Allopurinol

CAS Number: 315-30-0
Molecular Formula: C5H4N4O
Molecular Weight: 136.11 g/mol
Systematic (IUPAC): 1H,2H,4H-pyrazolo[3,4-d]pyrimidin-4-one

Type: small molecule

Description: A xanthine oxidase inhibitor that decreases uric acid production. It also acts as an antimetabolite on some simpler organisms

Categories:
Free Radical Scavengers
Antimetabolites
Enzyme Inhibitors
Enzyme Inhibitors Antimetabolites
Gout Suppressants

**Taxonomy**

**Kingdom** : Organic

**Classes** : Pyrazolopyrimidines

**Substructures**

- Pyrazoles
- Pyrimidines and Derivatives
- Pyrazolopyrimidines
- Heterocyclic compounds
- Aromatic compounds
- Cyanamides

**Pharmacology**

**Indication**: For the treatment of hyperuricemia associated with primary or secondary gout. Also indicated for the treatment of primary or secondary uric acid nephropathy, with or without the symptoms of gout, as well as chemotherapy-induced hyperuricemia and recurrent renal calculi.

**Pharmacodynamics**: Allopurinol, a structural analog of the natural purine base hypoxanthine, is used to prevent gout and renal calculi due to either uric acid or calcium oxalate and to treat uric acid nephropathy, hyperuricemia, and some solid tumors.

**Mechanism of action**: Allopurinol and its active metabolite, oxypurinol, inhibits the enzyme xanthine oxidase, blocking the conversion of the oxypurines hypoxanthine and xanthine to uric acid. Elevated concentrations of oxypurine and oxypurine inhibition of xanthine oxidase through negative feedback results in a decrease in the concentrations of uric acid in the serum and urine. Allopurinol also facilitates the incorporation
of hypoxanthine and xanthine into DNA and RNA, leading to a feedback inhibition of de novo purin synthesis and a decrease in serum uric acid concentrations as a result of an increase in nucleotide concentration.

**Absorption**: Approximately 80-90% absorbed from the gastrointestinal tract.

**Protein binding**: Allopurinol and oxypurinol are not bound to plasma proteins

**Metabolism**: Hepatic

**Route of elimination**: Approximately 20% of the ingested allopurinol is excreted in the feces.

**Half life**: 1-3 hours

**Toxicity**: LD50=214 mg/kg (in mice)

**Affected organisms**: Humans and other mammals

**Drug Class And Mechanisms**
Allopurinol is used for treating gout caused by excessive levels of uric acid in the blood (hyperuricemia). Uric acid is a by product from the breakdown of certain proteins (purines) in the body. Hyperuricemia occurs when the body produces more uric acid than it can eliminate. The uric acid forms crystals in joints (gouty arthritis) and tissues, causing inflammation and pain. Elevated blood uric acid levels also can cause kidney disease and stones. Allopurinol prevents the production of uric acid by blocking the activity of the enzyme that converts purines to uric acid. Uric acid levels usually begin to fall within 2-3 days of starting treatment and return to their original levels within 7-10 days after allopurinol is stopped. It may take several months of therapy before
attacks of gout are controlled. The FDA approved allopurinol prior to 1982.

**Dosing**
The dose range of allopurinol is 100-800 mg day. It should be taken with food to avoid irritation of the stomach. In order to avoid formation of kidney stones, patients should drink plenty of fluids while taking allopurinol.

**Drug interactions**
Allopurinol increases blood levels of oral mercaptopurine (Purinethol) and azathioprine (Imuran) by reducing their breakdown in the body. Therefore, the dose of mercaptopurine and azathioprine should be reduced in order to avoid toxicity. There is an increased risk of skin rash in patients taking allopurinol in combination with penicillins.

**Why is this medication prescribed?**
Allopurinol is used to treat gout, high levels of uric acid in the body caused by certain cancer medications, and kidney stones. Allopurinol is in a class of medications called xanthine oxidase inhibitors. It works by reducing the production of uric acid in the body. High levels of uric acid may cause gout attacks or kidney stones. Allopurinol is used to prevent gout attacks, not to treat them once they occur.

**How should this medicine be used?**
Allopurinol comes as a tablet to take by mouth. It is usually taken once or twice a day, preferably after a meal. To help you remember to take allopurinol, take it around the same time every day. Follow the directions on your prescription label carefully, and ask your doctor or pharmacist to explain any part you do not
understand. Take allopurinol exactly as directed. Do not take more or less of it or take it more often than prescribed by your doctor.

