Bupivacaine (Marcaine): MOA, Uses, Dosage and Side Effects

Bupivacaine is a long-acting amide local anesthetic commonly used in various regional anesthesia techniques, including spinal, epidural, and peripheral nerve blocks. It is available under brand names such as Marcaine and Sensorcaine.

Pharmacokinetics

- **Onset of Action** : Varies depending on the route; typically within 1–17 minutes.
- **Duration of Action** : Ranges from 2 to 8 hours, depending on the concentration and site of administration.
- **Half-Life** : Approximately 2.7 hours in adults.
- **Protein Binding** : Approximately 95%, contributing to its prolonged effect.
- **Metabolism** : Primarily hepatic
- **Excretion** : Renal, with about 6% excreted unchanged.

Mechanism of Action

Bupivacaine blocks voltage-gated sodium channels, inhibiting the initiation and propagation of nerve impulses, leading to loss of sensation in the targeted area.

Clinical Uses

- **Infiltration Anesthesia** : For minor surgical procedures.
- **Epidural and Caudal Anesthesia** : Commonly used in obstetrics and postoperative pain management.
- **Spinal Anesthesia** : For lower abdominal and lower limb surgeries.
- **Peripheral Nerve Blocks** : For surgical anesthesia and postoperative analgesia
- **Dental Anesthesia** : For procedures requiring prolonged numbness.

Contraindications

Bupivacaine is contraindicated in patients with known hypersensitivity to amide-type local anesthetics. It should not be used for intravenous regional anesthesia (Bier block) due to the risk of cardiac arrest. The 0.75% concentration is contraindicated in obstetrical anesthesia because of reports of cardiac arrest with difficult resuscitation.

Side Effects

- **Central Nervous System** : Lightheadedness, dizziness, tinnitus, seizures.
- **Cardiovascular** : Hypotension, bradycardia, arrhythmias, cardiac arrest.
- **Others** : Allergic reactions, though rare.

Adrenaline (Epinephrine) as an Adjuvant

Adrenaline is often added to local anesthetic solutions to enhance their efficacy and safety profile.

Mechanism of Action

Adrenaline acts on alpha-adrenergic receptors, causing vasoconstriction at the site of injection. This leads to

- **Prolonged Anesthetic Effect** : By reducing blood flow, the local anesthetic remains at the site longer.
- **Reduced Systemic Absorption** : Decreases the risk of systemic toxicity.
- **Enhanced Block Density** : Improves the quality of anesthesia.

Clinical Considerations

- **Concentration** : Commonly used concentrations range from 1:80,000 to 1:200,000.
- **Maximum Safe Dose** : Typically, 20 mL of 1:80,000 solution (250 micrograms) or 50 mL of 1:200,000 solution.
- **Not Recommended for** :
    - **Intrathecal Use** : Due to potential neurotoxicity.
    - **End-Arterial Areas** : Such as fingers, toes, ears, nose, and penis, because of the risk of ischemia and necrosis.

Alternatives

In cases where adrenaline is contraindicated, alternatives like felypressin, a vasopressin analog, may be used. Felypressin has vasoconstrictive properties with less pronounced cardiovascular effects but carries a higher risk of coronary artery constriction.

Bupivacaine with Adrenaline

Combining bupivacaine with adrenaline can be beneficial in certain scenarios:

- **Peripheral Nerve Blocks and Infiltration Anesthesia** : Adrenaline prolongs the duration of sensory blockade and reduces systemic absorption.
- **Epidural Anesthesia** : The addition of adrenaline can reduce systemic absorption, allowing for higher doses of bupivacaine and prolonged anesthesia.

However, the addition of adrenaline does not significantly prolong the duration of spinal anesthesia with bupivacaine and is not recommended for intrathecal administration.