A Straightforward Preparation of Chiral 5-(Aminomethyl)oxazole Derivatives from α-Amino Esters and α-Lithiated Isocyanides

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An efficient and general preparation of several chiral *N*-protected 5-(aminomethyl)oxazoles has been accomplished by treatment of *N*-protected α-amino esters with α-lithiated isocyanides, obtained by metalation of methyl and benzyl isocyanides with BuLi or of ethyl isocyanide with lithium diisopropylamide.

Key words (aminomethyl)oxazole; chiral synthesis; isocyanide α-metalated; α-amino ester; enantiomeric purity

The synthetic significance of α-metalated isocyanides (type **2**) has been sufficiently recognized[1] since Schöllkopf and Gerhart reported that metalation of alkyl isocyanides (type **1**) with BuLi occurs at their α-position.[2] Reaction of such α-metalated isocyanides with suitable acylating agents (*e.g.*, acid chlorides, carboxylic esters, *N*,*N*-dialkylamides, and acid anhydrides) gives oxazoles (type **4**) *via* nonisolable intermediate α-isocyano ketones (type **3**).[3] Oxazoles are of perennial interest because of not only the existence of this ring as a constituent of a large number of natural products,[4] but also the diversity of its chemical reactivity.[5] Recently, we have reported the first chiral synthesis of the *Strychnos* and *Ophiorrhiza* alkaloid (−)-normalindine (**19**) through a route starting from the 5-(aminomethyl)oxazole derivative **8a**, obtained from *N*-Boc-L-alanine methyl ester (**5a**) and α-lithiated methyl isocyanide (**11**), and exploiting intramolecular oxazole–olefin Diels–Alder reaction.[6] In the present paper, we wish to record the details of convenient preparations of chiral 5-(aminomethyl)oxazoles from a variety of α-amino esters employing α-lithiated isocyanides.

In the formation of oxazoles from the α-metalated isocyanides **2** using carboxylic esters as acylating agents, 2 mol eq of **2** is generally required, except in certain cases, because the relatively acidic α-isocyano ketones **3** formed at first are rapidly deprotonated by unchanged α-metalated isocyanides **2**.[3b] Since *N*-Boc-L-alanine methyl ester (**5a**) possesses an additional acidic NH group which would also protonate the α-metalated anion, we first investigated the effect of the amount of **11** on the conversion of **5a** into **8a**. The results are listed in Table 1. All reactions were carried out by treatment of **5a** with **11** in tetrahydrofuran (THF) at −78 °C for 30 min, followed by warming to 0 °C and quenching with AcOH. The best result was obtained by employing 2.5 mol eq of **11**: under these conditions, the oxazole **8a** was produced in 76% yield (entry 4). It is likely that the methoxide liberated simultaneously with the formation of the α-isocyano ketone **3** acts partly as an additional base. The enantiomeric purity of **8a** thus obtained was estimated to be 98% ee on chiral HPLC analysis, revealing that no racemization had occurred under the conditions employed.

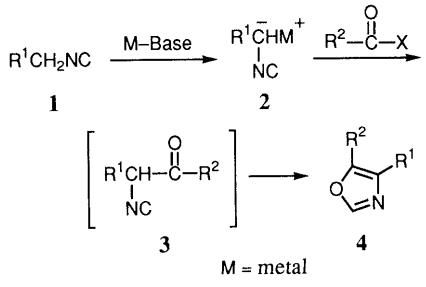
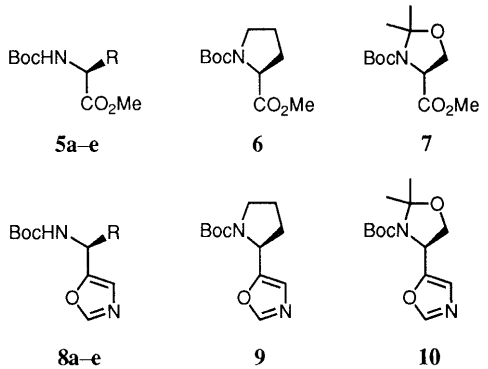


