Cyclocondensation of 5-ethynyl-1,4-naphthoquinone derivatives with hydrazine

I. D. Ivanchikova, R. N. Myasnikova, and M. S. Shvartsberg★

Institute of Chemical Kinetics and Combustion, Siberian Branch of the Russian Academy of Sciences, 3 ul. Institutskaya, 630090 Novosibirsk, Russian Federation. Fax: +7 (383 2) 34 2350. E-mail: shvarts@kinetics.nsc.ru

Condensation of 5-arylethynyl-3-diethylaminonaphthoquinones with NH_2NH_2 afford 3-benzyl-9-diethylaminobenzo[*de*]cinnolin-7-ones. The substituents in the phenyl ring have a pronounced effect on the reaction time and the yields of benzocinnolinones and by-products. The replacement of the arylethynyl substituent in the starting naphthoquinone by the 3-hydroxyalk-1-ynyl group leads to a change in the direction of cyclization resulting in substituted naphtho[1,8-*cd*]-1,2-diazepin-8-ones as condensation products.

Key words: 3-diethylamino-5-ethynyl-1,4-naphthoquinones, hydrazine, cyclocondensation, substituted benzo[*de*]cinnolin-7-ones, substituted naphtho[1,8-*cd*]-1,2-diazepin-8-ones.

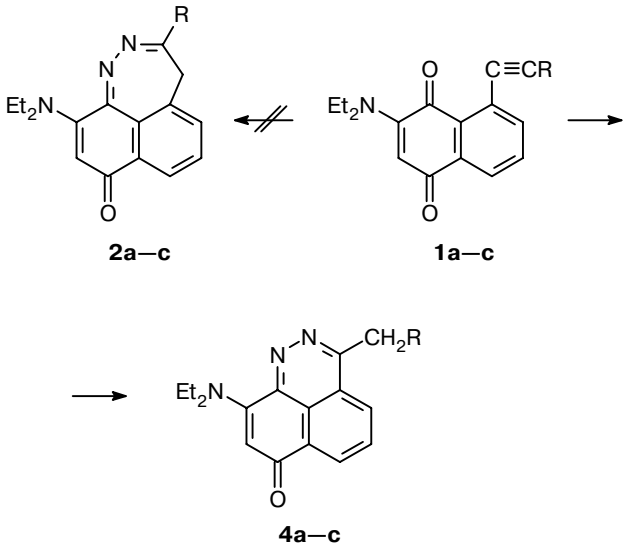
1-Ethynyl derivatives of 9,10-anthraquinone react with NH_2NH_2 to form 4*H*-anthra[9,1-*cd*]-1,2-diazepin-8-ones and/(or) 7*H*-dibenzo[*de*,*h*]quinolin-7-ones.[1—3] Under the reaction conditions, anthradiazepinones undergo reductive contraction of the heterocycle to give the corresponding pyridineanthrones.

In the present study, we extended this heterocyclization reaction to 5-ethynyl-1,4-naphthoquinones (for preliminary communications, see Refs. 4 and 5). To prevent the competitive replacement of the H atom at position 2 or 3 of the initial naphthoquinone by the hydrazino group, its quinoid ring was protected with the dialkylamino group.

Results and Discussion

Condensation of 3-diethylamino-5-phenylethynyl-1,4-naphthoquinone (**1a**) with an excess of $NH_2NH_2 \cdot H_2O$ in boiling pyridine (8 h) afforded the major reaction product in 60% yield. This corresponded in composition to the expected naphthodiazepinone **2a** (Scheme 1). However, the ^1H NMR spectrum of this adduct contains a singlet for the protons of the methylene group at δ 4.81, whereas the signals for the protons of the CH_2 group of the nonplanar seven-membered heterocycle in the spectra of 4*H*-anthra[9,1-*cd*]-1,2-diazepin-8-ones (**3**) are always observed as two characteristic doublets.[1,2] Thus in the spectrum of 3-phenyldiazepinone **3**, which is an analog of **2a**, the signals for these protons are observed at δ 3.13 and 4.43 with J_{gem} = 13.3 Hz. In this connection, it can be assumed that the resulting adduct contains either the seven-membered 3-phenyldiazepine ring, which is substantially more flexible than that in anthradiazepinones, or the benzyl-substituted six-membered pyridazine ring and, consequently, this adduct is 3-benzyl-9-diethylaminobenzo[*de*]cinnolin-7-one (**4a**). The mass spectrum of the adduct has intense peaks at *m/z* 91 and 252 corresponding to the $[PhCH_2]^+$ and $[M - PhCH_2]^+$ ions, respectively, which counts in favor of structure **4a** (Table 1). For comparison, the mass spectrum of anthradiazepinone **3a** does not have peaks of the $[PhCH_2]^+$ and $[M - PhCH_2]^+$ ions; the most intense peak in this spectrum belongs to the $[M - PhCCH_2]^+$ ion with *m/z* 219.

