

Drug Formulations & Routes of Administration

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Route of administration

*Important
Info*

The ROA is determined by the physical characteristics of the drug, the speed which the drug is absorbed and/or released, as well as the need to bypass hepatic metabolism and achieve high conc. at particular sites

**Very Important
Info!**

No single method of drug administration is ideal for all drugs in all circumstances

General Considerations

- **Pharmaceutics** – branch of Pharmacy that deals with drug formulations
- Pharmaceutical products need to be presented in a form that can be administered to an organism
- **Formulation** takes into consideration easy delivery as well as guaranteed desired action (drug reaches target, achieves therapeutic action)

Drug Absorption

- Absorption is the process by which a drug enters the bloodstream without being chemically altered or
- The movement of a drug from its site of application into the blood or lymphatic system



Drug Absorption

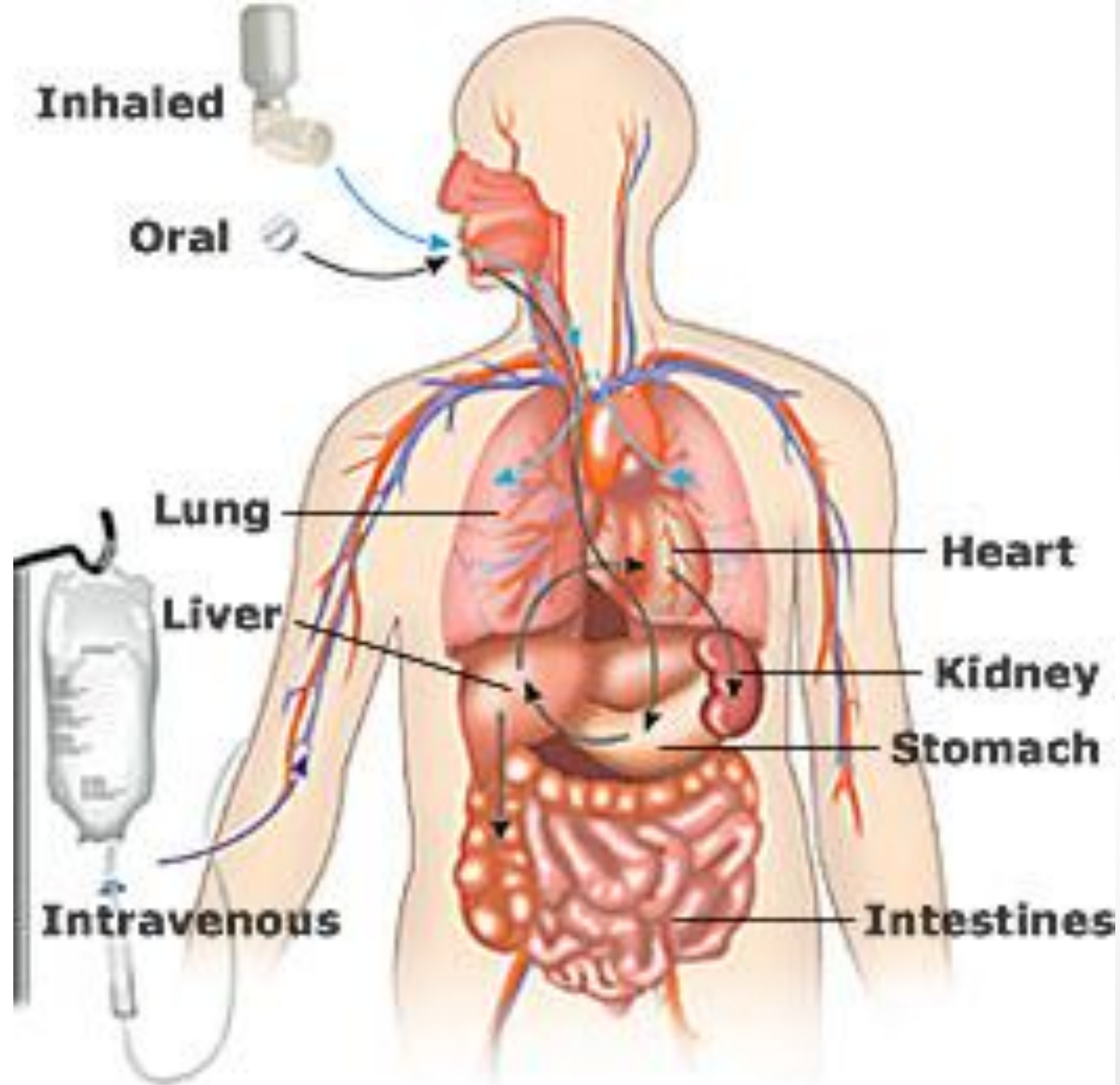
- **Factors which influence the rate of absorption**
 - **types of transport**
 - **the physicochemical properties of the drug**
 - **protein binding**
 - **routes of administration**
 - **dosage forms**
 - **circulation at the site of absorption**
 - **concentration of the drug**

