Pharmacology

Unit - 1

Introduction of Pharmacology

The branch of medical science in which study about the characteristics of drug inside the body and drug responce is called pharmacology.

It is of two types.

(1) Pharmacokinetics.
(2) Pharmacodynamics

(1) Pharmacokinetics

"What body do with drug"

It is of following four types.

A → Absorption

D → Distribution.

M → Metabolism

E → Excreation.

Pharmacodynamics

"What drug do with body"

÷ Nature and source of drug ÷

÷ Drugs ÷

A drug is a chemical substance, typically of known structure, which, when administered to a living organism produces a biological effect.

- A pharmaceutical drug also called a medication or Medicine, is a chemical substance used to treat, cure prevent or diagnose a disease or to promote well-being.

÷ Nature of drug ÷

(i) Symptomatic drug.
(ii) Preventive drug.
(iii) Diagnostic drug.
(iv) Curative drug.
(v) Health Maintance drug.
(vi) Contraceptive drug.

(i) Symptomatic drug ÷

→ Those drugs which are given to treate the symptom of any disease this is called symptomatic drug.

(ii) Preventive drug ÷

→ Those drugs which prevent the cause of the disease this is called preventive drug.

Ex. Antibiotics.

(iii) Diagnostic drugs :-

→ Those drugs which are use to determine the reason or cause of any disease this is called diagnostic drug.

(iv) Curative drug :-

→ Curative drugs are those drug which ~~are~~ basicaly are supplyment which are given to the patient for the treatment of any disease or prevention of any disease.

(v) Health Maintance drug :-

→ Those drugs which are use to health maintance our body or Maintenance our immune system this is called Health maintance drug.

(vi) Contraceptive drugs :-

→ Basicaly contraceptive drugs are use to male or female to resist the conception or resist the ovum sperm fertilization.

Ex- Bajistron, Estrajen.

÷ Source of drug ÷

(1) Plant.
2) Animal
3) Mineral
4) Synthetic
5) Microorganism
6) Genetic Engineering.

1) Plant

Those drugs which are gets from plant this is called plant source drug.

Ex:- Atropin, Digoxin.

2) Animal :-

Those drugs which are gets from animal this is called animal source drug.

Ex. Enzyme, Harmone,

3) Mineral

Those drugs which are obtained from, rock, stone, and rivers this is called mineral source drugs.

Ex. $MgSO_4$, $CuSO_4$, Salt. Zink, dust, Kaoline.

4) Synthetic :-

- Those drugs which are prepared in lab this is called synthetic source drugs.

Ex. Paracetamal, Ibuprofen. Phenitain

5) Microorganism :

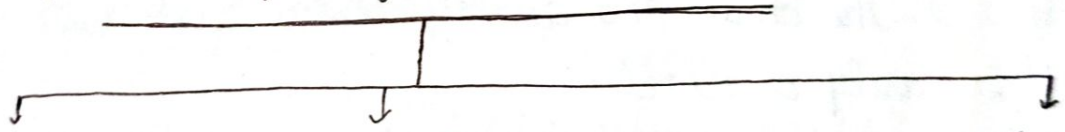
→ Those drugs which are gets from the micro organism like bacteria, virus, fungi. etc. this is called microorganism source drugs

Ex. Antibiotics,

:- Genetic Engineering :

→ Those drugs which are prepare by the help of genetic engineering technique this is called genetic engineering source drugs.

: Route of drug Administrations :



External	Parenteral	Topical
* Oral	* Intravenous (IV)	* On skin
* Buccal	* Intramuscular (IM)	* On cavities.
* Sublingual	* Sub-Cutaneous (SC)	
* Dental cones	* Intra Arterial (IA)	
* Rectal	* Intra Cardiac (IC)	
	* Intra dermal (ID)	

IMP

: External Route of Administration :

External means GIT when any drug is pass through GIT and reach into the blood is called external route of Administration.

∴ Types of Enteral route of drug administration ∴

* Oral ∴ *

When any drug is given through mouth and pass through GIT is called oral drugs.

∴ Types of oral drugs ∴

- Tablet
- Capsule
- Solution (Syrup)
- Emulsion
- Suspension
- Inhelar
- Powder

∴ Advantage of oral drugs ∴

- This is the cheap and cost effective.
- It is easily available.
- No expert is required for their administration
- Easly taken by mouth.
- No extra precautions required for storage.

∴ Disadvantages ∴

- Bioavailability is very less.
- Drug is first pass metabolise.
- Difficult to intake for inject and elder
- Unconceous patient can't take the drug.
- Very slow resfonce

÷ Sublingual Route of Administration ÷

- Where the dosage form is placed under the tongue.
- Rapidly absorbed by sublingual mucosa.

÷ Advantage ÷

- Economical
- Quick termination.
- First - Pass avaided.
- Drug Absorption is quick.

÷ Disadvantage ÷

Unpleasant
- Unplatable and bitter drug.
- Irritation of oral mucosa.
- Large quantities are not given.
- Few drugs are absorbed.

÷ Buccal Route of Administration ÷

Where the dosage form is placed b/w gums and inner lining of the cheek (Buccal pouch) Absorbed by buccal mucosa.

÷ Advantage ÷

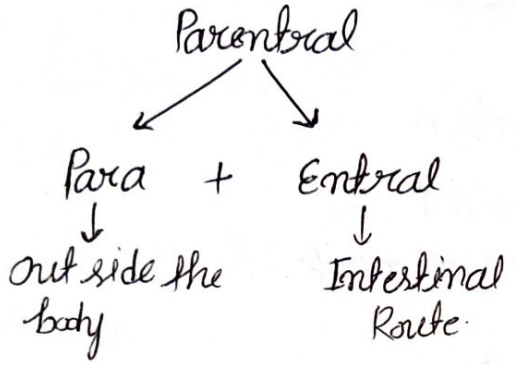
- Avaid first pass effect.
- Rapid Absorption.
- Drug stability.

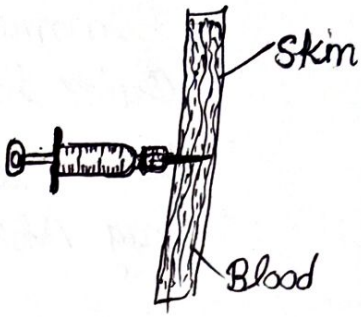
÷ Disadvantage ÷

- Inconvenience
- Advantage last if swallowed
- Small dose limit.

∴ Parentral route of Administration ∴

The term parentral means out side from the intestinal route it means when drug is not passing through the gastro intestinal route parentral Administration is given by injection inside the body.





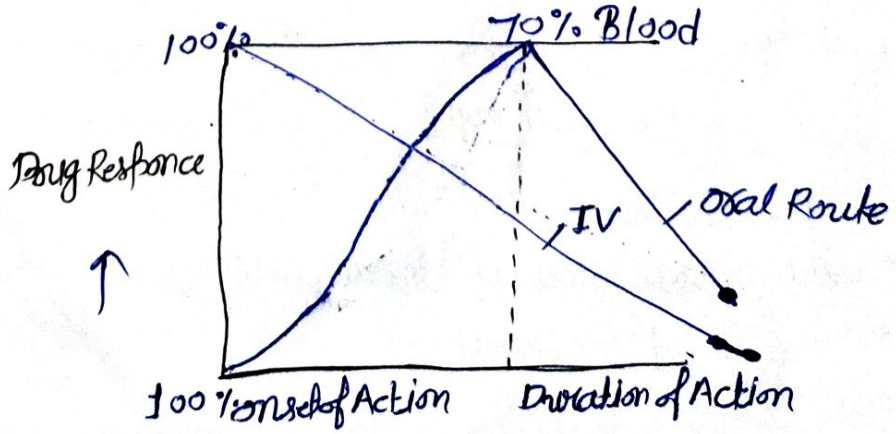
∴ Types of Parentral route ∴

- → Intravenous Route (IV)
- → Intra muscular Route (IM)
- → Subcutanious Route (SC)
- → Intra Arterial (IA)
- → Intra dermal (ID)

∴ Intravenous Route ∴ (IV)

Intravenous drug is directly incerted into veins by injectio
The bioavailability of "IV" route is 100% and there is no loss of drug by first pass metabolism.

