One-Pot Ethynylation and Catalytic Desilylation in Synthesis of Mestranol and Levonorgestrel

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A one-pot ethylnylation and catalytic desilylation reaction was developed for the synthesis of mestranol and levonorgestrel. Addition of trimethylsilylacetylide to the carbonyl group at C-17 of the steroids yielded the C-17α-trimethylsilylacetylenyl adducts, which were desilylated with a catalytic amount of TBAF (0.050 equiv) in one pot to provide the corresponding mestranol and levonorgestrel both in 90% yields. A plausible mechanism was proposed for the catalytic desilylation through the regeneration of the fluoride ion from the reaction of alkoxide on the steroid with Me_3SiF. The one-pot ethynylation and catalytic desilylation methodology provided an alternative route and avoided the traditional use of flammable and explosive acetylene gas toward the synthesis of mestranol and levonorgestrel.