Lithium Bistrifluoromethanesulfonimidate-Mediated Regioselective Ring Opening of Aziridines by Amines

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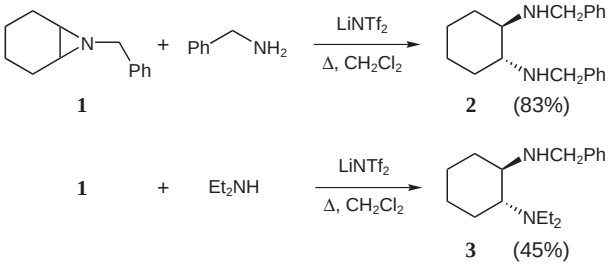
Abstract: In the presence of a catalytic amount of $LiNTf_2$ as a new promoter, a variety of *N*-substituted aziridines undergo ring opening by amines to afford the corresponding 1,2-diamino compounds, in high yield and with good regio- and stereoselectivity.

Key words: aziridines, amines, ring opening, lithium bistrifluoromethanesulfonimidate, 1,2-diamines

N-Substituted aziridines are versatile intermediates for the synthesis of many biologically active compounds including alkaloids, amino acids, and β-lactam antibiotics.[1,2b] Actually, there is a growing interest in the ring opening reactions of aziridines with various nucleophiles,[2,3] because of their high reactivity, ease of preparation, even in chiral form, and their ability to be opened by nucleophiles. Several procedures have been developed for the ring opening of aziridines with various nucleophiles such as hydroxy compounds,[4] organometallics,[5] Wittig reagents,[6] halides,[7] silyl nucleophiles,[8] and amines.[9] Frequently the nucleophilic opening of activated and unactivated aziridines requires harsh reaction conditions or assistance by a Lewis acid. However, many Lewis acids are deactivated or decomposed by nitrogen containing reactants, and even when the desired reaction proceeds, more than a stoichiometric amount of Lewis acids is required.[1b] Recently, lithium bistrifluoromethanesulfonimidate ($LiNTf_2$) has emerged as a powerful Lewis acid and a safe substitute for lithium perchlorate.[10] We would like to report here that $LiNTf_2$, a commercially available[11] inexpensive and non-hazardous lithium salt, can be used efficiently for the regioselective ring opening of *N*-substituted aziridines.

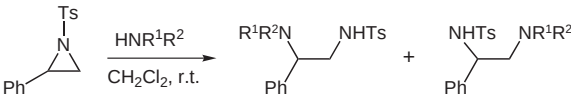
Treatment of cyclohexene *N*-alkylaziridine **1** with benzylamine (2 equiv) in the presence of $LiNTf_2$ (0.2 equiv) in refluxing dichloromethane for 48 hours afforded diamine **2** as a single isomer in 83% yield. The stereochemistry of the ring opened product **2** was found to be *trans* by comparison with the literature data.[9b,12] Similarly, diethylamine (2 equiv) reacted with **1** in the presence of $LiNTf_2$ (0.2 equiv) in refluxing CH_2Cl_2 to produce the corresponding diamine **3** in modest yield (45%) (Scheme 1).



Scheme 1

In the presence or in the absence of $LiNTf_2$, styrene-*N*-tosyl aziridine **4** underwent cleavage by primary (Table 1, entries 1 and 2) as well as secondary amines (Table 1, entries 3 and 4) with similar yields. In the absence of a promoter, aziridine **4** was attacked at the benzylic as well as the terminal positions resulting in the formation of diamines as an approximate 50:50 mixture of **5** and **6** in yields superior to 70%. However, in the presence of $LiNTf_2$, the reaction was faster and the regioselectivity was increased to give predominantly the ring-opened product **5** resulting from internal attack (Table 1). It is worth noting that the regioselectivity observed here is opposite to that reported by Yamamoto for similar ring opening of **4** catalyzed by $Yb(OTf)_3$.[9a,b]

Table 1 Reaction of Amines with Aziridine **4**



Entry	Amine (2 equiv)	$LiNTf_2$ (equiv)	Time (h)	Ratio of **5**:**6**	Yield (%) (**5** + **6**)
1	$Ph(CH_2)_2NH_2$	–	72	60:40	71
2	$Ph(CH_2)_2NH_2$	0.5	22	70:30	73
3	$(allyl)_2NH$	–	20	56:44	84
4	$(allyl)_2NH$	0.5	10	80:20	80

