INDUSTRIAL PHARMACY-I

UNIT II-TABLETS AND LIQUID ORALS

CLASS 8

Topic: Introduction, ideal characteristics of tablets, classification of tablets

Definition according to the BP

- Tablets are dosage forms that are circular in shape with either flat or convex faces and prepared by compressing the medicament or mixture of medicaments usually with added substances
- According to the Indian Pharmacopoeia Pharmaceutical tablets are solid, flat or biconvex dishes, unit dosage form, prepared by compressing a drug or a mixture of drugs, with or without diluents

Advantages of tablet dosage form over other oral drug delivery systems

From patients stand point

- easy to carry
- easy to swallow.
- attractive in appearance
- Unpleasant taste can be masked by sugar coating
- Do not require any measurement of dose. The strip or blister packing has further facilitated the process of taking the dose by the patient.
- provides a sealed covering which protects the tablets from atmospheric conditions like air, moisture and light etc.

From the standpoint of manufacturer

- An accurate amount of medicament, even if very small, can be incorporated

- provide prolonged stability to medicament
- incompatibilities of medicaments and their deterioration due to environmental factors are less in tablet forms
- The easiest and cheapest to package and ship among all oral dosage forms.
- Specialized tablets like enteric coated tablet, sustained release tablets may be prepared for modified release profile of the drug.
- Identification is potentially the simplest and cheapest requiring no additional processing steps when employing an embossed or monogrammed punch face.

Disadvantages of tablet dosage forms

- drugs resist compression into dense compacts, owing to their amorphous nature or flocculent, low-density character
- Difficult to swallow in case of children and unconscious patients.
- Some drugs resist compression into dense compacts, owing to

amorphous nature, low density character.

- Drugs with poor wetting, slow dissolution properties, optimum absorption high in GIT may be difficult to formulate or manufacture as a tablet that will still provide adequate or full drug bioavailability.
- Bitter testing drugs, drugs with an objectionable odor or drugs that are sensitive to oxygen may require encapsulation or coating. In such cases, capsule may offer the best and lowest cost.

Different types of Tablets

(A) **Tablets ingested orally:**

1. Compressed tablet, e.g. Paracetamol tablet
2. Multiple compressed tablet
3. Repeat action tablet

4. Delayed release tablet, e.g. Enteric coated Bisacodyl tablet
5. Sugar coated tablet, e.g. Multivitamin tablet
6. Film coated tablet, e.g. Metronidazole tablet
7. Chewable tablet, e.g. Antacid tablet

(B) **Tablets used in oral cavity:**

1. Buccal tablet, e.g. Vitamin-c tablet
2. Sublingual tablet, e.g. Vicks Menthol tablet
3. Troches or lozenges

Dental cone

(c) **Tablets administered by other route:**

1. Implantation tablet
2. Vaginal tablet, e.g. Clotrimazole tablet

d)Tablets used to prepare solution

- . Effervescent tablet, e.g. Dispirin tablet
- Dispensing tablet, e.g. Enzyme tablet
- Hypodermic tablet
- Tablet triturates e.g. Enzyme tablet (Digiplex)
- Standard uncoated tablets made by compression and employing wet granulation, dry or compressionr grannulation and direct compaction

Compressed tablet

- Rapid disintegration and drug release
- Drugs intended to Exert a local effect in GI tract
- Drugs are water insoluble ex:Antacids and adsorbents

- Other drugs intended to produe systemic effect
- Drugs have some aqueous solubility dissolve from the tablet and disintegrated tablet fragments in GI contents and are then absorbed and distributed in the body

Multiply compressed tablets

- Two classes
- Multiple compressed tablets compression coated tablets
- Multiply compressed tablets are prepared by subjecting the fill material to more than a single compression
- Both tablets may be two layer or three layer
- A tablet within a tablet or A tablet within a tablet within a tablet
- Layered tablets are prepared by initial compaction of a portion of fill material in a die followed by additional fill material and compression to form two- layered or three- layered tablets, depending on the number of separate fills.
- **Each layer may contain a different medicinal agent, separated for reasons**
- **of:**

1. chemical or physical incompatibility
2. staged drug release
3. for the unique appearance of the layered tablet.

- Usually, each portion of fill is a different color to produce a distinctive-looking tablet.
- In preparation of tablets within tablets, special machines are required to place the preformed core tablet precisely within the die for application of surrounding fill material.

