

LOCAL ANAESTHETIC

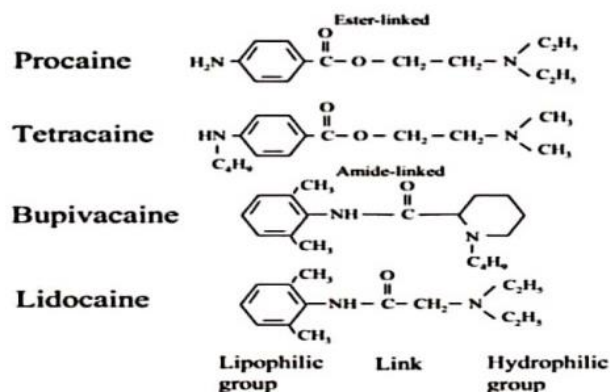
CLASSIFICATION OF LOCAL ANAESTHETIC

Define - Agents that act locally to abolish the sensory perception over local area.

STRUCTURE ACTIVITY RELATIONSHIP

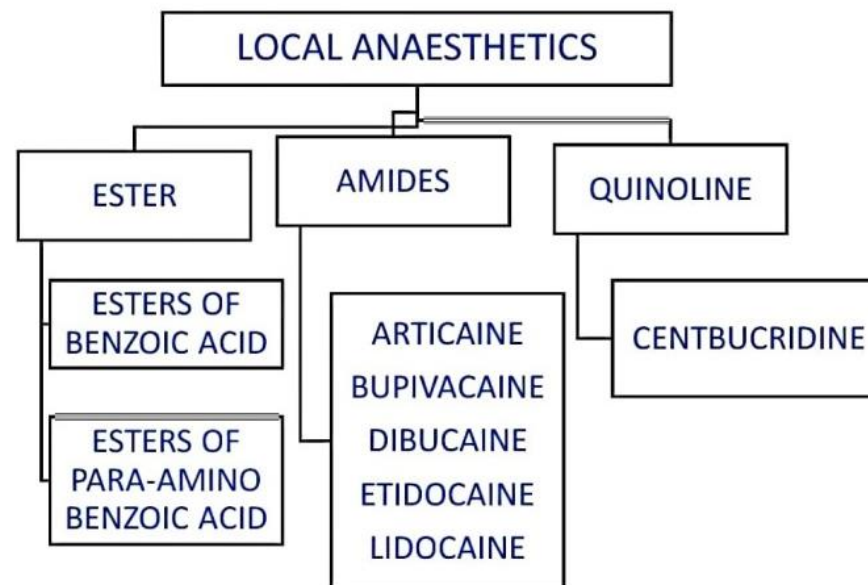
Structure–activity relationship (SAR) , the chemical structure of local anesthetics usually consists of three basic skeleton parts, a lipophilic aromatic ring, intermediate connecting functional group .

SAR of LA



Aryl -c=O-x-amino alkyl side chain

- **Aryl Group** – Aryl group radical is directly attached to carbonyl group result into conjunction.
- **Bridge X**- Here X may be carbon, nitrogen, sulphur and nature of X Affect duration of action
- **Aminoalkyl Group** -It is considered hydrophilic part of the molecule and is used to form water soluble salts.



ESTERS –

1. Benzoic acid ester, e.g –cocain ,piperocaine
2. Para amino benzoic acid ,e.g –procaine ,chloroprocaine

AMIDES –

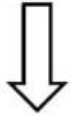
1. Straight chain acid derivative of xylylide
2. Pipeocolic derivative
3. Oxycinchonic derivative

MISCELLANEOUS-

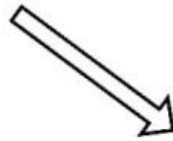
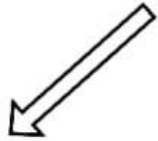
1. Quionline derivative
2. Ammonium compounds

Mechanism of action

Local Anesthetic



Voltage gated Na⁺ channels



Reduction in metastatic

Reduction in cell

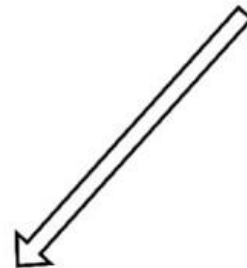
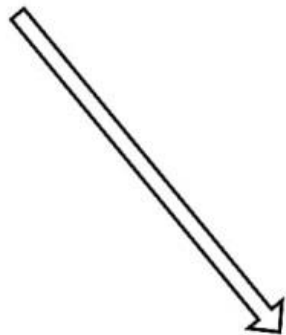
Pain signaling

