Ticlopidine

CAS Number: 55142-85-3
Molecular Weight: 263.78 g/mol
Molecular Formula: C_{14}H_{14}ClNS
Systematic (IUPAC): 5-[(2-chlorophenyl)methyl]-4H, 5H,6H,7H-thieno[3,2-c]pyridine

Type: small molecule
Description
Ticlopidine is an effective inhibitor of platelet aggregation. The drug has been found to significantly reduce infarction size in acute myocardial infarcts and is an effective antithrombotic agent in arteriovenous fistulas, aorto-coronary bypass grafts, ischemic heart disease, venous thrombosis, and arteriosclerosis.

Categories
Platelet Aggregation Inhibitors
Fibrinolytic Agents

Taxonomy

Kingdom : Organic

Classes
Thienopyridines

Substructures
Thienopyridines
Benzene and Derivatives
Aryl Halides
Halobenzenes
Aliphatic and Aryl Amines
Heterocyclic compounds
Aromatic compounds
Thiophenes
**Pharmacology**

**Indication**: Used to reduce the risk of thrombotic stroke (fatal or nonfatal) in patients who have experienced stroke precursors, and in patients who have had a completed thrombotic stroke.

**Pharmacodynamics**: Ticlopidine is a platelet aggregation inhibitor structurally and pharmacologically similar to clopidogrel. When taken orally, ticlopidine causes a time- and dose-dependent inhibition of both platelet aggregation and release of platelet granule constituents, as well as a prolongation of bleeding time. The intact drug has no significant in vitro activity at the concentrations attained in vivo; and, although analysis of urine and plasma indicates at least 20 metabolites, no metabolite which accounts for the activity of ticlopidine has been isolated.

**Mechanism of action**: The active metabolite of ticlopidine prevents binding of adenosine diphosphate (ADP) to its platelet receptor, impairing the ADP-mediated activation of the glycoprotein GPIIb/IIIa complex. It is proposed that the inhibition involves a defect in the mobilization from the storage sites of the platelet granules to the outer membrane. No direct interference occurs with the GPIIb/IIIa receptor. As the glycoprotein GPIIb/IIIa complex is the major receptor for fibrinogen, its impaired activation prevents fibrinogen binding to platelets and inhibits platelet aggregation. By blocking the amplification of platelet activation by released ADP, platelet aggregation induced
by agonists other than ADP is also inhibited by the active metabolite of ticlopidine.

**Absorption**: Absorption is greater than 80%. Food increases absorption.

**Protein binding**: Binds reversibly (98%) to plasma proteins, mainly to serum albumin and lipoproteins. The binding to albumin and lipoproteins is nonsaturable over a wide concentration range. Ticlopidine also binds to alpha-1 acid glycoprotein. At concentrations attained with the recommended dose, only 15% or less ticlopidine in plasma is bound to this protein.

**Metabolism**: Metabolized extensively by the liver; only trace amounts of intact drug are detected in the urine. At least 20 metabolites have been identified. It has been proposed that 1 or more active metabolites may account for ticlopidine's activity, because ticlopidine itself is an extremely weak platelet aggregation inhibitor in vitro at the concentrations achieved in vivo. However, no active metabolite has been identified.

**Route of elimination**: Ticlopidine hydrochloride is metabolized extensively by the liver; only trace amounts of intact drug are detected in the urine. Approximately 1/3 of the dose excreted in the feces is intact ticlopidine hydrochloride, possibly excreted in the bile.

**Half life**: Half-life following a single 250-mg dose is approximately 7.9 hours in subjects 20 to 43 years of age and 12.6 hours in subjects 65 to 76 years of age. With
repeated dosing (250 mg twice a day), half-life is about 4 days in subjects 20 to 43 years of age and about 5 days in subjects 65 to 76 years of age.

**Toxicity**: Single oral doses of ticlopidine at 1600 mg/kg and 500 mg/kg were lethal to rats and mice, respectively. Symptoms of acute toxicity were GI hemorrhage, convulsions, hypothermia, dyspnea, loss of equilibrium and abnormal gait.

**Affected organisms**: Humans and other mammals

**Drug Class And Mechanisms**
Ticlopidine is an oral drug that inhibits the ability of platelets to clump and form blood clots in a manner similar to clopidogrel (Plavix). It is used to prevent blood clots from forming. Blood clots that form within the arteries of the brain or that break off from clots in other parts of the body and lodge in blood vessels in the brain cause strokes. Similarly, heart attacks occur when blood clots block an artery in the heart. In both cases the blood supply to part of the brain or heart is blocked and that part of the brain or heart is damaged or dies. Ticlopidine works by making the blood less likely to clot, therefore, reducing the likelihood of a stroke or heart attack. The FDA approved ticlopidine in October 1991.

