Telmisartan

**CAS Number**: 144701-48-4  
**Molecular Weight**: 514.61 g/mol  
**Molecular Formula**: C₃₃H₃₀N₄O₂  
**Systematic (IUPAC)**: 2-((4-methyl-6-(1-methyl-1H-1,3-benzodiazol-2-yl)-2-propyl-1H-1,3-benzodiazol-1-yl)methyl)phenyl)benzoic acid

**Type**: small molecule
**Description**
Telmisartan is an angiotensin II receptor antagonist (ARB) used in the management of hypertension. Generally, angiotensin II receptor blockers (ARBs) such as telmisartan bind to the angiotensin II type 1 (AT1) receptors with high affinity, causing inhibition of the action of angiotensin II on vascular smooth muscle, ultimately leading to a reduction in arterial blood pressure. Recent studies suggest that telmisartan may also have PPAR-gamma agonistic properties that could potentially confer beneficial metabolic effects.

**Categories**
Angiotensin-converting Enzyme Inhibitors
Angiotensin II Type 1 Receptor Blockers

**Taxonomy**

**Kingdom** : Organic

**Classes**
Biphenyl and Derivatives
Cinnamates

**Substructures**
Hydroxy Compounds
Benzyl Alcohols and Derivatives
Acetates
Benzoates
Benzimidazoles
Carboxylic Acids and Derivatives
Phenylpropenes
Benzene and Derivatives
Biphenyl and Derivatives
Imidazoles
Heterocyclic compounds
Aromatic compounds
Cinnamaldehydes
Imines
Benzoyl Derivatives
Cyanamides
Cinnamates

**Pharmacology**

**Indication:** Used alone or in combination with other classes of antihypertensives for the treatment of hypertension. Also used in the treatment of diabetic nephropathy in hypertensive patients with type 2 diabetes mellitus, as well as the treatment of congestive heart failure (only in patients who cannot tolerate ACE inhibitors).

**Pharmacodynamics:** Telmisartan is an orally active nonpeptide angiotensin II antagonist that acts on the AT1 receptor subtype. It has the highest affinity for the AT1 receptor among commercially available ARBS and has minimal affinity for the AT2 receptor. New studies suggest that telmisartan may also have PPARγ agonistic properties that could potentially confer beneficial metabolic effects, as PPARγ is a nuclear receptor that regulates specific gene transcription, and whose target genes are involved in the regulation of glucose and lipid metabolism, as well as anti-inflammatory responses. This observation is currently being explored in clinical
trials. Angiotensin II is formed from angiotensin I in a reaction catalyzed by angiotensin-converting enzyme (ACE, kininase II). Angiotensin II is the principal pressor agent of the renin-angiotensin system, with effects that include vasoconstriction, stimulation of synthesis and release of aldosterone, cardiac stimulation, and renal reabsorption of sodium. Telmisartan works by blocking the vasoconstrictor and aldosterone secretory effects of angiotensin II.

**Mechanism of action**: Telmisartan interferes with the binding of angiotensin II to the angiotensin II AT1-receptor by binding reversibly and selectively to the receptors in vascular smooth muscle and the adrenal gland. As angiotensin II is a vasoconstrictor, which also stimulates the synthesis and release of aldosterone, blockage of its effects results in decreases in systemic vascular resistance. Telmisartan does not inhibit the angiotensin converting enzyme, other hormone receptors, or ion channels. Studies also suggest that telmisartan is a partial agonist of PPARγ, which is an established target for antidiabetic drugs. This suggests that telmisartan can improve carbohydrate and lipid metabolism, as well as control insulin resistance without causing the side effects that are associated with full PPARγ activators.

**Absorption**: Absolute bioavailability depends on dosage. Food slightly decreases the bioavailability (a decrease of about 6% is seen when the 40-mg dose is administered with food).

**Volume of distribution**: 500 L
**Protein binding**: Highly bound to plasma proteins (>99.5%), mainly albumin and α1-acid glycoprotein. Binding is not dose-dependent.

**Metabolism**: Minimally metabolized by conjugation to form a pharmacologically inactive acylglucuronide; the glucuronide of the parent compound is the only metabolite that has been identified in human plasma and urine. The cytochrome P450 isoenzymes are not involved in the metabolism of telmisartan.

**Route of elimination**: Following either intravenous or oral administration of 14C-labeled telmisartan, most of the administered dose (>97%) was eliminated unchanged in feces via biliary excretion; only minute amounts were found in the urine (0.91% and 0.49% of total radioactivity, respectively).

**Half life**: Bi-exponential decay kinetics with a terminal elimination half-life of approximately 24 hours.

**Clearance**: >800 mL/min

**Toxicity**: Intravenous LD50 in rats is 150-200 mg/kg in males and 200 to 250 mg/kg in females. Acute oral toxicity is low: no deaths and no changes occurred in rats or dogs at 2000 mg/kg, the highest dose tested. Limited data are available with regard to overdosage in humans. The most likely manifestations of overdosage with telmisartan would be hypotension, dizziness and tachycardia; bradycardia could occur from parasympathetic (vagal) stimulation.
Affected organisms: Humans and other mammals

Uses
This drug is used to treat high blood pressure (hypertension). High blood pressure reduction helps prevent strokes, heart attacks, and kidney problems. This drug works by blocking the hormone angiotensin thereby relaxing blood vessels, causing them to widen. Telmisartan belongs to a class of drugs called angiotensin receptor blockers.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. This drug may also be used to treat congestive heart failure and to help protect the kidneys from damage due to diabetes.

