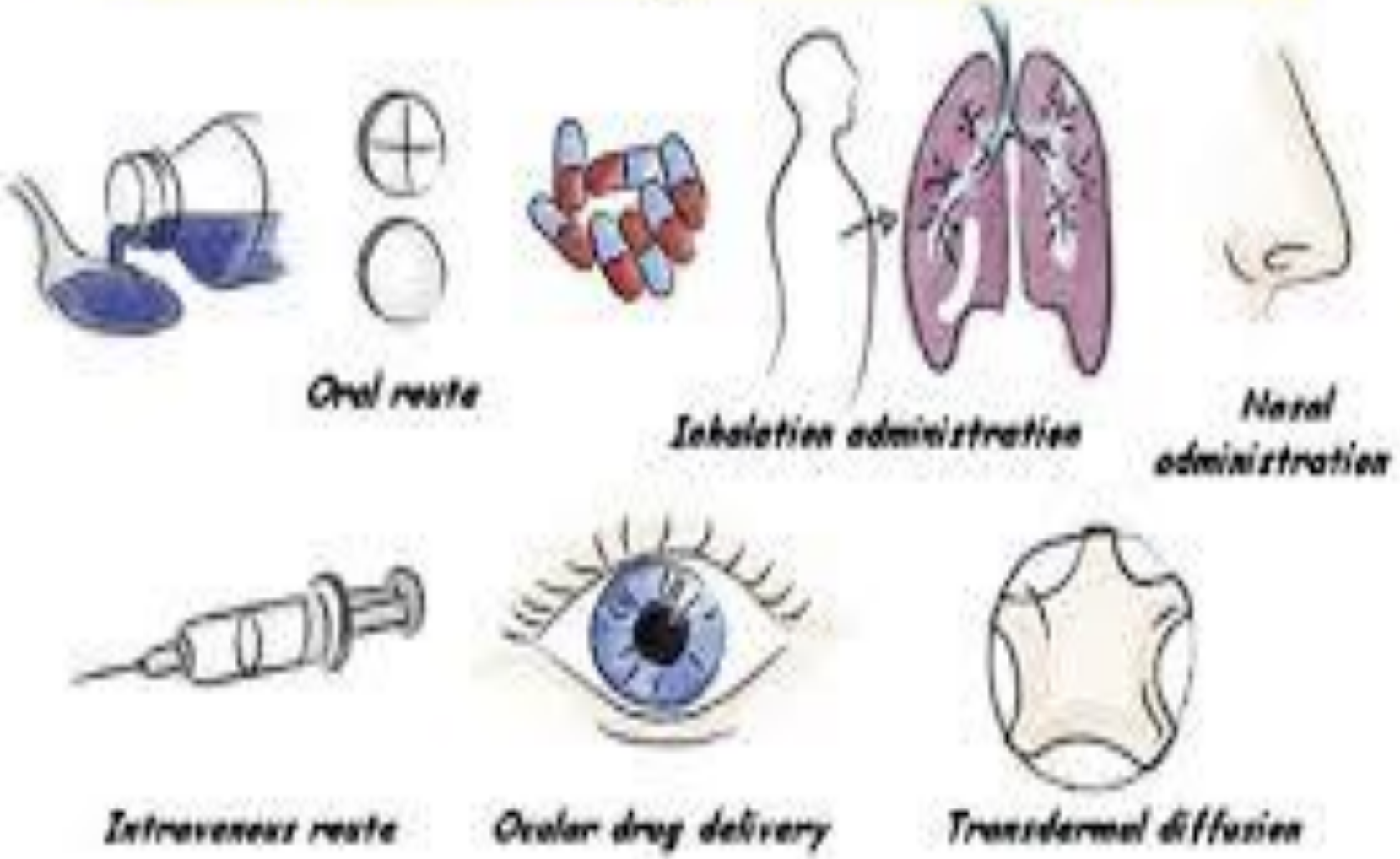
In2 = natural logarithm of 2 (0.693) ***k*** = elimination rate constant = CL / V **t1/2** = 0.693 x V / CL

How action of any drug can be prolonged??

