Ministry of Higher Education
and Scientific Research

Tikrit University

College of Pharmacy
4th Stage , Group – B1,R1

Practical Pharmacology Laboratory

Metformin

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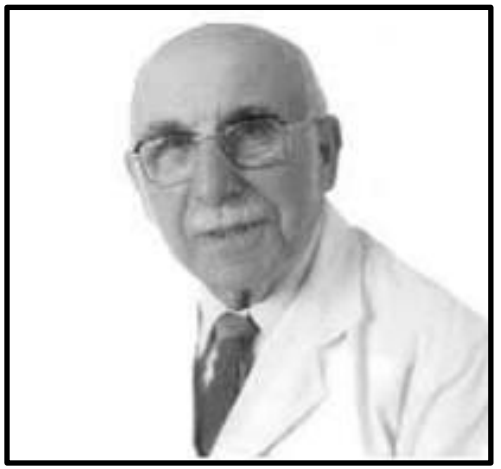
Introduction about Metformin

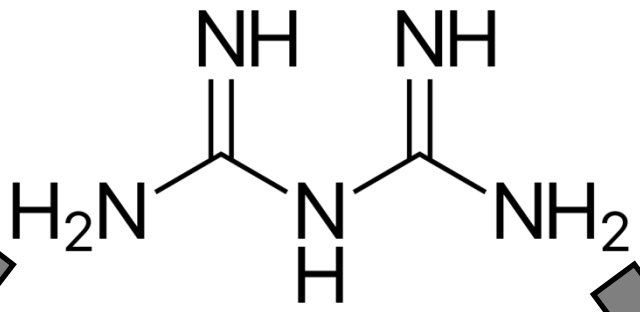
Metformin was first described in 1922 by Emil Werner and James Bell. French physician Jean Sterne began the study in humans in the 1950s. It was introduced as a medication in France in 1957



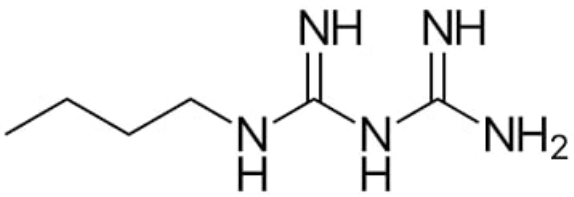
Jean Sterne

Metformin, an antidiabetic agent, was approved by the U.S. Food and Drug Administration (FDA) in 1994 for treating type II diabetes, And as a result, It was introduced as a medication in United States in 1995.

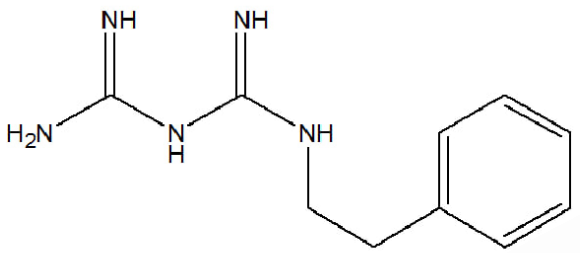
Family of metformin



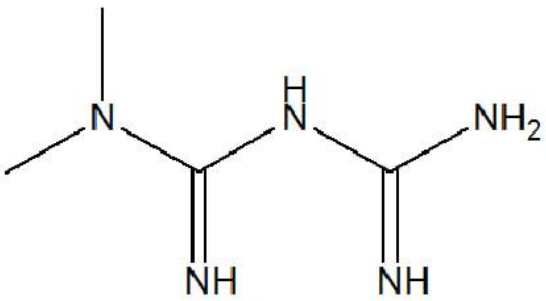
Biguanides



Buformin



phenformin



metformin

Uses of metformin

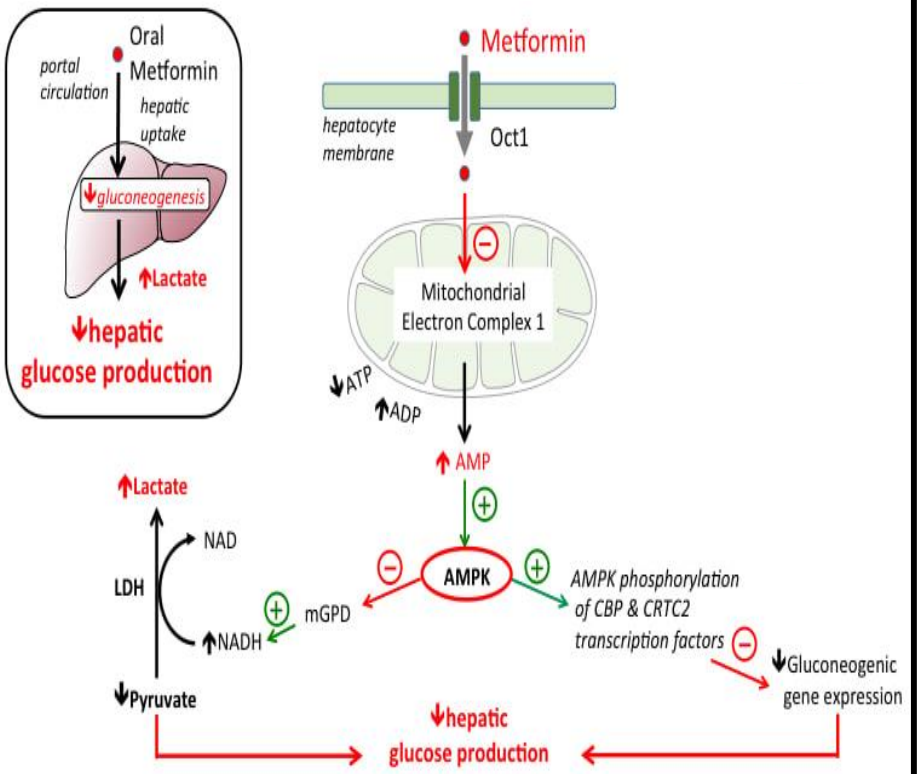
✓The most important use of metformin is to treat patients with type II DM

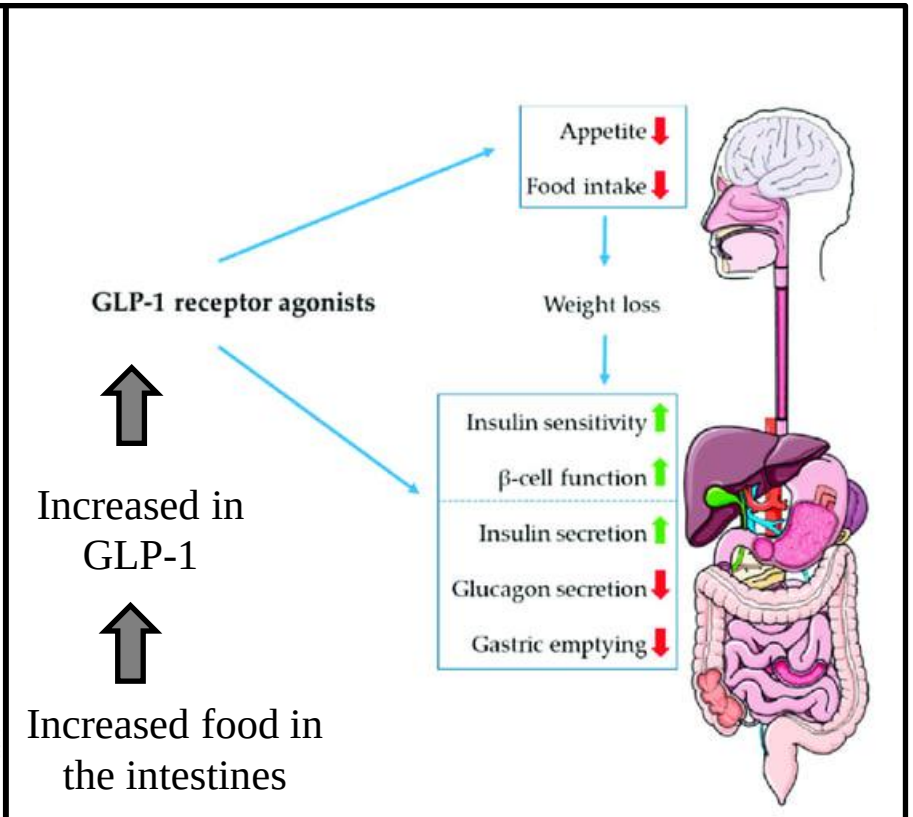
✓It is also used to treat obesity

✓ Other uses: There are studies that show that metformin is effective as an anti-cancer and treatment of Polycystic ovary syndrome (PCOS)

Mechanism of action

- Its most important mechanism is: reducing glucose absorption
- Improves insulin sensitivity to receptors
- Inhibit hepatic gluconeogenesis.
- Increase peripheral utilization of glucose by Increases anaerobic glycolysis





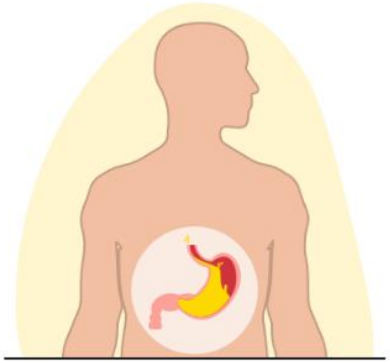
Important notes

Anti-hyperglycemic Drug :
Its function is only to lower the glucose level to the normal limit and not below normal

Metformin usually does not cause hypoglycaemia, even in large doses, Because hypoglycemia that mean , the glucose become less than the normal limit , By the medication stimulate the pancreas to secrete insulin, while Metformin only increases insulin sensitivity to the receptor.

The adverse effects

- According to the previous mechanism of action (reducing glucose absorption)



DYSPEPSIA

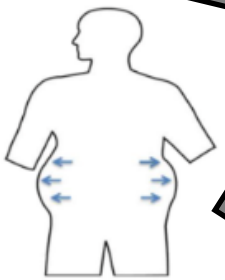
Increased glucose in the intestines

Glucose fermentation

Release of Gases

GIT upset

DIARRHEA

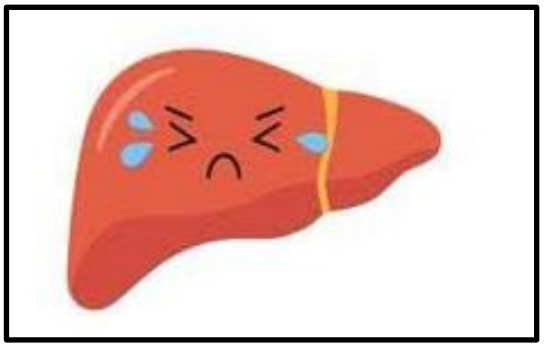


Distention

The other adverse effects

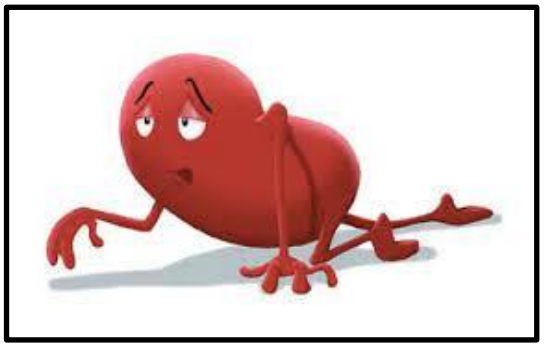
- Prolonged use can cause vitamin B12 deficiency due to malabsorption
- Lactic acidosis is the most serious complication but is rare with metformin

contraindications



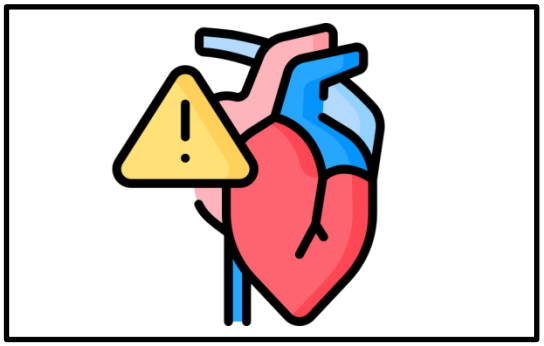
Hepatic impairment

older people,
older than 80 years



Renal impairment

Alcoholism



Heart failure

X

What tests may the doctor order before prescribing metformin ?

