Synthesis of substituted disulfonyl-containing polycyclic azines with the bridgehead nitrogen atom. Effects of the structure of 3-substituted pyridinium ylides on the regioselectivity of their reactions with *E*-1,2-di(alkylsulfonyl)-1,2-dichloroethenes

N. E. Dontsova and A. M. Shestopalov*

N. D. Zelinsky Institute of Organic Chemistry, Russian Academy of Sciences, 47 Leninsky prosp., 119991 Moscow, Russian Federation. Fax: +7 (499) 135 53 28. E-mail: gsv@ioc.ac.ru

Heating the substituted pyridinium and isoquinolinium salts with *E*-1,2-di(alkylsulfonyl)-1,2-dichloroethenes in either chloroform or acetone in the presence of three-fold excess of Et_3N gave high yields of substituted 1,2-di(alkylsulfonyl)indolizines and 1,2-di(alkylsulfonyl)pyrrolo[2,1-*a*]isoquinolines, respectively. Effects of the structure of 3-substituted pyridinium ylides on the regioselectivity of their reaction with *E*-1,2-di(alkylsulfonyl)-1,2-dichloroethenes were revealed. It was shown that the presence of electron-releasing and electron-withdrawing substituents in the pyridinium ylide favors the formation of 8-substituted and 6-substituted 1,2-(dialkylsulfonyl)indolizines, respectively.

Key words: azinium ylides, *E*-1,2-di(alkylsulfonyl)-1,2-dichloroethenes, pyridinium ylides, isoquinolinium ylides, 1,2-di(alkylsulfonyl)indolizines, 1,2-di(alkylsulfonyl)pyrrolo[2,1-*a*]-isoquinolines, regioselectivity, 3-substituted pyridinium ylides.

An indolizine moiety is a constitutive fragment of numerous biologically active compounds.[1—9] Substituted 1- and 2-sulfonylindolizines show very high antioxidant activity[4,10,11] and are widely used nowadays for treatment of central nervous system disorders (including the Alzheimer disease[12,13]), cardiovascular system diseases,[14,15] asthma, and severe chronic lung diseases.[16] It have recently been found that 2-sulfonylindolizines are potent dyes for natural wool and human hair.[17] Moreover, the presence of the sulfonyl group is a prerequisite for further transformations of the compound.[13,18] Substituted 1-arylsulfonylindolizines are generally synthesized by the reaction of substituted 2-[(arylsulfonyl)methyl]pyridines with α-halocarbonyl compounds.[12—17,19,20] Substituted 1- and 2-sulfonylindolizines are obtained by oxidation of the corresponding arylthioindolizines,[16—17,19—22] which in turn are accessible *via* introduction of a certain arylthio group into indolizine. The latter is synthesized by either the reaction of α-picolines with α-chalocarbonyl compounds under the Chichibabin conditions[16,19] or 1,3-dipolar cycloaddition involving arylthioethenes as the dipoles.[21,22] Hu and co-workers have recently suggested a one-pot procedure for the synthesis of polysubstituted 1-arylsulfonylindolizines form α-halocarbonyl compounds, pyridines, and electron deficient alkenes in the presence of potassium bichromate under base-free conditions.[23] Substituted 2-arylsulfonyl-3-aminoindolizines are available *via* a one-pot reaction sequence involving the Knoevenagel condensation of 2-carbonylpyridines with arylsulfonylacetonitriles by using Hantzsch ester as a hydride transfer agent.[24] It should be emphasized that all mentioned above methods are multistep processes giving the target substituted 1- and 2-arylsulfonylindolizines in low yields.

We have earlier reported on a versatile synthetic approach towards some substituted 1,2-di(alkylsulfonyl)indolizines by cycloaddition of pyridinium ylides to *E*-1,2-di(alkylsulfonyl)-1,2-dichloroethenes.[25,26] We have found that regiospecific reaction of pyridinium and pyrazinium ylides with *E*-1,2-di(alkylsulfonyl)-1,2-dichloroethenes upon heating in chloroform in the presence of Et_3N produces substituted 1,2-di(alkylsulfonyl)indolizines[25] and 7,8-di(propylsulfonyl)pyrrolo[1,2-*a*]pyrazines[27] in high yields. We believe that this reaction proceeds as 1,3-dipolar cycloaddition *via* hydrogenated intermediates, which further undergo double dehydrochlorination to form sulfonyl-substituted indolizines[25] (Scheme 1) and pyrrolopyrazines.[27] It has also been found that the solvent nature strongly affects regioselectivity of the reaction between pyridinium ylides and *E*-1,2-di(alkylsulfonyl)-1,2-dichloroethene.[28] Thus, in aprotic solvents this reaction operates as 1,3-dipolar cycloaddition to give substituted 1,2-di(alkylsulfonyl)indolizines. In a protic solvent (EtOH), the reaction of the substituted pyridinium salts

Published in Russian in *Izvestiya Akademii Nauk. Seriya Khimicheskaya,* No. 6, pp. 1030—1043, June, 2017.

1066-5285/17/6606-1030

with *E*-1,2-di(alkylsulfonyl)-1,2-dichloroethenes at heating in the presence of excess Et_3N follows two pathways simultaneously, *i.e.*, 1,3-dipolar cycloaddition and addition-elimination ($Ad_N—E_{1,5}$) mechanisms, and results in 1,2-di(alkylsulfonyl)indolizines and 4,5-di(alkylsulfonyl)-furans.

In continuation of our research on the synthesis of sulfonyl-substituted polycyclic azines with bridgehead nitrogen atom,[25—28] in the present work we studied the effects of the structure of azinium ylides on the regioselectivity of their reactions with *E*-1,2-di(alkylsulfonyl)-1,2-dichloroethenes.

We studied the reactions of *E*-1,2-di(alkylsulfonyl)-1,2-dichloroethenes (**1a**,**b**) with pyridinium ylides **2a**—**d**, 4-ethyl- (**2e**—**g**), 4-*tert*-butyl- (**2h**—**k**), 3,5-dimethyl- (**2l**,**m**), 4-pyridyl- (**2n**—**r**), and 4-ethoxycarbonylpyridinium ylides (**2s—v**), and isoquinolinium ylides (**3a**—**e**). Ylides **2a**—**v** and **3a**—**e** were generated by treating salts **4a**—**v** and **5a**—**e** with a three-fold excess of Et_3N in either $CHCl_3$ or acetone followed by addition of *E*-1,2-di(alkylsulfonyl)-1,2-dichloroethene **1a,b**. After heating the reaction mixture at 50 °C for 40 min, substituted 1,2-di(alkylsulfonyl)indolizines **6a**—**w** and 1,2-di(alkylsulfonyl)-pyrrolo[2,1-*a*]isoquinolines **7a**—**e** were isolated in good yields (51—85%) (Scheme 1, Table 1).

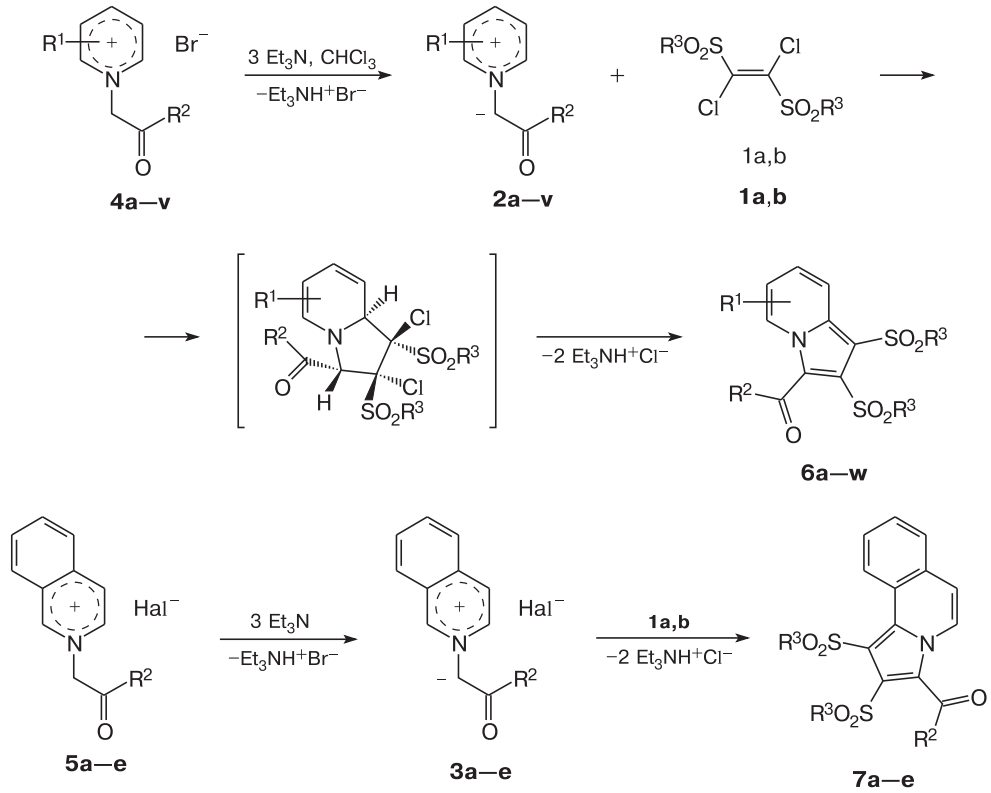
All synthesized indolizines **6a**—**w** and pyrroloisoquinolines **7a**—**e** can be accessed *via* the general procedure in both $CHCl_3$ and acetone. Some 4-bipyridinium and isoquinolinium ylides, *e.g.*, ylides bearing $R^2 = NH_2$, are limitedly soluble in $CHCl_3$. In this case, it is advisable to carry out the reactions in acetone to achieve the highest yields of indolizines and pyrroloisoquinolines (see Table 1).

Earlier,[25] we observed poor regioselectivity of this reaction involving ethene **1b** and 4-fluorophenacetyl-3-picolinium ylide and formation of a mixture of isomeric indolizines **10** and **11** (Scheme 2, Table 2).

By widening the scope of the studied 3-substituted pyridinium ylides used in the reactions with ethenes **1a**,**b**, we found that the direction of annulation is determined by the nature of the substituent in pyridinium ylide (see Scheme 2 and Table 2).

The reaction of 3-substituted pyridinium ylides **9a**—**e** with ethenes **1a**,**b** were carried out by the developed by us procedure. Ylides **9a**—**e** were generated by treatment of salts **8a**—**e** with a three-fold excess of Et_3N in $CHCl_3$ (acetone) with subsequent addition of *E*-1,2-di(alkylsulfonyl)-1,2-dichloroethene **1a**,**b**. Heating the reaction mixture at 50 °C for 40 min produces 6- and 8-substituted 1,2-di(alkylsulfonyl)indolizines **10a**—**o**,**a′**,**d′**—**g′**, and **11p**—**z**,**a′**—**e′**, respectively (see Table 2).

Scheme 1



* Substituents R^1—R^3 are given in Table 1.

Table 1. Synthesis of indolizines **6a—w** and pyrroloizoquinolines **7a—e**

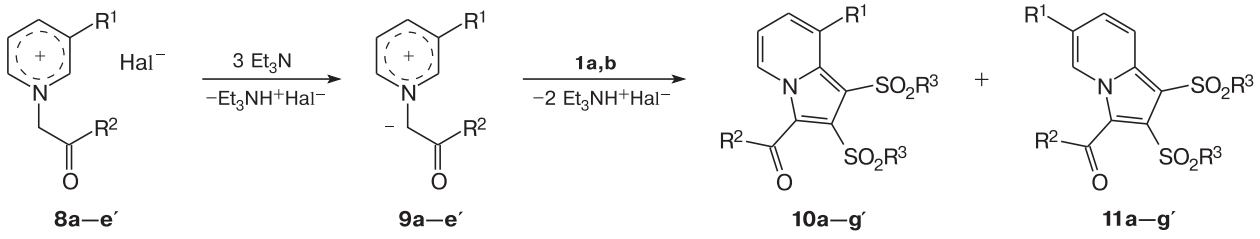
Ylide	R^1	R^2	Ethene	R^3	Product	Yield (%))
2a	H	OMe	**1b**	Pr	**6a**	74[a]
2b	H	Ph	**1a**	Et	**6b**	62[a]
2b	H	Ph	**1b**	Pr	**6c**	70[a], 68[b]
2c	H	4-$MeOC_6H_4$	**1b**	Pr	**6d**	60[a]
2d	H	4-FC_6H_4	**1b**	Pr	**6e**	72[a]
2e	4-Et	4-MeC_6H_4	**1b**	Pr	**6f**	64[a], 53[b]
2f	4-Et	3,4-$(MeO)_2C_6H_3$	**1b**	Pr	**6g**	69[a]
2g	4-Et	4-ClC_6H_4	**1b**	Pr	**6h**	63[a]
2h	4-Bu^t	4-EtC_6H_4	**1a**	Et	**6i**	69[a], 67[b]
2i	4-Bu^t	4-$MeOC_6H_4$	**1a**	Et	**6j**	62[b]
2j	4-Bu^t	4-FC_6H_4	**1a**	Et	**6k**	62[a], 55[b]
2k	4-Bu^t	2,4-$Me_2C_6H_3$	**1b**	Pr	**6l**	72[a], 66[b]
2l	3,5-$(Me)_2$	Ph	**1b**	Pr	**6m**	67[b]
2m	3,5-$(Me)_2$	4-$MeOC_6H_4$	**1b**	Pr	**6n**	66[b]
2n	4-Py	OMe	**1a**	Et	**6o**	72[a], 60[b]
2o	4-Py	NH_2	**1a**	Et	**6p**	64[a], 53[b]
2p	4-Py	4-ClC_6H_4	**1a**	Et	**6q**	68[a], 51[b]
2q	4-Py	4-$Pr^iOC_6H_4$	**1b**	Pr	**6r**	74[a]
2r	4-Py	3,4-$(MeO)_2C_6H_3$	**1b**	Pr	**6s**	68[a]
2s	4-COOEt	Ph	**1b**	Pr	**6t**	68[a]
2t	4-COOEt	4-MeC_6H_4	**1b**	Pr	**6u**	68[a]
2u	4-COOEt	4-$MeOC_6H_4$	**1b**	Pr	**6v**	62[a]
2v	4-COOEt	3,4-$(OCH_2O)C_6H_3$	**1b**	Pr	**6w**	58[a]
3a	—	OMe	**1b**	Pr	**7a**	68[a]
3b	—	NH_2	**1a**	Et	**7b**	68[a]
3c	—	Ph	**1b**	Pr	**7c**	74[a]
3d	—	4-ClC_6H_4	**1a**	Et	**7d**	73[a]
3e	—	2,4-$(Me)_2C_6H_3$	**1b**	Pr	**7e**	74[a]

[a] In acetone.
[b] In $CHCl_3$.

