This course deals with the different types of medicated powders and granules. Also, it describes different types of tablets, tablet excipients, methods of tablet manufacturing, tablets coating and modified release tablets. Furthermore, it discusses the different kinds of capsules and suppositories.

In addition, the course describes semisolid dosage forms including ointment, creams, pastes, jellies, and poultices.
Learning outcomes:

Upon successful completion of the course, the students will be able to:

- Identify powders, granules and methods of comminution & blending as well as powder and granules dosage forms.
- Classify the different types of tablets, their excipients and tablets manufacture.
- Recognize capsules manufacturing, formulation and filling.
- List different types of semisolid dosage forms including ointment, creams, pastes, jellies, poultinges and suppositories.
- Identify the different types of suppository bases and ointment bases.
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<td>- Powders and Granules</td>
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## Degree distribution

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1- POWDERS AND GRANULES

PHARMACEUTICS III

PPT 343

Department of Pharmaceutics and Pharmaceutical Technology – Taibah University
Supporting References
# Course contents

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I. Introduction to powders and granules

- **Powder** may be used to describe
  - The **physical form** of a material, that is, a dry substance composed of finely divided particles. Or
  - The **type of pharmaceutical preparation**, that is, a medicated powder intended for internal (i.e., oral powder) or external (i.e., topical powder) use.

- **Granules** are **prepared agglomerates of powdered materials**. Granules may be used for
  - medicinal value of their content or
  - pharmaceutical purposes, as in making tablets
II. Comminution of powders

On a small scale, a pharmacist can reduce the particle size of powders by

- **Trituration** is the grinding of powders with a mortar and pestle.

- **Pulverization** is the process in which a volatile solvent is added during trituration of solids to reduce its particle size.

For example **Camphor**, which cannot be pulverized easily by trituration because of its sticky properties; however, on the addition of a small amount of alcohol or other volatile solvent, this compound can be reduced readily to a fine powder because when the solvent is permitted to evaporate a fine powdered material is formed.
II. Comminution of powders

On a small scale,

- **Levigation** is the process in which a non-solvent (the levigating agent) is added to solid material to form a paste, and particle-size reduction then is accomplished by rubbing the paste in a mortar with a pestle or on an ointment slab using a spatula.

On a large scale,

- Various types of mills and pulverizers may be used to reduce particle size.
III. Blending Powders

- When two or more powdered substances are to be combined to form a **uniform mixture**, it is best to **reduce the particle size** of each powder individually before weighing and blending.

- Depending on the **nature of the ingredients, amount of powder, and equipment**, powders may be blended by **spatulation, trituration, sifting, and tumbling**.
III. Blending Powders

A- Spatulation

Spatulation is blending small amounts of powders by movement of a spatula through them on a sheet of paper or an ointment tile.

Because homogeneous blending is not as certain as other methods this method is not suitable for:
- Large quantities of powders or
- Powders containing potent substances.

- Very little compression or compacting of the powder results from spatulation, so it is suited to mixing solid substances that form eutectic mixtures.
III. Blending Powders

- **Eutectic mixtures** are solids when in close and prolonged contact with one another *liquefy*.

- Substances that form eutectic mixtures when combined include *phenol*, *camphor*, *menthol*, *thymol*, *aspirin*, *phenyl salicylate*, and other similar chemicals.

- To diminish contact, a powder prepared from such substances is commonly *mixed in the presence of an inert diluent*, *such as* *light magnesium oxide* or *magnesium carbonate*, to separate physically the troublesome agents.
III. Blending Powders

**B- Trituration**

*Trituration* may be employed both to **comminute** and to **mix** powders.

- If **simple admixture** is desired without special need for comminution, the **glass mortar** is usually preferred.

- When a **small amount of a potent substance** is to be **mixed with a large amount of diluent**, the **geometric dilution method** is used to ensure the uniform distribution of the potent drug.