- Liver function blood test
- Kidney function blood tests
- Vitamin B12 blood level

Some of Trade name for metformin & Combination

Additional information about metformin

❖Dosage Forms & Strengths

➢tablet, immediate-release

- 500mg
- 850mg
- 1000mg

➢tablet, extended-release

- 500mg

❖ Warnings

➢ Metformin is usually taken with food to prevent upset stomach

➢ When the patient missed dose , He should be take as soon as your remember . But Do not take two doses at once

Thank You

Glimepiride

Prepared by :

Mohammad saadallah fahmy

Ahmad ali hussein

Abdalrahman adel

Banin hekmat

Hussain badr rasul

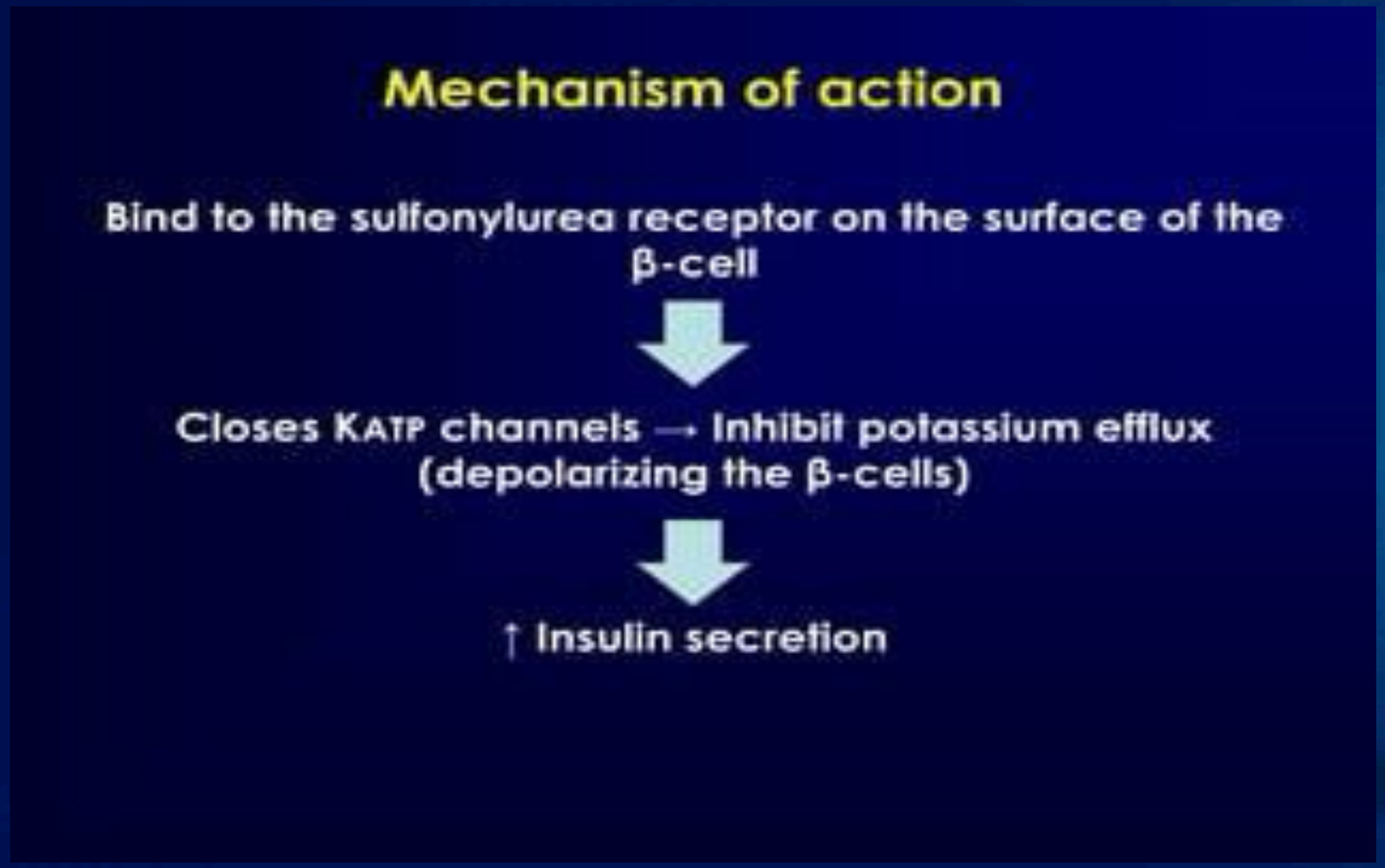
Supervised by : Dr. safa hameed mohsin

introduction :

Glimepiride is an oral antidiabetic drug which belongs to the second generation of sulfonylurea group and usually given as an oral antidiabetic therapy for patients with type 2 diabetes mellitus.
Trade name : amaryl .
dosage form : tablet .

Mechanism of action :

Initial effect to increase insulin secretion from beta cells; may also decrease rate of hepatic glucose production and increase insulin receptor sensitivity



uses :

used to treat high blood sugar levels caused by type 2 diabetes. It may be used alone, or in combination with insulin or another oral medicine such as metformin.

New studies suggest that glimepiride may be useful extra pancreatic effects that may help to overcome insulin resistance .

there is evidence that glimepiride preserves myocardial preconditioning .

side effect :

low blood sugar (hypoglycemia)

- nervousness or anxiety
- irritability
- sweating
- intense hunger
- fatigue or tiredness
- headache
- nausea
- dizziness
- weakness

contraindications :

★contraindication in patient with a hypersensitivity to glimepiride.

★contraindication in cases of hypersensitivity to sulfonamide derivatives .

★contraindication include insulin dependent diabetes,diabetic coma , DM TYPE 1 ,keto acidosis , severe renal or hepatic function disorders .

THANK YOU

Sitagliptin

Tikrit University
College of Pharmacy
4th Stage-B1 group

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SUPERVISOR

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ABOUT SITAGLIPTIN

Sitagliptin is the first in the new group of drugs used to treat diabetics called dipeptidyl peptidase-4 (DPP-4) inhibitors that have been approved for use as an adjunct to diet and exercise to improve blood sugar in adults with type 2 diabetes.

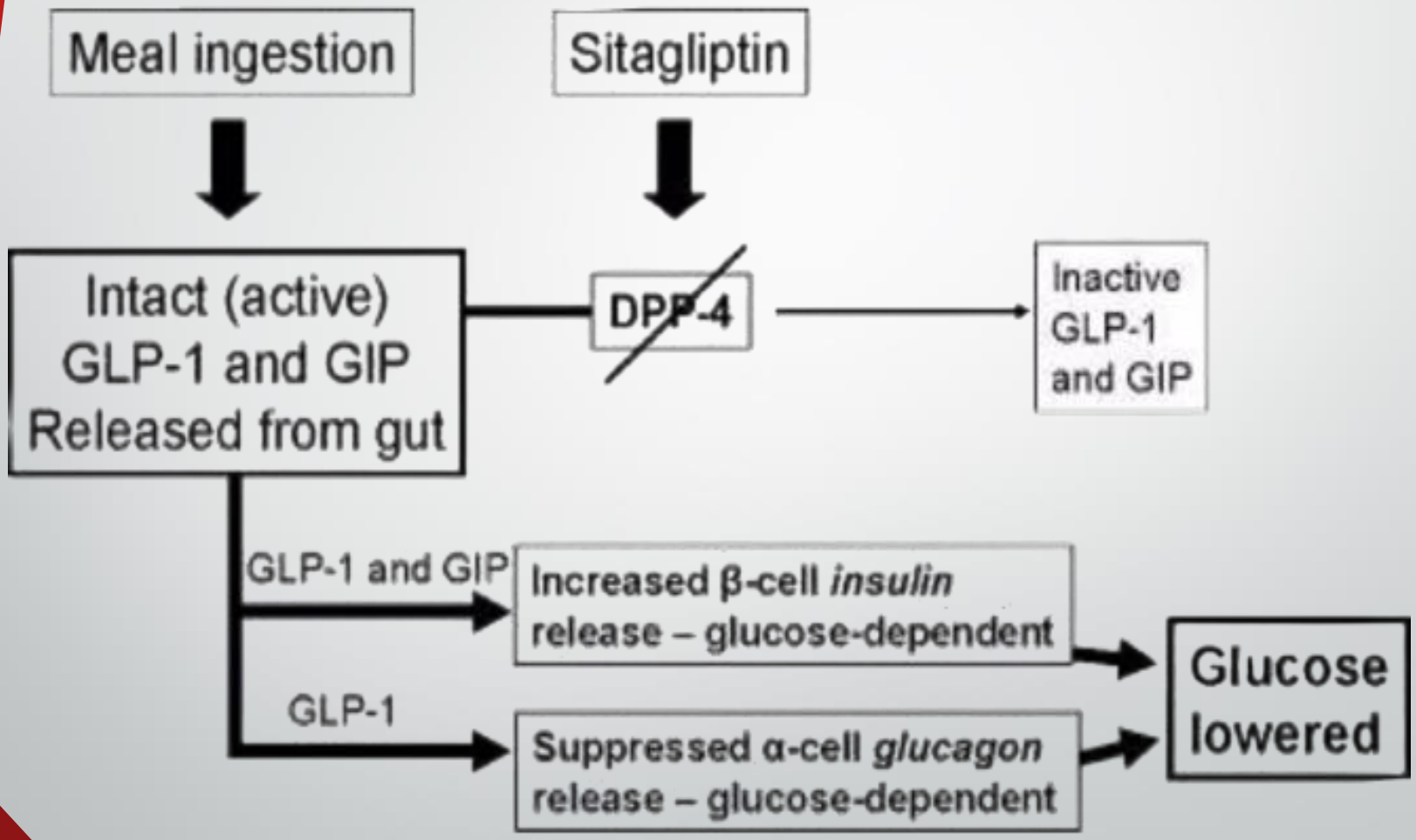
ABOUT SITAGLIPTIN

Sitagliptin is only available on prescription, It comes as tablets (25mg-50mg-100mg).

It also comes as tablets containing a mixture of sitagliptin and metformin.

Sitagliptin known by the generic name SITAVIA.

MECHANISM OF ACTION



SIDE EFFECTS

1-The most common side effects of sitagliptin include upper respiratory infection, stuffy or runny nose and sore throat, and headache.

2-sitagliptin by itself usually does not cause low blood sugar (hypoglycemia), low blood sugar may occur if this drug is prescribed with other diabetes medications.

3-Inflammation of the pancreas (pancreatitis) which may be severe and lead to death.

CONTRAINDICATIONS

1-Contraindications to patients with type 1 diabetes and diabetic ketoacidosis.

2-have ever had an allergic reaction to sitagliptin or its components.

3-have problems with your pancreas(pancreatitis).

4-have gallstones or very high levels of triglycerides in your blood.

CONTRAINDICATIONS

5-are a heavy drinker or dependent on alcohol.

6.are pregnant or breastfeeding, or trying to get pregnant.

7-Dosage adjustments are needed in patients with moderate or severe renal function impairment.

8-Recommended to avoid combination therapy with GLP-1 receptor agonist.

LIRAGLUTIDE

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Supervised by:
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Liraglutide

is an anti-diabetic medication (type 2 diabetic)

considered as "glucagon-like peptide-1 receptor agonist" also known as "incretin mimetics"

Found as injection, in trade names

1. victosa
2. saxenda
3. Xultophy

Indictions:

1. In Type 2 diabetes mellitus as second line therapy if "metformin" inappropriate , single or in combination

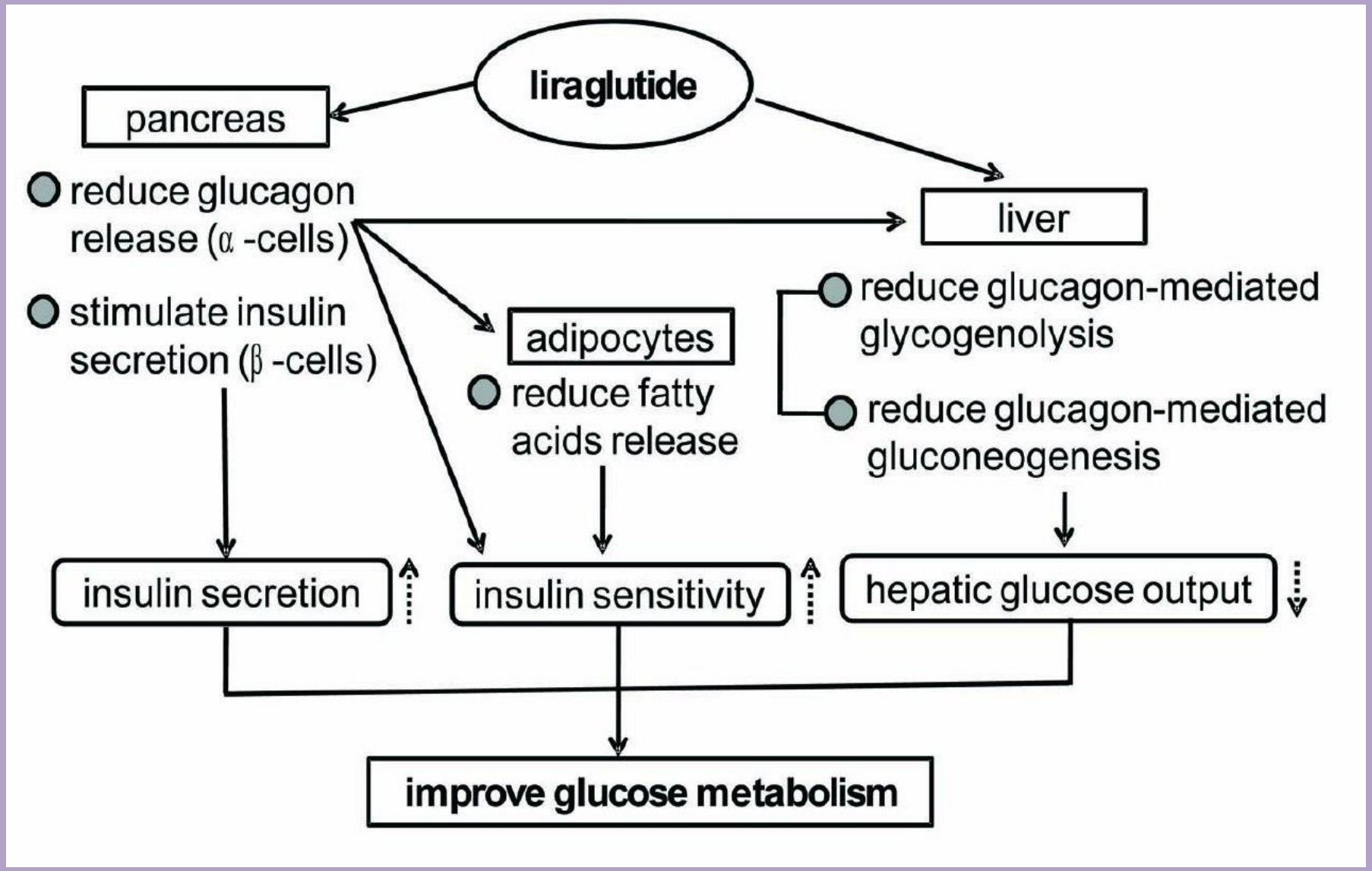
Use victosa

2. Weight loss in chronic weight management condition in adult

used together with diet and exercise.