We found that 3-picolinium ylides **9a—f** react with ethenes **1a**,**b** to afford a mixture of isomeric indolizines. In all cases, indolizine **10** was a main component of the mixture (see Table 2) and the ratio of isomers **10** and **11** in a compound series depends on the nature of the substituent in the benzene ring. The reactions of 3-aminopyridinium ylides **9g—o** with ethenes **1a**,**b** proceed in a nearly completely selective manner with annulation at the position 2 of pyridinium producing indolizines **10g—o** in high yields (56—84%) (see Table 2).

3-Acetylpyridinium ylides **9p—x** react with ethenes **1a**,**b** in either $CHCl_3$ or acetone to give the mixtures of isomeric indolizines with high content of isomers **11p—z**. Therefore, isomers **11p—z** were obtained pure by the general procedure. Since nicotinamide salts and the corresponding ylides **9y—z**,**a′—e′** are limitedly soluble in $CHCl_3$, their reactions with ethenes **1a**,**b** were carried out in acetone. The reaction mixtures contained mainly indolizines **11a′—c′**, which were isolated pure by the general procedure with additional recrystallization. It is interesting to note that we succeeded to isolate individual indolizines ($R^1 = CONH_2$) by performing the same reactions in heterogeneous medium in $CHCl_3$ (see Table 2), however the yields of compounds **10a′**,**d′—g′** were poor.

Scheme 2



8a—e′ **9a—e′** **10a—g′** **11a—g′**

Table 2. Synthesis of compounds **10a—o,a′,d′—g′** and **11c—f,p—z,d′—e′**

Ylide	R^1	R^2	Ethene	R^3	Product	Yield (%))
9a	Me	NH_2	**1a**	Et	**10a**	60[a]
9b	Me	Ph	**1a**	Et	**10b**	63[a], 58[b]
9c	Me	4-MeC_6H_4	**1b**	Pr	**10c** : **11c** = 3 : 1	70[b]
9d	Me	4-$MeOC_6H_4$	**1b**	Pr	**10d** : **11d** = 3 : 1	74[a]
9e	Me	3,4-$(MeO)_2C_6H_3$	**1b**	Pr	**10e** : **11e** = 2 : 1	69[b]
9f	Me	4-BrC_6H_4	**1b**	Pr	**10f** : **11f** = 3 : 1	67[a]
9g	NH_2	OMe	**1b**	Pr	**10g**	69[a], 61[b]
9h	NH_2	Ph	**1a**	Et	**10h**	74[a], 68[b]
9i	NH_2	4-MeC_6H_4	**1b**	Pr	**10i**	74[a]
9j	NH_2	2,4-$Me_2C_6H_3$	**1b**	Pr	**10j**	75[a], 70[b]
9k	NH_2	4-$MeOC_6H_4$	**1b**	Pr	**10k**	65[b]
9l	NH_2	3,4-$(MeO)_2C_6H_3$	**1b**	Pr	**10l**	59[b]
9m	NH_2	4-$Pr^iOC_6H_4$	**1b**	Pr	**10m**	66[a]
9n	NH_2	3,4-$(OCH_2O)C_6H_3$	**1b**	Pr	**10n**	72[a]
9o	NH_2	4-FC_6H_4	**1b**	Pr	**10o**	68[a]
9p	Ac	MeO	**1a**	Et	**11p**	47[b]
9p	Ac	MeO	**1b**	Pr	**11q**	49[a]
9q	Ac	NH_2	**1b**	Pr	**11r**	53[a]
9r	Ac	Ph	**1a**	Et	**11s**	42[b]
9r	Ac	Ph	**1b**	Pr	**11t**	52[a]
9s	Ac	4-MeC_6H_4	**1b**	Pr	**11u**	47[b]
9t	Ac	2,4-$Me_2C_6H_3$	**1a**	Et	**11v**	51[b]
9u	Ac	4-$MeOC_6H_4$	**1b**	Pr	**11w**	54[b]
9v	Ac	3,4-$(MeO)_2C_6H_3$	**1b**	Pr	**11x**	58[b]
9w	Ac	4-FC_6H_4	**1b**	Pr	**11y**	49[b]
9x	Ac	4-BrC_6H_4	**1b**	Pr	**11z**	52[a]
9y	$C(O)NH_2$	OMe	**1b**	Pr	**10a′**	37[b]
9y	$C(O)NH_2$	OMe	**1b**	Pr	**11a′**	54[a]
9z	$C(O)NH_2$	Ph	**1b**	Pr	**11b′**	47[a]
9a′	$C(O)NH_2$	2,4-$Me_2C_6H_3$	**1b**	Pr	**11c′**	45[a]
9b′	$C(O)NH_2$	4-$MeOC_6H_4$	**1b**	Pr	**10d′**	37[b]
9b′	$C(O)NH_2$	4-$MeOC_6H_4$	**1b**	Pr	**11d′**	52[a]
9c′	$C(O)NH_2$	3,4-$(MeO)_2C_6H_3$	**1b**	Pr	**10e′**	38[b]
9c′	$C(O)NH_2$	3,4-$(MeO)_2C_6H_3$	**1b**	Pr	**11e′**	51[a]
9d′	$C(O)NH_2$	4-FC_6H_4	**1b**	Pr	**10f′**	35[b]
9e′	$C(O)NH_2$	4-BrC_6H_4	**1b**	Pr	**10g′**	36[b]

[a] In acetone.
[b] In $CHCl_3$.

Thus, our findings show that the structure of 3-substituted pyridinium ylides exerts a dramatic effect on the regioselectivity of their reactions with *E*-1,2-dichloro-1,2-di(alkylsulfonyl)ethenes. The electron-releasing substituent in the pyridinium ylide, *e.g.*, the Me and NH_2 groups, favors the annulation at the C(2) pyridinium atom, while electron-withdrawing substituent, *e.g.*, the COMe and $CONH_2$ groups, directs the reaction towards annulation at the C(6) pyridinium atom.

Spectral data for compounds **6**, **7** and **10**, **11** given in Tables 3—7 are in agreement with the suggested structures. IR spectra of compounds **6**, **7**, **10**, and **11** contain absorptions of the stretching vibrations of the carbonyl (1712—1688 cm^{-1}) and sulfonyl (1324—1308 and 1145—1140 cm^{-1}) groups.[22] IR spectra of compounds **6p**, **7b**, **10a**, **10g—o,a′,d′—g′**, **11r**, and **11a′—e′** exhibit absorptions characteristic of the stretching and bending vibrations of the amide and amino groups in the ranges of 3444—3168 and 1648—1636 cm^{-1}, respectively. Mass spectra of all synthesized compounds revealed the molecular ion peaks ($[M]^+$).

Analysis of ^1H NMR spectra allow an unambiguous conclusion to be made about the regioselectivity of the reaction and the direction of annulation. The protons of the pyridine fragment of indolizine **10** resonate as the doublets in the δ 6.60—7.50 (H(7)) and δ 7.20—8.05 (H(5)) ranges and a triplet in the δ 6.40—7.05 (H(6)) range. In a comparison, ^{1}NMR signals of the pyridine moiety of isomeric indolizine **11** appear as the doublets in the δ 7.50—8.70 (H(7)) and 8.40—8.50 (H(8)) ranges and a singlet in the δ 8.45—8.60 (H(5)) range.

Table 3. Physicochemical properties and IR and mass spectral data of compounds **6a—w** and **7a—e**

Compound	M.p./°C[a]	Found / Calculated (%)			Molecular formula	IR, ν/cm^{-1}	MS, m/z (I_{rel} (%))
		C	H	N			
6a	109	49.78	5.55	3.68	$C_{16}H_{21}NO_6S_2$	1700 (C=O); 1322, 1141 (SO_2)	387 $[M]^+$ (59)
	($CHCl_3$)	49.60	5.46	3.62			
6b[26]	161—162	56.41	4.80	3.31	$C_{19}H_{19}NO_5S_2$	1671 (C=O); 1312, 1144 (SO_2)	405 $[M]^+$ (29)
	(MeOH)	56.28	4.72	3.45			
6c	173	57.96	5.21	3.45	$C_{21}H_{23}NO_5S_2$	1672 (C=O); 1312, 1144 (SO_2)	433 $[M]^+$ (23)
	(MeOH)	58.17	5.35	3.23			
6d	139	57.16	5.48	3.05	$C_{22}H_{25}NO_6S_2$	1652 (C=O); 1312, 1148 (SO_2)	463 $[M]^+$ (15)
	(MeOH)	57.00	5.44	3.02			
6e	202	55.68	4.87	3.07	$C_{21}H_{22}FNO_5S_2$	1708 (C=O); 1322, 1140 (SO_2)	451 $[M]^+$ (22)
	(MeOH)	55.86	4.91	3.10			
6f	162—163	60.78	6.22	3.18	$C_{24}H_{29}NO_5S_2$	1650 (C=O); 1322, 1144 (SO_2)	475 $[M]^+$ (20)
	(MeOH)	60.61	6.15	2.94			
6g	149—150	57.84	5.76	2.44	$C_{25}H_{31}NO_7S_2$	1650 (C=O); 1320, 1144 (SO_2)	521 $[M]^+$ (20)
	($CHCl_3$)	57.56	5.99	2.69			
6h	178	55.73	5.30	2.79	$C_{23}H_{26}ClNO_5S_2$	1648 (C=O); 1324, 1144 (SO_2)	495 $[M]^+$ (20)
	($CHCl_3$)	55.69	5.28	2.82			
6i	118	61.09	6.34	2.85	$C_{25}H_{31}NO_5S_2$	1710 (C=O); 1316, 1145 (SO_2)	489 $[M]^+$ (54)
	($CHCl_3$)	61.32	6.38	2.86			
6j	138	58.38	5.89	2.81	$C_{24}H_{29}NO_6S_2$	1670 (C=O); 1312, 1144 (SO_2)	491 $[M]^+$ (48)
	(MeOH)	58.64	5.95	2.85			
6k	197—198	57.38	5.39	2.88	$C_{23}H_{26}FNO_5S_2$	1670 (C=O); 1312, 1144 (SO_2)	479 $[M]^+$ (57)
	(MeOH)	57.60	5.46	2.92			
6l	213—214	62.98	7.00	2.48	$C_{27}H_{35}NO_5S_2$	1670 (C=O); 1312, 1144 (SO_2)	517 $[M]^+$ (51)
	(Et_2O—$CHCl_3$)	62.64	6.81	2.71			
6m	154—155	59.63	5.87	3.00	$C_{23}H_{27}NO_5S_2$	1712 (C=O); 1315, 1143 (SO_2)	461 $[M]^+$ (22)
	(Et_2O—$CHCl_3$)	59.85	5.90	3.03			
6n	190	58.94	6.05	2.91	$C_{24}H_{29}NO_6S_2$	1652 (C=O); 1312, 1148 (SO_2)	491 $[M]^+$ (22)
	(MeOH)	58.63	5.95	2.85			
6o	200—201[b]	52.07	4.55	6.30	$C_{19}H_{20}N_2O_6S_2$	1712 (C=O); 1316, 1152 (SO_2)	436 $[M]^+$ (42)
	(MeOH)	52.28	4.62	6.42			
6p	235—2.36	51.06	4.61	10.09	$C_{18}H_{19}N_3O_5S_2$	1696 (C=O); 1316, 1140 (SO_2);	421 $[M]^+$ (22)
	(MeOH)	51.29	4.54	9.97		3340, 3380, 1640 (δ) (NH_2)	
6q	212	55.62	4.00	5.33	$C_{24}H_{21}ClN_2O_5S_2$	1688 (C=O); 1320, 1148 (SO_2)	516 $[M]^+$ (32)
	(MeOH)	55.75	4.09	5.42			
6r	175	61.40	5.75	5.02	$C_{29}H_{32}N_2O_6S_2$	1656 (C=O); 1308, 1132 (SO_2)	568 $[M]^+$ (37)
	(MeOH)	61.25	5.67	4.93			
6s	227	58.71	5.25	4.82	$C_{28}H_{30}N_2O_7S_2$	1656 (C=O); 1318, 1148 (SO_2)	570 $[M]^+$ (42)
	(Acetone)	58.93	5.30	4.91			
6t	153	57.34	5.24	2.98	$C_{24}H_{27}NO_7S_2$	1720, 1668 (C=O);	505 $[M]^+$ (38)
	(Et_2O—$CHCl_3$)	57.01	5.38	2.77		1320, 1144 (SO_2)	
6u	156	57.92	5.59	2.73	$C_{25}H_{29}NO_7S_2$	1712, 1660 (C=O);	519 $[M]^+$ (42)
	(Et_2O—$CHCl_3$)	57.78	5.63	2.70		1320, 1148 (SO_2)	
6v	162	56.19	5.44	2.59	$C_{25}H_{29}NO_8S_2$	1716, 1652 (C=O);	535 $[M]^+$ (36)
	(Et_2O—$CHCl_3$)	56.06	5.46	2.61		1328, 1144 (SO_2)	
6w	166	54.75	4.99	2.80	$C_{25}H_{27}NO_9S_2$	1712, 1664 (C=O);	549 $[M]^+$ (34)
	(Et_2O—$CHCl_3$)	54.63	4.95	2.55		1324, 1144 (SO_2)	
7a	202—204	55.13	5.51	3.13	$C_{20}H_{23}NO_6S_2$	1724 (C=O); 1312, 1144 (SO_2)	437 $[M]^+$ (22)
	(MeOH)	54.90	5.30	3.20			
7b	262	51.73	5.64	7.14	$C_{17}H_{18}N_2O_5S_2$	1700 (C=O); 1312, 1144 (SO_2);	394 $[M]^+$ (28)
	(Acetone)	51.76	4.60	7.10		3408, 3324, 1648 (δ) (NH_2)	
7c	181—182	62.33	5.29	3.02	$C_{25}H_{25}NO_5S_2$	1680 (C=O); 1310, 1144 (SO_2)	483 $[M]^+$ (38)
	(Acetone)	61.09	5.21	2.90			
7d	255[c]	56.35	4.16	2.91	$C_{23}H_{20}ClNO_5S_2$	1668 (C=O); 1312, 1144 (SO_2)	489 $[M]^+$ (22)
	(Acetone)[d]	56.38	4.11	2.86			
7e	204—205	63.47	5.74	2.77	$C_{27}H_{29}NO_5S_2$	1680 (C=O); 1308, 1144 (SO_2)	511 $[M]^+$ (42)
	(Acetone)	63.38	5.71	2.74			

[a] Solvent used for recrystallization is given in parenthesis. [b] Decomposition temperature. [c] Sublimation temperature. [d] Decantation from hot acetone.

