TABLETS

LECTURE 2

SUGAR- AND CHOCOLATE-COATED TABLETS

1. **Chocolate-coated tablets** are nearly a thing of the past.

Disadvantage: They are too easily mistaken for candy by children.

2. **Sugar-coated tablets** suffer the same disadvantage.

Advantages: A- produce an elegant, glossy, easy-to-swallow tablet dosage form.

B- permit separation of incompatible ingredients between coating and core (example: preparation of many multivitamin and multivitamin mineral combinations).

- **The process as originally developed was:**

1. **Time-consuming**
2. **Required skilled coating artisans.**
3. **Doubled tablet weight.**

- **Today:**

i. **Water-soluble polymers are often incorporated in the sugar solution**

ii. **Automated-spray coating equipment is employed**

iii. **High-drying-efficiency side-vented coatings pans are used**

iv. The result is that the coatings are more **elastic and mechanically stable, coat weight may be 50% or less of the core weight**, and the **process may be completed in a day or less.**

FILM-COATED TABLETS.

- An alternative procedure to the preparation of coated tablets in which drug was not required in the coating.

Method of preparation in the past: The initial film-coating compositions employed **one or more polymers** + **plasticizer** for the polymer + **surfactant to facilitate spreading**, all delivered to the tablets in solution from an **organic solvent**.

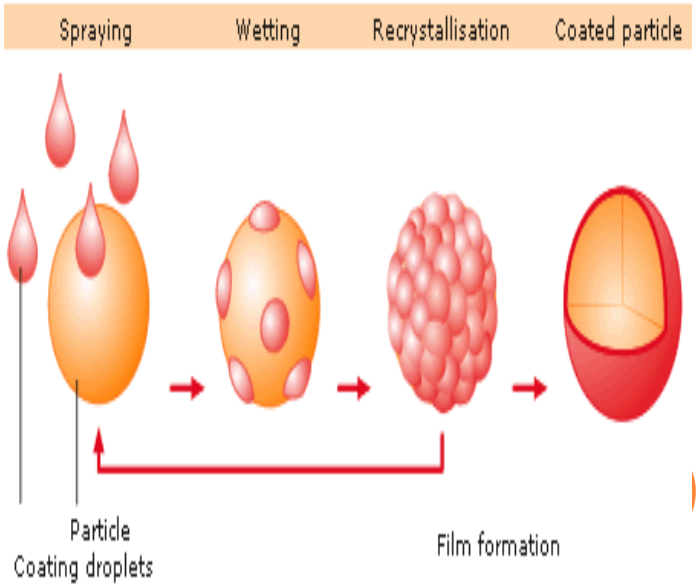
Considered as an attractive tablet coating method

Since it permitted the completion of the tablet coating operation in a period of one or two hours.

Note: An airless spray coating was typically employed for film-coating compositions, using either conventional coating pans or side-vented equipment.

Development in film coating:

1) Nowadays film coating process is totally aqueous- based procedure, in which polymers such as hydroxypropyl cellulose (HPC) and hydroxypropyl methylcellulose (HPMC), which are dissolved in water with an appropriate plasticizer, to produce immediate-release film coating.
2) The recent development of a colloidal dispersion of ethylcellulose in water also makes it possible to produce slow- or controlled-release film coatings without the use of organic solvents.



- **Film-coated tablets offer a number of advantages over sugar-coated tablets:**

1. Better mechanical strength of the coating based on the elasticity and flexibility of the polymer coating
2. Little increase in tablet weight
3. The ability to retain debossed markings on a tablet through the thin film coating
4. Basically tasteless
5. Less likely to be mistaken for candy
6. In the future will assume increasing importance as means of controlling drug delivery release rates from both tablets and bead particles as well as from drug crystals.

- **Disadvantage of film coating compared with sugar coating**: difficult to produce film-coated tablets that match the physical appearance and elegance of the sugar-coated product.

Chewable tablets.

How to use: Intended to be chewed in the mouth prior to swallowing.

Purpose of use: Provide a unit dosage form of medication which can easily administered to children or to the elderly, who may have difficulty swallowing a tablet intact.

Ex: The most common chewable tablet on the market is the chewable aspirin tablet intended for use in children.

Not used for: Bitter or foul-tasting drugs.

Many antacid tablet products are of chewable type. The chewable tablet offers two major advantages to the delivery of a solid antacid dosage form:

1. The dose of most antacids is large, so that the typical antacid tablet would be too large to swallow.
2. The activity of an antacid is related to its particle size. If the tablet is chewed prior to swallowing, better acid neutralization may be possible from a given antacid dose.

