5-Amido- and 5-Amino-Substituted Epoxyisoindolo[2,1-*a*]tetrahydroquinolines and 10-Carboxylic Acids: Their Synthesis and Reactivity

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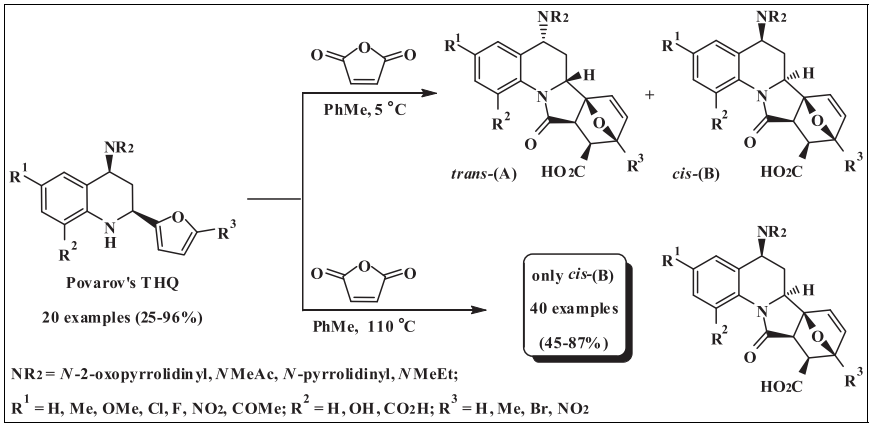

The interactions between 4-R-substituted 2-furyl-1,2,3,4-tetrahydroquinolines (synthesized by the Povarov reaction) and a number of alkenes have been investigated. Maleic, dibromomaleic, dichloromaleic, and citraconic anhydrides, as well as acryloyl, methacryloyl, crotonyl, and cynnamoyl chlorides were used as alkene components. It was shown that the initial *N*-acylation of the tetrahydroquinolines was followed by a spontaneous [4+2]-cycloaddition of an *N*-acryloyl substituent to the furan ring. It was established that the intramolecular Diels–Alder reaction of furans is reversible, occurs stereoselectively as *exo*-addition, and led to target epoxyisoindolo[2,1-*a*]tetrahydroquinolines with moderate yields. Oxidation and aromatization of the synthesized products were carried out.

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INTRODUCTION

During the last decade, several new approaches to heterocyclic systems, including the hydrogenated isoindolo[2,1-*a*] quinoline fragment have been developed [1]. These approaches, as a rule, are notable for the low availability of starting compounds and for moderate yield of target products. All earlier methods of isoindoloquinolines synthesis were summarized in our review [2]. At the same time, such close attention to this system is related to the high and diverse biological activity of derivatives containing an isoindolo [2,1-*a*]quinoline core [3].

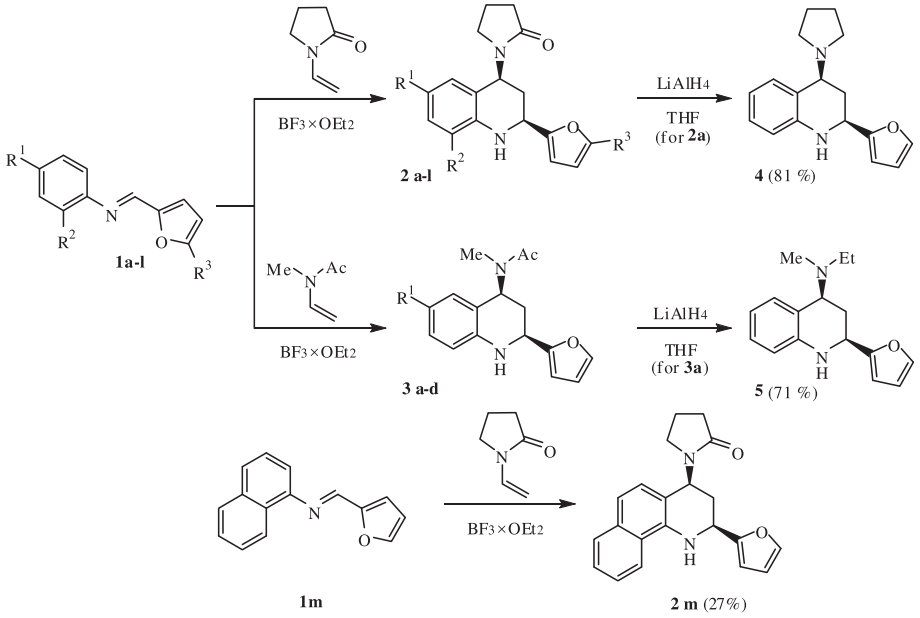
Five years ago, our group suggested synthesizing for the first time epoxyisoindolo[2,1-*a*]tetrahydroquinolines by intramolecular [4+2] cycloaddition of maleic anhydride to 2-furylquinolines [4, 5]. According to our previous studies [5], 2-furyltetrahydroquinolines can easily undergo cycloaddition with maleic anhydride at 110°C and with acryloyl chloride at 25°C. Under the above conditions, the Diels–Alder reaction of both dienophiles leads to the single stereoisomer with high yields.

In the current study, we systematically examined the regularities of cycloaddition of maleic, dibromomaleic and citraconic anhydrides (as well as acryloyl, methacryloyl, crotonyl, and cynnamoyl chlorides) to 4-amino- and 4-amido-2-furyltetrahydroquinolines bearing a substituent at the furyl or/and benzene rings.

To begin 4-pyrrolidonyl- and 4-acetamido-substituted 2-furyltetrahydroquinolines **2a–l** and **3a–d**, as well as benzoquinoline **2m**, were synthesized by the Povarov reaction [4–6] based on corresponding furfurylidenanilines **1** and *N*-vinylpyrrolidone or *N*-vinyl-*N*-methylacetamide respectively in ether or dichloromethane with $BF_3 \times OEt_2$ as a catalyst (Scheme 1).

The addition of *N*-vinylamides to azomethines **1** occurs easily at 20°C in ether as well as in dichloromethane. Although 6-substituted quinolines **2a–e** have been

Scheme 1



described before [4, 5], their 5′-R^3-substituted in furan ring derivatives 8-R^2-tetrahydroquinolines and benzoquinolines **2f–m**, **3a–d** were prepared for the first time. The presence of a substituent R^2 at position 8 does not significantly influence the yield of the tetrahydroquinolines **2h**,**l**. Electron-donating substituents (5′-Me and 5′-Br) in the furan ring decrease the yield of corresponding tetrahydroquinolines **2i**,**j**, although withdrawing the 5′-NO_2-group does not affect the yield of **2k** (Table 1).

The amide functionality in tetrahydroquinolines **2a** and **3a** was reduced to the amines: 4-amino substituted quinolines **4** and **5** were isolated, with relatively high yields. According to the ^{1}H-NMR data, the substituents at C_2 and C_4 in quinolines **2–5** have a *cis*-diequatorial position [6, 7] ($^3J_{2a,3a}$ = 9.1–11.4,

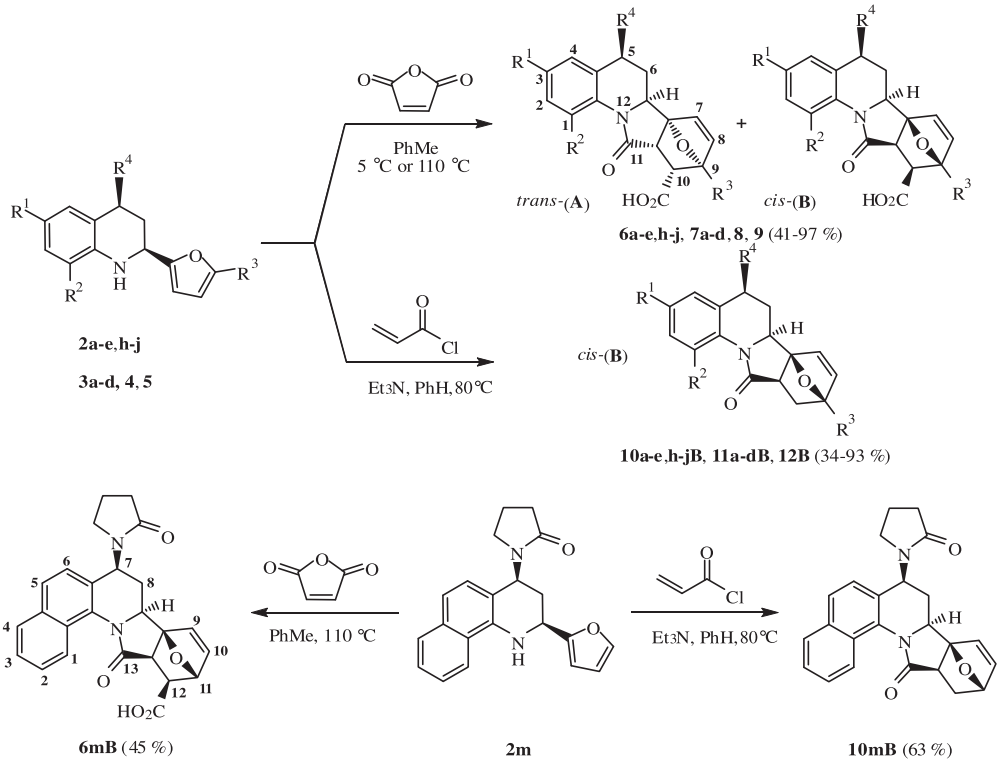
Table 1

Reaction conditions, diastereomers ratio, and total yield (%) of adducts **6–12** obtained from tetrahydroquinolines **2a–e**,**h–j**,**m**, **3a–d**, **4**, and **5**.

THQ yield (%)	R^1	R^2	R^3	R^4	0–5°C, PhMe Ratio of adducts **A/B**, yield (%)	110°C, PhMe Adduct, yield (%)	80°C, PhH Adduct, yield (%)
2a (80)	H	H	H	*N*-2-Oxopyrrolidinyl	**6a** 5/95 (64)	**6aB** (58)	**10aB** (93)
2b (43)	Me	H	H	*N*-2-Oxopyrrolidinyl	**6b** 18/82 (90)	**6bB** (58)	**10bB** (65)
2c (55)	MeO	H	H	*N*-2-Oxopyrrolidinyl	**6c** 20/80 (97)	**6cB** (60)	**10cB** (63)
2d (67)	Cl	H	H	*N*-2-Oxopyrrolidinyl	**6d** 28/72 (41)	**6dB** (61)	**10dB** (70)
2e (72)	F	H	H	*N*-2-Oxopyrrolidinyl	**6e** 20/80 (95)	**6eB** (58)	**10eB** (50)
2f (25)	NO_2	H	H	*N*-2-Oxopyrrolidinyl	[a]	[a]	[a]
2g (45)	MeCO	H	H	*N*-2-Oxopyrrolidinyl	[a]	[a]	[a]
2h (62)	H	OH	H	*N*-2-Oxopyrrolidinyl	[a]	**6hB** (82)	**10hA/10hB** (72)[b]
2i (36)	H	H	Me	*N*-2-Oxopyrrolidinyl	**6i** 25/75 (69)	**6iB** (72)	**10iB** (91)
2j (44)	H	H	Br	*N*-2-Oxopyrrolidinyl	**6j** 15/85 (35)	**6jB** (45)	**10jB** (48)
2k (83)	H	H	NO_2	*N*-2-Oxopyrrolidinyl	[a]	[a]	[a]
2l (96)	H	CO_2H	H	*N*-2-Oxopyrrolidinyl	[a]	[a]	[a]
3a (60)	H	H	H	*N*MeAc	**7a** 35/65 (59)	**7aB** (55)	**11aB** (49)
3b (64)	Me	H	H	*N*MeAc	**7b** 13/87 (45)	**7bB** (71)	**11bB** (39)
3c (64)	MeO	H	H	*N*MeAc	**7c** 17/83 (73)	**7cB** (78)	**11cB** (71)
3d (63)	F	H	H	*N*MeAc	**7d** 33/66 (83)	**7dB** (87)	**11dB** (65)
4 (81)	H	H	H	*N*-Pyrrolidinyl	[c]	**8B** (69)	–
5 (71)	H	H	H	*N*MeEt	**9** 35/65 (68)	**9B** (58)	**12B** (34)

[a]We could not accomplish the cycloaddition reactions due to poor solubility of THQ **2f, 2g, 2k** in toluene or low reactivity of **2h** and **2l**.
[b]Mixture of diastereomers trans-**10hA**/cis-**10hB** was isolated in ratio 30/70.
[c]Only N-acylation product was separated.

Scheme 2



$^3J_{2a,3e}$ = 2.5–4.9, $^3J_{4a,3a}$ = 9.1–12.0, and $^3J_{4a,3e}$ = 4.9–7.2 Hz; see Table 4).

In contrast to our previous article [5], in this study, we discovered that interaction of tetrahydroquinolines **2a–e**, **i**,**j**, **3a–d**, and **5** with maleic anhydride occurs even as low as 0–5°C in a toluene solution. The resulting epoxyisoindolo[2,1-*a*]quinoline carboxylic acids **6a–e**,**i**,**j**, **7a–d**, and **9** were formed as mixtures of *trans*-(**A**)- and *cis*-(**B**)-isomers, shown by position of R^4 and of the 6b,9-epoxy bridge (Scheme 2), with *cis*-(**B**)-isomers predominating (Table 1).

The cycloaddition of the same reagents occurs stereospecifically in boiling toluene, yielding only *cis*-isomers **6–9B** [5]. Our experiments show that the reflux of isomer mixtures **6dA/6dB**, **6iA/6iB**, **7aA/7aB**, synthesized between 0 and 5° C, leads to the transformation of minor *trans*-isomers **A** into more thermodynamically stable *cis*-isomers **B**. That fact can be explained by the reversibility of the Diels–Alder reaction.

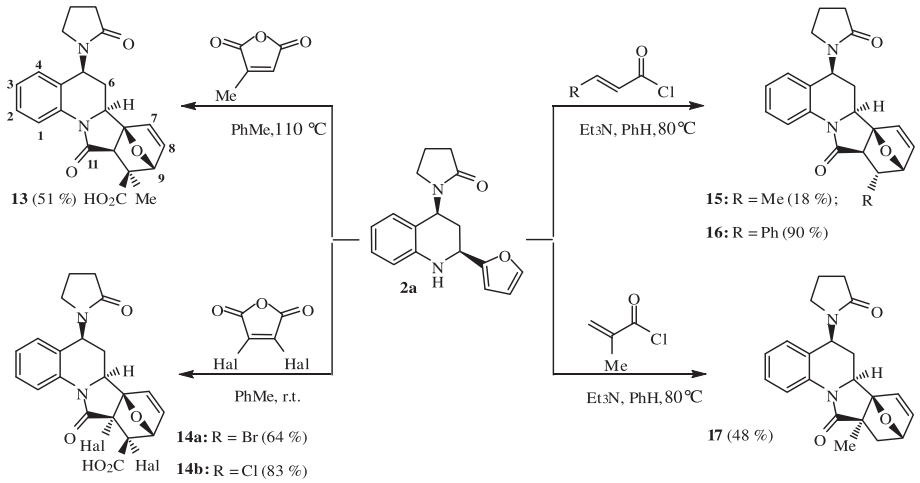
We have previously shown [8] that 4-pyrrolidone-1-yl substituted furylpyrido[*h*]tetrahydroquinolines do not undergo a cycloaddition reaction, either with maleic anhydride (at 110°C) or with acryloyl chloride (at 80°C). On the contrary, the cycloaddition, for example, of maleic anhydride to the isostructural 2-furylbenzo[*h*]tetrahydroquinoline **2m** in a boiling toluene gives the product **6mB** in a yield of 45% (Scheme 2). This can be explained by primary acylation of the more basic nitrogen atom in the pyridine fragment, which creates sterical hindrances for acylated nitrogen in the tetrahydroquinoline ring and thus inhibits the cycloaddition.

Cycloaddition of acryloyl chloride to tetrahydroquinolines **2a–e**,**h–j**, **3a–d**, **5** occurs stereoselectively, yielding only *exo*-epoxyisoindolo[2,1-*a*]tetrahydroquinolines **10a–e**,**h–jB**, **11a–dB**, **12B** in benzene at 80°C (Scheme 2, Table 1). Under the same conditions, the quinoline **2h** forms a mixture of *trans*- and *cis*-diastereoisomers **10hA/10hB** with a 1/2.5 ratio. Labeling of isomeric epoxyisoindoloquinolines **6–12** as *cis*-(***B***)- or *trans*-(**A**)-isomers was based on previously found regularity for analogous systems [9]. The signal of aromatic proton H-1 in ^{1}H-NMR spectra of *trans*-isomers **6**, **7**, **9A** appears at δ 7.63–8.04 ppm, but this range shifts to δ 8.45–8.69 ppm for *cis*-isomers **6–12B** (Table 5).

Tetrahydroquinoline **2a** (as the most accessible in the series) was used for reactions with citraconic and dihalogenomaleic anhydrides [10] as well as with acryloyl, methacryloyl, crotonyl, and cinnamoyl chlorides.

Citraconic and dihalogenomaleic anhydrides undergo the cycloaddition to **2a** in the same way as maleic anhydride. The reflux in toluene with an excess of citraconic anhydride gives *exo*-epoxyisoindoloquinoline **13** in a 51% yield. According to the ^{1}H-NMR data, the methyl and carboxyl group in this compound are geminal (Scheme 3). *Endo*-orientation of 10-methyl group was established by the presence of a crosspeak between Me-10 and H-10a in

Scheme 3



^{1}H-^{1}H NOESY spectra. As it was described previously [11], cycloaddition leads to the formation of two regioisomers; unfortunately at this moment, we are not able to explain isolation of 10-methyl isomer **13**.

Interaction of quinoline **2a** with dibromomaleic and dichloromaleic anhydrides at ambient temperature gives isoindoloquinoline carboxylic acids (*exo*-adducts) **14a**,**b** with 64 and 83% yields respectively. *Endo*-orientation of halogen atoms in adducts **14** was suggested by analogy to the acid **13**.

Crotonyl, methacryloyl, and cinnamoyl chlorides react with tetrahydroquinoline **2a** in the same manner as acryloyl chloride, yielding *exo*-adducts **15**–**17** in all cases (Scheme 3). *Endo*-position of the substituents 10-R (Me, Ph) in compounds **15** and **16** results from the coupling constant value $^3J_{10\text{-}exo,10a\text{-}endo}$ = 3.5 Hz [11].

The esterification of individual isomers of epoxyisoindolo[2,1-*a*]quinoline carboxylic acids **6a**,**i**,**mB**, **7aB**, **13** in the presence of sulfuric acid (Scheme 4) yields the mixture of methyl and ethyl esters of *trans*-**A** and *cis*-**B** isomers of isoindoloquinoline carboxylic acids **18a**–**f**. We believe that this may be related to retrodiene degradation of *cis*-**B** esters during esterification. The ratios of the formation of isomeric esters **18** are shown in Table 2. Predominant *cis*-**B** isomers were separated as individual compounds by fractional crystallization. However, we did not observe the retrodiene degradation of ester **18eB**, **18fB** during esterification of epoxyisoindolo[2,1-*a*]quinoline carboxylic acid **6mB**, **7aB**.