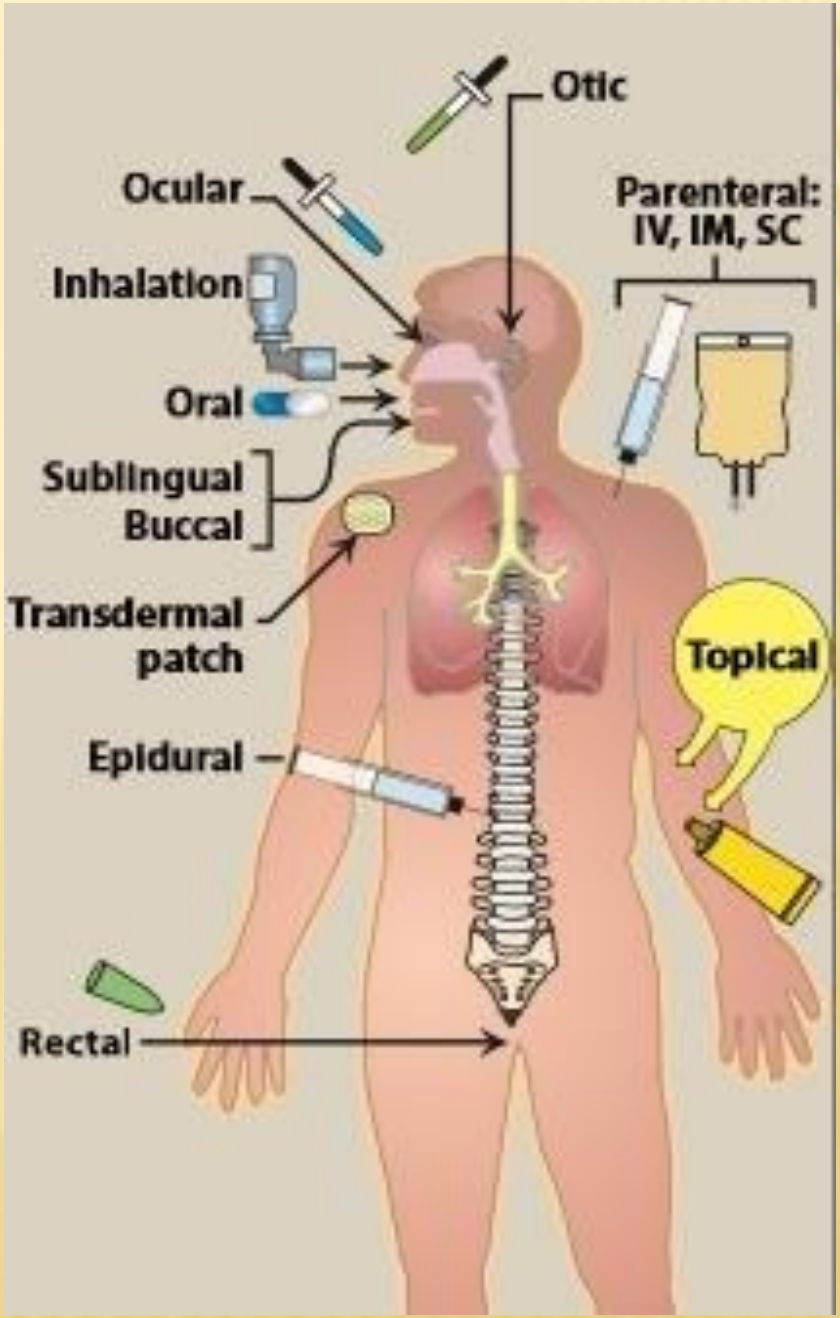
- By prolonging absorption from the site of action – Oral and parenteral
- By increasing plasma protein binding
- By retarding rate of metabolism
- By retarding renal excretion


Thank you

Routes of Drug Administration



A route of adminis-tration is the path by which a drug, fluid, poison or other substance is brought into contact with the body.



