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Review Article

The Overview of Oral Solid Dosage Forms and Different Excipients Used for Solid Dosage Formulation

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Abstract: When creating pharmaceutical dosage forms, the selection of excipients plays a significant role in the preformulation and formulation research. Excipients physical, mechanical, and chemical characteristics have a big impact on the final product and other formulation parameters like disintegration, dissolution, and shelf life. As a result, numerous studies have been carried out to assess how drug-excipient interactions affect the formulation as a whole. The information on excipients physical and chemical instability and compatibility with the active pharmaceutical component in solid oral dosage forms during various drug manufacturing procedures is reviewed in this article. The impact of these interactions on the drug formulation process has been discussed in detail. Examples of various excipients used in solid oral dosage forms have been included to elaborate on different drug-excipient interactions.

Keywords: Oral Solid Dosage Forms, Powder, Capsules, Tablet, Pills, Excipients for Solid Dosage Form.

1. INTRODUCTION

Since the nineteenth century to date, the oral solid dosage form, such as tablets and capsules, has been the most predominant and frequently used medication form. This is not only because of the convenience of oral solid dosage form administration for patients but also because of their cost-effectiveness in manufacturing for pharmaceutical companies. Hence, taking oral solid dosage form safely and effectively is particularly important. Important factors affect the oral solid dosage form medication adherence and taking tablet and capsule formulation correctly (FDA 2009). The successful oesophagus passage and the transit time of oral solid dosage form depend significantly on the body position at swallowing time, even in subjects without an oesophageal motility disorder.

One study showed that the overall rate of successful oesophageal passage of a tablet, such as a barium sulphate drug, was only 17% among 20 healthy participants who swallowed the tablets in a supine position. However, this passage rate of the tablets was significantly increased to 66.5% when swallowed in a 45° upright position of the upper body and to 69.7% when swallowed in a vertical position. Although the transit time of tablets in the upright position were the shortest, the study also showed that the passage of the tablets was improved by increasing the amount of water intake with the tablets in all three body positions. Solid dose forms of medications are frequently delivered, with oral administration being the most popular. Physical and chemical properties, as well as excipients added to the formulations, are critical in facilitating administration, getting the medication into the

systemic circulation, and achieving the required therapeutic efficacy. Tablets and capsules have been around since the nineteenth century. dosage forms in the form of tablets and capsules that include a combination of active and excipient components. These dosage forms include a precise dosage of the medication. The solid dosage forms are available mostly in unit dosage forms such as; Tablets, Capsules, Pills, Pastilles, Lozenges, Catches, and Powders.

2. The Need for Dosage Forms

- To provide a safe and convenient delivery of accurate dosage.
- Many dosage forms can be easily identified from their distinct colour and shape.
- To protect the drug substance from oxidation, hydrolysis, and reduction. i.e., coated tablets.
- To protect the drug from the destructive effect of gastric juice of the stomach after oral administration.
- To mask the bitter taste and odour of a drug substance. i.e., capsules, flavoured syrups etc.
- To provide the insertion of drugs into body cavities (rectal, vaginal suppositories).
- To provide maximum drug action from topical administration sites. i.e., creams, ointments, etc.
- To provide sustained released action through controlled release mechanism, i.e., sustained release tablets.
- To provide liquid dosage forms of the drug suitable in a suitable vehicle. i.e., solution.
- To provide liquid preparation of the drugs which are insoluble and unstable in different vehicles. i.e., suspension.

3. Bioavailability

Bioavailability is influenced by factors such as the method of manufacture or compounding, particle size, crystal form (polymorph) of the drug substance, the properties of the excipients used to formulate the dosage form, and physical changes as the drug product ages. Assurance of consistency in bioavailability over time (bioequivalence) requires close attention to all aspects of the production (or compounding) and testing of the dosage form. With proper justification, in vitro release testing (e.g., disintegration and dissolution) may be used as a surrogate to demonstrate consistent availability of the drug substance from the formulated dosage.

