

Unit-5

Medicinal Chemistry- II

B.Pharma 5th Sem Notes

Unit: 5

Antidiabetic agents: Insulin and its preparations

- **Sulfonyl ureas:** Tolbutamide*, Chlorpropamide, Glipizide, Glimepiride.
- **Biguanides:** Metformin.
- **Thiazolidinediones:** Pioglitazone, Rosiglitazone
- **Meglitinides:** Repaglinide, Nateglinide.
- **Glucosidase inhibitors:** Acarbose, Voglibose.

Local Anesthetics: SAR of Local anesthetics

- **Benzoic Acid derivatives:** Cocaine, Hexylcaine, Meprylcaine, Cyclomethycaine, Piperocaine.
- **Lidocaine/Anilide derivatives:** Lignocaine, Mepivacaine, Prilocaine, Etidocaine.
- **Miscellaneous:** Phenacaine, Dipiperodon, Dibucaine.*

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Antidiabetic agents:

Antidiabetic agents are medicines used to control blood sugar levels in individuals with diabetes. One of the most essential and widely used agents is insulin, a hormone naturally produced by the pancreas that regulates blood glucose levels.

Diabetes:

Diabetes is a chronic medical condition that occurs when the body cannot effectively regulate blood sugar (glucose) levels. Glucose is a primary energy source for the body, and its levels are controlled by the hormone **insulin**, which is produced by the pancreas.

Types of Diabetes:

1. **Type 1 Diabetes**
 - An autoimmune condition where the body attacks insulin-producing cells in the pancreas.
 - Commonly diagnosed in children and young adults.
 - Requires insulin therapy for survival.
2. **Type 2 Diabetes**
 - Occurs when the body becomes resistant to insulin or doesn't produce enough insulin.
 - Common in adults, often linked to obesity and a sedentary lifestyle.
 - Managed with lifestyle changes, oral medications, or insulin.
3. **Gestational Diabetes**
 - Develops during pregnancy and usually resolves after delivery.
 - Increases the risk of developing type 2 diabetes later in life.

Common Symptoms:

- Frequent urination
- Excessive thirst
- Unexplained weight loss
- Fatigue
- Blurred vision

Complications of Uncontrolled Diabetes:

- Heart disease
- Kidney damage
- Nerve damage
- Vision problems (diabetic retinopathy)

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Insulin:

- Insulin is a hormone produced by the pancreas that helps regulate blood sugar levels. It allows the body to use sugar (glucose) for energy.
- Insulin helps move glucose from your bloodstream into cells throughout your body, where it's used for energy.
- Insulin also prevents your liver from releasing stored glucose, helping to keep blood sugar levels balanced.

Source:

There are two primary methods for preparing insulin:

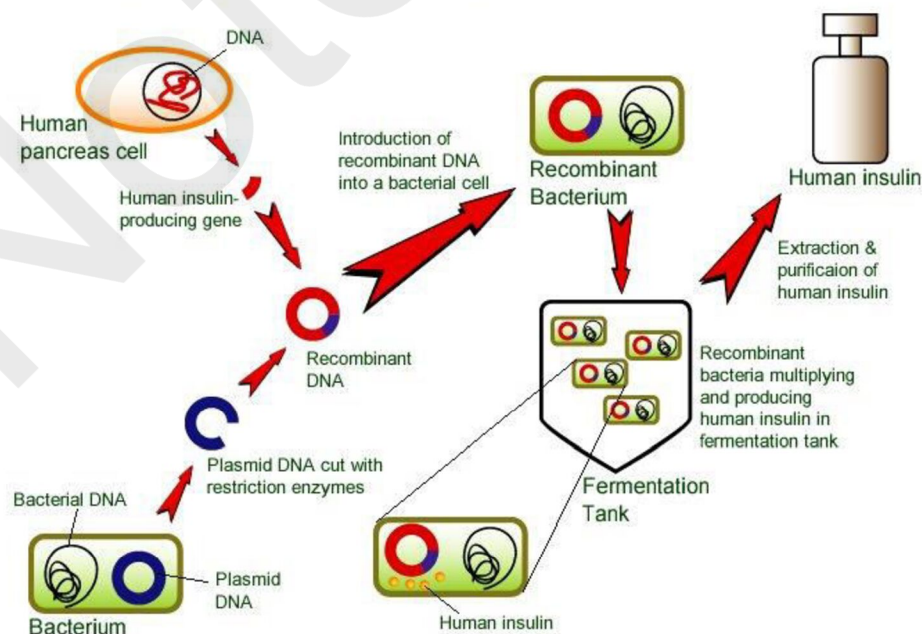
1. Extraction from Animal Sources:

- Historically, insulin was extracted from the pancreases of animals like pigs and cows.
- The process involved purifying the insulin from the pancreas tissue.
- This method is less common today due to the development of recombinant DNA technology.

