Pimozide

CAS Number: 2062-78-4
Molecular Weight: 461.54 g/mol
Molecular Formula: C_{28}H_{29}F_{2}N_{3}O
Systematic (IUPAC): 1-\{1-[4,4-bis(4-fluorophenyl)butyl]piperidin-4-yl\}-2,3-dihydro-1H-1,3-benzodiazol-2-one

Type: small molecule
Description
A diphenylbutylpiperidine that is effective as an antipsychotic agent and as an alternative to haloperidol for the suppression of vocal and motor tics in patients with Tourette syndrome. Although the precise mechanism of action is unknown, blockade of postsynaptic dopamine receptors has been postulated. (From AMA Drug Evaluations Annual, 1994, p403)

Categories
Dopamine Antagonists
Antipsychotic Agents
Anti-Dyskinesia Agents

Classes
Diphenylmethanes

Substructures
Benzimidazoles
Benzene and Derivatives
Aliphatic and Aryl Amines
Diphenylmethanes
Halobenzenes
Imidazoles
Heterocyclic compounds
Aromatic compounds
Cyanamides
Aryl Halides
Piperidines
Pharmacology

**Indication**: Used for the suppression of motor and phonic tics in patients with Tourette's Disorder who have failed to respond satisfactorily to standard treatment.

**Pharmacodynamics**: Pimozide is an orally active antipsychotic drug product which shares with other antipsychotics the ability to block dopaminergic receptors on neurons in the central nervous system. However, receptor blockade is often accompanied by a series of secondary alterations in central dopamine metabolism and function which may contribute to both pimozide's therapeutic and untoward effects. In addition, pimozide, in common with other antipsychotic drugs, has various effects on other central nervous system receptor systems which are not fully characterized. Pimozide also has less potential for inducing sedation and hypotension as it has more specific dopamine receptor blocking activity than other neuroleptic agents (and is therefore a suitable alternative to haloperidol).

**Mechanism of action**: The ability of pimozide to suppress motor and phonic tics in Tourette's Disorder is thought to be primarily a function of its dopaminergic blocking activity. Pimozide binds and inhibits the dopamine D2 receptor in the CNS.
Absorption: Greater than 50% absorption after oral administration. Serum peak appears 6-8 hours post ingestion.

Metabolism: Notable first-pass metabolism in the liver, primarily by N-dealkylation via the cytochrome P450 isoenzymes CYP3A and CYP1A2 (and possibly CYP2D6). The activity of the two major metabolites has not been determined.

Half life: 29 ± 10 hours (single-dose study of healthy volunteers).

Toxicity: LD50 = 1100 mg/kg (rat, oral), 228 mg/kg (mouse, oral)

Affected organisms: Humans and other mammals

Uses
This medication is used to reduce uncontrolled movements (motor tics) or outbursts of words/sounds (vocal tics) caused by Tourette syndrome. Pimozide is a medication that works by decreasing the activity of a natural substance (dopamine) in the brain. Pimozide should not be used for mild symptoms. It should only be used if symptoms cause severe problems in everyday life and other medicines or treatments have not been effective. OTHER This section contains uses of this drug that are not listed in the approved professional labeling for the drug but that may be prescribed by your health care professional. Use this drug for a condition that is listed in this section only if it has been so prescribed by
your health care professional. In Canada, this medication has been used to treat a certain mental/mood disorder (chronic schizophrenia).

**How To Use?**

Take this medication by mouth with or without food, usually once a day at bedtime or as directed by your doctor. Dosage is based on your medical condition and response to treatment. Your doctor may direct you to take a low dose at first, gradually increasing the dose to lower the chance of side effects such as shaking (tremors). Do not take this drug more often or increase the dose. Your symptoms will not improve any faster, and the risk for heart rhythm problems will be increased. Follow your doctor's directions carefully. Your doctor may order an electrocardiogram (EKG) and laboratory tests before you start this medication. These tests are to find out whether you are at risk for heart rhythm problems from pimozide. Keep all medical/lab appointments. Other drugs, such as stimulant medications (such as methylphenidate, dextroamphetamine), may occasionally worsen tics. Before deciding to start pimozide, your doctor may try to reduce your tics by lowering the stimulant dose. Consult your doctor for more details. Avoid eating grapefruit or drinking grapefruit juice while using this medication unless your doctor instructs you otherwise. Grapefruit can increase the amount of this medication in your bloodstream. Consult your doctor or pharmacist for more details. Use this medication regularly to get the most benefit from it. To help you remember, take it at the same time each day. Do not stop taking this medication without consulting your doctor. Your
condition may become worse when the drug is suddenly stopped. Your dose may need to be gradually decreased. Tell your doctor if your condition persists or worsens.

