Pharmacokinetic

ADME

Movment of drug molecule from site of administration to blood stream so that it may reach to it's site of Action

↓

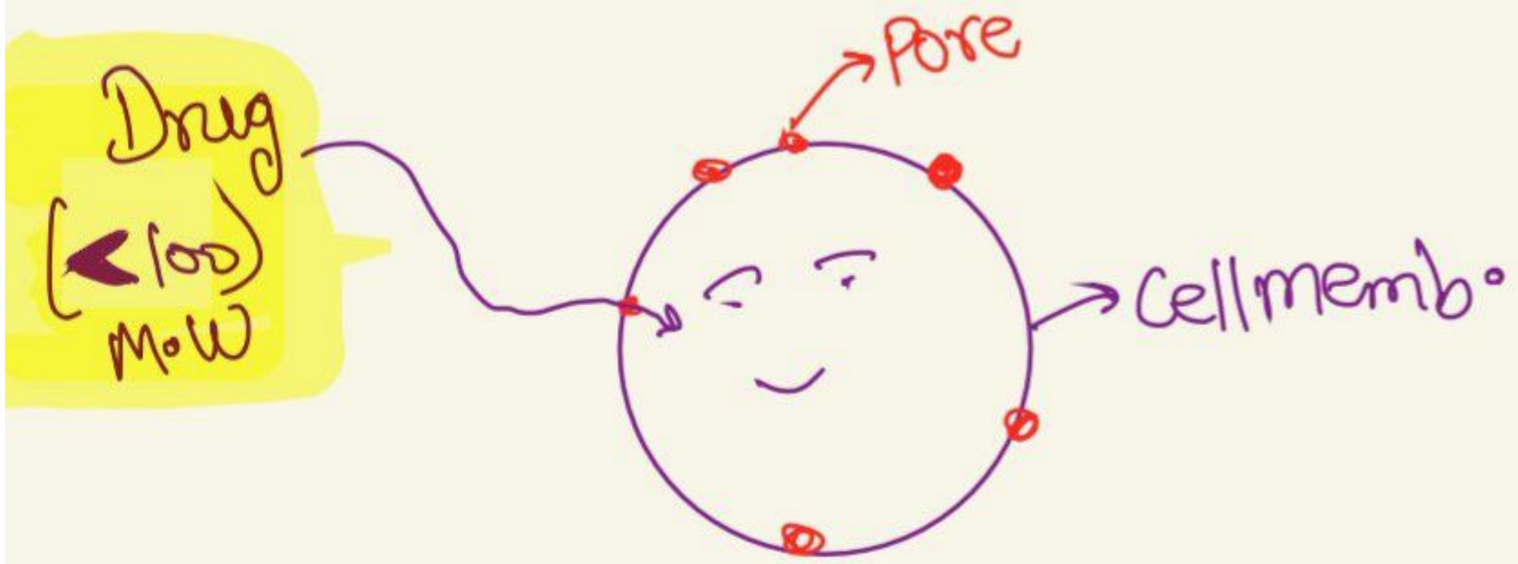
DR Complex.

Transport:

① Passive diffusion.

(Movement)

② Filtration



eg ⇒ G.F.R.

③ Active Transport. ⇒ Movement (Against the Conc. gradient)