Drug Absorption

- The rate at which a drug reaches its site of action depends on:
 - **Absorption** - involves the passage of the drug from its site of administration into the blood
 - **Distribution** - involves the delivery of the drug to the tissues

Routes of Drug Administration

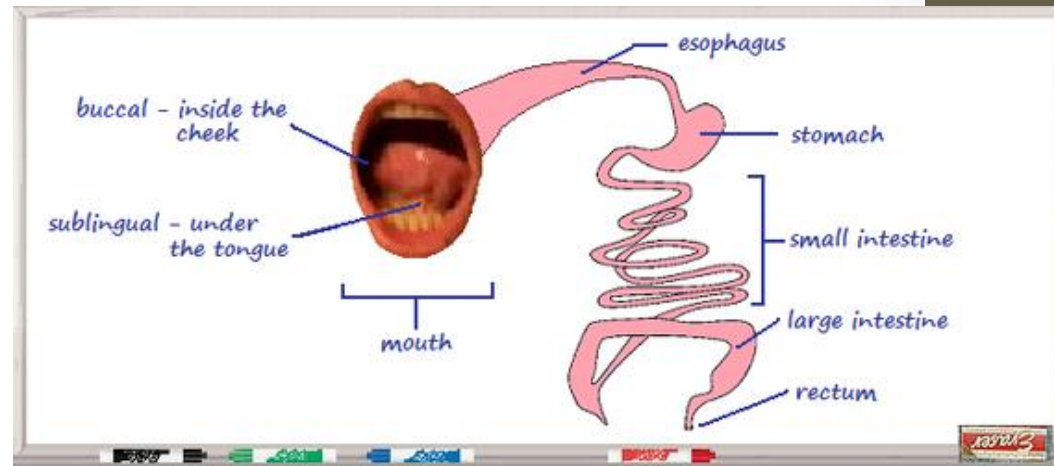
The **route of administration** (ROA) that is chosen may have a profound effect upon the speed and efficiency with which the drug acts



- The possible routes of drug entry into the body may be divided into two classes:
 - Enteral
 - Parenteral