Tablets used in the oral cavity

Buccal and Sublingual Tablets

Properties of these two classes:

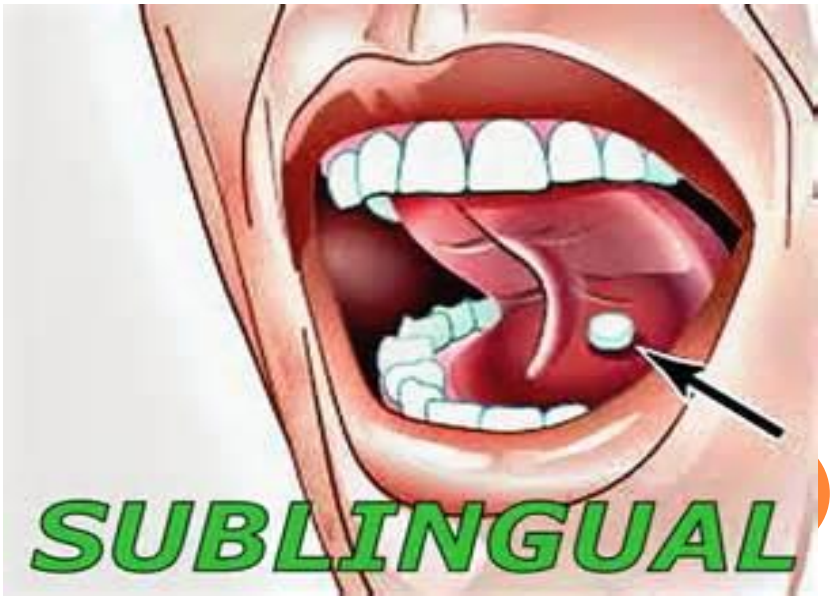
1. Intended to be held in the mouth, where they release their drug contents for absorption directly through the oral mucosa.
2. Small and somewhat flat and are intended to be held between the cheek and teeth or in the cheek pouch (buccal tablets), or beneath the tongue (sublingual tablets).
3. Drugs administered by this route are intended to produce systemic drug effects, and consequently, they must have good absorption properties through the oral mucosa.

Advantages:

1. Avoid decomposition of drugs in gastric environment that are well absorbed in the mouth (certain steroids and hormones).
2. A more rapid onset of drug action occurs than for tablets that are swallowed (vasodilators).
3. The first-pass effect may be avoided as the drug absorption from oral mucosa into the blood-stream leads directly to the general circulation.
4. The nausea produced when this product is swallowed can be avoided (e.g., methyltestosterone).

Designing of buccal and sublingual tablets:

1. Formulation with bland excipients, which do not stimulate salivation ⟹ reduces the fraction of the drug that is swallowed rather than being absorbed through the oral mucosa.
2. Designed not to disintegrate but to slowly dissolve, typically over a 15- to 30-min period, to provide for effective absorption.



Troches and Lozenges

Uses: In the oral cavity to exert a local effect in the mouth or throat.

Treat sore throat or to control coughing in the common cold.

Due to

Containing local anaesthetics, various antiseptic and antibacterial agents, demulcents, astringents, and antitussives.

- **Notes:** a- designed not to disintegrate in the mouth but to dissolve or slowly erode over a period of perhaps 30 min or less.

b- Lozenges were originally, termed **pastilles**, but are more commonly called **cough drops**.

Manufacture:

A. **Lozenges:** can be **made by compression but are usually formed by fusion or by a candy-molding process** (drug incorporated in a flavored hard-candy sugar base).

B. **Troches:** **are manufactured by compression as are other tablets.**

DENTAL CONES

How to use: Minor tablet form that are designed to be placed in the empty socket remaining following a tooth extraction.

Purpose of use:

a. **Prevent the multiplication of bacteria in the socket following such extraction by employing a slow-releasing antibacterial compound**
b. **Reduce bleeding by containing an astringent or coagulant.**

Note: The vehicle used for these tablets is sodium bicarbonate, sodium chloride, or an amino acid.

Mechanism: The tablet should be formulated to dissolve or erode slowly in the presence of small volume of serum or fluid, over a 20- to 40-min period, when loosely packed in the extraction site.