Your doctor will probably start you on a low dose of allopurinol and gradually increase your dose, not more than once a week.

It may take several months or longer before you feel the full benefit of allopurinol. Allopurinol may increase the number of gout attacks during the first few months that you take it, although it will eventually prevent attacks. Your doctor may prescribe another medication such as colchicine to prevent gout attacks for the first few months you take allopurinol. Continue to take allopurinol even if you feel well. Do not stop taking allopurinol without talking to your doctor.

**Other uses for this medicine**

Allopurinol is also sometimes used to treat seizures, pain caused by pancreas disease, and certain infections. It is also sometimes used to improve survival after bypass surgery, to reduce ulcer relapses, and to prevent rejection of kidney transplants. Talk to your doctor about the possible risks of using this medication for your condition.

This medication may be prescribed for other uses; ask your doctor or pharmacist for more information.

**What special precautions should I follow?**

Before taking allopurinol, tell your doctor and pharmacist if you are allergic to allopurinol or any other medications.

tell your doctor and pharmacist what prescription and nonprescription medications, vitamins, nutritional supplements, and herbal products you are taking. Be sure to mention any of the following: amoxicillin
(Amoxil, Trimox); ampicillin (Polycillin, Principen); anticoagulants ('blood thinners') such as warfarin (Coumadin); cancer chemotherapy drugs such as cyclophosphamide (Cytoxan) and mercaptopurine (Purinethol); chlorpropamide (Diabinese); diuretics ('water pills'); medications that suppress the immune system such as azathioprine (Imuran) and cyclosporine (Neoral, Sandimmune); other medications for gout such as probenecid (Benemid) and sulfinpyrazone (Anturane); and tolbutamide (Orinase). Your doctor may need to change the doses of your medications or monitor you carefully for side effects.
tell your doctor if you have or have ever had kidney or liver disease or heart failure.
tell your doctor if you are pregnant, plan to become pregnant, or are breast-feeding. If you become pregnant while taking allopurinol, call your doctor.
you should know that allopurinol may make you drowsy. Do not drive a car or operate machinery until you know how this medication affects you.
ask your doctor about the safe use of alcoholic beverages while you are taking allopurinol. Alcohol may decrease the effectiveness of allopurinol.

What special dietary instructions should I follow?
Drink at least eight glasses of water or other fluids each day while taking allopurinol unless directed to do otherwise by your doctor.

What should I do if I forget a dose?
Take the missed dose as soon as you remember it. However, if it is almost time for the next dose, skip the missed dose and continue your regular dosing schedule. Do not take a double dose to make up for a missed one.
**What side effects can this medication cause?**
Allopurinol may cause side effects. Tell your doctor if any of these symptoms are severe or do not go away:
- upset stomach
- diarrhea
- drowsiness

Some side effects can be serious. The following symptoms are uncommon, but if you experience any of them, call your doctor immediately:
- skin rash
- painful urination
- blood in the urine
- irritation of the eyes
- swelling of the lips or mouth
- fever, sore throat, chills, and other signs of infection
- loss of appetite
- unexpected weight loss
- itching

Allopurinol may cause other side effects. Call your doctor if you have any unusual problems while taking this medication.

**What storage conditions are needed for this medicine?**
Keep this medication in the container it came in, tightly closed, and out of reach of children. Store it at room temperature and away from excess heat and moisture (not in the bathroom). Throw away any medication that is outdated or no longer needed. Talk to your pharmacist about the proper disposal of your medication.

**What other information should I know?**
Keep all appointments with your doctor and the laboratory. Your doctor will order certain lab tests to check your body's response to allopurinol.
Do not let anyone else take your medication. Ask your pharmacist any questions you have about refilling your prescription.

It is important for you to keep a written list of all of the prescription and nonprescription (over-the-counter) medicines you are taking, as well as any products such as vitamins, minerals, or other dietary supplements. You should bring this list with you each time you visit a doctor or if you are admitted to a hospital. It is also important information to carry with you in case of emergencies.

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Allopurinol
CAS Number: 315-30-0
Molecular Formula: C₆H₅N₃O₂
Molecular Weight: 136.11 g/mol
Systematic (IUPAC): 1H₂,2H,4H-pyrazolo[3,4-d]pyrimidin-4-one