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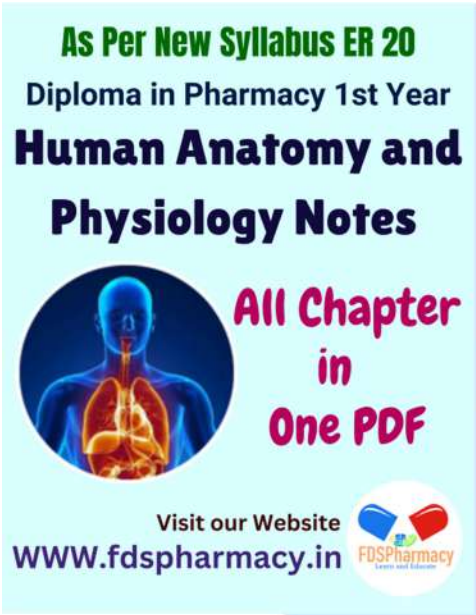
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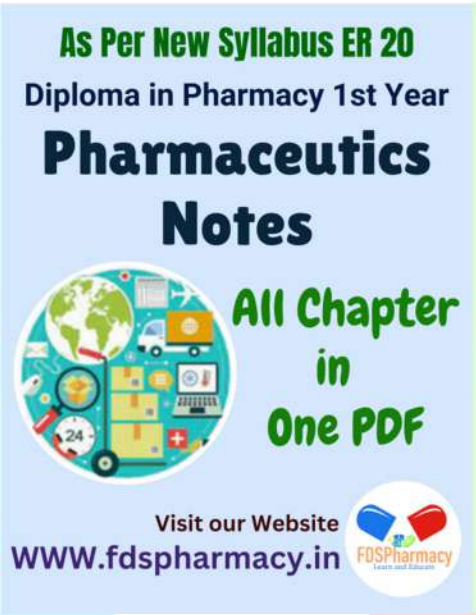
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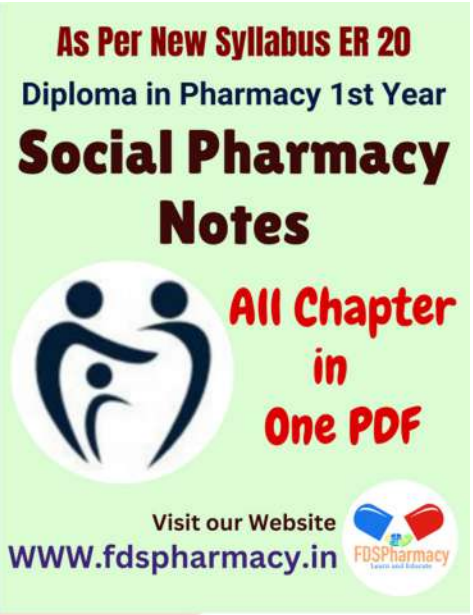
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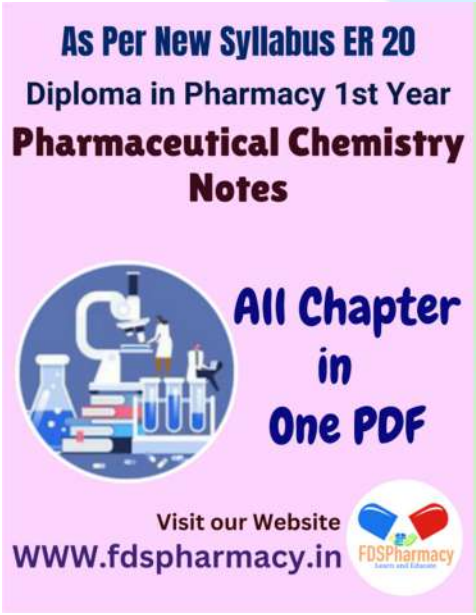
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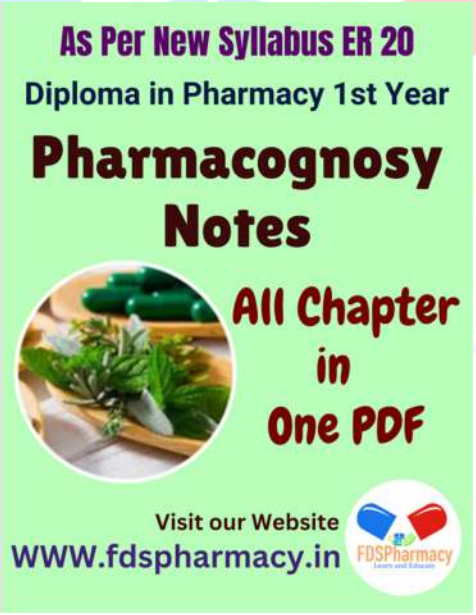
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Link in Description

