Coupling of 1-alkyl-2-(bromomethyl)aziridines with heteroatom-centered nucleophiles towards 2-[(heteroatom)methyl]aziridines

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Dedicated to Prof. Oleg Kulinkovich on the occasion of his 60th birthday

Abstract
The reactivity of 1-alkyl-2-(bromomethyl)aziridines with respect to different types of oxygen-, nitrogen- and sulphur-centered nucleophiles has been evaluated, pointing to the conclusion that these substrates can be applied successfully as synthetic equivalents for the aziridinylmethyl cation synthon towards the corresponding 2-[(heteroatom)methyl]aziridines in good yields.

Keywords: 2-(Bromomethyl)aziridines, aziridinylmethyl cation synthon, 2-[(heteroatom)methyl]aziridines

Introduction
Aziridines have proven to be excellent building blocks for the synthesis of a large variety of ring opened and ring expanded amines due to the inherent reactivity of the constrained ring.1 2-(Halomethyl)aziridines comprise a remarkable class of aziridine derivatives with diverse synthetic applications. In former communications, we have demonstrated that activated 1-arenesulfonyl-2-(bromomethyl)aziridines 1 can be applied successfully as synthetic equivalents for the 2-aminopropane dication synthon 2 (Figure 1) towards α-branched N-tosylamides upon treatment with either carbon-centered2 or heteroatom-centered3 nucleophiles. Their non-activated counterparts, 1-alkyl-2-(bromomethyl)aziridines 3,4 comprise a mainly unexplored class of functionalized aziridine derivatives. Previously, we reported the successful coupling of 1-alkylaziridines 3 with carbon-centered nucleophiles (organocuprates) as a useful method for the synthesis of 1,2-dialkylaziridines, pointing to the observation that 1-alkyl-2-(bromomethyl)aziridines 3 are suitable equivalents for the aziridinylmethyl cation 4 (Figure 1).5 Contrary to the above-mentioned N-activated 1-arenesulfonylaziridines, the focus lies on the
absence of ring opening in order to develop a convenient method for aziridine synthesis. In the present report, the aziridinylmethyl cation equivalency of 1-alkyl-2-(bromomethyl)aziridines 3 will be further elaborated extensively utilizing different heteroatom-centered nucleophiles instead of carbon nucleophiles, affording a suitable approach towards 1-alkyl-2-[(heteroatom)methyl]aziridines as useful synthons in organic chemistry.

![Figure 1](image)

**Results and Discussion**

1-Alkyl-2-(bromomethyl)aziridines 3 can easily be prepared in a three-step procedure starting from the appropriate aldehydes. Subsequently, these aziridines 3 were treated with a variety of oxygen, nitrogen and sulphur nucleophiles to afford the corresponding 2-[(heteroatom)methyl]aziridines. As demonstrated before, the substitution of the bromo atom in 1-alkyl-2-(bromomethyl)aziridines 3 by a nucleophile takes place via a direct attack at the halogenated carbon atom (instead of ring opening and subsequent ring closure which occurs when N-activated 2-(bromomethyl)aziridines 1 are used), which is of significance whenever asymmetric synthesis towards chiral targets compounds is contemplated, e.g. starting from chiral substrates 3.

For the synthesis of 2-(oxymethyl)aziridines, three different types of oxygen-centered nucleophiles were evaluated successfully, i.e. alkoxides, aryloxides and carboxylates. 1-Alkyl-2-(bromomethyl)aziridines 3 can be easily transformed into the corresponding 2-(alkoxymethyl)aziridines 5 upon treatment with 1.2 – 3 equiv of sodium alkoxides in alcohol at room temperature or reflux for 1 to 2 hours (Scheme 1, Table 1). This has resulted in the synthesis of 2-(methoxymethyl)aziridines 5a,c,e,f, 2-(ethoxymethyl)aziridine 5b, 2-(isopropanoxymethyl)aziridine 5d and 2-(allyloxymethyl)aziridine 5g. This methodology offers an easy and efficient alternative for the procedure developed by Deyrup, in which alcoholic sodium hydroxide (1N) was used for the treatment of 2-(tosyloxymethyl)aziridines upon a prolonged reaction time (2 days). Several other 2-(allyloxymethyl)aziridines have been prepared and used previously for the diastereoselective synthesis of cis-3,5-disubstituted morpholine derivatives upon treatment with bromine in dichloromethane. 2-(tert-Butyloxymethyl)-1-neopentylaziridine 5h was prepared in a different way, involving the treatment of 2-(bromomethyl)-1-neopentylaziridine with 2 equiv of KOtBu in refluxing THF for 1 hour (Table 1, entry 8).
The incorporation of an aryloxy moiety, which is often required for biological activity,\(^\text{10}\) can be very efficiently established by means of a nucleophilic substitution of the bromo atom of the aziridines 3 using a phenolate anion as a nucleophile. As reported before, treatment of aziridines 3 with 2.2 equivalents of phenol or, alternatively, a substituted bromo- or chlorophenol, and 5 equivalents of K\(_2\)CO\(_3\) in a mixture of DMF and acetone (1/1) afforded the corresponding 2-(aryloxymethyl)aziridines 6 in excellent yields and high purity after reflux for 10 to 20 hours (Scheme 1).\(^\text{11}\) The latter aziridines have been used successfully for the synthesis of biologically relevant 2-amino-1-aryloxy-3-methoxypropanes.\(^\text{11}\) Known methods for the synthesis of 2-(aryloxymethyl)aziridines are usually more cumbersome and start from the corresponding 2-(aryloxymethyl)oxiranes\(^\text{12}\) or from acyclic β-amino alcohols,\(^\text{13}\) or involve the addition of ethoxycarbonylnitrene and the ethoxycarbonylnitrenium ion to allylic ethers.\(^\text{14}\)

Alternatively, the potassium salts of two different carboxylic acids were used as oxygen nucleophiles to accomplish the nucleophilic displacement of the bromo atom in aziridines 3. Thus, 1-arylmethyl-2-(bromomethyl)aziridines 3 were converted into the corresponding 2-(alkanoyloxymethyl)aziridines 7 upon treatment with 1 equiv of potassium 2-methylpropanoate or potassium 2-methylbutyrate in DMSO in excellent yields after heating at 80°C for 15 hours (Scheme 1, Table 2). In the case of 2-methylbutyrate 7d, the two diastereomers (ratio 53/47) appeared to be inseparable by chromatography (GC and flash).\(^\text{15}\) For example, 2-(alkanoyloxymethyl)aziridines 7 can be used for the synthesis of functionalized β-fluoro amines,\(^\text{15}\) which are of interest in medicinal chemistry.\(^\text{16}\) 2-(Alkanoyloxymethyl)aziridines, mainly 2-(acetoxyethyl)aziridines, have been prepared in other (longer) ways, usually by (enzymatic) acetylation of 2-(hydroxymethyl)aziridines\(^\text{17}\) or by ring closure of sulfonylated 1-acetoxy-2-amino-3-hydroxypropanes.\(^\text{18}\)

