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LETTERS TO THE EDITOR

The First Example of Regioselective Synthesis of Ethyl 1-Oxo-2-cyano-1,5-dihydrobenzo-[4,5]imidazo[1,2-*a*]-pyridine-4-carboxylate by S_NVIN Reaction Followed by Transesterification

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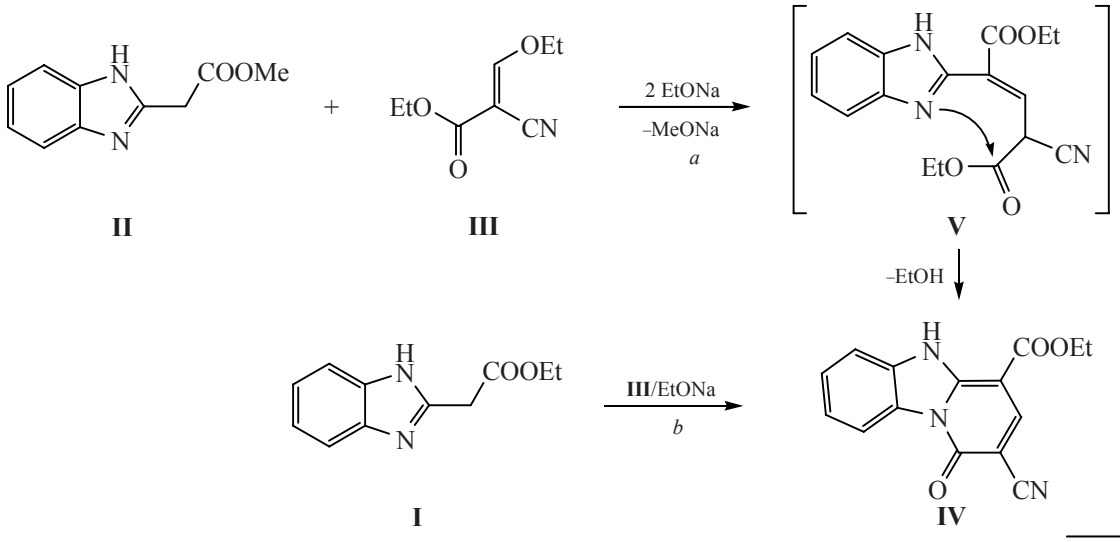
Ethyl 2-(1*H*-benzo[*d*]imidazol-2-yl)acetate **I** is used as C-nucleophile for synthesis of the substituted 5*H*-benzo[4,5]imidazo[1,2-*a*]pyridines both by S_NVin [1] and by Michael reactions [2].

Formation of the unknown previously ethyl 1-oxo-2-cyano-1,5-dihydrobenzo[4,5]imidazo[1,2-*a*]pyridine-4-carboxylate **IV,** a potentially antibacterial agent [3, 4], was found for the first time when methyl 2-(1*H*-benzo[*d*]imidazol-2-yl)acetate **II** was involved as C-nucleophile into the reaction with ethoxymethylene-cyanoacetic ester **III** in absolute ethanol at 20°C in the presence of twofold excess of EtONa (method *a*).

Structure of **IV** is proved by authentic synthesis from compounds **I** and **III** (method *b*) and by spectral data.



The ^1H NMR spectrum of compound **IV** was recorded on a Varian Mercury-400 device (400.397 MHz) in DMSO-d_6 relative to internal TMS. The IR spectrum was taken on a IKS-40 device (vaseline oil). The mass-spectrum was registered on a Chrommas GC/MS-Hewlett-Packard 5890/5972 device, column HP-5 MS (70 eV) in CH_2Cl_2 solution.

Ethyl 1-oxo-2-cyano-1,5-dihydrobenzo[4,5]-imidazo[1,2-*a*]pyridine-4-carboxylate (IV). *a*. To a

stirred suspension of 1.9 g (10 mmol) of ester **II** in 10 ml of absolute ethanol at 20°C was added a solution prepared from 0.46 g (20 mmol) of metallic sodium and 15 ml of absolute ethanol. Then to the reaction mixture was added 1.69 g (10 mmol) of ethoxymethylenecyanoacetic ester **III**. This mixture was stirred for 20 min and keep for one day. The formed precipitate was filtered off and washed with ethanol and hexane. Yield 2.36 g (84%), yellow powder, mp 324–326ºC (at 250ºC sublimation occurs to form colorless cubes). IR spectra, ν, cm^{-1}: 3176 (N–H), 2224 (C≡N), 1702 (OC=O), 1660 (NC=O). ^1H NMR spectrum, δ, ppm: 1.33 t (3H, Me, *J* 6.18 Hz), 4.26 q (2H, CH_2, *J* 6.18 Hz), 7.23 t (1H, H_{arom}, *J* 7.6 Hz), 7.39 t (1H, H_{arom}, *J* 7.6 Hz), 7.74 d (1H, H_{arom}, *J* 8.0 Hz), 8.18 s (1H, H-3), 8.58 d (1H, H_{arom}, *J* 8.0 Hz), 13.39 br.s (1H, N–H). Mass-spectrum (70 eV), *m/z* (I_{rel}, %): 282 $[M+1]^+$ (100). Found, %: C 63.89; H 3.81; N 14.77. $C_{15}H_{11}N_3O_3$. Calculated, %: C 64.05; H 3.94; N 14.94.

b. Similarly to the method *a* using the corresponding ester **I**. Yield 2.58 g (92%).

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