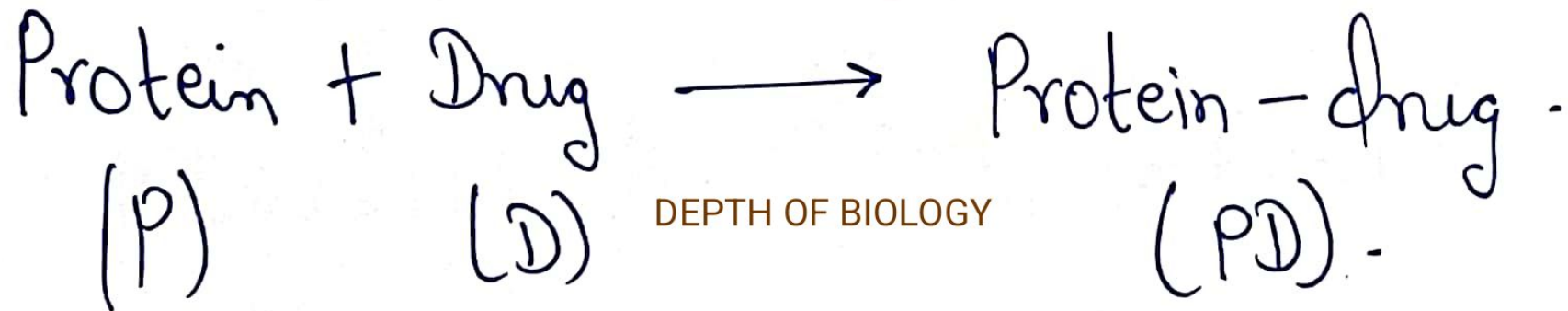


⊕ Kinetics of Protein-drug Binding.

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Reversible binding of Protein & drug →



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Equilibrium Stability Constant $\Rightarrow K = \frac{[PD]}{[P][D]}$

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K = Association Constant.

$[P]$ = Conc. of Unbound Protein

$[PD]$ = Conc. of Protein drug Complex

$[D]$ = Conc. of free drug.

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$$K = \frac{[PD]}{[P][D]} \Rightarrow K[P][D] = [PD] \text{ --- (1)}$$

$$[P_t] = [P] + [PD] \text{ --- (2)}$$

↓
Total amount
of Protein
available for
binding with
drug molecule.

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$$[P] = [P_t] - [PD] \text{ --- (3)}$$

⇓
Substituting the value of $[P]$
in equation (1)

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$$K([P_t] - [PD])[D] = [PD]$$

$$K[D][P_t] - \underline{K[D][PD]} = [PD]$$

$$K[D][P_t] = \underline{[PD]} + \underline{K[D][PD]} \rightarrow \text{Take Common}$$

$$K[D][P_t] = [PD](1 + K[D])$$

$$[PD] = \frac{k[D][Pt]}{(1 + k[D])}$$

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$$\gamma = \frac{[PD]}{[Pt]} = \frac{k[D]}{1 + k[D]} \quad \text{--- (4)}$$

Moles of drug
bound per mole of total
protein.

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Significance of Protein Binding of drugs. $\Rightarrow$

- ① Absorption $\rightarrow$ Protein binding with drug decrease free drug concentration & disturb absorption equilibrium.
- The Conventional dosage form follow 1st Order Kinetics.

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2. Distribution $\Rightarrow$ DEPTH OF BIOLOGY

- When drug is bind with protein then it form Drug-Protein Complex & the size is ($\uparrow$)sed because of this Complex formation (size($\uparrow$)sed) & this Complex not able to Cross BBB, Blood Placental Barrier, the Glomerulus.

3. Metabolism $\rightarrow$ DEPTH OF BIOLOGY

Protein binding decrease the Metabolism of drug &
* Enhances the biological Half Life.

Drug-Protein $\rightarrow$ Not show action
 $\rightarrow$ Not Metabolized.
 $\rightarrow$ Not Eliminate from body. } Because drug is Present in Bound state

4. Elimination $\Rightarrow$ DEPTH OF BIOLOGY

Only the Unbound drug is Capable of being Eliminated

5. Drug Action → Protein binding Inactivate the drugs

because Sufficient Concn. of drug Can not be build up in the receptor site for action. DEPTH OF BIOLOGY

6. Systemic solubility of drug. ⇒

- Protein binding Inactivate the drugs.
- Lipoprotein act as a vehicle for Hydrophobic drugs. like Steroids, Heparin, Oil soluble Vitamin.

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7. Diagnosis → The Chlorine atom of Chloroquine

When replaced with Radiolabelled I-131 Can be Used to

Visualize Melanomas of the eye. Since Chloroquine has

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a tendency to Interact With Melanin of eyes

8. Therapy & Drug Targeting $\Rightarrow$ DEPTH OF BIOLOGY

- Binding of drug with Lipoprotein can also be used for site-

Specific drug delivery of certain drug compound

- This is particularly used for cancer therapy. DEPTH OF BIOLOGY

- With the help of drug targeting tissue toxicity is avoided

& normal cells are also prevented by destruction by drug.

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9. Displacement Interaction & Toxicity $\rightarrow$ P.T.O