FORMATION OF A BIS(TETRAHYDROPYRROLO[1,2-c]-7-PYRIMIDIYL)METHANE UNDER THE CONDITIONS OF THE TROFIMOV REACTION AND IN THE REDUCTION OF 7-FORMYLPYRROLO[1,2-c]PYRIMIDINE

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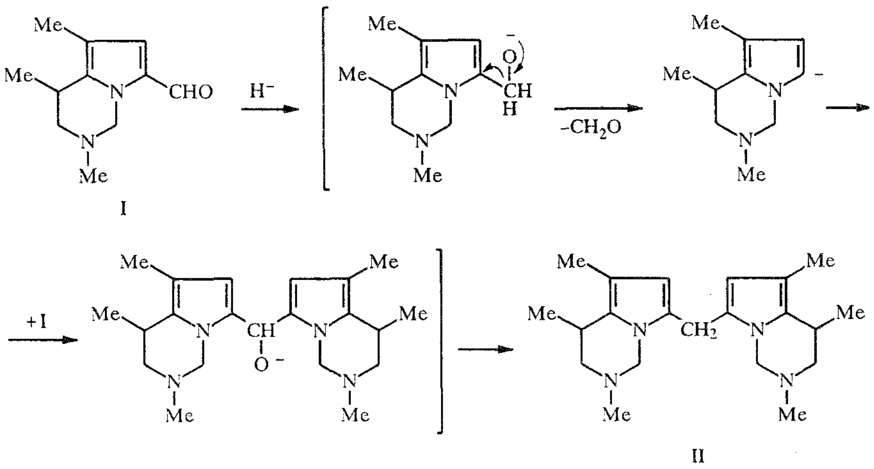
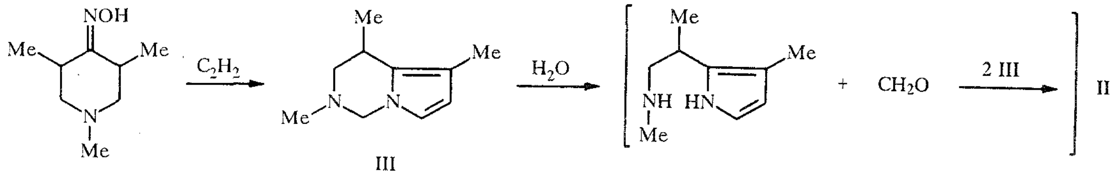
We have studied the reduction of 1,2,3,4-tetrahydro-2,4,5-trimethyl-7-formylpyrrolo[1,2-c]pyrimidine (I) with sodium borohydride, as well as with sodium hydroxide in isopropyl alcohol. Instead of the expected methylolderivative,bis(1,2,3,4-tetrahydro-2,4,5-trimethylpyrrolo[1,2-c]-7-pyrimidinyl)methane(II) was obtained in 80% and 60% yields, respectively, in the form of white crystals with mp 140-142°C (dec). The mass spectrum contained a low-intensity peak of a molecular ion with m/z 340 (0.5%); the maximally intense peak of the ion with m/z 176 (100%) is due to cleavage of the $C_{(7)}-CH_2$ bond and migration of hydrogen from the methylene group to the split-out tetrahydropyrrolopyrimidine fragment. The formation of a secondary alcohol, which is reduced to II, evidently occurs initially.



It was established by chromatographic mass spectroscopy that II is formed as a side product in the synthesis of 1,2,3,4-tetrahydro-2,4,5-trimethylpyrrolo[1,2-c]pyrimidine (III) from 1,3,5-trimethyl-4-piperidone oxime and acetylene in a superbase medium [1]. Compound II was isolated in 0.1% yield.



Russian International-Friendship University, Moscow 117923. Translated from Khimiya Geterotsiklicheskikh Soedinenii, No. 1, pp. 137-139, January, 1993. Original article submitted December 8, 1992.

0009-3122/93/2901-0123$12.50

It is possible that, under the conditions of the Trofimov reaction, III, which is a cyclic aminal, undergoes cleavage to give formaldehyde. The latter on condensation with two molecules of III is converted to bis(tetrahydropyrrolo[1,2-c]-7-pyrimidinyl)methane II. Similar condensations in the syntheses of di(indolyl)methanes have been studied in detail [2, 3]. PMR spectrum of II ($CDCl_3$): 1.27 (6H, d, 4-CH_3, $J_{CH3,4}$ = 6.2 Hz), 2.02 (6H, s, 5-CH_3), 2.41 (6H, s, 2-CH_3), 2.53 (4H, dd, 3-H_a, $J_{3a,3e} = -12.1$ Hz, $J_{3a,4a} = 6.1$ Hz), 2.74 (4H, dd, 3-H_e, $J_{3e,4a} = 5.4$ Hz), 3.08 (2H, m, 4-H_a), 3.68 (2H, s, CH_2), 4.13 (2H, d, 1-H_e, $J_{1a,1e} = -9.2$ Hz), 4.43 (2H, d, 1-H_a), 5.60 ppm (2H, s, 6-H). ^{13}C NMR spectrum ($CDCl_3$): 11.47 (4-CH_3), 19.61 (5-CH_3), 23.52 (CH_2), 26.90 [$C_{(4)}$], 42.27 (2-CH_3), 59.00 [$C_{(3)}$], 66.48 [$C_{(1)}$], 108.70 [$C_{(6)}$], 112.54 [$C_{(5)}$], 124.97 [$C_{(7)}$], 126.57 ppm [$C_{(4a)}$]. Mass spectrum, m/z (I_{rel}, %): 340 (0.5), 325 (0.5), 297 (0.5), 296 (0.5), 282 (15), 176 (100), 163 (15), 161 (25), 134 (18), 120 (10).

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