Behaviour



Reduction in cell

Spread



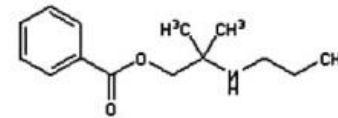
Increased survival Rate

AND

Increased Quality of Life

BENZOIC ACID DERIVATIVES Benzoic acid and a wide range of derivatives and related benzoic compounds, such as salt of alkyl esters, benzyl alcohol, Benz aldehyde, and benzoyl peroxide, are commonly used as antibacterial and

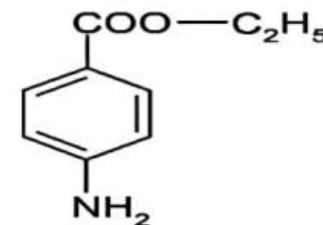
MEPRYLCAINE – relatively potent inhibitory Action.



AMINO BENZOIC ACID DERIVATIVES

A derivative of benzoic acid existing in three isomeric forms, the para- form is used in the manufacture of dyes and sunburn preventatives.

BENZOCAINE - relieve pain of minor mouth problem



LIDOCAINE / ANILIDE DERIATIVE

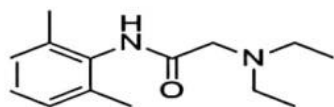
MECHANISM OF ACTION:

Lidocaine is an antiarrhythmic medication of the class Ib type. This means it works by blocking sodium channels and thus decreasing the rate of contractions of the heart. When injected near nerves, the nerves cannot conduct signals to or from the brain.

STUDY OF INDIVIDUAL DRUGS:

1) Lidocaine:

Lidocaine, also known as lignocaine and sold under the brand name Xylocaine among others, is a local anesthetic of the amino amide type.

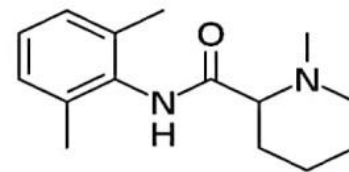


use:

Lidocaine is used to temporarily numb and relieve pain from minor burns (including sunburn), skin abrasions, insect bites, and other painful conditions affecting mucous membranes.

2) Mepivacaine:

mepivacaine is a piperidine carboxamide in which N- methyl pipercolic acid and 2,6- dimethylaniline have combined to form the amide bond.



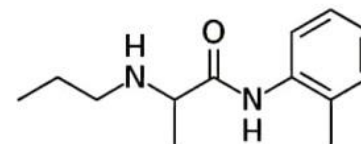
Use:

Mepivacaine is an anesthetic (numbing medicine) that blocks the nerve impulses that send pain signals to your brain.

Mepivacaine is used as a local (in only one area) anesthetic for an epidural or spinal block. It is also used as an anesthetic for dental procedures.

3) Prilocaine:

Prilocaine is a local anesthetic of the amino amide type first prepared by Claes Tegner and Nils Löfgren. In its injectable form, it is often used in dentistry.

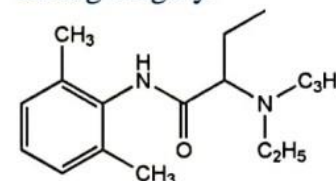


Use:

prilocaine is a topical anesthetic that causes loss of feeling in the skin and surrounding tissues. It is used to numb the skin before procedures or injections.

4) Etidocaine:

etidocaine is a long duration of activity, and the main disadvantage of using during density is increased bleeding during surgery.

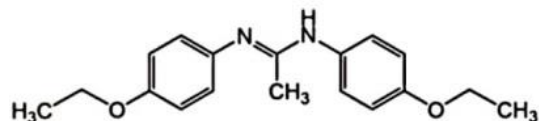


Use: Given in surgical processes and labor pain in pregnancy.

MISCELLANIOUS

1) Phenacaine:

Phenacaine also known as holocaine, is a local anesthetic. It is approved for ophthalmic use.

