D.PHARMACY 2ND YEAR

PHARMACEUTICAL CHEMISTRY

Antibiotics

(Classification and uses)

Categories

- **Penicillin and derivatives** eg Benzyl penicillin(Penicillin G), Phenoxy methyl penicillin(Penicillin V), Ampicillin, Amoxicillin, Cloxacillin, Oxacillin
- **Cephalosporins** eg Cephaloglycin, Cephelexin, Cefazolin, Cefaclor, Cefuroxime, Cefadroxil, Ceftriaxone, Cephaloridine.
- **Polypeptide Antibiotics** eg Amphotericin B, Nystatin, Polymyxin B, Actinomycin D
- **Aminoglycoside Antibiotics** eg Gentamycin, Kanamycin, Tobramycin, Amikacin, Tobramycin, Neomycin
- **Tetracyclines Antibiotics** Chlortetracycline
- **Macrolides Antibiotics** Erythromycin, Azithromycin, Clarithromycin
- **Fluoroquinolones Antibiotics** Ciprofloxacin, Ofloxacin, Gatifloxacin, Norfloxacin, Levofloxacin.
- **Steroidal antibiotics** fusidic Acid

AMINOGLYCOSIDES

- Amikacin
- Gentamycin
- Kanamycin
- Neomycin
- Netilimicin
- Tobramycin
- Promomycin
- streptomycin

Common uses

- Infections caused by Gram-negative bacteria, such as *Escherichia coli* and *Klebsiella* particularly *Pseudomonas aeruginosa*. Effective against aerobic bacteria (not obligate/facultative anaerobes) and tularemia. All aminoglycosides are ineffective when taken orally as the stomach will digest the drug before it goes into the bloodstream. However aminoglycosides are effective in Intravenous, intramuscular and topical forms.
- Tuberculosis,
- Gonorrhea

Possible side effects

- Hearing loss
- Vertigo
- Kidney damage
- Stomach upset
- Loss of appetite
- Fever
- Rash
- Neurotoxicity
- Ototoxicity
- Nausea
- Vomitting

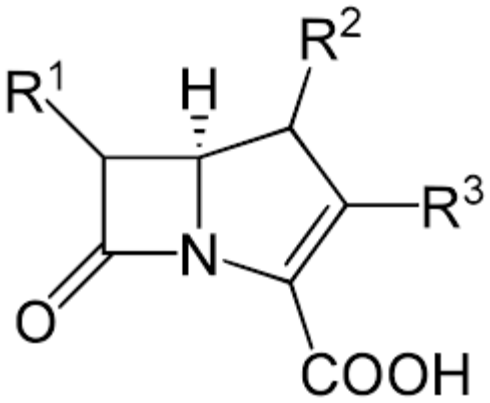
Ansamycins

- Geldanamycin
- Herbimycin
- Rifaximin
- **Uses of Ansamycins:-**

  Experimental, as antitumor antibiotics

  Traveler's diarrhoea caused by *E. Coli* (Rifaximin)

Carbapenems



- Ertapenem
- Doripenem
- Imipenem/Cilastatin
- Meropenem

USES OF CARBAPENEMS

- Bactericidal for both Gram-positive and Gram-negative organisms and therefore useful for empiric broad-spectrum antibacterial coverage. (Notes: MRSA resistance to this class. All are active against Pseudomonas aeruginosa except ertapenem.)
- Bronchitis
- Meningitis
- Septicemia
- UTI infections

SIDE EFFECTS OF CARBAPENEMS

- Gastrointestinal upset and diarrhoea
- Nausea
- Seizures
- Headache
- Rash and allergic reactions
- Injection site inflammation
- Thrombocytosis
- Vomitting
- Increased hepatic enzymes

Cephalosporins (First generation)

- Cefadroxil
- Cefazolin
- Cephradine
- Cephapirin
- Cephalothin
- Cefalexin

✓ Good coverage against Gram-positive infections.

Side effects of cephalosporins

- Gastrointestinal upset and diarrhoea
- Nausea (if alcohol taken concurrently)
- Allergic reactions
- Blood abnormalities
- Diarrhoea
- Stomach discomfort
- Rash
- Fungal infections
- Yeast infections

Cephalosporins (Second generation)

- Cefaclor
- Cefoxitin
- Cefotetan
- Cefamandole
- Cefmetazole
- Cefonicid
- Loracarbef
- Cefprozil
- Cefuroxime

- **Uses**:- Less Gram-positive cover, improved Gram-negative cover
- **Side –effects**:- Gastrointestinal upset and diarrhoea

  Nausea (if alcohol taken concurrently) - if contains methylthiotetrazole side group

  Hypoprothronbinaemia - if contains methylthiotetrazole side group

  Allergic reactions

Cephalosporins (Third generation)

- Cefixime
- Cefdinir
- Cefditoren
- cefoperazone
- Cefotaxime
- Cefpodoxime
- Ceftazidime
- Ceftibuten
- Ceftizoxime
- Moxalactam
- Ceftriaxone