ATP Consume

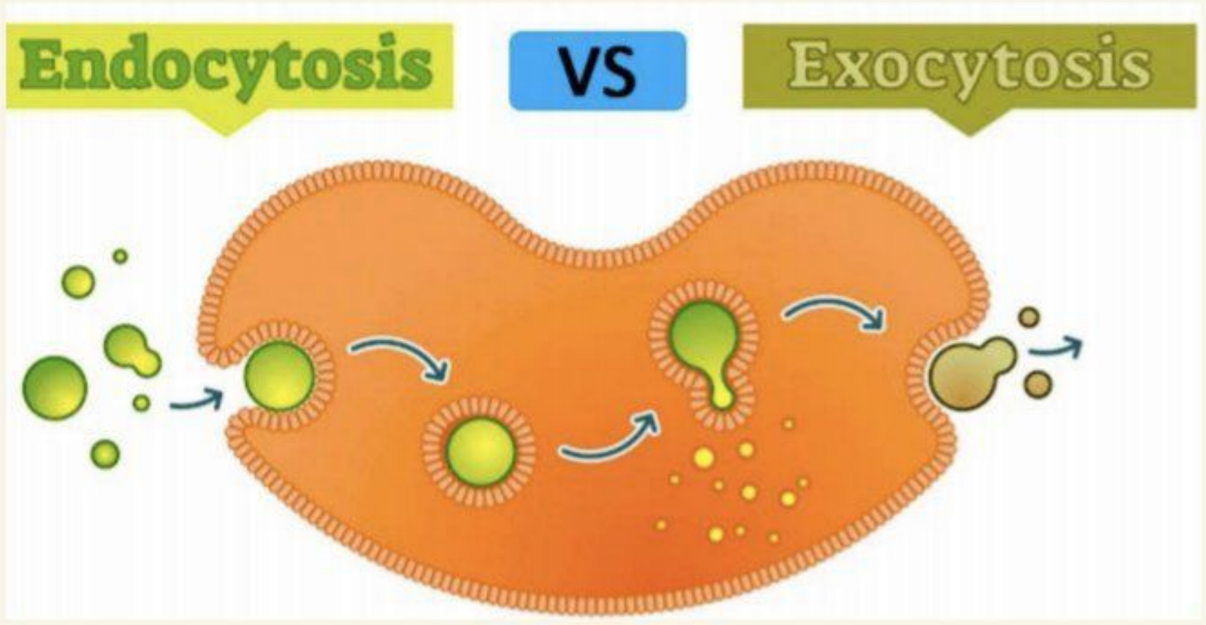
eg ⇒ Levodopa.
Carbidopa.

④ Facillated Transport ⇒ Need a Carrier Molecule.

Higher Conc.n → Lower Conc.n

eg ⇒ uptake of Glucose by cell.

⑤ Endocytosis ⇒



Endo = engulf.

Exo = remove by cell.

Endocytosis:

Pinocytosis → Engulf Liquid drug

Phagocytosis → Engulf solid drug / Particle.

✡ In endocytosis ⇒ Cell Membrane Modified as Vesicle.

⇓ for

Drug Molecule get engulfed.

① Absorbtion ⇒

Route of Administration (Drug) → Blood stream.

Factor affecting Absorbtion.

→ ① Pharmaceutical factor ⇒

Like → formulation, Particle size.

formulation

⇓

Tablet → Dry Gran. method.

↳ Wet Gran. method

Excipients Used.

Dissolution. ⇓

Disintegration. ⇓

Both must be Good.

Small ⇓

② Drug factor

→ pH ⇒ Acidic drug dissolve in Stomach.

↳ Basic drug dissolve in Intestine

→ Ionization.

Lipid Solubility.

↑↑

→ Cell Memb. (Phospholipid)

drug के Absorbtion के लिये

⇓

It Must be in Unionised form.

③ Biological factor ⇒

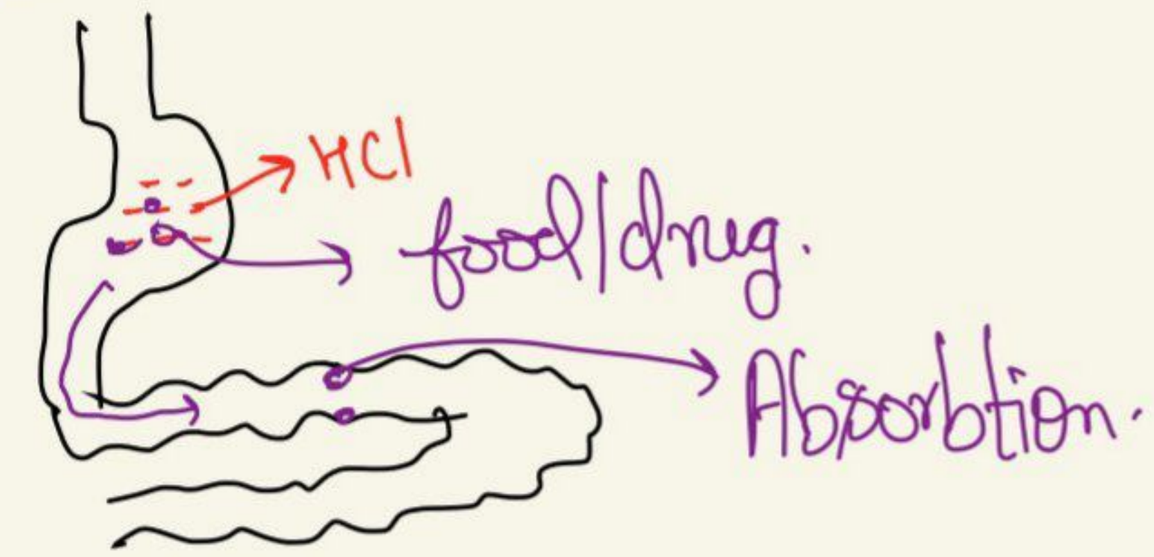
DEPTH OF BIOLOGY

(i) Surface Area ⇒ (Small Intestine) → Contain Villi.

