TABLETS

4. WEEK

Classification of tablets

(A) Oral tablets for ingestion

1. Uncoated tablets
2. Multiple compressed tablets
3. Delayed action tablets (gastro-resistant)
4. Modified release tablets
4. Sugar coated
5. Film coated tablets
6. Chewable tablets

(B) Tablets used in oral cavity

1. Buccal tablets
2. Sublingual tablets
3. Troches and Lozenges
4. Orodispersible tablets
4. Dental cones

(C) Tablets used to prepare solution or dispersion

1. Effervescent tablets
2. Soluble tablets
3. Dispersible tablets
4. Tablet triturates

Oral

5. Hypodermic tablets
4. Dispensing tablets

(D) Tablet administered by other routes

1. Implantation tablets
2. Vaginal tablets

Excipients are used in tablets to provide the appropriate active substance release, provide acceptable physical and mechanical properties and facilitate manufacturing.

Excipients used in tablets

- **Fillers – diluents**
- **Binders - adhesives**
- **Disintegrants**
- **Minor components**
    - **Lubricants**
    - **Glidants**
    - **Antiadherents**
- **Other excipients**
    - **Coloring agents**
    - **Flavours**
    - **Adsorbents**

TABLET PREPARATION METHODS

Methods used in tablet manufacturing are mainly classified in two classes:

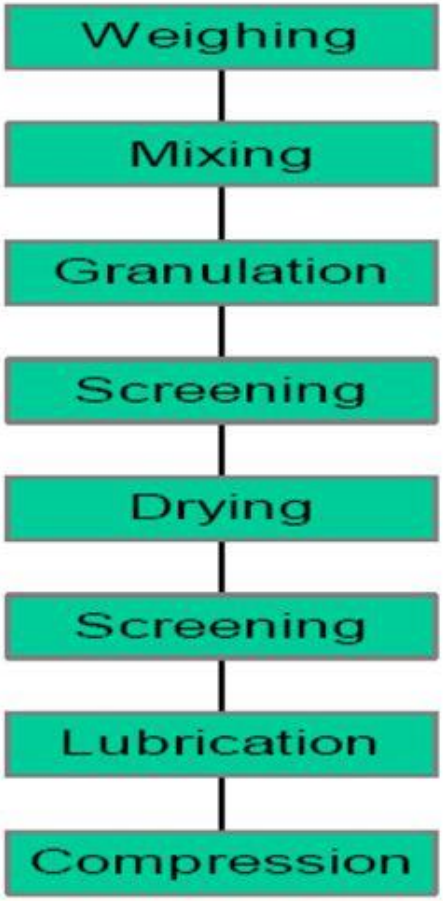
1- Granulation method

- **a. Wet granulation**
- **b. Dry granulation**
  - **i. Preparation of granules from briquette / slug tablets (slugging)**
  - **ii. Preparation of granules by compressing between rotating rollers**