Scheme 1



R = Ph (**a**), *p*-C_6H_4—OMe (**b**), *p*-C_6H_4—NO_2 (**c**)

The structure of the condensation product derived from compound **1a** was confirmed by its oxidation with active MnO_2 under mild conditions (Scheme 2). The

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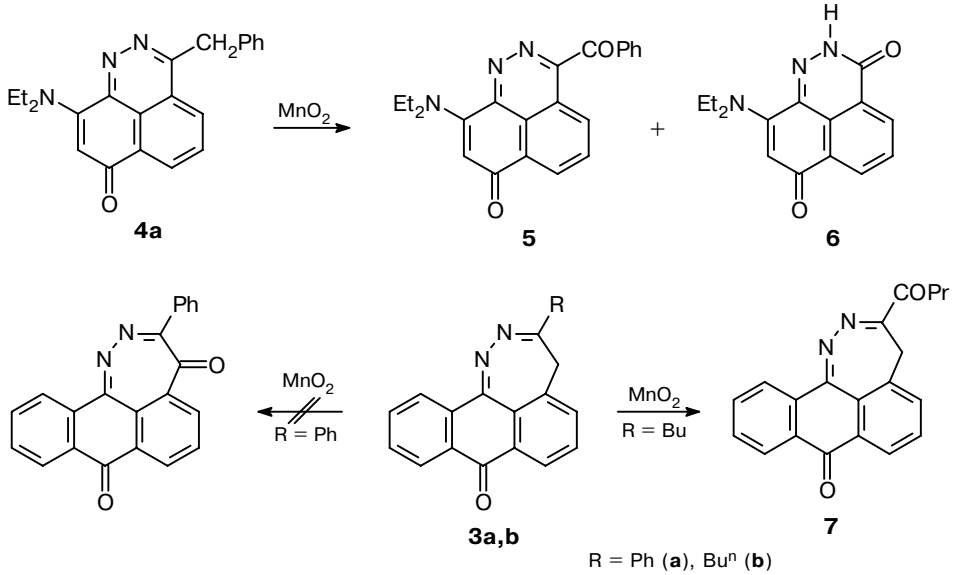
Table 1. Properties of the condensation products of alkynylnaphthoquinones **2d—f**, **4a,c** with hydrazine hydrate