- There onset of action is very quick



÷ Advantage of IV ÷

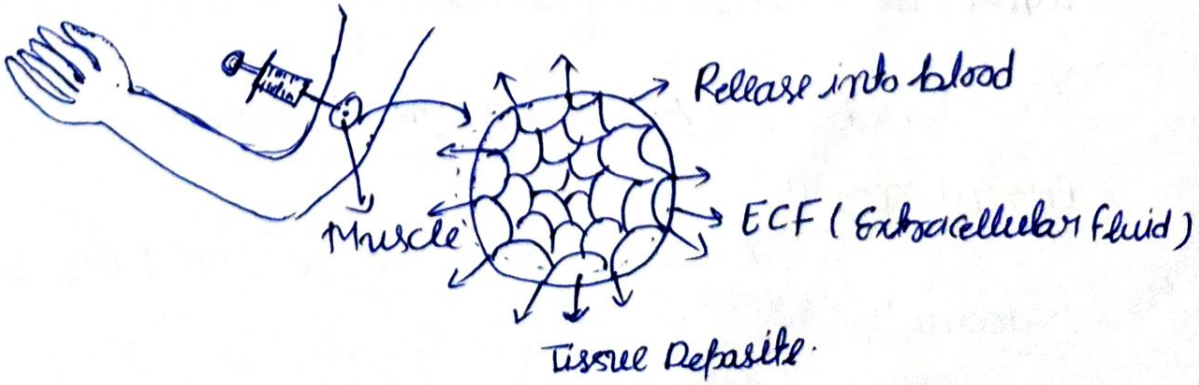
→ Quick onset of Action.
→ 100% Bioavailability.
→ No first pass metabolism can use given to unconceaus patient.
→ It gives in start responce for vomating and diarrhoea.
→ Less amount of drug is required drug is not pass through GIT so no drug wasted.

÷ Disadvantage of Intravenaus ÷

⇒ In IV drug patient full unconceaus.
→ It create pain during injection.
→ Cell necrosis can occure at the site of injection.
→ It is very costly
→ For IV Administration expert is required.
→ If drug toxic then it can't be remove by vomating.

Intra muscular Route (IM)

Intramuscular drug is not directly injected into the blood it is given into muscle and then it release into the blood.
→ Those drugs whose partical size is bigger it is given by IM Route.
→ When drug is incerten into muscle then it create a tissue depasite and after dissolving the drug release into blood.



÷ Advantage ÷

→ Absorption.
→ Rapid onset of Action.
→ Mild irritants can be given
→ First pass avaided.
→ Gastric factors can be avaided.

÷ Disadvantage ÷

→ Only upto 1ome drug given.
→ Local pain and abcess.
→ Expensive.
→ Injection
→ Nerve Damage

÷ Sub-Cutaneous ÷

Injected under the skin. Absorption is slow so action is prolonged.

IMPLANT:- A tablet or porous capsule is inserten into the loose tissue by incision of the skin which is thenstiched up

Ex- certain Harmonal drugs.

÷ Intradermal ÷

→ Drug is given within skin layers (dermis)
→ Painful.
→ Mainly used for testing sensitivity to drugs.
→ Ex:- Penicilline, ATS (Antititanus serum)

INOCULATION — Administration of vaccine (like smallpox vaccine)

÷ Intra-Articular ÷

→ Injection of antibiotics and corticosteroids are administration in.

- Inflammed joined cavities by experts.

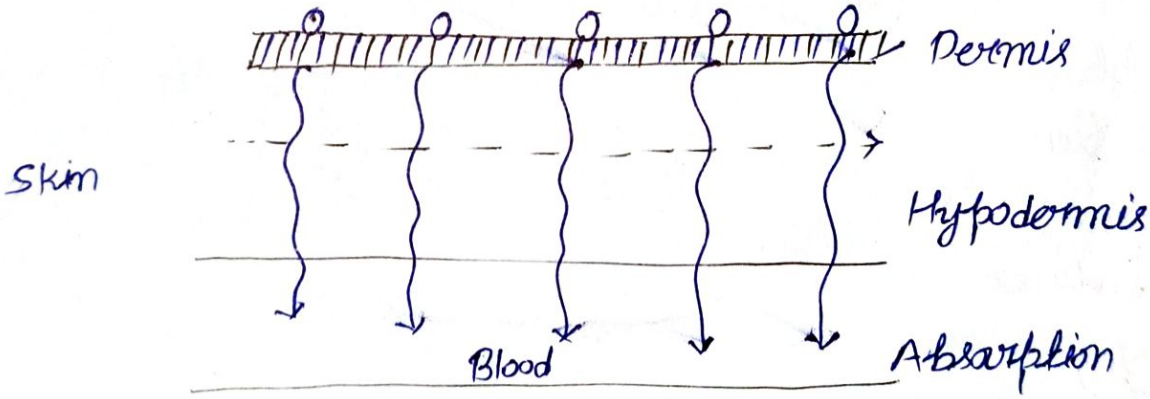
Example: Hydrocortisone in rheumatoid arthritis.

÷ Topical Route of drug Administration ÷

When drug is apply externaly without blood. then it is called topical route of drug administration.

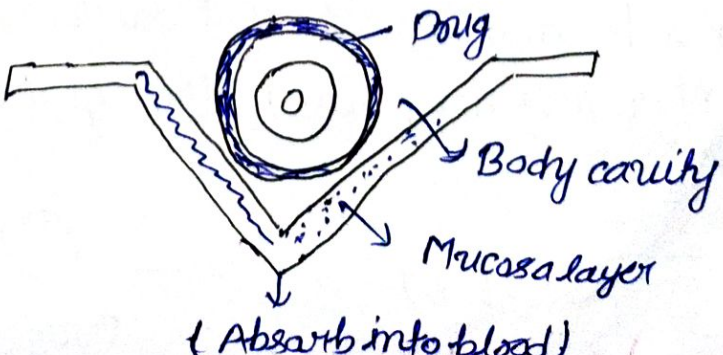
→ Topical drug apply on the skin surface and different external body cavities.

→ When topical drug apply our the skin then it reach into the systemic circulation by Absorption mechanism.



→ Topical drug is also inserted into body cavities like-
Body cavity - Eye, Ear, Nose, Rectal, Urethral

- The inner lining of body cavity is made by mucosa layer and drug is absorb through mucosa layer and reach into blood.



÷ Advantage ÷

→ It is apply for local action.
→ There is less chance of side effect.
→ Topical drug can apply to all age group and unconcious patient.
→ Easy to remove if drug is wrong.

÷ Disadvantage ÷

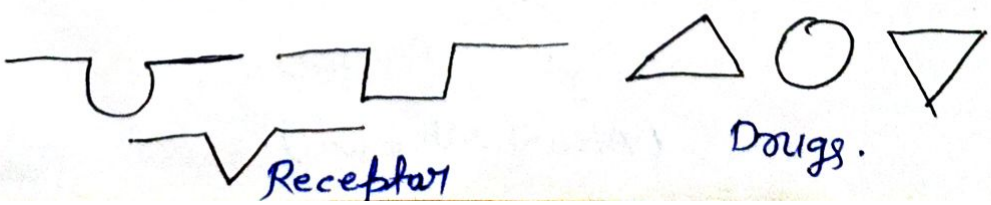
→ It gives dilayed action.
→ Lation and suppository is irritating for patient.

÷ Pharmacological Terms ÷

1) Agonist.
2) Antagonist < Competitive / Non competitive
3) Receptor
4) Addiction
5) Tolerance.
6) Dependance.
7) Tachyphylexis.
8) Idiosynchrasis.
9) Allergy.