4. Classification of Solid Dosage Form

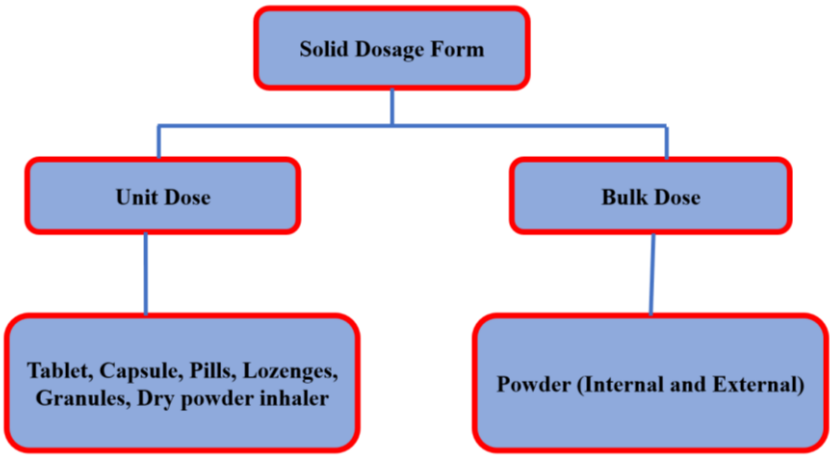

Fig 1: Classification of Oral Solid Dosage Form

- **Tablets:** Tablets are compressed solid dosage form contain therapeutic active ingredients and excipients.
- **Capsules**: Capsules are solid dosage forms where the therapeutic active ingredient granules are enclosed within a hard or soft soluble shell.
- **Granules:** Granules are solid dosage forms made up of agglomeration of smaller particles of powder.
- **Sachets:** Sachets are solid dosage forms containing therapeutic ingredients. Small size spherical granules packed into a small bag or pouch packet.
- **Lozenges:** Lozenges are the solid dosage form that dissolves slowly into mouth. Lozenges contain a drug along with flavouring and sweetening agents.

4.1 Powder

A powder is a dry, bulk solid that can flow freely when shaken or tilted. It is made up of numerous, extremely small particles. Although the labels powder and granular are occasionally used to

designate discrete classes of material, powders are a particular subclass of granular materials.

Types of powders:

- Loose powders
- Pressed Powder. A pressed powder is ideal for a gal on the go or someone who wants a bit more precision and a wider shade range
- Translucent Powder
- Coloured Powders
- Setting powder
- Finishing powder
- Colour Correcting Powders

4.1.1 Advantages of powder

- Powders are more physically and chemically stable when compared to the liquid dosage form.
- The drug product in the powder dosage forms is less prone to microbial contamination.
- It is an ease mode of drug administration when the dose is very large.

4.1.2 Disadvantages of powder

- Powders are not the dosage form of choice for drugs with unpleasant taste.
- Drugs that deteriorate rapidly with exposure to atmosphere or acidic pH should not be dispensed as powders.
- Powders are bulky and inconvenient to carry.

4.2 Capsules

Capsules are a solid dosage form in which the drug substances are enclosed in a water-soluble shell or an envelope. A capsule shell is made from gelatine. The capsules are available both as hard capsule.

4.2.1 Types of Capsules

There are two types of capsules:

1. Hard gelatine capsules
2. Soft gelatine capsules

A hard gelatine capsule is a type of capsule that is usually used to contain medicine in the form of dry powder or very small pellets. Oral medications include tablets and hard gelatine capsules that are filled with powder. Hard gelatine capsules are usually filled with powders, granules. A soft gel or soft gelatine capsule is a solid capsule (outer shell) surrounding a liquid or semi-solid centre (inner fill). An active ingredient can be incorporated into the outer shell, the inner fill, or both. They are oral dosage form for medicine similar to capsules.

4.2.2 Advantages of capsules

- Fast acting. Capsules tend to break down more quickly than tablets.
- Tasteless. Capsules are less likely to have an unpleasant taste or odour.
- Tamper-resistant. They're often made so that it's not as easy to split them in half or crush like tablets.
- Higher drug absorption.

4.2.3 Disadvantages of capsules

- Less durable.
- Capsules tend to be less stable than tablets.
- Shorter shelf life. Capsules expire more quickly than tablets.
- More expensive. Capsules that contain liquids are generally more expensive to manufacture than tablets and may cost more as a result.
- May contain animal products.
- Lower doses.