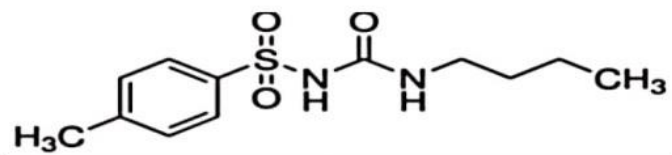


Use:

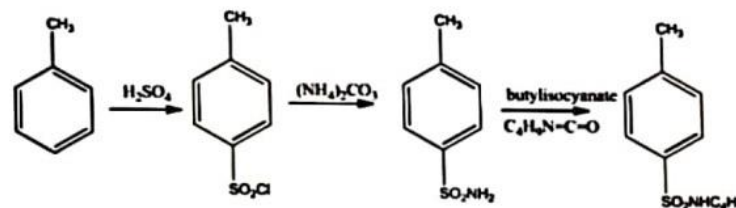
Noun Pharmacology. compound, C₁₈H₂₂N₂O₂, usually used in the form of its hydrochloride as a local anesthetic for the eye

2) Tolbutamide:

Tolbutamide is used along with diet and exercise, and sometimes with other medications, to treat type 2 diabetes (condition in which the body does not use insulin normally and, therefore, cannot control the amount of sugar in the blood). Tolbutamide is in a class of medications called sulfonylureas.



Synthesis:



Tolbutamide, 1-butyl-3-p-toluenesulfonylurea is made in a single step reaction by interaction of p-toluenesulfonylamide (in the form of sodium salt) with butyliso-cyanate . Tolbutamide is one of the most widely used antidiabetic agents

REFERENCE:

1) foye's principle of medicinal chemistry

2)principle of medicinal chemistry by kadam and mahadik

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ANTIDIABETIC AGENTS-

A substance that helps a person with diabetes control their level of glucose (sugar) in the blood.

Diabetes may have two types-

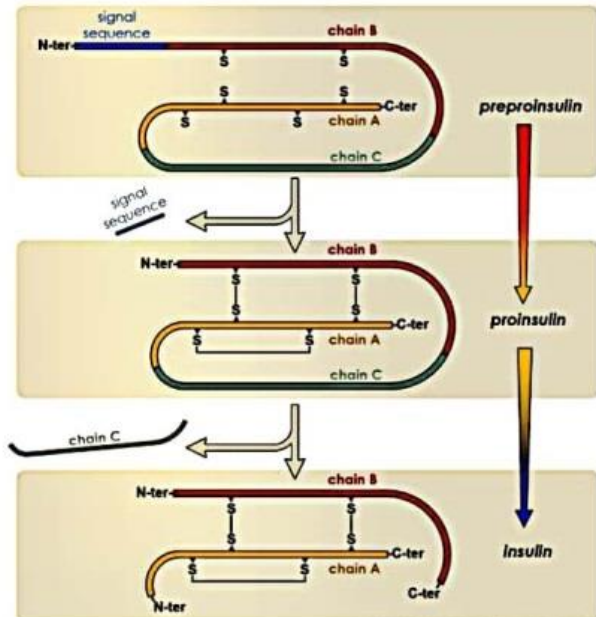
1)DIABETIES MELLITUS TYPE 1:

It is caused due to lack of insulin,thus insulin should be injected to treat this condition

2)DIABETIES MELLITUS TYPE 2:

It is caused due to insulin resistance by cells.

INSULIN-

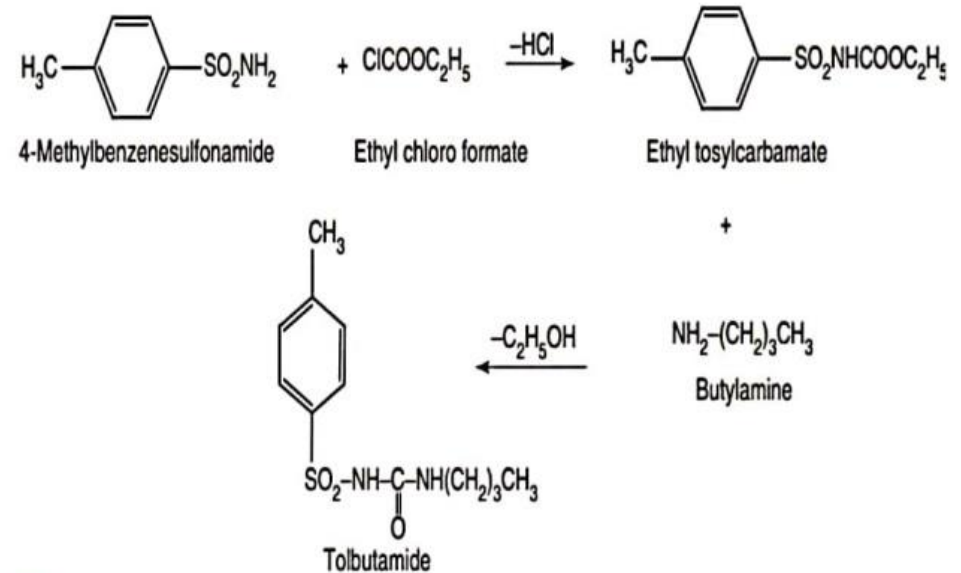


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Mechanism of action-

Insulin initiates its action by binding to a glycoprotein receptor on the surface of the cell. This receptor consists of an alpha-subunit, which binds the hormone, and a beta-subunit which is an insulin-stimulated, tyrosine-specific protein kinase

