

PHARMACEUTICAL PREPARATIONS AND DRUG DELIVERY

Abstract

In present chapter we have focused on different pharmaceutical dosage form and classified them on basis of their physical appearance and route of administration as well. Objectives of converting drug in to dosage form and there merits are highlighted. Approaches of formulating different dosage form and there stability is focused in current chapter. Development of pharmaceutical dosage form is art and science of inventing new dosage form by Pharmacists and pharmaceutical scientists. In this chapter we are going to introduce different concepts related to pharmaceutical preparations and drug delivery. While the formulating dosage form both physical as well chemical parameters of excipient and drug are considered, alligations are applied to make sure quantity of drug and excipients in formulation. Formulation of Syrups, emulsion, suspension, oral solutions, tablet and ointment is reviewed in current chapter. Ideal properties of dosage form are highlighted. Different drug deliveries like transdermal drug delivery system, ocular drug delivery system, gastrointestinal drug delivery and intra uterine are discussed along with there basic components and as novel drug delivery system.

Keywords: Pharmaceutical preparations, dosage form and drug deliveries.

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I. INTRODUCTION TO PHARMACEUTICAL PREPARATIONS

Formulating a dosage form is a multistep process in which active drug is mixed with different excipients with respect to particle size, morphology, pH, and solubility like different parameters and at last formulation is developed. While developing any formulation we took in consideration drug excipient interaction, synergistic and other benefits from excipients, preformulation study and manufacturing procedure for pharmaceutical dosage form in different ways. Depending upon its utility and patients compliance formulation can be developed in dosage form and promoted in market with specific blend of active pharmaceutical ingredients and excipients. Numerous formulations have flooded nowadays into the market, a huge amount of time and money has been invested in developing this formulation and are significant for physicians to prescribe and for patients to use them. There is scope for development in this already formulated dosage form by overcoming the challenge of drugs to target the different proteins in our body. As developed drugs are able to target few proteins and show the activities. Development of pharmaceutical dosage form is an art and science of inventing new dosage forms by pharmacists and pharmaceutical scientists. In this chapter we are going to introduce different concepts related to pharmaceutical preparations and drug delivery. While formulating a dosage form both physical and chemical factors of excipients and drug are considered, calculations are applied to make sure quantity of drug and excipients in formulation. Quantity of drug is ensured for safety and therapeutic response needed to be obtained from specific formulation. It also deals with the study of pharmacokinetics and pharmacodynamics which is responsible for drug response to patients compliance¹

1. Merits of Converting Drug to Dosage Form

- Accurate dose can be maintained
- Coated tablets and sealed ampoules can protect the drugs easily
- Bitter drugs can be converted into palatable dosage form by masking taste and odor
- Drug degradation can be avoided from gastric juice
- Sustained drug release can be obtained
- Drug solubility can be increased by choosing different solvents
- Different design of drug can be produced to fit in body cavities.

