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Subject - pharmacology

Teacher -

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Ans: (1)

Drug distribution:-

The process by which a drug reversibly leaves the blood stream and then enters into the extracellular fluid and tissues

OR

The movement of drug from blood into the various tissues of the body.

Factors on which distribution depends:-

(i) Blood flow:

Those organs or tissues have greater blood supply then those which have less blood supply have high distribution of drug.

(ii) capillary permeability:

it depends on the structure of capillary e.g in the liver and spleen a significant portion of the basement membrane is exposed due to large discontinous capillaries through which large plasma proteins can pass.

In the brain the capillary structure is continous and there are no slit function so to enter the brain drug must pass through the endothelial cells of the CNS capillaries or undergo active transport.

(iii) Binding of drugs to plasma and tissues.

(a) Binding to plasma proteins:-

e.g Albumin is the major drug - binding protein, and it may act as a drug reservior whenever concentration of drug decreases due to elimination so the bound drug dissociate from albumin and maintain the concentration of the drug in the plasma.

(b) Binding to tissue proteins:-

Many drugs accumulate in tissues leading to higher concentration in tissues than in interstitial fluid and blood.

(iv) Lipophilicity:-

Lipid soluble drugs readily move across most biological membranes. These drugs dissolve in the lipid membrane

and penetrate the entire cell surface

(vi) volume of distribution:
- Distribution into the water compartments in the body.

(a) plasma compartment:

If a drug has high molecular weight or is extensively protein bound. It is to large to pass through the capillaries so its volume distribution is low.

(b) Extracellular fluid:

If a drug has a low molecular weight the endothelial slit function of the capillaries into the interstitial fluid.

(c) total body water:

If a drug has a low molecular weight and enough liphophilicity. It can move into the Interstitium through the slit junction and pass through the cell membrane into the intracellular fluid.

Ans: (2)

Receptor:

It is a macromolecule to which a ligand bind and produce biological action intracellularly

major receptor Families:

There are four major receptor families.

1. Ligand-gated ion channels
2. G-protein-coupled receptor / 7-pass receptor
3. Enzyme-linked receptors
4. Intracellular receptors.

Mechanism of action of intracellular receptor:

First of all ligand will bind with the receptor. The primary targets of activated intracellular receptor are transcription factor in the cell nucleus that regulate the gene expression. The activation or inactivation of transcription factors after the transcription of DNA into RNA and subsequently translation of RNA into protein.

Ans:- (3)

Drug metabolism:- The biotransformation of drugs into the body is called drug metabolism.

Kinetics of metabolism:-

(1) First - order kinetics:-
also called linear kinetics
- In first - order kinetics a constant fraction of drug is metabolized per unit of time.

(2) Zero - order kinetics:-
also called nonlinear kinetics.
- In zero - order kinetics the rate of metabolism remains constant over time.

phase of drug metabolism.

phase 1

It convert the liphophlic drug into more polar ~~molecule~~ molecule by introducing unmasking a polar functional group.
- It usually involve reduction oxidation or hydrolysis process.

- It increase decrease have effect on pharmacalgic activity.
- It utilizing the liver system.

phase II

It consist of conjugation reaction. Those metabolite from phase 1 which is sufficiently polar. It can be excreted by the kidneys. However many phase 1 metabolites are still too liphophilic to be excreted A. subsequent conjugation reaction with an endogenous substrate such as glucuronic acid, sulfic acid, acetic acid result is a polar.

- The drugs which already possessing an OH, NH_2 or COOH group may enter phase II directly and become conjugated and excreted by the kidney.