2. Recombinant DNA Technology:

- This modern method involves inserting the human insulin gene into bacteria or yeast cells.
- These genetically modified organisms (GMOs) then produce human insulin, which is identical to the insulin produced by the human body.
- This process is more efficient and cost-effective, and it eliminates the risk of allergic reactions associated with animal-derived insulin.

Human Insulin Production



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Types of Insulin Preparations

Insulin is classified based on how quickly it starts to work, its peak effect, and how long it lasts. Examples include:

1. Rapid-acting Insulin

- Starts working within 15 minutes and lasts for 3-5 hours.
- **Example:** Insulin lispro (Humalog), Insulin aspart (NovoLog).

2. Short-acting Insulin

- Begins to work in 30 minutes and lasts 5-8 hours.
- **Example:** Regular insulin (Humulin R, Novolin R).

3. Intermediate-acting Insulin

- Starts working in 1-2 hours, peaks at 4-12 hours, and lasts 12-18 hours.
- **Example:** NPH insulin (Humulin N, Novolin N).

4. Long-acting Insulin

- Provides steady blood sugar control for 24 hours or more without a peak.
- **Example:** Insulin glargine (Lantus), Insulin detemir (Levemir).

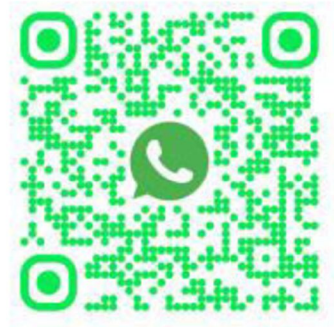
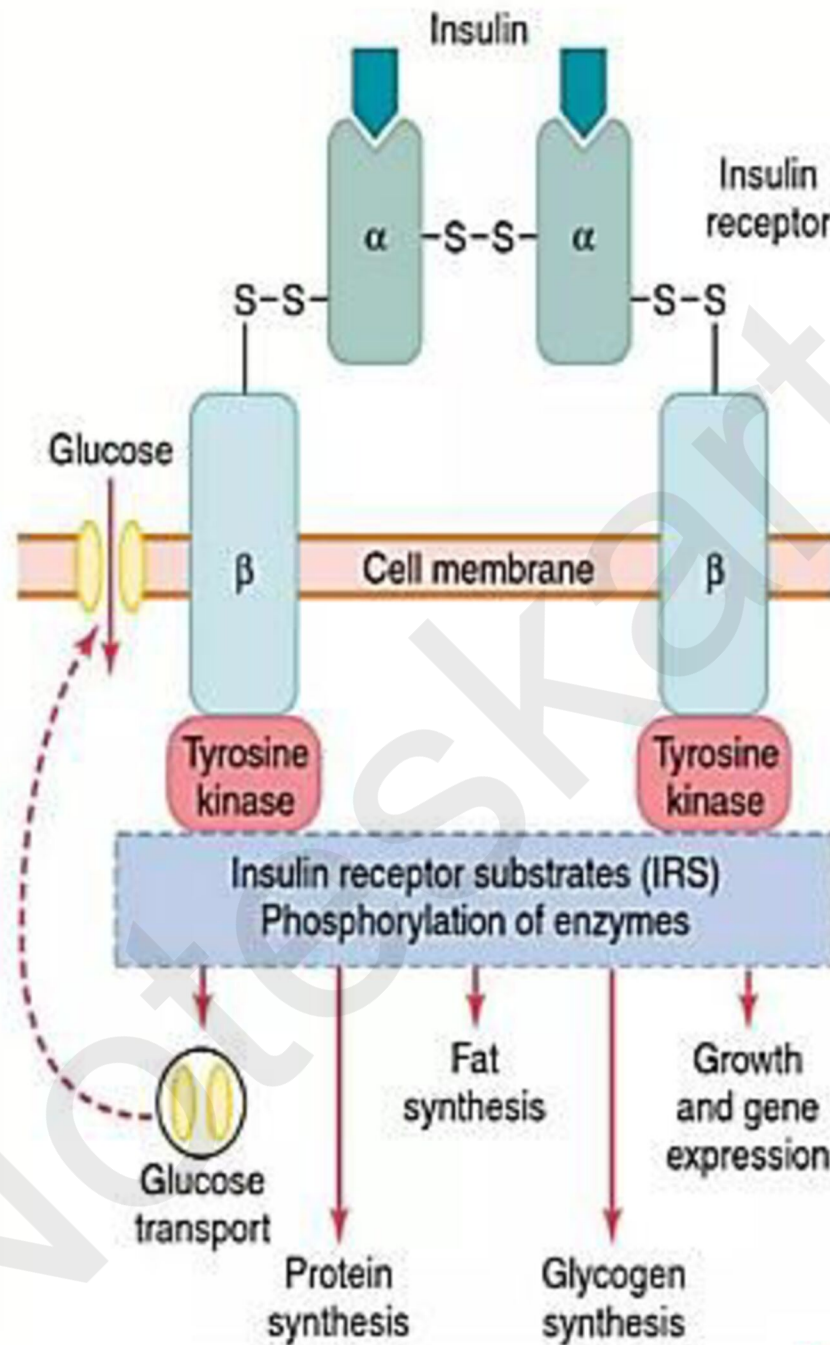
5. Premixed Insulin

- Combines short-acting and intermediate-acting insulin in a single preparation.
- **Example:** 70/30 mix (70% NPH, 30% Regular insulin).

