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Diploma in Pharmacy 1st Year
Pharmaceutical Chemistry
Important Questions
Chapter 5 : Drugs Acting on Central Nervous System

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Chapter 5

Drugs Acting on Central Nervous System

IMPORTANT Questions

Q1. Explain Anaesthetics drugs with example Thiopental sodium, ketamine hydrochloride.

Ans.

Anaesthetics

→ General anaesthetics are CNS depressants which include non-awareness of all sensations and loss of pain. The term anaesthetic is of Greek origin and means without perception or insensibility. They cause non-selective and reversible CNS depression

Classification General anaesthetics are classified as follows:

- ★ Inhalational Anaesthetics: These substances are either volatile liquids or gases and are usually delivered using an anaesthetic machine, e.g., Enflurane (liquid), Desflurane, Halothane, and Ether.
- ★ Intravenous Anaesthetics: These substances produce anaesthetic effect when injected into the bloodstream via venepuncture, e.g. Thiopentone sodium, Etomidate Ketamine, Fentanyl, and Diazepam.
- ★ Gaseous Anaesthetics: Nitrous oxide.

Examples

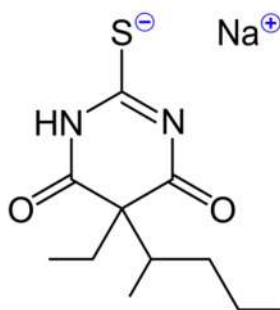
1. Thiopental sodium
2. Ketamine hydrochloride

Thiopental sodium

→ Thiopental Sodium sodium is administered intravenously for inducing general anaesthesia or for producing complete anaesthesia of short duration.

Chemical Name and Structure

Sodium 5-ethyl-5-(1-methylbutyl)-2-thiobarbiturate



Mechanism of Action

- ★ Thiopental binds at a distinct binding site associated with a Cl⁻ ionopore at the GABA_A receptor, increasing the duration of time for which the Cl⁻ ionopore is open. The post-synaptic inhibitory effect of GABA in the thalamus is, therefore, prolonged.

Uses

- ❖ It is used as a sole anaesthetic agent for short procedures 15 min

Stability and Storage Conditions

- ★ It should be stored at 22°C. Thiopental remains stable and sterile for 6 days and well beyond 7 days at 3°C.

Types of Formulations

- Injection, Powder, Solution,

Popular Brand Names

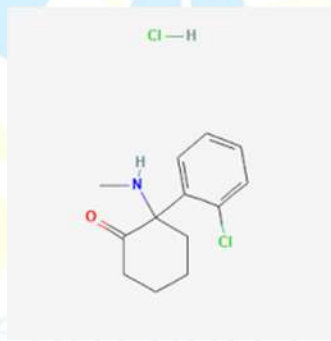
- ✚ Pentothal, Anesthal, Thiosol, Thiojex, Pentone

Ketamine Hydrochloride

- Ketamine is an NMDA receptor antagonist having a potent anaesthetic effect.
- It was developed as a replacement for phencyclidine by Calvin Stevens at Parke Davis Laboratories in 1963.

Chemical Name and Structure

2-(2-chlorophenyl)-2-(methylamino)cyclohexan-1-one



Mechanism of Action

- ★ Unlike other general anaesthetic agents, ketamine does not interact with GABA receptors; but it interacts with NMDA receptors, opioid receptors, monoaminergic receptors, muscarinic receptors, and voltage sensitive Ca ion channels.

Uses

- ♠ It is used as an anaesthetic agent in various diagnostic and surgical procedures. It is combined with a muscle relaxant if skeletal muscle relaxation is needed.
- ♠ It should be combined with a visceral pain desensitising agent if the surgical procedure involves visceral pain.
- ♠ It can also be used for inducing anaesthesia before using other general anaesthetics and as a complement for low potency agents.

Storage and Stability Condition

- It should be kept in tightly closed container and in dry and well ventilated place.

Type of Formulation

- ❖ Liquid solution

Popular Brand Names

- ❖ Ketalar, Anket, Ketmin, Verket

Q2. Explain sedative and Hypnotics write the brief note on Diazepam, Alprazolam, phenobarbital,

Ans. Sedative-hypnotic

- Sedative-hypnotic drugs reduce tension and anxiety, and induce calmness (sedative effect) or sleep (hypnotic effect). Low doses of these drugs exert a calming effect and higher doses have a sleep-inducing effect. Sedative-hypnotic drugs depress the CNS.
- Drugs like opiates can also produce these actions, thus sedative-hypnotics have a distinctive feature that they are capable of producing effects without altering the mood or reducing sensitivity to pain.