↓

Absorbtion ↑

② Gastric Emptying time ⇒



DEPTH OF BIOLOGY

③ Presence of food. ⇒

After food drug. → PCM, Aspirine

Empty Stomach drug. → Pentuprozok

Omeprazole.

④ Disease →

Stomach, Intestine, Lives, Kidney.

which affect

⇓

Then ADME affect.

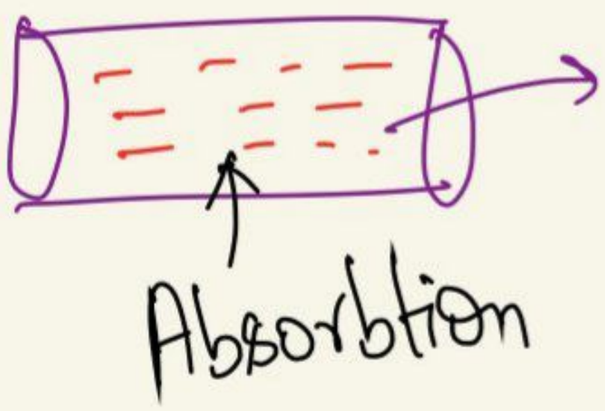
DEPTH OF BIOLOGY

(5) First pass Metabolism.

Drug → Stomach → Small Intestine → Large Intestine → Portal Vein → Liver.

So, Give Bypass → eg ⇒ IV, Nasal

II Distribution ⇒



→ D-Protein Complex → Drug (free)

- → Liver
- → Lung.
- → Kidney
- → Heart.
- → Brain.

Factors

① Blood flow → Greater in Kidney, Brain

→ Lower → Skeletal muscle

→ Joint.

① Blood flow ↑ distribution ↑ (Drug) → Example → (Anesthesia)
↓
Location

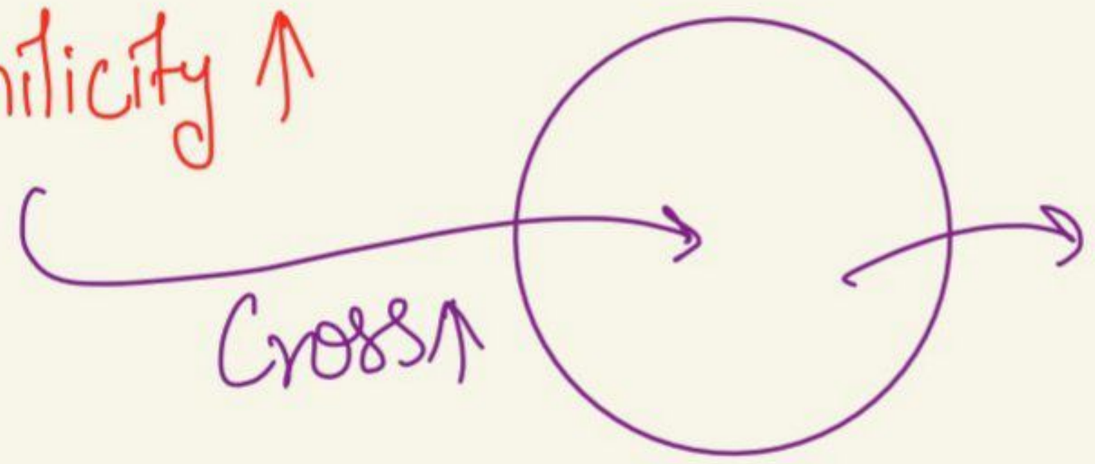
Brain, Liver, kidney.

② Capillary Permiability ⇒

→ depends on → Capillary Struc.
→ Chemical Nature of drug.

Permiability ↑
Drug Cross ↑

③ Lipophilicity ↑



④ Drug Protein Complex. ⇒

↓

Reversible

→ Acidic drug bind with Albumin.
→ Basic drug bind with α-Acid Glycoprotein

Volume of Distribution ⇒

DEPTH OF BIOLOGY

$$Vd = \frac{\text{Amount of drug in body.}}{\text{Plasma Concentration}}$$

Metabolism (Biotransformation)

DEPTH OF BIOLOGY

Conversion of One form of drug → to another form of drug.

⇒ for further Elimination of drug Metabolism is Necessary. (essential step)

Site of Metabolism. ⇒ Liver, kidney, Lung, Skin Gut Mucosa.