Mechanism of Action:

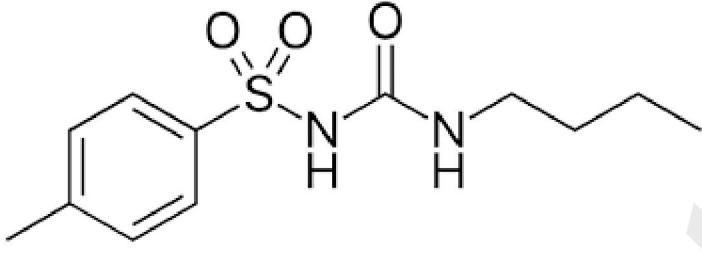
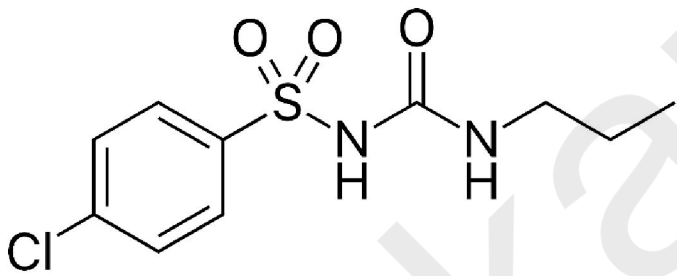
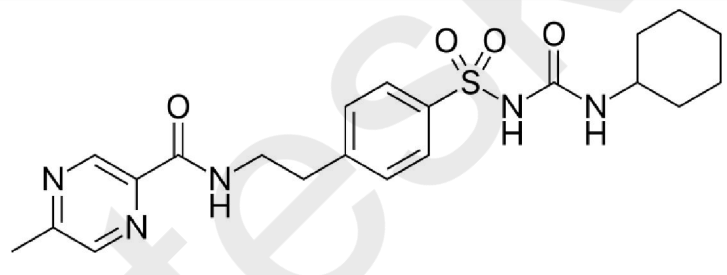
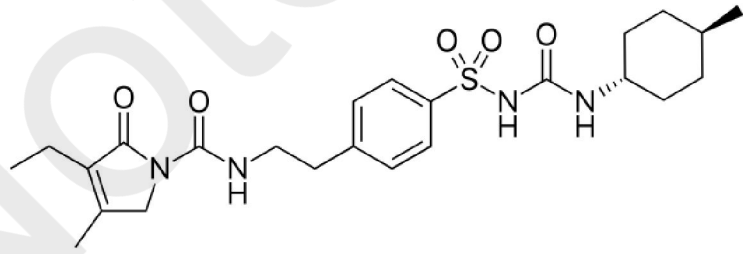
- Insulin once secreted into the blood from the beta cells. Circulates almost entirely in unbound form with plasma half life of about 6 minutes.
- Since insulin is a preparation hormone so because of its large size and polar nature it cannot pass through the cell membranes.
- So it binds to a special membrane receptor protein found on the cell membrane of target cells.

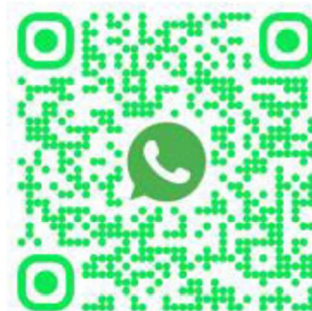




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Sulfonyl ureas: Tolbutamide*, Chlorpropamide, Glipizide, Glimpiride.

Drug Name	Structure	Uses
Tolbutamide		Used in the management of type 2 diabetes mellitus to lower blood glucose levels by stimulating insulin secretion from pancreatic beta cells.
Chlorpropamide		Same
Glipizide		Same
Glimpiride		Some



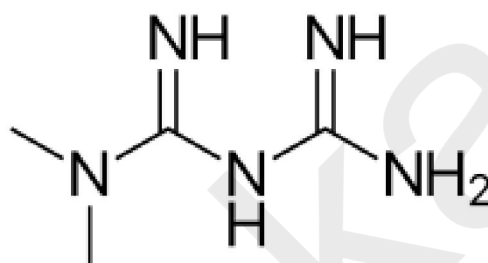
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Biguanides: Metformin.

