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ON THE REACTIVITY OF MANNICH BASES
THE X-ALKYLATION REACTION ON AROMATIC AND HETEROCYCLIC COMPOUNDS (*).

Franco Andreani, Renato Andrisano, Carlo Della Casa and Maurilio Tramontini

Istituto di Chimica degli Intermedi - Facoltà di Chimica Industriale
Università di Bologna, Bologna, Italia

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In the field of the study of Mannich bases reactivity (1) the authors describe the reaction of such compounds with suitably substituted aromatic and various heterocyclic compounds.

It is known from the literature (2) that Mannich bases afford substitution reactions with numerous compounds (amines, methylen-active compounds, thiols, etc.).

The A.A. have now found that the Mannich bases can easily X-alkylate some substituted aromatic and heterocyclic compounds; from the first results they have observed that acyl-ethyl or R-methyl groups (R = hydroxy-naphthyl, indolyl, etc.) can be easily introduced. Until now, only few examples of this alkylating reactions have been described (3).

β-amino-propiophenones I give, in different reaction conditions, often in good yields, the compounds listed in table 1.

β-naphthol can be alkylated by keto-bases I either in sodium ethoxide or in xylene at boiling temperature; the heterocyclic compounds react with the keto-bases I in xylene and, with the corresponding hydrochlorides, in aqueous solution. The C-alkylated compounds II, III, IV have been obtained with 20 - 45 % yields and the N-alkylated V, VI, VII with 70 - 90 % yields.

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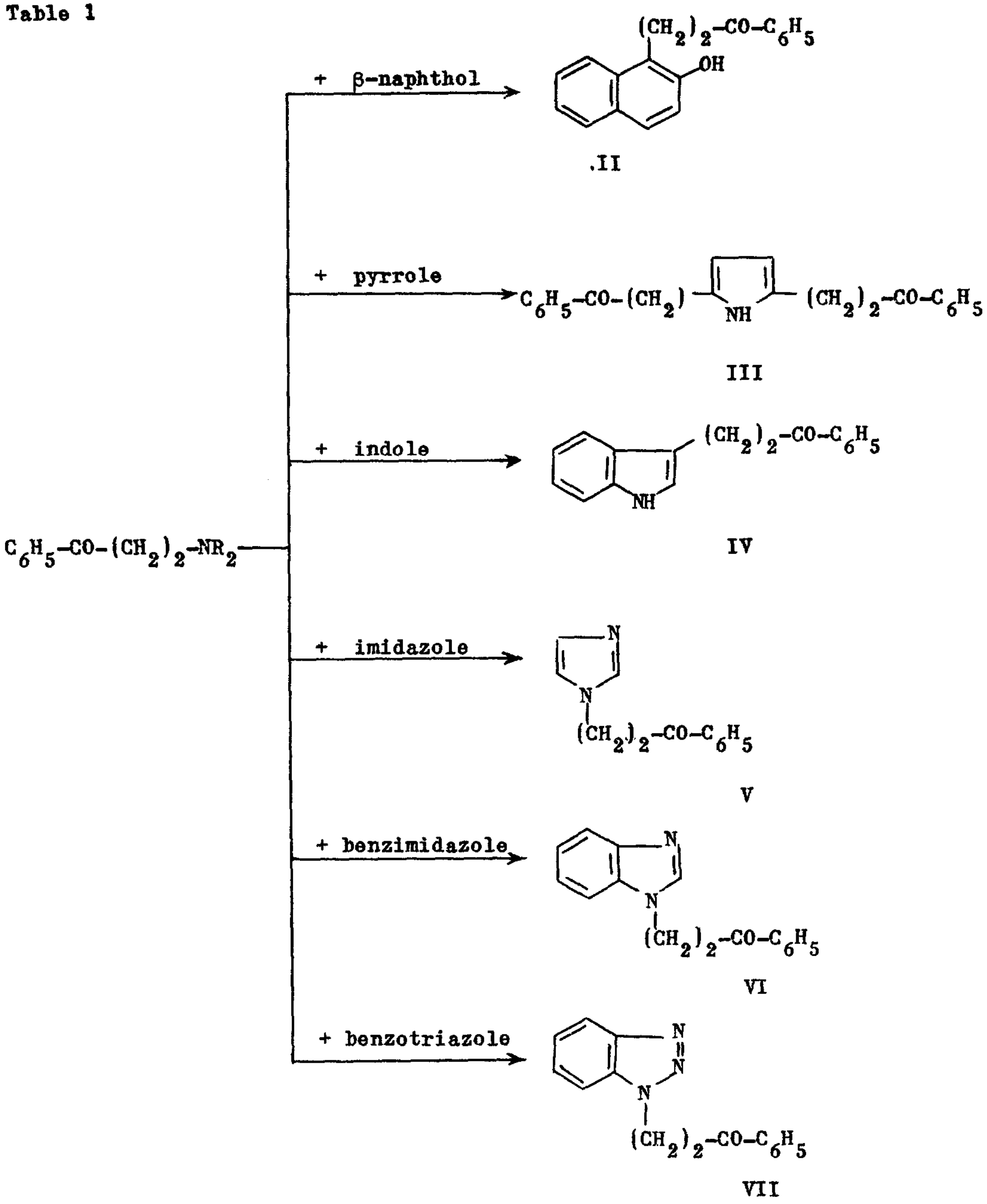
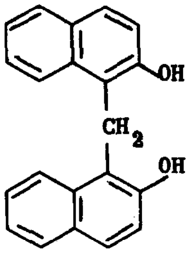
Table 1

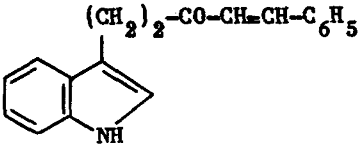

C6H5-CO-(CH2)2-NR2
+ β-naphthol
(CH2)2-CO-C6H5
OH
.II
+ pyrrole
C6H5-CO-(CH2)
NH
(CH2)2-CO-C6H5
III
+ indole
(CH2)2-CO-C6H5
NH
IV
+ imidazole
N
N
(CH2)2-CO-C6H5
V
+ benzimidazole
N
N
(CH2)2-CO-C6H5
VI
+ benzotriazole
N
N
N
(CH2)2-CO-C6H5
VII

It was possible to assign the structure of the β-acyl-ethyl derivatives by chemical proofs and i.r. data.

Alkylation products were also obtained in some preliminary reactions with other Mannich bases on the same aromatic and heterocyclic compounds (see, for ex., derivatives VIII and IX).



VIII



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Further researches are in progress on the alkylation reaction of different Mannich bases with various aromatic compounds, in different conditions.

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