# INTRODUCTION TO PHARMACOLOGY

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#### Introduction

Pharmacology is the study of drugs and their effects on life processes

- This is a fundamental science which preceded modern day medicine with proven success in treating diseases and saving lives
- Through research and development, pharmacology currently drives the international pharmaceutical industry to billion-dollar profits

## Mythical history on disease (Phase 1)

In the olden days, disease was believed to have been caused by evil spirits

HISTORICAL BACKGROUND OF PHARMACOLOGY

- ► The word "Pharmacon" is a Greek word which was used to describe a magic charm or noxious (poisonous, harmful or unpleasant) plant or animal product meant to rid the body of the evil spirit suspected to have caused the disease
- Later this pharmacon came to be known as a remedy or drug, the selection of which was still based on superstition and subsequent experience (empiricism)

## **Drugs and Medicines**

- A drug is any chemical substance which when administered will change the physiological and biochemical processes of the body
- ▶ A medicine is any natural, chemical or pharmaceutical product formulated for the purpose of prevention, diagnostic or treatment of a disease
- In practice the terms "drugs" and "medicines" are used interchangeably

# Experience of people in dealing with disease (2<sup>nd</sup> phase)

- Based on the experience of people regarding different disease outcomes after use of remedies, they were enabled to understand which substances were actually beneficial or effective in relieving specific disease symptoms
- The first drugs were simple external preparations like cool mud and soothing leaf
- centuries later through the same trial and error approach, the therapeutic value of natural products was well appreciated with the Egyptian prescriptions calling for castor, opium and other currently used drugs

## Many more discoveries

- ▶ In China, ancient scrolls from those centuries revealed prescriptions of herbal medicines for more than 50 diseases
- Dioscorides, a Greek army surgeon who lived in the 1st century described 600 medicinal plants which he collected and studied as he travelled with the Roman army
- Susruta, a Hindu physician described the principles of Ayurvedic medicine in the 5<sup>th</sup> century
- By the time of the middle ages, the Islamic physicians and the Christian monks cultivated and studied the use of herbal medicines.

## The new dawn (Rational or scientific phase)

- ▶ The rational or scientific phase finally came in with the evolving of chemistry and physiology which led to the rise of the new science called pharmacology
- This was dependent on the isolation of pure drug compounds from natural sources and the development of experimental physiology to help study these very compounds
- ▶ The goal of pharmacology is to help in the understanding of the mechanism by which drugs interact with biological system
- This understanding is cardinal in enhancing rational use of effective agents in the prevention, diagnosis and treatment of disease

## Recent century pharmacological headways

- Among the 20<sup>th</sup> century discoveries are the isolation and use of insulin in diabetes, discovery of antimicrobial and antineoplastic agents and the modern psychopharmacology
- ► This notable success in the development of so many new molecules of drugs and recent developments in molecular biology, genetics is promisary of great discoveries
- Pharmacology therefore, still gives more hope for even greater successes in disease treatment both in this century and the centuries to come

#### SOURCES OF DRUGS

The two major sources drugs are natural and synthetic

#### 1. Nature

- a) Plants alkaloids like morphine, cocaine, quinine, atropine
- b) Animal tissues hormones like insulin
- c) Minerals lithium for treatment bipolar disorders
- d) Micro-organism penicillins from penicillium species, streptomycin from Streptomyces species

## 2. Synthetic source

Modern chemistry has led to the synthesis of new compounds and the modification of naturally occurring drugs

- Aspirin, barbiturates and local anaethestics like procaine were among the first drugs to be synthesized
- Semi synthetic drugs come about through modification of natural drugs and they posses different properties like oxycodone which is a derivative of morphine

## **Drug preparation**

- ▶ These include
- a) Natural drug the drug in its original state which may be a combination of different chemical entities e.g. cinchona bark
- a) Crude drug preparation from natural sources e.g. Cinchona powder, solution etc
- a) Pure drug compounds isolated from natural or synthetic sources e.g. quinine, quinidine
- a) Pharmaceutical preparation final formulated drug intended to be administered to the patient

## Major subdivisions

Pharmacology is divided into two main subdivisions namely;

