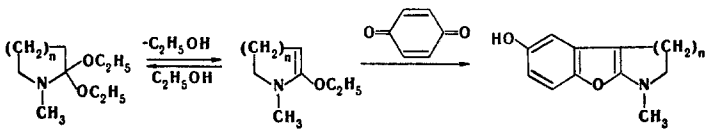
SYNTHESIS OF CONDENSED BENZOFURANS BY REACTION OF LACTAM ACETALS WITH BENZOQUINONE

V. G. Granik, A. M. Zhidkova,
O. S. Anisimova, and R. G. Glushkov

UDC 547.728'75.07 : 542.951

We have shown that lactam acetals (Ia-c) undergo condensation of the Nenitzescu type with p-benzoquinone (II) to give condensed benzofurans (IIIa-c). The fact that this reaction proceeds readily is due to the ability of acetals IIIa-c to undergo dissociation in solution to α-alkoxyenamines (IV) and alcohol. The structure of IIIb, c was proved by the results of elementary analysis and the mass spectra. We were unable to purify benzofuran derivative IIIa, and its structure was confirmed by the identical character of its UV spectrum and the spectra of IIIb, c.



Ia-c n=1-3 IV IIIa-c n=1-3

EXPERIMENTAL METHOD

1-Methyl-7-hydroxy-2,3,4,5-tetrahydroazepino[2,3-b]benzofuran Hydrochloride. A solution of 6.14 g of quinone II in 50 ml of dry chloroform was added dropwise with stirring and ice cooling to a solution of 12.5 g of acetal Ic in 50 ml of dry chloroform, after which the mixture was stirred for 30 min. The chloroform was removed by vacuum distillation, the residue was triturated with ether, the ether solution was decanted, and a solution of HCl in alcohol was added to it. The mixture was then filtered to give 13.2 g of the hydrochloride of IIIc with mp 205-208° (dec.). The product was recrystallized for analysis from methanol containing alcoholic HCl solution to give a product with mp 235-236° (dec.). UV spectrum: λ_{max} 296 nm (log ε 3.52). Mass spectrum: m/e 217. Found C 61.5; H 6.4; Cl 13.7; N 5.3%. $C_{13}H_{16}ClNO_2$. Calculated: C 61.5; H 6.3; Cl 14.0; N 5.5%. A similar procedure was used to obtain 1-methyl-6-hydroxy-1,2,3,4-tetrahydropyrido[2,3-b]benzofuran (IIIb) hydrate hydrochloride [mp 182-184° (dec., alcohol); UV spectrum: λ_{max} 295 nm (log ε 3.71); mass spectrum: m/e 204. Found: C 56.6; H 6.7; Cl 13.1%. $C_{12}H_{14}ClNO_2 \cdot H_2O$. Calculated: C 55.9; H 6.2, Cl 13.8% and 1-methyl-5-hydroxy-1H,2,3-dihydropyrrolo[2,3-b]benzofuran (IIIa) hydrochloride [mp 121-123° (dec.); UV spectrum: λ_{max} 298 nm (log ε 3.58); mass spectrum: m/e 189].

Translated from Khimiya Geterotsiklicheskikh Soedinenii, No. 5, pp. 716-717, May, 1975. Original article submitted December 17, 1974.