The double bond in the oxabicycloheptene moiety of isoindoloquinolines **10a**,**i**,**mB**, **11aB**, **15**–**18** was oxidized into *cis*-diepoxides **19a**–**m** under the action of *m*-CPBA (Scheme 5). *Exo*-position of the oxyran ring in the oxabicycloheptane fragment of compounds **19a**–**m** definitely results from coupling constant values of doublet signals of the H-1a and H-11c (H-8c and H-8a for compounds **19l**,**m**) protons. In their ^{1}H-NMR spectra (Table 6), the vicinal coupling constants are $J_{1a,11c}$ = 3.2–3.4 Hz and $J_{1a,2}$ = 0 Hz, which is possible only if these protons have *cis*-position. Thus, both oxygen bridges in compounds **19a**–**m** are *cis*-oriented, which corresponds well with the literature data for analogous systems [12, 13].

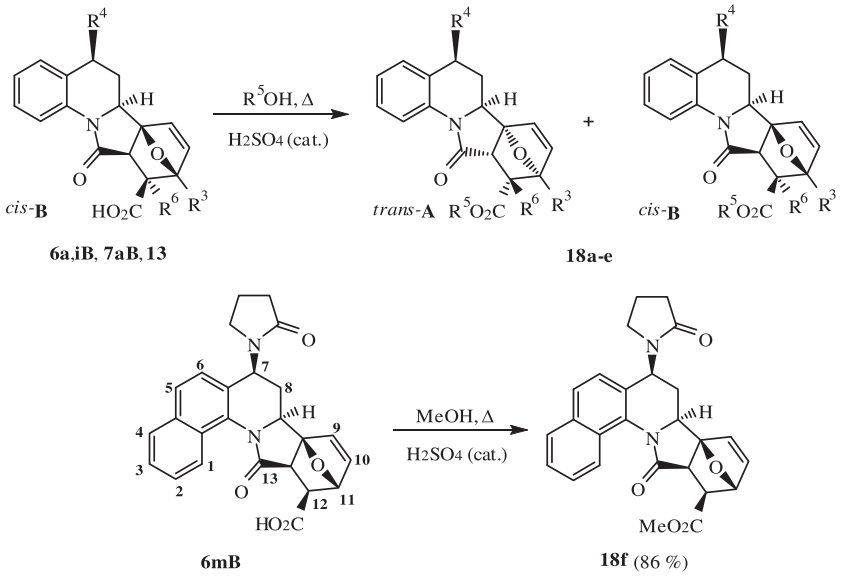
In a H_3PO_4 medium at 85°C, we observed the aromatization of oxabicycloheptane fragment [14] in epoxyisoindoloquinolin carboxylic acids **6a**,**mB**, **7aB**, **11aB**, as well as in epoxyisoindoloquinolines **10a**,**i**,**mB**, **15**, **16**. It is interesting to note that the action of hot phosphoric acid on isoindoloquinolines **20** does not lead to *N*-amide substituent (R^4) elimination, which was observed before for analogous structures by the action of $BF_3 \times Et_2O$ at room temperature [8].

In conclusion, this study demonstrated that the tandem acylation/[4+2] cycloaddition reaction of 2-furyltetrahydroquinolines can be carried out with anhydrides of diverse α,β-unsaturated acids apart from maleic anhydride and acryloyl chloride. It was found that the intramolecular Diels–Alder reaction always proceeds as an *exo*-process, and in the case of the kinetic control (0–5°C) leads stereoselectively to a mixture of two diastereomers. Under the thermodynamic control conditions (80–110°C), the cycloaddition is completely stereospecific.

EXPERIMENTAL

All reagents were purchased from Acros Chemical and were used without further purification. Melting points of synthesized compounds were determined in a capillary tube using a SMP 10 melting point apparatus and are uncorrected (Table 3). IR spectra were obtained in KBr pellets using an IR-Fourier spectrometer Infralum FT-801 (Table 3). ^{1}H-NMR spectra (δ/ppm, *J*/Hz) were recorded on a Bruker WH-400 spectrometer (400 MHz) in $CDCl_3$ or DMSO-d_6 at 27°C and residual signals of solvents (7.26 ppm for $CDCl_3$ and 2.49 ppm for DMSO-d_6) were used as the internal standards (see Tables 4–6). ^{13}C-NMR spectra (δ/ppm) were

Scheme 4



recorded on a Bruker Advance 600 spectrometer (150 MHz) and the central signal of DMSO-d_6 multiplet (39.7 ppm) was used as the internal standard. The correlations in ^{1}H-NMR and ^{13}C-NMR spectra of synthesized compounds were made relying on COSY-45 and HMQC dimeric spectral data. Mass spectra were obtained on a HP MS 5988 spectrometer (electron impact, 70 eV, direct inlet probe) or on a Finnegan MAT95XL chromatomass spectrometer (Table 7). The Sorbfile plates were used for thin layer chromatography (developed by iodine). The purity of the substances obtained and the composition of the reaction mixtures were controlled by TLC Sorbfil plates. The purification of the final adducts was carried out by column chromatography on Al_2O_3 Fluka-507C (mesh 0.05–0.15 mm) or by fractional crystallization from hexane–ethyl acetate mixture or isopropanol-DMF.

(2*S,4*S**)-1,2,3,4-Tetrahydro-2-(2′-furyl)-4-amidoquinolines (2a–m, 3a–d) (Typical procedure).** Boron trifluoride etherate 0.63 mL (5 mmol) followed by 23.4 mL (0.22 mol) *N*-vinylpyrrolidine-2-one were added to the stirring solution of azomethine **1a**–**m** (0.2 mol) in 100 mL of absolute ether (for **1a**–**e**,**i**,**m**), acetonitrile (for **1l**) or dry dichloromethane (for **1f**–**h**,**j**,**k**) at 25°C. After stirred for 24 h at room temperature (TLC control), 3–4 mL of 25% aqueous ammonia was added to reaction mixture and the solvent was removed under reduced pressure. The residue, viscous brown oil, was purified by column chromatography eluating by ether. Tetrahydroquinolines **2a-m** were obtained as white crystals.

(2*S4*S**)-1,2,3,4-Tetrahydro-2-(2′-furyl)-4-(*N*-pyrrolidine-2-one)benzo[*h*]quinoline (2m).** ^{1}H-NMR (DMSO-d_6): δ 2.07–1.99 (m, 2H, 4″-H) 2.39 (dt, 1H, 3*ax*-H, $J_{2,3a} = J_{3a,4} = 11.0$, $J_{3a,3e} = 12.2$ Hz), 2.41 (ddd, 1H, 3*eqv*-H, $J_{2,3e} = 3.3$, $J_{3e,4} = 7.0$, $J_{3a,3e} = 12.2$ Hz), 2.63–2.48 (m, 2H, 3″-H), 3.09 (dt, 1H, 5″B-H, $J_{5''A,5''B} = 9.7$ Hz), 3.26 (dt, 1H, 5″A-H, $J_{5''A,5''B} = 9.7$ Hz), 4.74 (br s, NH), 4.79 (dd, 1H, 2-H, $J_{2,3e} = 3.3$, $J_{2,3a} = 11.0$ Hz), 5.89 (dd, 1H, 4-H, $J_{3e,4} = 7.0$, $J_{3a,4} = 11.0$ Hz), 6.39 (dd, 1H, 3′-H, $J_{3',4'} = 3.2$, $J_{3',5'} = 0.6$ Hz), 6.43 (dd, 1H, 4′-H, $J_{4',5'} = 1.8$, $J_{3',4'} = 3.2$ Hz), 7.05 (d, 1H, 6-H, $J_{5,6} = 8.4$ Hz), 7.28 (d, 1H, 5-H, $J_{5,6} = 8.4$ Hz), 7.45 (dd, 1H, 5′-H, $J_{3',5'} = 0.6$, $J_{4',5'} = 1.8$ Hz), 7.48–7.45 (m, 2H, 7-H and 10-H), 7.79–7.75 ppm (m, 2H, 8-H and 9-H).

(2*S,4*S**)-1,2,3,4-Tetrahydro-2-(2′-furyl)-4-aminoquinolines (4, 5). Typical procedure.** Lithium aluminium hydride 1.68 g (44.4 mmol) was added to the solution of 0.01 mol of tetrahydroquinoline **2a**, **3a** in absolute THF (50 mL) and stirred at reflux for 5 h (TLC control). The excess of $LiAlH_4$ was quenched by ethyl acetate (10 mL). The reaction mixture was poured in 200 mL of water and extracted by ethyl acetate (3 × 50 mL). The extract was dried by magnesium sulfate. Evaporation of the solvent gives tetrahydroquinoline **4** (yield 71% after recrystallization from hexane–ethyl acetate) as white crystals or tetrahydroquinoline **5** (81% after flash chromatography on silica) as yellow oil.

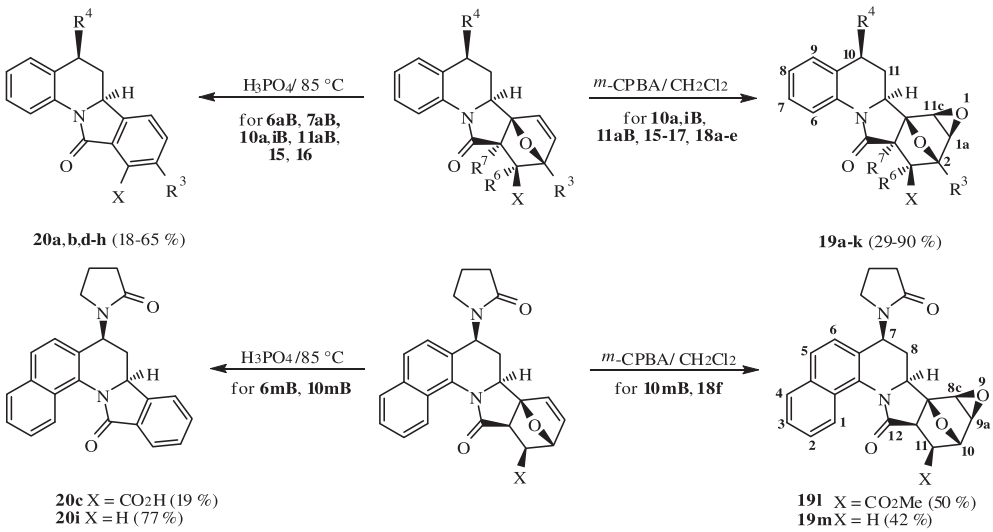
(5*S,6a*S**,6b*R**,9*S**,10*R**,10a*S**)-6,6a,9,10,10a,11-Hexahydro-11-oxo-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-10-carboxylic acids (6a–e,i,jA, 7a–dA, 9A); (5*S**,6a*S**,6b*S**,9*R**,10*S**,10a*R**)-**

Table 2

Diastereomers ratio and total yield (%) of isoindoloquinoline carboxylic acids esters **18a–e**.

Acid	**6aB**	**6aB**	**6iB**	**13**	**7aB**
Ester	**18a**	**18b**	**18c**	**18d**	**18e**
R^3	H	H	Me	H	H
R^4	*N*-2-Oxopyrrolidinyl	*N*-2-Oxopyrrolidinyl	*N*-2-Oxopyrrolidinyl	*N*-2-Oxopyrrolidinyl	*N*MeAc
R^5	Me	Et	Me	Me	Me
R^6	H	H	H	Me	H
Total yield (%)	98	86	73	80	78
A/B ratio	10/90	9/91	20/80	12/88	0/100

Scheme 5



6,6a,9,10,10a,11-hexahydro-11-oxo-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-10-carboxylic acids (6a–e,h–jB, 7a–dB, 8B, 9B); (7*S,8a*S**,8b*S**,11*R**,12*S**,12a*R**)-8,8a,11,12,12a,13-hexahydro-7-(*N*-pyrrolidine-2-one)-13-oxo-7*H*-8b,11-epoxybenzo[*h*]isoindolo[2,1-*a*]quinoline-12-carboxylic acid (6mB). Typical procedure.**

*Method A (leads to the formation of a mixture of isomers **A**/**B**)*

The solution of 0.43 g (4.36 mmol) of maleic anhydride in 10 mL of toluene was added to furyl substituted amine (4.15 mmol) **2a–e,i,j,m 3a-d**, **5** in 10 mL of toluene at 0–3°C and kept for 3 d at this temperature (TLC control). Separated solids were filtered off and washed with ether, giving mixtures of **A/B** isomers of corresponding isoindoloquinoline carboxylic acids **6**, **7**, **9** as white crystals. Ratio of isomers in the reaction mixtures were determined by ^{1}H-NMR spectroscopy.

*Method B (leads to the formation of the single isomer **B**).*

Solution of corresponding tetrahydroquinoline (4.15 mmol) **2a–e,h–j,m**, **3a-d**, **4**, **5** and maleic anhydride 0.43 g (4.36 mmol) in toluene (20 mL) was refluxed for 3 h. Separated white crystals of target products—isoindoloquinoline carboxylic acids **6a–e,h–j,mB**, **7a–dB**, **8B** and **9B** were filtered off after cooling.

(5*S,6a*S**,6b*S**,9*R**,10*S**,10a*R**)-6,6a,9,10,10a,11-Hexahydro-5-(*N*-pyrrolidine-2-one)-1-hydroxy-11-oxo-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-10-carboxylic acid (6hB).** ^{13}C-NMR (DMSO-d_6): δ 17.6 (4′-C), 27.4 (6-C), 30.6 (3′-C), 41.9 (5′-C), 44.8 (5-C), 47.8 (10-C), 49.9 (10a-C), 58.8 (6a-C), 81.7 (9-C), 90.8 (6b-C), 118.1 (3-C), 118.8 (2-C), 126.0 (4a-C), 127.3 (4-C), 128.8 (12a-C), 134.0 (8-C), 137.0 (7-C), 149.3 (1-C), 172.5 (C═O), 173.6 (CO_2H), 174.6 ppm (C═O).

(5*S,6a*S**,6b*S**,9*R**,10*S**,10a*R**)-6,6a,9,10,10a,11-Hexahydro-5-(*N*-pyrrolidine-2-one)-9-methyl-11-oxo-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-10-carboxylic acid (6iB).** ^{13}C-NMR (DMSO-d_6): δ 15.7 (Me), 17.8 (4′-C), 25.4 (6-C), 30.6 (3′-C), 41.8 (5′-C), 47.6 (5-C), 48.2 (10-C), 54.4 (10a-C), 55.9 (6a-C), 88.4 (9-C), 88.7 (6b-C), 118.0 (1-C), 123.2 (3-C), 123.5 (4a-C), 126.2 (2-C), 127.8 (4-C), 135.5 (8-C), 137.5 (12a-C), 140.5 (7-C), 170.1 (C═O), 171.6 (CO_2H), 174.9 ppm (C═O).

(5*S,6a*S**,6b*S**,9*S**,10*R**,10a*R**)-6,6a,9,10,10a,11-Hexahydro-5-(*N*-pyrrolidine-2-one)-9-bromo-11-oxo-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-10-carboxylic acid (6jB).** ^{13}C-NMR (DMSO-d_6): δ 17.8 (4′-C), 25.2 (6-C), 30.6 (3′-C), 41.8 (5′-C), 47.5 (5-C), 51.5 (10-C), 53.8 (10a-C), 55.6 (6a-C), 88.3 (9-C), 90.5 (6b-C), 118.0 (1-C), 123.5 (3-C), 123.6 (4a-C), 126.2 (2-C), 127.8 (4-C), 136.8 (8-C), 137.3 (12a-C), 140.7 (7-C), 168.9 (C═O), 169.6 (CO_2H), 174.9 ppm (C═O).

(7*S,8a*S**,8b*S**,11*R**,12*S**,12a*R**)-8,8a,11,12,12a,13-Hexahydro-7-(*N*-pyrrolidine-2-one)-13-oxo-7*H*-8b,11-epoxybenzo[*h*]isoindolo[2,1-*a*]quinoline-10-carboxylic acid (6mB).** ^{1}H-NMR (DMSO-d_6): δ 1.88 (m, 2H, 4′-H), 2.20 (dq, 1H, 8*a*-H, $J_{7,\ 8a}$ = 10.5, $J_{8a,8a}$ = $J_{8a,8e}$ = 12.8 Hz), 2.35–2.29 (m, 1H, 3B′-H), 2.43–2.38 (m, 1H, 3A′-H), 2.67 (d, 1H, 12a-H, $J_{12,12a}$ = 9.0 Hz), 2.81 (m, 1H, 5B′-H), 3.09 (d, 1H, 12-H, $J_{12,12a}$ = 9.0 Hz), 3.32 (ddd, 1H, 8*e*-H, $J_{8a,8e}$ = 2.4, $J_{7,8e}$ = 8.0, $J_{8a,8e}$ = 12.8 Hz), 3.36 (m, 1H, 5A′-H), 4.51 (dd, 1H, 8a-H, $J_{8a,8e}$ = 2.4, $J_{8a,8a}$ = 12.8 Hz), 5.16 (d, 1H, 11-H, $J_{10,11}$ = 1.5 Hz), 5.73 (dd, 1H, 7-H, $J_{7,8a}$ = 10.5, $J_{7,8e}$ = 8.0 Hz), 6.51 (dd, 1H, 10-H, $J_{10,11}$ = 1.5, $J_{9,10}$ = 5.7 Hz), 6.78 (d, 1H, 9-H, $J_{9,10}$ = 5.7 Hz), 7.13 (d, 1H, 5-H, $J_{5,6}$ = 8.5 Hz), 7.36 (ddd, 1H, 2-H, $J_{2,4}$ = 1.0, $J_{2,3}$ = 7.5, $J_{1,\ 2}$ = 8.2 Hz), 7.46 (dt, 1H, 3-H, $J_{2,3}$ = $J_{3,4}$ = 7.5, $J_{1,3}$ = 1.0 Hz), 7.75 (d, 1H, 6-H, $J_{5,6}$ = 8.5 Hz), 7.82 (dd, 1H, 4-H, $J_{2,4}$ = 1.0, $J_{3,4}$ = 7.5 Hz), 7.88 ppm (br d, 1H, 1-H, $J_{1,2}$ = 8.2 Hz); ^{13}C-NMR (DMSO-d_6): δ 17.5 (4′-C) 28.1 (8-C), 30.6 (3′-C), 41.8 (5′-C), 45.2 (12a-C), 47.9 (7-C), 49.5 (12-C), 58.3 (8a-C), 81.9 (11-C), 90.5 (8b-C), 127.2, 126.5, 126.4, 126.2, 125.2, 124.3 (1-C–6-C), 125.1 (6a-C), 127.3 (14b-C), 132.9 (4a-C), 133.3 (14a-C), 134.4 (10-C), 136.6 (9-C), 170.3 (CO_2H), 173.0 (C═O), 174.7 ppm (C═O).

(5*S,6a*S**,6b*S**,9*R**,10*S**,10a*R**)-6,6a,9,10,10a,11-Hexahydro-5-(*N*-methylacetamid)-11-oxo-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-10-carboxylic acid (7aB).** ^{13}C-NMR (DMSO-d_6): δ 21.9 (MeCO), 25.2 (6-C), 30.5 (NMe), 45.1 (5-C), 49.3 (10-C), 51.0 (10a-C), 55.4 (6a-C), 80.9 (9-C), 89.3 (6b-C), 118.1 (1-C), 123.2 (3-C), 124.1 (4a-C), 126.5 (2-C), 127.6 (4-C), 134.2 (8-C), 137.7 (7-C), 137.8 (12a-C), 169.8 (C═O), 171.1 (CO_2H), 172.9 ppm (C═O).