The ring-opening of cyclohexyl-*N*-tosyl aziridine **7** with primary amines such as 2-phenylethylamine led to **8** in 87% yield, in the absence or the presence of $LiNTf_2$ (Table 2, entries 1 and 2). In contrast, treatment of **7** with secondary amines such as diethylamine in the absence of

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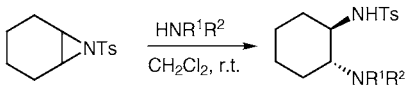
any additive did not produce the expected 1,2-diamino compound **9**. To produce **9**, the addition of $LiNTf_2$ was crucial and in the presence of 0.2 equiv of $LiNTf_2$, the reaction proceeded smoothly at r.t. to afford **9** in 60% yield. An increase in the amount of $LiNTf_2$ (0.5 equiv *vs* 0.2 equiv) increased the yield of **9** to 70%. The reaction is general as compound **10** was isolated in 65% yield when cyclohexyl-*N*-tosyl aziridine **7** was treated with diallylamine in the presence of 0.5 equiv of $LiNTf_2$. The results are summarized in Table 2.

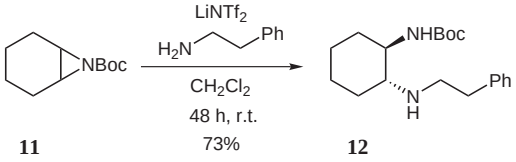
Table 2 Reaction of Amines with Aziridine **7**



7 **8-10**

Amine (2 equiv)	$LiNTf_2$ equiv	Time (h)	Product	Yield (%)
$Ph(CH_2)_2NH_2$	–	120	**8**	87
$Ph(CH_2)_2NH_2$	0.2	72	**8**	87
Et_2NH	–	48	**9**	0
Et_2NH	0.2	48	**9**	60
Et_2NH	0.5	48	**9**	70
$(allyl)_2NH$	0.5	40	**10**	65

Aziridine *N*-carbamates, such as **11,** are not as reactive as *N*-tosylaziridine **7** as treatment of **11** with 2-phenylethylamine without any additive did not produce the expected diamino compound **12**. However, when $LiNTf_2$ was added to the reaction medium (0.5 equiv), the diamino compound **12** was obtained in 73% yield at room temperature. (Scheme 2).



11 **12**

Scheme 2

In summary, we have demonstrated a simple, convenient and efficient procedure for the ring opening of *N*-alkyl, *N*-tosyl and *N*-carbamoyl aziridines with primary and secondary amines in the presence of $LiNTf_2$. The presence of 0.2 to 0.5 equivalent of $LiNTf_2$ allows the formation of 1,2-diamino compounds in high yield and good regio- and stereoselectivity. The procedure is simple and attractive for the synthesis of 1,2-diamino compounds. Furthermore, when $LiNTf_2$ is used instead of Lewis acids, the workup is easier as no emulsion was formed.

Melting points were determined on a Kofler apparatus, and are uncorrected. IR spectra were recorded as neat films (NaCl cell) or KBr pellets (for solids) on a Perkin-Elmer 298 or FT-IR 1600. ^1H and ^{13}C NMR spectra were recorded on Bruker AC 300 or ARX 250 MHz instruments in $CDCl_3$. Chemical shifts (δ) are given in ppm relative to the solvent (7.27 ppm ^1H; 77.1 ppm ^{13}C). Coupling constant (*J*) are given in Hertz. Mass spectra were obtained by GC/MS with electron impact ionization by using a 5971 Hewlett-Packard instrument at 70 eV: only selected ions are reported. CH_2Cl_2 and amines were distilled from CaH_2 under argon. Flash chromatography was carried out on Kieselgel 60 (230–400 mesh, Merck) and analytical TLC was performed on Merck alumina plates precoated with silica gel (60 F_{254}).

