

UNIT- 1

INTRODUCTION TO DIFFERENT DOSAGE FORMS



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INTRODUCTION-

What is Drug?

Active drug substance (active pharmaceutical ingredient - API) chemical compound with pharmacological (or other direct effect) intended for used in diagnosis, treatment or prevention of diseases.

- International nonproprietary names (INN, „generic“names)

Definition: Dosage forms are the means by which drug molecules / APIs are delivered to sites of action within the body to produce optimum desired effects and minimum adverse effect.

“Drugs are rarely administered as pure chemical substances!!!”

Medicine = Drug (API) + additives/excipients

Excipients (inactive Pharmaceutical ingredient)

The need for dosage forms: DRUG SAFETY/BENEFIT:

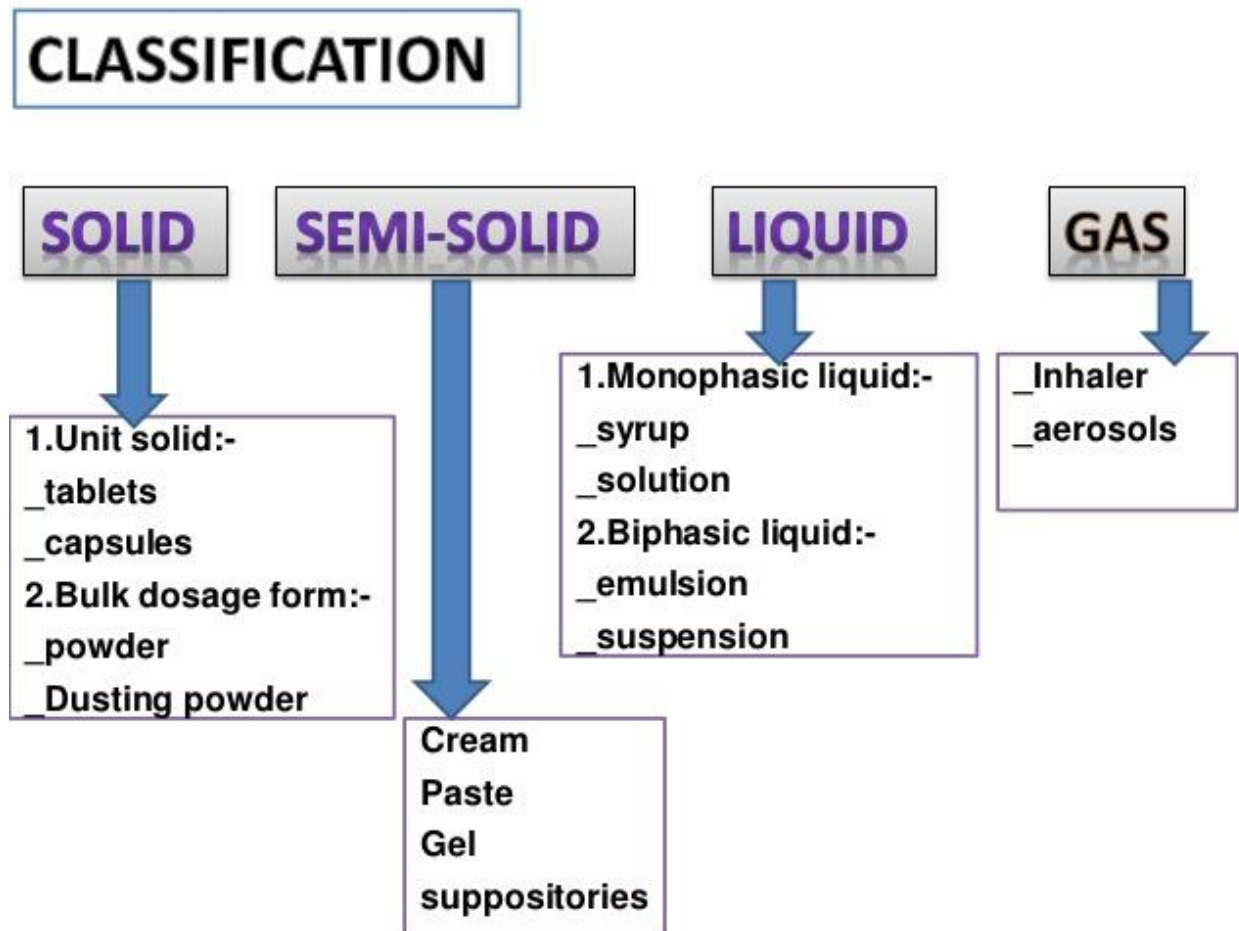
1. Protection from environment (light, moisture, O₂) .
2. Protection from patients (gastric juice).

