

College of Pharmacy

Department of Pharmacology



# Local Anesthetics

# Objectives

- Define local anesthetics and explain the mechanism of action.
- Discuss the local anesthetics method of administration.
- Explain the method used to evaluate the local anesthetics.

# Definition of local anesthetics:

- They are drugs used to abolish pain sensation in a certain area of the body.
- They depress the afferent nerve impulses which travel to the CNS.
- They cause reversible block of nerve conduction when applied locally to the nerve tissue in the appropriate concentration.

## Mechanism of action:

- Inhibit the generation and propagation of the nerve impulses at its site of action on the cell membrane.
- Block voltage gated  $\text{Na}^+$  channels, thus prevent the depolarization.
- Decrease the activity of  $\text{Na}^+ / \text{Ca}^+$  exchange causing membrane stabilization.
- Increase the threshold of electrical excitability and slow the conduction of nerve impulses.

## **Method of administration:**

1. Surface anesthesia.
2. Infiltration anesthesia.
3. Nerve block anesthesia.
4. Spinal anesthesia.

# Method of administration:

## 1. Surface anesthesia:

By direct application of the drug to the surface. E.g. eye, skin, cornea. E.g. Cocaine, Lidocaine



# Method of administration:

## 2. Infiltration anesthesia:

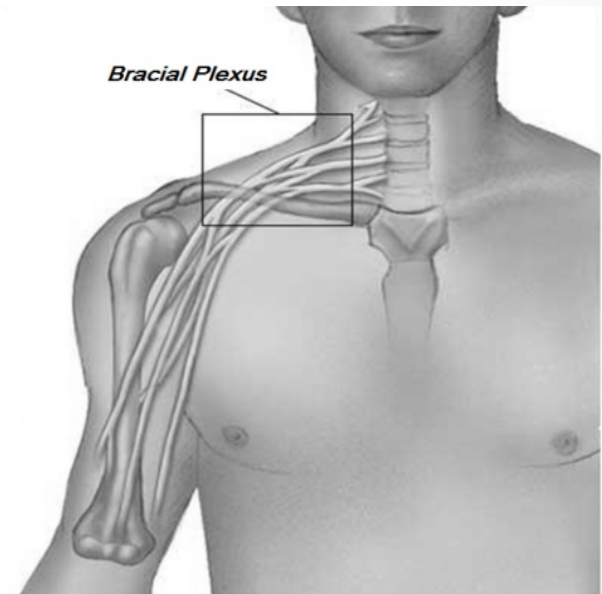
The local anesthetic is injected subcutaneous (S.C) in order to paralyze nerve ending at the site of operation. E.g. Lidocaine, Procaine



# Method of administration:

## 3. Nerve block anesthesia:

The local anesthetic is injected in the vicinity of the major nerve trunks like brachial plexus E.g. Lidocaine, Procaine

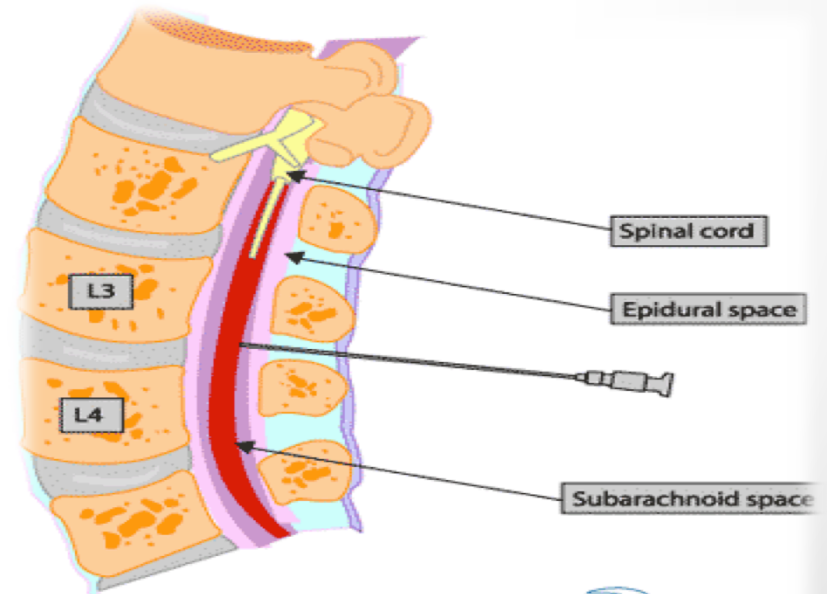




# Method of administration:

## 4. Spinal anesthesia:

The local anesthetic is injected in the subarachnoid space so, that it reaches the roots of the spinal nerves between lumbar (L3 & L4) E.g. Procaine.