CLASSIFICATION

SYSTEMIC

Enteral

Oral

Sublingual

Rectal

Parenteral

Inhalational

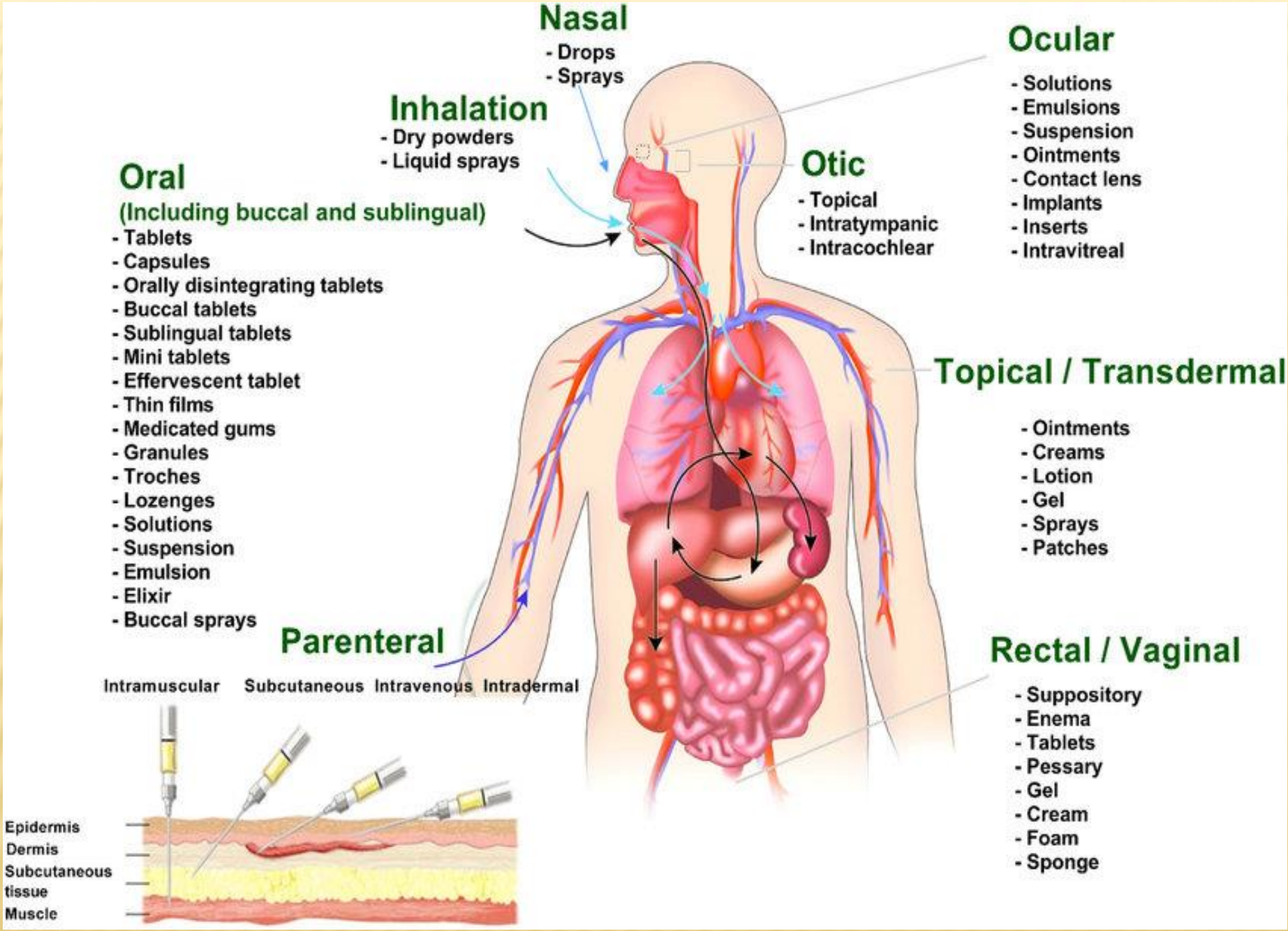
Injections

Transdermal

Intravenous
Intramuscular
Subcutaneous
Intra-arterial
Intra-articular
Intrathecal
Intradermal

LOCAL

- Skin topical
- Intranasal
- Ocular drops
- Mucosal-throat, vagina, mouth, ear
- Inhalational
- Transdermal


Nasal
- Drops
- Sprays
Inhalation
- Dry powders
- Liquid sprays
Oral
(Including buccal and sublingual)
- Tablets
- Capsules
- Orally disintegrating tablets
- Buccal tablets
- Sublingual tablets
- Mini tablets
- Effervescent tablet
- Thin films
- Medicated gums
- Granules
- Troches
- Lozenges
- Solutions
- Suspension
- Emulsion
- Elixir
- Buccal sprays
Parenteral
Intramuscular
Subcutaneous
Intravenous
Intradermal
Epidermis
Dermis
Subcutaneous tissue
Muscle
Ocular
- Solutions
- Emulsions
- Suspension
- Ointments
- Contact lens
- Implants
- Inserts
- Intravitreal
Otic
- Topical
- Intratympanic
- Intracochlear
Topical / Transdermal
- Ointments
- Creams
- Lotion
- Gel
- Sprays
- Patches
Rectal / Vaginal
- Suppository
- Enema
- Tablets
- Pessary
- Gel
- Cream
- Foam
- Sponge

Factors Affecting Route of Administration….

