

Tablets

2018-19

Deepak Pokharkar

Tablets are solid dosage forms usually prepared with the aid of suitable pharmaceutical excipients.



- Tablets may vary in **size, shape, weight, hardness, thickness, disintegration, and dissolution characteristics and in other aspects**, depending on their intended use and method of manufacture.
- Tablets can be administered **orally, sublingually, buccally, or vaginally**.
- Tablets are prepared primarily by **compression**, with a limited number prepared by **molding**.



- **Tablets** are solid dosage forms consisting of active ingredient(s) and suitable pharmaceutical excipients. They may vary in size, shape, weight, hardness, thickness, disintegration and dissolution characteristics, and in other aspects. They may be classified, according to the method of manufacture, as compressed tablets or molded tablets.



Advantages

- Production aspect
 - Large scale production at lowest cost
 - Easiest and cheapest to package and ship
 - High stability
- User aspect (doctor, pharmacist, patient)
 - Easy to handling
 - Lightest and most compact
 - Greatest dose precision & least content variability



Disadvantages



- Some drugs resist compression into dense compacts
- Drugs with poor wetting, slow dissolution, intermediate to large dosages may be difficult or impossible to formulate and manufacture as a tablet that provide adequate or full drug bioavailability
- Bitter taste drugs, drugs with an objectionable odor, or sensitive to oxygen or moisture may require encapsulation or entrapment prior to compression or the tablets may require coating

EXCIPIENTS FOR COMPRESSED TABLETS

Compressed tablets usually contain a number of pharmaceutical adjuncts, known as excipients, in addition to the medicinal substance. The use of appropriate excipients is important in the development of the optimum tablets. Excipients determine the bulk of the final product in dosage forms such as tablet, capsule, etc., the speed of disintegration, rate of dissolution, release of drug, protection against moisture, stability during storage, and compatibility . Excipients should have no bioactivity, no reaction with the drug substance, no effect on the functions of other excipients, and no support of microbiological growth in the product .

- **Diluents:**

- *Diluents* are *fillers* used to make *required bulk* of the tablet when the drug dosage itself is inadequate to produce the bulk.
- Secondary reason is *to provide better tablet properties* such as *improve cohesion*, to permit use of *direct compression* manufacturing or to *promote flow*.
- A diluents should have following properties:
 1. They must be non toxic
 2. They must be commercially available in acceptable grade
 3. Their cost must be low
 4. They must be physiologically inert
 5. They must be physically & chemically stable by themselves & with the drugs.
 6. They must be free from all microbial contamination.
 7. They do not alter the **bioavailability of drug**.
 8. They must be color compatible.

Commonly used tablet diluents

1. Lactose-anhydrous and spray dried lactose
2. Directly compressed starch-Sta Rx 1500
3. Hydrolyzed starch-Emdex and Celutab
4. Microcrystalline cellulose-Avicel (PH 101 and PH 102)
5. Dibasic calcium phosphate dehydrate
6. Calcium sulphate dihydrate
7. Mannitol
8. Sorbitol
9. Sucrose- Sugartab, DiPac, Nutab
10. Dextrose

2. Binders and Adhesives:

These materials are added either dry or in wet- form to form granules or to form cohesive compacts for directly compressed tablet.

Example: Acacia, tragacanth- Solution for 10-25% Conc.

Cellulose derivatives- Methyl cellulose, HPC, HPMC

Gelatin- 10-20% solution

Glucose- 50% solution

Polyvinylpyrrolidone (PVP)- 2% conc.

Starch paste-10-20% solution

Sodium alginate

Sorbitol

3. Disintegrants:

Added to a tablet formulation to facilitate its breaking or disintegration when it contact in water in the GIT.

Example: Starch- 5-20% of tablet weight.

Starch derivative – Primogel and Explotab (1-8%)

Clays- Veegum HV, bentonite 10% level in colored tablet only

Cellulose

Cellulose derivatives- Ac- Di-Sol (sodium carboxy methyl cellulose)

Alginate

PVP (Polyvinylpyrrolidone), cross-linked

❑ **Superdisintegrants:**

Swells up to ten fold within 30 seconds when contact water.

Example: Crosscarmellose- cross-linked cellulose,
Crosspovidone- cross-linked povidone (polymer),
Sodium starch glycolate- cross-linked starch.

These cross-linked products swell upto 10 fold with in 30 seconds when in contact with water.

A portion of disintegrant is added before granulation and a portion before compression, which serve as **glidants or lubricant**.

Evaluation of carbon dioxide in effervescent tablets is also one way of disintegration

4. Lubricant and Glidants:

- **Lubricants** are intended to prevent adhesion of the tablet materials to the surface of dies and punches,
- **Glidants** are intended to promote flow of granules or powder material by reducing the friction between the particles.

Example:

▪ **Lubricants-**

Stearic acid, Stearic acid salt - Stearic acid, Magnesium stearate, Talc, PEG (Polyethylene glycols), Surfactants

▪ **Glidants-**

Corn Starch – 5-10% conc., Talc-5% conc., Silica derivative - Colloidal silicas such as Cab-O-Sil, Syloid, Aerosil in 0.25-3% conc.

5. Coloring agent:

- **The use of colors and dyes in a tablet has three purposes:**

(1) Masking of off color drugs

(2) Product Identification

(3) Production of more elegant product

- All coloring agents must be approved and certified by FDA. Two forms of colors are used in tablet preparation – FD & C and D & C dyes. These dyes are applied as solution in the granulating agent or Lake form of these dyes. Lakes are dyes absorbed on hydrous oxide and employed as dry powder coloring.

- **Example:** FD & C yellow 6-sunset yellow

FD & C yellow 5- Tartrazine

FD & C green 3- Fast Green

FD & C blue 1- Brilliant Blue

FD & C blue 2 - Indigo carmine

D & C red 3- Erythrosine.

D & C red 22 – Eosin Y

6. Flavoring agents:

For chewable tablet- flavor oil are used

7. Sweetening agents:

For chewable tablets: Sugar, mannitol.

- Saccharine (artificial): 500 time's sweeter than sucrose

Disadvantage: Bitter aftertaste and carcinogenic

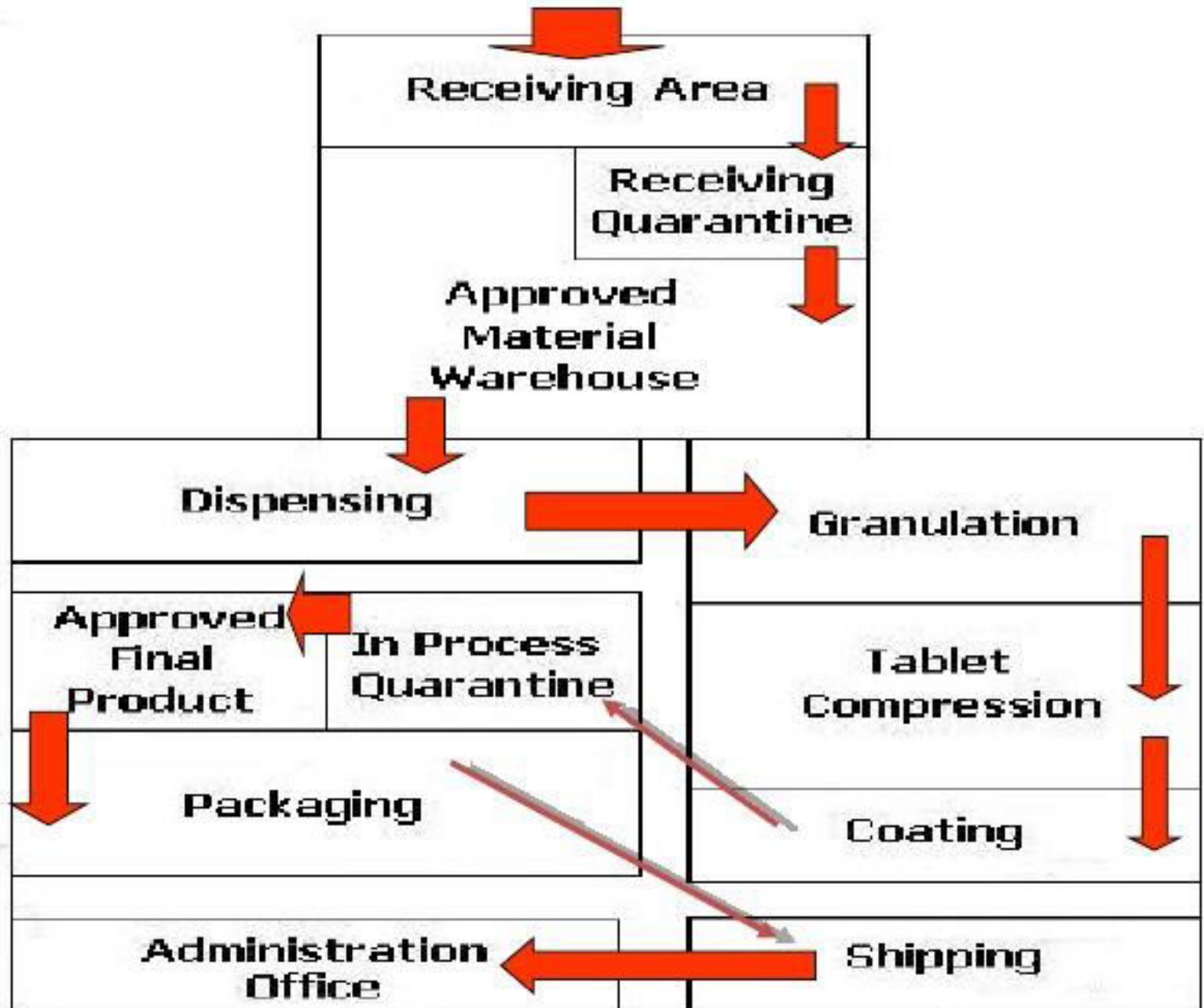
- Aspartame (artificial)

Disadvantage: Lack of stability in presence of moisture.

General properties of Tablet dosage forms

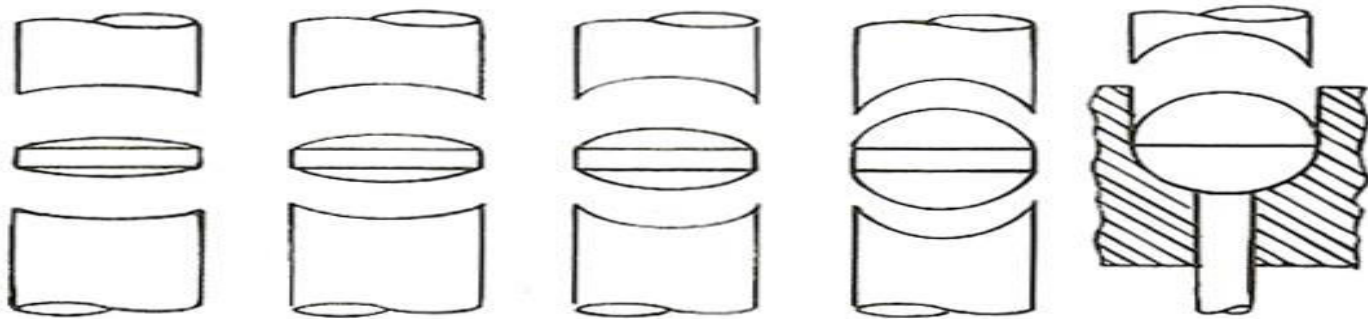
- A tablet should have elegant product identity while free of defects like chips, cracks, discoloration, and contamination.
- Should have sufficient strength to withstand mechanical shock during its production packaging, shipping and dispensing.
- Should have the chemical and physical stability to maintain its physical attributes over time.
- The tablet must be able to release the medicinal agents in a predictable and reproducible manner.
- Must have a chemical stability over time so as not to follow alteration of the medicinal agents.

