Local anesthetics

Local anesthetics are categorized into two groups. **Esters** include tetracaine, procaine, cocaine, and chloroprocaine. **Amides** include lidocaine, mepivacaine, bupivacaine, and etidocaine.

A. **Mechanism of action**
1. Local anesthetics work by diffusing through the nerve plasma membrane and causing blockade of sodium channels. The nerve cell is unable to depolarize, and axonic conduction is inhibited.

2. Local tissue acidosis (e.g., from infection) causes local anesthetic molecules to become positively charged and less able to diffuse into the neuron. This slows the onset and decreases the intensity of analgesia.

B. Toxicity (dose dependent, except for allergic reactions)
1. Central nervous system (CNS)
a. Signs and symptoms include mental status changes, dizziness, perioral numbness, a metallic taste, tinnitus, visual disturbances, and seizures. Seizures resulting from inadvertent intravascular injection usually last only minutes. Continuous infusion of local anesthetics may result in high plasma levels and prolonged seizures.

b. Treatment involves airway support and ventilation with 100% oxygen, which should always be available. Prolonged seizures may require administration of benzodiazepines (midazolam, 1–5 mg i.v.; diazepam, 5–15 mg i.v.; or lorazepam, 1–4 mg i.v.). Intubation may be required to ensure adequate ventilation.

2. Cardiovascular
a. Signs and symptoms range from decreased cardiac output to hypotension and cardiovascular collapse. Most local anesthetics cause CNS toxicity before cardiovascular toxicity. Bupivacaine is an exception, and its intravascular injection can result in severe cardiac compromise.

b. Treatment includes fluid resuscitation, administration of vasopressors, and cardiopulmonary resuscitation, if necessary.

3. Hypersensitivity reactions, although rare, have been described with ester-based local anesthetics and are attributed to the metabolite *p*-aminobenzoic acid.
True amide-based local anesthetic reactions are questionable.

a. Signs and symptoms can range from mild to life threatening. These include urticaria, bronchospasm, hypotension, and anaphylactic shock.

b. Treatment is similar to that for hypersensitivity reactions from other etiologies. Urticaria responds to diphenhydramine, 25–50 mg i.v. Bronchospasm is treated with inhaled bronchodilators (e.g., albuterol) and

oxygen. Hypotension is treated with fluid resuscitation and vasopressors [e.g., phenylephrine hydrochloride (Neo-Synephrine)] as required. Anaphylactic cardiovascular collapse can be treated with epinephrine, 0.5–1.0 mg i.v. bolus.

C. Epinephrine (1:200,000, 5 μg/mL) is mixed with local anesthetic solutions to prolong the duration of neural blockade and reduce systemic drug absorption. Its use is contraindicated in areas where arterial spasm would lead to tissue necrosis (e.g., nose, ears, fingers, toes, or penis).