Sirolimus

Sirolimus, also known as rapamycin, is an immunosuppressant that primarily works as an mTOR inhibitor. It inhibits T-cell activation and B-cell differentiation. Sirolimus is typically used in drug eluting stents to reduce stenosis and for kidney transplant rejection prophylaxis. Notable side effects include pancytopenia, insulin resistance, and hyperlipidemia.

PLAY PICMONIC

Mechanism

mTOR Inhibitor

M-Tornado with Inhibiting-chains

Sirolimus is an mTOR inhibitor. It binds to FK506 binding protein (FKBP) which leads to the inhibition of mTOR kinase. mTOR is mechanistic / mammalian target of rapamycin.

Inhibits T-cell Activation

Tennis-ball Activated with Inhibiting-chains

Sirolimus functions as a proliferation signal inhibitor by targeting the mTOR signaling pathway, an important stimulator of cell growth and proliferation. Sirolimus binds to FKBP, forming a complex that inhibits mTOR. This leads to interruption of IL-2 signal transduction, which decreases T-cell activation.

Inhibits B-cell Differentiation

Different Basketballs with Inhibiting-chains

By inhibiting the mTOR signaling pathway, Sirolimus leads to interruption of IL-2 signal transduction, preventing G1 to S phase progression and B cell differentiation. Inhibition of B-cell differentiation leads to decreased production of IgM, IgG, and IgA antibodies.

Indications

Drug Eluting Stents

Stent-tube with Med-bottles

Sirolimus is used in drug-eluting stents which decreases restenosis but increases thrombosis risk.

Kidney Transplant Rejection Prophylaxis

Kidney on Train-plant with Purple-axes

Sirolimus is used in kidney transplant patients to prevent rejection. As rejection is an autoimmune process that is often mediated by T cells, their inhibition by sirolimus decreases the incidence of rejection.

Side Effects

Pancytopenia

Pan-side-toe-peanut

Sirolimus can cause pancytopenia, which is a decrease in the number of all blood cell types (white blood cells, red blood cells, and platelets).

Insulin Resistance

Insect-syringe swatted by Resistance

Chronic use of sirolimus can cause insulin resistance.

Hyperlipidemia

Hiker-lips

Sirolimus can lead to hyperlipidemia and an increased risk of cardiovascular disease.