Side effects

- Gastrointestinal upset and diarrhoea
- Nausea (if alcohol taken concurrently)
- Allergic reactions
- Blood abnormalities
- Diarrhoea
- Stomach discomfort
- Rash
- Fungal infections
- Yeast infections

Cephalosporins (Fourth generation)

- Cefepime
- Uses:- Covers pseudomonal infections
- Side- effects:- Gastrointestinal upset and diarrhoea

  Nausea (if alcohol taken concurrently)

  Allergic reactions

Cephalosporins (Fifth generation)

- Ceftaroline fosamil
- Ceftobiprole
- Uses :- Used to treat MRSA (methicillin-resistant *Staphylococcus aureus*), penicillin-resistant *Streptococcus pneumoniae*, *Pseudomonas aeruginosa*, and enterococci

Side effects of 5TH generation cephalosporins

- Gastrointestinal upset and diarrhoea
- Nausea (if alcohol taken concurrently)
- Allergic reactions
- Blood abnormalities
- Diarrhoea
- Stomach discomfort
- Rash
- Fungal infections
- Yeast infections

GLYCOPEPTIDES

- Teicoplanin
- Vancomycin
- Telavancin
- Dalbavancin
- Oritavancin
- **USES**:- Active against aerobic and anaerobic Gram-positive bacteria including MRSA; Vancomycin is used orally for the treatment of *C. difficile* colitis

LINCOSAMIDES(BS)

- Clindamycin
- Lincomycin
- Uses:-

Serious staph-, pneumo-, and streptococcal infections in penicillin-allergic patients, also anaerobic infections; clindamycin topically for acne

- Side-effects:-

Possible *C. difficile*-related pseudomembranous enterocolitis

LIPOPEPTIDE

- Daptomycin
- Uses :-

  Gram-positive organisms, but is inhibited by pulmonary surfactant so less effective against pneumonias

Macrolides(Bs)

- Azithromycin
- Erythromycin
- Clarithromycin
- Roxithromycin
- Telithromycin
- Spiramycin

Uses of macrolides

- Streptococcal infections, syphilis, upper respiratory tract infections, lower respiratory tract infections, mycoplasmal infections, Lyme disease
- SIDE EFFECTS:- Nausea, vomiting, and diarrhea (especially at higher doses)

Prolonged cardiac QT interval (especially erythromycin)

Hearing loss (especially at higher doses)

Nausea, vomiting, and diarrhea (especially at higher doses)

Prolonged cardiac QT interval (especially erythromycin)

Hearing loss (especially at higher doses)

Jaundice

MONOBACTAMS

- Aztrenam

  uses:- used to kill gram negative bacteria

Nitrofurans

furazolidone

nitrofurantoin(Bs)

Uses:- Bacterial or protozoal diarrhoea or enteritis,

_urinary tract infections

OXAZOLIDINONES

- LINEZOLID
- POSIZOLID
- RADEZOLID
- TOREZOLID
- **USES:-**

Used to prevent resistant *Staphylococcus aureus* are strains of *Staphylococcus aureus* that have become resistant to the glycopeptide antibiotic vancomycin.

PENICILLIN

- Amoxicillin
- Ampicillin
- Azlocillin
- Dicloxacillin
- Flucloxacillin
- Mezlocillin
- Methicillin
- Nafcillin
- Oxacillin
- Penicillin G
- Penicillin V
- Piperacillin
- Temocillin
- Ticracillin

Uses of penicillins antibiotics

- Wide range of infections; penicillin used for streptococcal infections, syphilis, and Lyme disease
- **SIDE EFFECTS:-** Gastrointestinal upset and diarrhea

  Allergy with serious anaphylactic reactions
  Brain and kidney damage (rare)

PENICILLIN COMBINATIONS

- AMOXICILLIN/ CLAVUNATE
- AMPICILLIN/ SULBACTAM
- PIPERACILLIN/ TAZOBACTAM
- TICARCILLIN/CLAVUNATE

USES

- Both Amoxicillin/clavulanate and Ampicillin/sulbactam are effective against non-recurrent acute otitis media.Amoxicillin/clavulanate is one of the few oral antibiotics effective against skin and soft tissue infections. Can be given to children less than 40 kilograms in weight; for children heavier, the dosage is same as adults, twice daily.

POLYPEPTIDES

- BACITRACIN
- COLISTIN
- POLYMYOXIN B

USES-

Eye, ear or bladder infections; usually applied directly to the eye or inhaled into the lungs; rarely given by injection, although the use of intravenous colistin is experiencing a resurgence due to the emergence of multi drug resistantorganisms.

