Loperamide Hydrochloride Capsules USP 2 mg

POM

COMPOSITION:
Each hard gelatin capsule contains:
Loperamide Hydrochloride USP 2 mg
Excipients Q.S.
Approved colours used in empty capsule shell.

THERAPEUTIC CLASS:
Antidiarrheal

PHARMACOLOGICAL ACTION:
Loperamide binds to the opiate receptor in the gut wall, reducing propulsive peristalsis and increasing intestinal transit time. Loperamide increases the tone of the anal sphincter.
Pharmacokinetic:
Absorption: More than 65% of a dose of loperamide is reported to be absorbed from the gastrointestinal tract.
Distribution: Poor penetration into brain; low amounts enter breast milk.
Metabolism and Elimination: The drug undergoes considerable first pass metabolism in the liver and excretion via the bile in the faeces as the inactive conjugate. As a result of the drug's high affinity for the gut wall and its high first pass metabolism very little loperamide reaches the systemic circulation and therefore there is only a small amount of urinary excretion.
Half-life: The half-life of loperamide with a range of 7-14 hours.

INDICATIONS:
Loperamide hydrochloride capsule is indicated for the control and symptomatic relief of acute nonspecific diarrhea and of chronic diarrhea associated with inflammatory bowel disease. Loperamide hydrochloride capsules are also indicated for reducing the volume of discharge from ileostomies. It can be also used for traveler's diarrhoea.

CONTRAINDICATIONS:
Loperamide hydrochloride capsule is contraindicated in patients with a known hypersensitivity to loperamide hydrochloride or to any of the excipients.
In children less than 6 years of age.
In patients with acute dysentery, which is characterised by blood in stools and high fever.
In patients with acute ulcerative colitis.
In patients with bacterial enterocolitis caused by invasive organisms including Salmonella, Shigella and Campylobacter.
In patients with pseudomembranous colitis associated with the use of broad-spectrum antibiotics.

SPECIAL PRECAUTIONS AND WARNING:
In patients with diarrhoea, especially in children, frail and elderly patients, fluid and electrolyte depletion may occur. In such cases administration of appropriate fluid and electrolyte replacement therapy is the most important measure.
In acute diarrhoea, if clinical improvement is not observed within 48 hours, the administration of loperamide HCl should be discontinued and patients should be advised to consult their physician.
Treatment of diarrhea with loperamide hydrochloride is only symptomatic. Whenever an underlying etiology can be determined, specific treatment should be given when appropriate.
Patients with AIDS treated with loperamide HCl for diarrhoea should have therapy stopped at the earliest signs of abdominal distension. There have been obstipation with an increased risk for toxic megacolon in AIDS patients with infectious colitis from both viral and bacterial pathogens treated with loperamide HCl.
Impaired hepatic function: Loperamide HCl should be used with caution in such patients because of reduced first pass metabolism. It must be used with caution in patients with hepatic impairment as it may result in a relative overdose leading to CNS toxicity.
Impaired renal function: No dose adjustment is required for patients with renal impairment.
Pregnancy: Pregnancy Category B: There are no adequate and well-controlled studies in pregnant women. Loperamide should be used during pregnancy only if the potential benefit justifies the potential risk to the fetus.
Lactation: Small amounts of loperamide may appear in human breast milk. Therefore, this medicine is not recommended during breast-feeding.

ADVERSE EFFECTS:
Central nervous system: Dizziness, headache.
Gastrointestinal: Constipation, abdominal cramping, nausea.

DOSAGE AND DIRECTIONS FOR USE:
Adults: Acute diarrhea: Initial: 4 mg (two capsules), followed by 2 mg (one capsule) after each loose stool, up to 16 mg/day.
Chronic diarrhea: Initial: Follow acute diarrhea; maintenance dose should be slowly titrated downward to minimum required to control symptoms (typically, 4-8 mg/day in divided doses).
Traveler's diarrhea: Initial: 4 mg after first loose stool, followed by 2 mg after each subsequent stool (maximum dose: 8 mg/day).
Elderly: Refer to adult dosing.
Pediatric: Acute diarrhea: Initial doses (in first 24 hours):
6-8 years (20-30 kg): 2 mg (one capsule) twice daily.
8-12 years (>30 kg): 2 mg (one capsule) 3 times/day.
Maintenance: After initial dosing, 0.1 mg/kg doses after each loose stool, but not exceeding initial dosage.
Traveler's diarrhea: 6-8 years: 2 mg (one capsule) after first loose stool, followed by 1 mg after each subsequent stool (maximum dose: 4 mg/day).
9-11 years: 2 mg (one capsule) after first loose stool, followed by 1 mg after each subsequent stool (maximum dose: 6 mg/day). ≥12 years: Refer to adult dosing.

OVERDOSAGE:
Symptoms: In case of overdose (including relative overdose due to hepatic dysfunction), CNS depression (stupor, coordination abnormality, somnolence, miosis, muscular hypertonia and respiratory depression), constipation, urinary retention and ileus may occur. Children and patients with hepatic dysfunction may be more sensitive to CNS effects.
Treatment: If symptoms of overdose occur, naloxone can be given as an antidote. Since the duration of action of loperamide is longer than that of naloxone (1 to 3 hours), repeated treatment with naloxone might be indicated. Therefore, the patient should be monitored closely for at least 48 hours in order to detect possible CNS depression.

DRUG INTERACTIONS:
Ketoconazole: The concomitant administration of loperamide (16 mg single dose) and ketoconazole, an inhibitor of CYP3A4 and P-glycoprotein, resulted in a 5-fold increase in loperamide plasma concentrations.
Itraconazole: The concomitant administration of loperamide (4 mg single dose) and itraconazole, an inhibitor of CYP3A4 and P-glycoprotein, resulted in a 3 to 4-fold increase in loperamide plasma concentrations.
Desmopressin: Concomitant treatment with oral desmopressin resulted in a 3-fold increase of desmopressin plasma concentrations.
P-glycoprotein substrate inhibitors: Concomitant administration of loperamide (16 mg single dose) with quinidine, or ritonavir, which are both P-glycoprotein inhibitors, resulted in a 2 to 3-fold increase in loperamide plasma levels.

PRESENTATION:
Blister pack

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

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