

# **PHARMACEUTICS II**

## **INTRODUCTION**

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# PHARMACEUTICS II

## TOPICS

- **Introduction.**
- **Powder.**
- **Granules & Granulation.**
- **Tablets.**
- **Capsules.**
- **Solid Oral Modified-Release Dosage Forms and Drug Delivery Systems.**

☐ **Reference: Ansel's Pharmaceutical Dosage Forms and Drug Delivery Systems,  
Tenth Edition**

# INTRODUCTION

- **Pharmaceutics** is the science of dosage form design.
  - Pharmaceutics deals with the formulation of a pure drug substance into a dosage form.
  - **Dosage form:** is a physical form of a pharmaceutical formulation containing the drug (active ingredients) and some inactive (excipients) to make that particular physical form.
- Pharmaceutical dosage form consists of :
- ⇒ Active Drug Substance
  - ⇒ Excipients

## **The need for dosage forms:**

- 1- Accurate dose.
- 2- Protection e.g. coated tablets, sealed ampoules.
- 3- Protection from gastric juice.
- 4- Masking taste and odor.
- 5- Placement of drugs directly in the bloodstream or within body tissues.
- 6- Controlled release medication.
- 7- To provide for optimal drug action through inhalation therapy..
- 8- Insertion of drugs into body cavities (rectal, vaginal)
- 9- Use of desired vehicle for insoluble drugs.

# Classification of Dosage Forms

They are classified according to:

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**Route of administration**

Oral  
Topical  
Rectal  
Parenteral  
Vaginal  
Inhaled  
Ophthalmic  
Otic

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**Physical form**

Solid  
Semisolid  
liquid

# Oral Dosage Forms



## 1-Tablet:

- Tablets are solid dosage forms usually prepared with the aid of suitable pharmaceutical excipients.
- Tablets are solid dosage forms for oral medication made by compaction of suitably prepared medicament (granules) by tableting machines. They are available in various shapes and sizes, in coated or uncoated form.

## 1-Tablet (Cont.)

A coating may be applied to:

- 1- Hide the taste of the tablet's components.
- 2- Make the tablet smoother and easier to swallow .
- 3- Make it more resistant to the environment.
- 4- Extending its shelf life.



## **2-Buccal and sublingual tablet:**

- Sublingual and buccal medications are administered by placing them in the mouth, either under the tongue (sublingual) or between the gum and the cheek (buccal).
- The medications dissolve rapidly and are absorbed through the mucous membranes of the mouth, where they enter into the bloodstream.
- Avoid the acid and enzymatic environment of the stomach and the drug metabolizing enzymes of the liver.
- Examples of drugs administered by this route: e.g. vasodilators, steroidal hormones.





### 3-Effervescent tablet:

Effervescent tablets are uncoated tablets that generally contain acid substances (citric and tartaric acids) and carbonates or bicarbonates and which react rapidly in the presence of water by releasing carbon dioxide.

-They are intended to be dissolved or dispersed in water before use providing:

A- Very rapid tablet dispersion and dissolution.

B- pleasant tasting carbonated drink.



#### 4- Chewable tablet:

- They are tablets that chewed prior to swallowing.
- They are designed for administration to children e.g. vitamin products.

#### 5- Capsule:

- A capsule is a medication in a gelatin container.
- Advantage: mask the unpleasant taste of its contents.

➤ The two main types of capsules are:

1- **Hard-shelled capsules:** which are normally used for dry, powdered ingredients,

2- **Soft-shelled capsules:** primarily used for oils and for active ingredients that are dissolved or suspended in oil.



## 6- Lozenge:

- It is a solid preparation consisting of sugar and gum, the latter giving strength and cohesiveness to the lozenge and facilitating slow release of the medicament.
- It is used to medicate the mouth and throat for the slow administration of indigestion or cough remedies.



## 8- Dental Cones:

- A tablet form intended to be placed in the empty socket following a tooth extraction, for preventing the local multiplication of pathogenic bacteria associated with tooth extractions.
- The cones may contain an antibiotic or antiseptic.



Innocoll Dental Cone

## 9-Granules:

- They are consisting of solid, dry aggregates of powder particles often supplied in single-dose sachets.
- Some granules are placed on the tongue and swallowed with water, others are intended to be dissolved in water before taking.
- Effervescent granules evolve carbon dioxide when added to water.