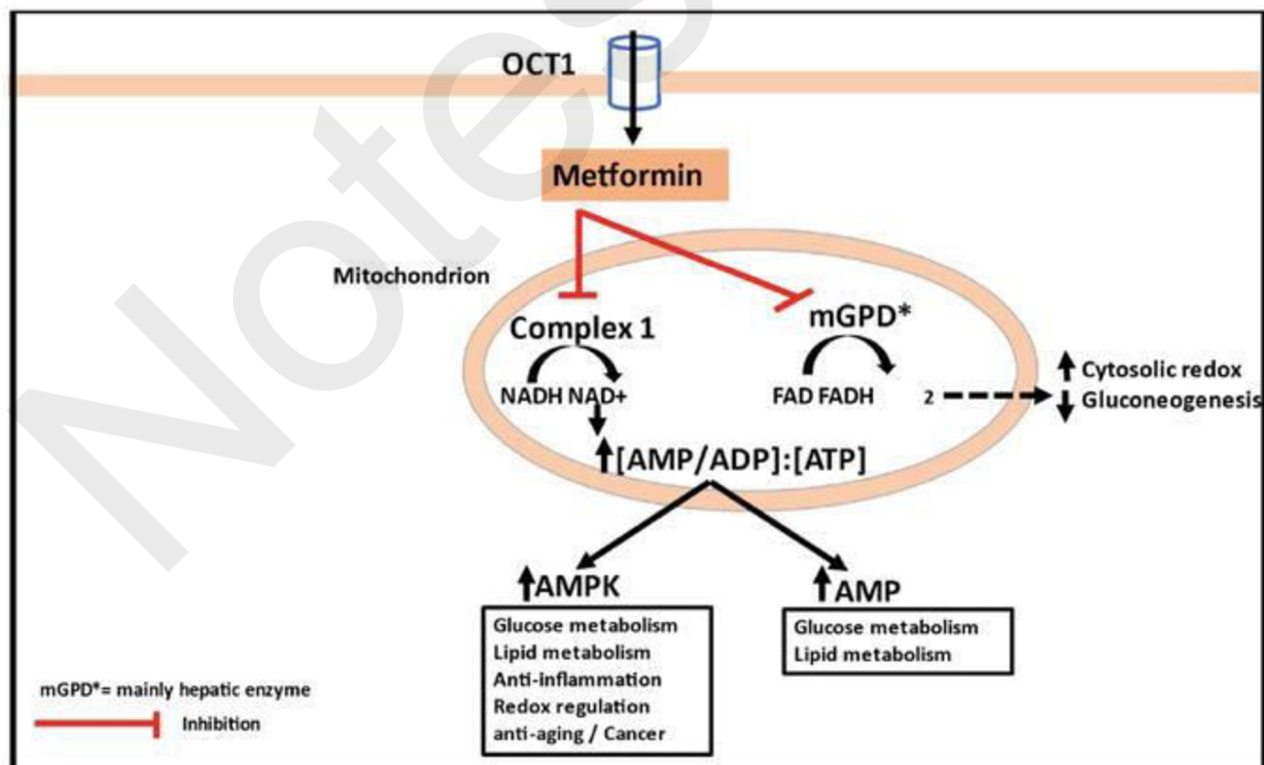
Metformin:

- A drug used to treat diabetes mellitus (a condition in which the body cannot control the level of sugar in the blood). It is also being studied in the treatment of cancer.
- Metformin hydrochloride decreases the amount of glucose (a type of sugar) released into the bloodstream from the liver and increases the body's use of the glucose.
- It is a type of antidiabetic agent. Metformin is the active ingredient of metformin hydrochloride. Also called Glucophage.

Structure:



Mechanism of Action:



Use: Used to treat diabetes mellitus.

