Balsalazide disodium | apollo | +9191 46 950 950

Balsalazide disodium

**CAS Number**: 150399-21-6  
**Molecular Weight**: 437.31 g/mol  
**Molecular Formula**: C\(_{17}\)H\(_{17}\)N\(_3\)Na\(_2\)O\(_8\)  
**Systematic (IUPAC)**: BALOFLOXACIN; BALSALAZIDE SODIUM; Balsazide Disodium; BALSALZIDE DISODIUM; Balsalazie DisodiuM; Balsalazide disodium; BALSALAZIDEDISODOUMSALT; Balsalzide disodium dihydrate; Balsalazide Disodium (200 mg); BALSALAZIDE DISODIUM DIHYDRATE
DESCRIPTION
Each Balsalazide Disodium Capsule contains 750 mg of balsalazide disodium, a prodrug that is enzymatically cleaved in the colon to produce mesalamine (5-aminosalicylic acid or 5-ASA), an anti-inflammatory drug. Each capsule of balsalazide (750 mg) is equivalent to 267 mg of mesalamine. Balsalazide disodium has the chemical name (E)-5-[-4-[[2-carboxyethyl]amino]carbonyl] phenyl]azo]-2-hydroxybenzoic acid, disodium salt, dihydrate. Balsalazide disodium is a stable, odorless orange to yellow microcrystalline powder. It is freely soluble in water and isotonic saline, sparingly soluble in methanol and ethanol, and practically insoluble in all other organic solvents.

CLINICAL PHARMACOLOGY
Mechanism of Action:
Balsalazide disodium is delivered intact to the colon where it is cleaved by bacterial azoreduction to release equimolar quantities of mesalamine, which is the therapeutically active portion of the molecule, and the 4-aminobenzoyl-ß-alanine carrier moiety. The carrier moiety released when balsalazide disodium is cleaved is only minimally absorbed and is largely inert. The mechanism of action of 5-ASA is unknown, but appears to be local to the colonic mucosa rather than systemic. Mucosal production of arachidonic acid metabolites, both through the cyclooxygenase pathways, i.e., prostanoids, and through the lipoxygenase pathways, i.e., leukotrienes and hydroxyeicosatetraenoic acids, is increased in patients with chronic inflammatory bowel disease, and it is possible that 5-ASA diminishes
inflammation by blocking production of arachidonic acid metabolites in the colon.

**Pharmacokinetics:**
Balsalazide capsules contain a powder of balsalazide disodium that is insoluble in acid and designed to be delivered to the colon as the intact prodrug. Upon reaching the colon, bacterial azoreductases cleave the compound to release 5-ASA, the therapeutically active portion of the molecule, and 4-aminobenzoyl-β-alanine. The 5-ASA is further metabolized to yield N-acetyl-5-aminosalicylic acid (N-Ac-5-ASA), a second key metabolite.

**Absorption:**
The plasma pharmacokinetics of balsalazide and its key metabolites from a crossover study in healthy volunteers are summarized in Table 2. In this study, a single oral dose of balsalazide 2.25 g was administered to healthy volunteers as intact capsules (3 x 750 mg) under fasting conditions, as intact capsules (3 x 750 mg) after a high-fat meal, and unencapsulated (3 x 750 mg) as sprinkles on applesauce.

**Distribution:**
The binding of balsalazide to human plasma proteins was ≥99%.

**Metabolism:**
The products of the azoreduction of this compound, 5-ASA and 4-aminobenzoyl-β-alanine, and their N-acetylated metabolites have been identified in plasma, urine and feces.
**Elimination:**
Following single-dose administration of 2.25 g balsalazide (three 750 mg capsules) under fasting conditions in healthy subjects, mean urinary recovery of balsalazide, 5-ASA, and N-Ac-5-ASA was 0.2%, 0.22% and 10.2%, respectively.

In a multiple-dose study in healthy subjects receiving a balsalazide dose of two 750 mg capsules twice daily (3 g/day) for 10 days, mean urinary recovery of balsalazide, 5-ASA, and N-Ac-5-ASA was 0.1%, 0%, and 11.3%, respectively. During this study, subjects received their morning dose 0.5 hours after being fed a standard meal, and subjects received their evening dose 2 hours after being fed a standard meal.