## **10- Powder (Oral):**

There are two kinds of powder intended for internal use:

**1-Bulk Powders:** are multidose preparations consisting of solid, loose, dry particles of varying degrees of fineness.

- They contain one or more active ingredients, with or without excipients and, if necessary, coloring matter and flavoring substances.
- Usually contain non-potent medicaments such as antacids since the patient measures a dose by volume using a 5ml medicine spoon. The powder is then usually dispersed in water or, in the case of effervescent powders, dissolved before taking.

**2-Divided Powders:** are single-dose presentations of powder ( for example, a small sachet) that are intended to be issued to the patient as such, to be taken in or with water.

### **11- Powders for mixtures:**

- The mixed powders may be stored in dry form and mixture prepared by the pharmacist when required for dispensing , by suspending the powders in the appropriate vehicle.

# Liquid Preparations

## ➤ **Oral solution:**

Oral solutions are clear Liquid preparations for oral use containing one or more active ingredients dissolved in a suitable vehicle.

## ➤ **Oral emulsion:**

Oral emulsions are stabilized oil-in-water dispersions, either or both phases of which may contain dissolved solids.

## ➤ **Oral suspension:**

- Oral suspensions are Liquid preparations for oral use containing one or more active ingredients suspended in a suitable vehicle.

- Oral suspensions may show a sediment which is readily dispersed on shaking to give a uniform suspension which remains sufficiently stable to enable the correct dose to be delivered.



## ➤ **Syrup:**

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

## ➤ **Elixir:**

- Elixirs are clear sweetened, hydroalcoholic preparations intended for oral use and usually flavored for palatability.
- Alcoholic content vary from 10% to 12% and up to 40%.

## **Linctuses:**

- 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.
- The dose volume is small (5ml) and, to prolong the demulcent action, they should be taken undiluted.

## **➤ Oral drops:**

- Oral drops are Liquid preparations for oral use that are intended to be administered in small volumes with the aid of a suitable measuring device.
- They may be solutions, suspensions or emulsions.

## ➤ **Gargles:**

- They are aqueous solutions used in the prevention or treatment of throat infections.
- Usually they are prepared in a concentrated solution with directions for the patient to dilute with warm water before use.

## ➤ **Mouthwashes:**

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

# Topical Dosage Forms

## ➤ Ointments:

- Ointments are semi-solid, greasy preparations for application to the skin, rectum or nasal mucosa.
- The base is usually anhydrous and immiscible with skin secretions.
- Ointments may be used as emollients or to apply suspended or dissolved medicaments to the skin.

## ➤ Creams:

- Creams are semi-solid emulsions, that is mixtures of oil and water.

### They are divided into two types:

**A- oil-in-water (O/W) creams:** which are composed of small droplets of oil dispersed in a continuous aqueous phase.

- Oil-in-water creams are more comfortable and cosmetically acceptable as they are less greasy and more easily washed off using water.

**B- water-in-oil (W/O) creams:** which are composed of small droplets of water dispersed in a continuous oily phase.

- Water-in-oil creams are more moisturising as they provide an oily barrier which reduces water loss from the stratum corneum, the outermost layer of the skin.

➤ **Gels:**

- Gels are semisolid system in which a liquid phase is constrained within a 3-D polymeric matrix (consisting of natural or synthetic gum) having a high degree of physical or chemical cross-linking.

## ➤ Pastes :

- Pastes are basically ointments into which a high percentage of insoluble solid has been added.
- The extraordinary amount of particulate matter stiffens the system.
- Pastes make particularly good protective barrier when placed on the skin, the solid they contain can absorb and thereby neutralize certain noxious chemicals before they ever reach the skin.
- Pastes are less greasy because of the absorption of the fluid hydrocarbon fraction to the particulates.
  - There are two types of paste:
    - a) Fatty pastes: (e.g: leaser's paste) .
    - b) Non greasy pastes: (e g: - bassorin paste).

## ➤ **Dusting powders:**

- These are free flowing very fine powders for external use.
- Not for use on open wounds unless the powders are sterilized.

## ➤ **Transdermal patch:**

- A transdermal patch or skin patch is a medicated adhesive patch that is placed on the skin to deliver a specific dose of medication through the skin and into the bloodstream.
- An advantage of a transdermal drug delivery route over other types such as oral, topical, etc is that it provides a controlled release of the medicament into the patient.
- The first commercially available patch was scopolamine for motion sickness.





## ➤ **Lotions:**

- These are fluid preparations (aqueous) for external application without friction.
- It is a low-viscosity topical preparation intended for application to the skin

## ➤ **Collodion:**

- Collodion is a solution of nitrocellulose in ether or acetone, sometimes with the addition of alcohols.
- Its generic name is pyroxylin solution.
- It is highly flammable.
- As the solvent evaporates, it dries to a celluloid-like film.
- Compound Wart Remover consists of acetic acid and salicylic acid in an acetone collodion base used in Treatment of warts by keratolysis.

