SYNTHESIS OF 4,6-DIHYDROXY-1,3-DISUBSTITUTED PYRIDINES

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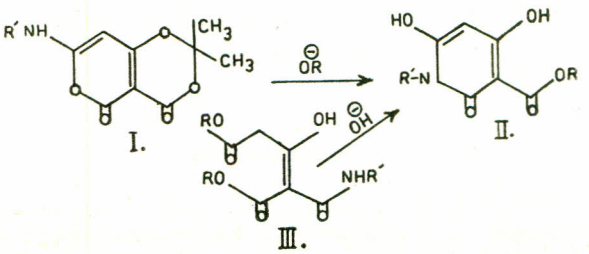
Reinvestigation of the reaction of alkoxides i.e., sodium methoxide and sodium ethoxide with amino-pyrano-dioxins (I) leads to the formation of 1,6-disubstituted relatives of 4,6-dihydroxy-2-oxo-pyridine. Preparation of these products (II) involved only one step and was easier than by methods already described in literature.[1]

The conversion of 7-Anilino-2, 2-dimethyl-4, 5-dioxo-pyrano-(4, 3-d)-(1,3)-dioxin into ethyl 1,2-dihydro-4, 6-dihydroxy-2-oxo-1-phenyl pyridine-3-carboxylate under the influence of sodium ethoxide in absolute ethanol has already been described.[1]

The reaction was repeated with sodium methoxide in absolute methanol, instead of sodium ethoxide and it was found to yield methyl, 1,2-dihydro-4, 6-dihydroxy-2-oxo-1-phenyl pyridine-3-carboxylate, (II, R=me, R′=ph) which was identified by comparison with a specimen prepared by another route shown in the following:



Several dihydroxy pyridines were parpared in confirmation of the generality of this method. The structural evidence of the parent products (I) and of the pyridones (II) was supported by the U-V absorption spectra, (Table 1) and are recorded in the following:

TABLE 1.—AMINO-PYRANO-DIOXINS AND DIHYDROXY PYRIDINES.

S. No.	Amino-pyrano-dioxins (I) R′	m.p. (decomp.)	U.V. light absorption (95% Ethanol) λmax	log ε	4, 6-dihydroxy-1, 3-disubstituted-2-oxo-pyridine (II) R′ R′	m.p. (decomp.)	U.V. light absorption (95% ethanol) λ max	log ε
1.	Ethyl-	165°	330	4.19	Ethyl- methyl-	184°	304	4.3
					Ethyl- Ethyl-	185	306	4.5
2.	Iso-butyl-	149°	330	4.15	iso-Butyl methyl	158	306	4.3
					iso-Butyl Ethyl	170	305	4.8
3.	Phenyl-	193°	350	4.69	Phenyl- Methyl-	204°	305	4.5
					Phenyl- Ethyl-	210°	305	4.6
4.	p-Tolyl	166°	336	4.48	p-Tolyl- Methyl-	197°	304	4.30
					p-Tolyl- Ethyl-	188°	304	4.46
5.	β-Naphthyl-	174°	347	4.53	β-Naphthyl Methyl	205°	306	4.37
					β-Naphthyl Ethyl-	183°	308	4.12

Further work in this direction is in progress and on completion, it shall be reported in detail.

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Reference

1. M. A. Butt, *et al.*, J. Chem. Soc., 3069 (1963).