NEW VARIATION OF SYNTHESIS OF d,*l*-ESTRA-3,17β-DIOL

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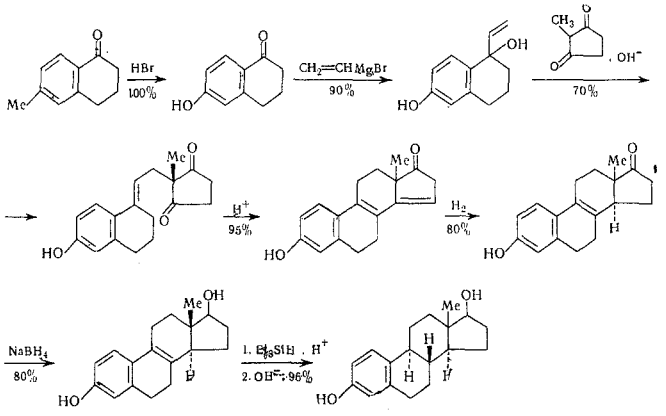
One of the important steps in the total synthesis of natural estrogens is the trans-reduction of the $\Delta^{8(9)}$ double bond, which is accomplished by the Birch method. However, the latter is not applicable to 3-hydroxysteroids [1, 2]. We established that the ionic reduction of $\Delta^{8(9)}$-dehydroestradiol with a mixture of Et_3SiH and CF_3COOH, with subsequent saponification of the formed trifluoroacetates, gives d,*l*-estradiol in 96% yield. These data made it possible to propose a new variation for the total synthesis of d,*l*-estradiol, starting with 6-hydroxytetralone, by the following scheme*



The overall yield of d,*l*-estradiol is 37% when based on 6-methoxytetralone, which exceeds the yields of the known methods.

LITERATURE CITED

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2. G. H. Douglas, J. M. H. Graves, D. Hartley, G. A. Hughes, B. J. McLoughlin, J. Siddal, and H. Smith, J. Chem. Soc., 5072 (1963).

* The first compound is 6-methoxytetralone.

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