| Agents | MOA | ADR |
|---|---|---|
| **Sulfasalazine** | Sulfapyridine and 5-aminosalicylic acid (5-ASA) combination; with 5-ASA providing the antiinflammatory activity. 5-ASA is cleaved from sulfapyridine by gut bacteria. Primary mechanism of 5-ASA is thought to be inhibition of prostaglandin synthesis locally in the gut. | GI intolerance - exacerbation of colitis in 3% of patient<br>Anorexia, nausea, vomiting<br>Hypersensitivity - sulfonamide and salicylate<br>Impairment of male fertility - oligospermia, abnormal sperm forms, impaired sperm motility; reversible. |
| **Mesalamine (Asacol$^{R}$ and Pentasa$^{R}$)** | 5-ASA, oral, suppository, or retention enema. Absorption is 1.5 to 2 times greater than equivalent dose of sulfasalazine. Not more efficacious than sulfasalazine, but may be better tolerated. Site of action is important in drug selection.<br>Both Pentasa and Asacol are prescription forms of mesalamine. The difference between Asacol and Pentasa is in the outer chemical coating. Oral Pentasa has a unique formulation. The active ingredient is contained in coated microgranules, which enables a prolonged release of the active substance throughout the intestinal tract, from duodenum to the rectum. Therefore the Pentasa preparation is more useful for Crohn's patients who often have inflammation of the small intestine. The average small bowel transit time is approximately 3-4 hours in healthy volunteers. Asacol is a delayed release enteric-coated tablets which generally releases the active ingredient only in the colon. While there are always clinical exceptions, Asacol is generally suitable for patients with colitis only (ulcerative colitis or Crohn's colitis), but not disease involving the small intestine. | Abdominal pain/cramps more common with tablets and enema than with capsules or suppositories<br>Headache - primarily with tablets<br>Diarrhea –<br>Hypersensitivity – salicylates<br>Hepatoxicity – rare |
| **Balsalazide** (Colazal$^{R}$) | a prodrug that is enzymatically cleaved in the colon to produce mesalamine (5-ASA)<br>Another 5-ASA drug that uses a variant on sulfasalazine's delivery mechanism, Balsalazide contains 5-ASA joined to an inert vehicle. This combination passes through the stomach and upper ileum. It is then broken down by intestinal bacteria in the terminal ileum, making 5-ASA available in the terminal ileum and colon. | Headache, Abdominal pain, Nausea, vomiting, diarrhea<br>Hypersensitivity – salicylates |
| **Olsalazine** (Dipentum$^{R}$)- | Another 5-ASA drug that uses a variant on sulfasalazine's delivery mechanism, Balsalazide contains 5-ASA joined to an inert vehicle. This combination passes through the stomach and upper ileum. It is then broken down by intestinal bacteria in the terminal ileum, making 5-ASA available in the terminal ileum and colon. a dimer of 5-ASA; indicated for maintenance of remission | GI - diarrhea (15-25%), abdominal pain and cramps<br>Hypersensitivity - salicylate |

**Drug Regimens Used to Treat Inflammatory Bowel Disease**

| | Route of Administration | Active Disease | Maintenance of Remission |
|---|---|---|---|
| **Aminosalicylates** | | | |
| Sulfasalazine | Oral | 3-4 g/day | 2-4 g/day |
| Olsalazine | Oral | 2-3 g/day | 1 g/day |
| Balsalazide | Oral | 2-6.75 g/day | 2-6.75 g/day |
| Asacol | Oral | 2.4-4.8 g/day | 0.8-4.8 g/day |
| Salofalk/Claversal | Oral | 1.5-3 g/day | 0.75-1.5 g/day |
| Pentasa | Oral | 2-4 g/day | 1.5-4 g/day |
| Mesalamine enema | Rectal | 1-4 g/bedtime | 1-2 g/bedtime |
| Mesalamine suppository | Rectal | 1-1.5 g/day | 0.5-1 g/bedtime |
| **Corticosteroids** | | | |
| Methylprednisolone | IV | 48-60 mg/day | Not indicated |
| Prednisolone | IV | 60-80 mg/day | Not indicated |
| Hydrocortisone | IV | 300 mg/day | Not indicated |
| Prednisone | Oral | 20-60 mg/day | Not indicated |
| Hydrocortisone enema | Rectal | 100-200 mg/day | Not indicated |
| **Immunomodulators** | | | |
| Azathioprine | Oral | 2.0-3.0 mg/kg/day | 2.0-3.0 mg/kg/day |
| 6-Mercaptopurine | Oral | 1.0-1.5 mg/kg/day | 1.0-1.5 mg/kg/day |
| Cyclosporine | IV | 2-4 mg/kg/day | Not indicated |
| | Oral | 4-8 mg/kg/day | Not indicated |
| Tacrolimus | IV | 0.01 mg/kg/day | Not indicated |
| | Oral | 0.1-0.2 mg/kg/day | Not indicated |
| Methotrexate | IM | 25 mg/week | 25 mg/week |
| | Oral | 15-25 mg/week | 15-25 mg/week |
| Infliximab | IV | 5 mg/kg | 5-10 mg/kg |
| **Antibiotics** | | | |
| Metronidazole | Oral | 10-20 mg/kg/day | Not indicated |
| Ciprofloxacin | Oral | 1-1.5 g/day | Not indicated |