

BIOPHARMACUTICS AND PHARMACOKINETICS

PLASMA PROTEIN BINDING

PLASMA PROTEINS

If blood is centrifuge at the bottom of test tube solid bodies are collected and supernant liquid collected is plasma which contain 7% of protein to which various drug can bind.

ed = solid bodies (cells) 45% + plasma 55% { Water (92%) protein (7%)}

BINDING OF DRUG TO PLASMA PROTEIN

- The complex formation of drug with protein is called as protein binding of drug. Drug – protein binding is a reversible process.
- The extent of binding of drugs to various plasma proteins.
Albumin > α 1-Acid glycoprotein > Lipoproteins > Globulins.
- Such bound drug is both **pharmacokinetically** as well as **pharmacodynamically inert** i.e. a protein bound drug is neither metabolized nor excreted nor it is pharmacologically active.
- A bound drug is also restricted since it remains confined to a particular tissue for which it has greater affinity. Moreover, such bound drug because of its enormous size cannot undergo membrane transport & thus its half life is increased.
- However this binding is rapidly reversible and non-specific – that is many drugs may bind to the same protein. Drug–plasma protein binding forms a “**reservoir**” of drug, but only the free (unbound) drug is available to the tissues to exert a therapeutic effect.
- Binding of drug generally is reversible process (hydrogen bond , hydrophobic bond , ionic bond , van der waal's forces). Irreversible drug binding though rare (covalent binding) is often a reason for carcinogenicity or tissue toxicity of drug ;for example covalent binding of paracetamol metabolities to liver results in hepatotoxicity .
- Binding of drugs falls into 2 classes:
 1. Binding of drugs to blood components like-
 - a) Plasma proteins
 - b) Blood cells
 2. Binding of drugs to extravascular tissue proteins, fats, bones ,etc

BINDING OF DRUG TO HUMAN SERUM ALBUMIN (HSA)

The human albumin (HSA), having a molecular weight of 65,000, Is the most abundant plasma protein (60% of total plasma) with large binding capacity. Both endogenous compounds such as fatty acids, bilirubin and tryptophan as well as drugs bind to HSA. A large variety of drugs ranging from weak acids, neutral compounds to weak bases bind to HSA. Four different sites on HAS have been identified for drug – binding.

Site-I Warfarin and Azapropazone binding site.

Several NSAIDs, sulfonamides, phenytoin, Bilirubin

Site –II Benzodiazepine & Diazepam binding site

All benzodiazepines , cloxacillin, Ibuprofen, ketoprofen, Fatty acids, Tryptophan

Pharmacy Manual For Biopharmaceutics

Torald Hermann Sollmann



Pharmacy Manual For Biopharmaceutics:

Reviewing **Pharmacy Manual For Biopharmacutics**: Unlocking the Spellbinding Force of Linguistics

In a fast-paced world fueled by information and interconnectivity, the spellbinding force of linguistics has acquired newfound prominence. Its capacity to evoke emotions, stimulate contemplation, and stimulate metamorphosis is really astonishing. Within the pages of "**Pharmacy Manual For Biopharmacutics**," an enthralling opus penned by a very acclaimed wordsmith, readers attempt an immersive expedition to unravel the intricate significance of language and its indelible imprint on our lives. Throughout this assessment, we shall delve in to the book is central motifs, appraise its distinctive narrative style, and gauge its overarching influence on the minds of its readers.

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