Product	Yield (%)	M.p./°C (benzene—hexane)	Found / Calculated (%) C	H	N	Molecular formula	^{1}H NMR ($CDCl_3$), δ (*J*/Hz)
4a	59	152—153	76.70 76.94	6.17 6.16	12.19 12.24	$C_{22}H_{21}N_3O$	1.43 (t, 6 H, CH_3, *J* = 6.9); 3.92 (q, 4 H, CH_2N, *J* = 6.9); 4.81 (s, 2 H, CH_2); 6.09 (s, 1 H, C(8)H); 7.15—7.40 (m, 5 H, Ph); 7.85—7.90 (m, 1 H, C(5)H); 8.20 (d, 1 H, C(4)H, C(6)H, *J* = 7.9); 8.55 (d, 1 H, C(6)H, C(4)H, *J* = 7.0)
4c	20	165—166	67.77 68.03	5.16 5.14	14.34 14.42	$C_{22}H_{20}N_4O_3$	(toluene-d_8) 1.04 (t, 6 H, CH_3, *J* = 7.0); 3.31 (q, 4 H, CH_2N, *J* = 7.0); 4.11 (s, 2 H, CH_2); 5.95 (s, 1 H, C(8)H); 6.70—7.20 (m, 3 H, C(5)H, C(2′)H, C(6′)H); 7.30 (d, 1 H, C(4)H, C(6)H, *J* = 8.4); 7.64 (d, 2 H, C(3′)H, C(5′)H, *J* = 8.0); 8.43 (d, 1 H, C(6)H, C(4)H, *J* = 7.3)
2d	53	88—89	70.35 70.13	7.20 7.12	12.76 12.91	$C_{19}H_{23}N_3O_2$	1.28 (t, 6 H, $\underline{CH_3}CH_2$, *J* = 7.0); 1.43 (s, 3 H, CH_3); 1.55 (s, 3 H, CH_3); 2.78 (d, 1 H, C(4)H_a, *J* = 12.7); 3.91 (d, 1 H, C(4)H_b, *J* = 12.7); 3.45—3.65 (m, 4 H, CH_2N); 3.85 (br.s, 1 H, OH); 5.69 (s, 1 H, C(9)H); 7.32 (d, 1 H, C(5)H, *J* = 7.6); 7.56 (t, 1 H, C(6)H, *J* = 7.6); 7.92 (d, 1 H, C(7)H, *J* = 7.6)
2e	53	147—148	72.20 72.30	7.47 7.45	11.54 11.50	$C_{22}H_{27}N_3O_2$	1.29 (t, 6 H, CH_3, *J* = 7.0); 1.40—2.00 (m, 10 H, *cyclo*-C_6H_{10}); 2.73 (d, 1 H, C(4)H_a, *J* = 12.7); 3.95 (d, 1 H, C(4)H_b, *J* = 12.7); 3.30 (br.s, 1 H, OH); 3.40—3.65 (m, 4 H, CH_2N); 5.72 (s, 1 H, C(9)H); 7.35 (d, 1 H, C(5)H, *J* = 7.5); 7.59 (t, 1 H, C(6)H, *J* = 7.5); 8.00 (d, 1 H, C(7)H, *J* = 7.5)
2f	56	156—157	71.73 71.77	7.23 7.17	11.82 11.97	$C_{21}H_{25}N_3O_2$	(mixture of diastereomers) −0.20÷0.15, 0.30—0.70, 0.80—1.00 (m, 5 H, *cyclo*-C_3H_5); 1.20—1.55 (m, 9 H, $\underline{CH_3}CH_2$, CH_3C); 2.90 (d, 1 H, C(4)H_a, *J* = 12.6); 3.91 (d, 1 H, C(4)H_b, *J* = 12.6); 3.45—3.65 (m, 4 H, CH_2N); 3.73 (br.s, 1 H, OH); 5.74 (s, 1 H, C(9)H); 7.31, 7.35 (both d, 1 H, C(5)H); 7.58, 7.62 (both t, 1 H, C(6)H); 8.03, 8.06 (both d, 1 H, C(7)H)

reaction afforded 3-benzoyl-9-diethylaminobenzo[*de*]cinnolin-7-one (**5**) in 66% yield along with 9-diethylaminobenzo[*de*]cinnoline-3,7-dione (**6**) (8%). The structure of compound **5** is unambiguously evidenced by the analytical and spectroscopic data. In the ^{1}H NMR spectrum of **5**, the signal for the methylene protons is absent and the signal for the *ortho*-H atoms of the phenyl ring is shifted downfield by ~0.7 ppm relative to

Scheme 2



the signal for the *ortho*-H atom in the spectrum of the initial compound **4a**, which indicates that the carbonyl group in compound **5** is directly bound to the phenyl ring. The mass spectrum of compound **5** contains intense peaks at *m/z* 105 and 252 corresponding to the $[PhCO]^+$ and $[M - PhCO]^+$ ions, respectively.