Chart 1

Having established the optimum amount of **11** for the conversion of **5a** into **8a**, we tried to apply these conditions to the reactions of *N*-Boc-α-amino esters **5b—e**, **6**, and **7** with α-lithiated methyl isocyanide (**11**). The results are included in Table 2. The proline derivative **6**, as well as **5b—d** bearing the NH group, provided the corresponding 5-(aminomethyl)oxazoles **9** and **8b—d** in good to fair yields. In the case of the serine derivative **5e** possessing a free OH group which can protonate the α-lithiated isocyanide **11**, an increase in the amount of **11** from 2.5 to 3.5 mol eq raised the yield of **8e** from 41% to 66%. The



5a–e **6** **7**

8a–e **9** **10**

a: R = Me
b: R = H
c: R = CH_2Ph
d: R = CH_2OCH_2Ph
e: R = CH_2OH

11: R = H
12: R = Ph
13: R = Me

Table 1. Effect of the Amount of **11** on the Conversion of **5a** into **8a**

Entry	**11** (mol eq)	**8a** (yield, %)
1	1	35
2	1.5	47
3	2	67
4	2.5	76
5	3	73

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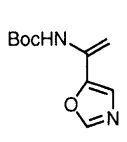
Table 2. Preparation of the 5-(Aminomethyl)oxazoles **8**—**10**, **17**, and **18** from the α-Amino Esters **5**—**7** and the α-Lithiated Isocyanides **11**—**13**[a]

Entry	Ester	Isocyanide	Product	Yield (%)
1	**5b**	**11**	**8b**	73
2	**5c**	**11**	**8c**	71
3	**5d**	**11**	**8d**	74
4[b]	**5e**	**11**	**8e**	41
5[c]	**5e**	**11**	**8e**	66
6	**6**	**11**	**9**	66
7[d]	**7**	**11**	**10**	27
8[d,e]	**7**	**11**	**10**	45
9[c–e]	**7**	**11**	**10**	53
10	**5a**	**12**	**17**	91
11	**5a**	**13**	**18**	13
12[f]	**5a**	**13**	**18**	47

a) For details of reaction conditions, see Experimental. *b*) The α-amino ester **5e** was recovered (25%). *c*) In this case, 3.5 (entry 5) or 1.5 (entry 9) mol eq of **11** was used. *d*) In addition, the olefin **14** was obtained: Entry 7, 40%; entry 8, 20%; entry 9, 16%. *e*) The reaction was quenched by adding AcOH at −78 °C. *f*) The α-lithiated isocyanide **13** was generated *in situ* by using LDA.



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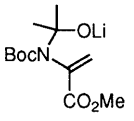

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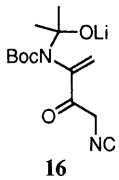

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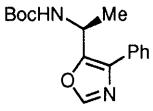

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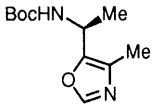

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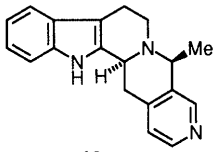

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oxazolidine ester **7**, however, produced the oxazole **10** in only 27% yield together with a significant quantity (40%) of the oxazole olefin **14**.[7] The olefin **14** is considered to have arisen *via* the alkoxides **15** and/or **16**, since **10** was almost quantitatively recovered on treatment with **11** under similar conditions to those employed for **7**. Addition of AcOH at −78 °C without warming the reaction mixture to 0 °C increased the yield of **10** to 45%. Furthermore, the use of 1.5 mol eq of **11**, instead of 2.5 mol eq, afforded **10** in 53% yield, accompanied by a lower amount (16%) of the by-product **14**, suggesting that the formation of **14** would be promoted by excess **11**. A small extent of racemization was observed for the oxazoles **9** (92% ee) and **10** (91% ee) that bear no NH hydrogen in the carbamate group attached to the chiral center.