(5*S,6a*S**,6b*S**,9*R**,10*S**,10a*R**)-6,6a,9,10,10a,11-Hexahydro-5-(*N*-methylacetamid)-3-methyl-11-oxo-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-10-carboxylic acid (7bB).** ^{13}C-NMR (DMSO-d_6): δ 20.5 (Me) 21.9 (MeCO), 25.3 (6-C), 30.5 (NMe), 45.1 (5-C), 49.3 (10-C), 51.0 (10a-C), 55.3 (6a-C), 80.9 (9-C), 89.3 (6b-C), 118.0 (1-C), 124.0 (4a-C), 126.7 (2-C), 128.2 (4-C), 132.3 (3-C), 134.3

Table 3

Physicochemical characteristics of tetrahydroquinolines **2f-m, 3a-c,e, 4, 5**, 6b,9-epoxyisoindolo[2,1-*a*]quinolines **6i,j,m, 7a-c,e, 8, 9, 10e,h,i,j,m, 11a-c,e, 12–17, 18a-f**, 2,11b-epoxyoxirano[6, 7]isoindolo[2,1-*a*]quinolines **19a-k,** and isoindolo[2,1-a]quinolines **20**.

	Elemental analysis									
	Found (%)				Calcd (%)					
Compounds	C	H	N	Chemical formula	C	H	N	Mp (°C)	R_f	IR (potassium bromide) (cm^{-1})
2f	62.33	5.22	12.87	$C_{17}H_{17}N_3O_4$	62.38	5.23	12.84	201[a]	0.43[b]	3295 (NH), 1669 (NCO)
2g	70.36	6.16	8.61	$C_{19}H_{20}N_2O_3$	70.35	6.21	8.64	136–138[c]	0.34[d]	3310 (NH), 1677 (NCO, CO)
2h	68.38	6.03	9.51	$C_{17}H_{18}N_2O_3$	68.44	6.08	9.39	202–204[c]	0.45[e]	3384 (NH, OH), 1646 (NCO)
2i	72.97	6.74	9.42	$C_{18}H_{20}N_2O_2$	72.95	6.80	9.45	104–106[c]	0.42[f]	3379 (NH), 1670 (NCO)
2j	56.47	4.71	7.78	$C_{17}H_{17}BrN_2O_2$	56.52	4.74	7.75	157–159[c]	0.48[e]	3388 (NH), 1680 (NCO)
2k	62.43	5.20	12.81	$C_{17}H_{17}N_3O_4$	62.38	5.23	12.84	144[a]	0.38[g]	3323 (NH), 1664 (NCO)
2l	66.21	5.53	8.62	$C_{18}H_{18}N_2O_4$	66.25	5.56	8.58	217–218[a]	–	3332 (NH), 1670, 1635 (NCO, CO_2H)
2m	76.41	6.49	7.99	$C_{21}H_{20}N_2O_2$	75.88	6.06	8.43	161–163[c]	0.52[d]	1673 (NCO), 3408 (NH)
3a	71.11	6.70	10.40	$C_{16}H_{18}N_2O_2$	71.09	6.71	10.36	120–122[c]	0.28[f]	3347 (NH), 1631 (NCO)
3b	71.78	7.11	9.85	$C_{17}H_{20}N_2O_2$	71.81	7.09	9.85	180–182[c]	0.46[b]	3337 (NH), 1631 (NCO)
3c	68.01	6.74	9.35	$C_{17}H_{20}N_2O_3$	67.98	6.71	9.33	142–145[c]	0.33[b]	3325 (NH), 1635 (NCO)
3e	67.01	6.27	9.43	$C_{16}H_{17}FN_2O_2$	66.65	5.94	9.72	163–165[c]	0.45[f]	3276 (NH), 1629 (NCO)
4	76.11	7.49	10.44	$C_{17}H_{20}N_2O$	76.09	7.51	10.44	86[c]	0.45[b]	3375 (NH)
5	75.07	7.89	10.93	$C_{16}H_{20}N_2O$	74.97	7.86	10.93	–	0.65[b]	3410 (NH)
6hB	63.84	4.77	6.87	$C_{21}H_{20}N_2O_6$	63.63	5.09	7.07	216[a]	–	3526 (OH), 1657, 1630 (NCO), 1710 (CO_2H)
6iB	66.96	5.56	7.16	$C_{22}H_{22}N_2O_5$	66.99	5.62	7.10	229–231[a]	–	1702, 1645 (NCO), 1745 (CO_2H)
6jB	55.03	4.22	6.01	$C_{21}H_{19}BrN_2O_5$	54.92	4.17	6.10	242[a]	–	1691, 1650 (NCO), 1743 (CO_2H)
6mB	69.68	4.99	6.75	$C_{25}H_{24}N_2O_5$	69.43	5.59	6.48	235–237[a]	–	1629 (NCO), 1710 (CO_2H)
7aB	65.26	5.47	7.63	$C_{20}H_{20}N_2O_5$	65.21	5.47	7.60	252[a]	–	1687 (NCO), 1728(CO_2H)
7bB	65.65	5.49	7.86	$C_{21}H_{22}N_2O_5$	65.96	5.80	7.33	249[a]	–	1701 (NCO), 1728 (CO_2H)
7cB	63.66	5.78	6.57	$C_{21}H_{22}N_2O_6$	63.31	5.57	7.03	240[a]	–	1683 (NCO), 1732 (CO_2H)
7eB	62.44	5.23	6.87	$C_{20}H_{19}FN_2O_5$	62.17	4.96	7.25	239[a]	–	1677 (NCO), 1725 (CO_2H)
8B	68.76	6.07	7.69	$C_{21}H_{22}N_2O_4$	68.84	6.05	7.65	165–169[a]	–	1702 (NCO, CO_2H)
9B	67.83	6.30	7.89	$C_{20}H_{22}N_2O_4$	67.78	6.26	7.90	140–142[a]	–	1704 (NCO, CO_2H)
10eB	67.83	5.47	7.86	$C_{20}H_{19}FN_2O_3$	67.79	5.40	7.91	201[c]	0.75[e]	1677 (NCO), 1676 (NCO)
10hB	67.65	5.87	7.69	$C_{20}H_{20}N_2O_4$	68.17	5.72	7.95	240[c]	0.53[h]	3437 (OH), 1659, 1679 (NCO)
10iB	72.04	6.35	8.03	$C_{21}H_{22}N_2O_3$	71.98	6.33	7.99	238–240[c]	0.61[h]	1696 (NCO), 1682 (NCO)
10jB	57.57	4.68	6.69	$C_{20}H_{19}BrN_2O_3$	57.84	4.61	6.75	210[c]	0.55[i]	1681 (NCO), 1700 (NCO)
10mB	74.68	5.99	7.75	$C_{24}H_{24}N_2O_3$	74.21	6.23	7.21	242–244[c]	–	1674 (NCO)
11aB	70.38	6.29	8.62	$C_{19}H_{20}N_2O_3$	70.35	6.21	8.64	163–165[c]	0.69[h]	1643 (NCO), 1705 (NCO)
11bB	70.65	6.17	8.33	$C_{20}H_{22}N_2O_3$	70.99	6.55	8.28	209–210[c]	0.38[d]	1633 (NCO), 1697 (NCO)
11cB	67.33	6.01	8.15	$C_{20}H_{22}N_2O_4$	67.78	6.26	7.90	184–185[c]	0.25[d]	1648 (NCO), 1687 (NCO)
11eB	66.91	5.76	8.47	$C_{19}H_{19}FN_2O_3$	66.66	5.59	8.18	176[c]	0.69[h]	1647 (NCO), 1695 (NCO)
12B	73.57	7.14	9.13	$C_{19}H_{22}N_2O_2$	73.52	7.14	9.03	149–150[c]	0.62[f]	1695 (NCO)
13	67.02	5.65	7.07	$C_{22}H_{22}N_2O_5$	66.99	5.62	7.10	183[a]	–	1689, 1651 (NCO),1723 (CO_2H)
14a	46.73	3.48	5.18	$C_{21}H_{18}Br_2N_2O_5$	46.87	3.37	5.21	142–146[a]	–	1707, 1640 (NCO), 1709 (CO_2H)
14b	56.38	3.77	5.89	$C_{21}H_{18}Cl_2N_2O_5$	56.14	4.04	6.24	210[a]	–	1709, 1638 (NCO), 1728 (CO_2H)
15	71.88	6.35	8.03	$C_{21}H_{22}N_2O_3$	71.98	6.33	7.99	250–251[c]	0.27[e]	1693 (NCO)
16	75.62	5.82	6.86	$C_{26}H_{24}N_2O_3$	75.71	5.86	6.79	149–151[c]	0.48[d]	1689 (NCO)
17	72.00	6.28	7.94	$C_{21}H_{22}N_2O_3$	71.98	6.33	7.99	136–139[c]	0.30[d]	1697 (NCO), 1686 (NCO)
18a	66.97	5.61	7.06	$C_{22}H_{22}N_2O_5$	66.99	5.62	7.10	184–186[c]	0.51[h]	1697, 1679 (NCO), 1738 (CO_2Me)
18b	67.64	5.88	6.84	$C_{23}H_{24}N_2O_5$	67.63	5.92	6.86	198[c]	0.69[h]	1690 (NCO), 1733 (CO_2Me)
18c	67.58	5.91	6.76	$C_{23}H_{24}N_2O_5$	67.63	5.92	6.86	170–172[c]	0.63[h]	1681 (NCO), 1743 (CO_2Me)
18d	67.59	5.92	6.90	$C_{23}H_{24}N_2O_5$	67.63	5.92	6.86	200–202[c]	0.54[h]	1682 (NCO), 1727 (CO_2Me)
18e	66.00	5.82	7.31	$C_{21}H_{22}N_2O_5$	65.96	5.80	7.33	216–217[c]	0.51[h]	1706, 1638 (NCO), 1741 (CO_2Me)
18f	70.52	4.99	6.75	$C_{26}H_{24}N_2O_5$	70.26	5.44	6.30	240–241[a]	0.64[h]	1680 (NCO), 1731 (CO_2Me)
19a	68.14	5.71	8.01	$C_{20}H_{20}N_2O_4$	68.17	5.72	7.95	296–298[c]	0.40[h]	1695, 1671 (NCO)
19b	68.85	6.03	7.63	$C_{21}H_{22}N_2O_4$	68.84	6.05	7.65	244–246[c]	0.47[h]	1687 (NCO)
19c	67.11	5.89	8.23	$C_{19}H_{20}N_2O_4$	67.05	5.92	8.23	237–239[c]	0.41[h]	1692 (NCO), 1636 (NCO)
19d	64.39	5.43	6.85	$C_{22}H_{22}N_2O_6$	64.38	5.40	6.83	299–231[c]	0.39[h]	1681, 1679 (NCO), 1737 (CO_2Me)
19e	65.11	5.81	6.56	$C_{23}H_{24}N_2O_6$	65.08	5.70	6.60	307–309[c]	0.47[h]	1693 (NCO), 1734 (CO_2Et)

(*Continued*)

Table 3
(Continued)

Compounds	Elemental analysis: Found (%) C	H	N	Chemical formula	Calcd (%) C	H	N	Mp (°C)	R_f	IR (potassium bromide) (cm^{-1})
19f	65.09	5.67	6.62	$C_{23}H_{24}N_2O_6$	65.08	5.70	6.60	223–225[c]	0.52[h]	1688 (NCO), 1740 (CO_2Me)
19g	64.96	5.57	6.66	$C_{23}H_{24}N_2O_6$	65.08	5.70	6.60	188[c]	0.50[h]	1683 (NCO), 1730 (CO_2Me)
19h	63.28	5.59	7.02	$C_{21}H_{22}N_2O_6$	63.31	5.57	7.03	236–238[c]	0.38[h]	1699, 1638 (NCO), 1738 (CO_2Me)
19i	68.99	6.23	7.87	$C_{21}H_{22}N_2O_4$	68.84	6.05	7.65	293[c]	0.50[h]	1689 (NCO)
19j	73.11	5.75	6.44	$C_{26}H_{24}N_2O_4$	72.88	5.65	6.54	202[c]	0.32[d]	1685 (NCO)
19k	68.98	5.89	7.34	$C_{21}H_{22}N_2O_4$	68.84	6.05	7.65	160[c]	0.23[d]	1685 (NCO)
19l	67.52	5.99	5.78	$C_{26}H_{24}N_2O_6$	67.82	5.25	6.08	168–170[c]	0.42[h]	1682, 1700 (NCO), 1735 (CO_2Me)
19m	71.87	5.27	7.13	$C_{24}H_{22}N_2O_4$	71.63	5.51	6.96	269–271[c]	0.48[h]	1679 (NCO)
20a	69.68	4.99	7.75	$C_{21}H_{18}N_2O_4$	69.60	5.01	7.73	220[a]	–	1623 (NCO), 1683 (CO_2H)
20b	68.61	5.22	7.94	$C_{20}H_{18}N_2O_4$	68.56	5.18	8.00	220[a]	–	1619 (NCO), 1733 (CO_2H)
20c	72.68	5.13	6.25	$C_{25}H_{20}N_2O_4$	72.80	4.89	6.79	180[a]	–	1617, 1677 (NCO), 1726 (CO_2H)
20d	75.41	5.71	8.84	$C_{20}H_{18}N_2O_2$	75.45	5.70	8.80	201[c]	0.70[j]	1677 (NCO)
20e	75.01	5.73	9.84	$C_{19}H_{18}N_2O_2$	74.49	5.92	9.14	169[c]	0.71[h]	1642, 1693 (NCO)
20f	75.31	5.72	8.74	$C_{21}H_{20}N_2O_2$	75.88	6.06	8.43	214[c]	0.46[d]	1678 (NCO)
20g	79.44	5.78	7.73	$C_{26}H_{22}N_2O_2$	79.16	5.62	7.10	262[c]	0.43[d]	1679, 1696 (NCO)
20h	75.43	5.78	8.79	$C_{21}H_{20}N_2O_2$	75.88	6.06	8.43	221[c]	0.32[h]	1682 (NCO)
20i	78.49	5.77	7.11	$C_{24}H_{20}N_2O_2$	78.24	5.47	7.60	185–187[c]	0.76[h]	1697 (NCO)

[a]Recrystallized from isopropanol–DMF.
[b]TLC: Ethyl acetate–hexane 2:1.
[c]Recrystallized from hexane–ethyl acetate.
[d]TLC: Ethyl acetate.
[e]TLC: Ethyl acetate–hexane 3:1.
[f]TLC: Ethyl acetate–hexane 1:1.
[g]TLC: Ethyl acetate–hexane 5:1.
[h]TLC: Ethyl acetate–ethanol 8:1.
[i]TLC: Ethyl acetate–hexane 4:1.
[j]TLC: Ethyl acetate–ethanol 3:1.

(8-C), 135.4 (12a-C), 137.7 (7-C), 169.4 (C=O), 171.0 (CO_2H), 172.9 ppm (C=O).

(5*S,6a*S**,6b*S**,9*R**,10*S**,10a*R**)-6,6a,9,10,10a,11-Hexahydro-5-(*N*-methylacetamid)-3-methoxy-11-oxo-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-10-carboxylic acid (7cB).** ^{13}C-NMR (DMSO-d_6) δ: 173.0 (C=O), 171.1 (CO_2H), 169.0 (C=O), 155.1 (C-3), 137.7 (C-7), 134.3 (C-8), 131.3 (12a-C), 125.9 (4a-C), 119.5 (2-C), 112.7 (4-C), 111.6 (1-C), 89.3 (6b-C), 80.9 (9-C), 55.3 (6a-C), 55.2 (OMe), 50.9 (10a-C), 49.5 (10-C), 45.0 (5-C), 30.6 (NMe), 25.4 (6-C), 21.8 ppm (MeCO).

(5*S,6a*S**,6b*S**,9*R**,10*S**,10a*R**)-6,6a,9,10,10a,11-Hexahydro-5-(*N*-methylacetamid)-3-fluoro-11-oxo-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-10-carboxylic acid (7dB).** ^{13}C-NMR (DMSO-d_6): δ 21.9 (MeCO), 25.1 (6-C), 30.6 (NMe), 45.1 (5-C), 49.1 (10-C), 51.0 (10a-C), 55.5 (6a-C), 81.0 (9-C), 89.3 (6b-C), 113.0 and 112.8 (2-C), 114.4 and 114.3 (4-C), 119.9 (1-C), 127.1 (4a-C), 134.2 (8-C), 137.8 (7-C), 156.9 (12a-C), 159.3 and 166.7 (3-C), 169.7 (C=O), 171.3 (CO_2H), 173.0 ppm (C=O).

(5*S,6a*S**,6b*S**,9*R**,10*S**,10a*R**)-6,6a,9,10,10a,11-Hexahydro-5-(*N*-pyrrolidine)-11-oxo-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-10-carboxylic acid (8B).** ^{13}C-NMR (DMSO-d_6): δ 17.8 (3′-C and 4′-C) 23.7 (6-C), 45.2 (5-C), 46.6 (2′-C and 5′-C), 51.0 (10-C), 55.1 (10a-C), 55.7 (6a-C), 80.9 (9-C), 89.7 (6b-C), 117.7 (1-C), 123.1 (3-C), 127.0 (2-C), 127.2 (4a-C), 127.5 (4-C), 134.4 (8-C), 137.0 (12a-C), 137.6 (7-C), 169.8 (CO_2H), 173.0 ppm (C=O).

(5*S,6a*S**,6b*S**,9*R**,10*S**,10a*R**)-6,6a,9,10,10a,11-Hexahydro-5-(*N*-methyl-*N*-ethyl)-11-oxo-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-10-carboxylic acid (9B).** ^{13}C-NMR (DMSO-d_6): δ 13.45 (CH_2CH_3) 19.1 (6-C), 36.2 (NMe), 45.2 (5-C), 46.4 (NCH_2), 51.0 (10-C), 55.6 (10a-C), 59.3 (6a-C), 80.9 (9-C), 89.6 (6b-C), 117.8 (1-C), 123.1 (3-C), 127.2 (4a-C), 127.3 (2-C), 134.4 (4-C), 136.0 (8-C), 137.5 (12a-C), 137.6 (7-C), 169.7 (CO_2H), 173.1 ppm (C=O).

(5*S,6a*S**,6b*S**,9*S**,10a*R**)-6,6a,10,10a-Tetrahydro-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-11(9*H*)-ones (10a–e,h–j, 11a-d, 12B); (5*S**,6a*S**,6b*R**,9*R**,10a*S**)-6,6a,10,10a-tetrahydro-.1-hydroxy-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-11(9*H*)-one (10hA); (7*S**,8a*S**,8b*S**,11*S**,12a*R**)-8,8a,12,12a-tetrahydro-7-(*N*-pyrrolidine-2-one)-7*H*-8b,11-epoxybenzo[*h*]isoindolo[2,1-*a*]quinoline-13(11*H*)-one (10mB). Typical procedure.** The solution of amine (4.15 mol) **2a**–**e**,**h**–**j**,**m**, **3a-d**, **5**, acryloyl chloride 1.1 mL (12 mmol), and triethylamine 2.3 mL (16.7 mmol) was refluxed for 2 h in benzene (50 mL). Then the reaction mixture was cooled, poured in water (100 mL), organic layer was separated, and water layer was extracted by ethyl acetate (3 × 40 mL). Organic layers were combined and dried over magnesium sulfate. The evaporation of the solvent and recrystallization of the residue from hexane–ethyl acetate gave isoindoloquinolines **10a**–**e**, **h**–**j**,**mB**, **10hA**, **11a-dB**, **12B**, as white crystals.

Table 4

^{1}H-NMR spectra of 2-(2′-furyl)quinolines **2f,g,i-k, 3a-c,e, 4, 5** ($CDCl_3$) and **2h,l** (DMSO-d_6).