It should be noted that phenyldiazepineanthrone **3a** was not oxidized under these conditions, whereas its butyl analog **3b** afforded 3-butyryl derivative **7** in 89% yield. In the ^1H NMR spectrum of anthradiazepinone **7**, two doublets of the methylene unit of the heterocycle are retained and signals for seven protons of the PrCO group appear instead of the signals for nine protons of the Bu group observed in the spectrum of the starting compound **3b**.

Therefore, condensation of 3-diethylamino-5-phenylethynyl-1,4-naphthoquinone (**1a**) with hydrazine, in contrast to that of 1-phenylethynyl- and other 1-ethynyl-9,10-anthraquinones, proceeded with the closure of the pyridazine ring rather than of the diazepine or pyridine ring.

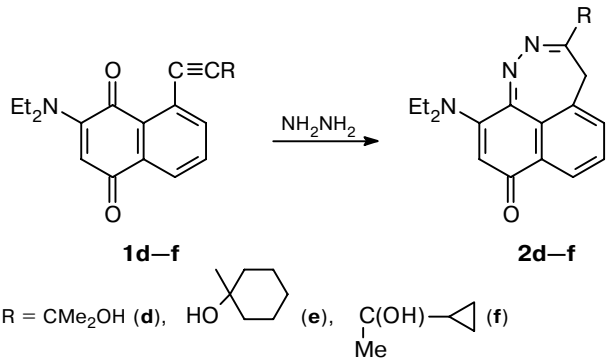
At the same time, this reaction proved to be very sensitive to the structure of the starting ethynylnaphthoquinone. The introduction of the electron-withdrawing methoxy group at the *para* position of the benzene ring in the acetylene substituent led to a substantial increase in the reaction time (up to 30—35 h). Due to relative lability of naphthoquinone derivatives, the reaction mixture underwent extensive resinification and the yield of the product sharply decreased. 9-Diethylamino-3-*p*-methoxybenzylbenzo[*de*]cinnolin-7-one (**4b**) was not isolated in the analytically pure form, but its structure was confirmed by the ^1H NMR spectroscopic data.

On the contrary, condensation of 3-diethylamino-5-*p*-nitrophenylethynyl-1,4-naphthoquinone (**1c**) containing the electron-withdrawing nitro group with $NH_2NH_2 \cdot H_2O$ proceeded vigorously and was completed in 1—3 min. The nitro group in compound **1c** is, apparently, rather reactive and can act as an oxidant under the reaction conditions. This is, evidently, responsible for the fact that condensation gave rise to a mixture of products. From this mixture, we isolated and identified the expected benzocinnolinone **4c** in 19% yield (see Table 1) and debenzylated benzocinnoline **6** in 34% yield. The latter compound was also obtained as a by-product upon oxidation of cinnoline **4a** with MnO_2.

The results of our study demonstrate that the direction of cyclocondensation of acetylenylquinone **1a** with $NH_2NH_2 \cdot H_2O$ is retained in the series of 5-arylethynyl-1,4-naphthoquinones, but this reaction is complicated by side processes and, hence, is of limited synthetic application.

In the subsequent experiments, we unexpectedly found that unlike the reactions of arylethynylnaphthoquinones **1a—c** with $NH_2NH_2 \cdot H_2O$, the reactions involving 3-hydroxyalk-1-ynyl derivatives **1d—f** performed under the same conditions proceeded with the closure of the seven-membered ring rather than of the six-membered ring, like the reactions of 1-alkynylanthraquinones[1,2] (Scheme 3).

Scheme 3

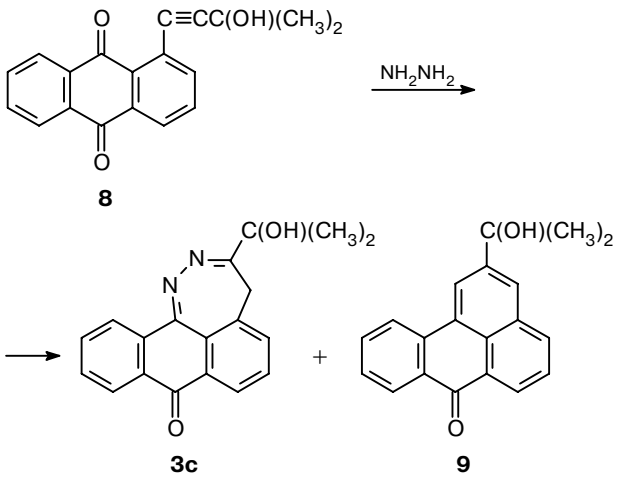


Substituted 4*H*-naphtho[1,8-*cd*]-1,2-diazepin-8-ones (**2d—f**) were isolated in 53—56% yields (see Table 1).