  Geometric method is especially **indicated** when the potent and other ingredients are the same color and a visible sign of mixing is lacking.
III. Blending Powders

In the *geometric* method,

1. the potent drug is placed on an approximately equal volume of the diluent in a mortar and mixed by trituration.

2. Then, a second portion of diluent equal in volume to the mixture is added, and the trituration repeated

3. This process is continued by adding equal volumes of diluent to the powder mixture and repeating until all of the diluent is incorporated.

Some pharmacists add an *inert colored powder* to the diluent before mixing to permit visual inspection of the mixing process.

Special small-scale and large-scale *motorized powder blenders* are available to mix *powders* (sifting and tumbling).
IV. Medicated powders

Powders are **intimate mixtures** of dry, finely divided drugs and/or chemicals that may be intended for internal or external use.
IV. Medicated powders

1- Bulk Powders

- The mixed ingredients are packed into a suitable bulk container, such as a **wide-mouthed glass jar**.

- The constituents of bulk powders are **usually relatively non-potent and non-toxic medicaments with a large dose**.

- Patients take this powder with a **5 ml spoon and dissolve it in water before use**.

- **Examples**
  - **Antacids** eg Magnesium trisilicate and chalk.
  - **Vaginal douches**
  - **Dietary/ food supplements** are packed in this way.
  - **Dentifrices**, generally containing **a soap or detergent, mild abrasive, and anticariogenic agent**.
Divided powders are dispensed in the form of individual doses. They are dispensed in papers (properly folded), metal foil, small heat-sealed plastic bags, sachet or other containers. Hygroscopic and volatile drugs can be protected best by using a waxed paper, double-wrapped with a bond paper to improve the appearance of the completed powder. Effervescent powders can now be packed in individual dose units because of the protective qualities of laminates.
Effervescent powders contain sodium bicarbonate with a mixture of citric and tartaric acids, which react and effervesce when the patient adds the powder to water to produce a draught.

Effervescent powders should be protected from moisture during manufacture and on subsequent storage to prevent the reaction occurring prematurely.

All powders and granules should be stored in a dry place to prevent deterioration due to ingress of moisture.

Even if hydrolytic decomposition of susceptible ingredients does not occur, the particles will adhere and cake, producing an inelegant, often unusable product.
Dusting powders are normally dispensed in glass or metal containers with a perforated lid.

The powder must flow well from such a container, so that they can be dusted over the affected area.

The active ingredients must therefore be diluted with materials having reasonably good flow properties, e.g. talc or maize starch.

Dusting powders contain ingredients used for therapeutic, prophylactic or lubricant purposes and are intended for external use.
A. Dusting powders for wounds

- **Only sterile** dusting powders should be applied to **open wounds**.
- They should be prepared using materials and methods designed to ensure sterility and to avoid the introduction of contaminants and the growth of microorganisms.
- Dusting Powder contains **an anti-microbial agents** are used for the treatment of **bacterial or fungal infections**, e.g.
  - Canesten Powder (clotrimazole) is used as an antifungal agent and
  - chlorhexidine acetate is used as a general skin disinfectant.

B. Dusting powders for lubricant purposes or superficial skin conditions **do not need to be sterile** but they should be free from **pathogenic organisms**.

- Talc Dusting Powder is used as **a lubricant to prevent chafing**.
IV. Medicated powders

4- Douche Powders

- Douche powders are completely soluble and are dissolved in water prior to use as antiseptics or cleansing agents for a body cavity.

- They most commonly are intended for vaginal use, although they may be formulated for nasal, otic, or ophthalmic use.

- Douche powders may be packaged as bulk or divided powders.
IV. Medicated powders
5- Insufflations

- Insufflations are **medicated powders** which are blown into regions such as the ear, nose and throat using an **insufflator**.

- Some **potent drugs** are now presented in this way because they are **rapidly absorbed** when administered as a **fine powder** via the **nose**.

