NUCLEOPHILIC RECYCLIZATION OF PYRROLE[5,1-*c*][1,4]BENZOXAZINE-1,2,4-TRIONE WITH SIMULTANEOUS CLEAVAGE OF THE OXAZINE AND PYRROLE RINGS

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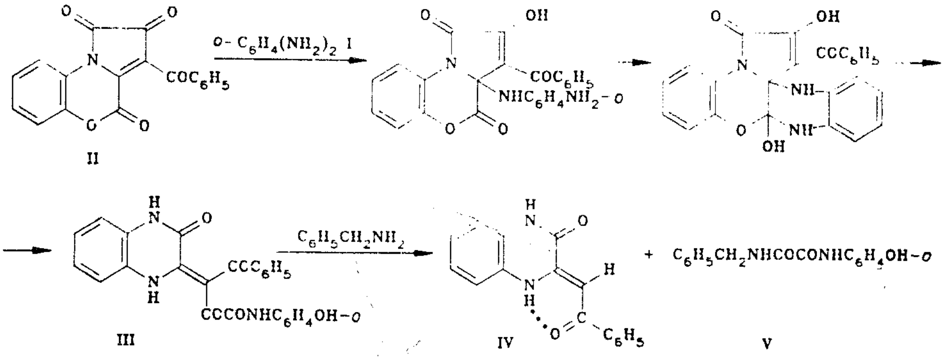
o-Phenylenediamine (I) reacts with 1-alkyl-4-benzoyl-5-phenyl-2,3-dihydro-2,3-pyrrolediones initially adding to the nitrogen atom in position 5 and subsequently by attack of the carbonyl group of the benzoyl fragment and closure of the diazepine ring [1]. 3-Benzoyl-1,2,4,5-tetrahydropyrrolo[1,5-*a*]quinoxaline-1,2,4-trione behaves similarly, the 2,3-pyrroledione ring being included in a condensed heterocycle system.

In the reaction of 3-benzoyl-1,2-dihydro-4H-pyrrolo[5,1-*c*][1,4]benzoxazine-1,2,4-trione (II) with compound I we have isolated the *o*-hydroxyanilide of 3-(2-oxo-1,2,3,4-tetrahydro-3-quinoxalinylidene)benzoylpyruvic acid (III). Heating compound III with benzylamine leads to aminolysis of the oxamide fragment and the formation of N-benzyl-N'-*o*-hydroxyphenyloxamide (V) and the known 3-phenacylidene-1,2,3,4-tetrahydro-2-quinoxalone (IV):



Apparently, when compounds I and II react, the amino group of compound I adds to the carbon atom in position 5 of the pyrroledione ring of compound II with subsequent attack of the primary amine group on the lactone carbonyl and closure of the oxazine ring at the C—O and C—N bonds.

Compound III. mp 229-231°C (from dichloroethane-acetonitrile). IR spectrum (Vaseline oil) (cm^{-1}): 3215 br (NH, OH), 1687 (CONH + CONH), 1659 (COC_6H_5), 1595 br ($C_{(2)}{=}O$), 1532 (amide of II). PMR spectrum ($DMSO$-D_6) (δ, ppm): 7.10-7.34 (13H, m, C_6H_5, $2C_6H_4$), 9.50 (1H, s, CONH side chain), 10.12 (1H, s, OH, disappeared on adding CF_3COOH), 12.12 (1H, s, 1-H), 13.11 (1H, s, 4-H). M 427 (mass spectrometry). Yield 91%.

The results of elemental analyses of compounds III-V were in agreement with those calculated.

LITERATURE CITED

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