	^{1}H-NMR (400 MHz) δ, (J/Hz)												
Compound Protons	**2*a***	**3*e***	**3*a***	**4*a***	**5**	**6**	**7**	**8**	**5′**	**4′**	**3′**	**NH, br s**	**Others**
2f	4.96 dd (3.2, 11.4)	2.06 ddd (3.2, 4.9, 12.0)	2.19 q (12.0)	5.41 dd (4.9, 12.0)	7.50 dd (0.7, 2.6)	–	7.89 dd (2.6, 9.1)	6.72 d (9.1)	7.68 dd (0.9, 1.5)	6.47 m	6.47 m	7.79	3.30, m, 3.09, m, 5″-H, 2.42–2.32, m, 3" -H, 2.01–1.93, m, 4" -H
2g	4.78 dd (6.7, 8.1)	2.60 m	2.50 m	5.65 dd (8.0, 9.7)	7.49 br d (2.0)	–	7.68 dd (2.0, 8.4)	6.55 d (8.4)	7.41 dd (0.8, 1.8)	6.37 dd (1.8, 3.2)	6.29 dd (0.8, 3.2)	4.62	2.47, s, COMe, 3.23, m, 5″-H, 2.26, m, 3″-H, 2.07, m, 4″-H
2h	4.66 dd (1.9, 11.3)	2.08 ddd (1.9, 5.8, 11.5)	2.14 q (11.5)	5.43 dd (5.8, 11.5)	6.58 dd (0.7, 7.6)	6.45 t (7.6)	6.25 dd (0.7, 7.6)	–	7.63 dd (0.8, 1.7)	6.44 dd (1.7, 3.2)	6.37 dd (0.8, 3.2)	4.61	9.39, s, OH, 3.20, m, 2.97, m, 5″-H, 2.40–2.29, m, 3″-H, 1.94–1.89, m, 4″-H
2i	4.61 dd (4.8, 7.0)	2.24 m		5.68 t (9.1)	6.86 d (7.7)	6.71 t (7.7)	7.05 t (7.7)	6.58 d (7.7)	–	5.93 dq (3.1)	6.12 d (3.1)	4.07	2.29, s, Me, 3.30–3.14, m, 5″-H, 2.52, m, 3″-H, 2.03, m, 4" -H
2j	4.63 dd (4.8, 8.4)	2.25–2.17 m		5.66 br t (9.0)	6.86 d (7.7)	6.72 t (7.7)	7.05 t (7.7)	6.59 d (7.7)	–	6.27 d (3.2)	6.25 d (3.2)	4.08	3.28–3.14, m, 5″-H, 2.55, 2.46, m, 3″-H, 2.06–1.99, m, 4" -H
2k	4.80 dd (2.3, 11.1)	2.37 ddd (2.3, 5.9, 11.5)	2.27 dt (11.1, 11.5)	5.73 dd (5.9, 11.5)	6.91 d (7.6)	6.80 t (7.6)	7.12 t (7.6)	6.67 d (7.6)	–	7.33 d (3.5)	6.58 d (3.5)	4.17	3.29–3.18, m, 5″-H, 2.61–2.47, m, 3″-H, 2.10–2.03, m, 4″-H
2l	4.92 dd (2.8, 11.0)	2.11 ddd (2.8, 5.2, 11.8)	2.17 br q (11.8)	5.43 dd (5.2, 11.8)	6.93 d (7.5)	6.58 t (7.5)	7.71 d (7.5)	–	7.69 dd (0.8, 1.8)	6.48 dd (1.8, 3.2)	6.43 dd (0.8, 3.2)	8.16	3.28, 3.04, m, 5″-H, 2.43–2.32, m, 3″-H, 1.97, m, 4″-H
3a maj	4.65 dd (2.0, 12.0)	2.25 m	2.15 q (12.0)	6.19 dd (6.4, 12.0)	6.93 d (7.8)	6.72 br t (7.8)	7.04 br t (7.8)	6.59 br d (7.8)	7.39 dd (0.8, 1.8)	6.36 dd (1.8, 3.2)	6.26 dd (0.8, 3.2)	4.10	2.20, s, NAc 2.74, s, NMe
3a min	4.70 dd (2.5, 12.0)	2.31 m	2.36 q (12.0)	5.26 dd (5.7, 12.0)	6.88 d (7.8)	6.74 br t (7.8)	7.09 br t (7.8)	6.61 br d (7.8)	7.41 dd (0.8, 1.8)	6.38 dd (1.8, 3.2)	6.26 dd (0.8, 3.2)	4.14	2.26, s, NAc 2.70, s, NMe
3b maj	4.60 dd (2.2, 11.7)	2.27 ddd (2.2, 6.9, 11.7)	2.13 q (1.7)	6.16 dd (6.9, 1.7)	6.69 d (1.9)	–	6.86 dd (1.9, 8.1)	6.52 d (8.1)	7.39 dd (0.8, 1.8)	6.35 dd (1.8, 3.2)	6.25 dd (0.8, 3.2)	4.09	2.21, s, NAc 2.74, s, NMe
3b min	4.65 dd (2.6, 11.6)	2.29 ddd (2.6, 6.0, 11.6)	2.35 q (1.6)	5.24 dd (6.0, 11.6)	6.75 d (2.0)	–	6.90 dd (2.0, 8.1)	6.53 d (8.1)	7.41 dd (0.8, 1.8)	6.38 dd (1.8, 3.2)	6.30 dd (0.8, 3.2)	4.09	2.21, s, NAc 2.69, s, NMe
3c maj	4.58 dd (2.1, 11.2)	2.30 m	2.12 q (11.2)	6.17 dd (6.4, 11.2)	6.47 dd (0.7, 2.7)	–	6.68 dd (2.7, 8.7)	6.57 dd (0.7, 8.7)	7.39 dd (0.8, 1.8)	6.35 dd (1.8, 3.2)	6.25 dd (0.8, 3.2)	4.12	3.72, s, OMe, 2.20, s, NAc 2.74, s, NMe

3c min	4.62 dd (2.1, 11.3)	2.31 m	2.34 q (11.3)	5.26 dd (6.0, 11.3)	6.51 dd (0.7, 2.7)	–	6.71 dd (2.7, 8.7)	6.59 dd (0.7, 8.7)	7.40 dd (0.8, 1.8)	6.37 dd (1.8, 3.2)	6.30 dd (0.8, 3.2)	4.12	3.94, s, OMe, 2.27, s, NAc 2.70, s, NMe
3e maj	4.64 dd (2.0, 11.5)	2.17 m	2.30 m	6.18 dd (6.5, 11.7)	6.63 dd (2.9, 9.3)	–	6.79 dt (2.9, 8.8)	6.56 dd (4.7, 8.8)	7.41 dd (0.7, 1.8)	6.28 br d (3.0)	6.38 dd (0.7, 3.0)	4.04	2.23, s, NAc 2.78, s, NMe
3e min	4.68 dd (2.3, 11.2)	2.32 m	2.30 m	5.24 dd (6.4, 11.2)	6.69 dd (2.9, 9.3)	–	6.84 dt (2.9, 8.8)	6.58 br d (8.8)	7.43 br d (1.7)	6.40 dd (1.7, 3.1)	6.33 br d (3.1)	4.07	2.19, s, NAc 2.72, s, NMe
4	4.62 dd (2.1, 11.3)	2.32 ddd (2.1, 5.2, 11.3)	2.10 q (1.3)	4.40 dd (5.2, 11.3)	7.51 dd (0.7, 7.4)	6.74 br dt (7.4, 7.9)	7.03 ddd (0.7, 7.4, 7.9)	6.54 br d (7.9)	7.39 dd (0.8, 1.8)	6.37 dd (1.8, 3.2)	6.28 dd (0.8, 3.2)	4.03	2.74–2.58, m, 5″-H and 2″-H, 1.80–1.75, m, 3″-H and 4″-H,
5	4.57 dd (1.8, 11.7)	2.26 ddd (1.8, 5.4, 11.7)	2.03 q (11.7)	4.22 dd (5.4, 11.7)	7.56 d (7.6)	6.76 br t (7.6)	7.03 br t (7.6)	6.54 d (7.6)	7.40 dd (0.8, 1.8)	6.37 dd (1.8, 3.0)	6.28 br d (3.0)	4.03	2.59, dq, $N\underline{CH_2}CH_3$, 2.29, s, $\underline{NCH_3}$, 1.11, t (6.7) $NCH_2\underline{CH_3}$

Table 5

^{1}H-NMR spectra of 6b,9-epoxyisoindolo[2,1-*a*]quinolones **6h-j, 7a-c,e, 8, 9, 13, 14** (DMSO-d_6) and **10a,e-j**, **11a-c,e, 12, 15–17, 18a-e** ($CDCl_3$).

Compounds Protons		^{1}H-NMR (400 MHz) δ, (J/Hz)														
		1	**2**	**3**	**4**	**5*a***	**6*e***	**6*a***	**6a**	**7**	**8**	**9**	**10 *endo***	**10 *exo***	**10a**	**Other**
6h	**B**	–	6.60 br d (7.6)	7.14 t (7.6)	6.84 br d (7.6)	5.63 dd (8.1, 10.6)	2.37 m		4.46 dd (3.7, 11.2)	6.75 d (6.2)	6.52 dd (1.7, 6.2)	5.13 d (1.2)	3.31 d (8.9)	–	2.67 d (8.9)	9.03, s, OH, 3.32, 2.88, m, 5″-H, 2.37, m, 3″-H, 1.93, m, 4" -H
6i	**B**	8.69 d (8.2)	7.24 dd (7.7, 8.2)	7.06 t (7.6)	6.95 d (7.6)	5.48 m	1.97 m		4.81 dd (3.9, 9.7)	6.63 d (5.5)	6.32 d (5.5)	–	3.15 d (8.8)	–	2.61 d (8.8)	1.54, s, Me, 3.24, 2.94, m, 5″-H, 2.37, m, 3″-H, 1.97, m, 4″-H
	A	7.77 d (8.1)	7.14 br t (8.1)	7.10 t (8.1)	7.00 d (8.1)	5.61 t (8.7)	1.97 m		4.42 dd (6.4, 7.9)	6.75 d (5.4)	6.33 d (5.4)	–	3.11 d (8.8)	–	2.87 d (8.8)	1.54, s, Me, 3.24, 2.94, m, 5″-H, 2.37, m, 3″-H, 1.97, m, 4″-H
6j	**B**	8.69 d (8.3)	7.27 br t (7.7)	7.10 br t (7.7)	6.99 br d (7.7)	5.49 m	1.97 m		4.91 dd (2.4, 11.4)	6.60 d (5.5)	6.81 d (5.5)	–	3.35 d (8.8)	–	3.11 d (8.8)	3.28, m, 2.97, m, 5" -H 2.38, m, 3″-H, 2.05, m, 4" -H

(*Continued*)

Table 5
(Continued)

Compounds Protons	^{1}H-NMR (400 MHz) δ, (J/Hz)														
	1	**2**	**3**	**4**	**5*a***	**6*e***	**6*a***	**6a**	**7**	**8**	**9**	**10 *endo***	**10 *exo***	**10a**	**Other**
7a	**B** 8.60 dd (1.0, 8.4)	7.30 ddd (1.0, 7.6, 8.4)	7.04 dt (1.0, 7.6)	6.95 d (7.6)	5.98 dd (6.6, 11.5)	2.02 m	1.94 m	4.82 dd (3.2, 10.5)	6.58 d (5.8)	6.48 dd (1.6, 5.8)	5.09 d (1.6)	3.09 d (9.1)	–	2.56 d (9.1)	2.43, s, NCH_3 2.11, s, $NCOCH_3$
7b	**B** 8.50 d (8.5)	7.02 br d (8.5)	–	6.77 br s	5.98 dd (6.2, 11.8)	2.00 m		4.79 dd (3.0, 11.9)	6.59 d (5.7)	6.49 dd (1.7, 5.7)	5.03 d (1.7)	3.08 d (9.1)	–	2.57 d (9.1)	2.24, s, Me, 2.64, s, NCH_3, 2.14, s, $NCOCH_3$
7c	**B** 8.54 d (9.1)	6.79 dd (2.3, 9.1)	–	6.44 d (2.3)	5.95 dd (5.8, 12.0)	1.97 m		4.75 dd (2.9, 11.6)	6.56 d (5.7)	6.46 dd (1.7, 5.7)	5.00 d (1.7)	3.04 d (9.1)	–	2.54 d (9.1)	2.63, s, OMe, 2.50, s, NCH_3, 2.11, s, $NCOCH_3$
7e	**B** 8.67 dd (5.7, 8.7)	7.09 dt (2.2, 8.7)	–	6.79 dd (2.2, 9.3)	6.01 br dd (6.4, 10.5)	1.98 m		4.85 br dd (2.5, 11.8)	6.60 d (5.6)	6.51 dd (1.2, 5.6)	5.05 d (1.2)	3.11 d (9.3)	–	2.60 d (9.3)	2.67, s, NCH_3, 2.15, s, $NCOCH_3$
8	**B** 8.57 dd (1.5, 8.3)	7.27–7.17 m		7.66 dd (1.2, 7.6)	6.01 br s	2.21 m		4.70 dd (2.4, 12.0)	6.60 d (5.4)	6.51 dd (1.7, 5.4)	5.05 d (1.7)	3.11 d (9.4)	–	2.58 d (9.0)	2.75–2.62, m, 2″-H and 5″-H, 1.78–1.75, m, 3″-H and 4″-H
9	**B** 8.59 d (8.5)	7.29–7.16 m		7.69 d (8.0)	6.03 br s	2.27 m		4.66 dd (2.0, 12.1)	6.59 d (5.7)	6.51 dd (1.6, 5.7)	5.05 d (1.4)	3.12 d (9.0)	–	2.58 d (9.0)	2.27, br s, NCH_3, 2.27, m, $N\underline{CH_2}CH_3$, 1.02, t, $N\underline{CH_2}\underline{CH_3}$
	A 7.77 d (8.1)	7.29–7.16 m		7.67 d (7.6)	6.03 br s	2.17 m		4.20 dd (1.3, 12.6)	6.79 d (5.5)	6.51 dd (1.4, 5.5)	5.08 d (1.4)	3.13 d (9.4)	–	2.58 d (9.4)	2.27, br s, NCH_3, 2.27, m, $N\underline{CH_2}CH_3$, 1.09, m, $NCH_2\underline{CH_3}$
13	**B** 8.66 dd (0.9, 8.4)	7.24 ddd (0.9, 7.8 8.4)	7.06 dt (0.9, 7.8)	6.95 br d (7.8)	5.46 dd (5.7, 11.3)	1.95 m		4.82 dd (3.1, 11.1)	6.67 d (5.7)	6.54 dd (1.7, 5.7)	4.96 d (1.7)	–	–	2.65 s	1.10, s, Me, 3.22, 2.93, m, 5″-H, 2.36, m, 3″-H, 1.95, m, 4″-H
14a	**B** 8.53 d (8.4)	7.31 dd (7.7, 8.4)	7.16 dd (7.7, 8.1)	7.02 d (8.1)	5.57 m	1.96 m		5.02 dd (1.9, 11.8)	6.78 br s		5.60 br s	–	–	–	3.24, m, 2.95, m, 5″-H, 2.40, m, 3″-H, 2.05, m, 4″-H
14b	**B** 8.56 br d (8.1)	7.31 dd (7.6, 8.1)	7.17 dt (7.6, 8.1)	7.03 d (8.1)	5.56 dd (5.6, 12.1)	1.96 m		5.07 dd (2.8, 1.5)	6.82 d (5.6)	6.79 dd (1.7, 5.6)	5.60 d (1.7)	–	–	–	3.24, m, 2.97, m, 5″-H, 2.38, m, 3″-H, 2.06, m, 4″-H

10e	**B**	8.72 dd (5.1, 9.2)	6.97 ddd (2.9, 8.5, 9.2)	–	6.70 dd (2.9, 9.1)	5.65 dd (7.0, 11.7)	2.17 m		4.63 dd (3.7, 10.6)	6.42 d (5.9)	6.48 dd (1.6, 5.9)	5.11 dd (1.6, 4.5)	1.67 dd (8.7, 11.9)	2.28 ddd (3.4, 4.5, 11.9)	2.67 dd (3.4, 8.7)	3.24, m, 3.10, m, 5″-H, 2.51, m, 3″-H, 2.05, m, 4″-H
10h	**B**	–	6.95 d (8.1)	7.13 dd (7.5, 8.1)	6.66 d (7.5)	5.79 dd (7.5, 11.2)	2.49 m	2.32 m	4.31 dd (1.9, 13.1)	6.46 d (5.6)	6.48 dd (1.2, 5.6)	5.17 br d (3.1)	1.72 dd (8.7, 11.8)	1.99 br dd (3.4, 11.8)	2.69 dd (3.4, 8.7)	9.32, s, OH, 3.20, 3.07, m, 5″-H, 2.53, m, 3″-H, 2.04, m, 4″-H
	A	–	6.97 d (7.5)	7.10 t (7.5)	6.62 d (7.5)	5.63 dd (6.2, 1.8)	2.48 m		4.71 dd (3.1, 11.8)	6.42 d (5.0)	6.47 dd (1.2, 5.0)	5.11 dd (1.2, 4.4)	1.65 dd (8.7, 11.8)	2.02 m	2.81 dd (3.7, 8.7)	9.25, s, OH, 3.20, 3.07, m, 5″-H, 2.49, m, 3″-H, 2.02, m, 4″-H
10i	**B**	8.73 d (8.4)	7.28 dd (7.5, 8.4)	7.07 br t (7.5)	7.00 br d (7.5)	5.68 dd (6.4, 12.0)	2.20 m		4.59 dd (3.1, 11.5)	6.32 d (5.6)	6.42 d (5.6)	–	1.77 dd (8.7, 11.8)	2.02 dd (3.2, 11.8)	2.77 dd (3.2, 8.7)	1.67, s, Me, 3.25, 3.12, m, 5″-H, 2.53, m, 3″-H, 2.04, m, 4″-H
10j	**B**	8.66 d (8.3)	7.26 dd (7.6, 8.3)	7.07 t (7.6)	6.98 d (7.6)	5.66 dd (6.4, 11.4)	2.17–2.33 m		4.59 dd (2.9, 11.2)	6.45 d (5.7)	6.50 d (5.7)	–	2.29 dd (8.5, 11.8)	2.61 dd (3.0, 11.8)	2.82 dd (3.0, 8.5)	3.23, 3.08, m, 5″-H, 2.50, m, 3″-H, 2.02, m, 4" -H
11a	**B**	8.68 dd (0.8, 8.6)	7.25 ddd (0.8, 6.7, 8.6)	7.05 dt (0.8, 6.7)	6.99 dd (0.8, 6.7)	6.19 dd (6.4, 11.4)	2.17 ddd (3.5, 6.4, 11.4)	2.14 q (11.4)	4.62 dd (3.5, 11.4)	6.41 d (5.7)	6.45 dd (1.6, 5.7)	5.10 dd (1.6, 4.4)	1.66 dd (8.6, 11.8)	2.27 ddd (3.3, 4.4, 11.8)	2.65 dd (3.3, 8.6)	2.68, s, NCH_3 2.19, s, $NCOCH_3$
11b	**B**	8.58 d (8.5)	7.07 br d (8.5)	–	6.80 br s	6.18 dd (6.1, 11.5)	2.30 m	2.13 q (11.5)	4.61 dd (3.3, 11.5)	6.42 d (5.5)	6.47 dd (1.3, 5.5)	5.11 br d (3.3)	1.68 dd (8.8, 11.5)	2.17 dt (3.3, 11.5)	2.66 dd (3.3, 8.8)	2.30, s, Me, 2.71, s, NCH_3 2.23, s, $NCOCH_3$
11c	**B**	8.61 d (9.0)	6.79 dd (1.9, 9.0)	–	6.51 d (1.9)	6.14 dd (6.1, 11.5)	2.25 ddd (2.8, 6.1, 11.5)	2.09 q (11.5)	4.56 dd (2.8, 11.5)	6.39 d (5.5)	6.42 br d (5.5)	5.07 br d (3.3)	1.62 dd (8.6, 11.5)	2.13 dt (3.3, 11.5)	2.62 dd (3.3, 8.6)	3.74, s, OMe, 2.68, s, NCH_3 2.17, s, $NCOCH_3$
11e	**B**	8.72 dd (4.9, 9.3)	6.97 ddd (3.1, 8.1, 9.3)	–	6.71 dd (3.1, 9.3)	6.19 br dd (7.3, 10.0)	2.16 m		4.62 dd (5.0, 11.0)	6.42 d (6.2)	6.47 dd (1.9, 6.2)	5.11 dd (1.9, 4.4)	1.68 dd (8.7, 11.8)	2.28 ddd (3.5, 4.4, 11.8)	2.66 dd (3.5, 8.7)	2.72, s, NCH_3 2.22, s, $NCOCH_3$
12	**B**	8.68 dd (1.0, 8.4)	7.23 ddd (1.0, 7.6, 8.4)	7.09 dt (1.0, 7.6)	7.80 br s	4.16 br s	2.19 m	2.00 q (12.3)	4.49 dd (2.5, 12.3)	6.46 d (5.8)	6.49 dd (1.6, 5.8)	5.12 dd (1.6, 4.5)	1.66 dd (8.7, 11.8)	2.29 ddd (3.4, 4.5, 11.8)	2.64 dd (3.4, 8.7)	2.25, s, NCH_3, 2.47, m, $\underline{NCH_2}CH_3$, 1.10, t (6.7), $NCH_2\underline{CH_3}$
15	**B**	8.70 d (8.4)	7.26 dd (7.7, 8.4)	7.07 t (7.7)	6.98 d (7.7)	5.66 dd (6.3, 11.9)	2.11 m		4.55 dd (3.0, 11.4)	6.50 d (5.9)	6.45 br d (5.9)	4.91 br d (4.3)	–	2.68 dq (3.5, 4.3)	2.19 d (3.5)	1.02, d (7.1), Me, 3.19, 3.07, m, 5" -H 2.49, m, 3″-H, 2.01, m, 4″-H