- i. Pharmacokinetics
- ii. Pharmacodynamics

#### **Pharmacokinetics**

► This involves the processes that determine the drug concentrations in the body fluids

- ▶ This is also referred to as drug disposition
- ► The processes involved pharmacokinetics include absorption, distribution, metabolism (biotransformation) and excretion

In summary, pharmacokinetics is referred to as the action of the body on the drugs

## **Pharmacodynamics**

- ▶ This involves the action of drugs at the site of action
- Drugs mostly bind the protein receptors in target tissues
- whose activation leads to the response cascade called the signal transduction which results into the subsequent physiological action
- This physiological action is directly dependent on relationship between the concentration of the drug in the tissue and the magnitude of the tissue's response to the drug (dose-response relationship)
- ▶ In summary, pharmacodynamics is the action of drugs on the body

## Toxicology

- ▶ This is the study of poisons and organ toxicity
- ▶ Focuses on the harmful effects of drugs and other chemicals and the mechanism by which these agents cause pathological changes, disease and death
- ▶ This also depends on the dose, concentration of the drug in the tissue and the biological effects the drug produces
- Most drugs have toxic effects at high doses and may have adverse effects related to toxicity at therapeutic doses

## **Pharmacotherapeutics**

▶ This is the medical science that deals with use of drugs in the treatment of diseases

Pharmacology provides the rational or scientific basis of pharmacotherapeutics by explaining the mechanism and effects of drugs on the body and the relationship between the dose and the drug response (Pharmacodynamics)

## Formulations

- ► This is the nature by which a drug is made available and suitable for administration of a specific dose of a drug to a patient
- ▶ The different types of formulations are also referred to as pharmaceutical preparations or dosage forms
- Most of these formulations are made from pure drug compounds
- Those few made from crude drug preparations are sold as herbal remedies

## Commonly available formulations

- 1. Solid formulations
- a). Tablets
- ► Enteric coated tablets
- Sustained release or extended release tablets
- ► Effervescent tabs

## b). Capsules

- ▶ Hard capsules
- Soft capsules

## 2. Liquid formulations

- a) Sterile Solutions
- b) Suspensions
- c) Syrups
- d) Elixirs
- e) Emulsions

## 3. Skin preparations

- a) Ointments
- b) Lotions
- c) Liniments
- d) Gels
- e) Patches
- f) Powders

## 4. Aerosols

- ▶ Inhalers
- Nasal preparations
- ▶ Nebules

## 5. Ophthalmic and ear preparations

- ▶ Eye drops
- Eye ointments
- ▶ Ear drops

#### **ROUTES OF ADMINISTRATION**

- ► This is the channel or path by which a drug, fluid, poison or any chemical is taken into the body
- Routes are generally classified by the location at which the substance is applied
- ► The routes of administration serve the purpose of making sure that the drug reaches the intended site of action so as to effect its intended purpose
- Different factors affect the selection of the route to be used ie;
- a) Site of the problem
- b) Severity of the condition
- c) Subsequent selected dosage form
- d) Physicochemical properties of the selected drug

#### **Enteral route**

► The enteral route involves the administration of drugs whose absorption is intended to take place in the gastrointestinal tract

- These may include the following;
- a) Oral route
- b) Buccal route
- c) Sublingual route
- d) Rectal route

#### Oral route

- The oral (PO) route involves the administration of the drug through the mouth using tablets, capsules, syrups, suspensions, solutions
- ▶ It is more convenient, relatively safer and more economical
- Absorption of orally administered drugs can vary widely because of the interaction with food and gastric acid
- ▶ Furthermore, the absorption of drugs can be affected by varying gastric emptying rate, intestinal transit time, tablet disintegration and dissolution

#### Rectal route

- ▶ This involves the administration of the drug through the rectum
- Suppositories or ointments are the most used formulations
- Drugs administered rectally can result in either a localized or systemic effect
- Suppositories are used mostly in cases where patients cannot take drugs by mouth e.g. in cases of nausea and vomiting
- ▶ They are also useful in localized conditions like hemorrhoids

## **Buccal and Sublingual routes**

▶ In the buccal route, the drug is placed between the cheek and the gum

▶ In the sublingual route, the drug is placed under the tongue

▶ In both the sublingual and the buccal route, drugs by pass the first -pass metabolism of the liver

