Posicaine® 200

(articaine hydrochloride 4% with epinephrine/adrenaline 1:200,000 Injection)

Local Anaesthetic for Dental Use

Clinical pharmacology

POSICAINE is a local anaesthetic that has the reversible effect of blocking the conduction of painful sensations. POSICAINE decreases nerve conduction by diminishing the sodium ion influx during the action potential period. The epinephrine is a vasoconstrictor added to POSICAINE to slow down the passage into the general circulation and thus ensure the prolonged maintenance of an active tissue concentration. The anaesthesia is obtained rapidly (1 to 3 minutes) and lasts for 45 minutes per cartridge.

Injected in the mouth by the submucosal route with a solution containing 1:200,000 epinephrine, articaine reaches the blood concentration peak about 17 minutes after the injection. The half-life elimination is very short: about 25 minutes. Articaine is excreted mainly through the urine with total elimination of 76 % and 89 % following intramuscular and intravenous administration, respectively. Two unidentified metabolites of articaine are detected in the urine following intramuscular injection accounting for 87 % and 2 % of the administered dose. No metabolites are detected in the blood following intravenous administration.

Indications and clinical use

POSICAINE is indicated for infiltration anaesthesia and nerve block anaesthesia during minor procedures in dentistry.

Contraindications

POSICAINE is contraindicated in patients with known allergies to dental anaesthetics. POSICAINE is also contraindicated in patients with sepsis near the proposed injection site, severe shock, paroxysmal tachycardia, frequent arrhythmia, neurological disease, severe hypertension or in patients with asthma who may have bronchospastic allergic reactions induced by sulphites. Since POSICAINE contains epinephrine the caution required of any vasoconstrictor drug is in order.

Warnings

POSICAINE, along with other local anaesthetics, is capable of producing methaemoglobinaemia. The clinical signs of methaemo-globinaemia are cyanosis of the nail beds and lips, fatigue and weakness. If methaemoglobinaemia does not respond to administration of oxygen, administration of methylene blue intravenously 1 to 2 mg/kg body weight over a 5 minute period is recommended. Intravascular injection is strictly contraindicated: therefore, it is imperative to ensure that the needle being used for the injection does not go into a vessel. Toxic reactions may occur in the case of overdosage or accidental intravenous injection.

POSICAINE contains sulphites which may cause serious allergic type reactions in certain susceptible patients. Do not use if known to be hypersensitive to bisulfites.

The American Heart Association has made the following recommendation regarding the use of local anesthetics with vasoconstrictors in patients with ischemic heart disease: “Vasoconstrictor agents should be used in local anesthesia solutions during dental practice only when it is clear that the procedure will be shortened or the analgesia rendered more profound. When a vasoconstrictor is indicated, extreme care should be taken to avoid intravascular injection. The minimum possible amount of vasoconstrictor should be used.” (Kaplan, EL, editor: Cardiovascular disease in dental practice, Dallas 1986, American Heart Association.)

Precautions:

General

Each time a local anaesthetic is used, anti-convulsant medicines (benzodiazepines or barbiturates which can be injected), myorelaxants, atropine and vasopressors, resuscitating equipment (in particular a source of oxygen) enabling artificial ventilation, should be available. The safety and effectiveness of local anaesthetics depend upon proper dosage, correct technique, adequate precautions, and readiness for emergencies. In persons with known or suspected drug allergies or sensitivities to amide-type local anaesthetics, POSICAINE should be given cautiously. The following precautions apply to all anaesthetics: avoid injection into an inflamed or infected area. Injections should always be made slowly with frequent aspirations in order to verify the absence of intravascular injection. The lowest dosage (volume and concentration) that produces the desired results should be used to avoid high plasma levels and serious systemic side effects. The actual dosage and maximum dosage must be individualized, based on the age, size, and physical status of the patient and the expected rate of systemic absorption from the injection site. In highly vascular tissue, absorption is greater than other areas. Avoid excessive premedication with sedatives, tranquilizers, and anti-emetic agents, especially in small children and elderly patients.

Patients with Special Diseases and Conditions

In patients with peripheral vascular disease or injection into areas with limited blood supply, the use of a local anaesthetic containing a vasoconstrictor should be made with caution. Due to the presence of epinephrine, POSICAINE is not advised for diabetic subjects. It is strongly recommended to question the patient to find out his background, ongoing treatment, possible allergic antecedents. Allergic-type reactions, including nausea, diarrhea, wheezing respirations, acute asthmatic attacks, impaired consciousness, or shock may occur in patients with bronchial asthma due to hypersensitivity to the sulfite component.

Use in Pregnancy Safe use of local anaesthetics during pregnancy prior to labor has not been established with respect to adverse effects on fetal development. Careful consideration should be given before administering these drugs in pregnant women.

Use in Lactation It is not known whether this drug is excreted in human milk. Because many drugs are excreted in human milk, caution should be exercised when this solution is administered to a nursing woman.

Use in Children The use of POSICAINE in children under the age of 4 years is not recommended. (**See Dosage and Administration**).

