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# MEDICINAL CHEMISTRY - II

## UNIT 5

TOPIC :

- **Lidocaine/Anilide derivatives** : Lignocaine, Mepivacaine, Prilocaine, Etidocaine.

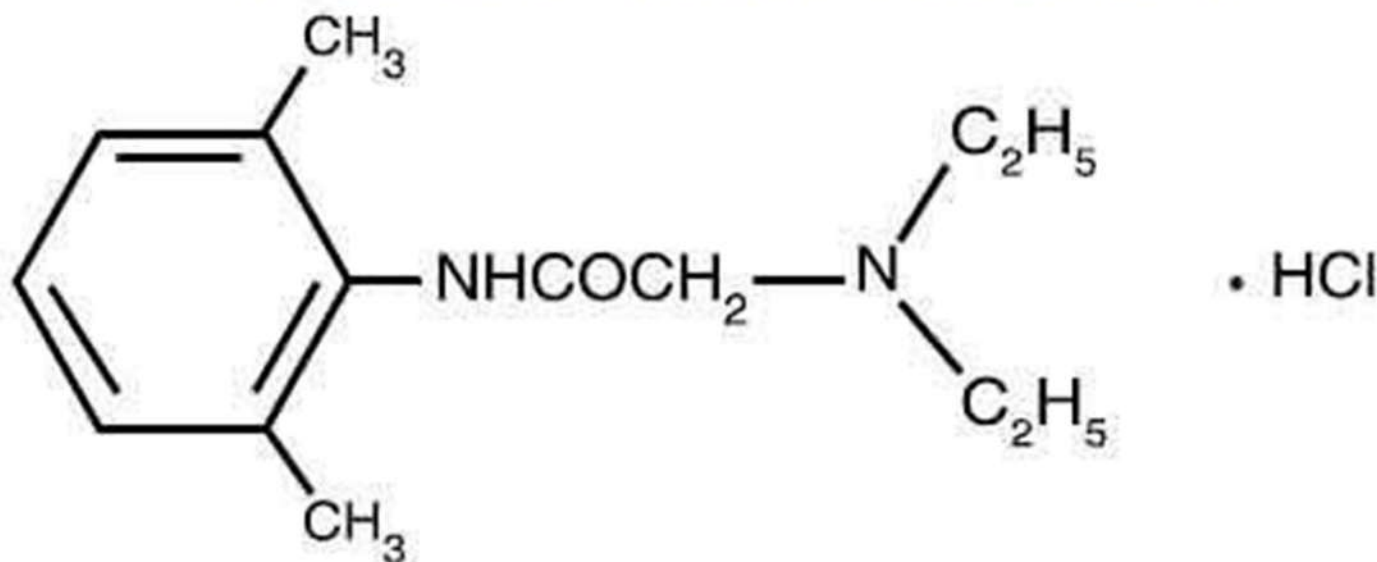


## Lignocaine (Lidocaine)

- Lignocaine, also called Lidocaine, is a widely used amide-type local anesthetic.
- It is used for **topical, infiltration, nerve block, spinal, and epidural anesthesia**.
- Lignocaine has a **rapid onset of action** and **intermediate duration**.
- Unlike ester anesthetics, Lignocaine is **metabolized by the liver** (hepatic microsomal enzymes) rather than by plasma esterases.
- It is also used as an **antiarrhythmic agent (Class 1b)** for **ventricular arrhythmias**.

### Chemical Structure

- **Chemical Name:** 2-(Diethylamino)-N-(2,6-dimethylphenyl)acetamide
- **Molecular Formula:**  $C_{14}H_{22}N_2O$
- **Molecular Weight:** 234.34 g/mol
- **Chemical Class:** Amide-type local anesthetic
- **Structural**





## Mechanism of Action

- Lignocaine **blocks voltage-gated sodium ( $\text{Na}^+$ ) channels** in neuronal membranes.
- This **prevents depolarization**, inhibiting the **propagation of nerve impulses**, resulting in **reversible anesthesia**.
- Primarily affects **sensory neurons**, sparing motor function at lower concentrations.
- In **cardiac tissue**, it stabilizes the membrane by **reducing automaticity and conduction velocity**, making it useful in **ventricular arrhythmias**.
- Onset is **rapid** (2–5 minutes), and duration is **30–60 minutes** (shorter with infiltration, longer with nerve block).