Use saxenda

mechanism of action



Side effect:

- Appetite decreased, asthenia
- Constipation, diarrhoea, dizziness, dry mouth
- Gastrointestinal disorder,
- headache,nausea&vomiting

contraindicated in patients with:

1. Hypersensitive to liraglutide or an component of the product
2. Personal or family history of medullary thyroid carcinoma
3. Personal or family history of multiple endocrine neoplasia syndrome type 2

contraindicated in patients with:

4.Pregnancy
5. Diabetic gastroparesis
6. History of pancreatitis
7.inflammatory bowel disease

Subject:- drug pioglitazone

Dr.'s supervision: - Safa Hamid Mohsen

Preparation :-

Nihad Ahmed Saleh

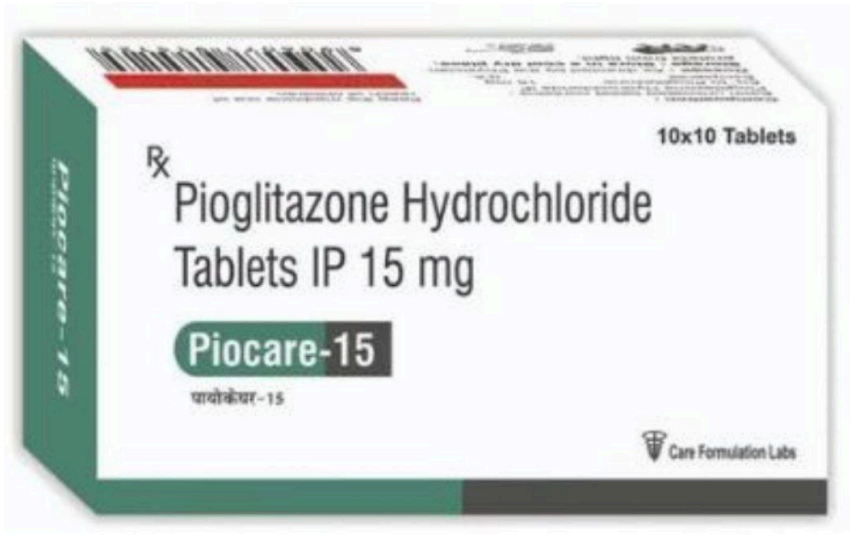
saja eltaif abdullah

luma mohammed fadel

alaa majid abbas

nashwa jamal

drug pioglitazone



- is a drug that belongs to a class of drugs known as “thiazolidine debt”

- Scientific name Pioglitazone
- trade name Pioglitazone
- Available format:- Tab

Mechanism of action

- enhancing insulin
- sensitivity in muscle,
- liver, and fat tissues

Uses

1

Pioglitazone for the treatment of type 2 diabetes either alone or used with sulfonylurea, metformin or insulin

2

Piglitazone has also been used to treat fatty liver not caused by alcohol consumption,.

Contraindications

- Piglitazone cannot be used in patients who are known to be allergic to peoglitazone, thíazoldendione, or any of its pharmaceutical ingredients.

Side effects

1

There is an increasing incidence of fractures of the upper limbs, hands and feet in females with diabetes

Side effects

2

Fluid retention may occur due to peripheral vasodilation and improved insulin sensitization in the kidney with increased sodium and water retention.

Thank You!

University of tikrit college of pharmacy

Atorvastatin

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Tabarak Najah Kazim

Ruaa Abbas Zanheer

Supervised by DR.Safa Hameed

Atorvastatin

Introduction:

Atorvastatin is a medication belonging to the statin class, widely used to lower cholesterol levels and reduce the risk of cardiovascular diseases. It works by inhibiting an enzyme involved in cholesterol synthesis, thus decreasing the production of cholesterol in the body. Atorvastatin is commonly prescribed to manage conditions such as hyperlipidemia and atherosclerosis, ultimately aiding in the prevention of heart attacks and strokes.

Group of drug:

- Atorvastatin belongs to the pharmaceutical group known as statins or HMG-CoA reductase inhibitors. This group of drugs is primarily used to lower cholesterol levels in the bloodstream, helping to prevent cardiovascular diseases by reducing the production of cholesterol in the liver.

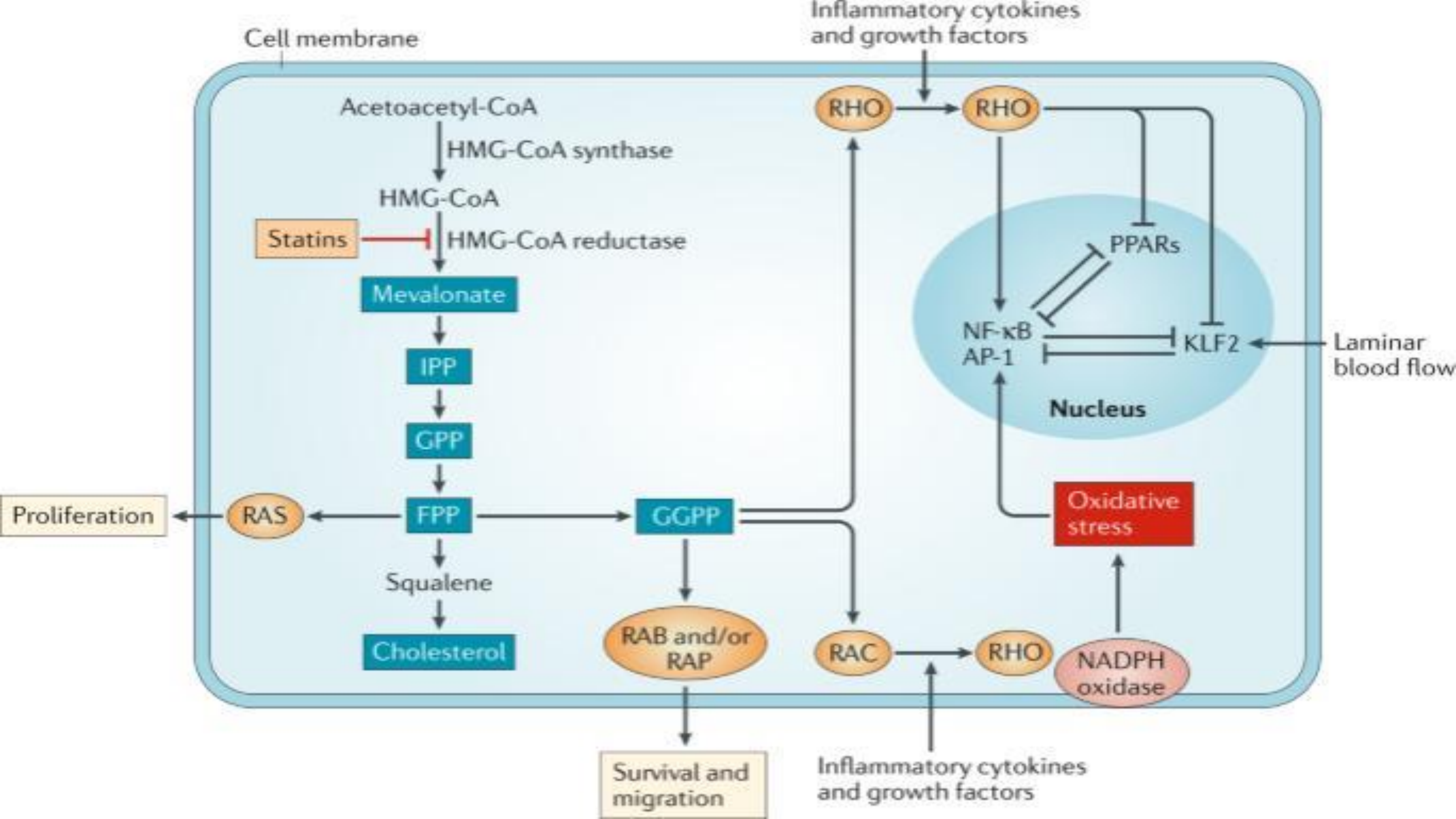
Lipid regulating drugs

Atorvastatin

M.O.A

- Atorvastatin exerts its therapeutic effects by inhibiting the enzyme HMG-CoA reductase, a key enzyme involved in the synthesis of cholesterol in the liver. By inhibiting this enzyme, atorvastatin reduces the production of cholesterol and promotes the uptake of existing cholesterol by the liver cells.

This results in lowered levels of circulating LDL (low-density lipoprotein) cholesterol, often referred to as "bad" cholesterol. Additionally, atorvastatin may modestly increase HDL (high-density lipoprotein) cholesterol, considered "good" cholesterol. Overall, the mechanism of action helps in managing lipid levels and reducing the risk of cardiovascular events.


Cell membrane
Inflammatory cytokines and growth factors
Acetoacetyl-CoA
HMG-CoA synthase
HMG-CoA
Statins
HMG-CoA reductase
Mevalonate
IPP
GPP
FPP
Squalene
Cholesterol
Proliferation
RAS
GGPP
RAB and/or RAP
Survival and migration
RHO
RHO
PPARs
NF-κB
AP-1
KLF2
Nucleus
Laminar blood flow
Oxidative stress
RAC
RHO
NADPH oxidase
Inflammatory cytokines and growth factors

Therapeutic uses

Atorvastatin **is primarily used to treat conditions related to high cholesterol levels. Its main uses include:**

1. *Hyperlipidemia*: Atorvastatin is prescribed to lower elevated levels of LDL (low-density lipoprotein) cholesterol and triglycerides in the blood.

2. *Atherosclerosis*: It is used to reduce the risk of atherosclerotic vascular diseases, including coronary artery disease and strokes, in individuals with risk factors.

- **3. *Prevention* of Cardiovascular Events: Atorvastatin is often prescribed to prevent cardiovascular events, such as heart attacks and strokes, especially in patients with existing cardiovascular conditions.**

- **It's crucial to note that the use of atorvastatin should be under the guidance of a healthcare professional who considers the individual's health profile and risk factors.**

The side effects

Side effects of atorvastatin can include:

1. Common Side Effects: - Headache - Muscle pain - Joint pain - Nausea

2. Less Common Side Effects: - Liver enzyme abnormalities - Digestive issues - Allergic reactions

3. Rare but Serious Side Effects: - Rhabdomyolysis (severe muscle breakdown) - Liver problems - Diabetes risk (slightly increased)

Contraindications

Contraindications include:

1. Pregnancy and Breastfeeding: Atorvastatin is generally not recommended during pregnancy or breastfeeding

.2. Liver Disease: Individuals with active liver disease or unexplained persistent elevations in liver function tests may need to avoid atorvastatin.

3. Allergic Reaction: People with a known hypersensitivity to atorvastatin or its components should not use the drug.

4. Drug Interactions: Atorvastatin can interact with certain medications, so it's essential to inform your healthcare provider about all the medications you are taking.Always consult a healthcare professional for personalized advice, especially considering your individual health status and any potential drug interactions.