**Drug Interactions**
The effects of some drugs can change if you take other drugs or herbal products at the same time. This can increase your risk for serious side effects or may cause your medications not to work correctly. These drug interactions are possible, but do not always occur. Your doctor or pharmacist can often prevent or manage interactions by changing how you use your medications or by close monitoring. To help your doctor and pharmacist give you the best care, be sure to tell your doctor and pharmacist about all the products you use (including prescription drugs, nonprescription drugs, and herbal products) before starting treatment with this product. While using this product, do not start, stop, or change the dosage of any other medicines you are using without your doctor’s approval. Some products that may interact with this drug include:

- anticholinergic/antispasmodic drugs (such as atropine, dicyclomine, scopolamine),
- drugs that increase the amount of dopamine in your body (such as bromocriptine, cabergoline, levodopa, pergolide, ropinirole),
- drugs affecting liver enzymes that remove pimozide from your body (such as aprepitant, delavirdine, fluvoxamine, nefazodone, sertraline, zileuton, azole antifungals such as ketoconazole/itraconazole, macrolide antibiotics such as azithromycin/erythromycin, protease inhibitors such as ritonavir/nelfinavir).

Tell your doctor or pharmacist if
you are taking other products that cause drowsiness including alcohol, antihistamines (such as cetirizine, diphenhydramine), drugs for sleep or anxiety (such as alprazolam, diazepam, zolpidem), muscle relaxants, and narcotic pain relievers (such as codeine). Check the labels on all your medicines (such as allergy or cough-and-cold products) because they may contain ingredients that cause drowsiness. Ask your pharmacist about using those products safely. Many drugs besides pimozide may affect the heart rhythm (QT prolongation). Examples include amiodarone, cisapride, citalopram/escitalopram, chlorpromazine, dofetilide, procainamide, quinidine, ranolazine, sotalol, macrolide antibiotics (such as clarithromycin, erythromycin), among others. Also report the use of drugs that might increase seizure risk when combined with pimozide, such as bupropion, isoniazid (INH), phenothiazines (such as promethazine), lithium, theophylline, or tricyclic antidepressants (such as amitriptyline), among others. Consult your doctor or pharmacist for details. This document does not contain all possible drug interactions. Keep a list of all the products you use. Share this list with your doctor and pharmacist to lessen your risk for serious medication problems.

Why is this medication prescribed?
Pimozide is used to control motor or verbal tics (an uncontrollable need to repeat certain movements or sounds) caused by Tourette's disorder (condition characterized by motor or verbal tics). Pimozide should only be used to treat people who cannot take other medications or who have taken other medications without good results. Pimozide should only be used to
treat severe tics that stop the person from learning, working, or performing daily activities. Pimozide is in a class of medications called conventional antipsychotics. It works by decreasing abnormal excitement in the brain.

**How should this medicine be used?**
Pimozide comes as a tablet to take by mouth. It is usually taken once a day at bedtime or two or more times a day. Take pimozide at around the same time(s) 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 pimozide 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 pimozide and gradually increase your dose, not more than once every 2 or 3 days. Your doctor may decrease your dose once your condition is controlled. Be sure to tell your doctor how you are feeling during your treatment with pimozide. Pimozide controls Tourette's disorder but does not cure it. It may take some time before you feel the full benefit of pimozide. Continue to take pimozide even if you feel well. Do not stop taking pimozide without talking to your doctor. If you suddenly stop taking pimozide, you may experience difficulty controlling your movements. Your doctor will probably decrease your dose gradually.

**Other uses for this medicine**
Pimozide is also used sometimes to treat schizophrenia (a mental illness that causes disturbed or unusual thinking, loss of interest in life, and strong or
inappropriate emotions) and certain behavior, personality, movement, and psychiatric disorders in adults. Talk to your doctor about the possible risks of using this medication for your condition. This medication may be prescribed for other uses; talk to your doctor or pharmacist for more information.

**What special precautions should I follow?**

Before taking pimozide, tell your doctor and pharmacist if you are allergic to pimozide, other medications for mental illness, or any other medications. Tell your doctor if you are taking any of the following medications: certain antibiotics including azithromycin (Zithromax, Z-Pak), clarithromycin (Biaxin), erythromycin (E.E.S., E-Mycin, Erythrocin), and moxifloxacin (Avelox); antifungals such as itraconazole (Sporanox) and ketoconazole (Nizoral); arsenic trioxide (Trisenox); dofetilide (Tikosyn); chlorpromazine; dolasetron (Anzemet); droperidol (Inapsine); HIV protease inhibitors such as indinavir (Crixivan), nelfinavir (Viracept), saquinavir (Invirase), and ritonavir (Norvir, in Kaletra); medications for irregular heartbeat such as amiodarone (Cordarone), disopyramide (Norpace), procainamide, quinidine, and sotalol (Betapace); medications for mental illness and nausea; mefloquine (Lariam); nefazadone; pentamidine (Nebupent); certain selective serotonin reuptake inhibitors (SSRIs) such as citalopram (Celexa), escitalopram (Lexapro), fluoxetine (Prozac, Sarafem), fluvoxamine (Luvox), paroxetine (Paxil, Pexeva), and sertraline (Zoloft); tacrolimus (Prograf); thioridazine; zileuton
(Zyflo); and ziprasidone (Geodon). Your doctor may tell you not to take pimozide.