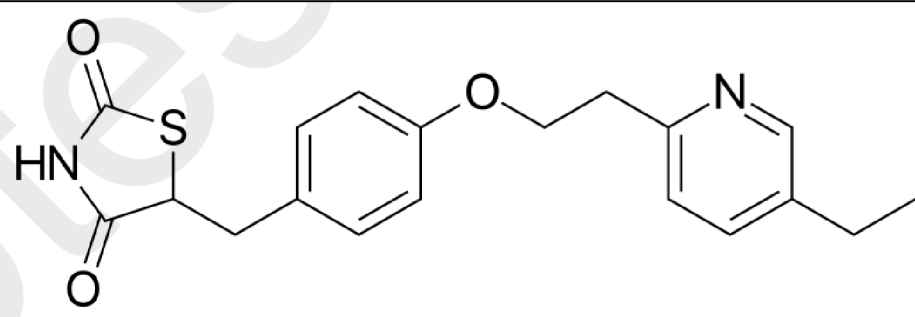
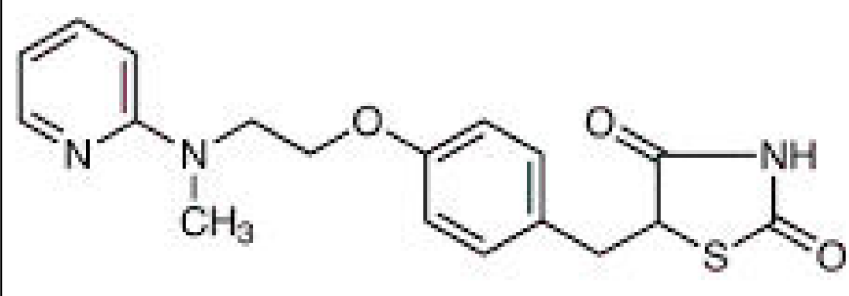
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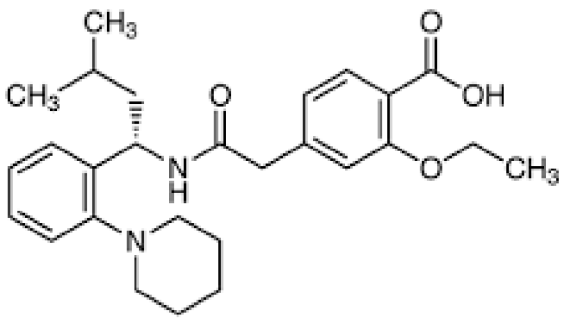
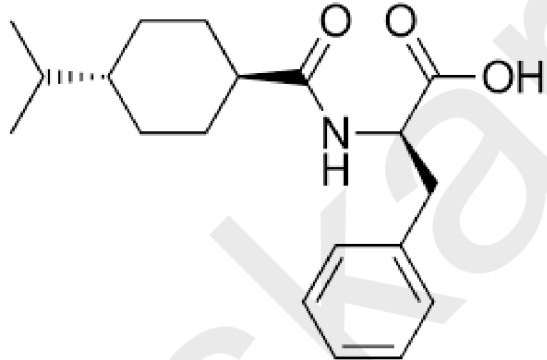
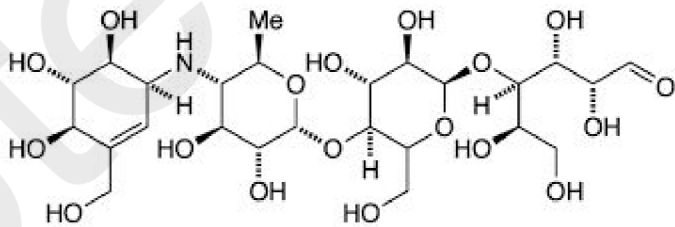
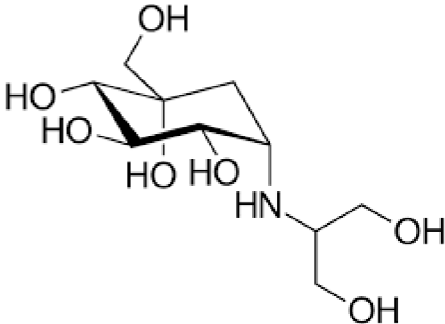
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Drug Class	Examples	Mechanism of Action	Uses
Thiazolidinediones	Pioglitazone, Rosiglitazone	<ul style="list-style-type: none"> - Activate PPAR-γ (Peroxisome Proliferator-Activated Receptor gamma) in adipose tissue, muscle, and liver. - Increase insulin sensitivity by enhancing glucose uptake and decreasing hepatic glucose production. 	Used for the treatment of type 2 diabetes mellitus, especially to improve insulin sensitivity.
Meglitinides	Repaglinide, Nateglinide	<ul style="list-style-type: none"> - Bind to K(ATP) channels in pancreatic beta cells (different site from sulfonylureas). - Stimulate rapid and short-lived insulin secretion, dependent on glucose presence. 	Used for postprandial glucose control in type 2 diabetes mellitus.
Glucosidase Inhibitors	Acarbose, Voglibose	<ul style="list-style-type: none"> - Inhibit alpha-glucosidase enzymes in the brush border of the small intestine. - Delay carbohydrate digestion and absorption, resulting in reduced postprandial hyperglycemia. 	Used to manage postprandial blood glucose levels in type 2 diabetes mellitus.

Structure:

Thiazolidinediones	Pioglitazone	
	Rosiglitazone	

<p>Meglitinides</p>	<p>Repaglinide</p>	 <p>The structure of Repaglinide features a piperidine ring attached to a benzene ring. This benzene ring is further substituted with a 2-methylbutyl chain and a propanoic acid group. The propanoic acid group is linked via a methylene bridge to another benzene ring, which is also substituted with an ethoxy group and a carboxylic acid group.</p>
	<p>Nateglinide</p>	 <p>The structure of Nateglinide consists of a cyclohexane ring with an isopropyl group and a propanoic acid group. The propanoic acid group is connected via an amide bond to a benzene ring.</p>
<p>Glucosidase inhibitors</p>	<p>Acrabose</p>	 <p>The structure of Acrabose is a complex oligosaccharide consisting of four pyranose rings linked together. It features various hydroxyl groups and a methyl group.</p>
	<p>Voglibose</p>	 <p>The structure of Voglibose is a pyranose ring with several hydroxyl groups and a side chain containing a secondary amine and two hydroxyl groups.</p>

