SLOW DICLOFENAC TABLETS BP

POM

COMPOSITION:
Each sustained release film coated tablet contains:
Diclofenac Sodium BP 100 mg
Excipients Q.S.
Colour: Iron Oxide Red & Titanium Dioxide BP

PHARMACOLOGICAL CLASS:
Non-steroidal anti-inflammatory agent

PHARMACOLOGICAL ACTION:
Diclofenac sodium is a non-steroidal agent with analgesic, antipyretic and anti-inflammatory properties. It is an inhibitor of prostaglandin synthetase (cyclooxygenase).

PHARMACOKINETIC:
Absorption: Diclofenac is absorbed from the gastro-intestinal tract and is subject to first-pass metabolism. Mean peak concentrations of 0.5 µg/mL is reached on average 4 hours after ingestion of 100 mg sustained release tablet. Mean plasma concentrations of 13 ng/mL can be recorded at 24 hours (16 hours) after administration of Diclofenac Tablets.
Distribution: The apparent volume of distribution (V/F) of Diclofenac sodium is 1.4 L/kg. More than 99% of Diclofenac is bound to plasma proteins, primarily to albumin. The apparent half-life for elimination from the synovial fluid is 3 to 6 hours. Two hours after reaching peak plasma values, concentrations of the active substance are already higher in the synovial fluid than in the plasma, and they remain higher for up to 12 hours.
Metabolism: Diclofenac Sodium is metabolized in the liver via hydroxylation and conjugation. Biotransformation of diclofenac takes place partly by glucuronidation of the intact molecule, but mainly by single and multiple hydroxylation and methoxylation, resulting in several phenolic metabolites (3'-hydroxy-,4'-hydroxy-,5-hydroxy-,4',5-dihydroxy- and 3'-hydroxy-4'-methoxy-diclofenac), most of which are converted to glucuronide conjugates. Two of these phenolic metabolites are biologically active, but to a much smaller extent than diclofenac.
Elimination: It is excreted in urine (65%) and in feces via biliary elimination (35%) as metabolites. The terminal elimination phase half-life is about 1-2 hours. Four of the metabolites, including the two active ones, also have short plasma half-lives of 1 to 3 hours. One metabolite, 3'-hydroxy-4'-methoxy-diclofenac has a much longer plasma half-life.

INDICATIONS:
Arthritic conditions: rheumatoid arthritis, osteoarthritis, ankylosing spondylitis and acute gout.
Acute musculo-skeletal disorders such as periarthritis (for example frozen shoulder), tendinitis, tenosynovitis and bursitis.
Other painful conditions resulting from trauma, including fracture, low back pain, sprains, strains, dislocations, orthopaedic, dental and other minor surgery.

CONTRAINDICATIONS:
Hypersensitivity to the active substance or any of the excipients.
Patients who have previously shown hypersensitivity reactions (e.g. asthma, angioedema, urticaria or acute rhinitis) to ibuprofen, aspirin or other non-steroidal anti-inflammatory drugs.
Patients with a history of, or active, gastro-intestinal ulcers, bleeding or perforation (two or more distinct episodes of proven ulceration or bleeding).
Severe hepatic, renal and heart failure.
During the last trimester of pregnancy.
Diclofenac sodium is also contraindicated in patients in whom attacks of asthma, urticaria, or acute rhinitis are precipitated by acetylsalicylic acid or other NSAIDs.

SPECIAL PRECAUTIONS AND WARNING:
Gastro-intestinal: Patients with symptoms indicative of gastro-intestinal disorders, with a history suggestive of gastro-intestinal ulceration or perforation, with ulcerative colitis or with Crohn's disease as these conditions may be exacerbated.
Patients with a history of GI toxicity, particularly when elderly, should report any unusual abdominal symptoms (especially GI bleeding).
Caution should be advised in patients with severe renal, cardiac or hepatic impairment.
A history of hypertension and/or mild to moderate congestive heart failure as fluid retention and oedema, defects of haemostasis, bleeding diathesis or haematological abnormalities, previous history of bronchial asthma.

Diclofenac sodium may mask the signs and symptoms of infection due to its pharmacodynamic properties.
Female fertility: Diclofenac may impair female fertility and is not recommended in women attempting to conceive.
Use in pregnancy: Diclofenac Sodium should not be used during the first two trimesters of pregnancy or labour unless the potential benefit outweighs the potential risk to foetus.
Use in lactation: Diclofenac Sodium passes into the breast milk in small amounts. Diclofenac should not be administered during breast feeding in order to avoid adverse effects in the infant.
Paediatric Use: Diclofenac is not recommended for use in children as safety and efficacy in this age group have not been established.

DOSAGE AND DIRECTIONS FOR USE:
Adults: Diclofenac Tablets once daily.
Children and adolescents: Diclofenac Tablets is not suitable for children and adolescents.

ADVERSE EFFECTS:
Common adverse effects of Diclofenac sodium: Abdominal pain or cramps, constipation, diarrhoea, flatulence, GI bleeding, GI perforation, peptic ulcer, vomiting, dyspepsia, nausea, dizziness, headache, liver function test abnormalities, renal function abnormalities, anemia, prolonged bleeding time, pruritus, rash, tinnitus, edema.

DRUG INTERACTIONS:
Lithium: Diclofenac sodium may increase plasma concentrations of lithium.
Anticoagulants: Diclofenac may enhance the effects of anti-coagulants like Warfarin.
Cyclosporin: Possible increased risk of nephrotoxicity.
Methotrexate: Decrease elimination of Methotrexate.
Other NSAIDs including cyclo-oxygenase-2 selective inhibitors and corticosteroids: Co-administration of diclofenac sodium with these agents may increase the risk of gastro-intestinal bleeding or ulceration.
Anti-platelet agents and selective serotonin reuptake inhibitors (SSRIs): Increased risk of gastrointestinal bleeding.
Diuretics: Diclofenac Sodium may inhibit the activity of diuretics. Concomitant treatment with potassium-sparing diuretics may be associated with increased serum potassium levels.
Antihypertensive: Concomitant use of NSAIDs with antihypertensive drugs (i.e. beta-blockers, angiotensin converting enzyme (ACE) inhibitors, diuretics) may cause a decrease in their antihypertensive effect via inhibition of vasodilatory prostaglandin synthesis.
Zidovudine: Increased risk of haematological toxicity when NSAIDs given with zidovudine.

OVERDOSAGE:
Symptoms: Symptoms include headache, nausea, vomiting, epigastric pain, gastrointestinal bleeding, rarely diarrhoea, disorientation, excitation, coma, drowsiness, tinnitus, fainting, occasionally, convulsions. In rare cases of significant poisoning acute renal failure and liver damage are possible.
Management: Patients should be treated symptomatically as required. Within one hour of ingestion of a potentially toxic amount, activated charcoal should be considered. Alternatively, in adults gastric lavage should be considered within one hour of ingestion of potentially toxic amounts. Frequent or prolonged convulsions should be treated with intravenous diazepam. Other measures may be indicated by the patient's clinical condition.

PRESENTATION:
Strip Pack/Jar Pack.

STORAGE INSTRUCTIONS:
Store below 30°C. Protect from light & moisture.

DATE OF PUBLICATION:
01.06.2014

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E-PI0614