Book Name: Medicinal Chemistry-I

Editors: Dr. Surya Prakash Gupta, Ms. Shikha Singh

ISBN: 978-81-978670-5-7

DOI:

Binding Type: Perfect Binding

About This Book

The Book of Medicinal Chemistry-I, edited by Dr. Surya Prakash Gupta and Ms. Shikha Singh, is a comprehensive guide that provides an in-depth exploration of key topics in medicinal chemistry. This book is authored by a team of experts from the Rajiv Gandhi Institute of Pharmacy, AKS University, Satna, Madhya Pradesh, and covers a wide range of subjects including drug metabolism, neurotransmitters, adrenergic and cholinergic systems, sympathomimetic and parasympathomimetic agents, adrenergic blockers, sedatives, hypnotics, antipsychotics, anticonvulsants, general anesthetics, and analgesics. Each chapter is crafted to provide detailed insights into the mechanisms, therapeutic applications, and pharmacological aspects of these critical areas, making it an essential reference for students, researchers, and professionals in the field of pharmaceutical sciences.

Chapter 1: Introduction To Medicinal Chemistry

Author: Dr. Surya Prakash Gupta

Volume: 01

First Online: 31 August 2024

Pages: 27

DOI:

Abstract

The history and development of medicinal chemistry trace back to ancient civilizations where natural substances were used for healing. Over time, the field evolved significantly, especially with the advent of modern chemistry in the 19th century. The discovery of the structure of DNA and advancements in organic chemistry paved the way for the synthesis of new drugs. Medicinal chemistry now involves a multidisciplinary approach, integrating biology, pharmacology, and chemistry to design and develop therapeutic agents. Understanding physicochemical properties is crucial in medicinal chemistry, as these properties influence a drug's absorption, distribution, metabolism, and excretion (ADME). For instance, a drug's solubility affects its bioavailability, while its lipophilicity impacts its ability to cross cell membranes. Molecular size, shape, and ionization state also play vital roles in drug-receptor interactions and overall efficacy. By

optimizing these properties, medicinal chemists aim to create compounds with improved therapeutic profiles and reduced side effects, ultimately enhancing patient outcomes. This continuous refinement process is fundamental to developing safer and more effective medications.

Keywords: History of Medicinal Chemistry, Ancient Healing Practices, Evolution of Medicinal Chemistry, 19th Century Modern Chemistry, Structure of DNA, Organic Chemistry Advancements

Chapter 2: Drug Metabolism

Author: Mr. Prabhakar Singh Tiwari

Volume: 01

First Online: 31 August 2024

Pages: 21

DOI:

Abstract

Drug metabolism is a critical process that modifies pharmaceutical substances to facilitate their elimination from the body. It primarily occurs in the liver and involves two main phases: Phase I and Phase II reactions. Phase I reactions, also known as functionalization reactions, introduce or expose functional groups through oxidation, reduction, or hydrolysis. Enzymes such as cytochrome P450s play a pivotal role in these reactions, often resulting in the production of more polar and reactive metabolites. Phase II reactions, or conjugation reactions, involve the coupling of these metabolites with endogenous substrates like glucuronic acid, sulfate, or glutathione, leading to highly water-soluble compounds that are easier to excrete. Several factors influence drug metabolism, including genetic polymorphisms, age, sex, diet, and disease states. Genetic variations can lead to differences in enzyme activity, affecting how individuals metabolize certain drugs. Age and sex can also impact metabolic rates, with infants and the elderly often metabolizing drugs more slowly, while men and women may have different enzyme expression levels. Diet, particularly the intake of certain foods and beverages, can induce or inhibit metabolic enzymes. Additionally, liver diseases or other health conditions can impair metabolism, altering drug efficacy and safety. Stereochemistry, the spatial arrangement of atoms in a drug molecule, is another crucial factor. Enantiomers, or mirrorimage isomers, can be metabolized differently by the body's enzymes, leading to variations in pharmacological activity and side effects. Understanding these principles and factors is essential for predicting drug behavior, personalizing treatments, and minimizing adverse reactions.

