LETTERS TO THE EDITOR

SYNTHESIS OF SOME NEW CONDENSED BENZOFURAN SYSTEMS

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The benzofurano[3,2-c]pyridine and thiopyrano[4,3-b]benzofuran systems have not been described in the literature. We have synthesized some representatives of these systems (I-IV) by cyclization of the 4-nitrophenyl esters of the oximes of the corresponding ketones (V-VIII) via the method previously used for esters of ketoximes of the heterocyclic series [1-4]

$$O_2N- \bigcirc O_2N- \bigcirc O_2N$$

Compounds V and VI were cyclized by refluxing (30-50 min) in a 20-25% solution of hydrogen chloride in alcohol, while VII and VIII were cyclized by brief heating with a mixture of sulfuric acid (or boron trifluoride etherate) and acetic acid.

A substance with mp 81-82.5° (hydrochloride, mp 191-192°), which contains an ethoxyl group, is formed when VII is heated in an alcoholic solution of hydrogen chloride; this substance can be converted to III by heating with a mixture of acetic and sulfuric acids. The structures of the condensed heterocycles obtained are confirmed by the UV, IR, and PMR spectra (by comparison with model compounds).

4-Nitrophenyl Ester of Tetrahydro-4-thiopyrone Oxime (V). This had mp 114.5-116° (heptane). Found %: N 11.1; S 13.1. $C_{11}H_{12}N_2O_3S$. Calculated %: N 11.1; S 12.7.

 $\frac{\text{4-Nitrophenyl Ester of 4-Thiochromanone Oxime (VI).}}{\text{N 9.3; S 10.6. C}_{15}\text{H}_{12}\text{N}_{2}\text{O}_{3}\text{S. Calculated \%: N 9.3; S 10.7.}}}$ This had mp 139-140° (alcohol). Found %:

4-Nitrophenyl Ester of 1-Methyl-4-piperidone Oxime (VII). This had mp 93-94° (heptane). Found %: C 58.1; H 6.1; N 17.0. $C_{12}H_{15}N_3O_3$. Calculated %: C 57.8; H 6.1; N 16.9.

4-Nitrophenyl Ester of 1,2,5-Trimethyl-4-piperidone Oxime (VIII). This had mp 97-98° (heptane). Found %: C 61.7; H 7.0; N 15.4. $C_{14}H_{19}N_3O_3$. Calculated %: C 61.5; H 7.0; N 15.4.

8-Nitro-1H-3,4-dihydrothiopyrano[4,3-b]benzofuran (I). This had mp 205.5-206° (alcohol). Found %: N 5.9; S 13.8. $C_{11}H_9NO_3S$. Calculated %: N 6.0; S 13.6.

8-Nitro-6H-benzo[5,6]thiopyrano[4,3-b]benzofuran (II). This had mp 207-209° (absolute alcohol). Found %: N 5.2; S 11.0. $C_{15}H_9NO_3S$. Calculated %: N 4.9; S 11.3.

1,2,4-Trimethyl-8-nitro-1,2,3,4-tetrahydrobenzofurano[3,2-c]pyridine (IV). This had mp 111-111.5° (hexane). Found %: C 64.6; H 6.4; N 11. $C_{14}H_{16}N_2O_3$. Calculated %: C 64.6; H 6.2; N 10.8.

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LITERATURE CITED

- 1. T. Sheradsky, Tetrahedron Lett., 1966, 5225.
- 2. A. Mooradian, Tetrahedron Lett., 1967, 407.
- 3. A. Mooradian and P. E. Dupont, J. Heterocyclic Chem., $\underline{4}$, 441 (1967).
- 4. D. Kaminsky, J. Shavel, and R. J. Meltzer, Tetrahedron Lett., 1967, 859.