HETEROCYCLES, Vol. 76, No. 2, 2008, pp. 1511 - 1524. © The Japan Institute of Heterocyclic Chemistry
Received, 8th May, 2008, Accepted, 5th August, 2008, Published online, 7th August, 2008. COM-08-S(N)115

SYNTHESIS OF SUBSTITUTED AZIRIDINES *VIA* INTRAMOLECULAR REACTIONS OF β-N-CHLOROETHYLAMINO CARBANIONS

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Dedicated to Professor Ryoji Noyori on the occasion of his 70th birthday.

Abstract – Simple and efficient method of synthesis of 2-alkoxycarbonyl, 2-cyano, 2-phenylsulfonyl *N*-alkylaziridines was elaborated via intramolecular nucleophiles substitution of chloride in 1-*N*-chloro-2-alkoxycarbonyl (cyano, phenylsulfonyl) ethyl amines. These substituted *N*-chloroamines are readily erasable via *N*-chlorination of the adducts of primary amines to Michael acceptors.

Aziridines are important class of compounds widely used as intermediates in synthesis of aminoacids,[1] aminoalcohols[2] etc. and also in multistep synthesis of pharmaceuticals,[3] natural products etc.[4] Some aziridines have found application as biologically active substances.[5]

Due to wide application of aziridines as intermediates in organic synthesis there is a continuous interest in efficient methods of construction of the aziridine rings. Many variants of synthesis of aziridines are reported[5,6] that consist in:

Formation on N-C bond when *N*-acts as a nucleophilic partner. This variant includes intramolecular substitution of halogen or sulfonyloxy groups in β-haloalkylamines and sulfonates of β-aminoalcohols, and also the aza-Darzenes reaction: addition of α-halocarbanions to electron-deficient imines followed by intramolecular substitution in produced intermediate β-haloalkyl-*N*-anions.

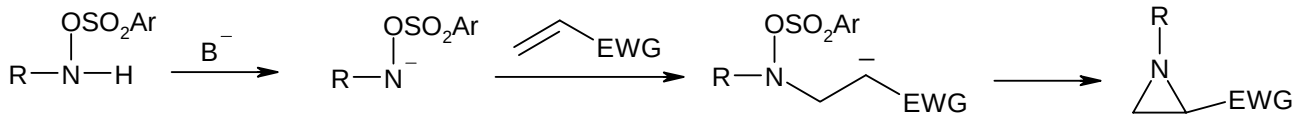
Formation of N-C bond when *N*-acts as an electrophilic partner.

Simultaneous formation of two N-C bond *via* reaction of nitrenes and related reagents with alkenes.

Simultaneous formation of N-C bond and C-C bonds *via* reactions of carbenes with imines etc.

The reactions of nitrenes and carbenes are often catalyzed by transition metals.

Numerous methods of formation of the aziridine rings are presented in recent reviews and monographs.[5,6] Of particular interest for us was construction of the aziridine ring based on N-C bond formation *via* reactions in which *N*-acts as an electrophilic partner. These reactions, that mostly consist of base induced reactions of *O*-sulfonates of *N*-substituted hydroxylamines with the Michael acceptors, are reported in numerous papers.[7] They proceed apparently *via* addition of N-anion of the hydroxylamine derivative to the electron-deficient double bond followed by intramolecular substitution of the sulonyloxy group located at the nitrogen (Scheme1).



Scheme 1

Surprisingly very few examples of intramolecular substitution of halogen in carbanions generated from β-(*N*-halo)aminoalkyl nitriles, ketones, sulfones etc. that should lead to aziridines were reported. It should be stressed that examples of inter- and intramolecular substitution of halogen in *N*-haloamines in the reaction with carbon nucleophiles are scarce, due to high tendency for halogen transfer processes in these systems.[8] Nevertheless formation of quinuclidyl ring system was observed *via* intramolecular substitution of bromine in *N*-bromopiperidine derivatives with an enolate anion.[9]

In the course of our studies of reactions of γ-halocarbanions[10] we started investigation of inter- and intramolecular reactions of carbanions generated from *N*-chlorinated β-aminoalkyl nitriles, sulfones and esters. These carbanions can be considered as aza-analogues of γ-halocarbanions, similarly as anion of ethylene chlorohydrine can be considered as a γ-chlorooxacarbanion.[11] In spite of the lack of precedence we expected that nucleophilic substitution of chloride at nitrogen can take place opening new synthetic pathway to aziridines.

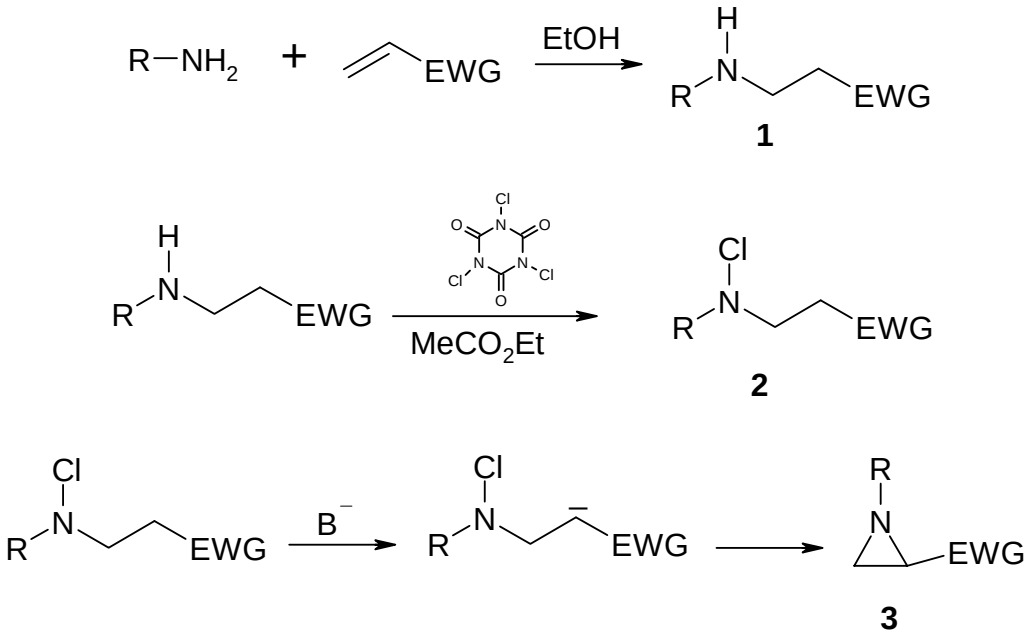
Already the first experiments gave positive results. Treatment of *N*-chloro-*t*-butyl-β-phenylsulfonylethyl amine **2i** with *t*BuOK gave expected *N*-*t*-*b*utyl-2-phenylsulfonyl aziridine **3i** in high yield. Other *N*-alkyl-*N*-chloro ethylamines containing electron withdrawing groups in β-position reacted similarly giving *N*-alkylaziridines.