Practical pharmacology

sub group S3

عذراء ابراهيم

الاء ابراهيم

ميساء محمد

زهراء محمد

وردة كمال

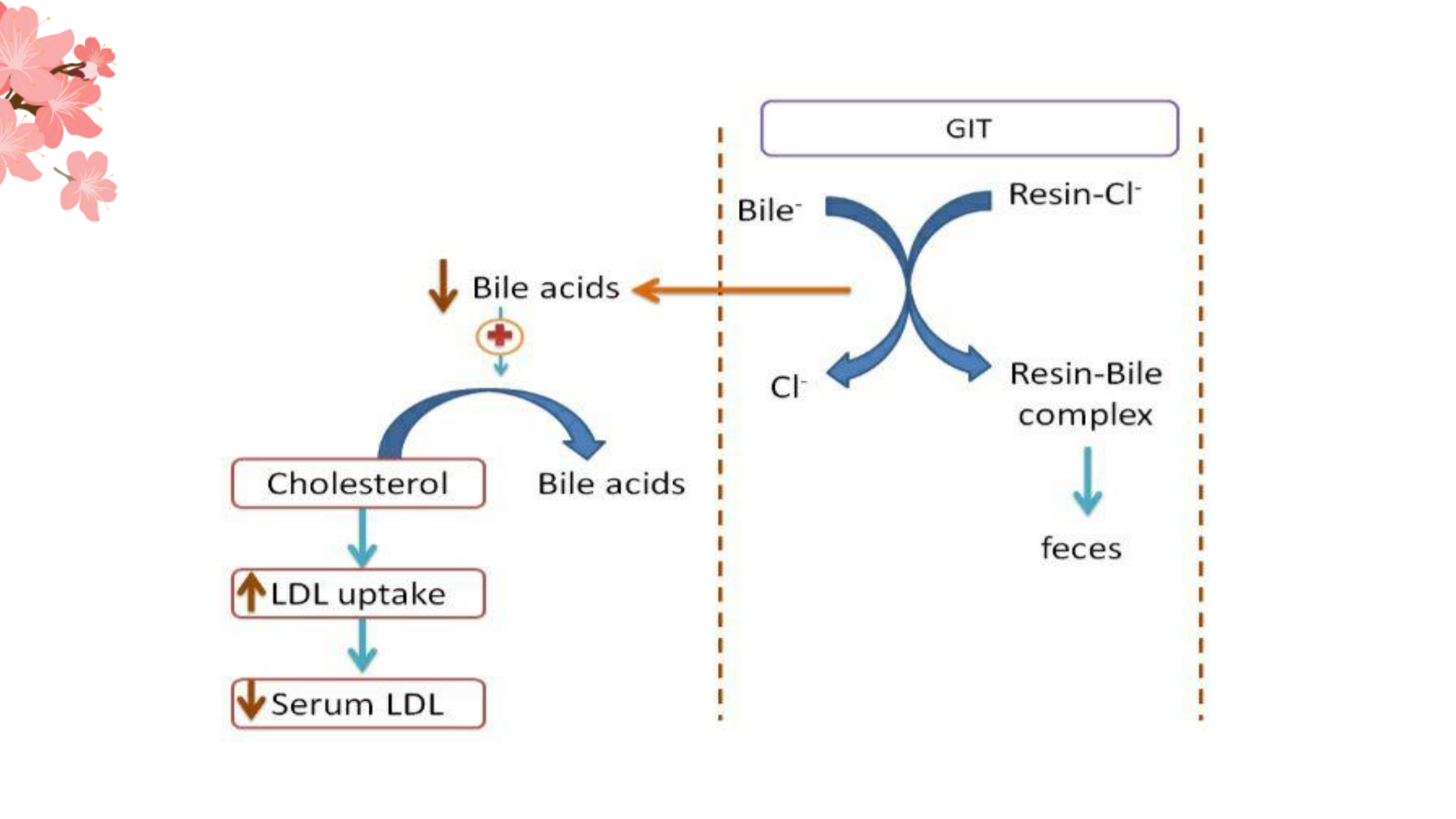
Cholestyramine

Cholestyramine introduction

• Cholestyramine is used to treat primary hypercholesterolemia
• belongs to a class of drugs called bile acid sequestrant
• brand name Prevalite, Questran, Questran Light, LoCholest , cholstran
• Available as packet
4g powder for oral suspension
• Always mix with fluids or food
Take before or with meals

Mechanism of action:

Cholestyramine resin adsorbs and combines with the bile acids in the intestine to form an insoluble complex which is excreted in the feces.In people with high cholesterol, this causes the liver to make more bile acid by using cholesterol in the blood. This helps to lower the cholesterol levels.


GIT
Bile⁻
Resin-Cl⁻
Bile acids
Cl⁻
Resin-Bile complex
feces
Cholesterol
Bile acids
LDL uptake
Serum LDL

Uses of Cholestyramine

1-It is used in combination with diet or with niacin to treat hyperlipidemia.

2-It is useful in treating itching caused by the accumulation of bile acids in people with biliary obstruction.

3-It is used to treat diarrhea caused by excessive bile acids in the stool.

4-Treatment of pseudomembranous colitis.

5-It is used as a binder for various toxins.

cholestyramine interactions

Cholestyramine may interact with blood thinners, digoxin, propranolol, diuretics (water pills), thyroid hormones, birth control pills or hormone replacement, seizure medicines, or antibiotics. Tell your doctor all medications you use. During pregnancy, cholestyramine should be used only when prescribed

Adverse effect:

1. constipation.
2. upset stomach or stomach pain.
3. diarrhea or loose stools.
4. nausea.
5. vomiting.
6. belching.
7. loss of appetite.
8. skin irritation

THANK YOU

TIKRIT UNIVERSITY
COLLEGE OF PHARMACY
FOURTH STAGE

Done by :

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Sama Qais Yassin

Athraa Wisam Dawod

Eman khalid Hamad

Supervised by:

Safa Hamid Mohsen

ISOSORBIDE DINITRATE

INTRODUCTION

- Isosorbide Dinitrate is a moderate to long acting oral organic nitrate used for the relief and prophylactic management of angina pectoris.
- To which group does the medicine belong?
- Nitrates
- Trade Name of Isosorbide dinitrate
- isordil

DOSAGE FORMS & STRENGTHS

capsule/tablet, extended release

40mg

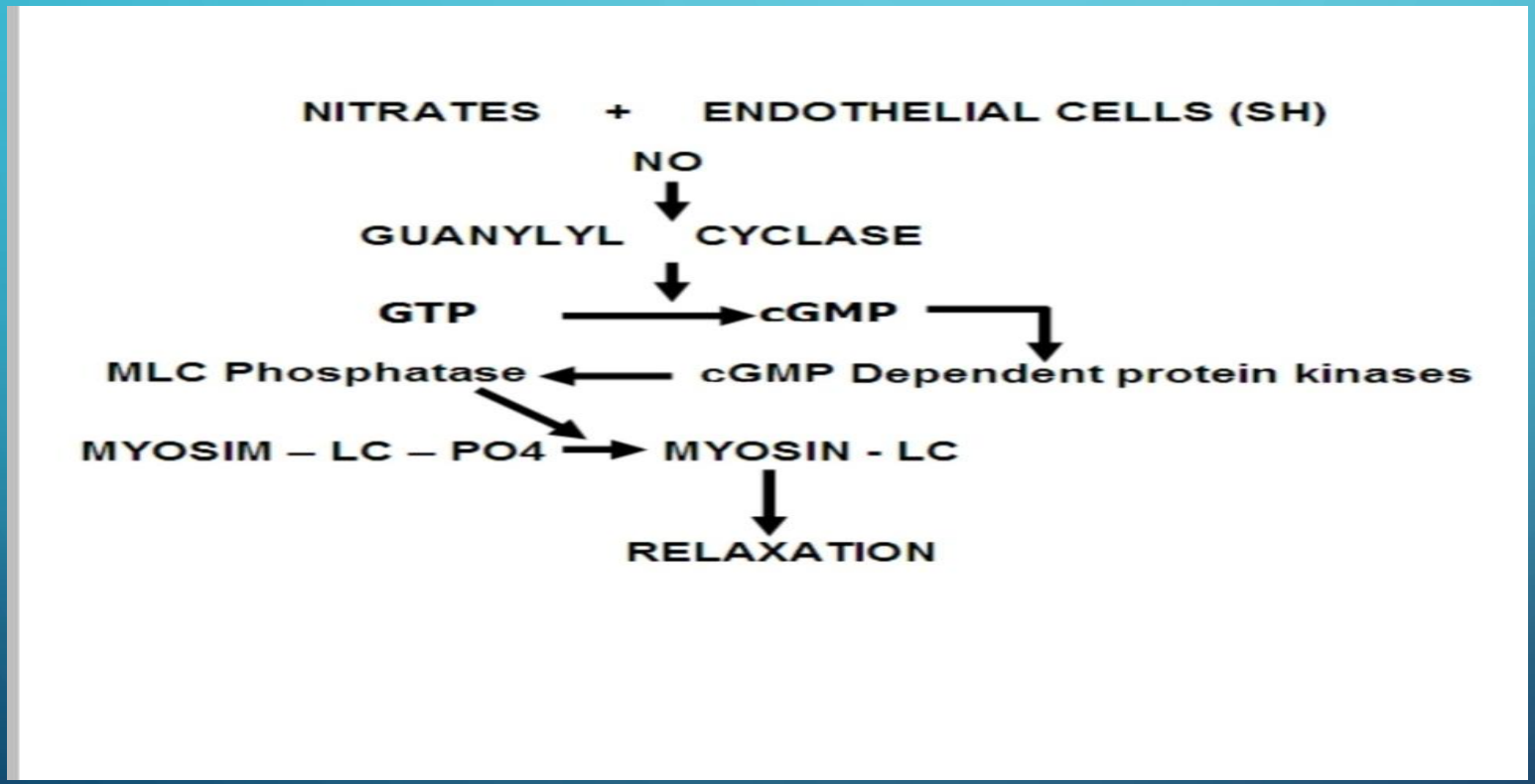
tablet, sublingual

2.5mg

5mg

tablet: 5mg, 10mg, 20mg, 30mg, 40mg

MECHANISM OF ACTION OF ISOSORBIDE DINITRATE :



WHAT ARE THE OTHER USES FOR ISOSORBIDE?

- About isosorbide mononitrate and isosorbide dinitrateThey are used to prevent angina symptoms (chest pain), they do not work to treat a current angina attack. They are also given with other medicines to treat heart failure including left ventricular failure

ADVERSE EFFECTS :

- Cardiovascular: Rebound hypertension (uncommon), syncope, unstable angina flushing, hypotension/orthostatic hypotension, lightheadedness, palpitations, tachyarrhythmia
- Central nervous system CNS): Dizziness, headache, restlessness, weakness
- Gastrointestinal GI: Nausea
- Hematologic: Methemoglobinemia, infrequent

Tikrit University_College of Pharmacy

Practical pharmacology

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presentation about "Sudium valproate"

Introduction

Valproic acid It is one of the medications used in the treatment of epilepsy. It belongs to the antiepileptic family. It inhibits the enzymes found in the liver that are responsible for metabolism, thus increasing the effectiveness of the medications that are taken with it.

It increases the amount of gamma-aminobutyric acid available to brain neurons, stimulating the neurotransmitter-inhibiting effect of gamma-aminobutyric acid.

- Trade Name: Depakine
- The scientific name: Valproic acid
- The family: Antiepileptic drug
- Pharmaceutical forms:
Powder for injection 400 mg,
oral solution 200 mg/ml,
solution for oral drops
200 mg/3.4 ml
tablets 200 mg, tablets 500 mg.

Mechanism of action

VPA is a medication with diverse mechanisms of action that are not yet fully comprehended. Some of the suggested mechanisms of action for VPA include: Inhibition of voltage-gated sodium channels: VPA obstructs the entry of sodium ions into neurons, leading to decreased neuron excitability and firing

VALPORIC ACID MoA

- Enhances the level of GABA (↓se Metabolism of GABA)

↓

- Blocks Na + Channels

↓

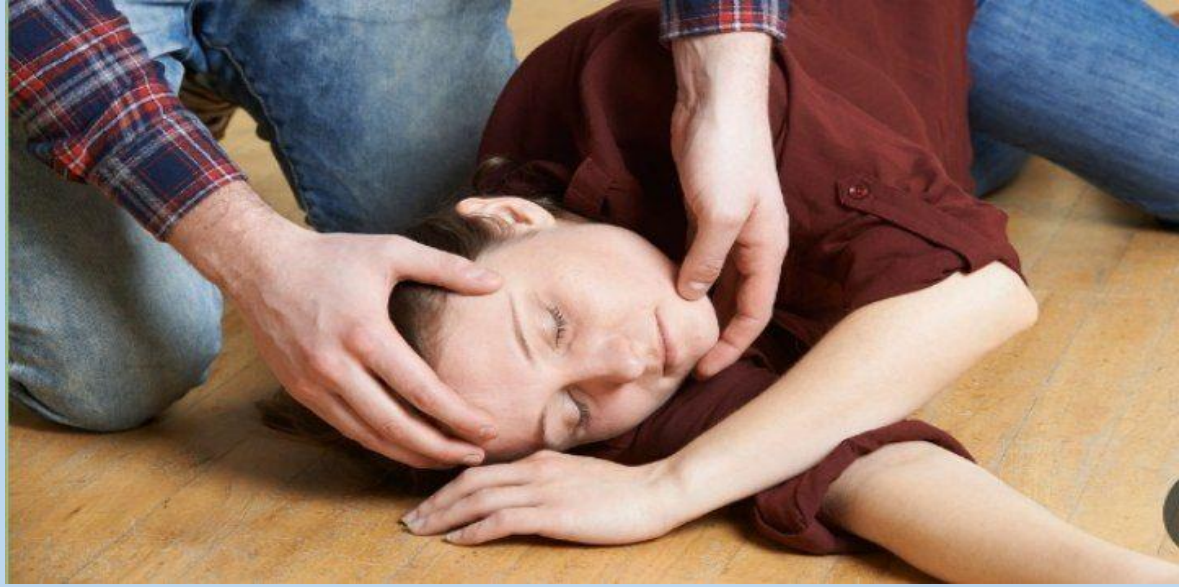
- Decreases low threshold Ca + current in thalamus

↓

- Reduce Seizure

Uses:

- Generalized seizure.
- Absence seizure.
- Bipolar disorder.
- Focal seizure.
- Prophylaxis of migraine.