(*Continued*)

Table 5
(Continued)

Compounds Protons	^{1}H-NMR (400 MHz) δ, (J/Hz)														
	1	**2**	**3**	**4**	**5*a***	**6*e***	**6*a***	**6a**	**7**	**8**	**9**	**10 *endo***	**10 *exo***	**10a**	**Other**
16	**B** 8.71 d (8.3)	[a]	7.06 t (7.6)	7.00 br d (7.6)	5.69 dd (6.8, 11.5)	2.16 ddd (3.2, 6.8, 11.5)	2.19 q (11.5)	4.65 dd (3.2, 11.5)	6.57 d (5.7)	6.36 dd (0.5, 5.7)	5.23 br d (4.2)	–	3.89 br t (4.2)	2.93 d (4.2)	3.22, 3.09, m, 5″-H, 7.20, m, Ph 2.50, m, 3″-H, 2.02, m, 4″-H
17	**B** 8.67 dd (1.0, 8.4)	7.23 ddd (1.0, 7.7, 8.4)	7.02 dt (1.0, 7.7)	6.95 dd (1.0, 7.7)	5.64 dd (6.0, 12.4)	2.09 ddd (2.8, 6.0, 12.4)	2.14 q (12.4)	4.50 dd (2.8, 12.4)	6.37 d (5.8)	6.49 dd (1.7, 5.8)	4.97 dd (1.7, 4.7)	1.15 d (11.9)	2.51 dd (4.7, 11.9)	–	1.10, s, Me, 3.15, m, 3.06, m, 5″-H 2.51, m, 3″-H, 1.98, m, 4″-H
18a	**B** 8.64 dd (1.1, 8.5)	7.25 ddd (1.0, 7.8, 8.5)	7.07 dt (1.1, 7.8)	7.00 dd (1.0, 7.8)	5.69 dd (6.0, 12.4)	2.17 ddd (2.5, 6.0, 12.4)	2.34 br q (12.4)	4.62 dd (2.5, 12.4)	6.52 d (5.7)	6.55 dd (1.6, 5.7)	5.24 d (1.6)	3.05 d (9.0)	–	2.83 d (9.0)	3.83, s, Me, 3.25, 3.11, m, 5″-H 2.52, m, 3″-H, 2.05, m, 4″-H
18b	**B** 8.63 dd (1.0, 8.5)	7.22 dt (1.0, 7.7)	7.05 dt (1.0, 7.7)	6.97 br dd (1.0, 7.7)	5.66 dd (6.0, 12.4)	2.16 ddd (2.4, 6.0, 12.4)	2.32 q (12.4)	4.60 dd (2.4, 12.4)	6.51 d (5.7)	6.53 dd (1.5, 5.7)	5.21 d (1.5)	3.03 d (9.0)	–	2.79 d (9.0)	4.27, m, OCH_2CH_3, 1.29, t (7.1), OCH_2CH_3, 3.23, m, 3.08, m, 5″-H 2.50, m, 3″-H, 2.02, m, 4″-H
18c	**B** 8.76 d (8.9)	7.29 br dd (7.7, 8.9)	7.08 br t (7.7)	7.01 br d (7.7)	5.70 dd (5.8, 12.1)	2.20 m	2.35 br q (12.1)	4.56 br d (12.1)	6.56 d (5.7)	6.32 dd (1.7, 5.7)	–	3.09 d (8.9)	–	2.87 d (8.9)	3.81, s,OMe, 3.25,3.13, m,H-5" 1.71,d (1.7), Me,2.52, m, H-3",2.06, m, H-4"
18d	**B** 8.66 br d (8.4)	7.25 ddd (1.0, 7.5, 8.4)	7.07 dt (1.0, 7.5)	6.99 dd (1.0, 7.5)	5.67 dd (6.0, 12.4)	2.14 ddd (2.6, 6.0, 12.4)	2.27 br q (12.4)	4.55 dd (2.6, 12.4)	6.57 s		5.12 s	–	–	2.57 s	3.78, s, OMe, 3.24, 3.10, m, 5″-H 1.31, s, Me, 2.50, m, 3″-H, 2.03, m, 4″-H
18e	**B** 8.54 dd (0.9, 8.1)	[a]	6.96 br t (7.5)	7.22 m	5.99 dd (7.2, 10.7)	1.94 m	2.02 m	4.84 dd (2.5, 10.0)	6.60 d (5.7)	6.48 dd (1.6, 5.7)	5.06 d (1.6)	3.16 d (9.2)	–	2.70 d (9.2)	3.59, s, OMe, 2.61, s, NCH_3 2.11, s, $NCOCH_3$
20a	8.39 dd (0.9, 7.6)	7.42 t (7.6)	7.26 dt (0.9, 7.6)	7.12 br d (7.6)	5.68 dd (5.7, 12.1)	2.71 ddd (2.0, 5.7, 12.1)	1.92 q (12.1)	5.41 dd (2.0, 12.1)	8.04 d (7.6)	7.86 t (7.6)	8.06 br d (7.6)	–	–	–	3.18, 2.89, br q, 5″-H 2.39, m, 3″-H, 1.92, m, 4" -H

20b	8.54 d (8.4)	7.33 ddd (1.0, 7.6, 8.4)	7.10 br t (7.6)	7.08 d (7.6)	6.25 dd (6.6, 11.5)	2.20 m	2.16 m	4.81 m	7.87 dt (0.9, 7.7)	7.79 t (7.7)	8.03 br d (7.7)	–	–	–	2.67, s, NCH_3 2.21, s, $NCOCH_3$
20d	8.55 d (8.2)	7.37 br dd (7.6, 8.2)	7.15 dt (1.0, 7.6)	7.09 br d (7.6)	5.82 dd (6.3, 12.3)	2.67 ddd (2.4, 6.3 12.3)	1.75 q (12.3)	4.94 dd (2.4, 12.3)	7.50 d (7.5)	7.63 dt (1.0, 7.5)	7.54 br t (7.5)	7.95 d (7.5)	–	–	–
20e	8.56 d (7.5)	7.38 br t (7.5)	7.15 dt (0.7, 7.5)	7.12 br d (7.5)	6.35 dd (6.2, 12.1)	2.70 ddd (2.4, 6.2, 12.1)	1.69 q (12.1)	4.94 dd (2.4, 12.1)	7.52 d (7.5)	7.64 dt (0.8, 7.5)	7.55 t (7.5)	7.96 d (7.5)	–	–	2.67, s, NCH_3 2.24, s, $NCOCH_3$
20f	8.56 d (8.2)	7.37 br dd (7.6, 8.2)	7.15 br t (7.6)	7.10 br d (7.6)	5.82 dd (6.1, 12.3)	2.64 ddd (2.3, 6.1, 12.3)	1.74 q (12.3)	4.89 dd (2.3, 12.3)	7.31 d (7.5)	7.49 t (7.5)	7.28 d (7.5)	–	–	–	2.80, s, Me, 3.13–3.07, m, 5″-H 2.55, m, 3″-H, 2.02, m, 4" -H
20g	8.43 dd (1.9, 8.1)	7.48 dd (1.8, 8.1)	[a]	[a]	5.78 dd (6.2, 12.1)	2.64 ddd (2.5, 6.2, 12.1)	1.73 q (12.1)	4.86 dd (2.5, 12.1)	[a]	7.58 t (7.5)	[a]	–	–	–	7.42–7.00, m, H-Ar, 3.04, m, 5″-H 2.45, m, 3″-H, 1.95, m, 4″-H
20h	8.47 dd (1.2, 8.1)	7.36 br dd (7.5, 8.1)	7.16 dt (1.2, 7.5)	7.06 br d (7.5)	5.64 dd (6.0, 12.1)	2.62 ddd (2.3, 6.0, 12.1)	1.73 q (12.1)	5.18 dd (2.3, 12.1)	7.65 d (8.1)	7.52 dt (0.7, 8.1)	–	7.63 br s	–	–	2.44, s, Me, 3.18, 2.92, m, 5″-H 2.37, m, 3″-H, 1.93, m, 4″-H

[a]Chemical shifts and spin-spin coupling constants were not detected.

Table 6

^{1}H-NMR spectra of 2,11b-epoxyoxirano[6,7]isoindolo[2,1-*a*]quinolines **19a–k** ($CDCl_3$).

Compounds Protons	^{1}H-NMR (400 MHz) δ, (J/Hz)														
	1a	**2**	**3 *endo***	**3 *exo***	**3a**	**6**	**7**	**8**	**9**	**10*a***	**11*e***	**11*a***	**11a**	**11c**	**Other**
19a	3.50 d (3.4)	4.63 d (4.8)	1.89 dd (9.2, 12.8)	2.27 ddd (3.7, 4.8, 12.8)	2.86 dd (3.7, 9.2)	8.64 dd (0.9, 8.4)	7.25 ddd (0.9, 7.5, 8.4)	7.06 dt (0.9, 7.5)	6.98 br dd (0.9, 7.5)	5.65 dd (7.8, 9.5)	2.29–2.22 m		5.53 dd (6.3, 8.3)	3.44 d (3.4)	3.21, m, 3.07, m, 5″-H 2.50, m, 3″-H, 2.02, m, 4″-H
19b	3.55 d (3.3)	–	1.96 dd (9.2, 12.8)	2.01 dd (4.0, 12.8)	2.91 dd (4.0, 9.2)	8.67 d (8.2)	7.28 dd (7.7, 8.2)	7.09 br t (7.7)	7.01 d (7.7)	5.66 dd ([a])	2.27 m		4.50 m	3.32 d (3.3)	1.58, s, Me, 3.26, m, 3.11, m, 5″-H 2.51, m, 3″-H, 2.04, m, 4″-H
19c	3.48 d (3.3)	4.62 d (4.9)	1.88 dd (9.2, 12.7)	2.26 ddd (3.8, 4.9, 12.7)	2.84 dd (3.8, 9.2)	8.62 dd (1.0, 8.4)	7.25 ddd (0.8, 7.5, 8.4)	7.06 dt (1.0, 7.5)	7.00 br d (7.5)	6.17 dd (6.2, 12.0)	2.25 ddd (2.9, 6.2, 12.0)	2.18 q (12.0)	4.52 dd (2.9, 12.0)	3.43 d (3.3)	2.68, s, NCH_3 2.19, s, $NCOCH_3$
19d	3.55 d (3.3)	4.85 s	3.27 d (9.4)	–	3.01 d (9.4)	8.60 dd (1.0, 8.4)	7.25 ddd (1.0, 7.7, 8.4)	7.09 dt (1.0, 7.7)	7.01 br d (7.7)	5.67 dd (5.8, 12.3)	2.26 ddd (2.5, 5.8, 12.3)	2.36 q (12.3)	4.53 dd (2.5, 12.3)	3.48 d (3.3)	3.81, s, Me, 3.26, m, 3.11, m, 5″-H 2.53, m, 3″-H, 2.05, m, 4″-H
19e	3.53 d (3.3)	4.82 s	3.24 d (9.4)	–	2.98 d (9.4)	8.59 dd (1.0, 8.5)	7.23 ddd (1.0, 7.7, 8.5)	7.06 dt (1.0, 7.7)	6.99 dd (1.0, 7.7)	5.65 dd (6.1, 12.1)	2.25 ddd (2.6, 6.1, 12.7)	2.34 dt (12.1, 12.7)	4.50 dd (2.6, 12.1)	3.46 d (3.3)	4.24, m, $O\underline{CH_2}CH_3$, 1.32, t (7.1), $OCH_2\underline{CH_3}$ 3.25, 3.08, m, 5" -H 2.51, m, 3" -H, 2.04, m, 4″-H
19f	3.55 d (3.2)	–	3.17 d (9.2)	–	2.95 d (9.2)	8.66 dd (1.0, 8.5)	7.21 ddd (1.0, 7.5, 8.5)	7.05 dt (1.0, 7.5)	6.97 br d (7.5)	5.64 dd (6.0, 12.0)	2.24 ddd (2.7, 6.0, 12.0)	2.32 q (12.0)	4.44 dd (2.7, 12.0)	3.28 d (3.2)	3.74, s, OMe, 3.25, 3.10, m, 5″-H 1.58, s, HH, 2.49, m, 3″-H, 2.02, m, 4″-H
19g	3.61 d (3.2)	4.66 s	–	–	2.72 s	8.63 d (8.5)	7.25 dt (7.9, 8.5)	7.08 t (7.9)	7.00 d (7.9)	5.66 dd (5.9, 11.2)	2.29 m		4.47 dd (3.3, 11.2)	3.59 d (3.3)	3.75, s, OMe, 3.26, m, 3.11, m, 5″-H 2.52, m, 3″-H, 2.05, m, 4″-H, 1.53, s, HH
19h	3.80 d (3.4)	4.93 s	3.27 d (9.5)	–	3.19 d (9.5)	7.77 d (8.3)	7.10–7.23 m		6.98 d (7.6)	5.93 dd (8.3, 10.8)	2.19 m		4.24 br d (10.8)	3.23 d (3.4)	3.31, s, OMe, 2.51, s, NCH_3 2.04, s, $NCOCH_3$
19i	3.56 d (3.3)	4.45 d (4.7)	–	2.75 ddq (4.1, 4.7, 7.3)	2.37 d (4.1)	8.65 dd (1.0, 8.5)	7.26 ddd (1.0, 7.3, 8.5)	7.06 dt (1.0, 7.3)	6.99 dd (1.0, 7.3)	5.65 dd (8.9, 9.5)	2.22 m		4.48 dd (4.7, 7.5)	3.54 d (3.3)	3.20, 3.06, m, 5″-H, 1.23, s, Me 2.51, m, 3″-H, 2.01, m, 4″-H
19j	3.60 d (3.3)	4.85 d (5.1)	–	4.01 dd (4.6, 5.1)	3.19 d (4.6)	8.70 d (8.2)	[a]	7.11 br t (7.7)	7.04 br d (7.7)	5.71 dd (7.1, 11.8)	2.37–2.27 m		4.62 dd (3.6, 11.2)	3.43 d	7.40–7.28, m, Ph, 3.26, 3.12, m, 5″-H 2.54, m, 3″-H,2.05, m, 4″-H
19k	3.53 d (3.2)	4.54 d (5.1)	2.50 d (12.7)	1.46 dd (5.1, 12.7)	–	8.66 d (8.9)	7.25 dd (7.6, 8.9)	7.06 t (7.6)	6.99 d (7.6)	5.67 dd (7.6, 11.5)	2.27–2.22 m		4.45 dd (3.8, 10.8)	3.49 d (3.2)	3.21, 3.07, m, 5″-H, 1.34, s, Me 2.50, m, 3″-H, 2.01, m, 4″-H

[a]Chemical shifts and spin–spin coupling constants were not detected.

Table 7

Mass spectra (70 eV, electron impact) of tetrahydroquinolines **2f-m** and **3a-c,e, 4, 5,** 6b,9-epoxyisoindolo[2,1-*a*]quinolines **6 i,j,m 7a-c,e, 8, 9, 10a,e-j,m, 11a-c,e, 12–17, 18a-f**, 2,11b-epoxyoxirano[6, 7]isoindolo[2,1-*a*]quinolines **19a-l,** and isoindolo[2,1-a]quinolines **20a-i**.