- ✓ Physical and chemical properties of the drug
- ✓ Site of desired action
- ✓ Rate and extent of absorption from different routes
- ✓ Effect of digestive juices and first pass metabolism on the drug
- ✓ Rapidity with which the response is desired
- ✓ Accuracy of dosage required
- ✓ Condition of patient

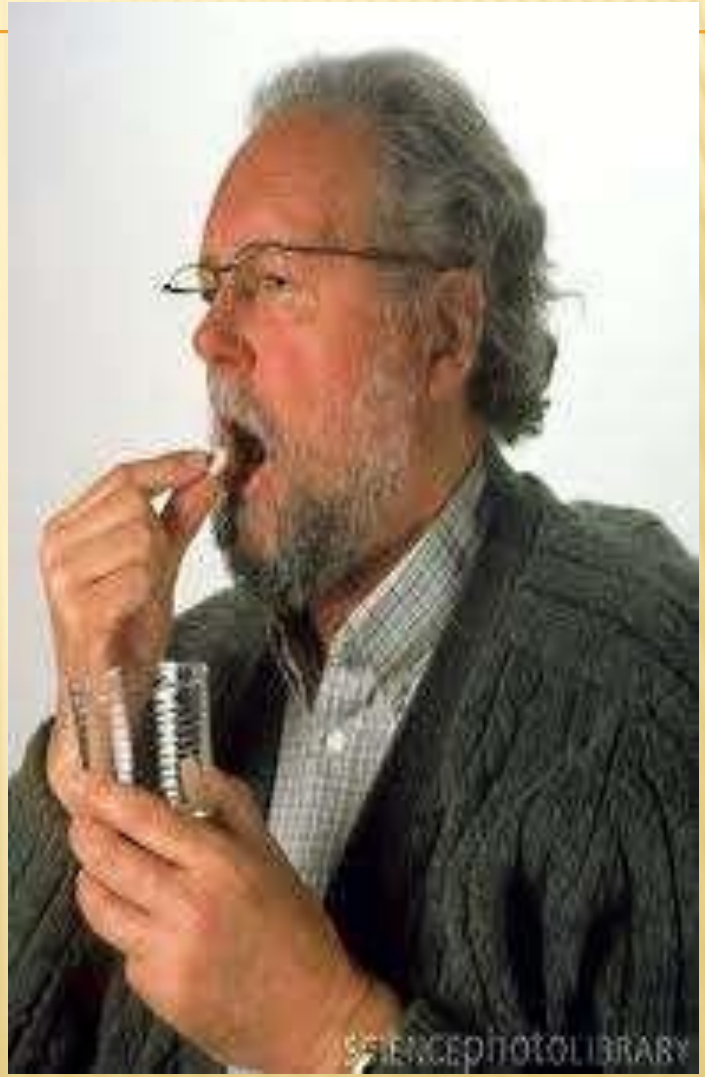
ORAL ROUTE:

Oral refers to…..

Two methods of administration:

- Applying topically to the mouth
- Swallowing for absorption along the gastrointestinal (GI) tract into systemic circulation

po (from the Latin *per os*) is the abbreviation used to indicate oral route of medication administration



Advantages;

- **Convenient-** It Can be self-administered, pain free, easy to take
- **Absorption** - Takes place along the whole length of the GI tract
- **Cheap** - Compared to most other parenteral routes

Disadvantages:

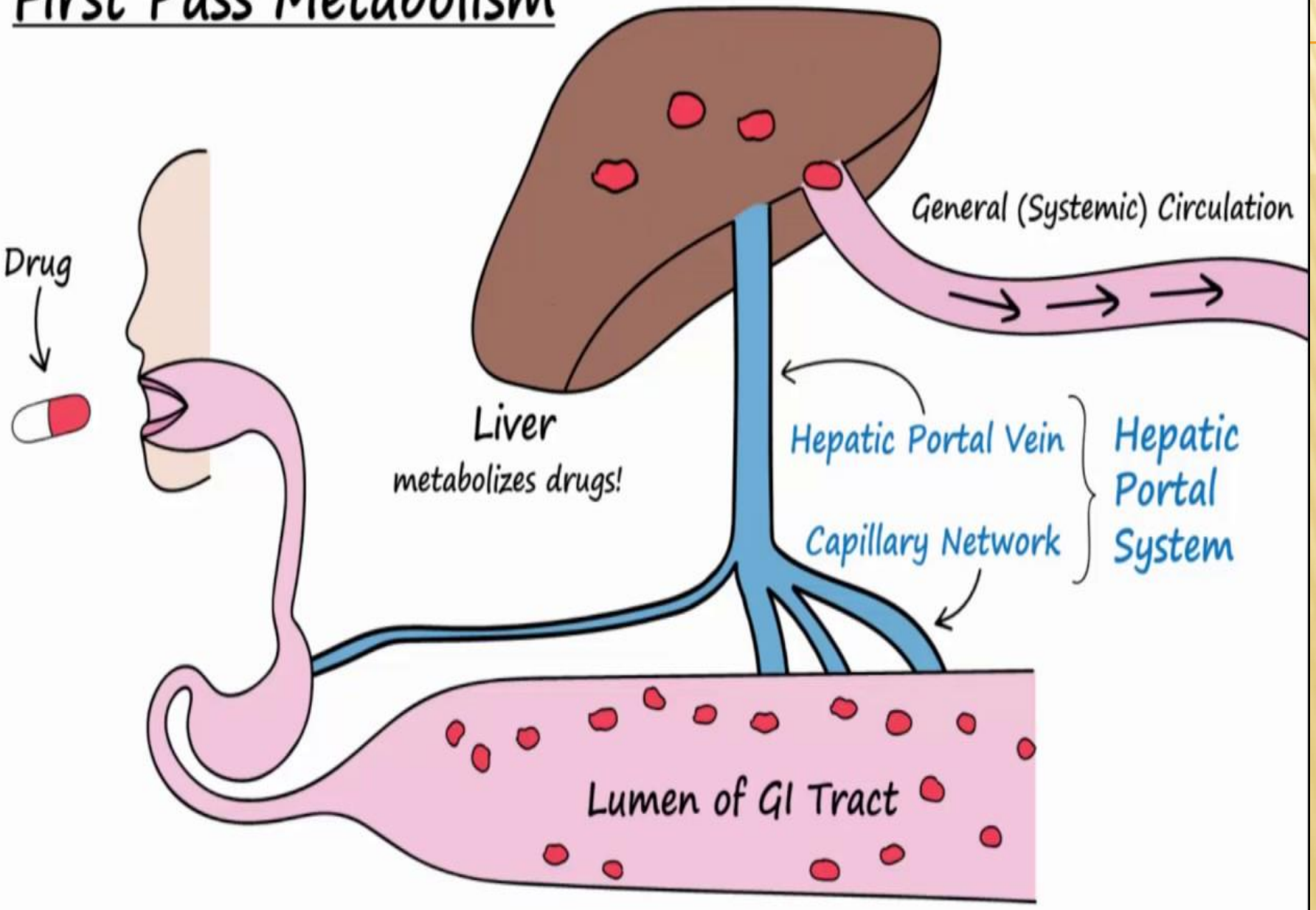
- **Sometimes inefficient** - Only part of the drug may be absorbed
- **First-pass effect** - Drugs absorbed orally are initially transported to the liver via the portal vein
- **Irritation to gastric mucosa** - nausea and vomiting
- Effect too slow for emergencies
- Unpleasant taste of some drugs
- Unable to use in unconscious patient

FIRST PASS METABOLISM:

The first-pass effect is the term used for the hepatic metabolism of a pharmacological agent when it is absorbed from the gut and delivered to the liver via the portal circulation.

The greater the first-pass effect, the less the agent will reach the systemic circulation when the agent is administered orally