When our work was advanced we have came across of the paper by S.P. Bew coll.[12] reporting similar results. Synthesis of bicyclic aziridine derivatives *via* treatment of *N*-chloro-γ-piperidone derivative with base was reported earlier.[13]

The general scheme of synthesis of *N*-substituted aziridines from readily available, commercial educts consist in three simple steps: synthesis of secondary amines **1** *via* addition of primary amines to the Michael acceptors, *N*-chlorination of the produced secondary amines **1** giving *N*-chloroamines **2**

containing a carbanion stabilizing group in β-position and treatment of the *N*-chloroamines **2** with strong base that generates carbanions undergoing rapid intramolecular substitution of chloride at the nitrogen giving aziridines **3**. (Scheme 2)

After preliminary experimentations we have found that the most efficient *N*-chlorinating agent of the secondary amines is trichloroisocyanuric acid.[14] When the chlorination is carried our in ethyl acetate, usually yields of the *N*-chloramines **2** exceed 90%. For generation of carbanions stabilized by such electron withdrawing groups as SO_2Ph, COOR, CN etc. a variety of strong bases can be used. We have found that conversion of *N*-chloramines **2** into aziridines **3** proceeds efficiently under action of *t*-BuOK in THF, although other basic agents such as MeONa, EtONa, EtOK, $NaNH_2$, and Phase Transfer Catalysis condition, 50% NaOH aq and benzyltriethylammonium chloride give often equally good results. The tentatively optimized standard protocol for synthesis of aziridines was treatment of a solution of **2** in THF with *t*-BuOK at 0 °C, stirring for 1 h, quenching the mixture with water and isolation and purification of aziridines by column chromatography, distillation or recrystallization.



Scheme 2

Results are presented in Table 1

As can be seen from the data collected in table 1 the intramolecular substitution in carbanions of *N*-chloramines **2**, EWG = COOR, or CN proceeds in high yields under the selected condition when R is primary, secondary and tertiary alkyl group. On the other hand when R is benzyl or α-phenylethyl, yields of aziridines from such *N*-chloramines are lower due to competing elimination of HCl and formation of imines that undergo decomposition in the reaction mixtures.

Table 1 Synthesis of aziridines **3** from N-chloroamines **2** according to scheme 2.

Entry	R	EWG	1	Yield %	2	Yield %	3	Yield %
1	*t*-Bu	CO_2*t*-Bu	**1a**	70	**2a**	95	**3a**	78, 4 amine **1a**
2	*n*-Pr	CO_2*t*-Bu	**1b**	78	**2b**	99	**3b**	88
3	allyl	CO_2*t*-Bu	**1c**	82	**2c**	95	**3c**	49,
4	*t*-Bu	CN	**1d**	78	**2d**	94	**3d**	56,[a] 13 amine **1d**
5	*n*-Pr	CN	**1e**	89	**2e**	75	**3e**	73[a]
6	*iso*-Pr	CN	**1f**	86	**2f**	74	**2f**	73[a]
7	allyl	CN	**1g**	77	**2g**	93	**3g**	59[a]
8	Ph_3C	PhCO	**1h**	68	**2h**	96	**3h**	78
9	*t*-Bu	SO_2Ph	**1i**	81	**2i**	96	**3i**	93
10	Me	SO_2Ph	**1j**	91	**2j**	94	**3j**	74
12	$PhCH_2$	SO_2Ph	**1k**	93	**2k**	98	**3k**	82
13	MeCO	CO_2Et	**1l**	[b]	**2l**	97	**3l**	amine **1l**
14	EtOCO	CO_2Et	**1m**	[b]	**2m**	98	**3m**	amine **1m**
15	Cl	CO_2Et	**1n**		**2n**	92	**3n**	-

a) the reactions were carried out at - 60°C.

b) Prepared via acylation of the primary amines.

On the other hand *N*-benzyl-*N*-chloroamines **2** containing phenylsulfonyl group as EWG, upon treatment with *t*-BuOK in THF gave expected *N*-benzyl phenylsufonyl aziridines **3k** in good yelds. β-Elimination of HCl from these *N*-chloroamines does not compete with the intramolecular substitution probably due to higher C-H acidity of sulfones and geometry of the sulfonyl stabilized carboanions.

Another problem was encountered in attempts to synthesis aziridines from *N*-chloro-β-aminoethyl ketones. Secondary amines, precursors of the required *N*-chloroamines tend to add to the carbonyl group thus *N*-chlorination is hindered. This problem was eliminated when sterically hindered primary amine – trityl amine was used. Addition of this amine to phenyl vinyl ketone and chlorination of the β-ketoamine proceeded satisfactorily, as well as the base induced cyclization of the *N*-chloramine **2h** to benzoil *N*-trityl

aziridine **3h**.

It is known that *N*-chloramines can act as agents chlorinating carbanions[8] thus an alternative pathway of the aziridine ring formation *via* intramolecular halogen transfer from the nitrogen to the carbanion followed by intramolecular substitution of the halogen at the carbon with nitrogen nucleophile should be considered. To clarify this point we have prepared *N*-methyl-β-chloro-β-phenylsulfonylethyl amine, *via* addition of methylamine to α-chlorovinyl phenyl sulfone and treated this amine with t-BuOK in THF at -78°C. We have not observed formation of aziridine **3j** and after five minutes the substrate was fully recovered. On other hand under these conditions *N*-chloramine **2j** is fully converted into aziridine **3j**.

Supposition that formation of aziridines proceeds *via* direct nucleophilic substitution of halogen at nitrogen is additionally supported by the observation that treatment of *N*-chlorinated ethyl 3-acetamino **2l** and 3-ethoxycarbonylaminopropionates **2m** with t-BuOK under the standard reaction conditions gave only dechlorinated acylated amines. It is well known that *N*-chloramines containing electron withdrawing substitutions at the nitrogen are particularly active chlorinating agents.[8] Thus apparently the reaction of these *N*-chloramines with base does not proceed as deprotonation but chlorination.

Conclusions: It was shown than sequence of three simple steps: addition of primary amines to Michael acceptors, *N*-chlorination of the produced secondary amines and treatment of the produced N-chloroamines with strong base is an efficient and versatile way of synthesis of substituted aziridines.

EXPERIMENATAL

All reagents and solvents were purchased from commercial sources and were used without further purification. THF was distilled from K/benzophenone ketyl.

Analytical GC were run on a GC -2010 Shimadzu (column ZB-5). Analytical thin layer chromatography (TLC) was performed on 0.25mm Merck silica gel 60 F_{254} plates. The plates were inspected under UV light (254nm). Melting points were uncorrected. ^{1}H and ^{13}C NMR spectra were reporded on Bruker 500, and Varian 400 spectromers. Chemical shifts are recorted in ppm from the solvent resonance ($CDCl_3$ as 7.26 ppm). Mass spectra were obtained on AMD 604 Intectra GmbH spectrometer in electron ionization mode or on Mariner^{TM} in electrospray. IR spectra were taken on a FT-IR Perkin Elmer Spectrum 2000 using a film (for oils) or in KBr pellets (for solids).

