# DIRECT INTRODUCTION OF ISOQUINOLINE AND ACRIDINE RESIDUES INTO THE PYRAZOLONE RING

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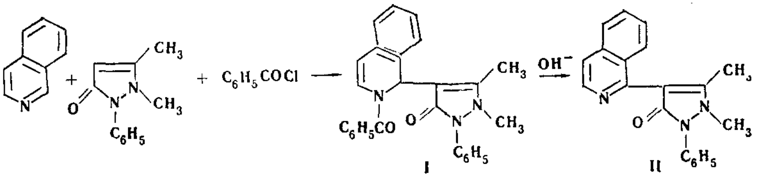
We have previously demonstrated that N-acylcyclammonium salts are extremely convenient for the electrophilic substitution of a hydrogen atom in various nucleophilic organic compounds by a heterocyclic residue. Moreover, N-acylpyridinium, quinolinium, and isoquinolinium salts enter into the reaction with nucleophilic reagents considerably more readily than the corresponding proton salts. Thus we obtained 1-phenyl-2,3-dimethyl-4-(2-benzoyl-1,2-dihydro-1-isoquinolinyl)-5-pyrazolone (I) with mp 161-161.5°C (from ethanol) and $R_f$ 0.66 in 60% yield by the reaction of isoquinoline and benzoyl chloride with antipyrine. Alkaline hydrolysis of I gave the previously described [1] 1-phenyl-2,3-dimethyl-4-(1-isoquinolinyl)-5-pyrazolone (II):



1-Phenyl-3-methyl-4-(2-benzoyl-1,2-dihydro-1-isoquinolinyl)-5-pyrazolone with mp 125.5-126° (from ethanol) and $R_f$ 0.87 was similarly obtained in 65% yield. The elementary analysis of the compounds obtained were in agreement with the calculated values. Chromatography in a thin layer of activity II aluminum oxide was accomplished with a benzene–hexane–chloroform system (6 : 1 : 30) with development by iodine vapors in UV light.

The protic acridinium salts proved to be more active than the N-acylacridinium salts in some reactions with aromatic and heteroaromatic compounds [2,3]. In the reaction of acridine hydrochloride with pyrazolones, we obtained acridan and acridinylpyrazolones. 1-Phenyl-3-methyl-4-(9-acridinyl)-5-pyrazolone with mp 286-287° and $R_f$ 0.23 was obtained in 90% yield. The picrate had mp 295-296° (from glacial acetic acid). The hydrochloride had mp 342-343° (from ethanol–ether). 1-Phenyl-2,3-dimethyl-4-(9-acridinyl)-5-pyrazolone with mp 241-242° (from butanol) and $R_f$ 0.21 was obtained in 20% yield. The picrate had mp 194.5-195° (from glacial acetic acid). The hydrochloride had mp 338-339° (from ethanol–ether). No isomers were detected by paper and thin-layer chromatography.

## LITERATURE CITED

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