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SHORT COMMUNICATIONS

Synthesis of 2-[2-(2-Aminophenyl)ethyl]-6-*R*-quinnoline-4-carboxylic Acids from 2-[2-(2-Nitrophenyl)ethenyl]-6-*R*- quinoline-4-carboxylic Acids

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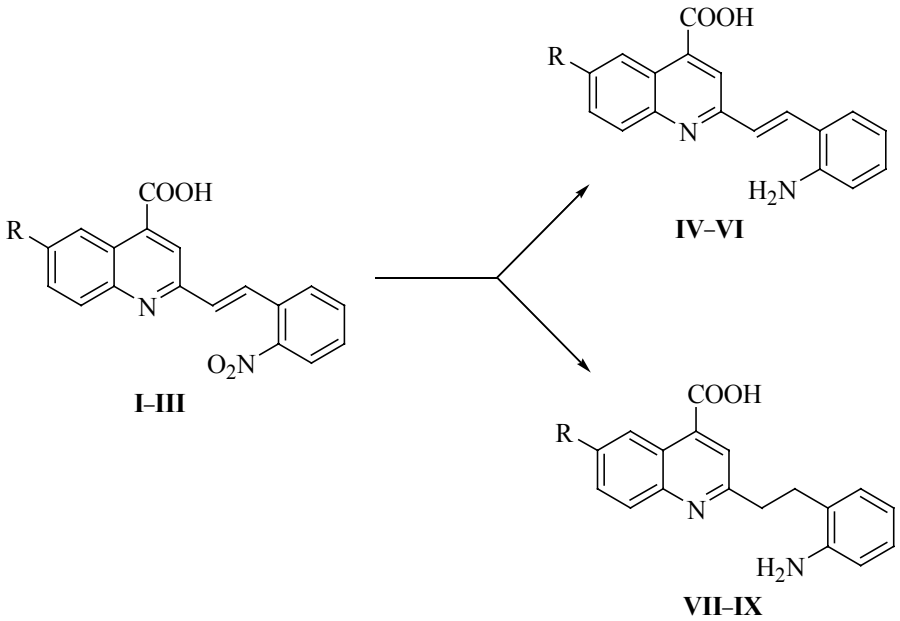
The reduction of the ethylene bond in vinylquinolines was described [1] by prolonged boiling of the appropriate vinilogs in THF applying as reducer indium in acetic acid.

In extension of the search on biologically active compounds among 6-substituted 4-quinolinecarboxylic acids and their derivatives we formerly synthesized 2-[2-(2-nitrophenyl)ethenyl]-6-*R*-quinoline-4-carboxylic acids **I–III** which by treatment with hydrazine hydrate in alcoholic medium were converted into 2-[2-(2-aminophenyl) ethenyl]-6-R-quinoline-4-carboxylic acids **IV–VI** [2, 3].

In this study we demonstrated that the increase in the reaction time over 6 h under the same conditions resulted alongside the nitro group reduction in the nitrostyrenes **I–III** also in the hydrogenation of the ethylene bond with the formation of compounds **VII–IX**.



I–III **IV–VI** **VII–IX**

2-[2-(2-Aminophenyl)ethyl]quinoline-4-carboxylic acid (VII). To a solution of 3 mmol of compound **I** in 25 ml of ethanol while vigorous stirring at 70°C was added 20 ml of hydrazine hydrate. The reaction mixture was heated at reflux for 19 h, filtered, and the solvent was evaporated. Yield 0.65 g (75%), red crystals, mp 228–230°C (from 2-propanol). ^{1}H NMR spectrum, δ, ppm: 2.96 t (2H, $CH_2\underline{CH_2}$, *J* 7.3 Hz), 3.21 t (2H, $\underline{CH_2}CH_2$, *J* 7.3 Hz), 3.93 s (2H, NH_2), 6.47 t (1H, H^4_{phenyl}, *J* 7.3 Hz), 6.63 d (1H, H^5_{phenyl}, *J* 7.3 Hz), 6.88 t (1H, H^3_{phenyl}, *J* 7.3 Hz), 6.95 d (1H, H^2_{phenyl}, *J* 7.3 Hz), 7.61 t (1H, $H^7_{quinoline}$, *J* 7.3 Hz), 7.77 t (1H, $H^6_{quinoline}$, *J* 7.3 Hz), 7.90 s (1H, $H^3_{quinoline}$), 8.05 d (1H, $H^5_{quinoline}$, *J* 8.1 Hz), 8.60 d (1H, $H^8_{quinoline}$, *J* 7.3 Hz). Found, %: C 73.93; H 5.51; N 9.58. $C_{18}H_{16}N_2O_2$. Calculated, %: C 73.95; H 5.52; N 9.58.

