# BUPIVACAINE HCL IN DEXTROSE

# BECAINE HEAVY

5 mg/ml solution for Injection (Intra spinal)

Anesthetic

**COMPOSITION**

Each mL contains:
Bupivacaine Hydrochloride USP
Eq. to Anhydrous Bupivacaine Hydrochloride.....5 mg
Dextrose (Monohydrate) USP.....80 mg

**PHARMACOLIGAL CLASSIFICATION:** Anilides (Local Anesthetics)
Product Description: A clear, colourless solution
Chemical Name: 1-butyl-N-(2, 6-dimethyl phenyl) pi peridine-2- Carboxamide
Molecular weight: 288.4277
Molecular Formula: C18H28N20

**PHARMACOKINETICS:** The rate of systemic absorption of local anesthetics is dependent upon the total dose and concentration of drug administered, the route of administration, the vascularity of the administration site, and the presence or absence of epinephrine in the anesthetic solution. The onset of action with Bupivacaine Hydrochloride is rapid and anesthesia is long lasting. The duration of anesthesia is significantly longer with Bupivacaine Hydrochloride than with any other commonly used local anesthetic. It has also been noted that there is a period of analgesia that persists after the return of sensation, during which time the need for strong analgesics is reduced. Local anesthetics are bound to plasma proteins in varying degrees. Generally, the lower the plasma concentration of drug the higher the percentage of drug bound to plasma proteins. Depending upon the route of administration, local anesthetics are distributed to some extent to all body tissues, with high concentrations found in highly perfused organs such as the liver, lungs, heart, and brain. The elimination of drug from tissue distribution depends largely upon the ability of binding sites in the circulation to carry it to the liver where it is metabolized.

**PHARMACODYNAMICS:** Bupivacaine is a widely used local anesthetic agent. Bupivacaine is often administered by spinal injection prior to total hip arthroplasty. It is also commonly injected into surgical wound sites to reduce pain for up to 20 hours after surgery. In comparison to other local anesthetics it has a long duration of action. It is also the most toxic to the heart when administered in large doses. This problem has led to the use of other long-acting local anaesthetics:ropivacaine and levobupivacaine. Levobupivacaine is a derivative, specifically an enantiomer, of bupivacaine. Systemic absorption of local anesthetics produces effects on the cardiovascular and central nervous systems. At blood concentrations achieved with therapeutic doses, changes in cardiac conduction, excitability, refractoriness, contractility, and peripheral vascular resistance are minimal. Local anesthetics such as bupivacaine block the generation and the conduction of nerve impulses, presumably by increasing the threshold for electrical excitation in the nerve, by slowing the propagation of the nerve impulse, and by reducing the rate of rise of the action potential. Bupivacaine binds to the intracellular portion of sodium channels and blocks sodium influx into nerve cells, which prevents depolarization. In general, the progression of anesthesia is related to the diameter, myelination and conduction velocity of affected nerve fibers. Clinically, the order of loss of nerve function is as follows: (1) pain, (2) temperature, (3) touch, (4) proprioception, and (5) skeletal muscle tone.

**INDICATION:** For the production of local or regional anesthesia or analgesia for surgery, for oral surgery procedures, for diagnostic and therapeutic procedures, and for obstetrical procedures.

**RECOMMENDED DOSES:** Spinal anesthesia: Inject 5 mg (1 mL) for lower extremity and perineal procedures (including transurethral resection of the prostate and vaginal hysterectomy); 80 mg (1 mL) has been used for lower abdominal procedures (such as abdominal hysterectomy, tubal ligation, and appendectomy); doses as low as 6 mg have been used for vaginal delivery These dosages are recommended as a guide for use in an average adult. Cesarean Section Spinal anesthesia: 7.5 to 10.5 mg (1 to 1.4 mL) has been used.

MODE OF ADMINISTRATION: EPIDURAL, INTRACAUDAL

**CONTRAINDICATION:** Bupivacaine is contraindicated for intravenous regional anaesthesia (IVRA) because of potential risk of tourniquet failure and systemic absorption of the drug.

