

Introduction of Pharmacology -

wed → 9:40 - 10:30
mon - chem-II

Pharmacology →

study of

pharmacology is a science which deals with study of drugs. It includes a study of the history, properties, physiological effect, mechanism of action, absorption, distribution, Metabolism, excretion, & uses of drug.

derived from
Greek word
pharmakon
(drug/poison)

Drug - A drug is defined as any substance which is used to cure, diagnose or prevent a disease.

Pharmacology (scope)

- ① pharmacodynamics - deals with biochemical & physiological effects of drugs, Mechanism of action (what the drug does to the body)
- ② pharmacokinetics → deals with absorption, distribution, Metabolism & excretion of drugs. (what the body does to the drug)
- ③ Therapeutics → concerned with use of a drug for curing diseases & relieving their symptoms.
- ④ Clinical pharmacology :- study of drug in man. efficacy & safety of a drug is studied in patients & healthy volunteers.
- ⑤ chemotherapy → (treatment of cancer), deals with effect of drug on micro-organisms & parasites in living organisms.
- ⑥ Toxicology - deal with poisonous effects of drugs, detection & its treatment.

SCOPE OF PHARMACOLOGY :-

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Endocrine - action of drugs (Either hormones or hormones derivative)

Neuropharmacology - Functional nervous system, Including Brain, Spinal cord and

Veterinary pharmacology - use of drug for disease and health problem unique to animals

ROUTE OF ADMINISTRATION OF DRUGS :-

The route of administration of a drug is determined by its physical and chemical properties, site of action, time course of the response

→ They may be taken by mouth (Orally), given by injection into vein (Intravenously), into a muscle (Intramuscularly), beneath the skin (Subcutaneously), placed under the tongue (Sublingually), inserted in the rectum (Rectally) or vagina (Vaginally), instilled in the eye (Ocular route), sprayed into nose (Nasally), breathed into the lungs through the mouth (By Inhalation), apply to the skin (Cutaneously) for a local (Topical) or delivered through the skin by a patch (Transdermally)

Classification of routes :-

1.) Oral or Enteral route	
2.) Parenteral route —	Injection Inhalation Transcutaneous Transmucosal Drug delivery system
3.) Local application	

Advantage and disadvantage of route

1) Oral or Enteral route :- Most commonly used route for drug

administration eg- pills & tablets

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③

Advantage:- It is a safe; economically route.

— self medication is possible.

— withdrawal of the drug is possible.

Disadvantage drug action is slow.

→ Drug which are bitter in taste cannot be administered

→ Drug producing nausea and vomiting cannot be administered

→ Not possible in an unconscious patient.

② Parenteral routes:- Routes of administration other than (enteral) route are termed as parenteral.

Advantage:- Absorption is quick & rapid

→ Accurate dose of the drug can be given.

→ useful in emergency.

→ useful in case of an unconscious patient

Disadvantage:-

→ Pain may be produced by injection.

→ Abscess and inflammation at the site of injection.

→ sterile procedure are required for injection.

→ It is an expensive route.

① Injection:- 1) Intradermal (layer of skin) eg- B.C.G, vaccine etc.

2) Subcutaneous (non-irritant) → effect prolonged, absorption

3) Intramuscular (deep into muscle)

4) Intravenous :- (direct into vein)

5) Intra arterial :- (drug injected into artery) → Anticancer

6) Bone Marrow:- Bone Marrow "injection" is very similar

to Intravenous injection. Route is

useful when vein are not available due

circulatory collapse or thrombosis. In adult chosen sternum, in

children tibia or femur is chosen for injection. x

⑥ Inhalation :- gases, volatile liquids, aerosols or vapour

Advantage - immediately absorptⁿ of drug

→ Localisatⁿ effect in disease of respiratory tract

Disadvantage -

→ poor ability to regulate dose.

→ Local irritation of the respiratory tract may

↑ its secretions

⑦ Transcutaneous route :

→ Iontophoresis (drug deep into skin by means of galvanic current, eg:- salicylates.

→ Inunction → rubbing drug on skin. eg - nitroglycerine

→ Jet injection → does not require syringe so it is painless. gun like intradermic

→ Adhesive unit → trans drug delivery, delivers drug slowly.

eg - scopolamine

⑧ Transmucosal route

Sublingual - Tablet put under tongue eg - nitroglycerine & isoprenaline. Advantage - drug direct into circulation, rapid action.

Transnasal → nasal spray, in the form of powder eg → posterior pituitary powder

Transrectal route - drug absorb through Rectum eg - diazepam, aminophylline

Advantage - gastric irritation is avoided, used in old

⑨ Special Drug delivery system :

Occusert - ~~plac~~ directly under eye eg - Pilocarpine

progestasert - intrauterine Contraceptive (Copper) control release of progesterone within for 1 yr

Prodrug → Inactive drug which

Metabolised into an active drug eg:- Id

Local Application :-

Drug in the form of powder, paste, lotion, drops and ointment. Drug can be applied

on mucous membrane of nose, vagina, urethra & rectum

Some preparation meant for local application -

(i) bougie for urethra

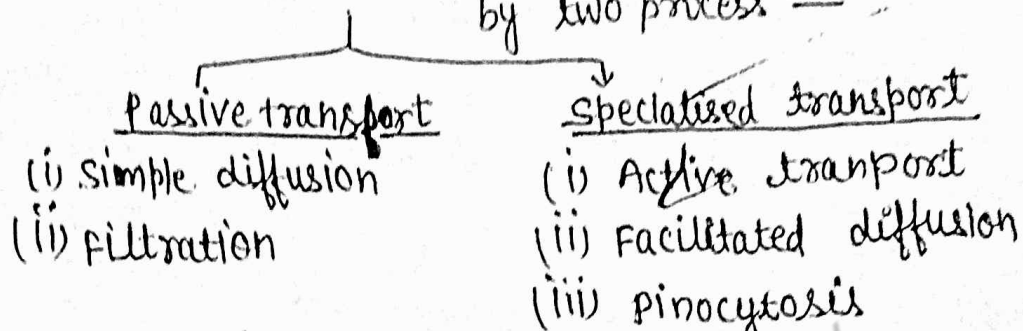
(ii) pessary for vagina

(iii) suppository for vagina & rectum

(iv) enemata for rectum.

ABSORPTION OF Drug :- Absorption is the process of converting ⁽³⁾ Food into chemical substance ~~by prolonged heat and moisture~~ that can be absorbed into the blood and utilized by the body tissue.

Process of absorption of drug :- Drug can pass through cell membrane by two process —



(i) Simple diffusion :- It is a Bidirectional process. Polar water-soluble & non-polar lipid-soluble substances can be transported by this process.

(ii) Filtration :- Only water-soluble substances can be transported by this process. It involves passage through pores present in the cell membrane.

(iii) Active transport :- It is a selective process which requires energy. also it requires a carrier and so it is called as carrier transport.

(iv) Facilitated diffusion :- It is very similar to carrier transport but it does not require energy.

(v) Pinocytosis :- proteins and macromolecules are transported by this process. It is similar to phagocytosis where cell engulf fluids or macromolecules from the surrounding.

Factors affecting drug absorption :-

(i) Physical state :- Drugs in the form of liquid are well absorbed than solids. Gases are quickly absorbed through lungs.

2) Particle Size:- Smaller the particle size, better is the absorption of drug. If the particle size is large, the drug is slowly absorbed and the action is delayed.

3) Solubility:- an easily soluble drug is quickly absorbed.

4) Concentration:- Concentrated forms of drug are quickly absorbed than dilute solution.

5) Area of Absorbing Surface:- Greater the area of absorbing surface quicker is the absorption of a drug.

For example; lungs & peritoneal cavity are large surface areas from where drug can be quickly absorbed.

6) Circulation of site of absorption:- Increased blood flow to the area of absorption can increase the absorption of a drug. Vasoconstrictors decrease blood flow and so decrease the absorption of a drug.

7) Route of administration:- This is a very important factor which determines drug absorption.

Some drugs are absorbed only on parenteral administration. They fail to get absorbed on oral administration e.g. Insulin.

METABOLISM OF DRUGS:- Drug metabolism is the chemical alteration of drug by the body.

Some drugs, called prodrugs, are administered in an inactive form, which is metabolized into an active form.

"The chemical process in plants or animals that change food into energy and help them grow."

Our bodies need this energy to do everything from moving to think to growing. The enzymes involved in metabolism are present in many tissues but generally are more concentrated in liver.

DISTRIBUTION AND EXCRETION OF DRUGS:-

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DISTRIBUTION OF DRUGS:-

After a drug is absorbed, it is distributed to various body tissues and fluids. Drug distribution refers to the movement of a drug to and from the blood & various tissues of the body (for example, fat, muscle, and brain tissue).

Drugs which easily pass through cell membrane achieve wide distribution. Drugs which do not pass through cell membrane are limited in their distribution.

EXCRETION OF DRUGS:-

Excretion of a drug decreases its duration of action. This in turn decreases the toxicity. Drug excretion is the removal of drug from the body, either as a metabolite or unchanged drug.

There are many different routes of excretion, including - urine, bile, sweat, saliva, tears, milk and stool. By far the most important excretory organs are the kidney and liver.

GENERAL MECHANISM OF DRUGS ACTION:-

In medicine, a term used to describe how a drug or other substance produces an effect in the body. For example - a drug mechanism of action could be how it affects a specific target in a cell, such as an enzyme, or a cell function, such as cell growth.

~~The following factors which are responsible for~~
"A drug may produce its effect by a number of mechanisms like combining with enzymes, cell membrane or other components of a cell."

Site of drug Action:-

Drug may produce localized effects on certain cell, tissues, organ etc

2) Drug may act :-

- (i) Extracellularly (eg - osmotic diuretics)
- (ii) On the cell surface (eg - penicillin)
- (iii) Intracellularly (eg - anticancer drug)

3) Drugs can produce their effect by physical or chemical property

4) Drugs can act by modifying enzyme activities.

FACTOR MODIFYING DRUG EFFECTS :- The response to a drug varies from one individual to the other.

The following are the factors responsible for drug effect -

① Age → children are hyper reactive to certain drugs, so a lesser dose must be given for children than for adults, the dose for children can be calculated by

$$\text{Young's Formula} = \frac{\text{Age}}{\text{Age} + 12} \times \text{Adult dose}$$

$$\text{Dilling's Formula} = \frac{\text{Age}}{20} \times \text{Adult dose}$$

② Body weight :- Body weight has a definite influence on the "conc" of the drug at the site of action.

③ Sex :- Women are more susceptible to the effect of certain drug eg - Morphine produces more excitation in women than in men.

④ Route of Administration :- The rate of absorption of a drug differs with the route of administration.

dose also varies with the route of administration eg iv dose of a drug is less than subcutaneous dose.

⑤ Time of Administration :- This factor has a definite effect on drug absorption and hence on its effect for eg - ~~the~~ drug which produces nausea and vomiting should

be taken after food.

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④ Genetic factor :- pharmacogenetics is a science which deals with genetic variations in drug responses.

PHARMACOLOGICAL CLASSIFICATION OF DRUG.

Crude drugs are grouped according to pharmacological action of their chief active chemical constituent or their therapeutic use.

Ex - Expectorant - (remove cough) → vasaaka, licorice
Purgative & laxative (stool soft, Bowel movement Increase → senna, castor oil) used for the treatment of constipation.

Emetics → Ipeca

Carminative :- (remove gas) - Cardamom, Fennel, coriander

CNS stimulants :- (coffee, tea)

Central Analgesic :- (Pain relief)

Antihypertensive :- (For treatment of hypertension) eg - Rauwolfia (serpenti)

Anticancer :- (used in the treatment of cancer) - eg - vinca, podophyllus

Antimalarial :- (treatment of Malaria) eg - Cinchona, Artemisia

Cardiotonic :- (for cardiac use) → digitalis

Merits of pharmacological drugs :- Suggest substitutes of drug

Demerits of pharmacological :- cause ~~Am~~ Ambiguity & Anticonfusion

eg:- ~~cin~~ Cinchona etc.

DRUG ACTING ON THE CENTRAL NERVOUS SYSTEM :-

→ These drug which stimulate CNS.

Classification { Respiratory stimulant eg - Dexopram, Neketinamide
Psychomotor stimulant (cocaine, caffeine, chocolate)
Convulsant (excess stimulant of nerve impulses) eg - Lept

(I) Respiratory stimulant $\rightarrow$ Analeptics

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① Act on Brainstem and spinal cord.

② $\uparrow$ ~~res~~ activity of = medullary, respiratory & vasomotor centre.

