# Pharmacology Basics

## Introduction to Pharmacology & Routes of Administration

# Learning Objectives

At the end of this chapter the student will be able to:

1. Define various terminologies used in Pharmacology
2. Understand pharmacodynamics and pharmacokinetics (absorption, distribution, metabolism and excretion) of drugs
3. Understand theoretical pharmacokinetics like half-life, order of kinetics, steady state and plasma concentration
4. Understand drug safety and effectiveness like factors affecting drug action and adverse drug reactions

# Definitions

**Pharmacology:**

from Greek , pharmakon, "drug"; logia, "the study of"

- The study of substances that interact with living systems through chemical processes
- It includes the history, source, physicochemical properties, dosage forms, methods of administration, absorption, distribution, mechanism of action, biotransformation, excretion, clinical uses and adverse effects of drugs

## Drug

A chemical substance that modulate physiological status, used in the prevention, treatment or diagnosis of disease.

## Pharmacology is **mainly** divided into:

1. **Pharmacokinetics** (PK): Study of the absorption, distribution metabolism and excretion (ADME) of drugs (“ What the body does to a drug ”)
2. **Pharmacodynamics** (PD): The study of the biological and therapeutic effects of drugs (“What the drug des to the body”)
3. **Pharmacotherapeutics**: It deals with the proper selection and use of drugs for the prevention and treatment of disease
4. **Toxicology:** Toxicology is the branch of pharmacology dealing with the "undesirable" effects of drugs on biological processes

Other subdivisions are available …

# Adverse or “Side-Effect”

- An unintended action of a drug.
- Results from a lack of specificity of drug action.
- All drugs are capable of producing adverse effects.

# Drug Names

Chemical name

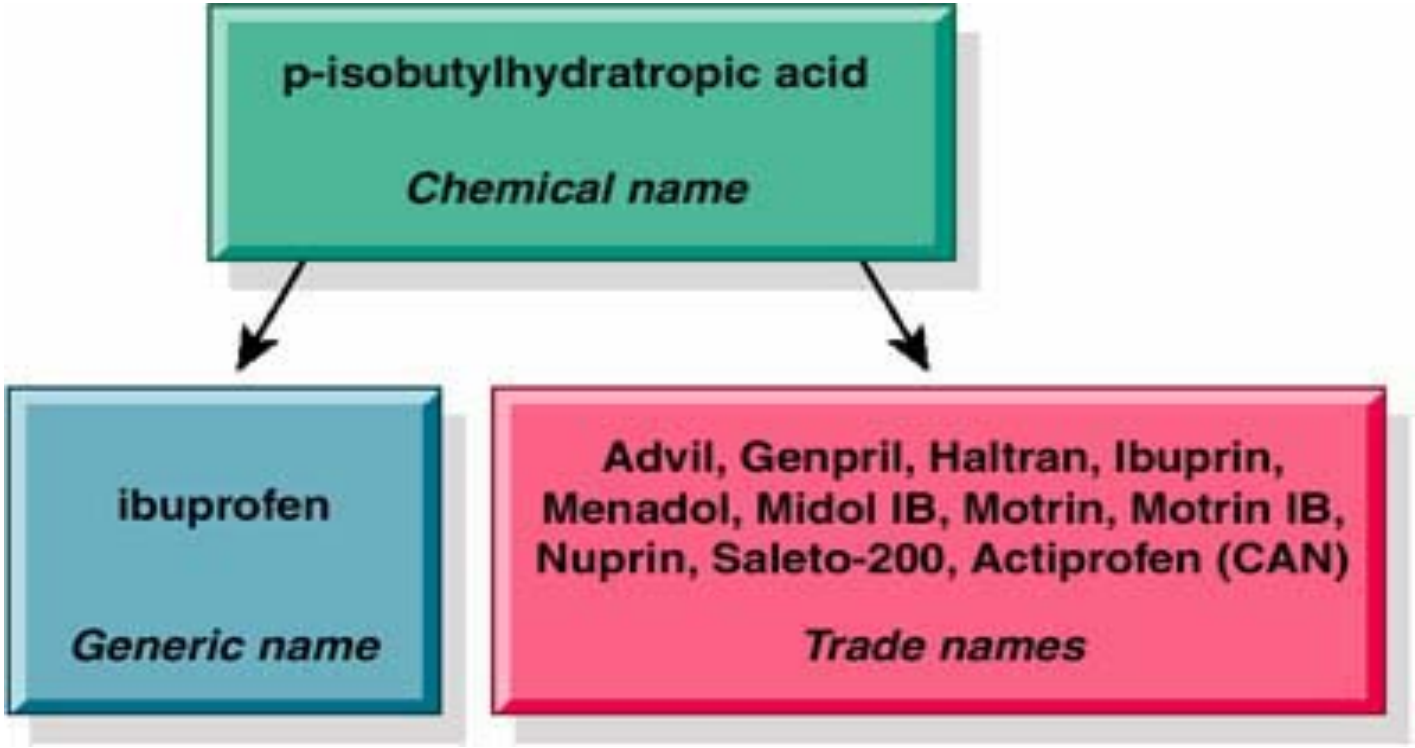
- The drug's chemical composition and molecular structure