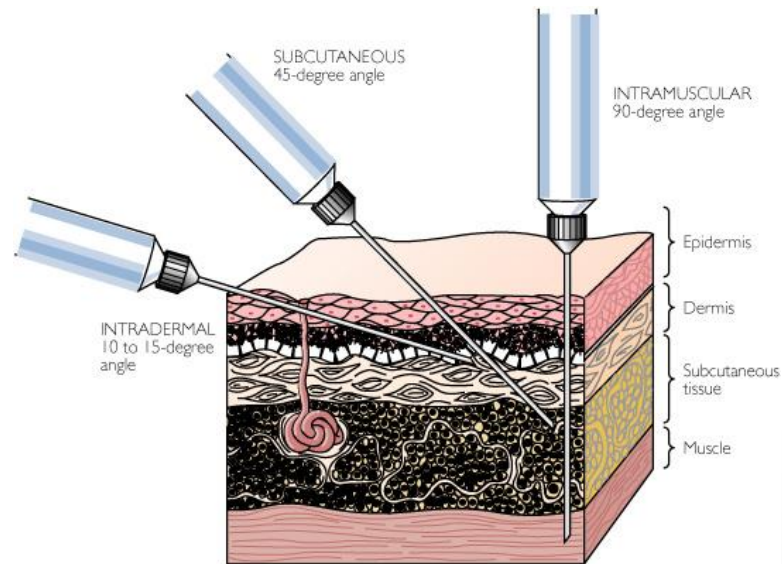
Enteral Routes

- Enteral - drug placed directly in the GI tract:
 - **sublingual** - placed under the tongue
 - **oral** - swallowing (p.o., per os)
 - **rectum** - Absorption through the rectum



Parenteral Routes

- **Intravascular** (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
- **Inhalation** - Absorption through the lungs



Parenteral administration

- Intravenous, Intramuscular, Subcutaneous,
- Ensures active drug absorption
- More rapid drug delivery than Oral
- Only route acceptable for unconscious patients, uncooperative patients
- Systemic absorption depends on capillary membrane surface area, drug solubility in interstitial fluid
- Advantages:
 - Rapid precise blood drug levels
 - Irritant drugs more comfortably administered
 - Drug is rapidly diluted

Routes of administration

Enteral

Oral

Sublingual

Rectal

Parenteral

Topical

Subcutaneous

Inhalation

Intramuscular

Intravascular

Intravascular

Absorption phase is bypassed

(100% bioavailability)

1. precise, accurate and almost immediate onset of action,
2. large quantities can be given, fairly pain free
3. greater risk of adverse effects
 - a. high concentration attained rapidly
 - b. risk of embolism
 - c. risk of mistake

