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SYNTHESIS OF ANTHRA[1,9-cd]-6-ISOXAZOLONES UNDER INTERPHASE-CATALYSIS CONDITIONS

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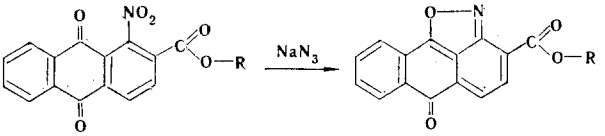
It has been shown [1] that 1-azidoanthraquinones (II) are formed in the reaction of 1-nitroanthraquinones (I) with alkali metal azides in polar aprotic solvents. Anthra[1,9-cd]-6-isoxazolones (III) were synthesized by thermal cyclization of these products in nonpolar solvents [2], whereas the thermolysis of azides II in aprotic solvents leads to reductive cleavage of the resulting isoxazolone [3] and does not make it possible to synthesize heterocycles III in one step.

We have found that anthra[1,9-cd]-5-isoxazolones IIIa,b are formed when 1-nitroanthraquinones Ia,b are refluxed for 5 h with NaN_3 (in a molar ratio of 1:3) in toluene in the presence of 18-crown-6 ether or dicyclo-18-crown-6 ether.



I a, b III a, b

I, III a R=*n*-C_3H_7; b R=*n*-C_4H_9

The structure of isoxazolones IIIa,b was proved by their independent synthesis from the known [1] 1-azido-2-alkoxycarbonylanthraquinones, and their compositions were proved by the results of elementary analysis. 3-n-Propoxycarbonylanthra[1,9-cd]-6-isoxazolone (IIIa), with mp 158-159°C (from chlorobenzene), was obtained in 65% yield. UV spectrum (dioxane), λ_{max} (log ε): 460 nm (4.0). 3-n-Butoxycarbonylanthra[1,9-cd]-6-isoxazolone (IIIb), with mp 167-168°C (from toluene), was obtained in 84% yield. UV spectrum (dioxane), λ_{max} (log ε): 460 nm (4.0).

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