Generic name (nonproprietary name)

- Name given by the United States Adopted Name Council

Trade name (proprietary name)

- The drug has a registered trademark; use of the name restricted by the drug's owner (usually the manufacturer)



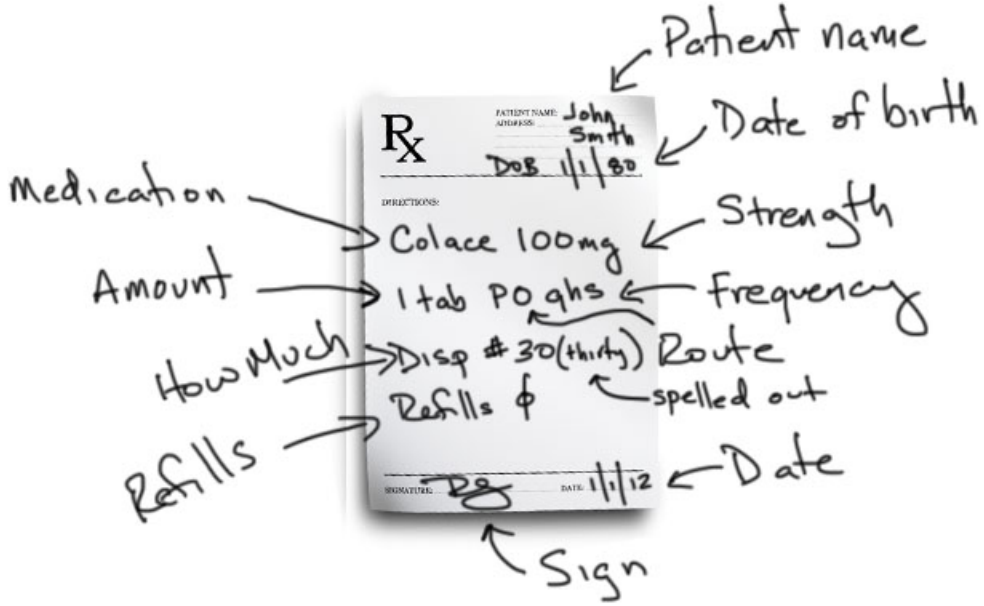

• To avoid confusion: it's best to use a drug's generic name

# Grouping of drugs:

- Pharmacologic class (or family):
  - drugs that share similar characteristics
  - Example: beta-adrenergic blockers are an example of a pharmacologic class.

- Therapeutic class:
  - groups drugs by therapeutic use
  - Example: Antihypertensives

# Principles of Prescription Writing



# Definition

A prescription is a written, verbal, or electronic order from a practitioner or designated agent to a pharmacist for a particular medication for a specific patient.

