

⑧ Plasma & Tissue Protein Binding of drug.

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It is the phenomenon of Complex formation b/w drug & protein called protein Binding of drug.

⇒ As a protein bound drug is Neither Metabolized nor Excreted.

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Drug - Protein (Inbound state)

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→ Inactive

→ Not-Excreted

→ Not Metabolised

Protein Binding

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(i) Intracellular
Binding

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Drug is bound to cell
Protein / Drug Receptor

⇓

& if drug is bind with
drug-receptor then it
will definitely show Therapeutic
action / Pharmacological action.

& this (R) = Receptor Called
Primary Receptor.

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(ii) Extracellular
Binding.

⇓

Drug is bound with
Plasma Protein & form
Drug - Protein Complex.
[DPC]

This drug in complex
form become Inactive
⇒ So, here receptor is
known as Silent receptor
or second receptor.

Protein - Drug
Complex

↗ Reversible .
↘ Irreversible .

⇒ Reversible Binding ⇒ DEPTH OF BIOLOGY

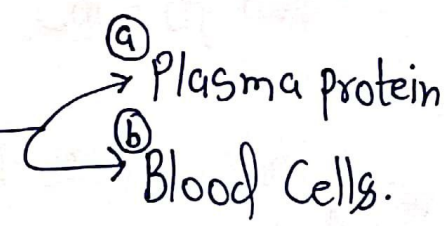
Reversible generally involve weak chemical bond such as ⇒

H-bond, Hydrophobic bonds, Ionic bonds, Vanderwaal's forces.

⇒ Irreversible drug Binding (Rare) arises as a result of Covalent Binding. (Cause Tissue Toxicity / Carcinogenicity).

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⊕ Binding of drug falls into 2 Classes.

1. Binding of drug to blood Component 
 - Ⓐ Plasma protein
 - Ⓑ Blood Cells.
2. Binding of drugs to Extravascular tissue, protein, fats, Bones.

Ⓐ ⇒ Plasma Protein drug Binding ⇒ DEPTH OF BIOLOGY

The binding of drugs to plasma proteins is reversible.

⊕ Order of binding of drug to plasma protein ⇒

Albumin > α₁-Acid Glycoprotein > Lipoprotein > Globulin

⇒ Human Serum Albumin → all type drug.

⇒ α 1-Acid Glycoprotein → Basic drug.

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⇒ Lipoprotein → Basic, Lipophilic drugs.

⇒ α 1-Globulin → Steroids Like Corticosterone, Vit. B₁₂.

⇒ α 2-Globulin → Vitamin-A, D, E, K

⇒ Hemoglobin → Phenytoin.

⑥ Binding of drugs to blood Cells. ⇒

RBC → Comprises of 3 Components each of which can
bind to drug → Haemoglobin, Carbonic
Anhydrase.
Cell Membrane.

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⑦ Tissue Binding of Drug.

Tissue binding drug play a Important Role in drug
distribution.

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⇒ With the Help of Tissue drug binding there will be
(↑) i.e. in Apparent Volume of distribution of drug.

Drug-Protein Complex.



High Molecular Weight./Size



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Drug Unable to distribute in
different body Compartments.



Decrease in the apparent Volume
of distribution.

Tissue - drug



Tissue Having more Volume

because of this the
apparent Volume of
distribution is More in

Case of Tissue-drug
Binding.

⇒ Localization of a drug at a specific site in body.



(Because Tissue-drug Binding)



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Here Covalent bond (strong bond) is formed in b/w Tissue &
drug (Irreversible)



ex. ⇒ PCM Cause
Hepatotoxicity.

Result into Tissue Toxicity./Carcinogenicity

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