Keywords: Drug Metabolism, Pharmaceutical Substances, Liver Metabolism, Phase I Reactions, Functionalization Reactions

Chapter 3: Drugs Acting on Autonomic Nervous System

Author: Ms. Neha Goel

Volume: 01

First Online: 31 August 2024

Pages: 09

DOI:

Abstract

Adrenergic neurotransmitters, primarily catecholamines like dopamine, norepinephrine, and epinephrine, play vital roles in the body's response to stress and regulation of various physiological functions. The biosynthesis of catecholamines begins with the amino acid tyrosine, which is converted into LDOPA by the enzyme tyrosine hydroxylase. L-DOPA is then decarboxylated to form dopamine, which can be further hydroxylated to produce norepinephrine and finally methylated to form epinephrine. The catabolism of catecholamines involves enzymes like monoamine oxidase (MAO) and catechol-Omethyltransferase (COMT), which break down these neurotransmitters into inactive metabolites excreted in the urine. Adrenergic receptors, classified into alpha and beta types, mediate the effects of catecholamines. Alpha receptors (α1 and α2) are primarily found in smooth muscles and blood vessels, where they regulate vasoconstriction and blood pressure. Beta receptors (β1, β2, and β3) are distributed in the heart, lungs, and adipose tissue, with β1 receptors increasing heart rate and contractility, β2 receptors causing bronchodilation and vasodilation, and β3 receptors involved in lipolysis. The precise distribution and function of these receptors enable the fine-tuning of physiological responses, highlighting the intricate balance maintained by the adrenergic system in maintaining homeostasis.

Keywords: Adrenergic Neurotransmitters, Catecholamines, Dopamine, Norepinephrine, Epinephrine, Stress Response

Chapter 4: Sympathomimetic Agents-I

Author: Mr. Satyendra Garg

Volume: 01

First Online: 31 August 2024

Pages: 11

DOI:

Abstract

Sympathomimetic agents, also known as adrenergic agonists, mimic the effects of endogenous catecholamines like epinephrine and norepinephrine. These agents are classified based on their

mechanism of action into direct-acting, indirect-acting, and mixed-acting sympathomimetics. Direct-acting agents, such as epinephrine, norepinephrine, phenylephrine, dopamine, methyldopa, clonidine, dobutamine, isoproterenol, terbutaline, salbutamol, bitolterol, naphazoline, oxymetazoline, and xylometazoline, directly stimulate adrenergic receptors. Indirect-acting agents, like amphetamines, increase the release or inhibit the reuptake of endogenous catecholamines. Mixed-acting agents, like ephedrine, exhibit both direct and indirect actions. The mechanism of action of sympathomimetic agents involves the activation of alpha- or beta-adrenergic receptors, leading to various physiological responses such as increased heart rate, bronchodilation, vasoconstriction, and lipolysis. These agents are used to treat conditions like asthma, hypotension, cardiac arrest, and nasal congestion. The structure-activity relationship (SAR) of sympathomimetics reveals that modifications to the catecholamine structure can significantly alter receptor selectivity and potency. For example, the presence of hydroxyl groups on the benzene ring and specific side chain substitutions determines the affinity and selectivity for alpha or beta receptors.

Keywords: Sympathomimetic Agents, Adrenergic Agonists, Catecholamines, Epinephrine, Norepinephrine, Direct-Acting Sympathomimetics

Chapter 5: Sympathomimetic Agents- II

Author: Mrs. Neelam Singh

Volume: 01

First Online: 31 August 2024

Pages: 10

DOI:

Abstract

Sympathomimetic agents can also act through indirect mechanisms or mixed mechanisms. Indirect-acting agents, such as hydroxyamphetamine, pseudoephedrine, and propylhexedrine, exert their effects by increasing the release or inhibiting the reuptake of endogenous catecholamines like norepinephrine and dopamine. These agents enhance neurotransmitter availability at synaptic clefts, leading to prolonged stimulation of adrenergic receptors. For instance, pseudoephedrine is commonly used as a nasal decongestant due to its ability to constrict blood vessels in the nasal passages. Agents with mixed mechanisms, like ephedrine and metaraminol, possess both direct and indirect actions. Ephedrine, for example, directly stimulates adrenergic receptors and also promotes the release of norepinephrine from nerve endings. This dual action makes it effective in treating conditions like hypotension and nasal congestion. Metaraminol, on the other hand, primarily acts as a vasopressor, useful in managing acute hypotensive states. The versatility of these agents, due to their combined mechanisms, makes them valuable in various therapeutic contexts, providing both immediate and sustained adrenergic stimulation. Understanding the diverse actions of indirect and mixed sympathomimetics is crucial for their optimal clinical use.

