LITERATURE CITED

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NEW METHOD FOR THE SYNTHESIS OF PYRROQUINOLINES

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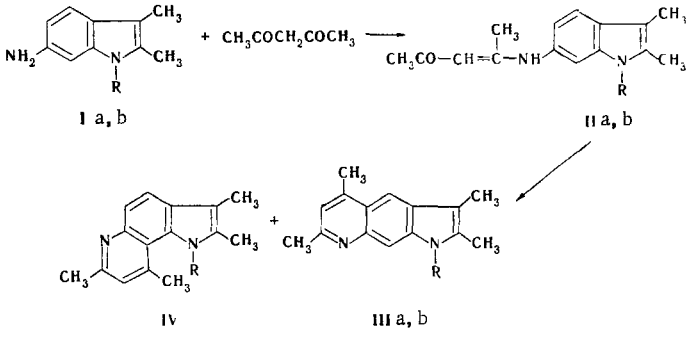
It has been found that the reaction of substituted 6-aminoindoles with 1,3-diketones in neutral media gives 3-(indolylamino)vinyl ketones, which, under the influence of strong acids, are cyclized to substituted pyrroquinolines with linear or angular fusion of the rings (see the diagram below).



I a, b — II a, b — IV — III a, b

I-III a R = H; b R = CH_3; IV R = H

The primary formation of one or the other isomer, i.e., the direction of the cyclization, depends basically on the steric requirements of the substituent attached to the pyrrole nitrogen atom of the indole.

Thus, when 4-[(2,3-dimethyl-6-indolyl)amino]pent-3-en-2-one (IIa, R = H), obtained by refluxing aminoindole Ia in excess acetylacetone (30 min), is heated in trifluoroacetic acid (for 1 h), it gives a mixture of two isomeric pyrroquinolines; the linear isomer (IIIa) and the angular isomer (IV) in a ratio of 4 : 1. 4,6,8,9-Tetramethylpyrro[3,2-g]quinoline (IIIa) was separated by recrystallization from ethanol and had mp 252-253°. The PMR spectrum (of a solution in dimethyl sulfoxide–acetone) contains three singlets of 3-H, 5-H, and 8-H protons (6.9, 7.68, and 7.85 ppm). 2,4,8,9-Tetramethylpyrro[2,3-f]quinoline (IV) was isolated preparatively on a loose thick layer of aluminum oxide and had mp 219-220°. The PMR spectrum (of a solution in the same solvent) contains a 3-H singlet (7.06 ppm) and two doublets of ortho-coupling 6-H (7.67 ppm, $J_{6,7} = 8$ Hz) and 7-H (7.46 ppm, $J_{7,6} = 8$ Hz) protons. Under the same conditions, 4-[(1,2,3-trimethyl-6-indolyl)amino]pent-3-en-2-one (IIb, R = CH_3) forms only linear 1,4,6,8,9-pentamethylpyrro[3,2-g]quinoline (IV) with mp 184-185°. The aromatic region in the spectrum of IIIa.

The results of elementary analysis (for C and H) and the molecular weight (obtained by mass spectrometry) for IIIa,b and IV were in agreement with the calculated values.

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