- Multiply compressed tablets –repeat action tablets wherein one layered tablet or the outer tablet provides initial dose rapidly disintegrates in the stomach
- Outer layer or the inner tablet formulated with the components insoluble in gastric media but are released in intestinal environment
- Performance highly dependent on gastric emptying
- Chewable tablets, which have a smooth, rapid disintegration when chewed or allowed to dissolve in the mouth, have a creamy base, usually of specially flavored and colored mannitol
- Intended to be chewed in mouth prior to swallowing and not intended to be swallowed intact
- Purpose-to provide a unit dosage form of medication which can be easily administered to the infants and children or elderly who may have difficulty in swallowing
- Chewable asprin tablet for children

Major advantages

- The dose of most antacids large so that typical antacid tablet would be too large to swallow
- The activity of antacid is related to its particle size If the tablet chewed prior to swallowing better acid neutralization maybe possible from a given antacid dose

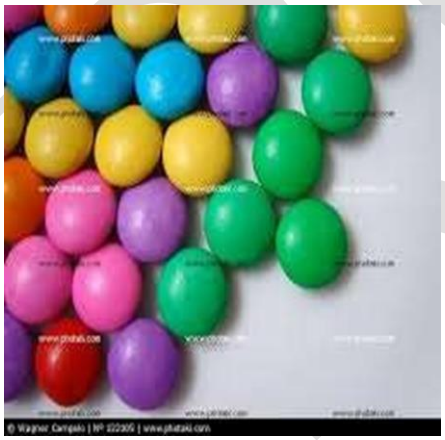
Sugar and choclate coated tablets

- Elegant ,glossy, easy to swallow tablet dosage form
- Compressed tablets may be coated with a colored or an uncolored sugar layer.
- The coating is water soluble and quickly dissolves after swallowing.

1. The sugarcoat protects the enclosed drug from the environment and provides a barrier to objectionable taste or odor.
2. The sugarcoat also enhances the appearance of the compressed tablet and permits imprinting of identifying manufacturer's information.

- Among the disadvantages to sugarcoating tablets are the time and expertise required in the coating process and the increase in size, weight, and shipping costs.
- Sugarcoating may add 50% to the weight and bulk of

the uncoated tablet**.**



Film coated tablets

- Film-coated tablets are

compressed tablets coated with

a thin layer of a polymer

capable of forming a skin-like

film.

The film is usually

1. more durable
2. less bulky
3. less time- consuming to apply.

The initial film coating compositions employed one or more polymers usually included a plasticizer for the polymer and possibly a surfactant to facilitate spreading

By its composition the coating is designed to rupture and expose the core tablet at the desired location in gastro intestinal tract.

Disadvantages:

- Increased cost of organic solvents
- OSHA restrictions on worker exposure to solvent vapours
- EPA limitations on solvent vapor discharge to the atmosphere

Hence film coating replaced with totally aqueous based polymers ex:Hydroxy propyl cellulose,Hpmc which are dissolved in water with an appropriate plasticizer widely used to produce immediate release film coating

Colloidal dispersion of ethylcellulose in water to make it possible to produce slow or controlled release film coating without the use of organic solvents

A 30% ethylcellulose dispersion is marked under the trade name aquacoat by the FMC corporation

Advantages of film coated tablets over sugar coated tablets

- Better mechanical strengthof the coating based on the elasticity and flexibility of the polymer coating
- Little increase in the tablet weight
- The ability to retain debossed markings on a tablet
- Avoidance of sugar which is contraindicated in the diets of a significant segment of the population

Disadvantages of film coating over sugar coating

- Difficult to produce film coated tablets that match the physical appearance and elegance of the sugar coated tablet

Repeat action tablets

- Multiple compressed tablets, sugar coated tablets and enteric coated tablets
- Core tablet is usually coated with shellac and an enteric polymer so that it will not release its drug load in stomach
- The second dose of drug is then added in the sugar coating either in sugar solution in the syrup or as a part of the dusting powder added for rapid coat buildup.

Delayed action and enteric coated tablets

- Intended to release a drug after some time delay or after the tablet has passed through one part of the GI tract into another.
- All enteric coated tablets which remain intact in the stomach but quickly release in the upper intestine
- The coatings that are used today to produce enteric effects are primarily mixed acid functionality and acid ester functionality synthetic or modified natural polymers

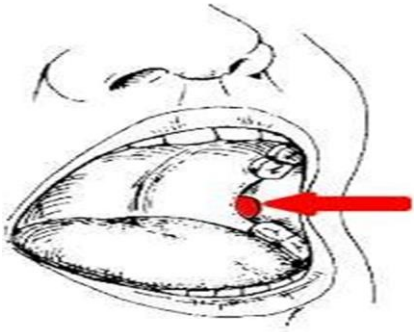
Enteric coated tablets

- Enteric polymers ex: cellulose acetate pthalate, polyvinyl acetate pthalate and HPMC pthalate
- All polymers have decarboxylic acid,pthalic acid in ester form
- Acid esters insoluble in gastric media pH 4
- Intended to hydrate and begin dissolving as the tablets leave the stomach enter the duodenum (pH 4-6) and move further along the small intestine where pH increases to a range of 7-8
- Primary mechanism by which these polymers lose film integrity, thereby admitting intestinal fluid and releasing the drug is ionization of the residual carboxylic group on the chain and subsequent hydration
- The presence of esterases on intestinal boarder break down ester linkages
- Drugs for enteric coating include
- Cause gastric irritation ex:Asprin.NH4Cl
- Drugs cause nausea and vomitting when release in stomach
- The low pH of the drug destroys some drugs ex:Erythromycin
- When drug release desired in undiluted form and highest concentration within thw intestine ex:Intestinal antibacterial or Antiseptic agents and intestinal vermifuges