Keywords: Hydroxyamphetamine, Pseudoephedrine, Propylhexedrine, Catecholamines, Norepinephrine, Dopamine

Chapter 6: Alpha Adrenergic Blockers

Author: Mr. Abu Tahir

Volume: 01

First Online: 31 August 2024

Pages: 08

DOI:

Abstract

Alpha adrenergic blockers, also known as alpha antagonists, inhibit the action of catecholamines on alpha receptors, leading to vasodilation and decreased blood pressure. These agents are used in various clinical conditions, including hypertension, benign prostatic hyperplasia, and certain vascular diseases. Tolazoline and phentolamine are non-selective alpha blockers that are primarily used for their vasodilatory effects in treating conditions like peripheral vascular disease. Phenoxybenzamine, an irreversible alpha antagonist, is used in managing pheochromocytoma, a tumor of the adrenal gland that causes excessive release of catecholamines. Prazosin, a selective alpha-1 blocker, is commonly prescribed for hypertension and benign prostatic hyperplasia due to its ability to relax vascular smooth muscle and improve urine flow. Dihydroergotamine, derived from ergot alkaloids, has partial alpha-blocking properties and is used in the treatment of migraines and cluster headaches. Methysergide, another ergot derivative, is employed in managing severe headaches due to its complex action on serotonin and alpha receptors. The diverse therapeutic applications of alpha-adrenergic blockers highlight their importance in modern medicine, offering targeted approaches to managing cardiovascular and other related conditions.

Keywords: Alpha Adrenergic Blockers, Alpha Antagonists, Catecholamines, Alpha Receptors, Vasodilation, Blood Pressure Reduction

Chapter 7: Beta Adrenergic Blockers

Author: Mr. Ashutosh Jain

Volume: 01

First Online: 31 August 2024

Pages: 15

DOI:

Abstract

Beta adrenergic blockers, commonly known as beta blockers, inhibit the action of catecholamines on beta receptors, primarily affecting the heart and vascular system. The structure-activity relationship (SAR) of beta blockers reveals that modifications to the aromatic ring and side chains can significantly influence their selectivity and affinity for beta-1 and beta-2 receptors. For instance, propranolol, a non-selective beta blocker, effectively reduces heart rate and blood pressure but can also cause bronchoconstriction due to beta-2 receptor blockade. Selective beta-1 blockers like atenolol, metoprolol, bisoprolol, and esmolol are designed to minimize respiratory side effects by preferentially targeting beta-1 receptors in the heart, making them safer for patients with respiratory conditions. Metibranolol and betaxolol also fall into this category, offering cardiac protection with reduced risk of bronchospasm. Labetalol and carvedilol are unique in that they block both alpha and beta receptors, providing a dual mechanism that is particularly useful in treating hypertension and heart failure. The SAR of beta blockers enables the fine-tuning of their therapeutic effects, ensuring that these medications can be tailored to meet specific clinical needs while minimizing adverse effects.

Keywords: Aromatic Ring Modifications, Side Chain Modifications, Beta-1 Receptors, Beta-2 Receptors, Propranolol, Non-Selective Beta Blocker, Heart Rate Reduction

Chapter 8: Cholinergic Neurotransmitters

Author: Ms. Shikha Singh

Volume: 01

First Online: 31 August 2024

Pages: 16

DOI:

Abstract

Cholinergic neurotransmitters, primarily acetylcholine (ACh), play crucial roles in the peripheral and central nervous systems. The biosynthesis of acetylcholine occurs in the nerve terminals, where choline is acetylated by the enzyme choline acetyltransferase, using acetyl-CoA as the acetyl donor. Once synthesized, acetylcholine is stored in vesicles and released into the synaptic cleft upon nerve stimulation. The catabolism of acetylcholine is rapid and is primarily carried out by the enzyme acetylcholinesterase, which hydrolyzes ACh into choline and acetate. This breakdown is essential for terminating the neurotransmitter's action and allowing the nerve cell to reset for the next signal. Cholinergic receptors are classified into muscarinic and nicotinic receptors based on their response to muscarine and nicotine, respectively. Muscarinic receptors are G-proteincoupled receptors found in various tissues, including the heart, smooth muscles,

and glands, where they mediate parasympathetic nervous system effects. Nicotinic receptors are ion channel receptors located at neuromuscular junctions, autonomic ganglia, and the central nervous system, playing a critical role in muscle contraction and synaptic transmission. The distribution and function of these receptors highlight the diverse physiological roles of acetylcholine in the body.