4.3 Tablet

A tablet (also known as a pill) is a pharmaceutical oral dosage form (oral solid dosage, or OSD) or solid unit dosage form. Tablets may be defined as the solid unit dosage form of medicament or medicaments with suitable excipients. Tablets are prepared from formulations that have been processed by one of three general methods: wet granulation, dry granulation (roll compaction or slugging), or direct compression.

4.3.1 Method of formulation of tablet

4.3.1.1 Wet granulation

Involves the mixing of dry powders with a granulating liquid to form a moist granular mass that is dried and sized prior to compression as per Fig 2. It is particularly useful in achieving uniform blends of low-dose drug substances and facilitating the wetting and dissolution of poorly soluble, hydrophobic drug substances.

4.3.1.2 Dry granulation

Dry granulation can be produced by passing powders between rollers at elevated pressure (roll compaction). Alternatively, dry granulation also can be carried out by the compaction of powders at high pressures on tablet presses, a process also known as slugging. In either case, the compacts are sized before compression. Dry granulation improves the flow and handling properties of the powder formulation without involving moisture in the processing.

4.3.1.3 Direct compression

Tablet processing involves dry blending of the drug substance(s) and excipients followed by compression. The simplest manufacturing

technique, direct compression, is acceptable only when the drug substance and excipients possess acceptable flow and compression properties without prior process steps.

4.3.2 Types of Tablets

4.3.2.1 Compressed tablets

A pharmaceutical tablet formed by subjecting dry granular powders to sufficient pressure to make the particles cohere.

4.3.2.2 Sugar-coated Tablets

Sugar coating is used in immediate release applications to mask unpleasant taste and odour of some drugs or to improve aesthetic qualities of the product.

4.3.2.3 Film-Coated Tablets

Film coating is widely used to achieve various pharmaceutical and therapeutic goals. Conventional solvent-based film coating involves deposition of a thin polymer film on the surface of the tablet core, typically using a spray method.

4.3.2.4 Effervescent Tablets

Effervescent tablets are designed to release carbon dioxide upon contact with water, promoting their disintegration. Within a couple of minutes, the tablets completely dissolve and the drug becomes available in solution.

4.3.2.5 Enteric-coated Tablets

Enteric coating is a polymer applied to oral medication. It serves as a barrier to prevent the gastric acids in the stomach from dissolving or degrading drugs after you swallow them. Without full enteric protection, many drugs would fall apart rapidly in stomach acids.

4.3.2.6 Chewable Tablets

Chewable tablets are an oral dosage form intended to be chewed and then swallowed by the patient rather than swallowed whole. They should be designed to be palatable and be easily chewed and swallowed.

4.3.2.7 Buccal and Sublingual Tablets

Sublingual administration involves placing a drug under your tongue to dissolve and absorb into your blood through the tissue there. Buccal administration involves placing a drug between your gums and cheek, where it also dissolves and is absorbed into your blood.

