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Estradiol Valerate/Dienogest
A Viewpoint by Margaret Rees

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Estradiol valerate/dienogest is an oral continuous-combined hormone replacement formulation approved for the treatment of climacteric symptoms. Dienogest is a hybrid progestogen that combines the properties of both progesterone and 19-nortestosterone derivatives, binding to the progesterone receptor and having anti-androgenic activity. Dienogest has a 17α-cyanomethyl group instead of the 17α-ethinyl group typical of the common 19-nortestosterone derivatives.

The combination of dienogest with estradiol valerate alleviates menopausal symptoms such as hot flushes, and results from a large trial showed that it is as effective as a currently used formulation containing estradiol 2mg/estriol 1mg/norethisterone acetate 1mg. The amenorrhoea rate after administration of the formulation containing estradiol valerate 2mg/dienogest 2mg is comparable to that produced by estradiol 2mg/estriol 1mg/norethisterone acetate 1mg; the mean number of bleeding episodes per patient over 12 months was 6.2 and 6.5, respectively. Paradoxically, when the dose of dienogest is raised bleeding is significantly increased. Atrophic material was found in 91% of biopsies after 12 months of treatment with estradiol valerate 2mg/dienogest 2mg. The tolerability of estradiol valerate/dienogest is good.

Compliance is an important issue in hormone replacement therapy, with the benefits being lost when treatment is stopped. In Western Europe, frequency of use varies between 3 and 45%. However, in most Western European countries, the frequency is below 20%, and over 50% women will have stopped therapy after one year.[1] Studies which have examined the reasons as to why women stop therapy emphasise the dislike of continued menstruation, adverse effects, lack of efficacy and concerns about long-term risks. Thus, compliance is greater in those taking a continuous-combined regimen.[2] The availability of a continuous-combined formulation with a novel progestogen will increase the choices available, and the anti-androgenic activity will be attractive to women with hyperandrogenism. ▲

References

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