PHARMACEUTICS I

Diploma in Pharmacy

2nd year

- **Pharmaceutics** is the study of the preparations of drug dosage forms and drug delivery system with their use in patient care.
- It is a branch of pharmacy that includes the study of formulation of drug into dosage forms.
- It provides the knowledge of interrelationship between physical pharmacy, biopharmaceutics, pharmacokinetics, dosage form design, formulation, small and large scale manufacture and the clinical application of dosage forms in patient care.

Drug

- Drug is an agent used for the diagnosis, mitigation, treatment, cure or prevention of diseases in humans or animals.

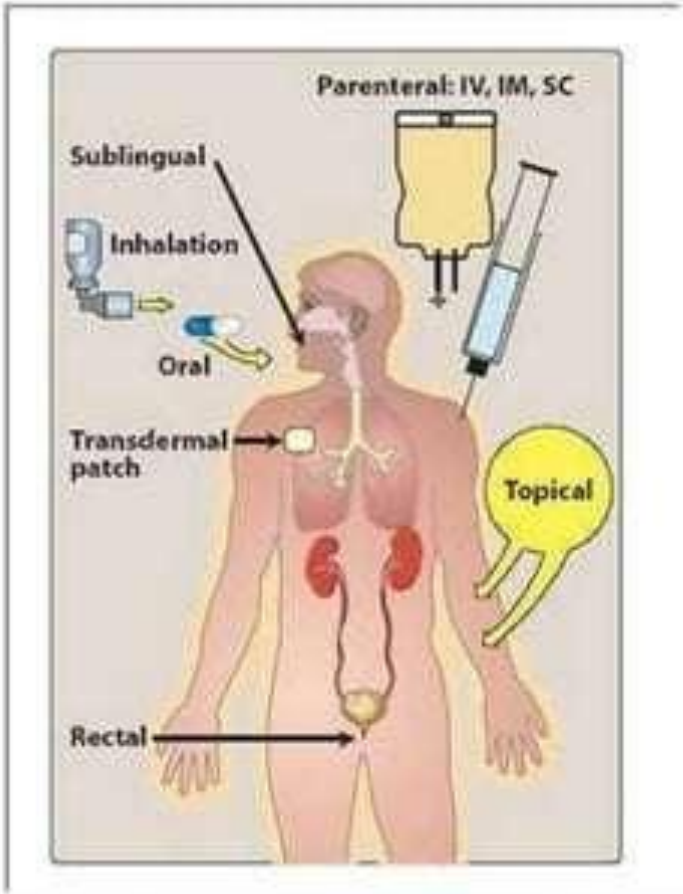
Dosage forms

- Dosage forms are the means through which drugs are delivered in the body towards its site of action.
- They are the final product containing drugs that is administered to the patients.
- Dosage forms includes active drug and pharmaceutical excipients.

Introduction to Pharmaceutical Preparations and Dosage forms

Classification of dosage forms:

<u>According to Route of administration</u>



According to Route of Administration

Oral
- Tablet
- Capsule
- Syrup
- Suspension

Topical
- Ointments
- Creams
- Gels
- Pastes

Opthalmic
- Eye drops
- Opthalmic ointments and gels

Parenteral
- Intramuscular injection
- Intravenous injection
- Subcutaneous injection

Inhalation
- Aerosol
- Nebulizer

Otic
- Ear drops

Rectal
- Suppository
- Enema

Vaginal
- Pessary

According to Dosage forms

Solid dosage forms

- Tablets
- Capsules
- Powders
- Cachets
- Lozenges

Liquid dosage forms

- Syrup
- Suspension
- Solutions
- Drops
- Elixirs
- Emulsions
- Colloids
- Draughts

Semi-solid dosage forms

- Ointments
- Creams
- Pastes
- Gels
- Suppositories

Gaseous forms

- Aerosols
- Inhalants
- Gas

Tablets

- Tablets are solid oral dosage forms of compressed powders or granules intended for oral administration.
- They are unit dosage form of medication containing specific amount of drug.
- They are prepared with the aid of pharmaceutical excipients.
- They vary in size, shape, weight, hardness, thickness, disintegration and dissolution.
- They are the most widely used and convenient dosage form.

