(1)

Route of Drugs Administration

Definition:-is the path by which a drug formula, fluid, poison or other substances are brought into contact with the body.

The routes of administration determined primarily by:-

1- Properties of the drug (ex: water, lipid, solubility, ionization (pka; constant dissociation of acid-base concentration which is measure of the strength of the interaction compound with a proton, lower Pka of drug is stronger the acid, higher Pka of drug is stronger the base).
2- And by pharmacokinetical parameters.
3- And by therapeutic objectives (ex: desirability of rapid onset of action or for long term administration, or restriction to local site.

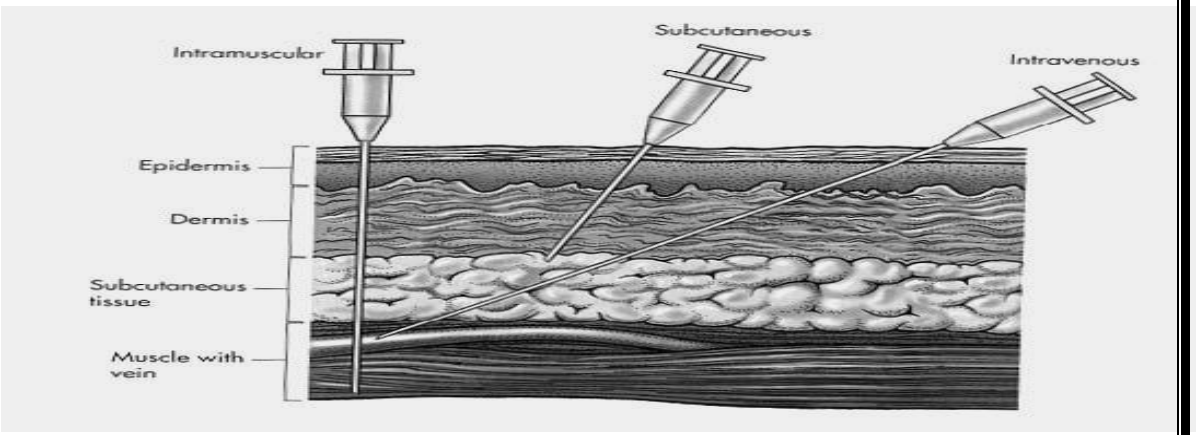
THERE ARE TWO MAJOR ROUTES OF DRUG ADMINISTRATION;-

a. **PARENTERAL**

b. **ENTERAL**

PARENTERAL

It is the most important and efficient route for systemic delivery of protein and peptide drugs, and it is the best choice achieved therapeutic activity.



Intra-vascular (IV, IA)- placing a drug directly into the blood stream

Intramuscular (IM) - drug injected into skeletal muscle

Subcutaneous - Absorption of drugs from the subcutaneous tissues after injection subcutaneously

Inhalation - Absorption through the lungs

Intra-thecal :-administered region Intra-thecally

Intra-dermal: the drug is injected into the skin raising a bleb

A- Intravascular (IV, IA):

- placing a drug directly into blood stream.

-May be - Intravenous (into a vein) or - intraarterial (into an artery).

Advantages

1-immediate onset of action, 100% bioavailability.

2-rapid effect

3-sterlize

Disadvantages

1- risk of embolism.

2- high concentrations attained rapidly leading to greater risk of adverse effects.

B-Intramuscular :(into the skeletal muscle).

Advantages

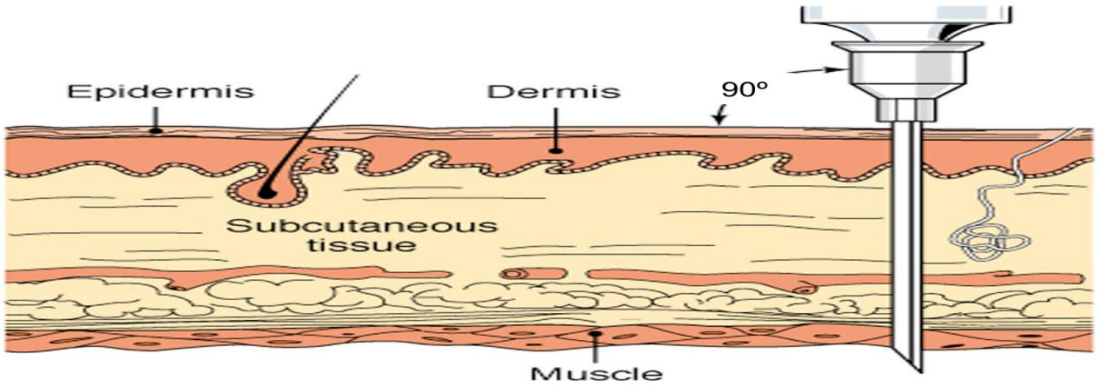
1- suitable for injection of drug in aqueous solution (rapid action) and drug in suspension or emulsion (sustained release).

Disadvantages

1- Pain at injection sites for certain drugs.