Synthesis of secondary amines 1; General Procedure

To a cold (ice/water bath) and stirred solution of primary amine (100 mmol) in EtOH (100 mL) was added dropwise a Michael acceptor (100 mmol) during about 15 min, maintaining temperature below 10 °C. The reaction mixture was kept at room temperature and progress of the reaction was monitored by GC. After completion of the reaction, the solvent was evaporated under reduced pressure. The product

was purified by distillation under reduced pressure or recrystallization.

***t*-Butyl 3-*N*-*t*-butylaminopropionate (1a):** oil; bp 81 °C/10 mmHg; IR (film): 2970, 2933, 2868, 1729, 1481, 1457, 1391, 1367, 1234, 1155, 1101, 1060, 994, 962, 847, 773, 753, 695. ^{1}H NMR ($CDCl_3$, 500 MHz): δ = 1.10 (s, 9H, $C(CH_3)_3$), 1.45 (s, 9H, $C(CH_3)_3$), 2.40 (t, J = 6.6 Hz, 2H, CH_2), 2.78 (t, J = 6.6 Hz, 2H, CH_2). ^{13}C NMR ($CDCl_3$, 125 MHz):δ = 177.3, 80.3, 50.2, 38.2, 36.8, 29.0, 28.1. HRMS: m/z [MH^+] calcd for $C_{11}H_{24}NO_2$: 202.1802; found: 202.1792. Anal. Calcd for $C_{11}H_{23}NO_2$: C, 65.63; H, 11.52; N, 6.96. Found: C, 65.70; H, 11.66; N, 6.93.

***t*-Butyl 3-*N*-*n*-propylaminopropionate (1b):** oil; bp 81 - 82 °C/10 mmHg; IR (film): 2962, 2933, 2876, 2819, 1728, 1459, 1392, 1367, 1255, 1163, 1130, 1048, 990, 949, 847, 753. ^{1}H NMR ($CDCl_3$, 500 MHz): δ = 0.92 (t, J = 7.4Hz, 3H, CH_3), 1.45 (s, 9H, $C(CH_3)_3$), 1.50 (sextet, J = 7.3Hz, 2H, CH_2), 2.42 (t, J = 6.5Hz, 2H, CH_2), 2.57 (t, J = 7.3Hz, 2H, CH_2), 2.83 (t, J = 6.5Hz, 2H, CH_2). ^{13}C NMR ($CDCl_3$, 125 MHz):δ = 172.2, 80.3, 51.6, 45.2, 36.0, 28.1, 23.1, 11.7. HRMS: m/z [M^+] calcd for $C_{10}H_{21}NO_2$: 187.1572; found: 187.1576. Anal. Calcd for $C_{10}H_{21}NO_2$: C, 64.13; H, 11.30; N, 7.48. Found: C, 63.94; H, 11.41; N, 7.38.

***t*-Butyl 3-allylaminopropionate (1c):** oil; bp 83 - 84 °C/10 mmHg; IR (film): 3331, 3078, 2979, 2931, 2821, 1728, 1643, 1458, 1392, 1367, 1249, 1159, 1119, 995, 917, 847, 753. ^{1}H NMR ($CDCl_3$, 500 MHz): δ = 1.40 (s, 1H, NH), 1.45 (s, 9H, $C(CH_3)_3$), 2.43 (t, J = 6.5Hz, 2H, CH_2), 2.84 (t, J = 6.5Hz, 2H, CH_2), 3.26 (dt, J = 6.0, 1.4Hz, 2H, CH_2), 5.08 (dd, J = 10.2, 1.5, 1H, CH_2), 5.18 (dq, J = 17.2, 1.6Hz, 1H, =CH_2), 5.89 (ddt, J = 17.1, 10.3, 6.0Hz, 1H, =CH). ^{13}C NMR ($CDCl_3$, 125 MHz):δ = 172.1, 136.8, 115.8, 80.4, 52.2, 44.6, 35.9, 28.1. HRMS: m/z [MNa^+] calcd for $C_{10}H_{19}NO_2Na$: 185.1416; found:185.1424. Anal. Calcd for $C_{10}H_{19}NO_2$: C, 64.83; H, 10.34; N, 7.47. Found: C, 64.65; H, 10.37; N, 7.52.

3-*t*-Butylaminopropionitrile (1d): oil; bp 79 - 81 °C/12 mmHg; IR(film): 3316, 2966, 2934, 2834, 2248, 1643, 1480, 1451, 1421, 1391, 1231, 1215, 1008, 1062, 1015, 952, 924, 864, 770, 718, 560, 492, 451. ^{1}H NMR ($CDCl_3$, 400 MHz): δ = 1.11 (s, 9H, $C(CH_3)_3$), 2.48 (t, J = 6.8Hz, 2H, CH_2), 2.82 (t, J = 6.8Hz, 2H, CH_2). ^{13}C NMR ($CDCl_3$, 100 MHz):δ = 118.9, 50.5, 38.5, 28.9, 19.9. RMS: m/z [M^+] calcd for $C_7H_{14}N_2$: 126.1157; found: 126.1150. Anal. Calcd for $C_7H_{14}N_2$: C, 66.62; H, 11.18; N, 22.20. Found: C, 65.41; H, 11.65; N, 21.64.

3-*n*-Propyloaminopropionitrile (1e): oil; bp 80 - 82 °C/8 mmHg; IR(film): 3585, 3316, 2961, 2934, 2875, 2832, 2248, 1650, 1463. 1422, 1379, 1364, 1301, 1243, 1212, 1130, 1059, 983, 894, 776, 751, 580, 492, 455. ^{1}H NMR ($CDCl_3$, 500 MHz): δ = 0.93 (t, J = 7.4Hz, 3H, CH_3), 1.25 (s, 1H, NH), 1.51 (sextet, J = 7.3Hz, 2H, CH_2), 2.51 (t, J = 6.6Hz, 2H, CH_2), 2.61 (t, J = 7.2Hz, 2H, CH_2), 2.93 (t, J = 6.7Hz, 2H, CH_2). NMR ($CDCl_3$, 125 MHz):δ = 118.7, 50.9, 45.0, 23.0, 18.6, 11.5. RMS: m/z [M^+] calcd for $C_6H_{12}N_2$: 112.1001; found: 112.0997. Anal. Calcd for $C_6H_{12}N_2$: C, 64.24; H, 10.78; N 24.97. Found: C,

64.05; H, 10.96; N, 24.82.