Types:

Compressed Tablets

- Dispersible tablets
- Chewable tablets
- Film coated tablets
- Enteric coated tablets
- Effervescent tablets
- Immediate release tablets
- Sustained release tablets

Molded tablets

- Hypodermic tablets
- Dispensing tablets

Special Tablets

- Sub-lingual tablets
- Buccal tablets
- Vaginal tablets
- Rectal tablets

Dispersible Tablets

- Tablets that disintegrates within few seconds in liquid making homogenous mixture before administration to patient.
- e.g: Zinc DT 10

Chewable Tablets

- Tablets that are chewed within buccal cavity before swallowing. They are immediate release oral dosage forms that have to be chewed and swallowed.
- e.g: Albendazole chewable tablets

Capsules

- Capsules are solid dosage forms in which medicinal agents and pharmaceutical ingredients are enclosed within a small shell of gelatin.
- They are manufactured using Gelatin which is made up of proteins extracted from animal collagen.

Types:

1. Hard gelatin Capsules 2. Soft gelatin Capsules

Hard gelatin capsule

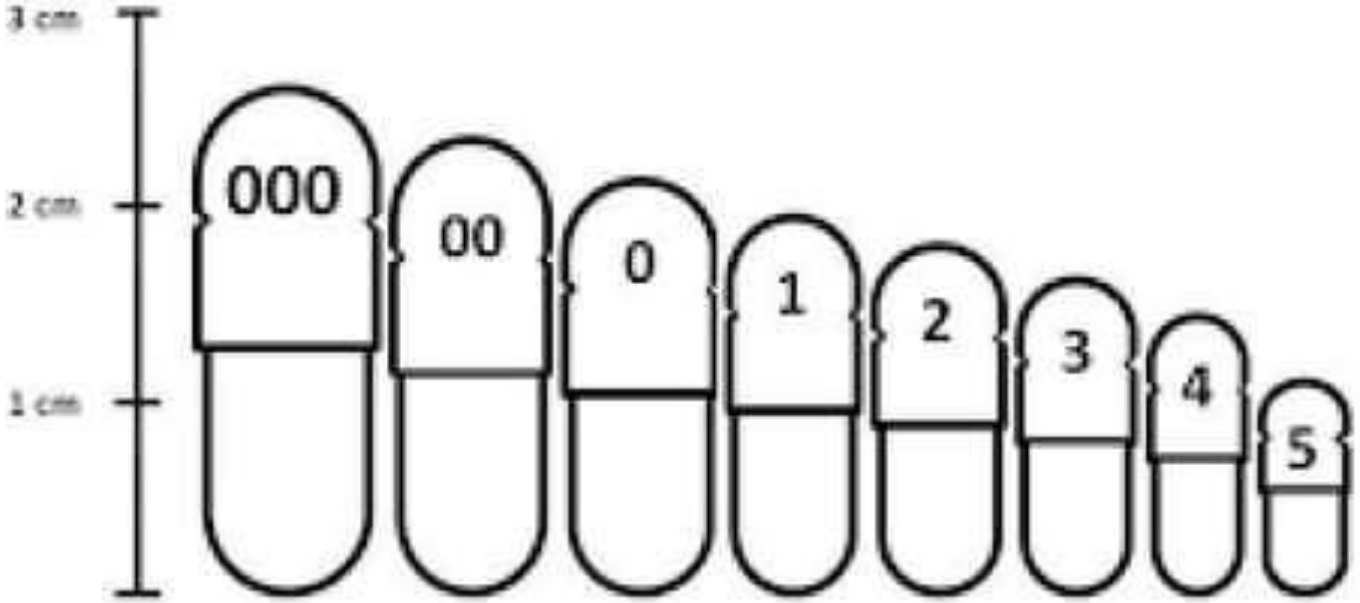
- Theses are the capsules which are made up of gelatin, sugar and water. The capsule shell contains low moisture content. They are hard and cylindrical in shape. They contain powders, granules or pellets inside the capsule.

Soft gelatin capsule

- These are the capsules which are made up of gelatin, water, glycerin or sorbitol. It contains high moisture than hard gelatin capsule. It is used for the filing of liquid or semisolid preparations. They are soft and vary in shape like round, oval, oblong, etc.

