DIASTEREOSELECTIVE SYNTHESIS OF 1-[2-(3′,4′-DIMETHOXY-PHENYL)ETHYL]-2-PHENYLDECAHYDROQUINOLINE-4-ONE

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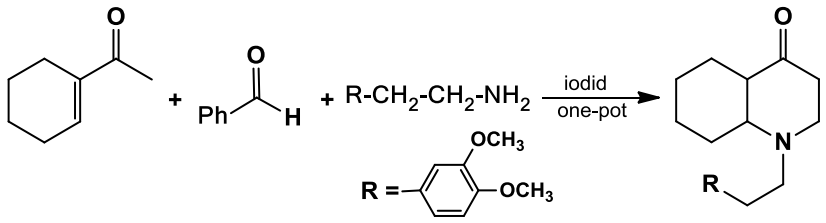
Last years, the chemistry of decahydroquinoline alkaloids has received a new impulse in the development. The literary data on biological activity of decahydroquinoline alkaloids, and also the experience stored by this time on their synthesis opens prospects for creation of new more effective medical preparations products with directional effect and agrochemicals. Decahydroquinoline backbone is a structural basis of many natural alkaloids (lepadines, pumiliotoxins at al.) in possession of different biological activity. Introduction in to decahydroquinoline skeleton the pharmacogenetic fragments often meeting in structure of natural alkaloids and working out of the directed synthesis of new highly effective biologically active compounds is an actual problem of modern organic chemistry.

Such approach used at preparation of 1-[2-(3′,4′-dimethoxyphenyl)ethyl]-2-phenyldecahydroquinoline-4-one. Earlier the synthesis of this decahydroquinolone is carried out by heterocyclization of styryl-1-cycklohexenylketon with homoveratrylamine in absolute ethanol. As a result of reaction a mix of a *trans*- and *cis*-aminoketones is produced, yield 67%, with considerable prevalence of a *trans*-isomer in which the phenyl group at C^2 is focused equatorially. The content of a *cis*-isomer about 2 % [1].

For the purpose of yield increase it is carried out one-stage diastereoselective synthesis of this aminoketone (4) by stirring of equimolar mix of benzaldehyde, homoveratrylamine and acetylhexene at room temperature in presence of trace of iodine. Synthesis goes stereo-directly with formation only one stereoisomer with a *trans*-joint of cycles and equatorial orientation of phenyl group at C^2.



The structure of aminoketone is determined with the help of IR-, a nuclear magnetic resonance (NMR) ^1H spectroscopy.

REFERENCES

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