SIDE EFFECTS OF POLYPEPTIDES ANTIBIOTICS

- Kidney and nerve damage (when given by injection)

QUINOLONES

- CIPROFLOXACIN
- ENOXACIN
- GATIFLOXACIN
- GEMIFLOXACIN
- LOVOFLOXACIN
- LOMEFLOXACIN
- MOXIFLOXACIN
- NADIFLOXACIN
- NALIDIXIC ACID
- NORFLOXACIN
- OFLOXACIN
- TROVAFLOXACIN
- GREPAFLOXACIN
- SPARFLOXACIN
- TEMAFLOXACIN

USES OF QUINOLONES ANTIBIOTIC

- Urinary tract infections, bacterial prostatitis, community-acquired pneumonia, bacterial diarrhoea, mycoplasmal infections, gonorrhea

SIDE EFFECTS:-

Nausea (rare), irreversible damage to central nervous system (uncommon), tendinosis (rare)

SULFONAMIDES

- MAFENIDE
- SULFACETAMIDE
- SULFADIAZINE
- SILVER SULFADIAZINE
- SULFADIMETHOXINE
- SULFAMETHIZOLE
- SULFAMETHOXAZOLE
- SULFANILIMIDE
- SULFASALAZINE
- SULFISOXAZOLE
- TRIMETHOPRIM- SULFAMETHOXAZOLE(CO-TRIMOXAZOLE)
- SULFONAMIDOCHRYSOIDINE

USES OF SULFONAMIDES

- Urinary tract infections (except sulfacetamide, used for eye infections, and mafenide and silver sulfadiazine, used topically for burns)

SIDE EFFECTS:-

Nausea, vomiting, and diarrhoea

Allergy (including skin rashes)

Crystals in urine

Kidney failure

Decrease in white blood cell count

Sensitivity to sunlight

TETRACYCLINES

- DEMICLOCYCLINE
- DOXYCYCLINE
- METACYCLINE
- MINOCYCLINE
- OXYTETRACYCLINE
- TETRACYCLINE

USES OF TETRACYCLINES

- Syphilis, chlamydial infections, Lyme disease, mycoplasmal infections, acne rickettsial infections, malaria

SIDE EFFECTS:- Gastrointestinal upset

- Sensitivity to sunlight
- Potential toxicity to mother and fetus during pregnancy
- Enamel hypoplasia (staining of teeth; potentially permanent)
- Transient depression of bone growth

DRUGS AGAINST MYCOBACTERIA

- CLOFAZIMINE
- DAPSONE
- CAPREOMYCIN
- CYCLOSERINE
- ETHAMBUTOL
- ETHIONAMIDE
- ISONIAZID
- PYRAZINAMIDE
- RIFAMPICIN
- RIFABUTIN
- RIFAPENTINE
- STREPTOMYCIN

USES OF DRUGS AGAINST MYCOBACTERIA

- ANTILEPROTICS
- ANTITUBERCULOSIS
- URINARY TRACT INFECTION

SIDE EFFECT:-

RIFAMPICIN:- Reddish- orange sweat, tears, urine

RIFABUTINE:- Rash, discoloured urine, GIT symptoms

STREPTOMYCIN:- neurotoxicity, ototoxicity

Others

- **Arsphenamine**:- used as spirochaetal infection
- **Chloramphenicol**:- used to treat meningitis, MRSA, topical use, or low cost internal treatment.

  Side effects:- rarely: aplastic anaemia
- **Fosfomycin**:- used to treat acute cystitis in women

  Side effects:- This antibiotic is not recommended for children and 75 up of age

- **Fusidic acid**
- **Metronidazole:-** Used to treat infection caused by anaerobic bacteria also amoebiasis, trichomoniasis.

  Side effects:- discoloured urine, headache, metallic taste, nausea; alcohol is contraindicated
- **Mupirocin:-** used as ointment for impetigo, cream for infected cuts
- **Platensimycin**
- **Quiniupistrin**
- **Thiamphenicol :-** used to kill gram positive gram negative anaerobes. widely used in veterinary medicines

  Side effects:- rash. Lacks known anaemic side effects

- **Tigecycline:-**

  uses as Slowly Intravenous. Indicated for complicated skin/skin structure infections, soft tissue infections and complicated intra-abdominal infections. Effective for gram-positive, gram-negative, anaerobic, and against multi-antibiotic resistant bacteria (such as *Staphylococcus aureus* [MRSA] and *Acinetobacter baumannii*), but not effective for *Pseudomonas*spp. and *Proteus* spp.

Side effects:- Teeth discoloration and same side effects as tetracycline. Not to be given for children and pregnant or lactate women. Relatively safe and no need dose adjusted when be given for mild to moderate liver function or renal patients

Tinidazole:- used to treat protozoal infections

side effects: upset stomach, bitter taste and itchiness

Trimethoprim :- used to treat UTI, Used against gram positive bacteria as an anti bacterial agent.

Sulphonamides

Sulphonamides synthesized in 1908 by Gelmo are anti-microbial agents ,which contain a sulphonamide (SO_2NH_2)group .They are derivative of the parent compound Para amino benzene sulphonamide.

