New Cyclocondensation of 2-Acylethynyl-1-amino- and 2-Alkoxycarbonylethynyl-1-aminoanthraquinones with Pyridines

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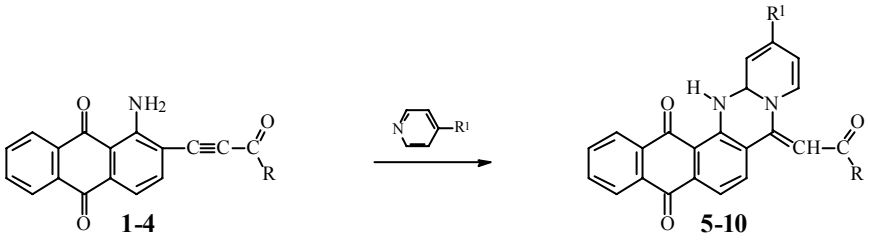
Interaction of *vic*-acylethynyl(amino)- and *vic*-alkoxycarbonylethynyl(amino)anthraquinones with secondary and primary amines results in the formation of aminovinylic adducts, which are cyclized to naphthoquinolinediones and naphthoquinolinetriones. Continuing the study of heterocyclization of these acetylenic compounds, we resorted to the potentially possible addition of azines. It was expected that one of possible pathways for transformation of betaine adducts formed in this case wold be their intramolecular cyclization.

It was found that 2-acylethynyl-1-amino-(**1-3**) and 2-alkoxycarbonylethynyl-1-aminoanthraquinones (**4**), being dissolved in pyridine or its derivatives, added them at the triple bond and was subsequently cyclized to form a bond between the nitrogen atom of the amino group and α-carbon atom of the pyridine ring. The products of this reaction are substituted 4a-aza-4a,5,14,14a-tetrahydronaphtho[2,3-*c*]acridine-8,13-diones (**5-10**).



1: R = Ph; **2:** R = Pr-*i*; **3:** R = Bu-*t*; **4:** R = OEt

5: R = Ph, R^1 = H; **6:** R = Ph, R^1 = Me; **7:** R = Ph, R^1 = –CH=CH_2; **8:** R = Pr-*i*, R^1 = H; **9:** R = Bu-*t*, R^1 = H; **10:** R = OEt, R^1 = H

The cyclocondensation time of acetylenes **1-4** is 4 to 15 hours at 35°C. Azatetrahydronaphthoacridinediones **5-10** are chemically labile. Compounds **5-7**,**10** are more stable. They were isolated in 74-86% yields.

An attempt to extend this reaction to similar derivatives of benzene was unsuccessful. *ortho*-Benzoylethynylaniline did not react with pyridine at 20°C for several days as well as at short boiling.

Thus, the new cyclocondensation reaction offers a way to derivatives of the unknown before 4a-aza-4a,5,14,14a-tetrahydronaphtho[2,3-*c*]acridine-8,13-dione system hardly accessible by other methods.