Ring Opening of Aziridines by Amines; Compounds 2,[9b,12] 3, 5, 6, 8, 9,[9b] 10, and 12; General Procedure

To a solution of the appropriate aziridine (1 mmol, 1 equiv) and the desired amine (2 mmol, 2 equiv) in anhyd CH_2Cl_2 (2.5 mL) was added $LiNTf_2$ (0.2 to 0.5 equiv). The reaction mixture was stirred under argon at r.t. for 10 to 72 h (for **5**, **6**, **8**, **9**, **10**) or refluxed for 48 h (for **2**, **3**, **12**). After complete conversion, as indicated by TLC, the mixture was diluted with CH_2Cl_2 (5 mL), quenched with a sat. aq solution of $NaHCO_3$ (5 mL) and extracted with CH_2Cl_2 (3×10 mL). The combined organic layers were dried ($MgSO_4$), concentrated in vacuo and purified by flash chromatography on silica gel to afford pure 1,2-diamine. Physical and spectral data of the 1,2-diamines thus obtained were in good agreement with reported data.[9b,12] Physical and spectral data for unknown compounds are given below.

***trans*-*N*′-Benzyl-*N*,*N*-diethylcyclohexane-1,2-diamine (3)**

Colorless oil; yield: 45%; R_f 0.57 [Et_2O–petroleum ether, 2:1 on alumina TLC].

IR (neat): 3290, 1500, 1490, 1450, 1210, 1135, 1060 cm^{-1}.

^1H NMR (300 MHz, $CDCl_3$): δ = 7.37–7.20 (m, 5 H), 3.90 (d AB syst, *J* = 13.2 Hz, 1 H), 3.63 (d AB syst, *J* = 13.2 Hz, 1 H), 2.85 (br s, 1 H), 2.60–2.10 (m, 7 H), 1.82–1.64 (m, 3 H), 1.28–0.90 (m, 4 H), 0.98 (t, *J* = 7.0 Hz, 6 H).

^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 140.8 (s), 128.1 (4 d), 126.5 (d), 63.2 (d), 56.6 (d), 51.0 (t), 42.9 (2 t), 31.6 (t), 25.8 (t), 24.5 (t), 23.0 (t), 14.7 (2 q).

EIMS (70 eV): *m*/*z* (%) = 260 (M^+, 31), 243 (15), 188 (30), 169 (62), 152 (27), 140 (17), 126 (13), 112 (59), 106 (13), 99 (13), 98 (11), 91 (100), 86 (95), 84 (15), 72 (23), 70 (13), 65 (13), 58 (11), 56 (17).

4-Methyl-*N*-{2-phenyl-2-[(2-phenylethyl)amino]ethyl}benzenesulfonamide (5a; $R^1 = Ph(CH_2)_2$, $R^2 = H$) and 4-Methyl-*N*-{1-phenyl-2-[(2-phenylethyl)amino]ethyl}benzenesulfonamide (6a; $R^1 = Ph(CH_2)_2$, $R^2 = H$)

Colorless oil; yield: 73%; **5a**/**6a** = 70:30; R_f 0.85 and 0.72 (CH_2Cl_2–MeOH, 95:5).

IR (neat): 3290, 1600, 1490, 1450, 1330, 1165, 1095 cm^{-1}.

^1H NMR (300 MHz, $CDCl_3$): δ (**5a**) = 7.71 (d, *J* = 8.5 Hz, 2 H), 7.35-7.07 (m, 12 H), 3.66 (dd, *J* = 8.8, 4.8 Hz, 1 H), 3.13 (dd, *J* = 12.5, 4.8 Hz, 1 H), 2.94 (dd, *J* = 12.5, 8.8 Hz, 1 H), 2.85–2.55 (m, 4 H), 2.43 (s, 3 H).

^1H NMR (300 MHz, $CDCl_3$): δ (**6a**) = 7.60 (d, *J* = 8.5 Hz, 2 H), 7.35–7.07 (m, 12 H), 4.27 (dd, *J* = *J* = 6.4 Hz, 1 H), 2.85–2.55 (m, 6 H), 2.38 (s, 3 H).

