Sumatriptan

Sumatriptan is a medication from the -triptan family indicated for acute migraines and cluster headaches. This drug is quick-acting and has a short half-life of roughly 2 hours. It acts as an agonist at 5-HT_{1B/1D} autoreceptors and inhibits the firing of serotonin neurons. This causes a reduction in the synthesis and release of serotonin. After sumatriptan binds to these receptors, adenylate cyclase activity is inhibited via regulatory G-proteins, increasing intracellular Ca²⁺, and affecting other intracellular events. Eventually, this results in inhibition of trigeminal nerve firing and vasoconstriction.This drug has been documented to cause coronary vasospasm, which may lead to myocardial infarction, mild paresthesia, and an increased risk of serotonin syndrome, mostly with the concurrent use of other serotonin agonists. Sumatriptan is contraindicated in patients diagnosed with Prinzmetal's angina or severe coronary artery disease.



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Mechanism of Action

Short Half-Life
Shorts Half-Life-clock
Sumatriptan is a quick-acting medication and exhibits a short half-life, which is roughly 2 hours.

5-HT Agonist
5-HT Silver-tonic Dragonist
This drug binds to 5-HT1B/1D autoreceptors which inhibit the firing of serotonin neurons and cause a reduction in the synthesis and release of serotonin upon activation. After sumatriptan binds to these receptors, adenylate cyclase activity is inhibited via regulatory G-proteins, intracellular calcium is increased, and other intracellular events are affected. This results in vasoconstriction and inhibition of trigeminal nerve firing.

Inhibits Trigeminal Nerve Activation
Inhibiting-chain on Tri-gem Nerve
Triptans cause adenylate cyclase activity inhibition via regulatory G-proteins. This increases intracellular calcium, and affects other intracellular events, leading to inhibition of sensory nociceptive (trigeminal) nerve firing.

Induces Vasoconstriction
Vessel-constrictor
As this drug inhibits adenylate cyclase activity via regulatory G-proteins (through 5-HT autoreceptor activity), intracellular Ca2+ increases. This causes vasoconstriction and reduces vascular dilation.

Indications

Migraine
Mind-rain
This drug is indicated to treat acute migraine headache attacks.

Cluster Headache
Cluster of Head-egg lumps
Sumatriptan is efficacious in treating cluster headaches. This drug has been shown to abort cluster headaches within 15 minutes of administration in 96% of patients.

Side Effects

Increased Risk of Serotonin Syndrome
Up-arrow Risk Silver-tonic Savage
When sumatriptan is used in combination with other drugs that have 5-HT agonist function (e.g., tricyclic antidepressants as migraine prophylaxis), there is an increased risk of serotonin syndrome.

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Paresthesias

Paris T-shirt with pins and needles

Mild paresthesias including tingling and numbness are reported in up to 14% of patients who use sumatriptan.

Coronary Vasospasm

Heart Vessel-spaceship

Rarely, sumatriptan can lead to coronary vasospasm in patients, and thus should be used with caution in cardiac patients.

Contraindication

Prinzmetal's Angina

Caution-tape on Prince-metal Angel with chest-pain

Sumatriptan is contraindicated in patients with Prinzmetal's angina due to vasospastic side effects.

Coronary Artery Disease (CAD)

Heart with Archery-artery Disease

Sumatriptan is associated with a general cardiac risk and is contraindicated in patients with severe coronary artery disease (CAD).

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