SEMINAR ON TYPES OF TABLETS AND THEIR CHARACTERISTICS.

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DEFINITION

- Tablet is defined as a compressed solid dosage form containing medicaments with or without excipients.

- According to the Indian Pharmacopoeia, Pharmaceutical tablets are solid, flat or biconvex dishes, unit dosage form, prepared by compressing a drugs or a mixture of drugs, with or without diluents.

- They vary in shape and differ greatly in size and weight, depending on amount of medicinal substances and the intended mode of administration.

- It is the most popular dosage form and 70% of the total medicines are dispensed in the form of Tablet.
GENERAL PROPERTIES

- Accurate dosage of medicament, uniform in weight, appearance and diameter.

- Have the strength to withstand the rigors of mechanical shocks encountered in its production, packaging, shipping and dispensing.

- Release the medicinal agents in the body in a predictable and reproducible manner.

- Elegant product, acceptable size and shape.

- Chemical and physical stabilities.
ADVANTAGES

- **Production aspect**
  - Large scale production at lowest cost
  - Easiest and cheapest to package and ship
  - Greatest **chemical and microbial stability over all oral dosage form.**

- **User aspect (doctor, pharmacist, patient)**
  - Easy to handling
  - Lightest and most compact
  - Greatest dose precision & least content variability
  - Coating can mark unpleasant tastes & improve patient acceptability
DISADVANTAGES

- Some drugs resist compression into compacts.

- Drugs with poor wetting, slow dissolution, intermediate to large dosages may be difficult or impossible to formulate and manufacture as a tablet that provide adequate or full drug bioavailability.

- Bitter taste drugs, drugs with an objectionable odor, or sensitive to oxygen or moisture may require encapsulation or entrapment prior to compression or the tablets may require coating.
CLASSIFICATION OF TABLETS

Use wise
- Tablet for oral ingestion
- In oral cavity
- By other routes
- To prepare solution

Structure wise
- Divisible tablet
- Aperture tablet
- Concave-convex tablet
- Core tablet
- Layered and inlay tablet

Action wise
- Modified Release tablet
  Timed release/ sustained release/ prolonged release tablet
- Delayed action tablet e.g. Enteric coated Bisacodyl tablet
- Rapidly dissolving/ disintegrating tablet
ORAL TABLETS FOR INGESTION

- Standard compressed tablets e.g. Paracetamol tablet
- Multiple compressed tablets
  - I. Compression coated tablet
    - *sugar coated tablet
    - *Film coated tablet
    - *Gelatin coated tablet
    - *Enteric coated tablet
  - II. Layered tablet
  - III. Inlay tablet
- Targeted tablet
  - I. Floating tablet
  - II. Colon targeting tablet
- Chewable tablet e.g. Antacid tablet
- Dispersible tablet
TABLETS USED IN THE ORAL CAVITY

- Lozenges and troches
- Sublingual tablet e.g. Vitamin-c tablet
- Buccal tablet e.g. Vitamin-c tablet
- Dental cones
- Mouth dissolved tablet/ rapidly dissolving tablets

TABLETS ADMINISTERED BY OTHER ROUTES

- Vaginal tablet e.g. Clotrimazole tablet
- Rectal tablet
- Hypodermic tablet
- Implants
TABLETS USED TO PREPARE SOLUTION

- **Effervescent tablet** e.g. Dispirin tablet (Aspirin)
- **Molded tablets**
  - I. Hypodermic tablet
  - II. Dispensing /soluble tablet e.g. Enzyme tablet (Digiplex)
- **Tablet triturates** e.g. Enzyme tablet (Digiplex)
Standard compressed tablet

- These are the standard uncoated tablets made by either:
  - direct compression
  - wet granulation
  - dry granulation
- They may be used for local action in GIT/systemic action.
- In addition to medicinal agents they usually contain a number of pharmaceutical adjuvants.
Multiple compressed tablet

I. Compression coated tablet

- Function like sugar-coated or film-coated tablets or gelatin-coated, enteric coated.
- Coating of a tablet may
  - a. mask a bitter taste, odor, color of the substance
  - b. conceal an unpleasant or mottled appearance
  - c. provide a barrier for a substance irritating to the stomach
  - d. Provide physical and chemical protection for one inactivated by gastric juice.
  - e. Control the release of drug from the tablet.

- There are 3 principle designs in compression-coating machines
  - Colton model
  - Stokes model
  - Manesty dry-cota model
• **Disadvantages:**
  • Improper centration of core either vertically/horizontally produces weak edges and coating will not hold together.
  • More expensive because of multiple granulation.

**Faults in compression coating**
- Unequal coating
- cocking
- off centre
II. Layered Tablet

- Multilayer tablets (2 or 3) are prepared by repeated compression of powders and are made primarily to separate incompatible drugs from each other.
- It makes possible SR release preparation with the IR quantity in one-layer and slow-release portion in the second, a third layer with an intermediate release might be added.