The ^1H NMR spectra of compounds **2d—f** have two doublets at δ 2.73—2.90 and 3.91—3.95 with the geminal spin-spin coupling constant 12.6—12.7 Hz, which is typical of the methylene unit of the nonplanar diazepine ring, instead of the singlet of the α-CH_2 group of the side chain observed in the spectra of benzocinnolinones **4a—c**. It should be noted that the naphthodiazepinone molecules are chiral due to the rigid polycyclic skeleton containing the nonplanar seven-memebred heterocycle, which does not undergo inversion (within the NMR time scale), and compounds **2e,f** occur as mixtures of enantiomers. In the presence of the second chiral center in the naphthodiazepinone molecule, two diastereomeric pairs of such compounds can exist. This should be true for compound **2f** possessing the asymmetric carbon atom in the side chain. The occurrence of compound **2f** as a diastereomeric mixture is confirmed by the character of its ^1H NMR spectrum. Thus in the spectra of **2d,e**, the signals for the C(5)H and C(7)H protons of the benzene ring are observed as doublets at δ 7.32—7.35 and 7.92—8.00, respectively, and the signal for the C(6)H proton is observed as a triplet at δ 7.56—7.59 with the vicinal spin-spin coupling constant 7.5—7.6 Hz, whereas the signals for the C(5)H, C(7)H, and C(6)H protons in the spectrum of **2f** are doubled and are observed respectively as two doublets at δ 7.31 and 7.35, two doublets at δ 8.03 and 8.06, and two triplets at δ 7.58 and 7.62 with the spin-spin coupling constant of the same order. The ratio of the diastereomeric forms of compound **2f** was ~1 : 1.

Therefore, the replacement of the arylethynyl substituent in the starting compounds **1** by the 3-hydroxyalkynyl group leads to a change in the regioselectivity of cyclocondensation and the reaction affords derivatives of a new heterocyclic system, *viz.*, 4*H*-naphtho[1,8-*cd*]-1,2-diazepine, as the major products.

Scheme 4



We believe that the change in the direction of cyclization results from the association of the hydroxy group of the substrate with hydrazine through hydrogen bonds rather than from the difference in the electronic effects of the hydroxyalkyl and aryl groups. The mutual orientation and the short distance between the reaction centers of the reagents, *viz.*, between C^2 of the triple bond and the NH_2 group, should be favorable for acceleration of their reaction compared to competitive condensation of hydrazine at the carbonyl group. The indirect evidence for this fact is a noticeable decrease in the reaction time of cyclocondensation of NH_2NH_2 with 1-alkynylanthraquinones[1] observed on going from alkynyl and arylethynyl derivatives to hydroxyalkynyl derivatives. Thus the reaction of 1-(3-hydroxy-3-methylbut-1-ynyl)-9,10-anthraquinone **8** proceeded 2—4-fold faster than the reactions of alkynylanthraquinones devoid of the hydroxy group in the side chain[2] (Scheme 4).

The change in the regioselectivity of cyclocondensation of hydrazine with 2-alkynyl-1-chloroanthraquinones on introduction of the hydroxy group into the alkynyl substituent[5] is even more prominent because in this case the transfer of the electronic effects through bonds is weaker than that in the case of alkynylnaphthoquinones **1**.

Experimental

The ^1H NMR spectra were recorded on Bruker AM-250 and Bruker DPX-200 spectrometers in $CDCl_3$ at 25 °C. The TLC analysis was carried out on Silufol plates.

