**Clinical Pharmacology and Drug Development** Properties of drugs, Drug dosage forms, **Formulation** development and Manufacture of drugs

Module 2 Topic 2

### **Physical properties of Drugs**

- Solubility and permeability
  - Solubility of a drug is the first requirement for drug absorption in (G-I) mucosa
  - Poor permeability means poor absorption of a drug in G-I tract and poor distribution across body tissues
- Physical state

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Order of absorption of a drug based on its physical state from fast to slow is as follows – solution → emulsion → suspension → capsules → tablets → enteric coated tablets → modified release tablets/capsules

## **Physical properties of Drugs (contd)**

- Polarity
  - 'polar' (hydrophilic) state to be soluble in water
  - to get across a cell membrane, a drug should be 'non Polar' (lipophilic)
- Particle size
  - Smaller particle size means higher dissolution and faster absorption in G-I tract



## **Chemical properties of Drugs**

- Partition coefficient
  - Low lipid solubility in G-I mucous membrane leads to poor absorption of the drug

#### Isomerism

- Many drugs are optically active , different actions based on the spatial arrangement of the molecule
- <u>Diastereomers</u> Dextrorotatory isomer Dextorphan is an antitussive providing relief from cough while Laevorotatory isomer Levorphanol is an analgesic
- <u>Enantiomers</u> R Naproxen is inactive while S Naproxen is an NSAID



## **Chemical properties of Drugs (contd)**

#### Ionization

- Ionized drug has higher water solubility a requirement for administration or distribution of drug in the body but low lipid solubility
- Non-ionized drug has low polarity, high lipid solubility and high permeability

#### • pH

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- Chemically, most drugs are weak acids or weak bases
- An acidic drug dissolves in a basic medium and a basic drug dissolves in an acidic medium
- pH of different body fluids is as follows: plasma 7.35 to
  7.45, stomach 1 to 3, small intestine 7.5 to 8

## **Drug Formulations**

### **Drug Formulations**

Form designed for the convenience of administration

- Oral Preparations Tablet, Capsule, Liquids
- Injectable (Parenteral) Preparations
- Local preparations
  - Topical Skin Preparations Cream/ Ointment/ Lotion
  - Eye Drops
  - Ear Drops
  - Nasal Drops
- Miscellaneous Preparations Suppositories & Pessaries



# Manufacture of Drugs

#### Pharmaceutical ingredients e.g.

- Binders
- Fillers
- Flavouring and bulking agents
- Preservatives and antioxidants
- Colouring

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- Diluting agents
- Emulsifiers and suspending agents
- Ointment bases
- Pharmaceutical solvents and excipients etc.

are mixed with active drug substances, providing the desired physical and pharmacological properties in the dosage form

## Manufacture of Drugs - orals