^{13}C NMR (75.5 MHz, $CDCl_3$): δ (**5a** + **6a**) = 143.2, 142.9, 140.4, 139.6, 139.5, 139.5, 137.1, 136.8 (s, CAr), 129.6, 129.2, 128.7, 128.6, 128.5, 128.4, 128.3, 128.2, 127.7, 127.4, 127.1, 127.0, 126.7, 126.6, 126.1, 126.0, (d, CHAr), 61.6 (d, **6a**), 56.6 (d, **5a**), 54.6 (t, **5a**), 50.0 (t, **6a**), 48.6 (t, **6a**), 48.2 (t, **5a**), 36.2, 36.1 (t, **5a**, **6a**), 21.4, 21.3 (q, **5a**, **6a**).

EIMS (70 eV): Compound **5a**: *m*/*z* (%) = 395 (1), 303 (M – Bn, 5), 274 (7), 211 (18), 210 (100), 118 (10), 105 (25), 91 (19).

EIMS (70 eV): Compound **6a**: *m*/*z* (%) = 303 (M – Bn, 2), 135 (10), 134 (100), 132 (12), 106 (42), 105 (41), 91 (21).

***N*-[2-(Diallylamino)-2-phenylethyl]-4-methylbenzenesulfonamide (5b**; $R^1 = R^2$ = allyl) **and *N*-[2-(Diallylamino)-1-phenylethyl]-4-methylbenzenesulfonamide (6b; $R^1 = R^2$ = allyl)**

Colorless oil; yield: 80%; **5b**/**6b** = 80:20; R_f 0.83 (hexane–EtOAc, 4:1).

IR (neat): 3290, 1645, 1600, 1455, 1330, 1170, 1095, 910 cm^{-1}.

^1H NMR (300 MHz, $CDCl_3$): δ (**5b**) = 7.77 (d, *J* = 8.5 Hz, 2 H), 7.37–7.03 (m, 7 H), 5.79–5.61 (m, 2 H), 5.23 (br s, 1 H), 5.18–5.05 (m, 4 H), 3.88 (dd, *J* = 10.5, 5.3 Hz, 1 H), 3.36 (dd, *J* = 12.0, 10.5 Hz, 1 H), 3.22–3.06 (m, 3 H), 2.64–2.40 (m, 2 H), 2.45 (s, 3 H).

^1H NMR (300 MHz, $CDCl_3$): δ (**6b**) = 7.57 (d, *J* = 8.1 Hz, 2 H), 7.37–7.03 (m, 7 H), 5.90 (br s, 1 H, 5.79–5.61 (m, 2 H), 5.18–5.05 (m, 4 H), 4.16 (dd, *J* = 10.7, 4.8 Hz, 1 H), 3.22–3.06 (m, 2 H), 2.83 (dd, *J* = 14.0, 7.7 Hz, 2 H), 2.64–2.40 (m, 2 H), 2.37 (s, 3 H).

^{13}C NMR (75.5 MHz, $CDCl_3$): δ (**5b** + **6b**) = 143.3, 143.0, 139.4, 136.6, 136.5, 135.2 (s, CAr), 136.5 (2d, **5b** or **6b**), 134.2 (2d, **5b** or **6b**), 129.6, 129.1, 128.4, 128.2, 128.1, 127.9, 127.4, 127.3, 127.0, 126.9 (d, CHAr), 118.3 (2t, **5b** or **6b**), 117.6 (2t, **5b** or **6b**), 60.8 (d, **6b**), 55.1 (d, **5b**), 58.7 (t, **5b**), 56.0 (2t, **5b** or **6b**), 52.2 (2t, **5b** or **6b**), 43.0 (t, **6b**), 21.4, 21.3 (q, **5b**, **6b**).

EIMS (70 eV): Compound **5b**: *m*/*z* (%) = 369 (M – 1, 1), 187 (16), 186 (100), 144 (3), 117 (2), 104 (6), 91 (17), 65 (3).

EIMS (70 eV): Compound **6b**: *m*/*z* (%) = 370 (M^+, 1), 341, (2), 155 (2), 111 (9), 110 (100), 104 (3), 91 (11), 81 (2), 68 (2).

4-Methyl-*N*-{*trans*-2-[(2-phenylethyl)amino]cyclohexyl}benzenesulfonamide (8)

Solid; yield: 87%; mp 128 °C; R_f 0.40 (CH_2Cl_2–MeOH, 95:5).