➤ **Pressurized dispensers (aerosol sprays):**

- Several different types of pharmaceutical product may be packaged in pressurized dispensers, known as aerosols.
- May be used as surface disinfectants, wound or burn dressing, relieve irritation of bites.
- Spray-on dusting powders are also available from pressurized containers.

# Rectal Dosage Forms

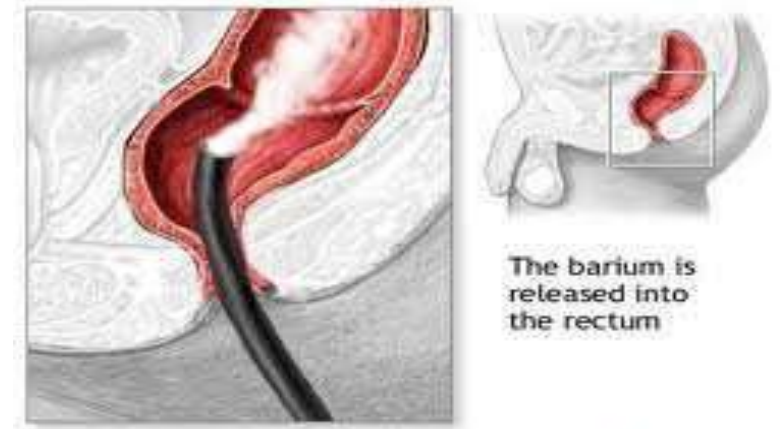
## ➤ **Suppository:**

It is a small solid medicated mass, usually cone-shaped, that is inserted either into the rectum (rectal suppository), vagina (vaginal suppository or pessaries) where it melts at body temperature .



## ➤ Enema:

- An enema is the procedure of introducing liquids into the rectum and colon via the anus.



ADAM

## Types of enema:

1- **Evacuant enema:** used as a bowel stimulant to treat constipation. E.g. soft soap enema & Mgso4 enema

- The volume of evacuant enemas may reach up to 2 liters.

## 2- Retention enema:

- Their volume does not exceed 100 ml.

### May exert:

A- **Local effect:** e.g. a barium enema is used as a contrast substance in the radiological imaging of the bowel.

B- **Systemic effect:** e.g. the administration of substances into the bloodstream. This may be done in situations where it is impossible to deliver a medication by mouth, such as antiemetics.

e.g. nutrient enema which contains carbohydrates, vitamins & minerals.

# Vaginal Dosage Forms

## ➤ Pessary:

- Pessaries are solid medicated preparations designed for insertion into the vagina where they melt or dissolve.

### There are three types:

A- **Moulded pessaries:** they are cone shaped and prepared in a similar way to moulded suppositories.

B- **Compressed pessaries:** made in a variety of shapes and are prepared by compression in a similar manner to oral tablets.

C- **Vaginal capsules:** are similar to soft gelatin oral Capsules differing only in size and shape.

➤ **Vaginal ring:**

- Vaginal rings are 'doughnut-shaped' polymeric drug delivery devices designed to provide controlled release of drugs to the vagina over extended periods of time.

Several vaginal ring products are currently available, including:

NuvaRing: a low-dose contraceptive vaginal ring, releasing progesterone and estrogen.



## ➤ **Intrauterine device:**

- It is a birth control device placed in the uterus, also known as an IUD or a coil.
- The IUD is the world's most widely used method of reversible birth control.
- The device has to be fitted inside or removed from the uterus by a doctor .
- It remains in place the entire time pregnancy is not desired. Depending on the type, a single IUD is approved for 5 to 10 years use.
- There are two broad categories of intrauterine contraceptive devices:
  - A- inert and copper-based devices.
  - B- hormonally-based devices that work by releasing a progesterone.



# Parenteral dosage forms

▪ An injection is an infusion method of putting liquid into the body, usually with a hollow needle and a syringe which is pierced through the skin to a sufficient depth or the material to be forced into the body.

