Synthesis of Benz[*g*]indole-6,9-diones and Benzo[*h*]quinoline-7,10-diones – New Heterocyclic Quinonic Systems

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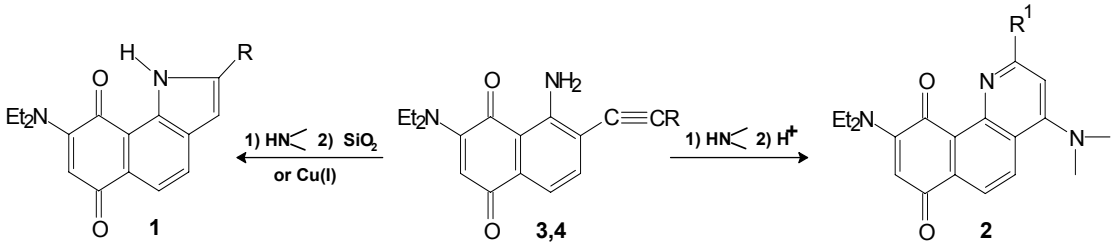
Many N-heterocyclic derivatives of quinones are of importance as biologically active compounds. Earlier we developed methods for annulation of anthraquinone by pyrrole, pyrazole, pyridine, pyridazine and diazepine rings *via* its acetylenic derivatives. In this work some of the methods are applied to compounds of the 1,4-naphthoquinone series.

Substituted benz[*g*]indole-6,9-diones **1** and benzo[*h*]quinoline-7,10-diones **2** were synthesized by heterocyclization of 6-alkynyl-5-amino-3-diethylamino- (**3**) and 6-acylethynyl-5-amino-3-diethylamino-1,4-naphthoquinones (**4**). The quinone ring in these compounds was blocked by the diethylamino group to avoid competitive reactions with nucleophiles in the course of the synthesis.



Indoles **1** were prepared by heating of key acetylenes **3** in DMF in the presence of Cu(I) or by addition of piperidine to **3** and subsequent cyclization of the formed adduct on SiO_2. The method for synthesis of quinolines **4** included addition of secondary amines to acetylenic ketones **4** followed by cyclization of the adducts in a mixture of benzene-12% HCl. The yields of target heterocycles **1**, **2** were 50-90 %.