In a study with 10 healthy volunteers, 65% of a single 2.25 g dose of balsalazide was recovered as 5-ASA, 4-aminobenzoyl-ß-alanine, and the N-acetylated metabolites in feces, while <1% of the dose was recovered as parent compound.

In a study that examined the disposition of balsalazide in patients who were taking 3 to 6 g of balsalazide daily for more than 1 year and who were in remission from ulcerative colitis, less than 1% of an oral dose was recovered as intact balsalazide in the urine. Less than 4% of the dose was recovered as 5-ASA, while virtually no 4-aminobenzoyl-ß-alanine was detected in urine. The mean urinary recovery of N-Ac-5-ASA and N-acetyl-4-aminobenzoyl-ß-alanine comprised <16% and <12% of the balsalazide dose, respectively. No fecal recovery studies were performed in this population.

All pharmacokinetic studies with balsalazide are characterized by large variability in the plasma concentration versus time profiles for balsalazide and its
metabolites, thus half-life estimates of these analytes are indeterminate.

**INDICATIONS AND USAGE**
Balsalazide Disodium Capsules are indicated for the treatment of mildly to moderately active ulcerative colitis in adults. Safety and effectiveness of Balsalazide Disodium Capsules beyond 12 weeks in adults have not been established.

**DOSAGE AND ADMINISTRATION**

**Adult Dose:**
For treatment of active ulcerative colitis in adult patients, the usual dose is three 750 mg balsalazide capsules to be taken 3 times a day (6.75 g per day) for up to 8 weeks. Some patients in the adult clinical trials required treatment for up to 12 weeks.

**Administration Alternatives:**
Balsalazide capsules may also be administered by carefully opening the capsule and sprinkling the capsule contents on applesauce. The entire drug/applesauce mixture should be swallowed immediately; the contents may be chewed, if necessary, since contents of balsalazide are NOT coated beads/granules. Patients should be instructed not to store any drug/applesauce mixture for future use.

If the capsules are opened for sprinkling, color variation of the powder inside the capsules ranges from orange to yellow and is expected due to color variation of the active pharmaceutical ingredient.

Teeth and/or tongue staining may occur in some patients who use balsalazide in sprinkle form with food.
**DOSAGE FORMS AND STRENGTHS**
Balsalazide Disodium Capsules are available as light orange opaque capsules containing 750 mg balsalazide disodium and "54 795" imprinted in black ink on the cap and body, containing a yellow-orange powder.

**CONTRAINDICATIONS**
Patients with hypersensitivity to salicylates or to any of the components of Balsalazide Disodium Capsules or balsalazide metabolites. Hypersensitivity reactions may include, but are not limited to the following: anaphylaxis, bronchospasm, and skin reaction.

**USE IN SPECIFIC POPULATIONS**

**Pregnancy:**
Pregnancy Category B. Reproduction studies were performed in rats and rabbits at oral doses up to 2 g/kg/day, 2.4 and 4.7 times the recommended human dose based on body surface area for the rat and rabbit, respectively, and revealed no evidence of impaired fertility or harm to the fetus due to balsalazide disodium. There are, however, no adequate and well-controlled studies in pregnant women. Because animal reproduction studies are not always predictive of human response, this drug should be used during pregnancy only if clearly needed.

**Nursing Mothers:**
It is not known whether balsalazide disodium is excreted in human milk. Because many drugs are excreted in human milk, caution should be exercised when balsalazide is administered to a nursing woman.
**Pediatric Use:**
Pediatric use information is protected by marketing exclusivity.

**OVERDOSAGE**
No case of overdose has occurred with balsalazide. A 3-year-old boy is reported to have ingested 2 g of another mesalamine product. He was treated with ipecac and activated charcoal with no adverse reactions. If an overdose occurs with balsalazide, treatment should be supportive, with particular attention to correction of electrolyte abnormalities.

**FIRST AID MEASURES**

**Eyes:**
Immediately flush eyes with plenty of water for at least 15 minutes, occasionally lifting the upper and lower eyelids.

**Skin:**
Flush skin with plenty of water for at least 15 minutes while removing contaminated clothing and shoes.

**Ingestion:**
Do NOT induce vomiting. If conscious and alert, rinse mouth and drink 2-4 cupfuls of milk or water.

**Inhalation:**
Remove from exposure and move to fresh air immediately.

**FIRE FIGHTING MEASURES**

**General Information:**
As in any fire, wear a self-contained breathing apparatus in pressure-demand, MSHA/NIOSH (approved or equivalent), and full protective gear. During a fire, irritating and highly toxic gases may be generated by thermal decomposition or combustion.