Adverse effect :- cough, Nausea, vomiting, restlessness, hypertension

Tachycardia ~~an~~.

(II) psychomotor stimulant

Methylxanthine $\rightarrow$ caffeine - coffee, tea, coca
Theophylline $\rightarrow$ Tea

CNS action $\rightarrow$ $\uparrow$ ~~res~~ mental alertness ~~an~~ ~~coca~~

$\rightarrow$ $\downarrow$ fatigue.

$\rightarrow$ $\uparrow$ sense of well being

$\rightarrow$ $\uparrow$ motor function

$\rightarrow$ $\uparrow$ cardiac output $\rightarrow$

$\rightarrow$ if high dose $\rightarrow$ cause Insomnia

$\rightarrow$ $\uparrow$ ~~res~~ high rate = $\uparrow$ cardiac output

General Anaesthetics :- General anaesthesia is a state of controlled unconsciousness. During

general anaesthetic, medicines are used to send you to sleep.

They divided into four stage :

Stage 1 :- Induction. The earliest stage lasts from when you first take the medication until you

to sleep.

Stage 2: Excitement or delirium

Stage-3: Surgical Anaesthesia

Stage-4: Overdose

Classification of General Anaesthetics :-

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General Anaesthetics

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Inhalation Anaesthetics

Intravenous Anaesthetics
Produced within 20 seconds on
Intravenous.

Volatile liquid

Gases

eg- Ether, Halothane,
Enflurane, Isoflurane,
Desflurane,
Sevoflurane

eg Nitrous
oxide

Non-volatile Anaesthetics
eg Thiopentone, Propofol,
Etomidate, Benzodiazepine,
Ketamine

Adjunction to Anaesthesia :- Anaesthetic adjuncts are used to augment specific components of anaesthesia, permitting lower doses of general anaesthetics with fewer side effects.

commonly used as an adjunct to general anaesthesia :-

a commonly used antihypertensive agent but clonidine is used as an adjunct for epidural and peripheral nerve block anaesthesia and analgesia.

Intravenous Anaesthetics :- (Non-volatile general anaesthetics)

These are quickly acting drugs. Anaesthesia is produced within 20 sec on Intravenous administration.

The Intravenous anaesthetics are Thiopentone, Propofol, etomidate, midazolam and Ketamine.

The word Intravenous simply means "within a vein"; But is most commonly used to refer to IV therapy. The Intravenous route is one of the fastest way to deliver fluids and medications throughout the body.

Analgesic Antipyretics :- known

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ANALGESIC :- Also known as painkiller. These drug which are used in the treatment of pain. Analgesic drugs act in various way on the peripheral and central Nervous system. They include paracetamol (acetaminophen), the non steroidal anti-inflammatory drugs (NSAIDs) such as the salicylates, Narcotic drugs such as morphine, synthetic drugs with narcotic properties such as tramadol, and various others.

Antipyretic Drug :- used to prevent or reduce fever.

(ज्वरनाशक)

Antipyretic medicine, बुखार उतारने अर्थात् fever

में शरीर का तापमान कम करने का कार्य करती है। इन औषधियों से बहुत अधिक पसीना आता है जिससे शरीर को ठंडक पहुंचती है तथा बुखार कम हो जाता है। अधिकतर ज्वरनाशी औषधियाँ में Analgesic प्रभाव भी होता है इसलिए Analgesic तथा Antipyretic औषधि का अर्थ साथ-साथ किया जाता है। eg - Aspirin, Paracetamol; Analgin
Antipyretic drugs हैं।

Non Steroidal drugs :- ऐसी औषधियाँ जो सूजन तथा इसके कारण होने वाले दर्द को कम करती हैं उन्हें सूजननाशक औषधियाँ कहते हैं।

Redness, swelling, heat, तथा Pain आदि सूजन के क्लिनिकल लक्षण हैं।

Classification :-

① Salicylates and their congeners → Methyl salicylate, Sodium Salicylate, acetylsalicylic acid (aspirin), Diflunisal, Salsalate.

are- Aminophenol derivatives :- phenacetin, paracetamol. (18)

- ③ pyrazolon derivatives :- phenylbutazone, Oxyphenbutazone, Azapropazone, Feprazone Aminophylline, Antipyrine, Analgin etc.
- ④ Propionic acid :- Ibuprofen, fenoprofen, Indobufen, ketoprofen, Naproxen, Tiaprofenic acid
- ⑤ cyclic or indole acetic acid :- Indomethacin, Tolmetin, Solindac
- ⑥ Phenylacetic acid derivative :- Diclofenac, Aclofenac, fenclorfen
- ⑦ Oxicam :- Piroxicam
- ⑧ Fenamates → Flufenamic acid, Mefenamic acid, Enfenamic acid.
- ⑨ Others :- Nimesulide, flusulide, celecoxib

Anti-inflammatory drugs

Anti-inflammatory drugs are those drugs which are used in the treatment of inflammation or Allergic condition are also known as anti-inflammatory drugs. eg:- ibuprofen, naproxen, diclofenac, celecoxib, Mefenamic acid, etoricoxib, Indomethacin, high dose aspirin.

“ऐसी दवा या औषधियाँ जिनका उपयोग Allergic condition या Inflammation के उपचार के लिए किया जाता है Anti-inflammatory drugs कहलाती हैं।”

Note :- Anti-inflammatory and non-steroidal drugs are same.

Narcotic analgesic

दर्द निवारक ~~सक~~ एवं ज्वरनाशी औषधियाँ वह हैं जो किसी दर्द को कम या समाप्त करने का कार्य करती हैं इनसे वास्तव में pain समाप्त नहीं होता लेकिन महसूस नहीं होता है। मादक दर्दहारी या (Narcotic analgesic) कहें

“Those medicine which causes relief in pain are called a analgesic medicine. By those medicine “the pain is no remove”

but it is not felt."

Morphine, Butophenol, Pentazocine, Methadone etc are analgesic drug.

Classification of Narcotic analgesics (दर्द भटक-दर्दनिवारक औषधियों का वर्गीकरण) :- इन्हें दो वर्गों में वर्गीकृत किया जा सकता है।

(1) ओपिओइड पीड़ाहारी (Opioid Analgesics) :- ये दवाएँ विभिन्न प्रकार के दर्दों में सहायक हैं, इनका प्रयोग

Injection द्वारा किया जाता है।

Eg :- Morphine, Papaveretum, Dimorphine hydrochloride, codeine, pethidine hydrochloride, Methadone, hydrochloride.

(2) नॉन ओपिओइड पीड़ाहारी (Non-Opioid analgesics) :- Non-Opioid analgesics में

antipyretic and anti-inflammatory दोनों properties होती हैं; इन्हें मुँह द्वारा दिया जाता है।

Antirheumatic drugs

Antirheumatic drugs are used in the treatment of rheumatism disease. are also called as antirheumatic drug. Antirheumatics can reduce or prevent joint damage and stiffness (hardness).

"ऐसी दवाएँ या औषधियाँ जिनका उपयोग गठिया रोग में जोड़ों के दर्द या कठोरता में किया जाता है Antirheumatic दवाएँ कहलाती हैं।"

Classification of antirheumatic drugs :-

1.) Non-biological :- Methotrexate, azathioprine, cyclophosphamide, cycloserine, chloroquine, hydroxychloroquine, sulphasalazine, gold salt, D-penicillamine

(2) Biologics -

- (a) TNF- α antagonist $\rightarrow$ Etanercept, Infliximab, Adalimumab, golimumab, certolizumab.
 - (b) IL-1 antagonist $\rightarrow$ anakinra
 - (c) T-cell Modulating agent $\rightarrow$ abatacept
 - (d) B-Lymphocyte depletor $\rightarrow$ Rituximab, Methylprednisolone
- (3) NSAIDs :- Aspirin, Ibuprofen, diclofenac, naproxen, piroxicam, etoricoxib
- (4) Glucocorticoids :- prednisolone, Triamcinolone, Methylprednisolone

Anti-gout remedies

रक्त में यूरिक एसिड बढ़ने के कारण होने वाली बीमारी को gout कहते हैं। इसे 'वातरक्त' के नाम से भी जाना जाता है। इसमें आमतौर पर पैर प्रभावित होते हैं या जोड़ों में दर्द, जोड़ों में सूजन विशेष रूप से अंगूठे में इस बीमारी में जोड़ों के चारों ओर urate के crystals जमा हो जाते हैं।

'When uric acid formation increase in blood', this is called as gout disease. and those medicine or drugs which are used in the treatment of 'gout' are known as anti-gout remedies."

"ऐसी दवा या औषधियाँ जिनका प्रयोग gout या वातरक्त के इलाज में किया जाता है। इन्टीगाउट औषधियाँ कहलाती हैं।"

- (1) For acute gout (affects only one joint)
- (i) NSAID $\rightarrow$ Naproxen, Indomethasone, diclofenac sodium, Piroxicam
 - (ii) colchicine
 - (iii) corticosteroids
- (2) For chronic gout (Hyper uricaemia) $\rightarrow$ (Repeated episode of joint

Sedatives and Hypnotics (16)

Sedative:- ऐसी औषधियां जो calming effect उत्पन्न करती हैं। लेकिन ये दवा या औषधियां नींद उत्पन्न करती हैं। ये अमिद्रा में उपयोग होने वाली दवाओं की तरह कार्य करती हैं। अधिक मात्रा में लेने पर ये दवाएँ हिप्नोटिक्स की तरह कार्य करती हैं।

Hypnotic:- ऐसी दवाएँ या औषधियां जो अमिद्रा में उपयोग की जाती हैं। ये प्राकृतिक नींद उत्पन्न करती हैं। Hypnotics कहलाती हैं।

* सामान्यतः sedative एवं hypnotic drugs अमिद्रा, बेचैनी, चिन्ता तथा मानसिक तनाव दूर करती हैं।

Classification of Sedative and Hypnotics

- (1) Barbiturates (बार्बिटुरेट्स) → इन्हें यूरिया (urea) तथा मैलोनिक अम्ल (Malonic acid) द्वारा प्राप्त किया जाता है।
 - (i) Ultra short acting Barbiturates:- (Action 15 to 30 min)
Thiopentene, Thiobarbitone, Methohexitone.
 - (ii) Short acting barbiturates:- (Action 4 to 8 hours) → Secobarbital, Hexobarbital.
 - (iii) Intermediate acting barbiturates (Action 4 to 8 hours)
Butobarbital, Pentobarbital, Amylobarbitone, cyclobarbitone.
 - (iv) Long acting barbiturates:- (Action 8 hours and more)
Methobarbital, Barbitone, phenobarbital, Mephobarbital.

② Benzodiazepines (बेंजोडाइज़ीपान) :- (केंद्रीय तंत्रिका पर कार्य करती हैं।)

ये औषधियां anxiety, anticonvulsant तथा central muscles relaxation के उपचार में कार्य करती हैं।

- (i) Short acting compounds - Triazolam⁽¹⁷⁾ (action 3-5 hours)
- (ii) Medium acting compounds - (action 6-24 hours) Oxazepam, Alprazolam.
- (iii) Long acting compounds : - (action 24-72 hours) Diazepam, Nitrazepam.

Psychopharmacological agents:-

Psychopharmacology is the scientific study of the effects drugs have on mood, sensation, thinking and behaviour.

साइकोफार्माकोलॉजी के अन्तर्गत यह अध्ययन किया जाता है कि किसी दवा का हमारे मूड, संवेदना, सोच और स्वभाव पर क्या प्रभाव पड़ता है।

Classification of psychopharmacological drugs:-

- 1) Antipsychotics:- इन दवाओं का प्रयोग psychosis के उपचार में किया जाता है इनको major tranquilizer भी कहते हैं।
 eg:- phenothiazines, haloperidol, pimozide and perfluridol, clozapine, Risperidone, olanzapine.
- 2) Anxiolytic Drugs (एन्टी एन्जाइटी औषधियाँ) :- ये औषधियाँ CNS को depress करती हैं।
 तथा anxiety या चिन्ता को दूर करती हैं।
 eg:- Diazepam, Oxazepam, Lorazepam, Alprazolam तथा Buspirone.