We next investigated the applicability of the above oxazole-formation method to other alkyl isocyanides such as benzyl and ethyl isocyanides, which would be expected to produce chiral 4-substituted 5-(aminomethyl)oxazoles (types **17**, **18**).[8] Treatment of **5a** with α-lithiated benzyl isocyanide (**12**), derived from benzyl isocyanide and BuLi at −78 °C,[2] provided the oxazole **17** in 91% yield (Table 2). However, application of a similar procedure to ethyl isocyanide gave a complicated mixture of many products, from which the desired oxazole **18** was obtained in only 13% yield. Metalation with BuLi is known to fail with less acidic α-alkyl-substituted isocyanides (*e.g.*, cyclohexyl[1a] and butyl isocyanides[9]). Although ethyl isocyanide is also unable to be efficiently metalated with BuLi,[2] such metalation would become feasible by using strong, weakly nucleophilic bases such as lithium 2,2,6,6-tetramethylpiperidide and lithium diisopropylamide (LDA).[1a] Thus, α-lithiated ethyl isocyanide (**13**), prepared by treatment of ethyl isocyanide with LDA at −78 °C, converted **5a** into the oxazole **18** in 47% yield without racemization (98% ee).

In conclusion, a straightforward preparation of chiral *N*-Boc-5-(aminomethyl)oxazoles from *N*-Boc-α-amino esters and α-lithiated isocyanides has been achieved. Owing to a wide variety of chemical reactivities of the oxazole ring, these oxazoles could be important as sources for the synthesis of chiral compounds, including natural products, as exemplified by our recent synthesis of (−)-normalindine (**19**).[6] Further studies on the reactivity of α-metalated isocyanides and the use of chiral oxazoles for natural product synthesis are in progress in our laboratory.

Experimental

General Notes All melting points were determined on a Büchi model 530 capillary melting point apparatus and are corrected. Flash chromatography[10] was carried out by using Merck silica gel 60 (No. 9385). Spectra reported herein were recorded on a JEOL JMS-SX102A mass spectrometer, a Shimadzu FTIR-8100 IR spectrophotometer, or a JEOL JNM-GSX-500 (^{1}H 500 MHz) NMR spectrometer. Chemical shifts are reported in δ values relative to internal Me_4Si. HPLC was performed on a Sumichiral OA-4600 column attached to a Tosoh CCPD-8020 system, and peaks were located by using a UV absorbance detector operated at 230 nm. Optical rotations were measured with a Horiba SEPA-300 polarimeter. Elemental analyses and MS measurements were performed by Mr. Y. Itatani, Dr. M. Takani, and their associates at Kanazawa University. The following abbreviations are used: br = broad, d = doublet, m = multiplet, s = singlet.

Materials The known isocyanides and *N*-Boc-α-amino esters were prepared according to the reported procedures: methyl isocyanide[11]; ethyl isocyanide[11,12]; **5a**[13]; **5b**[14]; **5c**[15]; **5d**[16]; **5e**[13,17,18]; **6**[19]; **7**.[18,20] Benzyl isocyanide was purchased from Aldrich Chemical Co., Inc.

General Procedure for the Synthesis of *N*-Boc-5-(Aminomethyl)oxazoles (Tables 1, 2): Preparation of (*S*)-5-[1-(*tert*-Butoxycarbonyl)aminoethyl]-oxazole (8a) (Entry 4 in Table 1) A solution of methyl isocyanide (205 mg, 5.0 mmol) in THF (6 ml) was cooled to −78 °C in an atmosphere of N_2, and a 1.6 M solution (3.4 ml, 5.4 mmol) of BuLi in hexane was added dropwise over 10 min. After the mixture had been stirred for 15 min, a solution of **5a** (406 mg, 2.0 mmol) in THF (2 ml) was introduced dropwise over 10 min. Stirring was continued at −78 °C for 30 min and the reaction mixture was warmed to 0 °C during 15 min. After addition of AcOH (0.31 ml, 5.4 mmol) and concentration of the resulting mixture under reduced pressure, the residue was partitioned between H_2O and ether. The ethereal extracts were washed with saturated aqueous NaCl, dried over anhydrous $MgSO_4$, and concentrated *in vacuo* to leave a pale yellow oil. Purification of the oil by flash chromatography [hexane–AcOEt (3:2, v/v)] provided **8a** (324 mg, 76%) as a colorless solid. The enantiomeric purity (98% ee) of this solid was estimated by HPLC analysis [hexane–EtOH (200:1, v/v); 1.2 ml/min]. Recrystallization from hexane afforded an analytical sample as colorless needles, mp 46.5—47.5 °C; $[\alpha]_D^{28}$ −85.1° (*c* = 1.00, MeOH); MS *m/z*: 212 (M^+); IR ν_{max}^{Nujol} cm^{-1}: 3345 (NH), 1684 (carbamate CO); ^{1}H-NMR ($CDCl_3$) δ: 1.45 (9H, s, CMe_3), 1.49 (3H, d, *J* = 6.8 Hz, CHMe), 4.76 (1H, br, NH), 4.97 (1H, br, CHMe), 6.91 [1H, s, C(4)-H], 7.80 [1H, s, C(2)-H]. *Anal.* Calcd for $C_{10}H_{16}N_2O_3$: C, 56.59; H, 7.60; N, 13.20. Found: C, 56.47; H, 7.61; N, 13.17.