Anti-microbial Activity:-

1.)Gram-positive and Gram-negative bacteria

2.)Actinomyces and Nocardia

3.)Chlamydia organisms causing lymphogranulaoma venerum and psittacosis.

Sulphonamides are mainly bacteriostatic but in high concentration they may have bactericidal effect.Mechanusm of Action's-

Pteridine

CLASSIFICATION

1.)Short Acting Sulphonamides(4-8 hours):- Sulphadizene
Sulphadimidine
Sulphafurazole
Sulphacetamide

2.)Intermediate Acting Sulphonamides(8-12hours):-
Sulpgamethoxazole

Sulpharimazole

Sulphamoxole

3.)Long Acting Sulphonamides (More than 12 hours):-
Sulphamethoxine

Sulphatimethoxine

Sulphamethoxypyridazine

USES

1.)Acute bacillary dysentary
2.)Ulcerative collitis
3.)UTI
4.)Trachoma
5.)RTI
6.)Local application in eye
7.)Local Intestinal infection
8.)Influenza
9.)Genital infections

SIDE- EFFECTS

1. NVD
2. Loss of Apettite
3. Allergy
4. Itching
5. Fever
6. Photosensitivity(intolerance to fight)
7. Stomatisis(Ulcer in mouth)
8. Kidney Damage
9. Reduction in WBC
10. Arthrangia (Pain in joint)
11. Agranulocytosis
12. Thrombocytopenia
13. Megaloblastic Anaemia
14. Confusion
15. Nervousness
16. Restlessness

Adrenergic Drugs (Sympathomimetic Agents)

Adrenergic Drugs are the chemical substances which show pharmacological actions similar to the actions produced from stimulation of Adrenergic nerves.

Adrenal Gland secrete Adrenaline Hormone stimulate Adrenergic Nerve.

Adrenergic drug acts to two types of receptors:-

1:- α-Receptors

2:- ß-Receptors

In the beginning it was believed that Adrenaline is the Neurotransmitter in the adrenergic nervous system but late on it was established that the true Re-Neurotransmitter is Nor-Adrenaline:-

- **Stimulation of α-receptor include**:-
  - vasoconstriction of Arterioles
  - Rise in Blood Pressure
  - Dilation of Pupil
  - Relaxation of Gut

- **Stimulation of β-Receptor include**
  - Rise in cardiac output
  - Rise in Heart Rate
  - Relaxation of Uterus ,intestine and bronchial muscle

CLASSIFICATION

(1)Catecholamines:- Adrenaline

Nor-adrenaline

Isoprenaline

Dopamine

(2)Non-Catecholamines:- Salbutamol

Terbutaline

Amphetamine

Ephidrine

(3)Imidazoline Derivatives :- Naphazoline
xylometazoline

Uses :- 1.) Cardiac Stimulant
2.) Increase Blood Pressure
3.) To treat Cardiac Arrest(Heart Block)
4.) To treat Hypertension
5.) Used as Bronchodilator
6.) For Allergy
7.) With local Anaesthesia to prolong their action
8.) Suppression of Appetite
9.) To treat Asthma, Heart Block
10.) Nasal congestion
11.) Vasoconstriction [Control Haemorrhage]

Side Effects :- 1.) Nausea, Vomitting,Diarrhoea(NVD)

2.) Reduction of Appetite

3.) Fear

4.) Anxiety

5.) Confusion

6.) Insomnia

7.) Weakness

Adrenergic Antagonists

Adrenergic Antagonists are the drugs which blocks the actions produced by the stimulation of sympathetic nervous system.

They antagonise the action by blocking α andβ Receptor.

Classification

1.) α Adrenergic Blocking Agents :-

(A) Both α_1 and α_2 Blockers :- Tolazoline

Phentolamine

Ergot Alkaloids:- Ergotamine

Ergonovine

Phenoxybenzamine

Dibenzamnine

(B) α_1 Blockers:- Prazosine

(C) α_2 Blockers:- Yonimbine

Uses

1.) Cardiac Depressant
2.) Decrease in force of contraction of Heart
3.) Decrease in Rate of conduction of heart rate
4.) To treat Hypertension
5.) improve tolerance to exercise in patients of Angina ,Bronchial Asthma
6.) In Allergy
7.) Peripheral Vascular Disorders
8.) Diagnosis and treatment of Pheochromocytoma
9.) Treatment of Haemorrhagic shock
10.) Treatment of shock
11.) Used in Chronic open-angle glaucoma
12.) Used in conditions of Thyrotoxicosis
13.) Used in Myocardial Dysfuction

Side effects

1.) Nausea, Vomitting, Diarrhoea
2.) Headache
3.)Dizziness
4.) GIT disturbance
5.) Epigastric pain
6.) Sudden Hypotension
7.) Bradycardia leading to Cardiac Asystole
8.) Bronchospasm
9.) Allergic reactions
10.) Thrombocytopenia
11.) Agranulocytopenia
12.) Mental Depression ,Fatigue ,Lethargy

