AMINOSALICYLATES

Medical treatment for Crohn’s disease and ulcerative colitis has two main goals: achieving remission (control or resolution of inflammation leading to symptom resolution) and then maintaining remission. The aminosalicylate (5-ASA) drugs are one treatment class used in the management of mild to moderate inflammatory bowel diseases (IBD). However, because each person’s situation is unique, 5-ASA medications may be best suited for certain individuals.

Aminosalicylates are compounds that contain 5-aminosalicylic acid (5-ASA) and reduce inflammation in the lining of the intestine. Some forms may also reduce joint inflammation. While any medication has potential risks (see below), 5-ASA medications are often very well tolerated and have not been associated with an increased risk of infection or cancer. These medications are not immunosuppressants.

Aminosalicylates can be used in Crohn’s disease or ulcerative colitis, however they are often more effective in ulcerative colitis. Aminosalicylates have been shown to independently induce and maintain remission in mild to moderate ulcerative colitis. In Crohn’s disease, 5-ASA medications may also be helpful to control mild inflammation and symptoms. However, recent research suggests that they often need to be used in conjunction with other therapies to adequately control inflammation and prevent complications in Crohn’s disease.

Types and Administrative Methods

There are a number of 5-ASA medications, which differ based on primary medication type, medication coating, or route of administration (oral vs. rectal). The first 5-ASA widely used in IBD was sulfasalazine (Azulfidine®). Sulfasalazine is still used, however, some patients experience side effects due to the sulfa component (see below).

Another form of 5-ASA is known as mesalamine, which does not contain a sulfa group. Approximately 90% of those with intolerance to sulfasalazine can tolerate mesalamine. There are several mesalamine-based oral 5-ASA agents including, Asacol® HD, Pentasa®, Lialda™, Apriso®, Delzicol™. These agents all use the same mesalamine, but differ in terms of the medication coating. Mesalamine must be coated or placed in special capsules to ensure drug delivery to the intestine or colon. The difference in coating affects where the medication is released in the intestine or colon and how frequently the medication needs to be taken (once, twice, or three times daily). Sometimes patients will notice whole capsules in their stool, which indicates that this drug delivery system may not be the right one for them.

Other forms of 5-ASA used include olsalazine (Dipentum®) or balsalazide (Colazal®), which are also effective therapies for ulcerative colitis.

In many situations, rectal therapies using 5-ASA agents can dramatically improve control of IBD. Rectal administration permits delivery of high-dose therapy (targeted exactly where it is needed) and avoids systemic (body-wide) exposure. In many cases, rectal therapies are used in conjunction with oral therapies for additional symptom improvement:

- **Suppositories** (Canasa®) deliver mesalazine directly to the rectum. A high proportion of patients with proctitis (inflammation in the rectum) will respond to mesalazine suppositories. These are usually given in single- or twice-
daily doses and can provide substantial relief from the urgency and frequency of bowel movements. A suppository is inserted into the rectum and does not need to be passed or removed. A combination of rectal and oral therapies may be more effective than pills alone.

- **Enema formulations** (Rowasa®) allow mesalamine to be applied directly to the left colon, reaching higher than the suppository alone. Up to 80% of patients with left-sided colon inflammation benefit from using this therapy once a day. Enemas are liquid and should remain in the colon for at least 20-40 minutes.

### Side Effects and Special Considerations

Overall, aminosalicylates are well tolerated and safe. All 5-ASA agents may cause headache, nausea, abdominal pain and cramping, loss of appetite, vomiting, rash, or fever. In addition, 5-ASA agents may cause diarrhea (less than 1% of users), which may be difficult to distinguish from increased IBD activity. Aminosalicylates very rarely cause kidney injury. However, those with known kidney problems should not use these agents. For those taking 5-ASAs, kidney tests should be routinely performed. While few medications have been thoroughly evaluated in pregnancy, these medications are considered generally safe to use during pregnancy and breastfeeding.

Specific issues with individual agents include:

- **Sulfasalazine**: A decrease in sperm production and function in men can occur while taking sulfasalazine. However, sperm count becomes normal after the medication is discontinued. It has been rarely associated with inflammation of the pancreas (pancreatitis). Patients with sulfa allergies should not use sulfasalazine. Women wishing to become pregnant on sulfasalazine should take an increased dose of folate 2mg daily.

- **Mesalamine**: Pancreatitis is a rare side effect of mesalamine use.

- **Olsalazine**: Diarrhea is the most common side effect. It can be reduced by taking the medication with food. Rare side effects are hair loss, pancreatitis, or inflammation of the tissue surrounding the heart (pericarditis).

### Drug Interactions

People taking several different medicines, whether prescription or over-the-counter, should always be on the lookout for interactions between drugs. Drug interactions may decrease a medication’s effectiveness, intensify the action of a drug, or cause unexpected side effects. Before taking any medication, read the label carefully. Before taking any medication, ask your doctor and pharmacist if there are any reactions to note with your existing medications. Be sure to include over-the-counter medications and complementary or alternative therapies (supplements, herbals, vitamins, etc.) when discussing your medications.

### Take Medications as Prescribed

The best way to control IBD is by taking medications as recommended by your doctor. Even during times of remission, it is important to continue taking your medications as prescribed to prevent asymptomatic inflammation and future flare-ups. If you are experiencing unpleasant side effects or you continue to have IBD symptoms, do not stop taking your medications until speaking with your doctor. Do not on your own alter the amount of medication or how frequently you take it.

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