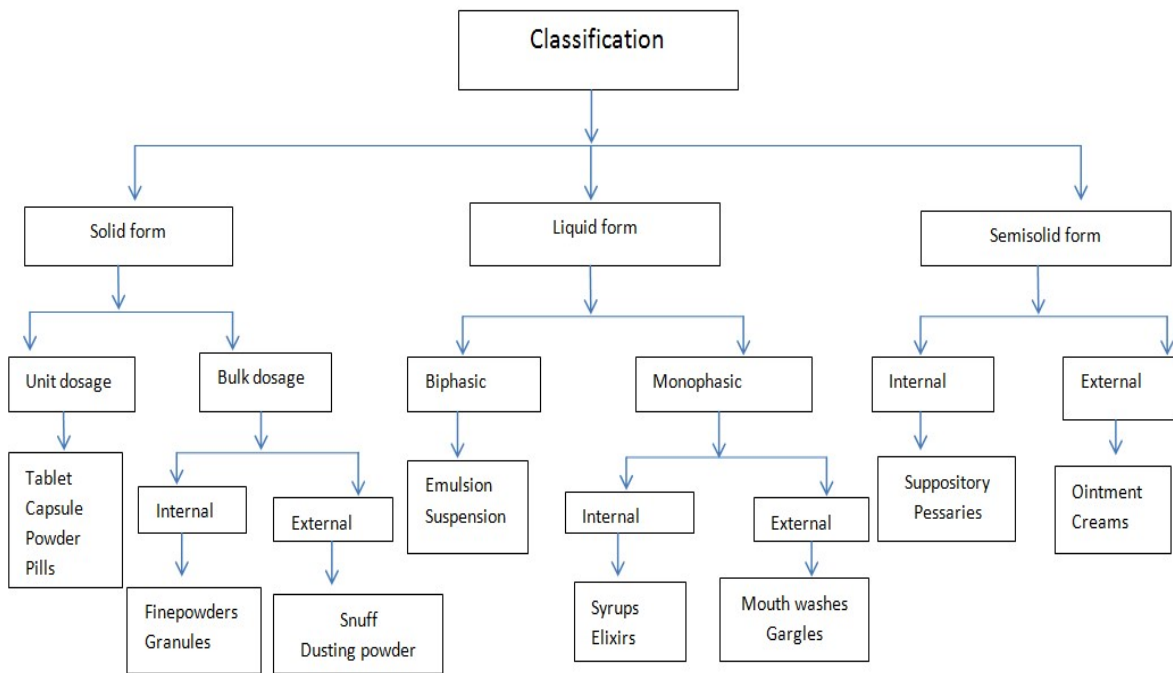


Figure 1: Classification of Dosage Form Depending on Physical State Of Matter

2. Ideal Properties of Dosage Form

- It should be convenient to handle and use
- It should be convenient and easy to store
- Should not cause instability while storing and use
- It should have enough drug strength and flexibility
- Drug should have good drug release and onset of action
- Should meet therapeutic effect
- Should not be too costly²

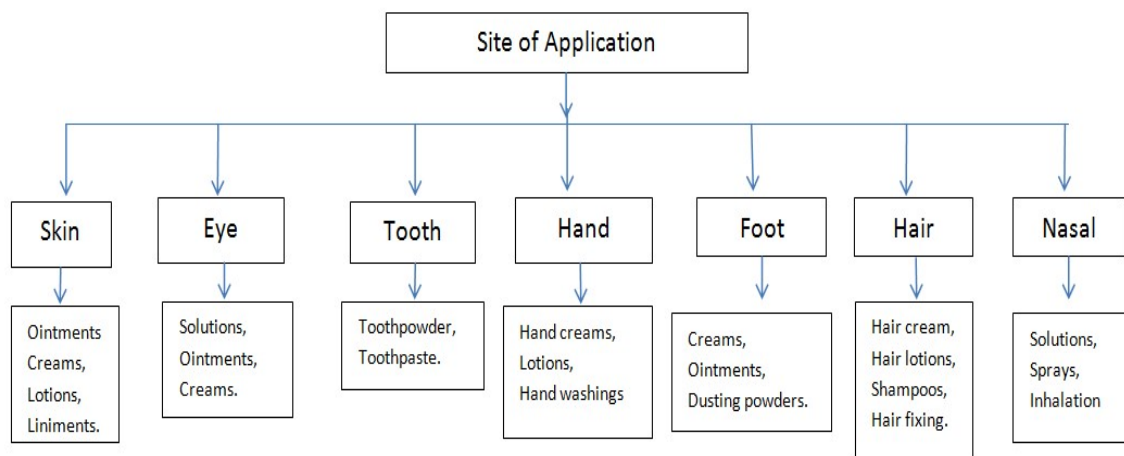


Figure 2: Classification of Dosage Form on Basis of Route of Administration.