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Local Anesthetics: SAR of Local anesthetics

- **Benzoic Acid derivatives:** Cocaine, Hexylcaine, Meprylcaine, Cyclomethycaine, Piperocaine.
- **Lidocaine/Anilide derivatives:** Lignocaine, Mepivacaine, Prilocaine, Etidocaine.
- **Miscellaneous:** Phenacaine, Diperodon, Dibucaine.*

Local Anesthetics:

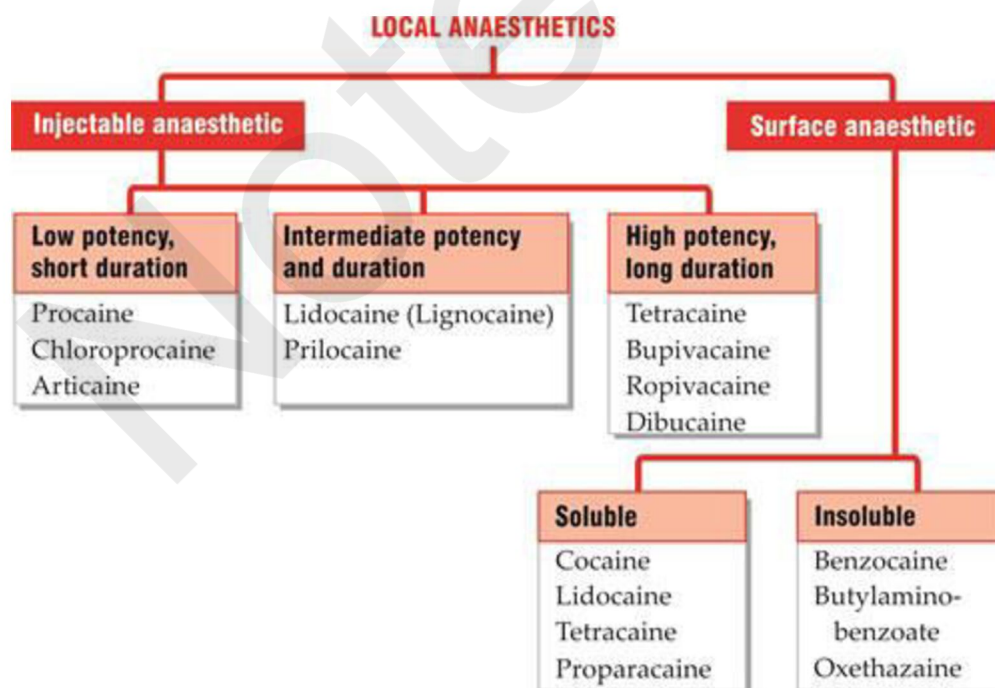
- **Local anesthetics** are drugs that temporarily block the transmission of nerve impulses, resulting in a reversible loss of sensation in a specific area of the body without affecting consciousness.
- They are widely used in medical procedures to manage pain.

Mechanism of Action:

Local anesthetics work by:

1. Blocking voltage-gated sodium (Na^{++}) channels in neuronal membranes.
2. Preventing the initiation and propagation of action potentials.
3. Inhibiting sensory nerve conduction in the localized area of administration.

Classification of Local Anesthetics:



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Surface Anesthesia:

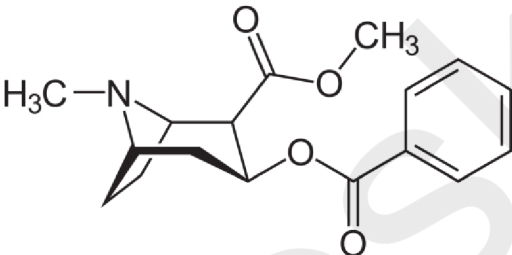
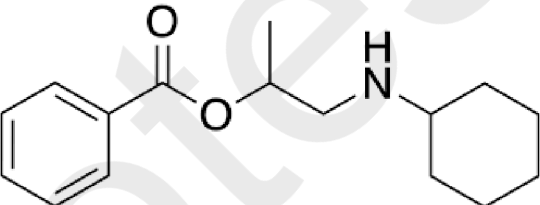
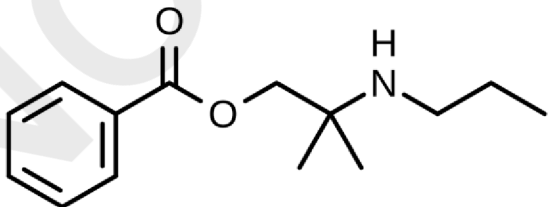
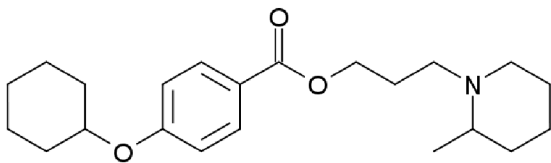
- Apply topically on skin.
- Sensory nerve ending are affected.
- It can damaged skin surface wound or burns.

