

PHARMACEUTICS-I- BP103T

UNIT: 1 (Historical background and development of profession of pharmacy, Dosage forms, Prescription, Posology)

CLASS:5

TOPIC: Dosage forms

DOSAGE FORM OF DRUGS

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. Need of Dosage Forms Drug Safety/Benefit Patient Safety/Benefit

Dosage form (Medicines) = API + Excipients The means (or the form) by which drug molecules are delivered to sites of action within the body. Drug (Active pharmaceutical ingredients) Chemical compound intended for used in diagnosis, treatment prevention, of disease. (or) The Active Pharmaceutical Ingredient (API) is the part of any drug that produces its effects.

Excipients- Do not increase or affect the therapeutic action of the active ingredient. Inactive ingredients may also be referred to as inert ingredients or excipients, and generally have no pharmacological effect. Examples of inactive ingredients include binding materials, dyes, preservatives, and flavoring agents, sweetening agents, coloring agents etc.

Direct clinical use of the active drug substances is rare:

- ♣ API handling and Accurate dosing can be difficult or impossible (e.g., potent drugs: low mg and µg doses).
- ♣ API administration can be impractical/unfeasible because of size, shape, smell/odour, taste and low activity.
- ♣ Some API are chemically unstable in light, moisture O₂.
- ♣ API can be degraded at the site of administration (e.g., low pH in stomach).
- ♣ API may cause local irritations or injury when they are present at high concentrations at the site of administration.

♣ Administration of active substance would mean to have no chance for modification (improvement) of its PK profile.

NEED OF DOSAGE FORMS

Provide safe and convenient delivery of accurate dosage. Example – Tablets, capsules, syrups → Protection of a drug substances from atmospheric oxygen or moisture. Example – Coated capsules, sealed ampules → Protection of a drug substances from gastric acid after oral administration. Example – Enteric coated tablets → Conceal bitter taste, or odor of a drug substances. Example – Capsules, coated tablets, flavored syrups → Provide liquid preparation of drug that insoluble or unstable in the desired vehicle. Example – Suspension → Provide liquid dosage forms of substances soluble in desired vehicle. Example – Solution.

Provide optional drug action from topical administration sites. Example – Ointment, cream, ear and nasal preparations. → Provide for insertion of a drug into one of the body's orifices. Example – Rectal and vaginal suppositories. → Provide extended drug action through controlled release mechanisms. Example – Controlled release tablets, capsules, suspensions. → Provide for the placement of drugs within body tissues. Example – Implants. → Provide for the optimal drug action through inhalation therapy. Example – Inhalants.

CLASSIFICATION OF DOSAGE FORMS

Based on Route of Administration Oral, Parenteral, Topical, Transdermal, Respiratory/Inhaled. Ophthalmic, Rectal, Vaginal, Otic

Based on Physical Form: Solid, Semi-solid, Liquid, Gases

Solid oral dosage forms: Tablets Capsules Powder Granules

Monophasic Liquid Dosage Forms:

Liquid for External administration: Liquids used in Mouth, Liquid applied to the skin, Liquids instilled into Body Cavities

Liquid for Internal administration - Syrups - Mixtures - Elixirs - Linctuses - Gargles - Mouthwashes - Throat paints - Lotions - Liniments - Collodions - Paints - EyeDrops - EarDrops - Nasal Drops - Douches - Enemas

Biphasic Liquid Dosage Forms:

SUSPENSION: Solids in Liquid

EMULSION: Liquid in Liquid

SEMI-SOLID: Cream Gel Ointment Paste

INHALED DOSAGE FORMS: Inhalation Gases, Liquids – Aerosols, Vapors - Medical gases
Other pressure systems, Powders for inhalation

SOLID DOSAGE FORMS

TABLET: A tablet is unit dose of one or more medicament. Prepare by compression or mould method. Common excipients used in tablet are: Diluents – Provide bulkiness of tablet. Disintegrants – To ensure that the tablet breaks up in the digestive tract. Binder – Important for granulation of powder. Glidants and Lubricants – Provide good flow and ensure efficient tableting. Sweeteners and Flavors – To mask the taste of APIs. Pigments – To mask uncoated tablets visually attractive. A coating may be applied to mask taste, smooth tablet for easy swallow, extending shelf life, and prevent gastric degradation of drug.

