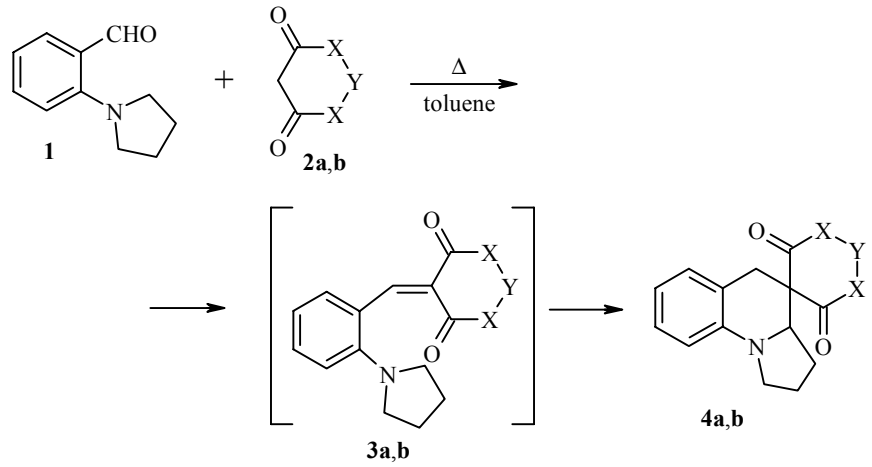
SYNTHESIS OF SPIRO DERIVATIVES OF PYRROLO[1,2-*a*]QUINOLINE

T. V. Glukhareva, E. V. D'yachenko, and Yu. Yu. Morzherin

Keywords: pyrrolo[1,2-*a*]quinolines, spiro compounds, *tert*-amino effect, heterocyclization, Knoevenagel condensation.

o-Vinyl-N,N-dialkylanilines are known to cyclize at the α-methylene carbon atom of the dialkylamino group to give partially hydrogenated quinolines when boiled in butanol [1]. The reaction occurs *via* the *tert*-amino effect [2].

We have shown that under Knoevenagel condensation conditions [3] *o*-pyrrolidinobenzaldehyde (**1**) forms spiro-coupled pyrrolo[1,2-*a*]quinolines **4** with cyclic CH-active compounds **2a-c**. In contrast to the reaction of benzaldehydes with non-cyclic malonic acid derivatives [3], the intermediate vinyl derivatives **3** were not isolated. So we have developed a one-stage method for the synthesis of novel spiro derivatives of pyrrolo[1,2-*a*]quinoline.



2–4 **a** X = Y = CH_2, **b** X = O, Y = CMe_2

1,2,3,3a,4,5-Hexahydropyrrolo[1,2-*a*]quinoline-4-spiro-2'-cyclohexan-1',3'-dione (4a). *o*-Pyrrolidinobenzaldehyde (**1**) (0.3 g, 1.71 mmol) and cyclohexan-1,3-dione **3a** (0.19 g, 1.71 mmol) were boiled in toluene (20 ml). After 3 h the toluene was evaporated and the residue was recrystallized from ethanol. Yield 0.28 g (60%); mp 100-102°C. IR spectrum (KBr), ν, cm^{-1}: 3060, 3000, 2915 (CH), 1740, 1725 (CO).^{1}H NMR spectrum (400 MHz, DMSO-d_6), δ, ppm, *J* (Hz): 1.50-2.21 (6H, m, $3CH_2$); 2.25 (1H, ddd, *J* = 15.2, 5.6, and 4.8, COCH); 2.54 (1H, ddd, *J* = 15.0, 4.9, and 4.3, COCH); 2.75-3.10 (3H, m, 2COCH and NCH); 2.85 and 3.36 (2H, AB,

Ural State Technical University, Ekaterinburg 620002, Russia; e-mail: morzherin@htf.ustu.ru.
Translated from Khimiya Geterotsiklicheskikh Soedinenii, No. 11, 1610-1611, November, 2002. Original article submitted June 21, 2002.

 0009-3122/02/3811-1426$27.00

J = 15.2, CH_2Ar); 3.49 (1H, dd, J =7.9 and 6.7, NCH); 3.82 (1H, dd, J =10.1 and 5.8, NCH); 6.40-6.47 (2H, m, Ar); 6.92 (1H, dd, J = 7.9, ArH); 7.00 (1H, ddd, J = 8.0 and 7.3, ArH). Mass spectrum, *m/z* (I_{rel}, %): 269 (94) [$M^{+\cdot}$]. Found, %: N 5.26. $C_{17}H_{19}NO_2$. Calculated, %: N 5.20.

1,2,3,3a,4,5-Hexahydropyrrolo[1,2-*a*]quinoline-4-spiro-5'-2',2'-dimethyl-1',3'-dioxan-4',6'-dione (4b) was synthesized analogously. Yield 0.29 g, (56%); mp 139-141°C. IR spectrum (KBr), ν, cm^{-1}: 3035, 2975, 2940, 2860, 2840 (CH), 1780, 1730 (CO). ^{1}H NMR spectrum (400 MHz, DMSO-d_6), δ, ppm, J (Hz): 1.50-1.62 (1H, m, CH); 1.72 (3H, s, Me); 1.79 (3H, s, Me); 1.87-1.98 (2H, m, 2CH); 2.01-2.19 (1H, m, CH); 3.10 (1H, dd, J = 16.5 and 8.2, NCH); 3.33 (2H, s, CH_2Ar); 3.58 (1H, dd, J = 9.4 and 5.8, NCH); 3.84 (1H, dd, J = 8.9 and 6.1, NCH); 6.54-6.60 (2H, m, ArH); 7.03-7.11 (2H, m, ArH). Mass spectrum, *m/z* (I_{rel}, %): 302 [M + 1]. Found, %: N 5.12. $C_{17}H_{19}NO_2$. Calculated, %: N 4.65.

This work was supported by financial subvention of the CDRF (Ural NOTs REC-005).

REFERENCES

1. W. Verboom and D. N. Reinhoudt, *Rec. Trav. Chim. Pay-Bas.,* **109**, 311 (1990).
2. O. Meth-Cohn and H. J. Suschitzky, *Adv. Heterocycl. Chem.,* **14**, 211 (1972).
3. W. H. N. Nijhuis, W. Verboom, A. Abu El-Fadl, S. Harkema, and D. N. Reinhoudt, *J. Org. Chem.,* **54**, 199 (1989).