Keywords: Central Nervous System, Biosynthesis of Acetylcholine, Choline Acetylation, Choline Acetyltransferase, Acetyl-CoA, Nerve Terminals, Synaptic Cleft

Chapter 9: Parasympathomimetic Agents-I

Author: Mrs. Saba Ruksaar

Volume: 01

First Online: 31 August 2024

Pages: 09

DOI:

Abstract

Parasympathomimetic agents, also known as cholinomimetics, mimic the effects of acetylcholine by stimulating cholinergic receptors. These agents are classified into direct-acting and indirect-acting categories. Direct-acting agents, such as acetylcholine, carbachol, bethanechol, methacholine, and pilocarpine, directly bind to and activate muscarinic and nicotinic receptors. Indirect-acting agents, like acetylcholinesterase inhibitors, increase acetylcholine levels by inhibiting its breakdown. The mechanism of action of parasympathomimetic agents involves the activation of cholinergic receptors, leading to increased parasympathetic nervous system activity. This results in effects such as decreased heart rate, increased glandular secretion, and enhanced smooth muscle contraction. These agents are used to treat conditions like glaucoma, urinary retention, and xerostomia. The structure-activity relationship (SAR) of parasympathomimetic is crucial in determining their receptor selectivity and resistance to enzymatic degradation. For instance, carbachol and bethanechol are more resistant to acetylcholinesterase than acetylcholine, prolonging their action. Methacholine and pilocarpine have modifications that enhance their selectivity for muscarinic receptors. Understanding the SAR helps in designing drugs with specific therapeutic targets and reduced side effects, making parasympathomimetic valuable in clinical practice.

Keywords: Cholinomimetics, Acetylcholine, Cholinergic Receptors, DirectActing Agents, Indirect-Acting Agents, Muscarinic Receptors, Nicotinic Receptors

Chapter 10: Parasympathomimetic Agents-II

Author: Dr. Surya Prakash Gupta

Volume: 01

First Online: 31 August 2024

Pages: 13

DOI:

Abstract

Parasympathomimetic agents also include indirect-acting cholinesterase inhibitors, which increase acetylcholine levels by inhibiting its breakdown. These inhibitors are classified into reversible and irreversible types. Reversible inhibitors, such as physostigmine, neostigmine, pyridostigmine, edrophonium chloride, tacrine hydrochloride, and ambenonium chloride, temporarily bind to acetylcholinesterase, enhancing cholinergic transmission. They are used to treat conditions like myasthenia gravis, glaucoma, and Alzheimer's disease. For example, neostigmine and pyridostigmine improve muscle strength in myasthenia gravis by preventing acetylcholine degradation. Irreversible inhibitors, such as isofluorophate, echothiophate iodide, parathion, and malathion, form long-lasting bonds with acetylcholinesterase, leading to prolonged cholinergic effects. These agents are often used in insecticides and nerve agents. Due to their potency and risk of toxicity, their clinical use is limited and requires careful handling. In cases of poisoning by irreversible cholinesterase inhibitors, cholinesterase reactivators like pralidoxime chloride (2-PAM) are employed. Pralidoxime chloride binds to the inhibited enzyme, restoring its activity and allowing the breakdown of excess acetylcholine. This reactivation is crucial in managing acute poisoning and preventing the severe effects of cholinergic overstimulation.

Keywords: Reversible Inhibitors, Irreversible Inhibitors, Physostigmine, Neostigmine, Pyridostigmine, Edrophonium Chloride

Chapter 11: Cholinergic Blocking Agents-I

Author: Mr. Prabhakar Singh Tiwari

Volume: 01

First Online: 31 August 2024

Pages: 09

DOI:

Abstract

Cholinergic blocking agents, also known as anticholinergics or cholinolytics, inhibit the action of acetylcholine at muscarinic receptors, reducing parasympathetic nervous system activity.