First Pass Metabolism

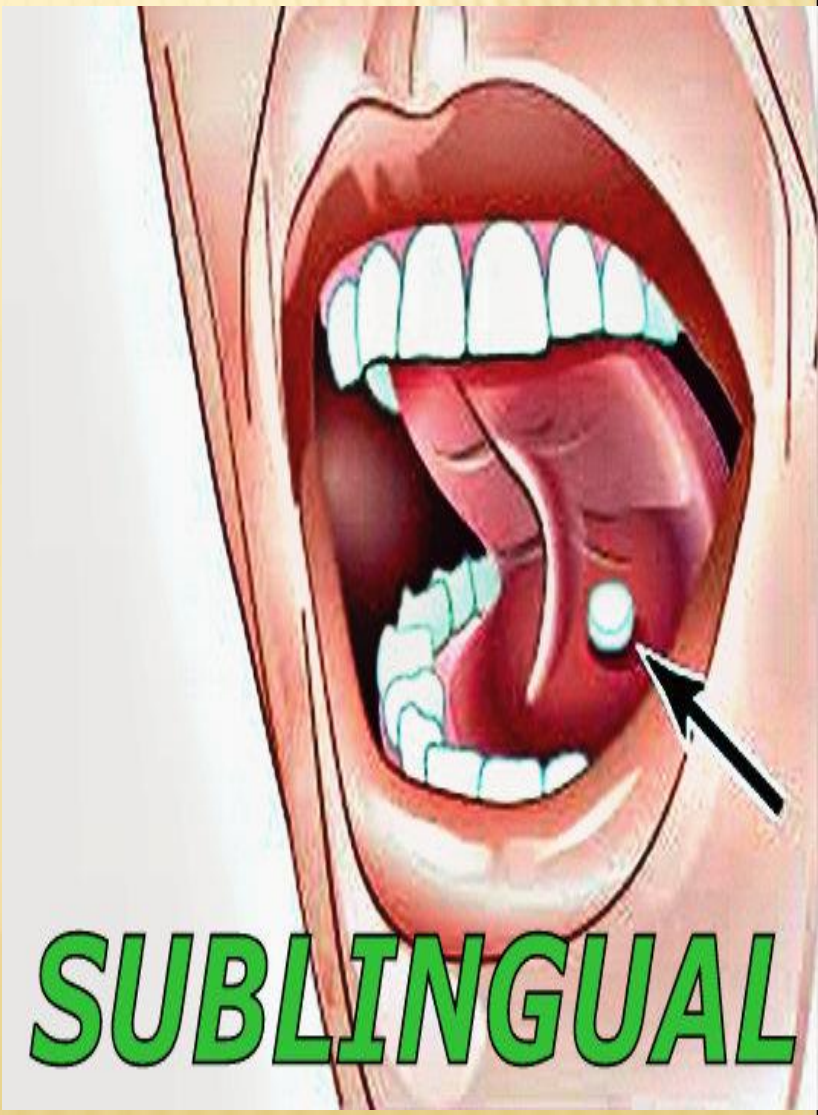


Common dose forms for oral administration:

- Tablets
- Capsules
- Liquids
- Solutions
- Suspensions
- Syrups
- Elixirs

SUBLINGUAL ROUTE:

Sublingual administration is where the dosage form is placed under the tongue and then rapidly absorbed by sublingual mucosa



ADVANTAGES:

- ECONOMICAL
- QUICK TERMINATION
- FIRST-PASS AVOIDED
- DRUG ABSORPTION IS QUICK

DISADVANTAGES:

- UNPALATABLE & BITTER DRUGS
- IRRITATION OF ORAL MUCOSA
- LARGE QUANTITIES NOT GIVEN
- FEW DRUGS ARE ABSORBED

BUCCAL ROUTE:

Buccal administration is the route where the dosage form is placed between gums and inner lining of the cheek (buccal pouch) and absorbed by buccal mucosa

ADVANTAGES

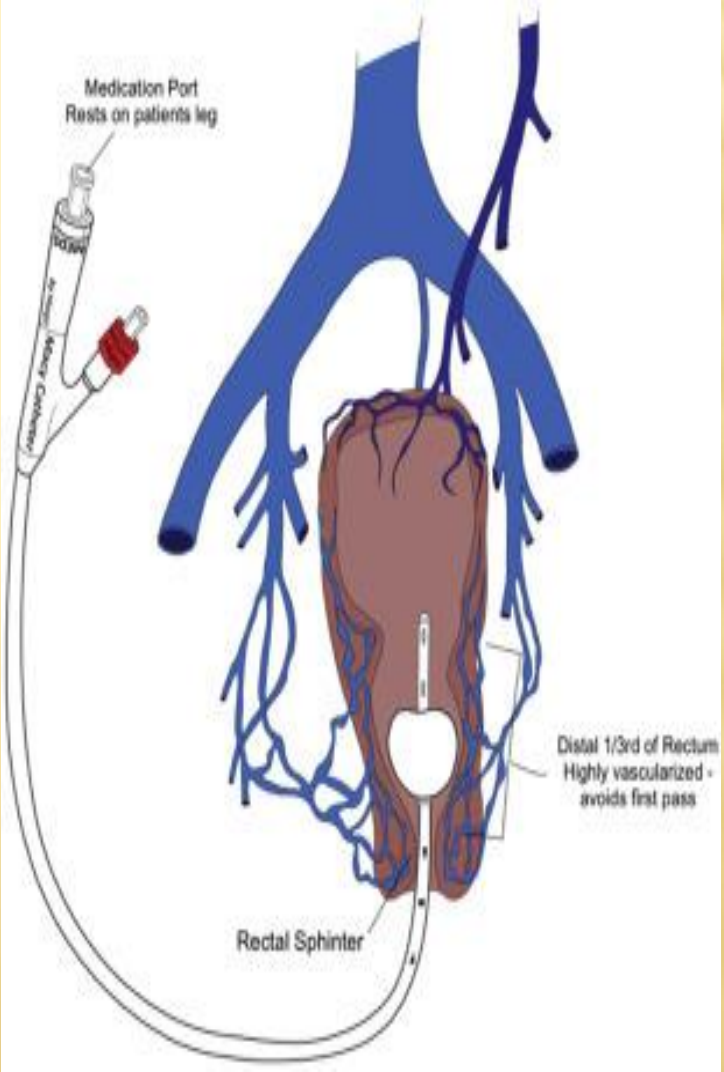
- Avoid first pass effect
- Rapid absorption
- Drug stability

DISADVANTAGES

- Inconvenience
- Advantages lost if swallowed
- Small dose limit

RECTAL ROUTE:

It is the route where the drug is adminis-tered through the route of anus (rectal).