Intravascular drug administration

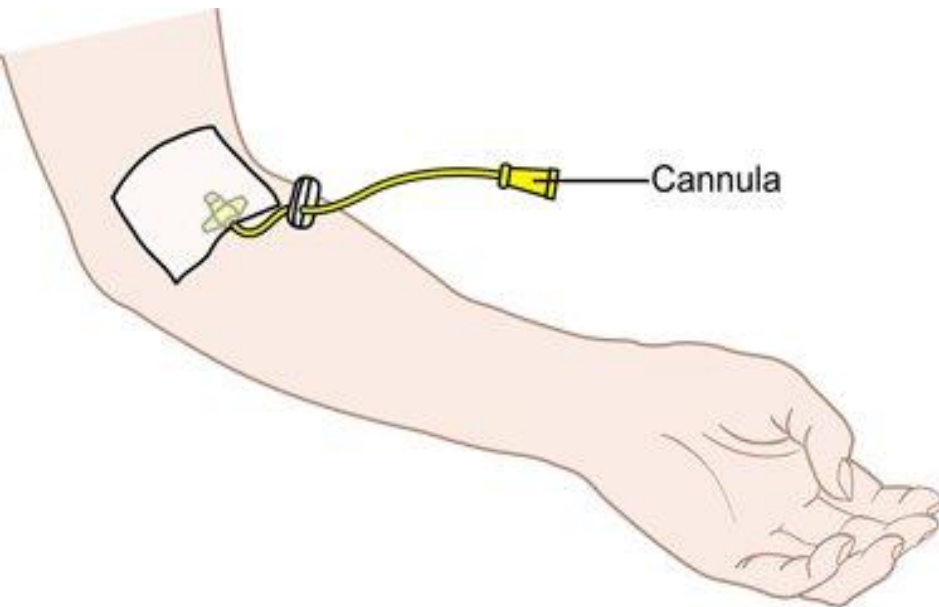
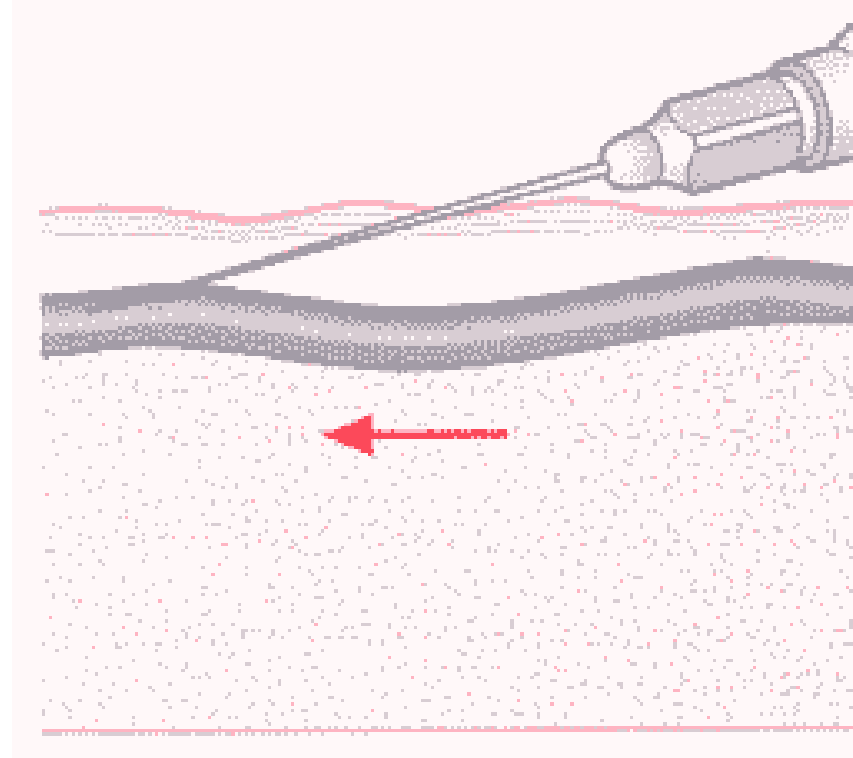
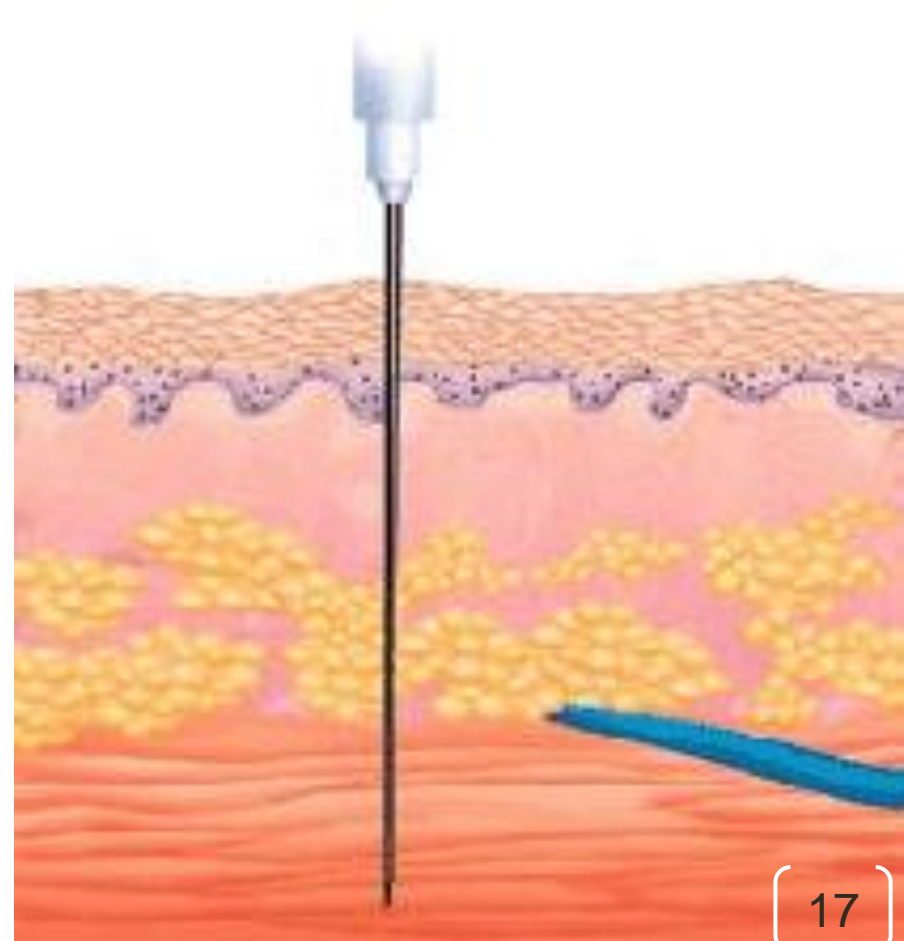


