LETTERS TO EDITOR

AMIDOALKYLATION OF INDOLE WITH COMPOUNDS WITH AN EXOCYCLIC CH = N GROUP IN THE PRESENCE OF ACYL HALIDES

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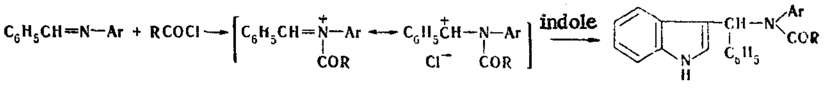
Hetarylation of organic compounds with nitrogen heterocycles in the presence of acyl halides is apparently a special case of amidoalkylation in which compounds containing a CH = N grouping are converted to N-acyl salts, while the resulting cation attacks the substrate electrophilically. To confirm this point of view we accomplished the amidoalkylation of indole with Schiff bases in the presence of acyl chlorides:



I

II

II a $R=Ar=C_6H_5$; mp 164—165° from ethanol; b $R=CH_3$; $Ar=C_6H_5$; mp 134—135° from methanol; c $R=p\text{-}C_6H_4NO_2$; $Ar=C_6H_5$; mp 177—179°(from methanol); d $R=C_6H_5$; $Ar=p\text{-}C_6H_4CH_3$; mp 156—157° (from methanol); e $R=C_6H_5$; $Ar=p\text{-}C_6H_4NO_2$; mp 212—214° (from pentanol);

The results of elementary analysis of IIa-e (obtained in yields of 50-80%) were in accord with the assigned structure. The IR spectra contained characteristic bands at 1640-1670 (tertiary amide) and 3450 cm^{-1} (NH); the Erlich test was positive in all cases.

Amidoalkylation with azomethines in the presence of acyl halides proceeds very readily in anhydrous aprotic solvents and is apparently one of the simplest methods of amidoalkylation [1].

LITERATURE CITED

1. H. Zaugg and W. Martin, in: Organic Reactions [Russian translation], Vol. 14, Mir, Moscow (1967), p. 66.

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