Table 4. ^{1}H and ^{13}C NMR spectra of compounds **6a—w** and **7a—e**

Compound	^{1}H NMR, δ (*J*/Hz)	^{13}C NMR, δ
6a	1.02, 1.10 (both t, 3 H each, Me, *J* = 7.3); 1.38—1.90 (m, 4 H, 2 CH_2); 3.45—3.63 (m, 4 H, 2 CH_2); 4.00 (s, 3 H, OMe), 7.25 (t, 1 H, C(6)H, *J* = 7.3); 7.41 (t, 1 H, C(7)H, *J* = 7.3); 8.28 (d, 1 H, C(5)H, *J* = 7.2); 8.52 (d, 1 H, C(8)H, *J* = 9.2)	12.51 (CH_3), 12.73 (SH_3), 15.22 (CH_2), 15.91 (CH_2), 53.50 (CH_3), 57.49 (CH_2), 58.34 (CH_2), 107.88 (C), 116.18 (CH), 118.53 (CH), 121.26 (C), 126.06 (CH), 126.86 (C), 127.20 (CH), 135.63 (C), 160.18 (C)
6b[26]	1.09, 1.22 (both t, 3 H each, Me, *J* = 7.3); 3.50—3.75 (m, 4 H, 2 CH_2); 7.07 (t, 1 H, C(6), *J* = 7.2); 7.39—7.49 (m, 1 H, *p*-H, Ph); 7.57 (t, 2 H, *m*-H, Ph, *J* = 7.7); 7.73 (t, 1 H, C(7)H, *J* = 7.3); 7.84 (d, 2 H, *o*-H, Ph, *J* = 7.7); 8.07 (d, 1 H, C(5)H, *J* = 6.8); 8.25 (d, 1 H, C(8)H, *J* = 9.8)	6.17, 6.98, 50.64, 51.47, 105.84, 116.18, 124.89, 125.43, 126.79, 127.22, 129.15, 129.36, 134.79, 136.18, 136.61, 187.55
6c	0.87, 0.98 (both t, 3 H each, 2 Me, *J* = 7.3); 1.58—1.73 (m, 4 H, 2 CH_2); 3.45—3.64 (m, 4 H, 2 CH_2); 7.08 (t, 1 H, C(6)H, *J* = 6.7); 7.40—7.50 (m, 1 H, *p*-H, Ph); 7.58 (t, 2 H, *m*-H, Ph, *J* = 7.3); 7.73 (t, 1 H, C(7)H, *J* = 7.3); 7.82 (d, 2 H, *o*-H, Ph, *J* = 7.9); 8.05 (d, 1 H, C(5)H, *J* = 6.7)	12.55 (CH_3), 12.57 (CH_3), 15.18 (CH_2), 15.86 (CH_2), 57.63 (CH_2), 58.58 (CH_2), 106.57 (C), 116.15 (CH), 118.67 (CH), 125.41 (CH), 125.58 (C), 126.77 (CH), 126.87 (C), 128.89 (CH), 129.09 (CH), 129.29 (2 CH), 134.70 (CH), 135.91 (C), 136.73 (C), 187.57 (C)
6d	0.99, 1.09 (both t, 3 H each, 2 Me, *J* = 7.3); 1.72—1.93 (m, 4 H, 2 CH_2); 3.49—3.66 (m, 4 H, 2 CH_2); 3.89 (s, 3 H, OMe); 6.84 (t, 1 H, C(6)H, *J* = 7.3); 6.97 (d, 2 H, *m*-H, Ph, *J* = 8.5); 7.26 (d, 1 H, C(5)H, *J* = 6.7); 7.71—7.82 (m, 3 H, C(7)H, *o*-H, Ph); 8.40 (d, 1 H, C(8)H, *J* = 9.2)	12.40 (CH_3), 12.57 (CH_3), 15.27 (CH_2), 15.87 (CH_2), 55.72 (CH_3), 57.69 (CH_2), 58.59 (CH_2), 106.38 (C), 114.49 (2 CH), 116.02 (CH), 118.64 (CH), 124.90 (C), 125.27 (CH), 126.58 (CH), 127.44 (C), 129.62 (C), 131.94 (2 CH), 135.71 (C), 164.50 (C), 185.53 (C)
6e	0.89, 0.97 (both t, 3 H each, 2 Me, *J* = 7.3); 1.59, 1.72 (both q, 2 H each, 2 CH_2, *J* = 6.7); 3.42—3.69 (m, 4 H, 2 CH_2); 7.08 (t, 1 H, C(6)H, *J* = 6.7); 7.33—7.55 (m, 3 H, C(7)H, *m*-H, Ph); 7.85—8.02 (m, 2 H, *o*-H, Ph); 8.09 (d, 1 H, C(5)H, *J* = 7.3); 8.26 (d, 1 H, C(8)H, *J* = 9.2)	12.48 (CH_3), 15.14 (CH_2), 15.78 (CH_2), 57.66 (CH_2), 58.59 (CH_2), 106.65 (C), 116.07 (CH), 116.33 (CH), 118.64 (CH), 125.38 (CH), 125.64 (C), 126.51 (C), 126.70 (CH), 132.37 (CH), 132.49 (CH), 133.63 (C), 135.95 (C), 164.11 (C), 167.49 (C), 186.08 (C)
6f	0.98, 1.15, 1.30 (all t, 3 H each, 3 Me, *J* = 7.3); 1.70, 1.82 (both q, 2 H each, 2 CH_2, *J* = 7.3); 2.42 (s, 3 H, Me); 2.72 (q, 2 H, CH_2, *J* = 7.3); 3.43—3.57 (m, 4 H, 2 CH_2); 6.85 (d, 1 H, C(6)H, *J* = 7.3); 7.33 (d, 2 H, *m*-H, Ph, *J* = 8.5); 7.65 (d, 2 H, *o*-H, Ph, *J* = 8.1); 7.78 (d, 1 H, C(5)H, *J* = 7.3); 8.07 (s, 1 H, C(8)H)	12.55 (2 CH_3), 13.80 (CH_3), 15.23 (CH_2), 15.89 (CH_2), 21.23 (CH_3), 27.82 (CH_2), 57.59 (CH_2), 58.57 (CH_2), 105.24 (C), 115.02 (CH), 117.58 (CH), 124.88 (CH), 125.22 (C), 126.79 (C), 129.41 (CH), 129.64 (CH), 134.31 (C), 136.18 (C), 143.13 (C), 145.57 (C), 186.91 (C)
6g	0.87, 0.96, 1.21 (all t, 3 H each, 3 Me, *J* = 7.3); 1.53—1.80 (m, 4 H, 2 CH_2), 2.70 (q, 2 H, CH_2, *J* = 7.3); 3.46—3.66 (m, 4 H, 2 CH_2); 3.86 (s, 6 H, 2 OMe); 6.97 (d, 1 H, C(6)H, *J* = 7.3); 7.05 (d, 1 H, *m*-H, Ph, *J* = 8.55); 7.18 (d, 1 H, *o*-H, Ph, *J* = 8.6); 7.51 (s, 1 H, *o*-H, Ph); 7.91 (d, 1 H, C(5)H, *J* = 7.3); 8.02 (s, 1 H, C(8)H)	12.55 (2 CH_3), 13.80 (CH_3), 15.31 (CH_2), 15.86 (CH_2), 27.81 (CH_2), 55.53 (CH_3), 55.89 (CH_3), 57.67 (CH_2), 58.59 (CH_2), 105.00 (C), 110.03 (CH), 111.07 (CH), 114.96 (CH), 117.50 (CH), 124.79 (CH), 124.88 (C), 125.73 (C), 126.98 (CH), 129.67 (CH), 136.11 (CH), 143.07 (CH), 149.11 (CH), 154.59 (CH), 185.51 (C)
6h	0.99, 1.07, 1.29 (all t, 3 H each, 3 Me, *J* = 7.4); 1.67—1.98 (m, 4 H, 2 CH_2); 2.61—2.81 (m, 2 H, CH_2); 3.45—3.72 (m, 4 H, 2 CH_2); 6.76 (d, 1 H, C(6)H, *J* = 6.6); 7.47 (d, 2 H, *m*-H, Ph, *J* = 7.4); 7.64—7.81 (m, 3 H, *o*-H, Ph, C(5)H); 8.18 (s, 1 H, C(8)H)	12.52 (CH_3), 12.57 (CH_3), 13.84 (CH_3), 15.17 (CH_2), 15.76 (CH_2), 27.82 (CH_2), 57.89 (CH_2), 58.59 (CH_2), 105.45 (C), 115.02 (CH), 117.68 (CH), 125.13 (CH), 125.82 (C), 125.90 (C), 129.19 (2 CH), 131.09 (2 CH), 135.68 (C), 136.43 (C), 139.57 (C), 143.39 (C), 186.58 (C)
6i	1.16 (t, 3 H, Me, *J* = 7.3); 1.21—1.31 (m, 6 H, 2 Me); 1.34 (s, 9 H, Bu^t); 2.74 (q, 2 H, CH_2, *J* = 7.3); 3.65 (q, 4 H, 2 CH_2, *J* = 6.7); 7.16 (d, 1 H, C(6)H, *J* = 7.3); 7.41 (d, 2 H, *m*-H, Ph, *J* = 7.9); 7.71 (d, 2 H, *o*-H, Ph, *J* = 7.9); 7.89 (d, 1 H, C(5)H, *J* = 7.3); 8.16 (s, 1 H, C(8)H)	6.24 (CH_3), 6.92 (CH_3), 14.90 (CH_3), 28.26 (CH_2), 29.57 (3 CH_3), 34.83 (C), 50.59 (CH_2), 51.49 (CH_2), 105.02 (C), 112.47 (CH), 115.49 (CH), 124.60 (C), 124.77 (CH), 127.02 (C), 128.55 (2 CH), 129.61 (2 CH), 134.47 (C), 136.23 (C), 149.58 (C), 151.57 (C), 186.94 (C)
6j	1.18, 1.28 (both t, 3 H each, 2 Me, *J* = 7.3); 1.34 (s, 9 H, Bu^t); 3.55 (m, 4 H, 2 CH_2); 3.90 (s, 3 H, OMe); 7.08 (d, 2 H, *m*-H, Ph, *J* = 8.5); 7.15 (d, 1 H, C(6)H, *J* = 8.8); 7.75 (d, 2 H, *o*-H, Ph, *J* = 8.5); 7.87 (d, 1 H, C(5)H, *J* = 7.9); 8.17 (s, 1 H, C(8))	6.27 (CH_3), 6.91 (CH_3), 29.56 (3 CH_3), 34.80 (C), 50.61 (CH_2), 51.48 (CH_2), 55.70 (OCH_3), 104.97 (C), 112.45 (CH), 114.49 (2 CH), 115.40 (CH), 124.27 (C), 124.68 (CH), 127.25 (CH), 129.62 (CH), 131.96 (2 CH), 136.15 (C), 149.48 (C), 164.47 (C), 185.53 (C)

(*to be continued*)

Table 4 (*continued*)