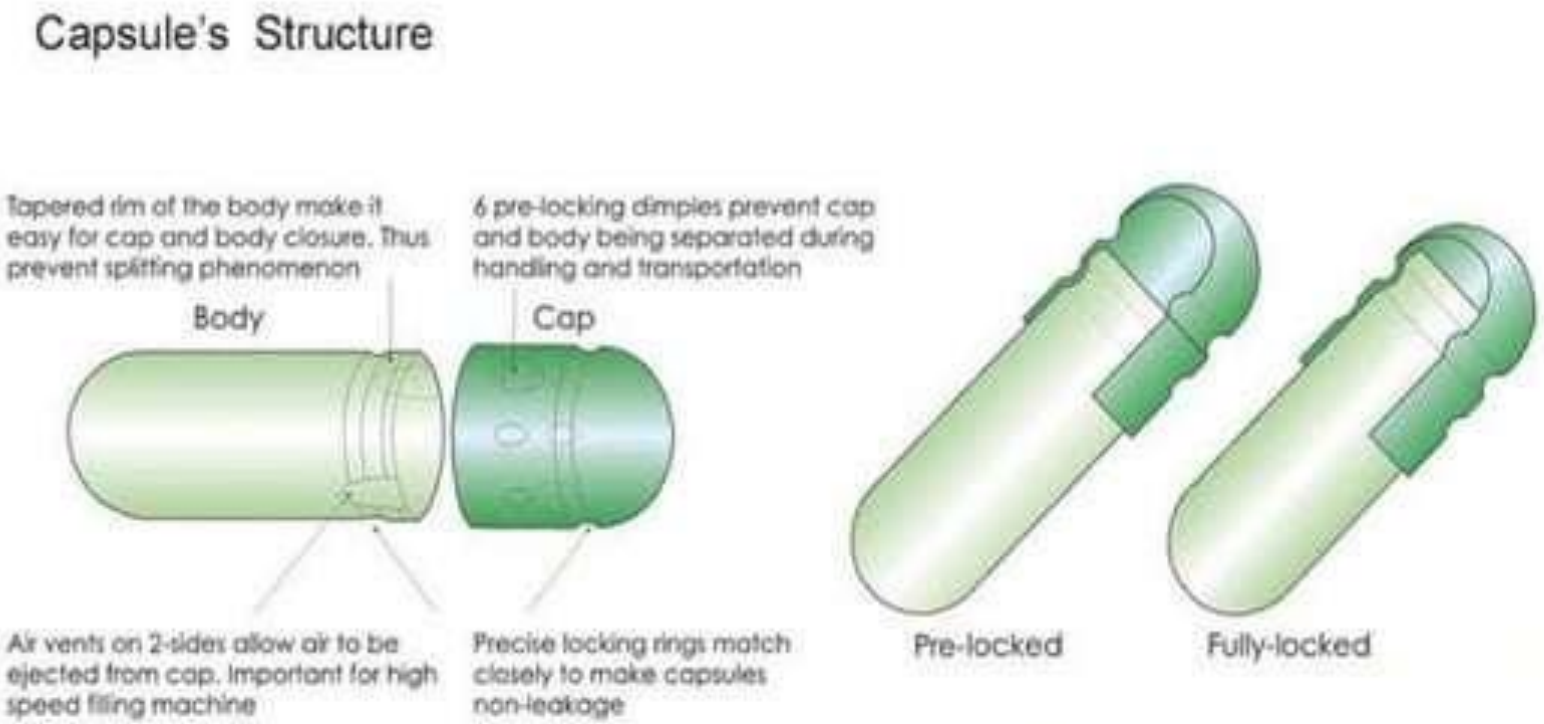
Sizes:

- ooo(largest)-5(smallest)



Parts of Capsule:

- Capsule body
- Cap



1. **Aromatic waters:** These are usually saturated solutions of volatile oils or other volatile substances (Chloroform, Camphor & Menthol). They are mainly used as Flavouring agents and Carminatives.

e.g: camphor water, chloroform water, peppermint water

2. **Cachets:** Cachets are moulded from rice paper, a material made by pouring a mixture of rice flour and water between two hot polished revolving cylinders. These are used to mask the nauseous or disagreeable powders in tasteless form for administration.

e.g: Isoniazid cachets, sodium amino salicylate cachets, etc.