Parallel flow



2. Compressed tablets

Tablets diameters and shapes are determined by the die and punches used in the compression of the tablet.



Contours of the punches determine the shape of the tablets. From left to right, flat face, shallow cup, standard cup, deep cup, and modified ball. (Courtesy of Cherry-Burrell Corporation.)

1) Compressed tablet manufacture

Compressed tablets may be made by three basic methods:

- wet granulation
- dry granulation
- direct compression

Wet granulation is a widely employed method for the production of compressed tablets. The steps required are:

- **weighing and blending the ingredients**
- **preparing a damp mass**
- **screening the damp mass into pellets or granules**
- **drying the granulation**
- **sizing the granulation by dry screening**
- **adding lubricant and blending**
- **tableting by compression**

① Weighing and blending

Specified quantities of active ingredient, diluent or filler, and disintegrating agent are mixed by mechanical powder blender or mixer until uniform.

The fillers used are

- **Lactose** (solubility, compatibility)
- **Microcrystalline cellulose** (compactability, compatibility, and the consistent uniformity)
- Starch
- Powdered sucrose
- Calcium phosphate

Disintegrating agents include

- **Croscarmellose**
- **Corn and potato starches**
- **Sodium starch glycolate**
- **Sodium carboxymethylcellulose**
- **Polyvinyl polypyrrolidone (PVP)**
- **Crospovidone**
- **Cation-exchange resins**
- **Alginic acid**

② Preparing the damp mass

- A liquid binder is added to the powder mixture to facilitate the adhesion of the powder particles.
- A damp mass resembling dough is formed and is used to prepare the granulation.
- A good binder results in appropriate tablet hardness and does not negatively impact on the release of the drug from the tablet.

③ Screening the damp mass into pellets or granules

The wet mass is pressed through a screen (usually No. 6- or 8-mesh) to prepare the granules.

④ Drying the granulation

Granules may be dried in thermostatically controlled ovens which constantly record the time, temperature, and humidity.

⑤ Sizing the granulation by dry screening

- After drying, the granules are passed through a screen of a smaller mesh than that used to prepare the original granulation.
- In general, the smaller the tablet to be produced, the smaller are the granules used.
- Sizing of the granules is necessary so that the die cavities for tablet compression may be completely and rapidly filled by the free-flowing granulation.

- Voids or air spaces left by too large a granulation would result in the production of uneven tablets.

⑥ Adding lubrication and blending

- After dry screening, a dry lubricant is dusted over the spread-out granulation through a fine mesh screen.

Lubricants contribute to the preparation of compressed tablets in several ways:

- They improve the flow of the granulation in the hopper to the die cavity;**
- They prevent the adhesion of the tablet formulation to the punches and dies during compression;**
- They reduce friction between the tablet and the die wall during the tablet's ejection from the tablet machine;**

The more commonly used lubricants are

- Magnesium stearate**
- Calcium stearate**
- Stearic acid**
- Talc**
- Sodium stearyl fumarate**

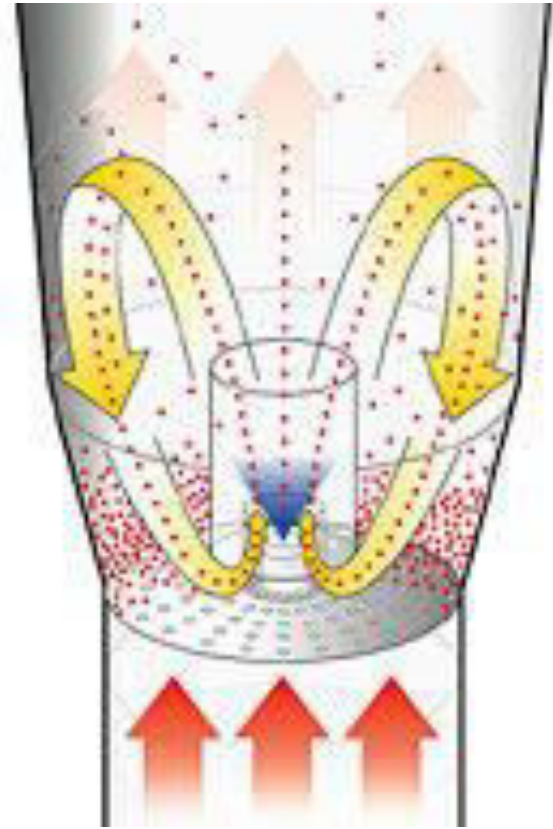
The quantity of lubricant used from about 0.1% to 5% of the weight of the granulation.

All-in-one granulation methods

Technologic advances now allow the entire process of granulation to be completed in a continuous fluid-bed process, using a single piece of equipment, the fluid-bed granulator.

The fluid-bed granulator performs the following steps:

- preblending the formulation powder in a bed by fluidized air,
- granulating the mixture by spraying onto the fluidized powder bed, a suitable liquid binder, as an aqueous solution of acacia, hydroxypropyl cellulose, or povidone,
- drying the granulated product to the desired moisture content.



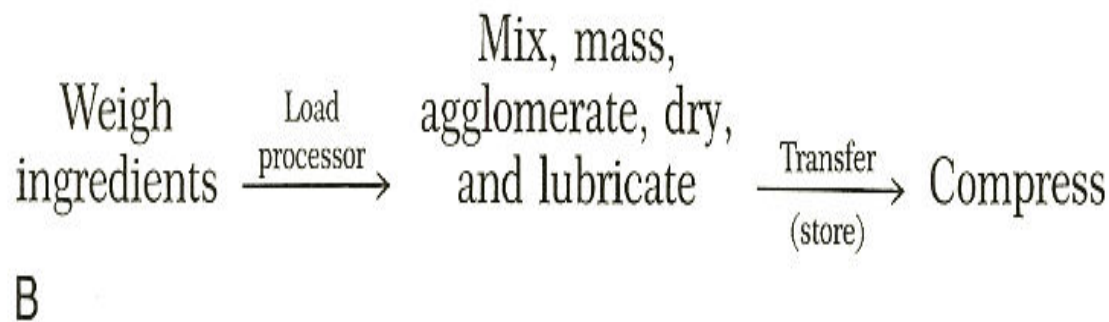
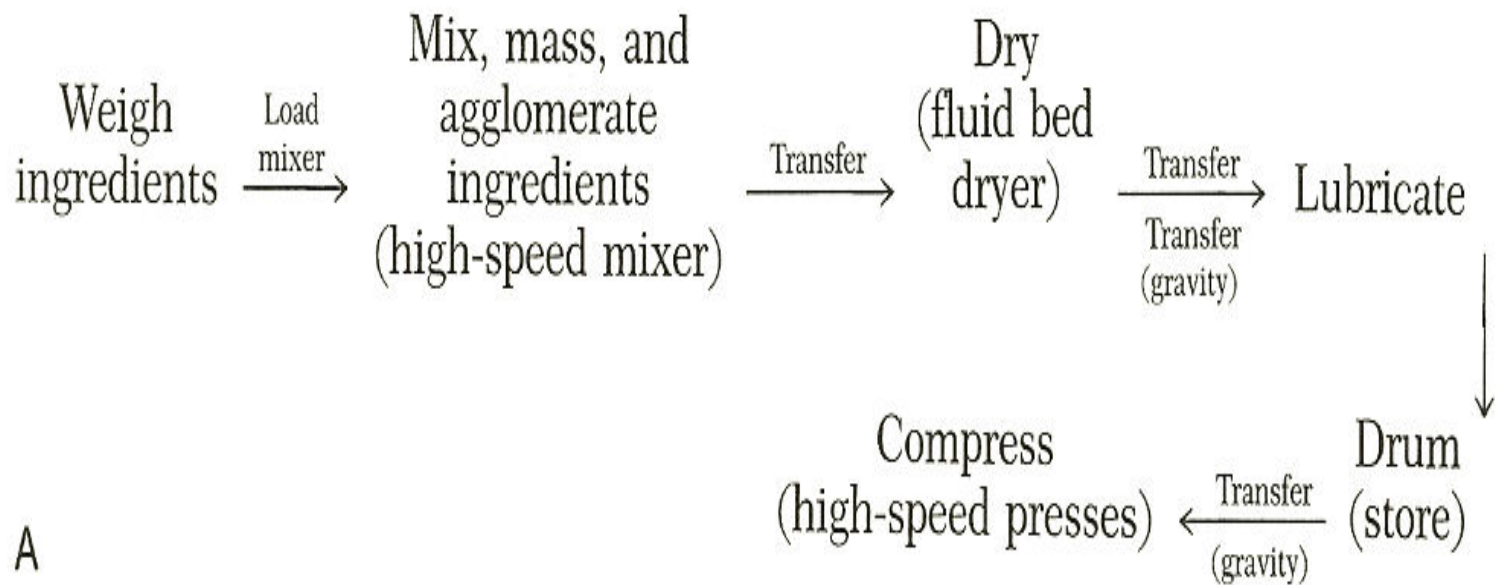


FIG. 11-19. Flow charts depict state-of-the-art wet granulation processing of the 1980s (A), and projected wet granulation processing methods of the future—1990 and beyond (B). (Adapted from Anderson, N.R., Banker, G.S., and Peck, G.E.: Principles of improved tablet production system design. In *Pharmaceutical Dosage Forms: Tablets*. Vol. 3. Edited by H. Lieberman and L. Lachman. Marcel Dekker, Inc., New York, 1982, p. 14, by courtesy of Marcel Dekker, Inc.)

Dry granulation

- **By the dry granulation method, the powder mixture is compacted in large pieces and subsequently broken down or sized into granules.**
- **By this method, either the active ingredient or the diluent must have cohesive properties.**

Dry granulation is especially applicable to materials that can not be prepared by wet granulation due to their degradation by moisture or by the elevated temperatures required for drying the granules.