BUCCAL AND SUBLINGUAL TABLET: Buccal tablets placing between the gum and the cheek. Sublingual tablets placing under the tongue. Medicaments of both systems rapidly dissolve in mouth and absorbed through the mucous membrane of mouth. Drug reaches in systemic circulation without affecting by gastric juices and metabolizing enzymes of the liver. Examples – Vasodilators, Steroidal hormones.

EFFERVESCENT TABLET: Effervescent tablets are uncoated and generally contain acid substances (citric and tartaric acids) and carbonates or bicarbonates, which react rapidly in presence of water and release carbon dioxide. They are intended to be dissolved or dispersed in water before use, it provide: Tablet immediately dissolve or dispersed. Pleasant taste of carbonated drink

CHEWABLE TABLET: They are tablets that chewed prior to swallowing. They are designed for administration to children e.g. vitamin products.

CAPSULES: Solid unit dosage form that contain a solid, semi-solid, and liquid fill and a gelatin shell. Common excipients used in capsules are: Gelatin – Commonly used as gelling agent. Plasticizers – To ensure elasticity or mechanical stability. Additional Additives – Preservative, coloring and opacifying agents. There are mainly two types are: Hard gelatin capsules used for dry powder ingredients. Soft gelatin capsules used for semi-solid and for active ingredients that are dissolved or suspended in oil.

LOZENGE: It is a solid preparation that used to medicate the mouth and throat for the slow administration of indigestion or cough remedies. It consisting of sugar and gum, the latter giving strength and cohesiveness tooth lozenge and facilitating slow release of the medicament.

PASTILLES: It is a solid medicated pill or candy preparation that design to dissolve slowly in the mouth. They are softer than lozenge and their base are glycerol, gelatin, acacia and sugar.

DENTALCONES: A tablet from intended to be placed in the empty socket following a tooth extraction, to prevent the local multiplication of pathogenic bacteria associated with tooth extractions. These tablets contain excipients like – lactose, sodium bicarbonate, and sodium chloride etc. Cones may contain an antibiotic or antiseptic.

PILLS: It is a solid oral dosage form that consists of spherical masses prepared from one or more APIs with inert excipients. Pills are now rarely used.

ORAL GRANULES: They consist of solid, dry aggregates of powder particles with irregular shapes often supplied in single-dose sachets. Some granules are placed under the tongue and swallowed with water and other are intended to be dissolved in water before taking. → Effervescent granules evolve carbon dioxide when added to water.

ORALPOWDER: Bulk Powders are multi-dose preparations consisting of solid, loose, dry particles of varying degrees of fineness. Contain one or more active ingredients, with or without excipients, and, if necessary, coloring matter and flavoring substances. Usually contain non-potent medicaments such as antacids since the patient measures a dose by volume using a 5 ml medicine spoon.

LIQUID DOSAGE FORMS

ORAL SOLUTION: Oral solutions are clear Liquid preparations for oral use containing one or more active ingredients dissolved in a suitable vehicle.

ORALEMULSION: Oral emulsions are stabilized oil-in-water dispersions, either or both phases of which may contain dissolved solids either oil is dispersed in finely divided form in water or vice versa.

ORALSUSPENSION: Biphasic liquid preparations for oral use containing one or more active ingredients suspended in a suitable vehicle. It sediment which is readily dispersed on shaking to give a uniform suspension which remains sufficiently stable to enable the correct dose to be delivered.

SYRUP: It is a concentrated aqueous solution of a sugar, usually sucrose to which medicaments are added. Flavored syrups are a convenient form of masking disagreeable tastes.

ELIXIR: It is pleasantly flavored clear liquid oral preparation of potent or nauseous drugs. The vehicle may contain a high proportion of ethanol or sucrose together with antimicrobial preservatives which confers the stability of the preparation.

MOUTHWASHES: These are similar to gargles but are used for oral hygiene and to treat infections of the mouth.

LINCTUSES: It is viscous, liquid oral preparations that are usually prescribed for the relief of cough. It contains a high proportion of syrup and glycerol which have a demulcent effect on the membranes of the throat. — The dose volume is small (5ml) and, to prolong the demulcent action, they should be taken undiluted.

ORALDROPS: Oral drops are liquid preparations for oral use that are intended to be administered in small volumes with the aid of a suitable measuring device. They may be solutions, suspensions, or emulsions.

GARGLES: They are prepared in a concentrated solution with directions for the patient to dilute with warm water before use. They are aqueous solutions used in the prevention or treatment of throat infections.

LOTIONS: It is mono-phasic liquid preparations (aqueous) for external application without friction either dabbed on the skin or applied on a suitable dressing and covered with a water proof dressing to reduce evaporation.