Symptoms before an epileptic seizure

epileptic seizure

Side effects of valproic acid:

- Sleepiness.
- Headache.
- Vertigo.
- Insomnia.
- Nervousness and tension.
- Alopecia is represented by hair loss.
- Tremor.
- Weakness in the muscles.

Contraindications for the use of valproic acid:

- Hypersensitivity to any other component of the drug.
- Liver diseases.
- Kidney disease.
- Acute pancreatitis.
- The first months of pregnancy.
- Having problems metabolizing ammonia.

Drug interactions

- Barbiturates.
- Carbamazepine.
- Carbapenems.
- Rifampin.
- Tricyclic antidepressants such as imipramine

Note

You should avoid it, especially with medications that have a narrow therapeutic index. Because it is considered an inhibitor of the enzyme responsible for metabolism, we must use it with caution in patients suffering from chronic diseases. He has the ability to

Thank you

Carbamazepine

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introduction

Carbamazepine is an anticonvulsant and mood-stabilizing drug primarily used to treat epilepsy and bipolar disorder. It works by reducing abnormal electrical activity in the brain. Additionally, carbamazepine is utilized for certain types of nerve pain. It's important to note potential side effects and interactions with other medications.

Group of Carbamazepine : anticonvulsants

Trade Name : including Tegretol, Carbatrol, and Epitol

dosage form :

1- Immediate-release tablets (Tegretol)

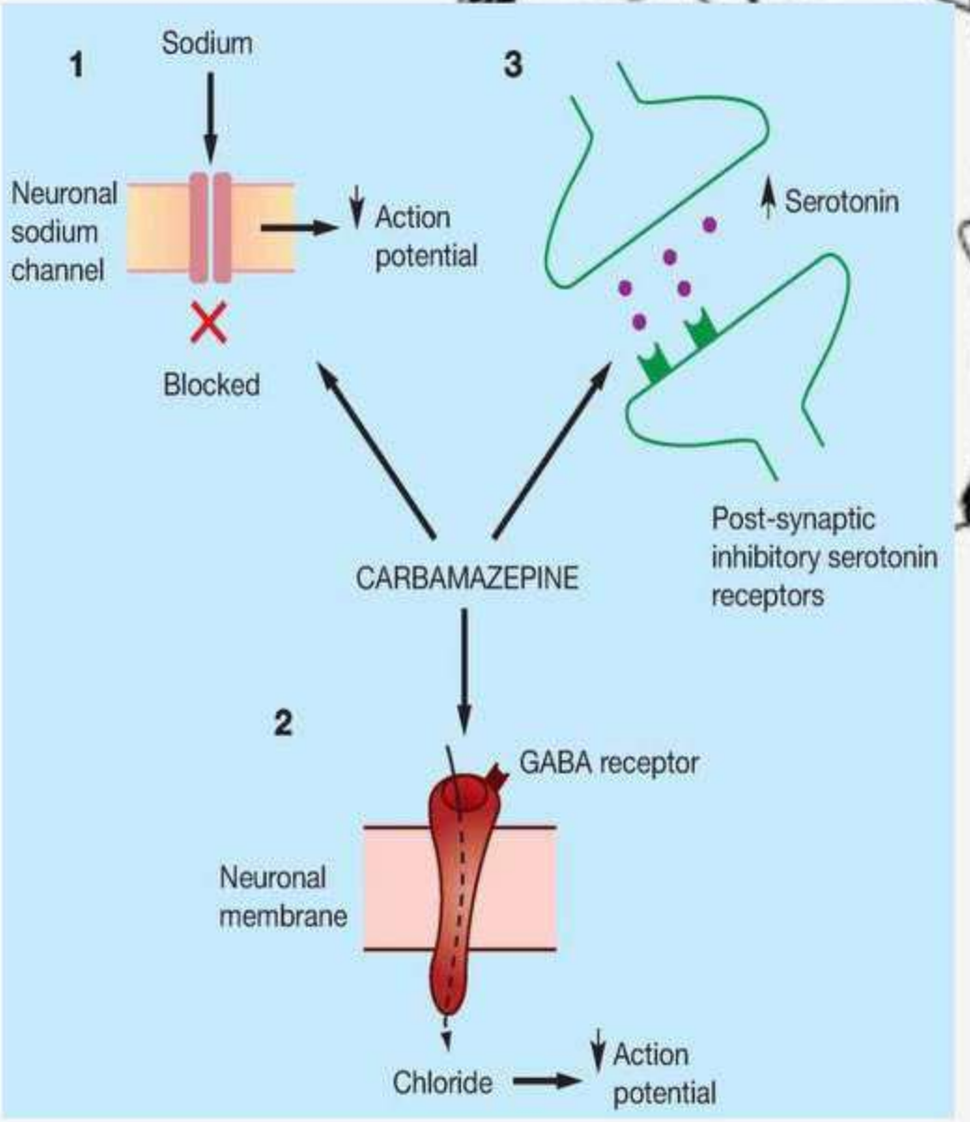
2- Extended-Release (ER) Tablets or Capsules

3- Chewable Tablets (Epitol)

4- Suspension

Mechanism of action :

* Carbamazepine is considered to work in three ways: (1) by blocking inactive neuronal sodium channels preventing the generation of an action potential and depolarisation of the cell, (2) by acting as an agonist at the gamma-aminobutyric acid (GABA) receptor allowing the entry of chloride into the cell and preventing the generation of an action potential, and (3) by increasing at neuronal synapses the concentration of serotonin, an inhibitory neurotransmitter.



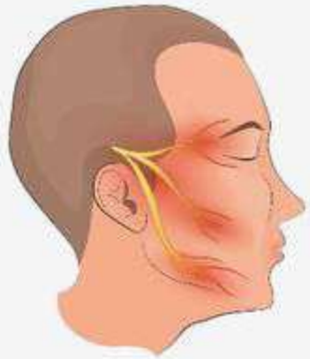
Uses :

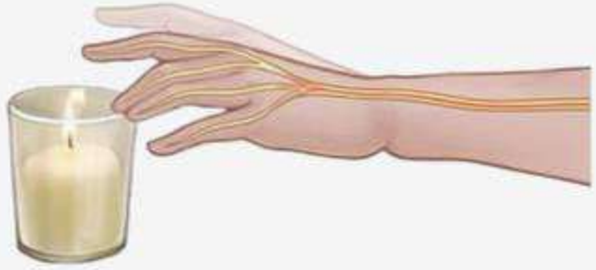
1.Epilepsy

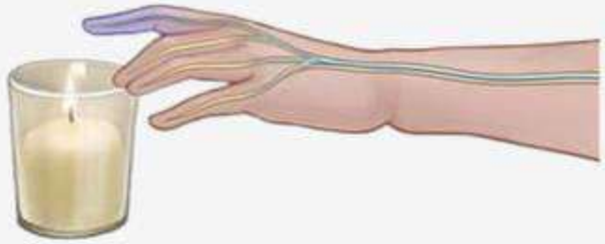
2.Bipolar Disorder

3.Trigeminal Neuralgia

4.Peripheral Neuropathy







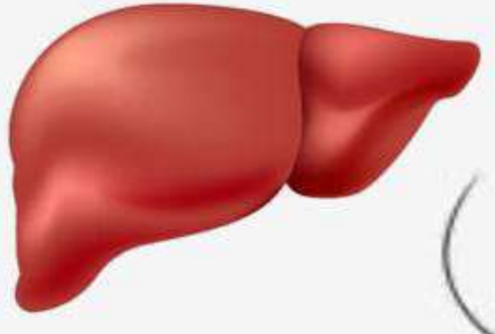
Side effect :

Common side effects of carbamazepine may include dizziness, drowsiness, nausea, and blurred vision. Serious side effects can occur, such as severe skin reactions, liver problems, and blood-related issues.

Pharmacokinetics :

1. Absorption: Absorbed from the gastrointestinal tract, with variable and sometimes incomplete absorption.
2. Distribution: Widely distributed in the body, including the central nervous system. It crosses the placenta and enters breast milk.
3. Metabolism: Primarily metabolized in the liver, undergoing extensive biotransformation. The main metabolite, carbamazepine-10,11-epoxide, is pharmacologically active.
4. Elimination: Elimination occurs mainly through the liver, and the metabolites are excreted in the urine.

The elimination half-life can vary among individuals.




Thank you

University of Tikrit
College of Pharmacy
2023-2024

(Diazepam)

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Diazepam

What is Diazepam

- Diazepam(Valium) belongs to the group of benzodiazepines. Medicines in this group relieve anxiety, nervousness, and tension, help to relax, and induce sleep. It also helps in treating alcohol addiction and alleviating the severity of epileptic seizures.

- This drug may cause psychological and physical addiction, so it is only dispensed with the prescription of a specialist and is not recommended for prolonged use.

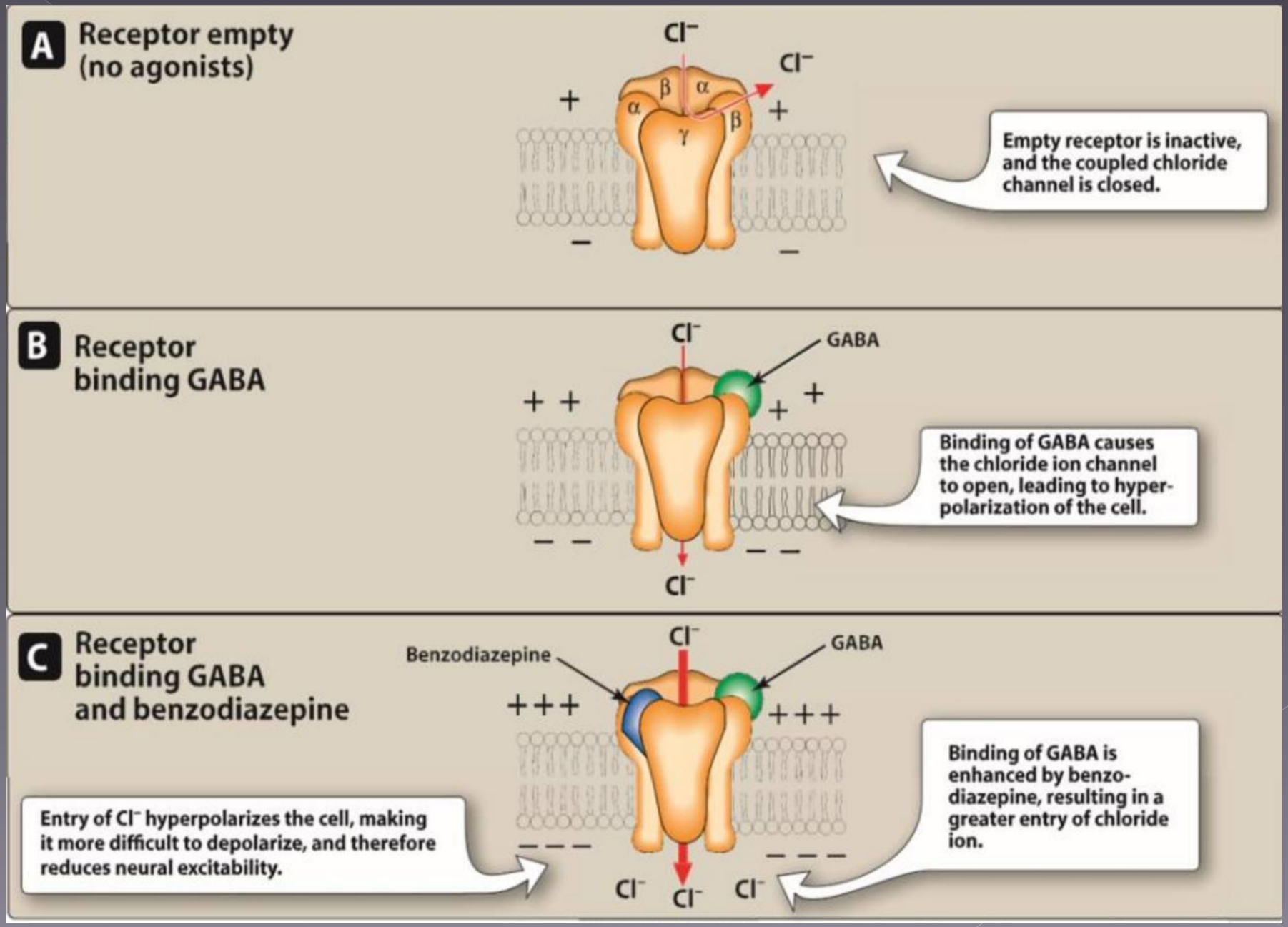
Mechanism of action

Diazepam has two main functions:

Sedative or hypnotic.
Reducing epileptic seizures.

