Tablet Coating

Tablet coating increases the cost of the product; therefore, the decision to coat a tablet is usually based on one or more of the following reasons:

1. To mask undesirable taste, odor, or color of the drug.
2. To protect the drug from environment.
3. To modify the release of the drug.
4. To incorporate another drug in the coating to avoid chemical incompatibility or provide bi-release tablets.
5. To improve the final elegance of the tablet.

In tablet coating, three aspects will be discussed, that are: *tablet properties, coating process and the coating formula*.

Tablet Properties

To withstand the saver mechanical stress that results from striking of tablets with the walls of the coating machine, the tablet must be strong enough and be resistant to abrasion.

Tablets that are brittle, soften in the presence of heat or affected by the coating materials are unsuitable candidates for table coating.

The shape of the tablets is also important. When the coating materials are applied to the tablets, the tablet surface becomes covered with a tacky film. This happens because the coating materials pass through three phases (with the continuous drying): sticky liquid, tacky semisolid and non tacky dry surface. The tablets must be in continuous motion during the drying phase otherwise, tablets agglomeration can occur. The ideal tablet shape for coating is a spherical which allows the tablets to roll freely in coating pan with minimal tablet-tablet contact. On the other hand, the worst shape of the tablets is a flat square in which case the coating materials collect between the surfaces to glue them together.

The tablet composition also plays a role. For the coat to adhere to the tablet, the coating materials must wet the surface of the tablet. Hydrophobic surfaces are difficult to coat with aqueous coatings that do not wet the surface. The adhesion of the coat can be improved by the addition of suitable surfactant, *why?*

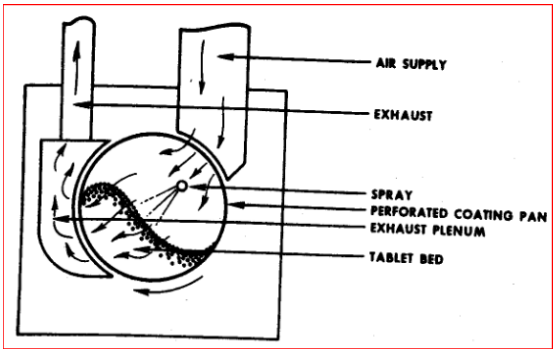
The Coating Process

Tablet coating is the application of coating materials to moving tablets with the concurrent use of heat to facilitate the evaporation of the solvent. The distribution of the coating materials is done by the continuous movement of the tablets in the coating pan. During the coating process, the tablets move through an application zone (in front of the nozzle) in which a portion of the tablets receive some of the coating materials. Outside this zone, a portion of the applied coating materials is transferred from one tablet to another. By the help of the hot air, the tablets are mostly in drying phase and are recycled repeatedly through the application zone until the desired coat is achieved.

The most widely used equipments in tablet coating are:

1. *Conventional pan coater*: it consists of a spherical pan mounted on a stand. The pan is rotated by a motor. Heated air is directed into the tablet bed and is exhausted by means of ducts. The coating materials (solution) are applied to the tablets by either ladling or spraying on the rotating tablets. Using of spraying is more preferred because it is faster, distribute the coating materials more evenly and reduce the drying time.

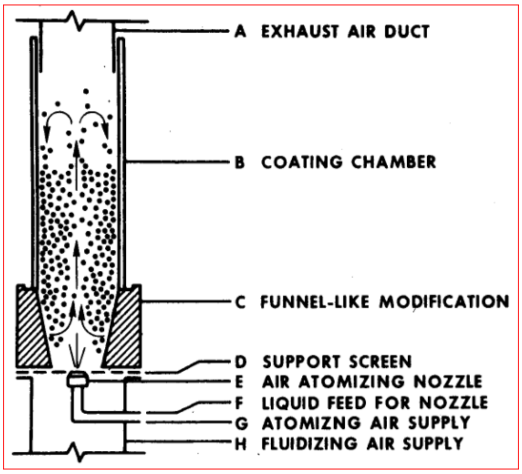
2. *Perforated pan coater:* it is the most widely used machine in tablet coating. It consists of a perforated drum that rotates in a closed chamber. Drying air is passed through the tablets and is exhausted through perforations in the drum. Perforated pan coaters are efficient with high coating capacity and can be automated completely for both sugar a nd film coating process.



3. *Fluidized bed coater (air suspension):* it is also highly efficient coating system. Fluidization of tablets is achieved in columnar chamber by upward flow of the drying air. The air flow is controlled so that the air stream enters the column causing the tablets to rise in the center, then they fall toward the chamber walls and move downward to re-enter the air

stream at the bottom of the chamber. The coating solution is continuously applied from a spray nozzle located on the top or bottom of the chamber.

As with the others, tablets that are friable are not suitable to be coated using this machine due to the very strong tablet-tablet impact during the coating process.



Types of Coatings

There are two types of coatings: sugar coating and film coating.

