Chemistry of Heterocyclic Compounds, Vol. 41, No. 12, 2005

NOVEL APPROACH TO SYNTHESIS OF 1',4'-DIHYDRO-2,3'-BIQUINOLINES

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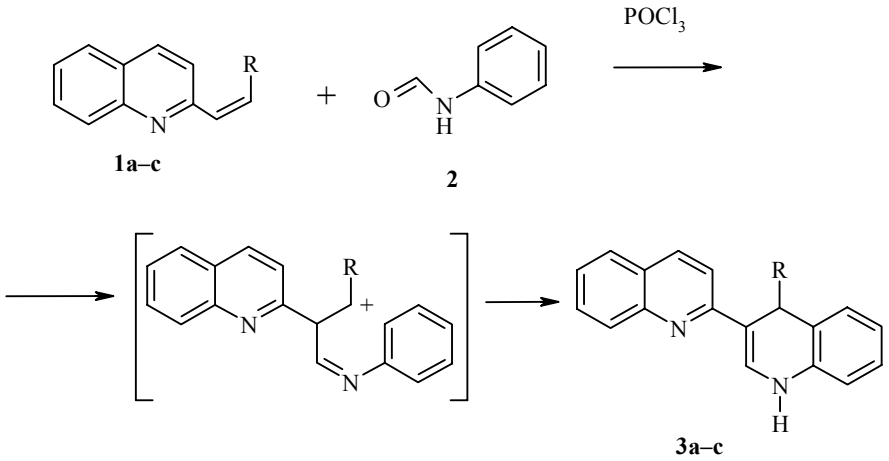
Keywords: 2,3'-biquinoline, 2-vinylquinolines, 1',4'-dihydro-2,3'-biquinolines, formanilide, cyclization.

A number of methods have been previously developed for synthesis of 1',4'-dihydro-2,3'-biquinolines **3**, including the reaction of 2,3'-biquinolines and 1',4'-dihydro-2,3'-biquinoline with organometallic compounds [1, 2] and halogenated derivatives in the presence of alkali metals [3], alkylation and arylation of the 2,3'-biquinoline dianion [4, 5]. The only example of synthesis of compounds **3** from quinolines is coupling of two quinoline molecules with each other when treated with sodium hydride in the presence of strong bases [6], but this method does not allow us to obtain the dihydro derivatives **3** with a substituent at the position 4'. In this paper, we propose a method for synthesis of 1',4'-dihydro-2,3'-biquinolines **3** from accessible quinoline derivatives. We have established that when 1 mmol of compounds **1a-c**, 1.1 mmol of formanilide, and 2 mmol of $POCl_3$ in 5 ml of chloroform are boiled for 2.5 h and then treated with water and an ammonia solution, the dihydro derivatives **3** are formed in 56-76% yield. Compounds **3** were purified by chromatography.



1, 3 a R = H, **b** R = Me, **c** R = Ph

1',4'-Dihydro-2,3'-biquinoline (3a, $C_{18}H_{14}N_2$). Yield 56%. R_f 0.77 (Silufol UV-254, ethyl acetate); mp 209-211°C (benzene). According to the data in [6]: mp 209-211°C. The ^{1}H NMR spectrum matches the spectrum given in [6].

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4'-Methyl-1',4'-dihydro-2,3'-biquinoline (3b, $C_{19}H_{16}N_2$). Yield 59%; mp 148-149°C (benzene), R_f 0.44 (Silufol UV-254, 1:1 ethyl acetate–hexane). According to the data in [1-4]: mp 148-149°C. The ^{1}H NMR spectrum matches the spectrum given in [1].

4'-Phenyl-1',4'-dihydro-2,3'-biquinoline (3c, $C_{24}H_{18}N_2$). Yield 76%; mp 213-214°C (benzene), R_f 0.32 (Silufol UV-254, 1:1 ethyl acetate–hexane). According to the data in [5]: mp 213-214°C. The ^{1}H NMR spectrum matches the spectrum given in [5].

This research was conducted with the financial support of a grant from the President of the Russian Federation for Supporting Young Russian Scientists and Leading Scientific Schools of the Russian Federation (grant No. MD-51.2003.03).

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