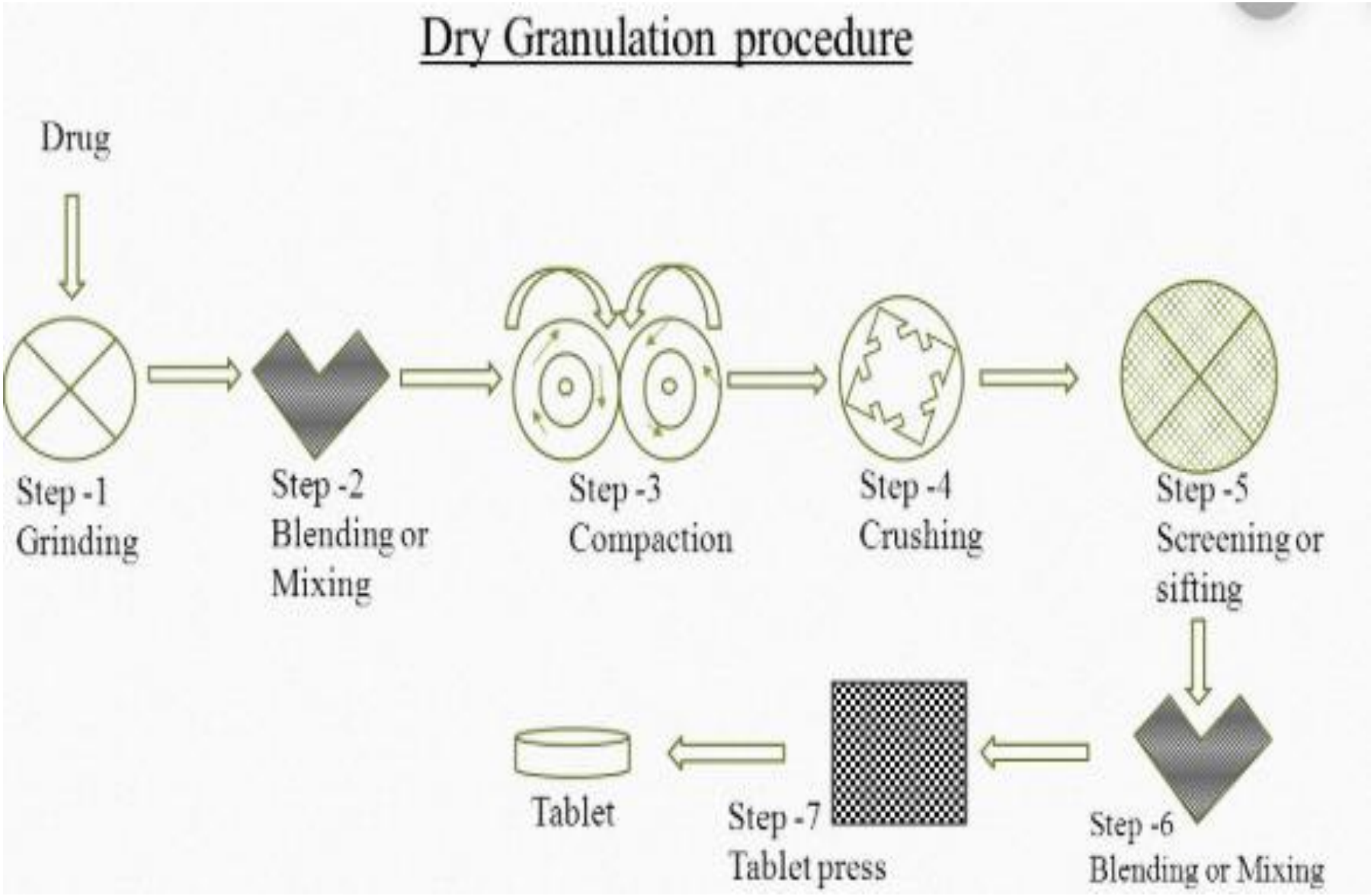
2- Direct Tableting

WET GRANULATION STEPS

Wet Granulation Manufacturing Steps

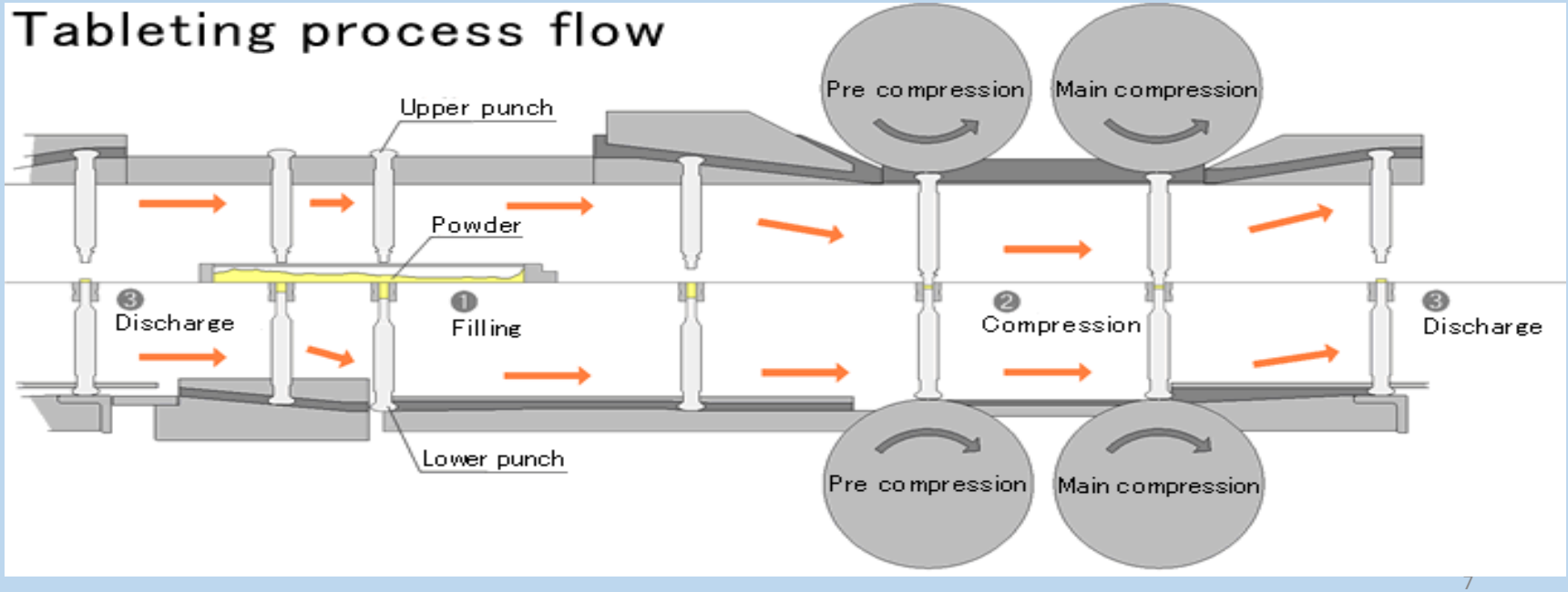


Dry Granulation procedure



TABLET MANUFACTURING

The basic units of the machines is a pair of punches, upper and lower, and a matrix ring or die in which the tablet is formed by compression inside. Powder compression is defined as the reduction of volume of a powder due to the force application. Compaction is defined as the formation of a porous specimen or compact of defined geometry by powder compression.



The single-punch or eccentric type tablet machine

Tablet Controls

Controls of the starting materials

In-process and intermediate product controls at various stages of manufacturing

Finished product controls

Stability

Controls of the starting materials:

In this context;

- Identification
- purity
- potency
- assay
- particle size distribution
- particle shape
- moisture content of each substance entering the formulation are controlled.

In-process and intermediate product controls at various stages of manufacturing:

In-process controls are carried out to monitor a process and demonstrate its adequacy. During tablet compression, the machine is checked at certain time intervals to show that the setting of the machine has not changed and the operation is executed as specified and the parameters of the operation are recorded. Powder / granules are intermediate products of tablet production. Features to be examined:

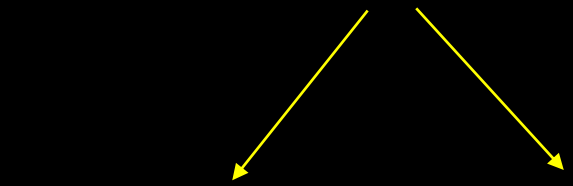
- ✓Bulk density
- ✓Flow properties
- ✓Moisture content
- ✓Drug content

Finished product controls:

- Organoleptic controls
- Thickness – diameter
- Uniformity of dosage units
  - Content uniformity
  - Weight variation
- Mass uniformity
- Decomposition products and impurities