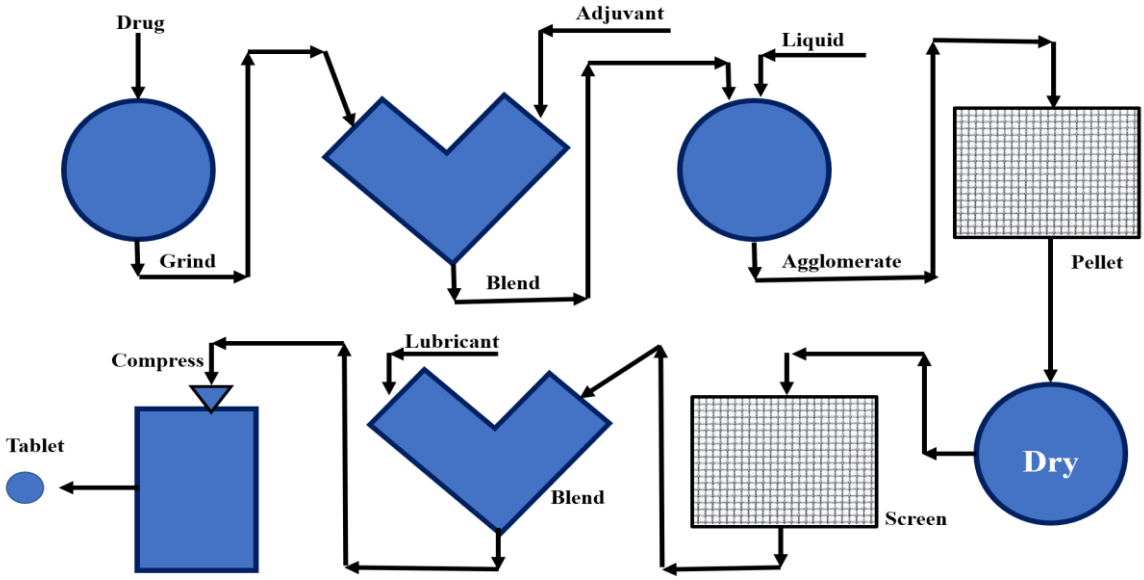

Fig 2: Step for Method to Formulate the Tablet Formulation

4.3.3 Advantages of Tablets

- They are easy to carry
- They are easy to swallow
- They are attractive in appearance
- Unpleasant odour or taste of the drug can be masked by sugar coating or film coating.
- Sealed covering protects the tablet from atmospheric conditions e.g., light, air etc.
- Tablets provide prolonged stability to medicament, i.e., tablet is more stable than other dosage form
- Easy to self-administration
- Economically their cost of production is relatively low
- Easy to handling
- Tablet is the lightest and most compact dosage form

4.3.4 Disadvantages of Tablets

- High dose cannot be administered
- Less area is available for absorption
- Not suitable for bitter and irritating drugs
- Less patient compliance
- No eating, Drinking, and smoking is allowed
- Highly ionic drugs cannot be administered

4.4 Pills

Pills are oral unit dosage form. These are small spherical or ovoid masses which are required to be made at the dispensing counter. These are rarely prepared extemporaneously nowadays. No formulae for pills are given in the latest edition of Indian Pharmacopoeia. These are also not prescribed by the physicians.

4.4.1 Essential Requirements for a Good Pills

Following are the essential requirements for a good pill: -

4.4.1.1 Solubility: Pill should readily disintegrate in the intestinal tract. The Majority of freshly prepared pills fulfils this condition. But once they become dry and hard with the passage of time, they are less soluble than freshly made pills. Sometimes they pass through the intestinal tract without disintegration. In large-scale manufacture, pills are usually coated with sugar and talc. The coating is possible only on dry and hard pills.

4.4.1.2 Uniformity in weight: The pills should be uniform in weight in order to ensure accurate dosage.

4.4.1.3 Homogenicity: The medicament should be thoroughly and evenly distributed throughout the pill mass in order to ensure accurate dosage.

4.4.1.4 Shape and Size: Pills should be round or oval in order to facilitate swallowing. Pills should not be too large or too small for convenience in handling and swallowing. B.P.C. gives a general recommendation that pills should not be less than 3 mm in diameter for pills weighing up to 1 gram and not more than 8 mm for pills weighing about 5 grains.

4.4.1.5 Elegance and Tasteless: Pills should be coated to mask taste and to improve elegance. Sugar coating or varnishing does not delay disintegration because these coating are quickly washed off in the intestinal tract.

5. Excipients needed for Solid Dosage Form

Excipients are those materials which are used in every dosage form. But it doesn't have any therapeutic effects or side effects. Here we are discussing those excipients which are important for solid dosage form formulation.

5.1 Binding agents: The role of binders or binding agent is to make the plasticity in the tablet formulation. So, it helps to maintain the inter-particle bonding strength and to achieve mechanical strength and sometimes for the drug release properties.

- Natural polymers: starch, gelatine, acacia, tragacanth
- Synthetic polymers: Hydroxypropyl methylcellulose (HPMC), Methylcellulose, Ethyl cellulose, Polyethylene glycol (PEG).
- Sugar: Glucose, Sucrose, Sorbitol

5.2 Coating Agents: This is used in tablet preparation. The role of the coating agent is protecting the drug from environmental moisture, light, or the acidic environment of the stomach and it also masks the bitter taste of many drugs.
Sugar Coating
Film Coating
Enteric Coating

5.3 Preservatives: Preservatives are basically used to protect the formulation form the attack of microorganisms. Such as bacterial growth, fungus growth, etc. The examples of preservatives to be used in dosage forms are Phenol, parabens, aryl and alkyl acids, etc.