Compounds	*m*/*z* (I_{rel},%)
2f	327 [M^+] (25), 310 (88), 241 (100), 225 (28), 195 (51), 167 (24), 129 (33), 81 (49), 73 (78), 65 (14), 44 (89)
2g	324 [M^+] (5), 238 (100), 224 (9), 201 (6), 196 (17), 172 (6), 167 (10), 81 (5), 43 (7)
2h	298 [M^+] (16), 239 (9), 213 (100), 196 (15), 183 (26), 166 (11), 154 (26), 146 (16), 80 (47), 69 (22), 55 (27), 43 (54)
2i	296 [M^+] (12), 210 (100), 201 (14), 168 (18), 130 (15), 117(5), 95 (6), 77 (5), 43 (4)
2j	362 [M^+] (^{79}Br) (14), 276 (100), 196 (33), 167 (61), 154 (11), 139 (13), 130 (62), 117 (35), 91 (18), 77 (32), 65 (29), 51 (26), 41 (61)
2k	327 [M^+] (26), 310 (100), 293 (13), 241 (42), 225 (33), 213 (72), 197 (12), 167 (33), 154 (11), 130 (56), 117 (14), 86 (13), 79 (27), 51 (18), 41 (28)
2l	326 [M^+] (4), 277 (11), 241 (100), 222 (55), 195 (72), 167 (36), 140 (31), 128 (17), 115 (16), 101 (17), 80 (82), 59 (87), 42 (77)
2m	332 [M^+] (11), 246 (100), 217 (11), 180 (8), 167 (4), 151 (4), 127 (3), 81 (5), 41 (2)
3a	270 [M^+] (8), 227 (8), 196 (100), 168 (14), 130 (20), 118 (9), 81 (17), 56 (13), 43 (87)
3b	284 [M^+] (14), 241 (7), 210 (100), 182 (7), 168 (6), 144 (8), 132 (3), 81 (3), 43 (3)
3c	300 [M^+] (12), 226 (100), 198 (4), 184 (4), 160 (5), 81 (3), 43 (3)
3e	288 [M^+] (18), 245 (27), 231 (14), 214 (100), 186 (66), 148 (21), 136 (26), 94 (18), 80 (73), 74 (17), 53 (15), 43 (29)
4	268 [M^+] (17), 198 (100), 167 (21), 145 (7), 130 (32), 118 (12), 81 (11), 70 (27)
5	256 [M^+] (19), 198 (100), 168 (12), 161 (15), 147 (6), 130 (19), 118 (7), 81 (23), 58 (25)
6h	396 [M^+] (19), 311 (62), 301 (26), 224 (38), 211 (100), 184 (24), 146 (26), 132 (17), 91 (37), 80 (60), 69 (57), 55 (58), 42 (66)
6i	394 [M^+] (6), 309 (7), 222 (12), 247 (42), 210 (100), 194 (19), 180 (10), 168 (29), 130 (18), 84 (17), 76 (32), 59 (42), 43 (58)
6j	458 [M^+] (^{79}Br) (2), 334 (7), 276 (20), 248 (100), 220 (17), 192 (14), 166 (14), 81 (93), 69 (32), 59 (19), 43 (45)
6m	430 [M^+] (3), 333 (10), 301 (9), 246 (100), 217 (17), 182 (11), 101 (11), 80 (29), 43 (34)
7a	368 [M^+] (26), 325 (9), 295 (13), 196 (100), 167 (8), 130 (14), 99 (9), 77 (11), 56 (10), 43 (42)
7b	382 [M^+] (16), 339 (35), 309 (44), 265 (22), 241 (23), 210 (100), 167 (21), 144 (29), 91 (18), 80 (34), 56 (31), 43 (15)
7c	398 [M^+] (11), 355 (15), 325 (12), 280 (12), 262 (24), 226 (100), 212 (15), 183 (29), 160 (37), 117 (16), 76 (13), 56 (24), 43 (30)
7e	386 [M^+] (7), 343 (23), 313 (12), 287 (12), 215 (100), 186 (14), 148 (37), 99 (16), 59 (24), 43 (39)
8	366 [M^+] (5), 196 (78), 180 (10), 167 (22), 146 (19), 130 (63), 99 (35), 77 (36), 70 (100), 55 (26), 39 (38)
9	353 [M^+] (6), 297 (6), 196 (100), 167 (49), 159 (30), 139 (31), 130 (40), 118 (24), 99 (27), 80 (36), 59 (30), 43 (36)
10a	336 [M^+] (20), 251 (90), 233 (29), 222 (19), 206 (22), 196 (100), 180 (12), 167 (25), 130 (30), 69 (14), 55 (65), 41 (22)
10e	354 [M^+] (8), 269 (37), 251 (16), 240 (11), 224 (16), 214 (64), 185 (17), 148 (17), 81 (13), 69 (13), 55 (100), 41 (37)
10h	352 [M^+] (65), 297 (27), 266 (66), 239 (30), 222 (30), 184 (25), 146 (37), 17 (17), 80 (52), 69 (24), 55 (88), 43 (43)
10i	350 [M^+] (21), 332 (11), 303 (17), 265 (97), 247 (41), 222 (41), 210 (100), 194 (36), 183 (16), 168 (21), 130 (22), 76 (12), 55 (24), 43 (37)
10j	414 [M^+] (^{79}Br) (8), 330 (11), 317 (19), 276 (57), 250 (100), 222 (78), 196 (25), 167 (30), 130 (46), 117 (21), 86 (68), 69 (35), 58 (83), 44 (43)
10m	386 [M^+] (25), 301 (26), 272 (10), 256 (19), 246 (100), 217 (19), 179 (17), 152 (16), 55 (19)
11a	324 [M^+] (20), 281 (13), 265 (12), 251 (100), 222 (18), 206 (31), 196 (93), 167 (24), 130 (25), 77 (13), 55 (52), 43 (53)
11b	338 [M^+] (35), 295 (12), 265 (63), 236 (25), 220 (23), 210 (100), 182 (12), 167 (16), 144 (28), 55 (24), 43 (11)
11c	354 [M^+] (34), 311 (9), 281 (12), 252 (9), 236 (9), 226 (100), 160 (9), 154 (6), 55 (13), 43 (5)
11e	342 [M^+] (74), 299 (55), 283 (30), 269 (100), 240 (40), 224 (45), 213 (77), 177 (26), 148 (27), 127 (16), 81 (15), 55 (56), 42 (38)
12	310 [M^+] (29), 251 (32), 206 (24), 196 (25), 180 (57), 167 (12), 158 (13), 130 (27), 81 (12), 77 (20), 65 (11), 55 (100), 51 (11), 42 (34)
13	394 [M^+] (26), 309 (26), 277 (25), 250 (32), 232 (28), 222 (16), 213 (37), 196 (100), 167 (30), 130 (24), 113 (33), 80 (16), 58 (16), 43 (36)
14	538 [M^+] (^{79}Br) (1), 397 (12), 327 (31), 313 (87), 248 (100), 232 (23), 220 (53), 196 (47), 167 (27), 130 (41), 102 (22), 79 (66), 69 (40), 55 (17), 41 (20)
15	350 [M^+] (16), 317 (18), 281 (39), 265 (96), 247 (34), 232 (24), 196 (100), 168 (15), 130 (27), 101 (42), 81 (35), 69 (57), 59 (73), 43 (62)
16	412 [M^+] (4), 327 (13), 281 (26), 197 (100), 147 (12), 131 (96), 101 (98), 86 (61), 77 (27), 59 (62), 43 (72)
17	350 [M^+] (11), 317 (12), 265 (65), 196 (100), 167 (11), 130 (16), 103 (100), 81 (16), 69 (87), 57 (13), 43 (36)
18a	394 [M^+] (2), 253 (11), 197 (100), 168 (26), 130 (31), 115 (11), 84 (17), 80 (63), 68 (66), 59 (47), 43 (34)
18b	408 [M^+] (28), 323 (18), 317 (18), 281 (49), 250 (17), 213 (10), 196 (100), 167 (14), 99 (8)
18c	408 [M^+] (5), 323 (11), 281 (6), 196 (100), 168 (15), 127 (24), 99 (8), 69 (21), 59 (21), 43 (62)
18d	408 [M^+] (7), 323 (13), 210 (100), 194 (17), 167 (47), 130 (49), 113 (52), 95 (32), 84 (15), 76 (22), 59 (32), 43 (32)
18e	382 [M^+] (16), 339 (18), 309 (20), 269 (9), 232 (11), 196 (100), 167 (10), 130 (10), 113 (14), 43 (7)
18f	444 [M^+] (8), 359 (17), 331 (24), 263 (11), 246 (100), 217 (21), 180 (14), 113 (16), 80 (16), 59 (13), 43 (14)
19a	352 [M^+] (18), 323 (9), 267 (100), 238 (43), 224 (48), 210 (13), 196 (23), 182 (7), 167 (9), 130 (24), 77 (7), 55 (6), 41 (6)
19b	366 [M^+] (17), 281 (62), 252 (57), 238 (100), 224 (41), 210 (45), 180 (22), 167 (15), 154 (15), 128 (33), 55 (49), 43 (76)
19c	341 [M^+] (4), 267 (100), 238 (18), 224 (21), 196 (25), 156 (11), 128 (10), 101 (10), 59 (22), 42 (34)
19d	410 [M^+] (46), 324 (81), 293 (53), 276 (31), 265 (49), 236 (57), 224 (88), 213 (52), 195 (100), 180 (29), 167 (38), 154 (23), 130 (55), 103 (17), 77 (23), 41 (20)
19e	424 [M^+] (49), 338 (63), 310 (22), 293 (59), 276 (39), 265 (61), 236 (74), 224 (89), 213 (64), 195 (100), 180 (33), 167 (37), 154 (21), 130 (67), 41 (23), 29 (24)

(*Continued*)

Table 7
(Continued)

Compounds	*m/z* (I_{rel},%)
19f	424 [M$^+$] (43), 339 (42), 307 (36), 290 (36), 279 (53), 265 (44), 236 (100), 224 (91), 213 (72), 195 (33), 167 (37), 128 (37), 101 (36), 76 (37), 59 (47), 43 (64)
19g	424 [M$^+$] (59), 338 (62), 280 (18), 250 (34), 224 (100), 213 (43), 195 (46), 130 (49), 128 (28), 77 (21), 41 (19)
19h	398 [M$^+$] (13), 324 (36), 223 (36), 195 (46), 167 (22), 156 (81), 139 (73), 130 (37), 113 (53), 101 (25), 75 (66), 56 (100), 43 (52)
19i	366 [M$^+$] (11), 281 (42), 252 (27), 224 (100), 195 (38), 167 (15), 144 (15), 130 (46), 80 (34), 69 (49), 43 (37)
19j	428 [M$^+$] (20), 342 (21), 314 (15), 286 (9), 224 (100), 213 (14), 195 (36), 131 (16), 103 (12), 91 (19), 77 (9)
19k	366 [M$^+$] (16), 281 (56), 252 (31), 238 (100), 224 (15), 209 (36), 196 (14), 180 (12), 167 (15), 130 (40), 77 (23), 41 (34)
19l	460 [M$^+$] (20), 376 (25), 315 (21), 274 (89), 246 (100), 218 (28), 179 (61), 131 (46), 113 (27), 69 (29), 59 (42)
20a	362 [M$^+$] (30), 316 (21), 277 (89), 260 (13), 233 (100), 204 (81), 191 (4), 151 (4), 130 (6), 41 (4)
20b	350 [M$^+$] (17), 307 (17), 276 (19), 262 (19), 232 (100), 204 (43), 196 (11), 130 (11), 101 (16), 76 (23), 59 (60), 43 (65)
20c	412 [M$^+$] (35), 366 (7), 327 (100), 309 (8), 283 (79), 254 (27), 152 (11), 101 (14), 95 (18), 69 (13), 59 (15), 43 (30)
20d	318 [M$^+$] (6), 247 (4), 233 (100), 204 (15), 178 (4), 128 (9), 89 (6), 77 (22), 55 (9), 41 (17)
20e	306 [M$^+$] (5), 263 (8), 249 (11), 233 (100), 208 (4), 204 (8), 180 (3), 128 (4), 102 (4), 77 (6), 43 (4)
20f	332 [M$^+$] (6), 261 (2), 247 (100), 220 (4), 218 (4), 128 (2), 108 (3), 77 (2)
20g	394 [M$^+$] (12), 309 (100), 280 (6), 165 (4), 152 (7), 139 (6), 128 (8), 77 (9), 28 (4)
20h	332 [M$^+$] (7), 303 (5), 247 (100), 232 (7), 217 (11), 204 (9), 128 (8), 77 (12), 59 (12), 43 (52)
20i	368 [M$^+$] (16), 282 (100), 254 (12), 180 (7), 152 (7), 127 (9), 98 (14), 80 (21), 55 (13), 43 (13)

(5*S,6a*S**,6b*S**,9*S**,10a*R**)-6,6a,10,10a-Tetrahydro-1-hydroxy-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-11(9*H*)-ones (10hB).** ^{13}C-NMR ($CDCl_3$): δ 18.1 (4′-C), 28.5 (10-C), 31.1 (6-C), 42.5 (3′-C), 45.9 (5′-C), 47.2 (10a-C), 48.6 (5-C), 60.2 (6a-C), 79.3 (9-C), 91.2 (6b-C), 119.3 (2-C), 119.5 (4-C), 126.3 (4a-C), 127.5 (12a-C), 127.8 (3-C), 131.2 (8-C), 137.8 (7-C), 150.1 (1-C), 175.4 (C═O), 175.7 ppm (C═O).

(5*S,6a*S**,6b*S**,9*S**,10a*R**)-6,6a,10,10a-Tetrahydro-9-methyl-5-(*N*-pyrrolidine-2-one)-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-11(9*H*)-one (10iB).** ^{13}C-NMR ($CDCl_3$): δ 18.2 (4′-C), 18.8 (Me), 25.9 (6-C), 31.2 (3′-C), 34.6 (10-C), 42.3 (5′-C), 48.1 (5-C), 51.8 (10a-C), 57.2 (6a-C), 87.2 (9-C), 89.7 (6b-C), 119.4 (1-C), 123.1 (4a-C),123.8 (3-C), 126.5 (2-C), 128.4 (4-C), 132.9 (8-C), 137.4 (12a-C), 141.2 (7-C), 172.9 (C═O), 176.0 ppm (C═O).

(5*S,6a*S**,6b*S**,9*R**,10a*R**)-6,6a,10,10a-Tetrahydro-9-bromo-5-(*N*-pyrrolidine-2-one)-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-11(9*H*)-one (10jB).** ^{13}C-NMR ($CDCl_3$): δ 18.2 (4′-C) 25.8 (6-C), 31.2 (3′-C), 39.6 (10-C), 42.3 (5′-C), 47.9 (5-C), 51.4 (10a-C), 56.9 (6a-C), 88.8 (9-C), 89.4 (6b-C), 119.4 (1-C), 123.1 (4a-C), 124.2 (3-C), 126.5 (2-C), 128.5 (4-C), 133.3 (8-C), 137.0 (12a-C), 141.9 (7-C), 171.9 (C═O), 176.1 ppm (C═O).

(7*S,8a*S**,8b*S**,11*R**,12a*R**)-8,8a,12,12a-Tetrahydro-7-(*N*-pyrrolidine-2-one)-7*H*-8b,11-epoxybenzo[*h*]isoindolo[2,1-*a*]quinoline-13(11*H*)-one (10mB).** ^{1}H-NMR ($CDCl_3$): δ 1.81 (dd, 1H, 12*endo*-H, $J_{12a,12endo}$ = 8.7, $J_{12exo,12endo}$ = 11.8 Hz), 1.98–2.05 (m, 2H, 4′-H), 2.07 (dt, 1H, 8*a*-H, $J_{7,8a}$ = 10.3, $J_{8a,8a}$ = $J_{8a,8e}$ = 13.1 Hz), 2.43 (ddd, 1H, 12*exo*-H, $J_{12exo,12a}$ = 3.7, $J_{11,12exo}$ = 4.4, $J_{12exo,12endo}$ = 11.8 Hz), 2.48–2.58 (m, 2H, 3′-H), 2.65 (dd, 1H, 12a-H, $J_{12a,12endo}$ = 8.7, $J_{12exo,12a}$ = 3.7 Hz), 2.68 (ddd, 1H, 8*e*-H, $J_{8a,8e}$ = 2.8, $J_{7,8e}$ = 8.3, $J_{8a,8e}$ = 13.1 Hz), 3.08 (m, 1H, 5B′-H), 3.23 (m, 1H, 5A′-H), 4.46 (dd, 1H, 8a-H, $J_{8a,8e}$ = 2.8, $J_{8a,8a}$ = 13.1 Hz), 5.22 (dd, 1H, 11-H, $J_{10,11}$ = 1.3, $J_{11,12exo}$ = 4.4 Hz), 5.93 (dd, 1H, 7-H, $J_{7,8a}$ = 10.3, $J_{7,8e}$ = 8.3 Hz), 6.50 (dd, 1H, 10-H, $J_{10,11}$ = 1.3, $J_{9,10}$ = 6.2 Hz), 6.52 (d, 1H, 9-H, $J_{9,10}$ = 6.2 Hz), 7.17 (d, 1H, 5-H, $J_{5,6}$ = 8.5 Hz), 7.50 (m, 2H, 2-H and 3-H), 7.71 (d, 1H, 6-H, $J_{5,6}$ = 8.5 Hz), 7.78 (m, 1H, 4-H), 7.90 ppm (m, 1H, 1-H). ^{13}C-NMR ($CDCl_3$) δ: 18.1 (4′-C), 29.2 (8-C), 29.4 (3′-C), 31.2 (12-C), 42.5 (5′-C), 46.6 (12a-C), 48.6 (7-C), 59.6 (8a-C), 79.3 (11-C), 90.9 (8b-C), 127.6, 127.4, 126.8, 126.3, 124.4, 124.2 (1-C–6-C), 125.8 (6a-C), 127.6 (14b-C), 131.4 (4a-C), 133.7 (10-C), 133.8 (9-C), 137.6 (14a-C), 172.7 (C═O), 175.7 ppm (C═O).

(5*S,6a*S**,6b*S**,9*S**,10a*R**)-6,6a,10,10a-Tetrahydro-5-(*N*-methylacetamid)-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-11(9*H*)-one (11aB).** ^{13}C-NMR ($CDCl_3$): δ 18.8 (MeCO), 25.7 (6-C), 28.5 (10-C), 31.1 (NMe), 48.5 (5-C), 50.1 (10a-C), 56.9 (6a-C), 78.9 (9-C), 89.9 (6b-C), 119.5 (1-C), 123.8 (3-C), 124.0 (4a-C), 126.8 (2-C), 128.3 (4-C), 132.4 (8-C), 137.8 (12a-C), 137.9 (7-C), 171.9 (C═O), 172.6 ppm (C═O).

(5*S,6a*S**,6b*S**,9*S**,10a*R**)-6,6a,10,10a-Tetrahydro-5-(*N*-methylacetamid)-3-methyl-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-11(9*H*)-one (11bB).** ^{13}C-NMR ($CDCl_3$): δ 21.0 (Me), 22.1 (MeCO), 25.8 (6-C), 28.4 (10-C), 31.1 (NMe), 48.6 (5-C), 50.1 (10a-C), 56.9 (6a-C), 78.9 (9-C), 90.0 (6b-C), 119.4 (1-C), 123.7 (4a-C), 127.0 (2-C), 128.9 (4-C), 132.5 (8-C), 133.3 (3-C), 135.4 (12a-C), 137.9 (7-C), 171.9 (C═O), 172.3 ppm (C═O).

(5*S,6a*S**,6b*S**,9*S**,10a*R**)-6,6a,10,10a-Tetrahydro-5-(*N*-methylacetamid)-3-methoxy-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-11(9*H*)-one (11cB).** ^{13}C-NMR ($CDCl_3$): δ 22.1 (MeCO), 25.9 (6-C), 28.4 (10-C), 31.2 (NMe), 48.5 (5-C), 50.2 (10a-C), 55.5 (Me), 56.9 (6a-C), 78.9 (9-C), 90.0 (6b-C), 112.2 (1-C), 113.2 (2-C), 120.8 (4-C), 125.6 (4a-C), 131.4 (12a-C), 132.5 (8-C), 137.9 (7-C), 155.9 (3-C), 171.9 (C═O), 172.0 ppm (C═O).

(5*S,6a*S**,6b*S**,9*S**,10a*R**)-6,6a,10,10a-Tetrahydro-5-(*N*-methylacetamid)-3-fluoro-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-11(9*H*)-one (11dB).** ^{13}C-NMR ($CDCl_3$): δ 22.0 (MeCO), 25.6 (6-C), 31.1 (NMe), 28.4 (10-C), 48.5 (5-C), 50.1 (10a-C), 56.9 (6a-C), 78.9 (9-C), 89.9 (6b-C), 113.2 and 113.0 (2-C), 115.2 and 115.0 (4-C), 132.3 (8-C), 121.3 and 121.2 (1-C), 132.0 and 131.3 (4a-C), 138.0 (7-C), 138.3 (12a-C), 160.2 and 157.8 (3-C), 171.9 (C═O), 172.5 ppm (C═O).