How To Use?
Take this medication by mouth, usually once daily or as directed by your doctor. You may take this drug with or without food. Use this medication regularly in order to get the most benefit from it. To help you remember, use it at the same time each day. Do not take potassium supplements or salt substitutes containing potassium without talking to your doctor or pharmacist first. This medicine can raise your potassium levels, which rarely can cause serious side effects such as muscle weakness or very slow heartbeats. Tell your doctor immediately if these effects occur. The dosage is based on your medical condition and response to therapy. For the treatment of high blood pressure, it may take 4 weeks before the full
benefit of this drug occurs. It is important to continue taking this medication even if you feel well. Most people with high blood pressure do not feel sick.

**Drug Interactions**

See also How to Use section. Your healthcare professionals (e.g., doctor or pharmacist) may already be aware of any possible drug interactions and may be monitoring you for it. Do not start, stop or change the dosage of any medicine before checking with them first. Before using this medication, tell your doctor or pharmacist of all prescription and nonprescription/herbal products you may use, especially of: lithium, ramipril, potassium-sparing "water pills" (diuretics such as amiloride, spironolactone, triamterene). Check the labels on all your medicines (e.g., cough-and-cold products, diet aids, nonsteroidal anti-inflammatory drugs - NSAIDs such as ibuprofen and naproxen for pain/fever reduction) because they may contain ingredients that could increase your blood pressure. Ask your pharmacist about the safe use of those products. Low-dose aspirin, as prescribed by your doctor for specific medical reasons such as heart attack or stroke prevention (usually at dosages of 81-325 milligrams per day), should be continued. Consult your doctor or pharmacist for more details. This document does not contain all possible interactions. Therefore, before using this product, tell your doctor or pharmacist of all the products you use. Keep a list of all your medications with you, and share the list with your doctor and pharmacist.
**Why is this medication prescribed?**
Telmisartan is used alone or in combination with other medications to treat high blood pressure. Telmisartan is also used to decrease the chance of heart attack, stroke, or death in people 55 years of age or older who are at high risk for cardiovascular disease. Telmisartan is in a class of medications called angiotensin II receptor antagonists. It works by blocking the action of certain natural substances that tighten the blood vessels, allowing the blood to flow more smoothly and the heart to pump more efficiently.

**How should this medicine be used?**
Telmisartan comes as a tablet to take by mouth. It is usually taken once a day with or without food. To help you remember to take telmisartan, 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 telmisartan exactly as directed. Do not take more or less of it or take it more often than prescribed by your doctor.
Telmisartan tablets come in individual blister packs that can be opened by peeling back the paper layer from the foil and pushing the tablet through the foil. Do not open a blister pack until you are ready to swallow the tablet it contains.
Your doctor may start you on a low dose of telmisartan and gradually increase your dose.
Telmisartan controls high blood pressure but does not cure it. Your blood pressure may decrease during the first 2 weeks of your treatment, but it may take 4 weeks for you to notice the full benefit of telmisartan. Continue
to take telmisartan even if you feel well. Do not stop taking telmisartan without talking to your doctor. Ask your pharmacist or doctor for a copy of the manufacturer's information for the patient.

**Other uses for this medicine**
Telmisartan is also used sometimes to treat congestive heart failure (condition in which the heart is unable to pump enough blood to the rest of the body) and diabetic nephropathy (kidney disease in people with diabetes and high blood pressure). 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 telmisartan, tell your doctor and pharmacist if you are allergic to telmisartan, any other medications, or any of the ingredients in telmisartan tablets. Ask your 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:
angiotensin-converting enzyme (ACE) inhibitors such as benazepril (Lotensin, in Lotrel), captopril (Captoten, in Capozide), enalapril (Vasotec, Lexxel, in Vaseretic), fosinopril (Monopril), lisinopril (Prinivil, Zestril, in Prinzide), moexipril (Univasc, in Uniretic), perindopril (Aceon), quinapril (Accupril, in Accuretic, Wuinaretic), ramipril (Altace), and trandolapril (Mavik, in
aspirin and other nonsteroidal anti-inflammatory medications (NSAIDs) such as ibuprofen (Advil, Motrin) and naproxen (Aleve, Naprosyn), and selective COX-2 inhibitor such as celecoxib (Celebrex); digoxin (Lanoxin, Lanoxicaps, Phenytek); diuretics ('water pills') including spironolactone (Aldactone, Aldactazide); lithium (Eskalith CR, Eskalith, Lithobid); and potassium supplements. 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 heart failure; blockage of the bile duct (condition when bile can not flow from the liver to the gallbladder and small intestine, which can occur with gallstones, tumors, or injury); or kidney or liver disease.

tell your doctor if you are breast-feeding.
you should know that telmisartan may cause drowsiness, dizziness, lightheadedness, or fainting. Do not drive a car or operate machinery until you know how this medication affects you.
you should know that diarrhea, vomiting, not drinking enough fluids, and sweating a lot can cause a drop in blood pressure, which may cause lightheadedness and fainting. Tell your doctor if you have any of these problems or develop them during your treatment.

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

Do not use salt substitutes containing potassium without talking to your doctor. If your doctor prescribes a low-salt or low-sodium diet, follow these directions carefully.
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?
Telmisartan may cause side effects. Tell your doctor if any of these symptoms are severe or do not go away:
- back pain
- sinus pain and congestion
- diarrhea
Some side effects can be serious. If you experience any of these symptoms, or those listed in the SPECIAL PRECAUTIONS section, call your doctor immediately:
- swelling of the face, throat, tongue, lips, eyes, hands, feet, ankles, or lower legs
- hoarseness
- difficulty breathing or swallowing
- pain and cramping in the lower leg that comes and goes during walking or exercise
- blistering of the skin or rash
Telmisartan 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 blister pack 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**
- dizziness
- fainting
- fast or slow heartbeat

**What other information should I know?**
Keep all appointments with your doctor and the laboratory. Your blood pressure should be checked regularly to determine your response to telmisartan. 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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