These agents are classified into natural alkaloids, such as solanaceous alkaloids, and synthetic analogues. The mechanism of action involves competitive inhibition at muscarinic receptors, leading to effects such as decreased glandular secretion, relaxation of smooth muscles, and increased heart rate. The structure-activity relationship (SAR) of cholinolytic agents highlights the importance of specific structural features for receptor binding and activity. For example, the ester and basic nitrogen groups are critical for interaction with muscarinic receptors, while modifications can alter selectivity and potency. Solanaceous alkaloids, like atropine sulphate, hyoscyamine sulphate, and scopolamine hydrobromide, are naturally occurring anticholinergics used to treat conditions such as bradycardia, motion sickness, and gastrointestinal disorders. Atropine is widely used in emergency settings to treat bradycardia and as a pre-anesthetic to reduce salivation. Hyoscyamine and scopolamine have similar uses but also aid in treating motion sickness and gastrointestinal spasms. Homatropine hydrobromide, a semisynthetic derivative, is used in ophthalmology to dilate pupils. Ipratropium bromide, a synthetic analogue, is employed as a bronchodilator for managing chronic obstructive pulmonary disease (COPD) and asthma, highlighting the clinical versatility of cholinergic blocking agents.

Keywords: Cholinergic Blocking Agents, Anticholinergics, Cholinolytics, Acetylcholine Inhibition, Muscarinic Receptors, Parasympathetic Nervous System

Chapter 12: Cholinergic Blocking Agents-II

Author: Ms. Neha Goel

Volume: 01

First Online: 31 August 2024

Pages: 15

DOI:

Abstract

Synthetic cholinergic blocking agents, designed to inhibit the action of acetylcholine at muscarinic receptors, offer a wide range of therapeutic applications. Tropicamide and cyclopentolate hydrochloride are used in ophthalmology to induce pupil dilation for diagnostic procedures. Clidinium bromide and dicyclomine hydrochloride are effective in managing gastrointestinal disorders like irritable bowel syndrome by reducing smooth muscle spasms and secretions. Glycopyrrolate, known for its minimal central nervous system penetration, is used to reduce salivation and manage peptic ulcers. Methantheline bromide and propantheline bromide are employed to treat conditions like peptic ulcers and hyperhidrosis by reducing gastric secretions and sweat production. Benztropine mesylate, orphenadrine citrate, and biperiden hydrochloride are used in managing Parkinson's disease and drug-induced extrapyramidal symptoms by balancing neurotransmitter levels in the brain. Procyclidine hydrochloride offers similar benefits in treating Parkinsonism. Tridihexethyl chloride, isopropamide iodide, and ethopropazine hydrochloride are also utilized for their antispasmodic and anti-secretory properties in various clinical conditions. The synthetic versatility of these agents allows for

targeted therapeutic effects with reduced side effects compared to natural alkaloids, enhancing patient outcomes across multiple medical disciplines.

Keywords: Synthetic Cholinergic Blocking Agents, Muscarinic Receptors, Pupil Dilation, Ophthalmology, Tropicamide, Cyclopentolate Hydrochloride

Chapter 13: Sedatives And Hypnotics: Benzodiazepines

Author: Mr. Satyendra Garg

Volume: 01

First Online: 31 August 2024

Pages: 13

DOI:

Abstract

Sedatives and hypnotics are drugs that depress the central nervous system (CNS) to induce calmness (sedation) or promote sleep (hypnosis). These agents are classified into several categories, including benzodiazepines, barbiturates, and newer non-benzodiazepine hypnotics. The mechanism of action typically involves enhancing the inhibitory neurotransmitter gamma-aminobutyric acid (GABA) at the GABA-A receptor, increasing neuronal inhibition and producing sedative or hypnotic effects. Benzodiazepines, such as chlordiazepoxide, diazepam, oxazepam, chlorazepate, lorazepam, and alprazolam, bind to specific sites on the GABA-A receptor, enhancing GABA's effect and resulting in sedative, anxiolytic, muscle relaxant, and anticonvulsant properties. These drugs are commonly used to manage anxiety disorders, insomnia, seizures, and muscle spasms. The structure-activity relationship (SAR) of benzodiazepines reveals that the presence of a benzene ring fused to a diazepine ring, along with specific substitutions, influences their potency, duration of action, and receptor affinity. For instance, diazepam is widely used for its rapid onset and long duration of action, while lorazepam and oxazepam are preferred for patients with liver impairment due to their simpler metabolism. Zolpidem, a non-benzodiazepine hypnotic, selectively binds to the benzodiazepine receptor subtype, offering effective sleep induction with fewer side effects. Understanding the SAR helps in designing sedatives and hypnotics with desired therapeutic profiles and minimized adverse effects.

