SNS COLLEGE OF ALLIED HEALTH SCIENCE

SNS Kalvi Nagar, Coimbatore - 35

Affiliated to Dr MGR Medical University, Chennai

DEPARTMENT OF OPERATION THEATRE AND ANAESTHESIA TECHNOLOGY - II YEAR

COURSE NAME: PHARMACOLOGY

TOPIC – LOCAL ANAESTHESIA

MRS.GAYATHIRI.K

Lecturer

DEFINITION

Definition

• **Local Anaesthetics**: Drugs that reversibly block nerve conduction in a localized area, preventing pain sensation without loss of consciousness.

Mechanism of Action (General)

• Bind to voltage-gated sodium channels in nerve membranes, inhibiting sodium influx.
• Prevent depolarization, blocking nerve impulse transmission.
• Preferentially bind to open/inactive channel states, primarily affecting sensory nerves.

CLASSIFICATION

Classification and Types

- **Class**: Local anaesthetics
- **Chemical Classification**:
  - **Esters**: Procaine, Tetracaine (short-acting, metabolized by plasma esterases).
  - **Amides**: Lidocaine, Bupivacaine, Prilocaine, Etidocaine, Ropivacaine (longer-acting, metabolized by liver).
- **Types by Use**:
  - Injectable (e.g., Lidocaine, Bupivacaine, Ropivacaine).
  - Topical (e.g., Lidocaine spray, Prilocaine jelly, EMLA ointment, transdermal patch).

LIDOCAINE (XYLOCAINE)

- **Class**: Amide local anaesthetic
- **Mechanism**:

Inhibits sodium channels, preventing nerve conduction.

- **Pharmacodynamics**:

Rapid onset (1–5 min), intermediate duration (1–2 h), sensory and motor block, Class Ib antiarrhythmic.

- **Pharmacokinetics**:

Administered via IV, infiltration, topical
metabolized by liver ,excreted in urine.

- **Dosage**:

– Infiltration: 0.5–1% (max 4.5 mg/kg without epinephrine, 7 mg/kg with epinephrine).
– Topical: 2–4% gel/spray.
– Transdermal patch: 5% (max 3 patches/day, 12 h on, 12 h off).
– IV (anti-arrhythmic): 1–1.5 mg/kg bolus.

LIDOCAINE (XYLOCAINE)

• **Preparations**:
Injectable (1%, 2% solutions), topical (2% gel, 4% cream, spray), viscous (2% for mucosa), transdermal patch (5%).

• **Uses**:
Infiltration, nerve block, epidural, spinal anaesthesia; topical anaesthesia (skin, mucosa); chronic pain (transdermal patch); ventricular arrhythmias.

• **Adverse Effects**:
CNS (dizziness, seizures), cardiovascular (hypotension, bradycardia), hypersensitivity, methemoglobinemia (rare).

• **Contraindications**:
Hypersensitivity, heart block, severe liver disease, StokesAdams syndrome.

• **Physical Properties**:
White crystalline powder, water-soluble, pKa 7.9, stable in solution.

LIDOCAINE (XYLOCAINE)

SYSTEMIC EFFECTS:

•CNS: Dizziness, tinnitus, confusion, seizures, coma.
•CVS: Hypotension, bradycardia, arrhythmias, cardiac collapse (high doses).
•Other: Methemoglobinemia (rare), allergic reactions.
•Risk Factors: High dose (>4.5 mg/kg), IV injection, liver disease.

BUPIVACAINE

- **Class**: Amide local anaesthetic
- **Mechanism**:

Blocks sodium channels, providing prolonged nerve block.

- **Pharmacodynamics**:

Slow onset (5–10 min), long duration (4–8 h), high potency, selective sensory block at low concentrations.

- **Pharmacokinetics**:

Epidural, spinal, infiltration

metabolized by liver; excreted in urine.

- **Dosage**:

– Epidural: 0.25–0.5% (max 2 mg/kg).

– Spinal: 0.5% (5–15 mg).

BUPIVACAINE

- **Preparations**: Injectable (0.25%, 0.5% solutions, hyperbaric for spinal).
- **Uses**: Epidural (labor, surgery), spinal anaesthesia, peripheral nerve blocks, postoperative pain management.
- **Adverse Effects**:
  Cardiotoxicity (ventricular arrhythmias, cardiac arrest), CNS toxicity (seizures), hypotension.
- **Contraindications**:
  Hypersensitivity, IV regional anaesthesia, severe cardiac disease.
- **Physical Properties**:
  White crystalline powder, sparingly soluble in water, 2pKa 8.1.

BUPIVACAINE

SYSTEMIC EFFECTS:

•CNS: Restlessness, dizziness, seizures, respiratory arrest.
•CVS: Severe cardiotoxicity (arrhythmias, cardiac arrest), hypotension.
•Other: Allergic reactions (rare), neurotoxicity.
•Risk Factors: High dose (>2 mg/kg), intravascular injection, cardiac disease.

PRILOCAINE

Class: Amide local anaesthetic

• **Mechanism**: Inhibits sodium channels, similar to lidocaine.

• **Pharmacodynamics**:

Rapid onset (2–5 min), intermediate duration (1–2 h), less cardiotoxic than bupivacaine.

• **Pharmacokinetics**:

Infiltration, topical; metabolized by liver; metabolite (o-toluidine) causes methemoglobinemia.

• **Dosage**:

– Infiltration: 1–2% (max 8 mg/kg).

