Pros and cons of existing treatment modalities in osteoporosis: a comparison between tibolone, SERMs and estrogen (+/-progestogen) treatments.

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Tibolone, selective estrogen receptor modulators (SERMs) like tamoxifen and raloxifene, and estrogen (+/-progestogen) treatments prevent bone loss in postmenopausal women. They exert their effects on bone via the estrogen receptor (ER) and the increase in bone mass is due to resorption inhibition. The effect of SERMs on bone mineral density is less than that with the other treatments, but the SERM raloxifene still has a positive effect on vertebral fractures. In contrast to tibolone and estrogens (+/-progestogen), SERMs do not treat climacteric complaints, whilst estrogen plus progestogen treatments cause a high incidence of bleeding. Estrogen plus progestogen combinations have compromising effects on the breast. Tibolone and SERMs do not stimulate the breast or endometrium. Unlike SERMs, tibolone does not possess antagonistic biological effects via the ER in these tissues. Estrogenic stimulation in these tissues is prevented by local metabolism and inhibition of steroid metabolizing enzymes by tibolone and its metabolites. SERMs and estrogen (+/-progestogen) treatments increase the risk of venous thromboembolism (VTE), whilst estrogen (+/-progestogen) combinations have unwanted effects on cardiovascular events. So far, no detrimental effects of tibolone have been observed with respect to VTE or cardiovascular events. The clinical profile of tibolone therefore has advantages over those of other treatment modalities. It is also clear that tibolone is a unique compound with a specific mode of action and that it belongs to a separate class of compounds that can best be described as selective, tissue estrogenic activity regulators (STEARs).

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