For example, 1. Admixture containing Phenylephedrin HCL and Ascorbic Acid with Paracetamol.
Paracetamol + phenylephedrine Hydrochloride → one layer
Paracetamol + ascorbic acid → another layer.

2. Analgesic-antipyretic decongestant containing aspirin and phenyl propanolamine. A thin layer of placebo is placed between them to negate the chemical incompatibility of active ingredient.
- **Equipment**: Versa press
- **Advantages**:
  - Coloring the separate layers provides many possibilities for unique tablet identity.
  - Pre compression lengthens dwell time and aid in bonding.
  - Layer presses find employment in manufacture of chewable antacid tablets. (MgO heavy USP) first layer, (Al(OH)$_2$ dried gel USP) as second layer.
III. INLAY TABLET

- DOT OR BULL’S EYE TABLET

- Instead of completely surrounded by the coating, its top surface is completely exposed.

- It has some advantages over compression coated tablets:
  - i) Less coating material is required.
  - ii) Core is visible, so coreless tablets can be easily detected.
  - iii) Reduction in coating forms a thinner tablet and thus freedom from capping of top coating.

- Used in sustained release preparations to reduce the size and weight of tablet.
Equipment: Stokes, Colton or kilian machines

Example- 1. 100 mg PBZ Lontab (no longer marketed)
2. 25 mg of hydrochlorothiazide in the bull’s eye, 600 mg of potassium chloride in outside portion.

Disadvantages- Poor centration is a much more obvious defect in inlay tablet.
Also color reaction due to incompatibility between the core and coating are obvious.
TARGETED TABLETS

- Under this category we have two types of tablets:

I. GASTRO-RETENTIVE TABLET

- Opted when API release is desired in stomach (antacids, API’s used against H. pylori infection)

- **Floating tablet**
  - To retain the drug for longer time period in stomach following approaches can be used:
    - Low density tablet
    - Tablet that can expand in gastric environment (swelling or unfolding).
    - Using muco - adhesive polymer
  - Supine position is to be avoided.

- Drugs like diazepam, levodopa, benserazide and ciprofloxacin are successfully marketed.
II. COLONIC TABLETS

- For the drugs having poor absorption in stomach or small intestine, colonic drug delivery is an answer of choice.

- The pH in this region varies from 6.4-7 and presence of microbial flora plays an important role in drug release.

- Various mechanisms adopted for drug release in this area are:
  - Coating with pH sensitive polymer e.g., Eudragit S100 and L100
  - Biodegradable polymer which are sensitive to colonic bacteria.
  - Bio- adhesive polymer e.g., poly carbophils/poly ethanes.
  - Redox sensitive polymers.
Chewable tablets

- Chewable tablets are to be chewed and thus mechanically disintegrated in the mouth, so that **NO DISINTEGRANT IS INCLUDED.**

- Flavoring, sweetening and coloring agents are important.

- Sorbitol and mannitol are common examples of fillers in chewable tablets, (mannitol has negative heat of solution which results in cooling effect and also has sweetening action).
Advantages of chewable tablets

- Provide quick and complete disintegration of the tablet and thus obtain a rapid drug effect after swallowing and dissolution.
- Easy administration, especially for infants and elderly people.
- Patient convenience through elimination of need of water for swallowing.

Examples

- Chewable Aspirin tablets (for children in the treatment of rheumatoid and to prevent clot formations in adults).
- Antacid tablets
- Chewable multi vitamin tablet
**Dispersible Tablets**

- Disintegrate either rapidly in water to form stabilized suspension or disperse instantaneously in the mouth to be swallowed without the aid of water.
- The properties such as porosity, hardness, DT, increase in viscosity after dispersion are necessary to investigate during manufacturing.

**ADVANTAGES:**

- For pediatric patients who cannot swallow.
- For API’s unstable if formulated in liquid formulation.
- Faster onset of action compared to standard compressed tablet.
- Patients having prolonged illness who are prone to nauseatic sensation.

**Examples:** Analgesics (aspirin, ibuprofen etc.)
Lozenges and Trouches

- Lozenges are flavored medicated dosage forms intended to be sucked and held in mouth or pharynx.

- Two lozenge forms include hard (or boiled) candy lozenges and compressed tablet lozenges (TROUCHES).

Lozenges may be used for:

- Local medications in the mouth or throat,
  - e.g. local anesthetics, anti histamines, decongestants, analgesics, demulcents, antiseptics & antibiotics
- Systemic drug uptake.
A soft variety of lozenge, called a pastille, consists of medicament in a gelatin or glycerogelatin or in a base of acacia, sucrose and water.

No disintegrant is included in compressed lozenges composition

Other additives (binder and filler) must have pleasant taste or feeling during dissolution.

