

PharmD IIIrd Year

PHARMACEUTICAL FORMULATIONS

**PHARMACEUTICAL
DOSAGE FORMS**

-BY RUPAM SWAIN



Why so many Dosage Forms?



Hard gelatin capsule



Syrup



Suspension



Film coated tablet

Various Iron Preparations



Injections

Drug Dosage Forms:

“Dose form is defined as products designed for suitable administration of a drug to a patient for diagnosis, prevention and treatment of a disease or relief of symptoms.”



Need Of Various Dosage Forms

- For the protection of a drug from destructive influence of atmospheric oxygen or moisture.
E.g. coated tablets, ampoules.
- For protection of drug from destruction by gastric juices on oral administration.
E.g. enteric coated tablets.
- To mask the bitter taste or foul odor of the drug.
E.g. capsules, syrup.
- To provide extended drug action through controlled release mechanism.
E.g. retards, spansules.
- To provide optimal drug action of topical application.
E.g. ointments, cream.

Need Of Various Dosage Forms contd...

- To provide drug form for insertion into the body like rectum, vagina, ureter.
E.g. suppository, pessary.
- To provide liquid preparation of substances which is insoluble and instable.
E.g. suspension.
- To provide for placement of drug within the body tissue.
E.g. injections.
- To provide for liquid dosage form of soluble substance in desired vehicles.
E.g. solution.
- To provide drug action for inhalational route.
E.g. aerosols.

Drug forms for external use

- Different preparations are available such as solid preparation, semisolid and liquid preparations.

E.g. 1. Powders

2. Ointments

3. Creams

4. Lotions

5. Liniments

6. Plasters

7. Pessaries

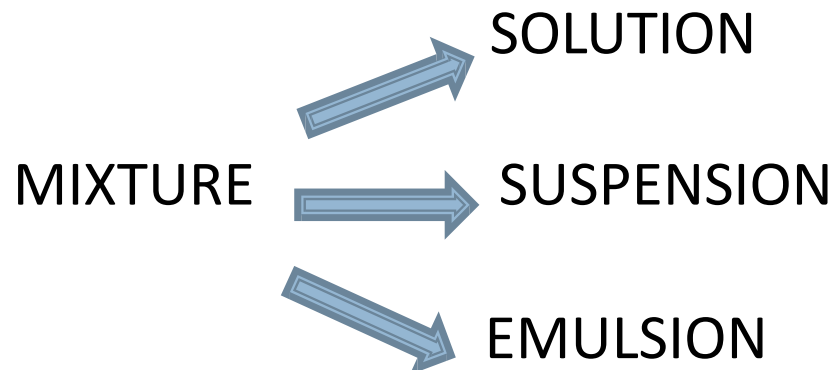
8. Bougies

9. Suppository.

Drug Forms For Internal Use

○ For **oral** administration:

- **Solid :**
 - TABLETS
 - CAPSULES
 - POWDER
 - GRANULES
- **Liquid :**



Drug Dosage Forms:

- ④ The extent and rate to which a medication is absorbed and distributed can be affected by the drug delivery (dosage) form.
- ④ The chemical structure of a medication will determine its available dosage forms.
- ④ Drugs may be available in multiple dosage forms—choosing a form that is best received by the patient will lead to a better total outcome.



Solid Dosage Forms

- Tablets
- Capsule
- Powder
- Granule
- Suppository.

Tablet

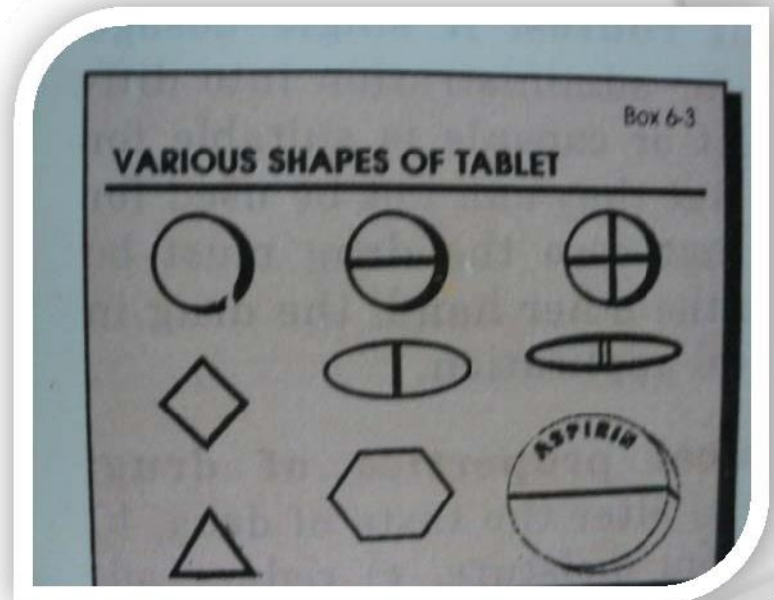
- “ Tablet is a dosage form in which a solid drug powder is compressed in a discoid shape with the help of machine and using a suitable adjuvant.”
- “Tablets are pulverized remedial agents compressed into tablet form by means of a specially designed machinery.”
- Most frequently used dosage form.



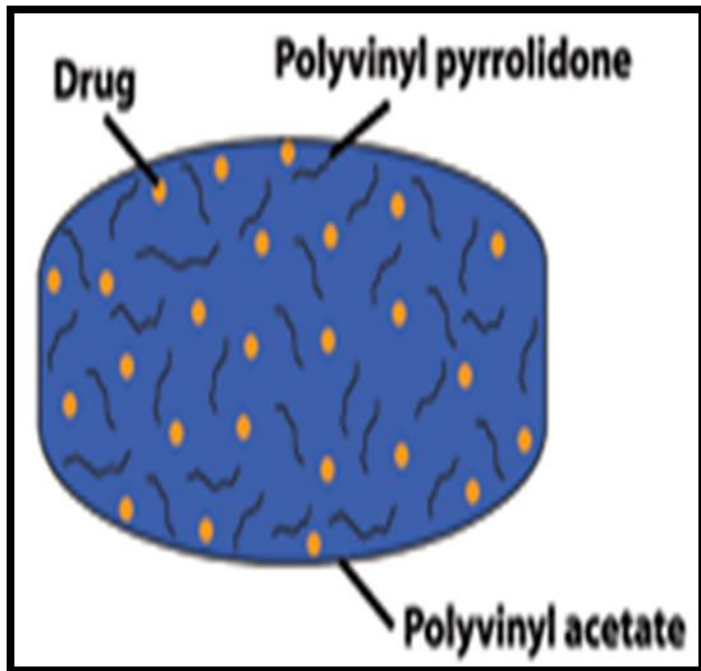
Composition of tablets:

1. Diluent.
2. Binder.
3. Disintegrant.
4. Lubricant.
5. Coloring agent.
6. Sweetening & Flavoring agent.

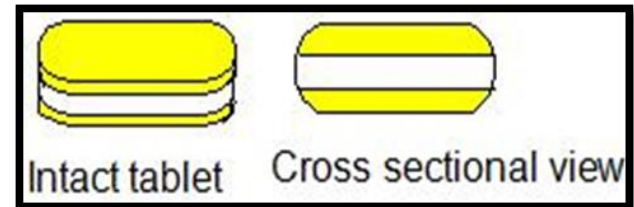
Various shapes of tablets



Matrix tablet



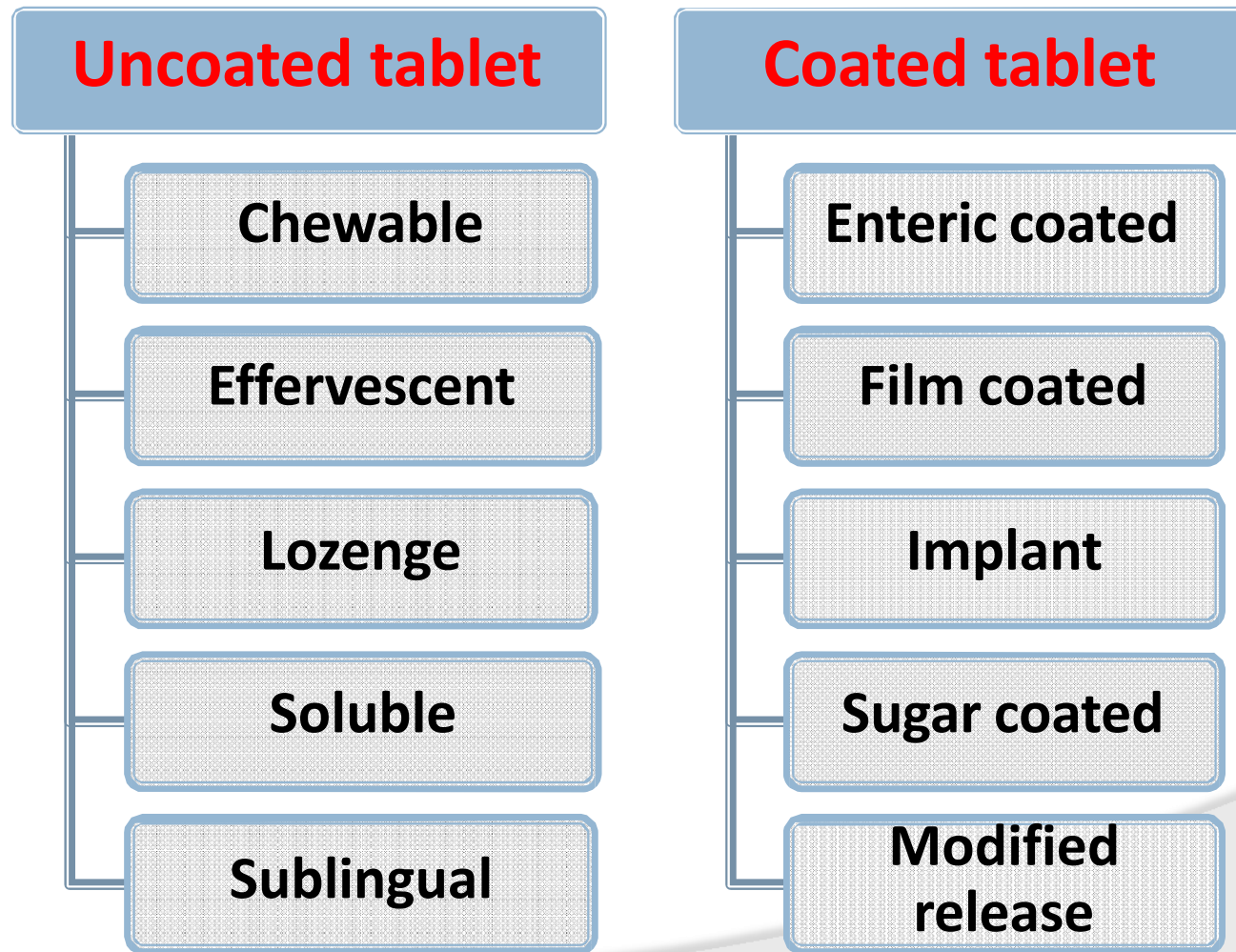
3 Layer Tablet



Matrix tablet



Types Of Tablets :



Uncoated Tablet

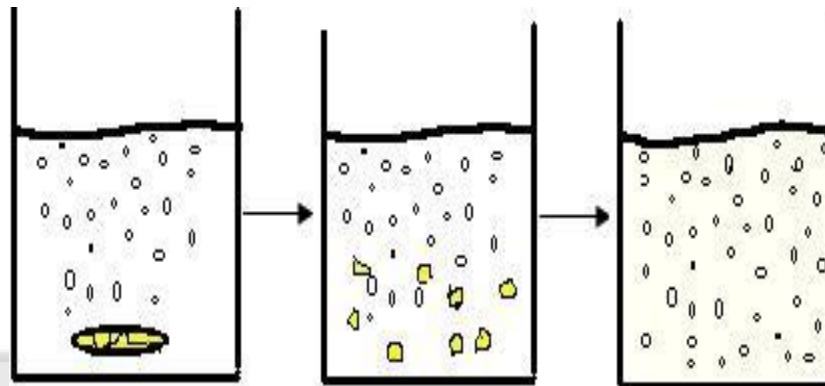
○ Chewable tablets-

- Used for children,
- E.g. vitamin and antacids tablets



○ Effervescent tablets-

- Contains acid substances & carbonate/hydrogen carbonate, which reacts with water to release CO_2



Uncoated tablets cntd...

◎ Lozenges / Troches-

- These are flat, hard, sugary mass containing an active ingredient.
- Intended to produce continuous effect on the mucous membrane of the throat.
- Slow dissolution rate by virtue of \uparrow^{ed} binding agents.

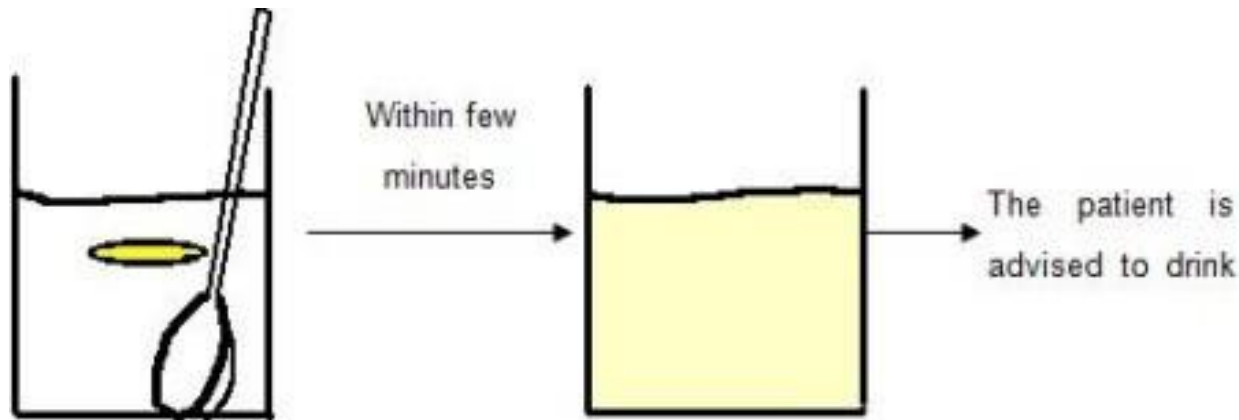


- Pastilles : similar to lozenges, but are softer, made of glycerol, gelatin or acacia and sugar.

Soluble tablets-

Dissolves completely in liquid to produce solution of definite concentration.

E.g. mouth wash,
gargle, skin lotion,
aspirin etc.



Uncoated tablets cntd...

◎ Sublingual tablets-

- Placed below tongue for slow release of tablet for absorption through the mucosal tissue.
- Used for drugs destroyed/inactivated within the g.i.t.
- Very fast onset of action.
- E.g. nitroglycerin.



Coated tablets

○ Enteric coated tablets-

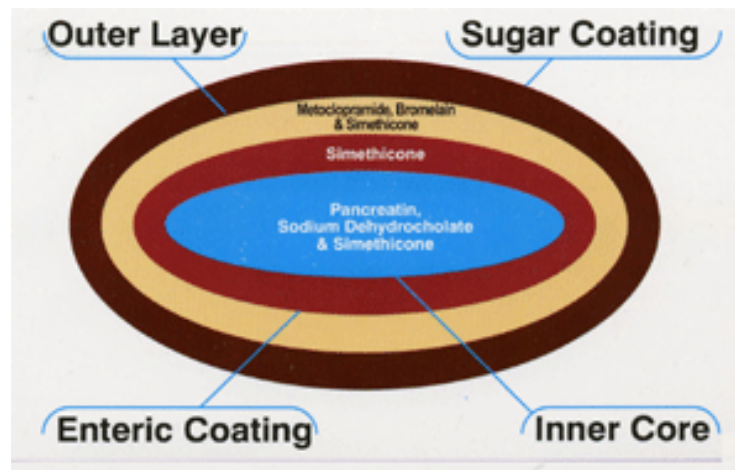
- Used for drugs which are destroyed by gastric juice or which causes irritation to the stomach.
- Coating made of Cellulose acetate phthalate. (insoluble in gastric acid but readily dissolves in intestinal contents.)
- Expensive



Coated tablets contd...

◎ Sugar coated tablet-

- Used for tablets containing active ingredient(s) of unpleasant taste.
- It is covered with sugar to make it more palatable.



Coated tablets contd...

○ Film coated tablets-

- The tablet is covered with a thin layer or film of polymeric substance.
- It protects the drug from atmospheric conditions.
- Mask the objectionable taste and odor of the drug.