Anticonvulsants

मिर्गी के दौरों रोकने के लिए Anticonvulsant drugs का use किया जाता है।

मिर्गी का दौरा कभी भी, किसी भी समय अचानक, शीघ्रता से मस्तिष्क में पार्श्व जाने वाले ग्रे मॉटर के कारण पड़ते हैं। इस रोग में हाथ तथा पैरों की मांसपेशियों में खिंचाव व जबड़ों का तन्निवृत्त होना, व भूँसे से झग आना आदि लक्षण होते हैं, जिसके कारण पूर्ण व आंशिक रूप से

बेहोशी हो जाती है

(16)

Anticonvulsant drugs CNS को depress कर अपना effect उत्पन्न करती हैं;

Classification of anti-convulsant drugs:-

- ① हाइड्रॉक्सीडोन शैलिक (Hydantoin derivatives) :- फेनीटॉइन (phenytoin)
- ② बार्बिटुरेट्स (Barbiturates) :- फीनोबार्बिटोन (phenobarbitone)
- ③ इमिनोस्टिलबेन्स (Iminostilbenes) :- कार्बामाजेपीन (carbamazepine)
- ④ सबसीनीमाइड (succinimides) :- फेनसबसीमाइड (phenoximide), एथोसबसीमाइड (ethosuximide)
- ⑤ ऑक्साजोलीडीनडायोन (oxazolidinedione) :- ट्रैमैथाडायोन (trimethoprim)
- ⑥ बेन्जोडायजेपीन (Benzodiazepines) :- क्लोनाजेपाम (clonazepam)
- ⑦ विविध (Miscellaneous) :- सोडियम वैलप्रोएट (sodium valproate)

Analeptics

शरीर में विभिन्न मानसिक एवं शारीरिक परेशानियों के कारण मनुष्य mentally depression से पीड़ित रहता है। Analeptic drugs central nervous system को (Excite) stimulate करती हैं व Respiratory centre को Excite करती हैं। इनका मुख्य कार्य Blood circulation को बढ़ाना है।

Classification of analeptic drugs:-

- (i) Respiratory stimulants (रेस्पेडोरेट्री स्टिमुलेंट्स) :- निकैथामाइड (Nikethamide), कैरामीन (ceramine)
- (ii) Psychomotor stimulants (साइकोमोटर stimulant) :- सेरेब्रल स्टिमुलेंट्स (cerebral stimulant), मिथाइल जेनथीन (methyl xanthine)

ii) ~~convulsant~~ convulsant stimulant (कनवल्सेन्ट स्टिमुलेन्ट्स) :- पेंटाइलीन (penthyl tetrazol), स्ट्रिकनीन (strychnine), तथा पिक्सीटाक्सिन (picrotoxin)

iv) शरीरान्विक स्टिमुलेन्ट्स (Adrenergic stimulants) :- एम्फीटामीन (Amphetamine)

Centrally acting muscle relaxants

ये औषधियां CNS पर कार्य करती हैं तथा skeletal muscle tone तथा Involuntary muscle movement को कम करती हैं।
ये औषधियां acute muscles spasms orthopedic condition तथा Tetanus के उपचार में प्रयुक्त की जाती हैं।

Classification

Those drug which act on the CNS and produce muscle relaxation without loss of consciousness -

eg → Diazepam, baclofen, Mephenesin and methacarbamol.

Diazepam :- यह spinal injuries तथा Tetanus में अत्यधिक उपयोगी है। इसका use parenteral route तथा orally किया जाता है, इसे analgesic के साथ मिलाकर दिया जाता है।

Baclofen :- यह GABA (gamma amino butyric acid) से उत्पन्न होता है। इससे ~~muscle~~ muscles में weakness नहीं होती। यह Diazepam की तुलना में कम सिडेटिव होता है।

Mephenesin :- यह सबसे पहली औषधि है जो बिना unconsciousness उत्पन्न किये muscle relaxant उत्पन्न करती है। यह Local anaesthetic की तरह work करता है। परन्तु अधिक मात्रा में लेने पर Respiratory depression के कारण death होने की सम्भावना रहती है। इसका प्रयोग orally, Injectable व cream के रूप में किया जाता है।

Anti Parkinsonism drug

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Parkinson disease एक तंत्रिका तंत्र से जुड़ा रोग है। जिसमें शरीर के अंगों में कंपन महसूस होता है। इस बीमारी में ब्रेनस्टेम पूरी तरह से नष्ट हो जाता है। इस condition में हाथ रूढ़ मोड़ में होता है। Parkinson disease के कारण मनुष्य की कार्य करने की गति धीमी होती जाती है।

Parkinson disease के लिए जिन दवाओं या औषधियों का प्रयोग किया जाता है उन्हें Antiparkinsonism drug कहा जाता है।

"Those Medicine which are used in the treatment of Parkinson disease, are called as Antiparkinsonism drugs."

Classification of drugs :-

(A) Drug affecting brain dopaminergic drug system :-

- (i) Dopamine precursor → Levodopa
- (ii) Decarboxylase Inhibitors → Carbidopa, Benzerazide
- (iii) Dopaminergic agonist → Bromocryptine, Ropinirole, Pramipexole
- (iv) MAO-B inhibitor → selegiline
- (v) Dopamine Facilitator → Amantadine

(B) Drugs affecting brain cholinergic system :-

- (i) central anticholinergics → Trihexyphenidyl, Benz tropine, Procyclidine
- (ii) Antihistaminics → promethazine, Orphenadrine

(a) Levodopa (L dopa)

Carbidopa and benzerazide are given with levodopa. They decrease the peripheral decarboxylation of levodopa.

Levodopa act by converted into dopamine. ²¹ (21)

Action - Levodopa Improves all the manifestation of parkinsonism.

Initially there is relief from rigidity and hypokinesia.

later tremor is also relieved.

→ also levodopa inhibits prolactin secretion.

adverse effects:-

Nausea and vomiting, cardiac arrhythmias, alteration of taste sensation.

(b) Bromocriptine :- It is an ergot ^{derivative} and dopaminergic agonist. Improvement in parkinsonism occurs within 30-60 minutes after oral administration. The effects lasts for 6-10 hours. It inhibits prolactin release.

adverse effects:- Insomnia, nasal ~~stiff~~ stuffiness, nausea, vomiting, and cardiac arrhythmias.

(c) Selegiline :- Commonly it is used with levodopa to prolong its action. The clinical benefit of selegiline is short (6 to 24 months)

(d) Amantadine :- It is an antiviral agent effective in parkinsonism. It has some anticholinergic effects. It is less effective than levodopa. Dose - 100mg twice day.

adverse effects:- Insomnia, confusions, hallucination, ankle edema.

(e) Central Anticholinergics :- The central anticholinergic used in parkinsonism are Trihexyphenidyl, Benztropine and Procyclidine.

They are effective in drug induced parkinsonism. They improve tremor but less effective in reducing rigidity and hypokinesia.

Adverse effect :- dry mouth, blurred vision, amnesia, Confusion, Increased Intraocular pressure and Constipation.

Local Anesthetics

Local anaesthetics are the agent which are applied locally, they produce loss of sensation in the desired area.

Classification of drugs

(A) Injectable

a) Low potency :- Procaine, Chlorprocaine

b) Intermediate potency :- Lignocaine, prilocaine

c) High potency :- Tetracaine, Bupivacaine, Ropivacaine, Dibucaine.

(B) Surface anaesthetics :- cocaine, Lignocaine, Tetracaine, Benzocaine, Oxethazine.

Mechanism of Action :- This prevent depolarisation

(1) Rise of action potential declines (2) Impulse conduction slow (3) Nerve conduction fails.

[1] Cocaine :- यह एक प्राकृतिक अल्कलॉयड है, जो कौका पेड़ की पत्तियों से प्राप्त होता है यह CNS को stimulate करता है

यह Topical anaesthetic (Narcotic) है। ENT surgery में cocaine की 0.1 gm amount प्रयोग में लानी चाहिए।

[2] Procaine :- यह कृत्रिम यौगिक है यह para-amino-benzoic acid (PABA) से प्राप्त किया जाता है इसे Novocaine

के नाम से भी जाना जाता है। यह most famous तथा कम toxic

Infiltration anaesthetic होता है। यह Mucous Membrane द्वारा Absorb नहीं होता है यह Blood में easily घुल सकता है (23)

Drug Acting On Autonomic nervous system

The autonomic Nervous system (visceral, vegetative or Involuntary nervous system) is widely distributed throughout the body. It controls tissues (eg: smooth muscles, heart, and glands) which are not under voluntary control. The autonomic nervous system consists of two divisions -

- (i) The sympathetic
- (ii) The parasympathetic

ANS को (आंत, वनस्पतिक या अनैच्छिक तन्त्रिका तन्त्र भी कहते हैं) यह हमारे दिल, फेफड़े, आहारनाल और other internal organs को excited करते हैं। जो इच्छा के अनुसार न होने वाले कार्य हैं, उन कार्यों को करते हैं।

Preganglionic और postganglionic fibres और autonomic ganglia मिलकर Autonomic Nervous system बनाते हैं।

यह कार्यात्मक रूप से परानुकंपी (parasympathetic) व अनुकंपी (sympathetic) में बंटा होता है।

* कुछ संरचना जैसे स्प्रिंजल मेड्युला, धमनियां, मूत्र वाहिनी, गर्भाशय, फैलोपियन नलिका, आदि sympathetic nervous system द्वारा आपूर्ति प्राप्त करती हैं।

* कुछ संरचना जैसे आसनाल, आंशिक ग्रंथि, अग्न्याशय, लैंगरहैंस की द्विपिकाएं और लेक्राइमल ग्रंथि आदि परानुकंपी (parasympathetic nervous system) द्वारा आपूर्ति प्राप्त करती हैं।

effect of ANS :-

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Organ	sympathetic system	parasympathetic system
Heart	हृदय गति बढ़ाता है।	हृदय गति घटाता है।
Blood capillary	Coronary capillary के अलावा all blood capillary को constricted करता है।	Coronary capillary के अलावा all blood capillary को dilate करता है।
Bronchi or Bronchiole	Bronchodilation	Bronchoconstriction
Gastro-Intestinal Tract	गति घटाता है।	गति बढ़ाता है।
Bladder	गति बढ़ाता है।	गति घटाता है।
Urinary Tract	Muscle constriction	Muscle dilation
Pupils Eye	dilate	constrict
Lacrimal gland	Secretion को कम करता है।	Secretion को EXCITE करता है।
Skin erector Muscle	dilation को अधिक Excited करता है।	constriction करता है।

CHOLINERGIC DRUGS

ऐसी दवाएं या औषधियां जो Acetylcholine receptors से मिलकर जैसे प्रभाव उत्पन्न करते हैं जो Parasympathomimetic द्वारा उत्पन्न किये जाते हैं। इसलिये इन्हें parasympathomimetic drugs भी कहा जाता है।

Classification :-

- (1) choline - esters - eg Acetylcholine, carbachol, Methacholine, Bethanechol
- (2) Natural alkaloids - Muscarine, Arecholine, Pilocarpine.
- (3) Anticholinesterases →
 - (a) Natural - Physostigmine
 - (b) Synthetic - neostigmine, Edrophonium, demecarium, abenonium, pyridostigmine, rivastigmine, galantamine.
 - (c) Irreversible - di-isopropyl fluorophosphate (DFP), Carbachol, sarin, tabun, mipafox, parathion, malathion, paraoxon, diazinon, chlorpyrifos.

Acetylcholine :- यह Acetic acid के साथ कोलीन का एस्टर (Ester of choline) है।

Pharmacological Effect (फार्मैकोलॉजिकल प्रभाव) :- Acetylcholine के प्रभाव को 3 parts में divide किया

(1) Muscarinic action :- यह Body पर Muscarinic action उत्पन्न करती है। यह क्रिया Atropine द्वारा Opposite होती

(i) Heart → यह Heart rate को कम करता है तथा Cardiac arrest उत्पन्न करता है।

(ii) Blood vessels :- यह skin, mucous membrane तथा coronary arteries को dilate करता है तथा Blood pressure को कम करता है।

(iii) Secretion :- यह gastric, Intestinal, pancreatic, bronchial lacrimal तथा salivary secretion को बढ़ा देता है

(2) Nicotinic action :- acetyl choline को जब Atropine के साथ Administered किया जाता है तो यह sympathetic ganglia में stimulation ~~create~~ करता है

(3) Anticure action :- यह skeletal muscle में constriction करती है, ज्यादा amount में लेने पर यह skeletal muscle में paralysis उत्पन्न कर देती है।

Acetylcholine को Intravenous injection द्वारा दिया जाना चाहिए
uses of Acetylcholine (therapeutic use) चिकित्सीय उपयोग :-

- (1) Glaucoma (ग्लूकोमा)
- (2) Paralytic ileus (पारालिटिक इलियस)
- (3) Myasthenia gravis
- (4) Atropin poisoning

side effect (विपरीत प्रभाव) :- खांसी, श्वास में परेशानी, हृदय की गति कम, लार स्त्राव, पसीना, मूच्छा आदि।

ANTICHOLINERGIC DRUGS

anticholinergic drugs are those drugs which are used ~~for the~~ as blocking agent of cholinergic effect.