Compounds **VIII** and **IX** were similarly obtained.

2-[2-(2-Aminophenyl)ethyl]-6-methylquinoline-4-carboxylic acid (VIII) was obtained from compound **II**. Yield 0.64 g (71%), red crystals, mp 294–297°C (from 2-propanol). ^{1}H NMR spectrum, δ, ppm: 2.51 s (3H, Me), 2.93 t (2H, $CH_2\underline{CH_2}$, *J* 7.3 Hz), 3.19 t (2H, $\underline{CH_2}CH_2$, *J* 7.3 Hz), 4.02 s (2H, NH_2), 6.49 t (1H, H^4_{phenyl}, *J* 7.3 Hz), 6.67 d (1H, H^5_{phenyl}, *J* 7.3 Hz), 6.89 t (1H, H^3_{phenyl}, *J* 7.3 Hz), 6.96 d (1H, H^2_{phenyl}, *J* 7.3 Hz), 7.61 t (1H, $H^7_{quinoline}$, *J* 7.3 Hz), 7.84 s (1H, $H^3_{quinoline}$), 7.94 s (1H, $H^5_{quinoline}$), 8.32 d (1H, $H^8_{quinoline}$, *J* 7.3 Hz). Found, %: C 74.45; H 5.90; N 9.13. $C_{19}H_{18}N_2O_2$. Calculated, %: C 74.49; H 5.92; N 9.14.

2-[2-(2-Aminophenyl)ethyl]-6-methoxyquinoline-4-carboxylic acid (IX) was obtained from compound **III**. Yield 0.79 g (82%), beige crystals, mp 243–244°C (from 2-propanol). ^{1}H NMR spectrum, δ, ppm: 2.91 t (2H, $CH_2\underline{CH_2}$, *J* 7.3 Hz), 3.17 t (2H, $\underline{CH_2}CH_2$ *J* 7.3 Hz), 3.88 s (3H, OMe), 3.89 s (2H, NH_2), 6.45 t (1H, H^4_{phenyl}, *J* 7.3 Hz), 6.62 d (1H, H^5_{phenyl}, *J* 7.3 Hz), 6.87 t (1H, H^3_{phenyl}, *J* 7.3 Hz), 6.93 d (1H, H^2_{phenyl}, *J* 7.3 Hz), 7.42 t (1H, $H^7_{quinoline}$, *J* 7.34 Hz), 7.89 s (1H, $H^3_{quinoline}$), 7.96 s (1H, $H^5_{quinoline}$), 8.07 d (1H, $H^8_{quinoline}$, *J* 7.34 Hz). Found, %: C 70.78; H 5.60; N 8.67. $C_{19}H_{18}N_2O_3$. Calculated, %: C 70.79; H 5.63; N 8.69.

^{1}H NMR spectra were registered on a spectrometer Bruker AC-300 (300 MHz) in DMSO-d_6, internal reference TMS. Elemental analysis was performed on an analyzer Euro Vector EA 3000. The reaction progress was monitored and the homogeneity of compounds obtained was checked by TLC on Silufol UV-254 plates, eluent CCl_4–acetone, 6 : 1.

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