Scheme 1
Table 1. Synthesis of 2-(alkoxymethyl)aziridines 5 from 2-(bromomethyl)aziridines 3 (Scheme 1)

<table>
<thead>
<tr>
<th>Entry</th>
<th>R</th>
<th>R'</th>
<th>Conditions</th>
<th>Compound 5 (Yield)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>C₆H₅</td>
<td>Me</td>
<td>1.2 equiv NaOMe/MeOH (2N), r.t., 2 h</td>
<td>5a (93%)</td>
</tr>
<tr>
<td>2</td>
<td>C₆H₅</td>
<td>Et</td>
<td>1.2 equiv NaOEt/EtOH (2N), r.t., 2 h</td>
<td>5b (81%)</td>
</tr>
<tr>
<td>3</td>
<td>n-Pr</td>
<td>Me</td>
<td>1.2 equiv NaOMe/MeOH (2N), r.t., 2 h</td>
<td>5c (92%)</td>
</tr>
<tr>
<td>4</td>
<td>C₆H₅</td>
<td>iPr</td>
<td>1.5 equiv NaOiPr/iPrOH (1N), ∆, 1 h</td>
<td>5d (73%)</td>
</tr>
<tr>
<td>5</td>
<td>C(Me)₂CH₂C₆H₅</td>
<td>Me</td>
<td>1.5 equiv NaOMe/MeOH (2N), ∆, 1 h</td>
<td>5e (96%)</td>
</tr>
<tr>
<td>6</td>
<td>tBu</td>
<td>Me</td>
<td>3 equiv NaOMe/MeOH (2N), ∆, 1.5 h</td>
<td>5f (72%)</td>
</tr>
<tr>
<td>7</td>
<td>4-ClC₆H₄</td>
<td>Allyl</td>
<td>2.1 equiv NaOCH₂CH=CH₂/allyl alcohol, ∆, 2 h</td>
<td>5g (95%)</td>
</tr>
<tr>
<td>8</td>
<td>tBu</td>
<td>tBu</td>
<td>2 equiv KOtBu, THF, ∆, 1 h</td>
<td>5h (75%)</td>
</tr>
</tbody>
</table>

Table 2. Synthesis of 2-(alkanoyloxymethyl)aziridines 7 from 2-(bromomethyl)aziridines 3 (Scheme 1)

<table>
<thead>
<tr>
<th>Entry</th>
<th>R</th>
<th>R'</th>
<th>Compound 7 (Yield)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>3-MeC₆H₄</td>
<td>H</td>
<td>7a (85%)</td>
</tr>
<tr>
<td>2</td>
<td>2-ClC₆H₄</td>
<td>H</td>
<td>7b (82%)</td>
</tr>
<tr>
<td>3</td>
<td>4-MeC₆H₄</td>
<td>H</td>
<td>7c (86%)</td>
</tr>
<tr>
<td>4</td>
<td>C₆H₅</td>
<td>Me</td>
<td>7d (77%)</td>
</tr>
</tbody>
</table>

Furthermore, also nitrogen-centered nucleophiles have been evaluated to broaden the aziridinylmethyl cation equivalency of 1-alkyl-2-(bromomethyl)aziridines 3. 1H-[1,2,4]Triazole and 1H-imidazole were used in a large excess (5 equiv) in refluxing acetonitrile for 2.5 days in the presence of 4 equiv of potassium carbonate to afford the corresponding novel 2-[(1,2,4-triazol-1-yl)methyl]aziridines 8 and 2-[(imidazol-1-yl)methyl]aziridines 9 (Scheme 2, Table 3). An analogous substitution of 1-[(dialkoxyphosphoryl)methyl]-2-(bromomethyl)aziridines by cytosine, thymine, acetylguanine and adenine has been reported, affording 2-substituted aziridines as precursors for the corresponding nucleoside phosphonates as potential biologically active compounds.¹⁹

Also lithium amides were employed, and treatment of 2-(bromomethyl)aziridines 3 with 1 equiv of a lithium amide in THF or Et₂O for 18 to 20 hours at room temperature under nitrogen atmosphere furnished the desired 2-(aminomethyl)aziridines 10 (Scheme 2, Table 4). Only very few examples of 2-(N-allylaminomethyl)aziridines can be found in the literature.²⁰,²¹ 2-(Aminomethyl)aziridines are valuable compounds in medicinal chemistry due to the known antitumor activity of platinum complexes of such type of compounds,²² and they can be used as substrates for the synthesis of biologically relevant diaminopropane derivatives by ring opening reactions.²³
Table 3. Synthesis of 2-[(1,2,4-triazol-1-yl)methyl]aziridines 8 and 2-[(imidazol-1-yl)methyl]aziridines 9 from 2-(bromomethyl)aziridines 3 (Scheme 2)

<table>
<thead>
<tr>
<th>Entry</th>
<th>R</th>
<th>Compound 8 or 9 (Yield)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>C₆H₅</td>
<td>8a (40%)</td>
</tr>
<tr>
<td>2</td>
<td>4-ClC₆H₄</td>
<td>8b (40%)</td>
</tr>
<tr>
<td>3</td>
<td>C₆H₅</td>
<td>9a (60%)</td>
</tr>
<tr>
<td>4</td>
<td>4-ClC₆H₄</td>
<td>9b (75%)</td>
</tr>
</tbody>
</table>

Table 4. Synthesis of 2-(aminomethyl)aziridines 10 from 2-(bromomethyl)aziridines 3 (Scheme 2)