I. ***Sugar coating:*** it was commonly used in the past, although it may be used nowadays due to the excellent appearance it gives to the tablets. The sugar coating involves several steps, the duration of which ranges from few hours to several days. A successful product greatly depends on the skill of the operator. This is especially true in the pan-ladling method in which the coating solution is poured over the tablets. The operator determines the quantity of solution to be added, the rate of pouring, when to apply the drying air and how fast the tablets should be rotated in the pan.

The sugar coating process involves the following steps:

1. *Sealing:* to prevent moisture penetration into the tablet core, a sealing coat is applied. This is especially needed in pan ladling processes, in which localized over wetting of a portion of the tablets occurs. Without a sealing coat, the over wetted tablets would absorb excess moisture, leading to tablet disintegration and affecting the physical and chemical stability of the finished product. In the spray processes, it is possible to adjust the application of the subcoating and the next coats so that the localized over wetting does not occur. This adjustment may eliminate the need of the sealing step.

Shellac is an effective sealing agent, but tablets disintegration and dissolution times may prolong on aging because of the polymerization of the shellac. *Zein* is another material that has been used as an effective sealing agent. Prolongation of dissolution times have not been reported for zein on aging.

2. *Subcoating:* the subcoating is applied to round the edges and build up the tablet size. Sugar coating can increase the tablet weight by 50-100%. The subcoating step consists of applying a sticky binder solution (e.g. gelatin or sugar solution) to the tablets followed by a dusting of a powder (e.g. talc powder) and then drying. Subsequent subcoats are applied in the same manner until the desired thickness is achieved. For spray processes, a subcoating suspension containing both the binder and the dusting powder is sprayed intermittently on the tablets. It is worth to mention that *any coat should **not** be applied unless the previous one is completely dry*.

3. *Syruping* (smoothing/coloring): the purpose of this step is to hide the imperfections in the tablet surface caused by the subcoating step and to impart the desired color to the tablet. This step perhaps requires the most skill. The syruping coat may contain powder (e.g. calcium carbonate). Dilute colorants can be added in this step to provide a tinted color that facilitates uniform coloring in the later steps. In general, no color is added until the tablets are quite smooth; premature application to rough tablets can produce a mottled appearance in the final tablets. In the subsequent syruping steps, syrup solutions containing the dye are applied until the final color is achieved.

4. *Finishing*: in the finishing step, a few clear coats of syrup may be applied.

5. *Polishing*: the desired luster is obtained in this step. The tablets can be polished in clean coating pans by using certain wax (e.g. beeswax).

II. *Film Coating technique:* it is more preferred than sugar coating because it is faster, more economic, versatility of film forming polymers that can be used and it does not increase the final tablet weight greatly (only 2-5%). Film coating involves the same equipments and methods as that in sugar coating, as follows:

1. *Pan ladling method:* pan ladling methods have been used for many years for film coating, but they have been replaced by newer coating techniques (spraying) that are faster and more reproducible. Tablets coated by pan ladling method are subjected to alternate solution application, mixing and drying steps similar to that in sugar coating.

The disadvantages of ladling method are:

a. Relatively slow.

b. Relies heavily on the skill of the operator.

c. Requires long drying period to remove the solvent.

d. Aqueous-based film coatings are not preferred to be applied by this method because localized over wetting inherent with the pan ladling process causes numerous problems ranging from surface erosion to tablet instability.

2. *Pan spray method:* the introduction of spraying equipments was an evolution in the film coating process. Spraying allows an important advantage which is automatic control of the liquid application.

Whatever the coating is carried out in a conventional or perforated pan, certain variables of the process should be controlled to ensure good product quality. The variables to be controlled in pan-spraying film coating processes are:

a. Pan variables: the pan shape, loading and rotational speed are all affecting the mixing of the tablets. Uniform mixing is essential for depositing the same quantity of the film on each tablet. Pan speed does not affect the tablet mixing only, but also the velocity at which the tablets pass under the spraying area. Speeds that are too slow may cause localized over wetting, resulting in tablets sticking. Speeds that are too high may not allow enough time for drying before the same tablets are reintroduced under the spray; which results in a rough tablets.

b. Spray variables: the spray variables to be controlled are the rate of liquid application and the degree of atomization.

c. Drying air variables: the temperature, volume and rate are parameters of the process air that need to be controlled to obtain an optimum drying environment. The heat sensitivity of the film forming material and tablet core largely determines the upper temperature that can be applied. In general, high air temperatures cause more rapid solvent evaporation and consequently faster coating rate. The upper temperature limit that can be tolerated safely by the tablets is used most often.

3. *Fluidized bed method:* the fluidized bed systems have been successfully used for rapid coating of tablets. The coating solution used with this method is similar to that used for the pan method.

Adequate coating depends on the rate of the air. Too high air flow results in excess tablet friction and breakage. Too low air flow: the tablets do not move fast enough under the spray zone and over wetting may occur.

Notes:

* The strength of the film forming materials can be preliminarily checked by cast method. It is possible to diagnose the poor film properties quickly by this method. Cast films can be prepared by spraying the coating solution on a glass surface to get a uniform film thickness which is then removed and tested to reveal the film properties.