TABLETS ADMINISTRATED BY OTHER ROUTES

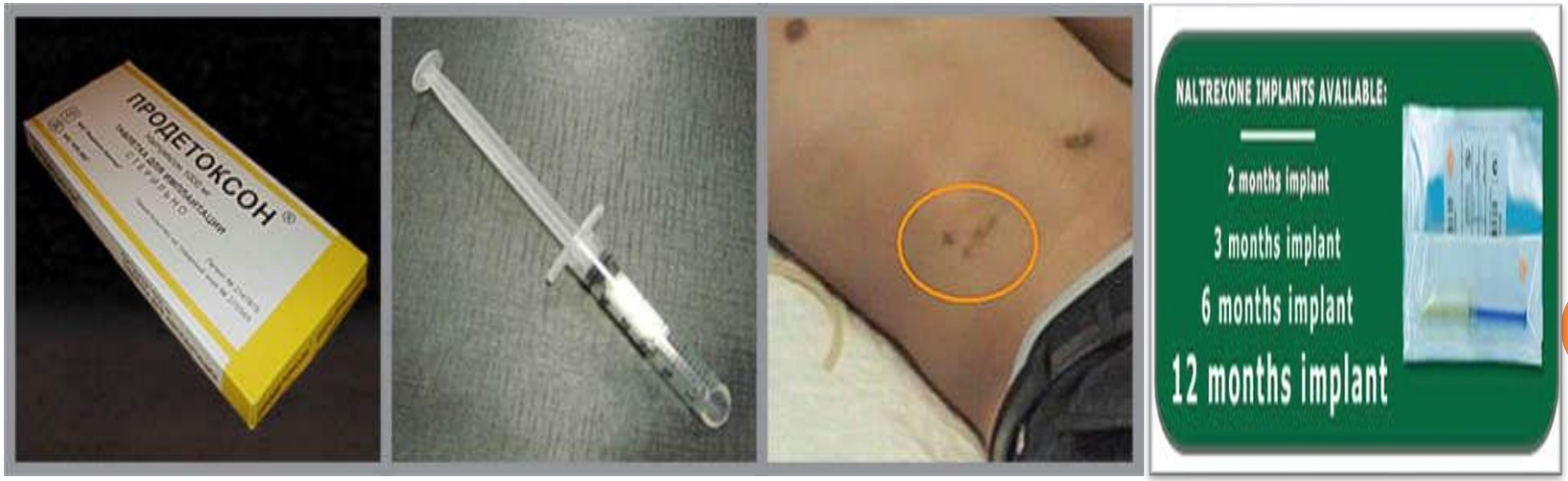
Implantation (depot) tablets

Purpose of design:

i. Subcutaneous implantation in animal or man provide prolonged drug effects, ranging from one month to a year.

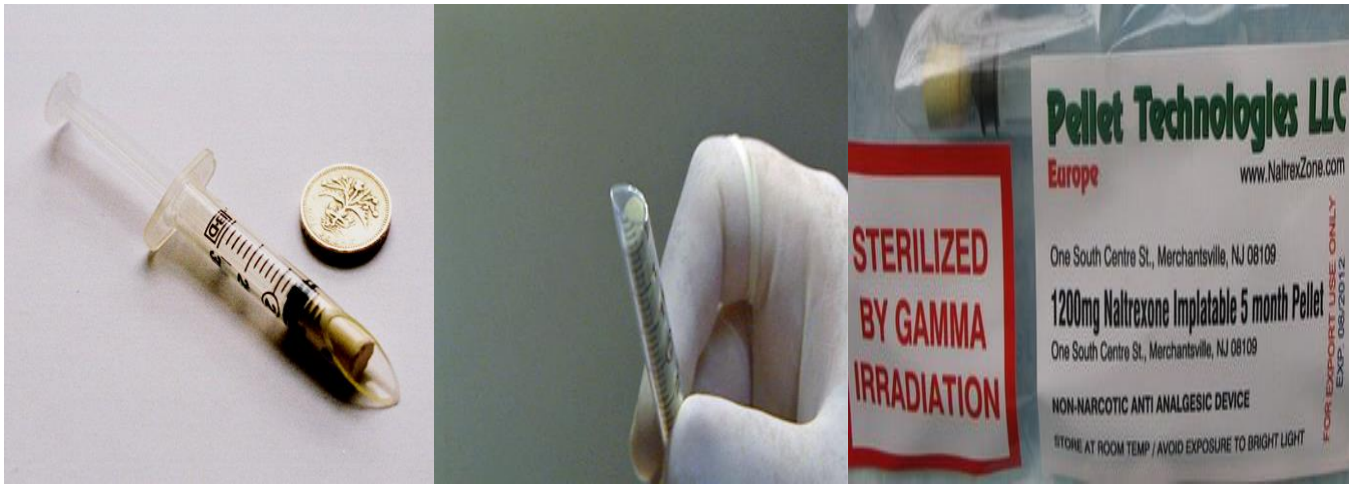
ii. provide as constant a drug release rate as possible.