tell your doctor if you are taking medications that may cause tics, including amphetamines such as amphetamine (Adderall) and dextroamphetamine (Dexadrine, Dextrostat); pemoline (Cylert) (not available in the US); and methylphenidate (Concerta, Ritalin). Your doctor may tell you to stop taking your medication for a while before you start taking pimozide. This will let your doctor see if your tics were caused by the other medication and can be treated by stopping it.

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: antidepressants; cimetidine (Tagamet); diuretics ('water pills'); medications for anxiety, pain, and seizures; sedatives; sleeping pills; ticlopidine (Ticlid); and tranquilizers. Many other medications may interact with pimozide, so be sure to tell your doctor about all the medications you are taking, even those not listed here or on the lists above. 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 long QT syndrome (condition that increases the risk of developing an irregular heartbeat that may cause loss of consciousness or sudden death); an irregular heartbeat; or low levels of potassium or magnesium in your blood. Also tell your doctor if you have severe diarrhea before your treatment or at any time during your treatment. Your doctor may tell you not to take pimozide.

tell your doctor if you have or have ever had breast cancer; Parkinson's disease (PD; a disorder of the
nervous system that causes difficulties with movement, muscle control, and balance); glaucoma (condition in which increased pressure in the eye can lead to gradual loss of vision); problems with urination; an abnormal electroencephalogram (EEG; test that records electrical activity in the brain); seizures; or prostate, liver, or kidney disease. Also tell your doctor if you have ever had to stop taking a medication for mental illness due to severe side effects.

tell your doctor if you are pregnant, especially if you are in the last few months of your pregnancy, or if you plan to become pregnant or are breast-feeding. If you become pregnant while taking pimozide, call your doctor. Pimozide may cause problems in newborns following delivery if it is taken during the last months of pregnancy.

if you are having surgery, including dental surgery, tell the doctor or dentist that you are taking pimozide. you should know that pimozide may make you drowsy and may affect your thinking and movements, especially at the beginning of your treatment. Do not drive a car or operate machinery until you know how this medication affects you.

ask your doctor about the safe use of alcohol during your treatment with pimozide. Alcohol can make the side effects of pimozide worse.

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

Do not eat grapefruit or drink 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 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?**

Pimozide may cause side effects. Tell your doctor if any of these symptoms are severe or do not go away:

- sleepiness
- weakness
- dry mouth
- increased saliva
- diarrhea
- constipation
- unusual hunger or thirst
- changes in posture
- nervousness
- changes in behavior
- difficulty tasting food
- sensitivity to light
- changes in vision
- decreased sexual ability in men
- blank facial expression
- shuffling walk
- unusual, slowed, or uncontrollable movements of any part of the body
- restlessness
- speech problems
- changes in handwriting
- rash

Some side effects can be serious. If you experience any of the following symptoms, call your doctor immediately:
fever
muscle stiffness
confusion
sweating
fast or irregular heartbeat
neck cramps
tightness in the throat
difficulty breathing or swallowing
tongue that sticks out of the mouth
fine, worm-like tongue movements
uncontrollable, rhythmic face, mouth, or jaw movements

At high doses, pimozide has caused tumors in mice. This does not necessarily mean that pimozide will also cause tumors in humans. Talk to your doctor about the risks of taking this medication.

Pimozide may cause a life-threatening irregular heartbeat. Some people who took high doses of pimozide to treat conditions other than Tourette's syndrome died suddenly, possibly due to this type of irregular heartbeat. Your doctor will order an electrocardiogram (test that records the electrical activity of the heart) before and during your treatment with pimozide to see whether you already have heart problems that could be worsened by pimozide and to see whether pimozide has caused any heart problems. Talk to your doctor about the risks of taking this medication.

Pimozide 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.

Symptoms of overdose may include:
- blank facial expression
- shuffling walk
- unusual, slowed, or uncontrollable movements of any part of the body
- restlessness
- fast heartbeat
- drowsiness
- coma (loss of consciousness for a period of time)
- difficulty breathing

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 pimozide.
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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