ANOMALOUS NUCLEOSIDES AND RELATED COMPOUNDS

XIV. N_4-ARYL DERIVATIVES OF 6-AZACYTIDINE

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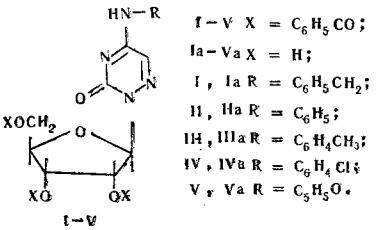
N_4-Aryl derivatives of 6-azacytidine have been synthesized.

In the development of investigations in the field of azapyrimidine nucleosides [1], a number of N_4-aryl derivatives of 2',3',5'-tri-O-benzoyl-6-azacytidine have been synthesized by the reaction of 2-(2',3',5'-tri-O-benzoyl-β-D-ribofuranosyl)-5-chloro-as-triazin-3(2H)-one [2,3] with amines (I-V).



I–V

I–V X = C_6H_5CO;
Ia–Va X = H;
I, Ia R = $C_6H_5CH_2$;
II, IIa R = C_6H_5;
III, IIIa R = $C_6H_4CH_3$;
IV, IVa R = C_6H_4Cl;
V, Va R = C_5H_5O.

After the elimination of the protective groups, the corresponding N_4-aryl-substituted 6-azacytidines (Ia-Va) were obtained.

All the compounds synthesized are colorless crystalline substances with sharp melting points, with the exception of Va, which was isolated in the amorphous state. Their constants, analyses, and yields are given in Table 1.

The chemical and biological study of the substances synthesized is continuing.

TABLE 1. N_4-Aryl-Substituted 6-Azacytidines

Compound	mp, °C	Empirical formula	N, %		Yield, %
			found	calc.	
I	122—125	$C_{36}H_{30}N_4O_8$	8,72	8,66	61
Ia	129—130	$C_{15}H_{18}N_4O_5$	16,91	16,76	22
II	204—205	$C_{35}H_{28}N_4O_8$	8,75	8,85	87
IIa	177—178	$C_{14}H_{16}N_4O_5$	17.71	17,66	40
III	186—187	$C_{36}H_{30}N_4O_8$	8,73	8,66	90
IIIa	150—152	$C_{15}H_{18}N_4O_5 \cdot H_2O$	16,04	15,90	38
IV	179—181	$C_{35}H_{27}ClN_4O_8$	8,52	8,39	90
IVa	249—251	$C_{14}H_{15}ClN_4O_5$	15,94	15,79	40
V	132—135	$C_{34}H_{28}N_4O_9$	8,75	8,80	70
Va[3]	115 (decomp.)	$C_{13}H_{16}N_4O_6$	17,24	17,27	40

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