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NEW APPROACH TO ELLIPTICINE ANALOGS

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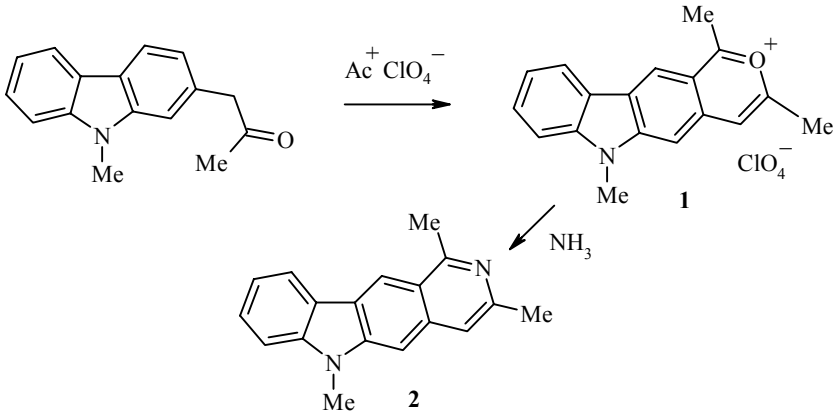
An general method for the preparation of condensed pyrilium derivatives, which are convenient precursors of the corresponding pyridine bases, was discovered in our laboratory and is known as the Dorofeenko–Dulenko–Krivun reaction [1]. This procedure involves the acid-catalyzed *ortho*-acylation of β-oxoalkyl derivatives of benzene or π-excess aromatic heterocycles. This reaction may be used to obtain many natural products and their analogs as well as a large number of previously unknown heteroaromatic systems [2], including indolo[2,3-*c*]pyrilium derivatives, which are convenient intermediates in the synthesis of β-carbolines [3]. The Ukrainian Pharmacological Commission has permitted the use of one of these compounds [4] known as Carbacetam as a nootropic agent.

This synthetic approach was used in our laboratory for the preparation of analogs of the anticancer alkaloid ellipticine. We should note that carbazolo[3,2-*c*]pyrilium (**1**) is a new 18π-electron heteroaromatic system, while **2** is an isomer of active 6-methylellipticine [5].



The fusion of a pyrilium ring to the carbazole system was carried out by our usual scheme. 2-Acetonyl-9-methylcarbazole was synthesized from 2-acetylcarbazole by its conversion to 2-carbazolylacetic acid with subsequent methylation and preparation of the ketone in the Dakin–West reaction.

1,3,6-Trimethylcarbazolo[3,2-*c*]pyrilium perchlorate (1), decomposes at ~300°C. IR spectrum, ν, cm^{-1}: 1100 (ClO_4^-), 1630, 1650. ^1H NMR spectrum (acetonitrile-d_3), δ, ppm: 2.72 (3H, s, 3-CH_3); 3.30 (3H, s,

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1-CH_3); 3.93 (3H, s, 6-CH_3); 7.50 (1H, t, 8-H); 7.61-7.70 (2H, m, 7- and 9-H); 7.73 (2H, s, 4- and 5-H); 8.35 (1H, d, 10-H); 9.25 (1H, s, 11-H). Found, %: C 60.1; H 4.3; Cl 9.9; N 3.8. $C_{18}H_{16}ClNO_5$. Calculated, %: C 59.75; H 4.42; N 3.87.

1,3,6-Trimethylpyrido[4,3-*b*]carbazole (2); mp >370°C (dec). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 2.65 (3H, s, 3-CH_3); 3.16 (2H, s, 1-CH_3); 3.88 (3H, s, 6-CH_3); 7.35 (1H, t, 8-H); 7.55-7.67 (2H, m, 7- and 9-H); 7.81 (2H, s, 4- and 5-H); 8.34 (1H, d, 10-H); 9.13 (1H, s, 11-H). Found, %: C 83; H 6.2; N 11.1. $C_{18}H_{16}N_2$. Calculated, %: C 83.05; H 6.19; N 10.76.

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