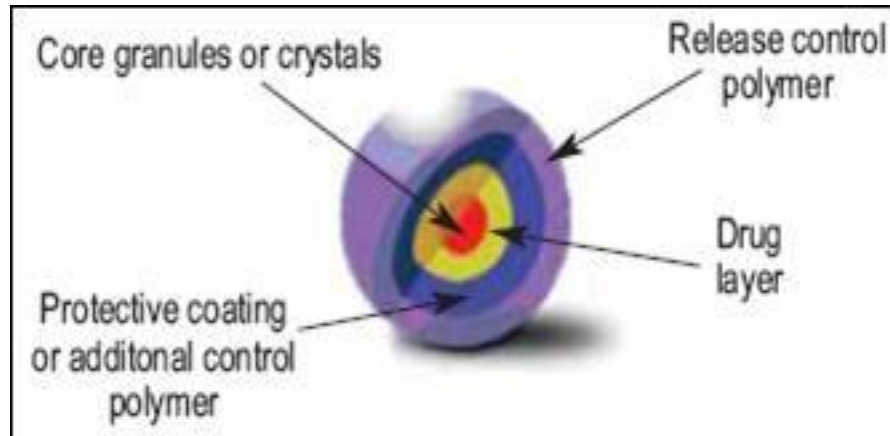
Coated tablets contd...

◎ Implant-

- The tablet is inserted under the skin through a small surgical cut.
- The drug used should be water insoluble.
- **Slow and continuous** release of drug over prolonged period of time ranging from **3 to 6 months**.

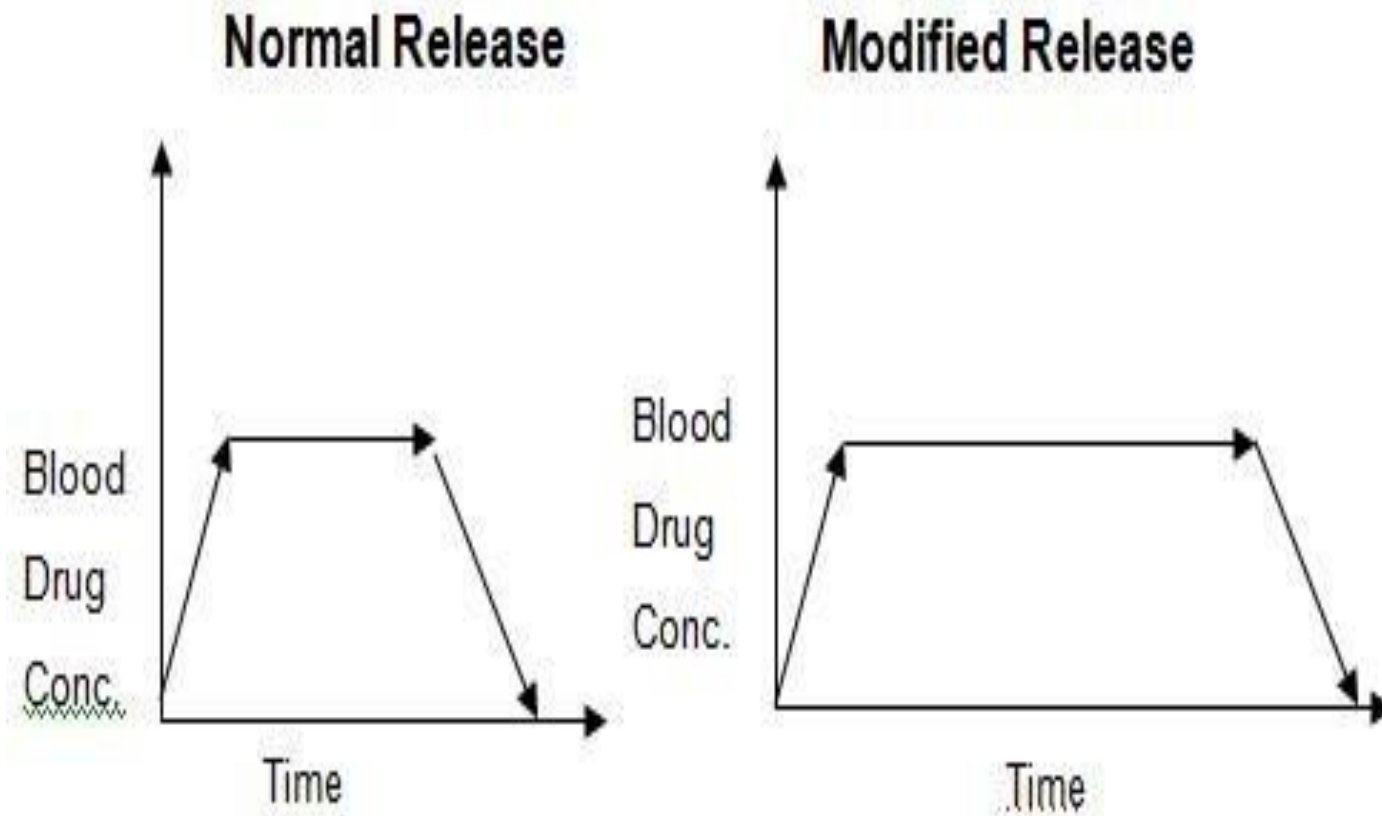
Coated tablets contd...

○ Modified release tablet-



- Either coated or uncoated.
- The additives modify the rate of release of the drug into the g.i.t.
- E.g Indomethacin
- Advantages:
 - Prolongs the effect of drug,
 - Reduces the frequency of administration.

Graphical Comparison Of Blood Concentration V/S Time



Capsule

- “They are the solid dosage forms in which drug in the powder form or granule is put in the capsule which is made up of gelatin.
- Types of capsules:
 - Hard capsules.
 - Soft capsules.
 - Modified release capsule.
- Purpose of using capsule :-
 - By-passes disintegration
 - Provides stability of drug
 - Avoid unwanted taste.



Capsule contd...

⦿ Hard capsules-

- Most common form of capsules.
- Made up of cylindrical body and cap.
- Drug is used in powder or granule form
- Sizes: 000,00,0,1,2,3,4,5 (smallest)
- Made from gelatin + sugar



⦿ Soft capsules-

- One piece capsule containing liquid.
- Made up of gelatin + glycerine.
- E.g. Vit A capsule.
Vit E capsule.



Capsule contd...

⦿ Modified release capsule-

- The drug in the granule form is coated with substances which enables it to dissolve at different times
- There is continuous release of drug ; the period of release being 2-12 hrs.
- It contains more amount of active ingredient than the conventional one.
- Decreases the frequency of administration.
- E.g. indomethacin, ketoprofen.

Advantages:

- Improves compliance.
- Reduces GIT adverse effects.

Capsule contd...

◎ Composition of capsule-

1. Active ingredient
2. Diluent: lactose, to fill the capsule & rapid dispersal of the drug into g.i.t.
3. Lubricant: Magnesium stearate , used to ensure flow of powder during filling into the capsule.
4. Disintegrant: starch
5. Preservative : to prevent growth of fungi.
methyl & propyl parabens, sorbic acid

Spansules

- ⦿ Obtained by charging a capsule with drug pellets of varying coating and size.
- ⦿ The smallest disintegrating first and largest last.
- ⦿ They afford a long lasting therapeutic effect with a single oral dose.

Pellets

- ⦿ These are small rod shaped or ovoid sterile dosage forms composed essentially of pure hormones in compressed forms.
- ⦿ Intended for subcutaneous implantation in body tissue → slow release of drugs.
 - E.g. testosterone pellets.

Pills

“ Pills are small, ovoid, solid bodies made from plastic mass of drugs with aid of some adhesive or sticky materials called excipients”

Now a days reserved only for contraceptive pills.

Powder

- “Powder is a solid dosage form which contains one or more ingredient in dry and finely divided state.”
- The powder form are available for external and internal uses.

- Advantages:

1. Flexibility in compounding.
2. good chemical stability.
3. Specific dose can be calculated.
4. Smaller particles size powder dissolves more rapidly.
5. Larger quantities can be dispensed.
6. More easy to carry than liquids.
7. Economical
8. Ease in children and old persons.



Powder contd...

⦿ Disadvantages:

1. Not suitable for unpleasant drugs.
2. Deliquescent and hygroscopic drugs can not be dispensed.
E.g. menthol, camphor, thymol, calcium chloride, ammonium chloride, ammonium bromide.
3. Volatile drugs are not suitable.

⦿ Uses:

- Lubricant
- Absorbants
- Antiseptics
- Antipruritics.