- **To achieve these two functions, diazepam follows a mechanism of action that is represented by binding to its own receptors in the brain, which leads to the activation of gamma amino butyric acid receptors, or what is known as GABA. GABA receptors have a calming effect, and therefore their activation leads to a calming, relaxing and anxiolytic effect.**

- **Activating it also helps control the increased frequency of nerve charges in the brain, which is the main cause of epileptic seizures. Thus, it helps in alleviating the severity of these seizures and constitutes an auxiliary medicine for epilepsy patients.**


A Receptor empty (no agonists)
Cl⁻
Cl⁻
β
α
α
β
γ
+
+
−
−
Empty receptor is inactive, and the coupled chloride channel is closed.
B Receptor binding GABA
Cl⁻
GABA
+ +
+
+
− −
− −
Cl⁻
Binding of GABA causes the chloride ion channel to open, leading to hyper-polarization of the cell.
C Receptor binding GABA and benzodiazepine
Cl⁻
Benzodiazepine
GABA
+++
+++
− − −
− − −
Cl⁻
Cl⁻
Cl⁻
Entry of Cl⁻ hyperpolarizes the cell, making it more difficult to depolarize, and therefore reduces neural excitability.
Binding of GABA is enhanced by benzo-diazepine, resulting in a greater entry of chloride ion.

Dosage form

- Oral tablets of 2 mg, 5 mg, 10 mg.
- Syrup or oral suspension at a concentration of 5 mg/5 ml.
- Anal gel 20 mg(4ml) for adults,10 mg(2ml) for children.
- Solution for injection at a concentration of 5 mg/ml.

Uses

- Anxiety disorders: Diazepam can be used to relieve symptoms of anxiety, panic attacks, and fear.
- Symptoms of alcohol withdrawal in addicts: Diazepam can be given to alleviate the symptoms of alcohol withdrawal from the addicted person's body, such as (sweating, difficulty sleeping, irritability, etc.)
- Convulsive disorders (epileptic seizures): Diazepam can alleviate the severity of epileptic seizures and calm the patient in this case, but it cannot be considered a drug for treating epilepsy
- Before surgeries: Diazepam is used to calm the patient and relieve his anxiety before any surgical operation.

Side effect

- Nausea, vomiting, constipation or diarrhea Headache, dizziness, drowsiness, nervous spasms and convulsive movements, memory loss and forgetfulness

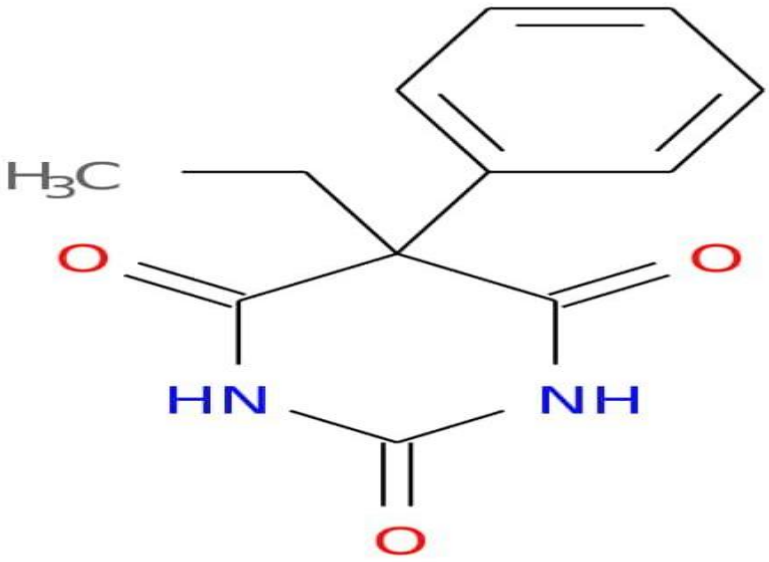
Contraindications for use

- Hypersensitivity to diazepam or any other benzodiazepine.
- Kidney or liver failure.
- heart attack or any blood vessel problems.
- Patients with respiratory failure.
- Myasthenia gravis patients.
- Patients with open-angle glaucoma.
- Alcohol abuse.
- Children under 6 months.

Thank you

Phenobarbital

F4



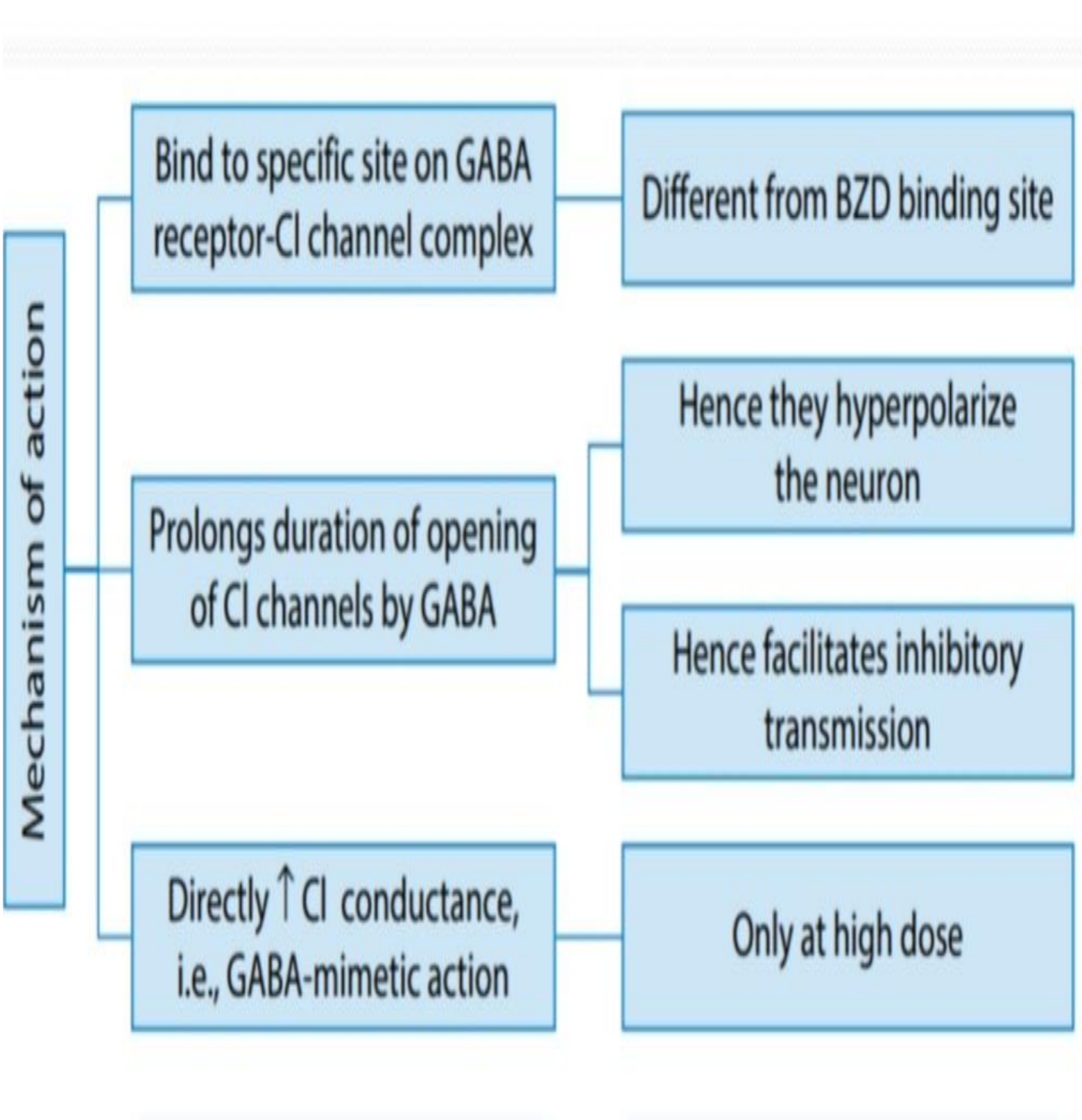
Introduction

Family : barbiturates

Class : anticonvulsant, sedative

Trade name : Phenotal, Phenobarbital inj, Calminal, Gardenal.

Dosage form : Solution for injection: 65 mg/m, tablets in doses of 15 mg, 30 mg, 60 mg, 100 mg. Oral solution (elixir) 20mg/5ml


Mechanism of action
Bind to specific site on GABA receptor-Cl channel complex
Different from BZD binding site
Prolongs duration of opening of Cl channels by GABA
Hence they hyperpolarize the neuron
Hence facilitates inhibitory transmission
Directly ↑ Cl conductance, i.e., GABA-mimetic action
Only at high dose

Uses of Phenobarbital

Partial epilepsy and tonic seizure

Myoclonic, febrile seizure

Epilepsy, and anxiety disorder

Sleep disturbance and seizure

Tonic-clonic, and sluggish

Jaundice, status epilepticus.

Side effects

Ataxia, Dizziness, Drowsiness, Dysarthria,Fatigue,Headache,Irritable Nystagmus,Paresthesia restlessness, Vertigo, Geriatric patients: Excitement, confusion, depression, Pediatric patients: Paradoxical excitement/ hyperactivity

Contraindications

If a person is infected with any type of Allergy to the components of the drug .

It is prohibited for use by infected people Severe impairment of kidney or liver function.

It should not be used if you suffer from shortness of breath or a blocked urine airway.

It is prohibited for use by those who suffer from Porphyria.

Interact with some of these medications :

. Narcotic medications.

. Cold treatments.

. Epilepsy treatments.

. Any medications that increase the inhibition of the nervous system.

. Steroid medications.

. Contraceptive pills.

. Warfarin and Blood thinners.

Tikrit univesity
College of pharmacy

THIOPENTAL

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Group: B3

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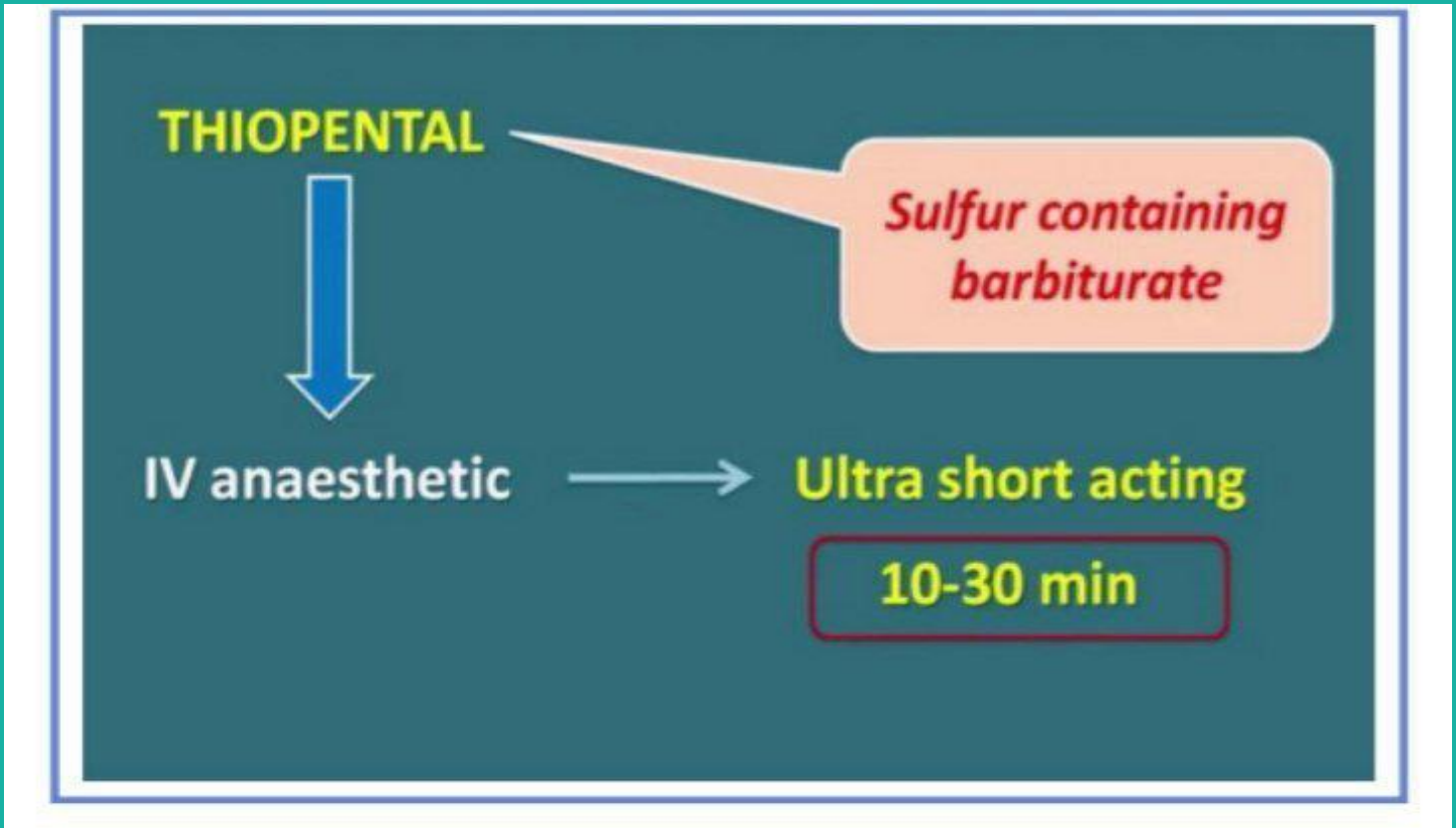
Introduction