PATIENTS SAFETY/BENEFIT:

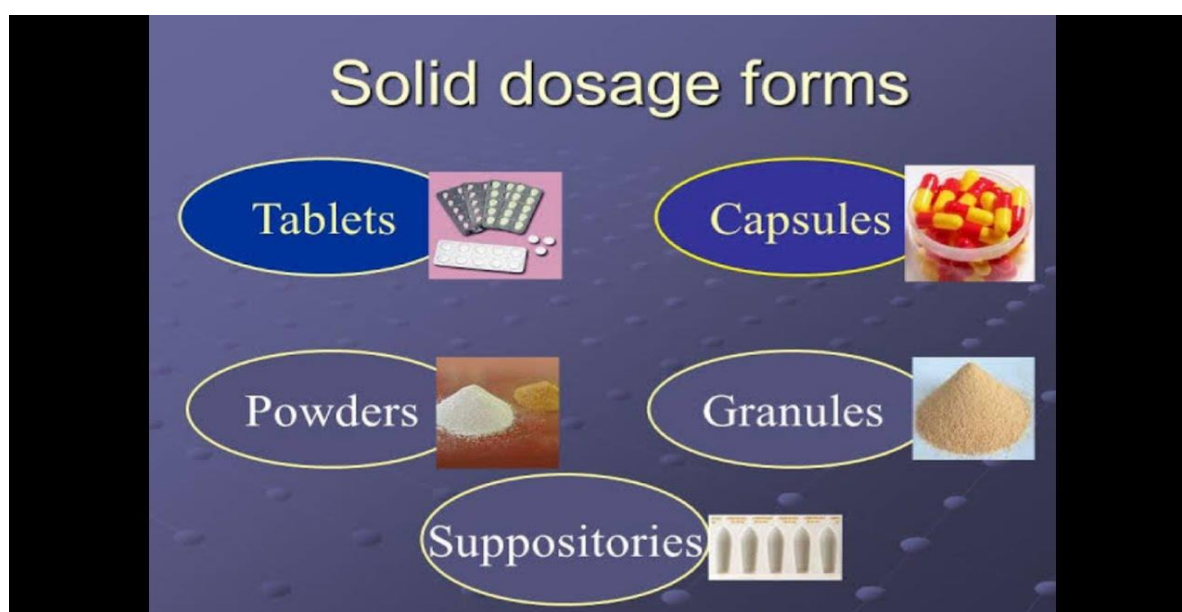
1. Accurate dose of potent drugs for children and elderly patients Preventing local drug irritations/ ulcers.
2. Modifying PK of drug for optimum action (↑ benefits, ↓ side effects).
3. Masking taste and odor of API.

Types of Dosages Forms.

There are following types of dosage forms-



1. Solid dosage form-



Solid dosage formulations are important dosage forms in **pharmaceuticals**. e.g. tablets, capsules, granules, sachets, powders, dry powder inhalers and chewables. Solid dosage form contains unit dose of one or more medicament. **Excipients** include Binders, Glidants, Sweeteners etc.,

- Granulated formulations
- Types of Preparations
- Chewable tablets
- Capsules
- Pills
- Lozenges
- Dental cones
- Granules

1. TABLETS

Tablets may be **defined** as the solid unit dosage form of medicament or medicaments with suitable excipients and prepared either by molding or by compression.