<table>
<thead>
<tr>
<th>Entry</th>
<th>R</th>
<th>R'</th>
<th>R''</th>
<th>Compound 10 (Yield)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>iPr</td>
<td>iPr</td>
<td></td>
<td>10a (40%)</td>
</tr>
<tr>
<td>2</td>
<td>tBu</td>
<td>tBu</td>
<td></td>
<td>10b (22%)</td>
</tr>
<tr>
<td>3</td>
<td>iPr</td>
<td>Me</td>
<td>Me</td>
<td>10c (92%)</td>
</tr>
<tr>
<td>4</td>
<td>tBu</td>
<td>tBu</td>
<td>Me</td>
<td>10d (55%)</td>
</tr>
</tbody>
</table>

Finally, two different sulphur-centered nucleophiles were tested for the substitution of the bromo atom in 2-(bromomethyl)aziridines 3. Sodium isopropylthiolate and sodium allylthiolate (2 equiv) were used successfully in methanol at room temperature to afford 2-[(alkylsulfanyl)methyl]aziridines 11 in an efficient approach (Scheme 3, Table 5). Chiral 2-[(alkylsulfanyl)methyl]aziridines, prepared from acyclic 3-alkylsulfanyl-2-aminopropan-1-ols, have been reported to be excellent catalysts for the enantioselective addition of diethylzinc to aldehydes\(^\text{24}\) and for the palladium-catalyzed asymmetric allylic alkylation of 1,3-diphenyl-2-
propenyl acetate with the dimethylmalonate anion.\textsuperscript{25} Furthermore, a chiral 2-[(thiophenyl)methyl]-3-\textit{tert}-butylaziridine has been prepared by cyclization of a 2-amino-3-(thiophenyl)propan-1-ol.\textsuperscript{26}

$$\text{R} \quad \text{Br} \quad 2 \text{ equiv NaSR'} \quad \text{MeOH, r.t., 8 h} \quad \text{N} \quad \text{SR'}$$

\textbf{Scheme 3}

\textbf{Table 5.} Synthesis of 2-[(alkylsulfanyl)methyl]aziridines 11 from 2-(bromomethyl)aziridines 3 (Scheme 3)

<table>
<thead>
<tr>
<th>Entry</th>
<th>R</th>
<th>R’</th>
<th>Compound 11 (Yield)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>4-ClC\textsubscript{6}H\textsubscript{4}</td>
<td>iPr</td>
<td>11a (84%)</td>
</tr>
<tr>
<td>2</td>
<td>4-ClC\textsubscript{6}H\textsubscript{4}</td>
<td>allyl</td>
<td>11b (60%)</td>
</tr>
</tbody>
</table>

Treatment of 2-(bromomethyl)aziridines 3 with 2 equivalents of the ambident nucleophile potassium thiocyanate has been reported previously to afford the corresponding 2-(thiocyanomethyl)aziridines in excellent yields after heating for 20 hours at 70°C in DMF.\textsuperscript{27} The latter 2-(thiocyanomethyl)aziridines have been used for the synthesis of 2-iminothiazolidines via an intramolecular cyclisation reaction due to the presence of an electrophilic centre in \(\delta\)-position with regard to the nucleophilic nitrogen atom.

In conclusion, 1-alkyl-2-(bromomethyl)aziridines are excellent synthetic equivalents for the aziridinylmethyl cation, providing an easy access to 1-alkyl-2-[(heteroatom)methyl]aziridines upon treatment with oxygen-, nitrogen- or sulphur-centered nucleophiles. As 1-alkyl-2-(bromomethyl)aziridines are considerably less reactive than the corresponding 1-(arenesulfonyl)aziridines, they are ideally suited for the synthesis of 2-substituted aziridine derivatives. In contrast with 1-arenesulfonyl-2-[(heteroatom)methyl]aziridines, the aziridine ring of 1-alkyl-2-[(heteroatom)methyl]aziridines is not susceptible to ring opening upon treatment with an excess of reagent.

\textbf{Experimental Section}

\textbf{Synthesis of 2-(alkoxymethyl)aziridines 5. General procedure}
2-(Bromomethyl)aziridine 3 (15 mmol) was dissolved in a solution of sodium alkoxide in the corresponding alcohol (1 - 2N, 1.2 - 3 equiv) and the mixture was stirred for 2 hours at room temperature or heated under reflux for 1 - 2 hours. Extraction with dichloromethane, drying
(MgSO₄), filtration of the drying agent and removal of the solvent in vacuo afforded the corresponding 2-(alkoxymethyl)aziridine 5, which can be purified by distillation.

1-Benzyl-2-(methoxymethyl)aziridine (5a). Yield 93%. Colorless oil. ¹H NMR (60 MHz, CDCl₃): δ 1.1-1.8 (3H, m, NCH₂CH); 3.1-3.6 (2H, m, OCH₂); 3.28 (3H, s, OCH₃); 3.29 and 3.51 (2H, 2×d, J=14 Hz, NCH₂Ar); 7.33 (5H, s, C₆H₅). ¹³C NMR (68 MHz, CDCl₃): δ 31.27 (NCH₂CH); 38.36 (NCH); 58.47 (OCH₂); 64.24 (NCH₂Ar); 74.48 (OCH₃); 126.96 (NCH₂HC₂para); 128.01 and 128.24 (NCH₂HC₂orthoHC₂meta); 139.03 (Carom,quat). IR (NaCl, cm⁻¹): ν = 1498, 1456, 1347, 1164, 1109. MS (70 eV) m/z (%): 177 (M⁺, 5); 147 (21); 146 (21); 91 (100); 86 (75); 65 (18); 56 (69), 45 (69). Purity (GC) > 97%. Anal. Calc. for C₁₁H₁₅NO: C 74.54, H 8.53, N 7.90. Found: C 74.71, H 8.68, N 7.77.

1-Benzyl-2-(ethoxymethyl)aziridine (5b). Yield 81%. Colorless oil. Bp. 45-48°C/0.04 mmHg. ¹H NMR (60 MHz, CCl₄): δ 1.1-1.9 (3H, m, NCH₂CH); 1.12 (3H, t, J=7.0 Hz, CH₃); 3.0-3.6 (6H, m, NCH₂Ar and CH₂OCH₂); 7.28 (5H, s, C₆H₅). ¹³C NMR (68 MHz, CDCl₃): δ 15.17 (CH₃); 31.71 (NCH₂CH); 38.61 (NCH); 64.37 (NCH₂Ar); 66.30 (OCH₂Me); 72.73 (OCH₂CHN); 127.02 (NCH₂HC₂para); 128.05 and 128.31 (NCH₂HC₂orthoHC₂meta); 139.04 (Carom,quat). IR (NaCl, cm⁻¹): ν = 1496, 1456, 1338, 1163, 1110. MS (70 eV) m/z (%): 191 (M⁺, 1); 147 (10); 146 (16); 91 (97); 72 (25); 65 (23); 56 (100). Anal. Calc. for C₁₂H₁₇NO: C 75.35, H 8.96, N 7.32. Found: C 75.47, H 9.13, N 7.54.

