Apain Plus Injection

Diclofenac Sodium with Lidocaine Hydrochloride

COMPOSITION:
Each 2 ml ampoule contains: Diclofenac Sodium BP 75 mg and Lidocaine Hydrochloride USP 20 mg.

PHARMACOLOGY:
Apain Plus injection contains Diclofenac Sodium and lidocaine hydrochloride. Diclofenac Sodium is a potent non-steroidal anti-inflammatory drug (NSAID) with marked analgesic and antipyretic properties. It has some uricosuric effect. The actions of diclofenac are associated with the inhibition of prostaglandin synthesis by inhibiting the enzyme cyclooxygenase that catalyzes the formation of prostaglandin precursors from arachidonic acid. Diclofenac is 99.7% bound to plasma proteins and plasma half-life is 1-2 hours. Diclofenac is extensively metabolized to a range of phenolic compounds. About 60% of the administered dose is excreted in urine via the kidneys in the form of metabolites and less than 1% in unchanged form. The remainder is excreted via the bile in metabolised form.

Lidocaine is the most widely used local anaesthetic drug. It acts more rapidly and is stable than any other local anaesthetics. Like other local anaesthetics, lidocaine impairs the generation and conduction of the nerve impulses by slowing depolarization. The onset of anaesthesia of lidocaine Hydrochloraide is more rapid and the duration of action is longer. Approximately 90% of a parenteral dose of lidocaine is rapidly metabolized in liver. Less than 10% of a dose is excreted unchanged in urine.

INDICATION:
Apain Plus injection is used to relief all grades of pains and inflammations in a wide range of conditions including:
a) Arthritic conditions: rheumatoid arthritis, osteoarthritis, ankylosing spondylitis & acute gout.
b) Acute musculoskeletal disorders such as periarthritis e.g. frozen shoulder, tendinitis, tenosynovitis & bursitis.
c) Other painful conditions resulting from trauma, including fracture, low back pain, sprains, strains, dislocations, orthopaedic therapy, dental and other minor surgical procedure.

DOSAGE AND ADMINISTRATION:
Adults: One ampoule once or two in severe cases daily by intramuscular injection.
Renal colic: One ampoule once daily intramuscularly. A further ampoule may be administered after 30 minutes, if necessary. The recommended maximum daily dose of diclofenac is 150 mg, by any route. The recommended maximum daily dose of lidocaine is 200 mg.

Children: In juvenile chronic arthritis, 1-3 mg of diclofenac/kg body weight. daily in divided doses.

Elderly patients: In elderly or debilitated patients, the lowest effective dosage is recommended, commensurate with age and physical status.

CONTRAINDICATION AND PRECAUTION:
Diclofenac is contraindicated for those patients who are hypersensitive to diclofenac. In patients with active or suspected peptic ulcer or gastrointestinal bleeding, or for those patients in whom attacks of asthma, urticaria or acute rhinitis are precipitated by aspirin or other NSAIDs possessing prostaglandin synthetase inhibiting activity, diclofenac is also contra-indicated. Because of the presence of lidocaine, **Apain Plus** injection is also contraindicated for those patients who are hypersensitive to local anaesthetics of the amide type, although the incidence is very rare. In patients with Adams-Stokes syndrome or with severe degrees of SA, AV, or intraventricular heart block in the absence of an artificial pacemaker, and for those patients who are hypersensitive to any of the excipients used in the formulation (sodium metabisulphite, mannitol, benzyl alcohol, propyleneglycol), this injection is also contraindicated.

Renal: Patients with severe renal insufficiency or the elderly should be kept under close surveillance with the lowest effective dose.

Patients with Hepatic & Cardiac Disease:
They Should be kept under close observation during diclofenac and other NSAIDs therapy.
Discontinue the long term treatment with NSAIDs if any abnormalitis found in blood counts and renal or hepatic function.

SIDE-EFFECT:
Side effects of diclofenac are usually mild and transient.These include:
Gastrointestinal:
Occasional: epigastric pain, other gastro-intestinal disorders (e.g., nausea, vomiting, diarrhoea, abdominal cramps, dyspepsia, flatulence, anorexia).
Rare: gastro-intestinal bleeding, peptic ulcer (with or without bleeding or perforation), bloody diarrhoea.
In isolated cases: lower gut disorders (e.g., non-specific haemorrhagic colitis and exacerbations of ulcerative colitis or Crohn's proctocolitis), pancreatitis, glossitis, constipation, etc.

In very rare instances abscesses and local necrosis may occur. The adverse effects due to lidocaine are usually of short duration, and are dose related. These are drowsiness, dizziness, disorientation, confusion & light headedness.

DRUG INTERACTION:
Lithium and digoxin: Diclofenac may increase plasma concentrations of lithium and digoxin.
Anticoagulants: There are isolated reports of an increased risk of haemorrhage with the combined use of diclofenac and anticoagulant therapy, although there is no influence on anticoagulant effect.
Antidiabetic agents: Clinical studies have shown that diclofenac can be given together with oral antidiabetic agents without influencing their clinical effect.
Cyclosporin: Cases of nephrotoxicity have been reported in patients receiving cyclosporin and diclofenac concomitantly.
Methotrexate: Cases of serious toxicity have been reported when methotrexate and NSAIDs are given within 24 hours of each other.
Quinolone antimicrobials: Convulsions may occur due to an interaction between quinolones and NSAIDs. Other NSAIDs and steroids: Co-administration of diclofenac with other systemic NSAIDs and steroids may increase the frequency of unwanted effects.
With aspirin, the plasma levels of each is lowered.
Diuretics: Various NSAIDs are liable to inhibit the activity of diuretics. Concomitant treatment with potassium-sparing diuretics may be associated with increased serum potassium levels.

USE IN PREGNANCY AND LACTATION:
Diclofenac injection should not be prescribed during pregnancy, unless there are compelling reasons for doing so. The lowest effective dosage should be used. Avoid using this drug during the last trimester of pregnancy. Very small quantities of diclofenac may be detected in breast milk, but no undesirable effects on the infant are expected.

STORAGE CONDITION:
Protect from heat, light, and moisture.

COMMERCIAL PACK:
Box containing 2 x 5 ampoules of 2 ml in blister pack.

Manufactured by :
KEMIKO
KEMIKO PHARMACEUTICALS LTD.
Bangladesh