

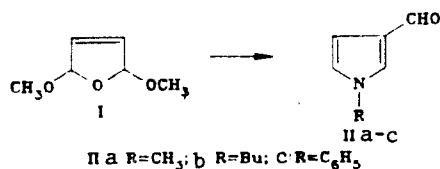
SYNTHESIS OF N-SUBSTITUTED PYRROLE-3-CARBALDEHYDES  
BY HYDROFORMAMINATION OF 2,5-DIMETHOXY-2,5-DIHYDROFURAN

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A method for obtaining N-aryl-3-carbaldehydes by refluxing 2,5-dimethoxy-3-formyltetrahydrofuran with primary aromatic amines in acetic acid is known [1].

We have established that the hydroformamination of 2,5-dimethoxy-2,5-dihydrofuran (I) on  $\text{HRh}(\text{CO})(\text{PPh}_3)_3$  in the presence of primary amines leads to the formation of N-substituted pyrrole-3-carbaldehydes IIa-c:



The hydroformamination was carried out in benzene with an equimolar reagent ratio at 100°C and an initial pressure of the mixture of CO and H<sub>2</sub> of 100 atm (CO:H<sub>2</sub> = 1:1 by volume). The substrate-catalyst molar ratio was 1:350.

**1-Methylpyrrole-3-carbaldehyde (IIa).** This compound was obtained in 47% yield and had bp 114-117°C (4 mm) and  $n_D^{22}$  1.5411. PMR spectrum (CDCl<sub>3</sub>): 3.64 (3H, d, J = 0.7 Hz, CH<sub>3</sub>), 6.53 (1H, ddd, <sup>3</sup>J = 3.0 Hz, <sup>4</sup>J = 2.0 and 0.8 Hz, 4-H), 6.60 (1H, ddq, <sup>3</sup>J = 3.0 Hz, <sup>4</sup>J = 2.0 and 0.7 Hz, 5-H), 7.18 (1H, dd, <sup>4</sup>J = 2.0 and 2.0 Hz, 2-H), 9.67 ppm (1H, d, <sup>4</sup>J = 0.8 Hz, CHO).

**1-Butylpyrrole-3-carbaldehyde (IIb).** This compound was obtained in 63% yield and had bp 123-125°C (3 mm) and  $n_D^{22}$  1.5238. PMR spectrum (CDCl<sub>3</sub>): 0.91 (3H, t, <sup>3</sup>J = 7.3 Hz, CH<sub>3</sub>), 1.32 (2H, qt, <sup>3</sup>J = 7.3 and 7.3 Hz, CH<sub>2</sub>), 1.76 (2H, q, <sup>3</sup>J = 7.3 Hz, CH<sub>2</sub>), 3.90 (2H, t, <sup>3</sup>J = 7.3 Hz, NCH<sub>2</sub>), 6.58 (1H, dd, <sup>3</sup>J = 2.9 Hz and <sup>4</sup>J = 1.8 Hz, 4-H), 6.65 (1H, dd, <sup>3</sup>J = 2.9 Hz and <sup>4</sup>J = 2.0 Hz, 5-H), 7.27 (1H, dd, <sup>4</sup>J = 1.8 and 2.0 Hz, 2-H), 9.71 ppm (1H, s, CHO).

**1-Phenylpyrrole-3-carbaldehyde (IIc).** This compound was obtained in 57% yield and had bp 149-150°C (3 mm) and  $n_D^{22}$  1.6265. PMR spectrum (CDCl<sub>3</sub>): 6.65 (1H, dd, <sup>3</sup>J = 3.1 and 1.7 Hz, 4-H), 6.93 (1H, dd, <sup>3</sup>J = 3.1 Hz and 2.1 Hz, 5-H), 7.53 (1H, dd, <sup>3</sup>J = 1.7 and 2.1 Hz, 2-H), 9.59 (1H, s, CHO), 7.1-7.4 ppm (5H, m, C<sub>6</sub>H<sub>5</sub>).

#### LITERATURE CITED

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