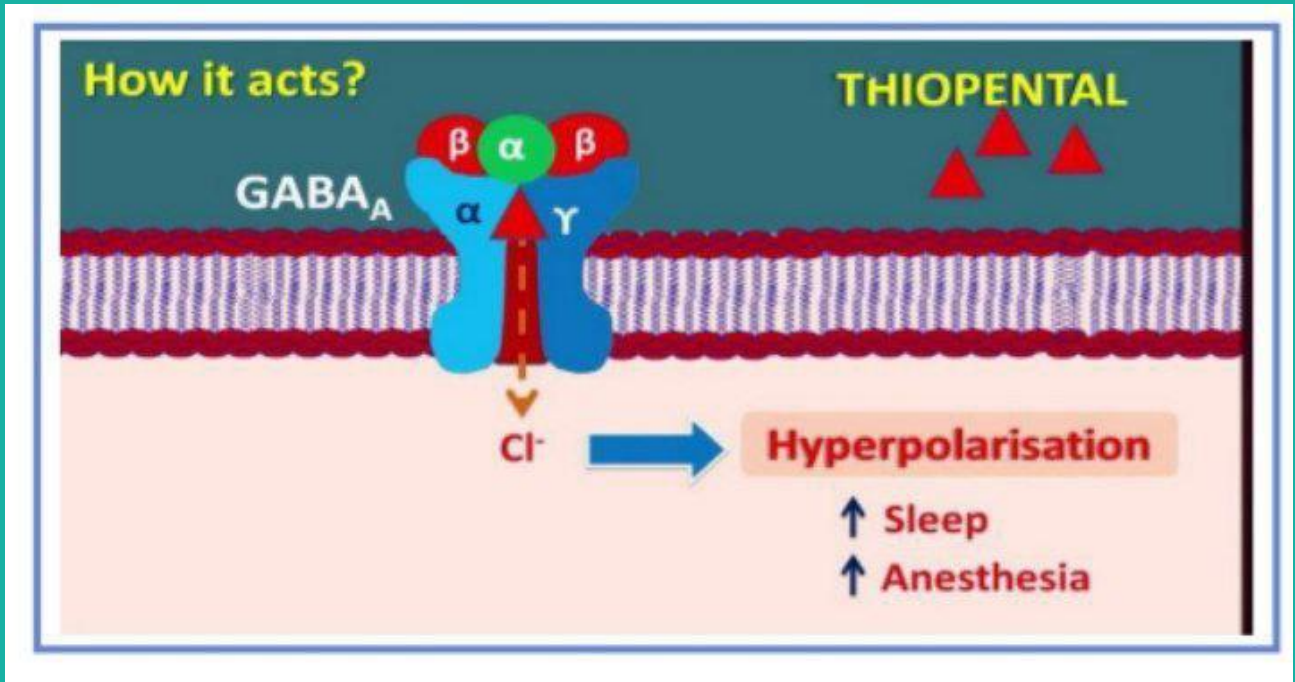
Sodium thiopental, also known as thiopental, is an ultra-short-acting **barbiturate** that has been commonly used in the phase of general anesthesia.



Mechanism of action

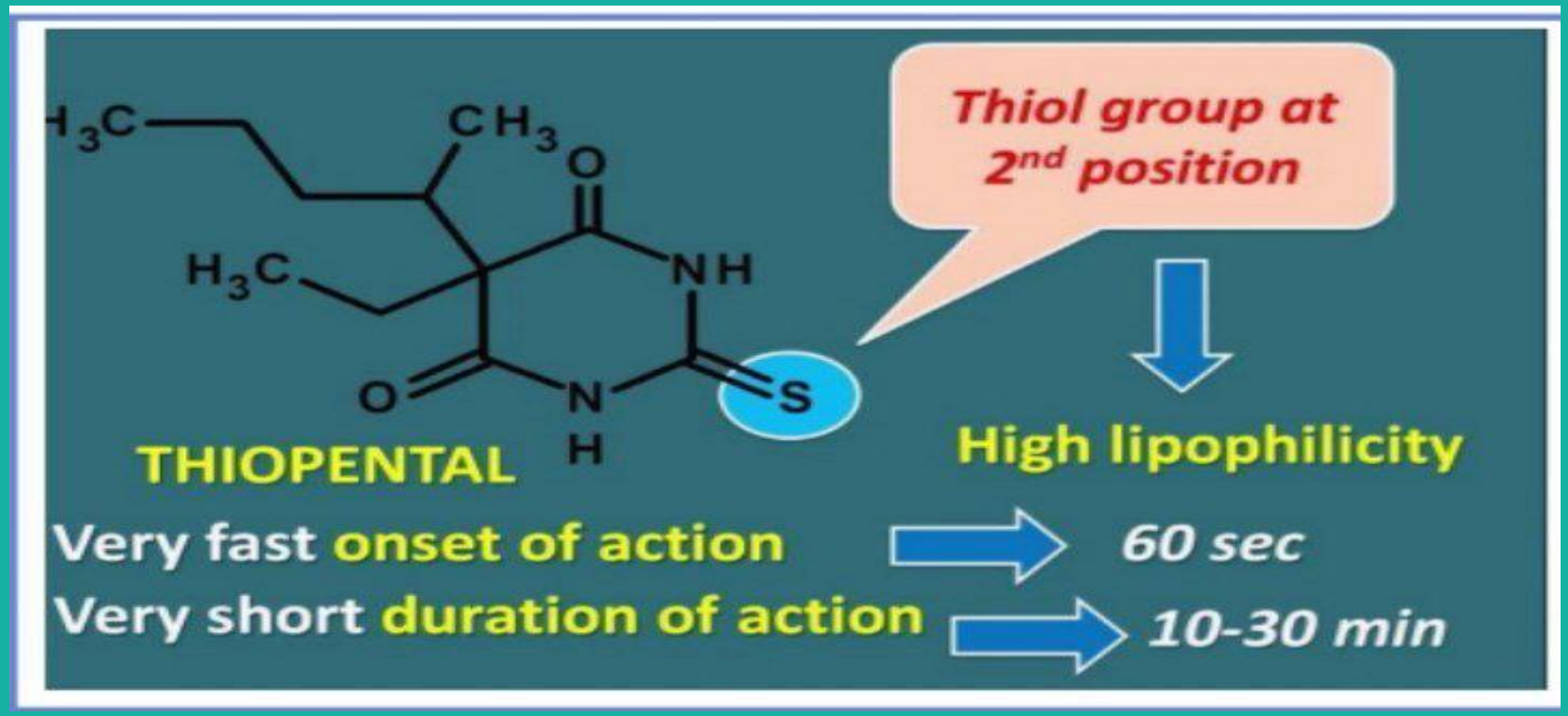
- Thiopental binds at a distinct binding site associated with a Cl- ionopore at the GABAA receptor, increasing the duration of time for which the Cl- ionopore is open.

- This action prolongs the post-synaptic inhibitory effect of GABA in the thalamus, leading to the drug's sedative, hypnotic, and anticonvulsant properties.



Pharmacokinetic

- Thiopental, an ultra-short-acting barbiturate, exhibits linear pharmacokinetics at standard doses, but it can show nonlinear kinetics when administered in high doses for prolonged periods with saturation of the enzymatic system



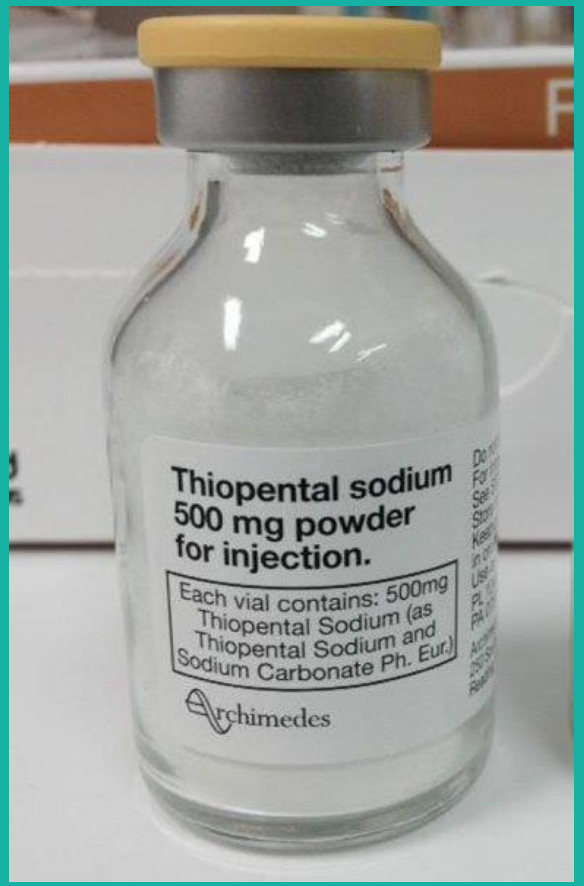
Therapeutic uses of thiopental

- The drug is used in the induction of anesthesia prior to the use of other general anesthetic agents.
- diagnostic, or therapeutic procedures associated with minimal painful stimuli.
- control of convulsive disorders .
- reduction of intracranial pressure .

Dose & Dosage forms

Thiopental is available in various dosage forms, including:

- vials containing 500mg thiopental sodium per vial.
- polyelofin bags containing 1000mg in 250mL sodium chloride 0.9% (4mg/mL).



ADVERSE EFFECTS

- **Respiratory depression.**
- **Cardiovascular depression:** The drug can cause myocardial depression, cardiac arrhythmias, and hypotension
- **Other adverse effects:** Thiopental may also cause headache, agitated emergence, prolonged somnolence, and nausea

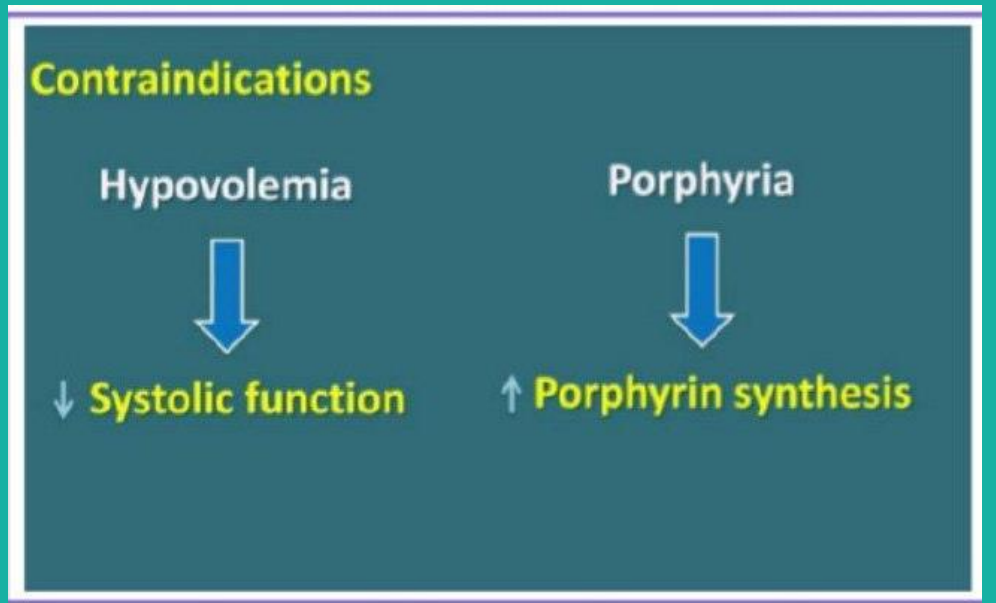
Contraindications of thiopental

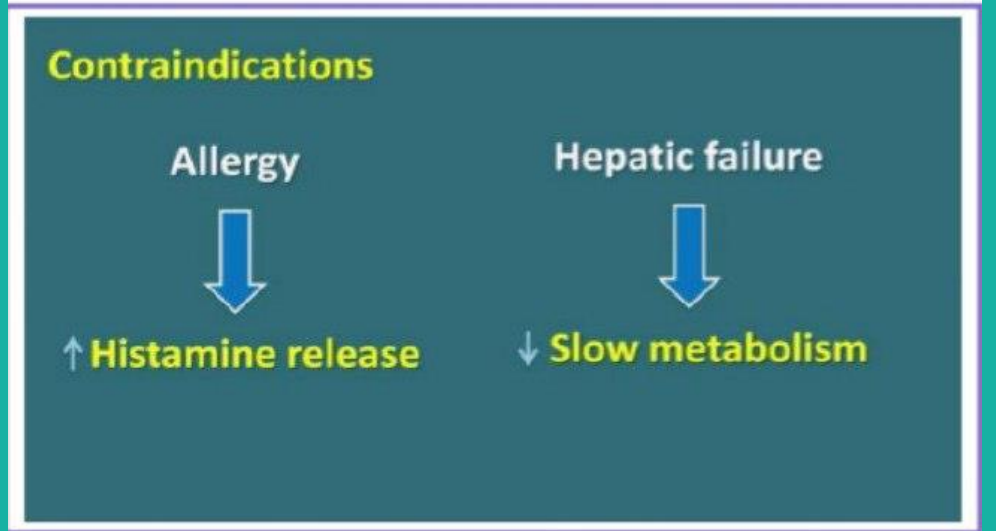
- **Dyspnea or respiratory obstruction**.