3-*iso*-Propyloaminopropionitrile (1f): oil; bp 79 - 80 °C/14 mmHg;[15]

3-Allylaminopropionitrile (1g): oil; bp 76 - 77 °C/6 mmHg;[16]

3-Triphenylmethylamino-1-phenyl propan-1-one (1h): a white crystalline solid; mp 123 - 124 °C (EtOH); IR(KBr): 3313, 3054, 3019, 2913, 2866, 1961, 1900, 1814, 1744, 1683, 1596, 1488, 1447, 1369, 1285, 1212, 1182, 1155, 1112, 1031, 1000, 971, 922, 903, 790, 776, 767, 746, 706, 695, 643, 623, 532, 505, 474. ^{1}H NMR ($CDCl_3$, 500 MHz): δ = 2.23 (s, 1H, NH), 2.54 (t, J = 6.1Hz, 2H, CH_2), 3.17 (t, J = 6.1Hz, 2H, CH_2), 7.15 – 7.96 (m, 20H, phenyl). ^{13}C NMR ($CDCl_3$, 125 MHz):δ = 199.8, 146.1, 137.0, 133.0, 128.6 (2C), 128.1, 127.8, 126.2, 71.0, 39.6, 39.1. HRMS: m/z [M^+] calcd for $C_{28}H_{25}NO$: 391.1936; found: 391.1924. Anal. Calcd for $C_{29}H_{25}NO$: C, 85.90; H, 6.44; N, 3.58. Found: C, 85.73; H, 6.52; N, 3.58.

2-*t*-Butylaminoethyl phenyl sulphone (1i): oil; IR (film): 2965, 2867, 1479, 1447, 1390, 1362, 1307, 1232, 1141, 1086, 842, 807, 765, 734, 690, 594, 564, 529. ^{1}H NMR ($CDCl_3$, 500 MHz): δ = 1.06 (s, 9H, $C(CH_3)_3$), 1.45 (s, 1H, NH), 2.96 (t, J = 6.6Hz, 2H, CH_2), 3.28 (t, J = 6.6Hz, 2H, CH_2), 7.54 – 7.95 (m, 5H, phenyl). ^{13}C NMR ($CDCl_3$, 125 MHz):δ = 139.4, 133.7, 129.2, 127.9, 57.3, 50.5, 36.4, 28.8. HRMS: m/z [MH^+] calcd for $C_{12}H_{20}NO_2S$: 242.1209; found: 242.1214. Anal. Calcd for $C_{12}H_{19}NO_2S$: C, 52.72; H, 7.93; N, 5.80; S, 13.29. Found: C, 59.50; H, 7.96; N, 5.70; S, 13.08.

2-Methylaminoethyl phenyl sulphone (1j): oil; IR (film): 3593, 3335, 3065, 2852, 2800, 1651, 1585, 1479, 1402, 1365, 1306, 1147, 1086, 1024, 999, 802, 748, 733, 690, 593, 564, 536, 437. ^{1}H NMR ($CDCl_3$, 500 MHz): δ = 2.37 (s, 3H, CH_3), 2.96 (t, J = 6.5Hz, 2H, CH_2), 3.26 (t, J = 6.5Hz, 2H, CH_2), 7.54 – 7.91 (m, 5H, phenyl). ^{13}C NMR ($CDCl_3$, 125 MHz):δ = 139.3, 133.7, 129.3, 127.8, 55.7, 45.0, 36.0. HRMS: m/z [MH^+] calcd for $C_9H_{14}NO_2S$: 200.0740; found: 200.0733. Anal. Calcd for $C_9H_{13}NO_2S$: C, 54.25; H, 6.58; N, 7.03; S, 16.09. Found: C, 54.18; H, 6.50; N, 7.00; S, 16.08.

2-Benzylaminoethyl phenyl sulphone (1k): oil; IR(film): 3607, 3331, 3062, 3028, 2922, 2842, 1967, 1818, 1603, 1585, 1495, 1447, 1401, 1306, 1144, 1086, 1026, 999, 843, 805, 736, 690, 588, 565, 534, 468, 437. ^{1}H NMR ($CDCl_3$, 500 MHz): δ = 1.90 (s, 1H, NH), 3.00 (t, J = 6.5Hz, 2H, CH_2), 3.30 (t, J = 6.5Hz, 2H, CH_2), 3.75 (s, 2H, CH_2), 7.23 – 7.87 (m, phenyl). ^{13}C NMR ($CDCl_3$, 125 MHz):δ = 139.4, 139.2, 133.7, 129.3, 128.4, 128.1, 127.9, 127.1, 56.1, 53.4, 42.4. HRMS: m/z [MH^+] calcd for $C_{15}H_{18}NO_2S$: 276.1053; found: 276.1031. Anal. Calcd for $C_{15}H_{17}NO_2S$: C, 65.43; H, 6.22; N, 5.09; S, 11.64. Found: C, 65.39; H, 6.28; N, 5.11; S, 11.62.

Ethyl 3-*N*-acetylaminopropionate (1l): oil; bp 117 - 118 °C/2 mmHg;[17]

Ethyl 3-ethoxycarbonyl amino propionate (1m): oil; bp 134 °C/6 mmHg;[18]

Ethyl 3-aminopropionate (1n): oil; bp 78 °C/25 mmHg;[19]

***N*-Methyl-β-chloro-β-phenylsulfonylethyl amine:** According to the general procedure from methylamine and α-chlorovinyl phenyl sulfone. Yield 94%; crystalline solid; mp 54 - 55°C; IR(KBr): 3335, 3069, 2990, 2945, 2903, 2855, 2811, 1999, 1976, 1908, 1824, 1780, 1683, 1524, 1479, 1449, 1321, 1310, 1237, 1206, 1149, 1111, 1084, 1043, 998, 956, 824, 788, 748, 717, 686, 584, 551, 482, 447, 409. ^{1}H NMR ($CDCl_3$, 200 MHz): δ = 2.48 (s, 3H, CH_3), 3.09 (dd, J = 13.8, 8.3Hz, 1H, CH),3.51 (dd, J = 13.8, 4.4Hz, 1H, CH), 4.91 (dd, J = 8.4, 4.4Hz, 1H, CH), 7.57 – 7.99 (m, phenyl). ^{13}C NMR ($CDCl_3$, 50 MHz):δ = 134.7, 129.9, 129.2, 72.5, 51.5, 35.4. HRMS: m/z [MH^+] calcd for $C_9H_{12}NO_2ClS$: 233.0277; found: 233.0267. Anal. Calcd for $C_9H_{12}NO_2ClS$: C, 46.25; H, 5.18; N, 5.99; S, 13.72; Cl, 15.17. Found: C, 46.06; H, 5.16; N, 6.01; S, 13.63; Cl, 15.22.

Synthesis *N*-chloroamines 2; General Procedure

To a cold (ice/water bath) and stirred solution of amine **1** (54 mmol) in EtOAc (30 mL) was added dropwise trichloroisocyanuric acid (4.31g, 18 mmol) in EtOAc (20 mL). During the addition temperature was maintained below 15 °C. After the addition was completed, the reaction mixture was stirred at the same temperature for one hour, and the mixture was filtered through Celite to remove isocyanuric acid. The Celite cake was rinsed with EtOAc (25 mL). The combined filtrate was evaporated in vacuo at room temperature. To the residue was added hexane-EtOAc (3:1, 25 mL) and the mixture was filtered through a layer of silica gel. The filtrate was evaporated in vacuo at room temperature. The *N*-chloroamines **2** – colorless or yellowish oil were used without further purification. It can be stored in an freezer (-25 °C). Caution: The product is sensitive to light.