Keywords: Sedatives, Hypnotics, Calmness Induction, Sleep Promotion, Benzodiazepines, Barbiturates

Chapter 14: Sedatives And Hypnotics-II

Author: Mrs. Neelam Singh

Volume: 01

First Online: 31 August 2024

Pages: 16

DOI:

Abstract

Barbiturates, a class of sedatives and hypnotics, act by enhancing the action of GABA at the GABA-A receptor, leading to increased neuronal inhibition. The structure-activity relationship (SAR) of barbiturates reveals that modifications to the barbituric acid core influence their onset and duration of action. For instance, adding alkyl or aryl groups can enhance lipid solubility, allowing for faster onset and shorter duration of action. Barbital and phenobarbital are longacting barbiturates used for their sedative and anticonvulsant properties. Mephobarbital and amobarbital have intermediate durations, useful for anxiety and insomnia, while butabarbital, pentobarbital, and secobarbital are shortacting, providing quick sedation for procedures or severe insomnia. Miscellaneous sedatives include amides, imides, alcohols, and their derivatives. Glutethimide, an imide, acts similarly to barbiturates and is used for short-term insomnia treatment. Alcohol and their carbamate derivatives, such as meprobamate and ethchlorvynol, also act on the CNS to provide sedative effects. Meprobamate is utilized for its anxiolytic and muscle relaxant properties, while ethchlorvynol is used for insomnia. Aldehyde derivatives like triclofos sodium and paraldehyde serve as hypnotics, with triclofos sodium often used in pediatric sedation and paraldehyde in managing acute convulsions. These diverse agents provide a range of options for treating anxiety, insomnia, and seizure disorders, each with distinct pharmacokinetic profiles and clinical uses.

Keywords: Barbital, Phenobarbital, Mephobarbital, Amobarbital, Butabarbital, Pentobarbital, Secobarbital

Chapter 15: Antipsychotics-I

Author: Mr. Abu Tahir

Volume: 01

First Online: 31 August 2024

Pages: 16

DOI:

Abstract

Antipsychotics, also known as neuroleptics, are drugs used to manage psychosis, particularly in conditions like schizophrenia and bipolar disorder. They are classified into typical (first-generation) and atypical (second-generation) antipsychotics. The primary mechanism of action

involves dopamine receptor antagonism, particularly at the D2 receptor, which helps to reduce symptoms of psychosis by modulating dopamine activity in the brain. Phenothiazines, a class of typical antipsychotics, have a three-ring structure essential for their activity. The structure-activity relationship (SAR) of phenothiazines shows that substitutions on the nitrogen atom and the aromatic ring affect their potency and side effects. Promazine hydrochloride and chlorpromazine hydrochloride are early phenothiazines known for their efficacy but also for sedation and anticholinergic effects. Triflupromazine, thioridazine hydrochloride, piperacetazine hydrochloride, prochlorperazine maleate, and trifluoperazine hydrochloride offer variations in efficacy and side effect profiles, with modifications in their side chains enhancing specific therapeutic outcomes. Ring analogues of phenothiazines, such as chlorprothixene and thiothixene, modify the central ring structure, providing similar antipsychotic effects with potentially different side effect profiles. Loxapine succinate and clozapine represent a further evolution, with clozapine being particularly noted for its efficacy in treatment-resistant schizophrenia and its reduced risk of extrapyramidal side effects. These agents illustrate the diversity and complexity of antipsychotic drug development, balancing efficacy with side effect management.