Anticholinergic agents parasympathetic nerve के post-ganglionic nerve ending पर cholinergic agent के effect को Inhibit करते हैं, ऐसी drugs को सै Acetylcholine का muscarinic receptor action block हो जाता है इन औषधियों को parasympathetic blockers या cholinergic blocking agent भी कहते हैं।

Classification :-

- (1) Natural Alkaloids :- Atropine (obtained from Atropa belladonna), Hyoscyamine or Scopolamine (obtained from Scopolia carnialia and Hyoscyamus niger)
- (2) Semisynthetics :- Homatropine, Homatropine methyl nitrate, Homatropine methyl bromide,

Atropine or ethyl nitrate, methscopolamine bromide.

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(3) Synthetics useful for ophthalmic use:- Eucatropine, cyclopentolate, Tropicamide.

ANTICHOLINESTERASE DRUGS

Acetylcholine is inactivated by the enzyme acetylcholinesterase.

Anticholinesterase drugs act by inhibiting the enzyme acetylcholinesterase. Anticholinesterase drug also called as cholinesterase inhibitors.

Anticholinesterase drug are used in the treatment of Alzheimer disease, primary and secondary glaucoma.

एसीटाइलकोलिन एसीटाइलकोलिनेस्टरेज एंजाइम द्वारा Inactivate किया जाता है।

एसीटाइलकोलिनेस्टरेज द्वारा एसीटाइलकोलिनेस्टरेज एंजाइम को रोकती हैं।

Classification of Anticholinesterases:-

- (1) Reversible anticholinesterases — physostigmine, Neostigmine, Benztropium, Pyridostigmine, Edrophonium, Rivastigmine, Donepezil, Ambenonium, Demecarium,
- (2) Irreversible anticholinesterases (Organophosphorus compounds)
:- Dyflos (DFP), Echothiophate, Parathion, Malathion, Diazinon

Mechanism of action:-

Reversible anticholinesterases have a structural similarity to acetylcholine. so they combine with both anionic and esteratic site of acetylcholinesterase. so this enzyme is temporarily inhibited.

Irreversible anticholinesterases combine only with the esteratic site. They produce phosphorylation of the esteratic site. This produces an irreversible inhibition of acetylcholinesterase.

Adrenergic drugs

Adrenergic drugs are those drugs which are used for stimulate nervous system, Muscles relaxant and Allergic reaction ~~are~~ in Body are called Adrenergic drugs.

Adrenergic drugs are also called as Sympathomimetic drugs. These medicine are also used for Increase Blood pressure.

रुद्धीनर्जिक दवा या औषधियाँ जिनका उपयोग तन्त्रिका तन्त्र को उत्तेजित करने के लिए, मांसपेशियों को आराम पहुँचाने में, तथा शरीर में रुद्धीनर्जिक अभिक्रिया हेतु प्रयोग किया जाता है। रुद्धीनर्जिक दवाओं को सिम्पैथोमिमिक औषधियाँ कहा जाता है। ये औषधियाँ रक्त दाब को बढ़ाने में प्रयुक्त होती हैं।

Classification

(I) Catechol amines — Adrenaline, Noradrenaline, Dopamine, Isoprenaline.

(II) Non-catechol amines — Ephedrine, Amphetamine, Methylamphetamine, Mephentermine, phenylephrine

Adrenaline

uses: used as vasoconstrictor, sympathomimetic, Bronchodilator, cardiac stimulants,

→ used as cardiac arrest

→ and used in the treatment of Asthma.

Adrenaline की Toxicity

Adrenaline की अधिक मात्रा लेने पर Intravenously या Subcutaneous dose लेने पर Death (मृत्यु) होने की सम्भावना रहती है। मनुष्य के लिए subcut dose 10mg होती है -

- (1) Tachycardia, Palpitation
- (2) Dyspnoea, and severe head ache
- (3) Severe hypertension and rapid collapse
- (4) Pallor, pupil dilation.

Adrenergic receptor blockers

इन औषधियों का प्रयोग Adrenergic nerve stimulation के प्रभाव को रोकने के लिए किया जाता है। ये औषधियाँ α तथा β -receptors के प्रभाव को कम करती हैं। Ergot Alkaloid, yohimbine alkaloid तथा Benzo dioxanes आदि औषधियाँ α -type के Adrenergic blocking agent हैं। β -adrenergic blocking agent हैं। β -adrenergic blocking agents की structure Isopropyl atenal से मिलती है। इसका main कार्य β -receptor stimulation के द्वारा catecholamines के कार्यों को Block करना होता है।

Sotalol, Propranolol, acebutolol, Atenolol, Metoprolol तथा Labetalol का उपयोग β -adrenergic blocking agent के रूप में किया जाता है।

Propranolol hydrochlorides:-

Uses:- used in the treatment of High B.P.

- used as Adrenergic blockers.
- used in Heart rate and Force of contraction
- used in Bronchial Asthma, Allergic rhinitis and angina pectoris.

Side effects.

Nausea, vomiting, GIT disturbances, Fatigue
Dizziness.

Neurone Blockers

■ Neurone blockers are those agents which block the action of neurones. Neurone blockers are used as calcium channel blockers.

Classification :-

- (1) catecholamines → Adrenaline, Dopamine, Isoprenaline, Noradrenaline
- (2) Non-catecholamines → Ephedrine, Amphetamine, Methamphetamine, Hydroxyamphetamine, Mephentermine, Phenylephrine.

Catecholamines - catecholamines are compounds which contain catechol nucleus (ortho dihydroxy benzene) this group includes:-

- (1) Noradrenaline, the sympathetic neurohumoral transmitter.
- (2) Adrenaline, the hormone of adrenal medulla
- (3) Dopamine, a neurohumoral sympathetic transmitter in central nervous system.
- (4) Isoprenaline, a synthetic compound.

Adrenaline : (Epinephrine) Adrenaline is synthesised in the medulla. The parent compound for the synthesis of adrenaline is phenylalanine and ~~the pathway of synthesis is as~~

Pharmacological action :-

- (i) Heart: Adrenaline acts on beta receptors of heart and produces an increase in
 - (i) Rate of contraction (positive inotropic effect)
 - (ii) Force of contraction (positive chronotropic effect)
 - (iii) Cardiac output

- (2) Blood vessels :- Adrenaline constricts the blood vessels of skin and mucous membranes But it dilates the blood vessels of skeletal muscles.
- (3) Blood pressure :- On intravenous administration, adrenaline produces biphasic effect on blood pressure.
- (4) Eye :- Adrenaline produces mydriasis and reduction in intraocular tension.
- (5) skeletal muscles :- Adrenaline improves neuromuscular transmission. It also relieves fatigue of skeletal muscles.
- (6) Metabolic effect :- Adrenaline increases blood sugar level. It also ↑ free fatty acid level of plasma.

uses :- attack of Stokes - Adams syndrome.

- for resuscitation of failing heart (intracardiac administration).
- In allergic disorders, as a bronchodilator, in bronch asthma.
- To control hemorrhage by producing vasoconstriction.

Ganglion blockers

The term ganglionic blocking agents or ganglioplegic agents indicates the drug which inhibit synaptic transmission in autonomic ganglia.

These are drugs which block transmission in both sympathetic and parasympathetic ganglia.

Classification :-

- (a) Quaternary amines :- Tetraethyl ammonium (TEA), penta-methonium, Hexamethonium, pentolinium, chlorisondamine.

- (b) Secondary amines → Mecamylamine
(c) Tertiary amines → Trimethaphan, pempidine

Pharmacological actions:-

- (1) Blockade of sympathetic ganglia:- decreases the peripheral sympathetic tone. This produces a fall in blood pressure. Fall in blood pressure is more than in the standing posture. Also, there is pooling of blood in veins. This decreases venous return, which in turn decreases cardiac output.
- (2) Blockade of parasympathetic ganglia:- produces mydriasis, cycloplegia, decrease in gastric secretion and intestinal motility. There is also interference in the emptying of bladder. They also decrease sweat secretion.

Absorption, fate and Excretion:-

The quaternary amine ganglion blockers are not absorbed from gastrointestinal tract. But the secondary and tertiary amines are well absorbed orally. Secondary and tertiary amines can cross blood-brain barrier.

All these drugs are excreted almost unchanged by the kidney.

Therapeutic uses:- The ganglion blocking drugs are rarely used as antihypertensive agents. They are used as ~~antihypertensives~~ to control excessive secretion and motility of gastrointestinal tract.

These are drugs which produce relaxation of skeletal muscles by inhibiting the transmission of nerve impulse at neuromuscular junction.

न्यूरोमस्क्युलर जोइंटियां वे जोइंटियां होती हैं जो Neuromuscular junction पर Nerve के संचरण (Transmission) को Inhibit (अवरुद्ध) कर के काल मांसपेशियों की Relaxation उत्पन्न करती हैं।

Classification of Drug :-

(1) competition Agents — D-Tubocurarine, Gallamine, Pancuronium, Alcuronium, vecuronium

(2) Depolarising Agents — succinyl choline

D-Tubocurarine :- It is a quaternary ammonium alkaloid होता है, जो Chondrodendron tomentosum तथा Strychnos lethalis नामक पौधों से प्राप्त होता है। यह gastrointestinal tract द्वारा अवशोषित (Absorbed) नहीं होता है तथा इसे Intramuscular injection द्वारा रोगी को दिया जाता है। यह यकृत (Liver) में उपस्थित तथा Urine द्वारा Excrete होता है।

uses :- इसका उपयोग muscle relaxation उत्पन्न करने के लिए किया जा

→ used in the treatment of myasthenia gravis

→ used in Electro shock therapy

→ used in trauma, in tetanus, and in convulsive state.

*** patients with myasthenia gravis are unpredictably resistant to depolarizing neuromuscular blocking agents (eg - succinylcholine) and unpredictably sensitive to nondepolarizing neuromuscular blocking agents (eg - vecuronium)

Vecuronium, cisatracurium.

Myasthenia gravis is an autoimmune disease of the neuromuscular junction caused by antibodies that attack components of the postsynaptic membrane, Impair neuromuscular transmission, and lead to weakness and fatigue of skeletal muscle.

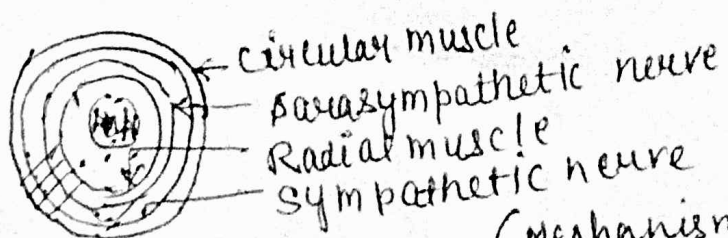
* Myasthenia gravis एक Neuromuscular disorder है। इसमें हमारे शरीर की मांसपेशियों में इस तरह की कमजोरी आ जाती है कि उन्हें हिला-डुला पाना भी मुश्किल होता है। ऐसा तब होता है जब हमारी तंत्रिका कोशिकाओं और muscle के बीच संचार टूट जाता है।

DRUG ACTING ON EYE

(Mydriatics, miotics and Drugs used in Glaucoma)

The Iris :- The diameter of the pupil is controlled by two sets of muscles which supply the iris. They are radial muscles (which are arranged radially) and circular muscles (which are arranged concentrically). They lead to miosis (constrictⁿ of pupil).

The lens :- The lens is attached to suspensory ligaments which connect it to the ciliary body. The ciliary body contains smooth muscles. They are innervated by postganglionic parasympathetic nerves which arise from ciliary ganglion.



→ (Mechanism of miosis and mydriasis)

Mydriasis (Dilatation of the pupil):-

(35)

मिडरियासिस एक रोगी समस्या है; जिसमें आंखों की पुतली फैल जाती है। आंख के स्फेद हिस्से के बीच मौजूद काले भाग को आंखों की पुतली कहते हैं। ये पुतली बाहर से रोशनी लेकर रेटिना को भोजनी है ताकि हम देख पाएं। सामान्य तौर पर, कम रोशनी में आंखों की पुतली फैल जाती है ताकि ज्यादा रोशनी अंदर आ सके। कभी-कभी पुतली सामान्य रोशनी में भी अपने आप फैलने लगती है जिसे मिडरियासिस कहते हैं।

A mydriatic is an agent that induce Induces dilation of the pupil.

1) Sympathomimetic drugs like ephedrine, phenylephrine and also by cocaine. These drugs act by

Contracting the radial muscles of Iris.

