SYNTHESIS OF 16α,17α-EPOXYCORTICOSTERONE FROM ANDROSTA-4,9-DIENE-3,17-DIONE

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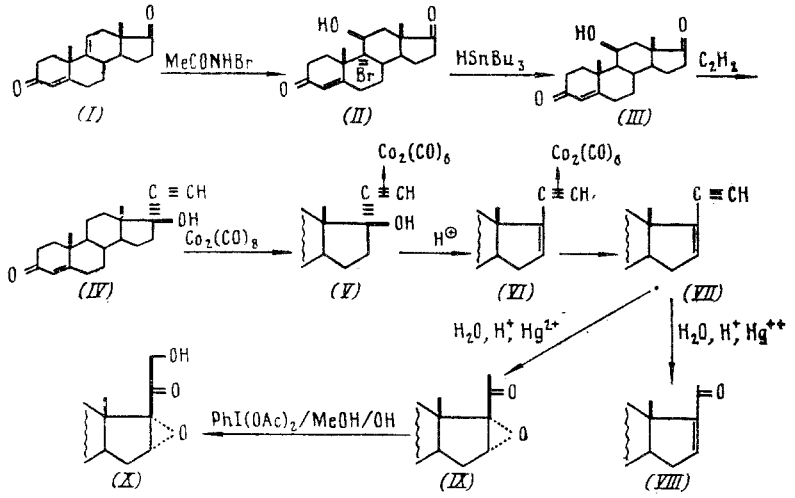
16α,17α-Epoxycorticosterone (X) is a valuable intermediate in the synthesis of various corticosteroids. However, the reported microbiological pathway for the preparation of (X) is inefficient [1]. A new synthetic approach to (X) has been developed, which is based on use of presently available androsta-4,9-diene-3,17-dione [2]. The key steps in the proposed sequence are ethynylation of 11β-hydroxyandrostenedione (III), not requiring protection of the Δ^4-3 keto group, and use of hexacarbonyldicobalt protection for the selective dehydration of the tertiary 17β-hydroxyl group and the $PhI(OAc)_2$/MeOH/$^-$OH oxidizing system for C^{21}-hydroxylation of 11β-hydroxy-16α,17α-epoxyprogesterone (IX).



LITERATURE CITED

1. US Patent No. 2,835,683 (1958); Chem. Abstr., 52, 16424q (1958).
2. US Patent No. 0294911 (1988); Chem. Abstr., 108, 221967 (1988).

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