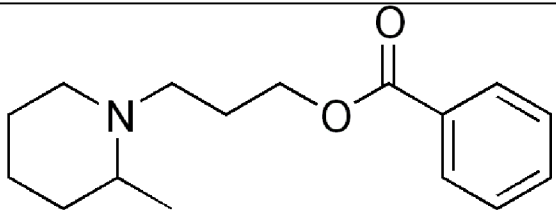
Eg: Benzocaine, Lidocaine etc.

Injectable Anesthesia:

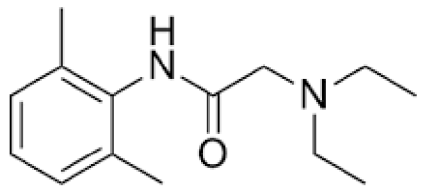
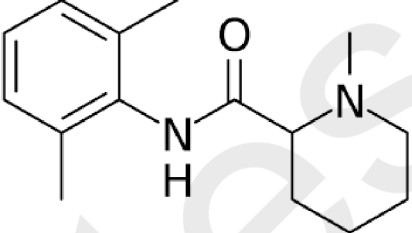
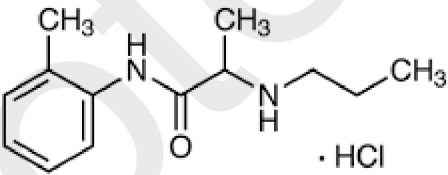
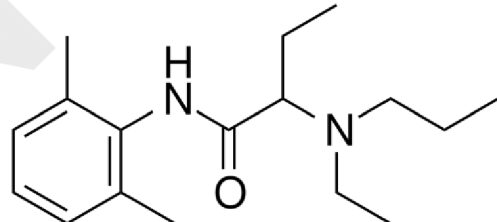
- Injectable anesthetics are drugs that can be administered by injection to induce or maintain anesthesia.

Benzoic Acid derivatives: Cocaine, Hexylcaine, Meprylcaine, Cyclomethycaine, Piperocaine.

Drug Name	Structure	Properties	Uses
Cocaine		<ul style="list-style-type: none"> - Produces local anesthesia and vasoconstriction. - CNS stimulant properties (euphoria, addiction potential). 	Topical anesthesia for ENT procedures (limited due to abuse potential).
Hexylcaine		<ul style="list-style-type: none"> - Moderate potency and duration of action. - Provides effective anesthesia for superficial tissues. 	Used for surface and infiltration anesthesia.
Meprylcaine		<ul style="list-style-type: none"> - Rapid onset and intermediate duration of action. - Mild CNS and cardiovascular side effects. 	Limited use due to the availability of better alternatives.
Cyclomethycaine		<ul style="list-style-type: none"> - Enhanced lipid solubility for effective penetration. - Intermediate potency and duration of action. 	Primarily used for surface anesthesia.

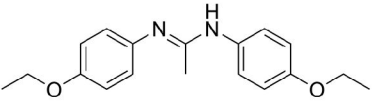
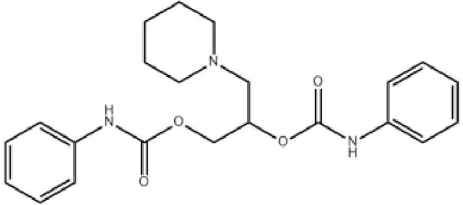
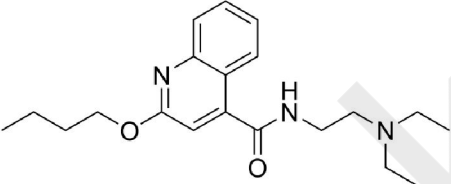
Piperocaine		<ul style="list-style-type: none"> - Higher stability compared to other esters. - Moderate potency and duration of action. 	Used in regional and surface anesthesia.
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Lidocaine/Anilide derivatives: Lignocaine, Mepivacaine, Prilocaine, Etidocaine.

Drug Name	Structure	Properties	Uses
Lidocaine (Lignocaine)		<ul style="list-style-type: none"> - Rapid onset of action and intermediate duration. - Metabolized in the liver. - Low allergenic potential. 	Most widely used local anesthetic; for infiltration, nerve block, and epidural anesthesia.
Mepivacaine		<ul style="list-style-type: none"> - Slightly longer duration of action than lidocaine. - Less vasodilatory effect than lidocaine. 	Used in dental anesthesia and nerve block procedures..
Prilocaine		<ul style="list-style-type: none"> - Similar action to lidocaine but with a lower risk of vasodilation. - May cause methemoglobinemia at high doses. 	Commonly used in dentistry and as a component of topical EMLA cream.
Etidocaine		<ul style="list-style-type: none"> - Long duration of action. - Higher lipid solubility provides profound and prolonged anesthesia. 	Used in epidural and regional nerve block anesthesia.