Keywords: Antipsychotics, Neuroleptics, Psychosis Management, Schizophrenia, Bipolar Disorder, Typical Antipsychotics

Chapter 16: Antipsychotics-II

Author: Mr. Ashutosh Jain

Volume: 01

First Online: 31 August 2024

Pages: 11

DOI:

Abstract

Antipsychotics encompass various chemical classes, each with unique properties and clinical applications. Fluorobutyrophenones, such as haloperidol, droperidol, and risperidone, are notable for their potent dopamine D2 receptor antagonism. Haloperidol, a high-potency typical antipsychotic, is widely used for treating acute and chronic psychotic disorders, as well as for controlling severe agitation. Droperidol, with similar properties, is often utilized in emergency settings for its sedative and antiemetic effects. Risperidone, an atypical antipsychotic, combines dopamine and serotonin receptor antagonism, offering efficacy in treating schizophrenia and bipolar disorder with a reduced risk of extrapyramidal symptoms. Beta amino ketones, such as molindone hydrochloride, provide another class of antipsychotics. Molindone, a typical antipsychotic, exhibits both dopamine receptor antagonism and modest monoamine oxidase inhibition, useful for managing schizophrenia with fewer metabolic side effects compared to other antipsychotics. Benzamides, exemplified by sulpiride, are unique in their selective dopamine D2 and D3 receptor antagonism. Sulpiride is used for its antipsychotic and antidepressant properties, particularly in the treatment of schizophrenia and dysthymia. Its

atypical profile provides therapeutic benefits with a lower incidence of motor side effects. These diverse classes illustrate the broad spectrum of antipsychotic agents available, each tailored to specific clinical needs and patient profiles.

Keywords: Antipsychotics, Chemical Classes, Fluorobutyrophenones, Haloperidol, Droperidol, Risperidone

Chapter 17: Anticonvulsants

Author: Ms. Shikha Singh

Volume: 01

First Online: 31 August 2024

Pages: 29

DOI:

Abstract

Anticonvulsants, also known as antiepileptic drugs (AEDs), are medications used to prevent and control seizures in various types of epilepsy. They are classified based on their chemical structure and mechanisms of action into several categories, including barbiturates, hydantoins, oxazolidinediones, succinimides, urea and monoacylureas, benzodiazepines, and miscellaneous agents. The structure-activity relationship (SAR) of anticonvulsants involves specific modifications to their core structures to enhance their efficacy and reduce toxicity. These drugs generally work by stabilizing neuronal membranes, inhibiting excitatory neurotransmission, or enhancing inhibitory neurotransmission. Barbiturates like phenobarbitone and methabarbital enhance GABAergic inhibition, while hydantoins such as phenytoin, mephenytoin, and ethotoin act by blocking voltage-gated sodium channels, preventing seizure propagation. Oxazolidinediones, including trimethadione and paramethadione, and succinimides like phensuximide, methsuximide, and ethosuximide, are effective in treating absence seizures by modulating T-type calcium channels. Urea derivatives such as phenacemide and carbamazepine inhibit sodium channels, with carbamazepine being widely used for various seizure types. Benzodiazepines like clonazepam enhance GABA activity, providing potent anticonvulsant effects. Miscellaneous agents like primidone, valproic acid, gabapentin, and felbamate have diverse mechanisms, including enhancing GABAergic activity and inhibiting excitatory neurotransmitters, making them versatile in treating different forms of epilepsy. These varied mechanisms and structural modifications underscore the complexity and effectiveness of anticonvulsant therapies.

Keywords: Anticonvulsants, Antiepileptic Drugs (AEDs), Seizures, Epilepsy, Barbiturates, Hydantoins, Oxazolidinediones, Succinimides

Chapter 18: General Anaesthetics

Author: Mrs. Saba Ruksaar

Volume: 01

First Online: 31 August 2024

Pages: 19

DOI:

Abstract

General anesthetics are drugs that induce reversible loss of consciousness and sensation, used primarily during surgical procedures to ensure patients do not feel pain and remain unconscious. They are classified into inhalation anesthetics, intravenous anesthetics, and dissociative anesthetics. The mechanism of action typically involves enhancing inhibitory neurotransmission or inhibiting excitatory neurotransmission in the central nervous system, leading to altered synaptic transmission and loss of consciousness. Inhalation anesthetics like halothane, methoxyflurane, enflurane, sevoflurane, isoflurane, and desflurane are administered via the respiratory system and act by modulating the activity of ion channels such as GABA-A receptors and potassium channels, leading to decreased neuronal excitability. These agents are widely used due to their rapid onset and easy controllability of anesthesia depth. Ultra-short-acting barbiturates, such as methohexital sodium, thiamylal sodium, and thiopental sodium, are administered intravenously and induce anesthesia quickly by enhancing GABAergic inhibition. These agents are often used for induction of anesthesia due to their rapid onset and short duration of action. Dissociative anesthetics like ketamine hydrochloride induce a trance-like state with analgesia, amnesia, and sedation. Ketamine works by antagonizing NMDA receptors, providing both anesthesia and analgesia without significantly depressing respiratory function, making it valuable in emergency and pediatric anesthesia.

