**Bosentan (Tracleer)**

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Bosentan is an oral medication approved to treat pulmonary arterial hypertension (PAH), also known as Group 1 pulmonary hypertension. The goal of this therapy is to improve exercise ability and slow disease progression.

Research studies showing the medication’s effectiveness included mostly people whose symptoms were rated as Functional Class II-III.

Tracleer was the first pill approved for PAH by the Food and Drug Administration in 2001. Generic versions are also available.

**How bosentan works**

Bosentan blocks endothelin, a substance made by the body that causes blood vessels in the arteries of the lungs to constrict. Endothelin causes abnormal growth of the muscular layer in the vessel wall, which narrows the lumen, or inside of the blood vessel. Narrowing of the blood vessels increases the pressure, making it harder for the right heart to push blood through the lungs to get oxygen.

Bosentan blocks the action of endothelin so blood vessels relax, lung pressures go down, and the right heart can more easily push blood through the lungs. Low lung pressure also reduces stress on the right heart. When the right heart function improves, it generally result in one’s ability to be more active. Research studies have verified this improvement.

**Dosage and administration**

- Tablet taken orally with or without food.
- Approved doses: 62.5 mg and 125 mg tablets.
- Your healthcare provider will determine which strength is right for you.

**Obtaining bosentan**

Bosentan has limited distribution, which means you can’t fill your prescription at a local pharmacy. It must be prescribed by a certified health care provider through the Bosentan REMS program. Insurance approval might be required before starting therapy. All women, regardless of reproductive potential, must enroll in the Bosentan REMS before starting therapy. People with reproductive potential must comply with monthly pregnancy testing and contraception requirements.

Male patients don’t have to enroll in the REMS program.

**Insurance coverage**

Most prescription insurance plans will cover the cost of this medication, but you might have an-out-of-pocket cost or copay. Ask your insurance company for more accurate information about copays or out-of-pocket costs. Depending on your insurance plan, you might be eligible for assistance from the company that manufactures the medication.
drug or copay assistance from a non-profit charitable organization. See the list or call 301-565-3004.

**Common side effects**

Bosentan is generally well tolerated. The most frequent side effects are:

- Swelling of legs or abdomen (fluid retention).
- Respiratory tract infections.
- Fluid retention is a known side effect of endothelin receptor antagonists, such as bosentan. In clinical trial studies, the swelling was generally mild and occurred more frequently in older adults. It is important to notify your physician if you experience swelling or any other side effects. Treatment may be required to promote increased fluid removal through the kidneys. That includes reducing salt and fluid in your diet and taking a diuretic.
- For some people, the red blood cell count may decrease but it rarely requires blood transfusion.
- Elevated liver function, which could indicate liver disease. Liver function is measured in blood samples and is considered elevated when enzymes are more than three times higher than the upper limit of normal. About 10% of people who take this medication are thought to have elevated liver function.
- Decreased sperm count has been observed in men taking bosentan.

**Monitoring side effects**

Due to potential liver damage, you must take liver function tests before starting therapy and every month while taking bosentan. Your health care provider will guide you on how to manage your liver enzymes, and they might reduce your dose or stop the medicine. Generally, bosentan should be stopped if abnormal liver enzymes are accompanied by signs and symptoms of abnormal liver function or injury, or by an increased total bilirubin (another blood test for liver function) to more than two times normal.

Red blood cell counts should be checked at one and three months after starting bosentan therapy. Your doctor should continue to monitor your red blood cell counts every three months while you take bosentan.

**Considerations for special populations**

**Pregnancy and breastfeeding:** Bosentan should not be used in pregnancy. Bosentan has been shown to be harmful to the fetus in research studies of rats and rabbits. Patients shouldn’t become pregnant while taking bosentan. Therefore, two forms of contraception are recommended when taking bosentan to prevent pregnancy: Surgical treatment to prevent pregnancy, such as a tubal ligation and a copper T380A or LNG 20 intrauterine device.

Because of potential harm to the fetus, women must take pregnancy tests before starting therapy and every month while taking bosentan. Patients who become pregnant while taking bosentan should notify their doctor and stop treatment immediately. It’s not known whether bosentan passes into breast milk; therefore, nursing mothers should not take bosentan.
**Liver/kidney disease:** Bosentan is not recommended in patients with significant liver disease. For patients with significant kidney disease, the dose doesn’t need to be changed.

**Allergies are possible, but not likely.** Talk to your health care provider if you feel you are having an allergic reaction to the treatment.

**Drug interactions** (see full prescribing information for details)

Bosentan is broken down by the body in a way that cause significant interactions with other drugs.

Bosentan can reduce the efficacy of birth control pills.

Bosentan should not be used with cyclosporine A or glyburide.

Ritonavir or ritonavir-containing combination drugs require a special approach and dose changes if used with bosentan. A doctor should adjust the dosage.

For people who take cholesterol-lowering medications, doctors should carefully monitor cholesterol levels to determine whether the cholesterol medication dose needs to be changed.

For patients taking rifampin and bosentan, drug levels could change.

Liver function should be carefully monitored.

Based on animal studies, patients should use caution if they take tacrolimus while taking bosentan. This hasn’t been studied in humans.

Bosentan blood levels may increase if used with ketoconazole.

While drug levels in the blood change with combined use of sildenafil and bosentan, the differences don’t appear to be clinically important.

Patients should discuss medications they take (including over the counter and herbal preparations) with their physicians to avoid potential or known drug interactions.