(i) Receptor ÷

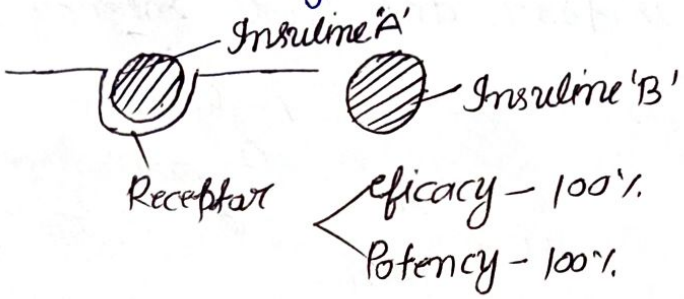
→ Receptor are the proteinaceous structure which are behave like a active side they are present surface of any organ. on the receptor when drug bind they gives pharmacological action and resfonce.



÷ Agonist ÷

Agonist are those chemical molecule which bind with the receptar and give 100% same resporce like the natural chemical.

→ The Agonist have efficacy 100% and their potency is also 100%



1) Efficacy
(11) Potency.

(i) Efficacy

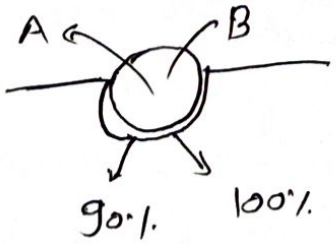
Effinity of drug to bind with the receptar.

Ex

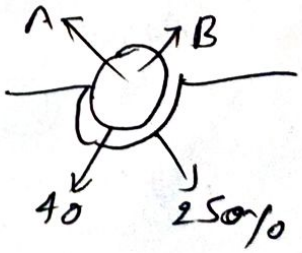
(ii) Potency :-

The effect of any drug produce after binding with the receptar.

Ex



'B' drug is more potent than 'A'

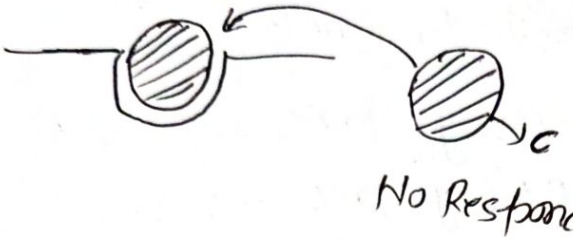


'A' drug is more potent than 'A'

÷ Antagonist ÷

Antagonist are those molecule which have similar structure like agonist but they do not give any pharmacological responce they antagonise the action of the agonist and they blocked the receptor.

⇒ There efficacy is 100%. and there potency is 0%.



No Responce.

It is of two type ÷

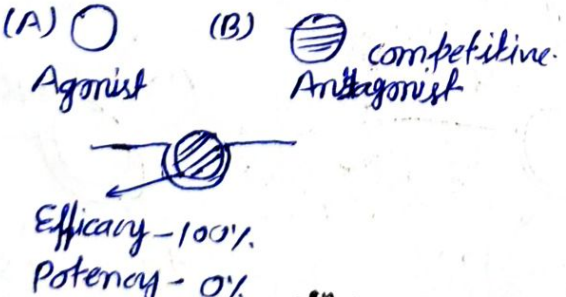
(i) Competitive

(ii) Non competitive.

(i) ÷ Competitive ÷ Antagonist ÷

Competitive Antagonist are those molecule which have similar structure to the Agonist.

→ There efficacy is 100%. and there potency is 0%



(ii) ÷ Non competitive Antagonist ÷

Those compound which have different structure than Agonist they block the receptor for partialy or for less time.

→ And there efficacy is less than 100%. and there potency is 0%.

Efficacy > 100%.

Potency → 0%.

∴ Addiction ∴

→ Addiction of any drug is a drug abuse this is basicaly when we take any drug for long duration then after that time our body shows some unusual physical behaviour or some unusual physical changes in our body this called Addiction.

∴ Tolerance ∴

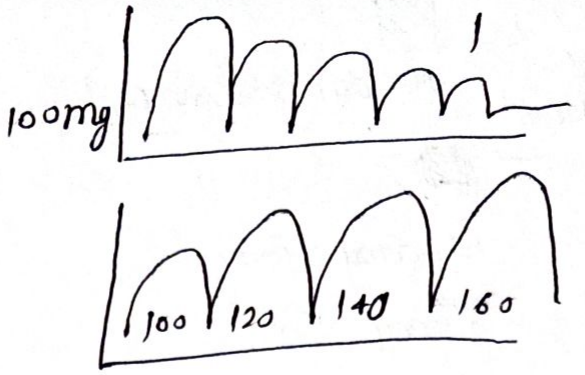
→ The diminished resfponce of any drug for given of long duration in the same dose.

∴ Dependance ∴

→ Dependance of any drug is defined as the any unusual resfponce of our body which becomes habitual for any drugs.
→ When we take any drug like, anargesic. Moofhine for long duration then our body makes dependance for these drugs. and when i do not receive that drug in a particular time then it may cause different Headache, Nosia, Seriousproblem.

∴ Tachyphylexis ∴

The diminished resfponce of any drug for using long duration in the same dose is called tachyphylexis.



÷ Idiosynchrasis ÷

The Idiosynchrasis of any drug is unusual and different-2 behaviour of same drug in different-2 body. this is called Idiosynchrasis.

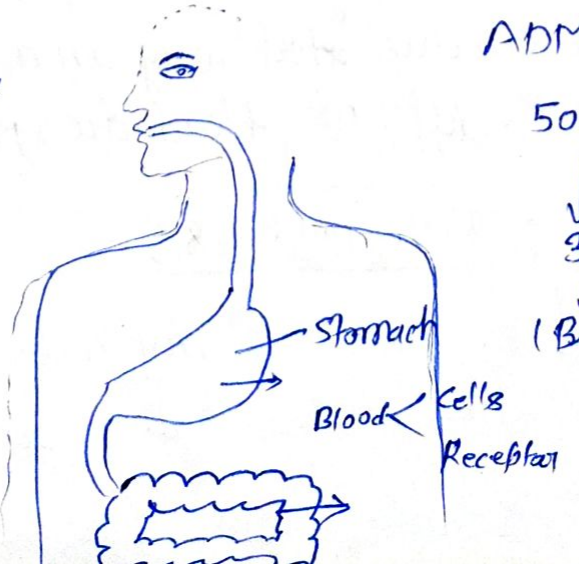
÷ Allergy ÷

It is the inflametary resfonce of any particular subs. which is nonself for our body and it produce the inflamation like - Redness, Heat, colour, swelling, pain. this is called inflamation or Allergy.

÷ Bioavailibility ÷

It is the actual amount of the drug which reach into the systemic circulation this is called bioavailibility of the drug.

→ The those drug whose bioavailabity is high their rate of absorption is also high.



ADME

500mg

↓ 200mg metabolise

300mg

↓

(Bioavailibility)