Cholinergic Drugs

Classification

1.)**Esters of choline**:- Acetylcholine
Methacholine
Carbachlol
Bethanechol

2.)**Natural Alkaloids** :- Pilocarpine
Areclidine
Muscarine

3.)**Synthetic Alkaloids:-**Oxotremorine

4.)**Anticholine Estirases**:-

(a) Reversible :- Physostigmine
Neostigmine

(b) Irreversible :-Di-isopropyl fluorophosphate(DEP)
Malathion
Diazinon

USES

1.) Myasthenia gravis(Weakness of Bones/Muscle)
2.) Cardiac Arrhythmias
3.) Muscle Relaxant
4.) In Glaucoma (to reduce intra-ocular tension)
5.) Atony of gut and urinary Bladder
6.) post operative urinary retention
7.) In Atropine poisoning
8.) As am anti-hypertensive Agents
9.) Used to increase tone , motility and peristalsis by stimulating GIT smooth muscle
10.) In treatment of paralytic ileus

SIDE EFFECTS

1.) Nausea, Vomitting, Diarrhoea
2.) Abdominal cramps
3.) Tachycardia
4.) Allergic reactions
5.) Apnoea (Short breathing)

The drugs which block the action of Acetycholine at parasympathetic nervous system are called
cholinergic Antagonists or Anti cholinergic drugs or parasympatholytics.

Cholinergic Antagonist

General Affects of Anti-cholinergic drugs:-

1.) Hydriatic Affects:- Dilation of pupil of eye.
2.) Cyclopesia :- Paralysis of ciliary structures of eye
3.) Anti spasmodic Affects :- Decrease in tone & Motility of GIT.
4.) Anti- SECRETORY Affects :- Decrease in salivation ,Perspiration ,Acidic and gastric secretion
5.) Control motion sickness

SIDE- EFFECTS

1.) Dryness of mouth
2.) Constipation
3.) Bradycardia
4.) Confusion
5.) Vertigo
6.) Dry skin
7.) Dry in body temperature
8.) Difficulty in Micturition
9.) Excitement ,Restlessness
10.)Motor incordination

Classification

1.)**Natural Alkaloids**:- Atropine
Scopolamine(Hyoscine)

2.) **Semi-synthetic Agents**:- Homatropine
Atropine Methylnitrate
Homtropine Methylbromide
Scopolamine Methylbromide

3.) **Synthetic Agents**:- Cyclopentolate
Tropicamide

4.) **Spasmolytic Agents**:- Oxyphenium
Dicyclomine

5.) **Anti-parkinsonism**:- Benzatropine
Biperiden

USES

1.) To treat peptic ulcer
2.) In organophosphorus and insecticides poisoning
3.) To treat parkinsonism disease
4.) To treat motion sickness
5.) Used to treat cold and Asthma
6.) To relief from muscular rheumatism
7.) Used with pre-anaesthetic Agents
8.) Dilation of pupil of eye
9.) Bronchial Asthma
10.) To treat hay fever
11.)To treat Rhinitis
12.) As cyclopegic in ophthamic practise.

Analeptics

Analeptics are the drugs which increase the activity of some parts of brain and spinal wud.

In general :-

1.)They are used to treat toxication due to over dose of CNS depressant

2.)They are used to treat post-Anaesthetic Respiratory depression.

3.)They are used to stimulate vasomotor centre (this centre maintains the vasoconstriction of Blood vessels)

As ,Analeptics cause vasoconstriction which increase peripheral resistance of blood flow and finally results in elevation of blood supply.

4.) They are also used to increase mental alertness and to produce condition of weakness.

GENERAL USES

1.) Muscle Relaxant (Smooth muscles)

2.) Cardiac Stimulant

3.)Bronchodilator in Asthma

4.)Relief of Emphysema (Presence of air in Interstices of connective tissue)

5.) To treat Aponea (Cessation of Breathing)

SIDE- EFFECTS

1.)Insomnia

2.)Anxiety

3.) Restlessness

4.)Vertigo

Anti –arrhythmic Drugs

The drugs used to prevent and control the cardiac Arrhythmia as are called Anti-arrhythmic drugs.

DEFINATIONS

Cardiac Arrhythmia occurs as a result of defective impulse formation or defective impulse conduction.

Arrhythmia:- It is the abnormality in rate of origin and conduction impulse [Lack of Rhythm]

{ It may develop due to Electrolyte imbalance or Acidosis }

Classification

(1)**Sodium channel Blockers**:- Quinidine ,Procainamide,[Myocardial Depressants] Disopyramide, Lignocaine, Phenytoin etc.