3-Diethylamino-1,4-naphthoquinone derivatives **1a—f** were prepared according to a procedure described previously.[6] Their characteristics are given in Table 2.

3-Benzyl-9-diethylaminobenzo[*de*]cinnolin-7-one (4a). A mixture of naphthoquinone **1a** (0.60 g, 1.8 mmol) and $NH_2NH_2 \cdot H_2O$ (1.00 g, 20 mmol) in pyridine (6 mL) was refluxed for 8 h (TLC control in $CHCl_3$), diluted with $CHCl_3$ (150 mL), and washed with dilute HCl and water. Compound **4a** was isolated by chromatography on Al_2O_3 in benzene and

Table 2. Properties of the 5-alkynyl derivatives of 3-diethylamino-1,4-naphthoquinone **1a—f**

Compound	Yield (%)	M.p./°C (benzene—hexane)	Found / Calculated (%)			Molecular formula	^1H NMR ($CDCl_3$), δ (*J*/Hz)
			C	H	N		
1a	80	102—103*					
1b	93	106—107	76.68 76.86	5.91 5.89	3.95 3.90	$C_{23}H_{21}NO_3$	1.32 (t, 6 H, CH_3, *J* = 6.9); 3.51 (q, 4 H, CH_2N, *J* = 6.9); 3.83 (s, 3 H, OCH_3); 5.81 (s, 1 H, C(2)H); 6.90 (d, 2 H, C(3′)H, C(5′)H, *J* = 8.6); 7.45—7.70 (m, 4 H, C(6)H, C(8)H, C(7)H, C(2′)H, C(6′)H); 8.00 (d, 1 H, C(8)H, C(6)H, *J* = 7.4)
1c	94	140—141	70.34 70.58	4.97 4.85	7.51 7.48	$C_{22}H_{18}N_2O_4$	1.32 (t, 6 H, CH_3, *J* = 6.8); 3.53 (q, 4 H, CH_2N, *J* = 6.8); 5.84 (s, 1 H, C(2)H); 7.60—7.85 (m, 4 H, C(6)H, C(8)H, C(7)H, C(2′)H, C(6′)H); 8.10 (d, 1 H, C(8)H, C(6)H, *J* = 8.7); 8.23 (d, 2 H, C(3′)H, C(5′)H, *J* = 8.7)
1d	83	102—103*					
1e	66	123—125	74.67 75.19	7.07 7.17	3.88 3.99	$C_{22}H_{25}NO_3$	1.33 (t, 6 H, CH_3, *J* = 7.0); 1.50—2.10 (m, 10 H, *cyclo*-C_6H_{10}); 3.46 (q, 4 H, CH_2N, *J* = 7.0); 3.70 (br.s, 1 H, OH); 5.84 (s, 1 H, C(2)H); 7.40—7.70 (m, 2 H, C(6)H, C(8)H, C(7)H); 8.00 (d, 1 H, C(8)H, C(6)H, *J* = 7.3)
1f	87	85—86	74.63 74.75	7.00 6.87	4.39 4.15	$C_{21}H_{23}NO_3$	0.40—0.90 (m, 5 H, *cyclo*-C_3H_5); 1.29 (t, 6 H, CH_3CH_2N, *J* = 7.0); 1.70 (s, 3 H, CH_3); 2.37 (br.s, 1 H, OH); 3.45 (q, 4 H, CH_2N, *J* = 7.0); 5.78 (s, 1 H, C(2)H); 7.40—7.70 (m, 2 H, C(6)H, C(8)H, C(7)H); 7.98 (d, 1 H, C(8)H, *J* = 7.2)

* See the published data.[7]

$CHCl_3$ in a yield of 0.37 g (see Table 1). MS, m/z (I_{rel} (%)): 343 $[M]^+$ (39), 314 $[M - Et]^+$ (88), 252 $[M - PhCH_2]^+$ (26), 91 $[PhCH_2]^+$ (100).