**WARNING AND PRECAUTION:** The 0.75% Concentration Of Bupivacaine Hydrochloride Is Not Recommended For Obstetrical Anesthesia. There Have Been Reports Of Cardiac Arrest With Difficult Resuscitation Or Death During Use Of Bupivacaine Hydrochloride For Epidural Anaesthesia In Obstetrical Patients. In Most Cases, This Has Followed Use Of The 0.75% Concentration. General: The safety and effectiveness of local anesthetics depend on proper dosage, correct technique, adequate precautions, and readiness for emergencies. Resuscitative equipment, oxygen, and other resuscitative drugs should be available for immediate use.During major regional nerve blocks, the patient should have IV fluids running via an indwelling catheter to assure a functioning intravenous pathway. The lowest dosage of local anesthetic that results in effective anesthesia should be used to avoid high plasma levels and serious adverse effects. The rapid injection of a large volume of local anesthetic solution should be avoided and fractional (incremental) doses should be used when feasible.Epidural Anesthesia: During epidural administration of Bupivacaine Hydrochloride, 0.5% and 0.75% solutions should be administered in incremental doses of 3 mL to 5 mL with sufficient time between doses to detect toxic manifestations of unintentional intravascular or intrathecal injection. Injections should be made slowly, with frequent aspirations before and during the injection to avoid intravascular injection. Syringe aspirations should also be performed before and during each supplemental injection in continuous (intermittent) catheter techniques. An intravascular injection is still possible even if aspirations for blood are negative.

**INTERACTION WITH OTHER MEDICAMENTS:** The administration of local anesthetic solutions containing epinephrine or norepinephrine to patients receiving monoamine oxidase inhibitors or tricyclic antidepressants may produce severe, prolonged hypertension. Concurrent use of these agents should generally be avoided. In situations when concurrent therapy is necessary, careful patient monitoring is essential.Concurrent administration of vasopressor drugs and of ergot-type oxytocic drugs may cause severe persistent hypertension or cerebrovascular accidents.

**PREGNANCY AND LACTATION:** Pregnancy Category C, Decreased pup survival in rats and an embryocidal effect in rabbits have been observed when bupivacaine hydrochloride was administered to these species in doses comparable to 230 and 130 times respectively the maximum recommended human spinal dose. There are no adequate and well-controlled studies in pregnant women of the effect of bupivacaine on the developing fetus. Bupivacaine hydrochloride should be used during pregnancy only if the potential benefit justifies the potential risk to the fetus. This does not exclude the use of Bupivacaine Spinal at term for obstetrical anesthesia. It is not known whether local anesthetic drugs are excreted in human milk. Because many drugs are excreted in human milk, caution should be exercised when local anesthetic drugs are administered to a nursing woman.

**UNDESIRABLE EFFECTS:** The most commonly encountered acute adverse experiences which demand immediate countermeasures following the administration of spinal anesthesia are hypotension due to loss of sympathetic tone and respiratory paralysis or underventilation due to cephalad extension of the motor level of anesthesia.

**OVERDOSE AND TREATMENT:** Acute emergencies from local anesthetics are generally related to high plasma levels encountered during therapeutic use or to underventilation (and perhaps apnea) secondary to upward extension of spinal anesthesia. Hypotension is commonly encountered during the conduct of spinal anesthesia due to relaxation of sympathetic tone, and sometimes, contributory mechanical obstruction of venous return.

**MANAGEMENT OF LOCAL ANESTHETIC EMERGENCIES:** The first consideration is prevention, best accomplished by careful and constant monitoring of cardiovascular and respiratory vital signs and the patient's state of consciousness after each local anesthetic injection. At the first sign of change, oxygen should be administered. The first step in the management of systemic toxic reactions, as well as underventilation or apnea due to a high or total spinal, consists of immediate attention to the establishment and maintenance of a patent airway and effective assisted or controlled ventilation with 100% oxygen with a delivery system capable of permitting immediate positive airway pressure by mask. This may prevent convulsions if they have not already occurred.

**Storage Condition:** Store at temperature not exceeding 30°C.

**Dosage Form and Packaging available:** Dosage form-Liquid injection.

**Packaging:** Box of 1x4 ml USP Type I amber glass ampoule.

**Caution:** Foods, Drugs, Devices and cosmetics act prohibit dispensing without prescription.

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