# The “Five Rights” of Medication Administration

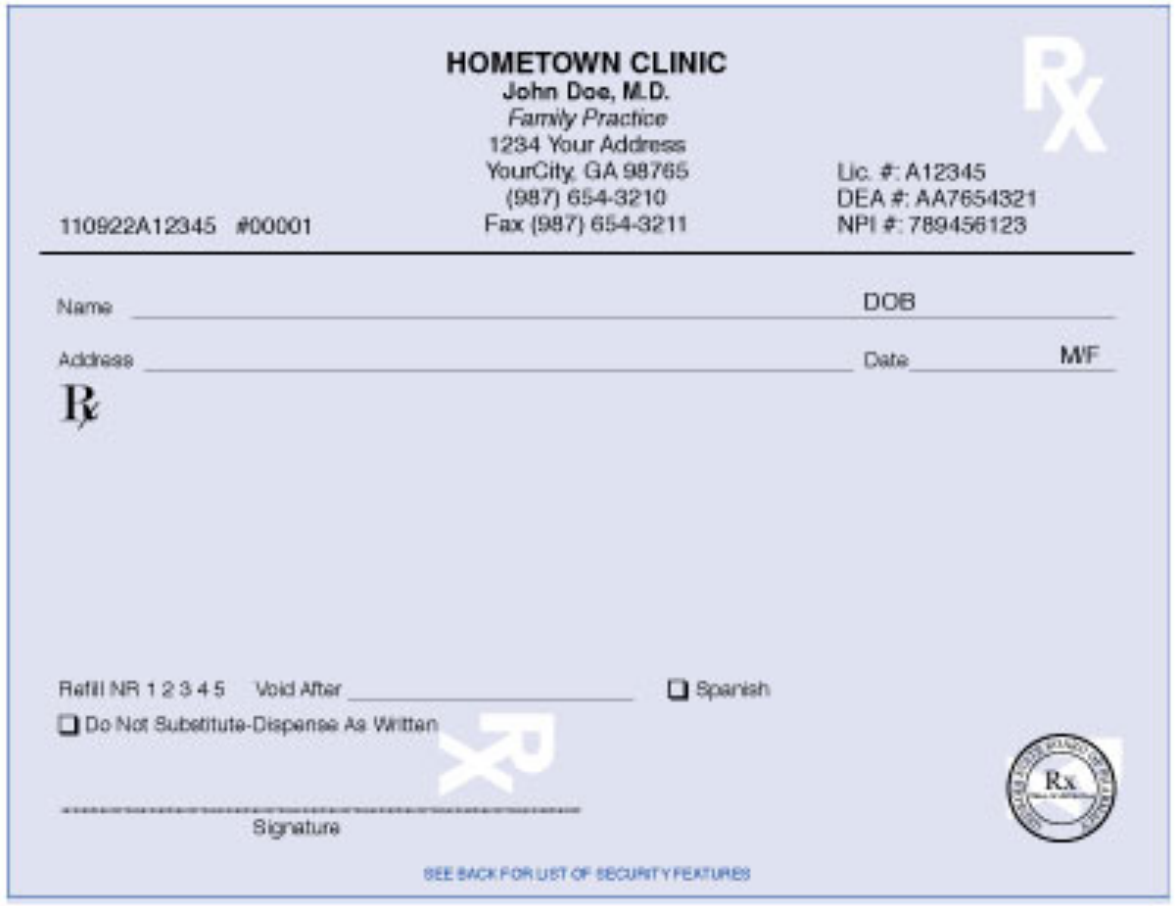
- Right drug
- Right dose
- Right time
- Right route
- Right patient

# Prescription Formatting

Heading

Body

Closing



- Tablets - tab
- Capsule – cap
- Syrup – syr
- Suspension – susp
- Injection – Inj
- Metered dose inhaler – as such
- Lotion – as such