2-(Ethoxymethyl)-1-propylaziridine (5c). Yield 92%. Colorless oil. ¹H NMR (60 MHz, CDCl₃): δ 0.93 (3H, −t, CH₃); 1.1-2.5 (9H, m, (CH₃)₂NCH₂CH); 3.3-3.6 (2H, m, CH₂O); 3.45 (3H, s, OCH₃). ¹³C NMR (68 MHz, CDCl₃): δ 14.08 (CH₃); 20.54 (CH₂CH₃); 31.34 and 31.93 (NCH₂CH and NCH₂CH₂); 37.98 (NCH); 58.84 (OCH₃); 61.01 (NCH₂Pr); 74.92 (CH₂OCH₂). IR (NaCl, cm⁻¹): ν = 1460, 1347, 1168, 1114. MS (70 eV) m/z (%): 143 (M⁺, 3); 100 (25); 98 (53); 86 (13); 70 (100); 58 (12); 57 (21); 58 (12); 57 (21); 56 (35); 55 (12); 45 (41). Purity (GC) > 97%. Anal. Calc. for C₈H₁₇NO: C 67.09, H 11.96, N 9.78. Found: C 67.22, H 12.11, N 9.88.

1-Benzyl-2-(isopropoxymethyl)aziridine (5d). Yield 73%. Colorless oil. Bp. 88-96°C/0.4 mmHg. ¹H NMR (270 MHz, CDCl₃): δ 1.12 (6H, d, J=6.3 Hz, (CH₃)₂CH); 1.45 (1H, d, J=6.6 Hz, N(HCHtrans)CH); 1.70 (1H, d, J=3.6 Hz, N(HCHtrans)CH); 1.72-1.80 (1H, m, NCH₂CH); 3.37-3.43 (2H, m, CH₂O); 3.45 (2H, s, NCH₂Ar); 3.53-3.62 (1H, m, CHO); 7.22-7.38 (5H, m, C₆H₅). ¹³C NMR (68 MHz, CDCl₃): δ 21.80 and 22.28 ((CH₃)₂CH); 31.86 (NCH₂CH); 39.01 (NCH); 64.33 (NCH₂Ar); 70.35 (OCH₂); 71.46 (CHO); 126.97 (NCH₂HC₂para); 127.99 and 128.28 (NCH₂HC₂orthoHC₂meta); 139.08 (Carom,quat). IR (NaCl, cm⁻¹): ν = 2966, 1497, 1454, 1380, 1370, 1327, 1160, 1130, 1075, 1028. MS (70 eV) m/z (%): no M⁺; 162 (M⁺-43); 146 (22); 132 (11); 91 (100); 72 (25); 65 (13); 56 (33). Anal. Calc. for C₁₃H₁₉NO: C 76.06, H 9.33, N 6.82. Found: C 76.26, H 9.50, N 6.68.

1-(2,2-Dimethyl-3-phenylpropyl)-2-(methoxymethyl)aziridine (5e). Yield 96%. Colorless oil. ¹H NMR (60 MHz, CDCl₃): δ 0.90 (6H, s, 2×CH₃); 1.1-1.7 (3H, m, NCH₂CH); 2.04 and 2.66 (2×2H, 2×s, NCH₂C(Me)₂CH₂Ar); 3.2-3.4 (2H, m, OCH₂); 3.36 (3H, s, OCH₃); 7.26 (5H, s, C₆H₅). ¹³C NMR (68 MHz, CDCl₃): δ 25.66 and 25.75 (2×CH₃); 32.11 (CH₂CHN); 36.41
(C(Me)₂); 38.35 (CHN); 46.61 (CH₂Ar); 58.62 (OCH₂); 71.60 (OCH₂); 75.04 (NCH₂C); 125.73 (HC–para); 127.56 and 130.67 (HC–ortho and HC–meta); 139.10 (Cₐrom,quat). IR (NaCl, cm⁻¹): νₐz = 2820; νₐ = 3025. MS (70 eV) m/z (%): 233 (M⁺, 14); 188 (8); 146 (6); 131 (9); 100 (56); 91 (28); 71 (12); 70 (40); 56 (8); 55 (8); 45 (16); 42 (100). Purity (GC) > 97%. Anal. Calcd for C₁₉H₂₃NO: C 77.21, H 9.93, N 6.00. Found: C 77.34, H 10.09, N 5.88.

1-Neopentyl-2-(methoxymethyl)aziridine (5f). Yield 72%. Colorless oil. ¹H NMR (CDCl₃, 60 MHz): δ 0.97 (9H, s, (CH₃)₃C); 1.2-1.7 (3H, m, CH₂CHN); 1.98 and 2.14 (2H, 2×d, J=11.0 Hz, N(HCH)₄Bu); 3.38 (3H, s, OCH₃); 3.2-3.4 (2H, m, OCH₂). ¹³C NMR (CDCl₃, 68 MHz): δ 25.59 ((CH₃)₃C); 29.17 (CH₂CHN); 30.02 ((CH₃)₃C); 31.81 ((CH₃)₃C); 32.61 ((CH₃)₃C); 35.88 (CHN); 56.08 (CH₃O); 71.10 (CH₂O); 72.41 (NCH₂Bu). IR (NaCl, cm⁻¹): νₐz = 2820; νₐ = 3040. MS (70 eV) m/z (%): 157 (M⁺, 1); 112 (7); 100 (21); 71 (7); 70 (22); 57 (6); 56 (7); 55 (6); 45 (21); 43 (15); 42 (100); 41 (21). Purity (GC) > 97%. Anal. Calcd for C₉H₁₉NO: C 68.74, H 12.18, N 8.91. Found: C 68.91, H 12.37, N 8.78.

