Zolmitriptan

**CAS Number**: 139264-17-8  
**Molecular Formula**: C₁₆H₂₁N₃O₂  
**Molecular Weight**: 287.35 g/mol  
**Systematic (IUPAC)**: (4S)-4-{{3-[2-(dimethylamino)ethyl]-1H-indol-5-yl}methyl}-1,3-oxazolidin-2-one  

**Type**: small molecule
**Description**
Zolmitriptan is a synthetic tryptamine derivative and appears as a white powder that is readily soluble in water.

**Categories**
- Vasoconstrictor Agents
- Anti-inflammatory Agents
- Anti-migraine Agents
- Selective Serotonin Agonists
- Serotonin Agonists

**Pharmacology**

**Indication**: For the acute treatment of adult migraine with or without auras.

**Pharmacodynamics**: Zolmitriptan is a selective agonist of serotonin (5-hydroxytryptamine; 5-HT) type 1B and 1D receptors. It is structurally and pharmacologically related to other selective 5-HT1B/1D receptor agonists, and has only a weak affinity for 5-HT1A, 5-HT5A, and 5-HT7 receptors and no significant affinity or pharmacological activity at 5-HT2, 5-HT3 or 5-HT4 receptor subtypes or at alpha1-, alpha2-, or beta-adrenergic, dopamine1,. dopamine2; muscarinic, or benzodiazepine receptors. This action in humans correlates with the relief of migraine headache. In addition to causing vasoconstriction, experimental data from animal studies show that Zolmitriptan also activates 5-HT1 receptors on peripheral terminals of the trigeminal nerve innervating cranial blood vessels,
which may also contribute to the antimigrainous effect of Zolmitriptan in humans.

**Mechanism of action**: Zolmitriptan binds with high affinity to human 5-HT1B and 5-HT1D receptors leading to cranial blood vessel constriction. Current theories proposed to explain the etiology of migraine headache suggest that symptoms are due to local cranial vasodilatation and/or to the release of sensory neuropeptides (vasoactive intestinal peptide, substance P and calcitonin gene-related peptide) through nerve endings in the trigeminal system. The therapeutic activity of zolmitriptan for the treatment of migraine headache can most likely be attributed to the agonist effects at the 5HT1B/1D receptors on intracranial blood vessels (including the arterio-venous anastomoses) and sensory nerves of the trigeminal system which result in cranial vessel constriction and inhibition of pro-inflammatory neuropeptide release.

**Absorption**: Mean absolute oral bioavailability is approximately 40%. Food has no affect on the rate and extent of absorption.

**Volume of distribution**: 8.4±3.3 L/kg

**Protein binding**: 25%

**Metabolism**: Hepatic. There have been three metabolites identified: indole acetic acid, N-oxide, and N-desmethyl metabolites. However, the N-desmethyl is the only active metabolite.
**Half life**: The mean elimination half-life of zolmitriptan and of the active N-desmethyl metabolite is 3 hours.

**Clearance**: 25.9 mL/min/kg

**Affected organisms**: Humans and other mammals

**Drug Class And Mechanisms**
Zolmitriptan is a drug for treating migraine headaches. Migraine headaches are believed to result from dilation of the blood vessels in the brain. Zolmitriptan causes constriction of the blood vessels and thereby relieves the pain of a migraine headache. While zolmitriptan is very effective in relieving migraine headaches, it does not prevent or reduce the number of headaches if taken prophylactically. Its mechanism of action and effectiveness are similar to those of sumatriptan (Imitrex). Zolmitriptan was approved by the FDA in November of 1997.

**Dosing**
Dosing: The initial dose is 2.5 mg or less. The dose can be repeated after 2 hours if symptoms persist. The maximum dose is 10 mg per day. Doses less than 2.5 mg can be achieved by splitting the 2.5 mg tablet. Zolmitriptan may be taken with or without food.

**Drug Interactions**
Monoamine oxidase inhibitors, for example, isocarboxazid (Marplan), phenelzine (Nardil),
tranylcypromine (Parnate), and procarbazine (Matulane)) may exaggerate the effects of zolmitriptan. Zolmitriptan directly stimulates serotonin receptors on nerves. Serotonin reuptake inhibitors (SSRIs) that are used for treating depression, for example, fluoxetine (Prozac), paroxetine (Paxil), and sertraline (Zoloft), enhance the effects of serotonin by preventing its uptake by nerves. Therefore, the combination of zolmitriptan and an SSRI may lead to exaggerated effects of serotonin, and has been reported to cause weakness, increased reflexes, and loss of coordination.

Ergots, like dihydroergotamine (DHE) and ergotamine tartrate (Cafergot) that often are used to treat migraine headaches, can cause blood vessels to go into spasm. It is possible that the combination of ergots and zolmitriptan will result in exaggerated spasm of the vessels. Therefore, it is not recommended that zolmitriptan and ergots be used within 24 hours of each other.

Cimetidine (Tagamet) may double the concentration of zolmitriptan in the blood by interfering with its elimination. Potentially, this may lead to zolmitriptan toxicity.

**Why is this medication prescribed?**
Zolmitriptan is used to treat the symptoms of migraine headache (severe throbbing headache that sometimes is experienced with other symptoms such as upset stomach and sensitivity to sound and light). Zolmitriptan is in a class of medications called selective serotonin (5-HT) receptor agonists. It works by reducing swelling of blood vessels around the brain and blocking the release of certain natural substances that cause pain, upset
stomach, and other symptoms of migraine. Zolmitriptan
does not prevent migraine attacks.