Compound	^{1}H NMR, δ (*J*/Hz)	^{13}C NMR, δ
6k	1.12, 1.25 (both t, 3 H each, 2 Me, *J* = 7.3); 1.35 (s, 9 H, Bu^t); 3.56 (q, 2 H, 2 CH_2, *J* = 7.3); 7.18 (d, 1 H, C(6)H, *J* = 7.3); 7.39 (m, 2 H, *m*-H, Ph); 7.88 (m, 2 H, *o*-H, Ph); 7.98 (d, 1 H, C(5)H, *J* = 7.7); 8.19 (s, 1 H, C(8)H)	6.19 (CH_3), 6.91 (CH_3), 29.57 (3 CH_3), 34.84 (C), 50.61 (CH_2), 51.51 (CH_2), 105.19 (C), 112.48 (CH), 115.53 (CH), 116.12 (CH), 116.41 (CH), 124.93 (CH), 126.39 (C), 132.49 (CH), 132.62 (CH), 135.65 (C), 136.44 (C), 149.72 (C), 164.13 (C), 167.50 (C), 186.16 (C)
6l	0.94, 1.01 (both t, 3 H each, 2 Me, *J* = 7.2); 1.31 (s, 9 H, Bu^t); 1.42—1.47, 1.65—1.70 (both m, 2 H each, 2 CH_2); 2.34, 2.56 (both s, 3 H each, 2 Me); 3.34—3.52 (m, 4 H, 2 CH_2); 7.07 (d, 1 H, C(6)H, *J* = 7.7); 7.14—7.26 (m, 3 H, *o*-H, *m*-H, Ph); 8.08 (d, 1 H, C(5)H, *J* = 7.4); 8.14 (s, 1 H, C(8)H)	12.48 (CH_3), 12.52 (CH_3), 14.94 (CH_2), 15.80 (CH_2), 20.55 (CH_3), 20.94 (CH_3), 29.55 (3 CH_3), 34.80 (C), 57.40 (CH_2), 58.49 (CH_2), 105.98 (C), 112.41 (CH), 115.52 (CH), 124.83 (CH), 125.51 (C), 126.37 (CH), 127.93 (C), 131.61 (CH), 132.62 (CH), 134.26 (C), 135.87 (C), 139.82 (C), 143.70 (C), 149.70 (C), 188.29 (C)
6m	0.80—1.30 (m, 6 H, 2 Me); 1.60—2.05 (m, 4 H, 2 CH_2); 2.16, 2.78 (both s, 3 H each); 3.60 (m, 4 H, 2 CH_2); 6.95 (s, 1 H, C(7)H); 7.46—7.55 (m, 3 H, *m*-H, *p*-H, Ph); 7.60 (s, 1 H, C(5)H); 7.70—7.90 (m, 2 H, *o*-H, Ph)	12.78 (CH_3), 12.79 (CH_3). 15.32 (CH_2), 15.40 (CH_2), 16.95 (CH_3), 22.16 (CH_3), 58.00 (CH_2), 59.50 (CH_2), 110.33 (C), 120.58 (CH), 125.24 (C), 127.13 (C), 128.60 (C), 129.00 (C), 129.12 (3 CH), 131.86 (CH), 133.43 (C), 134.41 (CH), 136.88 (C), 187.92 (C)
6n	0.98, 1.12 (both t, 3 H each, 2 Me, *J* = 7.3); 1.65—2.03 (m, 4 H, 2 CH_2); 2.15, 2.78 (both s, 3 H each, 2 Me); 3.58—3.92 (m, 4 H, 2 CH_2); 3.88 (s, 3 H, OMe); 6.95 (m, 3 H, C(7)H, *m*-H, Ph); 7.39 (s, 1 H, C(5)H); 7.75 (d, 2 H, *o*-H, Ph, *J* = 8.6)	12.62 (CH_3), 12.80 (CH_3), 15.41 (2 CH_2), 16.97 (CH_3), 22.19 (CH_3), 55.69 (CH_3), 58.03 (CH_2), 59.50 (CH_2), 110.17 (C), 114.39 (2 CH), 120.46 (CH), 125.10 (C), 127.19 (C), 127.67 (C), 128.57 (C), 129.78 (C), 131.73 (2 CH), 133.26 (C), 164.26 (C), 186.04 (C)
6o	1.21, 1.34 (both t, 3 H each, 2 Me, *J* = 7.3); 3.40—3.85 (m, 4 H, 2 CH_2); 3.97 (s, 3 H, OMe); 7.71 (d, 1 H, C(6)H, *J* = 7.3); 7.78 (d, 2 H, H(3), H(5), Py, *J* = 6.1); 8.59 (s, 1 H, C(8)H); 8.65 (d, 1 H, C(5)H, *J* = 7.3); 8.75 (d, 2 H, H(2), H(6), Py, *J* = 6.1)	6.19 (CH_3), 6.88 (CH_3), 50.54 (CH_2), 50.94 (CH_2), 52.38 (CH_3), 110.53 (C), 114.14 (CH), 114.64 (C), 115.26 (CH), 120.86 (2 C), 127.96 (CH), 135.29 (C), 137.43 (C), 139,08 (C), 143.68 (C), 150.57 (2 CH), 150.63 (2 CH), 159.45 (C)
6p	1.20—1.24 (m, 6 H, 2 Me); 3.45—3.56, 3.64—3.69 (both m, 2 H each, 2 CH_2); 7.60 (d, 1 H, C(6)H, *J* = 7.3); 7.78 (d, 2 H, H(3), H(5), Py, *J* = 5.5); 8.30 (s, 1 H, NH); 8.37 (d, 1 H, C(5)H, *J* = 7.3); 8.43 (s, 1 H, NH); 8.54 (s, 1 H, C(8)H); 8.75 (d, 2 H, H(2), H(6), Py, *J* = 6.1)	6.04 (CH_3), 6.90 (CH_3), 50.58 (CH_2), 51.23 (CH_2), 106.82 (C), 114.12 (CH), 115.77 (CH), 120.91 (2 CH), 122.70 (C), 126.08 (CH), 128.30 (C), 134.54 (C), 134.64 (C), 143.97 (C), 150.59 (2 CH), 160.10 (C)
6q	1.13, 1.29 (both t, 3 H each, 2 Me, *J* = 6.7); 3.53—3.68 (m, 4 H, 2 CH_2); 7.49 (d, 1 H, C(6)H, *J* = 8.6); 7.65 (d, 2 H, *m*-H, Ph, *J* = 7.3); 7.72—7.84 (m, 2 H, H(3), H(5), Py); 7.90 (d, 2 H, *o*-H, Ph, *J* = 7.3); 8.27 (d, C(5)H, *J* = 7.3); 8.58 (s, 1 H, C(8)H); 8.70—8.81 (m, 2 H, H(2), H(6), Py)	6.16 (CH_3), 6.83 (CH_3), 50.70 (CH_2), 51.48 (CH_2), 107.61 (C), 114.71 (CH), 116.07 (CH), 120.95 (2 CH), 125.90 (C), 126.32 (CH), 126.94 (C), 129.26 (2 CH), 131.08 (CH), 131.29 (CH), 135.08 (C), 135.43 (C), 135.99 (C), 139.80 (C), 143.83 (C), 150.61 (2 CH), 186.29 (C)
6r	0.90, 0.99 (both t, 3 H each, 2 Me, *J* = 7.3); 1.31 (d, 6 H, 2 Me, *J* = 5.5); 1.62, 1.77 (both q, 2 H each, 2 CH_2, *J* = 7.3); 3.59—3.71 (m, 4 H, 2 CH_2); 4.73—4.85 (m, 1 H, OCH); 7.08 (d, 2 H, H(3), H(5), Py, *J* = 8.5); 7.47 (d, 1 H, C(6)H, *J* = 8.5); 7.72—7.86 (m, 4 H, Ph); 8.15 (d, 1 H, C(5)H, *J* = 7.3); 8.57 (s, 1 H, C(8)H); 8.75 (d, 2 H, H(2), H(6), Py, *J* = 5.5)	12.61 (2 CH_3), 15.29 (CH_2). 15.74 (CH_2), 21.54 (2 CH_3), 57.72 (CH_2), 58.56 (CH_2), 70.09 (CH), 108.07 (C), 114.58 (CH), 115.55 (2 CH), 116.10 (CH), 120.90 (2 CH), 125.69 (C), 126.06 (CH), 127.81 (C), 129.14 (C), 132.14 (2 CH), 134.79 (C), 135.37 (C), 143.89 (C), 150.61 (2 CH),163.01 (C), 185.11 (C)
6s	1.00, 1.09 (both t, 3 H each, 2 Me, *J* = 7.2); 1.72—1.98 (m, 4 H, 2 CH_2); 3.48—3.71 (m, 4 H, 2 CH_2); 3.95, 3.98 (both s, 3 H each, 2 OMe); 6.84 (d, 1 H, C(6)H, *J* = 7.9); 7.12 (d, 2 H, H(3), H(5), Py, *J* = 7.9); 7.48—7.59 (m, 2 H, *m*-H, *o*-H, Ph); 7.65 (s, 1 H, *o*-H, Ph); 7.86 (d, 1 H, C(5)H, *J* = 7.2); 8.68—8.79 (m, 3 H, C(8)H, H(2), H(6), Py)	12.60 (2 CH_3), 15.35 (CH_2), 15.81 (CH_2), 55.58 (CH_3), 55.95 (CH_3), 57.78 (CH_2), 58.60 (CH_2), 107.98 (C), 110.13 (CH), 111.09 (CH) 114.56 (CH), 116.10 (CH), 120.90 (3 C), 125.72 (C), 126.05 (CH), 127.71 (C), 129.59 (C), 134.80 (C), 135.43 (C), 143.88 (C), 149.16 (C), 150.60 (2 CH), 154.75 (C), 185.24 (C)
6t	0.89, 0.98, 1.34 (all t, 3 H each, 3 Me, *J* = 7.3); 1.6, 1.74 (both q, 2 H each, 2 CH_2, *J* = 7.3); 3.50—3.64 (m, 4 H, 2 CH_2); 4.39 (q, 2 H, OCH_2, *J* = 7.3); 7.37 (d, 1 H, C(6)H, *J* = 8.8); 7.52—7.63 (m, 2 H, *m*-H, Ph); 7.71—7.78 (m, 1 H, *p*-H, Ph); 7.80—7.88 (m, 2 H, *o*-H, Ph); 8.17 (d, 1 H, C(5)H, *J* = 7.3); 8.87 (s, 1 H, C(8)H)	12.52 (2 CH_3), 13.96 (CH_3), 15.12 (CH_2), 15.65 (CH_2), 57.73 (CH_2), 58.53 (CH_2), 61.67 (CH_2), 110.67 (C), 114.10 (CH), 121.35 (CH), 125.78 (CH), 126.91 (C), 128.12 (C), 129.10 (2 CH), 129.37 (2 CH), 134.43 (C), 134.89 (CH), 136.47 (C), 163.68 (C), 187.16 (C)

(*to be continued*)

Table 4 (*continued*)

Compound	^{1}H NMR, δ (*J*/Hz)	^{13}C NMR, δ
6u	0.87, 0.98 (both t, 3 H each, 2 Me, *J* = 7.3); 1.33 (t, 3 H, Me, *J* = 6.6); 1.58, 1.73 (both q, 2 H each, 2 CH_2, *J* = 7.3); 2.41 (s, 3 H, Me); 3.47—3.67 (m, 4 H, 2 CH_2); 4.38 (q, 2 H, 2 CH_2, *J* = 6.6); 7.30—7.43 (m, 3 H, C(6)H, *m*-H, Ph); 7.72 (d, 2 H, *o*-H, Ph, *J* = 8.1); 8.10 (d, 1 H, C(5)H, *J* = 7.3); 8.87 (s, 1 H, C(8)H)	12.54 (2 CH_3), 13.98 (CH_3), 15.18 (CH_2), 15.67 (CH_2), 21.29 (CH_3), 57.77 (CH_2), 58.53 (CH_2), 61.68 (CH_2), 110.62 (C), 114.06 (CH), 121.39 (CH), 125.70 (CH), 126.56 (C), 126.83 (C), 128.45 (C), 129.57 (CH), 129.73 (CH), 134.00 (C), 134.31 (C), 145.96 (C), 163.70 (C), 186.50 (C)
6v	0.89, 0.98 (both t, 3 H each, 2 Me, *J* = 7.3); 1.34 (t, 3 H, Me, *J* = 6.6); 1.61, 1.74 (both q, 2 H each, 2 CH_2, *J* = 7.3); 3.50—3.63 (m, 4 H, 2 CH_2); 3.88 (s, 3 H, OMe); 4.39 (q, 2 H, OCH_2, *J* = 7.3); 7.09 (d, 2 H, *m*-H, Ph, *J* = 8.8); 7.37 (d, C(6)H, *J* = 7.3); 7.79 (d, 2 H, *o*-H, Ph, *J* = 8.8); 8.09 (d, 1 H, C(5)H, *J* = 7.3); 8.87 (s, 1 H, C(8)H)	12.57 (2 CH_3), 14.01 (CH_3), 15.23 (CH_2), 15.69 (CH_2), 55.78 (CH_3), 57.79 (CH_2), 58.53 (CH_2), 61.69 (CH_2), 110.54 (C), 114.02 (CH), 114.55 (2 CH), 121.39 (CH), 125.70 (CH), 126.21 (C), 126.77 (C), 128.72 (C), 129.37 (C), 132.11 (2 CH), 134.22 (C), 163.73 (C), 164.69 (C), 185.10 (C)
6w	0.89, 0.98 (both t, 3 H each, 2 Me, *J* = 7.3); 1.31 (t, 3 H, Me, *J* = 6.6); 1.61, 1.73 (both q, 2 H each, 2 CH_2, *J* = 7.3); 3.48—3.64 (m, 4 H, 2 CH_2); 4.38 (q, 2 H, CH_2, *J* = 6.6); 6.21 (s, 2 H, OCH_2O); 7.04 (d, 1 H, C(6)H, *J* = 8.1); 7.31—7.40 (m, 2 H, *m*-H, *o*-H, Ph); 7.43 (s, 1 H, *o*-H, Ph); 8.10 (d, 1 H, C(5)H, *J* = 7.3); 8.85 (s, 1 H, C(8)H)	12.57 (2 CH_3), 14.00 (CH_3), 15.21 (CH_2). 15.64 (CH_2), 57.81 (CH_2), 58.52 (CH_2), 61.68 (CH_2), 102.59 (CH_2), 107.73 (CH), 108.40 (CH), 110.59 (C), 113.98 (CH), 121.38 (CH), 125.70 (CH), 126.28 (C), 126.74 (C), 127.65 (CH), 128.49 (C), 131.20 (C), 134.28 (C), 148.43 (C), 153.23 (C), 163.74 (C), 184.83 (C)
7a	0.94—1.10 (m, 6 H, 2 Me); 1.76—2.00 (m, 4 H, OMe); 2 CH_2); 3.61—3.77 (m, 4 H, 2 CH_2); 3.98 (s, 3 H, 7.49 (d, 1 H, C(6)H, *J* = 7.6); 7.68—7.79 (m, 2 H, C(8)H, C(9)H); 7.95 (d, 1 H, C(7)H, *J* = 7.6); 8.22 (d, 1 H, C(10)H, *J* = 7.6); 9.02—9.10 (m, 1 H, C(5)H)	12.77 (CH_3), 12.79 (CH_3), 15.16 (CH_2), 15.38 (CH_2), 53.77 (CH_3), 57.70 (CH_2), 57.86 (CH_2), 114.61 (C), 117.01 (CH), 122.16 (C), 122.35 (CH), 125.19 (C), 127.32 (C), 127.89 (CH), 127.91 (CH), 128.39 (CH), 129.78(CH), 131.58(C), 160.31(C)
7b	1.31, 1.48 (both t, 3 H each, 2 Me, *J* = 7.3); 3.54—3.79 (m, 4 H, 2 CH_2); 7.48 (d, 1 H, C(6)H, *J* = 7.6); 7.65—7.77 (m, 2 H, C(8)H, C(9)H); 7.88—7.96 (m, 1 H, C(7)H); 8.05 (d, 1 H, C(10)H, *J* = 7.6); 8.20 (s, 1 H, NH); 8.35 (s, 1 H, NH); 9.07 (d, C(5)H, *J* = 7.6)	6.15 (CH_3), 6.25 (CH_3), 50.71 (CH_2), 50.86 (CH_2), 114.22 (C), 116.48 (CH), 122.17 (C), 122.35 (CH), 124.92 (C), 127.30 (C), 127.88 (2 CH), 128.28 (CH), 129.51 (CH), 129.57 (C), 131.17 (C), 160.66 (C)
7c	0.98, 1.11 (both t, 3 H each, 2 Me, *J* = 7.0); 1.53—1.74, 1.88—2.08 (both m, 2 H each, 2 CH_2); 3.56—3.80 (m, 4 H, 2 CH_2); 7.41 (d, 1 H, C(6)H, *J* = 7.6); 7.53—7.62 (m, 2 H, *m*-H, Ph); 7.69—7.87 (m, 6 H, C(7)H, C(8)H, C(9)H, *o*-H, *p*-H, Ph); 7.98 (d, 1 H, C(10)H, *J* = 7.4); 9.23 (d, 1 H, C(5)H, *J* = 7.5)	12.60 (CH_3), 12.77 (CH_3), 15.29 (CH_2), 15.47 (CH_2), 58.00 (2 CH_2), 113.79 (C), 117.08 (CH), 122.07 (CH), 122.73 (C), 126.73 (C), 127.62 (CH), 128.04 (CH), 128.57 (CH), 129.07 (2 CH), 129.31 (2 CH), 129.48 (CH), 129,65 (C), 130.03 (C), 131.66 (C), 134.62 (CH), 136.67 (C), 187.54 (C)
7d	1.32, 1.63 (both t, 3 H each, 2 Me, *J* = 7.5); 3.55—3.88 (m, 4 H, 2 CH_2); 7.08 (d, 1 H, C(6)H, *J* = 7.4); 7.38—7.53 (m, 3 H, C(7)H, C(8)H, C(9)H); 7.64—7.80 (m, 5 H, *o*-H, *m*-H, Ph, C(10)H); 9.35 (d, 1 H, C(5)H, *J* = 7.4)	6.65 (CH_3), 6.77 (CH_3), 51.80 (CH_2), 51.92 (CH_2), 111.54 (C), 114.20 (C), 117.41 (CH), 121.18 (CH), 123.60 (2 C), 127.72 (C), 127.83 (C), 128.05 (CH), 128.12 (CH), 129.25 (CH), 129.59 (CH), 129.90 (CH), 130.32 (C), 130.78 (CH), 132.73 (C), 135.55 (C), 141.34 (2 C), 186.84 (C)
7e	0.91, 1.15 (both t, 3 H each, 2 Me, *J* = 7.4); 1.58—1.79, 2.02—2.19 (both m, 2 H each, 2 CH_2); 2.38, 2.71 (both s, 3 H each, 2 Me); 3.39—3.78 (m, 4 H, 2 CH_2); 6.98 (d, 1 H, *m*-H, Ph, *J* = 8.0); 7.08 (d, 1 H, C(6)H, *J* = 7.4); 7.13 (d, 1 H, *o*-H, Ph, *J* = 8.0); 7.19 (s, 1 H, *m*-H, Ph); 7.57—7.78 (m, 4 H, C(7)H, C(8)H, C(9)H, C(10)H); 9.29 (d, C(5)H, *J* = 8.1)	13.02 (CH_3), 13.25 (CH_3), 15.58 (CH_2), 15.75 (CH_2), 21.40 (CH_3), 21.64 (CH_3), 58.90 (CH_2), 59.10 (CH_2), 111.29 (C), 114.88 (C), 117.13 (CH), 121.54 (CH), 123.69 (C), 126.37 (CH), 127.93 (CH), 128.27 (CH), 129.01 (CH), 129.70 (CH), 129.81 (2 C), 131.60 (CH), 132.25 (C), 133.44 (CH), 141.48 (2 C), 144.35 (C), 188.60 (C)