(5*S,6a*S**,6b*S**,9*S**,10a*R**)-6,6a,10,10a-Tetrahydro-5-(*N*-methyl-*N*-ehtyl)-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-11(9*H*)-one (12B).** ^{13}C-NMR ($CDCl_3$): δ 14.0 ($CH_2\underline{CH_3}$), 19.7 (6-C), 28.5 (10-C), 36.6 (NMe), 46.8 (NCH_2), 48.5 (5-C), 57.5 (10a-C), 60.3 (6a-C), 78.9 (9-C), 90.4 (6b-C), 118.7 (1-C), 123.6 (3-C), 127.5 (2-C), 127.7 (4a-C), 127.8 (4-C), 132.6 (8-C), 137.4 (12a-C), 137.9 (7-C), 172.5 ppm (C═O).

(5*S,6a*S**,6b*S**,9*R**,10*S**,10a*R**)-6,6a,9,10,10a,11-Hexahydro-5-(*N*-pyrrolidine-2-one)-10-methyl-11-oxo-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-10-carboxylic acid (13).** The solution of tetrahydroquinoline **2a** (0.04 mol) and citraconic anhydride 16.2 mL (0.18 mol) was refluxed for 6 h in *o*-xylene (20 mL) (TLC control). After the evaporation of the solvent, separated white crystals were filtered off and washed with ether. The obtained product is isoindoloquinoline carboxylic acid **13**. ^{13}C-NMR (DMSO-d_6): δ 17.8 (4′-C), 22.2 (Me), 25.2 (6-C), 30.6 (3′-C), 41.8 (5′-C), 47.5 (5-C), 50.7 (10-C), 55.6 (10a-C), 59.3 (6a-C), 84.1 (9-C), 89.9 (6b-C), 118.1 (1-C), 123.3 (3-C), 123.6 (4a-C), 126.2 (2-C), 127.8 (4-C), 134.6 (8-C), 137.3 (7-C), 137.5 (12a-C), 170.0 (C=O), 174.7 (CO_2H), 174.9 ppm (C=O).

(5*S,6a*S**,6b*R**,9*R**,10*R**,10a*S**)-6,6a,9,10,10a,11-Hexahydro-5-(*N*-pyrrolidine-2-one)-10,10a-dihalogeno-11-oxo-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-10-carboxylic acids (14a,b).** The solution of dibromomaleic [10a] or dichloromaleic [10b] anhydride (3.5 mmol) in 10 mL of toluene was added to furyl substituted amine **2a** (3.2 mmol) in toluene (10 mL). The resulting mixture was stirred at room temperature for 3 days (TLC control). Separated solid was filtered off and washed with ether (2 × 10 mL), giving corresponding acid **14a**,**b** as white crystals.

(5*S,6a*S**,6b*R**,9*R**,10*R**,10a*S**)-6,6a,9,10,10a,11-Hexahydro-5-(*N*-pyrrolidine-2-one)-10,10a-dibromo-11-oxo-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-10-carboxylic acid (14a).** ^{13}C-NMR (DMSO-d_6): δ 17.8 (4′-C), 24.4 (6-C), 30.5 (3′-C), 41.8 (5′-C), 47.0 (5-C), 54.0 (6a-C), 65.5 (10-C), 67.3 (10a-C), 84.9 (9-C), 91.9 (6b-C), 118.2 (1-C), 124.3 (3-C), 124.5 (4a-C), 126.5 (2-C), 128.1 (4-C), 132.0 (8-C), 136.3 (12a-C), 140.5 (7-C), 165.1 (C=O), 167.6 (CO_2H), 174.9 ppm (C=O).

(5*S,6a*S**,6b*R**,9*R**,10*R**,10a*S**)-6,6a,9,10,10a,11-Hexahydro-5-(*N*-pyrrolidine-2-one)-10,10a-dichloro-11-oxo-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-10-carboxylic acid (14b).** ^{13}C-NMR (DMSO-d_6): δ 23.1 (4′-C), 25.7 (6-C), 29.7 (3′-C), 36.0 (5′-C), 45.7 (5-C), 59.4 (6a-C), 77.0 (10-C), 79.7 (9-C), 90.2 (10a-C), 96.9 (6b-C), 123.6 (1-C), 129.8 (4a-C), 129.9 (3-C), 131.8 (2-C), 133.4 (4-C), 137.6 (8-C), 141.5 (7-C), 145.1 (12a-C), 170.3 (C=O), 173.1 (C=O), 180.3 ppm (CO_2H).

(5*S,6a*S**,6b*S**,9*S**,10a*R**)-6,6a,10,10a-Tetrahydro-5-(*N*-pyrrolidine-2-one)-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-11(9*H*)-ones (15–17). Typical procedure.** The solution of amine **2a** (4.15 mmol), corresponding acid chloride (6.3 mmol) and triethylamine 1.15 mL (8.3 mmol) in benzene (50 mL) was refluxed for 2 h. Then the reaction mixture was poured in 100 mL of water, organic layer was separated and water layer was extracted by ethyl acetate (3 × 40 mL). Organic layers were combined and dried over magnesium sulfate. The evaporation of the solvents and recrystallization of the residue from hexane–ethyl acetate gave isoindoloquinolines **15**–**17** as white crystals.

(5*S,6a*S**,6b*S**,9*S**,10a*R**)-6,6a,10,10a-Tetrahydro-10-methyl-5-(*N*-pyrrolidine-2-one)-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-11(9*H*)-one (15).** ^{13}C-NMR ($CDCl_3$): δ 17.1 (Me), 18.6 (4′-C), 25.8 (6-C), 31.3 (3′-C), 37.2 (10-C), 42.2 (5′-C), 48.0 (5-C), 56.2 (10a-C), 57.0 (6a-C), 82.4 (9-C), 90.6 (6b-C), 119.5 (1-C), 123.2 (4a-C), 123.8 (3-C), 126.5 (2-C), 128.5 (4-C), 135.5 (8-C), 136.2 (7-C), 137.4 (12a-C), 172.7 (C=O), 176.0 ppm (C=O).

(5*S,6a*S**,6b*S**,9*S**,10a*R**)-6,6a,10,10a-Tetrahydro-10-phenyl-5-(*N*-pyrrolidine-2-one)-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-11(9*H*)-one (16).** ^{13}C-NMR ($CDCl_3$): δ 18.2 (4′-C), 25.8 (6-C), 31.2 (3′-C), 42.2 (5′-C), 47.7 (10-C), 48.0 (5-C), 56.2 (10a-C), 57.0 (6a-C), 82.6 (9-C), 91.1 (6b-C), 119.5 (1-C), 123.3 (4a-C), 123.9 (3-C), 126.6 (2-C), 126.9 (4″-C), 127.9 (3″-C and 5″-C), 128.4 (4-C), 128.5 (2″-C and 6″-C), 133.4 (8-C), 136.8 (7-C), 137.3 (1″-C), 138.8 (12a-C), 172.2 (C=O), 176.0 ppm (C=O).

(5*S,6a*S**,6b*S**,9*S**,10a*R**)-6,6a,10,10a-Tetrahydro-10a-methyl-5-(*N*-pyrrolidine-2-one)-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-11(9*H*)-one (17).** ^{13}C-NMR ($CDCl_3$): δ 18.3 (Me), 20.4 (4′-C), 25.7 (6-C), 31.3 (3′-C), 36.6 (10-C), 42.3 (5′-C), 48.1 (5-C), 53.2 (10a-C), 55.4 (6a-C), 78.9 (9-C), 92.0 (6b-C), 119.5 (1-C), 123.2 (4a-C), 123.7 (3-C), 126.5 (2-C), 128.5 (4-C), 130.6 (8-C), 137.5 (12a-C), 138.4 (7-C), 176.1 (C=O), 176.5 ppm (C=O).

(5*S,6a*S**,6b*S**,9*R**,10*S**,10a*R**)-6,6a,9,10,10a,11-Hexahydro-11-oxo-5*H*-6b,9-epoxyisoindolo[2,1-*a*]quinoline-10-carboxylic acid methyl esters (18a,c-e) and ethyl ester (18b); (7*S**,8a*S**,8b*S**,11*R**,12*S**,12a*R**)-8,8a,11,12,12a,13-hexahydro-7-(*N*-pyrrolidine-2-one)-13-oxo-7*H*-8b,11-epoxybenzo[*h*]isoindolo[2,1-*a*]quinoline-12-carboxylic acid methyl ester (18f). Typical procedure.** Corresponding acids **6a**,**i**,**mB**, **7aB**, **13** (4.42 mmol) were refluxed in 30 mL of methanol (for **18a**, **18c**–**f**) or ethanol (**18b**) with the addition of one drop of H_2SO_4 for 2–6 h. Then the reaction mixture was poured in water (150 mL) and extracted by chloroform (3 × 50 mL). The extract was dried over magnesium sulfate and evaporated under reduced pressure giving crude esters **18a-f**. Further crystallization from hexane–ethyl acetate gave corresponding compounds as white crystals.

(7*S,8a*S**,8b*S**,11*R**,12*S**,12a*R**)-8,8a,11,12,12a,13-Hexahydro-7-(*N*-pyrrolidine-2-one)-13-oxo-7*H*-8b,11-epoxybenzo[*h*]isoindolo[2,1-*a*]quinoline-12-carboxylic acid methyl ester (18f).** ^{1}H-NMR ($CDCl_3$): δ 2.00–1.91 (m, 2H, 4′-H), 2.53–2.38 (m, 2H, 3′-H), 2.62 (ddd, 1H, 8*b*-H, $J_{8a,8b}$ = 3.1, $J_{7,8b}$ = 8.1, $J_{8a,8b}$ = 13.1 Hz), 2.83 (d, 1H, 12a-H, $J_{12,12a}$ = 8.7 Hz), 2.88 (d, 1H, 12-H, $J_{12,12a}$ = 8.7 Hz), 3.01 (dt, 1H, 8*a*-H, $J_{7,\ 8a}$ = 10.6, $J_{8a,8a}$ = $J_{8a,8b}$ = 13.1 Hz), 3.03–2.98 (m, 1H, 5B′-H), 3.17–3.11 (m, 1H, 5A′-H), 4.46 (dd, 1H, 8a-H, $J_{8a,8b}$ = 3.1, $J_{8a,8a}$ = 13.1 Hz), 5.21 (d, 1H, 11-H, $J_{10,11}$ = 1.9 Hz), 5.85 (dd, 1H, 7-H, $J_{7,8a}$ = 10.6, $J_{7,8b}$ = 8.1 Hz), 6.47 (dd, 1H, 10-H, $J_{10,11}$ = 1.9, $J_{9,10}$ = 5.6 Hz), 6.58 (d, 1H, 9-H, $J_{9,10}$ = 5.6 Hz), 7.09 (d, 1H, 5-H, $J_{5,6}$ = 8.1 Hz), 7.47–7.40 (m, 2H, 3-H and 2-H), 7.63 (br d, 1H, 6-H, $J_{5,6}$ = 8.1 Hz), 7.70 (br dd, 1H, 4-H, $J_{2,4}$ = 1.2, $J_{3,4}$ = 7.6 Hz), 7.92 ppm (dd, 1H, 1-H, $J_{1,2}$ = 8.1, $J_{1,3}$ = 1.3 Hz).

(1a*S,2*S**,3a*R**,10*S**,11a*S**,11b*S**,11c*S**)-1a,3,3a,11,11a,11c-Hexahydro-10*H*-2,11b-epoxyoxirano[6, 7]isoindolo[2,1-*a*]quinoline-4(2*H*)-ones (19a–c,i–k); (1a*S**,2*S**,3*S**,3a*R**,10*S**,11a*S**,11b*S**,11c*S**)-1a,2,3,3a,4,11,11a,11c-octahydro-4-oxo-10*H*-2,11b-epoxyoxirano[6, 7]isoindolo[2,1-*a*]quinoline-3-carboxylic acid methyl and ethyl esters (19d–h); (7*S**,8a*S**,8b*S**,8c*S**,9a*S**,10*S**,11*S**,11a*R**)-8,8a,8c,9a,10,11,11a,12-octahydro-12-oxo-7-(*N*-pyrrolidine-2-one)-7*H*-8b,10-epoxybenzo[*h*]oxirano[6, 7]isoindolo[2,1-*a*]quinoline-11-carboxylic acid methyl ester (19l); (7*S**,8a*S**,8b*S**,8c*S**,9a*S**,10*S**,11a*R**)-8,8a,9a,10,11,11a-hexahydro-7-(*N*-pyrrolidine-2-one)-7*H*-8b,10-epoxybenzo[*h*]oxirano[6,7]isoindolo[2,1-*a*]quinoline-12(8c*H*)-one (19m). Typical procedure.** The solution of isoindolones **10a**,**i**,**mB**, **11aB**, **15**–**17**, **18a**–**f** (5.0 mmol) and *m*-CPBA 2.19 g (12.7 mmol) was stirred for 2 days in CH_2Cl_2 (50 mL) (TLC control). Then the reaction mixture was poured in water (100 mL) and neutralized by aqueous sodium bicarbonate until pH ~ 9. Organic layer was separated and water layer was extracted by CH_2Cl_2 (3 × 50 mL). Organic layers were combined and dried over magnesium sulfate. The evaporation of the solvent and recrystallization of the residue from the hexane—ethyl acetate mixture gave diepoxides **19a**–**m** as white crystals.

(1a*S,2*S**,3a*R**,10*S**,11a*S**,11b*S**,11c*S**)-1a,3,3a,11,11a,11c-Hexahydro-10-(*N*-pyrrolidine-2-one)-10*H*-2,11b-epoxyoxirano[6,7]isoindolo[2,1-*a*]quinoline-4(2*H*)-one (19a).** Yield 90%; ^{13}C-NMR ($CDCl_3$): δ 18.2 (4′-C), 25.7 (11-C), 31.2 (3′-C), 31.2 (3-C), 42.3 (5′-C), 47.9 (C-10), 48.5 (C-11c), 49.7 (C-1a), 50.1 (C-3a), 56.4 (C-11a), 75.3 (C-2), 85.5 (C-11b), 119.3 (6-C), 123.2 (9a-C), 124.1 (8-C), 126.5 (7-C), 128.5 (9-C), 137.0 (5a-C), 171.6 (C═O), 176.2 ppm (C═O).

(1a*S,2*S**,3a*R**,10*S**,11a*S**,11b*S**,11c*S**)-1a,3,3a,11,11a,11c-Hexahydro-2-methyl-10-(*N*-pyrrolidine-2-one)-10*H*-2,11b-epoxyoxirano[6, 7] isoindolo[2,1-*a*]quinoline-4(2*H*)-one (19b).** Yield 81%; ^{13}C-NMR ($CDCl_3$): δ 16.4 (Me), 18.2 (4′-C), 25.7 (11-C), 31.2 (3′-C), 36.9 (3-C), 42.3 (5′-C), 47.9 (10-C), 50.2 (11c-C), 51.6 (1a-C), 52.3 (3a-C), 56.7 (11a-C), 83.3 (2-C), 85.5 (11b-C), 119.3 (6-C), 123.2 (9a-C), 124.0 (8-C), 126.5 (7-C), 128.4 (9-C), 137.0 (5a-C), 171.8 (C═O), 176.0 ppm (C═O).

(1a*S,2*S**,3a*R**,10*S**,11a*S**,11b*S**,11c*S**)-1a,3,3a,11,11a,11c-Hexahydro-10-(*N*-methylacetamid)-10*H*-2,11b-epoxyoxirano[6, 7]-isoindolo[2,1-*a*]quinoline-4(2*H*)-one (19c).** Yield 87%; ^{13}C-NMR ($CDCl_3$): δ 22.0 (MeCO), 25.5 (11-C), 31.2 (NMe), 31.2 (3-C), 48.5 (11c-C), 49.7 (1a-C), 49.9 (10-C), 50.1 (3a-C), 56.4 (11a-C), 75.3 (2-C), 85.5 (11b-C), 119.3 (6-C), 123.9 (9a-C), 124.1 (8-C), 126.8 (7-C), 128.3 (9-C), 137.4 (5a-C), 171.5 (C═O), 172.0 ppm (C═O).

(1a*S,2*S**,3*S**,3a*R**,10*S**,11a*S**,11b*S**,11c*S**)-1a,2,3,3a,4,11,11a,11c-Octahydro-4-oxo-10-(*N*-pyrrolidine-2-one)-10*H*-2,11b-epoxyoxirano[6,7] isoindolo[2,1-*a*]quinoline-3-carboxylic acid methyl ester (19d).** Yield 66%; ^{13}C-NMR ($CDCl_3$): δ 18.2 (4′-C), 25.6 (11-C), 31.2 (3′-C), 42.4 (5′-C), 47.8 (3a-C), 47.9 (10-C), 48.4 (11c-C), 48.9 (1a-C), 52.3 (3-C), 53.9 (CO_2Me), 55.9 (11a-C), 77.6 (2-C), 85.3 (11b-C), 119.3 (6-C), 123.4 (9a-C), 124.3 (8-C), 126.6 (7-C), 128.5 (9-C), 136.9 (5a-C), 168.0 ($\underline{C}O_2$Me), 170.6 (C═O), 176.0 ppm (C═O).

(1a*S,2*S**,3*S**,3a*R**,10*S**,11a*S**,11b*S**,11c*S**)-1a,2,3,3a,4,11,11a,11c-Octahydro-2-methyl-4-oxo-10-(*N*-pyrrolidine-2-one)-10*H*-2,11b-epoxyoxirano[6, 7]isoindolo [2,1-*a*]quinoline-3-carboxylic acid methyl ester (19f).** Yield 52%; ^{13}C-NMR ($CDCl_3$): δ 13.8 (Me), 18.2 (4′-C), 25.7 (11-C), 31.2 (3′-C), 42.5 (5′-C), 48.1 (3a-C), 50.0 (10-C), 50.7 (11c-C), 51.1 (1a-C), 52.4 (3-C),55.3 (CO_2Me), 56.4 (11a-C), 84.9 (2-C),85.6 (11b-C), 119.4 (6-C), 123.2 (9a-C), 124.2 (8-C), 126.6 (7-C), 128.5 (9-C), 134.5 (5a-C), 168.4 ($\underline{C}O_2$Me), 170.2 (C═O), 176.2 ppm (C═O).

(1a*S,2*S**,3*S**,3a*R**,10*S**,11a*S**,11b*S**,11c*S**)-1a,2,3,3a,4,11,11a,11c-Octahydro-3-methyl-4-oxo-10-(*N*-pyrrolidine-2-one)-10*H*-2,11b-epoxyoxirano[6, 7]isoindolo[2,1-*a*]quinoline-3-carboxylic acid methyl ester (19g).** Yield 29%; ^{13}C-NMR ($CDCl_3$): δ 18.2 (Me), 18.8 (4′-C), 25.5 (11-C), 31.2 (3′-C), 42.4 (5′-C), 48.0 (10-C), 48.2 (11c-C), 48.4 (1a-C), 52.6 (3a-C), 55.4 (3-C), 56.2 (CO_2Me), 61.0 (11a-C), 79.9 (2-C), 85.8 (11b-C), 119.4 (6-C), 123.4 (9a-C), 124.3 (8-C), 126.6 (7-C), 128.5 (9-C), 137.0 (5a-C), 168.3 ($\underline{C}O_2$Me), 172.5 (C═O), 176.2 ppm (C═O).

