pharmacology

By:.

Team of pharmacology

Pharmacology 5th lecture
(Pharmacokinetics 2 : Bioavailability And Distribution)

Lecture's Objectives :

1. **Bioavailability**
   (***Absolute Bioavailability , Relative Bioavailability , Bioequivalence***).

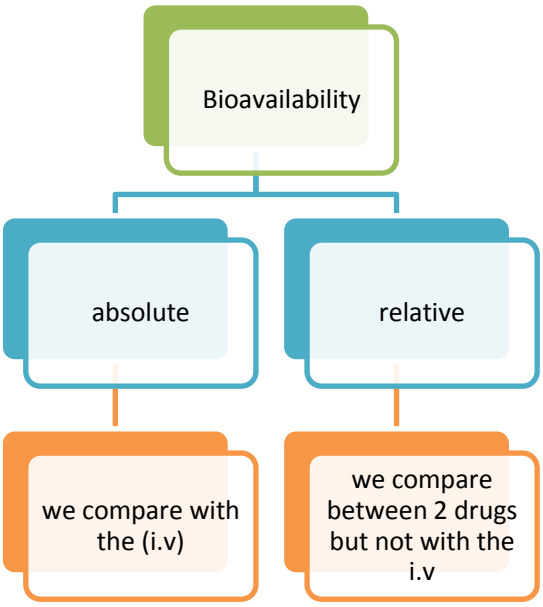
2. **Factors affecting the distribution**
   (***Major body fluid compartments , Concept of compartments , Apparent volume of distribution (Vd) , Plasma proteins binding , Tissue binding , Redistribution***).

Bioavailability :

The concentration of drug that reaches the blood circulation and it is able to make an effect.

I.V. :

100% Bioavailability > taken as standard.



How can we know this kind of drug is the best ?

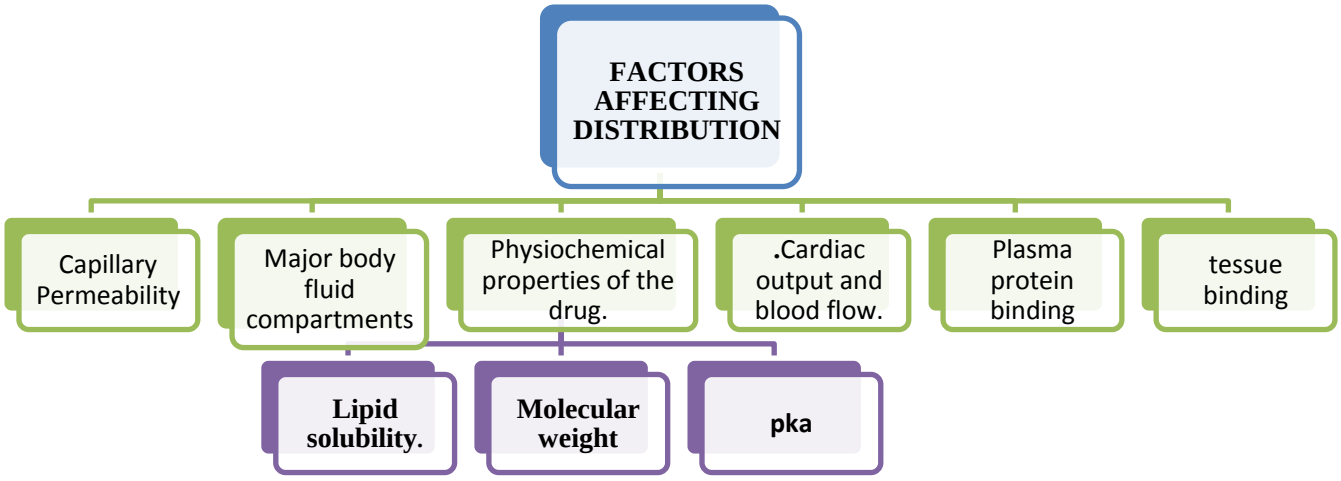
The one with the higher action ⇒ higher bioavailability .