Drug Interactions
In patients receiving MAO inhibitors or tricyclic antidepressants, extreme care should be used with solutions containing a vasoconstrictor, e.g. epinephrine, because prolonged hypertension may result. Concurrent use or immediately following the administration of chloroform, halothane, cyclopropane, trichloroethylene or related anaesthetics may sensitize the heart to epinephrine and may cause dose-related cardiac arrhythmias.

Adverse reactions
Reactions to POSICAINE (articaine hydrochloride) are characteristic of amide-type local anaesthetics. Adverse reactions of this group of drugs are generally dose-related and may result from high plasma concentrations of anaesthetic caused by inadvertent intravascular administration, overdosage, or rapid absorption from the injection site as well as reduced patient tolerance, idiosyncrasy, or hypersensitivity. High plasma concentrations of anaesthetic affect the central nervous system and cardiovascular system. Generally, high plasma concentrations of the drug initially produce CNS stimulatory effects manifested by anxiety, apprehension, restlessness, nervousness, disorientation, confusion, dizziness, blurred vision, tremors, twitching, shivering and seizures, followed by CNS depression manifested by drowsiness, unconsciousness, and respiratory arrest. Nausea, vomiting, chills, miosis and tinnitus may also occur. The adverse cardiovascular effects are depressant and include myocardial depression, cardiac arrythmias, hypotension, cardiovascular collapse, cardiac arrest, and tachypnea, then bradypnea, which could lead to apnea.
Allergic reactions may be manifested by dermatologic reactions, edema, urticaria and other allergy symptoms. Persistent paresthesias of the lips, tongue, and oral tissues have been reported with use of articaine hydrochloride, with slow, incomplete, or no recovery. These post-marketing events have been reported chiefly following nerve blocks in the mandible and have involved the trigeminal nerve and its branches.

Symptoms and treatment of overdosage The type of toxic reaction is unpredictable and depends on factors such as dosage, rate of absorption and clinical status of patient. Two types of reactions that effect stimulation and/or depression of the central cortex and medulla may result from systemic absorption. Slow onset symptoms following overdose include stimulation leading to nervousness, dizziness, blurred vision, nausea, tremors, convulsions, hypotension, cardiovascular depression and respiratory arrest. Rapid onset symptoms following overdose include depression leading primarily to respiratory arrest, cardiovascular collapse and cardiac arrest. Since cardiac arrest symptoms may occur rapidly and with little warning, treatment should be readily available.

Treatment Toxic effects require symptomatic treatment, there is no specific cure:
1) For all symptoms: secure and maintain a patent airway, administer oxygen.
2) Circulatory depression: immediately resuscitate with oxygen and intravenously administer a vasopressor agent to maintain blood pressure.
Cardiac massage or external cardiac stimulation is indicated if cardiac arrest occurs.
3) For convulsions that do not respond to respiratory support, administration of curare-like drugs, e.g. succinylcholine chloride, 40 mg intravenously or ultra-short acting barbiturates such as thiopental, 30 to 50 mg per minute. Since barbiturates may cause circulatory depression, succinylcholine chloride is preferred. I.V. muscle relaxants and barbiturates should only be administered by those familiar with their use.

Dosage and administration

POSICAINE 200 (articaine 4 % with 1:200,000 epinephrine/adrenaline)

As with all local anaesthetics the dosage varies and depends upon the area to be anaesthetized, the vascularity of the tissues, the number of numeral segments to be blocked, individual tolerance and the technique of anaesthesia.

Adults
- For most common operations, one infiltration with 1.7 mL POSICAINE is sufficient. In all cases, the injection must be administered slowly (about 1 mL/min).
- For an infiltration in the interdental septum, a quantity of 0.3 to 0.5 mL is indicated as generally sufficient.
Do not exceed the equivalent of 7 mg/kg articaine hydrochloride body weight which corresponds, for a subject weighing 60 kg, to 6 standard 1.7 mL cartridges. The duration of anaesthesia during which an operation can be performed using POSICAINE 200 is up to 45 minutes. The lowest dosage needed to provide effective anaesthesia should be administered.

Children
POSICAINE 200, use in children under 4 years of age is not recommended. The quantity to be injected should be determined by the age of the child and the size of the operation. Do not exceed the equivalent of 7 mg Articaine hydrochloride per kilogram of body weight.

Composition per mL
POSICAINE 200
Articaine hydrochloride ..... 40 mg
Epinephrine bitartrate (adrenaline bitartrate) ..... 0.009 mg
corresponding in epinephrine base to ..... 0.005 mg
Sodium chloride ..... 1.60 mg
Sodium metabisulfite (as antioxidant) ..... 0.50 mg
Water for injections q. s. ad ..... 1.0 mL
Formulated without parahydroxybenzoates

Presentation:
POSICAINE 200:
Articaine hydrochloride 4 % with epinephrine /adrenaline 1:200,000 is available in 1.7 mL glass cartridges, box of 50 cartridges.

Storage: Do not store above 25°C. Protect from light.

Marketed and Manufactured by:
NOVOCOL PHARMACEUTICAL OF CANADA, INC.
CAMBRIDGE ON NIR 6X3

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