## Synthesis

**Starting Materials:** 2,6-Dimethylaniline and Chloroacetyl chloride

**Steps:**

1. **Acylation:** 2,6-Dimethylaniline reacts with **chloroacetyl chloride** to form **2-chloro-N-(2,6-dimethylphenyl)acetamide**.
2. **Amination:** The chloro group is displaced by **diethylamine**, yielding **Lignocaine (Lidocaine) base**.
3. **Salt Formation:** The base can be converted into **Lignocaine hydrochloride** for water-soluble clinical formulations.

## Uses

- **Local anesthesia:** Topical, infiltration, nerve block, spinal, epidural.
- **Dental anesthesia:** Commonly used for dental procedures.
- **Antiarrhythmic agent:** Treats **ventricular arrhythmias** (Class 1b).
- **Topical analgesia:** For minor burns, cuts, or mucous membrane irritation.

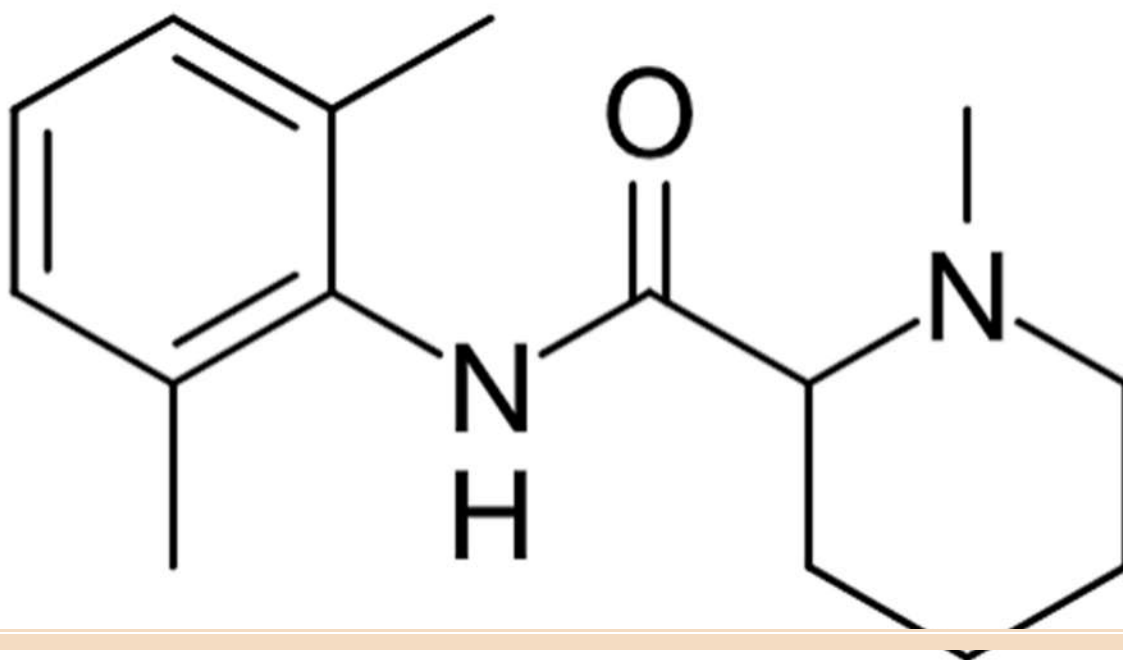
- Sometimes combined with **epinephrine** to prolong action and reduce bleeding.

## Mepivacaine

- **Mepivacaine** is an **amide-type local anesthetic** commonly used for **infiltration, nerve block, and epidural anesthesia**.
- It is structurally related to **Lidocaine**, but has a **slightly longer duration of action** and **less vasodilation**, which reduces the need for vasoconstrictors.
- Mepivacaine is used as **Mepivacaine hydrochloride** in injectable form for clinical applications.
- It is favored in **dental procedures** and **minor surgical procedures** due to its **rapid onset** and **intermediate duration**.

## Chemical Structure

- **Chemical Name:** 1-Methyl-2',6'-pipecoloxylidide
- **IUPAC Name:** N-(2,6-Dimethylphenyl)-1-methyl-2-piperidinecarboxamide
- **Molecular Formula:** C<sub>15</sub>H<sub>22</sub>N<sub>2</sub>O
- **Molecular Weight:** 246.35 g/mol
- **Chemical Class:** Amide-type local anesthetic
- **Structural**



## Mechanism of Action

- Mepivacaine **blocks voltage-gated sodium ( $\text{Na}^+$ ) channels** in neuronal membranes.
- This **prevents depolarization**, inhibiting **nerve impulse propagation**, resulting in **reversible local anesthesia**.
- Primarily affects **sensory nerves**, sparing motor function at lower concentrations.
- Metabolized by the **liver** (hepatic microsomal enzymes).
- Its **slower vasodilation** prolongs **duration of action** compared to other amide anesthetics.