- Hardness / tensile strength
- Friability
- Disintegration
- Dissolution
- Microbial quality

COATING OF TABLETS:
Film coated tablets

- Although there are many types of coatings, the two most common types of coatings will be mentioned:



Sugar coating Film coating.

The types of cores (substrate) for coating

- Crystals
- Different types of granules
- Pellets
- Tablets
- Soft and hard gelatin capsules

The excipients used in the film coating formulations are:

1. Polymers
2. Plasticizers
3. Colourants
4. Solvents

Film Formation

In film coating, coating solutions are atomized as fine droplets by air flow and sprayed onto substrates. The solutions must be of sufficient fluidity to wet the core surface, spread and integrate to form a film. Also, since the film is sticky during drying, the cores can adhere each other and surface ruptures may occur. For this reason, the solvent should be removed from the solution immediately, i.e. a quick drying should be provided at contact with the core surface. In this regard, there must be a balance between the drying process and the rate of application of the solution. Film formation is as follows.

1. Increased polymer concentration with a rapid solvent evaporation from the spray droplets and the core surface

2. Continuos increase of the concentration of polymer on the surface to the point where polymer molecules are immobilized for the formation of film as the solvent is removed by diffusion from the core surface at lower rate

3. Solidification state where the molecules of polymers are immobilized on the surface

4. Diffusion of residual solvent from dry membrane.

COATING EQUIPMENT

The coating process is applied in the **coating pans** or **fluidized** or **fluid bed system**. The main criterion in the choice of coating equipment is the size of the substrate.