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

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* Drugs are More Important for Exam

Chapter 5

Drugs Acting on Central Nervous System

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

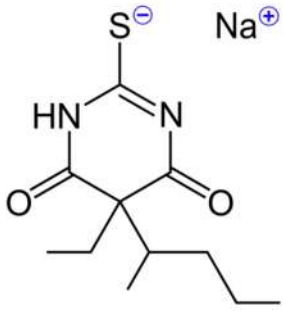
1. Thiopental sodium *
2. Ketamine hydrochloride *
3. Propofol

Thiopental sodium *

→ Thiopental Sodium sodium is administered intravenously for inducing general anaesthesia aesthesia 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

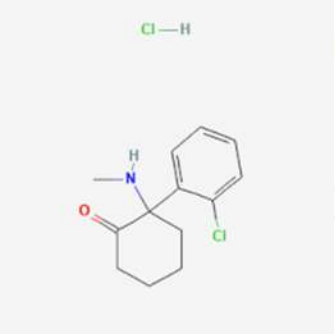
Ketamine Hydrochloride *

→ 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,

Propofol

→ Propofol is a class of hypnotic alkylphenol derivatives. They are formulated for intravenous introduction of sedation and hypnosis during anaesthesia.

Mechanism of Action

➲ The action of propofol comprises of positive modulation of the inhibitory function of the neurotransmitter Gamma- Amino Butyric Acid (GABA) via GABA-A receptors.

Uses

- Propofol slows down the activity of brain and nervous system
- Propofol act as sedation and keeps asleep during general anaesthesia-for surgery or other medical procedures. It is used in adults as well as children 2 months and older.
- It is also used to sedate a patient who is in case of critical care and needs a mechanical ventilator (breathing machine).

Stability and Storage conditions

- It should be stored between the temperatures of 4°C to 22°C (40°F to 72°F). Refrigeration is not required.

Types for Formulations

- Emulsion,
- Suspension,
- Injectable

Popular Brand Names

- ➢ Diprivan,
- ➢ Propoven,

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.

Classification

Urea Derivatives:

i) Diureides: Barbiturates.

- **Long Acting Barbiturates:** Phenobarbitone and Mephobarbitone.
- **Short Acting Barbiturates:** Butobarbitone, Secobarbitone,and Pentobarbitone.
- **Ultra Short Acting:** Thiopentone and Hexobarbitone.

ii) Related Ureides: Glutethimide.

Benzodiazepines: These are divided as follows as per their primary use

- **Hypnotics:** Flurazepam, and Nitrazepam.
- **Antianxiety:** Diazepam, Chlordiazepoxide, and Lorazepam.
- **Anticonvulsants:** Diazepam, Clonazepam, anda Oxazepam.

Newer Non-Benzodiazepines Hypnotics: Zopiclone and Zolpidem.

Miscellaneous: Chloral hydrates, Triclophos, and Paraldehyde.

Examples of sedatives and hypnotics are given below:

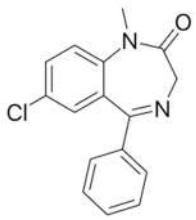
I. Diazepam, *
II. Nitrazepam,
III. Phenobarbital, *
IV. Alprazolam, *

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

➲ 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-medicant, 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 om 30°C. It should be stored in refrigerator.

Types of Formulations

- Tablet,
- Oral solution,
- Rectal gel,
- Injectable solution

Popular Brand Names

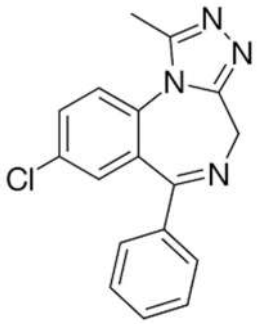
- Valium,
- Diastat,
- Diastat AcuDial

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 panicdisorders 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

Nitrazepam

→ Nitrazepam is a DEA Schedule IV controlled substance. Substances in the DEA Schedule IV have a low potential for abuse as compared to substances in Schedule lIl. It act as a role in anticonvulsant, an antispasmodic drug, a GABA modulator, a sedative and a drug metabolitd.