◎ Classification of powder:

- Simple powder :
 - only one ingredient either in crystalline or amorphous form.
- Compound powder: 2 or more ingredients
- Granular effervescent powder – for internal use.
- Bulk powder – external use, dusting powder, tooth powder.
- Powders inclosed in catchets and capsules.
- Compressed powders (tablets) and tablet triturates.

Powder contd...

◎ Powder for internal use :

- Oral route-
 - Bulk powder : contains non potent ingredient e.g. magnesium trisilicate.
 - Divided powder:
- Intended to be dissolved in water before administration.
- E.g. laxatives and antacid powders.

S

- sodium bicarbonate
- sodium potassium tartarate
(*Rochelle salt*)
- tartaric acid



Powder contd...

- Powder For Injection:
 - Sterile solid substance to be dissolved or suspended by adding sterile fluid.
- Powder For Inhalation:
 - Powder is supplied in hard capsules and inhaled from rotahaler.
 - E.g. disodium chromoglycate.
 - Mainly used for drug delivery into respiratory tract.



Granule

- Small irregular particles from 0.5-2 mm in diameter.
- It can be placed on the tongue and swallowed with the water, some are intended to be dissolved in water before taking.
- Effervescent granules evolve carbon dioxide when added to the water.

Suppository

- ⦿ Solid medicated preparation designed for insertion into the rectum.
- ⦿ Weight: 1-4 g
- ⦿ Suppository bases: cocoa butter, glycerinated gelatin, polyethylene glycol, sodium stearate.
- ⦿ Bases are non toxic, non irritant to mucous membrane and melt quickly at body temperature.



- ⦿ These can be used systemic or local purpose.
- ⦿ Local use: treatment of hemorrhoids.
- ⦿ Systemic use: analgesics,
aminophylline
sedatives



Pessary

- Solid medicated preparation designed for insertion into the vagina.

- Types :

- Molded
- Compressed (vaginal tablet)
- Vaginal capsule



Plasters

- ⦿ “These are the solid dosage forms which is in the form of powders with a suitable adjuvant which is used for application to the affected part with an intention to have prolonged contact.”
- ⦿ These are the tenacious insoluble compounds, pliable in consistency intended for application to limited areas of body.

Lamellae

These are thin gelatin discs softened with glycerin and impregnated with substances acting on the pupil.

These are intended to be placed under the eyelid.

Semisolid Dosage Forms

● Ointment-

- “Ointment is a semisolid preparation for external application for their emolient, soothing or sometimes systemic action containing active ingredients in a suitable base and it is to be applied with or without rubbing.”
- Used for external application on membrane.
- E.g. Petroleum jelly.



◎ Types of ointments :

a) Degree of penetration:

- i. Epidermic ointment – no penetration of skin.
 - emolient or protective properties.
- ii. Endodermic ointment-
 - penetration into the skin.
- iii. Didermic ointment-
 - absorbed systemically.

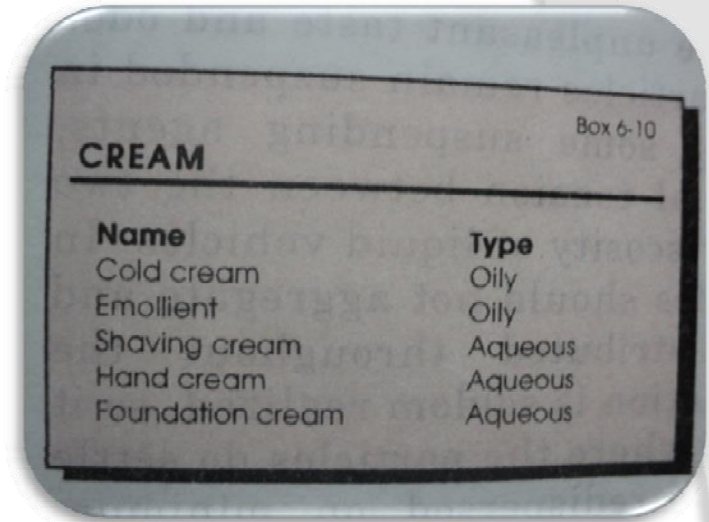
◎ Paste

- Preparation containing high proportion of finely powdered solids like zinc oxide, starch.
- Less occlusive than ointment.
- Used to protect sub acute or excoriated skin.



● Cream-

- “Creams are semisolid preparations for external applications without rubbing, they contain active ingredient in water soluble base and sometimes oily bases are used.”
- Miscible with the skin secretion.
- Cosmetically more acceptable than ointment as less greasy and easier to apply.



CREAM		Box 6-10
Name	Type	
Cold cream	Oily	
Emollient	Oily	
Shaving cream	Aqueous	
Hand cream	Aqueous	
Foundation cream	Aqueous	

Types :

1. Oil-in-Water (aqueous cream)
E.g vanishing cream
2. Water-in-Oil (oily cream)
E.g. cold cream



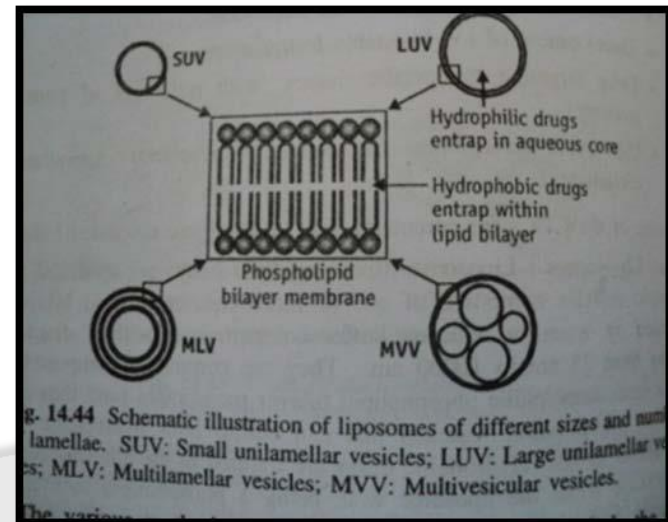
Poultices (Cataplasms)

“These are soft, semisolid, external applications which either stimulate a body surface or alleviate an inflamed area by supplying medicated substances in the presence of heat and moisture.”

- They are one of the oldest of recorded pharmaceutical preparations.
- Poultices tend to draw infectious materials from diseased tissue because of absorptive and hygroscopic character of the ingredients used e.g. kaolin and glycerine.

Liposomes

- LIPOSOMES= meaning lipid body.
- minute vesicles produced by sonication of an aqueous suspension of phospholipids.
- These are spherical microscopic vesicles composed of one or more concentric lipid bilayers.
- Size= 25 nm to 10000nm.



Niosomes

- ⦿ These are non-ionic surfactant vesicles, inexpensive alternative to liposomes.
- ⦿ Bilayered structures which can entrap both hydrophilic and lipophilic drugs either in an aqueous layer or in vesicular membrane, made up of lipids.

Advantages over liposomes:

1. Low cost
2. Greater chemical stability
3. Low toxicity



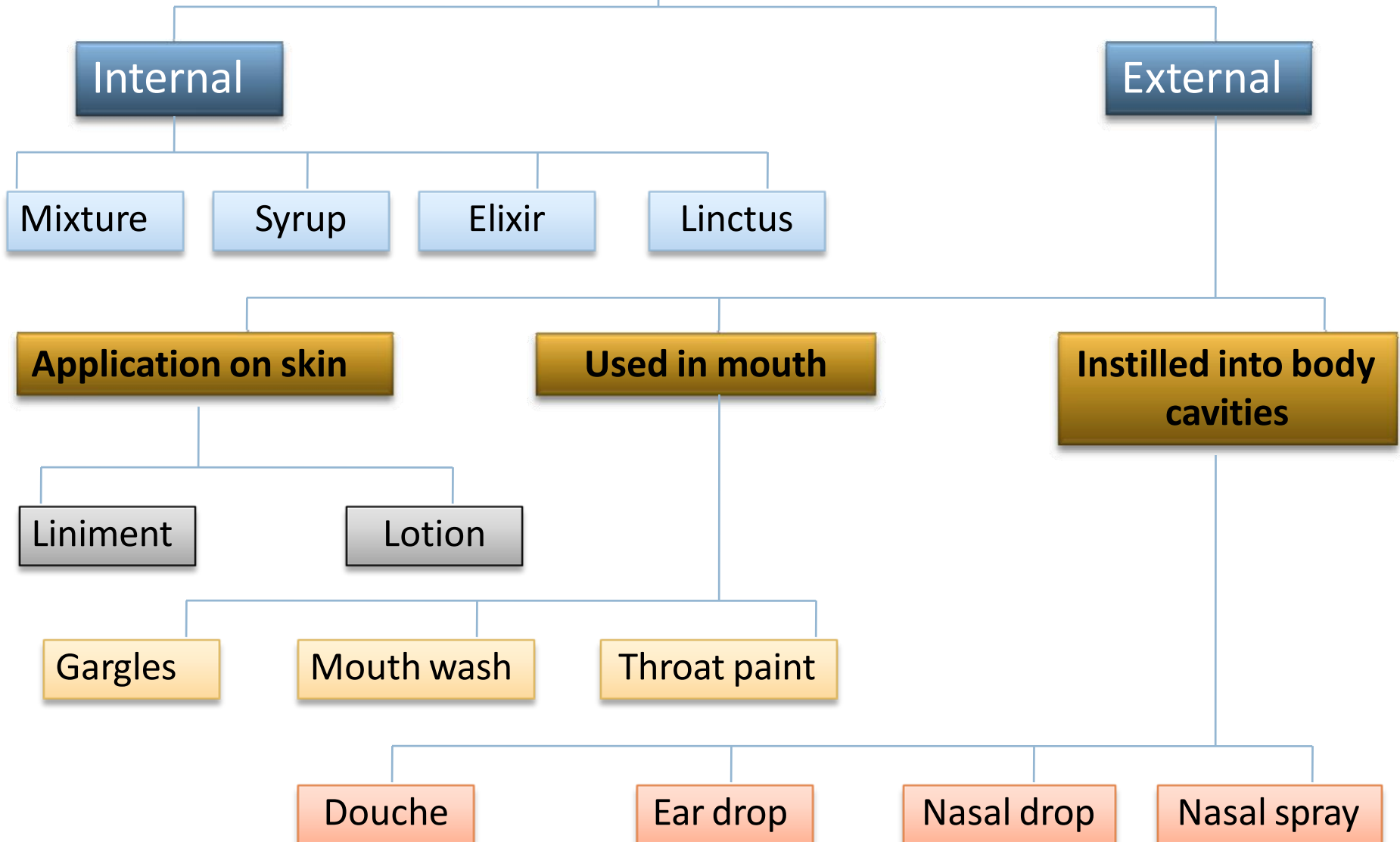
Liquid Dosage Forms

- Solution
- Suspension
- Emulsion

Mixture

- ⦿ “Mixture is liquid medicament meant for internal use containing one or more ingredients either in dissolved, suspended and emulsified state.”
- ⦿ *Bottles* are used when more than one dose of drug is to be dispensed.
- ⦿ *Haustus* or *Draught* are used when only one dose is to be dispensed, with the exception of Ipecacuanha emetic draught in which several doses are prescribed in multiple dose container.

Monophasic Liquid Dosage Forms



Advantages Of Mixtures

1. Quickly effective; as stages of disintegration and dissolution are not required.
2. Can be given in larger doses; e.g. castor oil, liq. Paraffin oil.
3. Irritant powders like potassium iodide and bromide can be given in mixture.

☐ Types of mixtures:

- ☐ SOLUTION
- ☐ SUSPENSION
- ☐ EMULSION

Solution

- “ Solution is a perfectly homogenous liquid preparation containing one or more substances dissolved in fluid medium.”
- Aqueous solution for external use,
 - Nasal solution ; e.g ephedrine nasal drops
 - Otic solution; glycerine and corticosteroids
 - Eye drops; e.g. ofloxacin eye drops
- Aqueous solution for intravenous infusion is,
 - Free from pyrogens
 - Isotonic with blood.



Douche

- ◎ “ A Douche is a aqueous solution meant for introduction into one of the body cavities either for medicinal treatment or for hygienic purpose.”
 - Most commonly used for vaginal solutions.
 - Also called irrigations.
 - Also used to irrigate the eyes, ear or nasal cavities for cleaning or removing the foreign particles.
 - Cleansing douche includes water, sodium chloride(0.2% isotonic), boric acid 2% etc.
 - Medicated douche includes mercuric chloride 1:3000 to 1:10000, silver nitrate 1:1000, potassium permanganate 1:4000.

Suspension

- “Suspension is a liquid medicament containing insoluble solids which are uniformly distributed through out the body of vehicle with or without the help of suspending agents.”
- Insoluble solids may be diffusible or non diffusible.
 - Diffusible substances form suspension by simple agitation e.g. mist alba (milk of magnesia)
 - Non diffusible substances require use of suspending agents.e.g. kaolin mixture, calomel
- More stable than solution.
- Ideal for pts with difficulty in swallowing tab/cap.



Suspension contd...

- ⦿ In an ideal suspension, the particles should not aggregate and should remain uniformly distributed throughout the dispersion.
- ⦿ E.g. antacids, co-trimoxazole and benzoyl metronidazole.
- ⦿ Suspending agents:
 - Pharmacologically inert substances which help insoluble and in diffusible substances to stay in uniform distribution through out the body of vehicle, so as to ensure uniform distribution of ingredients in each dose.
 - They increase the viscosity of the vehicle so that they do not allow the solid particle to settle down early.

Suspension contd...

- E.g. gums- Tragacath's acacia : heavy powders like bismuth, chalk

sprups-

starch

mucilage

glycerine

honey



light powders like kaolin, light Magnesium carbonate

- Bentonite
- Methyl cellulose
- Sodium alginate

Emulsion

- “An emulsion may be defined as a system of two immiscible liquid phases, one of which is reduced to a fine state of subdivisions (fine globules) and uniformly distributed throughout the other by means of third inert agent called emulsifying agent.”
- The system is stabilized by the presence of an emulsifying agent
 - e.g. a) Natural : gum, acacia, soap, egg yolk.
 - b) Synthetic:
 - Cationic : cetrimide,
 - Anionic : potassium stearate.
- It reduces the interfacial tension between the two phases.
- The particle diameter of the dispersed phase extends from 0.1 to 100 μm .

Emulsion contd...

◎ Phases of emulsion :

There are three phases-

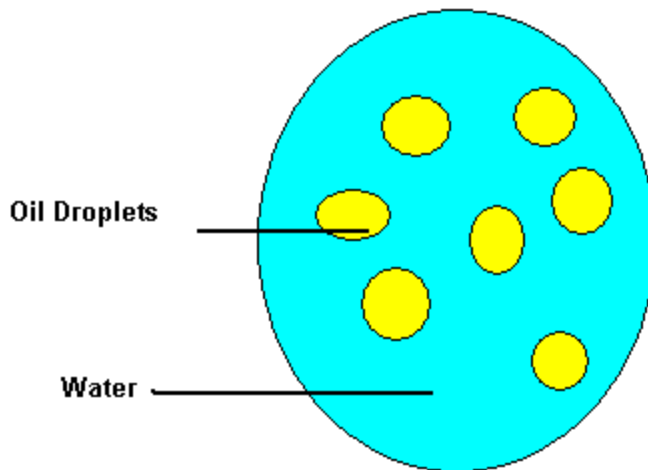
1. Dispersed phase (internal phase)
 - The liquid that is broken into fine globules.
2. Continuous phase (external phase/ dispersion medium)
 - The liquid that surrounds the globules of liquid in dispersed phase.
3. Intermediate or inter-phase .
 - Formed by emulsifying agent.

Emulsion contd...

◎ Types :

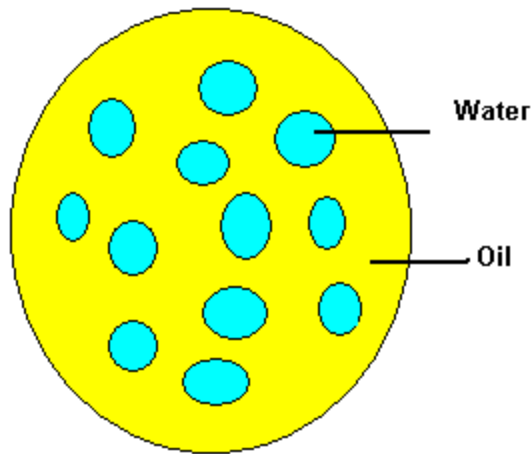
- Oil-in-water (o/w):

- When the oil phase is dispersed as globules throughout an aqueous phase.
- E.g. benzyl benzoate lotion.
- Preferred for internal use , e.g. cod liver oil, castor oil.