***t*-Butyl *N*-*t*-butyl-3-*N*-chloroaminopropionate (2a):** pale yellow oil: IR (film): 2979, 2935, 1731, 1478, 1458, 1392, 1366, 1321, 1258, 1205, 1156, 1093, 1047, 997, 956, 850, 753, 607. ^{1}H NMR ($CDCl_3$, 500 MHz): δ = 1.24 (s, 9H, $C(CH_3)_3$), 1.46 (s, 9H, $C(CH_3)_3$), 2.62 (t, J = 6.9 Hz, 2H, CH_2), 3.16 (t, J = 6.9 Hz, 2H, CH_2). ^{13}C NMR ($CDCl_3$, 125 MHz):δ = 171.5, 80.4, 62.1, 52.1, 35.5, 28.1, 26.4. HRMS: m/z [M^+] calcd for $C_{11}H_{22}ClNO_2$: 235.1399; found: 235.1354. Anal. Calcd for $C_{11}H_{22}ClNO_2$: C, 56.04; H, 9.41; Cl, 15,04; N, 5.94. Found: C, 56.01; H, 9.47; Cl, 15.27; N, 6.05.

***t*-Butyl *N*-chloro-3-propyloaminopropionate (2b):** yellow oil; IR (film): 2976, 2935, 2877, 2841, 1731, 1457, 1393, 1368, 1322, 1257, 1157, 1095, 1019, 943, 895, 848, 756. ^{1}H NMR ($CDCl_3$, 500 MHz): δ = 0.93 (t, J = 7.4Hz, 3H, CH_3), 1.45 (s, 9H, $C(CH_3)_3$), 1.68 (sextet, J = 7.3Hz, 2H, CH_2), 2.62 (t, J = 7.1Hz, 2H, CH_2), 2.90 (t, J = 7.1Hz, 2H, CH_2), 3.20 (t, J = 7.1Hz, 2H, CH_2). ^{13}C NMR ($CDCl_3$, 125 MHz):δ = 171.1, 80.6, 66.1, 59.5, 34.4, 28.1, 21.1, 11.2. HRMS: m/z [MNa^+] calcd for $C_{10}H_{20}ClNO_2Na$: 244.1075; found: 244.1086. Anal. Calcd for $C_{10}H_{20}ClNO_2$: C, 54.17; H, 9.09; Cl, 15.99; N, 6.32. Found: C, 54.08; H, 9.14; Cl, 16.08; N, 6.47.

***t*-Butyl *N*-allyl-3-*N*-chloroaminopropionate (2c):** pale yellow oil; IR (film): 3083, 2980, 2933, 1730, 1645, 1447, 1420, 1392, 1368, 1322, 1257, 1157, 1101, 1043, 990, 927, 847, 757, 649, 580. ^{1}H NMR

($CDCl_3$, 500 MHz): δ = 1.45 (s, 9H, $C(CH_3)_3$), 2.62 (t, J = 7.1Hz, 2H, CH_2), 3.19 (t, J = 7.1Hz, 2H, CH_2), 3.61 (d, J = 6.4Hz, 2H, CH_2), 5.26 (d, J = 10.1Hz, 1H, $=CH_2$), 5.60 (d, J = 17.2Hz, 1H, $=CH_2$), 5.93 (ddt, J = 17.0, 10.4, 6.4Hz, 1H, =CH). ^{13}C NMR ($CDCl_3$, 125 MHz):δ = 170.9, 133.3, 119.4, 80.7, 67.0, 58.3, 34.3, 28.1. HRMS: m/z [MNa^+] calcd for $C_{10}H_{18}ClNO_2Na$: 242.0918; found: 242.0925. Anal. Calcd for $C_{10}H_{18}ClNO_2$: C, 54.67; H, 8.26; Cl, 16.14; N, 6.38. Found: C, 54.35; H, 8.37; Cl, 16.44; N, 6.44.

***N*-*t*-Butyl-3-*N*-chloroaminopropionitrile (2d):** pale green oil; IR(film): 2979, 2939, 2914, 2876, 2252, 1738, 1478, 1466, 1443, 1422, 1394, 1366, 1229, 1193, 1092, 1047, 1008, 996, 950, 915, 859, 836, 786, 762, 629, 599, 548, 511. ^{1}H NMR ($CDCl_3$, 500 MHz): δ = 1.25 (s, 9H, $C(CH_3)_3$), 2.73 (t, J = 6.8Hz, 2H, CH_2), 3.17 (t, J = 6.8Hz, 2H, CH_2). ^{13}C NMR ($CDCl_3$, 125 MHz):δ = 118.1, 62.3, 52.1, 26.3, 18.0. RMS: m/z [M^+] calcd for $C_7H_{13}N_2Cl$: 160.07611; found: 160.0761. Anal. Calcd for $C_7H_{13}N_2Cl$: C, 52.34; H, 8.16; N, 17.44; Cl, 22.07. Found: C, 52.34; H, 7.93; N, 17.24; Cl, 22.02.

***N*-Chloro-3-*N*-*n*-propyloaminopropionitrile (2e):** pale yellow oil; IR(film): 3655, 3540, 2967, 2637, 2877, 2252, 1623, 1459, 1446, 1421, 1383, 1354, 1299, 1282, 1261, 1155, 1123, 1096, 1018, 972, 896, 850, 822, 783, 756, 645, 633, 606, 567, 489, 457, 405. ^{1}H NMR ($CDCl_3$, 500 MHz): δ = 0.95 (t, J = 7.4Hz, 3H, CH_3), 1.70 (sextet, J = 7.3Hz, 2H, CH_2), 2.75 (t, J = 6.8Hz, 2H, CH_2), 2.95 (t, J = 7.1Hz, 2H, CH_2), 3.19 (t, J = 6.8Hz, 2H, CH_2). NMR ($CDCl_3$, 125 MHz):δ = 117.7, 66.0, 58.8, 20.9, 16.8, 11.0. RMS: m/z [M^+] calcd for $C_6H_{11}N_2Cl$: 146.0611; found: 146.0607. Anal. Calcd for $C_6H_{11}N_2Cl$: C, 49.15; H, 7.56; N 19.11; Cl, 24.18. Found: C, 49.12; H, 7.74; N, 19.09; Cl, 24.41.