2) Anticholinergic drugs (Parasympathetic blockers) like atropine and homatropine. These drugs act by paralyzing the circular muscles of Iris.

Glaucoma :- A group of eye condition that can cause blindness.
Glaucoma is a condition that damages your eye's optic nerve.

1) Beta adrenergic blockers - Timolol, Betaxolol, Levobunolol

2) Miotics - pilocarpine, Physostigmine

3) Alpha adrenergic agonists - Dipivefrine, Apraclonidine
Brimonidine.

4) Carbonic anhydrase inhibitors - Acetazolamide

5) Prostaglandins - Latanoprost

Drugs Acting On Respiratory System

Drugs used in bronchial asthma :- Bronchial asthma is characterised by periodic spasm of bronchial smooth muscles, increased secretion and edema of bronchial mucosa. Because of the spasm, large volume of air remains locked in the alveoli.

Classification of drugs :-

- 1) Bronchodilators
 - a) Sympathomimetics :- Adrenaline, Ephedrine, Isoprenaline or alprenaline, Salbutamol
 - b) Methyl xanthines :- Theophylline
 - c) Anticholinergics :- Atropine, Ipratropium bromide
- 2) Mast cell stabilisers :- Disodium cromoglycate, Ketotifen
- 3) Corticosteroids :- Beclomethasone dipropionate.

Adrenaline :- It is a potent drug which acts by stimulating beta receptors in the bronchial smooth muscle.

Adrenaline should not be given in patient with cardiac asthma, hypertension and hyperthyroidism.

Dose - 0.2 to 0.5 ml by subcutaneous injection.

Ephedrine :- It is a weaker bronchodilator. Also it produces sleeplessness.

Isoprenaline :- It is administered sublingually at a dose of 10 to 20 mg. It can also be administered by Inhalation. The only risk of Isoprenaline is cardiac stimulation.

Osciprenaline :- It has a long duration of action and produces less cardiac stimulation. It can be administered by oral, Parenteral and Inhalation routes.

Salbutamol :- It can be used safely in patients with cardiac diseases. It is administered by mouth, Inhalation and Injection.

Dose - 100 µg by Inhalation, 2-4 mg by mouth, 0.6 mg every 4 hours by S.C or I.M injection.

Ketotifen :- It acts by Inhibiting airway inflammation induced by platelet activating factor (PAF). It also has an antihistamine effect.

Dose - 1 to 2 mg twice daily.

Beclomethasone Dipropionate :- It is a corticosteroid which is effective in chronic asthma. It has a topical action in bronchial asthma.

* Respiratory stimulants :- Respiratory stimulants are those drugs which stimulate respiration process.

* Bronchodilators :- Bronchodilators are those drugs which dilate bronchi. are called as Bronchodilators.

Nasal Decongestants
These drugs produce vasoconstriction and shrinking of nasal mucosa.

Properties of an ideal nasal Decongestant :-

- It should produce prolonged and reliable effect
- It should not produce nasal irritation

- It should not damage nasal di, cilia.
- It should not produce after-congestion.
- It should not produce tachyphylaxis.
- It should be free from side effect.

Uses:- used as nasal decongestants.

- It is used as 0.5-2% solution in normal saline.

Expectorants and Antitussive

Expectorants:- An Expectorant is a medicine you can use when you have a cough that produces mucus; "Those medicine which are used to treat coughs".

Antitussives:- These medicine which are used for the treatment of dry cough caused by allergies, respiratory illness or Infections. These drugs act on the CNS.

Classification of drugs:-

1) Pharyngeal demulcents → Syrups, Linctuses, Lozenges, Liquorices

2) Expectorants (Mucokinetics)

(a) Direct Expectorants → Guaiacal, Guaiphenesin, Balsam of tolu, Vasaka

(b) Reflex expectorants → Ammonium Chloride, Potassium Iodide.

(c) Mucolytics → Acetylcysteine, Carbocysteine, Bromohexine, Ambroxol

3) Antitussives (central cough suppressants)

(39)

(a) Opioids — codeine, pholcodeine

(b) Non-opioids — Noscipine, Dextromethorphan

(c) Antihistamines — chlorpheniramine, Diphenhydramine
Promethazine.

⇒ Pharyngeal demulcents —

They are syrups, linctuses, lozenges, and liquorice.

This effect is produced directly or by increasing the flow of saliva.

⇒ Expectorants (Mucokinetics) :- Expectorants are drugs which increase respiratory tract secretion or liquify them.

Antitussive :- Antitussive are medication that help relieve a cough.

They are typically used to treat a dry cough caused by allergies, respiratory illness, or infections.

These drugs act on the CNS.

Codeine :- It is a narcotic antitussive. It suppresses cough for 6 hours. Analgesic and constipating effect are less.

Dose - 4-8 ml by mouth

pholcodeine - It has no analgesic or addicting property.

Dose - 10-15 mg

Noscipine - It has no narcotic or addicting property. so it is grouped as a non-opioid antitussive.

Dextromethorphan → It has no constipating or addicting properties.

Adverse effects — nausea, headache

Antihistamines :→ Antihistamine like chlorpheniramine, diphenhydramine and promethazine are used in cough. They do not act on cough centre. Also, they do not have an expectorant action. They reduce secretions by anticholinergic effect.

Autocoids

Physiological role of histamine :- Histamine is widely distributed in plant and animal tissues.

→ Histamine is present in various biological fluid, platelets, leucocytes, basophils and mast cells.

→ It is also present in lungs and gastrointestinal mucosa.

Pharmacological action :-

1) Blood vessels — The effect of histamine varies with species. In rat and rabbit, histamine produces vasoconstriction. In humans, it produces vasodilation.

2) Blood pressure :- Histamine produces fall in blood pressure in humans.

3) Triple response — On intradermal injection, histamine produces a 'triple response' which consists of

- (i) Local redness (flush) due to dilation of capillaries and venules.
- (ii) Local arteriolar dilation (flare)
- (iii) Local edema (wheal) due to escape of fluid from the capillaries.

4) Smooth muscles :- Histamine produces contraction of smooth muscles like intestine, bronchio and uterus.

5) Secretions :- Histamine is a powerful agent in inducing gastric secretion rich in acid and pepsin. It has a weak stimulant action on salivary, pancreatic and lacrimal secretions.

H₁ and H₂ Receptors :- Histamine is known to act on two types of receptors H₁ and H₂.

* H₁ receptors mediate the actions of histamine on smooth muscles and other systems except gastric acid secretion.

* H₂ receptors mediate only gastric acid secretion.

Absorption, fate and Excretions :- Histamine is absorbed or subcutaneous and intramuscular

injection. Its absorption is very poor on oral administration.

Adverse reaction :- They are hypotension, flushing, headache, visual disturbances, dyspnoea and diarrhoea.

Preparation and dose :- Histamine acid phosphate 0.5-1mg by subcutaneous injections.

uses - It is used for diagnostic purposes like study of gastric secretion, diagnosis of leprosy and pheochromocytoma.

Antihistamines

Antihistamines are drugs which antagonise the actions of histamine that is liberated in the body.

They are mainly used in the symptomatic relief of allergic disorders.

Classification of Antihistamines

A) First generation →

- 1) Low sedative - Mepyramine, Triprolidine, cyclizine
- 2) Moderately sedative - Pheniramine, Meclizine, Buclizine
- 3) Highly sedative - Diphenhydramine, promethazine, Hydroxyzine.

B) Second Generation → Terfenadine, Astemizole, Cetirizine, Loratidine, Azelastine, Mizolastine

Pharmacological Actions :-

- 1) General effects - All the action of histamine on skin, mucous membrane and plain muscles.

They do not antagonise histamine induced gastric secretion. This is blocked by H_2 receptor antagonists.

(2) Sedation - Antihistamines produce sedation of varying extent. (43)

(3) Autonomic nervous system - Most of the antihistamines exhibit anticholinergic effects.

They produce dryness of mouth.

(4) Local anaesthesia - Most antihistamines possess a local anaesthetic effect.

(5) Suppression of motion sickness - The antihistamines suppress motion sickness

caused by vestibular disturbances.

(6) Depressant effect on heart :- Some antihistamines have a quinidine^{like} effect on the

heart. So they are useful in controlling fibrillation of the heart.

(7) Drying of secretions - Antihistamines produce dryness of mouth.

Absorption Fate and Excretion - Antihistamines are effectively absorbed after oral and parenteral administration. They achieve high concentration in the lungs. They are also distributed in spleen, kidney, brain, liver and muscles. They are metabolised mainly in the liver and to some extent in the lungs.

Adverse Reactions →

→ Gastrointestinal disturbances.

→ Cardiovascular symptoms like hypotension.

and palpitation.

- Dryness of nose, mouth and eyes.
- Sedation and drowsiness
- Allergy.

Therapeutic uses — Relief of allergic disorders like urticaria, hay fever and rhinitis.

- To prevent allergic reaction in blood transfusion.
- In the management of vomiting due to motion sickness.
- In common cold, to inhibit nasal discharge.

Second Generation Antihistamine

- No anticholinergic effects.
- No CNS depressant effects.
- Additional anti-allergic mechanism other than histamine blockers.

Advantage → No sleepiness
→ No potentiation of alcohol or benzodiazepines.

1) Terfenadine — It is highly H_1 selective: It has a rapid onset (1-2 hrs) and moderate duration of action (12-24 hrs). It is useful for short term use.

2) Astemizole :- Action similar to terfenadine. But it has slow onset (2-5 days). It can produce ventricular tachycardia.

3) Cetirizine :- Indications — upper respiratory allergies, pollinosis, urticaria and dermatitis.

x - strophanthin

1) Squill, a liliaceous plant which contains proscillaridin.

The one animal source is Bufo vulgaris, a toad which contains the cardiotonic bufotoxin.

Actions of Digitalis -

1) Cardiac actions:

1) Cardiac contractility: Digitalis increases the force of systolic contraction of the heart muscles. Also it increases the duration of systole.

2) Heart rate: Digitalis reduces heart rate by the following mechanisms.

- i) Ventricles do not respond to weak auricular stimuli.
- ii) Refractory period of ventricular muscle is prolonged.
- iii) Depression of conducting tissue, which reduces the passage of auricular impulses.

3) Vagal and extravagal effects: Small doses of digitalis produce cardiac slowing due to vagal stimulation. ~~In large doses, it~~

4) Conduction system: Digitalis depresses the conduction system directly. This is shown as an increase in P-R interval in electrocardiogram (ECG).

5) Cardiac size: Digitalis decreases the size of both normal and failing heart.

and facilitates the peristaltic reflex. It also produces constriction of the bronchi in most species of animals. (47)

5. Central Nervous System:- 5-HT is present in midbrain.

6. Miscellaneous effects:- They are -

- (i) Facilitation of ganglionic transmission and release of adrenaline from adrenal medulla.
- (ii) An Antidiuretic activity.
- (iii) Decrease in volume, acidity and pepsin content of gastric juice.

Absorption, fate and Excretion:- 5-HT is inactivated on oral administration. It is absorbed on parenteral administration. It is metabolised by the enzyme monoamine oxidase (MAO) and is excreted as 5-hydroxy indole acetic acid (5-HIAA).

CARDIOVASCULAR DRUGS

The term cardiostonic ~~type~~ drugs refers to a group of chemically related drugs which have specific action on the heart. They are used for the treatment of congestive heart failure.

Sources of cardiostonics- The cardiostonics are obtained from a number of plants. They are -

1. Digitalis which has two species, namely *D. lanata* and *D. purpurea*. They contain the cardiostonic digitoxin and gigitoxin.
2. Strophanthus which has two species viz. *S. gratus* containing α -strophanthin and *S. kombe* containing

like bananas, pineapples, tomatoes and plums.

Synthesis:- 5-HT is synthesised from the amino acid tryptophan. The pathway of synthesis is —

Tryptophan

↓ Tryptophan 5-hydroxylase

5-hydroxytryptophan

↓ 5-hydroxytryptophan decarboxylase

5-hydroxytryptamine

Pharmacological actions →

1. Blood vessels :- 5-HT produces constriction of renal, meningeal and pulmonary blood vessels. But blood vessels of skeletal muscle, skin and coronaries are dilated.
2. Heart :- 5-HT acts directly on heart producing an increase in the force and rate of contraction.
3. Blood pressure :- A triphasic response is produced which consists of -
 - (i) A short lasting fall (due to reflex bradycardia)
 - (ii) A rise in blood pressure (due to vasoconstriction).
 - (iii) A sustained fall in blood pressure (due to vasodilation in skeletal muscles)
4. Smooth muscles — 5-HT increases the tone and motility of gastrointestinal tract

4) Levocetirizine → it is effective at half the dose. also side effect are less; (45)

5) Loratadine → It is a long acting. It does not produce CNS depression. Also, it is faster acting than astemizole. It does not produce cardiac arrhythmias. uses - urticaria and atopic dermatitis.