(2)**β-Adrenergic Receptor Blockers**:-Propranolol, Sotalol, [Sympathetic blockers]

(3)**Miscellaneous**:-Amidarone, Aprindine

USES

Uses:-

1. Antiarrhythmic Agents
2. Anti-muscarinic Agents
3. Atrial fibrillation:- (irregular beating)
4. Atrial Flutter:- Common Apnnormal heart rhythm
5. Paroxysmal Tacbycardia☹Beat from another source than SSA node)
6. Rapid contraction of muscle
7. Ventricular Tachycardia
8. Atrial & Ventricular Extra systoles
9. Ventricular Arrhythmias

Side Effects:- 1. NVD

2.inchonism (Quinidine)

3. Visual Problem

4. headache

5.Confusion

6. Hypotension

7. Cardiac Arrest

8. May result in extra systoles

9. Mental symptoms like Psychosis

Anticonvulsants

The drugs which are used to treat or control the Epilepsy is a disease occur due to disturbance in the function of CNS. It is characterised by fits, convulsion (Abnormal body movements),Non-convulsion, seizures(constriction of various muscles)

Reason for disease

* Abnormal change in Neural activiity.

(Excessive neural discharge /Metabolism)

* Disturbed Electrical activity of the Brain.

Type of Epilepsy:-

1.) Grandmal :- Sudden loss of consciousness,5mintues.

2.) Petitmal :- Sudden loss of consciousness very short duration.

3.) Psychomotor:- May or may not be loss of consciousness attack may last upto 3 to 5 minute.

Classification:-

1.) Barbiturates:- Phenobarbitone, Methylphenobarbitone, Barbituric Acid.

2.) Hydantoins :- Phenytoin, Phettoin Sodium, Mephenytoin

3.) Oxazolidinediones:- Troxidone
Trimethadione
Paramethadione

4.) Succinimides:- Ethosuximide
Phensuximide

5.) Miscellaneous:- Carbamazepine
Clonazepam
Sodium Valporate (Valporic Acid)

Common Use :-1.) Treatment of Epilepsy

2.) Grandmal Epilepsy(Major)

3.) Petitmal epilepsy(Minor)

4.) Psychomotor type epilepsy

5.) Hypnotic and Sedative properties

6.) In typical Absence seizures

7.) Myoclonic epilepsy

8.) Prevention and treatment of Acute mania.

Common side –effects:-

1.) Nausea
2.) Vomitting
3.) Diarrhoea
4.) Habitual
5.) Anxiety
6.) Restlessness
7.) heavy doses, may prove fatal.
8.) May depress the CNS

Antihypertensives

Classification

Classification

1. Diuretics:-
   (a) Thiazide:-
      (i)Chlorthiazide
      (ii)Hydroehlorthiazide
   (b) Loop diuretics:-
      (i)Furosemide
      (ii)Ethacrynic acid

(c) Potassium Sparing Diuretics:-

(i)Amiloride

2. Drug Acting on Sympathetic system:-

(a) Centrally Acting :-

(i)Clonidine

(ii) α methyl dopa

(b) Cetacholamine depressant:-

(i)Reserpine

(c) (i)Beta-Adenergic recoptor Blockers:-

* Propranolol
* Metoprolol

(ii)alpha-Adrenoroceptor Blockers:-

* Phentolamine
* Talozoline

(iii)Mixed alpha and receptor:-

* Iobetalol

(iv)Imidazole Receptor:-

* Mixonidine

(d) Adenerginc neuron blockers:-

* Guanethidine
* Bethanidine

(e) Ganglion Blockers:-

Quaternary amine compounds :-

* Hexamethonium

Secondary Amine:-

* Mecamylamines

Tertiary Amines:-

* Pempidine

(f) Drug acting on reflex action:-

* Velatrum Alkaloids

3. Calcium Channel Blockers:-

* Nifedipine
* Amlodipine
* Diltiazem

4. Drugs acting on Renin Angiotensin system:-

which block renin release:-

* Propranolol
* Alpha methyl dopa

which inhibit angiotensin converting enzyme:-

* captopril
* remipril

inhibit angiotensin II

‘ * Saralasin

angiotensin I receptors:-

* losartan

#inhibit aldosterone:-

* spironolactone

5. Vasodilators:- sodium nitroprusside

General Anaesthetics

The central nervous system depressant drugs which produce general anaesthesia are called

General Anaesthetics

General Anaesthesia is marked by

:- Unconsciousness

:- Analgesia

:- Skeletal Muscle Relaxation

:-Amnesia

:- Loss of reflexes

(These are required to produce before a surgical operation .General Anaesthetics are administered either by inhalation or by I/V or sometimes I/M injection.)

Chloroform, Cyclopropone, englurane, ether, flitoxene, halothne, isoflurane, nitrous oxide are given by inhalation.

Ideal Anaesthetic Agent should be inert, potent, non-flammable, non-irritating to mucous membrane, non-toxic produce unconsciousness, produce Analgesia, act as muscle relaxant ,be metabolically inert, rapidly eliminated, should produce rapid and smooth induction and should be compatible with other anaesthetics

CLASSIFICATION

1.) **Inhalation Anaesthetics**:-

(a) Volatile liquids:- Diethyl ether, Halothane , Desflurane, Trichloroethylene, Isoflurane

(b) Gases :- Cydopropane,M20

2.) **Intravenous Anaesthetics**:- Thiopental sodium (Non- volatile) Methohexital sodium ketamin Used as general Anaesthetic and Analgesic

USES

:- used as general Anaesthetic and Analgesic.