In summ ary, we pioneered in the synthesis of dialkylsulfonyl-containing pyrroloisoquinolines. We found that substituted 1,2-di(alkylsulfonyl)indolizines and 1,2-di(alkylsulfonyl)pyrrolo[2,1-*a*]isoquinolines can be prepared by the general procedure *via* the reaction of *E*-1,2-dichloro-1,2-di(alkylsulfonyl)ethenes with salts of substituted pyridinium and isoquinolinium ylides on heating with a three-fold excess of Et_3N in either chloroform or acetone. The best results were achieved by carrying out the reactions in homogeneous medium, however the reactions between ethenes **1a**,**b** and 4-bipyridinium ylides with R^2 = 4-BrC_6H_4, NH_2 should be carried out in acetone. Regioselectivity of the reactions of 3-substituted pyridinium ylides with *E*-1,2-dichloro-1,2-di(alkylsulfonyl)ethenes

Table 5. Physicochemical properties and IR and mass spectral data of compounds **10a—o,a′,d′—g′** and **11p—z,a′—e′**

Compound	M.p./°C[a]	Found / Calculated (%)			Molecular formula	IR, ν/cm^{-1}	MS, *m/z* (I_{rel} (%))
		C	H	N			
10a	245 (EtOH)[b]	47.24 46.91	4.98 5.06	7.66 7.82	$C_{14}H_{18}N_2O_5S_2$	1664 (C=O); 1320, 1144 (SO_2); 3290, 3165, 1636 (δ) (NH_2)	358 $[M]^+$ (22)
10b	169—170 (Et_2O—$CHCl_3$)	57.40 57.26	5.09 5.05	3.41 3.34	$C_{20}H_{21}NO_5S_2$	1664 (C=O); 1318, 1144 (SO_2)	419 $[M]^+$ (20)
10c+11c	—	60.03 59.85	5.97 5.90	2.98 3.03	$C_{23}H_{27}NO_5S_2$	1664 (C=O); 1320, 1144 (SO_2)	461 $[M]^+$ (22)
10d+11d	—	57.24 57.84	5.65 5.70	3.01 2.93	$C_{23}H_{27}NO_6S_2$	1658 (C=O); 1318, 1150 (SO_2)	477 $[M]^+$ (18)
10e+11e	—	56.41 56.79	5.68 5.76	2.82 2.76	$C_{24}H_{29}NO_7S_2$	1650 (C=O); 1320, 1144 (SO_2)	507 $[M]^+$ (20)
10f	156—157 (Acetone)	50.01 50.19	5.51 5.59	2.70 2.66	$C_{22}H_{24}BrNO_5S_2$	1664 (C=O); 1312, 1144 (SO_2)	526 $[M]^+$ (18)
10g	112 (Et_2O—$CHCl_3$)	47.89 47.75	5.58 5.51	7.05 6.96	$C_{16}H_{22}N_2O_6S_2$	1728 (C=O); 1324, 1144 (SO_2); 3440, 3348, 1636 (δ) (NH_2)	402 $[M]^+$ (34)
10h	173—175 (MeOH)	54.43 54.27	4.85 4.79	6.43 6.66	$C_{19}H_{20}N_2O_5S_2$	1712 (C=O); 1312, 1144 (SO_2); 3444, 3424, 3344, 1624 (δ) (NH_2)	420 $[M]^+$ (20)
10i	197—198 (MeOH)	57.25 57.12	5.75 5.67	6.01 6.06	$C_{22}H_{26}N_2O_5S_2$	1710 (C=O); 1318, 1144 (SO_2); 3444, 3424, 3344, 1624 (δ) (NH_2)	462 $[M]^+$ (24)
10j	169 (MeOH)	58.11 57.96	5.98 5.92	5.95 5.88	$C_{23}H_{28}N_2O_5S_2$	1670 (C=O); 1318, 1144 (SO_2); 3448, 3350, 1624 (δ) (NH_2)	476 $[M]^+$ (22)
10k	174 (MeOH)	55.41 55.21	5.54 5.48	5.98 5.85	$C_{22}H_{26}N_2O_6S_2$	1664 (C=O); 1320, 1144 (SO_2); 3456, 3350, 1624 (δ) (NH_2)	478 $[M]^+$ (28)
10l	146—147 (MeOH)[b]	54.09 54.32	5.49 5.55	5.59 5.51	$C_{23}H_{28}N_2O_7S_2$	1664 (C=O); 1320, 1136 (SO_2); 3456, 3352, 1624 (δ) (NH_2)	508 $[M]^+$ (26)
10m	173—174 (MeOH)	56.83 56.90	5.92 5.97	5.68 5.53	$C_{24}H_{30}N_2O_6S_2$	1668 (C=O); 1320, 1144 (SO_2); 3456, 3352, 1624 (δ) (NH_2)	506 $[M]^+$ (20)
10n	189 (MeOH)	53.41 53.64	4.84 4.91	5.74 5.69	$C_{22}H_{24}N_2O_7S_2$	1668 (C=O); 1320, 1144 (SO_2) 3456, 3352, 1624 (δ) NH_2	492 $[M]^+$ (18)
10o	176—177 (MeOH)	53.91 54.06	4.93 4.97	5.55 6.00	$C_{21}H_{23}FN_2O_5S_2$	1668 (C=O); 1324, 1144 (SO_2); 3412, 3344, 1644 (δ) (NH_2)	466 $[M]^+$ (28)
11p	186 (MeOH)	47.99 47.87	4.83 4.77	3.34 3.49	$C_{16}H_{19}NO_7S_2$	1726, 1680 (C=O); 1318, 1148 (SO_2)	401 $[M]^+$ (24)
11q	127 ($CHCl_3$)	49.88 50.33	5.32 5.40	3.12 3.26	$C_{18}H_{23}NO_7S_2$	1732, 1680 (C=O); 1316, 1148 (SO_2)	429 $[M]^+$ (22)
11r	256 (Acetone)[b]	49.48 49.26	5.28 5.35	6.69 7.76	$C_{17}H_{22}N_2O_6S_2$	1688, 1640 (C=O); 1324, 1136 (SO_2); 3344, 3148, 1632 (δ) (NH_2)	414 $[M]^+$ (28)
11s	246—247 (MeOH)	56.12 56.36	4.68 4.73	3.19 3.13	$C_{21}H_{21}NO_6S_2$	1692, 1672 (C=O); 1308, 1144 (SO_2)	447 $[M]^+$ (28)
11t	202 ($CHCl_3$)	57.85 58.09	5.18 5.30	2.90 2.95	$C_{23}H_{25}NO_6S_2$	1692, 1672 (C=O); 1309, 1144 (SO_2)	475 $[M]^+$ (20)
11u	178—179 ($CHCl_3$)	59.00 58.88	5.61 5.56	2.94 2.86	$C_{24}H_{27}NO_6S_2$	1688, 1670 (C=O); 1310, 1146 (SO_2)	489 $[M]^+$ (26)
11v	230[c] (MeOH)	58.24 58.09	5.39 5.30	2.89 2.95	$C_{23}H_{25}NO_6S_2$	1689, 1666 (C=O); 1318, 1144 (SO_2)	475 $[M]^+$ (20)
11w	160 (MeOH)	57.24 57.01	5.42 5.38	2.80 2.72	$C_{24}H_{27}NO_7S_2$	1690, 1666 (C=O); 1318, 1146 (SO_2)	505 $[M]^+$ (20)
11x	194—195 ($CHCl_3$)	56.24 56.06	5.50 5.46	2.68 2.61	$C_{25}H_{29}NO_8S_2$	1688, 1660 (C=O); 1316, 1140 (SO_2)	535 $[M]^+$ (20)
11y	148—149 ($CHCl_3$)	55.75 55.97	4.86 4.90	2.79 2.84	$C_{23}H_{24}FNO_6S_2$	1688, 1668 (C=O); 1316, 1148 (SO_2)	493 $[M]^+$ (22)
11z	208—209 (Acetone)[b]	49.64 49.82	4.32 4.36	2.48 2.53	$C_{23}H_{24}BrNO_6S_2$	1688, 1670 (C=O); 1316, 1146 (SO_2)	554 $[M]^+$ (22)
10a′	>235 (MeOH)	47.25 47.43	5.07 5.15	6.45 6.51	$C_{17}H_{22}N_2O_7S_2$	1730, 1671 (C=O); 1328, 1145 (SO_2); 3432, 3341, 1604 (δ) (NH_2)	430 $[M]^+$ (18)

(*to be continued*)

Table 5 (*continued*)

Compound	M.p./°C[a]	Found / Calculated (%)			Molecular formula	IR, ν/cm^{-1}	MS, *m/z* (I_{rel} (%))
		C	H	N			
11a′	186 (MeOH)	47.32 / 47.43	5.02 / 5.15	6.42 / 6.51	$C_{17}H_{22}N_2O_7S_2$	1724, 1686 (C=O); 1320, 1143 (SO_2); 3417, 3337, 1607 (δ) (NH_2)	430 $[M]^+$ (18)
11b′	158[c] (MeOH)	55.60 / 55.45	5.00 / 5.08	5.76 / 5.88	$C_{22}H_{24}N_2O_6S_2$	1690, 1640 (C=O); 1319, 1145 (SO_2); 3418, 3330, 1600 (δ) (NH_2)	476 $[M]^+$ (22)
11c′	138—139 (MeOH)	56.94 / 57.12	5.50 / 5.59	5.39 / 5.55	$C_{24}H_{28}N_2O_6S_2$	1693, 1644 (C=O); 1320, 1151 (SO_2); 3415, 3335, 1604 (δ) (NH_2)	504 $[M]^+$ (24)
10d′	>260 (Acetone)[b]	54.38 / 54.53	4.98 / 5.17	5.58 / 5.53	$C_{23}H_{26}N_2O_7S_2$	1665, 1653 (C=O); 1307, 1145 (SO_2); 3427, 3325, 1599 (δ) (NH_2)	506 $[M]^+$ (18)
11d′	202 (Acetone)	54.65 / 54.53	5.23 / 5.17	5.60 / 5.53	$C_{23}H_{26}N_2O_7S_2$	1693, 1663 (C=O); 1306, 1145 (SO_2); 3462, 3360, 1598 (δ) (NH_2)	506 $[M]^+$ (20)
10e′	>250 (MeOH)[b]	53.58 / 53.72	5.18 / 5.26	5.29 / 5.22	$C_{24}H_{28}N_2O_8S_2$	1688, 1637 (C=O); 1321, 1143 (SO_2); 3404, 3178, 1594 (δ) (NH_2)	536 $[M]^+$ (22)
11e′	218 (MeOH)	53.67 / 53.72	5.20 / 5.26	5.30 / 5.22	$C_{24}H_{28}N_2O_8S_2$	1695, 1647 (C=O); 1319, 1139 (SO_2); 3456, 3356, 1597 (δ) (NH_2)	536 $[M]^+$ (24)
10f′	>235 (MeOH)	53.54 / 53.43	4.74 / 4.69	5.71 / 5.66	$C_{22}H_{23}FN_2O_7S_2$	1690, 1640 (C=O); 1320, 1144 (SO_2); 3460, 3355, 1596 (δ) (NH_2)	494 $[M]^+$ (22)
10g′	>250 (MeOH)	47.39 / 47.57	4.11 / 4.17	5.10 / 5.04	$C_{22}H_{23}BrN_2O_7S_2$	1683, 1621 (C=O); 1326, 1147 (SO_2); 3497, 3379, 1599 (δ) (NH_2)	555 $[M]^+$ (18)

[a] Solvent used for recrystallization is given in parenthesis.
[b] Compound was purified by decantation from the boiling solvent.
[c] Sublimation temperature.

depends on the nature of the substituent in the pyridinium ylide. In the case of pyridinium ylides bearing the electron-releasing substituent, annulation at the C(2) pyridinium atom predominates; while, the electron-withdrawing substituent favors the annulation at the C(6) pyridinium atom.

Experimental

IR spectra were recorded with Perkin-Elmer-577 and Specord M82 spectrophotometers in KBr pellets at (concentration of the test compound of 0.01 mol L^{-1}) and in the $CHCl_3$ solution (concentration of the test compound of 0.0078 g mL^{-1}) applied on the NaCl plate. ^1H NMR spectra were run on Bruker DRX-500 MP (500 MHz), Bruker WM-250 (250 MHz), and Bruker AH-300 (300 MHz) instruments for the 5—12% solutions of the test compounds in DMSO-d_6 and $CDCl_3$. The chemical shifts are given in the δ scale relative to Me_4Si (an internal standard). Electron impact (70 eV) mass spectrometry was performed with FINNIGAN MAT INCOS 50 quadrupole mass spectrometer. The reaction course and the purity of the synthesized compounds were monitored by TLC on the precoated Silufol UV-254 plate in hexane—acetone (2 : 1). The spots were visualized with iodine vapor.

***E*-1,2-Di(alkylsulfonyl)ethenes (1a,b)** were synthesized following the known procedure.[29] Compounds **4a**—**v**, **5a**—**e**, and **8a**—**z**,**a′**—**g′** were synthesized as earlier described.[30]

Synthesis of substituted 1,2-di(alkylsulfonyl)indolizines 6a—w, 7a—e, 10a—o,a′,d′—g′, and 11p—z,a′—e′ (general procedure). To a solution of compound **4a**—**v**, **5a**—**e**, **8a**—**z**,**a′**—**g′** (0.001 mol) in either $CHCl_3$ or acetone, Et_3N (0.003 mol) was added followed by dropwise addition of a solution of sulfone **1a**,**b**. The reaction mixture was refluxed for 40 min, diluted with $CHCl_3$, washed with water, and dried with $MgSO_4$. The solvent was removed *in vacuo* and the residue was recrystallized. The physicochemical properties of compounds **6a**—**w** and **7a**—**e** are given in Tables 3 and 4 and compounds **10a**—**o**,**a′**,**d′**—**g′**, and **11p**—**z**,**a′**—**e′**, in Tables 5—7.