## Synthesis

**Starting Materials:** 2,6-Dimethylaniline and 2-chloro-1-methylpiperidine-4-carboxylic acid derivative

### Steps:

1. **Acylation:** 2,6-Dimethylaniline is reacted with a **piperidine carboxylic acid derivative** to form the **amide linkage**.
2. **Purification:** The base is purified and converted into **Mepivacaine hydrochloride** for water-soluble injectable forms.

## Uses

- **Infiltration anesthesia** for minor surgeries.
- **Peripheral nerve block** and **epidural anesthesia**.
- **Dental anesthesia**, especially in procedures requiring **medium-duration anesthesia**.
- Sometimes combined with **vasoconstrictors** to prolong effect further.

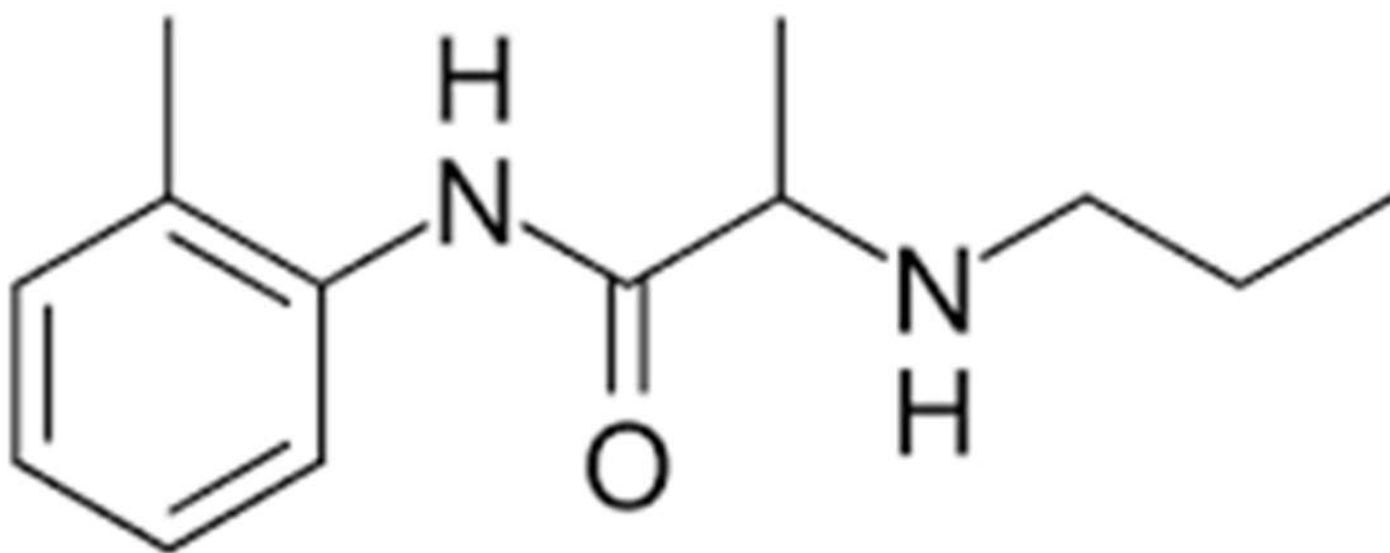


## Prilocaine

- **Prilocaine** is an **amide-type local anesthetic**, structurally related to **Lidocaine**, but with **less vasodilatory activity**, which allows it to act **longer without a vasoconstrictor**.
- Commonly used in **infiltration, nerve block, epidural, and topical anesthesia**.
- Often combined with **Lidocaine** in a 1:1 mixture known as **EMLA cream** for **surface anesthesia**.
- Metabolized primarily in the **liver**, with **renal excretion of metabolites**.
- Its main advantage is **low systemic toxicity** and suitability for **patients sensitive to vasoconstrictors**.