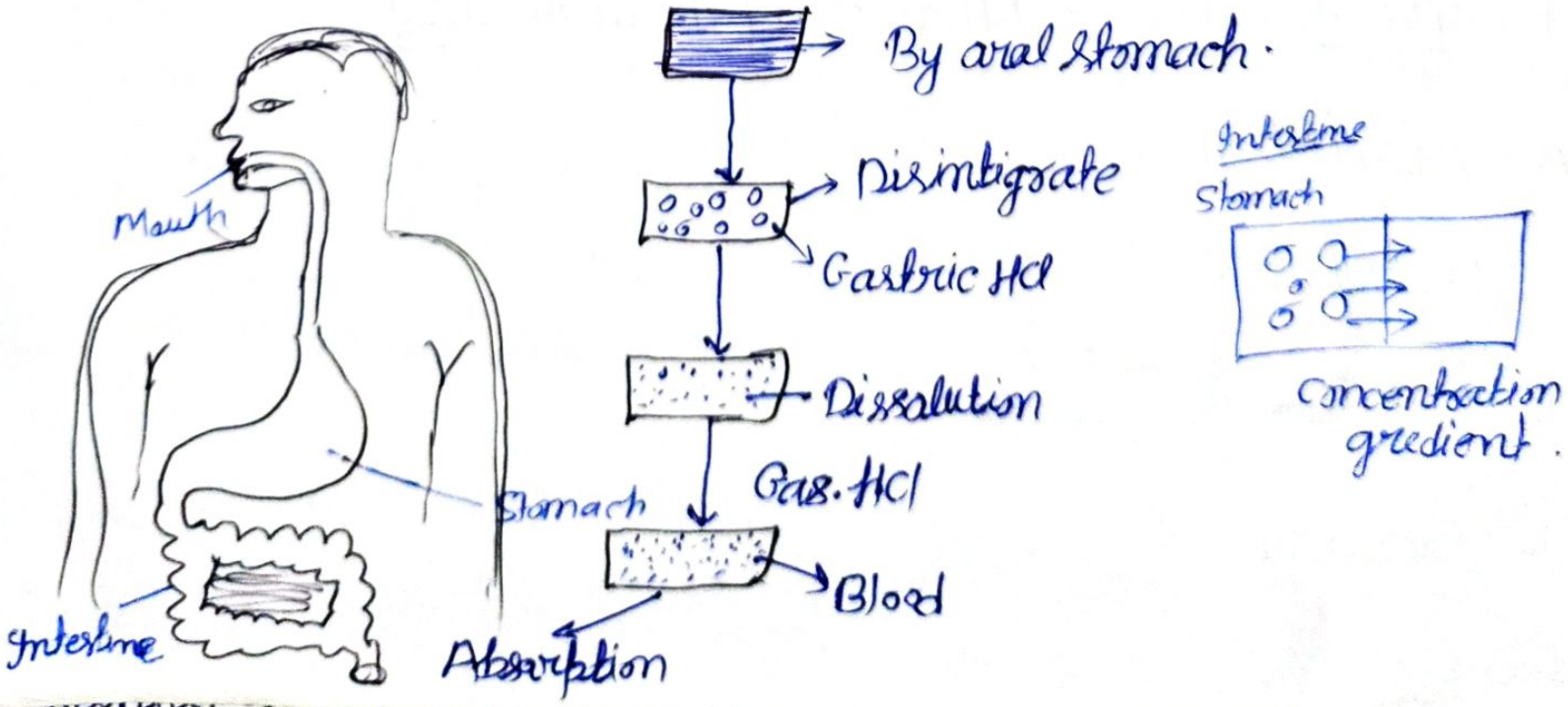
i) Absorption :-

When we take oral drug then is goes into the stomach and after disintigration and dissolution it absorb into blood.

→ Absorption is the first step of pharmacokinetics.

→ In disintigration step drug break into small particle in the presence of gastric 'HCl'

→ After disintigration drug dissolve into gastric HCl and absorb into systematic circulation.



÷ Mechanism of Absorption / Transport mechanism ÷

(i) Active transport.
(ii) Passive transport.
(iii) Fasciletated transport.

(i) Active Transport ÷

A primary active transport in this process there is direct ATP requirement.

→ The process transfers only one ion or molecule and in only one direction and hence called as "Absorption of glucose.

(ii) ÷ Passive Transport ÷

Passive diffusion is the process by which molecules spontaneously diffuse from a region of higher concentration Ex. out side of the cell.

⇒ To a region lower concentration Ex. inside of the cell. and it is the main mechanism for passage of drug through membranes.

→ Liquid soluble drug penetrate the lipid cell membrane with "and can pass the cell membrane by passive diffusion. Also large molicule such as proteins and prolein" "drugs cannot diffuse through the cell membrane

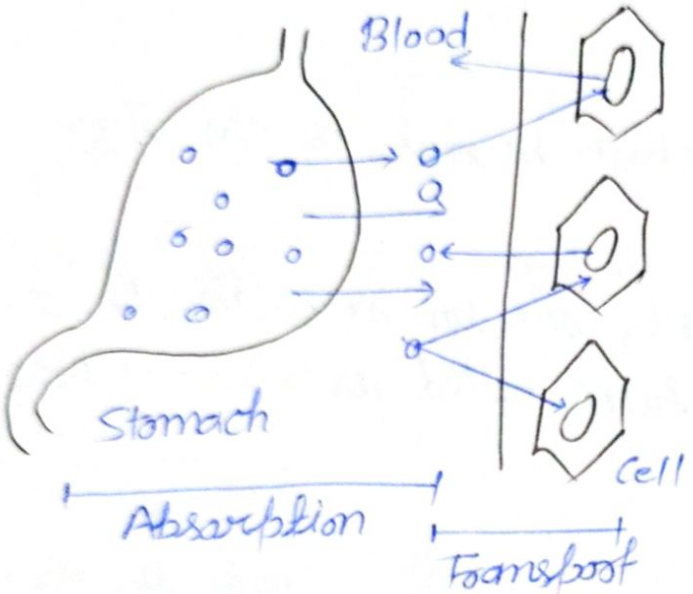
(i) Osmosis ÷ Movement of particle from high concentration to low conc. across the conc. gredient with semipermeable membrane.

(ii) Diffusion ÷ Movement of particle from high conc. to low conc. and no use of any spm.

÷ Cellular transport ÷

The movement of food particle from blood to cell and from cell to blood is called cellular transport.

→ By the use of cellular transport the chemical subs which is absorbed in blood is moves into cell and the waste subs moves from cell to blood.



Types of cellular Transport

It is of three type ÷

(i) Passive Transport
(ii) Active Transport.
(iii) Facilitated Transport.

(i) Active Transport ÷

→ In this type of transport the movement of subs is from low conc to high conc with the help of energy.

→ In this transport ATP is used for movement of subs.

(ii) Passive Transport

→ In this type of transport the movement of subs. is from high conc. to low conc.
→ In this transport no energy is required.
→ It is of two types.

(A) By diffusion :

No semi permeable membrane is used.

(B) By osmosis :

Semi permeable membrane is used.

Fascilitated Transport

→ In this type of transport the movement of subs is from low conc to high conc with the help of carrier protein
→ In this process carrier enzyme or protein bind with subs and moves inside the cell and leave the subs.

Factors affecting drug absorption

Drug absorption is depends upon following factors.

1) Physiochemical properties of drug.
2) Physiological Factors.
3) Nature of dosage form.
4) Route of drug Administration.
5) Bioavailibity.

1) Physiochemical properties of drugs :-

(A) Particle Size :-

→ The absorption of drug is inversely proportional to the particle size.

→ As well as the particle size of drug is increase the rate of absorption is decrease.

• And when the particle is decrease then the rate of absorption is increase.

(B) Nature of Powder form of drug :-

→ The nature of any powder is of two type Amorphus or crystaline.

→ The amorphus powder is dissolve very easily because is takes less energy.

→ And in the crystaline form they require high energy for dissolution because. they have crystal lattice structure.

→ So the rate of absorption is the high for amorphus powder and rate of absorption is the low for crystalline powder.

(C) Solubility / Dissolution :-

The rate of absorption of any drug is also depends on the solubility factor because our gastric media is hydrophilic in nature so hydrophilic drug more easily can dissolve into the gastric HCl than lipophilic drug so the rate of absorption is high for hydrophilic drug and the rate of absorption is low for lipophilic drug.

(D) Salt form of drug :-

The strong acidic and strong basic drug can easily dissociate into the solvent

- So their dissolution rate and absorption rate is very high but in the case of weak acidic and weak basic drug their dissociation rate is slow so they absorb very slowly.
- But when we convert the weak acidic and weak basic drug into salt form then their rate of dissociation is increase many times and their rate of absorption is also increase.

(E) Ionization Rate :-

→ Those drugs which are in ionic form they can be dissolve easily but they can't cross the cell membrane

→ But in the case of non ionic form of drug they can't dissociate easily but their rate of absorption is high.

→ So we make any drug is such a way at the time of dissolution they are in ionic form and at the time of absorption they should be in non ionic form.

(2) Physiological Factor

(A) Membrane transport.

(i) Active

(ii) Passive

(iii) Fasilitated

(B) Gastric Emptying time :-

→ The absorption of drug is also depends upon the Gastric emptying time.

→ The drug whose Gastric Emptying time is less their rate of absorption is high.