1-[(4-Chlorophenyl)methyl]-2-[(propenyloxy)methyl]aziridine (5g). Yield 95%. Light-yellow oil. Filtration through a pad of silica (Hexane/EtOAc 5/4). ¹H NMR (CDCl₃, 270 MHz, CDCl₃): δ 0.145 (1H, d, J=6.2 Hz, N(HCH₄CH)₄); 1.73 (1H, d, J=3.6 Hz, N(HCH₂trans)CH); 1.77-1.85 (1H, m, NCH); 3.35 and 3.50 (2H, 2×d, J=10.6, 6.6, 4.3 Hz, NCH(HCH)O); 3.43-3.44 (2H, m, NCH₂Ar); 3.96 (2H, d, J=4.9 Hz, OCH₂CH=CH₂); 5.15-5.28 (2H, m, CH=CH₂); 5.81-5.96 (1H, m, CH=CH₂); 6.98 (1H, s, CH₄); 7.29 (4H, m, CH=CH₂); 7.30 (4H, s, CH₄). ¹³C NMR (CDCl₃, 68 MHz, CDCl₃): δ 31.23 (NCH₂CH₃); 38.56 (NCH); 63.27 (NCH₂Ar); 71.63 (OCH₂CH=CH₂); 72.18 (NCH₂CH₂O); 116.62 (CH=CH₂); 128.25 and 129.20 (NCH₂HCHCH₃HCH₂); 132.45 (CCl); 134.64 (CH=CH₂); 137.63 (Cₐrom,quat). IR (NaCl, cm⁻¹): νₐmax = 1646, 1598, 1492, 1466, 1088, 807. MS (70 eV) m/z (%): 237/9 (M⁺, 2); 180/2 (43); 167 (24); 147 (35); 126 (14); 125/7 (100); 91 (56); 89 (22); 71 (10). Anal. Calcd for C₁₀Cl₉NO: C 65.68, H 6.78, N 5.89. Found: C 65.89, H 6.92, N 5.69.

2-(tert-Butoxymethyl)-1-neopentylaziridine (5h). Yield 75%. Colorless oil. ¹H NMR (CDCl₃, 60 MHz): δ 0.97 (9H, s, (CH₃)₃C); 1.18 (9H, s, (CH₃)₃C); 1.2-1.7 (3H, m, CH₂CHN); 2.02 and 2.31 (2H, 2×d, J=11.5 Hz, N(HCH)₄Bu); 3.0-3.7 (2H, m, OCH₂). ¹³C NMR (CDCl₃, 68 MHz): δ 27.56 and 28.24 (2×(CH₃)₃C); 31.81 (CH₂CHN); 32.61 ((CH₃)₃CCH₂); 40.10 (CHN); 64.70 (CH₂O); 72.50 ((CH₃)₃C); 73.67 (NCH₂Bu). IR (NaCl, cm⁻¹): νₐz = 3040. MS (70 eV) m/z (%): 199 (M⁺, 1); 142 (7); 112 (26); 86 (100); 57 (59). Purity (GC) > 97%. Anal. Calcd for C₁₂H₂₅NO: C 72.31, H 12.64, N 7.03. Found: C 72.44, H 12.80, N 6.90.

**Synthesis of 2-(alkanoyloxy)methylaziridines 7. General procedure**

To a solution of carboxylic acid (0.01 mol) in DMSO (15 mL) was added K₂CO₃ (2 equiv), and the resulting suspension was stirred for 30' at room temperature. Subsequently, 2-(bromomethyl)aziridine 3 (0.01 mol) was added, and the mixture was heated at 80°C for 15 hours. The reaction mixture was poured into water (20 mL) and extracted with Et₂O (3×15 mL). The combined organic extracts were washed with water (2×15 mL) and brine (20 mL). Drying (MgSO₄), filtration of the drying agent and evaporation of the solvent afforded the corresponding...
2-(alkanoyloxyethyl)aziridine 7, which was purified by filtration through silica gel (hexane/EtOAc 5/3).

The spectral data of 1-(3-methylbenzyl)aziridin-2-ylmethyl 2-methylpropanoate 7a have been reported elsewhere.\textsuperscript{15}

1-(2-Chlorobenzyl)aziridin-2-ylmethyl 2-methylpropanoate (7b). Yield 82%. Light-yellow oil. Filtration through silica gel (hexane/EtOAc 5/3). \textsuperscript{1}H NMR (300 MHz, CDCl\textsubscript{3}): \(\delta\) 1.13 and 1.15 (6H, 2×d, J=6.9 Hz, \((CH_3)_2CH\)); 1.57 (1H, d, J=6.3 Hz, \((H_{cis}CH)N\)); 1.85 (1H, d, J=3.6 Hz, \((HCH_{trans})N\)); 1.88-1.95 (1H, m, NCH); 2.52 (1H, sept, J=7.0 Hz, \((CH_3)_2CH\)); 3.45 and 3.56 (2H, 2×d, J=13.2 Hz, N\((HCH)Ar\)); 3.70 and 4.27 (2H, 2×d×d, J=11.7, 7.6, 4.3 Hz, (HCH)O); 7.17-7.35 and 7.67-7.69 (9H and 1H, 2×m, CH\textsubscript{arom}). \textsuperscript{13}C NMR (68 MHz, CDCl\textsubscript{3}): \(\delta\) 18.90 and 19.85 ((CH\textsubscript{3})\textsubscript{2}CH); 31.66 (NCH\textsubscript{2}CH); 33.90 ((CH\textsubscript{3})\textsubscript{2}CH); 37.47 (CHN); 60.92 (NCH\textsubscript{2}Ar); 66.46 (CH\textsubscript{2}O); 126.79, 128.08, 129.03 and 129.23 (HC\textsubscript{arom}); 132.88 (CCl); 136.71 (NCH\textsubscript{2}C\textsubscript{arom,quat}); 176.84 (CO). IR (NaCl): \(v_C=O = 1735\) cm\textsuperscript{-1}. MS (70 eV): m/z (%): 268/70 (M\textsuperscript{+}+1, 100). Anal. Calcd for C\textsubscript{13}H\textsubscript{18}ClNO\textsubscript{2}: C 62.80, H 6.78, N 5.23. Found: C 62.97, H 6.98, N 5.11.

