HETARYLATION OF INDOLES BY N-HETEROAROMATIC BASES IN THE PRESENCE OF IMIDOYL HALIDES

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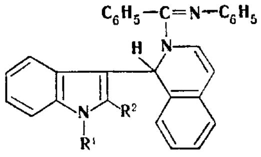
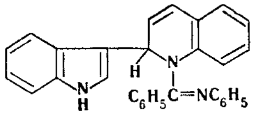
The introduction of N-heteroaromatic base residues in the indole ring is possible during the simultaneous reaction of indole with these compounds and acylating agents, β-halovinyl ketones [1], and acid amides and $POCl_3$ [2], i.e., imidoyl halides in situ. Imidoyl halides have not been used in the hetarylation reaction up until now.

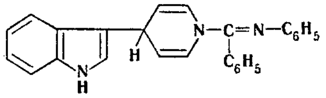
We have observed that indolylimidoylheterocyclic compounds of the I-III type are formed in the reaction of N-phenylbenzimidoyl chloride with isoquinoline, pyridine, or some other N-heteroaromatic base, and indoles:



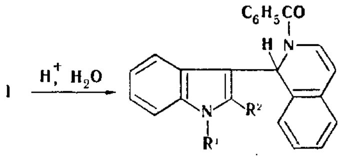
I a-c



II



III



IV a-c

I, IV a $R^1=R^2=H$; b $R^1=H$, $R^2=CH_3$; c $R^1=CH_3$, $R^2=H$

As a result of acid hydrolysis, I-III are converted to the previously described [3] N-benzoyl derivatives of the IV type, which confirms their structure. The following compounds were synthesized (melting points in °C and yields in percent given): Ia, 122-123, 50; Ib, 135-136, 35; Ic, 75-78, 33; IIa, 146-147, 63; IIIa, 158-159, 60. All of the compounds were recrystallized from hexane. The individuality of the compounds was proved by chromatography on Silufol. Their experimentally found elementary compositions and molecular weights were in agreement with the calculated values.

LITERATURE CITED

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2. R. R. Ryan, R. A. Hamby, and Y.-H. Wu, J. Org. Chem., 40, 724 (1975).
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