Ultrafen® Extra IM Injection

Diclofenac Sodium & Lidocaine Hydrochloride

Description

Diclofenac is a non-steroidal anti-inflammatory agent with marked analgesic, anti-inflammatory and antipyretic properties. It also has some uricosuric effect. The actions of diclofenac appear to be associated principally with the inhibition of prostaglandin synthesis - by inhibiting cyclooxygenase - the enzyme that catalyzes the formation of prostaglandin precursors (endoperoxides) from arachidonic acid. Following oral administration, diclofenac is rapidly absorbed from the gastrointestinal tract. Peak plasma concentration following ingestion of an enteric coated tablet occurs at about 2 to 3 hours and that following injection occurs within half an hour.

Lidocaine is the most widely used local anaesthetic drug. It acts more rapidly and is more stable than most other local anaesthetics. It is a very useful surface anaesthetic. Like other local anaesthetic, lidocaine impairs the generation and conduction of the nerve impulses by slowing depolarization.

The onset of anaesthesia of lidocaine HCl is more rapid and the duration of action is longer. A 1%-2% solution has a duration of action of about 1-2 hours. Binding of lidocaine to plasma proteins is variable and concentration dependent. Approximately 90% of a parenteral dose of lidocaine is rapidly metabolized in the liver. Less than 10% of a dose is excreted unchanged in the urine.

Indications

Ultrafen Extra injection contains lidocaine, which acts as a local anaesthetic. Therefore, the possibility of pain at the injection site, which is most likely to occur after intramuscular injection of normal diclofenac, is minimized if Ultrafen Extra injection is used. It is used to relief all grades of pain and inflammation 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, dental and other minor surgery.

Dosage and Administration
Adults: One ampoule once (or in severe cases, twice) 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 wt. daily in divided doses.

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

Contraindications

Ultrafen Extra is contra-indicated for those patients who are hypersensitive to diclofenac and lidocaine. 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, Ultrafen Extra injection is also contra-indicated 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, propylene glycol), this injection is also contra-indicated.

Adverse Effects

Side-effects to diclofenac are usually mild and transient. However, if serious side-effects occur, diclofenac should be discontinued.

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, injection site disorders may occur. In isolated cases, abscesses and local necrosis may occur. The adverse effects due to lidocaine mainly involve the CNS, are usually of short duration, and are dose related. The CNS
reactions may be manifested by drowsiness, dizziness, disorientation, confusion, lightheadedness, etc.

Precautions

Renal: Patients with severe hepatic, cardiac or renal insufficiency or the elderly should be kept under close surveillance, since the use of NSAIDs may result in deterioration of renal function. The lowest effective dose should be used and renal function monitored.

Hepatic: If abnormal liver function tests persist or worsen, clinical signs or symptoms consistent with liver disease develop or if other manifestations occur (eosinophilia, rash), diclofenac should be discontinued.

Patients receiving long term treatment with NSAIDs should be monitored as a precautionary measure (e.g., renal, hepatic function and blood counts).

High risk group

Pregnancy & Lactation:

Diclofenac should not be prescribed during pregnancy, unless there are compelling reasons for doing so. The lowest effective dosage should be used. This type of drugs are not recommended 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 to be expected.

Since no experience has been acquired with diclofenac gel in pregnancy or lactation, it is not recommended for use in these circumstances.

Drug Interactions

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 clinical investigations do not appear to indicate any 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. Therefore, caution should be exercised when considering concomitant therapy of NSAID and quinolones.

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, although no clinical significance is known.

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. So, serum potassium should be monitored.

Pharmaceutical Precautions

Store in a cool and dry place, away from light. Keep out of reach of children.

Commercial Pack

Ultrafen Extra IM Injection: Box containing 2 x 5 ampoules of 2 ml in blister pack. Each 2 ml ampoule contains Diclofenac Sodium BP 75 mg & Lidocaine Hydrochloride BP 20 mg.

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