Industrial Pharmacy *Husam Tizgam*

References:

- The Theory and Practice of Industrial Pharmacy by L. Lachman
- The Science of Dosage Form Design by M. Aulton

Objectives*:*

This course enables the student to formulate different dosage forms and the principles needed for the large scale production of them. The syllabus includes different dosage forms like tablets, capsules, aerosols, emulsion, etc, besides some advanced techniques like microencapsulation.

Tablets

The tablet is a unit dosage form containing one or more constituents prepared by compression to suitable shape.

The oral route is the most important method of administering drugs for systemic effect. It is estimated that at least 90% of all drugs used to produce systemic effect are administered by the oral route.

Of drugs that are administered orally, solid oral dosage forms (tablet and capsule) represent the preferred products.

Tablets and capsules are more preferred than liquid dosed forms (syrup, suspension, emulsion and elixir) because the latter suffer from the following disadvantages:

1. The patient is asked to measure his medication by a spoon. Such dose measurements are in error by a factor may reaches up to 50%.
2. Liquid dosed forms are more expensive.
3. They are susceptible to breakage and leakage.
4. Taste-masking is more difficult in liquid dosed forms.
5. They are less stable physically, chemically and biologically.

Now, tablets are more preferred than capsules for the following reasons:

1. Tablets have greater dose accuracy.
2. Lowest cost of all dosage forms.
3. Tablets are the easiest in term of packaging and shipping.
4. Tablets are easer in swallowing than capsules.
5. They may be used for special release profile such as delayed-release and enteric coated products.
6. Large-scale production is easier.
7. They have better chemical, physical and biological stability than capsules.
8. Flexibility of doses since the tablets can be divided (scored tablets).

On the other hand, tablets possess the following disadvantages:

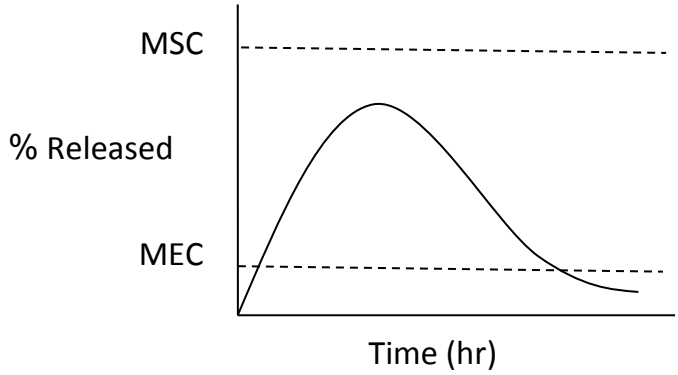
1. Some drugs resist compression (poor compressibility) resulting in friable tablets owing to their amorphous nature or low density.

2. Drugs with poor wettability, slow dissolution rate and large doses are difficult to be formulated as tablets.

3. Drugs with ***very*** bitter taste (intolerable), objectionable odor or sensitive to air or moisture are better to be formulated as capsule.

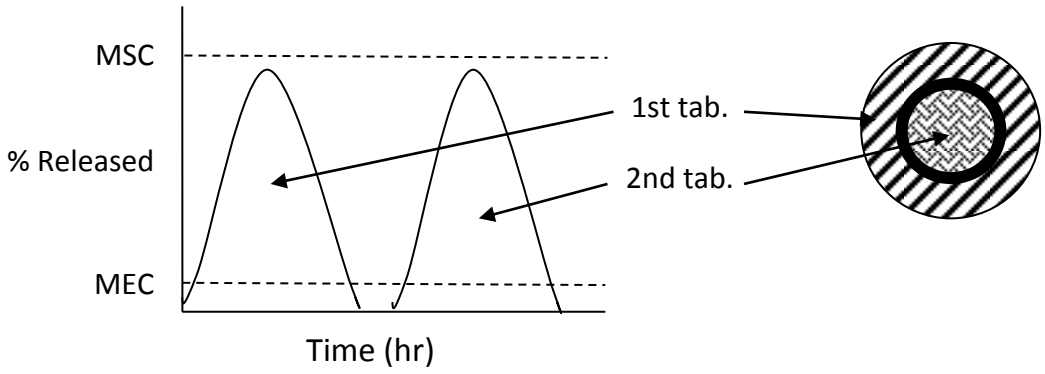
Types of Tablets

1. Uncoated tablet: this type refers to the standard conventional tablets made by compression. It is formulated to provide the usual disintegration and release rates.