- PO: by mouth
- PR: per rectum
- IM: intramuscular
- IV: intravenous
- ID: intradermal
- IN: intranasal
- TP: topical
- SL: sublingual
- BUCC: buccal
- IP: intraperitoneal

## Latin abbreviations frequently used in prescription writing

| *Abbreviation* | *Latin* | *English* |
|---|---|---|
| ad lib. | *ad libitum* | at pleasure |
| a.c. | *ante cibum* | before meals |
| aq. | *aqua* | water |
| b.i.d. | *bis in die* | twice a day |
| caps. | *capsula* | capsule |
| c̄ | *cum* | with |
| d. | *dies* | a day, daily |
| disp. | *dispensa* | dispense |
| gtt. | *guttae* | drops |
| h. | *hora* | hour |
| h.s. | *hora somni* | at bedtime |
| non rep. | *non repetatur* | do not repeat (or refill) |
| no. | *numerus* | number, amount |
| p.c. | *post cibum* | after meals |
| p.r.n. | *pro re nata* | as needed |
| q.h. | *quaque hora* | every hour |
| q. 4 h. | *quaque quarta hora* | every 4 hours |
| q.i.d. | *quater in die* | four times a day |
| Sig. | *signa* | let it be labeled, label |
| stat. | *statim* | immediately |
| tab. | *tabella* | tablet |
| t.i.d. | *ter in die* | three times a day |

# Controlled Substances

- Definition - a prescription drug whose use and distribution is tightly controlled because of its abuse potential or risk
- Regulation is more strict

# 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**

# ROUTES OF DRUG ADMINISTRATION

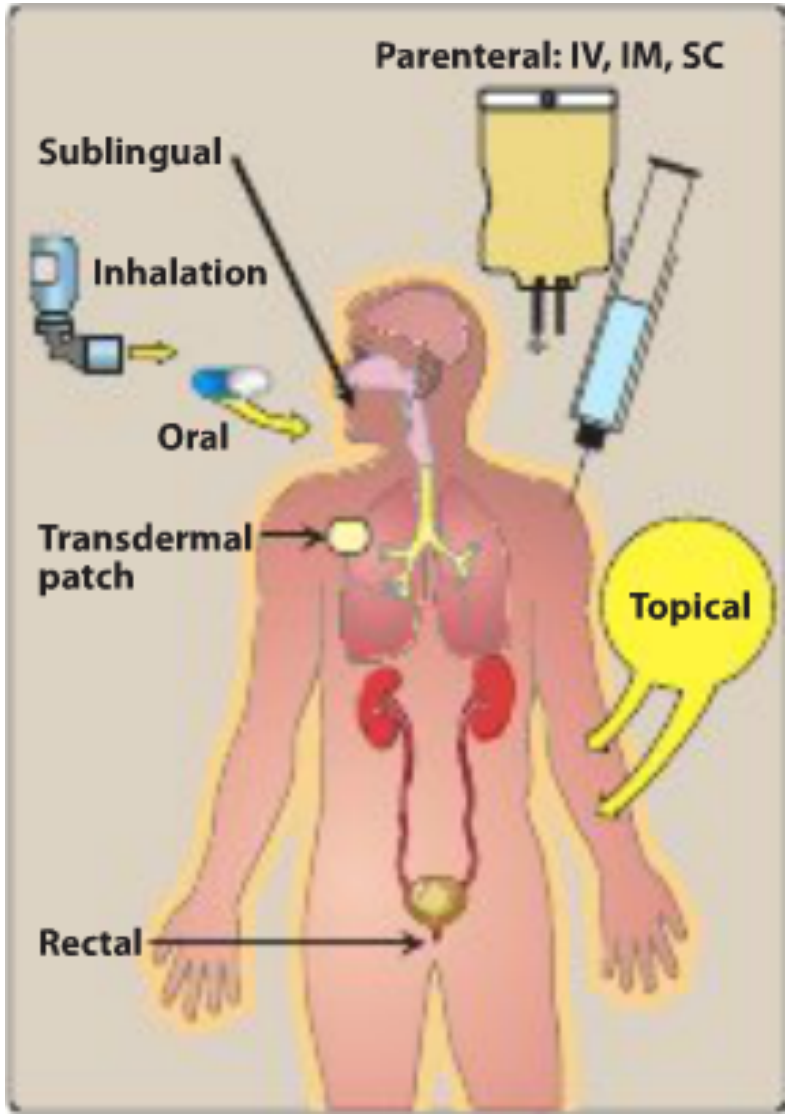
- The route of administration is determined by:

1. Properties of the drug (for example, water or lipid solubility, ionization)

2. Therapeutic objectives (for example, the desirability of a rapid onset of action, the need for long-term treatment, or restriction of delivery to a local site)

- Major routes of drug administration include:

A. Enteral
B. Parenteral
C. Others: transdermal, nasal inhalation, oral inhalation, topical (skin cream, eye drops, ear drops), vaginal … etc



## I. Enteral

- Enteral administration is
  - Drug placed directly in the GI tract:

- When the drug is given in the mouth, it may be:
  - Swallowed → allowing oral delivery (oral)
  - It may be placed under the tongue (sublingual) or between the gums and cheek (buccal)
    → direct absorption into the bloodstream
  - Rectal: Absorption through the rectum

# Oral:

- **Advantages:**
  - **Convenient -** can be self- administered, pain free, easy to take
  - **Absorption** - takes place along the whole length of the GI tract
  - **Cheap** - compared to most other parenteral routes
  - **Safe-** low risk of infection
  - Overdose and toxicities can be overcome by antidotes, such as charcoal

# Oral:

- Disadvantages:
- Sometimes inefficient - only part of the drug may be absorbed
- Absorption can be affected by several factors, as gastric pH
- First-pass effect - drugs absorbed orally are initially transported to the liver via the portal vein
- Destruction of drugs by gastric acid and digestive juices

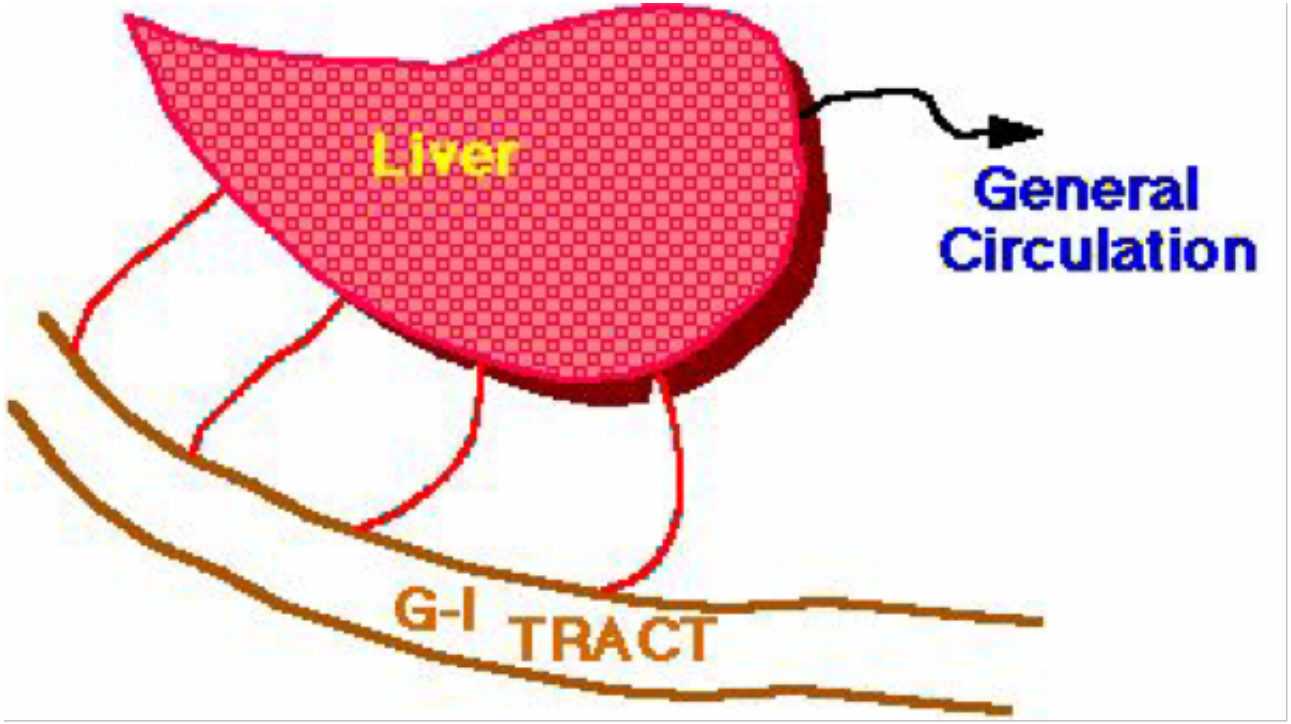
- Irritation to gastric mucosa - nausea and vomiting
- Effect too slow for emergencies
- Unpleasant taste of some drugs
- Unable to use in unconscious patient