2-slow release preparations

3-Variability in bioavailability



C. subcutaneous:

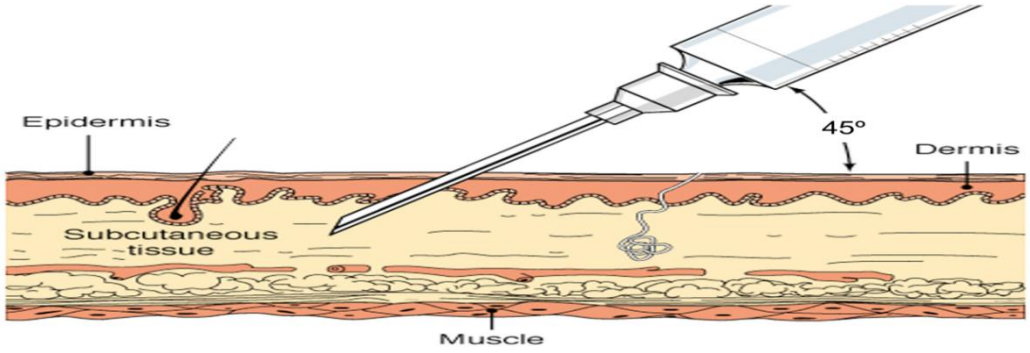
medication injected in to subcutaneous layer or fatty tissue of skin.

ADVANTAGES

1. **Prompt absorption from aqueous solution.**
2. **Little training necessary.**
3. **Avoid harsh GI tract environment.**
4. **Can be used for suspensions**

DISADVANTAGES:

1. **cannot be used for large volumes**
2. **potential pain and tissue damage**
3. **absorption is limited by blood flow affected if circulatory problems exist**



d. Intradermal:

the drug is injected into the skin raising a bleb. This route is used in diagnosis of tuberculosis (tuberculin testing in cattle) and (allergen sensitivity testing).

Insert the needle, bevel up at a 10-degree to 15-degree angle. Intradermal Injection

Enteral

Oral

Giving a drug by mouth is the most common route of administration. Drug placed directly in the GI tract:

- **Sublingual - placed under the tongue**

- **Oral – swallowing**
- **Rectum - Absorption through the rectum.**

Physical factors affecting absorption:-

-Blood flow to the absorption site.

-Total surface area for absorption.

-Contact time at the absorption.

-PH on the drug absorption

1-Oral route:

- It is intended for systemic effects resulting from drug absorption through the various epithelia and mucosa of the gastrointestinal tract

Advantages:

1- Convenient -Safe, no pain, easy to take.
2- Cheap - no need to sterilize.
3- Variety - tablets, capsules, suspensions, mixtures

Disadvantages:

1- First-pass effect - drugs absorbed orally are transported to the general circulation via the liver. Thus drugs which are extensively metabolized will be metabolized in the liver during absorption. e.g. propranolol .

3- Food - Food and GIT motility can affect drug absorption.

Absorption is slower with food (milk and milk products) for tetracyclines and penicillins, etc. However, for propranolol bioavailability is higher after food, and for griseofulvin absorption is higher after a fatty meal.

4- Sometimes may have adverse reactions – e.g. Antibiotics may kill normal gut flora and allow overgrowth of fungal varieties. Thus, antifungal agent may be included with an antibiotic.

5- Not suitable for unconscious patient - Patient must be able to swallow solid dosage forms. Liquids may be given by tube

6- May cause irritation to gastric mucosa, nausea and vomiting.

2- Buccal/Sublingual route:

- **Some drugs are taken as smaller tablets which are held in the mouth (buccal tablet) or under the tongue (sublingual tablet).**
- **Buccal tablets are designed to dissolve slowly.**
- **E.g Nitroglycerin, as a softer sublingual tablet may be used for the rapid relief of angina.**

Advantage

1- Avoid hepatic first pass - Bioavailability is higher.

2- Rapid absorption - Because of the good blood supply to the area, absorption is usually quite rapid enter directly systemic circulation.

3- Drug stability - pH in mouth relatively neutral .Thus a drug may be more stable.

Disadvantages

(1) Inconvenient , (2)small doses
(3) unpleasant taste of some drugs

3-Rectal route

1- By-pass liver - Some of the veins draining the rectum lead directly to the general circulation, thus by-passing the liver reduced first -pass effect.

2- Useful -This route may be most useful for patients unable to take drugs orally (unconscious patients) or with younger children. if patient is nauseous or vomiting

1- Erratic absorption - Absorption is often incomplete and erratic.

2- Not well accepted

Other routs :-

- ❖ Inhalation route

Advantages

A- Large surface area

B- Thin membranes separate alveoli from circulation

C- High blood flow
As result of that a rapid onset of action due to rapid access to circulation

Disadvantage

1- Most addictive route of administration because it hits the brain so quickly.

2- Difficulties in regulating the exact amount of dosage.

3- Sometimes patient having difficulties in giving themselves a drug by inhaler.