- ① Slugging
- ② Roller compaction

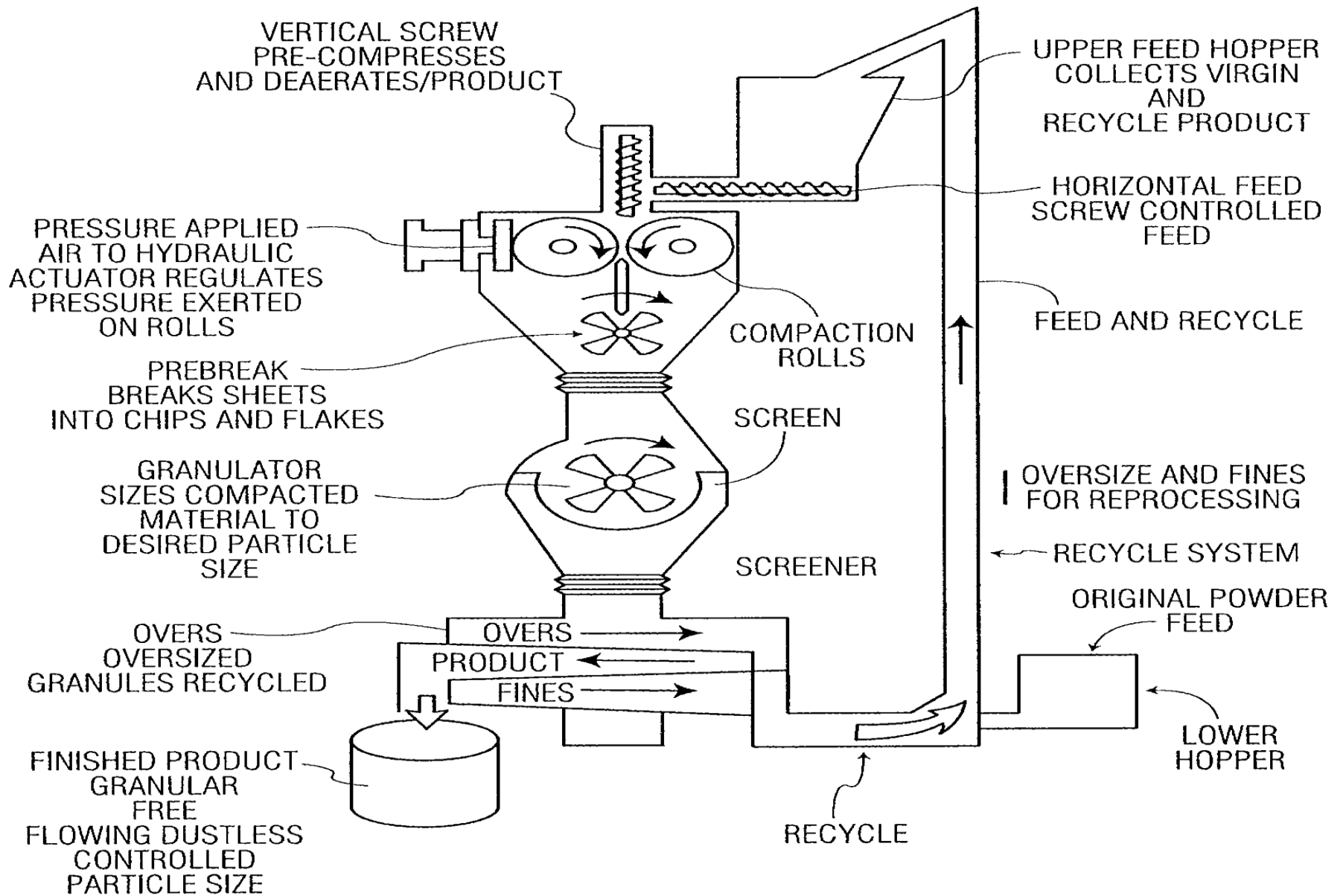


FIG. 3

Direct compression tableting

- **Some granular chemicals, like potassium chloride, possess free flowing and cohesive properties that enable them to be compressed directly in a tablet machine without need of wet or dry granulation.**
- **For chemicals that do not possess this quality, special pharmaceutical excipients may be used which impart the necessary qualities for the production of tablets by direct compression.**

TABLET **COATING**

CONTENTS

- ✓ **DEFINITION**
- ✓ **OBJECTIVES**
- ✓ **PROPERTIES**
- ✓ **EQUIPMENTS**
- ✓ **PROCESS INVOLVED**
- ✓ **DEFECTS AND REMEDIES**
- ✓ **CONCLUSION**

TABLET COATING

- ❑ Tablet coating is the last critical step in the tablet production cycle.
- ❑ It is the phenomenon of application of coating to the tablet.

OBJECTIVES OF TABLET

COATING

- ✓ Mask the odour, taste or color of the drug.
- ✓ Provides physical and chemical protection for drug.
- ✓ Controls the release of drug from the tablet.
- ✓ Protects the drug from gastric environment of stomach in case of acid sensitive drug.
- ✓ Avoids chemical incompatibility.
- ✓ Improves pharmaceutical elegance by using colors and contrasting printing.

COMPONENTS CONSIDERED IN TABLET COATING

- **Tablet Properties- Shape, tolerance, Surface area**

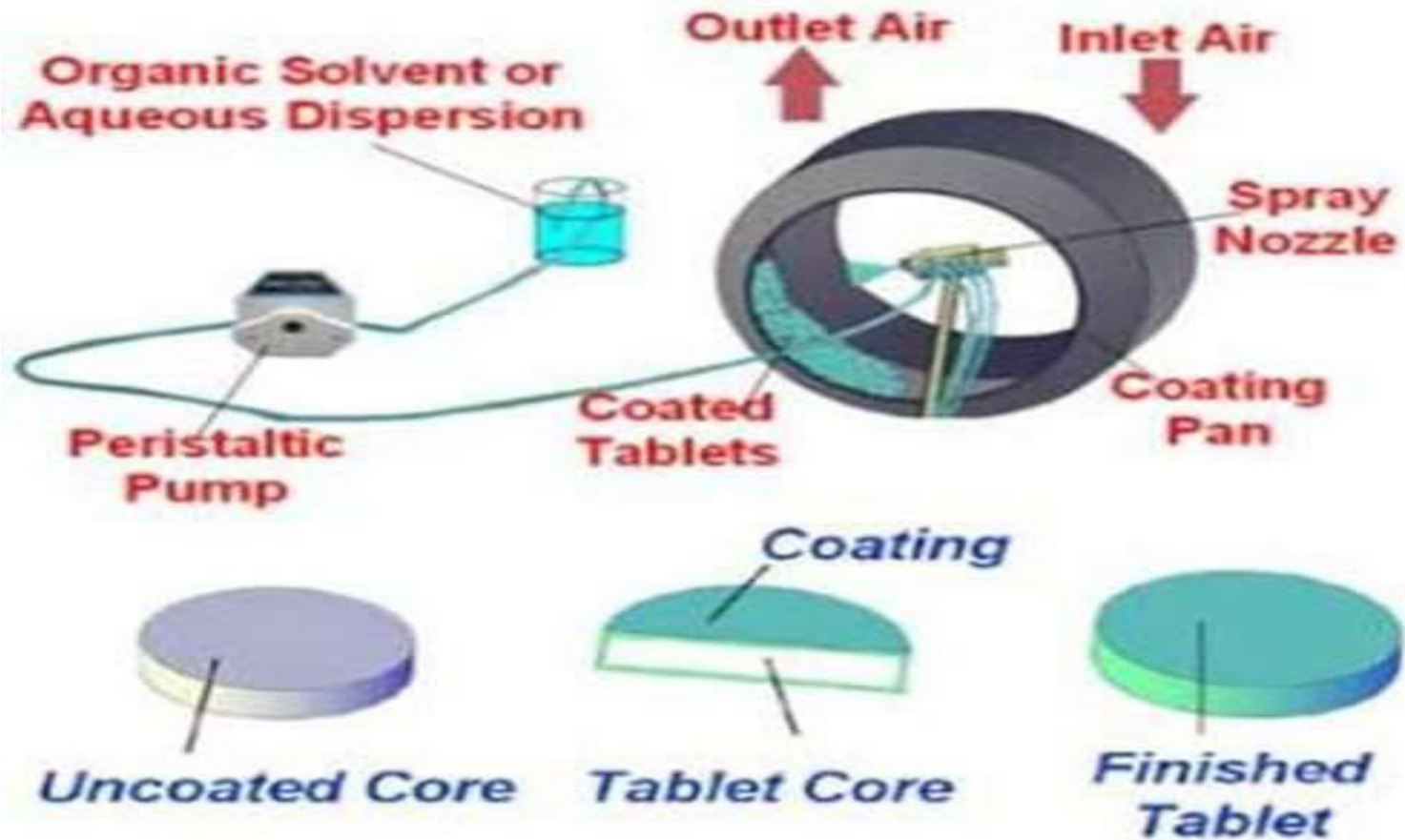
- **Coating process -**
 - A. **Coating equipment**
 - B. **Coating parameters**
 - C. **Facility & ancillary equipment**
 - D. **Automation of coating process**

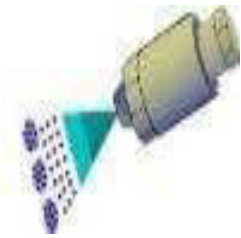
- **Coating composition which involves polymers, color ,plasticizer ,solvent.**

TABLET PROPERTIES

- ❖ Tablet to be coated must possess the proper physical characteristics like spherical shape and uniform surface.
- ❖ To tolerate attrition of tablets during coating process they must be resistant to abrasion and chipping.
- ❖ As the tablet surfaces that are brittle and soften in presence of heat or effected by coating composition and tend to become rough in the early stages of coating process are unacceptable for film coating.

TABLET COATING PROCESS





Wetting and Adherence



Accumulation and Partial Drying



Spreading



Coalescence and Cohesion



COATING PROCESS

COATING COMPOSITION



IS APPLIED TO

MOVING BED OF TABLETS



**HEATED AIR IS
INTRODUCED**

EVAPORATION OF THE SOLVENT

Tablet coating is accomplished by the movement of tablets in Perpendicular or vertical direction to the application of the coating composition

A.

EQUIPMENT

S

○ The equipments used for the tablet coating are :-

I. Standard coating pan

I. Perforated coating pan

II. Fluidized bed coater

STANDARD COATING PAN



1. STANDARD COATING

PAN

- ✓ It is also known as conventional pan system
- ✓ Circular metal pan(mounted angularly on a stand)
- ✓ 8-60 inches in diameter
- ✓ Rotated on its horizontal axis by a motor
- ✓ Heated air is directed into the pan & on to the tablet bed surface and is exhausted by means of ducts through the front of the pan

○ Coating solution are applied to the tablets by ladling or spraying the material on to the rotating tablet bed.