Common binder used in compressed lozenges is gelatin, common fillers are (Sorbitol, mannitol and glucose).
SUBLINGUAL TABLETS

- Requirements of sublingual tablets are speed of absorption and a correspondingly rapid physiological response.
- Intended to be placed beneath the tongue and held there until absorption has taken place.
- Absorption through oral cavity avoids first pass metabolism.

1. Molded sublingual tablets-
   - Prepared from soluble ingredients so that the tablets are completely and rapidly soluble.

Examples- codeine phosphate tablets, scopolamine HBr tablets, nitroglycerine tablets.
2. **Compressed sublingual tablets** -

- This type has less weight variation, better content uniformity, also harder and less fragile.
- **Examples**- Glyceryl trinitrate
  isoprinosine sulphate
  nitroglycerine tablet
  erythrityl tetra nitrate
  isosorbide dinitrate
Buccal tablets

- Intended to be dissolved in buccal pouch.
- Tablets are designed not to disintegrate.
- It is placed near the opening of parotid duct to provide the medium to dissolve the tablet.

Buccal tablets are most often used when replacement hormonal therapy is the goal, e.g., Methyl testosterone, testosterone propionate.
LONG- ACTING BUCCAL TABLETS-

- Use of viscous natural or synthetic gums or mixtures of gums can be compressed to form a hydrated surface layer from which the medicament slowly diffuses and is available for absorption through buccal mucosa.
- Using Polyacrylic co-polymer (carbopol 934) blended with HPC or sodium cascinate.
- Mucoadhesive polymers like PANA and carbopol 934 are used.
- **Examples**- nitroglycerin buccal tablets prochlorperazine maleate buccal tablet
Dental cones

- These tables are designed to be loosely packed in the empty socket remaining following a tooth extraction.

- Main purpose behind the use of this tablet is either to prevent multiplication of bacteria in the socket by employing a slow releasing antibacterial compound or to reduce bleeding by an astringent or coagulant containing tablet.

- It’s formulated to dissolve or erode slowly in presence of a small volume of serum or fluid over 20-40 minutes period.
**Mouth Dissolved tablets/ rapidly Dissolving tablets**

- Known to the **FDA** as orally disintegrating tablets.
- Preferred when fast action or relief is desired.
- A number of techniques are used to prepare these tablets, including lyophilization, soft direct compression.
- Taste masking poses numerous challenges since the drug product dissolves in mouth, either by flavoring technique or by micro encapsulation or nano-encapsulation.
- Most commonly used drugs under this formulation are the agents active against Migraine.
**Vaginal tablets**

- Designed for vaginal administration in treatment of local vaginal infections, for systemic absorption and absorption into vaginal tissue.

- Can be inserted with aid of an applicator.

- In the treatment of localized vaginal infections such as, *Candida albicans, yeast and Haemophilus vaginalis.*

**Examples:**

- Cyclodextran formulations of hydrophilic drugs such as amino-glycosides, β- lactum antibiotics and peptides.
- Propanolol
Rectal tablets

- Old and acceptable means of treatment.
- The volume and nature of rectal fluid, its buffer capacity, pH and surface tension play a large part in this but are subject to wide variation, even within single subject, resulting in variability of absorption by this route.

**Advantages:**

- Tablets have distinct advantages over suppositories is
  - Not requiring refrigeration
  - Better product stability even at room temperature
  - Suppositories containing such compounds as Aspirin and Penicillin G sodium have limited product stability even under refrigeration.
Disadvantages:
- Possibility of premature expulsion of the dosage form before sufficient absorption takes place.
- Unfortunately, little is known about the rectal delivery from tablet.
- Additional studies would be required to demonstrate the extent of bioavailability.

Examples:
- Rectal tablet Prochlorperazine.
IMPLANTS

- Designed for subcutaneous implantation by surgical procedure where they are slowly absorbed over a period of month or a year.
- Special injector with a hollow needle and plunger is used to administer the rod shaped tablet.
- For other shapes surgery is used.
- They are sterile formulations without excipients.
- Mainly these tablets are prepared to deliver growth hormones to food producing animals.
- Ear is preferred site for administration of drug.
- Safety problems
**Effervescent tablets**

- Effervescent tablets are dropped into a glass of water before administration during which CO$_2$ is liberated. This facilitates tablet disintegration and drug dissolution; the tablet disintegration should complete within few minutes.

- (Effervescence is a special mechanism for disintegration) CO$_2$ is created by the reaction between carbonate or bicarbonate and a weak acid such as citric acid or tartaric acid.
Advantages of effervescent tablets

- Rapid drug action e.g. analgesics and antacids.
- Significant differences in absorption kinetics (gastric emptying rate, rapid tablet dissolution).
- Facilitate drug intake, for example vitamins.