(C) Drug Stability and pH of GIT

There are lots of drugs which are soluble in acid or stable in gastric Acid. they can be given easily and their rate of absorption is high.

→ But in the case of certain drug which do not dissolve into gastric acid and which becomes disintigreted or becomes deactivated in (gastric media) acidic mediamso they are uses incertain polymer form and they dissolve into the intestine and their rate of absorption is increase.

(D) Surface Area :-

Surface area of any body is directly praportional to the rate of absorption.

→ If the surface area is increase then the more no of drug will be absorb proper.

(E) Blood Flow :-

The rate of drug absorption is directly praportional to the blood flow.

→ When the blood flow in body is increase then the rate of drug absorption is also be increase.

(F) Effect of food :-

The rate of drug absorption is also depends upon the food which is present in the stomach.

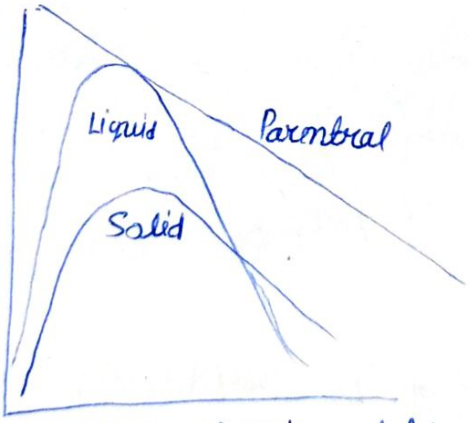
→ If the food is present in stomach then it dilute the drug and rate of absorption will decrease.

(G) First Pass Metabolism :-

→ When the drug directly goes into the liver without reaching into the receptors and systemic circulation. this is called first pass metabolism.

→ And for those drug which first pass metabolism is high the rate of drug absorption is slow.

:- Nature of dosage form :-



Rate of Absorption

→ The rate of drug absorption is also depends upon the nature of dosage form.

→ If the drug is taken in oraly then some part of drug is metabolised so their rate of absorption is slow.

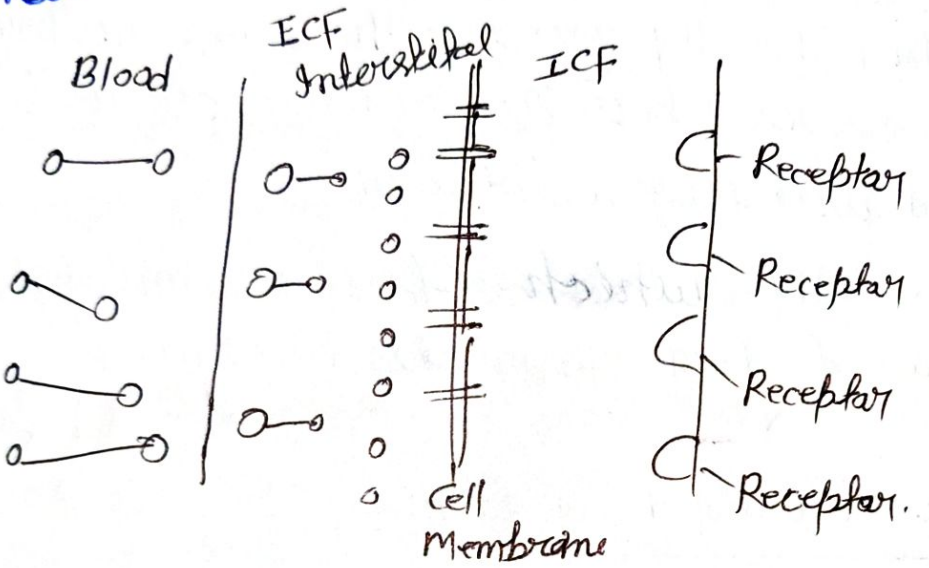
→ But when the drug is given parenterally their bioavailability is 100% and rate of absorption is 100%.

→ In the case of oral the absorption of liquid dosage form is greater than solid dosage form.

÷ Distribution of drugs ÷

→ The distribution of drug is defind as the movement of drug into different compartments of our body.

→ First of all the drug mixed in the blood and goes ECF extra cellular fluid and then into intra cellular fluid and then after bind with the receptar and give different-2 resbonce.



→ Distribution is very important for pharmacokinetics because it is responsible for the pharmacological action of any drug. because when the drug can't bind with the receptar that it can't produce any pharmacological action resbonce.

÷ Steps of Distribution ÷

Steps of distribution is of fallowing three types -

Step-1 ÷ In step first after the absorption the is comes into the blood and some part of drugs is combine with the plasma proteins.

step-2 In the farm of plasma protein complex the drug reaches into the ECF.

Step-3 In the step third the free form of drug pass the cell membrane and after passing the cell membrane it reached into the ICF intracellular fluid & bind with the receptor and gives pharmacological responce.

Factors affecting Distribution.

There are following factor of affecting distribution.

1) Tissue Permeability.
2) Physiological barrier.
3) Plasma prateim binding.

:- (1) Tissue permeability :-

(a) Physiological properties :-

→ The distribution of drugs is also depends upon the physiochemical property of drug like it PKA value its pH value, its particle size its Acidic nature its basic nature its ionizing nature its salt.

(b) Molecular Size :-

The molecular size is approx 500 to 600 dalton is imp. for the distribution of the drug.

→ If the molecular size is greater than 600 dalton then it can't pass the cell membrane and the distribution is not possible.

÷ Degree of ionization ÷

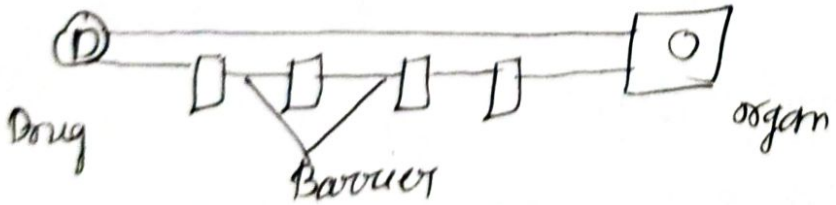
For the better distribution of drug degree of ionization of the drug it should be low.

÷ Partition cofficeant ÷

→ Drugs are generally Hydrophilic or lyophilic nature.
→ If drugs are lyophilic in nature they can cross the cell membrane simply because our cell membrane is made up from phospholipid and cellulose.
→ If drugs are hydrophilic in nature they can't cross the cellmembrane simply.

÷ Physiological barrier ÷

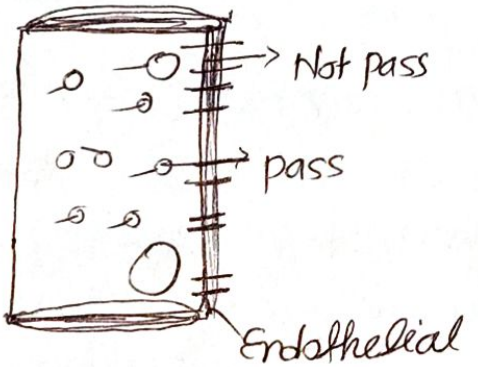
Physiological barrier are those (barrier organs) which inhibit the free movement of drug into organ directly.
→ It decrease the rate absorption and rate of distribution.
⇒ The nature of physiological barrier is diff in diff-2 organ. It is of following type.



(i) Simple Capillery endothelial barrier. (SCEB)
2) Simple cell Membrane barrier (SCMB)
3) Blood brain barrier. (BBB)
4) Blood CSF barrier (CBCB)
5) Blood Placenta barrier (BPB)
6) Blood testes barrier.

(i) Simple Capillery Endothelial Barrier :-

→ This is the simplest type of barrier because in the blood vessel inner wall is made up of endothelial and in blood vessels small pores are present and drug can pass only these pores and can't pass other than pores. If the particle size of molecule is more than 600 dalton then particle cannot pass these spores. and they can't absorb from distributed from blood to any organ.