→ It is a 14-benzodiazepinone and a C-nitro compound.

Mechanism of Action

- Nitrazepam is a benzodiazepine. Benzodiazepines presumably exert their effects by **binding at stereo specific receptors at several sites within the central nervous system**. All benzodiazepines cause a dose-related central nervous system depressant activity.

Uses

- Nitrazepam is a long-acting benzodiazepine with intermediate onset commonly used to treat:
    1. Panic disorders
    2. Insomnia
    3. Severe anxiety
    4. Seizures

Stability and Storage Condition

- It should be stored at room temperature and away from heat and light.

Type of Formulation

- Tablets

Popular Brand Names

- Mogadon,
- Nitrazadon,
- Nitrazepam,
- Hypnotex, Nitavan

Phenobarbital *

→ Phenobarbital is a barbituricacid 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-phenyı-2, 4, 6(ıH, 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

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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 gents.

Classification

Antipsychotics are classified as follows:

1) **Phenothiazines Derivatives:** Chlorpromazine and Trifluoperazine.
2) **Butyrophenones:** Haloperidol and Trifluperidol.
3) **Rauwolfia Alkaloids:** Reserpine.
4) **Diphenylbutyl Piperidines:** Pimozide and Penfluridol.
5) **Atypical Neuroleptics:** Clozapine, Olanzapine, and Risperidone.
6) **Indole Derivatives:** Malingole.
7) **Thioxanthine Derivatives:** Chlorprothixene and Flupenthixol.
8) **Substituted Benzamides:** Sulpirides.

Examples

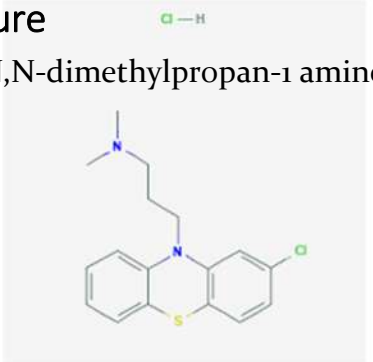
1. Chlorprozamine hydrochloride *
2. Risperidone *
3. Olanzapine
4. Lurasidone
5. Haloperidol *
6. Sulpiride *
7. Quetiapine

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

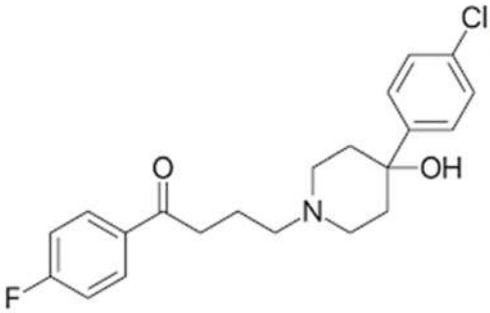
- Ormazine,
- Thorazine,
- Thorazine Spansule

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)1-1[4-(4-fluorophenyl)-4-oxobutyl]piperdin-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

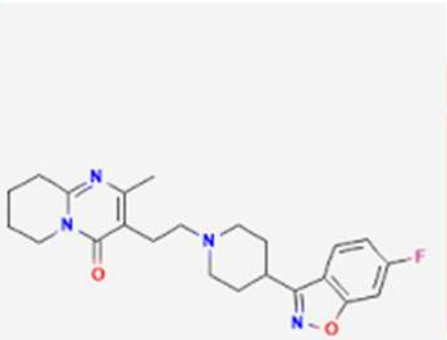
- ★ Haldol,
- ★ Haldol Decanoate,
- ★ Haloperidol LA, Peridol

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-HT2A 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

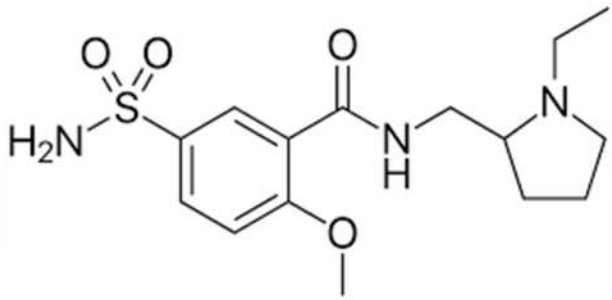
- ♣ Perseris,
- ♣ Risperdal

Sulpiride *

→ Sulpiride is a dopamine D_2-receptor antagonist which is therapeutically used as a digestive aid, antipsychotic, and an antidepressant,

Chemical Name and Structure

N-[(1-ethypyrrolidin-2-yl)methyl]-2-metgoxy-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

Olanzapine

→ Olanzapine is a class of thienobenzodiazepine classified as an atypical or second-generation antipsychotic agent. It is an antipsychotic drug which is used in the management of schizophrenia, bipolar 1 disorder, and agitation associated with these disorders.