**How should this medicine be used?**
Zolmitriptan comes as a tablet and an orally
disintegrating tablet (tablet that dissolves quickly in the
mouth) to take by mouth. It is usually taken during a
migraine attack. If your symptoms improve after you
take zolmitriptan but return after 2 hours or longer, you
may take a second dose. However, if your symptoms do
not improve after you take zolmitriptan, do not take a
second dose without calling your doctor. Your doctor
will tell you the maximum number of tablets or orally
disintegrating tablets you may take in a 24-hour period.
Call your doctor if you need to take zolmitriptan to treat
more than three headaches in 1 month. Follow the
directions on your prescription label carefully, and ask
your doctor or pharmacist to explain any part you do not
understand. Take zolmitriptan exactly as directed. Do
not take more or less of it or take it more often than
prescribed by your doctor.

Do not take zolmitriptan to treat a headache that feels
different than your usual migraine attacks. Call your
doctor to find out what you should do.

If you have certain risk factors for heart disease, your
doctor may ask you to take your first dose of
zolmitriptan in the doctor's office or other medical
facility where you can be monitored for serious
reactions.

If your doctor has prescribed a dose lower than 2.5 mg,
you may use your fingers to break the 2.5-mg tablet on
the line that divides it in half. However, you should not
break or split the orally disintegrating tablet.
To take the orally disintegrating tablet, use dry hands to peel back the foil packaging. Immediately take out the tablet and place it on your tongue. The tablet will quickly dissolve and can be swallowed with saliva. No water is needed to swallow disintegrating tablets. Do not open the foil packaging or remove the orally disintegrating tablet until just before you are ready to take it. Ask your pharmacist or doctor for a copy of the manufacturer's information for the patient.

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

What special precautions should I follow?
Before taking zolmitriptan, tell your doctor and pharmacist if you are allergic to zolmitriptan or any other medications. do not take zolmitriptan if you have taken any of the following medications in the past 24 hours: other selective serotonin receptor (5-HT) agonists such as almotriptan (Axert), eletriptan (Relpax), frovatriptan (Frova), naratriptan (Amerge), rizatriptan (Maxalt), or sumatriptan (Imitrex); or ergot-type medications such as bromocriptine (Parlodel), cabergoline (Dostinex), dihydroergotamine (D.H.E. 45, Migranal), ergoloid mesylates (Germinal, Hydergine), ergonovine (Ergotrate), ergotamine (Bellergal-S, Cafergot, Ergomar, Wigraine), methylergonovine (Methergine), methylergoglycine (Sansert), and pergolide (Permax). do not take zolmitriptan if you are taking a monoamine oxidase A (MAO-A) inhibitor such as isocarboxazid (Marplan), phenelzine (Parnate), or tranylcypromine
(Nardil) or if you have taken one of these medications in the past 2 weeks.

tell your doctor and pharmacist what other prescription and nonprescription medications, vitamins, nutritional supplements, or herbal products you are taking or plan to take. Be sure to mention any of the following: acetaminophen (Tylenol); cimetidine (Tagamet); oral contraceptives ('birth control pills'); propranolol (Inderal); selective serotonin reuptake inhibitors (SSRIs) such as citalopram (Celexa), escitalopram (Lexapro), fluoxetine (Prozac, Sarafem), fluvoxamine (Luvox), paroxetine (Paxil), and sertraline (Zoloft); and selective serotonin/norepinephrine reuptake inhibitors (SNRIs) such as duloxetine (Cymbalta), sibutramine (Meridia), and venlafaxine (Effexor). Your doctor may need to change the doses of your medications or monitor you carefully for side effects.

tell your doctor if you smoke, if you or any family members have or have ever had heart disease, if you have gone through menopause (change of life), and if you have or have ever had a heart attack; angina (chest pain); pounding or irregular heartbeat; shortness of breath; a stroke or 'mini-stroke'; high blood pressure; high cholesterol; diabetes; seizures; circulation problems such as varicose veins, blood clots in the legs, Raynaud's disease (problems with blood flow to the fingers, toes, ears, and nose), or ischemic bowel disease (bloody diarrhea and stomach pain caused by decreased blood flow to the intestines); or liver or kidney disease.

tell your doctor if you are pregnant, plan to become pregnant, or are breast-feeding. If you plan to be sexually active while you are taking this medication, talk to your doctor about effective methods of birth control.
If you become pregnant while taking zolmitriptan, call your doctor.

you should know that this medication may cause drowsiness and dizziness. Do not drive a car or operate machinery until you know how zolmitriptan affects you.

talk to your doctor about your headache symptoms.

Zolmitriptan should not be used to treat certain types of migraine headaches (hemiplegic or basilar) or other types of headaches (such as cluster headaches).

if you have phenylketonuria (PKU, an inherited condition in which a special diet must be followed to prevent mental retardation), you should know that the orally disintegrating tablets contain aspartame that forms phenylalanine.

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

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

**What side effects can this medication cause?**

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

- burning or tingling feeling
- feeling warm or cold
- drowsiness
- dry mouth
- upset stomach
- heartburn
- sweating
- weakness

Some side effects can be serious. If you experience any of the following symptoms, call your doctor immediately:
pain, tightness, pressure, or heaviness in the chest, throat, or jaw
muscle aches
slow or difficult speech
dizziness or faintness
weakness or numbness of an arm or leg
fast, pounding, or irregular heartbeat
bloody diarrhea
stomach pain
paleness or blue color of the fingers and toes
shortness of breath
swelling of the eyes, face, lips, tongue, or throat,
difficulty swallowing
hoarseness
rash or lumps on the skin

**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 and any orally disintegrating tablets that you removed from the blister pack but did not use immediately. Talk to your pharmacist about the proper disposal of your medication.

**Symptoms of overdose may include**
sleepy, quiet state
**What other information should I know?**

Keep all appointments with your doctor and the laboratory.

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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