H₂ Receptor antagonists - cimetidine, Ranitidine and Famotidine are the drugs which belong to this group

H₃ Receptor - These are histamine receptors present in the brain.

There are three types of histamine receptors present in the brain namely H₁, H₂ and H₃.

H₁ - receptors act by releasing Intracellular Ca⁺⁺

H₂ - receptors act by releasing Intracellular cyclic AMP

H₃ - receptors are presynaptic auto receptors.

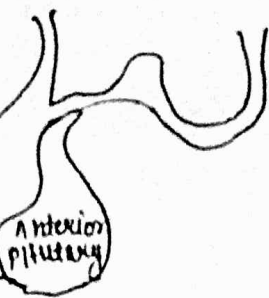
They release of histamine in the Brain.

Betahistine is a partial agonist of H₁ and H₂ receptors, But it is a weak antagonist of H₃ receptors.

SEROTONIN

Occurrence:- 5-hydroxytryptamine (5-HT) also called as serotonin is widely distributed in plants, animal tissues, mast cells and platelets. The highest concentration is present in pineal gland of mammals. Venoms of wasps and bees also contain 5-HT. It is also present in fruits

GHRH antagonists
inhibit binding of
GHRH to pituitary
GHRH receptors



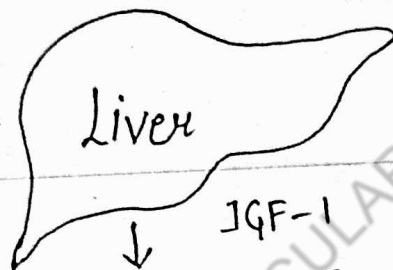
↓ GHRH

↓ GH secretion

Block



Hepatic IGF-I
production →



IGF-I

↓
Ensuing Block



Tumor cell

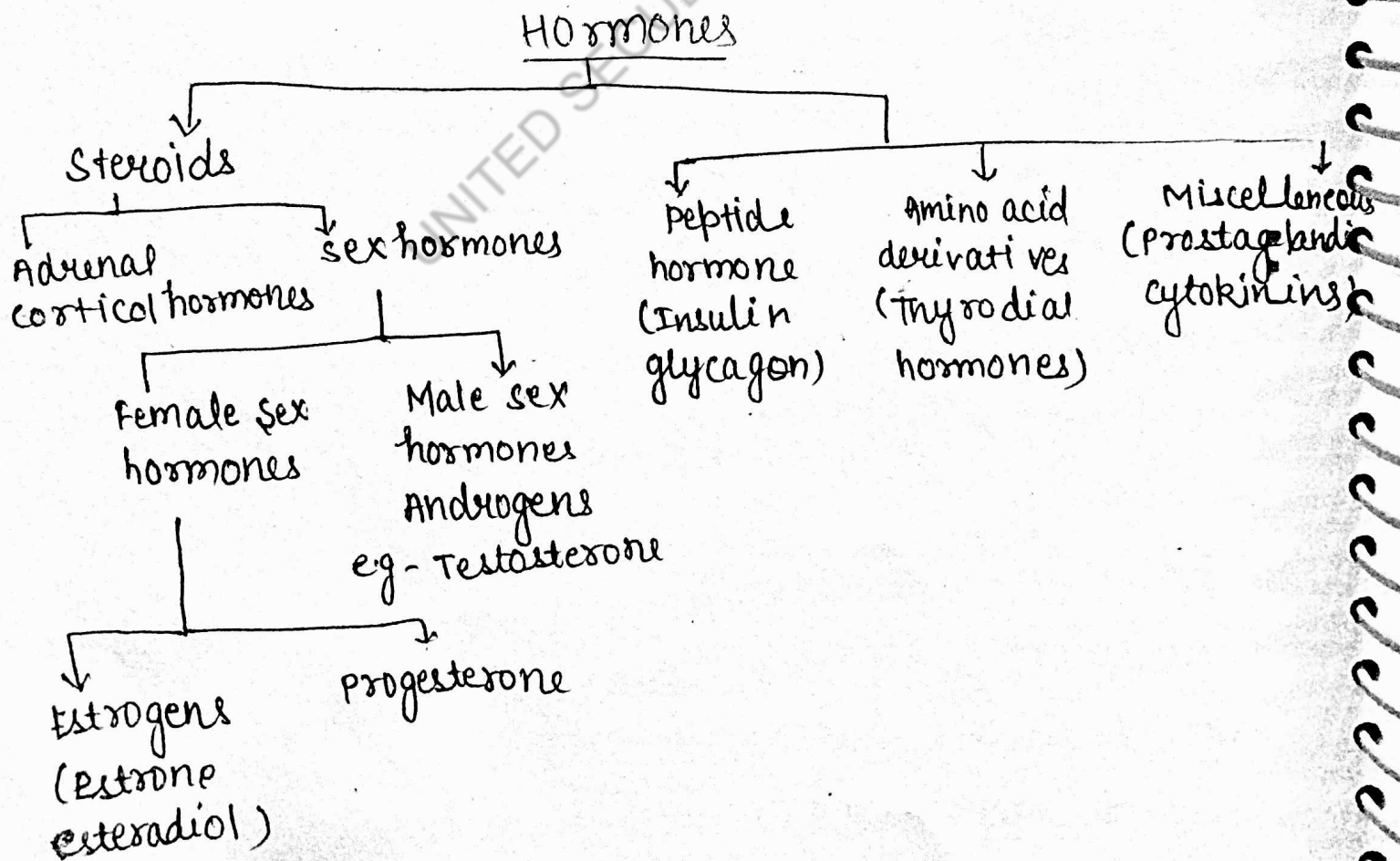
Antagonistic effect :-

A biologic response to exposure to multiple substances that is less than would be expected if the known effects of the individual substances were added together.

Mechanism of Action :-

Gonadotropin hormones antagonists block the action of Gonadotropin hormones at the Gonadotropin hormones receptor in the liver. Gonadotropin hormone is a protein.

Chemical classification of Hormones



Regulation and Release :- Hormones are directly released into venous blood. Their secretions are mostly regulated by the anterior lobe of pituitary which secretes a variety of hormones e.g. - Thyroid stimulating hormone (TSH). This mechanism of mutual regulation existing between two glands is called Feed back system.

Transport and Metabolism :- In blood, the hormones circulate partly bound to plasma proteins and partly in a free form. This free form is inactivated in the liver, the target endocrine glands or in the tissues where they act.

The hormones are excreted through urine mostly in a conjugated form and to a little extent in a free form.

HORMONE ANTAGONIST

An antagonist is a drug that blocks opioids by attaching to the opioid receptors without activating them. Antagonists cause no opioid effect and block full agonist opioids.

A hormone antagonist is a specific type of receptor antagonist which acts upon hormone receptors. Such pharmaceutical drugs are used in antihormone therapy.

Classification of anti-diuretic agents:-

- 1) Antidiuretic hormone :- (ADH, Vasopressin), Desmopressin, Lypressin, Terlipressin
- 2) Thiazide diuretics :- Amiloride.
- 3) Miscellaneous :- Indomethacin, chlorpropamide, Carbamazepine.

Hormones and hormones Antagonists:-

The endocrine system consists of ductless glands.

They synthesise hormones and secrete them directly into circulation without the intervention of a duct.

Hormones :- Hormone is defined as a substance secreted by special cells and transported to a site distant from its origin where it produces its action.

- Hormones act only on particular sensitive tissues.
- ~~The~~ A hormone can act on another endocrine gland or on a tissue.
- The hormones act in trace amounts.

Chemical nature of hormones:-

- 1) Aminoacids and their derivatives e.g - Adrenaline, noradrenaline and thyroxine.
- 2) Steroids e.g - Adrenal cortical and gonadal hormones.
- 3) polypeptides and proteins e.g - anterior pituitary hormones and insulin.

Absorption, Fate and excretion :- The drugs are well absorbed on oral administration. By oral route, the diuretic effect starts within an hour. High concentration is present in the kidney. They cross placental barrier. Elimination is through kidney by tubular secretion.

Adverse reactions :-

- 1.) Hypokalemic hypochloremic alkalosis.
- 2.) Muscle weakness due to fluid loss.
- 3.) Blood dyscrasias like thrombocytopenia.
- 4.) Rarely allergic reactions.

Preparations and dose :-

- Chlorothiazide tablet - 500 to 1000 mg by mouth.
- Hydrochlorothiazide } as tablets - 25 to 200 mg - by mouth.
- Hydroflumethiazide }

Therapeutic uses :- For the treatment of sodium retention and edema.

ANTI-DIURETICS

Antidiuretics are drugs which decrease urine output. The following are some agents, which have specific antidiuretic effect.

" These drugs that are specially used in condition like diabetes insipidus (DI).

- ② Moderately potent diuretics — Thiazides
- ③ Very potent diuretics — Frusemide, Bumetanide
(High ceiling diuretics) — Ethacrynic acid
- ④ Potassium retaining diuretics — Triamterene
— Amiloride
— Spironolactone

(i) Diuretic effect

- ① The drug act directly on the renal tubule. They prevent the reabsorption of sodium and chloride.
- ② These drugs produce a weak inhibition of Carbonic anhydrase.
- ③ Excessive loss of sodium produces hyponatremia. Excessive loss of potassium produces hypokalemia. Excessive loss of chloride produces hypochloremia. So thiazides produce hypokalemic hypochloremic alkalosis.

(ii) Hypotensive effect:-

The drug produce a mild hypotensive effect. This is due to -

- ① an effect on sodium metabolism
- ② A direct effect on blood vessels.

(iii) Metabolic effect:-

- ① on prolonged use, drug produce hyperglycemia and glycosuria. This is due to inhibition of insulin release.
- ② Thiazides decrease the excretion of uric acid. This increases uric acid concentration of plasma.
- ③ In diabetes insipidus, drugs decrease urine volume.

mon, celox, xstat).

Most common hemostatic agents are $AlCl_3$ and $Fe_2(SO_4)_3$ in 15-25 % concentrations and 3-10 min application times.

Drugs affecting renal function

DIURETICS :- Diuretics are drugs which increase the flow of urine. These drugs are mainly used for the relief of edema. Also they are useful for the elimination of toxic products through ~~the~~ urine.

Classification of diuretics:

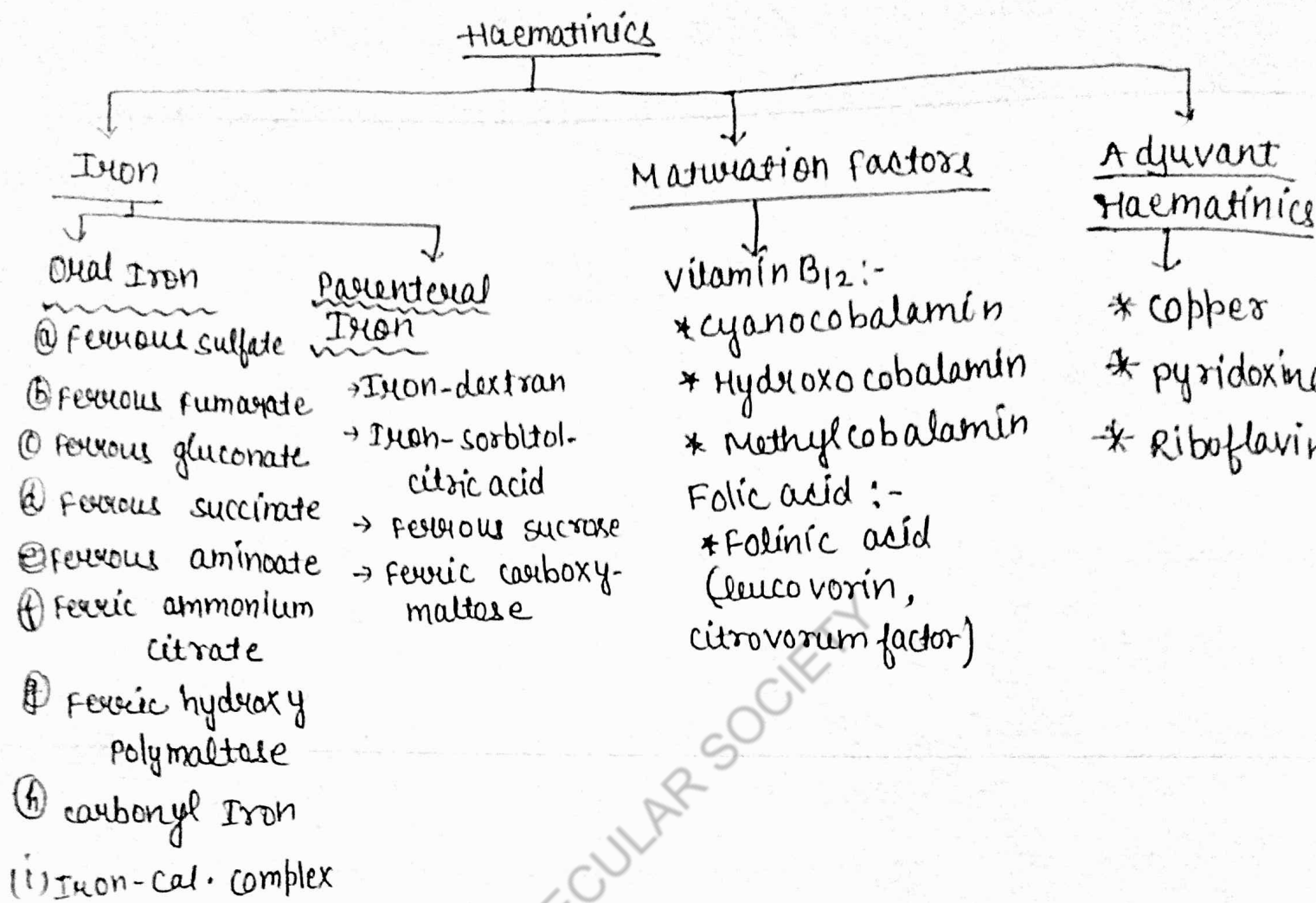
Based on mechanism of action.