Mechanism of Action

➲ Olanzapine is an atypical (second-generation) antipsychotic that **exerts its action primarily on dopamine and serotonin receptors**. It works on dopamine D2 receptors in the mesolimbic pathway as an antagonist, blocking dopamine from potential action at the post-synaptic receptor.

Uses

❖ It is an antipsychotic medication which is used in the and treatment of psychotic conditions such as schizophrenia bipolar disorder (manic depression) in adults and Children at least 13 years old.

Stability and Storage Conditions

- Olanzapine should be stored at room temperature. This drug should be kept away from light. This medication should not be stored in moist or damp areas, like bathrooms

Type of Formulation

- Tablets

Popular Brand Names

- ZyPREXA,
- ZyPREXA Zydis

Quetiapine

→ Quetiapine is a class of an antipsychotic medicine which is used in the treatment of schizophrenia in adults and children who are at least 13 years old. It is used in the treatment of bipolar disorder (manic depression) in adults and children who are at least 10 years old.

Mechanism of Action

➢ Quetiapine is a second-generation antipsychotic that has affinity for D2, 5-HT2A, H1, alpha 1 and 5-HT1A receptors. Its precise mechanism of action is unknown, but according to the dopamine theory of schizophrenia, antipsychotic effects might be related to the drug's ability to reduce dopaminergic neurotransmission in the mesolimbic pathway.

Uses

- It is used to treat schizophrenia.
- It is used to treat bipolar disorder.
- It is also used together with antidepressant medications to treat major depressive disorder.

Stability and Storage Conditions

- Quetiapine should be stored at room temperature. This drug should be kept away from light. This medication should not be stored in moist or damp areas.

Types of Formulations

- Tablets,
- Capsules

Popular Brand Names

- SEROquel,
- SEROquel XR

Lurasidone

→ Lurasidone is a class of atypical antipsychotic which is used in the treatment of schizophrenia and depressive episodes associated with bipolar I disorder.

Mechanism of Action

- It is a class of an atypical antipsychotic that is a D2 and 5- HT2A (mixed serotoninand dopamine activity)to improve cognition

Uses

- Schizophrenia in adults and adolescents
- Depressive episode associated with Bipolar I disorder

Stability and Storage Conditions

- It should be stored at room temperature and kept away from light and moisture.

Type of Formulation

- Tablets

Popular Brand Name

- Latuda 5

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 gainst possible excitotoxic effects which may result in brain damage.

Classification

Based on Mechanism of Action:

They are classified as follows:

1. **Enhancement of Na Channel Inactivation:** Phenytoin, Carbamazepine, Lamotrigine, and Valproate.
2. **Enhanced GABA Synaptic Transmission**
   a. Agents acting on the GABA/CI ionophore complex, e.g., Progabide.
   b. Agents that potentiate GABA:
      - GABA transaminase inhibitors, e.g., Vigabatrin, and
      - GABA reuptake inhibitors, e.g., Tiagabine.
   c. Agents binding to benzodiazepine receptors, e.g., Clobazam and Flumazenil.
   d. Agents binding to barbiturate receptors, e.g., Phenobarbital and Mephobarbital.
3. **Reduction of Current Through T-type Ca2+ Channels: e.g.,** Ethosuximide, Dimethadione, and Valproate.

