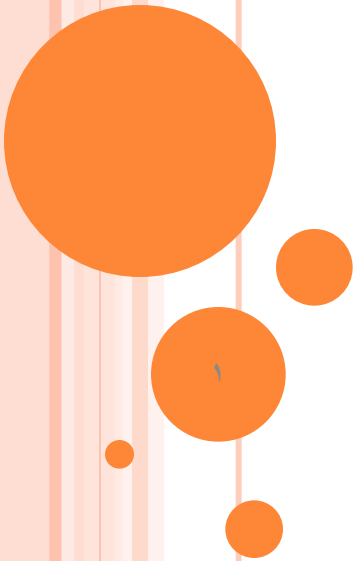
Pharmaceutics I

صيدلانيات ١

Unit 1

Introduction



Pharmaceutics

- Pharmaceutics is the **science of dosage form design.**

- The general area of study concerned with the **formulation**, **manufacture**, **stability,** and **effectiveness** of pharmaceutical dosage forms is termed pharmaceutics.

- The proper design and formulation of a dosage form requires **consideration** of the physical, chemical, and biologic characteristics of all of the **drug** substances and **pharmaceutical ingredients** to be used in fabricating the product.

Pharmaceutics

- There are many chemicals with known pharmacological properties but **a raw chemical** is of **no use** to a patient.

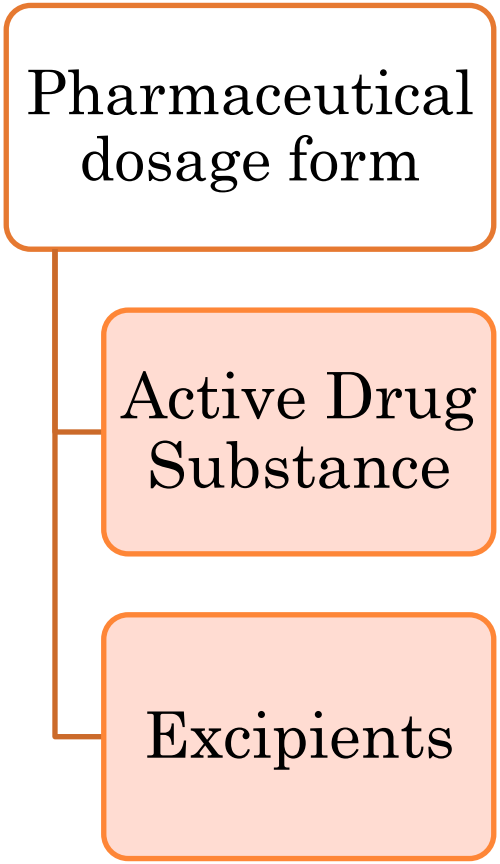
- Pharmaceutics deals with the formulation of a **pure drug** substance **into** a **dosage form.**

Pharmaceutical dosage form

- determines the physical form of the final pharmaceutical preparation.

- is a drug delivery system which is formed by technological processing (drug formulation).

- must reflect therapeutic intentions, route of administrations, dosing etc.


Pharmaceutical dosage form
Active Drug Substance
Excipients

Active Drug Substance

- Active pharmaceutical ingredient - API.
- Chemical compound with pharmacological (or other direct effect) intended for use in diagnosis, treatment or prophylaxis of diseases.

DIRECT CLINICAL USE OF THE ACTIVE DRUG SUBSTANCES „AS THEY ARE“ IS RARE DUE TO A NUMBER OF GOOD REASONS:

- API handling can be difficult or impossible (e.g., low mg and µg doses).
- Accurate drug dosing can be difficult or impossible.
- API administration can be impractical, unfeasible or not according to the therapeutic aims.
- Some API can benefit from reducing the exposure to the environmental factors (light, moisture…), or they need to be chemically stabilised due to the inherent chemical instability

- API can be degraded at the site of administration (e.g., low pH in stomach)
- API may cause local irritations or injury when they are present at high concentrations at the site of administration
- API can have unpleasant organoleptic qualities (taste, smell – compliance!)
- Administration of active substance would mean to have no chance for modification (improvement) of its PK profile

The Need for Dosage Forms

- Mechanism for safe and convenient delivery of accurate dosage
- Protection of drug from atmosphere (coated tablets)
- Protection of drug from gastric acid (Enteric Coated tablets)
- Conceal bitter, salty, or offensive taste or odor (Capsules, coated tablets, flavored syrups).
- Provide liquid preparations of insoluble drugs (suspension).
- Provide clear liquid dosage forms (solutions)
- Provide rate-controlled drug action
- Provide topical drug action (ointments, creams, patches, ophthalmic, otic, nasal)
- Provide for insertion into body cavity (rectal and vaginal suppositories)
- Provide for placement into bloodstream
- Provide for inhalation therapy
- In addition, many dosage forms permit ease of drug identification through distinctiveness of color, shape, or identifying markings

Excipients

- Inactive pharmaceutical ingredients.
- Its selection depends on technological, biopharmaceutical and/or stability reasons.

- Coloring agents
- Sweetening agents
- Flavoring agents
- Surfactants
- Solubilizing agents
- Antioxidants
- Preservatives
- Thickening agents
- Suspending agents
- Binding agents
- Solvents
- Lubricants
- Perfumes
- Fats and oils

Pharmaceutical preparation (PP)

- particular pharmaceutical product containing active and inactive pharmaceutical ingredients formulated into the particular dosage form.

