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# MEDICINAL CHEMISTRY - II

## UNIT 5

TOPIC :

- **Miscellaneous : Phenacaine, Dipiperodon, Dibucaine.\***



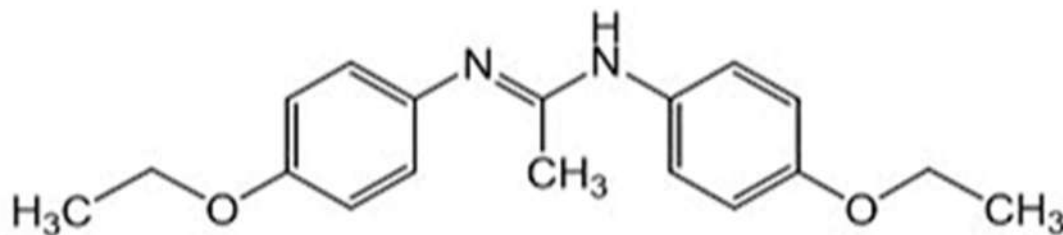
## Miscellaneous

### Phenacaine (A Local Anesthetic)

- Phenacaine is a local anesthetic belonging to the ester-type anesthetics.
- It is primarily used for topical anesthesia of the eye and mucous membranes.
- It works by reversibly blocking nerve conduction, preventing the generation and transmission of nerve impulses in sensory neurons.
- Like other ester anesthetics, it is rapidly hydrolyzed by plasma esterases.

### Chemical Structure

- IUPAC Name: 2-(Phenylamino)ethyl 4-aminobenzoate
- Molecular Formula:  $C_{15}H_{16}N_2O_2$
- Structure Description:
  - Contains an aromatic ring (phenyl group) linked via an amide or ester linkage to an amino group.
  - Typical of para-aminobenzoate (PABA) derivatives, similar to procaine.
- Structural



## Mechanism of Action

- Phenacaine acts by blocking voltage-gated sodium channels on the neuronal cell membrane.
- Steps of action:
  1. Diffusion: Phenacaine penetrates the nerve membrane in its non-ionized form.
  2. Binding: The ionized form binds to intracellular sodium channels, stabilizing the membrane.
  3. Blockade: This prevents sodium influx, inhibiting action potential initiation and propagation.
- Result: Reversible loss of sensation in the area of application without affecting consciousness.

## Synthesis

Phenacaine can be synthesized from para-aminobenzoic acid derivatives:

1. Start with 4-aminobenzoic acid (PABA).
2. Convert the carboxyl group to the corresponding acid chloride using thionyl chloride ( $\text{SOCl}_2$ ).
3. React the acid chloride with 2-phenylaminoethanol to form the ester linkage, yielding Phenacaine.

## Uses

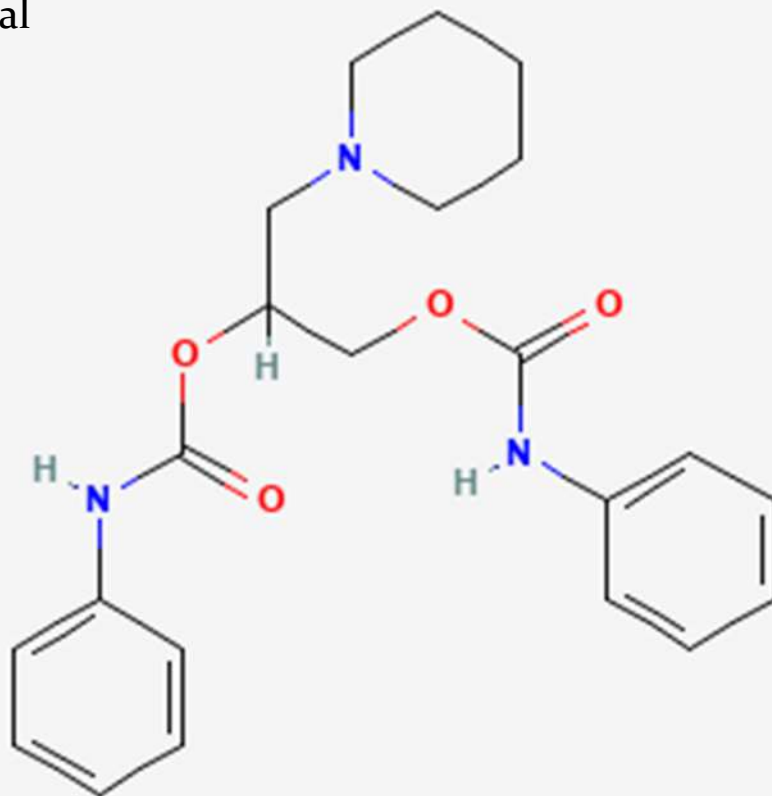
- Topical anesthesia of the eye for diagnostic procedures (e.g., tonometry, minor surgery).
- Anesthesia of mucous membranes, e.g., nasal or oral cavities, before minor interventions.
- Rarely used systemically due to rapid hydrolysis and short duration of action.
- Often preferred when fast onset and moderate potency are required.