***N*-Chloro-3-*N*-*iso*-propyloaminopropionitrile (2f):** pale yellow oil; IR(film): 3539, 2977, 2938, 2876, 2252, 1666, 1626, 1461, 1442, 1422, 1383, 1365, 1323, 1255, 1217, 1179, 1163, 1132, 1114, 1098, 1017, 955, 805, 741, 622, 597, 576, 549, 525, 469, 446, 419. ^{1}H NMR ($CDCl_3$, 500 MHz): δ = 1.20 (d, J = 6.4Hz, 6H, CH_3), 2.73 (t, J = 6.8Hz, 2H, CH_2), 3.15 (t, J = 6.8Hz, 2H, CH_2), 3.23 (heptet, J = 6.3Hz, 1H, CH), NMR ($CDCl_3$, 125 MHz):δ = 117.9, 61.2, 55.1, 18.5, 17.3. RMS: m/z [M^+] calcd for $C_6H_{11}N_2Cl$: Brak; found: Brak Anal. Calcd for $C_6H_{11}N_2Cl$: C, 49.15; H, 7.56; N 19.11; Cl, 24.18. Found: C, 49.05; H, 7.52; N, 18.98; Cl, 23.97.

***N*-Allyl-3-*N*-chloroaminopropionitrile (2g):** pale yellow oil; IR(film): 3083, 3016, 2983, 2904, 2852, 2251, 1985, 1871, 1734, 1645, 1444, 1421, 1371, 1332, 1270, 1246, 1149, 1100, 1043, 991, 932, 852, 823, 782, 691, 660, 587, 569, 523. ^{1}H NMR ($CDCl_3$, 500 MHz): δ = 2.74 (t, J = 6.8Hz, 2H, CH_2), 13.17 (t, J = 6.8Hz, 2H, CH_2), 3.67 (d, J = 6.5Hz, 2H, CH_2), 5.30 (s, 1H, $=CH_2$), 5.33 (d, J = 4.13Hz, 1H, $=CH_2$), 5.92 (m, 1H, =CH). ^{13}C NMR ($CDCl_3$, 125 MHz):δ = 132.4, 120.3, 117.6, 66.8, 57.4, 16.8. RMS: m/z [M^+] calcd for $C_6H_9N_2Cl$: 144.0454; found: 144.0458.

***N*-Chloro-3-*N*-triphenylmethylamino-1-phenyl propan-1-one (2h):** a white crystalline solid; mp 168 - 169 °C (AcOE); IR(KBr): 3083, 3060, 3003, 2925, 1686, 1595, 1488, 1448, 1399, 1367, 1338, 1215, 1186, 1061, 1034, 1001, 982, 955, 924, 900, 755, 762, 711, 689, 640, 634, 568, 549, 526, 509, 477, 412. ^{1}H NMR

($CDCl_3$, 500 MHz): δ = 3.16 (t, J = 7.2Hz, 2H, CH_2), 3.52 (t, J = 7.2Hz, 2H, CH_2), 7.18 – 8.02 (m, 20H, phenyl). ^{13}C NMR ($CDCl_3$, 125 MHz):δ= 198.6, 136.9, 133.2, 129.6, 128.6, 128.1, 127.5, 126.8, 82.0, 53.4, 37.4 RMS: m/z [M^+] calcd for $C_{28}H_{24}NOClNa$: 448.1439; found: 448.145. Anal. Calcd for $C_{29}H_{24}ClNO$: C, 78.95; H, 5.68; N, 3.29; Cl, 8.32. Found: C, 78.93; H, 5.76; N, 3.20; Cl, 8.22.

***N*-*t*-Butyl-2-*N*-chloroaminoethyl phenyl sulfone (2i):** oil; IR (film): 3066, 2979, 2938, 1979, 1905, 1818, 1586, 1478, 1447, 1394, 1366, 1320, 1294, 1229, 1201, 1172, 1142, 1087, 1069, 988, 904, 808, 762, 737, 688, 627, 579, 559, 543, 526, 483, 461, 437. ^1H NMR ($CDCl_3$, 500 MHz): δ= 1.17 (s, 9H, $C(CH_3)_3$), 3.33 (t, J = 6.9Hz, 2H, CH_2), 3.52 (t, J = 6.9Hz, 2H, CH_2), 7.54 – 7.95 (m, 5H, phenyl). ^{13}C NMR ($CDCl_3$, 125 MHz):δ= 139.9, 133.7, 129.1, 127.9, 62.5, 55.5, 49.8, 26.2. HRMS: m/z [MH^+] calcd for $C_{12}H_{18}ClNO_2S$: 275.0747 found: 275.0753. Anal. Calcd for $C_{12}H_{18}ClNO_2S$: C, 52.26; H, 6.58; Cl, 12.85; N, 5.08; S, 11.63. Found: C, 52.35; H, 6.46; Cl, 12.98; N, 5.09; S, 11.50.

***N*-Chloro-2-*N*-methylaminoethyl phenyl sulfone (2j):** oil; IR (film): 3627, 3555, 3065, 2994, 2958, 2925, 2881, 2800, 1585, 1447, 1412, 1369, 1318, 1309, 1294, 1245, 1146, 1086, 1070, 1024, 998, 944, 805, 748, 729, 689, 608, 564, 535, 438. ^1H NMR ($CDCl_3$, 500 MHz): δ= 2.91 (s, 3H, CH_3), 3.27 (t, J = 7.3Hz, 2H, CH_2), 3.48 (t, J = 7.3Hz, 2H, CH_2), 7.57 – 7.94 (m, 5H, phenyl). ^{13}C NMR ($CDCl_3$, 125 MHz):δ= 139.6, 133.9, 129.3, 127.9, 58.6, 54.6, 53.0. HRMS: m/z [MNa^+] calcd for $C_9H_{12}ClNO_2SNa$: 256.0170 found: 256.0181. Anal. Calcd for $C_9H_{12}ClNO_2S$: C, 46.25; H, 5.18; Cl, 15.17; N, 5.99; S, 13.72. Found: C, 46.26; H, 4.99; Cl, 15.36; N, 5.81; S, 13.57.

***N*-Chloro-2-*N*-benzylaminoethyl phenyl sulfone (2k):** pale yellow oil; IR(film):3423, 3064, 3032, 2931, 2900, 1959, 1815, 1735, 1585, 1497, 1447, 1319, 1309, 1296, 1086, 1071, 1025, 999, 911, 841, 806, 770, 741, 688, 594, 580, 569, 535, 436. ^1H NMR ($CDCl_3$, 500 MHz): δ= 3.37 (t, J = 7.1Hz, 2H, CH_2), 3.55 (t, J = 7.1Hz, 2H, CH_2), 4.07 (s, 2H, CH_2), 7.22 – 7.91 (m, 10H, phenyl). ^{13}C NMR ($CDCl_3$, 125 MHz):δ= 139.4, 135.8, 133.8, 129.3, 129.2, 128.5, 128.2, 128.0, 68.4, 56.0, 54.5. HRMS: m/z [MNa^+] calcd for $C_{15}H_{16}ClNO_2SNa$: 332.0483; found: 332.0483. Anal. Calcd for $C_{15}H_{16}ClNO_2S$: C, 58.15; H, 5.21; Cl, 11.40; N, 4.52; S, 10.35. Found: C, 58.06; H, 5.41; Cl, 11.40; N, 4.65; S, 10.42.