➤ **There are several methods of injection, including:**

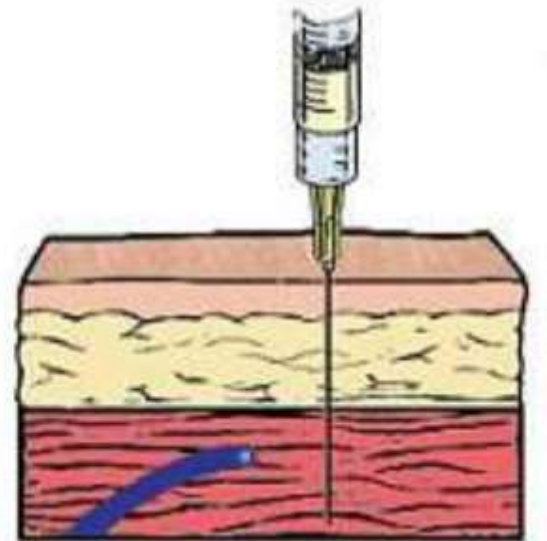
## **1-An intravenous injection:**

- It is a liquid administered directly into the bloodstream via a vein.
- It is advantageous when a rapid onset of action is needed.



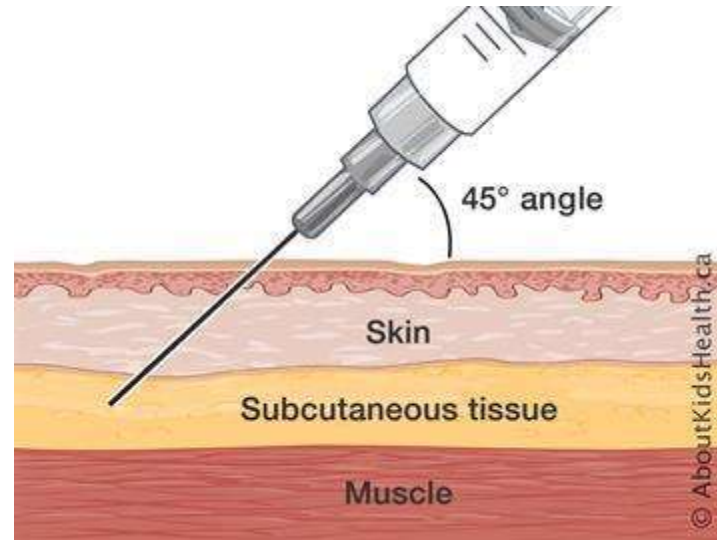
## 2- Intramuscular injection:

- It is the injection of a substance directly into a muscle.
- Depending on the chemical properties of the drug, the medication may either be absorbed fairly quickly or more gradually.



### 3- Subcutaneous injection:

- Subcutaneous injections are given by injecting a fluid into the Subcutaneous, the layer of skin directly below the dermis and epidermis.
- Subcutaneous injections are highly effective in administering vaccines and such medications as insulin.



# Ophthalmic Dosage Forms:

## ➤ Eye drops:

- Eye drops are saline-containing drops used as a vehicle to administer medication in the eye.
- Depending on the condition being treated, they may contain steroids, antihistamines or topical anesthetics.
- Eye drops sometimes do not have medications in them and are only lubricating and tear-replacing solutions.



## ➤ Ophthalmic ointment & gel:

- These are sterile semi-solid Preparations intended for application to the conjunctiva or eyelid margin.



# Otic Dosage Forms

## ➤ Ear drops:

- Ear drops are solutions, suspensions or emulsions of drugs that are instilled into the ear with a dropper.
- It is used to treat or prevent ear infections, especially infections of the outer ear and ear canal.



# Nasal Dosage Forms

## ➤ Nasal Drops and Sprays:

- Drugs in solution may be instilled into the nose from a dropper or from a plastic squeeze bottle.
- The drug may have a local effect, e.g. antihistamine.

Or the drug may be absorbed through the nasal mucosa to exert a systemic effect.

- The use of oily nasal drops should be avoided because of possible damage to the cilia of the nasal mucosa.



# Inhaled Dosage Forms



## ➤ Inhaler :

- Inhalers are solutions, suspensions or emulsion of drugs in a mixture of inert propellants held under pressure in an aerosol dispenser.
- Release of a dose of the medicament in the form of droplets of 50  $\mu\text{m}$  diameter or less from the container through a spring-loaded valve incorporating a metering device. The patient then inhales the released drug through a mouthpiece.
- In some types, the valve is actuated by finger pressure, in other types the valve is actuated by the patient breathing in through the mouthpiece.
- It is commonly used to treat asthma and other respiratory problems.

## ➤ **Nebulizer or (atomizer):**

- A nebulizer is a device used to administer medication to people in forms of a liquid mist to the airways.
- It is commonly used in treating asthma, and other respiratory diseases.
- It pumps air or oxygen through a liquid medicine to turn it into a vapor, which is then inhaled by the patient.

▪ **Doctors generally prefer to prescribe inhalers for their patients, because:**

1-These are cheaper

2-More portable

3- Carry less risk of side effects.

