3. WEEK

PARTITION COEFFICIENT

WHAT IS PARTITION COEFFICIENT?

- In its simplest form, "Partition Coefficient" is the expression of how the active substance diffuses between the solvent and water.

- Passive absorbed active substances must pass biological membranes before entering the bloodstream.

- Due to the lipoidal structure of the membranes, the rate of passage of the drug depends on the lipophilic nature of the active substance.

- The lipophilic or hydrophilic character of an active substance can be determined by measuring the oil / water partition coefficient of the molecule.

- In the measurement of the partition coefficient, "Octanol" or "Chloroform" is usually used as the oil phase.

- The most commonly used method for determining the partition coefficient is the "Shaking Method".
- At a certain temperature, a certain volume of water and octanol are added to a vessel to add an excess of active substance. It is determined how much active substance is present in the samples taken at certain time intervals.

$$\log K = \log [C_{octanol} / C_{water}]$$

- As the result of the experiment, mathematically mostly small numerical values are obtained, so the partition coefficient is logarithmically expressed.

- Non-ionic states of active substances have a higher partition coefficient, so they are absorptive in vivo even faster than membranes.
- There is also a linear relationship between the absorption rate and the degree of absorption of an active substance and the partition coefficient.

- The main parameter required to estimate the absorption of an active substance in vivo at ambient conditions is the partition coefficient.
- Another area where the partition coefficient has an effective role other than absorption is the solubility properties of the active substances.

❖ The role of the partition coefficient (log K) values on absorption can be expressed numerically as follows.

- The log K value of the active substance is between 1 and 3, indicating that the active substance is absorptively elevated in the in vivo environment.
- If the active substance has a log K value greater than 6, the active substance has poor absorption.

- In this case the following interpretation can be made for the P value with the anti-logarithm being taken:
  - Active ingredients below P value 1 are hydrophilic character,
  - The active substances above the P value of 1 are Lipophilic characters.