ASACOL™

Gastro-resistant Tablets, Suppositories and Enemas

Presentations: **Mesalazine** (5-aminosalicylic acid) preparations

Tablets: Red, oblong tablets each containing 400 mg mesalazine and coated with an acrylic-based resin (Eudragit-S) to ensure release of the active ingredient in the terminal ileum and colon.
Suppositories: Light brown torpedo-shaped suppositories each containing 500 mg mesalazine.
Enemas: A pale, brownish-pink suspension containing 2 g mesalazine in 50 ml, 1 g or 4 g mesalazine in 100 ml.

Uses: **Tablets**
Ulcerative Colitis: – acute treatment of mild to moderate episodes,
– maintenance treatment (prevention of relapse)
Crohn's disease: – maintenance treatment (prevention of relapse)
Suppositories
The suppositories are used for acute and maintenance treatment of mild to moderate proctitis and proctosigmoiditis.
Enemas
The enemas are used for acute and maintenance treatment of mild to moderate proctitis and proctosigmoiditis (2 g/50 ml) and mild to moderate left-sided colitis (1 g or 4 g/100 ml).

Dosage and Administration: **Tablets:** Adult dose: Ulcerative Colitis, acute treatment of mild to moderate episodes: 2 tablets three times daily (tid). In more serious cases the dose may be increased to 4 tablets three times daily (tid).
Ulcerative Colitis maintenance treatment (prevention of relapse): 3 to 4 tablets per day.
Crohn's disease: maintenance treatment: 2 tablets three times per day (tid).
There is no dose recommendation for children. Safety and effectiveness of Asacol tablets in pediatric patients have not been fully established.
Suppositories: Adult dose in proctitis and proctosigmoiditis 1 suppository to be inserted up to three times daily (tid), after defaecation. The dosage is dependent upon the severity of the disease and it may be possible to reduce the dosage as the condition improves. In more serious cases of ulcerative colitis affecting the rectum or rectosigmoid and in cases slow to respond to oral therapy one suppository taken in the morning and evening (bid) may be used as an adjunct to oral therapy.
There is no dose recommendation for children.
Enema: Adult dose 1 g, 2 g or 4 g administered at night.
There is no dose recommendation for children.

Contra-indications, Warnings, etc.: Contra-indicated in patients with: A history of sensitivity to salicylates, severe renal impairment (GFR less than 20 ml per minute), children under 2 years of age.

Precautions: It is not recommended in patients with renal impairment and caution should be exercised in patients with a raised blood urea or proteinuria. Mesalazine induced nephrotoxicity should be suspected in patients developing renal failure during treatment.
Asacol tablets should not be given with lactulose or similar preparations which lower stool pH and may prevent release of mesalazine.

Use during pregnancy: Animal studies have revealed no evidence of teratogenic effects or fetal toxicity due to mesalazine. Limited use of mesalazine in pregnancy has shown no untoward effect on the fetus. However, the use of mesalazine during pregnancy and lactation should be restricted to those cases where in the physician's opinion potential benefits from this therapy outweigh potential risks.
Low concentrations of mesalazine and low concentrations of its N-acetyl metabolite have been detected in human breast milk. Whilst the clinical significance of this has not been determined, caution should be exercised when mesalamine is administered to a nursing mother.

Use in the elderly: Use in the elderly should be cautious and subject to patients having a normal renal function (see precautions).

Adverse Reactions: Adverse drug reactions are predominantly gastrointestinal.
Nausea, diarrhoea, abdominal pain and headache have been reported.
Asacol may be associated with the exacerbation of the symptoms of colitis in those patients who have previously had such problems with sulphasalazine. Pancreatitis, myocarditis, pericarditis, interstitial nephritis, nephrotic syndrome and renal failure have been reported with oral treatment, usually reversible on withdrawal. Drug induced lupus may be a rare complication of mesalazine therapy, with pericarditis and pleuropericarditis prominent symptoms, and also rashes and arthralgia. There have been rare reports of allergic lung reactions, eosinophilic pneumonia, hepatitis and blood dyscrasias such as leucopenia, neutropenia, thrombocytoenia, and aplastic anemia.

Treatment of Overdosage: Gastric lavage and intravenous transfusion of electrolytes to promote diuresis. There is no specific antidote.

Pharmaceutical Precautions: Do not store above 25°C. Store in a dry place away from direct sunlight.
The enemas should be shaken well before use.

Packaging Quantity: **Tablets:** Packs of 20, 30 and 100 tablets
Suppositories: Packs of 20 suppositories
Enemas: 50 ml and 100 ml single enema or multiples thereof

Further Information: Asacol tablets have a gastro-resistant film-coat, dissolving at ph >7 upon which 400 mg of mesalazine are released. This pH level is reached in the terminal ileum. It is from here on in the digestive tract that most Inflammatory Bowel Disease (IBD) is found. Release at the terminal ileum means that the mesalazine released from the Asacol tablet is delivered directly to the site of the inflammation. Thus unwanted systemic absorption is minimized and effective therapy is possible with a dose regimen of 400 mg tablets, while other mesalazine drugs need 500 mg tablets to achieve similar clinical effects. Tablets should be swallowed whole. The tablets contain a small amount lactose [70 mg].

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