Chemistry of Heterocyclic Compounds, Vol. 39, No. 11, 2003

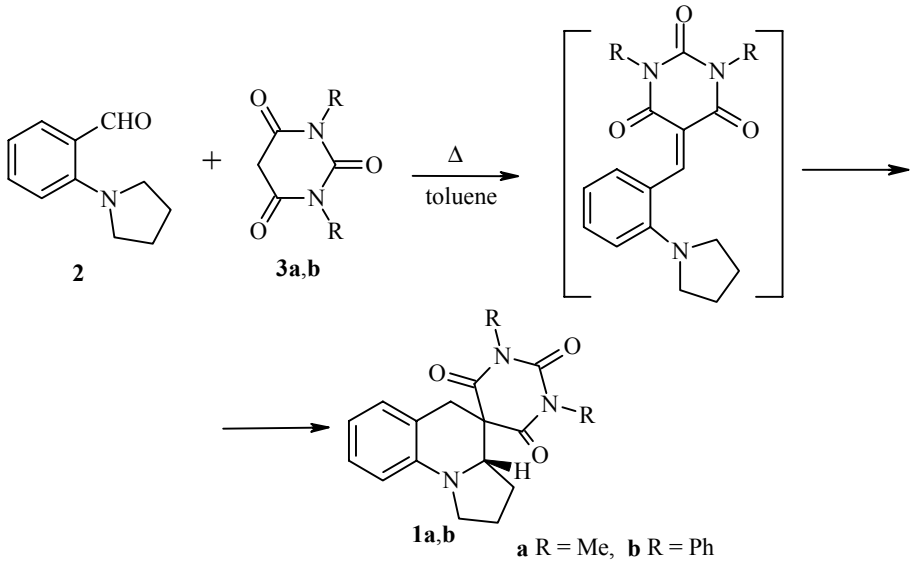
SYNTHESIS OF SPIRO[PYRIMIDINE-5,4'-PYRROLO[1,2-*a*]QUINOLINE]-2,4,6-TRIONES

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

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

While continuing our studies in the field of condensed quinolines [1], we have developed a simple and convenient method for synthesis of a novel heterocyclic system: spiro[pyrimidine-5,4'-pyrrolo[1,2-*a*]quinoline]-2,4,6-trione **1**.

We have shown that when *o*-pyrrolidinobenzaldehyde (**2**) reacts with N,N-disubstituted barbituric acids **3a,b**, the spiro-linked pyrimidine-5,4'-pyrrolo[1,2-*a*]quinolines **1a,b** are formed in 60% and 40% yields. The reaction mechanism probably includes Knoevenagel condensation followed by cyclization according to a *tert*-amino effect mechanism. We should note that the reaction does not occur with monosubstituted barbituric acids under similar conditions.



1,3-Dimethyl-1',2',3',3a',4',5'-hexahydrospiro[pyrimidine-5,4'-pyrrolo[1,2-*a*]quinoline]-2,4,6-trione (1a). A mixture of *o*-pyrrolidinobenzaldehyde **2** (0.3 g, 1.71 mmol) and N,N-dimethylbarbituric acid **3a** (0.18 g, 1.71 mmol) was refluxed in toluene (20 ml); the end of the reaction was determined using TLC. The solvent was evaporated off under vacuum and the residue was triturated with ethanol. Yield 0.7 g (60%); mp 160°C. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm (*J*, Hz): 7.00 (1H, dd, *J* = 8.3, *J* = 7.9, ArH); 6.92 (1H, d, *J* = 7.3, ArH);

Urals State Technical University, Ekaterinburg 620002, Russia; e-mail: morzherin@htf.ustu.ru.
Translated from Khimiya Geterotsiklicheskikh Soedinenii, No. 11, pp. 1737-1738. November, 2003. Original article submitted August 21, 2003.

 0009-3122/03/3911-1532$25.00

6.53 (1H, dd, J = 7.3, J = 7.9, ArH); 6.48 (1H, d, J = 8.3, ArH); 3.68 (1H, dd, J = 6.4, J = 2.7, NCH); 3.41-3.55 (1H, m, NCH); 3.38 and 3.20 (2H, J = 10.0, AB, CH_2Ar); 3.24 (3H, s, CH_3); 3.15-3.20 (1H, m, CH); 3.08 (3H, s, CH_3); 1.85-2.11 (3H, m, 3CH); 1.41-1.58 (1H, m, CH). IR spectrum (KBr), ν, cm^{-1}: 3060, 3020, 2955, 2940, 2925, 2850 (CH); 1745, 1680, 1660 (CO). Mass spectrum, m/z (I_{rel}, %): [M+1] 313 (100). Found, %: N 13.77. $C_{17}H_{19}N_3O_3$. Calculated, %: N 13.41.

1,3-Diphenyl-1',2',3',3a',4',5'-hexahydrospiro[pyrimidine-5,4'-pyrrolo[1,2-*a*]quinoline]-2,4,6-trione (**1b)** was synthesized by a similar procedure from N,N-diphenylbarbituric acid (0.48 g, 1.71 mmol). Yield 0.54 g (40%); mp 200°C. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm (J, Hz): 7.28-7.55 (8H, m, ArH); 7.12-7.24 (2H, m, ArH); 6.91-7.06 (2H, m, ArH); 6.52 (1H, dd, J = 7.3, J = 6.7, ArH); 6.44 (1H, d, J = 8.2, ArH); 3.73-3.85 (1H, m, NCH); 3.33 and 3.56 (2H, J = 16.7, AB, CH_2Ar); 3.20-3.30 (1H, m, NCH); 2.90-3.00 (1H, m, NCH); 2.23-2.50 (1H, m, CH); 1.98-2.20 (3H, m, 3CH). IR spectrum (KBr), ν, cm^{-1}: 3065, 3035, 2985, 2920, 2860 (CH); 1750, 1685 (CO). Mass spectrum, m/z (I_{rel}, %): [M+1] 437 (90). Found, %: N 9.57. $C_{27}H_{23}N_3O_3$. Calculated, %: N 9.60.

This research was done with the financial support of grants from the Russian Foundation for Basic Research and CDRF (Urals NOTs REC-005).

REFERENCES

1. T. V. Glukharev, E. V. D'yachenko, and Yu. Yu. Morzherin, *Khim. Geterotsikl. Soedin.*, 1610 (2002).
2. W. Verboom and D. N. Reinhoudt, *Rec. Trav. Chim. Pay-Bas.*, **109**, 311 (1990).