These drugs can be in tablet form, fumes, sprays, gels

#### Parenteral route

- This involves the administration of drugs using a syringe and a needle or an intravenous infusion pump
- ▶ The following routes are considered parenteral
- a) Intravenous (IV) route
- b) Intramuscular (IM) route
- c) Subcutaneous (SC) route
- d) Intrathecal route
- e) Epidural route
- f) Intra-articular
- g) Intradermal

## Intravenous (IV) route

- ▶ The IV route does not require the drug to be absorbed as the drug is directly delivered into systemic circulation and hence the 100% bioavailability
- ▶ It is preferred for drugs with short half-lives and drugs which need titration to physiological response e.g. treatment of shock, hypotension, acute heart failure
- Generally, the IV route is widely used in administration of antibiotics, antineoplastic drugs in critically ill patients and in other medical emergencies
- ▶ In terms of toxicity, the IV route is potentially the most dangerous because of the direct and rapid passage into the systemic circulation

#### Intra muscular and Subcutaneous route

- ▶ These routes are suitable for the solutions or suspensions
- Solutions are absorbed more rapidly than particle suspensions
- Suspensions are used to extend the duration of action of the drugs over many hours
- Most of the drugs are absorbed more rapidly using the IM route because of the greater circulation of the blood to the muscle

#### Intrathecal route

This involves the injection of the drug through the thecal covering of the spinal cord and into the sub-arachnoid space

▶ In treatment of meningitis, drugs which do not readily cross the blood brain barrier (BBB) are administered through the intrathecal route.

### **Epidural route**

- Involves the targeting of analgesics into the space above the dural membranes of the spinal cord
- ► This route is commonly used in labor and delivery using drugs like bupivacaine

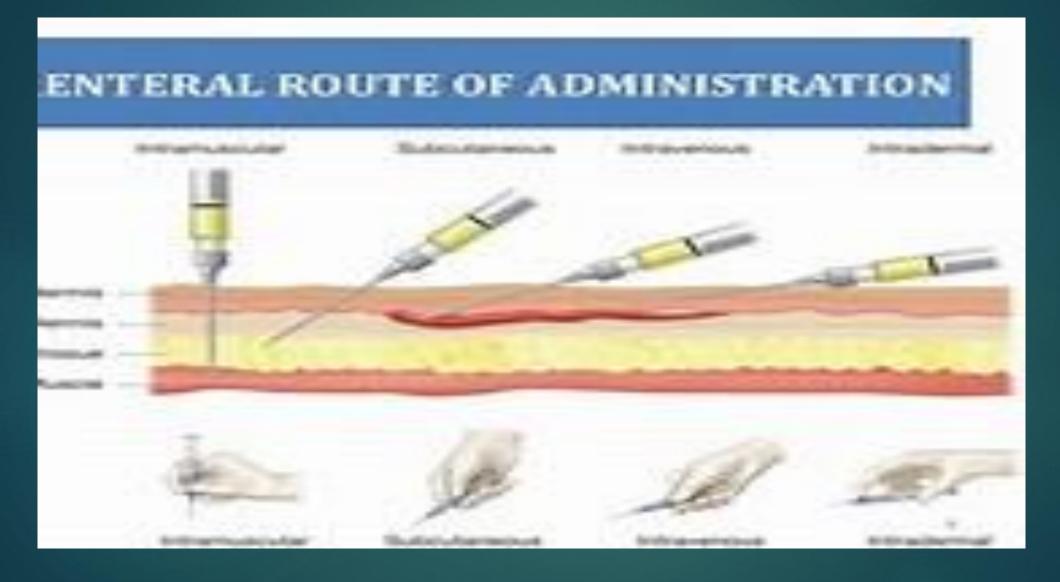
#### Intra-articular route

This involves the administration of the drug into the joint area like in the treatment arthritis

