

WELCOME TO



This is an Education Platform

We Provide PDF Notes for Pharmacy Students

Web Site <http://www.fdspharmacy.in/>

You tube <https://www.youtube.com/c/FDSpharmacy>

Telegram <https://t.me/Fdspharmacy>

App <https://play.google.com/store/apps/details?id=com.FDSPharmacyMedia.FDSPharmacy>

E-mail fdspharmacyinfo@gmail.com

Bachelor of Pharmacy Industrial Pharmacy I

NOTES

- ✓ Unit 1
 - ✓ Unit 2
 - ✓ Unit 3
 - ✓ Unit 4
 - ✓ Unit 5
- All Unit
in
One PDF**

Visit our Website
WWW.fdspharmacy.in



Bachelor of Pharmacy Medicinal Chemistry II

NOTES

- ✓ Unit 1
 - ✓ Unit 2
 - ✓ Unit 3
 - ✓ Unit 4
 - ✓ Unit 5
- All Unit
in
One PDF**

Visit our Website
WWW.fdspharmacy.in



Bachelor of Pharmacy Pharmacognosy and Phytochemistry II

NOTES

- ✓ Unit 1
 - ✓ Unit 2
 - ✓ Unit 3
 - ✓ Unit 4
 - ✓ Unit 5
- All Unit
in
One PDF**

Visit our Website
WWW.fdspharmacy.in



Bachelor of Pharmacy Pharmaceutical Jurisprudence

NOTES

- ✓ Unit 1
 - ✓ Unit 2
 - ✓ Unit 3
 - ✓ Unit 4
 - ✓ Unit 5
- All Unit
in
One PDF**

Visit our Website
WWW.fdspharmacy.in



Bachelor of Pharmacy Pharmacology II

NOTES

- ✓ Unit 1
 - ✓ Unit 2
 - ✓ Unit 3
 - ✓ Unit 4
 - ✓ Unit 5
- All Unit
in
One PDF**

Visit our Website
WWW.fdspharmacy.in





D.Pharma B.Pharma

- 👉 PDF Notes
- 👉 Practical Manual
- 👉 Important Questions
- 👉 Assignment etc

 **Download Now**



www.fdpharmacy.in

MEDICINAL CHEMISTRY - II

UNIT 5

TOPIC :

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



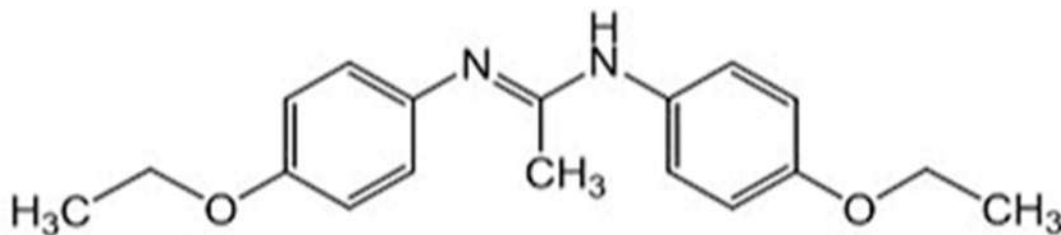
Miscellaneous

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 (SOCl₂)**.
3. React the acid chloride with **2-phenylaminoethanol** to form the **ester linkage**, yielding **Phenacaine**.