1-(4-Methylbenzyl)aziridin-2-ylmethyl 2-methylpropanoate (7c). Yield 86%. Light-yellow oil. Filtration through silica gel (hexane/EtOAc 5/3). \textsuperscript{1}H NMR (300 MHz, CDCl\textsubscript{3}): \(\delta\) 1.10 and 1.11 (6H, 2×d, J=7.0 Hz, \((CH_3)_2CH\)); 1.51 (1H, d, J=6.3 Hz, \((H_{cis}CH)N\)); 1.77 (1H, d, J=3.6 Hz, \((HCH_{trans})N\)); 1.81-1.89 (1H, m, NCH); 2.33 (3H, s, CH\textsubscript{Ar}); 2.46 (1H, sept, J=7.1 Hz, \((CH_3)_2CH\)); 3.26 and 3.56 (2H, 2×d, J=13.2 Hz, N\((HCH)Ar\)); 3.80 and 4.19 (2H, 2×d×d, J=11.6, 7.4, 4.7 Hz, (HCH)O); 7.12-7.30 (4H, m, CH\textsubscript{arom}). \textsuperscript{13}C NMR (68 MHz, CDCl\textsubscript{3}): \(\delta\) 18.87 ((CH\textsubscript{3})\textsubscript{2}CH); 21.07 (CH\textsubscript{3}Ar); 31.65 (NCH\textsubscript{2}CH); 33.85 ((CH\textsubscript{3})\textsubscript{2}CH); 37.12 (CHN); 64.03 (NCH\textsubscript{2}Ar); 66.51 (CH\textsubscript{2}O); 128.07 and 129.02 (HC\textsubscript{arom}); 135.76 and 136.62 (2×C\textsubscript{arom,quat}); 177.02 (CO). IR (NaCl): \(v_C=O = 1735\) cm\textsuperscript{-1}. MS (70 eV): m/z (%): 248 (M\textsuperscript{+}+1, 100). Anal. Calcd for C\textsubscript{15}H\textsubscript{21}NO\textsubscript{2}: C 72.84, H 8.56, N 5.66. Found: C 72.97, H 8.71, N 5.59.

1-Benzylaziridin-2-ylmethyl 2-methylbutyrate (7d). Mixture of diastereomers, ratio 53/47. Yield 77%. Light-yellow oil. Filtration through silica gel (hexane/EtOAc 5/3). \textsuperscript{1}H NMR (300 MHz, CDCl\textsubscript{3}): \(\delta\) 0.87 (3H, t, J=7.4 Hz, \(CH_3CH_2\)); 0.88 (3H, t, J=7.3 Hz, \(CH_3CH_2\)); 1.08 and 1.09 (2×3H, 2×d, J=6.9 Hz, \(2×CH_2CH_3\)); 1.37-1.49 (2H, m, \(2×(HCH)CH_3\)); 1.52 (2H, d, J=6.6 Hz, \(2×(H_{cis}CH)N\)); 1.57-1.74 (2H, m, \(2×(HCH)CH_3\)); 1.79 (2H, d, J=3.3 Hz, \(2×(HCH_{trans})N\)); 1.83-1.90 (2H, m, \(2×NCH\)); 2.25-2.44 (2H, m, \(2×CH_2CH_3\)); 3.32 and 3.58, 3.34 and 3.60 (2×2H, 2×(2×d), J=13.5 Hz, 2×N\((HCH)Ar\)); 3.83 (1H, d×d, J=11.6, 7.4 Hz, (HCH)O); 3.83 (1H, d×d, J=11.7, 7.3 Hz, (HCH)O); 4.11-4.31 (2H, m, \(2×(HCH)O\)); 7.23-7.40 (5H, m, \(C_6H_5\)). \textsuperscript{13}C NMR (68 MHz, CDCl\textsubscript{3}): \(\delta\) 11.59 (\(2×CH_3CH_2\)); 16.46 (\(2×CH_3CH_2\)); 26.69 (\(2×CH_3CH_2\)); 31.65 (\(2×NCH_2CH_3\)); 37.28 and 37.33 (\(2×CHN\)); 40.92 (\(2×CHCH_3\)); 64.29 (\(2×NCH_2Ar\)); 66.45 (\(2×CH_2O\)); 127.09 (\(2×NCH_2HC_{para}\)); 128.05 and 128.33 (\(2×NCH_2HC_{ortho}HC_{meta}\)); 138.94 (\(2×C_{arom,quat}\)); 176.38 (2×CO). IR (NaCl): \(v_C=O = 1736\) cm\textsuperscript{-1}. MS (70 eV): m/z (%): 247 (M\textsuperscript{+}, 3); 219 (3); 146 (37); 91 (100); 85 (26); 72 (13); 57 (80). Anal. Calcd for C\textsubscript{15}H\textsubscript{21}NO\textsubscript{2}: C 72.84, H 8.56, N 5.66. Found: C 73.03, H 8.76, N 5.58.
Synthesis of 2-[(1,2,4-triazol-1-yl)methyl]aziridines 8 and 2-[(imidazol-1-yl)methyl]aziridines 9. General procedure

To a solution of 2-(bromomethyl)aziridine 3 (4.5 mmol) in acetonitrile (50 mL) was added 1,2,4-triazole (5 equiv) and potassium carbonate (4 equiv). The resulting mixture was heated under reflux for 2.5 days, filtered and the solvent was removed in vacuo. A solution of sodium hydroxide (75 mL, 1N) was added to the residue, followed by extraction with diethyl ether (3×40 mL). Drying (K₂CO₃), filtration of the drying agent and evaporation of the solvent afforded the corresponding 2-[(1,2,4-triazol-1-yl)methyl]aziridine 8, which was purified by column chromatography on silica gel (CHCl₃/MeOH).

1-Benzyl-2-[(1,2,4-triazol-1-yl)methyl]aziridine (8a). Yield 40%. Colorless oil. Rᵣ = 0.13 (CHCl₃/MeOH 95/5). ¹H NMR (CDCl₃, 270 MHz): δ 1.63 (1H, d, J=6.3 Hz, N(H(CH₃)CH)); 1.84 (1H, d, J=3.3 Hz, N(HCH₂)CH); 2.01-2.09 (1H, m, CHN); 3.25 and 3.53 (2H, 2×d, J=12.9 Hz, N(CH₂)Ar); 3.93 and 4.32 (2H, 2×d, J=14.2, 2×d, J=14.4, 7.6, 4.3 Hz, NCH(HCH)N); 7.18-7.36 (5H, m, C₆H₅); 7.85 and 7.92 (2×1H, 2×s, 2×N=CH). ¹³C NMR (CDCl₃, 68 MHz): δ 32.61 (CH₂N); 52.60 (NCH₂N); 64.10 (NCH₂Ar); 126.84 (NCH₂HC₃p); 128.03 and 128.48 (NCH₂HC Ortho HC meta); 138.18 (C arom, quara); 143.07 and 151.61 (2×N=CH). IR (NaCl, cm⁻¹): νC=N = 1658. MS (70 eV) m/z (%): no M⁺; 205 (20); 159 (15); 158 (19); 157 (11); 144 (19); 118 (35); 106 (19); 105 (14); 91 (63); 89 (10); 80 (10); 79 (100); 78 (13); 77 (62); 71 (10); 65 (18); 53 (15); 52 (10); 51 (32); 50 (15). Anal. Caled for C₁₂H₁₄N₄: C 67.27, H 6.59, N 22.5. Found: C 67.41, H 6.83, N 26.03.