# Identification & evaluation of L.A. :

1. Corneal method.
2. Intradermal wheel method.
3. Nerve block (frog plexus method).

# Identification and evaluation of L.A.:

## 1. Corneal method (Surface):

**Principle:** The L.A. is applied & the change in the response of the animal to the painful stimulus is recorded.

**Animal:** Rabbit

**Painful stimulus:** Is induced by a fine cotton applicators applied on the cornea.

**Anesthetic used:** Lidocaine 1 %

**Response:** Blinking of the eye.

# Identification and evaluation of L.A.:

## 1. Corneal method (Surface):

### Procedure:

- Clip the rabbit eye lashes and check the corneal reflex
- Apply lidocaine to one eye while the other eye is used as control
- Every minute check the corneal reflex in both eyes.
- The onset of action is the time between the application of drug & the failure to blink.

# Identification and evaluation of L.A.:

## 2-Intradermal wheel method (infiltration):

**Animal:** Guinea pig (G.P).

**Painful stimulus:** Pin prick.

**Anesthetic drug:** Lidocaine 0.2 %

**Response:** Shrinkage of the skin. (L.A. will prevent feeling of pain during the prick)

# Identification and evaluation of L.A.:

## 2-Intradermal wheel method (infiltration):

### Procedure:

- Shave the back hair of G.P.
- Apply a painful stimulus to the skin of the right and left sides, the animal response by shrinking of the skin.
- Inject lidocaine to the right side intradermally, where the left side leaved as control.
- Apply the painful stimulus to both area every 5 min until loss of pain sensation.

# Identification and evaluation of L.A.:

## 3-Nerve Block Method (frog plexus method):

**Principle:** The painful stimulus is applied to the frog skin, and the response is compared before and after the LA application.

**Animal:** Frog.

**Painful stimulus:** (0.05, 0.1, 0.2 N) dil. HCl.

**Anesthetic drug:** Procaine 0.1%, 0.2%

**Response:** Withdrawal of the leg.

# Identification and evaluation of L.A.:

## 3-Nerve Block Method (frog plexus method):

### Procedure:

- Decapitate the frog without pithing the spinal cord.
- A transverse incision is made in the abdomen below the sternum, where the viscera are removed throughout this opening.
- Hang the frog by a hock.
- Test each leg with tap water. The frog should not give reflex action to water (indicate loss of conscious movement).



# Identification and evaluation of L.A.:

## 3-Nerve Block Method (frog plexus method):

### Procedure:

- Immerse the frog foot in 0.05 N HCl, observe the withdrawal reflex within 10 seconds only "to avoid skin damage" then wash the foot till the muscles are relaxed.
- Inject the LA into the abdominal cavity.
- Test the withdrawal reflex every minute and wash after each test.
- Try with 0.1N HCl then with 0.2N for 10 seconds.
- Determine the total onset time of L.A.

# Identification and evaluation of L.A.:

## 3-Nerve Block Method (frog plexus method):

### Results:

	0.05 N HCl	0.1 N HCl	0.2 N HCl	Total
0.1% Procaine				
0.2% Procaine				

The onset of Procaine 0.1%=

The onset of Procaine 0.2%=

# References

- Goodman and Gilman's the pharmacological basis of therapeutics, E-book, 11<sup>th</sup> edition.
- A. J. Trevor, B. G. Katzung and S. B. Masters. (2010). Local anesthetics. Basic and clinical pharmacology, 10<sup>th</sup> edition, Mc Graw Hill, San Francisco.