As a general rule, the fluidized bed system is preferred for the cores of about 6 mm or less, while the coating pans are preferred for the larger cores .

CAPSULES

- In pharmacy, the word **capsule** is used to describe an edible package made from gelatin or other suitable material which is filed with medicines to produce a unit dosage, mainly for oral use.
- There are two types of capsule,
  - ❖**Hard capsules**
  - ❖**Soft capsules**

better adjectives would be **two-piece** instead of **hard** and **one-piece** instead of **soft**.

❖The hard capsule consists of two pieces in the form of cylinders closed at one end; the shorter piece, called the **cap**, fits over the open end of the longer piece, called the **body**.

❖Soft capsules are made from a more flexible, plasticized gelatin film than that of hard capsules.

ADVANTAGES OF CAPSULES AS DOSAGE FORMS

1) Capsules mask the taste and odour of unpleasant drugs and can be easily administered.
2) They are attractive in appearance
3) They are slippery when moist and, hence, easy to swallow with a draught of water.
4) As compared to tablets less adjuncts are required.

5) The shells are physiologically inert and easily and quickly digested in the gastrointestinal tract.
6) They are economical
7) They are easy to handle and carry.
8) The shells can be opacified (with titanium dioxide) or colored, to give protection from light.

DISADVANTAGES OF CAPSULES AS DOSAGE FORMS

1) The drugs which are hygroscopic absorb water from the capsule shell making it brittle and hence are not suitable for filling into capsules.
2) The concentrated solutions which require previous dilution are unsuitable for capsules because if administered as such lead to irritation of stomach.

FILLING OF THE CAPSULES

- Active Molecule
- Filling Materials
  - Starch, Lactose
    - Starch 1500 ®
    - Fast-Flo Lactose ®
    - Ditab ®, Emcompress ®
    - Avicel PH 101, 103, Microcel, Emcocel
- Glidant
  - Aerosil ®, Corn Strach, Megnesium Stearate
- Lubrikant
  - Magnesium Stearate, Calcium Stearate, Stearic acid
- Disintegrants
  - AcDiSol ®
  - Primojel ®, Explotab ®
  - Polyplasdone® XL
- Surfactants

TYPES OF MATERIAL FOR FILLING INTO HARD CAPSULES

- **Dry Solids**
  - -Powders
  - -Pellets
  - -Granules
  - -Tablets

- **Semi-Solids**
  - -Thermosoftening mixtures
  - -Thixotropic mixtures
  - -Pastes

- **Liquids**
  - -Non-aqueous liquids

HARD CAPSULES FILLED WITH LIQUIDS AND SEMISOLID MATRICES

- Traditionally the domain of soft capsules, today both soft- and hard-shell capsules may be filled with liquids or semisolid matrices. Perhaps the main reason soft capsules become the historical standart for liquid-filled capsules was the inability to prevent leakage form hard capsules. The advent of self-locking capsules, such sealing techniques as banding and liquid sealing, and the development of high resting state viscosity fills have made liquid / semisolid filled hard capsules a feasible dosage form today.

- The development of formulations of active ingredients as a liquid or semi-solid matrix dosage forms in hard capsules is carried out for the following purposes:
- Increasing the bioavailability of active substances with low water solubility,
- Delivery of substances with a low melting point or liquid at room temperature,
- Difficulty in achieving uniformity of content in the preparation of dosage forms of low-dose, high-potency active ingredients and prevention of cross-contamination problems,
- Increasing the stability of humidity-sensitive active ingredients,
- Modification of release of active substance.

SOFT CAPSULES AS DOSAGE FORMS

- Soft capsules are a single-unit solid dosage form, consisting of a liquid or semi-solid fill enveloped by a one piece sealed elastic outer shell.

- The amount of drug or extract together with adjuvant is enclosed within a globular, oval or other shape of a soft shell.

❖Soft gelatin capsules (softgel) offer the possibility of delivering a liquid in a solid oral dosage form.

❖The softgel can contain the active ingredient in solution, suspension or emulsion, which will inherently lead to better absorption of the active ingredient as compared with delivery in a tablet or as a powder.