II. DIFFERENT DOSAGE FORM

- 1. Oral Solutions :** Oral solutions are most suitable type of dosage form as it is convenient to be swallowed by paediatric and geriatric patients. Oral solutions are blend of drug, colouring and flavouring agent together with stabilizers and preservatives. They can be prepared by different methods like chemical reaction or extraction, for an example formulation like syrup is prepared by dissolving sucrose in distilled water or other aqueous liquids. Syrup is concentrated solutions, can be prepared in different categories as medicated and flavored. Different methods are used for preparation of syrups such as agitation by continuous stirring, by supplying heat to dissolve solute easily and prepare concentrated solutions. Whenever concentration of sugar is higher there is no need of preservative as syrup itself act as preservative
- 2. Elixirs:** Elixirs have pleasant and sweet flavor, observed as a clear liquids. This preparations are used for oral administration. Main ingredients involved are water and alcohol. Hence they are termed as hydro-alcoholic preparations. Such type of dosage forms are extensively used for formulation having readily dissolving therapeutic components. Other excipients like flavouring and solubilizing agents are added to enhance the effect of formulation.
- 3. Suspensions:** Suspensions are categorized into coarse type of dispersion as they are biphasic dosage form in which one phase is dispersed into another continuous phase such as solid particles are dispersed into liquid phase. They are easy to administer. They provide sustained released effect due to dispersed phase as compared to simple solutions. Major challenge is to develop flocculated suspension with proper balance of zeta potential and brownian movement. Deflocculated suspension doesn't allow rapid settling of particles but cake formation is observed after settling of particles and resuspension is difficult in this case, hence flocculated suspension is mostly favoured as rapid settling takes place but redispersion is easy in flocculated type of suspension. Hence suspensions are directed as shake well before use.
- 4. Emulsions:** Emulsions are also part of coarse dispersion but they differ from suspension in term of dispersed phase and continuous phase, emulsion has both phase in liquid form. One is oil phase and another is water phase, to make one phase soluble in another emulsifying agents are used and stable type of emulsion is formulated. Depending upon concentration of oil and phase they are classified as o/w phase of emulsion or w/o phase of emulsion, as continuous phase is made of maximum concentration of component. Active pharmaceutical ingredient or drug can be added into continuous phase or dispersed phase and by adding emulsifying agent one stable emulsion is formulated. Hydrophilic-lipophilic balance scale is used while preparing emulsion to make a proper balance of both the phases. Different methods used for preparing emulsion includes dry gum method, wet gum method, bottle method or fusion method. Instability can occur in emulsion as cream formation which is reversible type of instability and flocculation ones occur it is irreversible type of instability. Emulsions are also labelled as shake well before use.
- 5. Tablets:** Tablets are solid dosage form containing drug and other excipient compressed together to develop unit dosage form. Granulation is important stage in development of

tablets which can be done by wet granulation or dry granulation. Other excipients such as binders for good binding effect, for proper flow of granules, glidants and disintegrants for proper dissolution is added. Bitter taste of tablet can be masked by adding flavoring agent and colouring agents are used to enhance the appearance of tablets. Different evaluation tests are performed to evaluate the performance of tablet prepared by adding different excipients as disintegration test, content of uniformity, friability, hardness and dissolution release pattern.

- 6. Ointments:** Ointments are of generally two types medicated and non medicated used for external purpose. Various bases are utilized in the formulation of ointments. Different type of bases such as hydro-carbon, absorption, hydrophobic, and hydrophilic bases are utilized. Ointments is developed by using slab and spatula or mortar and pestle. By using levigation methods drugs is mixed into ointment base. The fusion method is also used for preparation of ointment when solid materials are not able to mix easily in and form uniform Ointments.

III. OVERVIEW ON NOVEL DRUG DELIVERY SYSTEM

- 1. Transdermal Drug Delivery System (TDDS):** It is one of the best drug delivery system categorized into category of control drug delivery which works on the basis of permeation of drug through skin at predetermined level and control the release of drug into the skin. Adhesive is applied on the patches for long time skin contact and proper delivery of drug through it, drug gets penetrate through different layers and reaches to the systemic circulation.⁴