Examples

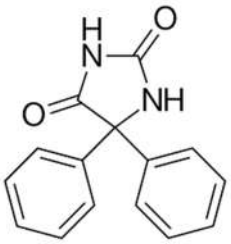
1. Phenytoin *
2. Carbamazepinev *
3. Clonazepam
4. Valproic acid *
5. Gabapentin *
6. Topiramate
7. Vigabatrin
8. Lamotrigine

Phenytoin *

→ Phenytoi is an antico convulsant 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) Trigenminal 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 s be stored in the tightly closed or sealed container or bottle

Types of Formulations

- Capsule,
- Oral suspension,
- Tablet,
- Injectable solution

Popular Brand Names

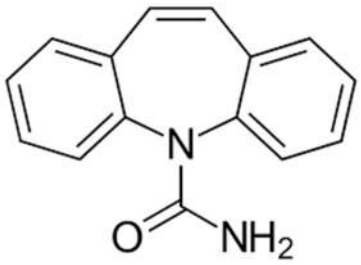
❖ Dilantin,
❖ Phenytoin Sodium,
❖ Prompt,
❖ Extended Release

Carbamazepine *

→ Carbamazepine (CBZ) is an anticonvulsant and mood stabilising drug which is mainly used for treating epilepsy, bipolar disorder, and trigeminal neuralgia.

Chemical Name and Structure

5H-dibenzo[b,f]azepine-5-carboxamide



Mechanism of Action

- Carbamazepine is a sodium channel blocker. It binds preferentially to voltage-gated sodium channels in their inactive conformation, which prevents repetitive and sustained firing of an action potential.

Uses

- It is used for treating epilepsy and pain related to true trigeminal neuralgia.

Stability and Storage Conditions

- It should be kept away from children and should be kept in a cool, dry place and stored at room temperature. Direct sunlight is prohibited.

Types of Formulation

- Tablet,
- Suspension,
- Capsule

Popular Brand Names

- Tegretol,
- Equetro,
- Tegretol XR,
- Carbamazepine CR,
- Epitol Carbamazepine Chewtabs,
- Teril, Carbatrol, Carnexiv

Clonazepam

→ Clonazepam is a benzodiazepine that is mainly used as an anticonvulsant for treating absence seizures, petit mal variant seizures (Lennox-Gastaut syndrome), myoclonic and akinetic seizures, and nocturnal myoclonus.

Mechanism of Action

- Clonazepam is highly potent and a long-acting benzodiazepine. It exerts pharmacological effects by **acting as a positive allosteric modulator on GABA-A receptors**. The GABA-A receptor is a ligand-gated chloride ion-selective channel which endogenous ligand is GABA (gamma-aminobutyric acid).

Uses

- It is used for treating various types of seizures such as myotonic or atonic seizures, photosensitive epilepsy, and absence seizures but it may develop tolerance. It is rarely effective in general tonic-chronic or partial seizures.

Stability and Storage Conditions

- It should be stored at 59°F and 86°F (15°C and 30°C).
- This medication should not be stored in moist or damp areas like bathrooms.

Types of Formulation

- Tablets,
- Solution,

Popular Brand Names

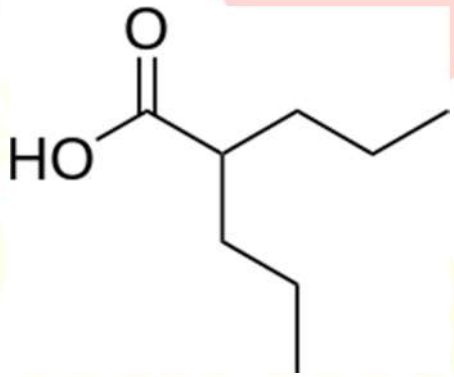
- Klonopin,
- Rivotril

Valproic Acid *

→ Valproic acid is a fatty acid having anticonvulsant properties and is used for treating epilepsy. It is supplied as its sodium salt valproate semisodium or divalproex sodium.

Chemical Name and Structure

2-propylpentanoic acid



Mechanism of Action

➲ Valproic acid exhibits its pharmacologic effects in a couple of ways, such as by acting on GABA (γ aminobutyric acid) levels in the CNS, blocking voltage-gated ion channels, and inhibiting histone deacetylase.

Uses

❖ It is used for managing and treating seizure disorders, mania, and prophylactic treatment of migraine headache.

❖ It is also used for controlling absence seizures, tonic-clonic seizures (grand mal), complex partial seizures. and seizures related to Lennox-Gastaut syndrome.