**Dosing**
The recommended dose is 250 mg twice daily. A single 500 mg dose is administered several hours before stent placement.
**Drug Interactions**
Combining ticlopidine with other drugs that promote bleeding increases the risk of bleeding. Examples include aspirin, warfarin (Coumadin), and heparin. Ticlopidine may increase duloxetine (Cymbalta) levels by reducing the break down of duloxetine in the liver and lead to side effects from duloxetine. The combination may also increase the risk of bleeding because duloxetine can interfere with platelet function. Ticlopidine may increase pimozide (Orap) levels by reducing the break-down of pimozide in the liver. Increased pimozide levels may cause abnormal heart rhythms.

**Uses**
This medication is used to prevent strokes in people who cannot take aspirin or for whom aspirin has failed to work. It may also be used in combination with aspirin following certain types of heart procedures (e.g., coronary stent implant). Ticlopidine is an anti-platelet drug. It works by making your blood less likely to clot.

**How To Use?**
Read the Patient Information Leaflet available from your pharmacist. Consult your doctor or pharmacist if you have any questions. Take this medication with food or just after a meal, usually twice daily, or as directed by your doctor. Do not take this medication two hours before or after taking antacids. Duration of therapy is based on your medical condition. If you are taking this medication to prevent clots after a stent implant, it is generally taken with aspirin for up to 30 days unless otherwise directed by your doctor. Consult your doctor.
Use this medication regularly in order to get the most benefit from it. To help you remember, use it at the same times each day. Do not increase your dose or take this more often than prescribed. It is important to continue taking this medication even if you feel well. Do not stop taking this medication without consulting your doctor.

**Why is this medication prescribed?**
Ticlopidine is used to reduce the risk of stroke in people who have had a stroke or have had warning signs of a stroke and who cannot be treated with aspirin. Ticlopidine is also used along with aspirin to prevent blood clots from forming in coronary stents (metal tubes surgically placed in clogged blood vessels to improve blood flow). It works by preventing platelets (a type of blood cell) from collecting and forming clots.

**How should this medicine be used?**
Ticlopidine comes as a tablet to take by mouth. It usually is taken twice a day. Follow the directions on your prescription label carefully, and ask your doctor or pharmacist to explain any part you do not understand. Take ticlopidine exactly as directed. Do not take more or less of it or take it more often than prescribed by your doctor.
Continue to take ticlopidine even if you feel well. Do not stop taking ticlopidine without talking to your doctor.

**Other uses for this medicine**
Ticlopidine also is used before open heart surgery and in the treatment of sickle cell disease, certain types of kidney disease (primary glomerulonephritis), and
blocked arteries in the legs. 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 ticlopidine,
tell your doctor and pharmacist if you are allergic to ticlopidine, any other medications, or any of the ingredients in ticlopidine tablets.
tell your doctor and pharmacist what prescription and nonprescription medications, vitamins, nutritional supplements, and herbal products you are taking or plan to take. Be sure to mention any of the following: antacids, anticoagulants ('blood thinners') such as warfarin (Coumadin), aspirin, cimetidine (Tagamet), clopidogrel (Plavix), digoxin (Lanoxin), and theophylline (Theo-Dur). Your doctor may need to change the doses of your medications or monitor you carefully for side effects.

if you also take antacids (Maalox, Mylanta) take them 1 hour before or 2 hours after taking ticlopidine.
tell your doctor if you have or have ever had liver disease, bleeding disorders, bleeding ulcers, low blood cell counts (neutropenia, thrombocytopenia, anemia, TTP), kidney disease, high blood cholesterol, or high blood fats (triglycerides).
tell your doctor if you are pregnant, plan to become pregnant, or are breast-feeding. If you become pregnant while taking ticlopidine, call your doctor.
talk to your doctor about the risks and benefits of taking ticlopidine if you are 65 years of age or older. Older
adults should not usually take ticlopidine because it is not as safe or effective as other medications that can be used to treat the same condition.

if you are having surgery, including dental surgery, tell the doctor or dentist that you are taking ticlopidine. Your doctor may tell you to stop taking ticlopidine 10 to 14 days before your procedure. Follow these directions.

**What special dietary instructions should I follow?**

Unless your doctor tells you otherwise, continue your usual diet.

**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?**

Ticlopidine may cause side effects. Tell your doctor if any of these symptoms are severe or do not go away:
- nausea
- diarrhea
- vomiting
- stomach pain
- loss of appetite
- gas
- headache
- itching

Some side effects can be serious. Call your doctor immediately:
- fever, sore throat, or other signs of infection
unusual bleeding or bruising
light-colored stools
skin rash

Ticlopidine may cause other side effects. Call your doctor if you have any unusual problems while you are 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?
Ticlopidine prevents blood from clotting so it may take longer than usual for you to stop bleeding if you are cut or injured. Avoid activities that have a high risk of causing injury. Call your doctor if bleeding is unusual. 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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