Examples of sedatives and hypnotics are given below:

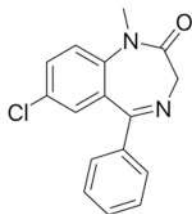
- 1) Diazepam,
- 2) Alprazolam,
- 3) Phenobarbital,

Diazepam

- Diazepam is a benzodiazepine having anticonvulsant, anxiolytic, muscle relaxant, amnestic, and sedative properties. It has a long duration of action.

Chemical Name and Structure

7-chloro-1, 3-dihydro-1-methyl-5-phenyl-2H-1, 4-benzodiazepin 2-one



Mechanism of Action

- ➊ Diazepam is a benzodiazepine that exerts anxiolytic, sedative, muscle-relaxant, anticonvulsant and amnestic effects. Most of these effects are thought to result from **a facilitation of the action of gamma aminobutyric acid (GABA), an inhibitory neurotransmitter in the central nervous system.**

Uses

- ★ It is used for treating severe anxiety disorders, alcohol withdrawal syndrome, and for managing insomnia for a short-term. It is also used as a pre-medication, anticonvulsant, and sedative.

Stability and Storage Conditions

- Diazepam injection is chemically stable as 5-mg doses in disposable glass syringes for 90 days when stored at 4°C to 30°C. It should be stored in refrigerator.

Types of Formulations

- Tablet, Oral solution, Rectal gel, Injectable solution

Popular Brand Names

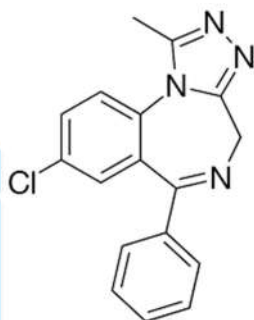
- ✚ Valium, Diastat, Diastat AcuDial

Alprazolam

→ Alprazolam is a triazolobenzodiazepine compound having antianxiety and sedative-hypnotic properties. It is used effectively for treating panic disorders and generalised anxiety disorders.

Chemical Name and Structure

8-chloro-1-methyl-6-phenyl-4H-s-triazolo [4,3- α] [1,4] benzodiazepine.



Mechanism of Action

- **The exact mechanism of action of alprazolam is unknown.** Benzodiazepines bind to gamma aminobutyric acid (GABA) receptors in the brain and enhance GABA-mediated synaptic inhibition; such actions may be responsible for the efficacy of alprazolam in anxiety disorder and panic disorder.

Uses

- ◆ It is used for managing anxiety disorder, for short-term treatment of anxiety symptoms, and for treating panic disorders with or without agoraphobia.

Stability and Storage Conditions

- ✚ It should be stored in a dry place at room temperature . Also, keep bottle out of direct sunlight and out of the reach of Children and pets. Make sure it stays in its original Container

Types of Formulation

- Tablet, Extended release

Popular Brand Names

- Xanax, Alprazolam Intensol, Xanax XR, Niravam

Phenobarbital

→ Phenobarbital is a barbituric acid derivative which acts as a non-selective depressant of CNS. It modulates chloride currents through receptor channels and promotes binding to inhibitory GABA sub-type receptors.

Chemical Name and Structure

5-ethyl-5-phenyl-2, 4, 6(1H, 3H, 5H)-pyrimidinetrione.

Mechanism of Action

- Phenobarbital acts on GABAA receptors, increasing synaptic inhibition. This has the effect of elevating seizure threshold and reducing the spread of seizure activity from a seizure focus. Phenobarbital may also inhibit calcium channels, resulting in a decrease in excitatory transmitter release.

Uses

- It is used as a hypnotic, sedative, and an antiepileptic drug. It is used in symptomatic therapy of epilepsy, and in nervous and tension related states.

Stability and Storage Conditions

- According to USP, it should be dispensed in well-closed containers at controlled room temperature.

Types of Formulations

- Oral elixir, Oral tablet

Popular Brand Names

- Luminal, Solfoton

Q3. Write about Antipsychotics with example Chlorpromazine Hydrochloride, Haloperidol, Risperidone, Sulpiride.

Ans.

ANTIPSYCHOTICS

- Anti-psychotic drugs are mainly used for treating Schizophrenia; however they can also be used in mania, with much agitation.
- Anti-psychotic agents are also called mood-altering agents

Examples

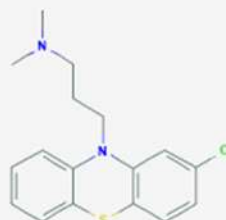
Chlorpromazine hydrochloride,
Risperidone,
Haloperidol,
Sulpiride,

Chlorpromazine Hydrochloride

- Chlorpromazine is a psychotropic agent prescribed for treating schizophrenia. It also consists of sedative and antiemetic activity.