Stability and Storage Conditions

- It should be stored between 15 and 30 °C (59 and 86 °F) and in a tight container.
- It should be protected from freezing

Types of Formulation

- Capsule,
- Syrup,
- Injectable solution

Popular Brand Names

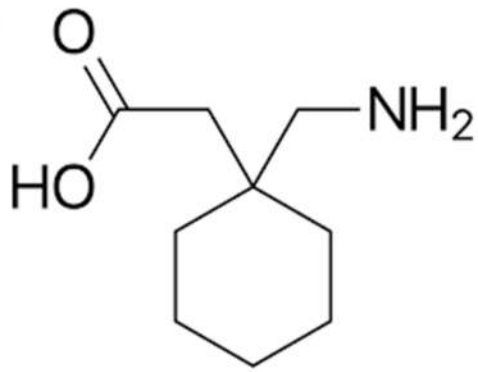
- Valproate Sodium,
- Depacon,
- Depakene, Stavzor

Gabapentin *

→ Gabapentin is a drug initially developed for treating epilepsy.

Chemical Name and Structure

1-(aminomethyl)cyclohexaneacetic acid



Mechanism of Action

➢ Gabapentin **crosses several lipid membrane barriers via system L amino acid transporters**. In vitro, gabapentin modulates the action of the GABA synthetic enzyme, glutamic acid decarboxylase (GAD) and the glutamate synthesizing enzyme, branched-chain amino acid transaminase.

Uses

➢ It is used for managing postherpetic neuralgia in adults and as an adjunctive therapy for treating partial seizures with and without secondary generalisation in epilepsy patients over 12 years of age.

Stability and Storage Conditions

- It should be stored between 15 and 30 °C (59 and 86 °F) and in a tight container.
- It should be protected from freezing

Types of Formulations

- Capsule,
- Tablet,
- Solution,
- Suspension

Popular Brand Names

- Neurontin,
- Gralise,
- Fanatrex,
- Gabaron

Topiramate

→ Topiramate is an anticonvulsant drug which is used in controlling epilepsy, prophylaxis and migraines treatment.

Mechanism of Action

➢ Topiramate has been observed to exert actions on voltage-dependent sodium channels, GABA receptors, and glutamate receptors. Topiramate **stimulates GABA-A receptor activity at brain non-benzodiazepine receptor sites and reduces glutamate activity at both AMPA and kainate receptors**.

Uses

- Treating certain types of seizures.
- Preventing migraine headaches.

Stability and Storage Conditions

- Tablets and extended-release capsules should be stored at room temperature and away from excess heat and moisture (not in the bathroom).

Types of Formulations

- Tablets,
- Capsules

Popular Brand Names

- Topamax,
- Topamax Sprinkle,
- Trokendi XR,
- Qudexy XR

Vigabatrin

→ VGB (4-amino-5-hexenoic acid or gamma-vinyl-GABA) is a structural analogue of GABA that contains a vinyl appendage.

Mechanism of Action

- The main mechanism of action of vigabatrin is thought to be **irreversible inhibition of GABA transaminase resulting in increased GABA levels**. Vigabatrin has been successfully tested

in animal models of epilepsy such as subcutaneous pentylenetetrazol-induced seizures and electrical kindling.

Uses

- As an adjunct therapy to treat refractory complex partial seizures.
- As monotherapy to treat infantile spasms in infants 1 month to 2 years.

Stability and Storage Conditions

- The oral syringes are provided separately by the pharmacy. It should be properly stored and handled. It should be stored at 20°C to 25°C.

Types of Formulations

- Tablets,
- Powders

Popular Brand Names

- Sabril,
- Vigadrone

Lamotrigine

→ Lamotrigine is a phenyltriazine antiepileptic used to treat some types of epilepsy and bipolar I disorder.

Mechanism of Action

➢ The mechanism of action for lamotrigine is not entirely understood. It is a triazine, and research has shown that lamotrigine selectively binds and inhibits voltage-gated sodium channels, stabilizing presynaptic neuronal membranes and inhibiting presynaptic glutamate and aspartate release.

Uses

- Alone or with other medications to treat epileptic seizures in adults and children.
- To delay mood episodes in adults with bipolar disorder.

Stability and Storage Conditions

★ It should be stored in tightly closed or sealed.

Type of Formulation

➲ Tablets

Popular Brand Name

→ Lamictal

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.