1-[4-(Chlorophenyl)methyl]-2-[(1,2,4-triazol-1-yl)methyl]aziridine (8b). Yield 40%. Colorless oil. Rᵣ = 0.015 (CHCl₃/MeOH 97/3). ¹H NMR (CDCl₃, 270 MHz): δ 1.61 (1H, d, J=6.3 Hz, N(H(CH₃)CH)); 1.85 (1H, d, J=3.3 Hz, N(HCH₂)CH); 2.02-2.10 (1H, m, CHN); 3.27 and 3.44 (2H, 2×d, J=13.2 Hz, N(CH₂)Ar); 3.95 and 4.32 (2H, 2×d, J=14.4, 7.6, 4.0 Hz, NCH(HCH)N); 7.13 and 7.26 (2×2H, 2×d, J=8.4 Hz, 4×CH₃ arom); 7.88 and 7.90 (2×1H, 2×s, 2×N=CH). ¹³C NMR (CDCl₃, 68 MHz): δ 33.23 (CH₂N); 38.15 (NCH); 52.94 (NCH₂N); 63.74 (NCH₂Ar); 128.97 and 129.67 (2×HC₃ arom); 133.51 (CCl); 137.18 (NCH₂C arom, quara); 143.54 and 152.22 (2×N=CH). IR (NaCl, cm⁻¹): νC=N = 1635. MS (70 eV) m/z (%): no M⁺; 180 (17); 179 (19); 178 (19); 166/8 (37); 125/7 (100); 111 (13); 110 (12); 91 (10); 89 (24); 70 (12); 63 (10); 55 (22); 54 (99). Anal. Caled for C₁₂H₁₃ClN₄: C 57.95, H 5.27, N 22.53. Found: C 58.1, H 5.2, N 22.5.

1-Benzyl-2-[(imidazol-1-yl)methyl]aziridine (9a). Yield 60%. Colorless oil. Rᵣ = 0.26 (CHCl₃/MeOH 97/3). ¹H NMR (CDCl₃, 270 MHz): δ 1.56 (1H, d, J=6.3 Hz, N(H(CH₃)CH)); 1.79 (1H, d, J=3.3 Hz, N(HCH₂)CH); 1.82-1.90 (1H, m, CHN); 3.25 and 3.53 (2H, 2×d, J=12.9 Hz, N(CH₂)Ar); 3.78 and 4.04 (2H, 2×d, J=14.4, 7.3, 4.1 Hz, NCH(HCH)N); 6.88 and 7.01 (2×1H, 2×s, NCH=CH); 7.26-7.32 (5H, m, C₆H₅); 7.46 (1H, s, NHC=N). ¹³C NMR (CDCl₃, 68 MHz): δ 31.22 (CH₂N); 37.81 (NCH); 48.84 (NCH₂N); 63.11 (NCH₂Ar); 118.19 (HC=CH); 126.38 and 128.21 (NCH₂H para and HC=CH); 127.19 and 127.57 (NCH₂H Ortho HC meta); 136.08 (HC=NC); 137.66 (C arom, quara). IR (NaCl, cm⁻¹): νC=N = 1666. MS (70 eV) m/z (%): no M⁺; 213 (19); 146 (10); 132 (63); 122 (17); 109 (17); 108 (10); 105 (26); 95
1-[4-(Chlorophenyl)methyl]-2-[imidazol-1-yl)methyl]aziridine (9b). Yield 75%. Colorless oil. R_f = 0.15 (CHCl_3/MeOH 95/5). ^1H NMR (CDCl_3, 270 MHz): δ 1.54 (1H, d, J=6.3 Hz, N(CHcis)CH); 1.79 (1H, d, J=3.3 Hz, N(CHtrans)CH); 3.33 and 3.42 (2H, 2×d, J=13.4 Hz, N(HCH)Ar); 3.77 and 4.06 (2H, 2×d×d, J=14.4, 7.3, 4.1 Hz, NCH(NHC(CH))N); 6.88 and 7.01 (2×1H, 2×s, NCH=CH); 7.19 and 7.28 (2×2H, 2×d, J=8.6 Hz, 4×CH_arom); 7.48 (1H, s, NHC=N). ^13C NMR (CDCl_3, 68 MHz): δ 31.72 (CH_2N); 38.33 (NCH); 49.17 (NCH_2Ar); 62.66 (NCH_2Ar); 118.51 (H_C=CH); 127.96 and 128.84 (NCH_2HCorthoHCmeta); 128.57 (HC=CH); 132.29 (CCl); 136.53 (HC=N); 136.69 (C_arom,quat). IR (NaCl, cm^-1): νC=N = 1630. MS (70 eV) m/z (%): 247/9 (M+, 14); 148 (11); 125/7 (73); 109 (17); 108 (15); 107 (13); 95 (16); 87 (11); 85 (67); 83 (100); 81 (10); 48 (12); 47 (27). Anal. Calcd for C_{13}H_{15}N_3: C 73.21, H 7.09, N 19.70. Found: C 73.37, H 7.25, N 19.58.

Synthesis of 2-(aminomethyl)aziridines 10. General procedure
To an ice-cooled solution of a secondary amine (5 mmol) in dry diethyl ether or THF (5 mL) was added dropwise n-BuLi (2 mL, 1 equiv, 2.5M in hexane) via a syringe under nitrogen atmosphere. After stirring for 1 hour at 0°C, a solution of 2-(bromomethyl)aziridine 3 (1 equiv) in Et_2O or THF (5 mL) was added via a syringe at 0°C. The resulting solution was further stirred at room temperature for 18-20 hours under nitrogen atmosphere. Workup was carried out by pouring the reaction mixture in an aqueous sodium hydroxide solution (10 mL, 0.5M), followed by extraction with diethyl ether (2×10 mL, 1×5 mL). After drying of the organic phase with K_2CO_3 and filtration of the drying agent, the solvent was removed in vacuo, affording the desired 2-(aminomethyl)aziridine 10.