Ethyl *N*-acetyl-3-*N*-chloroaminopropionate (2l): oil; IR(film): 3519, 2984, 2939, 1733, 1681, 1440, 1372, 1338, 1251, 1187, 1098, 1069, 1032, 981, 857, 784, 639, 585, 505. ^1H NMR ($CDCl_3$, 500 MHz): δ = 1.24 (t, J = 7,1Hz, 3H, CH_3), 2.22 (s, 3H, CH_3), 2.66 (t, J = 7.0Hz, 2H, CH_2), 3.96 (t, J = 7.1Hz, 2H, CH_2), 4.13 (q, J = 7.1Hz, 2H, CH_2). ^{13}C NMR ($CDCl_3$, 125 MHz):δ= 170.6, 60.8, 48.4, 32.3, 21.6, 14.1. RMS: m/z [MNa^+] calcd for $C_7H_{12}NO_3NaCl$: 216.0398; found: 216.0400. Anal. Calcd for $C_7H_{12}ClNO_3$: C, 43.42; H, 6.25; N, 7.23; Cl, 18.31. Found: C, 42.77; H, 6.20; N, 7.28; Cl, 18.48.

Ethyl *N*-chloro-3-etoxycarbonylaminopropionate (2m): oil; IR(film): 3629, 3550, 3450, 3388, 2984, 2939, 2911, 2876, 1735, 1709, 1512, 1466, 1444, 1400, 1374, 1322, 1252, 1187, 1141, 1095, 1075, 1027, 970, 876, 857, 788, 754, 615, 569, 474. ^1H NMR ($CDCl_3$, 500 MHz): δ= 1.27 (t, J = 7,1Hz, 3H, CH_3),

1.31 (t, J = 7,1Hz, 3H, CH_3), 2.69 (t, J = 7.3Hz, 2H, CH_2), 3.94 (t, J = 7.2Hz, 2H, CH_2), 4.16 (q, J = 7.1Hz, 2H, CH_2), 4.23 (q, J = 7.1Hz, 2H, CH_2). ^{13}C NMR ($CDCl_3$, 125 MHz):δ= 170.7, 155.6, 63.8, 60.8, 50.2, 32.5, 14.4, 14.1. RMS: m/z [MNa^+] calcd for $C_8H_{14}NO_4NaCl$: 246.0504; found: 246.0492. Anal. Calcd for $C_8H_{14}ClNO_4$: C, 42.96; H, 6.31; N, 6.26; Cl, 15.85. Found: C, 42.82; H, 6.30; N, 6.57; Cl, 15.62.

Ethyl *N,N*-dichloroaminopropionate (2n): yellow oil; IR(film): 3455, 2984, 2936, 2909, 2875, 2672, 2599, 2389, 2041, 1737, 1445, 1376, 1345, 1310, 1253, 1184, 1097, 1064, 1025, 943, 896, 857, 702, 675, 638, 569, 569, 487. ^{1}H NMR ($CDCl_3$, 500MHz): δ= 1.28 (t, J = 7,1Hz, 3H, CH_3), 2.79 (t, J = 7.0Hz, 2H, CH_2), 3.94 (t, J = 7.1Hz, 2H, CH_2), 4.18 (q, J = 7.1Hz, 2H, CH_2). ^{13}C NMR ($CDCl_3$, 125MHz):δ= 170.1, 70.4, 61.1, 33.3, 14.1. RMS: decompose. Anal. Calcd for $C_5H_9Cl_2NO_2$: C, 32.28; H, 4.88; N, 7.53; Cl, 38.11. Found: C, 32.33; H, 4.68; N, 7.40; Cl, 38.27.

Synthesis of aziridines 3; General Procedure

All reaction are carried out in dry glassware under argon. To a solution of *N*-chloroamine **2** (50 mmol) in THF (50 mL) cooled to 0 °C a solution *t*-BuOK (6.16g, 55 mmol) in THF (50 mL) was slowly added dropwise keeping temperature below 10 °C. The mixture was stirred for additional 1h quenched with water (50ml), and extracted with EtOAc (2 x 50 mL). The combined organic extracts were washed with brine (100ml), and dried with anhydrous Na_2SO_4. Filtration and removal of the solvent in vacuo afford the crude product as brown oil. Purification by destilation under diminished pressure give pure aziridine **3** or a mixture of aziridine and amine **1**. The amine was separated by precipitation oxalic acid in acetone or EtOH.

1-*t*-Butyl 2-*t*-Butoxycarbonylaziridine (3a): oil; bp 79 °C/10 mmHg; IR (film): 2973, 2934, 2872, 1743, 1472, 1458, 1401, 1393, 1367, 1305, 1252, 1234, 1215, 1157, 1076, 1033, 1000, 985, 848, 826, 779, 748, 652. ^{1}H NMR ($CDCl_3$, 500 MHz): δ = 1.00 (s, 9H, $C(CH_3)_3$), 1.46 (s, 9H, $C(CH_3)_3$), 1.72 (dd, J = 6.2, 1.4Hz, 1H, ring), 1.90 (dd, J = 2.9, 1.4Hz, 1H, ring), 2.15 (dd, J = 6.2, 2.9Hz, 1H, ring). ^{13}C NMR ($CDCl_3$, 125 MHz):δ= 170.8, 80.8, 53.6, 31.7, 28.1, 27.5, 26.3. HRMS: m/z [M^+] calcd for $C_{11}H_{21}NO_2$: 199.1573; found: 199.1577. Anal. Calcd for $C_{11}H_{21}NO_2$: C, 66.29; H, 10.62; N, 7.03. Found: C, 64.30; H, 10.64; N, 6.61.

2-*t*-Butoxycarbonyl-1-propylaziridine (3b): oil; bp 78 °C/10 mmHg; IR (film): 2977, 2935, 2877, 2824, 1740, 1724, 1459, 1404, 1394, 1368, 1302, 1239, 1210, 1156, 1081, 1007, 896, 847, 745, 702. ^{1}H NMR ($CDCl_3$, 500 MHz): δ= 0.93 (t, J = 7.5Hz, 3H, CH_3), 1.47 (s, 10H, $C(CH_3)_3$, ring), 1.62 (sextet d, J = 7.5, 2.5Hz, 2H, CH_2), 1.89 (dd, J = 6.4, 3.1Hz, 1H, ring), 2.09 (dd, J = 3.1, 1.4Hz, ring), 2.19 – 2.24 (m, 1H), 2.28 – 2.33 (m, 1H). ^{13}C NMR ($CDCl_3$, 125 MHz):δ = 170.0, 81.0, 62.8, 38.1, 34.1, 28.0, 22.7, 11.7. HRMS: m/z [MNa^+] calcd for $C_{10}H_{19}NO_2Na$: 208.1308; found: 208.1306. Anal. Calcd for $C_{10}H_{19}NO_2$: C, 64.83; H, 10.34; N, 7.56. Found: C, 64.52; H, 10.15; N, 7.54.

