Propafenone | apollo +9191 46 950 950

Propafenone

CAS Number : 54063-53-5
Molecular Formula : C₂₁H₂₇NO₃
Molecular Weight : 341.44 g/mol
Systematic (IUPAC) : 1-\{2-[2-hydroxy-3-(propylamino)propoxy]phenyl\}-3-phenylpropan-1-one

Type : small molecule

Description : An antiarrhythmia agent that is particularly effective in ventricular arrhythmias. It also
has weak beta-blocking activity. The drug is generally well tolerated.

**Categories**: Anti-Arrhythmia Agents

**Taxonomy**

**Kingdom**: Organic

**Classes**
- Phenols and Derivatives
- Ethers
- Anisoles
- Benzoyl Derivatives
- Acetophenones and Derivatives

**Substructures**
- Hydroxy Compounds
- Aliphatic and Aryl Amines
- Phenols and Derivatives
- Ethers
- Benzene and Derivatives
- Amino Alcohols
- Aromatic compounds
- Anisoles
- Benzoyl Derivatives
- Alcohols and Polyols
- Acetophenones and Derivatives
- Phenyl Esters
- Ketones
Pharmacology

**Indication**: Used to prolong the time to recurrence of paroxysmal atrial fibrillation/flutter (PAF) associated with disabling symptoms in patients without structural heart disease. Also used for the treatment of life-threatening documented ventricular arrhythmias, such as sustained ventricular tachycardia.

**Pharmacodynamics**: Propafenone is a Class 1C antiarrhythmic drug with local anesthetic effects, and a direct stabilizing action on myocardial membranes. It is used in the treatment of atrial and ventricular arrhythmias. It works by slowing the influx of sodium ions into the cardiac muscle cells, causing a decrease in excitability of the cells. Propafenone has local anesthetic activity approximately equal to procaine.

**Mechanism of action**: The electrophysiological effect of propafenone manifests itself in a reduction of upstroke velocity (Phase 0) of the monophasic action potential. In Purkinje fibers, and to a lesser extent myocardial fibers, propafenone reduces the fast inward current carried by sodium ions, which is responsible for the drugs antiarrhythmic actions. Diastolic excitability threshold is increased and effective refractory period prolonged. Propafenone reduces spontaneous automaticity and depresses triggered activity. At very high concentrations in vitro, propafenone can inhibit the slow inward current carried by calcium but this calcium antagonist effect probably does not contribute to antiarrhythmic efficacy.
Absorption: Nearly completely absorbed following oral administration (90%). Systemic bioavailability ranges from 5 to 50%, due to significant first-pass metabolism. This wide range in systemic bioavailability is related to two factors: presence of food (food increases bioavailability) and dosage (bioavailability is 3.4% for a 150-mg tablet compared to 10.6% for a 300-mg tablet).

Volume of distribution: 252 L

Protein binding: 97%

Metabolism: Metabolized primarily in the liver where it is rapidly and extensively metabolized to two active metabolites, 5-hydroxypropafenone and N-depropylpropafenone. These metabolites have antiarrhythmic activity comparable to propafenone but are present in concentrations less than 25% of propafenone concentrations.

Route of elimination: Approximately 50% of propafenone metabolites are excreted in the urine following administration of immediate release tablets.

Half life: 2-10 hours

Toxicity: Symptoms of propafenone overdose (usually most severe within the first 3 hours) may include convulsions (rarely), heartbeat irregularities, low blood pressure, and sleepiness.
**Affected organisms**: Humans and other mammals

**Drug Class And Mechanisms**
Propafenone is used to treat heart rhythm abnormalities (antiarrhythmic agent). The primary mechanism of action is blocking channels which transport sodium across cell borders, which prolongs the beginning of the phase during which heart muscle cells become electrically stimulated (action potential). Propafenone slows conduction throughout the heart and is referred to as a type IC antiarrhythmic. Propafenone also has some beta adrenergic receptor blocking properties, and, to a lesser extent, calcium channel blocking effect. These are class II and class IV properties, respectively. Propafenone also blocks electrical conduction through accessory pathways, such as seen in WPW syndrome.

**Dosing**
Propafenone is given with or without food every eight hours. In most patients propafenone is metabolized, primarily by the liver, and excreted in the urine over 2 to 10 hours. In up to 10% of patients this metabolism is slow and occurs over 12 to 32 hours. Doses may need to be lowered in these patients, and those with reduced liver and kidney function.

**Drug Interactions**
Because of its beta blocking activity, propafenone must be used with caution in patients with weak heart muscle (congestive heart failure), slow heart rate, any form of heart electrical conduction block, low blood pressure, or asthma. The most serious side effect of propafenone is
the causing of serious life-threatening irregular heart rhythms (ventricular arrhythmias or proarrhythmia). It is for this reason that propafenone is started and doses increased while patients are hospitalized in a monitored setting.

Quinidine inhibits the metabolism of propafenone and, therefore, their combined use should be avoided. Propafenone increases the levels of digoxin (Lanoxin), warfarin (Coumadin), and beta blockers which may require dose reductions. The electrical safety margins of artificial pacemakers can be compromised by the effects of propafenone and should be closely monitored. Safety and efficacy in children has not been established.

**Uses**

This medication is used to treat certain types of serious (possibly fatal) irregular heartbeat (such as paroxysmal supraventricular tachycardia and atrial fibrillation). It is used to restore normal heart rhythm and maintain a regular, steady heartbeat. Propafenone is known as an anti-arrhythmic drug. It works by blocking the activity of certain electrical signals in the heart that can cause an irregular heartbeat. Treating an irregular heartbeat can decrease the risk for blood clots, and this effect can reduce your risk of heart attack or stroke.