DEPTH OF BIOLOGY

Metabolism May Result:

① Inactivation of drug ⇒

Drug (Active) —first pass→ Drug (Inactive)

first pass → oral route.

eg → PCM, Propanolol.

② Active drug → Active Metabolite.

example

Digitoxin → Digoxin

③ Prodrug.

[Inactive drug] → Activation of drug.

eg ⇒ Dopamine

So, we Used Pro-drug Levodopa [cross].

Dopamine → BBB → Dopamine ↓↓ (Parkinson)

(4) Liver Contain Microsomal & Non-Microsomal Enzyme.

found in Microsome of Liver.

☆ Microsomal enzyme need NADPH & Oxygen for it's Normal function.

↓

eg → NADPH Cytochrome P450 reductase.

⇒ Non-Microsomal → Present in Cytoplasm & Mitochondria of Liver Cells.

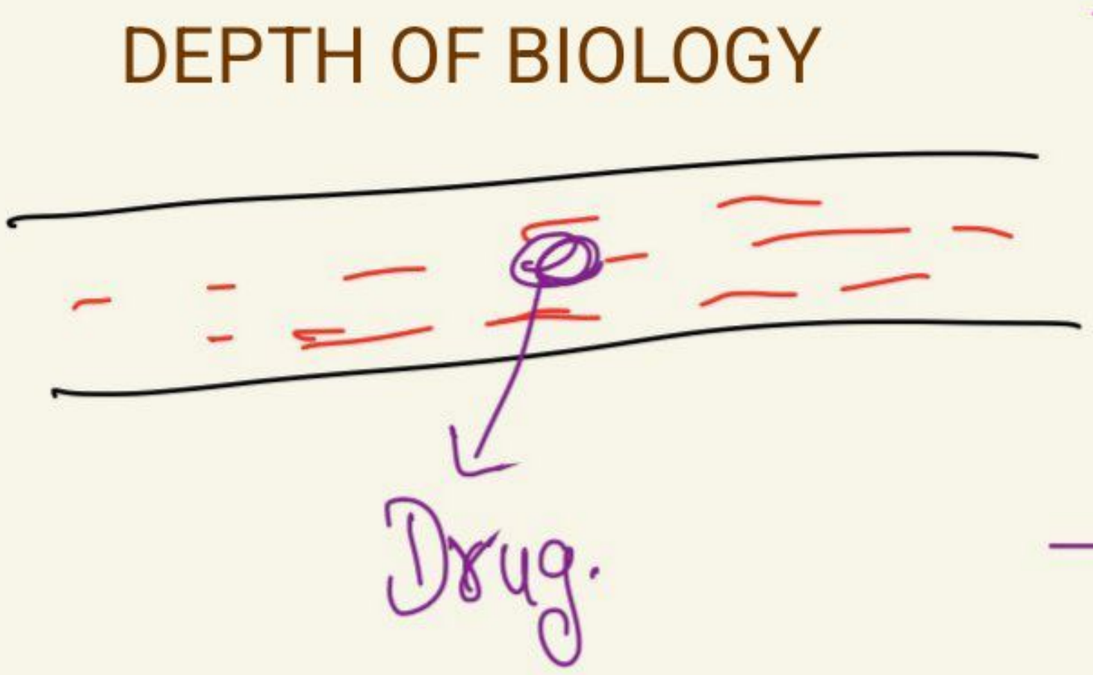
Phase-I Metabolism

Phase-II Metabolism

(4th Sem) Medichem Unit-I

#Excretion

Drug



Removal of Systematically Absorbed drug.

Elimination →

① Kidney → Urine.

② Bile → feaces.

④ Milk. → Lactating women

⑥ Saliva.

③ Lungs → Exhaled air (volatile drug) (Alcohol, $CHCl_3$)

⑤ Sperm → Drug → Highly Lipid soluble

DEPTH OF BIOLOGY

☆ Drug remove from Bile → Ampicilline, Tetracycline.

☆ Drug removed from Saliva → Lithium, Heavy Metal

DEPTH OF BIOLOGY

① Renal Excretion ⇒

② Glomerular filtration.

③ Tubular Reabsorbtion.

④ Tubular secretion.

B.o PHarmacy IInd sem. HAP IInd.

Oral route drug.

→ Tablet. → Disint.
→ Dissol.
→ Transport.

↓

Portal vein → Liver. → Metabolism

Excretion or Elimination.

Nephron

Kidney

Polar drug. (H2O soluble)