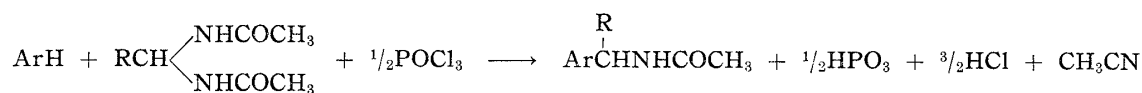


### A New $\alpha$ -Acylaminoalkylation of Aromatic Compounds

It has recently been found that  $\alpha$ -acylaminoalkylation of various aromatic compounds could be effected by the interaction of N,N'-alkylidenebisamide and phosphoryl chloride. By the use of N,N'-methylenebisacetamide, N,N'-benzylidenebisacetamide, and N,N'-ethylidenebisacetamide as N,N'-alkylidenebisamide, introduction of acetylaminomethyl,  $\alpha$ -acetylaminobenzyl, and  $\alpha$ -acetylaminoethyl could be effected smoothly.

In general, the reaction took place with evolution of hydrogen chloride by heating together the aromatic compound, N,N'-alkylidenebisacetamide, and phosphoryl chloride in a molar ratio of 1:1.2:0.5, mostly at the boiling water bath temperature. For the reaction it was also practicable to employ inert solvent such as benzene, chloroform, or carbon tetrachloride. In these cases, the reaction mixture which was homogeneous at the beginning gradually separated into two layers, mainly producing metaphosphate in the lower layer.

The general sequence of reaction was presumed to be represented as in the following :



ArH = aromatic compound; R = H, C<sub>6</sub>H<sub>5</sub>, CH<sub>3</sub>.

Various aromatic compounds, listed below, were submitted to this  $\alpha$ -acetylaminomethylation :

Acetylaminomethylation — Phenol (substituted in 2- or 4-position), anisole (substituted in 4-position), 2,4-xyleneol, 2-naphthol, 4-nitrophenol, salicylic acid, 4-methoxytoluene, N-2-tolylacetamide, N-4-tolylacetamide, 8-hydroxyquinoline, and 1-phenyl-3-methylpyrazolone.

$\alpha$ -Acetylaminobenzylation — 2,4-Xyleneol, 2-naphthol, 4-nitrophenol, 4-methoxytoluene, and N-4-tolylacetamide.

$\alpha$ -Acetylaminoethylation — 2,4-Xyleneol, 2-naphthol, and 4-cresole.

In every case, the yield of  $\alpha$ -acetylaminomethyl derivatives was good (50~98%). It is considered that this reaction has paved the way for the preparation of aromatic  $\alpha$ -acylaminoalkyl compounds. Details will be published in the near future.

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