❖Topical route

I. Skin

A-Dermal – cream, ointment (local action)

B- Transdermal- absorption of drug through skin (i.e systemic action)

I. stable blood levels (controlled drug delivery system)

II. No first pass metabolism

III. Drug must be potent or patch becomes too large

II Mucosal membranes

- Eye drops (onto the conjunctiva)
- ear drops
- Intranasal route (into the nose)

(2)

Dosage Forms

Definition: Dosage forms are the means by which drug molecules are delivered to

sites of action within the body.

The effectiveness of a pharmacological agent depends on its form and route of administration; therefore it is important to understand the various forms in which drugs are dispensed.

Dosage Forms

Include:-solid, semisolid, liquid

A. Solid dosage forms

1. the tablet

In prescription usually abbreviated as tab or tabs - contains active drug in dried powder form as well as binders and fillers to give the tablet bulk and ensure the proper size

a. Scored tablets have indented lines, usually dividing the tablet into two equal halves, sometime three or four parts

b. Enteric coated tablets have special coating designed to allow tablet to pass through acid in stomach and not dissolve

until in alkaline environment of small intestine – this avoids irritating the stomach, e.g. Aspirin.

c. Slow-release tablets designed to provide continuous, sustained release of a certain drug over time

d. Caplets – coated tablets in form of tablets; elongated shape may make it easier for some to swallow.

e-Lozenges – tablets formed from hardened base or sugar and water containing drug and other flavors. They are designed to dissolve slowly in the mouth and release the drug topically to the tissues of mouth and throat; they are not to be swallowed.

2. Capsule:

in prescription usually abbreviated as cap or caps, comes basically in two varieties

1. Soft gelatin shell manufactured in one piece with drug usually in liquid form inside the shell, e.g. fat-soluble vitamins A and E.

2. hard shell manufactured in two pieces that fit together and hold the drug, either in powdered or granular form.

3. powder:

a finely ground form of an active drug

1. Can be contained in capsules for oral administration

2. Can be used for topical application

3. Can be found in glass vials as dried form of the drug where it must be reconstituted by adding sterile water or sterile NaCL for purpose of injection, e.g. I/V. ampicillin

4- Suppository:

a solid base of glycerin containing the drug

1. Manufactured in appropriate size for rectal and vaginal insertion

a. Vaginal suppositories (Peccaries) most often used to treat vaginal infections.

b. Rectal suppositories offer alternate route of administration for patients who are vomiting, e.g. Tylenol (antipyretic and analgesic).

II. Semi-solid dosage forms

dosage forms that are too soft in structure to qualify for solids but too thick to be considered liquid; while most creams and ointments are applied to the skin like nitroglycerin ointment (antianginal)

A. Cream

a semisolid emulsion of oil and water, the main ingredient being water

1. Oil and Water remain well mixed by adding emulsifying agents

2. a large number of topical drugs are manufactured in a cream base, e.g. hydrocortisone cream

3-easy to apply and appear to vanish when rubbed into skin.

B. Ointment

a semisolid emulsion of oil and water, the main ingredient being oil

1. Many topical drugs are produced in ointment form

2. Specially formulated ophthalmic ointments are made to be applied topically to the eye without causing irritation

3- difficult to wash off.

C. Pastes:

e.g. ZnO combines three agents oil, water and powder .its an ointment in which a powder is suspended

D-Lotion:-

- **Are similar to creams but contain more water. They are actually suspension of finely dispersed powdered material in a base of water or oil and water .lotion are easy to apply useful for cooling and drying the skin.**
- **E-Gel :-are water –based substances thickened without oil or fat**

III. Liquid dosage forms:

come in solutions and suspensions; generally described as either

- **Aqueous from the Latin meaning watery consistency**
- **Viscous designating a non-watery or thick liquid**

A. Solution: never need to be mixed as the drug-to-water concentration remains the same in every part of the solution.

1. Elixirs: solutions that contain an alcohol and water base, added sugar and flavorings, e.g. Tylenol; commonly used for pediatric and elderly patients who have difficulty swallowing tablets or capsules

2. Syrups: do not contain alcohol and are concentrated solutions of sugar, water, and flavorings. They are sweeter and more viscous than elixirs. Most cough medications are syrup based.

3. Tinctures: solutions that have an alcohol and water base and are applied topically, e.g. tincture of iodine

4. Liquid sprays: solutions of a drug combined with water or alcohol

- **Mouth Washes:Hydroalcoholic solutions. used for two purposes therapeutic and cosmetic.**
- **Therapeutic to reduce plaque, gingivitis, dental caries.**

- **Cosmetic to reduce bad breath through the use of antimicrobial and/ or flavoring agents.**

B. Suspensions:

contain fine, un dissolved particles of drug suspended in a liquid base. These particles will settle to the bottom of the container, making it necessary to shake the suspension well before use to evenly distribute the drug particles. e.g. antacids.

1. Emulsion: a suspension of fat particles in a watery base.

2. Lotion: topically applied suspension of an active drug in a water base, usually some skin-moisturizing agent added; sometimes may be without moisturizer, e.g. Calamine lotion

3. Gel: a suspension in which the drug particles are suspended in a thickened water medium.