Types of tablet-

Different Types of Tablets

(A) Tablets ingested orally:

- Compressed tablet, e.g. Paracetamol tablet
- Multiple compressed tablet
- Delayed release tablet, e.g. Enteric coated Bisacodyl tablet
- Sugar coated tablet, e.g. Multivitamin tablet
- Film coated tablet, e.g. Metronidazole tablet
- Chewable tablet, e.g. Antacid tablet

(B) Tablets used in oral cavity:

- Buccal tablet, e.g. Vitamin-c tablet
- Sublingual tablet, e.g. Vicks Menthol tablet
- Troches or lozenges
- Dental cone

Advantages of tablet-

1. Unit dosage forms with accurate, stable dose and great precision and least variability.
2. Most stable with respect to physical, chemical and microbiological attributes.
3. Cheapest oral dosage form, easy to handle, use and carry out with attractive and elegant appearance.
4. Cheap, easy to swallow and production does not require and additional processing steps.
5. Provide protection of medicaments from atmospheric conditions like air, moisture and light, etc.
6. Provide prolonged stability to medicaments.
7. Low manufacturing cost as compare to other solid dosage forms and large scale production is possible.

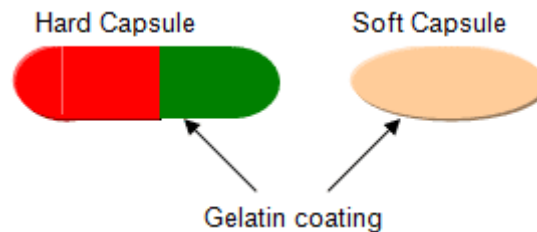
Disadvantages-

1. Drugs which are amorphous and low density character are difficult to compress into tablet.
2. Hygroscopic drugs are not suitable for compressed tablets.
3. Drugs with low or poor water solubility, slow dissolution, high absorbance in GI tract may be difficult to formulate.
4. Sensitive to oxygen drugs may require special coating.
5. Cost of production may be increase because of coating and encapsulation to remove bitter and unpleasant taste.
6. Some tablet may cause problem in bioavailability.
7. Difficult to formulate liquid in tablet and swallowing is difficult especially for children and ill patients.

2. CAPSULES-

Capsules are easier to swallow and are used by manufacturers when the drug cannot be compacted into a solid tablet. They are also useful when the drug needs to be mixed with oil or other liquid **to aid absorption** in the body. It is normally a shell or container **made of gelatin** that contains the drug.

There are two types of capsules, **hard or soft**.



Hard capsules

Hard capsules, which are more commonly seen, are made up of a rigid shell in **two pieces that fit together** and is then filled with the drug. This formulation is normally more suitable for drug powders and can only be used if the drug will be easily dissolved in the stomach.

Soft capsules

Soft capsules are **formed in a single piece** and are more suitable for oils e.g. *Fish oils*, or drugs that need to be dissolved in oils or other liquids to aid the drug to be absorbed in the stomach. In soft capsules, the drug is combined with an appropriate solvent in the centre of the capsule and the capsule shell melts within minutes in the stomach.

Drugs are easily absorbed from these mixtures offering two distinct advantages:

- 1) **Quicker effect**, which is good for immediate pain relief
- 2) Drug **absorbed more effectively**, so lower doses can be used which in turn means the soft capsules can be made smaller, making swallowing easier.

3. Powders



INTRODUCTION

Powder is a mixture of finely divided drug and / or chemicals in a dry form that may be intended for internal use (oral powders) or external use (topical or dusting powder).

Classification:

Types of Powders

1- Divided powders

- packets
- cachets
- capsules

2- Bulk (Undivided) powders

- dusting powder
- effervescent powder
- antacids, laxatives, dietary nutrient supplements....



- a). Divided powders.
- b). Simple and compound powders for internal use.
- c). Powders for reconstitution.
- d). Bulk powders for internal use:
- e). Oral bulk powders for internal use.
- f). Antacid Oral bulk powders for reconstitution for internal use.
- g). Injectable bulk powders for reconstitution for internal use.