Uses

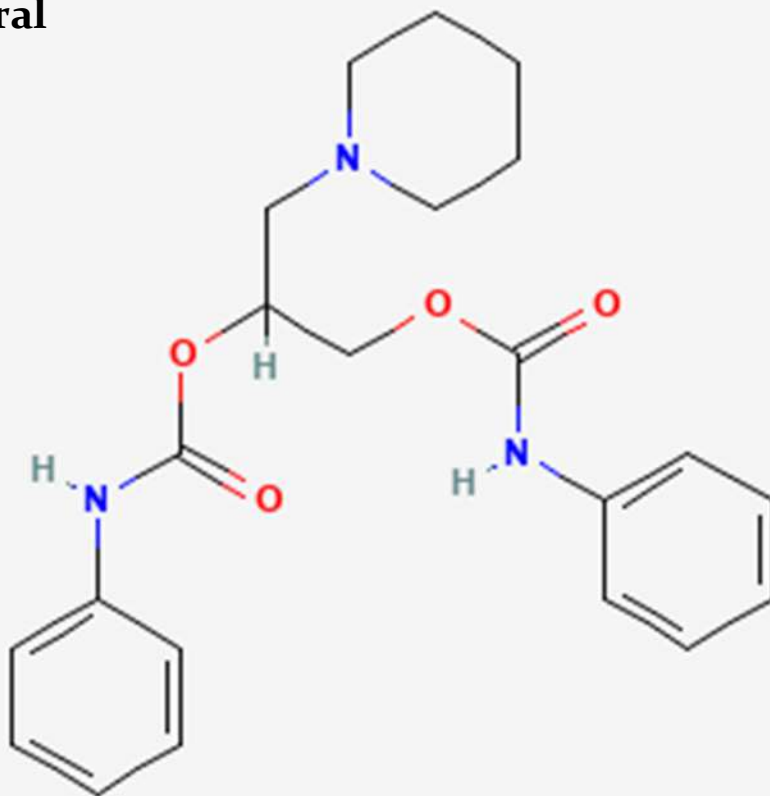
- **Topical anesthesia of the eye** for diagnostic procedures (e.g., tonometry, minor surgery).
- **Anesthesia of mucous membranes**, e.g., nasal or oral cavities, before minor interventions.
- Rarely used systemically due to **rapid hydrolysis** and **short duration of action**.
- Often preferred when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

- Dipherodon acts by **blocking voltage-gated sodium channels** in neuronal membranes.
- Mechanism steps:
 1. **Penetration:** Non-ionized Dipherodon 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 Dipherodon.

Uses

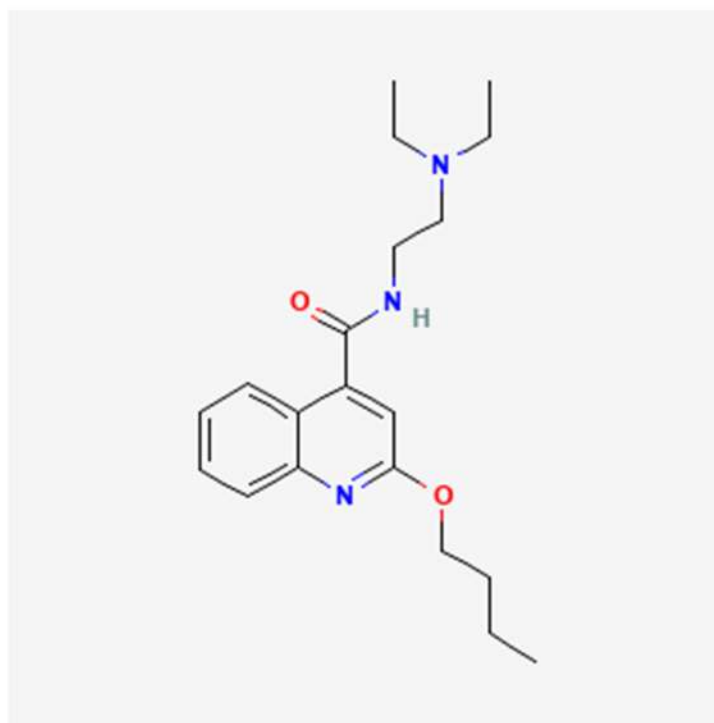
- **Topical anesthesia** for mucous membranes.
- Occasionally used for **minor surgical procedures** or **nerve blocks**.
- Not widely used clinically today due to **availability of safer alternatives** with better pharmacokinetics.

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**