Interaction of Benz-Substituted 2-Chloro-4-Methylquinolines with 2-Mercaptoaniline

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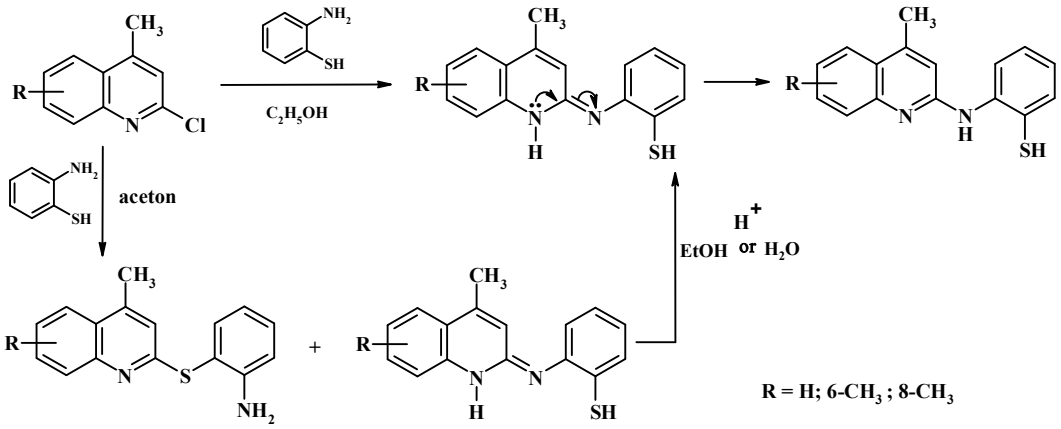
It is known that functional derivatives of quinolines are widely used as effective drugs [1]. The quinoline ring is also common in number of biological important natural products [2-4]. Among nitrogen and sulfur containing heterocycles a special place is reserved for derivatives of amino- and mercaptoquinolines possessing antitumor, analgesic, antibacterial, and other activities [5]. We report reactions of nucleophilic substitution by o-mercaptoaniline of benz-substituted 2-chloro-4-methylquinolines [6]. It was shown that the reaction between equivalent quantities of the above compounds by heating in ethanol in the presence of catalytic amount of hydrochloric acid in 5–6 h resulted in the formation of the corresponding substituted 2-((2-mercaptophenyl)imino)-4-methyl-1,4-dihydroquinolines in high yields, in the form of yellow crystals. The same reaction in acetone solution was completed in two days at room temperature to produce the mixture of corresponding substituted 2-((2-aminophenyl)thio)-4-methylquinolines and 2-((2-mercaptophenyl)imino)-4-methyl-1,4-dihydroquinolines. By subsequent treatment of the resulting mixture with hydrochloride solution in water or ethanol the same yellow crystals of 2-((2-mercaptophenyl)imino)-4-methyl-1,4-dihydroquinolines were also could be abtained.



The last compounds were transformed into colorless 2-((2-mercaptophenyl)amino)-4-methylquinolines by boiling in ethanol and aprotic polar solvents as well as during aging at room temperature evidently through isomerization according to the scheme.

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