- Two major types of PP according the origin:
  - **Manufactured** in large scales by pharmaceutical industry (original and generic preparations).

  - **Compounded** individually in compounding pharmacies (extemporaneous compounding)

Classification of pharmaceutical dosage forms according to physical properties

- Gaseous dosage forms
- Liquid dosage forms
- Semisolid dosage forms
- Solid dosage forms

Gases

- Medicinal gases:
- inhalation/volatile anaesthetics (vaporised before administration by inhalation)

- Aerodispersions
- of solid particles:(e.g., antiasthmatic inhalation
- or liquid particles (antiasthmatic inhalations or sprays)

Liquids

- Solutions
- Suspensions
- Emulsions

- Solutions
- one homogenous phase, prepared by dissolving one or more solutes in a solvent

- **Types of solutions:**

Syrup:

- It is a concentrated aqueous solution of a sugar, usually sucrose.
- Flavored syrups are a convenient form of masking disagreeable tastes.

- **Linctuses:**
- are viscous, liquid oral preparations that are usually prescribed for the relief of cough.
- They usually contain a high proportion of syrup and glycerol which have a **demulcent effect** on the membranes of **the throat**.

Types of solutions….

- **AROMATIC WATERS:**

  Aromatic waters are clear, aqueous solutions saturated with volatile oils or other aromatic or volatile substances.

- **SPIRITS:**

  Spirits are alcoholic or hydroalcoholic solutions of volatile substances.

- **Elixir:**

  Elixirs are clear, sweetened hydroalcoholic solutions intended for **oral use** and are usually flavored to enhance their palatability(taste).

- **Tinctures:**

  Alcoholic solutions **for topical** application.

- **VAGINAL DOUCHES.**
- **ENEMAS**

Types of solutions….

Gargles:

- They are aqueous solutions used in the prevention or treatment of **throat infections**.

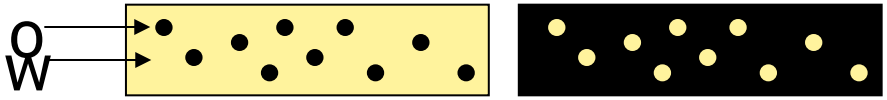
Mouthwashes:

- These are similar to gargles but are used for oral hygiene and to **treat infections of the mouth**.

- COLLODION

liquid preparations of nitrocellulose in a mixture of ether and ethanol used as a **topical protectant**, applied to the skin to lose small wounds, abrasions, and cuts, to hold surgical dressings in place, and to keep medications in contact with the skin.

- Emulsions
- a dispersion system consisting of two **immiscible liquids**
- o/w or w/o
- **cloudy** appearance



Suspensions:

- A dispersion system where **solid particles (dispersed phase)** are dispersed in **liquid phase (dispersion medium).**
- According to the **size of dispersed particles** (1 nm- 0,5 mm) a molecular, colloidal and coarse dispersions can be distinguished.
- May require **shaking before administration.**

Lotions:

- These are **suspensions** (aqueous) for **external** application without friction.

Semisolid dosage forms

1- Unshaped (without specific physical shape)

- **Gels:**
- A semisolid systems in which a liquid phase is constrained within a 3D cross-linked matrix.

- **Creams:**
- semisolid emulsion systems (o/w, w/o) containing more than 10% of water.
  - o/w creams - more comfortable and cosmetically acceptable as they are less greasy and more easily water washable.

  - w/o creams – accommodate and release better lipophilic API, moisturizing, Cold creams.

Semisolid dosage forms

1- Unshaped (without specific physical shape)

- **Ointments:**
- semisolid dosage forms with the oleaginous (hydrocarbon), water-soluble or emulsifying base

  - Oleaginous (hydrocabon) base: Petrolatum (Vaseline – white, yellow).

  - Water-soluble base: Polyethylenglycol (PEG)- ointment.

- **Pastes:**
- semisolid dispersion system, where a solid particles (> 25%, e.g. ZnO) are dispersed in ointments – mostly oleaginous (Petrolatum)

Semisolid dosage forms

2- Shaped

- Suppositories (for rectal administration)
  - different shapes.
  - Melting/dissolving at body temperature.
  - Oleaginous (cacao butter) or aqueous (PEGs, glycerinated gelatin).

- Pessaries (vaginal suppositories)
  - Similar as above, PEGs or glycerinated gelatin are often used as base.

Solid Dosage Forms



- Unshaped (without specific shape)
  - powders for external/internal use.
- Shaped
  - Tablets
  - Capsules
  - Implants (Sterile disks inserted surgically into body tissues and designed to release drug(s) over extended period of time)
  - Transdermal patches
  - Lozenges (consists of sugar and gum to medicate the mouth and throate)

Classification of pharmaceutical dosage forms according to the route of administration

- <u>for systemic administration</u>
  - Peroral (p.o)
  - Sublingual (S.L) and buccal.
  - Rectal
  - Parenteral
  - Transdermal
  - Inhalation

- for local administration
  - Topical (on the skin or mucosa)

    Into/onto - the eye, nose, ear

    - the oral cavity

    - the vagina, rectum

    - the skin
  - Oral (local effect within GIT; antacids, adsorbents)

Generations of dosage forms

- 1st gen. – conventional (unmodified) release of API
- 2nd gen. – controlled release of API (CR)
- 3rd gen. – targeted distribution drug delivery systems