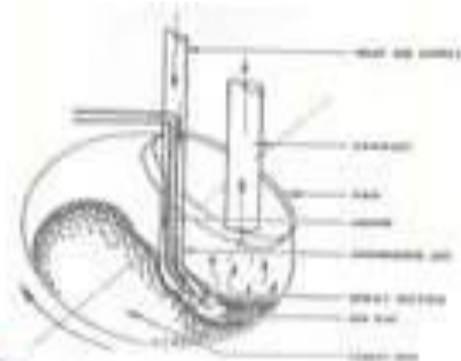
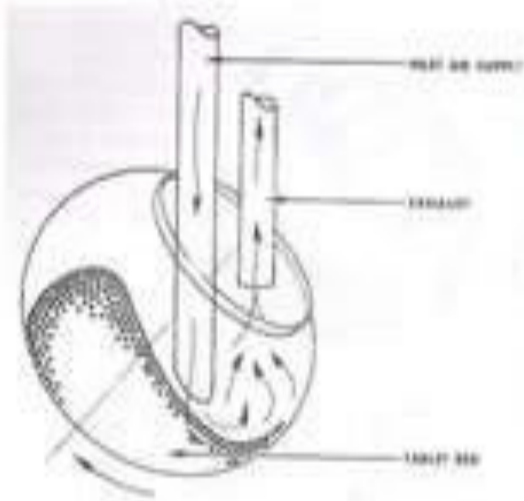
❖ Use of spraying systems-

- Produces a faster, more even distribution of the solution or suspension.
- Reduces drying time between solution application in sugar coating .
- Allows continuous application of the solution in film coating.

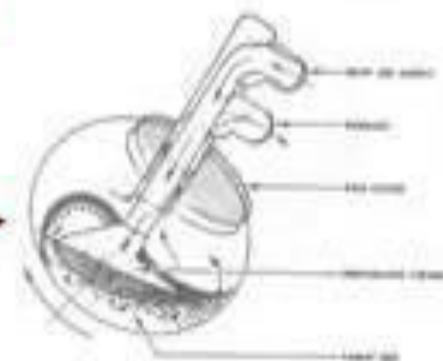
In standard coating pan ,the drying efficiency is improved by:-