# First-pass Effect

- The first-pass effect is the term used the intestinal and hepatic degradation or alteration of a drug or substance taken by mouth, after absorption, removing some of the active substance from the blood before it enters the general circulation

- The greater the first-pass effect, the less the agent will reach the systemic circulation when the agent is administered orally

# First-pass Effect



## Oral:

- Wide range of oral preparations including:

A. enteric-coated

B. extended- release preparations

## A. Enteric-coated preparations:

Is a chemical envelope that resists the action of fluids and enzymes in the stomach but dissolves readily in the upper intestine.

- Such coat is useful for:

1. Drugs that are acid unstable (e.g. Omeprazole)
2. Stomach irritating drugs (e.g. Aspirin)

## A. Extended-release Preparations (ER or XR):

- Have special coating or ingredients that control how fast the drug is released from the pill into the body

### Advantages:

- Slower adsorption and prolonged duration
- Better patient compliance
- May maintain concentrations within acceptable therapeutic range over a long period of time
- These preparations are advantageous for drugs with short half lives

Ex, Morphine:

- Its half-life is 2 -4 hours in adults
- oral morphine has to be administered 6 times in24 hrs to obtain continuous analgesic effect.
- Controlled-release tablets allow twice daily dosage

## 1. Sublingual/ Buccal:

Placement under the tongue allows a drug to diffuse into the capillary network and enter the systemic circulation directly

- The buccal route: drug is place between cheek and gum

### Advantages:

- Ease of administration
- Rapid absorption
- Low risk of infection
- Bypass of the harsh GI environment
- Avoid the first-pass metabolism

1. Sublingual/ Buccal:

- **Disadvantages**
  - Inconvenient
  - Small doses
  - Unpleasant taste of some drugs

# Rectal

## Advantages

- Unconscious patients and children
- If patient is nauseous or vomiting
- Good for drugs affecting the bowel such as laxatives
- Easy to terminate exposure

## Disadvantages:

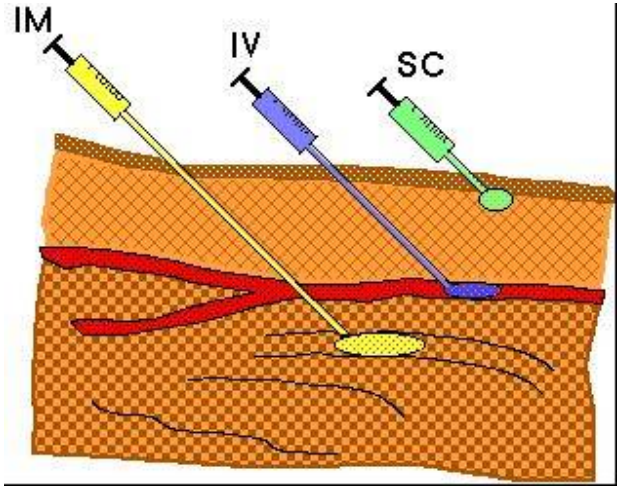
- Absorption may be variable
- Irritating drugs contraindicated