Synthesis-



Uses-

1)Used for controlling diabetes mellitus

Classification-

1) Sulphonylureas: eg-I) Tolbutamide

II) glipizide

2) Meglitinide: eg-I) Repaglinide

II) Meglitinide

3) Biguinides-: eg-I) Metformin

II) Phenformin

4) Thiazolidindiones: eg-I) Pioglitazone

II) ciglitazone

5) Alpha Glycosidase Inhibitor-

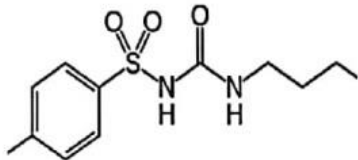
eg-I) Acarbose

1) Sulphonylureas:-

Mechanism of Action-

Sulphonylureas act mainly by increasing the release of insulin from the pancreatic β -cells in response to stimulation by glucose

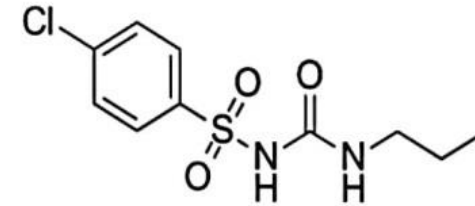
I) Tolbutamide



Uses-

1) Used to controlling blood glucose

II) Chlorpropamide-



Uses-

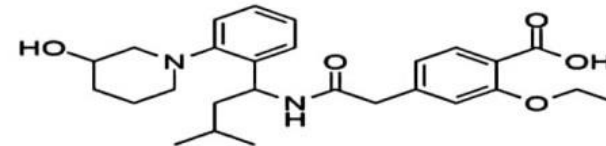
1) Used to adjust the other diabetic drugs

2) Meglitinides-

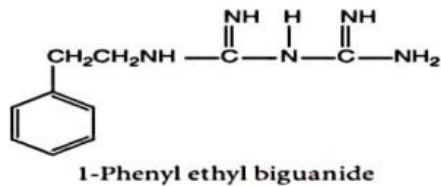
Mechanism Of Action-

Meglitinides is to inhibit adenosine triphosphate-dependent K^+ channels in pancreatic β -cells, which results in membrane depolarization and subsequent

Example-I) Repaglinide



3) BIGUANIDES:

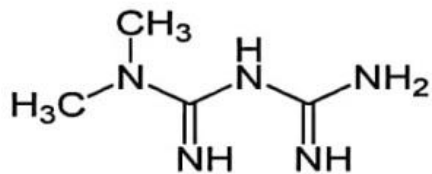


Mechanism Of Action-

Biguanides work by preventing the liver from converting fats and amino-acids into glucose.

Examples-

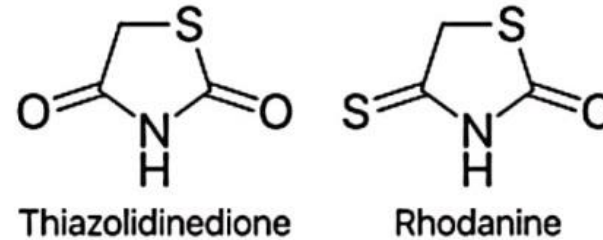
1)Metformin



Uses:

Help to prevent type 2diabets.It can also use the Sulphonylureas or insulin to improve glycemic control in adults.

4)THIAZOLIDINEDIONES-

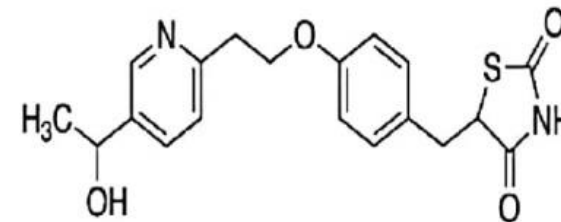


Mechanism Of Action-

Thiazolidinedione's or TZDs act by activating (peroxisome proliferator-activated receptors), a group of nuclear receptors, specific for PPAR γ (PPAR-gamma, PPARG). They are thus the PPARG agonists subset of PPAR agonists.

Examples-

1)Pioglitazone



Uses- It is a inhibitors are oral anti-diabetic drug