- ❖ Pellegrini pan
- ❖ The immersion sword
- ❖ Immersion tube systems

Standard Coating Pan



Immersion-tube system



**Glatt
Immersion
sword
system**



**Pellegrini
pan system**

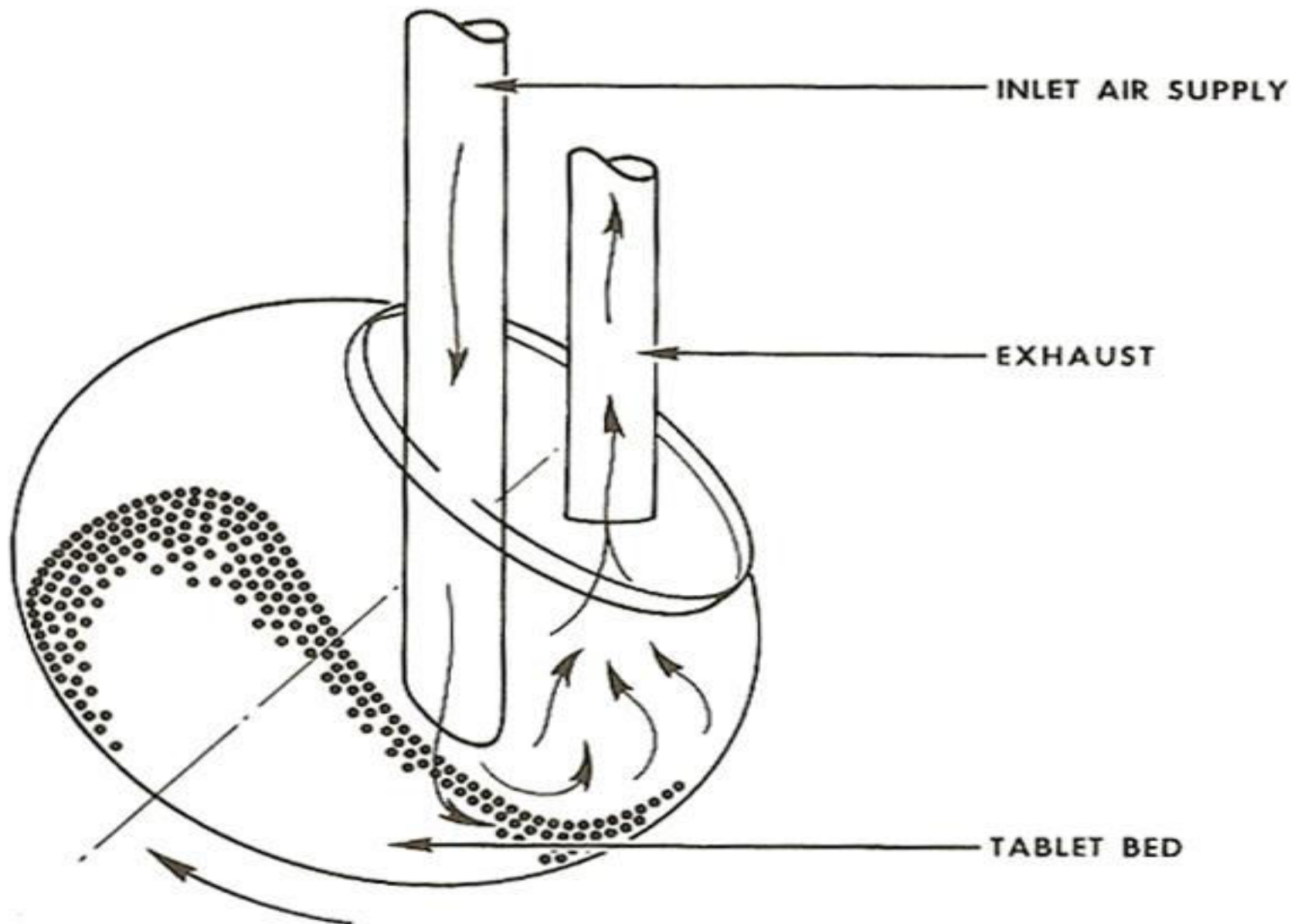


FIG. 12-5. *Diagram of standard coating pans.*

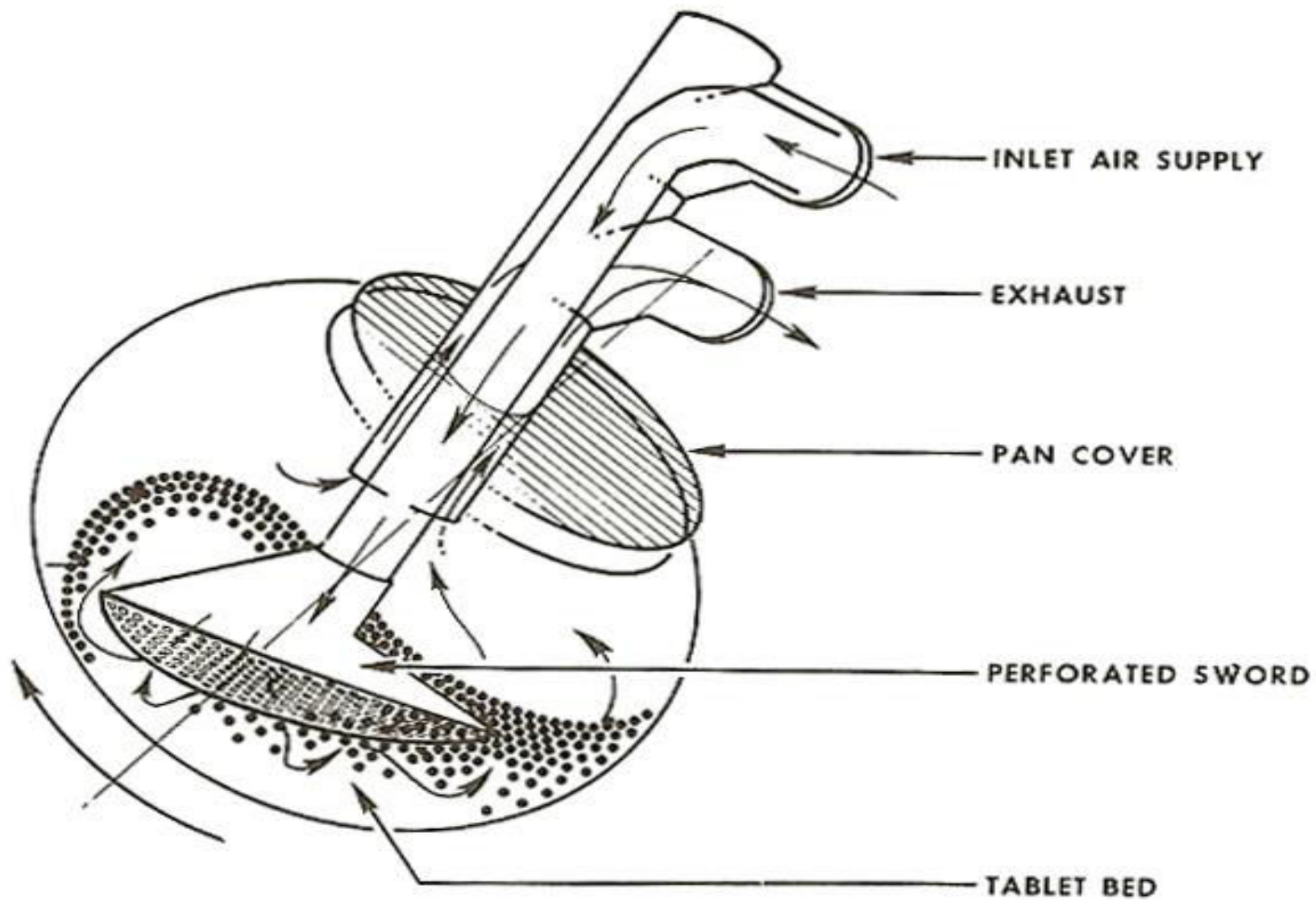


FIG. 12-7. *Simplified diagram of Glatt immersion-sword system.*

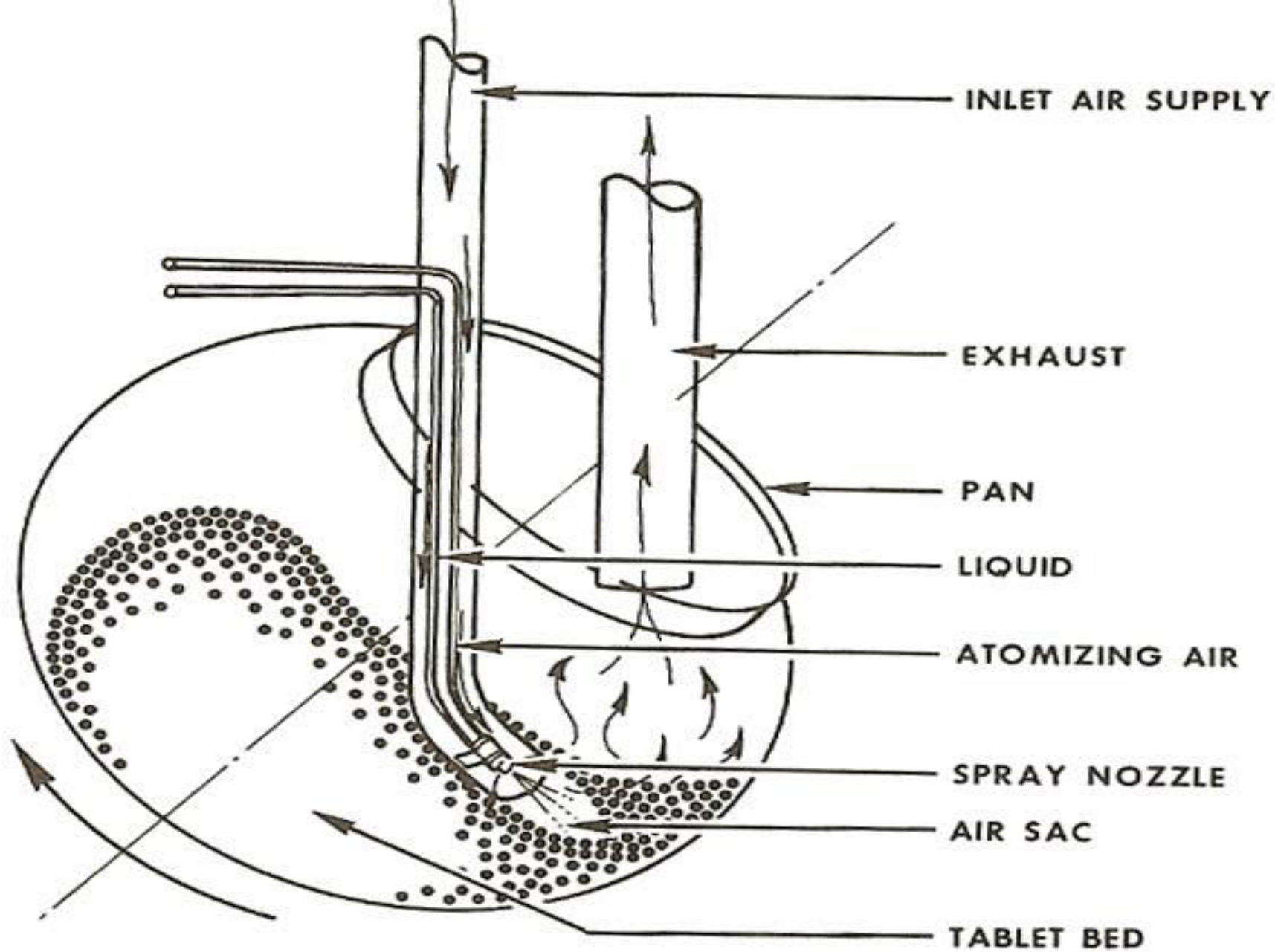


FIG. 12-8. *Diagram of immersion-tube system. (From Demmer et al.⁵)*

- Pellegrini pan-

- ✓ Baffled pan

- ✓ Diffuser(distributes the drying air uniformly over the tablet bed surface).

- IMMERSION- SWORD SYSTEM-

- Perforated metal sword device immersed in the tablet bed.

- Drying air is introduced through this device and flows upward from the sword through the tablet bed.

- IMMERSION-TUBE SYSTEM-

- ❖ Tube immersed in the tablet bed.

- ❖ Tube delivers the heated air.

- ❖ In immersion tube system the coating solution is applied with the heated air from the immersed tube

II. PERFORATED PAN SYSTEMS-



II. PERFORATED PAN

SYSTEMS-

- Perforated or partially perforated drum.
- Rotated on its horizontal axis in an enclosed housing.
- The coating solution is applied to the surface of the rotating bed of tablets through spraying nozzles, which are present inside the drum.
- Perforated pan coaters are efficient drying systems with high coating capacity.

○ PERFORATED PAN SYSTEM HAS-

\$- Accela-cota system

\$- Hi coater system

\$- Dria coater pan

\$- Glatt coater

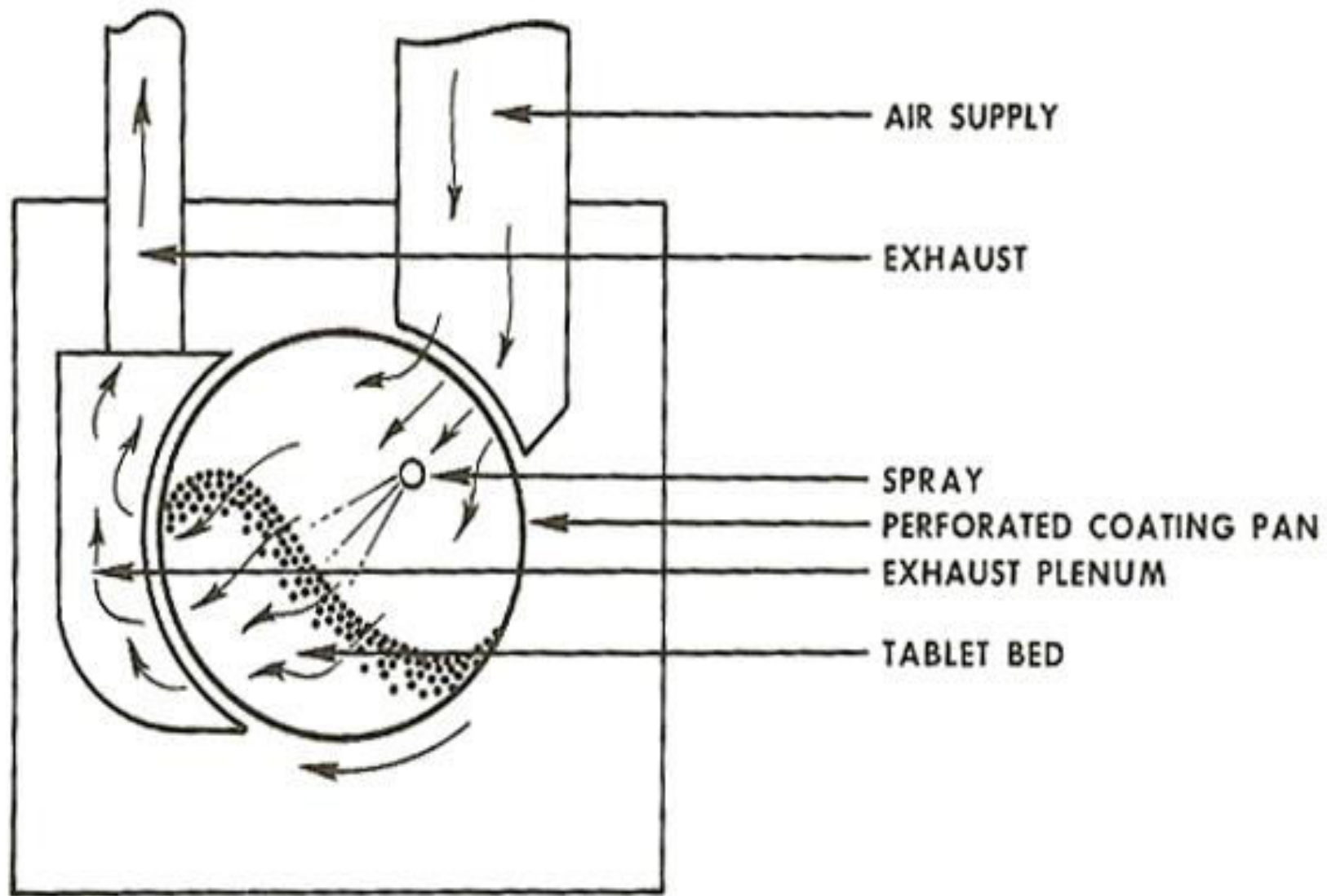
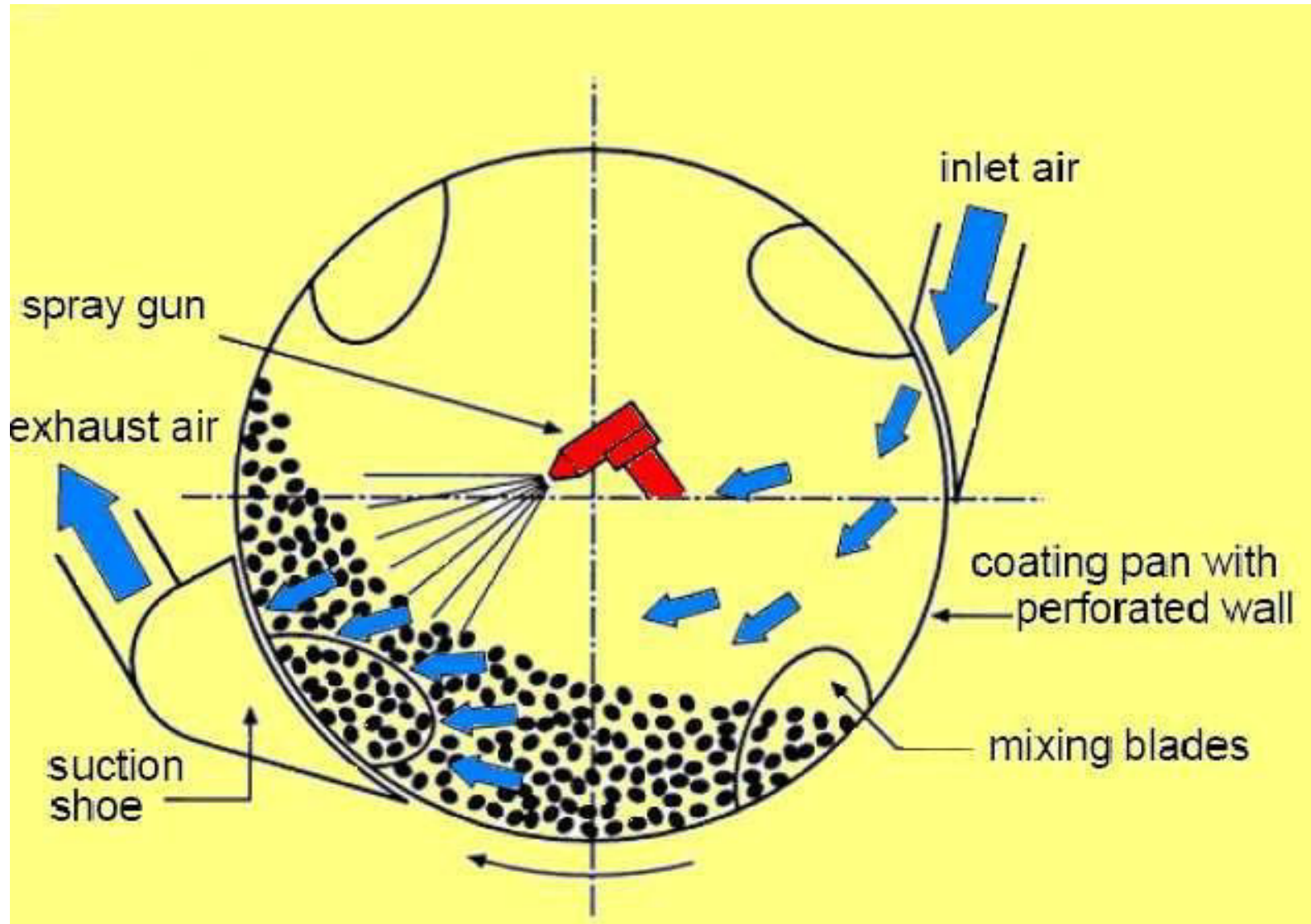


FIG. 12-9. Simplified diagram of Accela-Cota system.