Controlled release tablets

- Tablets that release the drug at a controlled rate
- Ex:Theophylline –Theo –dur
- At gastric pH tablet slowly erode
- At small intestine tablet disintegrate rapidly to release coated particles in turn slowly release the drug
- Oros product of the Alza corporation is another new zero order sustained release tablet product

- Tablets used in oral cavity Buccal and sublingual tablets
- Buccal and sublingual tablets are flat, oval tablets intended to be dissolved in the buccal pouch (*buccal tablets*) or beneath the tongue (*sublingual tablets*) for absorption through the oral mucosa.
- Systemic drug effects and better absorbed from oral mucosa
- Advantages
- Protection from gastric environment
- Rapid onset of action(vasodilators)
- Avoids first pass metabolism(methyl estosterone)



LOZENGES and TORCHES

- ***Lozenges* or *troches*** are disc-shaped solid dosage forms containing a medicinal agent and generally a flavoring substance in a hard candy or sugar base.
- They are intended to be slowly dissolved in the oral cavity, usually for local effects, although some are formulated for systemic absorption

➢ Commonly used to treat sore throat

➢ To control coughing in common cold

➢ Local anaesthtics

➢ Anti bacteials

- Antitussives
- Asrtingents
- Demulscnts

- Lozenges termed pastiles called cough drops
- Prepared by compression or candy molding process

Designed to disintegrate in the mouth but dissolve or slowly erode over a period dof 30min or less

Dental cones

- These are compressed tablets meant for placement in the empty sockets after tooth extraction.
- They prevent the multiplication of bacteria in the socket following such extraction by using slow-releasing antibacterial compounds or to reduce bleeding by containing the astringent.
- These tablets contains an excipients like lactose, sodium bicarbonate and sodium chloride.
- These cones generally get dissolved in 20 to 40 minutes time.

Tablets administered by other route

Implantation tablets

- These tablets are placed under the skin or inserted subcutaneously by means of minor surgical operation and are slowly absorbed.
- These may be made by heavy compression but are normally made by fusion.
- The implants must be sterile and should be packed individually.
- Implants are mainly used for the administration of hormones such as testosterone, steroids for contraception.
- These tablets are very usefully exploited for birth control purpose in human beings.
- The disadvantages of implant tablets are their administration, changing rate of release with change of surface area and possibility of tissue reactions.

Vaginal tablets

- These tablets are meant to dissolve slowly in the vaginal cavity-slowly dissolved and slow drug release
- The tablets are typically oval or pear shaped for the ease of insertion, these tablets are used to release steroids or antimicrobial agents, astringents to treat vaginal infections .
- The tablets are often buffered to promote a pH favorable to the action of a specified antimicrobial agent.
- They contain easily soluble components, lactose or sodium bicarbonate.

Tablets used to prepare solution

Effervescent Tablets

- These tablets along with the active medicament contain ingredients like sodium bicarbonate, citric acid and tartaric acid which react in the presence of water.

- In presence of water acid and sodium bicarbonate react form sodium salt of the acid,carbon dioxide and water.
- It liberate carbon dioxide and producing effervescence leading to disintegration of the tablet, thus fastens solution formation and increase the palatability.
- Eg. Histac (Ranitidine), Disprin
- Produce a pleasently flavoured carbonated drink assist in taste masking

Advantages

- Accurate drug dose
- Neutralization of gastric contents results in asprin in solution form cause less irritation to the stomach

Disadvantages

- Difficult to produce chemically stable tablet
- Moisture air intiate effervescent action
- Require special type of packing called hermic-foul pouches or stack packed with minimal air space.

Dispensing Tablets

- These tablets provide a convenient quantity of potent drug that can be readily convert into powders and incorporate into liquids, thus can be used to weigh small quantities.
- These tablets are primarily given as convenience for extemporaneous compounding and should never be dispensed as dosage form.
- The drugs commonly incorporated are mild silver potentiate, quarternary ammonium compounds.

Hypodermic Tablets

Hypodermic tablets are soft, readily soluble tablets and originally were used for the preparation of solutions to be injected.

- These tablets are dissolved in sterile water or water for injection and administered by parenteral route.
- These tablets are not preferred now-a-days because the resulting solution is not always sterile

Tablet triturates (Moulded tablets)

- These are powders moulded into tablets.
- They are flat, circular discs, usually containing a potent substance mixed with lactose, lactose and sucrose, dextrose, or other suitable diluent.
- Since they are intended to disintegrate very quickly in contact with moisture, water insoluble adjuncts are avoided.
- The name ‘tablet triturate’ is appropriate because they usually contain trituration's (trituration - Dilution with an inert substance).