This procedure was employed for the synthesis of the following compounds: methyl 1,2-bis(propylsulfonyl)indolizine-3-carboxylate (**6a**), (1,2-bis(propylsulfonyl)indolizin-3-yl)(phenyl)methanone (**6c**), (1,2-bis(propylsulfonyl)indolizin-3-yl)(4-methoxyphenyl)methanone (**6d**), (1,2-bis(propylsulfonyl)indolizin-3-yl)(4-fluorophenyl)methanone (**6e**), (1,2-bis(propylsulfonyl)-7-(ethyl)indolizin-3-yl)(*p*-tolyl)methanone (**6f**), (1,2-bis(propylsulfonyl)-7-(ethyl)indolizin-3-yl)(3,4-dimethoxyphenyl)methanone (**6g**), (1,2-bis(propylsulfonyl)-7-(ethyl)indolizin-3-yl)

Table 6. ^{1}H NMR spectra of compounds **10a—o,a′,d′—g′** and **11p—z,a′—e′**

Compound	^{1}H NMR, δ (*J*/Hz)
10a	1.23—1.40 (m, 6 H, 2 Me); 2.88 (s, 3 H, C(8)Me); 3.60, 3.80 (both q, 2 H each, 2 CH_2SO_2, *J* = 7.9); 7.07 (t, 1 H, C(6)H, *J* = 8.0); 7.27 (d, 1 H, C(7)H, *J* = 8.0); 8.00 (s, 1 H, NH); 8.13 (d, 1 H, C(5)H, *J* = 8.0); 8.27 (s, 1 H, NH)
10b	1.29, 1.54 (both t, 3 H each, 2 Me, *J* = 7.3); 2.82 (s, 3 H, C(8)Me); 3.70 (q, 4 H, 2 CH_2SO_2, *J* = 7.8); 6.76 (t, 1 H, C(6)H, *J* = 7.9); 7.11 (d, 1 H, C(7)H, *J* = 8.0); 7.45—7.85 (m, 6 H, Ph, C(5)H)
10c+11c	0.91—1.21 (m, 6 H, 2 Me); 1.67—2.16 (m, 4 H, 2 CH_2); 2.22 (s, C(6)Me, **11**); 2.43 (s, 3 H, C(4)Me, Ph); 2.82 (s, C(8)Me, **10**); 3.47—3.77 (m, 4 H, 2 CH_2SO_2); 6.74 (t, C(6)H, **10**, *J* = 6.7); 7.08—7.14 (m, C(7)H, **10**; C(7)H, **11**); 7.28 (d, 2 H, *m*-H, Ph, *J* = 7.4); 7.54 (s, C(5)H, **11**); 7.61 (d, C(5)H, **10**, *J* = 6.7); 7.67 (d, 2 H, *o*-H, Ph, *J* = 7.4); 8.30 (d, C(8)H, **11**, *J*= 9.4)
10d+11d	0.89—1.21 (m, 6 H, 2 Me); 1.68—2.14 (m, 2 H, 2 CH_2); 2.21 (s, CH_3, **11**); 2.80 (s, CH_3, **10**), 3.47—3.73 (m, 4 H, 2 CH_2SO_2); 3.87 (s, 3 H, OMe); 6.73 (t, C(6)H, **10**, *J* = 6.6); 6.94 (d, 2 H, Ph, *J* = 8.5); 7.02—7.12 (m, C(7)H, **10**; C(7)H, **11**); 7.51 (s, C(5)H, **11**); 7.60 (d, C(5)H, **10**, *J* = 6.6); 7.73 (d, 2 H, Ph, *J* = 7.9); 8.29 (d, C(8)H, **11**, *J* = 9.8)
10e+11e	0.85—1.20 (m, 6 H, 2 Me); 1.67—2.15 (m, 4 H, 2 CH_2); 2.22 (s, Me, **11**); 2.80 (s, Me, **10**); 3.38—3.79 (m, 4 H, 2 CH_2SO_2); 3.92 (s, 3 H, OMe, Ph); 3.96 (s, 3 H, OMe, Ph); 6.68—6.88 (m, C(6)H, **10**, *m*-H, Ph); 7.00—7.17 (m, C(7)H, **10**; C(7)H, **11**; *o*-H, Ph); 7.50 (s, C(5)H, **11**); 7.55—7.75 (m, C(5)H, **10**; *o*-H, Ph); 8.29 (d, C(8)H, **11**, *J* = 9.2)
10f	0.95, 1.15 (both t, 3 H each, 2 Me, *J* = 7.4); 1.68—2.2 (m, 4 H, 2 CH_2); 2.83 (s, 3 H, Me); 3.43—3.78 (m, 4 H, 2 CH_2SO_2); 6.75 (t, 1 H, C(6)H, *J* = 7.8); 7.22 (d, 1 H, C(7)H, *J* = 8.0); 7.45—7.72 (m, 5 H, *o*-H и *m*-H, Ph, C(5)H)
10g	1.02, 1.15 (both t, 3 H each, 2 Me, *J* = 7.2); 1.78—1.95 (m, 4 H, 2 CH_2); 3.54—3.68 (m, 4 H, 2 CH_2SO_2); 3.95 (s, 3 H, OMe); 6.42 (s, 2 H, NH_2); 6.61 (d, 1 H, C(7)H, *J* = 7.4); 6.90 (t, 1 H, C(6)H, *J* = 7.4); 7.75 (d, 1 H, C(5)H, *J* = 7.4)
10h	1.09, 1.48 (both t, 3 H each, 2 Me, *J* = 7.2); 3.50—3.83 (m, 4 H, 2 CH_2SO_2); 5.76 (s, 2 H, NH_2); 6.39 (d, 1 H, C(7)H, *J* = 7.2); 6.68 (t, 1 H, C(6)H, *J* = 7.2); 7.20 (d, 1 H, C(5)H, *J* = 6.6); 7.40—7.85 (m, 5 H, Ph)
10i	0.96, 1.05 (both t, 3 H each, 2 Me, *J* = 7.3); 1.53—1.95 (m, 4 H, 2 CH_2); 2.45 (s, 3 H, C(4)Me, Ph); 3.40—3.73 (m, 4 H, 2 CH_2SO_2); 6.47—6.65 (m, 3 H, NH_2, C(7)H); 6.77 (t, 1 H, C(6)H, *J* = 7.3); 7.03 (d, 1 H, C(5)H, *J* = 6.8); 7.32 (d, 2 H, *m*-H, Ph, *J* = 7.4); 7.60 (d, 2 H, *o*-H, Ph, *J* = 7.4)
10j	0.90, 1.08 (both t, 3 H each, 2 Me, *J* = 7.3); 1.50—2.05 (m, 4 H, 2 CH_2); 2.37, 2.68 (both s, 3 H each, C(3)Me и C(4)Me, Ph); 3.30—3.74 (m, 4 H, 2 CH_2SO_2); 5.73 (s, 2 H, NH_2); 6.41 (d, 1 H, C(7)H, *J* = 7.3); 6.71 (t, 1 H, C(6)H, *J* = 6.7); 6.97 (d, 1 H, C(5)H, *J* = 7.9); 7.08 (d, 1 H, *m*-H, Ph, *J* = 7.9); 7.17 (s, 1 H, *m*-H, Ph); 7.41 (d, 1 H, *p*-H, Ph, *J* = 6.7)
10k	0.89—1.21 (m, 6 H, 2 Me); 1.72—2.11 (m, 4 H, 2 CH_2); 3.40—3.72 (m, 4 H, 2 CH_2SO_2); 3.87 (s, 3 H, OMe); 5.74 (s, 2 H, NH_2); 6.38 (d, 1 H, C(7)H, *J* = 7.3); 6.66 (t, 1 H, C(6)H, *J* = 7.3); 6.95 (d, 2 H, *m*-H, Ph, *J* = 7.3); 7.20 (d, 1 H, C(5)H, *J* = 6.1); 7.75 (d, 2 H, *o*-H, Ph, *J* = 7.3)
10l	0.91, 0.99 (both t, 3 H each, 2 Me, *J* = 7.3); 1.52—1.90 (m, 4 H, 2 CH_2); 3.44—3.80 (m, 4 H, 2 CH_2SO_2); 3.86 (s, 6 H, 2 OMe); 6.57 (d, 1 H, C(7)H, *J* = 7.3); 6.63 (s, 2 H, NH_2); 6.85 (t, 1 H, C(6)H, *J* = 7.3); 7.03 (d, 1 H, *m*-H, Ph, *J* = 8.6); 7.13 (d, 1 H, *o*-H, Ph, *J* = 8.6); 7.22 (d, 1 H, C(5)H, *J* = 6.7); 7.49 (s, 1 H, *o*-H, Ph)
10m	0.98, 1.03 (both t, 3 H each, 2 Me, *J* = 7.4); 1.20—1.35 (m, 6 H, 2 CH_3); 1.53—1.90 (m, 4 H, 2 CH_2); 3.43—3.70 (m, 4 H, 2 CH_2SO_2); 4.67—4.83 (m, 1 H, OCH); 6.50—6.68 (m, 3 H, NH_2, C(7)H); 6.86 (t, 1 H, C(6)H, *J* = 7.3); 7.05 (d, 2 H, *m*-H, Ph, *J* = 7.4); 7.22 (d, 1 H, C(5)H, *J* = 7.3); 7.68 (d, 2 H, *o*-H, Ph, *J* = 7.4)
10n	0.91, 1.00 (both t, 3 H each, 2 Me, *J* = 7.3); 1.50—1.95 (m, 4 H, 2 CH_2); 3.96—3.80 (m, 4 H, 2 CH_2SO_2); 6.19 (s, 2 H, OCH_2O); 6.50—6.73 (m, 3 H, NH_2, C(7)H); 6.86 (t, 1 H, C(6)H, *J* = 7.3); 7.05 (d, 1 H, C(5)H, *J* = 7.9); 7.18 (m, 2 H, *m*-H, *o*-H, Ph); 7.36 (s, 1 H, *o*-H, Ph)
10o	1.00, 1.10 (both t, 3 H each, 2 Me, *J* = 7.4); 1.71—2.10 (m, 4 H, 2 CH_2); 3.52—3.75 (m, 4 H, 2 CH_2SO_2); 5.81 (s, 2 H, NH_2); 6.47 (d, 1 H, C(7)H, *J* = 7.4); 6.70 (t, 1 H, C(6)H, *J* = 7.4); 7.08—7.22 (m, 3 H, C(5)H, *m*-H, Ph); 7.73—7.88 (m, 2 H, *o*-H, Ph)
11p	1.32, 1.48 (both t, 3 H each, 2 Me, *J* = 7.4); 2.63 (s, 3 H, Me, Ac); 3.57—3.78 (m, 4 H, 2 CH_2SO_2); 4.05 (s, 3 H, OCH_3); 7.81 (d, 1 H, C(7)H, *J* = 9.8); 8.44 (d, 1 H, C(8)H, *J* = 9.7); 9.12 (s, 1 H, C(5)H)
11q	0.94, 1.03 (both t, 3 H each, 2 Me, *J* = 7.5); 1.57—1.97 (m, 4 H, 2 CH_2); 2.63 (s, 3 H, Me, Ac); 3.40—3.68 (m, 4 H, 2 CH_2SO_2); 4.00 (s, 3 H, CH_3O); 7.87 (d, 1 H, C(7)H, *J* = 9.9); 8.28 (d, 1 H, C(8)H, *J* = 9.9); 9.09 (s, 1 H, C(5)H)
11r	0.94, 1.05 (both t, 3 H each, 2 Me, *J* = 7.5); 1.55—1.88 (m, 4 H, 2 CH_2); 2.62 (s, 3 H, Me, Ac); 3.43—3.72 (m, 4 H, 2 CH_2SO_2); 7.81 (d, 1 H, C(7)H, *J* = 9.9); 8.25 (m, 2 H, NH, C(8)H); 8.38 (s, 1 H, NH); 8.71 (s, 1 H, C(5)H)

(*to be continued*)

Table 6 (*continued*)