NASAL DROPS & SPRAYS: Drugs in aqueous solution may be instilled into the nose from a dropper or from a plastic squeeze bottle. Used for local effect, e.g. antihistamine, decongestant.

COLLODION: Collodion is a solution of nitrocellulose in ether or acetone, some with the addition of alcohols. As the solvent evaporates, it dries to a celluloid-like film. It is highly flammable. Compound Wart Remover consists of acetic acid and salicylic acid in an acetone collodion base used in treatment of warts by Keratolysis.

PAINTS: Paints are mono-phasic liquids for application to the skin or mucous membranes. Skin paints contain volatile solvent that evaporates quickly to leave a dry resinous film of medicament. Throat paints are more viscous due to a high content of glycerol that designed to prolong contact of the medicament with the affected site.

PARENTERAL DOSAGE FORMS: An injection is an infusion method of putting liquid in to the body, usually with a hollow needle and a syringe which is pierced through the skin. Intravenous Injection • It is a liquid administered directly into the bloodstream via a vein. • It is advantages when a rapid onset of action is needed. Intramuscular Injection • It is the injection of a APIs directly into a muscle. • Intramuscular injections are often given in the deltoid, vastus lateralis, ventrogluteal and dorsogluteal muscles. Subcutaneous Injection • It is injecting into the subcutis, the layer of skin directly below the dermis and epidermis. • It is highly effective in administering vaccines and insulin.

SEMI-SOLID DOSAGE FORMS:

OINTMENTS: Ointments are semi-solid, greasy preparations for application to the skin, rectum, or nasal mucosa. The base is usually anhydrous and immiscible with skin secretions. Ointments may be used as emollients or dissolved medicaments to the skin. 2 – GELS → In gel a liquid phase is constrained within a 3-D polymeric matrix (consisting of natural or synthetic gum) having a high degree of physical or chemical cross-linking. It is used for medication, lubrication, and some miscellaneous applications like a carrier for spermicidal agents to be used intra-vaginally.

CREAMS Oil-in-water (O/W) • It is composed of small droplets of oil dispersed in a continuous aqueous phase. • Less greasy and more easily washed off using water. Water-in-oil (W/O) • It is composed of small droplets of water dispersed in a continuous oily phase. • More difficult to handle but used for hydrophobic drug preparation. • Reduces water loss from the stratum corneum maintains the moisture of the skin.

PASTES: Pastes are basically ointments into which a high percentage of insoluble solid has been added. The extra ordinary amount of particulate matter stiffens the system. It provide less heating and penetration than ointment. It make a good protective barrier when placed on the skin, the solid they contain can absorb and thereby neutralize certain noxious chemicals before they ever reach the skin.

INHALED DOSAGE FORMS:

INHALER: Inhalers are solutions, suspensions or emulsion of drugs in a mixture of inert propellants. Release of a dose of the medicament under pressure in an aerosol dispenser in the form of droplets of 50 µm diameter or less from the container through a spring loaded valve

incorporating a metering device. It is commonly used in the treatment of asthma and other respiratory problems.

NEBULIZER OR ATOMIZER: It is commonly used in treating asthma, and other respiratory diseases. It is a device used to administer medication in forms of a liquid mist to the air ways. It pumps air or oxygen through a liquid medicine to turn it into a vapor, which is then inhaled by the patient. Generally prefer to inhalers for patients, due to advantages such as: 1. Cheaper 2. More portable 3. Less risk of side effects. For that reason, are usually reserved only for serious cases of respiratory disease or severe attacks.

RECTAL & VAGINAL DOSAGE FORMS:

SUPPOSITORY: It is a semi solid medicated mass, usually cone shaped, that is inserted either into the rectum, vagina where it melts at body temperature.

ENEMA: An enema is the procedure of introducing liquids into the rectum and colon via the anus.

Evacuant Enema • Used as a bowel stimulant to treat constipation. • Their volume up to 2 liters. • Warmed to body temperature. • Example - soft soap enema & Magnesium sulphate enema
Retention Enema • Their volume does not exceed 100 ml. • No warming needed. • Example – barium enema & nutrient enema.

PESSARY: Pessaries are solid medicated preparations designed for insertion into the vagina where they melt or dissolve. **Molded Pessaries** • Cone shape and prepared by molded method. **Compressed Pessaries** • Prepare by compression as similar manner to oral tablets. • Available indifferent shape. **Vaginal Capsules** • Prepare same as soft gelatin capsules and various sizes and shape.