**How To Use?**

Take this medication by mouth with or without food, usually every 8 hours or as directed by your doctor. Dosage is based on your medical condition and response to treatment. Use this medication regularly to get the most benefit from it. To help you remember, take
it at the same times each day. Tell your doctor if your condition does not improve or if it worsens.

**Why is this medication prescribed?**
Propafenone is used to treat arrhythmia (irregular heartbeat) and to maintain a normal heart rate. Propafenone is in a class of medications called antiarrhythmics. It works by acting on the heart muscle to improve the heart's rhythm.

**How should this medicine be used?**
Propafenone comes as a tablet and an extended-release (long-acting) capsule to take by mouth. The tablet is usually taken three times a day, once every 8 hours. The extended-release capsule is usually taken two times a day, once every 12 hours, with or without food. Follow the directions on your prescription label carefully, and ask your doctor or pharmacist to explain any part you do not understand. Take propafenone exactly as directed. Do not take more or less of it or take it more often than prescribed by your doctor.
Swallow the capsules whole; do not crush or open the capsules or divide the contents of a capsule into more than one dose.
You may begin taking propafenone in a hospital so that your doctor can monitor you carefully as your body gets used to the medication. Your doctor may start you on a low dose of propafenone and gradually increase your dose, not more often than once every 5 days.
Propafenone may control your irregular heartbeat, but will not cure it. Continue to take propafenone even if you feel well. Do not stop taking propafenone without
talking to your doctor. Your heartbeat may become irregular if you suddenly stop taking propafenone.

**Other uses for this medicine**
This medication should not be prescribed for other uses; ask your doctor or pharmacist for more information.

**What special precautions should I follow?**
Before taking propafenone,
tell your doctor and pharmacist if you are allergic to propafenone, any other medications, or any of the ingredients in propafenone tablets or extended-release capsules. Ask your doctor or pharmacist for a list of the ingredients.
tell your doctor and pharmacist what other 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:
  - anticoagulants ('blood thinners') such as warfarin (Coumadin); certain antibiotics such as azithromycin (Zithromax), clarithromycin (Biaxin, in Prevpac), and erythromycin (E.E.S., others); antihistamines; beta-blockers such as atenolol (Tenormin), carteolol (Cartrol), labetalol (Normodyne, Trandate), metoprolol (Lopressor), nadolol (Corgard), propranolol (Inderal), sotalol (Betapace), and timolol (Blocadren); certain antidepressants such as desipramine (Norpramin) and imipramine (Tofranil); cimetidine (Tagamet); cisapride (Propulsid) (not available in the U.S.); digoxin (Lanoxin); haloperidol (Haldol); ketoconazole (Nizoral); lidocaine; medications for irregular heartbeat such as amiodarone (Cordarone, Pacerone), bepredil (not available in the U.S.), dofetilide (Tikosyn), disopyramide
(Norpace), ibutilide (Corvert), procainamide, and quinidine (Quinaglute, others). Medications for mental illness and nausea; orlistat (Alli, Xenical); ritonavir (Norvir); rifampin (Rifadin, Rimactane); saquinavir (Invirase); selective serotonin reuptake inhibitors (SSRIs) such as fluoxetine (Prozac, Sarafem, in Symbyax), paroxetine (Paxil, Pexeva) and sertraline (Zoloft); and venlafaxine (Effexor).

tell your doctor if you have excessive diarrhea, sweating, vomiting, loss of appetite, or decreased thirst and if you have or have ever had a slow heartbeat; low blood pressure; low or high levels of sodium, potassium, chloride, or bicarbonate in your blood; heart failure; or asthma or any other condition that causes your airways to become narrow. Your doctor may tell you not to take propafenone.

tell your doctor if you have or have ever had a pacemaker; myasthenia gravis (a disorder of the nervous system that causes muscle weakness), or liver or kidney disease,

tell your doctor if you are pregnant, plan to become pregnant, or are breast-feeding. If you become pregnant while taking propafenone, call your doctor.

if you are having surgery, including dental surgery, tell the doctor or dentist that you are taking propafenone.

you should know that this medication may make you drowsy or dizzy. Do not drive a car or operate machinery until you know how it affects you.

tell your doctor if you use tobacco products. Cigarette smoking may decrease the effectiveness of this medication.
What special dietary instructions should I follow?
Talk to your doctor about eating foods and salt substitutes that contain potassium.
Talk to your doctor about eating grapefruit and drinking grapefruit juice while you are taking this medication.

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 your 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?
Propafenone may cause side effects. Tell your doctor if any of these symptoms are severe or do not go away:
- dizziness
- dry mouth
- headache
- nausea
- vomiting
- diarrhea
- constipation
- loss of appetite
- unusual taste in the mouth
- gas
- tiredness
- anxiety
- blurred vision
- uncontrollable shaking of a part of the body
- difficulty falling asleep or staying asleep
- difficulty with coordination
Some side effects can be serious. If you experience any of these symptoms, call your doctor immediately:

difficulty breathing
wheezing
chest pain
new or worsening irregular heartbeat
slow, fast, or pounding heartbeat
swelling of the hands, feet, ankles, or lower legs
sudden, unexplained weight gain
fainting
skin rash
unexplained fever, chills, weakness, or sore throat

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.

Symptoms of overdose may include:
tiredness
slow or irregular heartbeat
seizures

What other information should I know?
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.

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.apolopharma.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

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