ACCELA- COTA



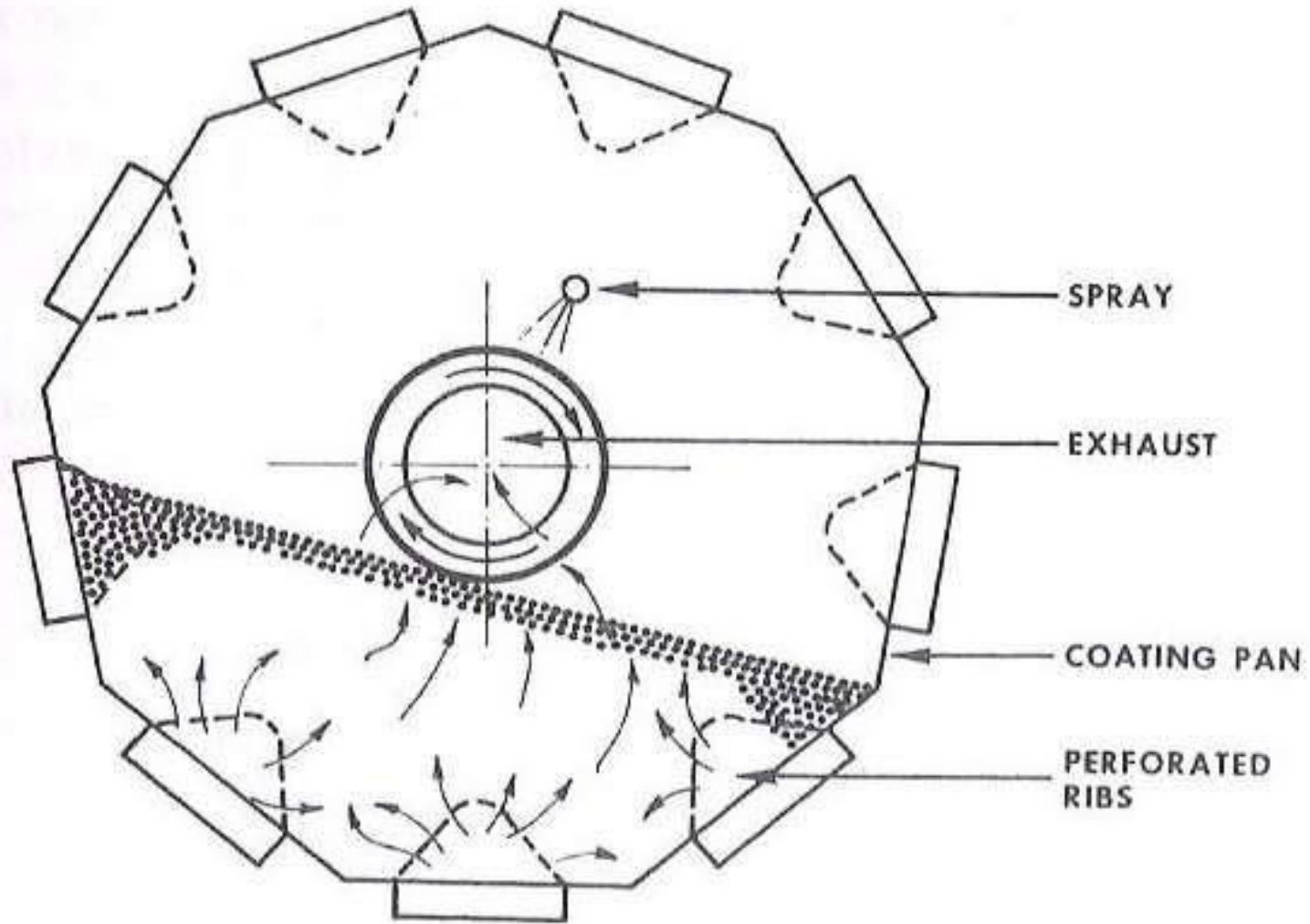
○ ACCELA COTA & HI COATER SYSTEM-

- Drying air is directed in to the drum,
- Passed through tablet bed,
- Exhausted through perforations in drum.

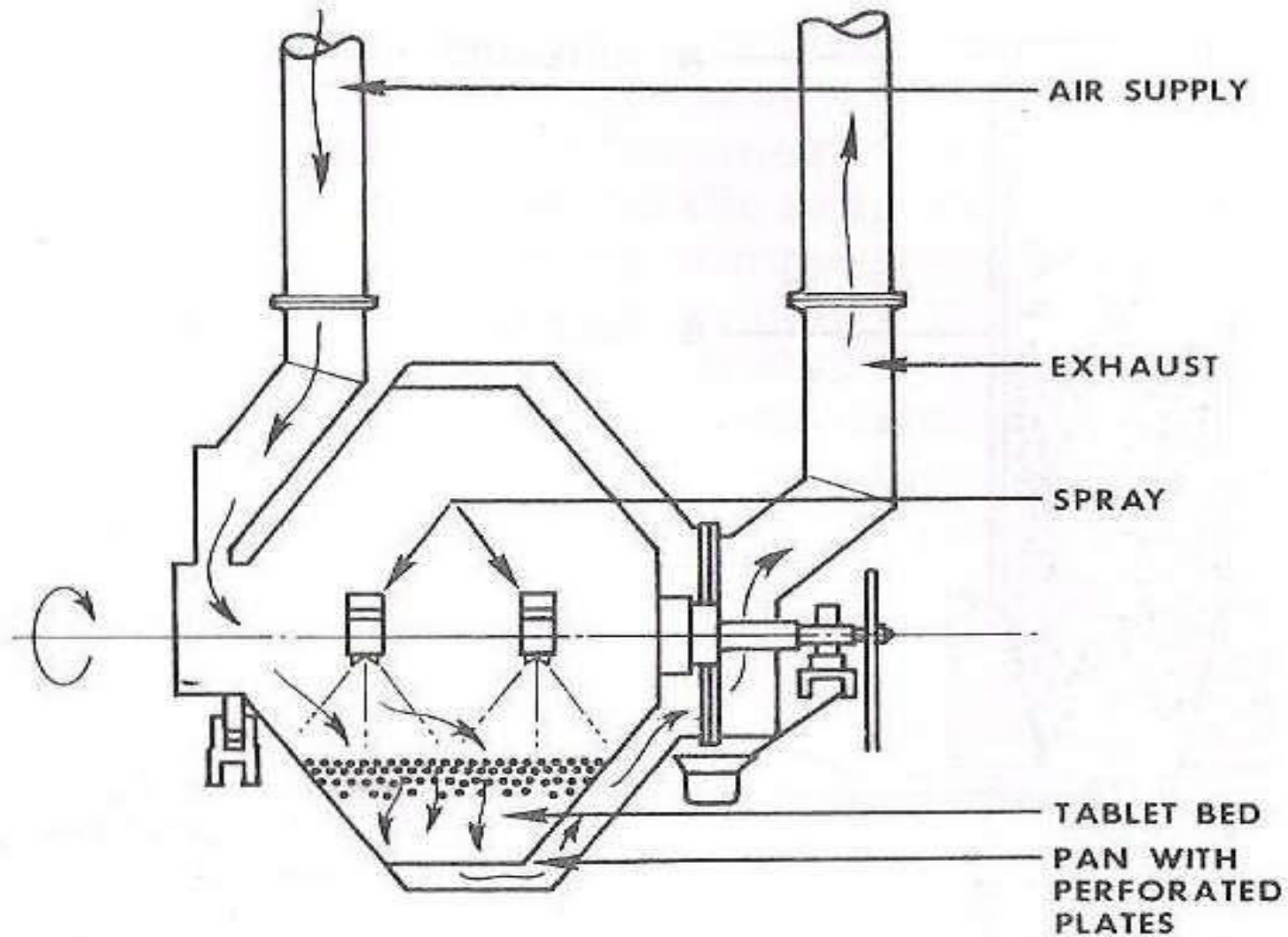
○ DRIACOATER PAN-

- Drying air enters through hollow perforated ribs ,located on inside periphery of the drum.
- As the coating pan rotates, the ribs dip into the tablet bed and drying air passes up through
- Exhaust is from the back of pan.

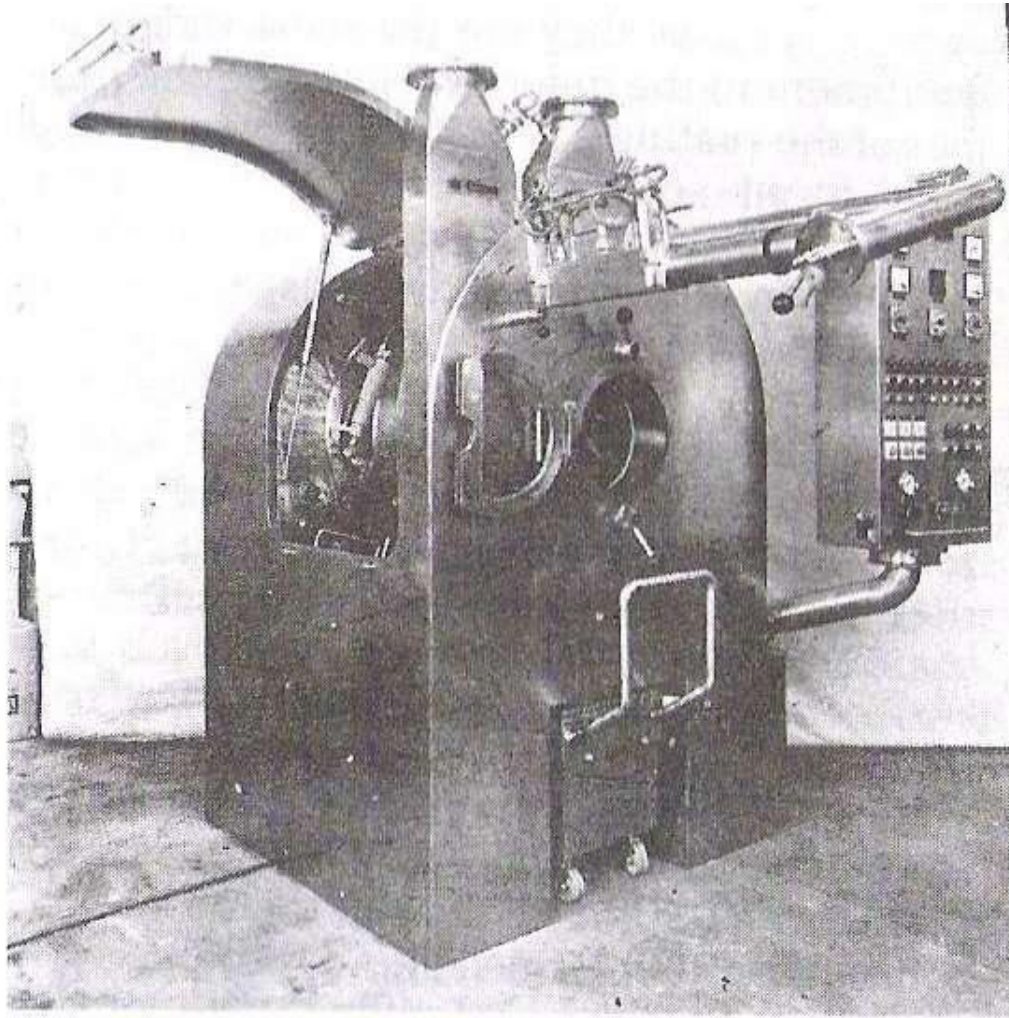
DRIA COATER PAN



HI-COATER SYSTEM



GLATT COATER



○ GLATT COATER

- ✓ It is the latest perforated pan coater to be introduced in the industry.
- ✓ In this, drying air can be directed from inside the drum through tablet bed
- ✓ Exhausted out through an exhaust duct.