Chemical Name and Structure

3-(2-chlorophenothiazin-10-yl)-N,N-dimethylpropan-1 amine



Mechanism of Action

- Chlorpromazine is a member of the typical antipsychotic or neuroleptic drug class, also known as first-generation antipsychotics (FGAs). It produces its antipsychotic effect by the post-synaptic blockade at the D₂ receptors in the mesolimbic pathway.

Uses

- It is used for treating schizophrenia, controlling nausea and vomiting, relieving pre-operative restlessness and apprehension, as an adjunct for treating tetanus, for acute intermittent porphyria, for controlling manifestations of the manic type of manic-depressive illness

Stability and Storage Conditions

- Chlorpromazine hydrochloride like oral solutions, tablets and injection should be stored at temperature less than 40 °C,

Types of Formulations

- Injectable solution, Oral tablet,

Popular Brand Names

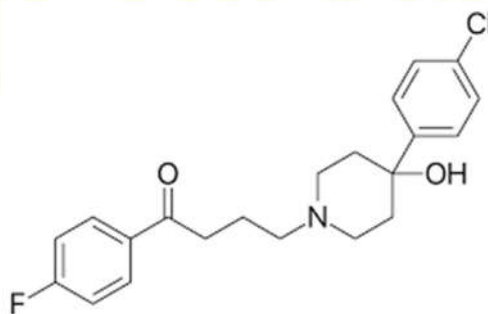
- Ormazine, Thorazine, Thorazine Spansule

Haloperidol

- Haloperidol is a phenyl-piperidinyl-butyrophenone and a traditional antipsychotic drug used for treating schizophrenia and other psychoses.

Chemical Name and Structure

[4-(4-chlorophenyl)-1-[4-(4-fluorophenyl)-4-oxobutyl]piperidin-4-yl] decanoate



Mechanism of Action

- The accurate mechanism of haloperidol is not known but it seems to be depressing the CNS at the subcortical level of brain, midbrain, and brainstem reticular formation. It interrupts the impulse between diencephalon and cortex by inhibiting the ascending reticular system of the brain stem.

Uses

- It is used in acute psychosis, such as drug psychosis (LSD, psilocybin, amphetamines, ketamine, and phencyclidine), and psychosis associated with high fever or metabolic disease

Stability and Storage Conditions

- ◆ The haloperidol injection should be stored at a temperature of 20° to 25°C. It should be protected from light and should not be refrigerated.

Types of Formulations

- Tablets, Oral Concentration

Popular Brand Names

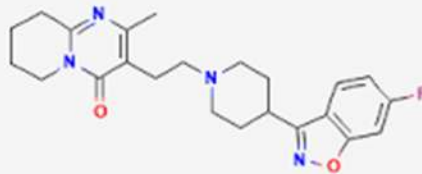
★ Haldol, Haldol Decanoate, Haloperidol LA, Peridol

Risperidone

→ Risperidone is a second generation atypical antipsychotic drug

Chemical Name and Structure

3-{2-[4-(6-fluoro-1,2-benzoxazol-3-yl)piperidin-1-yl]ethyl}-2-methyl-4H,6H,7H,8H,9H-pyrido[1,2-a]pyrimidin-4-one



Mechanism of Action

- The primary action of risperidone is to **decrease dopaminergic and serotonergic pathway activity in the brain**, therefore decreasing symptoms of schizophrenia and mood disorders. Risperidone has a high binding affinity for serotonergic 5-HT_{2A} receptors when compared to dopaminergic D₂ receptors in the brain.

Uses

- ♦ It is widely used for treating schizophrenia and mood disorders like bipolar disorder and depression with psychosis.

Stability and Storage Conditions

- It should be stored at room temperature and away from light and moisture. The liquid form of this medication should not be refrigerated and should be kept away from children

Types of Formulations

- ❖ Tablets, Oral Suspension

Popular Brand Names

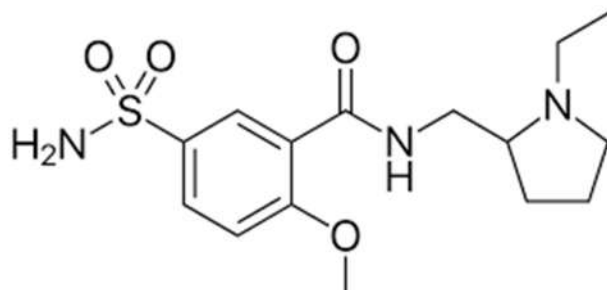
- ♣ Perseris, Risperdal

Sulpiride

→ Sulpiride is a dopamine D₂-receptor antagonist which is therapeutically used as a digestive aid, antipsychotic, and an antidepressant,

Chemical Name and Structure

N-[(1-ethylpyrrolidin-2-yl)methyl]-2-methoxy-5-sulfamoylbenzamide



Mechanism of Action

- Sulpiride acts selectively as a dopamine receptor antagonist in the brain, its effects on other neuronal systems being extremely limited. Indeed, it may act even selectively within the dopamine systems in that it would appear it specifically interacts with one sub-population of cerebral dopamine receptors.