The spectral data of 2-(aminomethyl)aziridines 10a,b,d have been reported elsewhere. 20,4d

1-(2-Methylpropyl)-2-(N,N-diethylaminomethyl)aziridine (10c). Yield 92%. Light-yellow oil. ^1H NMR (500 MHz, CDCl_3): δ 0.89 and 0.93 (6H, 2×d, J=6.7 Hz, CH(CH_3)_2); 1.05 (6H, t, J=7.2 Hz, 2×CH_2CH_3); 1.25 (1H, d, J=6.3 Hz, N(HCH)CH); 1.48 (1H, m, CHN); 1.55 (1H, d, J=3.4 Hz, N(HCHtrans)CH); 1.80 (1H, sept, J=6.7 Hz, CH(CH_3)_2); 1.95 and 2.15 (2H, 2×d×d, J=11.6, 7.3, 6.3 Hz, N(CHCHMe_2); 2.42 and 2.58 (2H, 2×d×d, J=13.3, 5.8, 5.7 Hz, NCH(HCH)N); 2.60-2.70 (4H, m, 2×CH_2CH_3). ^13C NMR (68 MHz, CDCl_3): δ 11.7 (2×CH_2CH_3); 20.9 and 21.0 (CH(CH_3)_2); 29.2 (CH(CH_3)_2); 33.5 (NCH_2CHCH_2NEt_2); 37.8 (NCH_2CHCH_2NEt_2); 47.3 (2×CH_2CH_3); 56.4 (CH_2NEt_2); 69.6 (NCH_2CHMe_2). IR (NaCl, cm^-1): ν = 3035, 1469, 1383, 1070. MS (70 eV) m/z (%): 184 (M^+, 5); 169 (2); 155 (2); 141 (2); 113 (16); 112 (39); 86 (100); 72 (39); 70 (70); 58 (16); 56 (23). Anal. Calcd for C_{11}H_{24}N_2: C 71.68, H 13.12, N 15.20. Found: C 71.84, H 13.29, N 15.11.
Synthesis of 2-[(alkylsulfanyl)methyl]aziridines 11. General procedure
To a solution of sodium methoxide (2 equiv) in methanol (0.25 N) was added an alkanethiol (3 equiv) at room temperature. After stirring for 30 minutes, aziridine 3 (2 mmol) was added, and the resulting mixture was further stirred for 8 hours at room temperature. The reaction mixture was poured into water (50 mL) and extracted with CH2Cl2 (3×30 mL). The combined organic extracts were washed with water (2×15 mL) and brine (20 mL). Drying (MgSO4), filtration of the drying agent and evaporation of the solvent afforded the corresponding 2-[(alkylsulfanyl)methyl]aziridines 11, which were purified by column chromatography on silica gel (Hexane/EtOAc 4/1).

1-[(4-Chlorophenyl)methyl]-2-[(isopropylsulfanyl)methyl]aziridine (11a). Yield 84%. Colorless oil. Rf = 0.25 (Hexane/EtOAc 4/1). 1H NMR (CDCl3, 270 MHz): δ 1.22 and 1.24 (6H, 2×d, J=6.5 Hz, 2×CH3); 1.47 (1H, d, J=5.9 Hz, N(Hcis)CH); 1.72-1.74 (2H, m, CHN and N(Htrans)CH); 2.52 and 2.65 (2H, 2×d×d, J=13.4, 5.9, 5.6 Hz, (HCH)S); 2.92 (1H, sept, J=6.5 Hz, CHMe2); 3.38 and 3.44 (2H, 2×d, J=13.5 Hz, N(HCH)Ar); 7.30 (4H, s, CHarom).

13C NMR (CDCl3, 68 MHz): δ 23.32 and 23.41 (2×CH3); 33.60 (CH2S); 34.09 (NC2H5); 34.64 (SC2H5); 39.71 (NCH); 63.74 (NCH2Ar); 128.41 and 129.43 (NCH2CorthoHcmeta); 132.76 (CCl); 137.45 (C arom,quat). IR (NaCl, cm−1): νmax = 1597, 1491, 1462, 1240, 805. MS (70 eV) m/z (%): 255/7 (M+, 1); 181 (49); 180/2 (85); 166 (19); 146 (18); 125/7 (100); 99/101 (8); 89 (25); 56 (20). Anal. Calcd for C13H18ClNS: C 61.04, H 7.09, N 5.48. Found: C 61.18, H 7.25, N 5.32.

2-[(Allylsulfanyl)methyl]-1-[(4-chlorophenyl)methyl]aziridine (11b). Yield 60%. Colorless oil. Rf = 0.33 (Hexane/EtOAc 4/1). 1H NMR (CDCl3, 270 MHz): δ 1.46 (1H, d, J=6.2 Hz, N(Hcis)CH); 1.72-1.73 (2H, m, CHN and N(Htrans)CH); 2.46 and 2.57 (2H, 2×d×d, J=13.5, 5.6, 5.6 Hz, NCH(HCH)S); 3.12 (2H, d, J=7.2 Hz, SCh2CH=CH2); 3.38 and 3.43 (2H, 2×d, J=13.3 Hz, N(HCH)Ar); 5.03-5.08 (2H, m, CH=CH2); 5.68-5.81 (1H, m, CH=CH2); 7.30 (4H, s, CHarom). 13C NMR (CDCl3, 68 MHz): δ 33.55 (NCH2CH3); 34.03 (NCH2CH3); 34.72 (SCh2CH=CH2); 39.35 (NCH); 63.74 (NCH2Ar); 117.16 (CH=CH2); 128.44 and 129.43 (NCH2C orthoHcmeta); 132.79 (CCl); 134.19 (CH=CH2); 137.41 (C arom,quat). IR (NaCl, cm−1): νmax = 1634, 1597, 1491, 1464, 805. MS (70 eV) m/z (%): 253/5 (M+, 3); 183 (34); 181 (61); 180/2 (85); 166 (38); 154 (27); 146 (34); 125/7 (100); 99/101 (24); 89 (38); 56 (28). Anal. Calcd for C13H18ClINS: C 61.04, H 7.09, N 5.48. Found: C 61.18, H 7.25, N 5.32.

Acknowledgements
The authors are indebted to the “Fund for Scientific Research - Flanders (Belgium)” (FWO-Vlaanderen) and to Ghent University (GOA) for financial support.
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