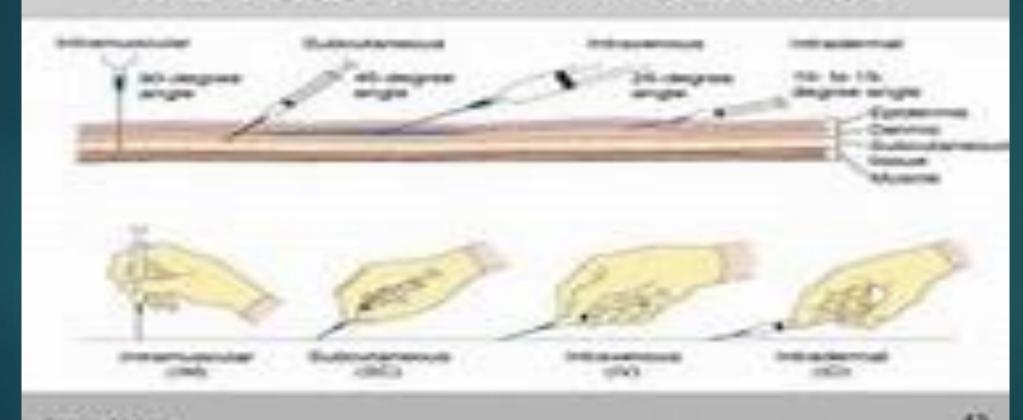
## Intradermal route (ID)

- ► This involves injecting in the dermis, one of the layers of the skin
- While any skin surface can be used, the preferred sites are the upper chest, inner aspect of the forearm and the scapular area of the back
- ▶ This route very useful in vaccine administration
- ▶ Like the skin prick, intradermal route is used for allergy tests

### Parenteral routes



## Parenteral Routes of Administration



## Topical (Local)

- ▶ This involves the application of the drug to the surface of the body to produce a localized effect. It is often used to treat diseases and trauma of the following organs;
- a) Skin (Lotions, liniments, creams, ointments, gels)
- b) Eyes (Eye drops, eye ointment)
- c) Ears (Ear drops)
- d) Vagina (Pessaries, gels)
- e) Throat (Lozenges, mouth paints, gaggles and mouthwashes)
- f) Mouth (Mouth washes, oral gels, drops)

#### Transdermal route

► This involves the administration of the drug on the skin using a patch or less commonly using an ointment

► The trans dermally administered drugs are meant to be absorbed into circulation

Example of drugs administered through the transdermal route are Fentanyl patch, nitroglycerine ointment

## Transdermal patch



#### Inhalational route

► This route is used to produce either the localized or systemic effect,

An example of the local effect is the effect in the respiratory tract from the drugs used in treatment of asthma like salbutamol inhaler or nebules

▶ While systemic effect is observed when a general anaesthetic such as sevoflurane or halothane are inhaled

## Insufflation(intranasal) route

► This involves the inhaling or blowing of medicated powder into the lung cavity and or other body cavities

▶ This has proved useful for the treatment of sinus medications

NB: drug abuser use this route to sniff drugs like cocaine

#### DRUG DELIVERY SYSTEM

"A combination of the route of administration and the drug dosage form is an aspect of drug delivery system"

#### NOMENCLATURE OF DRUGS

- Drugs are commonly identified using three names i.e.
- a) Chemical name
- b) Non proprietary name (Generic)
- c) Proprietary name (Trade or brand name)

#### **Chemical name**

► This specifies the chemical structure of the drug and thus uses standard nomenclature

- Acetylsalicylic acid is the chemical name of aspirin
- While this example is short and easy to pronounce, others may be long and hard to pronounce because of the complexity of the molecule
- Generally, chemical names are mostly used by medicinal chemists

## Generic name (Nonproprietary)

- This has a designation derived from the chemical name
- and somewhat some indication to which class the particular drugs belong e.g. amoxicillin can easily be identified as a penicillin
- Drug nomenclature committees have the responsibility of coming up with the generic names which can vary depending on the language.
- Generic names are the recommended and most suitable for use among health workers
- Notable international nomenclature committees are the United states adopted name (USAN), British Approved Name (BAN) and the International Nonproprietary Name (INN)

## Proprietary name (Trade or Brand names)

This name is used for a registered trade mark belonging to a specific company to which it is directly associated

Many drugs are marketed under different brand names especially after the loss of exclusivity by the drug originator or manufacturer

Examples of this is brand names are disprin, Panadol, coartem etc

# THE END