ELSEVIER

EJC Supplements Vol 2 No. 9 (2004) 78

EJC Supplements

www.ejconline.com

E27. Tibolone. A viable alternative to hormonal therapy: impact on the endometrium

J.M. Foidart

University of Liege, B-4000 Liege, Belgium

Menopausal symptoms are the main reason women use post-menopausal hormonal therapy. Clinical studies have established that tibolone exerts a beneficial oestrogenic impact with regard to hot flushes and vaginal dryness.

Tibolone is structurally related to the 19-nortestosterone progestins used clinically in oral contraceptives, but its activity depends on how it is metabolised by humans and non-human primates. Its three main biologically active metabolites are the 3α-hydroxy (3α-OH) metabolite and the 3β-hydroxy (3β-OH) metabolite, which have oestrogen agonist properties, and the Δ-4 ketoisomer which has progestogenic and androgenic effects.

Tibolone's conversion into metabolites occurs chiefly in the liver and intestine, but important tissue-selective effects are explained by specific local-tissue metabolism. The Δ-4 isomer is produced primarily within the endometrium, binds to the progesterone receptor, and thereby protects the endometrium from the agonist effects of the two oestrogenic metabolites.

As a consequence, tibolone does not cause endometrial proliferation. This protective effect has been documented in long-term (up to 8 years) human studies. Its endometrial safety is comparable to that achieved with continuous combined oestrogen–progestin regimens, but with a lower rate of breakthrough bleeding. In addition, amenorrhoea is achieved more rapidly, since 90% of tibolone-treated women are amenorrhoeic by 6 months.

Altogether, many studies indicate that tibolone is an appropriate choice for hormonal therapy, suitable for most post-menopausal women.

Evidence to date, in human and monkey studies suggests that tibolone provides an adequate safety with regard to its effects on the endometrium and is a welcome addition to the classical oestrogen + progestin hormonal treatment available for post-menopausal women.

E-mail address: jmfoidart@ulg.ac.be.

1359-6349/$ - see front matter © 2004 Published by Elsevier Ltd.
doi:10.1016/j.ejcsup.2004.08.030