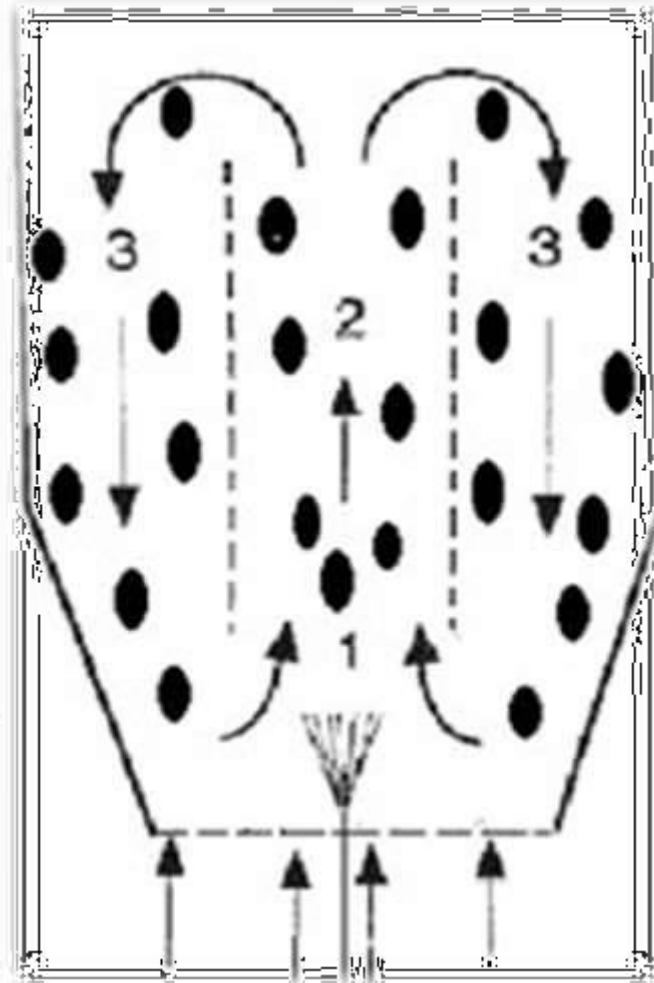
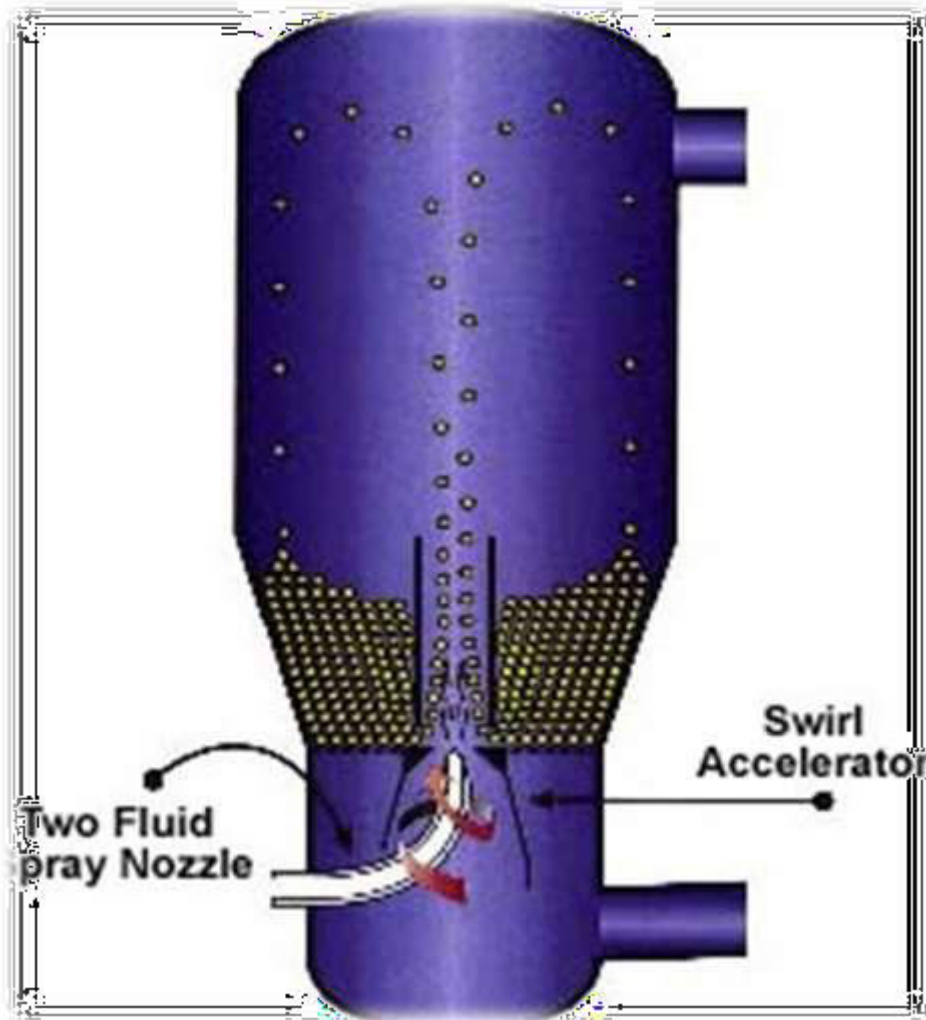
III. FLUIDIZED BED SYSTEM



III. FLUIDIZED BED SYSTEM

- ❑ In this system fluidization of the tablet mass is achieved in a columnar chamber by the upward flow of drying air.
- ❑ The air flow is controlled, so that more air enters the center of the column, causing the tablets to rise in the center.
- ❑ The movement of tablets is upward through the center of the chamber.

FLUID BED COATING MACHINE MECHANISM



FLUIDIZED BED SYSTEM

- ❖ They then fall towards the chamber wall,
- ❖ Move downwards to reenter the air stream
At the bottom of the chamber.
- ❖ Coating solutions are applied from a spray nozzle which is located at the bottom of the chamber.

{ Or }

are sprayed onto the top of the Cascading tablet bed by nozzles located in the upper region of the chamber.

SPRAY APPLICATION SYSTEM

- 2 Basic systems used to apply a finely divided (atomized) spray of coating solutions or suspensions on to tablet are-
 - * High pressure, airless
 - * Low pressure, air atomized

□ AIR LESS SPRAY SYSTEM-

Liquid is pumped at high pressure {250-3000 pounds per square inch gauge(psig) },
through a small orifice (.009 inch to .020 inch) in the fluid nozzle Which results in a finelydivided spray.

In this ,the degree of atomization & the spray rate are controlled by

Fluid pressure,

orifice size and

Viscosity of the liquid.

LOW PRESSURE AIR-

ATOMIZED SYSTEM

- Liquid is pumped through a somewhat large orifice (0.020 inch-0.060 inch in diameter) at relatively low pressure(5-50 psig)
- Low pressure air contacts with the liquid stream at the tip of the atomizer,& a finely divided spray is produced.
- The degree of atomization is controlled by the **fluid pressure** , **Fluid cap orifice**
Viscosity of liquid
Air pressure
Air cap design.

TABLET COATING PROCESS

- The coating of tablets classified into three types
 - I. Sugar coating
 - II. Film coating
 - III. Enteric coating

SUGAR

COATING

- It involves the application of sugar solution with color for several times to give -
UNIFORM AND ELEGANT FILM.

ADVANTAGES

- It prevents unpleasant odour ,
- Give sweet taste to tablet by masking bitter taste,
- Highly elegant and glossed tablets are obtained.

DURATION → HOURS-FEW DAYS

- Sugar coating involves following steps -
 - ✓ Sealing
 - ✓ Sub-coating
 - ✓ Syruping(smoothing)
 - ✓ Finishing
 - ✓ Polishing

- The tablet having deep convex surfaces with thin rounded edges are suitable for sugar coating.
- In sugar coating, the tablet should be resistant to breakage, chipping, and abrasions.

Because sugar coating tends to be long and vigorous.

1. SEALING

- It prevents moisture penetration in to the tablet core.
- Seal coating agents - shellac, zein, Oleic acid, PG, PEG4000, alcohol, methylene chloride.
- Zein is alcohol-soluble protein derivative.
- ✓ Shellac is more effective (because of polymerization of shellac),
- ✓ But it lengthens tablet disintegration and dissolution times.

Over wetting of tablet



Moisture is absorbed



Leads to

Tablet softening or disintegration



and effects

Physical and chemical stability

(To over come this problem seal coating is done)

2.SUB COATING

□ Sub coating is applied :

- To form uniform edges,
- To build up the tablet size.

Sub coating increases the tablet weight from 50 to 100 percent.

Examples- Gelatin, sugarcane powder, corn syrup, syrup , distilled water, Gum acacia.

○ **It involves**

Application of binder solution



To the

Tablets



followed by

Dusting of sub coating with powders



and drying until

the tablet edges have been covered

&

The desired thickness is achieved.

3.SYRUPIN

G

- ❖ It is done to cover the imperfections in the Tablet surface caused during sub coating step.
- ❖ It involves-
 - ❑ Application of syrup coating with grossing syrups followed by the addition of dilute colorants to provide tinted base.
 - ❑ In subsequent steps, the syrup solution containing dye are applied until final size and Color are achieved.
 - ❑ The final step a clear syrup coat without dye are applied.

❖ No colour is added until the tablets are quit smooth,

❖ Premature application to the rough tablets can produce a Mottled appearance in the final coated tablets.

❖ Syrup coating constituents- colorant , sub coating powder , calcium carbonate ,cane sugar powder, corn starch, syrup , distilled water.

4.POLISHIN

G

- The desired luster to the tablet is obtained by polishing .

Tablets are polished



in a

Standard coating pans



by application of

carnauba wax(yellow), bees wax(white),paraffin wax
(Or) warm solutions of waxes in naphtha

(or) suitable volatile solvent.

