The compounds are presented as follows [mp (°C), yield (%), IR spectrum in mineral oil (cm^{-1})]: IIIa, 269-270, 70, 1668, 1678, 1694, 1738 (CO), 3120, 3236, 3310, 3356, 3425, and 3445 (NH); IIIb, 214-215, 70, 1672, 1680, 1698, 1730 (CO), 3078, 3215, 3317, and 3346 (NH); Va, 262-263, 80, 1670, 1683, 1697, 1710, 1740 (CO), 3122, 3245, 3330, and 3447 (NH); Vb, 221-222, 85, 1684, 1694, 1705, 1733, (CO), 3110, 3205, 3390, 3475 (NH); Vc, 220-221, 75, 1670, 1680, 1690, 1708, 1741 (CO), 3180, 3325, 3375, and 3425 (NH).

The conversions described for fervenulin-3-one and its 4-N-oxide with indoles reveal new routes for the modification of the pyrimidotriazine antibiotics and are of interest in the consideration of the possible routes of transformation of these compounds in the living organism.

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NEW SYNTHESIS OF PYRROLO[1,2-a]PYRROLE DERIVATIVES

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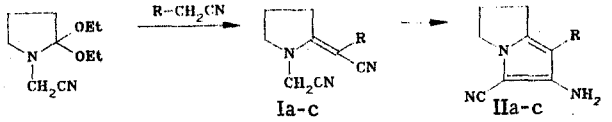
UDC 547.744'759.5.07

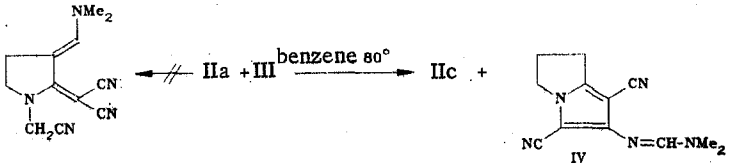
It is known that the reactivity of electron acceptor substituents in the β-position of enamines is significantly lowered on account of the electron donor effect of the enamine amino group [1].

Nonetheless, the enaminonitriles Ia-c (obtained by treating the diethylacetal of N-cyanomethyl-2-pyrrolidone [2] with compounds possessing an active methylene unit) readily take part in intramolecular Thorpe-Ziegler cyclization [3] to form pyrrolo[1,2-a]pyrroles (IIa-c) under mild conditions (Bu^tOH, Bu^tONa, 82°C).



I, II a R=$COOC_2H_5$; b R=$CONH_2$; c R=CN

Moreover, cyclization of this type takes place even when only weakly basic agents like DMF diethylacetal (III) are used. Further, the velocity for the closing of the pyrrole ring is higher than the expected condensation at position 3 of the pyrrolidine ring. The result of the reaction of Ic with III is thus the bicycle IIc and the product of further condensation of the latter with the acetal III (compound IV).



Recorded compound, mp in °C (crystallization solvent), yield, %: Ia, 196-198 (alcohol), 92; Ib, 97-98 (2-propanol), 68; Ic, 59-61 (2-propanol), 72; IIa, >300 (DMFA), 94; IIb, 246-247 (DMFA), 92; IIc, >300 (DMFA), 44; IV, 178-180 (alcohol), 25.

Elemental analytical data were in agreement with those calculated and the structures of the synthesized compounds were shown by IR, PMR and mass spectroscopy.

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HOMOLYTIC ALKYLATION OF 2-METHYLQUINOLINE BY BENZODIOXOLANE AND BENZODIOXANE

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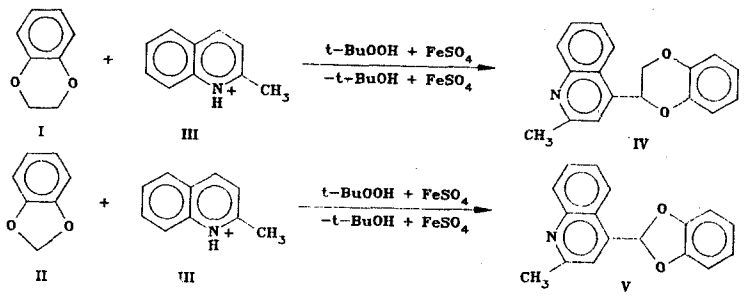
UDC 547.729.7'831.2'841.07

Known methods for obtaining substituted benzodioxanes and benzodioxolanes from pyrocatechol differ little in efficiency [1, 2]. At the same time, compounds of this type possess a wide spectrum of biological activity [3, 4].

In this connection we have investigated the possibility of obtaining substituents in the hetero-fragments of benzodioxanes and benzodioxolanes by homolytic alkylation of a heteroaryl base using the readily available benzodioxane (I) and benzodioxolane (II).

Reaction of protonated 2-methylquinoline (III) with I or II is initiated by the redox system $(CH_3)_3COOH+FeSO_4$ and yields, selectively, 4-(5,6-benzo-1,4-dioxan-2-yl)quinaldine (IV) or 4-(4,5-benzo-1,3-dioxolan-2-yl)quinaldine (V). The yields are 85 and 90%, respectively, and are based on the amounts of reacted base (conversion of III = 70%).



I II III IV V

The high yields and selectivity illustrate the synthetic importance of the reaction discussed.

EXPERIMENTAL

Tert-butylhydroperoxide was added dropwise over 30 min to an aqueous-DMSO solution of 2-methylquinoline sulfate (0.02 mole), benzodioxacyclane I or II (0.04 mole), and $FeSO_4$ (0.01 mole) in a stream of argon. Compounds IV and V were separated using column chromatography (Al_2O_3, hexane–ether, 5:1).

4-(5,6-Benzo-1,4-dioxan-2-yl)quinaldine (IV). n_D^{20} 1.6155. PMR spectrum (CCl_4, HMDS) 2.53 (3H, s, CH_3), 3.58-3.86 (1H, m, CH_2–O), 4.18-4.42 (1H, m, CH_2–O), 5.40-5.60 (1H, m, CH–O), 6.60-6.90 (4H, m, C_6H_4), 7.08-7.98 ppm (5H, m, Ar).

4-(4,5-Benzo-1,3-dioxalan-2-yl)quinaldine (V). Isolated in the form of a monohydrate losing water at 85°C, mp 87-89°C. PMR spectrum (DMSO-d_6, HMDS): 2.67 (3H, s, CH_3), 2.81 (2H,

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