Coated Tablet Evaluation

Evaluation of the quality of coat on a tablet involves studying not only the film per se, but also the film-tablet interaction. A number of tests can be employed as follows:

1. Adhesion tests with a suitable device (e.g., texture analyzer) have been used to measure the force required to peel the film from the tablet surface.
2. Crushing strength of coated tablets can be determined with a tablet hardness tester. With this test, one is seeking information on the relative increase in crushing strength provided by the film.
3. The rate of coated tablet disintegration and/or dissolution. Unless the coat is intended to control drug release, the coat should have a minimal effect on tablet disintegration or dissolution.
4. In general, visual inspection is sufficient to define relative coated tablet quality. A practical qualitative measure of the resistance of a coated tablet to abrasion can be obtained by merely rubbing the coated tablet on a white sheet of paper. Strong films remain intact and no color is transferred to the paper; very soft coats are readily "erased" from the tablet surface to the paper.

Materials Used in Film Coating

I. *Film Formers*: are polymers used to form the film around the tablet. Their types are:

a. *Non enteric materials*: it is not possible to mention all the polymers that have been used for film coating. The followings are some examples that used most commonly.

Hydroxy Propyl Methyl Cellulose (HPMC): this polymer is the material of choice for air suspension and pan-spray coating systems. The reasons for its widespread acceptance include: (1) solubility of the polymer in organic and aqueous solvents, (2) noninterference with tablet disintegration and drug availability, (3) flexibility (chip resistance), (4) stability in the presence of heat, light, air and moisture, (5) ability to incorporate color and other additives into the film without difficulty. In fact, HPMC closely approaches the properties of an ***ideal polymer*** for film coating.

Ethyl Cellulose (EC): this material is completely insoluble in water, thus cannot be used alone for tablet coating. It is usually combined with water-soluble polymers, e.g., HPMC, to prepare films with reduced water solubility. A combination of ethyl cellulose with water-soluble polymers has been widely used in preparing sustained-release coats. The polymer is soluble in a wide variety of organic solvents and is nontoxic, colorless, odorless, tasteless, and quite stable to most environmental conditions.

b. *Enteric materials*: the most important reasons for enteric coating are:

1. To protect the drug from the stomach, e.g., enzymes and certain antibiotics.
2. To protect the stomach from the drug, e.g., salicylates.
3. To deliver drugs intended for local action in the intestines, e.g., intestinal antiseptics could be delivered to their site of action in a concentrated form and bypass systemic absorption in the stomach.

Note: the pH of stomach varies from 1-5. An ideal enteric polymer should dissolve or become permeable near and above pH 5. Below are some examples of enteric polymers:

Cellulose Acetate Phthalate (CAP): it has been used widely in the industry. It has the disadvantage of dissolving only above pH 6 and possibly delaying the absorption of drugs. It is also hygroscopic and relatively permeable to moisture and gastric fluids.

Acrylate polymers (Eudragit): these polymers produce films that are resistant to gastric fluid and are soluble in GIT fluid at pH 6-7.

Hydroxy Propyl Methyl Cellulose Phthalate (HPMCP): these polymers dissolve at a lower pH (pH 5) and this solubility may result in higher bioavailability of some drugs.

II. *Solvents*: The most widely used solvents (either alone or in combination) are water, ethanol, chloroform and acetone. Because of environmental and economic considerations, water is the solvent of choice; however, several polymers cannot be applied as aqueous solutions.

III. *Plasticizers*: A plasticizer is a material which when incorporated with the film former, improves the flexibility and adhesion properties of the resulting film.

Without a plasticizer, most of the polymers tend to pack together in a rigid three dimensional arrangements. When used in the correct proportion, the plasticizers impart flexibility to the polymer by decreasing their molecular rigidity.

A combination of plasticizers may be needed to achieve the desired effect. The concentration of the plasticizer(s) depends on many factors including the polymer type and method of application. The amount and type of plasticizers to be used for any given polymer can be based on the polymer manufacturer's recommendations. Concentration of a plasticizer is expressed in relation to the polymer being plasticized. Recommended levels of plasticizers can range from 1-50% W/W of the film former. Some of the commonly used plasticizers are castor oil, glycerin, low molecular weight PEG (200-400 series), Tweens and Spans. In aqueous coating, water-soluble plasticizers, e.g., PEG are used. Conversely, castor oil and Spans are used primarily for organic coating solutions. For a plasticizer to be effective, it should be soluble in the solvent that used for dissolving the film former. The plasticizer and the film former must be at least partially soluble or miscible in each other.

IV. *Colorants*: they may be soluble in the solvent or suspended as insoluble powders. Color variation in a product can be readily detected by patient; therefore, the colors must be reproducible. Lakes have become the colorants of choice for sugar or film coating systems, as more reproducible colors are achieved.

V. *Opaquants*: these are very fine inorganic powders used in the coating solutions to provide more pastel colors. The opaquants can provide a white coating or mask the color of the tablet core. Colorants are much more expensive than these materials and less colorant is required when opaquants are used. The most commonly used material for this purpose is titanium dioxide.