Special conditions

- Low RH (25%) and moderate to cool temperature (25°C) in processing areas is essential.
- Effervescent tablets should be protected from moisture, may be packed in blister packs.

Examples

- Wide range of effervescent tablets include antibiotics, ergotamines, digoxin, methadone, L-dopa. Preparation for veterinary use have also been developed.
Molded tablets

I. Hypodermic tablets

- They are intended to be added in WFI of sterile water to form a clear solution which is to be injected parenterally.
- Widely used by rural physician due to its portability.
- Can be used for medicaments whose stability in water is very poor.
- Their use in this manner should be discouraged, since the resulting solutions are not sterile.
II. Dispensing/ soluble tablet

- They are to be added to water or other solvents to make a solution containing a fixed concentration of API.

- Should contain no insoluble materials (including glidants, binders etc.), since they will be made into clear solution.

Examples

- Mercuric chloride dispensing tablet (antiseptic), tablet for ophthalmic drops of neomycin sulfate.

- Others include topical local anesthetics (cocaine), antibiotics (bacitracin).
Tablet triturates

- They are small, usually cylindrical, molded or compressed tablets containing small amounts of usually potent drugs.

- Only a minimal pressure is applied during their manufacturing, since they must be readily and completely soluble in water.
**Structure wise**

- **Divisible tablet**
  - It is sometimes necessary to administer one-half or one-fourth of a tablet and under such circumstances tablets are generally scored once in the middle or twice with lines perpendicular to one another.
  - V-shaped double layer tablets with scoring in the centre have been designed.

- **Apertured tablets**
  - Designed with a view to achieve constancy in the surface area during disintegration & dissolution.
Concave-convex tablets
- These tablets have been designed with a view to keep surface area of the structure relatively constant during the dissolution process.
- Area is lost on the convex surfaces and gained at the concavities.

Core tablets
- These tablets have a central core over which another layer of material is compressed and are generally made by two successive compressions.
- Separate incompatible ingredients.
ENTERIC COATED TABLET

- Protect the drug from being destroyed by gastric contents, either enzymes or highly acidic gastric fluids. E.g., low pH destroys some drugs (erythromycin)
- Prevent or reduce nausea and vomiting associated with a drug’s irritation of gastric mucosa. E.g., aspirin, strong electrolytes such as NH₄Cl, KCl
- Deliver the drug to its absorption site in the intestine.
- Deliver the drugs intended for local action in the intestine. E.g., intestinal antibacterial or antiseptic agents.
Coating Composition

- Enteric polymers e.g., shellac, CAP, HPMC phthalate, methacrylic acid, polyvinyl acetate phthalate, co-polymers like Eudragit L100, Eudragit S100.
- Plasticizers e.g., glycerin, PEG, propylene glycol, castor oil, phthalate esters.
- Solvents

Enteric coating materials-

- Action of enteric coating results from difference in the composition of gastric and intestinal environments with respect to both pH and enzymes.
  1. Materials that rely on erosion
  2. Materials that rely on change in pH/ pH sensitive materials
**Modified release tablets**

- Release the medicament slowly for long time duration after administration of a single tablet.
- Used to target the site specific releases.

![Normal Release vs. Modified Release](image)

Comparison of blood concentration vs. time

- Any adjuvant that can alter water uptake rate, swelling, and gelling characteristics can alter the release rate of API. E.g., electrolytes in HPMC matrix tablet.
The drug release can be modified by providing suitable micro environment pH in the tablet. E.g., acidic polymer, succinic acid etc.

Inclusion of alkaline polymers results in desirable drug release of acidic drugs.

**Advantages** - patient compliance

**Disadvantages** -

- Increases the cost of manufacturing.
- Chances of burst of drug release.
- In case of accidental poisoning, the doctor has to deal with special treatment problems.
- Due to large size, patient may feel difficulties in swallowing as the matrixing agent to drug ratio is high.
TABLETS FOR MISCELLANEOUS USE

- Reagent tablets -
  - For qualitative and quantitative tests
  - In diabetics to estimate urinary sugar levels, presence of acetone, aldehydes or ketones in urine.
  - To determine presence of albumin in urine for the detection of occult blood.
- Tablets fulfill countless other needs - for water purification, artificial sweeteners, nutritional ingredients for diet control, cleaners for dentures, general cleaners and disinfectants, fertilizers for house plants and even Easter egg colors.
CONCLUSION

- With advancement in technology and increase in awareness towards modification in standard tablet to achieve better acceptability as well as bioavailability, newer and more efficient tablet dosage forms are being developed.

- The main reasons behind formulation of different types of tablets are to create a delivery system that is relatively simple and inexpensive to manufacture.

- Provide the dosage form that is convenient from patient’s perspective and utilize an approach that is unlikely to add complexity during regulatory approval process.

- To understand each dosage form, tablets here are classified by their route of administration and by the type of drug delivery system they represent within that route.
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