Diagram showing a cannula
© CancerHelp UK



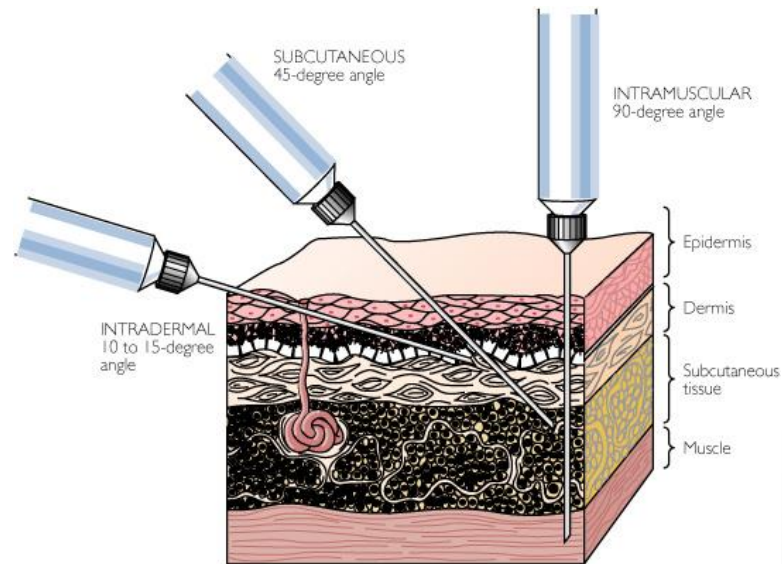
Intramuscular

- 1. very rapid absorption of drugs in aqueous solution
- 2. repository and slow release preparations
- 3. pain at injection sites for certain drugs



Subcutaneous

- 1. slow and constant absorption
- 2. absorption is limited by blood flow, affected if circulatory problems exist
- 3. concurrent administration of vasoconstrictor will slow absorption



Inhalation

- 1.gaseous and volatile agents and aerosols
- 2.rapid onset of action due to rapid access to circulation
 - a.large surface area
 - b.thin membranes separates alveoli from circulation
 - c.high blood flow



Push down on the canister and breathe in slowly

ADAM.

Topical

- *Mucosal membranes* (eye drops, antiseptic, sunscreen, callous removal, nasal, etc.)
- *Skin*
 - a. Dermal - rubbing in of oil or ointment (local action)
 - b. Transdermal - absorption of drug through skin (systemic action)
 - i. stable blood levels
 - ii. no first pass metabolism
 - iii. drug must be potent or patch becomes too large



Route for administration -Time until effect-

- *intravenous 30-60 seconds*
- *intraosseous 30-60 seconds*
- *endotracheal 2-3 minutes*
- *inhalation 2-3 minutes*
- *sublingual 3-5 minutes*
- *intramuscular 10-20 minutes*
- *subcutaneous 15-30 minutes*
- *rectal 5-30 minutes*
- *ingestion 30-90 minutes*
- *transdermal (topical) variable (minutes to hours)*