Examples

- Amitriptyline Hydrochloride *
- Fluoxetine *
- Duloxetine
- Citalopram
- Escitalopram
- Imipramine Hydrochloride *
- Venlafaxine
- Sertraline
- Fluvoxamine
- Paroxetine

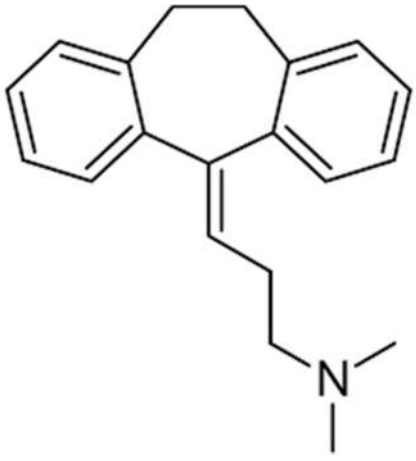
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Amitriptyline Hydrochloride *

→ Amitriptyline is a class of tricyclic antidepressants (TCA). It is the most extensively used TCA and is the most effective drug against depression.

Chemical Name and Structure

N,N-dimethyl-3-(2-tricyclo[9.4.0.03,8]pentadeca-1(15),3,5,7,11,13-hexaenylidene)propan-1-amine;hydrochloride



Mechanism of Action

- Amitriptyline increases noradrenergic or serotonergic neurotransmission by blocking the norepinephrine or serotonin transporter (NET or SERT) at presynaptic terminals.

Uses

- It is widely used in the cases like anxiety,which accompanies depression.
- At the side chain of nitrogen forming Nortriptyline, it is monodemethylated.

Stability and Storage Conditions

- It should be stored in a well-closed container at 20°-25°C (68°-77°F). Additionally, amitriptyline tablets must be protected from light therefore should be stored in a light resistant container.

Types of Formulations

- Tablets,
- Oral solutions

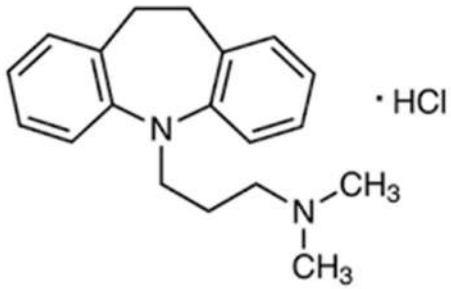
Popular Brand Names

- Elavil,
- Tryptizol,
- Laroxyl,
- Sarotex

Imipramine Hydrochloride *

Chemical Name and Structure

3-(10,11-dihydro-5H-dibenzo[b,f]azepin-5-yl)-N,Ndimethylpropan-1-amine



Mechanism of Action

- Imipramine is a tricyclic antidepressant that has been used since the 1950s. Its primary mechanism of action is to **inhibit the reuptake of serotonin and norepinephrine**, thus elevating the levels of these neurotransmitters in the brain.

Uses

- It is useful in the treatment of endogenous depression.
- It is formed after undergoing metabolic N demethylation, that appears to have a somewhat shorter onset of action than imipramine and less sedating.

Stability and Storage Conditions

- It should be stored in a tightly closed container and at well-ventilated place.

Types of Formulations

- Capsule,
- Tablet

Popular Brand Name

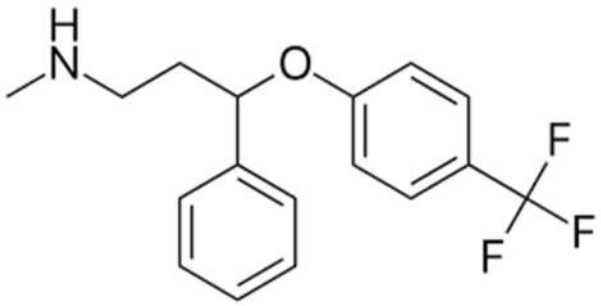
- Tofranil

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 (5HT1A) 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

Venlafaxine

→ Venlafaxine is a class of selective serotonin and norepinephrine reuptake inhibitor(SNRI) which is used in the treatment of major depression.

Mechanism of Action

♦ Venlafaxine works by increasing serotonin levels, norepinephrine, and dopamine in the brain by blocking transport proteins and stopping their reuptake at the presynaptic terminal.

Uses

- The chemicals in the brain get affected by venlafaxine that may be unbalanced in people with depression.
- Venlafaxine is a prescription drug which is used to treat major depressive disorder, anxiety, and panic disorder.

Stability and Storage Condition

- Keep away from direct sunlight and children. It should be kept in a cool, dry place.

Types of Formulations

- Capsule,
- Tablet,

Popular Brand Name

♦ Effexor

Duloxetine

→ Duloxetine is a class of selective serotonin and norepinephrine reuptake inhibitor antidepressant (SSNRI). The chemicals in the brain get affected by Duloxetine that may be cause imbalance in people with depression.