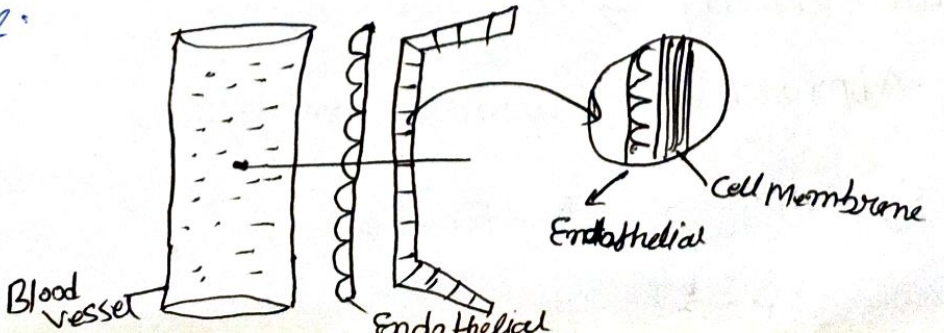


Simple Cell Membrane barrier :-

→ The simple cell membrane barrier is present b/w the endothelial layer of blood vessel and the cell membrane of any cell.

⇒ In this barrier only the drug particle size of 50 to 600 dalton can pass. and the larger particle cannot pass.

⇒ In this type of barrier only lipophilic drug can pass this barrier because the nature of cell membre is lipophilic in nature.



M.Imp.

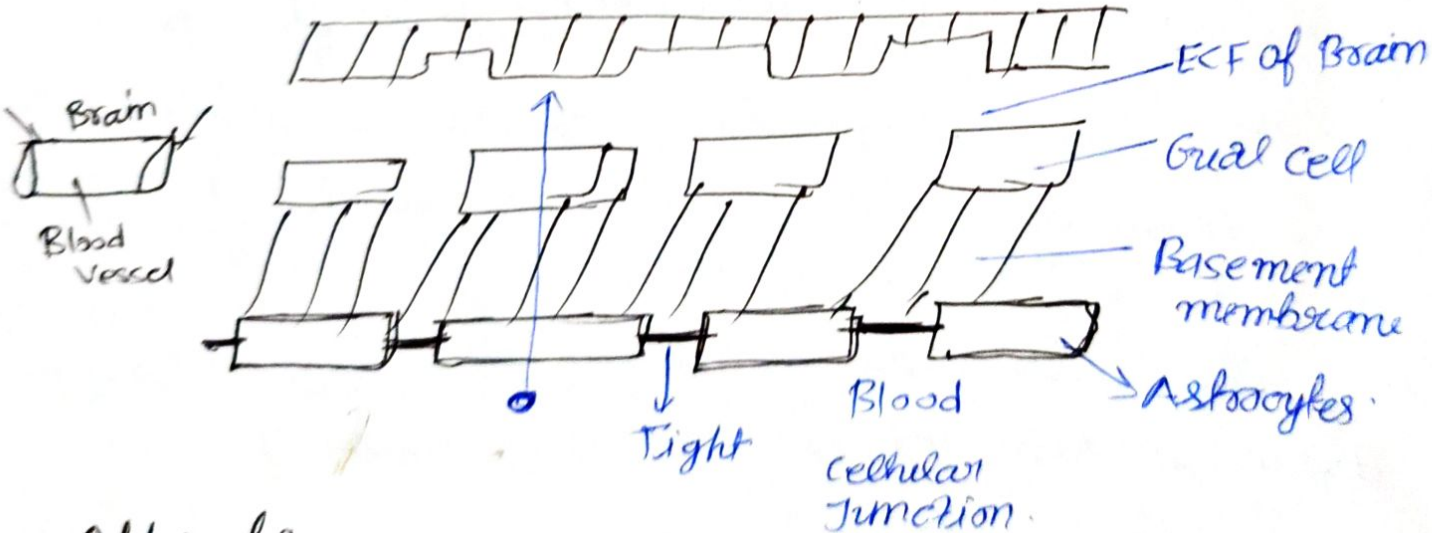
(3) Blood brain barrier:-

→ The barrier b/w blood vessels and brain is called blood brain barrier.

→ The blood brain barrier ~~the~~ basically barrier are the combination of endothelial cell of blood of brain and meninges of the brain.

→ BBB is very highly specific in nature because the endothelial layer which is present in the blood vessel of brain they are highly specialised and they have tight junctions and the ~~the~~ pores size is very small.

→ In the layer of brain basement membrane, Gual cell and Astrocytes cells are present which are highly lipophilic in nature so only high lipophilic drug can pass the blood brain barrier



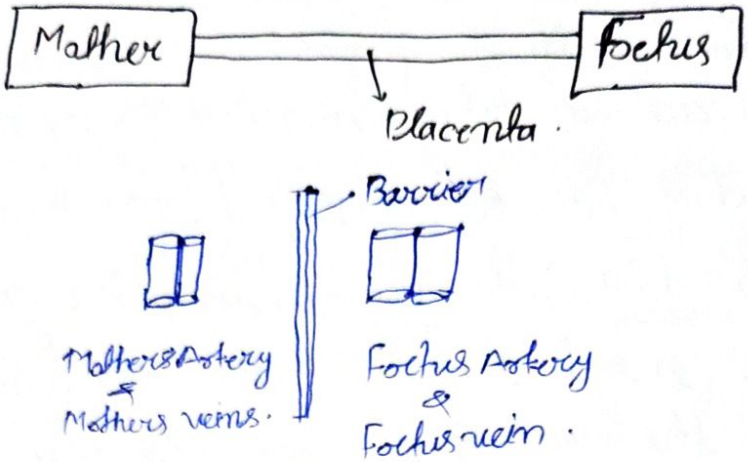
Approach:-

(1) Permeation Enhance. → Dimethyl Sulfoxid.

(2) Prodrug Approach → Dopamine, Isodopamine ⊙→ ~~HO~~ O drug

(3) Carrier System. → Active Transport

④ Blood Placenta barrier :-



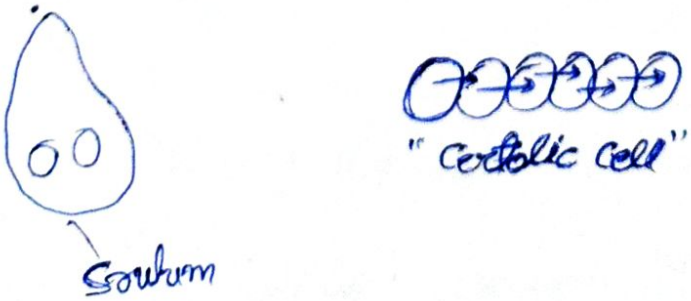
→ A semipermeable membrane made up of placental tissues and limiting the kind and amount of material exchanged between mother and fetus.

- On a structural basis, the barrier effect is grounded by the syncytiotrophoblast continuity, and by basal and plasma membrane's electrical charges and by basement membrane porosity.

The aqueous phase continuity for diffusion operates through intercellular gap, fenestrations and transcellular channels.

Blood Testes Barrier (BTB)

→ In blood testes barrier there are have such endothelial barrier is present only the drug is pass through the Sertolic cells by diffusion / osmosis process.



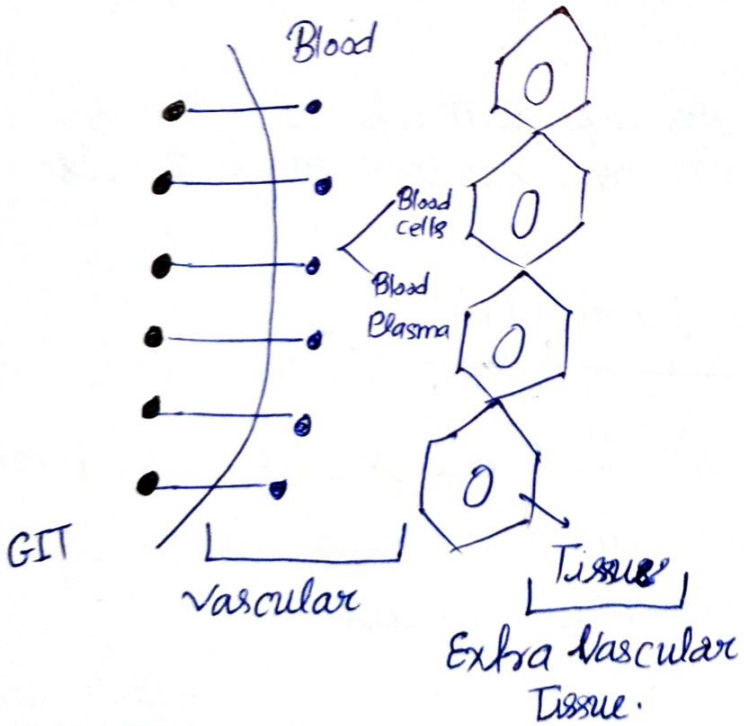
÷ Protein Binding ÷

After the absorption of drug. when drug reach into the blood. then the drug can bind with the protein. into two reasons in vascular reason and an extra vascular tissue reasons.