IR (KBr): 3445, 1595, 1490, 1455, 1320, 1160, 1085, 810, 750 cm^{-1}.

^1H NMR (250 MHz, $CDCl_3$): δ = 7.71 (d, *J* = 8.1 Hz, 2 H), 7.35–7.13 (m, 7 H), 2.93–2.84 (m, 1 H), 2.75–2.49 (m, 4 H), 2.43 (s, 3 H), 2.27–2.18 (m, 1 H), 2.17–1.95 (m, 4 H), 1.78–1.55 (m, 2 H), 1.30–0.80 (m, 4 H).

^{13}C NMR (60 MHz, $CDCl_3$): δ = 143.7, 140.1, 137.5 (s), 130.0 (2 d), 129.0 (2 d), 128.9 (2 d), 127.6 (2 d), 126.6 (d), 60.8 (d), 53.9 (d), 47.5 (t), 36.9 (t), 32.9 (t), 31.4 (t), 25.0, (t), 24.8 (t), 21.9 (q).

EIMS (70 eV): *m*/*z* (%) = 372 (M^+, 1), 282 (18), 281 (100), 218 (11), 217 (67), 200 (37), 155 (13), 105 (32), 98 (10), 96 (35), 91 (36).

***N*-[*trans*-2-(Diallylamino)cyclohexyl]-4-methylbenzenesulfonamide (10)**

Colorless oil; yield: 65%; R_f 0.56 (hexane–Et_2O, 1:1).

IR (neat): 3220, 1600, 1450, 1320, 1170, 1090, 920 cm^{-1}.

^1H NMR (300 MHz, $CDCl_3$): δ = 7.68 (d, *J* = 8.1 Hz, 2 H), 7.20 (d, *J* = 8.0 Hz, 2 H), 5.87 (br s, 1 H), 5.60–5.42 (m, 2 H), 5.11–4.95 (m, 4 H), 2.88–2.79 (m, 1 H), 2.70–2.52 (m, 3 H), 2.44–2.29 (m, 2 H), 2.35 (s, 3 H), 1.77–1.50 (m, 4 H), 1.22–0.83 (m, 4 H).

^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 145.0, 137.3 (s, CAr), 130.7 (2 d), 128.6 (2 d, CHAr), 128.4 (2 d, CHAr), 118.5 (2 t), 62.5 (d), 54.9 (d), 53.0 (2 t), 33.6 (t), 26.3 (t), 24.1 (t), 23.9 (t), 21.9 (q).

EIMS (70 eV): *m*/*z* (%) = 348 (M^+,1), 194 (14), 193 (100), 176 (14), 96 (38), 91 (25).

***tert*-Butyl *trans*-2-[(2-Phenylethyl)amino]cyclohexyl}carbamate (12)**

Solid; yield: 73%; mp 88 °C; R_f 0.36 (CH_2Cl_2–MeOH, 95:5).

IR (KBr): 3450, 1700, 1525, 1450, 1370, 1270, 1180 cm^{-1}.

^1H NMR (300 MHz, $CDCl_3$): δ = 7.35–7.18 (m, 5 H), 4.92–4.70 (m, 2 H), 3.38–3.22 (m, 1 H), 3.15–3.00 (m, 1 H), 2.90–2.72 (m, 4 H), 2.14–1.99 (m, 2 H), 1.78–1.65 (m, 2 H), 1.45 (s, 9 H), 1.40–1.08 (m, 4 H).

^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 156.1 (s), 139.3 (s), 128.5 (2 d), 128.4 (2 d), 126.2 (d), 79.4 (s), 61.2 (d), 53.8 (d), 47.6 (t), 36.1 (t), 32.6 (t), 30.8 (t), 28.2 (3 q), 24.6 (t), 24.3 (t).

EIMS (70 eV): *m*/*z* (%) = 261 (M – *t*-Bu, 1), 245 (M – *t*-BuO, 8), 227 (23), 171 (57), 154 (10), 153 (100), 127 (22), 110 (15), 105 (16), 98 (14), 81 (20), 59 (22), 57 (13).

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