## Diperodon (Local Anesthetic / Opioid Derivative)

- Diperodon is a local anesthetic agent and structurally related to piperidine derivatives.
- It is less commonly used today but historically applied for topical anesthesia and nerve block.
- Belongs to the amide-type local anesthetics with modifications on the piperidine ring, affecting potency and duration.

### Chemical Structure

- IUPAC Name: 1-(2,6-Dimethylphenyl)piperidin-4-yl propionate
- Molecular Formula:  $C_{17}H_{25}NO_2$
- Structure Description:
  - Contains a piperidine ring substituted at the 4-position by a propionate ester.
  - A 2,6-dimethylphenyl group is attached to the nitrogen atom of the piperidine.
- Structural



## Mechanism of Action

- Dipiperdon acts by blocking voltage-gated sodium channels in neuronal membranes.
- Mechanism steps:
  1. Penetration: Non-ionized Dipiperdon diffuses into nerve fibers.
  2. Binding: Ionized form binds intracellularly to sodium channels.
  3. Sodium blockade: Prevents sodium influx, halting action potential propagation.
- Result: Reversible loss of sensation in the local area of application.

## Synthesis

- Synthetic pathway (general overview):
  1. Start with 2,6-dimethylaniline.
  2. Condense with piperidin-4-ol to introduce the piperidine ring.
  3. Esterify the alcohol group with propionic acid (or propionyl chloride) to form the propionate ester, yielding Dipiperdon.

## Uses

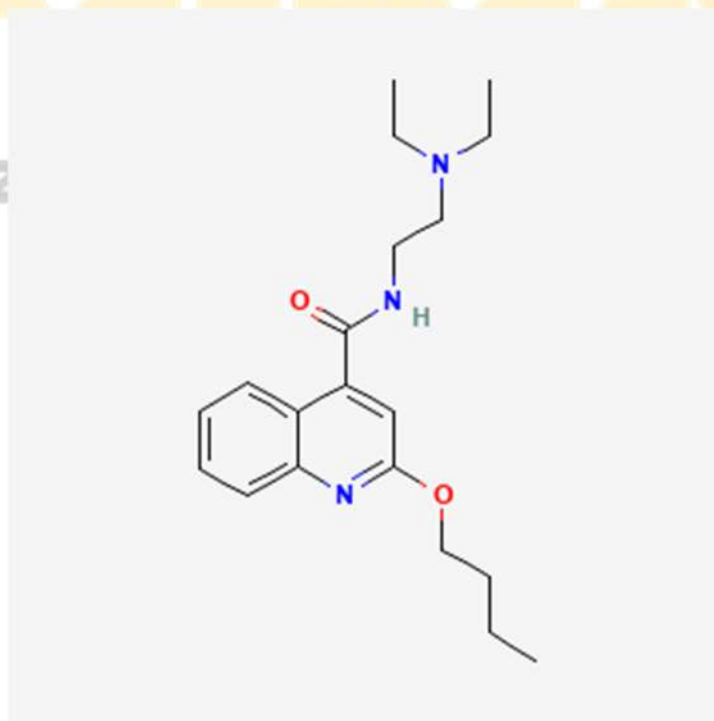
- Topical anesthesia for mucous membranes.
- Occasionally used for minor surgical procedures or nerve blocks.
- Not widely used clinically today due to availability of safer alternatives with better pharmacokinetics.

## Dibucaine (Cinchocaine)

- Dibucaine, also known as Cinchocaine, is a potent amide-type local anesthetic.
- It is used topically and in minor surgical procedures for local anesthesia.
- Notable for its long duration of action and high potency among local anesthetics.
- It is also used in anal fissures, hemorrhoids, and as a neurological diagnostic agent for testing pseudocholinesterase activity.

### Chemical Structure

- IUPAC Name: 2-Butoxy-N-(2-butylaminoethyl)aniline
- Molecular Formula:  $C_{20}H_{29}N_3O$
- Structure Description:
  - Contains a substituted aniline ring with a butoxy group at the ortho position.
  - Has a tertiary amine chain for increased lipophilicity and potency.
  - Classified as an amide-type anesthetic due to the presence of an amide linkage.
- Structural



## Mechanism of Action

- Like other local anesthetics, Dibucaine works by blocking voltage-gated sodium channels in neuronal membranes.
- Steps of action:
  1. Diffusion: Non-ionized Dibucaine penetrates the nerve membrane.
  2. Binding: Ionized form binds intracellular sodium channels.
  3. Blockade: Prevents sodium influx, inhibiting action potential propagation.
- Result: Reversible loss of sensation at the site of application.

### Special note:

- Dibucaine is used diagnostically in the Dibucaine number test to detect atypical plasma cholinesterase, which affects metabolism of certain drugs like succinylcholine.

## Synthesis

- General synthesis route:
  1. Start with 2-butoxyaniline.
  2. React with 2-chloro-N-butylethylamine (or equivalent) to form the amide linkage.
  3. Purify to obtain Dibucaine.

## Uses

- Topical anesthesia of skin and mucous membranes.
- Analgesia for hemorrhoids and anal fissures.
- Minor surgical procedures requiring potent local anesthesia.
- Dibucaine number test for pseudocholinesterase deficiency