– Topical (jelly): 2%.

PRILOCAINE

Preparations: Injectable (1%, 2% solutions), topical jelly (2%).

- **Uses**: Infiltration, nerve blocks, topical anaesthesia (mucosa), component of EMLA.
- **Adverse Effects**:

Methemoglobinemia (dose-dependent), CNS toxicity (dizziness, seizures), allergic reactions.

- **Contraindications**:

Hypersensitivity, congenital/acquired methemoglobinemia, infants <6 months.

- **Physical Properties**:

White crystalline solid, water-soluble, pKa 7.9.

PRILOCAINE

SYSTEMIC EFFECTS:

•CNS: Lightheadedness, confusion, seizures, coma.
•CVS: Mild hypotension, bradycardia, rare arrhythmias.
•Other: Methemoglobinemia (cyanosis, dyspnea), allergic reactions.
•Risk Factors: High dose (>8 mg/kg), infants <6 months, G6PD deficiency.

. EMLA (Eutectic Mixture of Local Anaesthetics)

- **Class**: Topical amide combination (2.5% lidocaine + 2.5% prilocaine)
- **Mechanism**: Penetrates intact skin, blocks sodium channels in dermal nerves.
- **Pharmacodynamics**:

Slow onset (30–60 min), duration 1–2 h post-removal, effective for superficial procedures.

- **Pharmacokinetics**:

Topical (cream/ointment/patch); metabolized by liver; minimal systemic absorption.

- **Dosage**:

Apply 1–2 g/10 cm² under occlusive dressing or as patch for 1–2 h (max 10 g).

EMLA (Eutectic Mixture of Local Anaesthetics)

Preparations:
Cream, ointment, transdermal patch (2.5% lidocaine + 2.5% prilocaine).

• **Uses**:
Topical anaesthesia for venipuncture, minor skin procedures, circumcision, laser treatments.

• **Adverse Effects**:
Skin blanching, erythema, methemoglobinemia (prilocaine component), allergic reactions.

• **Contraindications**:
Hypersensitivity, methemoglobinemia, infants <1 month, broken skin.

3• **Physical Properties**:
White creamy emulsion, eutectic mixture lowers melting point for skin penetration.

EMLA (Eutectic Mixture of Local Anaesthetics)

SYSTEMIC EFFECTS:

•CNS: Dizziness, confusion, seizures (rare, high doses).
•CVS: Mild hypotension, bradycardia (rare).
•Other: Methemoglobinemia (prilocaine), skin reactions (blanching, erythema).
•Risk Factors: Overuse (>10 g), infants <1 month, broken skin.

ETIDOCAINE

Class: Amide local anaesthetic

- **Mechanism**: Blocks sodium channels, similar to bupivacaine.
- **Pharmacodynamics**:
  Rapid onset (3–5 min), long duration (4–6 h), pronounced motor block.
- **Pharmacokinetics**:
  Infiltration, epidural
  metabolized by liver; excreted unchanged.
- **Dosage**:
  – Epidural: 0.5–1% (max 4 mg/kg without epinephrine, 5.5 mg/kg with epinephrine).
  – Infiltration: 0.5% (max 300 mg).

ETIDOCAINE

- **Preparations**: Injectable (0.5%, 1% solutions).
- **Uses**:

Epidural anaesthesia, peripheral nerve blocks, surgical anaesthesia (less common now).

- **Adverse Effects**:

CNS toxicity (seizures), cardiotoxicity, hypotension, motor weakness.

- **Contraindications**:

Hypersensitivity, severe cardiac disease, IV administration.

- **Physical Properties**:

White crystalline powder, sparingly soluble in water, pKa 7.7.

ETIDOCAINE

SYSTEMIC EFFECTS:

•CNS: Dizziness, tinnitus, seizures, respiratory depression.
•CVS: Hypotension, bradycardia, arrhythmias (moderate risk).
•Other: Motor weakness, allergic reactions (rare).
•Risk Factors: High dose (>4 mg/kg), rapid injection, cardiac disease.

ROPIVACAINE

- **Class**: Amide local anaesthetic
- **Mechanism**: Blocks sodium channels, less cardiotoxic than bupivacaine.
- **Pharmacodynamics**:

Slow onset (5–10 min), long duration (4–6 h), selective sensory block, minimal motor block.

- **Pharmacokinetics**:

Epidural, infiltration; metabolized by liver ; 1% excreted unchanged.

- **Dosage**:

– Epidural: 0.2–0.5% (max 3 mg/kg).

– Nerve block: 0.5% (max 225 mg).

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ROPIVACAINE

Preparations:
Injectable (0.2%, 0.5%, 0.75% solutions).
• **Uses**:
Epidural (labor, surgery), peripheral nerve blocks, postoperative pain management.
4• **Adverse Effects**:
CNS toxicity (dizziness, seizures), hypotension, bradycardia, allergic reactions.
• **Contraindications**:
Hypersensitivity, IV regional anaesthesia, severe liver disease.
• **Physical Properties**:
White crystalline powder, water-soluble, pKa 8.1, Senantiomer.

ROPIVACAINE

SYSTEMIC EFFECTS:

•CNS: Dizziness, confusion, seizures, coma.
•CVS: Hypotension, bradycardia, rare arrhythmias (less cardiotoxic).
•Other: Allergic reactions, transient neurotoxicity.
•Risk Factors: High dose (>3 mg/kg), liver disease, rapid injection.

THANK YOU