- Promoters of glomerular blood flow. - Xanthines
-) Inhibitors of tubular reabsorption - Thiazides
-) Antagonists of Aldosterone - Acetazolamide
Spironolactone
-) Osmotic diuretics — Mannitol, Glycerol
Isosorbide
-) Potassium retaining diuretics — Triamterene
~~And~~ Amiloride
-) Loop of Hanle diuretics — Furosemide
Ethacrynic acid

Based on potency

-) Weak diuretics — Osmotic diuretics
— Xanthine derivatives
— Carbonic anhydrase inhibitors

Classification of Haematinics :-



-: Haemostatic :-

Haemostatic means :- Retarding or stopping the flow of blood within the blood vessels.

retarding or stopping bleeding.

"a drug or agent that retards or stops bleeding"

The primary types of hemostatic agents reviewed in this article are dried plasma, fibrinogen concentrate (FC), Tranexamic acid, dried and synthetic platelets, and topical hemostatic products currently deployed in combat and prehospital settings (Combat Gauze,

Fluid plasma constituent :-

Normal saline -

① यह 0.9% sodium chloride का विलयन होता है।

② इसका प्रयोग vehicle के रूप में vasopressor को administration में :- Non-adrenaline को drip के रूप में प्रयोग किया जाता है।

इसे Blood से आसानी से remove किया जा सकता है इसका Effect कम होता है।

③ Dextrose - यह renal failure में useful है। इसका प्रयोग 5% concentration के विलयन के रूप में infusion के रूप में किया जाता है। pyrogenus की उपस्थिति में febrile reactions produce करती है।

HEMATINICS

Haematic an agent that stimulates the production of red blood cells or increases the amount of haemoglobin in the blood.

Hematinics are substances that are essential to the proper formation of the components of blood.

Examples of hematinics include folic acid, vitamin B₁₂, and Iron. In addition, vitamin D, which helps maintain the health of bones - The reservoirs of new blood cells -

Haematinics are the agents used for formation of blood to treat various types of anaemia's.

Blood Substitute Plasma Expanders

Blood की Amount व volume बनाए रखने वाले पदार्थ को Blood substitutes and plasma expanders कहते हैं।

A Blood substitute (also called artificial blood or blood surrogate). It aims to provide an alternative to blood transfusion, which is transferring blood or blood-based products from one person into another. Plasma expanders are agents that have relatively high molecular weight and boost the plasma volume by increasing the osmotic pressure. They are used to treat patients who have suffered hemorrhage or shock. (eg:- Dextran).

(Blood substituent are shown as below →

(1) colloidal plasma substitutes

(2) Fluid plasma substitutes

(1) कॉलाइडल प्लाज्मा अवयव (colloidal plasma substitutes):-

ये प्लाज्मा के समान अवयव होते हैं। तथा इनका केवल osmotic effect होता है। यह मुख्य रूप से circulating blood volume को maintain करता है। परन्तु यह Nutritive haematological तथा अन्य कार्य को नहीं करता है।

Dextran, polyvinyl pyrrolidone, Gelatin इत्यादि का प्रयोग colloidal plasma substitutes के रूप में किया जाता है।

metabolized in the liver by an enzyme called heparinase.

It is eliminated in urine.

Adverse reactions:-

- 1) Danger of bleeding due to over dosage.
- 2) Allergic and anaphylactic reactions.
- 3) Alopecia prolonged use.

Preparations and dose:- i.v. bolus bolus - 5000 to 10,000 units, every 1-6 hours, subcutaneous

Injection - 25000 units every 12 to 24 hours.

Substitutes of Heparin (Heparinoids):- These are sulfated polysaccharides. Their anticoagulant activity is dependent on the degree of esterification with sulphuric acid.

Advantages:-

- 1) Better Bioavailability
- 2) Longer action
- 3) Low risk of bleeding
- 4) Low risk of osteoporosis.

ARVIN (ANCORD):- It is an enzyme obtained from Malayan pit viper venom. It produces an anticoagulant effect by (1) degrading fibrinogen converting fibrinogen to fibrin. Arvin is used intravenously as an alternative to heparin.

controlled by Vitamin K. Other toxicities are alopecia, urticaria and dermatitis.

(63)

Both in vitro and in vivo anticoagulants (fast acting anticoagulants) :-

HEPARIN :-

Source :- Heparin was first extracted from liver. It is also obtained from lungs and intestinal mucosa.

Chemistry :- Heparin is a mucopolysaccharide.

Pharmacological actions :-

i) anticoagulant action :- Heparin prevents clotting both in vivo and in vitro. It acts

by the following mechanism -

- a) It binds to antithrombin III (heparin co-factor).
- b) It inhibits factor Xa mediated conversion of prothrombin to thrombin.
- c) It also inhibits thrombin (IIa) mediated conversion of fibrinogen to fibrin.

(ii) Lipemia clearing :- Heparin clears the turbidity of lipemic plasma.

Absorption, Fate and Excretion :- It is well absorbed after subcutaneous injection.

On Intravenous injection. It produces immediate anticoagulant effect. Heparin should not be used intramuscularly because it produces hematoma. It is

bleeding. It is prepared from pooled human plasma. It is used in haemophilia and AHG deficiency.

4) Tissue Extract :- It acts by activating platelet aggregation. It can be used to control

internal haemorrhages.

5) Adrenochrome :- It reduces capillary fragility.
eg- Epistaxis, hematuria and retinal hemorrhage.

6) Rutin :- It is plant glycoside. It is used to reduce capillary bleeding. It is used with vitamin C which facilitates its action.

Local haemostatics (styptics) :- These are substances used to stop bleeding

from local site.

1) Thrombin :- It is obtained from bovine plasma. It is applied on bleeding surface as a dry powder or as a freshly prepared solution. It is used in skin grafting.

2) Fibrin :- It is obtained from human plasma. It is used as sheets or foam for covering bleeding surfaces.

(2) Miscellaneous - Fibrinogen, Antihæmophilic globulin (61)
Tissue extract, Adrenochrome, Rutin

1) VITAMIN K :- It is a fat soluble vitamin. Naturally
It occurs in the form of two distinct
substances, vitamin K₁ & K₂, vitamin K₃ is a lipid
soluble synthetic compound. vitamin K₃ is necessary
for the biosynthesis of prothrombin and factor VII,
IX & X. vitamin K is produced by bacterial flora of
human intestine and it is absorbed in presence of
bile salts. It can also be absorbed on parenteral
administration.

uses :-

- Jaundice and chronic diarrhoea.
- For ~~the~~ treating toxicity of oral anticoagulation
and salicylates.

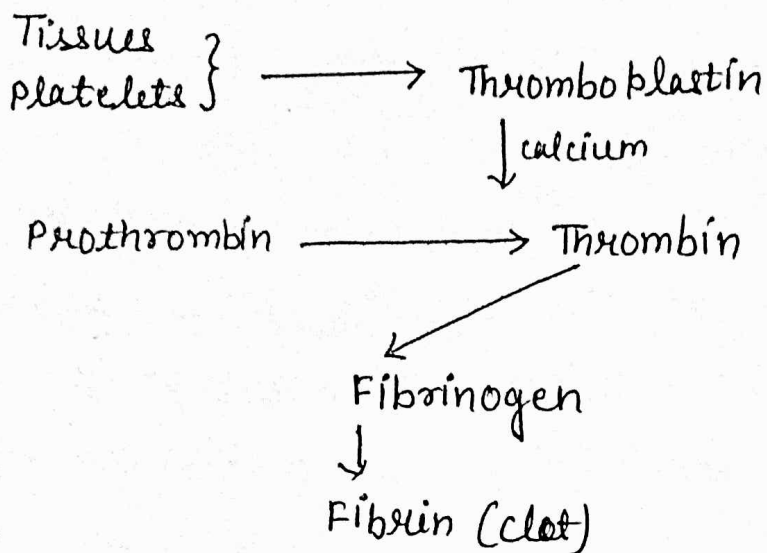
2) Fibrinogen :- It is obtained from human plasma.
It is used to control bleeding in hemophilia
and also in the deficiency of antihæmophilic globulin
(AHG).

Dose :- 0.5g as I.V. infusion.

3) Antihæmophilic Globulin (AHG) :- It is highly
effective in controlling

Drugs Acting On the blood and Blood forming Organs :- (Drugs Affecting coagulation of Blood)

Mechanism of clotting :-



→ Thromboplastin is liberated due to damage of platelets and tissues. In presence of calcium, It converts Prothrombin to Thrombin. Thrombin activates Fibrinogen to fibrin.

→ The fibrin formed enmeshes red blood cells and other cellular elements and forms a clot.

Coagulants :-

→ These are agents which promote coagulation.

→ They are used in haemorrhagic states.

Classification of Coagulants -

1) Vitamin K -

- (i) K₁ (from plants) - phytonadione
- (ii) K₂ (from bacteria) - menaquinone
- (iii) K₃ (synthetic) - Menadione

(5) Antioxidant : → Probucol

(59)

(1) Levostatin : → cholesterol के निर्माण में Hydroxymethyl glutaryl - Co A Enzyme की दर को कम करता है।

यह LDL के प्लाज्मा Level cholesterol तथा Triglycerides के प्लाज्मा Level को कम करता है। Levostatin मुख्यतः Oral Effective है। इसको 20-80mg प्रतिदिन dose लेनी चाहिए।

(2) clofibrate : → यह serum Triglycerides को कम करने में पूरी तरह से Effective होता है। यह Gastrointestinal tract में acid को serum enzymes द्वारा शीघ्रता से जल Hydrolysed करता है। इसे Anotril, Ateoil, Aterular etc नामों से जाना जाता है।

uses :- used as Hypolipidaemic agent

used as Ischaemic heart disease के Prophylaxis

Adverse effect :- GIT disturbances, Renal Failure.

(3) Cholestipol :- यह Diethyl-pentamine तथा epichlorohydrin का co-polymer है। यह hydrophilic होता है परन्तु पानी में अघुलनशील होता है यह Digestive enzymes द्वारा unaffected रहता है तथा GI में Absorbed नहीं होता है।

(4) Niacin :- यह जल में घुलनशील विटामिन होता है तथा वसा के प्रभाव को कम करता है। यह विभिन्न

प्रकार के Hypoproteinemias में उपयोग किया जाता है।

Niacin VLDL clearance को Lipoprotein lipase pathway के माध्यम से बढ़ाता है तथा Triglyceride level को decrease करता है।

Propranolol :-

Trade name → Avlocandyl, Dexalin, Oposum.