Uses

- ★ It is prescribed for treating schizophrenia.

Stability and Storage Conditions

- ♠ It should be stored in a cool and dry place. It should be kept away from direct heat and light.

Types of Formulations

- Tablets, Capsules, Solutions

Popular Brand Names

- ✚ Dogmatil, Espiride, Sulpor, Dolmatil, Eglonyl, Modal, Prometar

Q4. Explain Anticonvulsant and Anti Depressants

Ans.

ANTICONVULSANTS

- Anticonvulsants are also known as antiepileptic or anti-seizure drugs. They are used for adequately controlling and managing CNS disorders manifested by recurrent transient attacks of disturbed brain function, producing motor (convulsive), sensory (seizure), and psychic sequence of events.
- Anticonvulsants suppress the rapid and excessive firing of neurons which starts a seizure.
- If it fails then an efficient anticonvulsant will prevent the spreading of seizure within the brain and offer protection against possible excitotoxic effects which may result in brain damage.

ANTIDEPRESSANTS

- A major class of psychoses comprised of affective disorders are different from schizophrenia and characterised by mood changing (depression or mania) instead of thought disturbances.
- Two main types of depression are recognized:
 1. Unipolar, and
 2. Bipolar
- In bipolar depression, mood and behaviour fluctuate between depression and mania.
- Unipolar depression arises earlier in life and tends to be inherited.
- It may have common features with schizophrenia.
- Unipolar depression is more common than bipolar depression, and more frequently related to adverse circumstances.

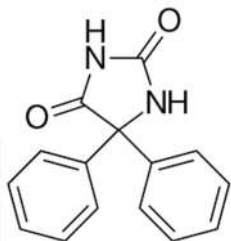
Q5. Write note on drugs phenytoin, fluoxetine,

Ans. Phenytoin

→ Phenytoin is an anticonvulsant used in various types of seizures.

Chemical Name and Structure

Sodium 5,5-diphenyl-2,4-imidazolidinedione



Mechanism of Action

- Phenytoin is believed to protect against seizures by causing voltage-dependent block of voltage-gated sodium channels. This blocks sustained high frequency repetitive firing of action potentials.

Uses

Phenytoin is widely used for controlling:

- 1) Status Epilepticus: Administered by slow intravenous injection.
- 2) Trigeminal Neuralgia: Second choice drug to carbamazepine.
- 3) Cardiac Arrhythmias: Especially digitalis induced.
- 4) Generalised tonic: clonic, simple and complex partial seizures.

Stability and Storage Conditions

- ♣ It should be stored in the tightly closed or sealed container or bottle

Types of Formulations

- Capsule, Oral suspension, Tablet, Injectable solution

Popular Brand Names

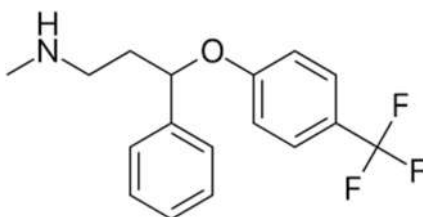
- ❖ Dilantin, Phenytoin Sodium, Prompt, Extended Release

Fluoxetine

→ Fluoxetine is a class of Selective Serotonin Reuptake Inhibitors (SSRI) antidepressant. The chemicals in the brain get affected and become unbalanced, which may cause depression, panic, anxiety, or obsessive-compulsive symptoms.

Chemical Name and Structure

N-methyl-3-phenyl-3-[4-(trifluoromethyl)phenoxy]propan-1-amine



Mechanism of Action

→ Presynaptic serotonin (5HT_{1A}) receptors are in the dorsal raphe nucleus and project to the prefrontal cortex. Fluoxetine exerts its effects by **blocking the reuptake of serotonin into presynaptic serotonin neurons by blocking the reuptake transporter protein located in the presynaptic terminal.**

Uses

- ❖ It is used in the treatment of endogenous depression.
- ❖ It may be useful in the treatment of obsessive compulsive disorder, obesity and alcoholism

Stability and Storage Conditions

- It should be stored at room temperature between 59°F and 86°F (15°C to 30°C).
- It should be kept away from light. The bottle should be tightly closed. Keep all medicines out of the reach of children.

Types of Formulations

- Capsule, Tablet, Solution

Popular Brand Names

- Act Fluoxetine, Prozac, Sarafem, Symbyax