### Chemical Structure

- **Chemical Name:** N-(2-Methylphenyl)-2-(propylamino)propanamide
- **IUPAC Name:** N-(2-Methylphenyl)-2-propylaminopropionamide
- **Molecular Formula:** C<sub>13</sub>H<sub>20</sub>N<sub>2</sub>O
- **Molecular Weight:** 220.31 g/mol
- **Chemical Class:** Amide-type local anesthetic
- **Structural**



## Mechanism of Action

- Prilocaine **blocks voltage-gated sodium ( $\text{Na}^+$ ) channels** in neuronal membranes.
- This **prevents depolarization**, inhibiting **nerve impulse conduction**, resulting in **reversible anesthesia**.
- Primarily affects **sensory neurons**, sparing motor function at lower concentrations.
- **Metabolism** occurs mainly in the **liver**, producing metabolites including **orthotoluidine**, which can induce **methemoglobinemia** in high doses.

## Synthesis

**Starting Materials:** 2-Methylacetanilide and Propylamine

**Steps:**

1. **Acylation:** 2-Methylacetanilide is reacted with **propylamine** to form the amide linkage.
2. **Purification:** The base is purified and converted into **Prilocaine hydrochloride** for water-soluble injectable form.

## Uses

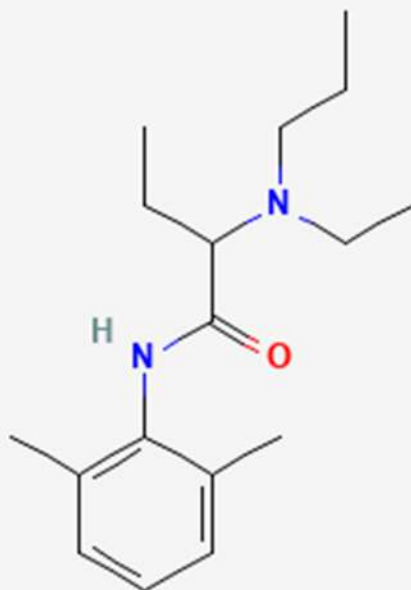
- **Infiltration anesthesia** for minor surgical procedures.
- **Peripheral nerve block** and **epidural anesthesia**.
- **Topical anesthesia:** Often combined with Lidocaine as **EMLA cream** for skin procedures.
- **Dental anesthesia** for patients sensitive to epinephrine.
- Can be combined with **vasoconstrictors** if longer duration is required.

# Etidocaine

- Etidocaine is a **long-acting amide-type local anesthetic** used for **infiltration, nerve block, and epidural anesthesia**.
- It is chemically and pharmacologically related to **Lidocaine**, but provides a **longer duration of anesthesia** and **more profound motor block**.
- It is available as **Etidocaine hydrochloride** for injectable use.
- Etidocaine shows **rapid onset of action** (similar to lidocaine) but with **extended duration**, making it ideal for **major surgical procedures** requiring prolonged anesthesia.
- It is metabolized mainly in the **liver** and excreted in urine as metabolites.

## Chemical Structure

- **Chemical Name:** N-(2,6-Dimethylphenyl)-2-(ethylpropylamino)butanamide
- **IUPAC Name:** N-(2,6-Dimethylphenyl)-2-(ethylpropylamino)butanamide
- **Molecular Formula:** C<sub>17</sub>H<sub>28</sub>N<sub>2</sub>O
- **Molecular Weight:** 276.42 g/mol
- **Chemical Class:** Amide-type local anesthetic
- **Structural**



## Mechanism of Action

- Etidocaine **blocks voltage-gated sodium ( $\text{Na}^+$ ) channels** in the neuronal membrane.
- This **inhibits sodium ion influx**, preventing **depolarization and propagation of action potentials**, resulting in **reversible loss of sensation**.
- It affects both **sensory and motor fibers**, often producing a **more pronounced motor block** than other local anesthetics.
- Onset is **rapid**, and duration is **long (3–8 hours)** due to high lipid solubility and protein binding.

## Synthesis

**Starting Materials:** 2,6-Dimethylaniline and 2-butyryl chloride derivative

**Steps:**

1. **Acylation:** 2,6-Dimethylaniline is acylated with an appropriate **chloroalkylbutanoyl chloride** derivative to form an intermediate amide.
2. **Amine substitution:** The intermediate is reacted with **ethylpropylamine** to introduce the tertiary amino side chain.
3. **Conversion to salt:** The base is converted to **Etidocaine hydrochloride** to increase solubility and stability for injection.

## Uses

- **Infiltration anesthesia** for surgical procedures.
- **Peripheral nerve block anesthesia** (brachial plexus, intercostal blocks).
- **Epidural anesthesia** for obstetric and postoperative pain management.
- Suitable for **long-duration procedures** where prolonged anesthesia is required.