

Some Transformations of the 5,7-difluoro-8-chloroquinoline

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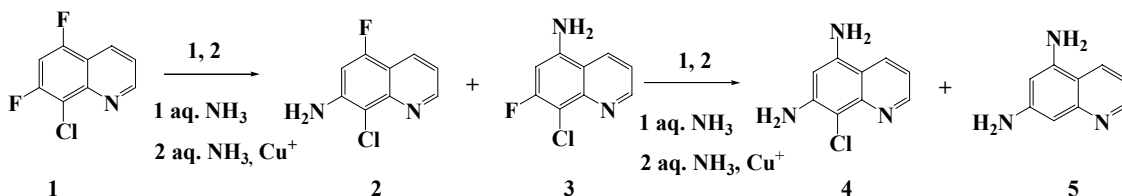
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Fluorine-containing heteroarenes, in particular quinolines, are of great interest as potential biologically active compounds. In this connection, the problem of working out rational approach for the synthesis¹ and functionalization of compounds of this type is important.

Reaction of 5,7-difluoro-8-chloroquinoline (**1**) with aqueous ammonia in a rotating steel high-pressure vessel under mild conditions either in the presence or in the absence of a copper(I) salt leads to isomeric 5-fluoro-8-chloro-7-aminoquinoline (**2**) and 7-fluoro-8-chloro-5-aminoquinoline (**3**), with the former being predominant.

Ammonolysis of quinoline **1** under hard conditions in the absence of copper(I) salt a double aminodefluorination occurs to yield 8-chloro-5,7-diaminoquinoline (**4**), which is partially accompanied by hydrodehalogenation leading to 5,7-diaminoquinoline (**5**), being more rapid in the presence of a copper(I) salt.



It was found that **1** reacts with zinc in aqueous ammonia at ambient temperature giving rise mainly **5** and 5,7-difluoro-8-chlorodihydroquinoline (**6**) – products of the competing hydrodehalogenation and reduction of pyridine moiety, respectively; the latter being prevailing. The compounds **2**, **3** and **4** did'nt react under the similar conditions.

[1] G.A. Selivanova, L.Yu. Gurskaya, L.M. Pokrovsky, V.F. Kollegov, V.D. Shteingarts J. Fluorine Chem. 2004. in press