- Water-in-oil (w/o)

- When an oil phase serves as the emulsion phase.
- Exclusively for external use.



- ◎ The emulsion containing fats, carbohydrates and vitamins in sterile condition can be used as *total parenteral nutrition*.

Oil in water

1. Appearance : Milky white
2. Free dilution by water is possible (external phase).
3. Methylene blue imparts uniform blue colour.
4. Conducts electricity.
5. Both for internal and external use.
6. Uniform fluorescence
e.g. castor oil

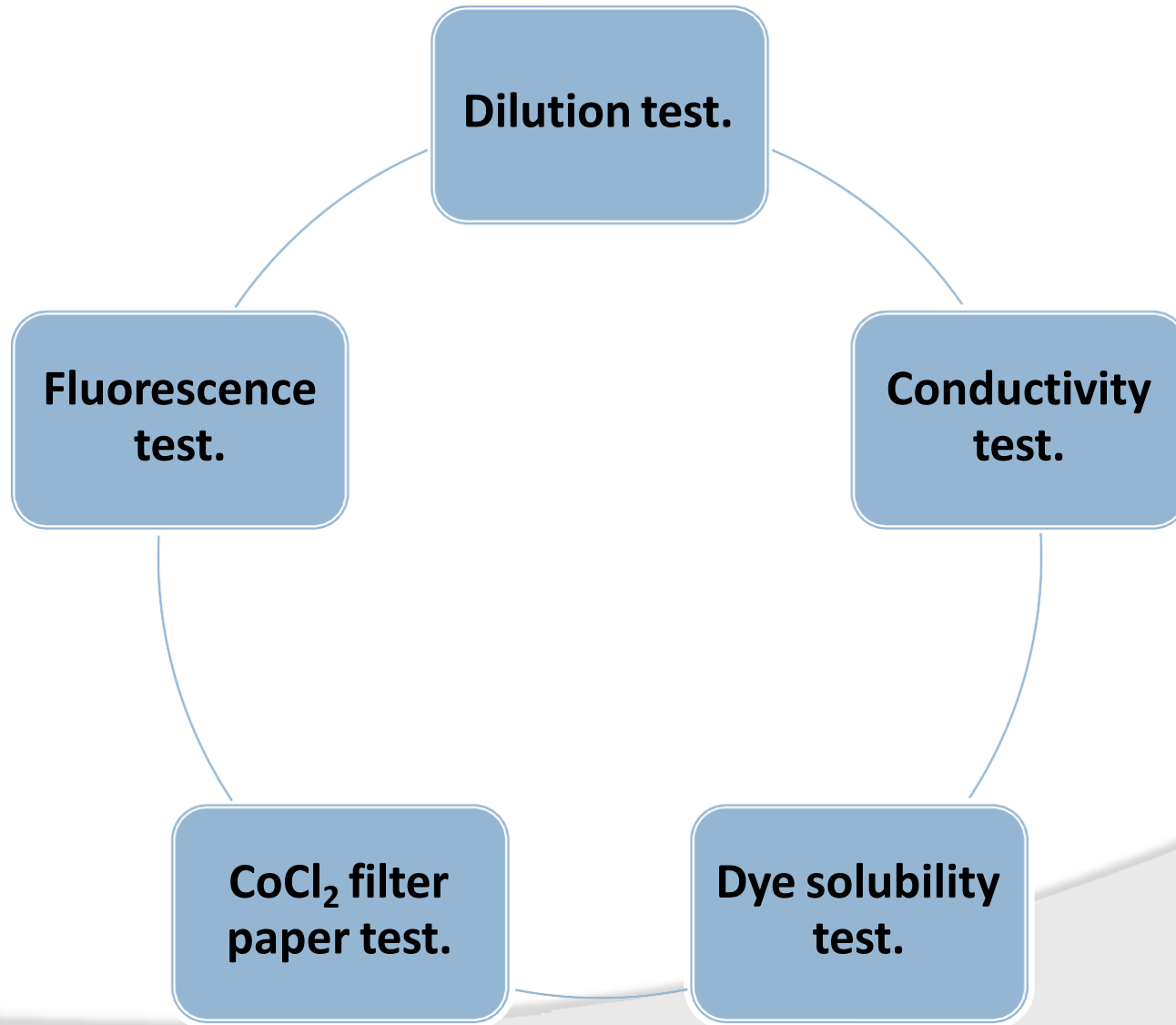
turpentine oil

Natural : milk, egg yolk, butter,
latex.

Water in oil

1. Appearance : waxy and translucent.
2. Free dilution by oil.
3. Sudan III imparts uniform red colour.
4. Do not conduct electricity.
5. Only for external use.
6. Spotty fluorescence

Tests For Identification Of Type Of Emulsion



Lotion

- “It is a liquid medicament either solution, suspension or emulsion containing an active ingredient.”
 - Prepared in **aqueous or watery** base.
 - Applied without rubbing.
 - Acts as soothing agent and antiseptic.
 - Do not contain camphor
- E.g.
- Potassium permanganate (solution)
Calamine lotion.(suspension)
Benzyl benzoate (Emulsion)

Liniment

- “It is liquid medicament either in a solution or emulsion containing an active ingredient.”
 - Prepared in **oily** base.
 - Applied with rubbing.
 - Acts as antiseptic, counter-irritant.
 - May contain camphor.
- E.g.
- Camphorated NH_3 (solution)
Terpentine liniment (Emulsion)

Syrups

“ Syrups are the sweet, viscous, concentrated aqueous solutions of sucrose or other sugars in water or any other suitable aqueous vehicle.”

They are the concentrated solutions (66.7% w/w) of sucrose in water.

Uses:

- As demulcents in cough.
- As preservative.



Elixirs

“Elixirs are clear, pleasantly flavoured, sweetened hydroalcoholic liquid preparations for oral administrations.”

They are hydroalcoholic solutions of medicinal substances, sweetened and flavoured.

- The main ingredients of elixir are ethanol and water.
E.g paracetamol elixir.



Linctuses

- “ Linctuses are sweet, viscous liquid preparations usually containing medicinal substances which have demulcent, sedative or expectorant properties.”
- Used for treatment of cough. They produce soothing effect on throat.
- Addition of glycerin has a demulscent effect on mucus membrane of throat.
e.g. codeine linctus,
noscaphine linctus.



⦿ Paints :

“These are coloured liquid preparation meant for local application on skin or mucus membrane with the help of brush.”

E.g. throat paint.

⦿ Sprays :

- “ throat sprays are the liquid preparations which are sprayed into the mouth for their laryngitis, pharyngitis and tonsillitis action.”

Tincture

- “ They are the alcoholic or hydroalcoholic extracts of vegetable drugs.
e.g. tincture belladonna
tincture opii.

◉ Gargles :

“ Gargles are aqueous solutions used for the treatment of an infection of the throat.”

- Usually concentrated and must be diluted in water before use.
- E.g. potassium chlorate gargles.



◉ Mouth washes :

“ A mouth wash is a aqueous solution with a pleasant taste and odour used for rinsing, deodorant , refreshing or antiseptic action.”



Enema

- “Administration of liquid medicament into the rectum is called as enema.”

Types of enema :

a. **Evacuant enema.**

E.g. soap water enema, used before surgical procedure

Water stimulates the rectum by distention, while soap acts as a lubricant.

b. **Retention enema.**

The drug incorporated inside, acts locally, E.g. Chiniform enema in amoebic colitis. Or it may act systemically e.g. Paraldehyde enema. (used in status epilepticus in children)



Gaseous Dosage Forms

- Aerosol
 - Gas
- Volatile Liquid

Aerosol

- ⦿ “The active ingredient when packaged in pressurized dispenser is known as aerosol.”
- ⦿ The container is so designed that, by depressing valve, some of the contents are expelled due to pressure inside the container.
- ⦿ Propellants used:- fluorinated hydrocarbons, nitrogen and CO₂ .
- ⦿ The large expansion of the propellant at room temperature and atmospheric pressure produces a dispersion of the drug in air.