**Extinguishing Media:**
In case of fire, use water, dry chemical, chemical foam, or alcohol-resistant foam.

**ACCIDENTAL RELEASE MEASURES**

**Handling:**
Wash thoroughly after handling. Remove contaminated clothing and wash before reuse. Avoid contact with eyes, skin, and clothing. Avoid ingestion and inhalation.

**Storage:**
Store in a well closed container.

**PERSONAL PROTECTION**

**Eyes:**
Wear safety glasses and chemical goggles if splashing is possible.

**Skin:**
Wear appropriate protective gloves and clothing to prevent skin exposure.

**Clothing:**
Wear appropriate protective clothing to minimize contact with skin.
apollo | asia Division

APOLLO Pharmaceuticals API Manufacturer INDIA [P] Ltd.|asia Division

Mr.Vipin Saxena|CEO
Cellular:+91-98-21050033
Cellular:+91-98-20150033
Direct :+91-22-65785588
FAX :+91-22-42950001

Wireline Purchase HELPDESK:
+91-22-65050001
+91-22-65650001

Wireline Sales HELPDESK:
+91-22-65500009
+91-22-65050009

Wireless 24x7 HELPDESK:
+9191-46-951951
+9191-46-950950

Blackberry Pin :
32E6500D | 32E65010 | 28415C58

Email:
apollo@Hotmail.Co.in
Sales@apollopharma.in
Export@apollopharma.in
purchase@apollopharma.in

www.apolloworld.in
www.apollopharma.in
www.apollopharmaceuticals.Net

Chat:
MSN Hotmail: VipinrSaxena
Skype NAME: VipinrSaxena
Rocketmail: VipinrSaxena
Google mail: VipinrSaxena
BlackBerry: 28415C58

Regd. Office :-
1104, Maker Chamber V,
Nariman Point
Mumbai, INDIA
Pin: 400021

Industrial Office
D-62, OIC India
Oshiwara Industrial Centre,
New Link Road,
Goregoan West,
Mumbai, INDIA
Pin: 400104

Manufacturing Unit Address:
Plot No. 117A,
Village: Chamble
Near MonaTona Limited. Wada,
Maharashtra,
PIN : 421312 | INDIA

Email:
apollo@Hotmail.Co.in
Sales@apollopharma.in
Export@apollopharma.in
purchase@apollopharma.in

Balsalazide disodium

CAS Number: 150399-21-6
Molecular Weight: 437.31 g/mol
Molecular Formula: C17H17N3Na2O6
Systematic (IUPAC): BALOFLOXACIN; BALSALAZIDE SODIUM; Balsalazide Disodium; BALSALAZIDE DISODIUM; Balsalazide Disodium; BALSALAZIDEDISODOUMSALT; Balsalazide disodium dihydrate; Balsalazide Disodium (200 mg); BALSALAZIDE DISODIUM DIHYDRATE
Balsalazide disodium

CAS Number: 150399-21-6
Molecular Weight: 437.31 g/mol
Molecular Formula: C_{17}H_{17}N_3Na_2O_6
Systematic (IUPAC): BALOFLOXACIN;BALSALAZIDE SODIUM;Balsalazide Disodium;BALSALAZIDE DISODIUM;Balsalazide Disodium M;Balsalazide disodium;BALSALAZIDE DISODIUM SALT;Balsalazide disodium dihydrate;Balsalazide Disodium (200 mg);BALSALAZIDE DISODIUM DIHYDRATE
Balsalazide disodium

CAS Number : 150399-21-6
Molecular Weight : 437.31 g/mol
Molecular Formula : C_{19}H_{27}N_{3}Na_{2}O_{8}
Systematic (IUPAC) : BALOFLOXACIN;BALSALAZIDE SODIUM;Balasazide Disodium;BALSALAZIDE DISODIUM;Balsalazide Disodium;BALSALAZIDE DISODIUM SALT;Balsalazide disodium dihydrate;Balsalazide Disodium (200 mg);BALSALAZIDE DISODIUM DIHYDRATE