5.4 Colouring Agents: Colouring agents are used to giving an attractive outlook for the patients. Example of natural colours: Turmeric, Titanium Dioxide, etc.

5.5 Sweetening Agents: Sweetening agents are used in basically chewable tablets. To cover up the unpleasant taste of the tablet or any pharmaceutical formulation. Example of sweeting agents: sucrose, fructose, etc.

6. Solid Dosage Packaging

For pharmaceutical oral solid dosage forms, packaging in the U.S. is still predominantly done in bottles. In Europe, blister packaging of solid dosage products has been the norm. For both types of packaging the goal is to protect the product from moisture, sunlight, heat and damage during transportation. For manufacturers, distributors and retailers, proper packaging is of upmost importance in order to extend the shelf-life of medications. Packaging has also been tasked with thwarting the increase in global product counterfeiting and product diversion. Spurred by the increasing demand for anti-counterfeit drug packaging technologies, data collected by Transparency Market Research (TMR) forecasts the global packaging

labelling services market to surge at a CAGR of 5.3% between 2015 and 2023. The market is expected to reach $136.27 billion by 2023.

7. Solid Formation

Although solid dose formulations are one of the most established dosage forms in pharmaceuticals, the path to market can still be blocked by critical obstacles such as API stability, release kinetics, and bioavailability limitations. Our high-quality raw materials and functionalized excipients form a comprehensive portfolio that addresses all your most pressing challenges in solid formulation manufacturing, with products that include:

- Antioxidants and preservatives
- Binders and fillers
- Coatings and supporting material
- Disintegrants
- Lubricants and glidants
- pH adjusters
- Surfactants and stabilizers
- Taste modifiers

8. Packaging and Storage

Suitable packaging is determined for each product. For additional information about meeting packaging requirements listed in the individual labelling, refer to Packaging and Storage Requirements, Containers - Performance Testing, and Good Repackaging Practices. Product labelling must specify storage requirements that describe environmental conditions, limitations, and restrictions. For instance, exposure to excessive temperature, humidity, and light can influence the ability of the packaging to protect the product.

9. Product Quality Tests

International Council for Harmonisation (ICH) Guidance Q6A recommends specifications (list of tests, references to analytical procedures, and acceptance criteria) to ensure that drug products are safe and effective at the time of release and over their shelf life. Tests that are universally applied to ensure safety, efficacy, strength, quality, and purity include description, identification, assay, and impurities.

10. CONCLUSION

Non-adherence to proper usage of oral solid dosage forms can lead to poor disease management and increase side effects. This study showed inadequate compliance with the oral solid dosage forms medicine administration criteria. Moreover, an absence of the main recommendations of oral solid dosage forms drug administration in most of the investigated oral solid dosage forms drug leaflets was found. In this study, white, round tablets are the most preferred formulation of oral solid dosage forms drugs. Enhancing patient compliance and awareness about the importance of oral solid dosage forms administration criteria are essential for safe and effective drug administration. Optimizing the administration manner of oral solid dosage forms medications, bearing in mind patients palatability, is a demanding factor to be considered by pharmaceutical manufacturers, regulatory agencies and clinical practitioners.

11. Summary

Controlled-release technology and unique dose form applications transform drugs into better treatments at all stages of development and life cycle management – through improved therapeutic profiles. Increasing constraints to developing new therapies has necessitated innovation from solution providers. Catalent's recent introduction of OSDrC Opti Dose technology provides optimized dosing and controlled-release applications, and the expansion of Opti Melt gives new solutions to enhance drug bioavailability. These new technologies complement established solutions, such as coating and granulation and the Zydis Fast Dissolve Platform. Elegant dose forms and innovative controlled-release solutions are now required to ensure commercial success through increased product performance, patient compliance, and convenience, which deliver differentiated value to patients, physicians, and payers.

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Abbreviations

EMA- European Medicines Agency
FDA- Food and Drug Administration
GIT- gastrointestinal tract
IM- Immediate release
OSDFs- Oral solid dosage forms
OTC- over-the-counter drugs
PIL- Patients information leaflet

PR- prolonged release
SAHPRA- South African Health Products Regulatory Authority
US- United States

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