:- Used as Refrigerant and sometimes posses Hypnotic activity

SIDE - EFFECTS

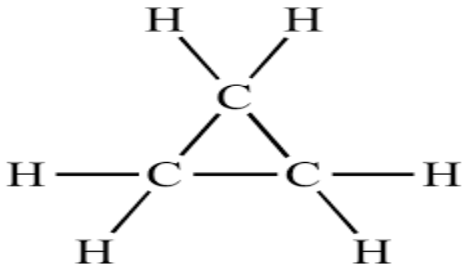
1.) Involuntary muscle movements
2.)Hypotension
3.) vomiting
4.) Hiccup
5.)Cardiac Arrhythmias
6.) Coughing
7.) Respiratory Depression
8.) Bronchospasm
9.) Emergency reactions
10.) Laryngospasm
11.) Post-operative nausea

Halothane :- $CHBrCl\text{-}CF_3$

(2- Bromo-2-Chloro-1,1,1-trifluorethane)

<u>Cyclopropane</u> :-

Trimethylene



Diethyl ether :-$C_2H_5\text{-}o\text{-}C_2H_5$

(ethoxyethane)

Hypnotics and sedatives

Hypnotics are the CNS depressants which produce sleep to reduce restlessness and emotional tension.

A sedative is a drug that reduce excitment without producing sleep and are of value in the treatment of anxiety. Both are CNS depressants when Hypnotics are used in large amounts ,they produce anaesthetic state and even death in some cases.

* Morphine & pethidine possess
* Analgesic & Hyphotic

CLASSIFICATION

1.)**Barbiturates**:- These are cyclic uredies(urea+COOH)

- Colourless
- Crystalline Solides
- Not very soluble in water

2,4,6-Hexahydrophyrimidines Sodium salt,soluble in water

a) Long Acting (>_ 8 hours):- Phenobarbitone ,Methobarbitone.

b) Intermediate Acting (4-8 hours):- Amobarbitone,Pentobarbitone

c) Short Acting (< 4 hours):- Hexobarbitone ,Sewbarbitone

d) Ultrashort Acting :- Thiopental ,Methohexatal

2.) Non-Barbiturates:-

a) Benzodiazepines :- Diazepam,Nitraazepam,Alprazolam ,Lorazipam

b)Heterocyclic Compounds:- Gluterthimide,Methypriylone

c)Aldehyde:- Paraldehyde,chloral hydrate

d) Alcohol:- Ethanol

e) Carbamide:- Ethinamide,Meprobamate

3.) Guitethmide:-

3-Ethyl-3-phenyl-2,6-piperidinedione

2-Ethyl-2 phenyl glutarimide.

USES

- Insomnia (Sleeplossness)
- Anti-convulsant
- Muscle Relaxant
- General Anaesthetia
- Insomnia

SIDE- EFFECTS

- Drug Dependence
- Respiratory Depression
- Bisoning
- Skin rashes ,Allergic Rex
- Nausea
- Vomitting
- Low B.P. (Hypotension)
- Headache
- Burred vission

Local Anaesthetics

The drugs which are used to abolish the pain sensation in localized areas of the body ,without the loss of consciousness are called as local Anaesthetics.

Depending upon the site of Application ,Local Anaesthetics are of following types:-

1.) **Surface Anaesthetics** :- They are applied topically i.e. Kon surface e.g. :- Amethocaine in the operations of eye ,throat ,etc.

2.) **Infiltration Anaesthetics** :- These substances are infiltrated subcutaneously whereby the nerve ending are anaesthised where the action is requred.

3.) **Spinal Anaesthetics** :- These substances are injected into sub-arachnoid space.e.g. :- lignocaine

4.) **Nerve block** :- Anaesthesia :- These substances are injected very close to the nerve.

Ideal Anaesthetic

Ideal Anaesthetic:- Non-irritating to the tissue

:- Rapid onset of action

:- Shorter duration of action

:- Equally effective when used locally or parentally

:- free from side &toxic effects.

:- must be revessible

:- not be unstable

:- not be painful

:- should be sterilized without difficulty.

CLASSIFICATION

1.) Natural Agents :- Cocaine

2.) Synthetic Nitrogenous Componds:- Procoine ,Benzocaine,Lignocaine

3.) Sythetic Non- nitrogenous compounds:- Benzylalcohol,Propandiol

4.) Miscellaneous:- Phenol,chlorpromazine

USES

:- Local Anaesthetic

:- Anti- arrhythmic properties

:- used in ophthalmology

:- used in Gynaecology

SIDE - EFFECTS

1. Restlessness
2. Excitement
3. Nervousness
4. Dizziness
5. Tinnitus
6. Bueved vision
7. N,V,D
8. Muscle turtching
9. Drousiness
10. Respiratory Failure
11. Loss of Appetite
12. Weight Loss
13. Fatigue

NON STEROIDAL, ANTI INFLAMMATORY AND ANALGESIC-ANTIPYRETIC(NSAID)

CLASSIFICATION

DEFINATIONS

* NON STEROIDAL:-
  Non steroidal nucleus
*Anti-inflammatory:
  which reduce inflammation and pain.
*Analgesic:-
  Used for relief from pain.
*Antipyretic:-
  Reduce the body temperature in febrile state.