- **Cardiovascular and respiratory depression:**

used with caution in patients with:

- hypotension
- shock
- severe anemia
- hyperkalemia
- severe cardiovascular disease
- hepatic and renal dysfunction
- myasthenia gravis.
- muscular dystrophy,
- adrenocortical insufficiency and raised intracranial pressure,

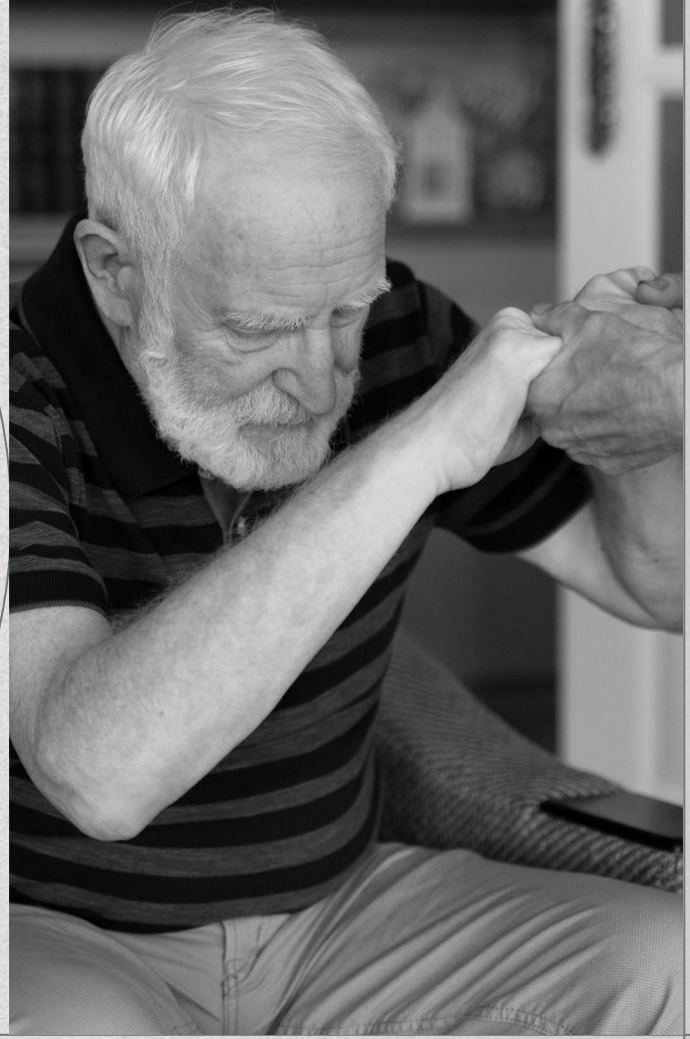




THANKS

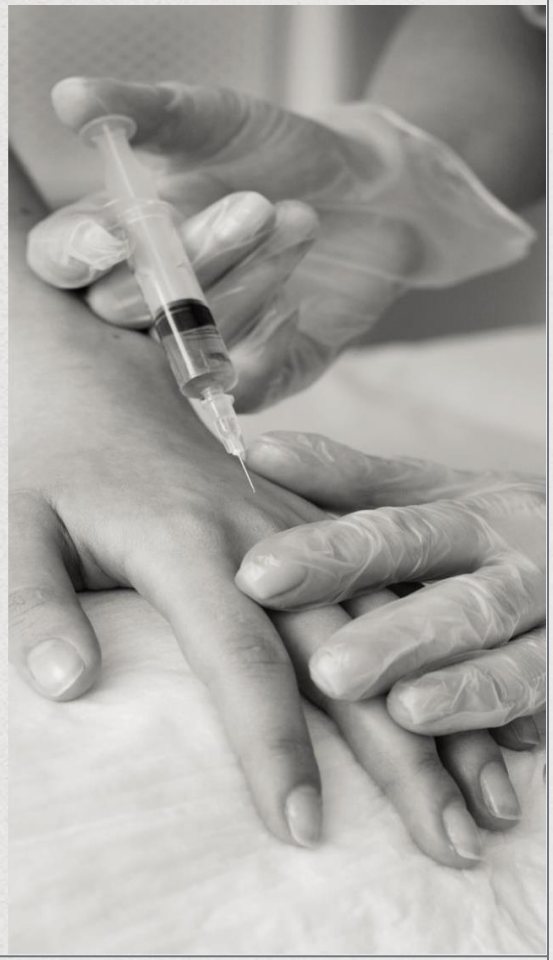
Levodopa

Supervised by: Asst. Lec. Safa Hameed Mohsin
Prepared by: Baraa Hashim



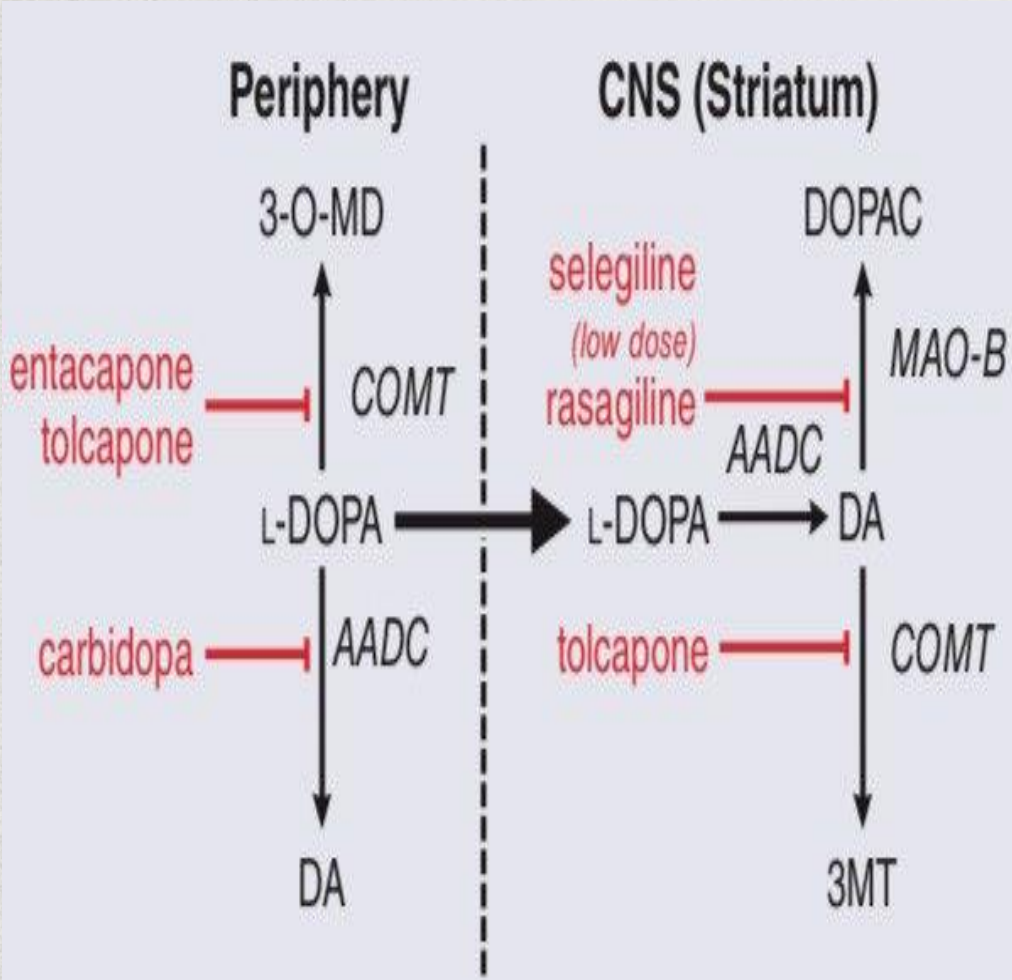
Levodopa

Generic Name: LEVODOPA
The naturally occurring form of dihydroxyphenylalanine and the immediate precursor of dopamine.
Unlike dopamine itself, it can be taken orally and crosses the blood-brain barrier.
It is rapidly taken up by dopaminergic neurons and converted to dopamine.
It is used for the treatment of parkinsonian disorders and is usually given with agents that inhibit its conversion to dopamine outside of the central nervous system.



MECHANISM OF ACTION

- Dopa does not cross BBB and has low bioavailability; hence, its precursor L-Dopa (prodrug) is used.
- L-Dopa enters the brain via an L-amino acid transporter.
- L-Dopa is converted to D by Dopa decarboxylase enzyme in the dopaminergic neurons of the striatum.
- D produced then interacts with D2-receptors in the basal ganglia to produce antiparkinsonian effect.



Pharmacokinetics

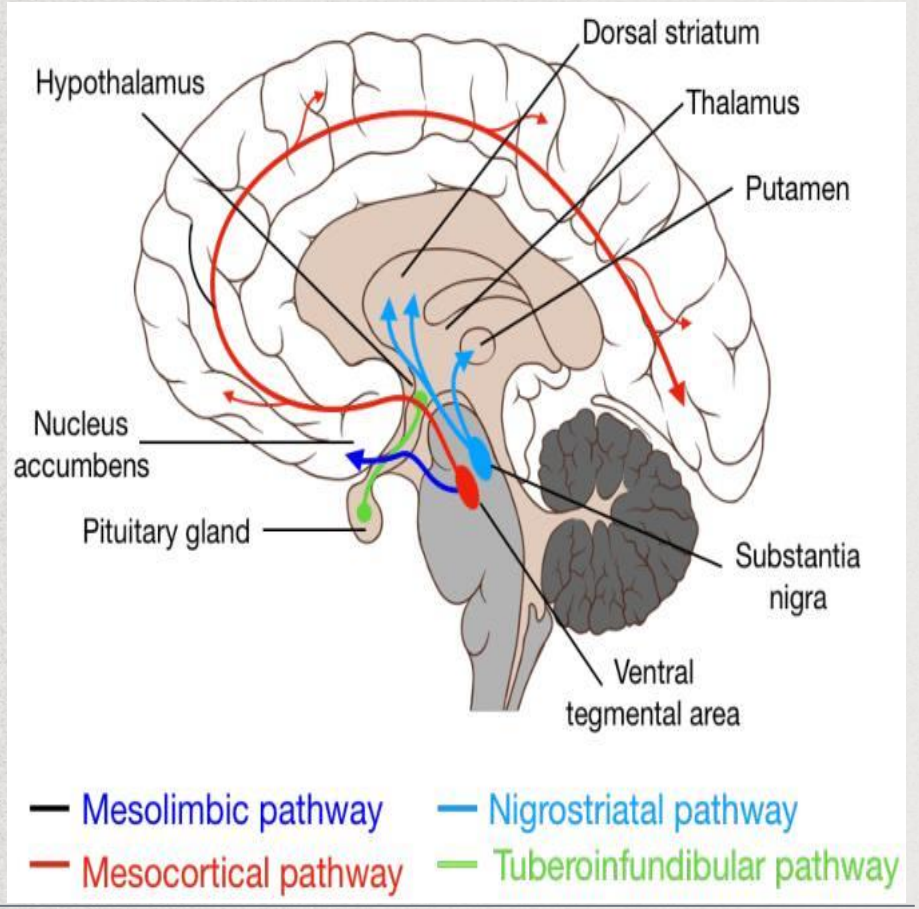
- ❖Oral administration, L-Dopa is rapidly absorbed from the small intestine
- by an active transport system.
- ❖Amino acids present in food may interfere with the absorption of L-Dopa;
- hence, it should be given 30–60 minutes before meal.
- ❖Active transport of L-Dopa into the brain may be inhibited by competition
- from dietary amino acids.
- ❖The main metabolic products of L-Dopa are homovanillic acid (HVA) and
- 3,4- dihydroxyphenylacetic acid (DOPAC).
- ❖The metabolites are excreted in urine

Therapeutic uses

- Levodopa is primarily used in the management of Parkinson's disease, often in combination with carbidopa.

Adverse effects

GIT: Nausea, vomiting and anorexia
Dyskinesias (abnormal involuntary movements)
Mental changes like insomnia, confusion, delusions, euphoria, depression,
anxiety, hallucinations.



Contraindications

- ❖Psychotic patients
- ❖Angle-closure glaucoma
- ❖Cardiac disease
- ❖Peptic ulcer
- ❖Melanoma