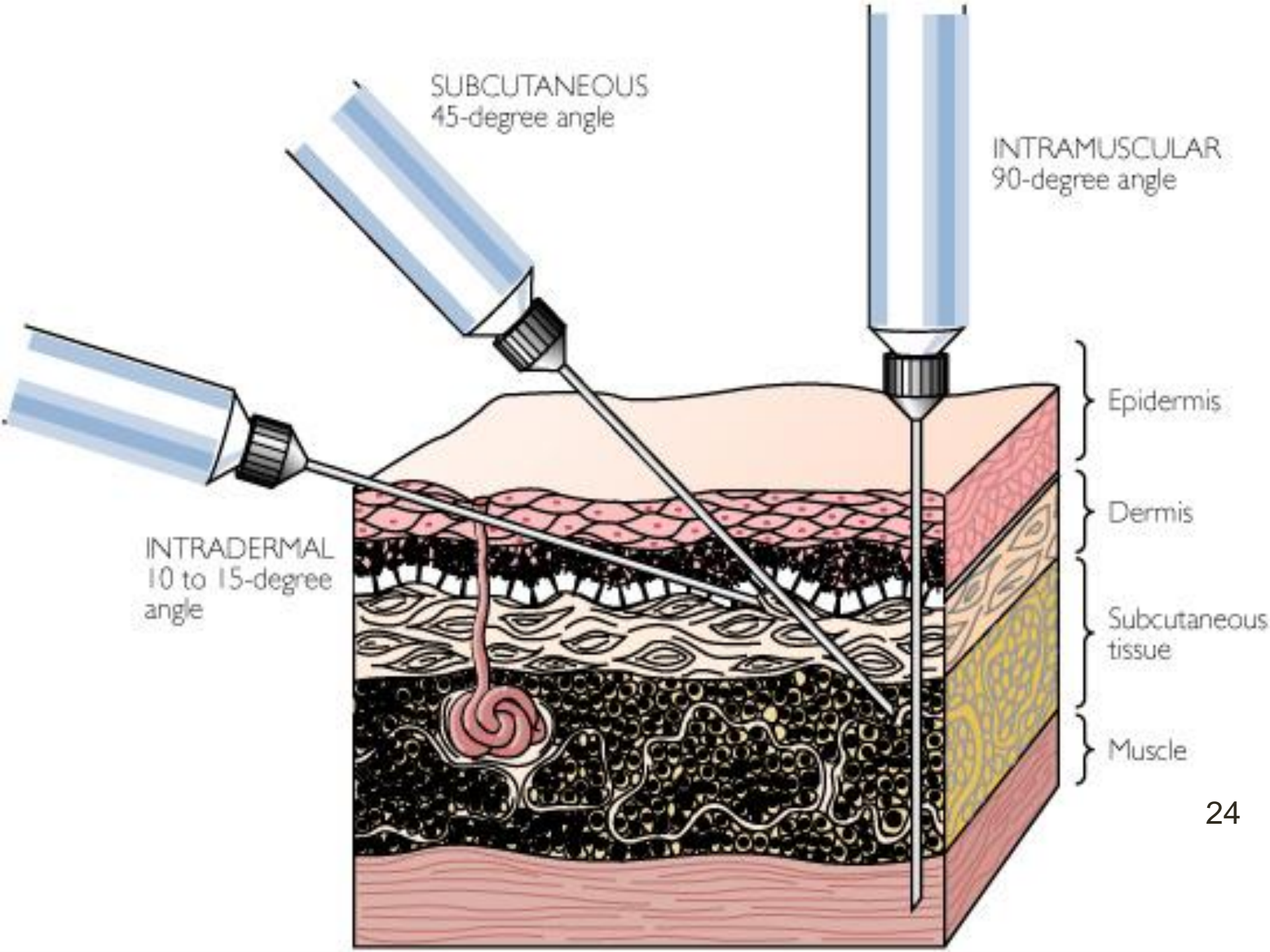
Parenteral Preparations



Parenteral Administration injecting drugs

Parenteral – mode of drug administration that avoids the GIT. Drug injections. Use of ASEPTIC TECHNIQUE very very important!!

