

## FYI: Lidocaine Update

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### Lidocaine

Lidocaine is an amino amide used as a local anesthetic available for subcutaneous injection, oral and topical administration. Lidocaine blocks the sodium ion channels required for the initiation and conduction of neuronal impulses by decreasing ionic flux through the neuronal membrane. Penetration of lidocaine through intact skin will produce an analgesic effect but this is not sufficient to produce a complete sensory block. Erythema, edema, or an abnormal sensation at the application site may occur.

### **Lidocaine Toxicity**

Toxicity may occur after ingestion, topical use, or parenteral administration. Initial effects following an overdose include mild hypertension and tachycardia, light headedness, mild agitation and confusion. In severe cases, this may progress to seizures, coma, respiratory depression, bradycardia, ventricular dysrhythmias and asystole. Lidocaine rarely produces methemoglobinemia. Transient apprehension, confusion, disorientation, and panic and psychotic reactions may occur.

Mild toxicity may occur with therapeutic drug levels 1-5 ug/ml. Plasma concentrations of 5 to 10 ug/ml are associated with marked CNS toxicity. Plasma levels of 8-12 ug/ml can be associated with seizures. Drug levels above 15 ug/ml have been reported in fatal cases.

### **Which formulations of Lidocaine are available for topical use and describe any toxicity associated with their use?**

1. EMLA® - Eutectic Mixture of Lidocaine and Prilocaine- is a topical mixture of local anesthetics. It contains 2.5% of lidocaine and prilocaine and is available OTC in the U.S.

- A 23 year old man presented to the hospital with acute confusion. EMLA® cream had been applied to his chest, abdomen, and back prior to laser hair removal treatment. Approximately 45 minutes later, he complained of weakness, nausea, vomiting, was confused, disoriented, talkative, and experienced a brief loss of consciousness following by a frontal headache. Mild folliculitis was observed on his torso. Two hours after the onset of the episode, the patient's condition resolved completely. The CSF level for lidocaine was elevated at 1 ug/ml which corresponds to a toxic serum level of 12.5-16 ug/ml.
- A 21 month old girl who received EMLA® cream developed seizures and respiratory depression and had an elevated blood level of 16.8 ug/ml.

**2. DentiPatch®-** This lidocaine trans-oral delivery system is indicated for mild topical anesthesia of mucous membranes in the mouth. It contains 46.1 mg of lidocaine. The onset of action is as early as 2.5 minutes after application, and the patch can be left in place for up to 15 minutes. The risk of CNS toxicity is very low, but it can be precipitated by the co-administration of other CNS depressant medications.

**3. Xylocaine Viscous ®-** Lidocaine HCl oral topical solution is indicated as a topical anesthetic for irritated or inflamed mucous membranes of the mouth and pharynx. The usual adult dose is one tablespoonful. For use in the mouth, the solution should be swished around in the mouth and expelled. For use in the pharynx, the undiluted solution should be gargled and may be swallowed. This dose should not be administered at intervals of less than 3 hours, and not more than 8 doses should be given in a 24-hour period. The maximum recommended single dose should not exceed 4.5 mg/kg or a total of 300 mg.

- Lidocaine plasma concentrations > 5 mcg/mL has been reported from the ingestion of viscous lidocaine solution and was associated with producing toxicity in patients. Ingestion of 5 to 25 mL of 2% viscous Xylocaine (lidocaine) has resulted in seizures in children. An 11-month-old male infant developed seizures and a plasma lidocaine concentration of 10 ug/ml, following the application of 2 percent viscous lidocaine to his gums 5 to 6 times daily for a week.
- Toxicity was observed in a 22-year-old man during daily use of 240 mL viscous lidocaine for a severe tongue ulcer. His serum lidocaine concentration was 6.7 ug/ml.

**4. Lidoderm®-** is used to relieve the pain of post-herpetic neuralgia, which is also referred to as post shingles pain. These patches should be worn for no more than 12 hours a day. No more than 3 patches should be applied at one time. Patches may also be cut into smaller sizes prior to removal of the release liner.

- Toxicity may occur with "therapeutic" drug levels, particularly in patients with low serum protein levels who have increased levels of free (nonprotein-bound) drug.

**For information on how to manage Lidocaine toxicity please consult your Regional Poison Center.**

**(References available on request).**