

English Abstract

The new diastereoselective synthesis of estrone precursor and enantioselective synthesis of (-)-methoxyestrone are described in this work. The diastereoselective synthesis was based on 2 Bu_2ZrCp_2 mediated reactions followed by Pauson-Khand cyclocarbonylation. The sequence of reactions yielded 16-keto-17-methylestratetraene, compound with tetracyclic steroid framework, with excellent diastereoselectivity. Synthesis was finished with chemoselective reduction of the keto group in 16-keto-17-methylestratetraene to furnish 17-methylestratetraene, which is known precursor of estrone. The enantioselective synthesis was based on a conjugate addition of vinylmagnesium bromide to aldimine formed from 1-formyl-3,4-dihydro-6-methoxynaphthalene and (L)-*t*-leucine *t*-butyl ester, which afforded crucial chiral intermediate 1-formyl-3,4-dihydro-6-methoxy-2-vinyl-naphthalene with very high ee > 98 %. Further transformations led to the construction of alkyl side chain containing triple bond and finally, the Pauson-Khand cyclocarbonylation followed by chemoselective reduction of carbonyl group gave estrone precursor, which was converted to (-)-methoxyestrone according known procedure.