ADVANTAGES

- ✓ Little or no first pass effect.
- ✓ Can be used in vomiting or unconscious patient.
- ✓ High concentration can be rapidly achieved.

DISADVANTAGES

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

PARENTRAL ROUTE:

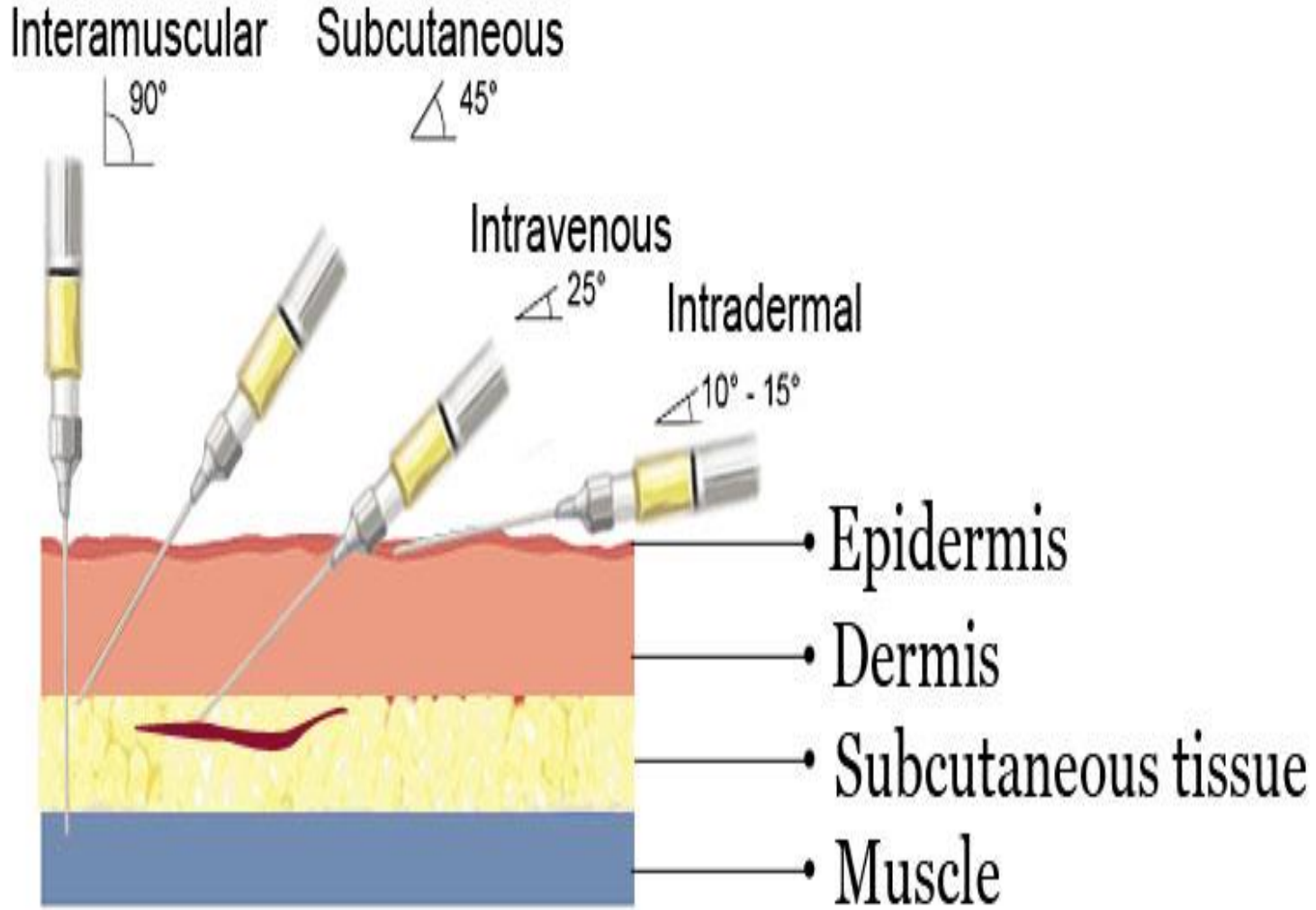
Parenteral administration is injection or infusion by means of a needle or catheter inserted into the body.