Analogously, cinnolinone **4c** was obtained from naphthoquinone **1c** (0.40 g, 1.1 mmol) in a yield of 0.08 g; the reaction time was 2—3 min (see Table 1). In addition to **4c**, 9-diethylaminobenzo[*de*]cinnoline-3,7-dione (**6**) was isolated in a yield of 0.10 g (34.0%) by preparative TLC on SiO_2 in $CHCl_3$ and a $CHCl_3$—acetone mixture, m.p. 253—254 °C (toluene—hexane). Found (%): C, 66.69; H, 5.64; N, 15.74. $C_{15}H_{15}N_3O_2$. Calculated (%): C, 66.90; H, 5.61; N, 15.60. ^{1}H NMR, δ: 1.32 (t, 6 H, CH_3, J = 7.0 Hz); 3.69 (q, 4 H, CH_2N, J = 7.0 Hz); 5.98 (s, 1 H, C(8)H); 7.88 (t, 1 H, C(5)H, J = 7.0 Hz); 8.50 and 8.53 (both d, 1 H each, C(6)H, C(4)H, J = 8.0 Hz); 11.32 (br.s, 1 H, NH).

Under the same conditions, naphthoquinone **1b** was condensed with $NH_2NH_2 \cdot H_2O$ for 30 h. A small amount of chromatographically pure cinnolinone **4b** was isolated from a complex mixture of the reaction products. ^{1}H NMR (benzene-d_6), δ: 1.07 (t, 6 H, CH_3, J = 7.0 Hz); 3.21 (s, 3 H, OCH_3); 3.36 (q, 4 H, CH_2N, J = 7.0 Hz); 4.43 (s, 2 H, CH_2); 6.11 (s, 1 H, C(8)H); 6.69 (d, 2 H, C(3′)H, C(5′)H, J = 8.5 Hz); 7.00—7.30 (m, 3 H, C(5)H, C(2′)H, C(6′)H); 7.63 (d, 1 H, C(4)H, C(6)H, J = 8.2 Hz); 8.58 (d, 1 H, C(6)H, C(4)H, J = 7.3 Hz).

10-Diethylamino-3-(1-hydroxy-1-methylethyl)-4*H*-naphtho[1,8-*cd*]-1,2-diazepin-8-one (2d). The reaction of naphthoquinone **1d** (1.00 g, 3.2 mmol) with $NH_2NH_2 \cdot H_2O$ (2.00 g, 40 mmol) in pyridine (20 mL) was carried out as described for compound **4a**. The reaction time was 5.5 h. Compound **2d** was obtained in a yield of 0.55g (see Table 1).

Naphthodiazepinones **2e** and **2f** were prepared analogously.

3-Benzoyl-9-diethylaminobenzo[*de*]cinnolin-7-one (5). A solution of cinnolinone **4a** (0.80 g, 2.3 mmol) in a 1 : 1 mixture of $CHCl_3$ and acetone (120 mL) was stirred with active MnO_2 (8.00 g) at 20 °C for 4 h and then refluxed with stirring for 1 h (TLC control, $CHCl_3$—acetone). The precipitate was filtered off and thoroughly washed with a $CHCl_3$—acetone mixture. The filtrate was concentrated *in vacuo* and chromatographed on Al_2O_3. Compound **5** was obtained in a yield of 0.55 g (66%), m.p. 146—148 °C (benzene—hexane). Found (%): C, 73.64; H, 5.40; N, 11.56. $C_{22}H_{19}N_3O_2$. Calculated (%): C, 73.93; H, 5.36; N, 11.76. ^{1}H NMR, δ: 1.43 (t, 6 H, CH_3, J = 7.0 Hz); 3.92 (q, 4 H, CH_2N, J = 7.0 Hz); 6.17 (s, 1 H, C(8)H); 7.45—7.55 (m, 2 H, C(3′)H, C(5′)H); 7.60—7.75 (m, 1 H, C(4′)H); 7.95—8.10 (m, 3 H, C(5)H, C(2′)H, C(6′)H); 8.27 (d, 1 H, C(4)H, C(6)H, J = 8.5 Hz); 8.66 (d, 1 H, C(6)H, C(4)H, J = 7.0 Hz). MS, m/z (I_{rel} (%)): 357 $[M]^+$ (35), 328 $[M - Et]^+$ (29), 252 $[M - PhCO]^+$ (39), 105 $[PhCO]^+$ (100), 77 $[Ph]^+$ (63).