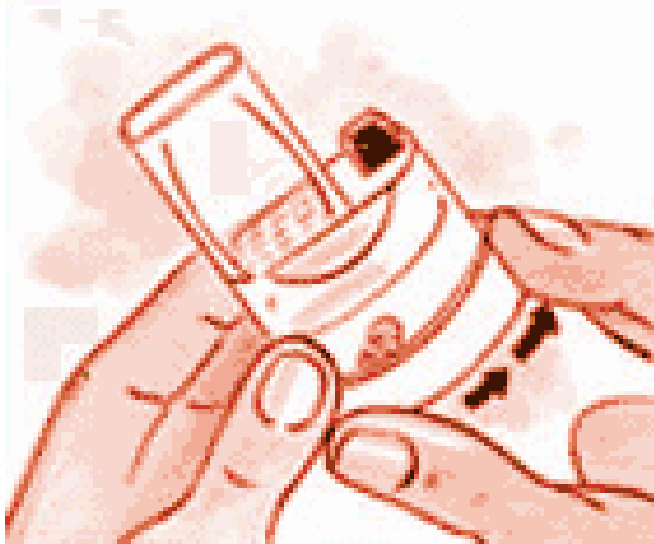
Aerosol contd...

◎ Types :

- Surface spray.
 - It produces droplets of 100 μm or more.
 - Used to relieve muscle ache, irritation of bites and stings, as surface disinfectants or as wound or burn dressing.
- Spray on dusting powder.
- Foam dispenser.
 - Used to for some spermicidal preparations.
- Metered dose aerosol.
 - Used for delivery of glycerol trinitrate in droplet form to the buccal mucosa.

◎ Advantages :

- Regulation of the dosage by the use of metered valve.
- Minimum irritation.
- Antiseptic materials can be sprayed onto the abraded skin.
- Administration of the drug in the formulation via respiratory tract increases the efficiency of the drug.



Rotahaler



Metered dose inhaler



Gas

- Only a few gases such as oxygen, nitrous oxide and carbon dioxide are used in clinical practices



Volatile liquids

- Used during induction and maintenance of general anesthesia.

e.g. Halothane
Ether
Chloroform





Packaging

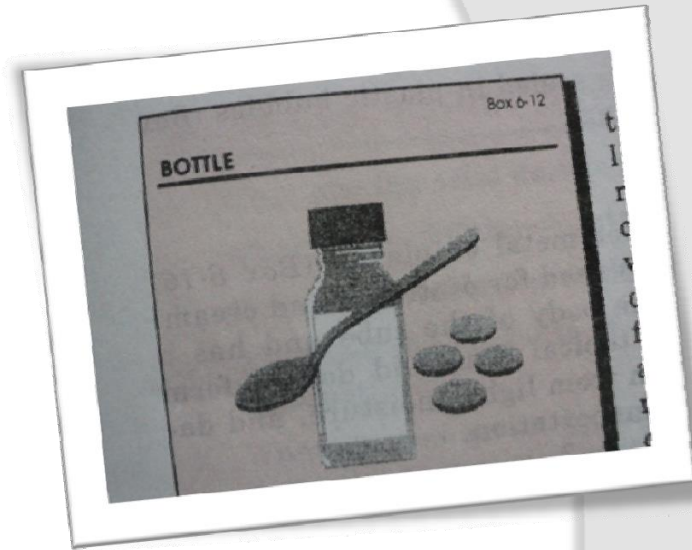
- Bottle
- Vial
- Ampoule
- Strip-packaging
- Blister packaging
 - Tube
- Cylinder
- Spray

Packaging

- ⦿ Packaging is an integral part of formulation of drug.
- ⦿ It protects the active ingredients from light, moisture, and damage due to handling and transportation.
- ⦿ These are made up of glass, plastic, metal or paper.

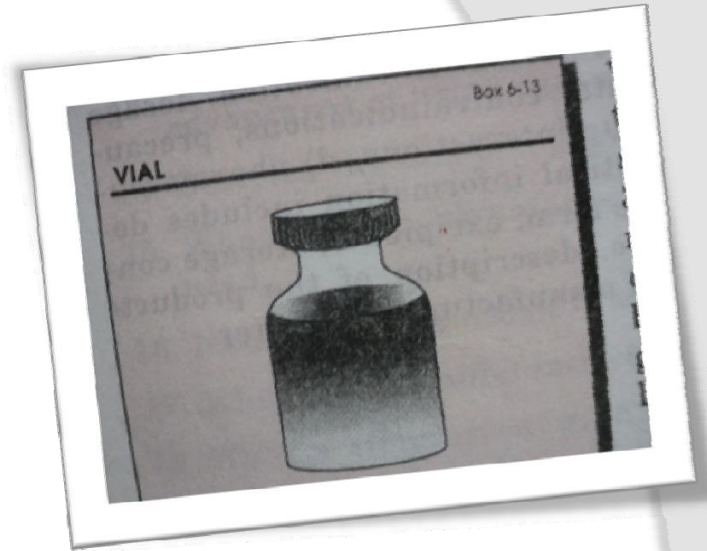
Bottle

- Used as a multidose or single dose container for tablet, capsule, powder, solution and suspension.
- Made up of glass or plastic.
- Prescription bottles:
 - Dispensing liquid of low viscosity.
- Wide mouth bottles:
 - Used for bulk powder, large quantities of tab/cap.
- Dropper bottle:
 - Ophthalmic, aural, nasal preparations.
- Application bottle:
 - applying medication to a wound or skin surface.



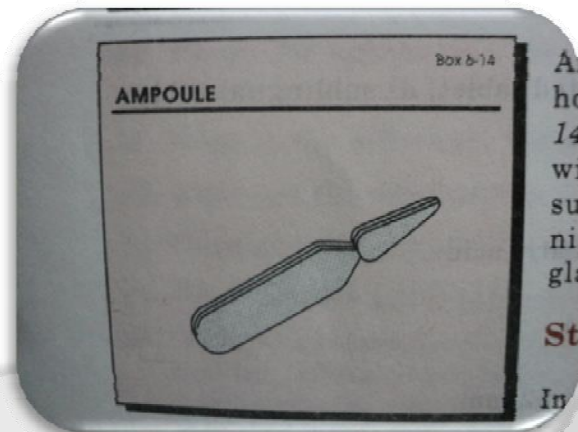
Vial

- ⦿ Vial is a small glass container with a non removable rubber top.
- ⦿ Volume should not exceed more than 30 ml in order to minimize the risk of contamination.
- ⦿ Sterile diluent is used to dissolve the powdered form of drug.



Ampoule

- Ampoule is a small, thin walled, sealed glass container holding a single dose of a drug.
- Sealed by rotating the neck of the ampoule in Bunson flame, melting the glass, fusing at the top of opening.



Leak Test:

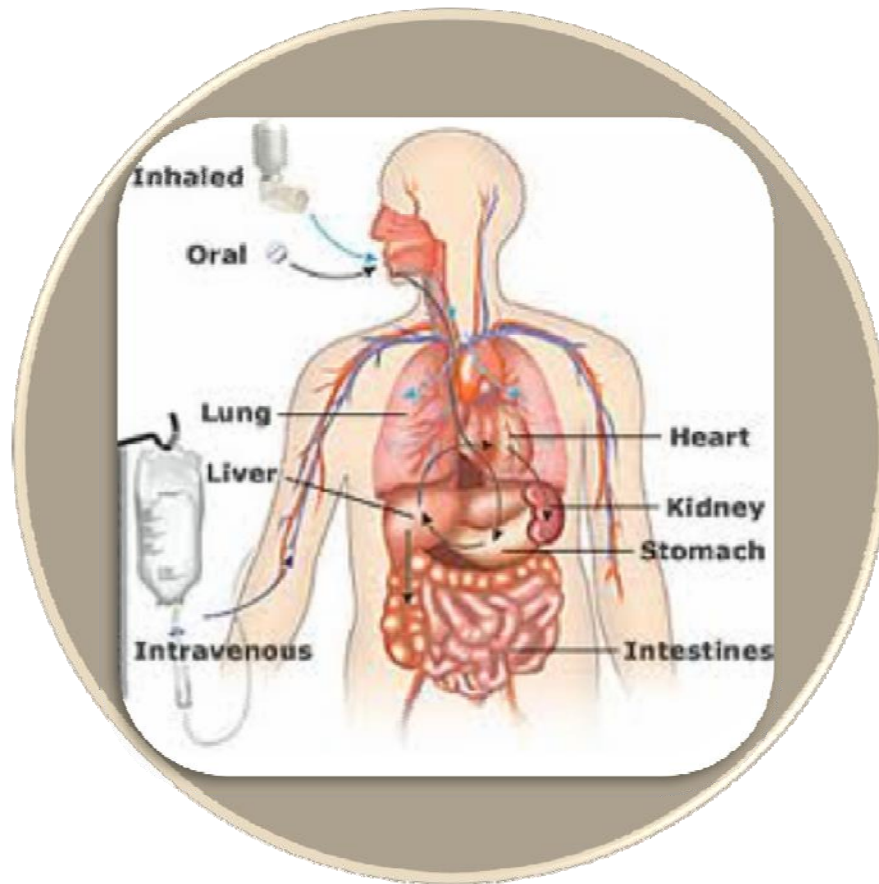
- ⦿ Performed on ampoules.
- ⦿ 1 % methylene blue used.
- ⦿ The ampoules are dipped in the drug solution and negative pressure is applied. As a result, the drug will enter in the improperly sealed containers and will impart the colour of the dye to the container.

