

Apigestic® Forte (Tablets)



1348

Ref. No.:INS348/05.18

Aceclofenac
Paracetamol
Chlorzoxazone

Analgesic
Analgesic
Skeletal Muscle Relaxant

APIGESIC® FORTE 100:500:375MG TABLETS (FILM COATED)

PRESENTATION:

Apigestic® Forte 100:500:375mg Film Coated Tablets: White, capsule shaped film coated tablets plain on one side and breakline on other side. Each film coated tablet contains: Aceclofenac 100mg, Paracetamol 500 mg and Chlorzoxazone 375 mg.

CLINICAL PHARMACOLOGY:

Aceclofenac is largely based on the inhibition to prostaglandin synthesis. It is a potent inhibitor of the enzyme cyclo-oxygenase, which is involved in the production of prostaglandins.

Paracetamol is an antipyretic analgesic. The mechanism of action is dependant on the inhibition of prostaglandin synthesis.

Chlorzoxazone acts primarily at the level of the spinal cord and subcortical areas of the brain where it inhibits multisynaptic reflex arcs involved in producing and maintaining skeletal muscle spasm of varied etiology.

Pharmacokinetics:

Aceclofenac

After oral administration, Aceclofenac is rapidly and completely absorbed as unchanged drug. Peak plasma concentrations are reached approximately 1.25 to 3.00 hours following ingestion. Aceclofenac penetrates into the synovial fluid, where the concentrations reach approximately 57% of those in plasma. The mean plasma elimination half-life is around 4 hours. Aceclofenac is highly protein-bound (> 99%). Aceclofenac circulates mainly as unchanged drug. 4'-Hydroxyaceclofenac is the main metabolite detected in plasma. Approximately two-thirds of the administered dose is excreted via the urine, mainly as hydroxymetabolites.

Paracetamol

Paracetamol is rapidly and almost completely absorbed from the gastrointestinal tract. The concentration in plasma reaches a peak in 30 to 60 minutes and the plasma half-life is 1 - 4 hours after therapeutic doses. Paracetamol is relatively uniformly distributed throughout most body fluids. Binding of the drug to plasma proteins is variable; 20 to 30% may be bound at the concentrations encountered during acute intoxication. Following therapeutic doses 90 - 100% of the drug may be recovered in the urine within the first day.

Chlorzoxazone

Chlorzoxazone is rapidly metabolized in the liver and is excreted in the urine, primarily in a conjugated form as the glucuronide.

USES:

It is indicated for the relief of severe skeletal muscle spasm and pain associated with such medical and orthopaedic problems as: sprains and strains, myalgias, torticollis, tension headaches, traumatic muscle injuries, low back pain, fibrositis, cervical root and disc syndromes. It is also used to relief of pain and inflammation in osteoarthritis, rheumatoid arthritis and ankylosing spondylitis.

DOSAGE AND ADMINISTRATION:

The usual adult dosage is 1 tablet 2 times daily or as directed by the physician.

Apigestic® Forte is not recommended for children under 12 years since the safety and effectiveness is not established.

To be taken preferably with or after food.

CONTRA-INDICATIONS AND WARNINGS:

Apigestic® Forte tablets are contra-indicated in patients sensitive to either component. It is not recommended during pregnancy or lactation since safety in pregnant women or nursing mothers has not been established.

Dosages in excess of those recommended may cause severe liver damage.

Precautions:

Apigestic® Forte tablets should be used with caution in patients with known allergies or with a history of allergic reactions to medi-

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cines. If a sensitivity reaction occurs such as urticaria, redness, or itching of the skin, the medicine should be stopped. If any signs or symptoms suggestive of liver dysfunction are observed the medicine should be discontinued.

Patients suffering from liver or kidney disease should take this medicine under medical supervision. Do not use continuously for longer than ten days without consulting your doctor. Consult your doctor if no relief is obtained with the recommended dosage.

Adverse Effects:

Occasional patients may develop gastrointestinal disturbances. Dizziness, nausea, lightheadedness, malaise, or overstimulation may be noted by an occasional patient.

Drowsiness can occur with the use of Apigestic[®] Forte and may be additive to drowsiness from the concomitant use of alcohol or other central nervous system depressants. Rarely, petechiae or ecchymoses may develop during treatment. Sensitivity reactions resulting in reversible skin rash or blood disorders may occur, but these are rare. Angioneurotic oedema and anaphylactic reactions are extremely rare. Rarely, a patient may note discolouration of the urine resulting from a phenolic metabolite of Chlorzoxazone. This finding is of no known clinical significance. Infrequently, cases have been reported in which the administration of Chlorzoxazone or Chlorzoxazone containing products was suspected of causing liver damage.

Overdosage:

In the event of overdosage consult a doctor or take the patient to the nearest hospital immediately. Specialized treatment is essential as soon as possible. The latest information regarding the treatment of overdosage can be obtained from the nearest poison centre.

Symptoms:

Initially nausea, vomiting, diarrhoea and upper abdominal pain associated with drawn, pale faces. Central nervous stimulation, dizziness or headache may be noted, followed by somnolence, lethargy or stupor. Early in the course there may be malaise or sluggishness followed by marked loss of muscle tone making voluntary movement impossible. The deep tendon reflexes may be decreased or absent. Respiratory depression may occur with rapid, irregular respiration and intercostal and substernal retraction. The blood pressure is lowered.

Liver damage which may be fatal may only appear after a few days. The chief biochemical changes noted in the blood are gross elevation of liver enzymes, some elevation of the bilirubin level, prolongation of prothrombin time and possibly either hypoglycaemia or hyperglycaemia. Kidney failure has been described following acute intoxication.

Treatment:

Gastric lavage or the induction of emesis (ipecac or apomorphine) followed by 50 grams of activated charcoal given orally to decrease absorption is the best available treatment and should be carried out immediately. Treatment is symptomatic and supportive.

PHARMACEUTICAL PRECAUTIONS:

Store in a dry place below 30°C. Protect from light. Keep all medicines out of the reach of children.

LEGAL CATEGORY:

Prescription Only Medicine (POM)

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