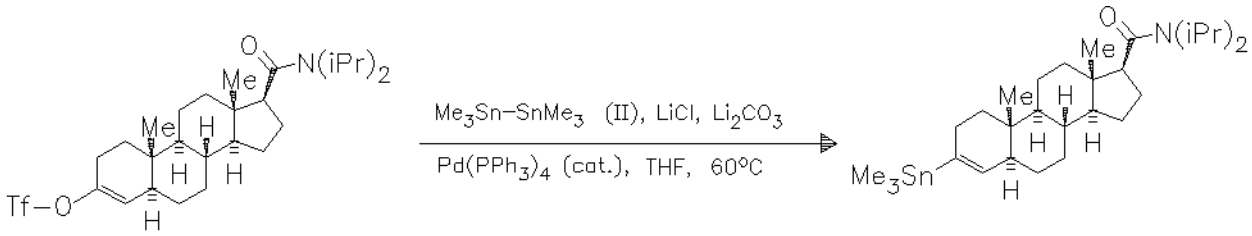
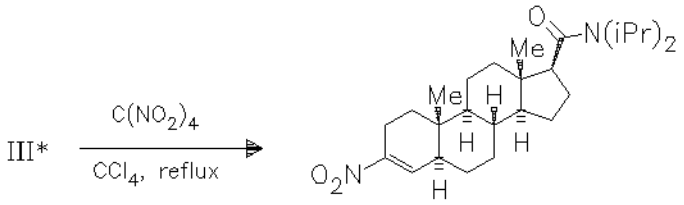
steroids
U 0300
38 - 236

Inhibition of Steroid 5α-Reductase by 3-Nitro Steroids: Synthesis, Mechanism of Inhibition, and in vivo Activity. — Palladium-catalyzed reaction of the enol triflate (I) with hexamethyldistannane (II) forms the trimethylstannyl derivative (III) which is treated with tetranitromethane to produce the 3-nitro steroid (IV). Alternatively, reduction of the dienol triflate (V) followed by nitration with ammonium nitrate yields the 3-nitro steroid (VII). Further syntheses of 3-nitro steroids are described in the original paper. From the compounds prepared, the derivative (IV) shows the best inhibition of the title enzyme. — (HOLT, D. A.; LEVY, M. A.; YEN, H.-K.; OH, H.-J.; METCALF, B. W.; WIER, P. J.; Bioorg. Med. Chem. Lett. 1 (1991) 1, 27-32; Dep. Med. Chem., SmithKline Beecham Pharm., King of Prussia, PA 19406, USA; EN)

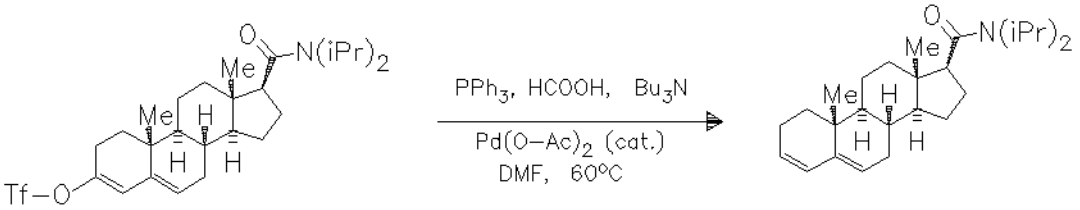


I* Tf: $-SO_2-CF_3$

III* 70%

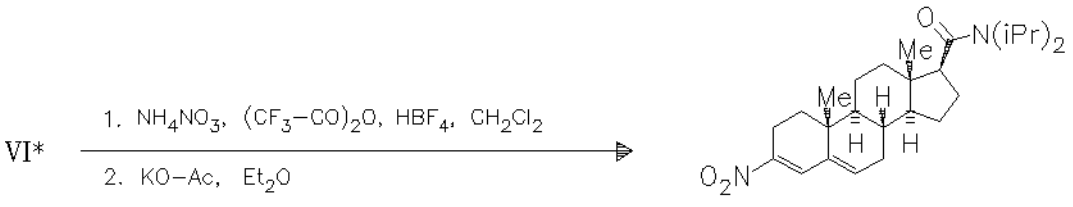


IV* 45%



V*

VI* 88%



VII* 65%