Properties: **These tablets are usually small, cylindric, or rosette-shaped forms, and are typically not more than 8 mm in length.**



Disadvantages: a- Safety problems include the need for a surgical technique to discontinue therapy, b- tissue toxicity problems in the area of the implantation site.

Technique of use: a- A special injector utilizing a hollow needle and plunger (the Kern injector) may be used to administer rod-shaped tablets, b- surgical techniques may be required for administering tablets of other shapes.



VAGINAL TABLETS

Properties:

A. Designed typically as ovoid or pear-shaped to facilitate retention in the vagina.

B. Designed to be compatible with some type of plastic tube inserter, which is usually employed to place the tablet in the upper region of the vaginal tract.

C. Designed to undergo slow dissolution and drug release in the vaginal cavity.

Uses: release antibacterial agents, antiseptics, or astringents to treat vaginal infections, or possibly to release steroids for systemic absorption.

Note: The tablets are often buffered to promote a pH favorable to the action of a given antiseptic agent.

TABLETS USED TO PREPARE SOLUTIONS

Effervescent tablets

Designed to produce a solution rapidly with the simultaneous release of carbon dioxide.

Preparation: compressing the active ingredients with mixtures of organic acids-such as citric acid or tartaric acid-and sodium bicarbonate.

Mechanism: a tablet is dropped into a glass of water, a chemical reaction is initiated between the acid and the sodium bicarbonate to form the sodium salt of the acid, and to produce carbon dioxide and water within 1 min or less.

Note: In addition to having the capability of producing clear solutions, such tablets also produce a pleasantly flavored carbonated drink, which assists in masking the taste of certain drugs.

Advantages:

1. Provides a means of preparing a solution containing an accurate drug dose (ex: aspirin)
2. The solution produced by effervescent aspirin tablet has a pH of about 8 and it is less irritating to the stomach mucosa.

If the volume and pH of solution are adequate to raise gastric contents to neutral or near-netural pH

Aspirin remains in solution and is rapidly available upon emptying from the stomach.

Disadvantage: difficulty of producing a chemically stable product:

a) **Even the moisture in the air during product preparation may be adequate to initiate effervescence.**

a) **The moisture to which tablets are exposed after opening the container can also result in a rapid loss of product quality in the hands of the consumer.**

Reasons for Packaging:

i. Packaged in hermetic-type foil pouches or are stack-packed in cylindric tubes with minimal air space to have good stability.

ii. Tablets are usually compressed to be soft enough to produce an effervescent reaction that is adequately rapid.

Dispensing tablets (DT)

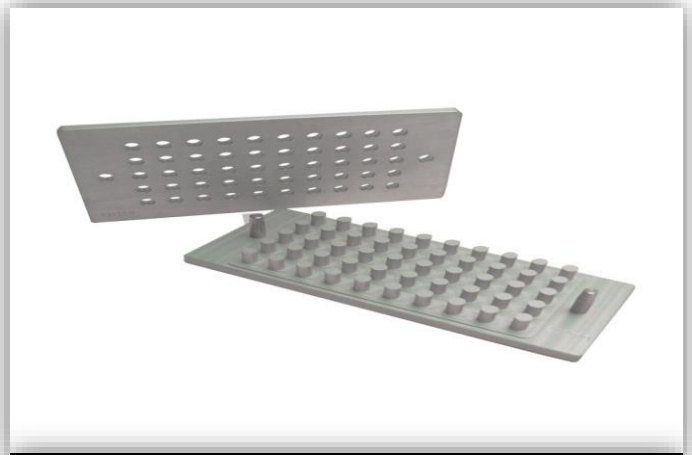
Uses: intended to be added to a given volume of water by the pharmacist or the consumer, to produce a solution of a given drug concentration.

Note: materials used commonly incorporated in dispensing tablets include mild silver proteinate, bichloride of mercury, merbromin, and quaternary ammonium compounds.

Not used: due to components previously used in this dosage form are highly toxic and are extremely hazardous, and even lethal, if mistakenly swallowed.

HYPODERMIC TABLETS (HT)

Composed of one or more drugs with other readily water-soluble ingredients and are intended to be added to sterile water or water for injection. (extemporaneous preparation of an injectable solution).



TABLET TRITURATES (TT)

Properties: small, usually cylindric, molded, or compressed tablets.

Preparation: 1- The drugs employed in such products were usually quite potent and were mixed with lactose and possibly a binder, such as powdered acacia.

2- The mixture was moistened to produce a moldable, compactable mass. Then forced into the holes of a mold.

3- After tablets were ejected from a mold then allowed to dry.