1-Allyl-2-*t*-Butoxycarbonylaziridine (3c): oil; bp 81 °C/10 mmHg; IR (film): 3080, 3006, 2981, 2933, 2823, 1739, 1644, 1479, 1458, 1402, 1368, 1303, 1238, 1155, 1082, 1015, 921, 847, 746, 620, 559. ^{1}H NMR ($CDCl_3$, 500 MHz): δ = 1.45 (s, 9H, $C(CH_3)_3$), 1.53 (dd, *J* = 6.4, 1.0Hz, 1H, ring), 1.96 (dd, *J* = 6.4, 3.2Hz, 1H, ring), 2.12 (dd, *J* = 3.2, 1.1Hz, 1H, ring), 2.95 (m, 2H, CH_2), 5.14 (dd, *J* = 10.4, 1.5Hz, 1H, =CH_2), 5.22 (dd, *J* = 17.2, 1.7Hz, 1H, =CH_2), 5.93 (ddt, *J* = 17.2, 10.5, 5.6Hz, 1H, =CH). ^{13}C NMR ($CDCl_3$, 125 MHz):δ = 169.8, 134.3, 116.7, 81.2 62.7, 38.0 33.8, 28.0. HRMS: m/z [MNa^+] calcd for $C_{10}H_{17}NO_2Na$: 206.1152; found: 206.1162. Anal. Calcd for $C_{10}H_{17}NO_2$: C, 65.54; H, 9.35; N, 7.64. Found: C, 65.69; H, 9.31; N, 7.64.

1-*t*-Butyl-2-cyanoaziridine (3d): oil; bp 87 - 88 °C/16 mmHg;[20]

2-Cyano-1-*n*-propylaziridine (3e): oil; bp 75 - 76 °C/16 mmHg;[20]

2-Cyano-1-*iso*-propylaziridine (3f): oil; bp 38 - 39 °C/1.5 mmHg;[20]

1-Allyl-2-cyanoaziridine (3g): oil; bp 41 - 42 °C/2 mmHg; IR(film): 3619, 3376, 3084, 3018, 2991, 2921, 2833, 2248, 1989, 1866, 1678, 1645, 1464, 1443, 1423, 1365, 1335, 1295, 1258, 1223, 1157, 1104, 1027, 995, 928, 835, 811, 759, 637, 563, 538, 437. ^{1}H NMR , two conformers ($CDCl_3$, 500 MHz): δ = 1.74 (d, *J* = 6.4Hz, 0,7H, ring), 1.77 (d, *J* = 3.3Hz, 0,3H, ring), 1.94 (dd, *J* = 6.4, 3.1Hz, 0,7H, ring), 2.27 (d, *J* = 5.4Hz, 0,3H, ring), 2.30 (d, *J* = 3.1Hz, 0,7H, ring), 2.58 (dd, *J* = 5.3, 3.4Hz, 0,3H, ring), 2.91 (dd, *J* = 14.1, 5.7Hz, 0,7H, CH_2), 2.99 (dd, *J* = 14.1, 5.5Hz, 0,7H, CH_2), 3.15 (dd, *J* = 14.3, 5.7Hz, 0,3H, CH_2), 3.27 (dd, *J* = 14.3, 5.5Hz, 0,3H, CH_2), 5.20 – 5.37 (m, 2H, =CH_2), 5.85 – 5.99 (m, 1H, =CH). ^{13}C NMR ($CDCl_3$, 125 MHz):δ = 133.3, 133.1, 118.5, 117.8, 117.7(2C), 62.4, 58.8, 34.3, 33.9, 23.0, 22.0. RMS: m/z [MH^+] calcd for $C_6H_9N_2$: 109.0760; found: 109.0763. Anal. Calcd for $C_6H_8N_2$: C, 66.64; H, 7.46; N 25.90;Found: C, 66.53; H, 7.37; N, 25.90.

2-Triphenylmethyl-2-benzoyl-1-trytylo-aziridine(3h): crystalline solid; mp 126 - 127°C (EtOH); IR(KBr): 3085, 3058, 3025, 2998, 1680, 1595, 1448, 1447, 1388, 1222, 1177, 1160, 1080, 1039, 1024, 992, 931, 903, 860, 777, 748, 707, 660, 631, 565, 532, 472, 409. ^{1}H NMR ($CDCl_3$, 400 MHz): δ = 1.60 (dd, *J* = 6.2, 1.8Hz, 1H, ring), 2.43 (dd, *J* = 2.9, 1.9Hz, 1H, ring), 2.74 (dd, *J* = 6.2, 2.9Hz), 7.20 – 7.85 (m, 20H, phenyl). ^{13}C NMR ($CDCl_3$, 100 MHz):δ = 197.0, 143.7, 137.2, 133.1, 129.4, 128.5, 128.2, 127.7, 126.9. RMS: m/z [M^+] calcd for $C_{28}H_{23}NONa$: 412.1672; found: 412.1684. Anal. Calcd for $C_{29}H_{23}NO$: C, 86.34; H, 5.95; N, 3.60. Found: C, 86.45; H, 5.72; N, 3.64.

1-*t*-Butyl-2-phenylsulfonylaziridine (3i): a white oil;[21]

1-Methyl-2-phenylsulfonylaziridine (3j): a white oil;[21]

1-Benzyl-2-phenylsulfonylaziridine (3k): crystalline solid; mp 92 - 93°C (EtOH); IR(KBr): 3087, 3063, 303016, 2924, 2888, 2847, 1949, 1901, 1814, 1699, 1601, 1583, 1495, 1478, 1446, 1371, 1339, 1304, 1250, 1221, 1150, 1087, 1069, 1029, 997, 961, 817, 772, 744, 730, 694, 686, 611, 571, 557, 477, 420. ^{1}H

NMR ($CDCl_3$, 500 MHz): δ = 1.95 (d, J = 5.9Hz, 1H, ring), 2.68 (d, J = 2.7Hz, 1H, ring), 3.03 (dd, J = 5.9, 2.7Hz, 1H, ring), 3.10 (d, J = 12.9Hz, 1H, CH_2), 3.69 (d, J = 12.9Hz, 1H, CH_2), 7.03 – 7.76 (m, 10H, phenyl). ^{13}C NMR ($CDCl_3$, 125 MHz):δ = 137.9, 136.4, 133.5, 128.8, 128.4(2C), 128.3, 127.6, 63.0, 54.2, 32.7. HRMS: m/z [MNa^+] calcd for $C_{15}H_{15}NO_2SNa$: 296.0716; found: 296.0725. Anal. Calcd for $C_{15}H_{15}NO_2S$: C, 65.91; H, 5.53; N, 5.12; S, 11.73. Found: C, 65.72; H, 5.53; N, 4.99; S, 11.60.

ACKNOWLEDGMENT

This work was supported by Ministerium of Science an Higher Education (Grant Nr N204/6032/4230).

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