

Tibolone (Livial®)

<http://womenshealth.med.monash.edu.au>

Tibolone is a unique chemical compound that provides an alternative to conventional hormone therapy (HT) for the treatment of postmenopausal women who are experiencing symptoms due to lack of oestrogen. These may include hot flushes, night sweats, vaginal dryness, disturbed sleep, mood swings and loss of interest in sex.

How does Tibolone work?

Tibolone itself has very weak actions in the body. However after being taken, Tibolone is partly activated in the gut and then released into the blood stream. Final activation of Tibolone occurs in the 'target tissues' such as the brain, bone, uterus and so forth.

The unique feature of Tibolone is that different tissues in the body activate Tibolone in different ways. Therefore in the brain, bone and vagina Tibolone is activated to an oestrogen-like form, hence reducing hot flushes, bone loss and vaginal dryness.

In contrast in the uterus Tibolone is converted to an active form that behaves more like progesterone, which protects the lining of the uterus.

Tibolone can also be converted to an active form which has weak testosterone action. As a result women may experience an improvement in mood and in sexual interest when they use Tibolone. In the breast, Tibolone behaves differently and appears to inhibit its own activation and the activation of oestrogen. Therefore it is uncommon for women using Tibolone to experience breast tenderness.

Who would benefit from Tibolone?

Tibolone will reduce flushes and sweats, improve vaginal dryness and sleep in most women experiencing these symptoms after menopause.

It is recommended that for a woman who has not had a hysterectomy, Tibolone not be taken until she has had 12 months without a normal menstrual bleed.

Women who have had a hysterectomy and are experiencing menopausal symptoms can commence Tibolone from the onset of their symptoms.

Tibolone should not be taken in combination with other hormone therapy. It should not be combined with testosterone.

Although not specifically approved for the treatment of osteoporosis, there is evidence that Tibolone prevents postmenopausal bone loss, particularly from the spine, after menopause.

In postmenopausal women Tibolone may improve sexual desire, arousal and satisfaction.

Are there any side-effects or risks with Tibolone?

- In large carefully conducted clinical studies Tibolone has not been shown to increase the risk of thrombosis (blood clots), heart attack or cancer of the uterus.
- In contrast to oestrogen and progestin therapy, Tibolone does not adversely affect the appearance of mammograms and is not associated with an increased likelihood of breast tenderness.
- Occasionally women report fluid retention and mild weight gain with Tibolone.
- Vaginal bleeding or spotting may occur in women just after commencing Tibolone, however this is uncommon.
- Tibolone reduces the liver production of a protein called sex hormone binding globulin (SHBG). SHBG binds testosterone so lower SHBG levels means that more testosterone is free in the circulation and can act in cells. For women with low to normal testosterone levels this effect may contribute to enhanced sexual function with Tibolone therapy. However, the lowered SHBG may result in androgenic side effects like acne and increased hair growth in a small number of women.
- Although Tibolone is mostly mood enhancing, occasionally women experience depressed mood on Tibolone. This is possibly due to its progestogenic effects
- In the LIFT study (a study of the use of Tibolone to prevent fractures in women over 60 years) an increase in the risk of stroke was reported. This effect is similar to that seen for women who commence oestrogen over the age of approximately 60 years.