(1a*S,2*S**,3*S**,3a*R**,10*S**,11a*S**,11b*S**,11c*S**)-1a,2,3,3a,4,11,11a,11c-Octahydro-4-oxo-10-(*N*-methylacetamid)-10*H*-2,11b-epoxyoxirano[6, 7]isoindolo[2,1-*a*]quinoline-3-carboxylic acid methyl ester (19h).** Yield 59%; ^{13}C-NMR ($CDCl_3$): δ 21.8 (MeCO), 25.1 (11-C), 30.5 (NMe), 47.1 (10-C), 47.8 (3a-C), 48.4 (11c-C), 49.2 (1a-C), 51.4 (3-C), 53.4 (CO_2Me), 55.0 (11a-C), 77.1 (2-C), 85.2 (11b-C), 118.2 (6-C), 123.5 (9a-C), 127.9 (8-C), 128.8 (7-C), 130.6 (9-C), 137.3 (5a-C), 166.0 ($\underline{C}O_2$Me), 168.9 (C═O), 170.9 ppm (C═O).

(1a*S,2*S**,3a*R**,10*S**,11a*S**,11b*S**,11c*S**)-1a,3,3a,11,11a,11c-Hexahydro-3-methyl-10-(*N*-pyrrolidine-2-one)-10*H*-2,11b-epoxyoxirano[6, 7]isoindolo[2,1-*a*]quinoline-4(2*H*)-one (19i).** Yield 35%; ^{13}C-NMR ($CDCl_3$) δ: 14.0 (Me), 18.3 (4′-C), 25.6 (11-C), 31.2 (3′-C), 41.4 (3-C), 42.3 (5′-C), 47.9 (10-C), 48.3 (11c-C), 48.7 (1a-C), 56.7 (11a-C), 56.6 (3a-C), 77.8 (2-C), 86.2 (11b-C), 119.3 (6-C), 123.4 (9a-C), 124.1 (8-C), 126.6 (7-C), 128.5 (9-C), 137.0 (5a-C), 171.5 (C═O), 176.0 ppm (C═O).

(1a*S,2*S**,3a*R**,10*S**,11a*S**,11b*S**,11c*S**)-1a,3,3a,11,11a,11c-Hexahydro-3-phenyl-10-(*N*-pyrrolidine-2-one)-10*H*-2,11b-epoxyoxirano[6, 7]isoindolo[2,1-*a*]quinoline-4(2*H*)-one (19j).** Yield 66%; ^{13}C-NMR ($CDCl_3$): δ 18.2 (4′-C), 25.5 (11-C), 31.2 (3′-C), 42.2 (5′-C), 47.8 (10-C), 48.6 (11c-C), 48.8 (1a-C), 51.7 (3-C), 54.9 (3a-C), 56.6 (11a-C), 77.9 (2-C), 86.3 (11b-C), 119.3 (6-C), 123.4 (9a-C), 124.2 (8-C), 126.6 (7-C), 127.3 (4″-C), 127.9 (2″-C and 6″-C), 128.5 (9-C), 128.9 (3″-C and 5″-C), 136.0 (1″-C), 136.9 (5a-C), 171.3 (C═O), 175.9 ppm (C═O).

(1a*S,2*S**,3a*R**,10*S**,11a*S**,11b*S**,11c*S**)-1a,3,3a,11,11a,11c-Hexahydro-3a-methyl-10-(*N*-pyrrolidine-2-one)-10*H*-2,11b-epoxyoxirano[6, 7]isoindolo[2,1-*a*]quinoline-4(2*H*)-one (19k).** Yield 78%; ^{13}C-NMR ($CDCl_3$): δ 17.0 (Me), 18.2 (4′-C), 25.5 (11-C), 31.2 (3′-C), 38.4 (3-C), 42.3 (5′-C), 47.3 (10-C), 47.9 (11c-C), 49.2(1a-C), 55.0 (11a-C), 56.4 (3a-C), 75.0 (2-C), 86.0 (11b-C), 119.4 (6-C), 123.3 (9a-C), 124.0 (8-C), 126.5 (7-C), 128.5 (9-C), 137.1 (5a-C), 175.4 (C═O), 176.2 ppm (C═O).

(7*S,8a*S**,8b*S**,8c*S**,9a*S**,10*S**,11*S**,11a*R**)-8,8a,8c,9a,10,-11,11a,12-Octahydro-12-oxo-7-(*N*-pyrrolidine-2-one)-7*H*-8b,10-epoxybenzo[*h*]oxirano[6, 7]isoindolo[2,1-*a*]quinoline-11-carboxylic acid methyl ester (19l).** Yield 50%; ^{1}H-NMR ($CDCl_3$): δ 1.97 (ddd, 1H, 8*a*-H, $J_{7,8a}$ = 10.2, $J_{8a,8a}$ = 13.0, $J_{8a,8e}$ = 12.3 Hz), 2.04–1.98 (m, 2H, 4′-H), 2.57–2.47 (m, 2H, 3′-H), 2.69 (ddd, 1H, 8*e*-H, $J_{8a,8e}$ = 2.6, $J_{7,8e}$ = 8.0, $J_{8a,8e}$ = 12.3 Hz), 3.09 (d, 1H, 11a-H, $J_{11,11a}$ = 8.7 Hz), 3.14 (d, 1H, 11-H, $J_{11,11a}$ = 9.3 Hz), 3.19–3.05 (m, 2H, 5′-H), 3.27 (d, 1H, 9a-H, $J_{8c,9a}$ = 3.3 Hz), 3.57 (d, 1H, 8c-H, $J_{8c,9a}$ = 3.3 Hz), 3.89 (s, 3H, Me), 4.53 (dd, 1H, 8a-H, $J_{8a,8e}$ = 2.6, $J_{8a,8a}$ = 13.0 Hz), 4.85 (s, 1H, 10-H), 5.91 (dd, 1H, 7-H, $J_{7,8a}$ = 10.2, $J_{7,8e}$ = 8.0 Hz), 7.15 (d, 1H, 5-H, $J_{5,6}$ = 8.4 Hz), 7.53–7.47 (m, 2H, 3-H and 2-H), 7.71 (d, 1H, 6-H, $J_{5,6}$ = 8.4 Hz), 7.77 (dd, 1H, 4-H, $J_{2,4}$ = 1.4, $J_{3,4}$ = 7.4 Hz), 7.95 ppm (dd, 1H, 1-H, $J_{1,2}$ = 8.2, $J_{1,3}$ = 0.7 Hz). ^{13}C-NMR (DMSO-d_6): δ 17.5 (4′-C), 28.2 (8-C), 30.6 (3′-C), 41.9 (5′-C), 46.6 (11a-C), 47.8 (7-C), 48.1 (11-C), 48.6 (9a-C), 51.2 (8c-C), 51.7 (CO_2Me), 57.2 (8a-C), 78.1 (10-C), 86.8 (8b-C), 127.3, 126.5, 126.2, 125.9, 125.1, 124.2 (1-C–6-C), 125.4 (13b-C), 127.0 (6a-C), 132.8 (4a-C), 132.9 (13a-C), 169.0 ($\underline{C}O_2$Me), 170.6 (C═O), 174.6 ppm (C═O).

(7*S,8a*S**,8b*S**,8c*S**,9a*S**,10*S**,11a*R**)-8,8a,9a,10,11,11a-Hexahydro-7-(*N*-pyrrolidine-2-one)7*H*-8b,10-epoxybenzo[*h*]oxirano[6, 7]isoindolo[2,1-*a*]quinoline-12(8c*H*)-one (19m).** Yield 42%; ^{1}H-NMR ($CDCl_3$): δ 2.05 (d, 1H, 11*endo*-H, $J_{11a,11endo}$ = 9.6, $J_{11exo,11endo}$ = 12.8 Hz), 2.07–1.98 (m, 3H, 4′-H and 8a-H), 2.41 (d, 1H, 11*exo*-H, $J_{11a,11exo}$ = 4.0, $J_{10,11exo}$ = 5.0, $J_{11exo,11endo}$ = 12.8 Hz), 2.55–2.50 (m, 2H, 3′-H), 2.70 (ddd, 1H, 8*e*-H, $J_{8a,8e}$ = 3.1, $J_{7,8e}$ = 8.1, $J_{8a,8e}$ = 10.9 Hz), 2.82 (d, 1H, 11a-H, $J_{11a,11exo}$ = 4.0, $J_{11a,11endo}$ = 9.6 Hz), 3.06 (m, 1H, 5′B-H), 3.22 (m, 1H, 5′A-H), 3.47 (d, 1H, 9a-H, $J_{8c,9a}$ = 3.4 Hz), 3.50 (d, 1H, 8c-H, $J_{8c,9a}$ = 3.4 Hz), 4.53 (dd, 1H, 8a-H, $J_{8a,8e}$ = 2.5, $J_{8a,8a}$ = 13.1 Hz), 4.73 (d, 1H, 10-H, $J_{10,11exo}$ = 5.0 Hz), 5.91 (dd, 1H, 7-H, $J_{7,8a}$ = 10.3, $J_{7,8e}$ = 8.4 Hz), 7.15 (d, 1H, 5-H, $J_{5,6}$ = 8.7 Hz), 7.51–7.46 (m, 2H, 3-H and 2-H), 7.71 (d, 1H, 6-H, $J_{5,6}$ = 8.7 Hz), 7.85–7.76 ppm (m, 1-H and 4-H). ^{13}C-NMR (DMSO-d_6): δ 18.2 (4′-C), 29.3 (8-C), 31.2 (3′-C), 32.6 (11-C), 42.6 (5′-C), 47.2 (11a-C), 48.2 (7-C), 48.5

(9a-C), 49.9 (8c-C), 58.4 (8a-C), 75.8 (10-C), 87.2 (8b-C), 127.8, 127.7, 126.9, 126.1, 126.0, 124.2 (1-C–6-C), 124.5 (13b-C), 127.5 (6a-C), 133.3 (4a-C), 133.9 (13a-C), 171.4 (C═O), 175.8 ppm (C═O).

(5*S,6a*S**)-5,6,6a,11-Tetrahydro-5-amido-11-oxoisoindolo[2,1-*a*]quinoline-10-carboxylic acids (20a,b); (7*S**,8a*S**)-7,8,8a,13-tetrahydro-7-(*N*-pyrrolidine-2-one)-13-oxobenzo[*h*]isoindolo[2,1-*a*]quinoline-12-carboxylic acid (20c). Typical procedure.** The solution of corresponding acid **6a**,**mB**, **7aB** (1.0 g) in phosphoric acid (15 mL) was stirred for 30 min at 85°C. The reaction mixture was cooled to room temperature and poured in 100 mL of water. Separated crystals of target products **20a–c** were filtered off, dried in air, and recrystallized from a mixture of isopropanol–DMF. Acids were obtained as colorless powders.

(5*S,6a*S**)-5,6,6a,11-Tetrahydro-5-(*N*-methylacetamid)-11-oxoisoindolo[2,1-*a*]quinoline-10-carboxylic acid (20b).** Yield 53%; ^{13}C-NMR (DMSO-d_6) δ: 21.8 (MeCO), 30.8 (NMe), 49.2 (5-C), 58.8 (6a-C), 120.3 (1-C), 132.8, 131.3, 129.7, 128.7, 127.7, 127.0, 126.4, 126.2, 125.3 (Ar-C), 135.7 (12a-C), 145.7 (6b-C), 165.4 (CO_2H), 166.1 (C═O), 171.0 ppm (C═O).

(7*S,8a*S**)-7,8,8a,13-Tetrahydro-7-(*N*-pyrrolidine-2-one)-13-oxobenzo[*h*]isoindolo[2,1-*a*]quinoline-12-carboxylic acid (20c).** Yield 19%; ^{1}H-NMR (DMSO-d_6): δ 1.79 (q, 1H, 8*a*-H, $J_{7,8a}$ = 11.6, $J_{8a,8a}$ = 11.9, $J_{8a,8e}$ = 12.6 Hz), 1.97–1.84 (m, 2H, 4′-H), 2.34–2.29 (m, 1H, 3B′-H), 2.45–2.39 (m, 1H, 3A′-H), 2.76 (ddd, 1H, 8*e*-H, $J_{8a,8e}$ = 3.0, $J_{7,8e}$ = 6.9, $J_{8a,8e}$ = 12.6 Hz), 2.95 (m, 1H, 5B′-H), 3.22 (m, 1H, 5A′-H), 5.51 (dd, 1H, 8a-H, $J_{8a,8e}$ = 3.0, $J_{8a,8a}$ = 11.9 Hz), 5.77 (dd, 1H, 7-H, $J_{7,8a}$ = 11.6, $J_{7,8e}$ = 6.9 Hz), 7.23 (d, 1H, 5-H, $J_{5,6}$ = 8.5 Hz), 7.54–7.49 (m, 2H, 2-H and 3-H), 7.84 (t, 1H, 10-H, $J_{9,10}$ = $J_{10,11}$ = 7.6 Hz), 7.88 (d, 1H, 6-H, $J_{5,6}$ = 8.5 Hz), 7.93–7.91 (m, 2H, 1-H and 4-H), 8.01 (d, 1H, 9-H, $J_{9,10}$ = 7.6 Hz), 8.07 (d, 1H, 11-H, $J_{10,11}$ = 7.6 Hz), 8.24 ppm (br s, CO_2H). ^{13}C-NMR (DMSO-d_6): δ 17.7 (4′-C), 30.5 (8-C), 33.5 (3′-C), 42.0 (5′-C), 47.5 (7-C), 59.8 (8a-C), 132.7, 130.8, 127.7, 127.3, 126.3, 126.2, 125.9, 125.4, 123.9 (1-C–6-C and 9-C–11-C), 125.4 (12-C), 126.3 (12a-C), 128.2 (6a-C), 130.9 (14a-C), 131.4 (14b-C), 133.2 (4a-C), 147.5 (8b-C), 165.9 (CO_2H), 166.0 (C═O), 174.7 ppm (C═O).

(5*S,6a*S**)-6,6a-Dihydro-5-amidoisoindolo[2,1-*a*]quinoline-11(5*H*)-ones (20d–h); (7*S**,8a*S**)-8,8a-dihydro-7-(*N*-pyrrolidine-2-one)benzo[*h*]isoindolo[2,1-*a*]quinoline-13(7*H*)-one (20i). Typical procedure.** The solution of corresponding isoindolone **10a**,**i**, **mB**, **11aB**, **15**, **16** (1 g) in phosphoric acid (15 mL) was stirred for 1 h at 85°C. The reaction mixture was cooled to room temperature, poured in water (100 mL) and extracted by ethyl acetate (3 × 50 mL). The extract was dried over magnesium sulfate and evaporated under reduced pressure giving crude isoindoloquinolines **20d–i** as white solid. Subsequent recrystallization from the hexane—ethyl acetate mixture gave white crystals of target products.

(5*S,6a*S**)-6,6a-Dihydro-5-(*N*-methylacetamid)isoindolo[2,1-*a*]quinoline-11(5*H*)-one (20e).** Yield 39%; ^{13}C-NMR ($CDCl_3$): δ 22.1 (MeCO), 31.2 (6-C), 31.2 (NMe), 50.1 (5-C), 58.1 (6a-C), 132.4, 132.3, 128.8, 128.3, 127.0, 124.3, 124.3, 124.2, 121.9, 120.6 (Ar-C), 137.1 (12a-C), 143.9 (6b-C), 165.8 (C═O), 171.7 ppm (C═O).

(5*S,6a*S**)-6,6a-Dihydro-10-methyl-5-(*N*-pyrrolidine-2-one)isoindolo[2,1-*a*]quinoline-11(5*H*)-one (20f).** Yield 50%; ^{13}C-NMR ($CDCl_3$): δ 17.5 (Me), 18.2 (4′-C), 31.2 (6-C), 31.6 (3′-C), 42.3 (5′-C), 48.0 (5-C), 57.4 (6a-C), 119.3 (1-C), 131.8, 130.9, 128.4, 126.7, 124.1, 120.5 (Ar-C), 123.6 (4a-C), 126.3 (10-C), 136.9 (10a-C), 138.6 (12a-C), 144.4 (6b-C), 166.7 (C═O), 175.8 ppm (C═O).

(5*S,6a*S**)-6,6a-Dihydro-10-phenyl-5-(*N*-pyrrolidine-2-one)isoindolo[2,1-*a*]quinoline-11(5*H*)-one (20g).** Yield 53%; ^{13}C-NMR ($CDCl_3$): δ 18.2 (4′-C), 31.2 (6-C), 31.7 (3′-C), 42.3 (5′-C), 48.0 (5-C), 57.1 (6a-C), 120.9 (1-C), 127.7 (2″-C and 6″-C), 129.7 (3″-C and 5″-C), 131.9, 131.1, 128.4, 128.1, 127.9, 127.4, 126.7, 124.3, 123.6 (Ar-C), 136.8 (10-C), 137.4 (12a-C), 141.9 (1″-C), 145.1 (6b-C), 165.3 (C═O), 175.8 ppm (C═O).

(5*S,6a*S**)-6,6a-Dihydro-9-methyl-5-(*N*-pyrrolidine-2-one)isoindolo[2,1-*a*]quinoline-11(5*H*)-one (20h).** Yield 77%; ^{13}C-NMR ($CDCl_3$): δ 18.2 (4′-C), 21.4 (9-Me), 31.2 (6-C), 31.5 (3′-C), 42.3 (5′-C), 48.0 (5-C), 57.9 (6a-C), 120.6 (1-C), 133.4, 128.5, 126.8, 124.4, 124.3, 121.6 (Ar-C), 123.6 (4a-C), 132.5 (9-C), 136.9 (10a-C), 139.1 (12a-C), 141.1 (6b-C), 166.0 (C═O), 175.8 ppm (C═O).

(7*S,8a*S**)-8,8a-Dihydro-7-(*N*-pyrrolidine-2-one)benzo[*h*]isoindolo[2,1-*a*]quinoline-13(7*H*)-one (20i).** Yield 77%; ^{1}H-NMR (DMSO-d_6): δ 1.65 (q, 1H, 8*a*-H, $J_{7,8a}$ = $J_{8a,8a}$ = $J_{8a,8e}$ = 11.5 Hz), 1.98–1.87 (m, 2H, 4′-H), 2.47–2.30 (m, 2H, 3′-H), 2.73 (ddd, 1H, 8*e*-H, $J_{8a,8e}$ = 2.5, $J_{7,8e}$ = 6.9, $J_{8a,8e}$ = 11.5 Hz), 2.96 (m, 1H, 5B′-H), 3.22 (m, 1H, 5A′-H), 5.36 (dd, 1H, 8a-H, $J_{8a,8e}$ = 2.5, $J_{8a,8a}$ = 11.5 Hz), 5.76 (dd, 1H, 7-H, $J_{7,8a}$ = 11.5, $J_{7,8e}$ = 6.9 Hz), 7.23 (d, 1H, 5-H, $J_{5,6}$ = 8.1 Hz), 7.90 (d, 1H, 6-H, $J_{5,6}$ = 8.1 Hz), 7.93–7.46 ppm (m, 8H, Ar-H). ^{13}C-NMR (DMSO-d_6): δ 17.6 (4′-C), 30.5 (8-C), 33.9 (3′-C), 42.0 (5′-C), 47.8 (7-C), 59.0 (8a-C), 132.3, 128.6, 127.4, 126.4, 126.2, 126.1, 124.8, 123.9, 123.8, 122.7 (1-C–6-C and 9-C–12-C), 124.3 (12a-C), 126.7 (6a-C), 131.0 (14a-C), 132.6 (14b-C), 133.2 (4a-C), 146.6 (8b-C), 165.0 (C═O), 174.7 ppm (C═O).

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