3. **Colloids:** Colloids are the preparations of biphasic system in which one phase is dispersed as minute particles throughout the other phase. These are preparations for external use. These are applied with the help of a brush or rod. After application volatile solvent evaporates leaving flexible, protective film covering the site. e.g: aerosols, paints, etc


12 CACHETS
MALAISE · FATIQUE · FIÈVRE · INSOMNIE
DOULEURS

4. **Creams:** Creams are the semisolid dosage forms that are the mixtures of oil and water used for topical administration. There are two types of creams; o/w (oil in water) & w/o (water in oil) creams. e.g: cold cream

5. **Dentrifices:** Dosage forms (Powder, Gels or liquids) that are used to clean the surface of the teeth. They contain detergent, abrasive and anticariogenic agent. e.g: closeup, colgate,etc

6. **Draughts:** Draughts are liquid oral preparations taken as a single dose. If several doses are prescribed, each dose is issued in a separate container.

e.g: Paraldehyde draught

7. **Dusting Powders**: These are powders which are in a fine state for external applications usually to the skin.

e.g: talc, kaolin, etc

8. **Ear Drops**: These are solutions of drugs that are instilled into the ear with a dropper.

e.g: H_2O_2 ear drops

9. **Elixirs:** These are clear hydroalchoholic liquid oral preparations of potent or nauseous drug. They are pleasantly flavoured and usually attractively coloured. e.g: phenobarbital elixir,

10. **Emulsions:** These are biphasic dispersed liquid dosage forms, in which two immiscible liquids are mixed with the help of emulsifying agent. Types of emulsions; o/w (oil in water) & w/o (water in oil).

e.g: turpentine oil emulsion, liquid petrolatum emulsion


WASHINGTON
CRAFT
ELIXIRS
GINGER GRASS
GINGER ROOT

11. **Enemas:** An enema is solution, suspension or oil in water emulsion of medicaments intended for rectal use. They are used for anti-inflammatory, purgative, sedative or cleaning purposes. e.g: sterile water enema, soap enema, etc

12. **Eye drops:** Eye drops are sterile saline containing drops used as a vehicle to administer medication in the eye. They are used for anti-inflammatory, mydriatic , miotic or diagnostic purposes. Sometimes they are used as for lubricating and tear replacing solutions.

13. **Eye lotion**: These are sterile liquid preparations intended for the application to the conjuctiva or eyelid margin.

14. **Gargles:** It is aqueous solutions used to prevent or treat throat infections. Usually they are dispensed in concentrated forms with directions for dilution with warm water before use.

15. **Granules**: Granules are prepared agglomerates of small particles of powders. They are spherical in shape and vary in sizes. It contains medicament as well as other excipient. e.g: ENO


Enema
Enema


Soft
Pillules
Tablets
Tablets
Granules

16. **Effervescent Granules:** These are the mixture of citric acid and tartaric acid with sodium bicarbonate. One or more organoleptic agents are used. After addition of granules into water bicarbonate reacts with acids and liberates carbondioxide. The preparation is taken during effervescence and immediately afterward. e.g: ENO

17. **Inhalations:** There are liquid preparations of or containing volatile substance. These are used to relieve nasal congestion and inflammation of the respiratory tract infections. e.g: Beclomethasone inhaler

18. **Insufflations:** These are medicated dusting powders that are blown by insufflators into regions such as the nose, throat, body cavities and the ear to which it would be difficult to apply the powder directly. e.g: rotahalers

19. **Irrigations:** These are solutions of medicaments used to treat infections of the bladder, vaginal and less often the nose. Thin soft rubber tubes used for irrigation solutions administration are Catheter. (Bladder) A vulcanite or plastic pipe (Vagina), Special Glass Irrigator (nose). e.g: sterile water for irrigation

20. **Jellies (Gels):** Jellies are transparent or translucent nongreasy semi-solid preparations mainly used externally. It consists of dispersion of small or large molecules in aqueous liquid vehicle rendered jelly by the addition of gelling agent. e.g: Xylocaine **2** % jelly, hair gels

21. **Linctuses**: These are viscous liquids, oral preparations that are usually prescribed for the relief of cough. They are used for demulcent, sedative or expectorant properties. The dose is small and to ensure prolonged action, they should be sipped slowly and swallowed.

22. **Liniments:** These are heterogenous group of preparations that can be solution, suspension or emulsion type intended for application to the skin. These are rubbed to affected area of skin for their counter irritant or stimulating effect but some are applied on a warm dressing or with a brush for analgesic and soothing effect. They should not be applied to broken skin.

23. **Lotions:** These are liquid preparations for external application without friction to provide soothing or antiseptic effects. They usually contain alcohol and glycerin because alcohol hastens drying and produces cooling sensation whereas glycerin keeps the skin moist for a sufficient long time. e.g: vaseline, nivea

24. **Lozenges (Troches):** These are solid dosage forms consisting mainly of sugar and gum, the gum give hardness and cohesiveness and ensuring slow release of the medicaments. They are used to medicate the mouth and throat and for slow administration of the indigestion and cough remedies.

e.g: strepsils

25. **Mixtures:** These are the most common form of liquid orals preparations usually with aqueous vehicle and the medicaments may be in solution or suspension. These may be homogenous or heterogenous mixtures.