# II. Parenteral route:

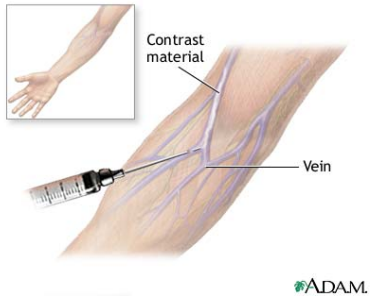
Introduces drugs directly across the body's barrier defenses into systemic circulation

- Uses:
  - Drugs that are poorly absorbed from GI tract (e.g. heparin).
  - Drugs that are unstable in the GI tract (e.g. insulin)
  - In patients unable to take oral medication (e.g. unconscious patients)
  - Circumstances that require a rapid onset of action

- Three major parenteral routes are:
  - 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



# 1. Intravenous (IV):




## Uses and advantages:

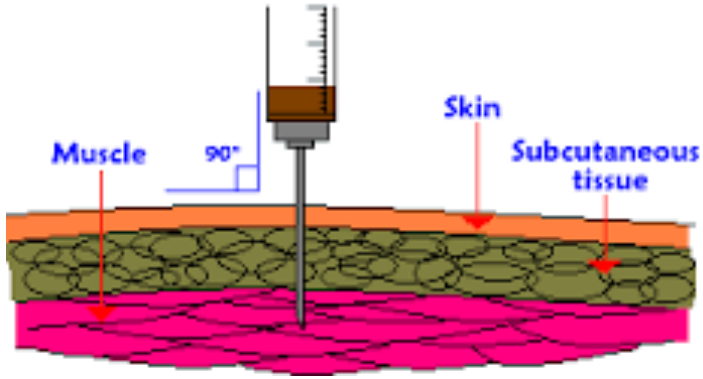
- For drugs that are not absorbed orally
- For administering chemicals that may cause irritation when administered via other routes
- Control over the amount of drug delivered
- Absorption phase is bypassed (100% bioavailability)
- Precise, accurate and almost immediate onset of action
- large quantities can be given, fairly pain free

## 1. Intravenous (IV)- cont

### Disadvantages:

- Cannot be recalled by  strategies, such as by binding to activated charcoal
- May introduce infection at the site of injection
- Risk of embolism
- Risk of adverse reactions by the too-rapid delivery of high concentrations of a drug to the plasma and tissues

## 2. Intramuscular (IM):




- Drugs administered IM can be:

1. Very rapid absorption of drugs in **aqueous solution**

2. Slow release preparations: **Depot Effect**

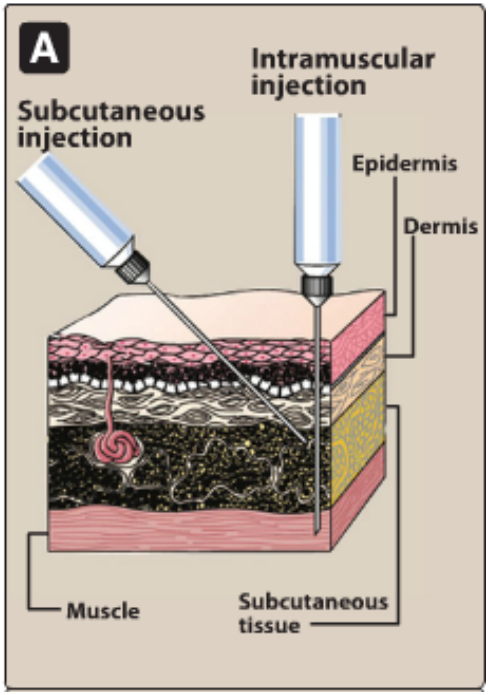
- Pain at injection sites for certain drugs