- **Intravenous** (IV) injections – fast action due to avoidance of GI absorption
- **Intradermal** – injected into the dermis; very slow absorption; only small quantities of the drug can be given this way.
- **Subcutaneous** – just beneath the skin; very slow route; poor blood supply
- **Intramuscular** – into the fleshy part of the muscle: gluteus, deltoid, anterior thigh;



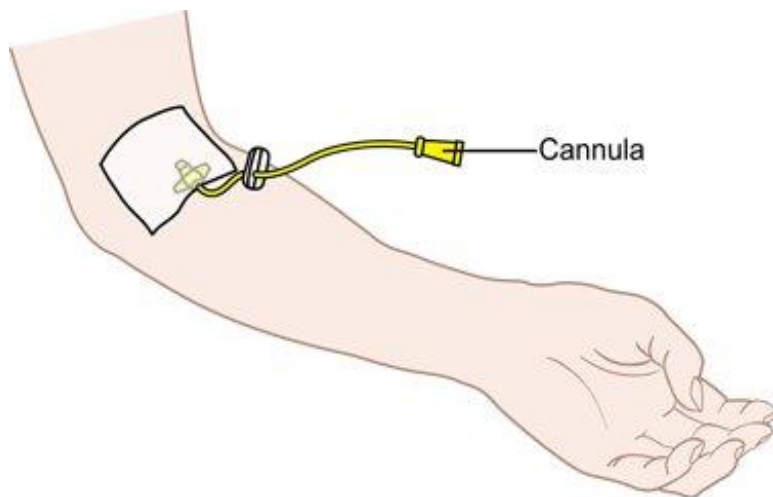
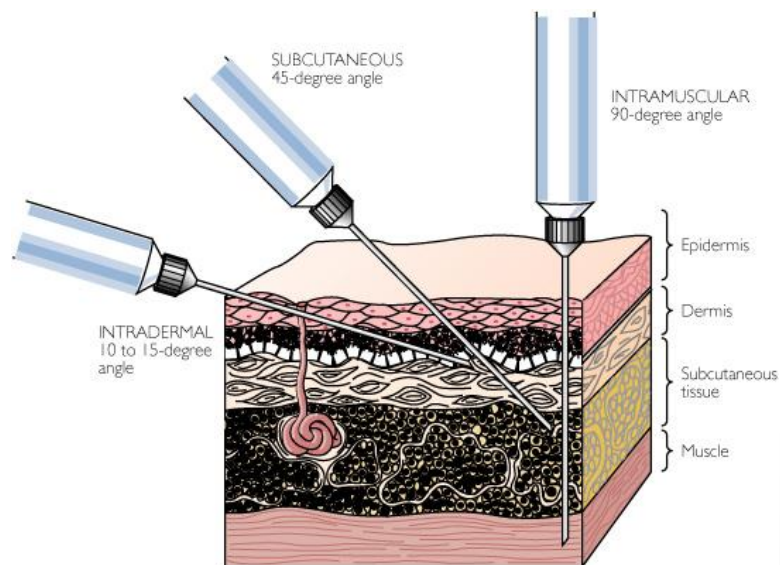


Diagram showing a cannula
© CancerHelp UK



Specialized Modes of Administration

- **Intrathecal** – drug is introduced into the CSF-filled space surrounding the spinal cord; for giving of drugs directly into the CNS, avoiding the blood-brain barrier e.g. Baclofen, Morphine
- **Epidural** – drug is introduced into the space above the dura; useful in administering local anesthetics for surgical procedures in the pelvic area & below
- **Intra-articular** – injection directly into joint spaces

DRUG FORMULATIONS



Oral Preparations

- **Pill** – round or ovoid solid body; may be coated with sugar or other substance
- **Tablet** – granulated powder containing one or more medications, compressed into a disc; must disintegrate in the GIT, so starch is often incorporated; \pm sugar-/film- coating;
- **Dragees** – chewable tablets
- **Enteric-coated tablets** – coated with a substance that is stable at acidic pH (will not disintegrate in the stomach) but breaks down rapidly at higher pH (small intestines)



Oral Preparations

- **Capsule** – an outer “shell” holds the drug inside
 - Hard gelatin capsule – contains solid drug in powder form; may be opened
 - Soft gelatin capsule – contains the drug in liquid or semi-liquid form; cannot be opened; useful for drugs that are insoluble in water
- **Sustained/Slow-release preparations** – drug is formulated in such a way that it releases very slowly in the GIT; a single dose suffices for delivery of the drug over a period of hours, ensuring sustained action.