26. **Mouthwashes:** These are similar to gargles but are used for oral hygiene and to treat infections of the mouth. These are aqueous solutions for rinsing, deodoring, rereshing and antiseptic action. They are generally used after dilution with warm water on the mucous membrane of the mouth. e.g: Oral B mouthwash

27. **Nasal Drops:** These are solutions of drugs that are instilled into the nose with a dropper. They are usually aqueous because oily drops inhibit movement of cilia in the nasal mucosa and may cause Lipoidal Pneumonia in longterm. It is used for vasoconstrictor, antiseptic or analgesic effect.

28. **Ointment:** These are semi-solids, greasy preparations for external use to skin or mucous membrane. They are used for their emollient and protective action to the skin. e.g: Povidone Iodine ointment

29. **Opthalmic ointments:** Sterile ointments meant for the application to the eye are known as opthalmic ointments. e.g: chloramphenicol eye ointment

30. **Paints:** These are liquids for application to the skin or mucosa usually with a soft brush. Skin paints often have a volatile solvent that evaporates quickly to leave a dry or resinous film of medicament.

e.g: coal tar paint

31. **Pastes:** These are semi-solid preparation for external application that contains high proportion of finely powdered medicaments. The base may be anhydrous or water soluble. It provides protective coating over the applied surface. e.g: Vicco vajradanti paste

32. **Pessaries:** These are solid medicated dosage form which is inserted into vagina where it melts and dissolves to release medication.

33. **Powders:** Powders may be defined as the fine particles which are result of comminution or granulation of the dry substance. A powder can be mixture of drugs which are uniformly mixed together and presented in dry form. Powders are intended for Internal and External usages.

34. **Solutions:** These are monophasic clear liquid preparations for internal and external use containing one or more active ingredients dissolved in a suitable vehicle. Solutions may be sterile or non-sterile. e.g: expectorants, antitussives, dettol, lysol, etc.

35. **Suspensions:** These are biphasic liquid preparations for oral use containing one or more active ingredients suspended in a suitable vehicle. It may show a sediment which is readily dispersed on shaking to give a uniform suspension. e.g: sucralfate suspension, chloramphenicol suspension, etc

36. **Sprays:** Sprays are preparations of drugs in aqueous, alcoholic or glycerine containing media. They are applied to the mucosa of nose or throat with an atomizer or nebuliser. e.g: nasal spray, asthalin,etc

37. **Syrups:** These are aqueous concentrated, sucrose solutions with or without medicaments. Organoleptic agents are added in syrups. These may be simple, medicated or flavoured syrup.

38. **Suppositories:** Suppositories are the semisolid dosage forms that contains solid medicated cone shaped inserted into rectum, vagina or urethra which melts at body temperature. It releases drug after melting for local or systemic action.
e.g: aminophylline suppositories.

39. **Spirits:** Spirits are alcoholic or Hydro-alcoholic solutions of volatile substances. Most are used are flavouring agents but a few have medicinal values.

40. **Tinctures:** These are alcoholic preparations containing the active principles of vegetable drugs. They are relatively weak compared with extracts. e.g: Benzoin tincture, tincture of opium

SUPPOSITORIES AND PESSARIES

41. **Glycerins:** These are viscous preparations of medicaments in glycerol with or without addition of water. They are used in antiseptic or anti-inflammatory preparations. e.g: Ichthammol glycerin

42. **Infusions:** Fresh infusions are made by extracting drugs for a short time with cold or boiling water. These are no longer used because they quickly deteriorate as a result of microbial contamination and therefore must be used within 12 hours of preparation.

43. **Implants**: Implants are small sterile solid mass consisting of medication that is implanted inside the body (usually subcutaneous) for the purpose of providing continuous release of drugs over long period of time. This dosage forms are used to administer hormones such as testosterone or estradiol.