2. Bulk powders for external use.

- a) Dust.
- b) Douches.
- c) Dental
- d) Insufflations
- e) In snuff
- f) Effervescent granules.
- g) Divided powders

Advantages of powders:

- i) Powder form is the most versatile and convenient to prescribe, compound and administer.
- ii) A physician has the option to deviate from the conventional dose of a medicament according to the requirement of the patient.
- iii) It is possible to reduce them in the desired particle size range and thus facilitate rapid absorption.
- iv) Less incompatibility as compared to liquid dosage form.

Disadvantages of Powders-

- i). As compared to other dosage forms, powders are time consuming to compound.
- ii) Volatile, hygroscopic, oxidizing and deliquescent drugs create obvious difficulties when dispensed as powders.

2. Semi-solid dosage forms-

INTRODUCTION-



Ointments, creams and gels Ointments, creams and gels are semisolid dosage forms intended for topical application. They may be applied to the skin, placed onto the surface of the eye or used nasally, vaginally or rectally. The majority of these preparations are used for the effects of the therapeutic agents they contain. Those which are non-medicated are used for their physical effects as protectants or lubricants.

Topical preparations are used for the localised effects produced at the site of their application, although some unintended systemic drug absorption may occur, it is usually in sub-therapeutic quantities.

D) Ointments



Ointments are semisolid preparations intended for external application to the skin or mucous membranes. Ointments may be medicated or non-medicated, non-medicated ointments are used for the physical effects that they provide as protectants, emollients or lubricants.

Ointment Bases-

Ointment bases may be used for their physical effects or as vehicles in the preparation of medicated ointments.

Ointment bases are classified into four general groups:

1. Hydrocarbon bases (oleaginous bases)
2. Absorption bases
3. Water-removable bases
4. Water-soluble bases

II) Creams



Pharmaceutical creams are semisolid preparations containing one or more medicinal agents dissolved in either an o/w or w/o emulsion. Creams find primary application in topical skin products and also in products used rectally and vaginally. Many patients and physicians prefer creams to ointments because they are easier to spread and remove than ointments. Pharmaceutical manufacturers frequently manufacture topical preparations of a drug in both ointment and cream bases to satisfy the preference of the patient and physician. Creams have a relatively soft, spreadable consistency.

An example of an o/w cream is hydrophilic ointment and an example of a w/o cream is cold cream. When the term “cream” is used without further qualification, a waterwashable formulation is generally inferred.

II) GELS



Gels are usually clear, transparent non-greasy semisolids containing solubilised active substances in an aqueous liquid vehicle rendered jelly-like by the addition of a gelling agent. Among the gelling agents used are synthetic macromolecules such as carbomer, cellulose derivatives as carboxymethyl cellulose or hydroxypropyl cellulose and natural gums as tragacanth.