The term parenteral comes from Greek words:

- Para: meaning outside
- Enteron: meaning the intestine

This route of administration bypasses the alimentary canal

Types of Parenteral Routes of Administration



source : wikipedia.org

INTRAVENOUS ROUTE:

ADVANTAGES

- ✓ BIOAVAILABILITY IS 100%
- ✓ DESIRED BLOOD CONCENT-RATIONS ACHIEVED
- ✓ LARGE QUANTITIES
- ✓ VOMITING & DIARRHEA
- ✓ EMERGENCY SITUATIONS
- ✓ FIRST PASS AVOIDED
- ✓ GASTRIC MANUPALATION AVOIDED

DISADVANTAGES

- ✓ IRRITATION & CELLULITIS
- ✓ THROMBOPHELEBITIS
- ✓ REPEATED INJECTIONS NOT
- ✓ ALWAYS FEASIBLE
- ✓ LESS SAFE
- ✓ TECHNICAL ASSISTANCE REQUIRED
- ✓ DANGER OF INFECTION
- ✓ EXPENSIVE
- ✓ LESS CONVENIENT AND PAINFUL

INTRAMUSCULAR ROUTE:

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

SUBCUTANEOUS ROUTE:

Injected under the skin.

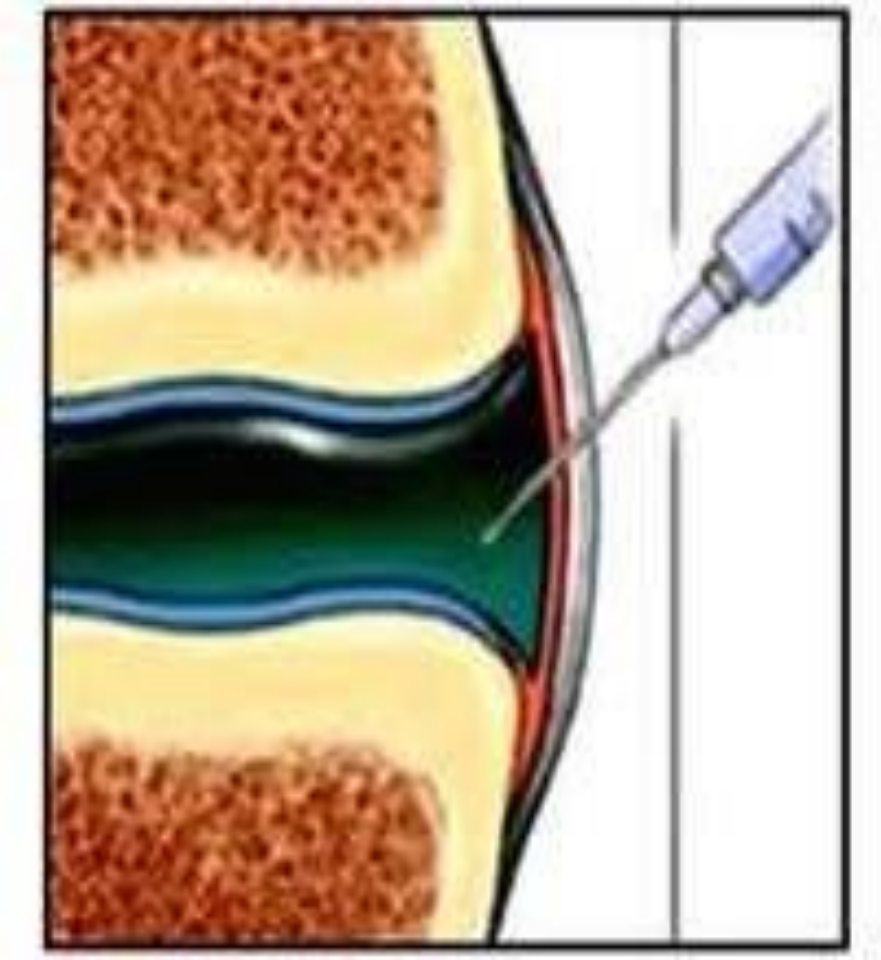
Absorption is slow, so action is prolonged.

Implant: A tablet or porous capsule is inserted into the loose tissues by incision of the skin, which is then stiched up.

INTRA-ARTICULAR ROUTE:

Injections of antibiotics and corticosteroids are administered in inflamm-ed joined cavities by experts.

Example: Hydrocortisone in rheumatoid arthritis



INTRADERMAL ROUTE:

Drug is given within skin layers (dermis)

Painful

Mainly used for testing sensitivity to drugs.

e.g. penicillin, ATS (anti tetanus serum)

TOPICAL ROUTE OF ADMINISTRATION

Topical administration is the application of a drug directly to the surface of the skin.

It includes administration of drugs to any mucous membrane such as:

- **Eye**
- **Nose**
- **Ears**
- **Lungs**
- **Vagina**
- **Urethra**
- **Colon**

TOPICAL DOSAGE FORMS:

Dose forms for topical administration include:

Skin:

- creams
- ointments
- lotions
- gels
- transdermal patches
- disks

Eye or ear:

– solutions

– suspensions

– ointments

Nose and lungs:

– sprays and powders


THANK YOU