Mechanism of Action

➲ Duloxetine inhibits the reuptake of serotonin and norepinephrine (NE) in the central nervous system.

Uses

★ Major depressive disorder in adults.
★ General anxiety disorder in adults and children of age more than 7 years

Stability and Storage Condition

- The container should be tightly closed in dry and well ventilated place. The containers should be resealed that are opened and kept upright to prevent leakage. The recommended storage temperature for Duloxetine is 20°C.

Types of Formulations

- Capsules,
- Tablets

Popular Brand Names

♦ Cymbalta,
♦ Drizalma Sprinkle,
♦ Irenka

Sertraline

→ Sertraline is a selective serotonin reuptake inhibitor (SSRI) used in the treatment of major depressive disorder, that are used social anxiety lisorder and many other psychiatric conditions.

Mechanism of Action

➢ The mechanism of action of sertraline is presumed to be linked to its **inhibition of CNS neuronal uptake of serotonin (5HT)**. Studies at clinically relevant doses in man have demonstrated that sertraline blocks the uptake of serotonin into human platelets.

Uses

♦ Major depressive disorder
♦ Obsessive-Compulsive Disorder (OCD)
♦ Panic disorder

Stability and Storage Condition

o It should be stored at 20°C to 25°C (68°F to 77°F).

Types of Formulations

- Tablets,
- Oral concentration

Popular Brand Name

- Zoloft

Citalopram

→ Citalopram is an antidepressant classified under selective Serotonin reuptake inhibitors (SSRIs).

Mechanism of Action

- The inhibition of CNS neuronal reuptake of serotonin (5-HT) Label leads to the mechanism of action of citalopram.

Uses

- It is most commonly used to treat depression.

Stability and Storage Condition

- Citalopram tablets should be stored at room temperature. This drug should be kept away from high temperatures.

Types of Formulations

- Tablets,
- Oral Solutions

Popular Brand Name

- CeleXA

Fluvoxamine

→ Fluvoxamine is a class of selective serotonin-reuptake inhibitors which is used in the treatment of obsessive- compulsive disorder.

Mechanism of Action

- FLV blocks reuptake of serotonin at the sodium-dependent serotonin transporter (SERT) of the neuronal membrane, enhancing actions of serotonin on 5HT1A autoreceptors

Uses

- It is a Selective Serotonin Reuptake Inhibitors (SSRI).
- It is used to treat symptoms of Obsessive Compulsive Disorder (OCD) in adults and children at least 8 years old.

Stability and Storage Condition

★ Fluvoxamine should be kept at room temperature. This drug should be kept away from light.

Types of Formulations

- Capsules,
- Tablets

Popular Brand Name

★ Luvox

Escitalopram

→ Escitalopram is a Class of selective serotonin re-uptake inhibitor which is used in the treatment of Major Depressive Disorder (MDD), Generalised Anxiety rder (GAD), and other selective psychiatric disorders like Obsessive-Co -Compulsive isorder (OCD).

Mechanism of Action

- Escitalopram increases intrasynaptic levels of the neurotransmitter serotonin by blocking the reuptake of the neurotransmitter into the presynaptic neuron.

Uses

➢ The chemicals in the brain get affected by escitalopram, which may be unbalanced in people with depression or anxiety.
➢ The major depressive disorder in adults and adolescents at least 12 years old are treated by this drug.
➢ It is also used in the treatment of anxiety in adults.

Stability and Storage Condition

- It should be stored in a closed container at room temperature.

Type of Formulation

- Tablets

Popular Brand Names

- Cipralex,
- Lexapro

Paroxetine

→ paroxetine is a class of selective serotonin reuptake Inhibitor which is used in the treatment of major. depressive disorder, panic disorder, OCD, social phobia, generalised anxiety disorder, the vasomotor symptoms of menopause and premenstrual dysphoric disorder.

Mechanism of Action

- As an SSRI class drug, paroxetine's signature mechanism of action is to block the serotonin reuptake transporter (SERT) and thus increase the concentration of synaptic serotonin.

Uses

→ Paroxetine is used to treat depression, including major depressive disorder

Stability and Storage Condition

- Tablets should be kept at room temperature.

Type of Formulation

- Tablets

Popular Brand Names

- Paxil,
- Paxil CR,
- Brisdelle,
- Pexeva

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