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CHEMISTRY

Reactions of Aromatic Amines with Cyanguanidine. Formation of Derivatives of Amidineurea and Their Reaction with Aniline

by

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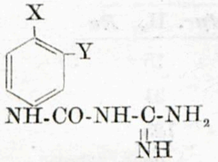
Presented by T. URBAŃSKI at the meeting of June 21, 1954

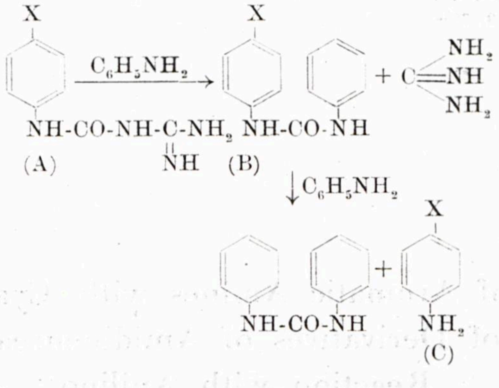
By means of the method described previously [1], consisting in boiling the corresponding aromatic amines with cyanguanidine in presence of hydrochloric acid, the following derivatives of N_1-amidine-N_2-phenylurea were prepared (Table I):

TABLE I

	Compound	Name	X	Y	m. p.
	I	*T* 222	Cl	H	143—144° C.
	II	*T* 259	Br	H	172—173° C.
	III	*T* 261	NH_2	H	300° C. (hydrochloride)
	IV	*T* 221	SO_3H	H	267—269° C.
	V	*T* 285	SO_2NH_2	H	212—213° C.
	VI	*T* 325	H	OH	220—222° C. (sulphate)

The compound V was formed from the hydrochloride of p-sulphanilamide, in aqueous medium, without addition of hydrochloride acid, thus in conditions differing from those of preparation of other analogous compounds.

To complete the previous paper [1], the mechanism of the reaction between the derivatives of N_1-amidine-N_2-phenylurea (A) and aniline has been examined. It was now found that in the first instance an asymmetric urea derivative (B) and guanidine were formed. This, on further boiling in aniline, formed symmetric diphenylurea (carbanilide) and the corresponding amine (C). The first stage of the reaction was very rapid and sometimes the product (B) could not be isolated.



When repeating the reaction between N_1-amidine-N_2-(p-carboxyphenyl)-urea (i. e. $X = COOH$, $Y = H$) and aniline, we confirmed the mechanism described above and have stated that no decarboxylation of the compound (A) or (B) had occurred, contrary to the suggestion reported previously [1]. By interrupting the reaction in the early stage, it was possible to isolate compound (B) ($X = COOH$). Prolonged boiling in aniline led to formation of carbanilide and p-aminobenzoic acid.

Products I—VI have been examined *in vitro* from the viewpoint of their bacteriostatic action against Mycobacteria by S. Ślopek from the Silesian School of Medicine (Table II):

TABLE II

Compound	Name	Inhibiting concentration mg. %		
		Myc. 279	*Myc. smegmatis*	*Myc.* H_{37} *Rv*
I	*T* 222	4	4	15
II	*T* 259	1	1	31
III	*T* 261	125	125	125
IV	*T* 221	31	62	62
V	*T* 285	62	125	—
VI	*T* 325	125	125	125

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REFERENCES

[1] Urbański T., Skowrońska-Serafin B., Dąbrowska H., Bull. Acad. Polon. Sci., Cl. III, **1** (1953), 74.