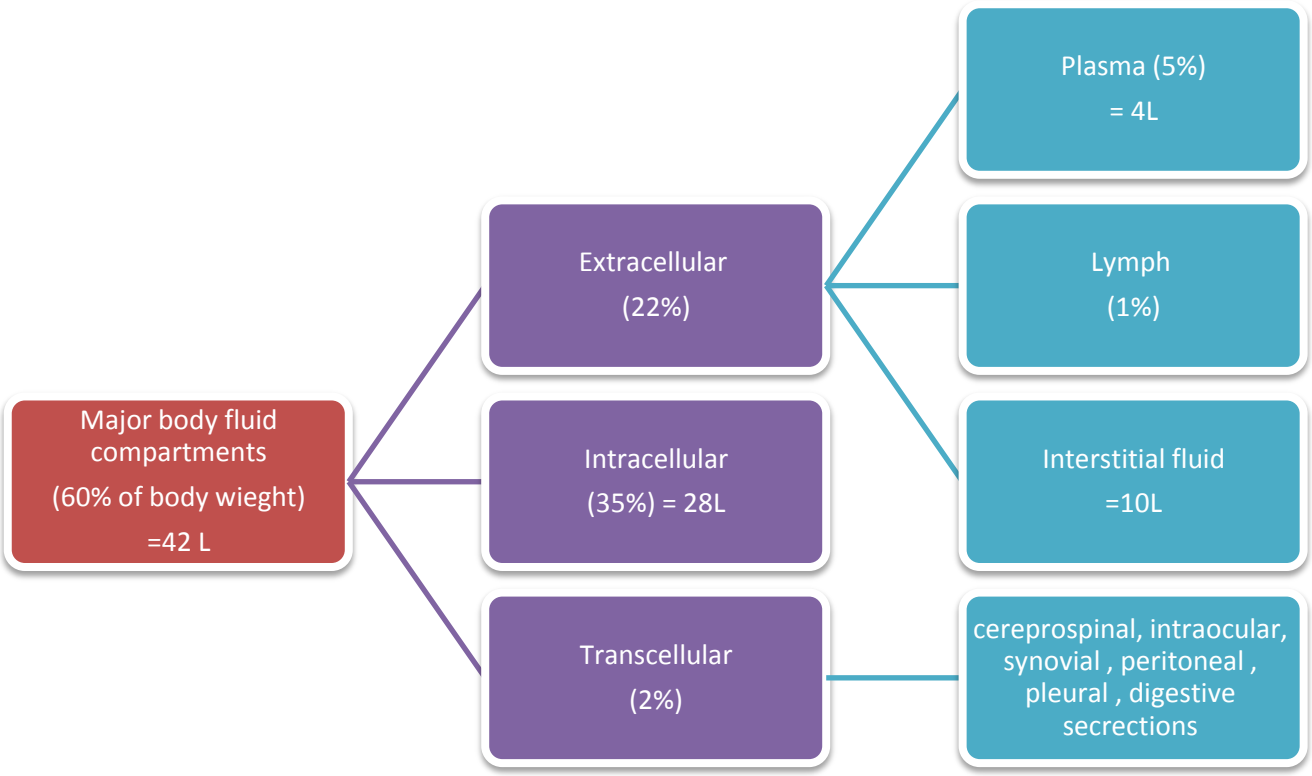
Bioequivalence :

Two different formulations of drugs with the same bioavailability .

Distribution:

the drug will go to the receptor and do its work

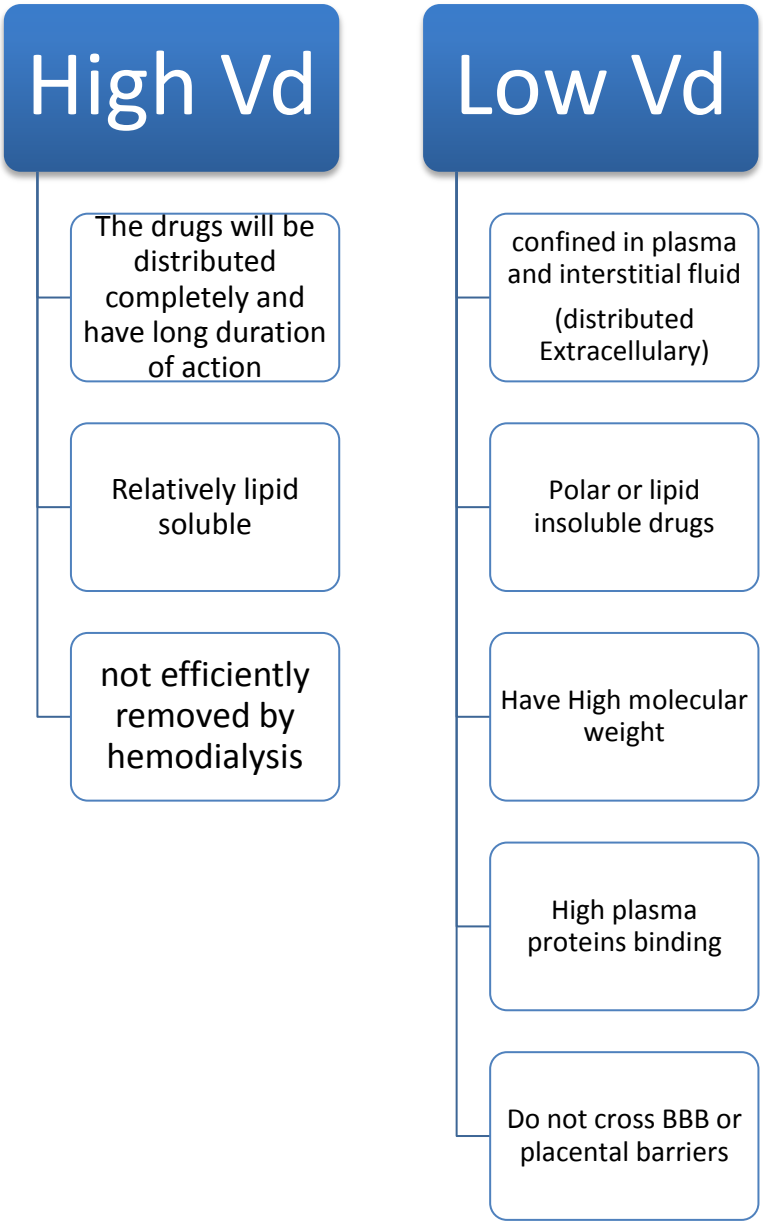




Volume of distribution (Vd) :

$$\text{Vd (L)} = \frac{total\ amount\ of\ drug\ in\ body\ (mg)}{concentration\ in\ blood\ (^{mg}/_{L})}$$

Important to decide the duration of action of drugs



NOTES:

- ✓ The greater blood flow to tissues THE GREATER DISTRIBUTION will occur from plasma to I.C.F.
- ✓ Drugs distribute more rapidly to (Brain , Liver , Kidneys) than (Skeletal muscles , fat)
- ✓ Lipid soluble drugs can cross biological membranes
- ✓ Brain has tight junctions + Blood brain barriers (BBB) + Has low permeability
- ✓ Other tissues have wild slit junctions allowing easy movement and distribution

Blood Brain Barrier (BBB) :

Only lipid soluble drugs can cross through it
(Hydrophilic drugs can not).

Inflammation as in **meningitis** increase permeability to hydrophilic drugs

Placental barrier :

Lipid soluble drugs can cross placental barrier and enter the fetal blood.

Binding of drugs :

- ✓ Drugs can bind to plasma proteins
  Acidic drugs → **albumin**
  Basic drugs → **glycoprotein**
- ✓ Drug + protein = **Drug-protein complex**
- ✓ Drugs exist in two forms (Bound / Unbound) in equilibrium

Displacement:

Two drugs compete at the same binding site on the plasma protein

Bound form	Unbound form
Non-diffusible	Diffusible
Can’t combine with receptors	Can combine with receptors
Not available for elimination (metabolism in liver and excretion in kidneys)	Available for elimination
Has long duration of action (t1/2)	Has short duration of action (t1/2)