Physical properties → यह एक सफ़ेद या क्रीमी सफ़ेद रंग का गंधहीन क्रिस्टलीय चूर्ण है।

रासायनिक गुण → एल्कोहल तथा जल में घुलनशील है।
क्लोरोफॉर्म में अल्प घुलनशील है,
बेंजीन, ईथर तथा एथिल एसीटेट में अघुलनशील है।

उपयोग :- यह Blood pressure में उपयोगी है।

→ Heart के Rate and force of contraction को low करने में use करते हैं।

→ Bronchial Asthma में उपयोगी है।

→ Allergic rhinitis व Angina pectoris में उपयोगी है।

DRUGS USED IN ATHEROSCLEROSIS

HYPOLIPIDAEMIC DRUGS :- ये औषधियाँ रक्त में, वसा के स्तर (level of lipid)

तथा लाइपोप्रोटीन (lipoprotein) के स्तर को कम करती हैं। ये औषधियाँ Cerebral तथा coronary artery की रक्त नलिकाओं (Blood vessels) को Narrow होने से रोकती हैं। इस बीमारी के अन्दर धमनियों में वसा (Fats) रकप्र हो जाती है।

Classification of Atherosclerosis -

(1) HMG Co-A reductase inhibitors → Lovastatin,
Mevastatin, Atorvastatin

(2) Fibric Acids → clofibrate, profibrate, Benzafibrate

(3) Bile acid-binding resins → colestipol, cholestyramine

(4) Miscellaneous agents → Niacin (Nicotinic acid) Neomycin

(2) β -Adrenocaptor Blockers - propranolol

(3) calcium Blocker channels - verapamil, Nifedine

(4) Thrombolytic Agents - urokinase streptokinase

ANTI HYPERTENSIVE DRUGS

असामान्य High blood pressure ventricle hypertension का मुख्य कारण है। सामान्य Blood pressure 80/120 mm Hg होता है 80 को Normal Diastolic pressure कहते हैं 120 mm Hg को Normal systolic pressure कहते हैं। 120 या 130 से अधिक Blood pressure के बढ़ जाने को Hypertension कहते हैं।

ऐसी ऐसी दवाएं या औषधियाँ जिनका प्रयोग High blood pressure को control करने के लिए किया जाता है Anti hypertensive drugs कहा जाता है। Blood pressure को sphygmometer द्वारा नापा जाता है।

Anti hypertensive drug का use hypertension में किया जाता है।

Classification :-

- (1) Beta-Adrenergic blockers : $\rightarrow$ propranolol, Atenol, Metoprolol
- (2) Calcium channel blockers : $\rightarrow$ verapamil, Diltiazem, Amlodipine
- (3) Angiotension conversion enzyme Inhibitors - Lisinopril, Ramapril, Captopril
- (4) Angiotension blocker - valsartan, Losartan
- (5) centrally acting drugs - Methyldopa, clonidine
- (6) Diuretics - Furosemide, Hydrochlorothiazide, chlorthalidone

सर्जरी के समय Hypotension को control करने में

Acute myocardial Infarction में

Hydralazin — यह hydrazine derivatives है यह β -adrenergic receptor पर Agonistic effect होता है

side effect:- Headache, nausea, weakness, palpitation, Flushing & Tachy cardia, यह priapism

(painful erection) उत्पन्न करता है

B) Diazoxide :- रासायनिक रूप में यह chlorothiazide से सम्बन्धित है यह hyper glycaemic effect show करता है व powerful hypotensive effect show करता है

✓ Anti-Anginal Drugs

Angina pectoris हृदय में सम्बन्धित रोग होता है जो कि Oxygen Supply तथा Oxygen demand के मध्य असंतुलन के कारण होता है Heart को Oxygen, Blood के thorough coronary blood vessels द्वारा Supply की जाती है यदि Heart द्वारा Oxygen demand Increase होती तो coronary blood flow Increase हो जाता है

Angina pectoris cardiac muscle के Anoxia से उत्पन्न होने वाला Pain होता है

✓ Classification of Antianginal drugs

i) coronary dilators —

Nitrate ~~drugs~~ — Glycerol Trinitrates

ii) Others — papaverine

PHENYTOIN SODIUM (DIPHENYLHYDANTOIN) : It is an anticonvulsant drug. It is used in ventricular arrhythmia;

PROPRANOLOL : It is a beta adrenergic blocking drug. It depresses automaticity and A-V conduction velocity. It is useful in ventricular arrhythmia.

VERAPAMIL : contraction of the myocardium. It is useful in AV nodal tachycardia and Wolff Parkinson White syndrome.

→ Adverse reactions are hypotension, asystole, vertigo and constipation.

dose :- 10mg thrice daily by oral route.

ANTI-ANGINAL DRUGS AND VASODILATOR

VASODILATORS : vasodilation औषधियों का एक ऐसा समूह है जो vascular system पर कार्य करता है। इसका मुख्य कार्य coronary vessels को dilate करना होता है।

vasodilator के रूप में निम्नलिखित औषधियों का प्रयोग होता है -

(1) Sodium Nitroprusside

(2) Minoxidil

(3) Hydralazine

(4) Diazoxide

ये औषधियाँ धमनी (arteries) तथा शिराओं (veins) को विस्तारित करती हैं।

(1) Sodium Nitroprusside - यह arteriolar तथा venous smooth muscles पर कार्य कर peripheral resistance को कम करता है।

Uses →

Hypertension के Emergency treatment में

- Hypotension on Intravenous administration.
- Mental symptoms like psychosis.
- Cardiac Toxicities similar to Quinidine.

Preparations and dose:-

- 1) Procainamide hydrochloride tablet - 0.25 to 0.5g every 4-6 hours.
- 2) procainamide hydrochloride injection - 0.25 to 0.5 g every 4-6 hours by Intramuscular injections.

Therapeutic uses :- primarily in paroxysmal ventricular or atrial tachycardia, as a substitute to quinidine.

DISOPYRAMIDE - It has a membrane stabilising and anticholinergic effect.

Adverse reactions :- Dry mouth, constipation, urinary retention, mental depression and Impotence.

use - ventricular and supraventricular tachycardia.

Dose - 100 to 150mg. four times daily upto a maximum of 600mg. daily by oral route.

LIGNOCAINE (LIDOCAINE, XYLOCAINE) - It is a local anaesthetic. It is

useful in ventricular arrhythmias.

Adverse reactions are drowsiness, muscle twitching, confusion and convulsion.

3) Hypotension :- This is produced on Intravenous administration. (53)

4) cardiac :- Arrhythmias like ventricular extrasystoles, ventricular tachycardia and ventricular fibrillation.

Preparations and dose :-

1. Quinidine Sulphate tablets and capsules 200 to 400 mg every 6 hours.
2. Quinidine gluconate injection 200 mg by Intramuscular or slow Intravenous injection.

Therapeutic uses :- cardiac arrhythmias like paroxysmal ventricular tachycardia and atrial fibrillation.

→ Procainamide :- procaine, a local anaesthetic has been shown to suppress ventricular arrhythmias.

Pharmacological actions :- The antiarrhythmic effect is exactly similar to that of quinidine.

Absorption, fate and Excretion :- It is rapidly and completely.

→ Absorbed after oral administration and intramuscular injection.

→ Binding with plasma proteins is less.

→ It is eliminated in urine;

Adverse reactions :- Gastrointestinal symptoms like Nausea, vomiting and diarrhoea.

impulses from the sinoauricular node or pacemaker.

Excitability: Quinidine decrease the excitability of the cardiac muscle. so ~~the~~ a weak impulse becomes ineffective.

Refractory period: Quinidine prolongs subpolarisation of the cardiac tissue.

Conduction velocity: Quinidine slows the rate of conduction in the heart muscles.

Cardiac contractility: Cardiac contractility is decreased by decreasing the entry of Calcium into cardiac muscle cells.

Absorption, Fate and Excretion: - Quinidine is slowly but completely absorbed from gastrointestinal tract and also after Intramuscular injection. It is metabolised in the liver by hydroxylation. These metabolites are excreted in urine along with unchanged quinidine.

Adverse reaction: -

Gastrointestinal: Nausea, vomiting and diarrhoea due to local irritant effects

Cerebral: Convulsions due to an effect on central nervous system.

(5)

Antiarrhythmic drugs

Antiarrhythmic drugs are those drugs or medications which prevent and treat abnormal heartbeats (arrhythmia).

- * A drug called atropine may be prescribed if your heart beats too slowly (bradycardia).
- "Antiarrhythmics are used to treat heart rhythm disorders, called arrhythmias."

Classification of drugs -

- 1) Myocardial depressants - quinidine, procainamide, Disopyramide, Lignocaine, phenytoin.
- 2) Sympathetic blockers - propranolol
- 3) Calcium channel blockers - verapamil
- 4) Miscellaneous - potassium, Amiodarone, Aprindine

Quinidine - It is a natural alkaloid occurring in cinchona bark.

Pharmacological actions :-

- * Cardiac effects:- These effects occur due to vagolytic and myocardial depressant actions.

- 1) Depolarisation:- quinidine slows the rate of depolarisation.
- 2) Impulse formation:- quinidine, by a ~~direct~~ direct effect, depresses or slows the production

through the kidney.

Adverse reactions:-

1) Gastrointestinal tract: Digitalis produces nausea, vomiting and diarrhoea both on oral and

parenteral administration.

2) Blood coagulation: Digitalis increases the coagulability of blood

3) ECG changes: They are shortening of Q-T Interval, lengthening of P-R Interval and Inversions

of the T-wave.

4) Vision: Digitalis produces visual defect like blurred vision and colour defects.

5) Neurological symptoms: They are headache, Fatigue, drowsiness and mental symptoms.

Preparations and dose:

1) Digitoxin is available as tablets and Injections.

The initial dose for oral, Intravenous and Intramuscular administration is 1 to 1.5 mg. The maintenance dose is 0.1 — 0.15 mg daily.

2) Digoxin is available as tablets and injections.

The initial oral dose is 1 to 2.5 mg. and for maintenance it is administered at 0.125 to 0.75 mg. daily, the Initial intravenous and Intramuscular dose is 1-2 mg. and the maintenance dose is 0.125-0.75 mg daily.

Therapeutic uses: → ① For the treatment of congestive heart failure.

② To control arrhythmias

(6) Cardiac output : Digitalis decrease cardiac output in (49) normal and failing heart. This increases cardiac output.

(7) venous pressure : Digitalis decreases the increased venous pressure seen in congestive heart failure.

(8) Blood pressure : The effect depends on the initial state of circulation.

(9) coronary circulation : NO effect on coronary flow occurs secondary to improved cardiac output.

b) Extracardiac actions :-

1) Kidney : The first prominent manifestation of the effect of digitalis in edema is diuresis. This is due to

(i) Decrease in venous pressure which shifts the edema fluid into circulation.

(ii) Direct renal action, inhibiting the reabsorption of sodium.

2) Gastrointestinal tract : In toxic doses, digitalis produces nausea, vomiting and diarrhoea.

Absorption, Fate, and Excretion :- Digitalis is absorbed from the intestine.

Subcutaneous or intramuscular injection is unreliable and it may produce local irritation, swelling and abscess. In blood, it is bound to plasma albumin. High concⁿ is found in the heart. It is eliminated very slowly.

Antiseptic and Disinfectant

①-

Antiseptic (रोगाणुरोधक) :- ऐसी द्रव्य या औषधियाँ जिनके द्वारा संक्रमण की संभावना, सैप्टिक या स्त्राव की संभावना को कम किया जाता है इन्हें living organism/tissue पर Apply किया जाता है। ऐंटीसेप्टिक द्वारा केवल Bacteria को growth (वृद्धि) को रोकता जाता है लेकिन बैक्टीरिया को kill (खत्म) करने का काम Antibiotics द्वारा किया जाता है।

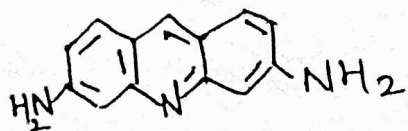
Example :- Ethanol (एथेनॉल), 2-propanol (2-प्रोपेनॉल), Isopropanol (आइसोप्रोपेनॉल)

Disinfectant (रोगाणुनाशी) :- यह एक विशिष्ट केमिकल होते हैं जो जीवित जंतुओं व पौधों में बीमारी फैलने से रोकते हैं यह Bacteria (जीवाणु) की cell wall को नष्ट करता है।

Example :- प्रॉपाइलीन ग्लाइकोल, ट्राईइथाइलीन ग्लाइकोल
(Propylene glycol) (Triethylene glycol)

PROFLAVINE

रासायनिक संरचना (chemical structure) :-



नामकरण :- 3,6 अक्रिडिन डाइसमामिन (acridinediamine); 3,6 डाइसमामिनो अक्रिडिन (diaminoacridine); 2,8 diaminacridine

ब्राह्म नाम :- प्रोफ्लेविन (proflavine), प्रोफोलिओल (profoliol), प्रोफोमिफेन (proformine), प्रोफंडोल (profundol)

अणुसूत्र :- $C_{13}H_{11}N_3$