Raloxifene

Raloxifene is a selective estrogen receptor modulator (SERM) which acts as an agonist in bone and an antagonist in the breast and uterus. It is used to treat osteoporosis and reduce the risk of breast cancer. It also helps reduce the size of uterine fibroids. Side effects include hot flashes and thromboembolism.



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Mechanism of Action

Selective Estrogen Receptor Modulator (SERM)
Selective Easter-egg Receptor Mode-dial
Raloxifene is a selective estrogen receptor modulator (SERM). It acts as an estrogen receptor agonist in the bones. On the other hand, it acts as an estrogen receptor antagonist on the breast and uterus.

Agonist in Bone
Dragonist with Bone
Raloxifene has a similar effect as estrogen in bones by inhibiting osteoclast differentiation, which leads to decreased bone resorption.

Antagonist in Breast and Uterus
Ant-toga in Breasts and Uterus
Raloxifene has an antiestrogenic activity in the breast tissue and endometrium. The antagonist effect in endometrial tissue does not increase the risk of endometrial cancer development. This is different from other SERMs such as tamoxifen, which acts as a partial agonist on the endometrium and results in an increased risk of endometrial cancer.

Indications

Osteoporosis
Ostrich-with-porous bones
Osteoporosis is most commonly seen in postmenopausal patients and is characterized by the deficiency of estrogen. Raloxifene acts as an agonist on estrogen receptors in the bone and will preserve bone mineral density in patients with osteoporosis. This treatment will help to prevent complications such as fracture.

Breast Cancer Prophylaxis
Breasts Tumor-guy Purple-axes
Raloxifene has antiestrogenic activity in breast tissue, making it useful in reducing the risk of breast cancer. It can decrease the incidence of invasive breast cancer by up to 72%.

Uterine Fibroids
Uterus Fiber-net
Raloxifene is the most studied SERM for the treatment of uterine fibroids. Data is still limited, but it has been shown to decrease the size of fibroids.

Side Effects

Hot Flashes
Burning Flash
One of the most common side effects of raloxifene is hot flashes. It occurs in roughly 10% of patients.

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Thromboembolism

Trombone-Elmo

Raloxifene increases the risk of deep vein thrombosis (DVT), pulmonary embolism, and retinal vein thrombosis. These complications can occur within the first four months of treatment. If the patient has a history of thrombosis, raloxifene should be avoided. Raloxifene should be discontinued at least 72 hours before and during immobilization (e.g., post-surgical recovery).

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