Classification

1. Salicylic acid derivatives:-
   (a)Aspirin(ASA)
   (b)Benorylate
   (c)Methyl Salicylate
   (d)Sodium Salicylate
2. 3,5 Pyrazolidinediones derivatives:-
   (a) Phenyl butazone
   (b) Oxyphen butazone
3. N-Aryl Anthranilic acid:-
   Mefenamic acid

4. Indole acetic acid:-
   Indomethacin
5. Aryl and Hetero Aryl Acatic acid derivative:-
   (a) Ibuprofen
   (b) Katoprofen
6. P-Amino Phenol derivatives :-
   Paracetamol

7. Misc:-
   (a)Penicillamine
   (b)Sodium Aurothiomalate

TRANQUILLISERS

The drugs which produce sleep or alter the behavioural mood and emotional response are called

Tranquilizers.

The drugs which produce a mental state free from agitation and anxiety and renders the patient

Calm and peaceful are termed as Tranquillisers or (Anti-psychotic Agents)

Tranquilizers exerts a unique type of selective (NS depression they act differently from the

Barbiturates and other sedatives which act by producing a general (NS depression .They give

strong sedative without producing sleep and produce a state of indifference and disinterest. They

are effective in reducing excitation ,agitation ,aggressiveness and impulsiveness which are not

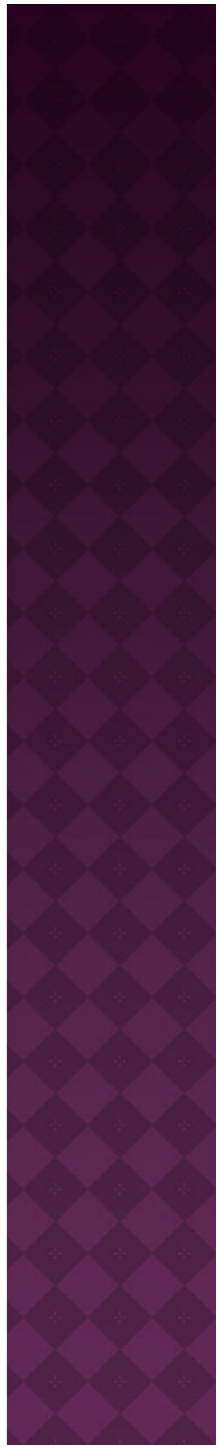
controlled by ordinary sedative hyptonics and central relaxants.

THERE ARE THREE MAJOR CATEGORIES

1.)Major Tranquilizers (Anti-psychotics/ neuroleptics)

2.)Minor Tranquilizers (anxiolytics)

3.)Anti-depressant Agents



USES OF MAJOR TRANSQUILLIZERS

Major transquilizers are used in the treatment of

1.)Schizophrenia :- Mental illness ,characterised by disorganisation of persons personality

2.)Mania:- Madness

3.)Senile dementia:- Mental deterioration due to psychological factors i.e. In old age.

4.) Behaviour Disorders:-Aggressive and Destructive behaviour.

5.)Anti-emetic

6.)Anti-cough

7.) Pre-anaesthetic agent

8.) Anti-pruritic agent(Anti-itching)

9.)Anxiety/Tension

SIDE-EFFECTS

Dryness of Mouth ,
Constipation,
Urinary retention,
Mydriasis (Dilation of pupil),
impotency

CLASSIFICATION

Clasiffication of Major Transquillizers:-

1.)Phenothiazines:-
Chlorpromazine,Prochlorperazine,Trifluoperazine

2.)Butyrophenones:-
Haloperidol,Triperidol(Trifluperidol)

3.)Lithium salts:- Lithium Carbonate

4.)Thipxamthene:- Chlorprothixene,Thiothixene

5.)Indole Derivatives:- Oxypertine , Molindone

6.)Dibenzapines:- Loxapine,Clozapine

7.)Benzamides:-Sulpride,Celbopride

8.)Benzoquinonizines:-Tetrabenzene

9.)Rauwolfia Alkaloids:- Reserpine

Classification of Minor Transquillizers:-

1.)Benzodiapenes:-
Chlordiazoxide,Chlorazopam,Diazepam

2.)propanediol carbamates:-Meprobramate,Tybamate

3.)Azaspirodecandiones:- Buspirone

4.)Anti –Histamine:- Diphenylmethanes Hydroxyzine (cetrizine)