FILM

COATING

- It is the process of polymeric solution to bring a uniform film.

Advantages

- Film coating gives a tablet with less Weight and small size.
- The film formed is very thin.
- In film coating engravings are possible on tablet surface which are not possible in sugar coating.

- Better mechanical strength is obtained.
- The cost of the film coated tablets is less.

FILM FORMING AGENTS

The film forming agents tablet coating are classified into:

1. Non - enteric film formers
2. Enteric film formers

NON-ENTERIC FILM FORMERS

- They are incorporated to give uniform film with desired mechanical strength which are as follows:
 1. HPMC(Hydroxy propyl methyl cellulose)
 2. MHEC(Methyl hydroxyl ethyl cellulose)
 3. EC(Ethyl cellulose)
 4. HPC(Hydroxy propyl cellulose)
 5. POVIDONE
 6. SCMC
 7. PG
 8. ACRYLATE POLYMERS

1.

HPMC

- It is prepared by reacting alkali treated cellulose with methyl chloride with propylene oxide.
- As it forms bridging & rough Tablet surface, it has to be mixed with other polymers or plasticizers.

2.MHE

- It is prepared by reacting C alkali treated cellulose with methyl chloride & then with ethylene oxide.
- ❖ It has similar properties as that of HPMC,
- ❖ But it is soluble in fewer organic solvents, it is not used as frequently as HPMC .

- These polymers used in combinations with other polymers to modify film Properties.

- FOR EXAMPLE-

Combinations of PG waxes with Cellulose acetate phthalate provide film that are soluble in GI fluids.

3.EC

- It is manufactured by the reaction of ethyl chloride with cellulose dissolved in NaOH.
- It is available in different viscosity grades.
- Unplasticized EC forms brittle films & requires film modifiers to obtain acceptable film.

○ It is water insoluble & thus Cannot be used alone for tablet coating.

➤ It is usually combined with water Soluble additives

E.G.- HPMC to prepare film

with **reduced water soluble Properties**

& This combinations are widely Used

in sustained release coating.

4.HP C

- It is manufactured by the treatment of cellulose with NaOH followed by the reaction with propylene oxide at Elevated temperature and pressure.
- It forms tacky films.

- **Used in combinations with other polymers to improve film characteristics.**

- **It is soluble in water (below 40 °C & insoluble above 45 °C),**

**GI fluids &
in many polar
Organic solvents.**

5. POVIDONE

- It is synthetic polymer consisting of linear 1-vinyl-2-pyrrolidinone groups.
- It gives clear, glossy, hard films when dry.
- It give **tacky films** which can be overcome by **plasticizer** or other polymer.

6.ACRYLATE

POLYMERS

- These are marketed under the trade Name of Eudragit.
- Eudragit RL & RS are copolymers of
Acrylic and meth acrylic acid
esters.
- These films produce pH independent,
delayed actions.
- Preparation is similar to that of EC formulations.

ENTERIC FILM

FORMERS

- REASONS FOR ENTERIC FILM FORMERS-
 - To protect acid-labile drugs from gastric fluid e.g. Enzymes & certain Antibiotics.
 - To prevent gastric distress or nausea due to irritation from the drug .
e.g., Sodium salicylate.

- ❑ To deliver drugs intended for **local Action** in the intestines, e.g. Intestinal antiseptics.
- ❑ To deliver drugs that are **optimally Absorbed** in the small intestine to their primary absorption site.
- ❑ To provide a **delayed-release** component for repeat-action tablets.

PROPERTIES OF AN IDEAL ENTERIC COATING MATERIAL

- Resistance to gastric fluids.
- Susceptibility or permeability to intestinal fluids.
- Compatibility with most coating solution components & the drug substrates.
- Stability alone and in coating solution.
The film should not change on aging.

- Formation of a continuous film, nontoxicity, with low cost.
- Ease of application without Specialized equipment.
- Ability to be readily printed and allow film to be applied to debussed tablets.

ENTERIC FILM

FORMERS

- CAP(Cellulose acetate phthalate)
- ACRYLATE POLYMERS
- HPMCP(Hydroxypropyl methyl cellulose phthalate)
- PVAP(Polyvinyl acetate phthalate)

1.CA

P

- It is widely used.
- As it is hygroscopic and relatively permeable to moisture and gastric Fluids, film formed **are brittle and** hence formulated with hydrophobic- Film forming materials to achieve better enteric films.
- **Aquateric coating** is a reconstituted colloidal dispersion of latex particles. It is Composed of solid or semisolid polymer spheres of cap ranging in size **from 0.05-3 Microns with an a average particle size of 0.2 microns.**

2.ACRYLATE POLYMERS

- 2 forms of commercially available Enteric acrylic resins are

Eudragit L and Eudragit S.

Eudragit l is available as an organic Solution, solid or aqueous dispersion.

Eudragit s is available only as an organic solution and solid.

Eudragit l & s are soluble in intestinal Fluid at pH 6&7.

3.HPMC

P

- It is derived from HPMC by esterification with phthalic anhydride.
- These are stable than cap and dissolve At lower pH compared to cap and acrylate polymers.
- The solubility characteristic may result in Higher bioavailability of some specific drugs.
- It is available in various grades-

HP55,HP50 etc.

4 PVAP

- It is manufactured by the esterification of partially hydrolyzed Polyvinyl alcohol with phthalic Anhydride.
- It is similar to HPMCP(HP55) in
stability and
pH dependent solubility.

COATING COMPOSITION

It involves →

1. Solvent

2. Plasticizers

3. Colorants

4. Opaquant-extendors

1.SOLVENT

- It is to dissolve or disperse the polymers and other additives and convey them to the substrate surface.
- **The ideal requirements of the solvent are→**
 - ✓ It should either dissolve or disperse the polymer system.
 - ✓ It should have no environmental impact.
 - ✓ It should easily disperse other coating solution components in to the solvent system.
 - ✓ It should have rapid drying rate(ability to coat 300kg load in 3-5 hours)

- *It should be*

Colorless, tasteless, odorless, Inexpensive, nontoxic, inert and Noninflammable and rapid drying Rate.

- Examples-

Water, Ethanol, Methanol, Isopropanol, Chloroform, Acetone, Methylene chloride , Methylene ethyl ketone.

2.PLASTICIZER

S

- It is used to modify the quality of the film .
- Plasticizing techniques involve **internal plasticizers** and **external plasticizers**.
- Internal plasticizers → involves **Chemical modification** of the basic polymer that alters the physical properties of the polymers.
- Chemical plasticizers → Additives of the Coating solution to achieve the desire effect of the film (flexibility ,tensile Strength, adhesive properties)

- Level of plasticizers ranges from 1-50% by weight of film former.

- Examples →

 - Castor oil,
 - Propylene glycol,
 - Glycerin,
 - Surfactants

 - e.g., → Polysorbate(tweens), sorbitan esters(spans), organic acid esters.

3. COLORANTS

- It is to provide the distinct color and Elegance to the dosage form.
- To achieve the proper distribution of suspended colorants in the coating solutions requires →
Use of fine powdered colorants
(<10 microns)
- The concentration of colorants in the coating solution depends on the color shade, desired the type of dye and the concentration of the opaquqnt extenders

- For very light shade → conc. Lt 0.01%
- For dark shade → Conc. Mt 2.0% is required.
- The most common colorants in use are certified by FOOD DRUG AND COSMETICS (FD&C) or DRUG AND COSMETIC (D&C) Colorants.
- These are lakes and dyes.
- Lakes are derived from dyes by precipitating with carriers e.g., Alumina or talc.

○ The inorganic materials and the natural colorants are-

→ Iron oxides,

→Caramel,

→Carotenoid,

→Chlorophyll, indigo,

→Flavones,

→Turmeric and carminic acid.

○ A variety of products that are Commercially available are-

❖ Opalux- Opaquant color concentrate for sugar coating.

❖ Opaspray -for film coating.

❖ Opadry- complete film coating concentrate.

OPAQUANT- EXTENDERS

- These are very fine inorganic powders used In the coating solution formulation to provide more pastel colors and increase film coverage.
- Provide white coating or mask the color of the tablet core.

Examples → Titaniundioxide

Silicates like (Talc, Aluminiumsilicate)

Carbonates like-magnesium carbonate,

Sulphates like calcium sulphate.

FILM

DEFECTS

STICKING AND PICKING-Attaching of tablet to another.

REASONS

OVER WETTING

RAPID DRYING

TACKINESS OF TABLETS

REMEDY

REDUCE LIQUID APPLICATION

CONTROL RATE OF DRYING

CHANGE FORMULATIONS

ROUGHNESS- Formation of rough or gritty surface .

REASONS

INCREASE IN PATHLENGTH OF
SPRAY NOZZLE TO TABLETBED.

RAPID DRYING

REMEDY

DECREASE IN PATH LENGTH.

CONTROL THE DRYING RATE.

FILM DEFECTS

Picking and sticking



Orange Peel



Capping and Lamination



Roughness



Surface Roughness Value, $S_q = 5.41$
A. Tablet Coated with Advantia® Preferred HS Coating



Surface Roughness Value, $S_q = 8.40\mu m$
B. Tablet Coated with Polyvinyl Alcohol (PVA)-based Coating

Bridging



A. Tablet Coated with Advantia® Preferred HS Coating



B. Tablet Coated with Traditional HPMC-based Coating

Twining



Erosion



ORANGE PEEL EFFECT-Inadequate of spreading coating solution.

REASONS

RAPID DRYING.

HIGH VISCOSITY OF COATING SOLUTION.

REMEDY

DECREASE IN DRYING RATE.

DECREASE THE VISCOSITY BY ADDING SOLVENT.

BRIDGING – Shrinking or pulling away of film from corners.

REASONS

OVER WETTING.

LESS VISCOUS LIQUIDS.

SPREADABILITY PROBLEMS.

REMEDY

DECREASE THE APPLICATION RATE.

INCREASE VISCOSITY.

CHANGE THE FORMULATION.

BLISTERING-Removal of film due to rapid evaporation of solvent from tablet core.

REASONS

RAPID EVAPORATION OF SOLVENT DUE TO INCREASE IN TEMPERATURE.

HIGH VISCOSITY OF COATING SOLUTION.

REMEDY

DECREASE THE TEMPERATURE OF DRYING.

DILUTE THE COATING SOLUTION.

○ Apart from the all mentioned film coating techniques special techniques are used like

- ✓ COMPRESSION COATING,
- ✓ ELECTROSTATIC COATING,
- ✓ DIP COATING,
- ✓ VACUUM FILM COATING ,
- ✓ DRY COATING ,
- ✓ LAMINATED COATING are used.

CONCLUSION

- Coating is one of the important technique in manufacturing of dosage forms, improve the stability, shelf life and release pattern .
- Coating of dosage forms helps in improving patient compliance.
- Now-a-days, advanced techniques are preferred over the conventional types, because of effective coating, taking less time, and also improve the stability of the product (chances of degradation in coating time).