Chemistry of Heterocyclic Compounds, Vol. 38, No. 6, 2002

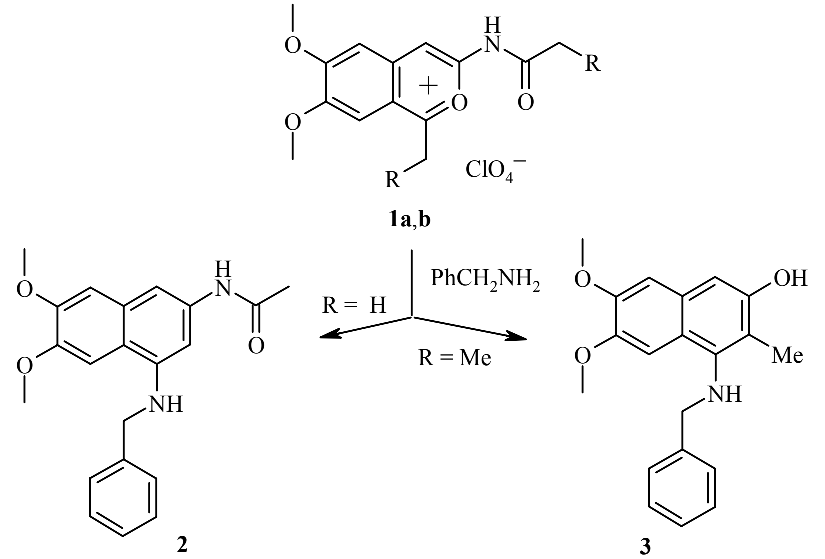
NEW TRANSFORMATIONS OF 3-ACYLAMINOBENZO[*c*]PYRILIUM SALTS

S. L. Bogza[1], A. A. Malienko[2], S. Yu. Suikov[2], K. I. Suikov[2], K. I. Kobrakov[1], and V. I. Dulenko[2]

Keywords: benzo[*c*]pyrilium, naphthalene, primary amine, recyclization.

The examples reported for the recyclization of 3-acylaminobenzo[*c*]pyrilium salts are limited to their reactions with ammonia [1] and *ortho*-phenylenediamine [2], although the structure of these compounds would lead us to expect a great variety of transformations. In a study of 3-acylaminobenzo[*c*]pyrilium salts with nitrogen-containing nucleophiles, we assumed that the formation of 1,3-aminonaphthol derivatives would be possible in their reactions with amines as in the case of 5-alkyl-2-oxobenzo[*c*]pyrrolo[3,2-*e*]pyrilium perchlorates [3]. The structure of the products of the reactions of 3-acylamino-1-alkylbenzo[*c*]pyrilium salts (**1**) with benzylamine was found to depend on the length of the alkyl substituent at C(1) in the benzo[*c*]pyrilium cation.

Heating 3-acetylamino-6,7-dimethoxy-1-methylbenzo[*c*]pyrilium perchlorate (**1a**) with two equivalents of benzylamine gives 3-acetylamino-1-benzylamino-6,7-dimethoxynaphthalene (**2**), whose structure and composition were indicated by IR and ^{1}H NMR spectroscopy and elemental analysis. Under the same conditions, salt **1b** is converted into the "normal" product, aminonaphthol **3**. Recyclization with retention of the acetylamino group was quite unexpected since the reported reactions of 1-acylaminobenzo[*c*]pyrilium cations feature either loss of the acylamino group [1, 2] or participation of this group in intramolecular recyclization to give 3-hydroxyisoquinoline derivatives [4].



1 **a** R = H, **b** R = Me

---

[1] A. N. Kosygin Moscow State Textile University, 117918 Moscow, Russia; e-mail: serge_zh@yahoo.com.
[2] L. M. Litvinenko Institute of Physical Organic and Coal Chemistry, National Academy of Sciences of Ukraine, Donetsk, Ukraine. Translated from Khimiya Geterotsiklicheskikh Soedinenii, No. 6, pp. 841-843, June, 2002. Original article submitted January 16, 2002.

The step involving closure of the new ring probably depends on the bulk of the substituent at the carbon atoms forming the new C–C bond. Thus, the conversion of salt **1a** (R = H) proceeds with retention of the amide residue, while an increase in the bulk of the substituent at the carbon atom participating in the formation of the carbocycle (R = CH_3) leads to the transformation of the NHCOAlk fragment into a leaving group.

The ^1H NMR spectra were taken on a Varian Gemini spectrometer at 200 MHz.

3-Acetylamino-1-benzylamino-6,7-dimethoxynaphthalene (2) was obtained in 70% yield; mp 210-212°C. IR spectrum in nujol suspension, ν, cm^{-1}: 3390 (O–H), 3285 (N–H), 1685 (C=O). ^1H NMR spectrum (DMSO-d_6), δ, ppm, *J* (Hz): 1.98 (3H, s, CH_3); 3.83 (3H, s, OCH_3); 3.87 (3H, s, OCH_3); 4.45 (2H, d, CH_2); 6.37 (1H, s, H arom); 6.70 (1H, t, NH); 7.03 (1H, s, H arom); 7.20 (1H, t, H arom); 7.30 (2H, t, H arom); 7.40 (2H, d, H arom); 7.49 (2H, s, H arom); 9.59 (1H, br. s, NH). Found, %: C 71.1; H 6.02; N 7.90. $C_{21}H_{22}N_2O_3$. Calculated, %: C 71.9; H 6.3; N 8.0.

1-Benzylamino-3-hydroxy-6,7-dimethoxy-2-methylnaphthalene (3) was obtained in 63% yield; mp 119-120°C. IR spectrum in nujol suspension, ν, cm^{-1}: 3430 (N–H), 3380 (N–H), 1625 (C=O). ^1H NMR spectrum (DMSO-d_6), δ, ppm: 2.20 (3H, s, CH_3); 3.71 (3H, s, OCH_3); 3.81 (3H, s, OCH_3); 4.22 (2H, d, CH_2); 4.80 (1H, t, NH); 6.79 (1H, s, H arom); 6.98 (1H, s, H arom); 7.24 (1H, t, H arom); 7.27 (2H, d, H arom); 7.35 (1H, s, H arom); 7.39 (2H, t, H arom); 9.26 (1H, s, OH).

REFERENCES

1. G. N. Dorofeenko, V. G. Korobkova, and S. V. Krivun, in: *Oxygen-Containing Heterocycles* [in Russian], Zinatne, Riga (1970), p. 200.
2. Yu. P. Andreichikov, G. E. Trukhan, S. N. Lyubchenko, and G. N. Dorofeenko, *Khim. Geterotsikl. Soedin.*, 238 (1976).
3. S. L. Bogza, A. A. Malienko, S. Yu. Suikov, M. Yu. Zubritskii, K. I. Kobrakov, and V. I. Dulenko, *Zh. Org. Khim.*, **32**, 596 (1996).
4. Yu. A. Nikolyukin, S. L. Bogza, and V. I. Dulenko, *dep. VINITI*, No. 7387-V (1985).