44. **Injections:** Injections are sterile preparations used to administer drug, vaccine, hormone or other therapeutic agent into the body using a needle and syringe. e.g: subcutaneous injection, intramuscular injection, intravenous injection

Reasons for converting a drug into dosage forms/ Importance of dosage forms

1. For the safe and effective delivery of drugs.
2. For the accurate dose of drugs.
3. To increase the stability of drugs and protect from oxidation, reduction or hydrolysis.
4. To mask the bitter taste or noxious odour of the drugs.
5. To improve the release rate of the drugs through controlled release pattern. e.g: sustained release
6. To provide the drugs to the target tissues. e.g: injections, ointments, etc
7. To protect the drugs from gastric secretions of stomach. e.g: enteric coated tablets
8. To insert drugs into body cavities. e.g: suppositories


thank
you

Drug Delivery System

- A drug delivery system provides a therapeutic amount of drug to the site of action in the body.
- They are advantageous to conventional drug therapy since it provides controlled and prolonged release of drugs over an extended period of time.

Delayed release

- It is designed to release the drug at a certain time after administration of drug. The delay in release may be time based or based on influence of environmental conditions like gastric pH.

Targeted release

- It is designed to release the drug directed towards target area of body either by isolating the part or concentrating drug at the absorbing site for therapeutic action.

Extended release

- It is designed to release the drug for an extended period of time with sustained or controlled release pattern over a period of time.

Nasal drug delivery system

- The drug delivery system which uses nasal cavity to deliver drug is known as nasal drug delivery system.
- The drug is absorbed through aqeous (paracellular) route or lipoidal (transcellular) route.
- It can be used for local, systemic or delivery of drugs to central nervous system.

e.g: Nasal drops, Nasal sprays, Nasal gels, Nasal powders

Advantages	Disadvantages
This system avoids first pass hepatic metabolism.	Difficulty in taking dose or may cause irritation.
Rapid absorption of drugs across nasal mucosa.	Sometimes difficult to estimate exact dose.
It is better for local and systemic action.	Chances of leakage of the drug due to mucocilliary clearence.
Suitable for the delivery of drug to the respiratory tract .	Expensive dosage form.

Transdermal drug delivery system

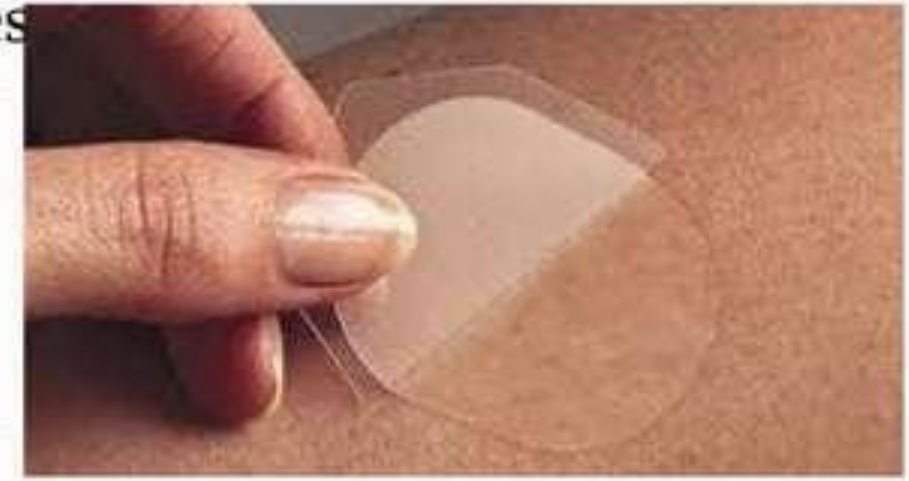
- The drug delivery system that enables the passage of drug molecules across intact skin is known as transdermal drug delivery system.
- Transdermal patches are applied into mastoid process, abdomen, chest or arm.
- The drug is absorbed through hydrophilic keratinized cells, lipid bilayers or trans appendageal penetration.

Types:

- Matrix based system devices
- Reservoir system devices

e.g: Nitroglycerin patches

Nicotine patches



Advantages	Disadvantages
This system avoids gastrointestinal variability caused by oral delivery of drugs.	Only relatively potent drugs are suitable for transdermal delivery.
Provides constant bioavailability of drugs.	Permeability through skin acts as barrier for some drugs.
Avoids first pass effect.	Some patients may develop dermatitis at application site.
Safe and suitable for drugs with narrow therapeutic index.	Patient difficulty may lead to discontinuation.