Strip Packaging



Collapsible Tube





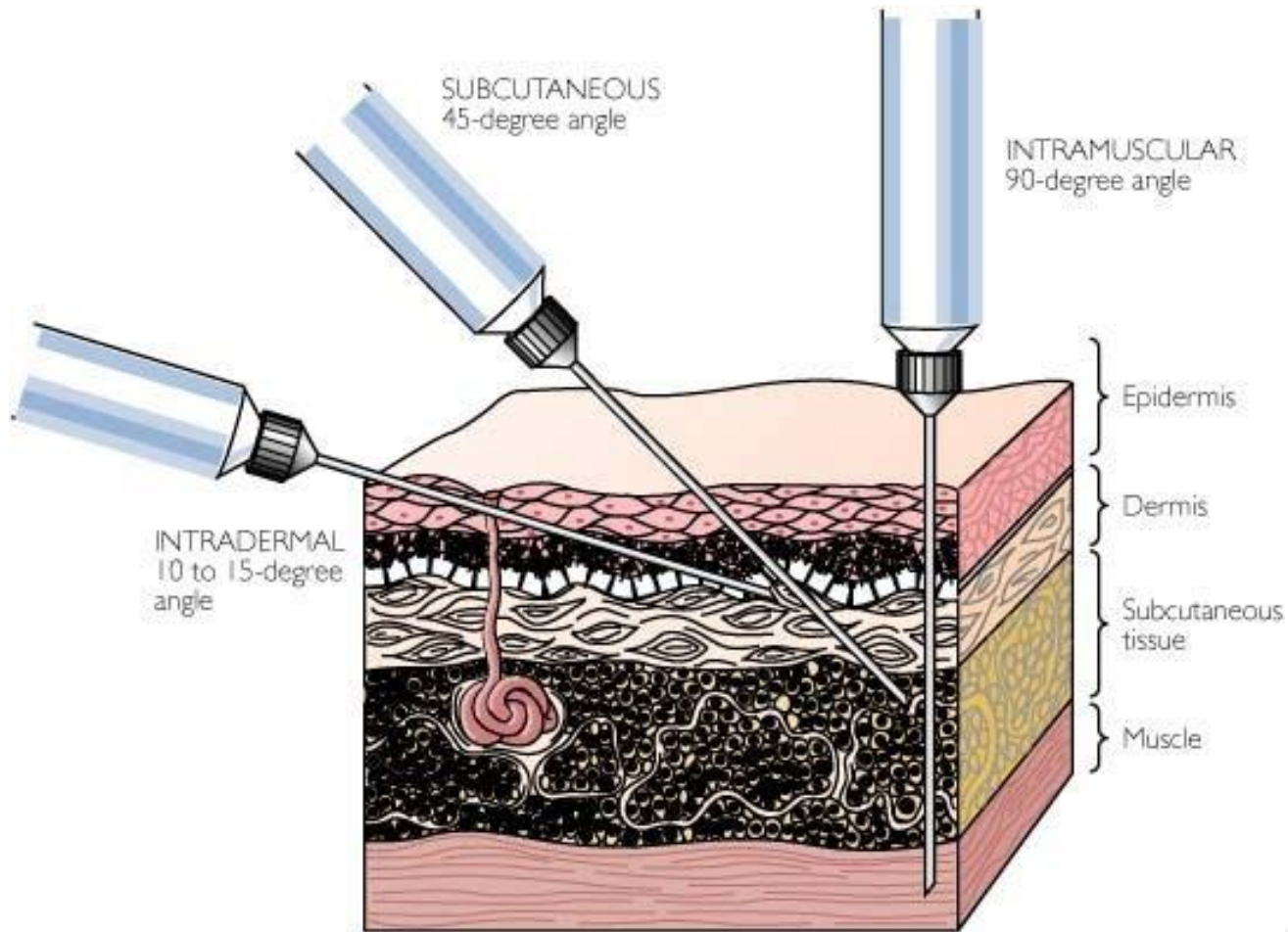
Routes Of Administrations

- Oral
- Parenteral
- Topical
- Miscellaneous

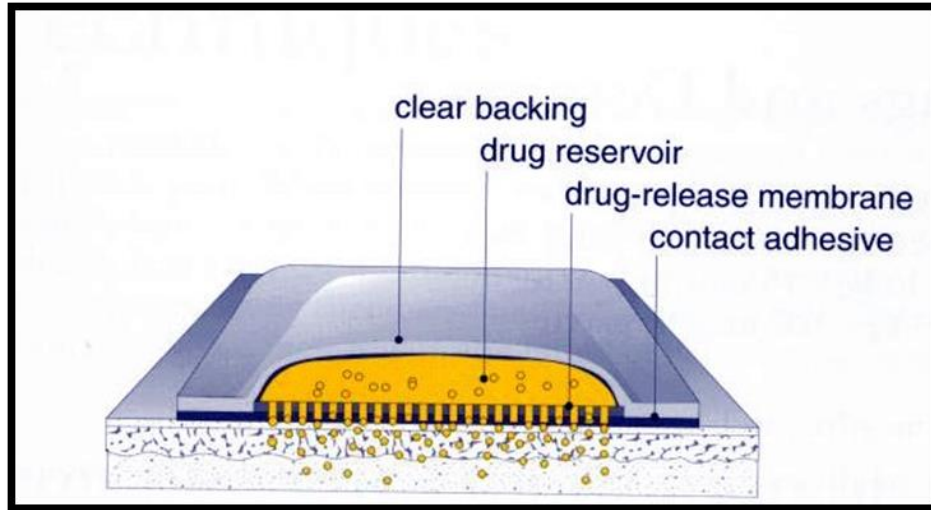
Oral



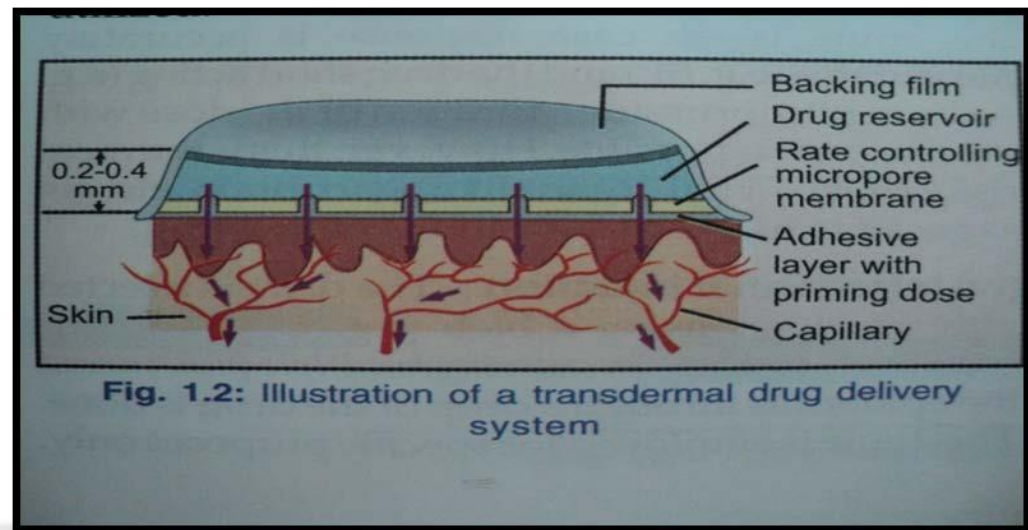
Parenteral



Trans-dermal applications



E.g testosterone.
Hyoscine
Scopolamine



Ophthalmic applications



Corneal Shield



Otic applications



Inhalational Route



Implants



Mucoadhesive Microcapsule

