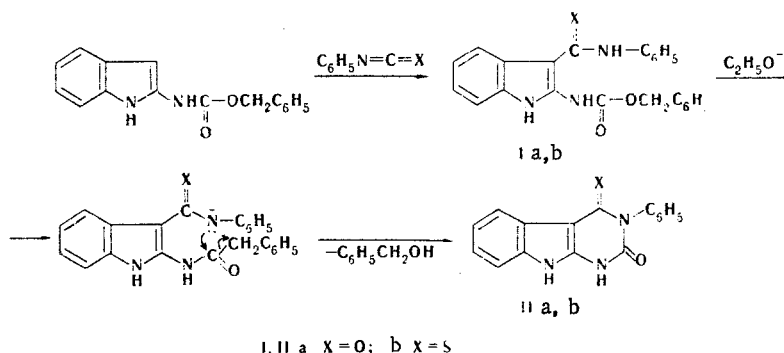


We have found a method for the synthesis of pyrimido[4,5-b]indole derivatives (IIa,b) by cyclization of 2-acylaminoindole-3-carboxamides (Ia,b) under the influence of a strong alkaline agent:



Thus amide Ia (mp 177-178°) or thioamide Ib (mp 188-190°) undergoes intramolecular cyclization at room temperature with an alcohol solution of sodium ethoxide with splitting out of a molecule of benzyl alcohol. Amide Ia yields 2-phenyl-1,3-dioxo-1,2,3,4-tetrahydropyrimido[4,5-b]indole (IIa), with mp 190-191° (from propanol), in 91% yield. UV spectrum (in CHCl₃), λ_{\max} (log ϵ): 285 (4.2) and 305 nm (4.24). The IR spectrum contains absorption bands at 1720 and 1630 cm⁻¹. Thioketone IIb, with mp 305-308° (dec., from propanol), was similarly obtained in 90% yield from thioamide Ib. UV spectrum (in CHCl₃), λ_{\max} (log ϵ): 262 (4.31) and 352 nm (4.32). IR spectrum: 1680 cm⁻¹. Good results of analysis for C, H, N, and S were obtained for all of the compounds, and the molecular weights were determined by mass spectrometry.

M. V. Lomonosov Moscow State University, Moscow 117234. Translated from *Khimiya Geteroatsiklicheskikh Soedinenii*, No. 5, p. 706, May, 1977. Original article submitted December 16, 1976.

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