Pulmonary drug delivery system

- The drug delivery system which involves the equipment and formulation of a drug to be introduced to the body by inhalation is known as pulmonary drug delivery system.
- It may have both local and systemic effects.
- The delivery is aerosolization of drug compound to be delivered to bronchioles and alveoli.

e.g: Meterd dose inhalers (MDIs), Dry powder inhalers (DPIs), Nebulizers

Advantages	Disadvantages
Self administration.	Dose may cause irritation to the respiratory tract.
Rapid onset of action.	Difficulty in dose estimation.
Avoids first pass effect.	Requires special knowledge on delivering the drug.
Suitable for the delivery of drug to the respiratory tract .	Expensive dosage form.

Ocular drug delivery system

- The drug delivery system which involves the topical application of the drugs to the eye is called ocular drug delivery system.
- It has good corneal penetration and prolong the contact with corneal tissue.

e.g: ocusert

Advantages	Disadvantages
Increased ocular contact hence prolonged action.	Some patient are sensitive to ocular delivery system.
Accurate dosing of drugs.	Initial discomfort, movement around eye.
Reduction in systemic absorption.	May cause difficulty in vision or irritation in eye.
Better patient compliance.	Expensive dosage form.

Buccal drug delivery system

- The drug delivery system in which drugs are administered by placing in mouth by the cheek, without swallowing it, is called buccal drug delivery system.
- The basic components of buccal drug delivery system are drug substance, bio adhesive polymers, backing membrane & permeation enhancers.
- e.g: buccal tablets, buccal films, buccal gels, buccal patches, etc

Advantages	Disadvantages
Rapid absorption and onset of action.	Only suitable for drugs that are stable at buccal pH.
Drugs bypass first pass metabolism so increases bioavailability.	Eating and drinking is restricted.
Drug absorption by passive diffusion.	Patient may swallow the drug.
Maximum absorption of drugs occurs.	Only drugs with low dose can be administered.

Oral drug delivery system

- The drug delivery system in which a drug is introduced into the body by way of mouth is known as oral drug delivery system.
- Formulations like tablet, capsule, syrup, etc are administered by this route.
- Orally administered drugs are absorbed into circulation through blood vessels of GI tract.

Types: conventional drug delivery and modified drug delivery system

Advantages	Disadvantages
Easy to administer.	First pass metabolism occurs.
Comparatively cheaper.	Reduced effects in gastrointestinal disorders.
Can be taken anywhere without the need of expertise.	Food and drug interaction occurs.
Patient compliance.	May cause side effects.

Vaginal drug delivery system

- The drug delivery system in which the drug is administered into vagina is called vaginal drug delivery system.
- This drug delivery system has both topical as well as systemic effects.

