Minoxidil (Loniten, Rogaine)

Minoxidil (Loniten, Rogaine) is a potassium channel–opening vasodilator that acts directly on arterioles. It is reserved for cases of severe, resistant hypertension and requires concurrent use of a beta blocker and a loop diuretic to control its adverse hemodynamic effects. Topical minoxidil is FDA-approved for androgenetic alopecia, while oral minoxidil is increasingly prescribed off-label for hair loss at very low doses. The main adverse effects include reflex tachycardia, blood volume expansion, hypertrichosis, pericardial effusion, and topical dermatitis.

PLAY PICMONIC

Mechanism

Potassium Channel Opener

Open Banana Channel

The mechanism of action of minoxidil is as a potassium channel opener that acts primarily on arteriolar vascular smooth muscle. Minoxidil is metabolized to minoxidil sulfate, which activates ATP-sensitive potassium channels (KATP) in vascular smooth muscle cells, leading to membrane hyperpolarization and relaxation of arterioles. This results in a reduction of peripheral vascular resistance and a subsequent decrease in blood pressure, which is the basis for its use in severe, refractory hypertension.

Vasodilates Arterioles

Vase-dyed with Artery-O's

Minoxidil works by opening potassium channels in vascular smooth muscle, which leads to hyperpolarization and relaxation. It acts primarily on arterioles with negligible venous effects. Reducing peripheral resistance decreases afterload and improves tissue perfusion. Although cardiac output may initially increase, reflex sympathetic activation can raise heart rate and myocardial workload if not controlled.

Indications

Severe Refractory Hypertension

Severed Hiker-BP

For patients unresponsive to safer anti-hypertensive medications, oral minoxidil is indicated for the treatment of severe hypertension. Administered orally, the medication dilates arterioles to facilitate blood flow through the vasculature. It is only indicated for this if other antihypertensive agents have failed, according to ACC/AHA guidelines. It is never used as a first-line therapy due to its adverse effect profile.

Baldness (Androgenetic Alopecia)

Bald

Excessive hair growth is a characteristic side effect of minoxidil. Topical minoxidil in a 2–5% solution or foam is FDA-approved for androgenetic alopecia. Oral minoxidil at very low doses (?5 mg/day) is used off-label for several hair loss disorders, but this use is not FDA-approved.

Side Effects

Reflex Tachycardia

Reflex-hammer Tac-heart-card

Arteriolar dilation, causing blood pressure reduction, triggers reflex tachycardia. As baroreceptors in the aortic arch and carotid sinus sense a decrease in blood pressure, the vasomotor center of the medulla tries to restore normal blood pressure by increasing heart rate and myocardial contractility.

Blood Volume Expansion

Blood Volume-cup Expanding

Chronic use of arteriolar vasodilators causes a prolonged reduction of blood pressure. Decreased blood pressure triggers the adrenal glands to secrete aldosterone to promote sodium and water retention. In addition, decreasing arterial pressure leads to decreased renal blood flow and reduced glomerular

filtration rate. Decreasing the filtrate volume increases the kidney's ability to reabsorb sodium and water and leads to blood volume expansion.

Hypertrichosis

Hiker-hair

A significant percentage of patients taking minoxidil for at least 4 weeks develop hypertrichosis or excessive hair growth. The vasodilatory effects of minoxidil cause proliferation of epithelial cells at the base of the hair follicle. Hair growth begins on the face and progresses to the arms, legs, and back. Hypertrichosis may be managed with shaving or using a depilatory. Since some patients are unable to tolerate the excessive hair growth, they refuse to continue taking minoxidil.

Pericardial Effusion

Pear-heart with E-fuse fluid

Fluid retention caused by minoxidil may lead to fluid accumulation underneath the pericardium and the development of pericardial effusion. Although this condition is often asymptomatic, excessive fluid accumulation may compress the heart and lead to cardiac tamponade. Since this decreases cardiac performance, pericardiocentesis or surgical drainage is required to restore normal cardiac functioning.

Rash

Rash

Rash may occur in patients prescribed minoxidil. Oral administration of minoxidil may cause Stevens-Johnson syndrome. This rare medical emergency is characterized by a painful red or purple rash and requires hospitalization. Topical administration of minoxidil may cause local irritation at the site of application.

Considerations

Beta Blockers and Diuretics

Beta-fish with Blocks and Die-rocket

To minimize the effect of reflex tachycardia, pre-medicating the patient with a beta blocker will prevent sympathetic stimulation of the heart. Since blood volume increase negates the effect of vasodilation, diuretics are often administered to prevent fluid retention and volume expansion. If diuretic therapy is inadequate, the patient may undergo dialysis, or the medication will need to be withdrawn.