2. Multiple compressed tablets: they are also called bilayer or repeat-action tablets. They are made by more than one compression cycle and composed of two layers each containing either different or the same active ingredient. The reasons behind the formulation of such dosage forms are:

- To separate incompatible drugs.
- To repeat the drug action.



3. Enteric coated tablets: they are coated with substances that resist disintegration in gastric acids but disintegrate in intestine. Enteric coating is useful for two things:

- To protect the drug from the stomach.
- To protect the stomach from the drug.

4. Sugar coated tablets: these are tablets surrounded by sugar coat which is useful in covering up drugs processing objectionable taste or odor and in protecting drugs sensitive to oxidation.

5. Film coated tablets: they are covered with a thin layer of water soluble polymer. Film coating imparts the same general characteristics as sugar coating with the added advantage of reduced total tablet weight and reduced time required for the coating operation.

6. Chewable tablets: these are intended to be chewed in the mouth prior to their swallowing. The purpose of chewable tablets is to provide a unit dosage form which can easily be administered to children and elderly.

7. Buccal and sublingual tablets: these types are intended to be held in the mouth (not to be ingested) where they release their drug contents for absorption through oral mucosa directly. The buccal tablets are intended to be held between the cheek and gum whereas the sublingual tablets held beneath the tongue.

Drugs administered by this route are intended to produce ***systemic*** effect directly from oral mucosa and thus, they must have very good absorption properties.

The advantages of this route are:

- It avoids the 1st pass metabolism in the liver, therefore, increases the bioavailability.
- Avoids decomposition in the stomach (for acid sensitive drugs).
- More rapid onset of action.

The most famous example of drugs delivered by this route is nitroglycerin.

8. Orodispersible tablets: they are new type of tablets. These tablets disintegrate and/or dissolve rapidly in the month (either on or beneath the tongue or in the buccal cavity) without water within few seconds to few minutes. Upon placement in the mouth,

orodispersible tablets absorb saliva rapidly in to the tablet core allowing the disintegrants (super disintegrants) to swell, rapture the tablet and liberate its components that form solution or suspension, which in turn can be swallowed easily without water. On the other hand, orodispersible tablets can be swallowed intact; i.e., as if they were conventional tablets by using water to push them down to the stomach.
Orodispersible tablets have many advantages such as increase patient compliance, ease of swallowing for both children & elderly, fasting onset of action and much more.

9. Effervescent tablets: In addition to the drug, these tablets contain sodium bicarbonate and an organic acid such as tartaric or citric acid or both. In the presence of water, these materials react to liberate carbon dioxide that act as a disintegrant and produce effervesce.

The advantages of effervescent tablets are that they provide a mean of preparing solutions containing an accurate dose and they mask the unpleasant taste of drugs by both the blocking effect of CO_2 on the tongue receptors and the flavored carbonated drink.

10. Tablets for solution: they are intended to be added to a given volume of water by the pharmacist to provide a solution of a given concentration.

Examples:

- Povidone tablet when dissolved in one litter of water gives 10% povidone solution.
- Buffer tablet when dissolved in one litter of water gives standard buffer solution.

The solution tablets contain high concentration of the substance to be prepared as solution. Therefore, they should not be swallowed, otherwise, sever toxicity or even death may result.

11. Sustained release tablets: they are designed to release the drug ***slowly*** over a prolonged period of time. This type also called controlled release, prolonged release or modified release tablet.

12. Vaginal tablets: they are formulated to undergo slow dissolution in the vaginal cavity. This type is used mostly to treat vaginal infections (locally) although some of them may be used for systemic absorption.

13. Implantation tablets: implantation (or depot) tablets are inserted subcutaneously to provide very long release period ranging from one mouth to one year. They designed to provide as constant release rate as possible. These tablets are usually cylindrical, small in size and do not exceed 8 mm in diameter.

Two disadvantages is the cause of the rare use of implantation tablets:

1. The need for surgical operation to apply and discontinue the therapy.
2. Tissue toxicity at the site of implantation.