Keywords: General Anesthetics, Inhalation Anesthetics, Intravenous Anesthetics, Dissociative Anesthetics, Loss of Consciousness, Pain Management

Chapter 19: Narcotic and Non-Narcotic Analgesics-I

Author: Dr. Surya Prakash Gupta

Volume: 01

First Online: 31 August 2024

Pages: 14

DOI:

Abstract
Analgesics are drugs that relieve pain without causing loss of consciousness. They are classified into narcotic (opioid) and non-narcotic (non-opioid) analgesics. Narcotic analgesics, like morphine and its derivatives, act primarily on the central nervous system by binding to opioid receptors, modulating pain perception, and providing significant pain relief. Non-narcotic analgesics, such as NSAIDs and acetaminophen, work by inhibiting cyclooxygenase enzymes, reducing inflammation and pain at the site of injury. Morphine and related drugs are potent narcotic analgesics used to manage severe pain. The structure-activity relationship (SAR) of morphine analogues reveals that modifications to the morphine structure, such as substitutions on the nitrogen atom or alterations to the ring system, can significantly affect their potency, duration, and receptor selectivity. Morphine sulfate is the standard for pain relief, while codeine is less potent and used for moderate pain. Meperidine hydrochloride and anileridine hydrochloride are synthetic opioids with structural differences from morphine, providing rapid pain relief but with a shorter duration. Diphenoxylate hydrochloride and loperamide hydrochloride are used primarily for their antidiarrheal effects, as they act on opioid receptors in the gastrointestinal tract to reduce motility. Fentanyl citrate and methadone hydrochloride are potent synthetic opioids used for severe pain and in opioid dependence treatment, respectively. Propoxyphene hydrochloride, now less commonly used, and pentazocine, a mixed agonist-antagonist, offer alternative pain management options. Levorphanol tartrate provides long-acting analgesia with a profile similar to morphine, demonstrating the diverse applications and modifications within this drug class to address various pain management needs.

Keywords: Analgesics, Pain Relief, Narcotic Analgesics, Non-Narcotic Analgesics, Opioid Receptors, Morphine, NSAIDs, Acetaminophen

Chapter 20: Narcotic And Non-Narcotic Analgesics-II

Author: Mr. Prabhakar Singh Tiwari

Volume: 01

First Online: 31 August 2024

Pages: 20

DOI:

Abstract
Narcotic antagonists, such as nalorphine hydrochloride, levallorphan tartrate, and naloxone hydrochloride, are used to counteract the effects of opioid overdose by competitively binding to opioid receptors, reversing the respiratory depression and sedation caused by narcotic analgesics. Naloxone is particularly crucial in emergency settings for its rapid action in reversing life-threatening opioid effects. Anti-inflammatory agents, including NSAIDs and other analgesics, are vital for managing pain and inflammation. Sodium salicylate and aspirin, classic NSAIDs, inhibit cyclooxygenase enzymes (COX-1 and COX-2), reducing prostaglandin synthesis, inflammation, and pain. Mefenamic acid and meclofenamate are used for their potent antiinflammatory and analgesic properties, often in conditions like arthritis. Indomethacin, sulindac, and tolmetin are powerful anti-inflammatory agents used for chronic inflammatory

diseases. Diclofenac and ketorolac provide effective pain relief and are commonly used in postoperative and musculoskeletal pain. Ibuprofen and naproxen, popular over-the-counter NSAIDs, are widely used for mild to moderate pain and inflammation. Piroxicam offers long-acting anti-inflammatory effects, making it useful in chronic conditions. Acetaminophen, while primarily an analgesic and antipyretic with minimal anti-inflammatory action, is essential for pain relief when NSAIDs are contraindicated.

Keywords: Narcotic Antagonists, Opioid Overdose, Naloxone, Nalorphine Hydrochloride, Levallorphan Tartrate, Anti-Inflammatory Agents, NSAIDs