## Depot preparations:

- Often consist of a suspension of the drug in a non-aqueous vehicle such as polyethylene glycol
- Vehicle diffuses out of the muscle, the drug precipitates at the site of injection
- The drug then dissolves slowly, providing a sustained dose over an extended period of time

## . Subcutaneous (SC):

- This route provides absorption via simple diffusion
- Slower than the IV route
- Advantages of SC injection:
  - Minimizes the risks of hemolysis or thrombosis associated with IV injection
  - May provide constant, slow, and sustained effects.
- SC route should not be used with drugs that cause tissue irritation
- Absorption is limited by blood flow, affected if circulatory problems exist



# III. Others

## 1. Oral inhalatio

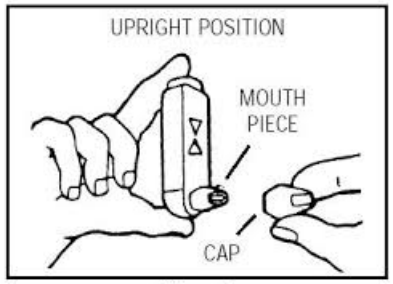


Figure 1

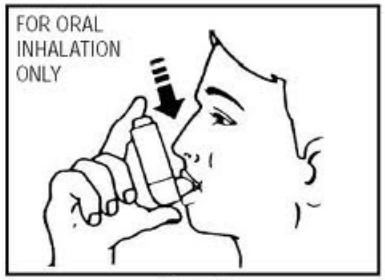


Figure 2

- Gaseous and volatile agents and aerosols
- 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

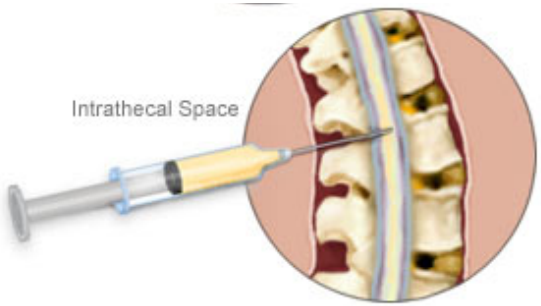
## 1. Nasal inhalation:

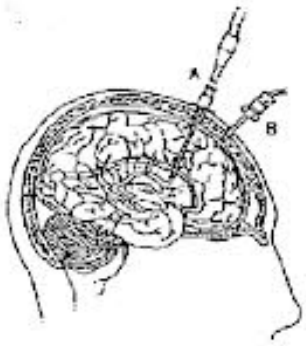
- Administration of drugs directly into the nose.
- Agents include:
  - Nasal decongestants, such as oxymetazoline
  - Anti inflammatory corticosteroids, such as mometasone furoate


## 1. Intrathecal/intraventricular:

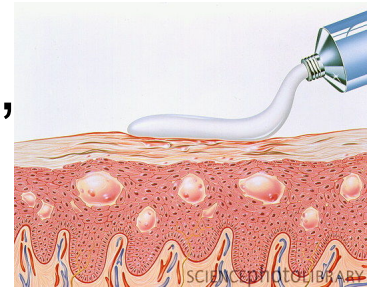
Introduce drugs directly into cerebrospinal fluid, when:

- Drugs cannot cross the blood-brain barrier to the CNS
- Local, rapid effects are needed, it is necessary to introduce drugs directly into the cerebrospinal fluid.



# 4. Topical:



- Topical application is used when a local effect of the drug is desired.
- Mucosal membranes (nasal, vaginal, etc.)
- Skin
  a. Dermal - rubbing in of oil or ointment (local action)
  b. Transdermal - absorption through skin (systemic action)

I. Stable blood levels

II. No first pass metabolism

III. Drug must be potent or patch becomes to large

***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**