2. Fundamental Parts of TDDS

- **Polymer Matrix:** Polymer used for matrix development in this drug delivery are biocompatible and chemically inert in nature with respect to drug and other excipients used in system for an example penetration enhancers, they should be non reactive, non irritant and provide good stability through its shelf life and should be non harmful till its expiry date.
- **Drug :** API is of great concern into the formulation as release pattern will be altered depending upon nature of the drug, they may pass through rapid first pass metabolism if they are having low therapeutic window or half life of the drug is small, which leads to need of constant dosing and causes non compliance in patient.
- **Release liner:** Before applying the patch protective liner which is applied while storage for safety is removed and patch is utilized on the skin. Liner should be developed with non toxic and non irritant property having inert nature to avoid reaction with skin. It is made up of paper fabric like non occlusive material and polyethylene or polyvinyl chloride like occlusive material, silicon or teflon like material is used in release coating layer.⁵

IV. OCULAR DRUG DELIVERY SYSTEM

Eye is the most probable route of topical administration. Organs included in accessory organs of the eye are- [eyebrows, eyelids, eyelashes, the lacrimal apparatus, the extrinsic

muscles] hence eyes is most delicate organ with an unique anatomy and physiology. O/W emulsion is mostly preferred in ODDS and PH is maintained at 7.4 while developing the eye drop. To cure the eye infection or to treat eye disorder instillation of eye drop is needed. The major focus is to formulate eye drop which can sustain the drug release and remain in eye for longer time.

1. Advantages of ODDS are

- They are easy to administer
- Low systemic side effect is observed in ODDS
- Benefit of accurate dosing can be achieved.
- They are not too much expensive⁶

V. INTRA UTERINE DRUG DELIVERY SYSTEM

Nowadays Intra uterine drug delivery is most promising with respect to safety and economical method of contraception. It helps in preventing pregnancy for periods of 3 to 12 years approximately and hence used as long-acting reversible contraception. IUD stands for intra uterine element which is a smallest T-shaped device that is used as a method of birth control designed for insertion through the cervix and placed in the uterus to prevent pregnancy. Intra uterine drug delivery has shown greater result by preventing pregnancy up to 99% by this safe method. Projection in vagina is carried out by thread or tail attached through intra uterine drug delivery.

1. Few Side Effects of Using IUD are

- IUD causes local inflammation in uterus wall which is observed after insertion of period about 24 hours. This inflammation attracts white blood cells and they produce antibodies which are toxic for sperm.
- Not used due to high failure rate.
- Side effects like Anaemia, Backaches, Spotting between periods and Vaginal discharge.
- Pain during sex, Side effect of hormonal Breast cancer, breast redness, increase in weight, mood swing and vomiting is observed.⁷

2. Gastroretentive Drug Delivery system:

- It is one of the upcoming novel drug delivery systems developed with aim of increase in gastric residence time which helps drug to settle for longer time in upper tract of gastrointestinal and gives systemic effect.
- These drug delivery systems followed due to its advantages and novelty as compared to conventional drug delivery.

3. Merits of These Drug Delivery are as Follows

- It increases chance of drug absorption as time of residence in gastrointestinal tract increases and drug spends more time in cavity.
- These drug delivery systems reduce irritation maintaining drug release at optimum and constant rate which can be controlled by polymers.
- It is easy to administer to patients and offers good patient compliance.

4. Demerits

- Non steroidal anti-inflammatory drug cannot be used in this drug delivery due to side effects like gastric lesions.
- Other limitations such as drug which gets degraded in acidic environment, first-pass metabolism and poor acid solubility cannot be used in this drug delivery system.⁷

VI. FUTURE PROSPECT OF DRUG DELIVERY SYSTEM

Overcoming the traditional drug delivery system we should focus on Novel drug delivery system now days, major focus is on overcoming the disadvantages of novel drug delivery, these challenges and barriers. Development of Intra uterine drug delivery system without side effect and to overcome barriers in ocular drug delivery is major future aspect. Another area to focus is developing the drug delivery for overcoming resistance developed by different dosage form.

VII. CONCLUSION

From above chapter it can be concluded that development of drug into various different dosage form has great scope and significant outputs can be obtained in market. Overcoming the traditional drug delivery and focusing on novel drug delivery has become need for current market. Various new diseases are rising their head now days into community which can be controlled by the help of different dosage form and new drug delivery system.

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