Compound	^{1}H NMR, δ (*J*/Hz)
11s	1.25, 1.39 (both t, 3 H each, 2 Me, *J* = 7.4); 2.47 (s, 3 H, Me, Ac); 3.55—3.73 (m, 4 H, 2 CH_2SO_2); 7.49—7.58 (m, 2 H, *m*-H, Ph); 7.67 (d, 1 H, C(7)H, *J* = 7.2); 7.78 (m, 3 H, *o*-H и *p*-H, Ph); 8.39 (d, 1 H, C(8)H, *J* = 7.2); 8.47 (s, 1 H, C(5)H)
11t	0.95, 1.05 (both t, 3 H each, 2 Me, *J* = 7.9); 1.55—1.90 (m, 4 H, 2 CH_2); 2.48 (s, 3 H, Me, Ac); 3.48—3.62 (m, 4 H, 2 CH_2SO_2); 7.53 (t, 2 H, *m*-H, Ph, *J* = 7.9); 7.67 (d, 1 H, C(8)H, *J* = 7.2); 7.74—7.85 (m, 3 H, *o*-H и *p*-H, Ph); 8.39—8.48 (m, 2 H, C(5)H, C(7)H)
11u	0.97, 1.05 (both t, 3 H each, 2 Me, *J* = 7.3); 1.68—1.92 (m, 4 H, 2 CH_2); 2.47, 2.49 (both s, 3 H each, 2 Me, C(4)Me, Ph, Me, Ac); 3.40—3.70 (m, 4 H, 2 CH_2SO_2); 7.30 (d, 2 H, *m*-H, Ph, *J* = 7.9); 7.72 (d, 2 H, *o*-H, Ph, *J* = 7.9); 7.85 (d, 1 H, C(7)H, *J* = 9.8); 8.39 (s, 1 H, C(5)H); 8.45 (d, 1 H, C(8)H, *J* = 9.8)
11v	1.15, 1.35 (both t, 3 H each, 2 Me, *J* = 7.5); 2.41, 2.53 (both s, 3 H each, C(2)Me, C(4)Me, Ph); 2.71 (s, 3 H, Me, Ac); 6.95 (d, 1 H, *m*-H, Ph, *J* = 7.8); 7.08 (d, 1 H, *o*-H, Ph, *J* = 7.2); 7.25 (s, 1 H, *m*-H, Ph); 7.78 (d, 1 H, C(7)H, *J* = 9.8); 8.45 (d, 1 H, C(8)H, *J* = 9.8); 8.60 (s, 1 H, C(5)H)
11w	0.85—1.21 (m, 6 H, 2 Me); 1.67—1.93 (m, 4 H, 2 CH_2); 2.17 (s, 3 H, Me, Ac); 3.40—3.73 (m, 4 H, 2 CH_2SO_2); 3.89 (s, 3 H, C(4)OMe); 6.98 (d, 2 H, *m*-H, Ph, *J* = 8.5); 7.62—7.88 (m, 3 H, *o*-H, Ph, C(7)H); 8.36 (s, 1 H, C(5)H); 8.44 (d, 1 H, C(8)H, *J* = 9.8)
11x	0.89—1.18 (m, 6 H, 2 Me); 1.72—1.90 (m, 4 H. 2 CH_2); 2.49 (s, 3 H, Me, Ac); 3.40—3.78 (m, 4 H, 2 CH_2SO_2); 3.95, 3.99 (both s, 3 H each, 2 MeO); 6.85 (d, 1 H, *m*-H, Ph, *J* = 7.2); 7.09 (d, 1 H, *o*-H, Ph, *J* = 7.2); 7.66 (s, 1 H, *o*-H, Ph); 7.73 (d, 1 H, C(7)H, *J* = 9.9); 8.37 (s, 1 H, C(5)H); 8.45 (d, 1 H, C(8)H, *J* = 9.8)
11y	0.95, 1.12 (both t, 3 H each, 2 Me, *J* = 7.7); 1.57—1.85 (m, 4 H, 2 CH_2); 2.46 (s, 3 H, Me, Ac); 3.42—3.60 (m, 4 H, 2 CH_2SO_2); 7.32 (t, 2 H, *m*-H, Ph, *J* = 8.8); 7.82 (d, 1 H, C(7)H, *J* = 9.9); 7.87—7.98 (m, 2 H, *o*-H, Ph); 8.32 (d, 1 H, C(8)H, *J* = 9.9); 8.57 (s, 1 H, C(5)H)
11z	0.78—1.05 (m, 6 H, 2 Me); 1.45—1.78 (m, 4 H, 2 CH_2); 2.47 (s, 3 H, Me, Ac); 3.45—3.70 (m, 4 H, 2 CH_2SO_2); 7.65—7.95 (m, 5 H, *p*-H, Ph, C(7)H); 8.30 (d, C(8)H, *J* = 8.8); 8.64 (s, 1 H, C(5)H)
10a′	1.02—1.21 (m, 6 H, 2 Me); 1.78—2.02 (m, 4 H, 2 CH_2); 3.48—3.76 (m, 4 H, 2 CH_2SO_2); 4.00 (s, 3 H, OMe); 7.18 (t, 1 H, C(6)H, *J* = 7.7); 7.49 (s, 1 H, NH); 7.54 (d, 1 H, C(7), *J* = 7.1); 8.03 (s, 1 H, NH); 8.63 (d, 1 H, C(5)H, *J* = 7.1)
11a′	0.95, 1.08 (both t, 3 H each, 2 Me, *J* = 7.7); 1.62—1.95 (m, 4 H, 2 CH_2); 3.40—3.65 (m, 4 H, 2 CH_2SO_2); 4.00 (s, 3 H, OMe); 7.62 (s, 1 H, NH); 7.88 (d, 1 H, C(7)H, *J* = 9.9); 8.15—8.28 (m, 2 H, NH, C(8)H); 9.00 (s, 1 H, C(5)H)
11b′	0.97, 1.05 (t, 6 H, 2 Me, *J* = 7.2); 1.40—1.95 (m, 4 H, 2 CH_2), 3.45—3.68 (m, 4 H, 2 CH_2SO_2); 6.00 (s, 2 H, NH_2); 7.43—7.50 (m, 3 H, *m*-H, Ph, C(8)H); 7.60—7.73 (m, 1 H, *p*-H, Ph); 7.80 (d, 2 H, *o*-H, Ph, *J* = 7.2); 8.39 (d, 1 H, C(7)H, *J* = 9.6); 8.49 (s, 1 H, C(5)H)
11s′	0.84, 1.01 (both t, 3 H each, 2 Me, *J* = 7.2); 1.50—1.87 (m, 4 H, 2 CH_2); 2.36, 2.62 (both s, 3 H each, 2 Me); 3.25—3.60 (m, 4 H, 2 CH_2SO_2); 6.45 (s, 2 H, NH_2); 6.95 (d, 1 H, *m*-H, Ph, *J* = 7.9); 7.02 (d, 1 H, *o*-H, Ph, *J* = 7.2); 7.17 (s, 1 H, *m*-H, Ph); 7.57 (d, 1 H, C(7)H, *J* = 9.9); 8.38 (d, 1 H, C(8)H, *J* = 9.9); 8.60 (s, 1 H, C(5)H)
10d′	0.91, 1.06 (both t, 3 H each, 2 Me, *J* = 7.3); 1.08—1.15 (m, 4 H, 2 CH_2); 3.50—3.78 (m, 4 H, 2 CH_2SO_2); 3.85 (s, 3 H, OMe); 7.05 (t, 1 H, C(6)H, *J* = 6.7); 7.11 (d, 2 H, *m*-H, Ph, *J* = 8.6); 7.50 (d, 1 H, C(7)H, *J* = 6.7); 7.60 (s, 1 H, NH); 7.72 (d, 2 H, *o*-H, Ph, *J* = 7.9); 8.01 (d, 1 H, C(5)H, *J* = 7.3); 8.06 (s, 1 H, NH)
11d′	0.89—1.12 (m, 6 H, 2 Me); 1.54—1.98 (m, 4 H, 2 CH_2); 3.38—3.61 (m, 4 H, 2 CH_2SO_2); 3.89 (s, 3 H, OMe); 7.04 (d, 2 H, *m*-H, Ph, *J* = 8.8); 7.42 (s, 1 H, NH); 7.76 (d, 2 H, *o*-H, Ph, *J* = 8.8); 7.79 (d, 1 H, C(7)H, *J* = 10.5); 816 (s, 1 H, NH); 8.27 (d, 1 H, C(8)H, *J* = 9.9); 8.45 (s, 1 H, C(5)H)
10e′	1.01, 1.13 (both t, 3 H each, 2 Me, *J* = 7.7); 1.60—2.05 (m, 4 H, 2 CH_2); 3.41—3.80 (m, 4 H, 2 CH_2SO_2); 3.88, 3.91 (both s, 3 H each, 2 OMe); 6.98—7.23 (m, 3 H, C(6)H, *o*-H и *m*-H, Ph); 7.40 (s, 1 H, NH); 7.46 (d, 1 H, C(7)H, *J* = 6.6); 7.51 (s, 1 H, *o*-H, Ph); 7.87 (d, 1 H, C(5)H, *J* = 7.5); 7.92 (s, 1 H, NH)
11e′	0.89, 0.95 (both t, 3 H each, 2 Me, *J* = 7.4); 1.57—1.73 (m, 4 H, 2 CH_2); 3.45—3.68 (m, 4 H, 2 CH_2SO_2); 3.87 (s, 6 H, 2 OMe); 7.04 (d, 1 H, *m*-H, Ph, *J* = 8.5); 7.27 (d, 1 H, *o*-H, Ph, *J* = 8.2); 7.54 (s, 1 H, *o*-H, Ph); 7.65 (s, 1 H, NH); 7.85 (d, 1 H, C(7)H, *J* = 9.9); 8.23—8.30 (m, 3 H, NH, C(8)H); 8.44 (s, 1 H, C(5)H)
10f′	0.98, 1.12 (both t, 3 H each, 2 Me, *J* = 7.2); 1.58—1.98 (m, 4 H, 2 CH_2); 3.40—3.95 (m, 4 H, 2 CH_2SO_2); 7.03 (t, 1 H, C(6)H, *J* = 7.2); 7.32 (t, 2 H, *m*-H, Ph, *J* = 8.8); 7.43 (s, 1 H, NH); 7.49 (d, 1 H, C(7)H, *J* = 7.2); 7.75—7.88 (m, 2 H, *o*-H, Ph); 7.94 (s, 1 H, NH); 7.98 (d, 1 H, C(5)H, *J* = 7.2)
10g′	0.98, 1.14 (both t, 3 H each, 2 Me, *J* = 7.3); 1.71—1.95 (m, 4 H, 2 CH_2); 3.45—3.85 (m, 4 H, 2 CH_2SO_2); 7.05 (t, 1 H, C(6)H, *J* = 7.3); 7.40 (s, 1 H, NH); 7.50 (d, 1 H, C(7)H, *J* = 7.3); 7.65—7.75 (m, 4 H, *o*-H и *m*-H, Ph); 7.82 (s, 1 H, NH); 8.00 (d, 1 H, C(5)H, *J* = 7.3)

(*to be continued*)

Table 7. ^{13}C NMR spectra of compounds **10a,b,g—m,a′,d′,e′** and **11q,r,t,u,x—z,a′,d′,e″**

Compoune	^{3}C NMR, δ
10a	6.04 (CH_3), 6.32 (CH_3), 22.29 (CH_3), 50.66 (CH_2), 52.00 (CH_2), 109.41 (C), 115.05 (CH), 123.65 (CH), 124.64 (C), 128.45 (CH), 128.77 (C), 128.90 (C), 133.77 (C), 160.93 (C)
10b	6.32 (CH_3), 6.36 (CH_3), 22.28 (CH_3), 50.94 (CH_2), 52.38 (CH_2), 109.98 (C), 115.58 (CH), 118.71 (CH), 123.64 (CH), 127.95 (C), 128.66 (C), 129.12 (CH), 129.17 (2 CH), 129.35 (C), 134.59 (CH), 134.71 (C), 136.52 (C), 141.45 (CH), 187.77 (C)
10g	12.57 (CH_3), 12.70 (CH_3), 15.36 (CH_2), 15.77 (CH_2), 53.50 (OCH_3), 57.68 (CH_2), 59.55 (CH_2), 106.25 (CH), 108.73 (C), 114.12 (CH), 117.32 (CH), 122.74 (C), 126.97 (C), 127.30 (C), 139.45 (C), 160.82 (C)
10k	12.60 (CH_3), 12.65 (CH_3), 15.51 (CH_2), 15.81 (CH_2), 55.68 (CH_3), 58.02 (CH_2), 59.98 (CH_2), 105.42 (CH), 107.78 (C), 113.63 (CH), 114.47 (2 CH), 117.17 (CH), 126.20 (C), 127.20 (C), 128.14 (C), 129.54 (C), 131.73 (2 CH), 139.69 (C), 164.32 (C), 186.09 (C)
10l	12.59 (CH_3), 12.64 (CH_3), 15.49 (CH_2), 15.80 (CH_2), 55.67 ($2CH_3$), 58.00 (CH_2), 59.96 (CH_2), 105.41 (CH), 107.76 (C), 113.63 (CH), 114.46 (2 CH), 117.16 (CH), 126.18 (C), 127.19 (C), 128.12 (C), 129.52 (C), 131.71 (CH), 136.26 (C), 139.67 (C), 164.30 (C) 186.68 (C)
10m	12.57 (CH_3), 12.63 (CH_3), 15.46 (CH_2), 15.78 (CH_2), 21.51 (2 CH_3), 57.98 (CH_2), 59.93 (CH_2), 69.99 (OCH), 105.39 (CH), 107.74 (C), 113.64 (CH), 115.48 (CH), 117.14 (CH), 126.14 (C), 127.14 (C), 128.16 (C), 129.08 (C), 131.76 (C), 139.65 (CH), 162.72 (CH), 185.94 (CH)
11q	12.48 (CH_3), 12.75 (CH_3), 15.10 (CH_2), 15.84 (CH_2), 26.48 (CH_3), 53.60 (OCH_3), 57.46 (CH_2), 58.22 (CH_2), 109.45 (C), 118.42 (CH), 122.53 (C), 124.67 (CH), 125.56 (C), 129.03 (C), 129.74 (CH), 136.06 (C), 159.75 (C), 194.74 (C)
11r	12.82 (2 CH_3), 15.10 (CH_2), 15.44 (CH_2), 22.37 (CH_3), 57.81 (CH_2), 59.15 (CH_2), 109.55 (C), 115.06 (CH), 123.65 (CH), 125.21 (C), 128.43 (CH), 128.59 (C), 128.79 (C), 133.61 (C), 160.92 (C), 194.56 (C)
11t	12.55 (2 CH_3), 14.93 (CH_2), 15.80 (CH_2), 26.53 (CH_3), 57.59 (CH_2), 58.45 (CH_2), 108.24 (C), 118.65 (CH), 124.38 (CH), 125.74 (C), 127.89 (C), 128.23 (C), 128.68 (CH), 128.93 (2 CH), 129.39 (2 CH), 134.62 (CH), 136.41 (C), 137.22 (C), 187.09 (C), 194.80 (C)
11u	12.53 (2 CH_3), 15.00 (CH_2), 15.79 (CH_2), 21.27 (CH_3), 26.53 (CH_3), 57.61 (CH_2), 58.46 (CH_2), 108.11 (C), 118.65 (CH), 124.30 (CH), 125.72 (C), 127.44 (C), 128.36 (CH), 128.55 (C), 129.56 (4 CH), 134.63 (C), 136.26 (C), 145.64 (C), 186.43 (C), 194.77 (C)
11x	12.57 (CH_3), 12.95 (CH_3), 15.16 (CH_2), 15.89 (CH_2), 26.63 (CH_3), 55.57 (CH_3), 55.94 (CH_3), 57.72 (CH_2), 58.51 (CH_2), 107.88 (C), 110.21 (CH), 110.96 (CH), 118.69 (CH), 124.30 (CH), 125.72 (C), 125.98 (CH), 126.94 (C), 128.19 (CH), 128.81 (C), 129.97 (C), 136.24 (C), 149.08 (C), 154.73 (C), 184.99 (C), 194.86 (C)
11y	12.55 (2 CH_3), 14.98 (CH_2), 15.79 (CH_2), 26.57 (CH_3), 57.63 (CH_2), 58.48 (CH_2), 108.25 (C), 115.94 (CH), 116.24 (CH), 118.64 (CH), 124.39 (CH), 125.78 (C), 127.96 (C), 128.81 (CH), 132.54 (CH), 132.67 (CH), 134.35 (C), 136.52 (C), 164.11 (C), 167.48 (C), 185.71 (C), 194.85 (C)
11z	12.56 (2 CH_3), 14.97 (CH_2), 15.80 (CH_2), 26.60 (CH_3), 57.61 (CH_2), 58.47 (CH_2), 108.29 (C), 118.63 (CH), 124.47 (CH), 125.81 (C), 127.66 (C), 128.11 (C), 128.84 (C), 128.99 (2 CH), 131.27 (2 CH), 131.99 (C), 136.55 (C), 136.62 (C), 186.44 (C), 194.86 (C)
10a′	13.48 (CH_3), 13.56 (CH_3), 14.81 (CH_2), 15.45 (CH_2), 54.04 (CH_3), 55.31 (CH_2), 57.84 (CH_2), 113.10 (C), 115.60 (CH), 122.52 (C), 128.02 (CH), 128.13 (CH), 129.67 (C), 130.77 (C), 132.07 (C), 160.36 (C), 168.66 (C)
11a′	13.11 (CH_3), 13.33 (CH_3), 15.78 (CH_2), 16.44 (CH_2), 54.23 (CH_3), 58.08 (CH_2), 58.87 (CH_2), 109.94 (C), 118.70 (CH), 122.83 (C), 123.71 (C), 126.13 (CH), 127.47 (CH), 128.62 (C), 136.37 (C), 160.51 (C), 165.01 (C)
10d′	12.63 (CH_3), 12.95 (CH_3), 14.31 (CH_2), 15.19 (CH_2), 55.10 (CH_2), 55.72 (CH_3), 57.81 (CH_2), 107.32 (C), 111.23 (C), 114.55 (2 CH), 114.86 (CH), 123.42 (CH), 126.67 (CH), 127.73 (C), 128.20 (C), 129.41 (C), 131.15 (C), 131.93 (2 CH), 164.48 (C), 168.16 (C), 185.31 (C)
11d′	12.58 (CH_3), 12.97 (CH_3), 15.21 (CH_2), 15.81 (CH_2), 55.73 (CH_3), 57.69 (CH_2), 58.54 (CH_2), 107.28 (C), 114.44 (2 CH), 118.32 (CH), 122.89 (C), 124.98 (CH), 125.90 (CH), 126.08 (C), 128.47 (C), 129.45 (C), 131.96 (2 CH), 135.92 (C), 164.23 (C), 164.56 (C), 185.33 (C)
10e′	13.25 (CH_3), 13.56 (CH_3), 14.03 (CH_2), 15.80 (CH_2), 55.71 (CH_2), 56.20 (OCH_3), 56.50 (OCH_3), 58.46 (CH_2), 110.53 (CH), 111.71 (CH), 115.42 (CH), 126.56 (CH), 127.28 (CH), 127.81 (C), 128.77 (C), 130.00 (C), 132.14 (C), 149.80 (C), 155.25 (C), 168.78 (C), 185.86 (C)
11e′	12.58 (2 CH_3), 15.24 (CH_2), 15.86 (CH_2), 55.58 (CH_3), 55.94 (CH_3), 57.74 (CH_2SO_2), 58.56 (CH_2SO_2), 107.16 (C), 110.14 (CH), 111.05 (CH), 118.29 (CH), 122.87 (C), 124.95 (CH), 125.94 (CH), 126.04 (CH), 128.39 (C), 129.80 (C), 135.93 (C), 149.10 (C), 154.74 (C), 164.21 (C), 185.11 (C)