Oral drugs





Oral Preparations

- Liquid** preparations – for children & for adults who have difficulty swallowing pills; usually flavored to make them palatable;
- **Elixirs** – drugs insoluble in water are dissolved in Alcohol
 - **Syrups** – sugared liquid preparations
 - **Suspension** – drug in solid form, not dissolved in Alcohol
 - **Emulsion** – drug in liquid form, not dissolved in Alcohol

Liquid drugs



Oral Drug Formulations

Solid

- Pill
- Tablet
- Dragee
- Enteric Coated Tablets
- Capsule
- Sustained/Slow release preparations

Liquid

- Elixirs
- Syrups
- Suspension
- Emulsion



Topical Preparations

Topical – application of a drug to the skin/ mucosa overlying the area to be treated

- **Drops** – isotonic solutions – ophthalmic, nasal, otic (eardrops – formulated as oily solutions for adherence to the aural cavity)
- **Creams** – water-based, poorly absorbed; drug is left on skin surface as water evaporates
- **Ointments** – lipid-based, greasy appearance & feel; drug penetrates deeply into tissues, especially if with “occlusive” dressing
- **Pastes** – have a very high powder content; water-repellent.
- **Gels & Lotions** – used on hairy parts of the body.



PROBLEMS

Case 1

- Linda is a 16 year old girl that is having an acute asthma attack, she is taken to the ER and the doctor over there finds her with wheezing in both pulmonary fields, cyanosis a breathing rate of 45 bpm, a pulse of 140 bpm, an axilar temperature of 38° C, and 110/90 mmHg of blood pressure.
- The doctor requests a CBC and a chest x-ray and while completing the work he knows that he has to admnister:
 - Salbutamol, a beta adrenergic drug
 - Hydrocortisone, a corticosteroid
 - Dampirone, a pyrolitic

Questions

- 1) What route of administration are you going to use for the
 - Salbutamol
 - Hydrocortisone
 - Dipyron
- 2) What advantages and disadvantages this decisions may present?
- 3) What considerations must be taken before administering the drugs?
- 4) What considerations should we have after we administered the drugs?

Case 2

- Leonard is a 22 year old student of accounting that is affected with epilepsy and now is under oral valproic acid as treatment.
- One day studying for his finals he forgets to take the medication and has a seizure over the university and is taken to the ER.
- The Doctor in the ER, finds him with loss of consciousness, pallor, a pulse of 120 bpm, a breathing rate of 40 per minute, reactive to pupillary response, deep osteotendinous reflexes present.
- He knows that the treatment for the acute episode of seizure includes midazolam in the ER, Phenobarbital if admitted and eventually the reposition of the therapy for the seizure

Questions

1. What of the drugs would you administered? Why?
 1. Midazolam
 2. Phenobarbital
 3. Valproic Acid
2. If you have a patient with seizure in the ER what route of administration would you choose? Why?
3. If you have a children what type of formulation is more appropriate for the valproic acid of enteral routes
4. In this patient what can be occurring with the valproic acid? How can you be sure eventually?

Case 3

- Mary takes her 3 months old baby to the pediatrician because is been having a lot of fever, cough and runny nose for the past 3 days.
- She's been giving the baby flu medicine by herself and as the baby does not seem to improve decides to take him to the doctor.
- The doctor after examining the baby makes a diagnosis of tonsillopharyngitis and decides to initiate amoxicillin in syrup and continuing with the pyrolytics.
- After 30 minutes of administering the first dose of the syrup the baby starts to have a skin rash, vomits and difficulty to breath
- Because of this Mary takes her to the ER, and they make the diagnosis of Adverse effect anaphylactic reaction to the amoxicillin

Questions

- 1) Why do the adverse effects start to appear after 30 minutes of administering the drug?
- 2) What type of route of administration will present adverse effect more rapidly? And which one will present adverse effects the latest?
- 3) In the ER with a patient like this you got to administer clorfeniramine, and antihistamiinic drug, and hydrocortisone a corticosteroid. What routes are you going to choose? Why?
- 4) If you have a patient in which you prescribed a cream what particularities the adverse effects may have?