- The protein binding can be classified into two categeary

i) Vascular protein binding ÷ In this binding the protein which is present inside the blood and blood cells. are responsible for the binding.

ii) Extra Vascular tissue binding ÷ 60 % of drug is bind with the plasma protein and the rest all 40% (protein) drugs can cross the cell membrane barrier and they bind directly with the organs.



÷ Mechanism of protein binding ÷

- On the basis of chemical reaction of drug with protein. the protein binding mechanism is of two type.

i) Reversible protein binding: In this type of protein binding the drug is bind with protein with the very weak forces like hydrogen bond, Vander Valve force of attraction. so they can easily release the drug and drugs becomes free and it bind with the receptor.

→ Reversible protein binding is responsible for the pharmacological action of drugs.

ii) Irreversible protein binding ÷ In this type of protein binding the drug bind with the protein with strong bond like ionic bond or covallent bond.

→ In this type of binding drugs after binding with protein cannot release and drugs do not becomes free so they cannot produce any pharmacological action

÷ Blood/Plasma Protein binding ÷

1) Albumin
2) α-Glycoprotein
3) Lipoprotein
4) Globuline.

(1) Albumin:

→ This is the largest protein which is present in blood plasma.

→ And about 59% conc of this protein is present in blood plasma

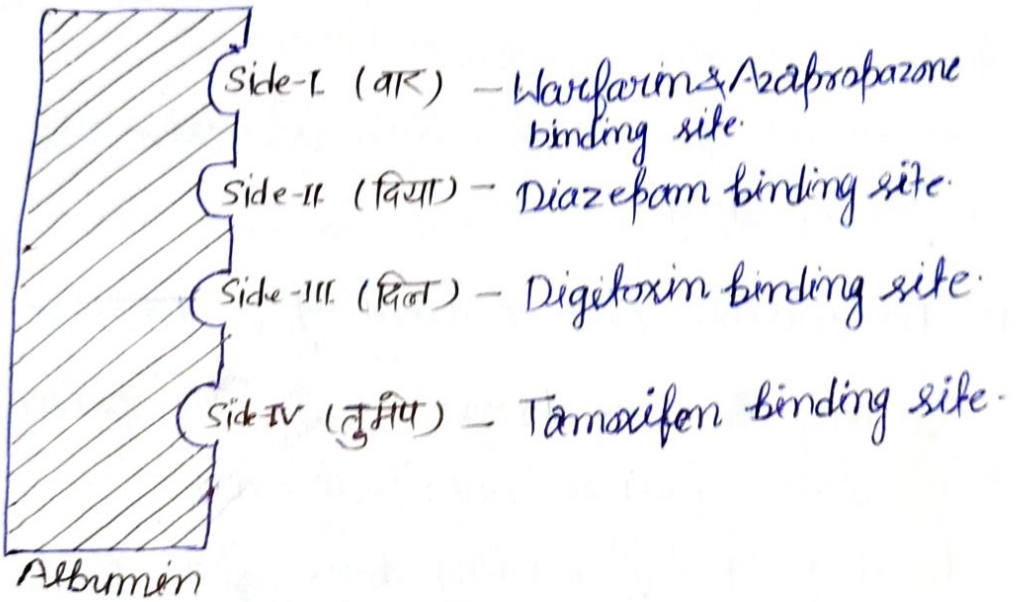
→ And about 65000 thousand types of drug receptors are present in this protein.

→ And so many kinds of drug bind with this receptors.

→ In the albumin basically four type of side are present

→ Side-I, Side-II, Side-III, Side-IV.

in side one this is called Warfarin and side second is called Diazepam and side third is called Digitoxin and four side is called Tamoxifen.

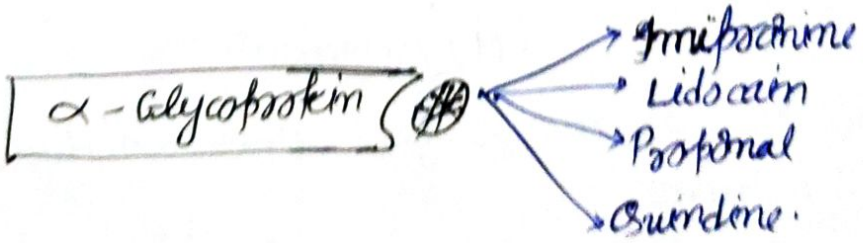


÷ 2) α - Glycoprotein ÷

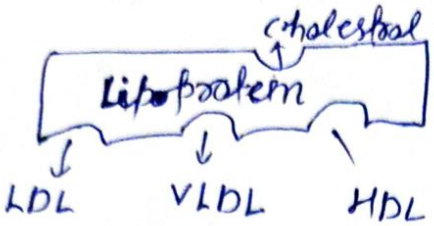
α - Glycoprotein is the second largest protein of blood plasma

→ and in this protein basically proterious nature, or glucose nature molecule are binded.

→ They basically bind the drug Imipramine, Lidocain, Propranolol Quindine.

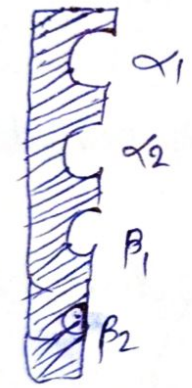


(3) Lipoprotein :-



→

(4) Globuline :-



Globuline

→

÷ Blood Cell Protein binding ÷

→ Some of the drugs when enter into the cell then bind with the blood cells.

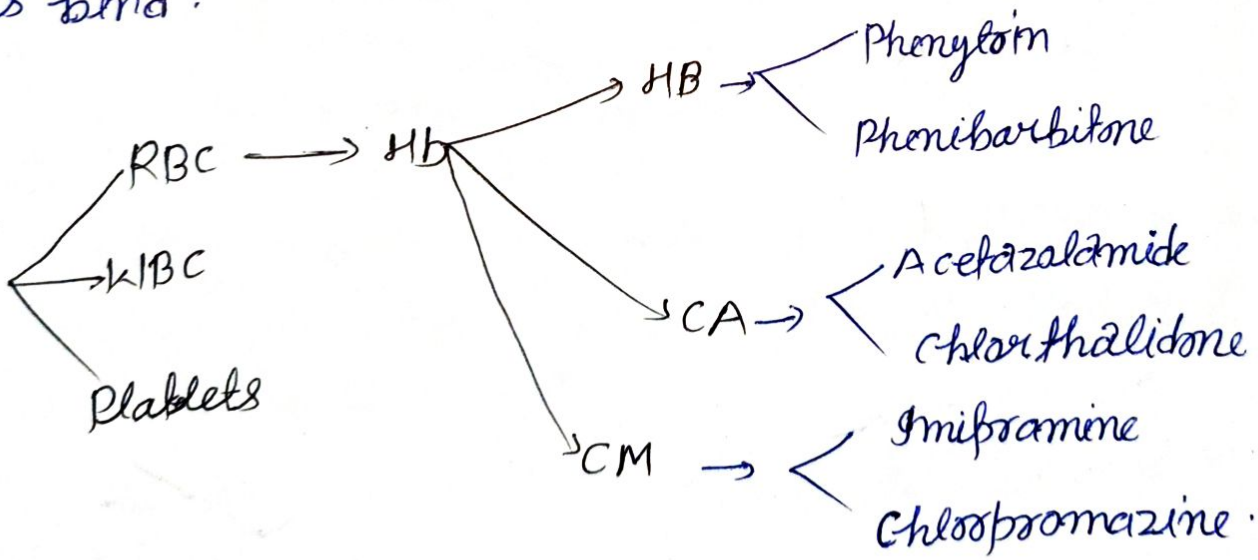
→ There are basicaly three types of blood cells are present RBC, WBC and Platelets. and in which the 90% part of the RBC play in the protein binding.