In addition to benzoylcinnolinone **5**, cinnolinedione **6** (identical to that obtained in the cyclocondensation reaction of naphthoquinone **1c**) was isolated in a yield of 0.05 g (8%). The yield of compound **5** decreased, while the yield of dione **6** increased as the time of heating of the reaction mixture was increased.

3-Butyryl-4*H*-anthra[9,1-*cd*]-1,2-diazepin-8-one (7). 3-Butyl-4*H*-anthra[9,1-*cd*]-1,2-diazepin-8-one (**3b**)[1,2] (0.30 g; 1.0 mmol) was oxidized analogously to cinnolinone **4a**. The yield of compound **7** was 0.28 g (89%), m.p. 175—176 °C (benzene—hexane). Found (%): C, 75.91; H, 5.33; N, 8.82. $C_{20}H_{16}N_2O_2$. Calculated (%): C, 75.93; H, 5.10; N, 8.85. ^{1}H NMR, δ: 0.90 (t, 3 H, CH_3, J = 7.5 Hz); 1.55—1.75 (m, 2 H, CH_2); 2.67 (d, 1 H, C(4)H_a, J = 12.5 Hz); 2.75—3.10 (m, 2 H, CH_2CO); 4.57 (d, 1 H, C(4)H_b, J = 12.5 Hz); 7.55—7.85 (m, 4 H, C(5)H, C(6)H, C(10)H, C(11)H); 8.15—8.40 (m, 3 H, C(7)H, C(9)H, C(12)H).

Under these conditions, compound **3a** was not oxidized.

Cyclocondensation of 1-(3-hydroxy-3-methylbutynyl)-9,10-anthraquinone (8) with $NH_2NH_2 \cdot H_2O$. The reaction of anthraquinone **8** [6] (0.80 g, 2.8 mmol) with $NH_2NH_2 \cdot H_2O$ (2.0 g, 40 mmol) in pyridine (18 mL) was carried out as described previously.[2] The time of condensation was 20 min. The yield of 3-(1-hydroxy-1-methylethyl)-4*H*-anthra[9,1-*cd*]-1,2-diazepin-8-one (**3c**) was 0.38 g (45.2%), m.p. 205—206 °C (benzene—hexane). Found (%): C, 74.88; H, 5.32; N, 9.00. $C_{19}H_{16}N_2O_2$. Calculated (%): C, 74.98; H, 5.30; N, 9.20. ^{1}H NMR (toluene-d_8), δ: 1.53 (s, 6 H, CH_3); 2.98 (br.s, 1 H, C(4)H_a); 3.43 (br.s, 1 H, OH); 3.96 (br.s, 1 H, C(4)H_b); 7.45—7.80 (m, 4 H, C(5)H, C(6)H, C(10)H, C(11)H); 8.15—8.40 (m, 3 H, C(7)H, C(9)H, C(12)H). In addition, 2-(1-hydroxy-1-methylethyl)-7*H*-dibenzo[*de,h*]quinolin-7-one (**9**) was obtained in a yield of 0.30 g (37%), m.p. 196—197 °C (toluene—hexane). Found (%): C, 78.74; H, 5.13; N, 4.74. $C_{19}H_{15}NO_2$. Calculated (%): C, 78.87; H, 5.23; N, 4.84. ^{1}H NMR, δ: 1.75 (s, 6 H, CH_3); 7.60—8.00 (m, 3 H, C(5)H, C(9)H, C(10)H); 7.88 (s, 1 H, C(3)H); 8.18 (d, 1 H, C(4)H, C(6)H, C(8)H, J = 8.2 Hz); 8.44 (d, 1 H, C(8)H, C(6)H, C(4)H, J = 7.4 Hz); 8.65 (d, 1 H, C(6)H, C(4)H, C(8)H, J = 7.0 Hz); 8.96 (d, 1 H, C(11)H, J = 7.3 Hz).

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