

## **MEDICINAL CHEMISTRY-I – BP402T**

UNIT: 1

CLASS: 8

### **TOPIC: PROTEIN BINDING**

Protein binding is directly related to the lipophilicity of the drug.

- An increase in the lipophilicity, increases the extent of binding.
- Eg: the slow absorption of Cloxacillin in comparison to ampicillin after i.m injection is attributed to its higher lipophilicity and larger (95%) binding to proteins while the latter is less lipophilic and just 20% bound to proteins.
- Highly lipophilic drugs such as Thiopental tend to localize in tissues (adipose).
- Anionic or acidic drugs such as penicillins and sulfonamides bind more to HAS whereas cationic or basic drugs such as Imipramine and Alprenolol bind to AAG.
- Neutral, unionized drugs bind more to lipoproteins.

#### **Stereo selectivity of drugs:**

Stereo selectivity in protein binding of enantiomeric drugs has also an influence on elimination.

Eg: Acidic drugs such as Etodolac, Flurbiprofen, Ibuprofen, Moxalactam, Pentobarbital, Phenprocoumon and Warfarin and for basic drugs such as Chloroquine, Disopyramide, Methadone, Propanolol, Mexilitine and Verampamil show stereo selective binding.