Chapter 3
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Pharmacokinetics (Pharmacon- Drug, Kinesis- Movement/motion)

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3.1 Introduction

Pharmacokinetics is the quantitative study of drug movement in through and out of the body. Intensity of response is related to concentration of drug at the site of action, which in turn is dependent on its pharmacokinetic properties. All pharmacokinetic process involves transport of drug across biological membranes.

Drugs are transport across the biological membranes by two ways:-

1. Passive diffusion and filtration
2. Specialized transport

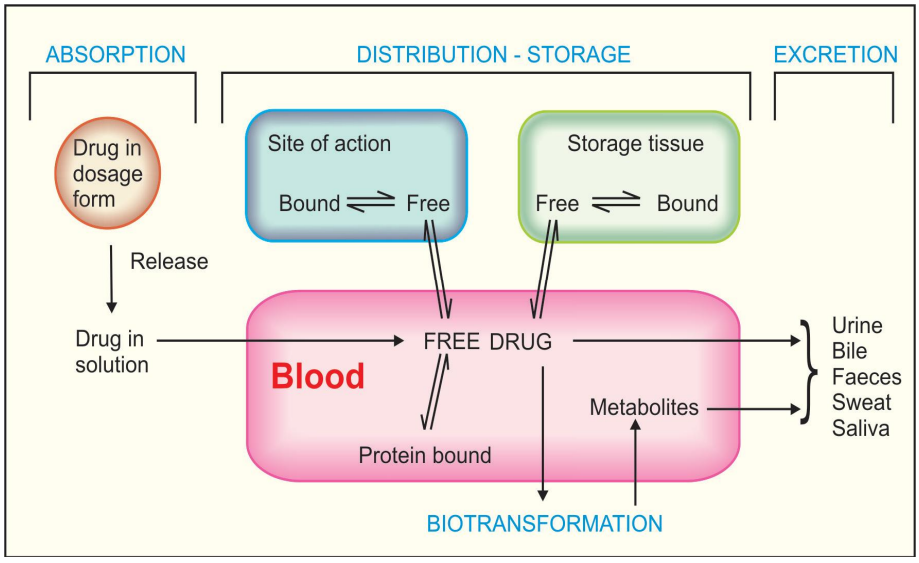

Fig. 1. Schematic depiction of pharmacokinetic processes

3.2 Biological Membrane

This is a bilayer (about 100 A° thick) of phospholipid and cholesterol molecules, the polar groups (glyceryl phosphate attached to ethanolamine/choline or hydroxyl group of cholesterol).

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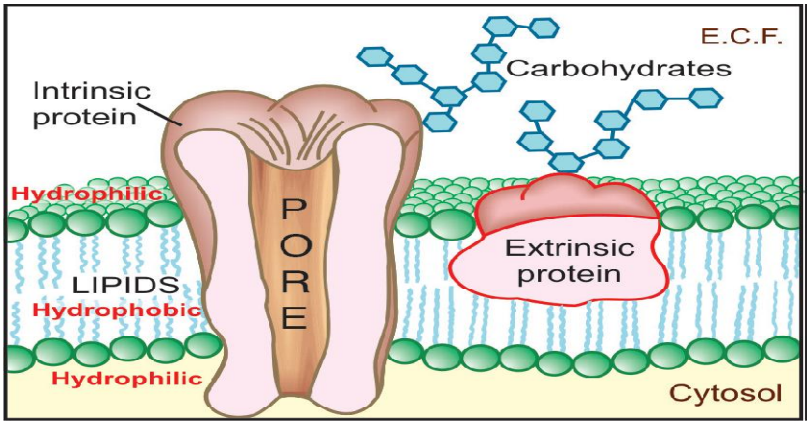

Fig. 2. Structure of the organisation of biological membrane

1) Carrier Transport

a) Active carrier transport
b) Facilitated diffusion/transport

2) Pinocytosis

Simple passive/lipid diffusion:-

The drug diffuses across the membrane in the direction of its higher concentration to lower concentration gradient. Lipid soluble (water insoluble) drugs diffuse by dissolving in the lipoidal matrix of the membrane on this way.

Filtration/aqueous diffusion:-

Filtration is passage of drugs through aqueous pores in the membrane or through paracellular spaces. Water soluble (lipid insoluble) drug across biological membrane by filtration (lower concentration to higher concentration gradient) if their molecular size is smaller than the diameter of the pores.

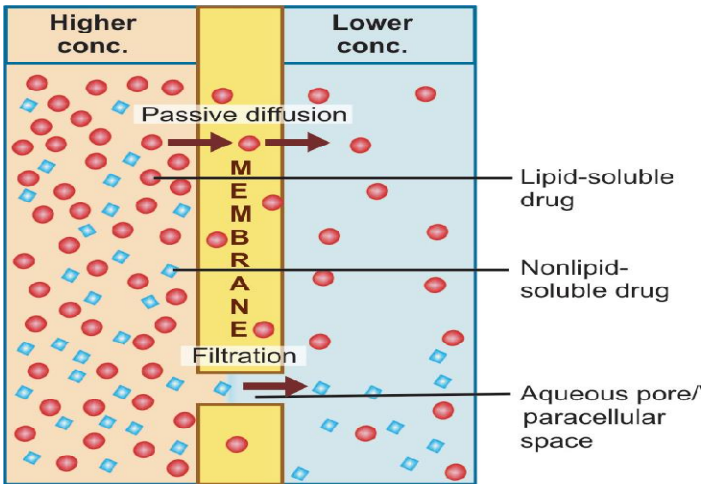

Fig. 3. Illustration of passive diffusion and filtration across the lipoidal biological membrane with aqueous pores

3.3 Specialized Transport

This can be carrier mediated or by pinocytosis.

a) Carrier transport

i) Active carrier transport
ii) Facilitated diffusion/transport

b) Pinocytosis

a) Carrier transport:-

The drug combines with a carrier present in the membrane and the complex then translocates from one face of the membrane to the other. The host membranes/protein serves as carrier or transporters for ions, nutrients, metabolites, transmitters etc across the membrane. Carrier transport is specific, saturable and competitively inhibited by analogues which utilize the same carrier. This is of two types.

i) Active transport:-

This movement process occurs against the concentration gradient and is inhibited by metabolic poisons. Where energy is requires for electrochemical gradient from lower to higher concentration e. g. levodopa and methyldopa.

ii) Facilitated diffusion:-

This proceeds more rapidly than simple diffusion and translocates even nondiffusible substrates, there is no need of energy for the transports of drugs and the transports also goes to direction of electrochemical gradient from higher to lower concentration.

b) Pinocytosis:-

It is the process of transport across the cell in a particulate form by formation of vesicles (small & hollow bag like structure in body). This is applicable to proteins and other big molecules, and contributes little to transport of most drugs.

3.4 Absorption

Absorption derived from (Absorb means, intake or accept & formation means process).

Generally, absorption, the process of solid, liquid, gas or other substance being taken in any route that is called absorption e. g. plant absorb oxygen. In pharmacological definition absorption is movement of the unchanged drug from its site of administration (oral, IV, IM, topical and skin etc) into the systemic circulation (blood, fluid and tissue, etc).

Classification:-

i. **Enternal route**
ii. **Parenteral route**
iii. **Topical route**

i. Enternal route: -

By these routes the drug is the epithelial lining of the gastrointestinal tract, sublingual or buccal and also rectal. No ionized lipid soluble drugs are readily absorbed from stomach as well as intestine at rates proportional to their lipid: water partition coefficient.