Packaging and storage of Semi-solid dosage forms-

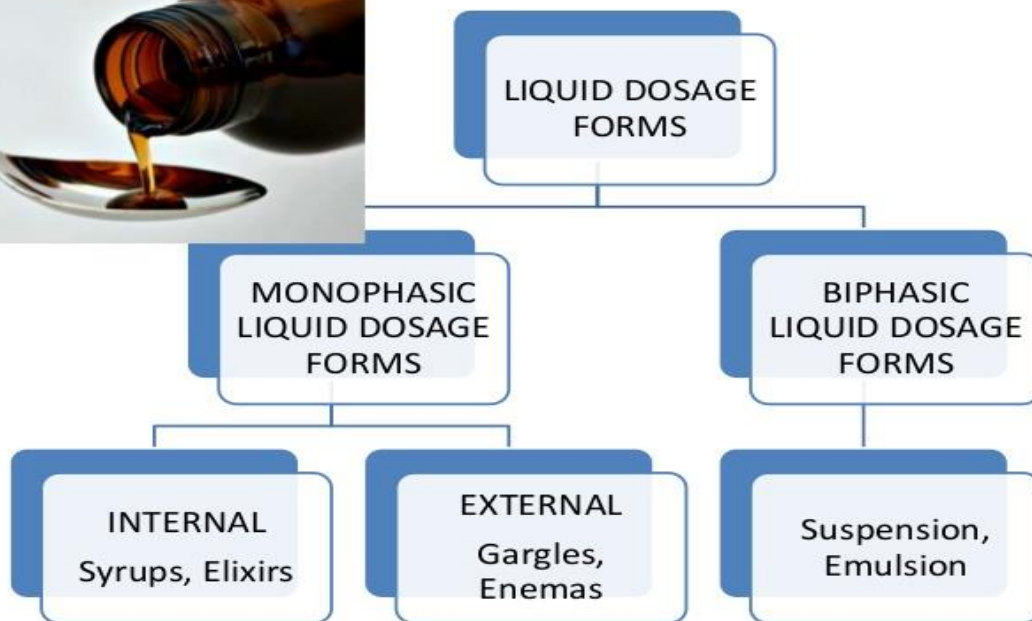
1. Ointments and other semisolid preparations are packaged in metal or plastic tubes.
2. The tubes are first tested for compatibility and stability for the intended product.
3. Tubes used to package topical products are light in weight, relatively inexpensive, convenient for use by the patient, compatible with most formulative components and provide greater protection against external contamination and environmental conditions than jars.
4. Ointment tubes are made of aluminium or plastic.
5. Tubes of aluminium generally are coated with epoxy resin to eliminate any interactions between the contents and the tube.
6. Plastic tubes are made of high or low density polyethylene (HDPE or LDPE) or blend of them, polypropylene (PP) and plastic-foil paper laminates.
7. Laminates provide an excellent moisture barrier due to foil content, high durability and product compatibility.
8. Ophthalmic ointments are packaged in small aluminium or collapsible plastic tubes holding 3.5 g.
9. The tubes are sterilised before being filled.
10. Semisolids must be stored in well-closed containers to protect against contamination and in a cool place to protect against product separation due to heat.
11. When required, light-sensitive preparations are packaged in light resistant containers.

3. LIQUID DOSAGE FORMS-



Liquid Dosage Form Liquid dosage form is one of the oldest dosage form used in the treatment of patients and affords rapid and high absorption of medicinal products. Therefore, the compounding of liquid dosage form retains a particularly useful for individuals who have difficulty in swallowing solid dosage forms (for example pediatric, geriatric, intensive care and psychiatric patients) and in case where precise, individualized dosages are required. Liquid dosage forms prepared by dissolving the active ingredients in an aqueous or non-aqueous solvent, including solutions, suspensions and emulsions etc. Liquid dosage forms needs various excipients including vehicle, stabilizer and viscosity builders, preservatives, sweeteners, color and flavor. In addition, solubilizers are required in case of clear liquids; suspending agents are needed for suspensions and emulsifying agents for emulsions.

TYPES OF LIQUID DOSAGE FORMS-



Advantages of liquid dosage form

1. The active agent is homogeneously dispensed throughout the product.
2. The active agent is in solution, and does not need to undergo dissolution; therefore, the therapeutic response is generally faster than solid dosage form like tablet or capsule.
3. The dose of the active agent is easily and conveniently adjusted by measuring a different volume.
4. Solution may be swallowed by patients, who have difficulty taking tablets or capsules, as might be the case with pediatric or geriatric patients.
5. Drugs such as potassium chloride that may cause ulceration to the mucosa in a tablet formulation avoid this side effect when present in solution.
6. Bitter and unpleasant drugs can be given in sweetened, colored and flavored vehicles. Hygroscopic and deliquescent medicaments which are not suitably dispensed in solid dosage form can easily be given in liquid dosage form.
7. The products like adsorbents and antacids are more effective in liquid dosage form.
8. The liquid dosage form is expected for certain types of products like cough medicaments.

Disadvantages

1. The active ingredients, when present in solution, are usually more susceptible to chemical degradation, particularly hydrolysis, than when they are in a solid dosage form.

2. The shelf-life of a liquid dosage form is often much shorter than that of the corresponding solid preparation.