

SHORT
COMMUNICATIONSSpiro-Heterocyclization of Pyrrolo[2,1-*c*][1,4]benzoxazine-1,2,4-trione by the Action with Cyclic EnehydrazineN. L. Racheva^a, Z. G. Aliev^b, and A. N. Masliviets^a^a Perm State University, ul. Bukireva 15, Perm, 614990 Russia
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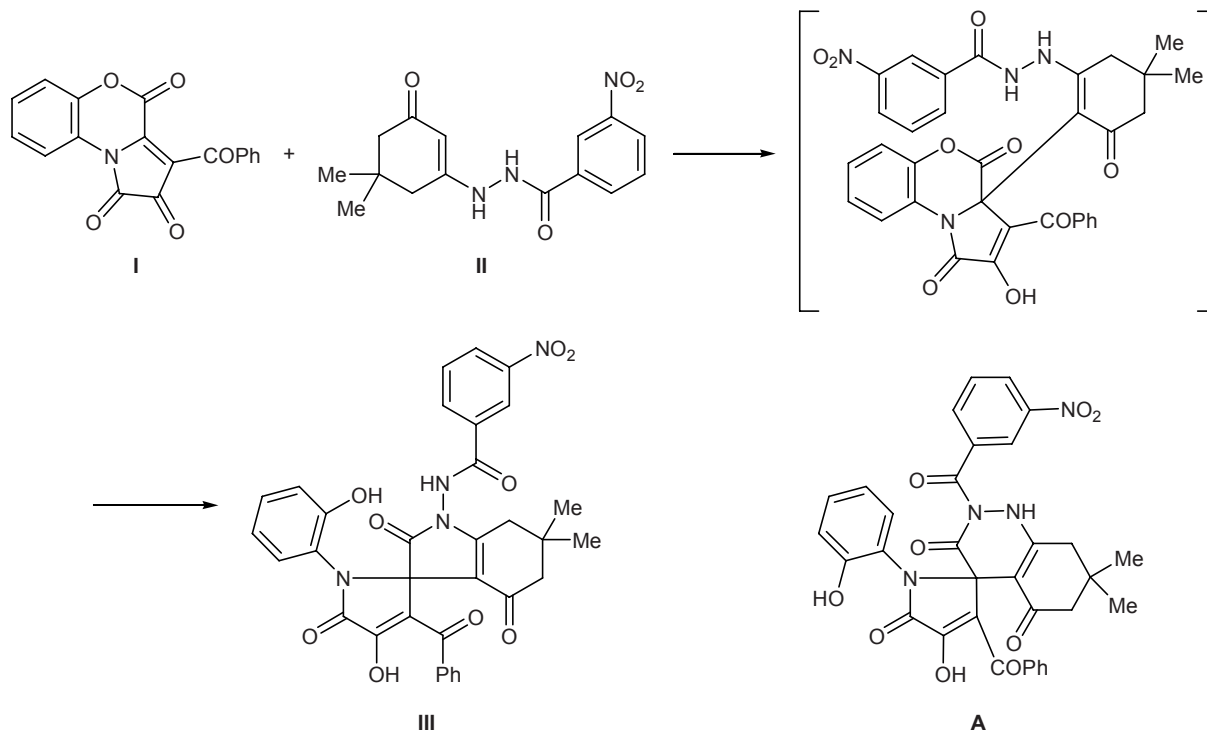
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Reactions of 2,3-dihydro-1*H*-pyrrole-2,3-diones, including those fused at the [*a*]-side to nitrogen-containing heterocycles, with cyclic enehydrazines were not reported previously. By reaction of 3-benzoyl-2,4-dihydro-1*H*-pyrrolo[2,1-*c*][1,4]benzoxazine-1,2,4-trione (**I**) with an equimolar amount of *N'*-(5,5-dimethyl-3-oxocyclohex-1-en-1-yl)-3-nitrobenzohydrazide (**II**) (which may be regarded as a cyclic enehydrazine) in boiling anhydrous *m*-xylene (reaction time 10–15 min) we obtained in high yield *N*-[3'-benzoyl-4'-hydroxy-1'-(2-hydroxyphenyl)-6,6-dimethyl-2,4,5'-trioxo-

1',4,5,5',6,7-hexahydrospiro[indole-3,2'-pyrrol]-1(2*H*)-yl]-3-nitrobenzamide (**III**) whose structure was proved by X-ray analysis.

Presumably, the process involves successive attacks by the β-CH and NH groups in cyclic enehydrazine **II** on the C^{3a} and C⁴ atoms in pyrrolobenzoxazine **I**, respectively (as in the reactions of **I** with mononucleophiles [1]), cleavage of the 1,4-oxazine ring at the C⁴–O⁵ bond, and pyrrole ring closure with participation of the hydrazine fragment. The formation of structure like **A** via closure of pyridazine ring did not occur,



presumably because of reduced nucleophilicity of the NH group neighboring to the carbonyl group.

The described reaction is a rare example of regioselective synthesis of difficultly accessible spiro[indole-3,2'-pyrrole] system with various functional substituents in different positions of both heterocycles.

***N*-[3'-Benzoyl-4'-hydroxy-1'-(2-hydroxyphenyl)-6,6-dimethyl-2,4,5'-trioxo-1',4,5,5',6,7-hexahydro-spiro[indole-3,2'-pyrrol]-1(2*H*)-yl]-3-nitrobenzamide (III).** Yield 87%, mp 230–232°C (from methanol). IR spectrum (mineral oil), ν , cm^{-1} : 3377 br, 3214 br (NH, OH); 1771, 1698 ($\text{C}^2=\text{O}$, $\text{C}^5=\text{O}$); 1655, 1620, 1605 (COPh, $\text{C}^{4'}=\text{O}$, NHCO), 1524 (δNH). ^1H NMR spectrum (DMSO- d_6), δ , ppm: 0.80 s (3H, Me), 0.86 s (3H, Me), 2.03 d.d and 2.14 d.d (1H each, 7-H, $J=15.9$ Hz), 2.26 d.d and 2.42 d.d (1H each, 5-H, $J=18.5$ Hz), 6.76–8.51 m (13H, H_{arom}), 9.35 s (1H,

OH), 12.03 s (1H, NH), 12.40 br.s (1H, OH, enol). Found, %: C 62.57; H 4.01; N 9.50. $\text{C}_{33}\text{H}_{26}\text{N}_4\text{O}_9$. Calculated, %: C 63.66; H 4.21; N 9.00.

The IR spectrum was recorded on an FMS-1201 spectrometer. The ^1H NMR spectrum was measured on a Bruker WP-400 instrument using tetramethylsilane as internal reference. The purity of compound III was checked by TLC on a Silufol plate using ethyl acetate as eluent (development with iodine vapor).

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REFERENCE

1. Maslivets, A.N., Mashevskaya, I.V., Krasnykh, O.P., Shurov, S.N., and Andreichikov, Yu.S., *Zh. Org. Khim.*, 1992, vol. 28, p. 2545.