(4-chlorophenyl)methanone (**6h**), (7-(*tert*-butyl)-1,2-bis(ethylsulfonyl)indolizin-3-yl)(4-ethylphenyl)methanone (**6i**), (7-(*tert*-butyl)-1,2-bis(ethylsulfonyl)indolizin-3-yl)(4-methoxyphenyl)-methanone (**6j**), (7-(*tert*-butyl)-1,2-bis(ethylsulfonyl)indolizin-3-yl)(4-fluorophenyl)methanone (**6k**), (7-(*tert*-butyl)-1,2-bis-(propylsulfonyl)indolizin-3-yl)(2,4-dimethylphenyl)methanone (**6l**), (6,8-dimethyl-1,2-bis(propylsulfonyl)indolizin-3-yl)(phenyl)methanone (**6m**), (6,8-dimethyl-1,2-bis(propylsulfonyl)indol-

izin-3-yl)(4-methoxyphenyl)methanone (**6n**), methyl 1,2-bis-(ethylsulfonyl)-7-(pyridin-4-yl)indolizine-3-carboxylate (**6o**), 1,2-bis(ethylsulfonyl)-7-(pyridin-4-yl)indolizine-3-carboxamide (**6p**), (1,2-bis(ethylsulfonyl)-7-(pyridin-4-yl)indolizin-3-yl)-(4-chlorophenyl)methanone (**6q**), (1,2-bis(propylsulfonyl)-7-(pyridin-4-yl)indolizin-3-yl)(4-isopropoxyphenyl)methanone (**6r**), (1,2-bis(propylsulfonyl)-7-(pyridin-4-yl)indolizin-3-yl)-(3,4-dimethoxyphenyl)methanone (**6s**), ethyl 3-benzoyl-1,2-bis(propylsulfonyl)indolizine-7-carboxylate (**6t**), ethyl 3-(4-methylbenzoyl)-1,2-bis(propylsulfonyl)indolizine-7-carboxylate (**6u**), ethyl 3-(4-methoxybenzoyl)-1,2-bis(propylsulfonyl)indolizine-7-carboxylate (**6v**), ethyl 3-(benzo[*d*][1,3]dioxolane-5-carbonyl)-1,2-bis(propylsulfonyl)indolizine-7-carboxylate (**6w**), methyl 1,2-bis(propylsulfonyl)pyrrolo[2,1-*a*]isoquinoline-3-carboxylate (**7a**), 1,2-bis(ethylsulfonyl)pyrrolo[2,1-*a*]isoquinoline-3-carboxamide (**7b**), (1,2-bis(propylsulfonyl)pyrrolo[2,1-*a*]-isoquinolin-3-yl)(phenyl)methanone (**7c**), (1,2-bis(ethylsulfonyl)-pyrrolo[2,1-*a*]isoquinolin-3-yl)(4-chlorophenyl)methanone (**7d**), (1,2-bis(propylsulfonyl)pyrrolo[2,1-*a*]isoquinolin-3-yl)(2,4-dimethylphenyl)methanone (**7e**), 1,2-bis(ethylsulfonyl)-8-methylindolizine-3-carboxamide (**10a**), (1,2-bis(ethylsulfonyl)-8-methylindolizin-3-yl)(phenyl)methanol (**10b**), methyl 8-amino-1,2-bis(propylsulfonyl)indolizine-3-carboxylate (**10g**), (8-amino-1,2-bis(ethylsulfonyl)indolizin-3-yl)(phenyl)methanone (**10h**), (8-amino-1,2-bis(propylsulfonyl)indolizin-3-yl)(*p*-tolyl)methanone (**10i**), (8-amino-1,2-bis(propylsulfonyl)indolizin-3-yl)-(2,4-dimethylphenyl)methanone (**10j**), (8-amino-1,2-bis(propylsulfonyl)indolizin-3-yl)(4-methoxyphenyl)methanone (**10k**), (8-amino-1,2-bis(propylsulfonyl)indolizin-3-yl)(3,4-dimethoxyphenyl)methanone (**10l**), (8-amino-1,2-bis(propylsulfonyl)indolizin-3-yl)(4-isopropoxyphenyl)methanone (**10m**), (8-amino-1,2-bis(propylsulfonyl)indolizin-3-yl)(1,3-benzo[*d*][1,3]dioxolan-5-yl)methanone (**10n**), (8-amino-1,2-bis(propylsulfonyl)-indolizin-3-yl)(4-fluorophenyl)methanone (**10o**), methyl 6-acetyl-1,2-bis(ethylsulfonyl)indolizine-3-carboxylate (**11p**), methyl 6-acetyl-1,2-bis(propylsulfonyl)indolizine-3-carboxylate (**11q**), 6-acetyl-1,2-bis(propylsulfonyl)indolizine-3-carboxamide (**11r**), 1-(3-benzoyl-1,2-bis(ethylsulfonyl)indolizin-6-yl)ethan-1-one (**11s**), 1-(3-benzoyl-1,2-bis(propylsulfonyl)indolizin-6-yl)ethan-1-one (**11t**), 1-(3-(4-methylbenzoyl)-1,2-bis(propylsulfonyl)-indolizin-6-yl)ethan-1-one (**11u**), 1-(3-(2,4-dimethylbenzoyl)-1,2-bis(ethylsulfonyl)indolizin-6-yl)ethan-1-one (**11v**), 1-(3-(4-methoxybenzoyl)-1,2-bis(propylsulfonyl)indolizin-6-yl)-ethan-1-one (**11w**), 1-(3-(3,4-dimethoxybenzoyl)-1,2-bis(propylsulfonyl)indolizin-6-yl)ethan-1-one (**11x**), 1-(3-(4-fluorobenzoyl)-1,2-bis(propylsulfonyl)indolizin-6-yl)ethan-1-one (**11y**), 1-(3-(4-bromobenzoyl)-1,2-bis(propylsulfonyl)indolizin-6-yl)-ethan-1-one (**11z**), methyl 8-carbamoyl-1,2-bis(propylsulfonyl)-indolizin-3-carboxylate (**10a′**), methyl 6-carbamoyl-1,2-bis-(propylsulfonyl)indolizine-3-carboxylate (**11a′**), 3-benzoyl-1,2-bis(propylsulfonyl)indolizine-6-carboxamide (**11b′**), 3-(2,4-dimethylbenzoyl)-1,2-bis(propylsulfonyl)indolizine-6-carboxamide (**11c′**), 3-(4-methoxybenzoyl)-1,2-bis(propylsulfonyl)indolizine-8-carboxamide (**10d′**), 3-(4-methoxybenzoyl)-1,2-bis(propylsulfonyl)indolizine-6-carboxamide (**11d′**), 3-(3,4-dimethoxybenzoyl)-1,2-bis(propylsulfonyl)indolizine-8-carboxamide (**10e′**), 3-(3,4-dimethoxybenzoyl)-1,2-bis(propylsulfonyl)-indolizine-6-carboxamide (**11e′**), 3-(4-fluorobenzoyl)-1,2-bis(propylsulfonyl)indolizine-8-carboxamide (**10f′**), 3-(4-bromobenzoyl)-1,2-bis(propylsulfonyl)indolizine-8-carboxamide (**10g′**).

References

1. *The Merck Index,* Ed. V. J. O´Neil, Merck & and Co., Ink., Whitehouse Station, 2001, 951.
2. W. Chai, J. G. Breitenbucher, A. Kwok, X. Li, V. Wong, N. I. Carruthers, T. W. Lovenberg, K. Marus, S. J. Wilson, F. U. Axe, T. K. Jones, *Bioorg. Med. Chem.*, 2003, **11**, 1767.
3. J. B. Østby, L.-L. Gundersen, F. Rise, O. Antonsen, K. Fosnes, V. Larsen, A. Bast, I. Gusters, G. R. M. M. Haenen, *Arch. Pharm. Pharm. Med. Chem.*, 2001, **334**, 21.
4. L. L. Gundersen, K. E. Malterud, A. H. Negussie, F. Rise, S. Teklu, O. B. Østby, *Bioorg. Med. Chem.*, 2003, **11**, 5409.
5. X. Li, H.- Y. Hu, J.- P. Ye, H.- K. Fun, H.- W. Hu, J.- H. Xu, *J. Org. Chem*., 2004, **69**, 2332.
6. A. S. Jorgensen, P. Jacobsen, L.B. Cristiansen, P. S. Bury, A. Kanstrup, S. M. Thorp, L. Naerum, K. Wassermann, *Bioorg. Med. Chem. Lett.*, 2000, **10**, 2383.
7. R. Millet, J. Domarkas, B. Rigo, L. Goossens, J.-F. Goossens, R. Houssin, J. P. Henichart, *Bioorg. Med. Chem.*, 2002, **10**, 2905.
8. WO Pat. 9621662; *Chem. Abstr.*, 1996, **125**, 195681.
9. A. I. Nasir, L.-L. Gundersen, F. Rise, O. Antonsen, T. Kristensen, B. Langhelle, A. Bast, I. Custer, G. R. M. M. Haenen, H. Wikstrom, *Bioorg. Med. Chem. Lett.*, 1998, **8**, 1829.
10. O. B. Østby, B. Dalhus, L.-L. Gundersen, F. Rise, A. Bast, G. R. M. M. Haenen, *Eur. J. Org. Chem.*, 2000, **9**, 3763.
11. S. Teklu, L.-L. Gundersen, T. Larsen, R. E. Malterud, F. Rise, *Bioorg. Med. Chem.*, 2005, **13**, 3127.
12. WO Pat. 103683 A2; *Chem. Abstr.*, 2007, **147**, 36593.
13. US Pat. 267536 A1; *Chem. Abstr.*, 2013, **157**, 133769.
14. J. Gubin, J. Lucchetti, J. Mahaux, D. Nisato, G. Rosseels, M. Clinet, P. Polster, P. Chatelain, *J. Med. Chem.*, 1992, **35**, 981.
15. WO Pat. 138266 A1; *Chem. Abstr.*, 2011, **155**, 637802.
16. WO Pat.31747 A1; *Chem. Abstr.*, 2007, **146**, 337734.
17. US Pat. 235467; *Chem. Abstr.*, 2009, **151**, 107564.
18. E. N. Prilezhaeva, *Russ. Chem. Rev.*, 2000, **69**, 367.
19. EP 576349 A1; *Chem. Abstr.*, 1994, **120**, 270423x.
20. EP 235111 A1; *Chem. Abstr.*, 1988, **109**, 6405b.
21. R. M. Acheson, P. J. Ansell, *J. Chem. Soc., Perkin Trans. 1,* 1987, 1275.
22. R. M. Chrestie, Ch. A. Shand, R. H. Thomson, C. W. Greenhalgh, *J. Chem. Res. Miniprint*, 1980, **1**, 139.
23. Ch. Wang, H. Hu, J. Xu, W. Kan, *RSC Adv.*, 2015, **5**, 41255.
24. L. Li, W. K. S. Chua, *Tetrahedron Lett.*, 2011, **52**, 1392.
25. N. E. Dontsova, V. N. Nesterov, A. M. Shestopalov, V. P. Litvinov, *Russ. Chem. Bull.*, 2005, **54**, 1239.
26. V. N. Nesterov, N. E. Dontsova, A. M. Shestopalov, Yu. T. Struchkov, V. P. Litvinov, *Chem. Heterocycl. Compd.*, 1996, **32**, 812.
27. N. E. Dontsova, A. M. Shestopalov, V. P. Litvinov, *Russ. Chem. Bull.*, 2008, **57**, 434.
28. N. E. Dontsova, A. M. Shestopalov, V. N. Nesterov, *Tetrahedron*, 2013, **69**, 5016.
29. E. N. Prilezhaeva, N. E. Dontsova, N. P. Petukhova, V. S. Bogdanov, *Gazz. Chim. Ital.*, 1990, **120**, 235.
30. J. Alvarez-Builla, J. L. Novella, E. Galves, P. Smith, F. Florencio, S. Garcia-Blanco, J. Bellonato, M. Santos, *Tetrahedron,* 1986, **42**, 699.

Received December 21, 2016;
in revised form March 13, 2017