- Sufficient drug for one dose may be presented in a **hard gelatin capsule diluted with an inert, soluble diluent** such as lactose. The **capsule** is placed in the body of the **insufflator** and is **broken** when the device is **assembled**. The drug is **inhaled by the patient as a fine powder**.
The use of dry-powder systems for pulmonary drug delivery is now extensive.

This dosage form has developed into one of the most effective methods of delivering active ingredients to the lung for the treatment of asthma and chronic obstructive pulmonary disease.
A. Oral antibiotic syrups and suspension

- For patients who have difficulty taking capsules and tablets, for example, **young children**, a liquid preparation of a drug offers a suitable alternative, but **many antibiotics** are physically or chemically unstable when formulated as a suspension or solution.

- The method used to **overcome this problem** is to present the **dry ingredients in a suitable container in the form of a powder or granules**.

- When the pharmacist dispenses the product, **a given quantity of water is added to constitute the solution or suspension**.

- Once it is reconstituted, the patient is warned of the short shelf-life.

- **A shelf-life of 1-2 weeks** for the **reconstituted syrup should not be a serious problem for the patient**.
IV. Medicated powders
7- Powders requiring reconstitution

B. Powders for injection

- *Injections of medicaments* that are unstable in solution must be made immediately prior to use and are presented as sterile powders in ampoules.

- *Sufficient diluent*, e.g. sterile *Water for Injections*, is added from a second ampoule to produce the required *drug concentration* and the injection is used immediately.

- The powder may contain *suitable excipients in addition to the drug*, e.g. sufficient additive to produce an isotonic solution when the injection is reconstituted.
**V. Medicated Granules**

Granules are a comparatively unusual means of administering drugs that: **possess an unpleasant taste.**

The **drug** is *mixed* with **sugar, a flavouring agent and inert adjuncts, moistened to produce a coherent mass; granulated by passage through a sieve and dried.* The resultant **small irregular particles are in the range from 1 to 4 mm in diameter.**

They are packed in; **single-dose sachets**, the contents of which are stirred in water before taking. **For example Methylcellulose Granules are used as laxative and have a dose of 1-4 g daily.**

**Bulk format** where the dose is measured using a 5 mL spoon and dispersed in water before use is also present.
VI. Effervescent Granules

- The basis of effervescent granules is a mixture of citric and tartaric acids with sodium bicarbonate. Usually, a medicament is included and sucrose may be added as a sweating agent.

- When the patient dissolves the prescribed dose in water, the acids and bicarbonate react together producing carbonic acid and the preparation is taken during effervescence.

- The effervescence from the release of the carbon dioxide masks the taste of salty or bitter medications.

- Effervescent granules may be packed as bulk or divided granules.
VII. The advantages of powders and granules

1. **Solid preparations are more stable than liquid preparations.** The shelf life of powders for antibiotic syrups, for example, is 2 to 3 years, but once reconstituted with water it is 1 to 2 weeks.

2. ** Powders and granules are convenient forms to dispense drugs with a large dose.** For example if the dose of a drug is 1 to 5 g, it is sometimes not feasible to manufacture tablets to supply the drug to the patient.

3. **Orally administered powders and granules of soluble medicaments have a faster dissolution rate than tablets or capsules,** as these must first disintegrate before the drug dissolves.

4. **Powders offer a lot of flexibility in compounding solids.**
VIII. The disadvantages of powders and granules

1. Bulk powders or granules are *less convenient for patients to carry* than a small container of tablets or capsules.

2. The *masking of unpleasant tastes may be a problem* with this type of preparation.

3. Bulk powders or granules are *not a good method of administering potent drugs with a low dose*. This is because individual doses are usually extracted from the bulk using a 5 ml spoon, which is subject to variation in spoon fill (e.g., level or heaped spoonfuls).

4. Powders and granules are *not a suitable method for the administration of drugs that are inactivated in the stomach*; these should be presented as enteric-coated tablets.

5. Powders and granules are *not well suited for dispensing hygroscopic or deliquescent drugs*. 
Any Questions???