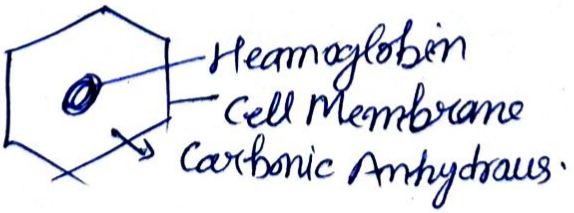
→ Basically in blood cells three parts are imp where protein can bind, this is heamoglobin, carbonic anhydraus enzyme and cell membrane.

→ In the heamoglobin part basically Phenytoin and Phenobarbitone drug is bind

→ In carbonic anhydraus enzyme Acetazolamide and Chlorthalidone drug is bind.

→ And in cell membrane Imipramine and Chlorpromazine drug is bind.





(3) Metabolism :-

Drug metabolism is also known as bio trans formation in metabolism process the active drug is convert into in action form and the polarity of drug is increase so it remove from receptor.

Drug metabolism is complete into two phase.

i) Phase-1-Metabolism.

ii) Phase-2 Metabolism.

(1) Phase-1 Metabolism :-

In this phase the main target of metabolism is to increase the polarity of the drug convert active drug into inactive form.

→ In this phase different chemical reaction is perform with the drug so the polarity of drug is increase and it becomes water soluble in this phase following reaction is perform.

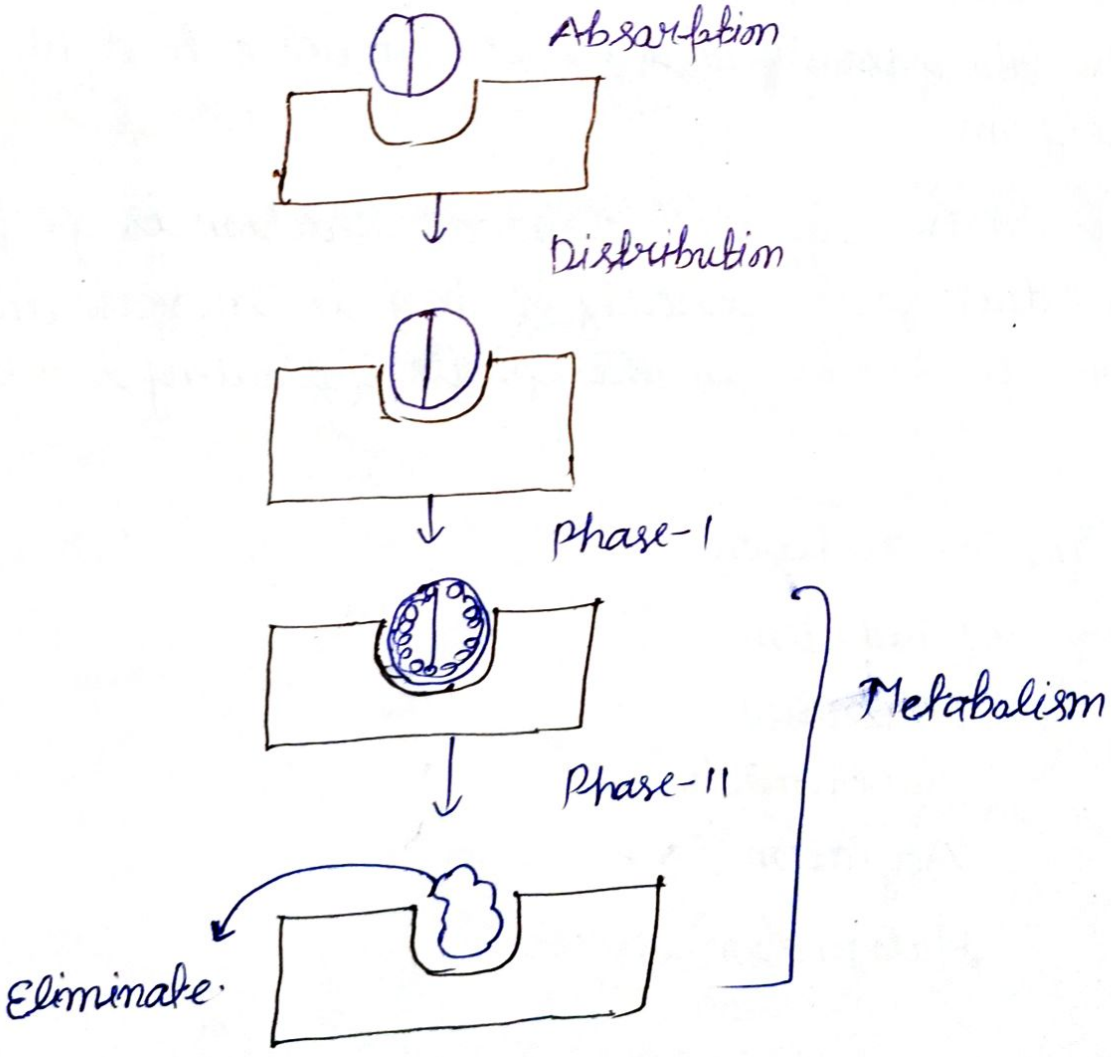
Reaction :- Oxidation
Reduction
Nitration
Sulphonation.
Acylation
Halogination.

(2) Phase-2 Metabolism:-

In this phase the shape of actual drug is change so the drug is remove from the receptor and responce of drug is stop.

- The major site of drug metabolism is in liver because different -2 enzyme are present in liver.
- Which bind with the drug and change the shape of the drug.

Ex:- Glucaronic Deaminase
Decarboxylase Transaminase
Hydrolase Phasphatase



÷ (4) Elimination ÷

- Elimination or Excretion is the last step of the pharmacokinetics of the drugs.
- In this step after the metabolism inactive form of drug is present into the blood.
- And by elimination process inactive form of drug is removed outside from the body.

Elimination Organs ÷ The main elimination organ is kidney and the blood is comes with the urine by the elimination process.

- But some of the other excretory organs also works like lungs, liver, Skin, Digth granthi.
- From lungs drugs are remove in the form of CO_2. from skin it removes in the form of sweat from liver it removes in the form of bile acid. some time it also secreated from the milk of mother.

Kidney	Sweat	Bile	Lungs
↓	↓	↓	↓
Urine	Skin	Liver	CO_2

÷ Types of Elimination ÷

1) Renal Elimination
2) Enterohepatic Elimination.
3) Pulmonary Elimination.
4) Sweat & Saliva Elimination.
5) Milk Elimination

(1) Renal Elimination:

→ It is the most abundent type of elimination. and about 80% of drug eliminated through Kidney by this renal elimination process.

→ The renal elimination process is complete into three step.

1) - Tubular Filtration.
2) Tubular Secreation.
3) Tubular Reabsorption

(2) Enterohepatic Elimination

→ When the some drugs are eliminated through the liver. this is called enterohepatic elimination.

- And those drugs which have the smallest molecular size they can easily crossed the liver and reach into the bile acid. and they release from the body in the form of bilirubin and biliverdin.

(3) Pulmonary Elimination:

→ Pulmonary elimination is the smallest parts of drug some amount of drug is eliminate in the form of CO_2 from our lungs.

(4) Sweat and Saliva Elimination:

→ When the drug is remove in the form of sweat and saliva. this is called sweat and saliva Elimination

(5) Milk Elimination:

Clearance :- Clearance is may be defind as the total amount of the drug which is eliminated through kidney in per minute is called clearance