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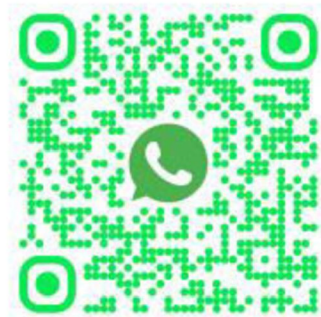
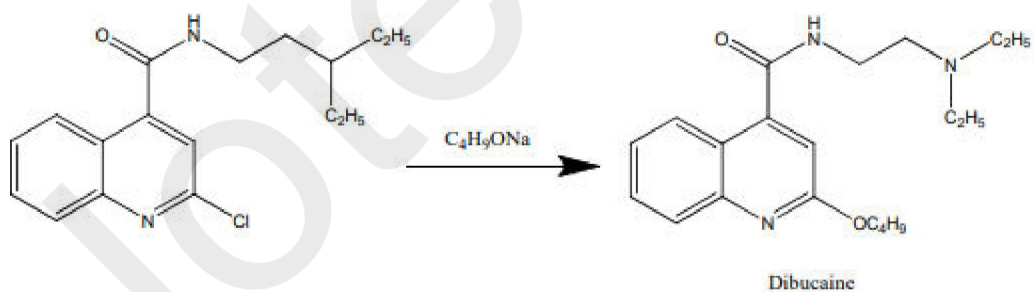
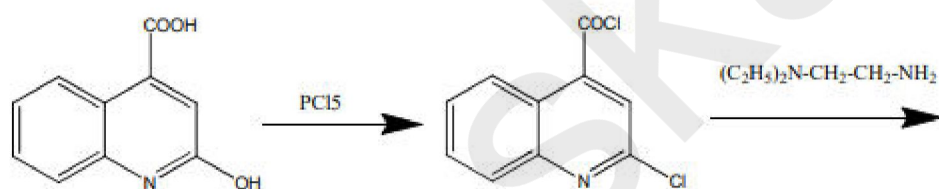
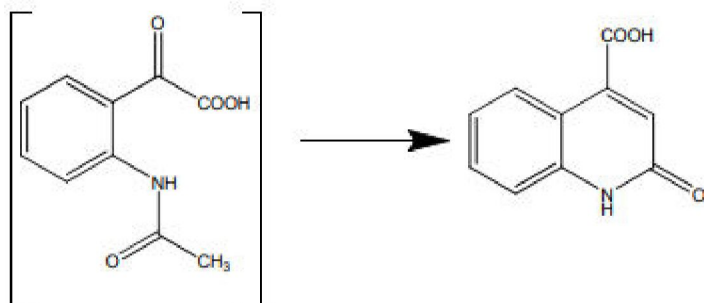
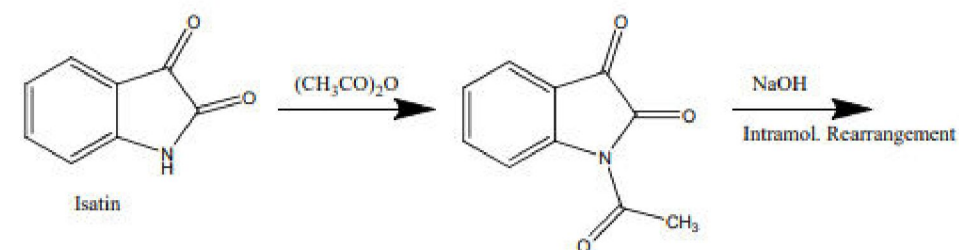
Miscellaneous: Phenacaine, Dipiperdon, Dibucaine.*

Drug Name	Structure	Properties	Uses
Phenacaine		<ul style="list-style-type: none"> - Moderate onset and duration of action. - Similar to procaine but with a slightly lower toxicity. 	Used for topical anesthesia and for some ophthalmic procedures.
Dipiperdon		<ul style="list-style-type: none"> - Used mainly for regional anesthesia. - Moderate duration of action and moderate toxicity. 	Used for nerve block and infiltration anesthesia in regional blocks.
Dibucaine		<ul style="list-style-type: none"> - Very potent local anesthetic. - Long duration of action. - Can cause systemic toxicity at higher doses. 	Used topically for minor skin and mucosal procedures, also in rectal procedures.

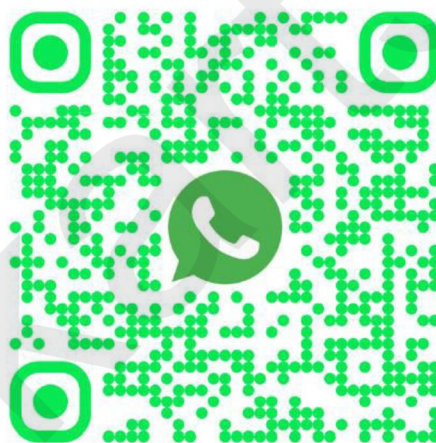
Synthesis of Dibucaine:



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