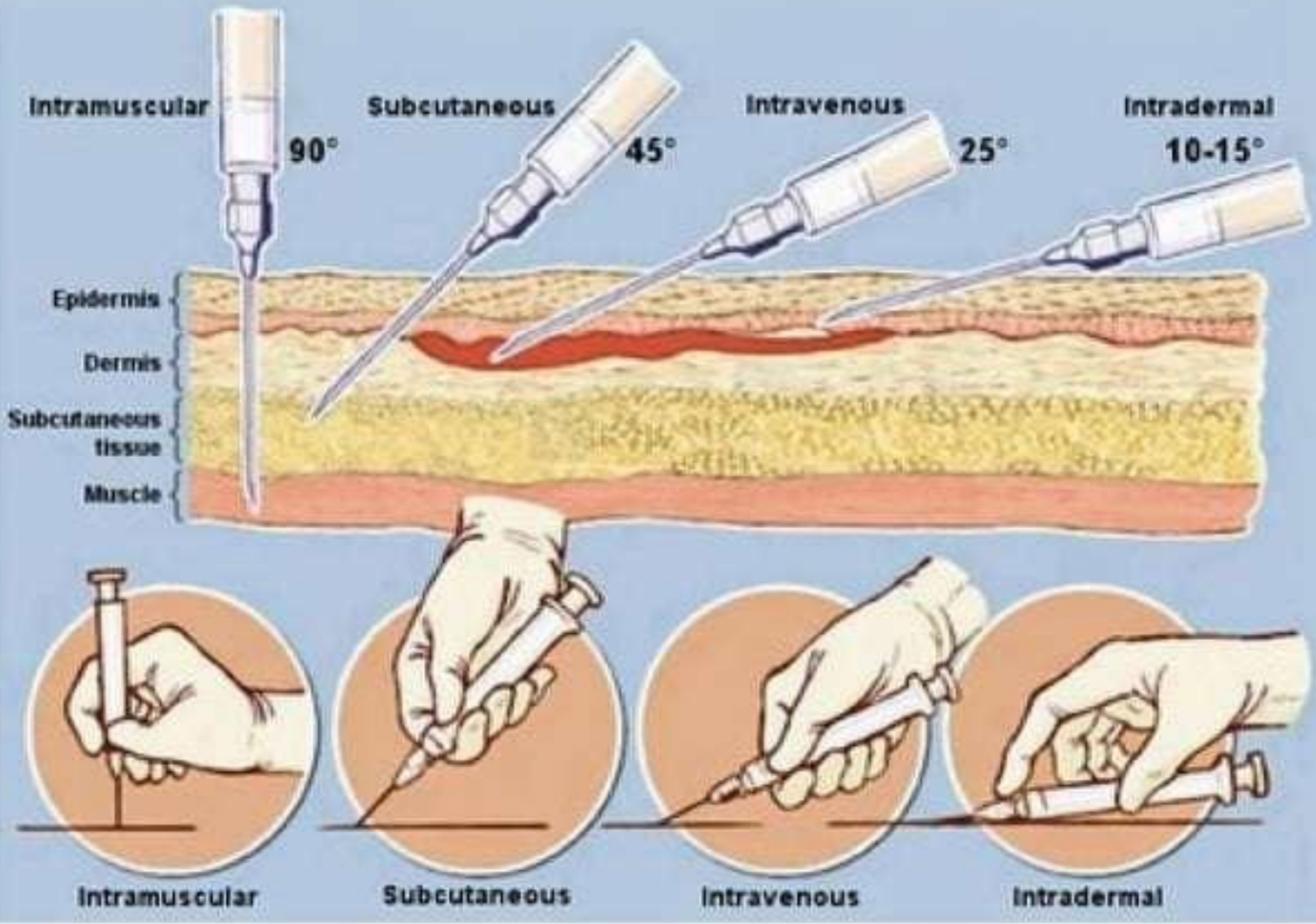
e.g: vaginal gels, vaginal ring, vaginal insert, vaginal solutions, tablets, suppositories, etc

Advantages	Disadvantages
Avoids first pass hepatic metabolism.	Limited available dosage forms.
Improves bioavailability at target site.	Ineffective in menstrual cycle.
Local and systemic effects.	Vaginal disease reduces effect.
Patient compliance.	Expensive.

Intramuscular drug delivery system

- The drug delivery system in which drug is introduced in muscles is called intramuscular drug delivery system.
- Intramuscular injection is mostly used in the site of the body where the danger of hitting nerves and blood vessels is minimum.

Angles for inserting injections



Advantages	Disadvantages
Can be given to unconscious patients.	No self administration.
Immediate action.	Allergic reactions are more common.
High bioavailability.	Cause pain while injecting.
Avoids first pass effect.	Low chances of reversal.

Novel Drug Delivery System(NDDS)

- The drug delivery system which is based on promoting the therapeutic effects of a drug and minimizing the toxic effects of the drugs by increasing the amount and persistence to the target cell.
- It maintains steady drug concentration in blood and target tissues to improve therapeutic efficacy and bioavailability.
- e.g: Liposomal drug delivery system, Sustained release drug delivery system, Naonoparticles, Nanocrystals, iontophoretic devices, pumps and implants, etc

Advantages of NDDS

- It delivers drug at a controlled rate over an extended period of time.
- It achieves a constant blood concentration and increased therapeutic effect.
- Reduced frequency of dosing improves patient compliance and less chances to miss dose.
- Reduced adverse effect of the drugs.
- It is time and target specific drug delivery.

Disadvantages of NDDS

- Poor correlation between *in-vitro* and *in-vivo* studies.
- Chances of dose dumping.
- Difficulty in adjusting dose.
- Increased chances of first pass clearance.
- It may be more expensive.

Prodrug

- Prodrug is a drug substance that is inactive when administered in the body. It is metabolized into active form once administered and shows its action.
- A prodrug undergoes chemical conversion into active pharmacological agent by metabolism process inside the body.
- Poorly absorbed drugs are used for formulation of prodrug to improve absorption, distribution, metabolism and excretion.
- Normally prodrugs are the esters or amides of parent drugs.

Examples:

Prodrug		Active metabolite
Mesalamine	→	5- Aminosalicylic acid
Levodopa	→	Dopamine
Chloramphenicol Succinate	→	Chloramphenicol
Chloramphenicol Palmitate		Chloramphenicol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