The other oxazoles were prepared from the *N*-Boc-α-amino esters **5a**—**e**, **6**, and **7** in a manner similar to that described above. The results are summarized in Table 2 and the oxazoles **8b**—**e**, **9**, **10**, **14**, and **17** thus obtained were characterized as follows.

5-[(*tert*-Butoxycarbonyl)aminomethyl]oxazole (**8b**): Recrystallized from hexane–AcOEt (20:1, v/v) to give colorless needles, mp 41—42 °C; MS *m/z*: 198 (M^+); IR ν_{max}^{Nujol} cm^{-1}: 3330 (NH), 1678 (carbamate CO); ^{1}H-NMR ($CDCl_3$) δ: 1.45 (9H, s, CMe_3), 4.37 (2H, d, *J* = 4.9 Hz, CH_2),

4.92 (1H, br, NH), 6.97 [1H, s, C(4)-H], 7.81 [1H, s, C(2)-H]. *Anal.* Calcd for $C_9H_{14}N_2O_3$: C, 54.53; H, 7.12; N, 14.13. Found: C, 54.44; H, 7.08; N, 14.19.

(*S*)-5-[1-(*tert*-Butoxycarbonyl)amino-2-phenylethyl]oxazole (**8c**): Recrystallized from hexane to give colorless needles, mp 86—88 °C; $[\alpha]_D^{21}$ −38.3° ($c=1.01$, $CHCl_3$); MS *m/z*: 288 (M^+); IR ν_{max}^{Nujol} cm^{-1}: 3370 (NH), 1692 (carbamate CO); ^{1}H-NMR ($CDCl_3$) δ: 1.40 (9H, s, CMe_3), 3.12 (2H, d, $J=6.8$ Hz, C$\underline{H}_2$Ph), 4.81 (1H, br, NH), 5.14 (1H, br, C$\underline{H}$CH$_2$), 6.79 [1H, s, C(4)-H], 7.06—7.28 (5H, m, CH$_2$$\underline{Ph}$), 7.82 [1H, s, C(2)-H]. *Anal.* Calcd for $C_{16}H_{20}N_2O_3$: C, 66.65; H, 6.99; N, 9.72. Found: C, 66.54; H, 6.99; N, 9.67.

(*S*)-5-[2-Benzyloxy-1-(*tert*-butoxycarbonyl)aminoethyl]oxazole (**8d**): Purified by flash chromatography [hexane–AcOEt (2 : 1, v/v)] to give a colorless oil, $[\alpha]_D^{23}$ −31.9° ($c=1.03$, $CHCl_3$); MS *m/z*: 318 (M^+); IR $\nu_{max}^{CHCl_3}$ cm^{-1}: 3445 (NH), 1713 (carbamate CO); ^{1}H-NMR ($CDCl_3$) δ: 1.45 (9H, s, CMe_3), 3.72—3.82 (2H, m, CHC$\underline{H}_2$), 4.51 and 4.55 (1H each, AB type d's, $J=12$ Hz, C$\underline{H}_2$Ph), 5.06 and 5.22 (1H each, br, C$\underline{H}$CH$_2$, NH), 6.98 [1H, s, C(4)-H], 7.25—7.36 (5H, m, Ph), 7.80 [1H, s, C(2)-H]; high-resolution MS Calcd for $C_{17}H_{22}N_2O_4$: 318.1580, Found: 318.1593.

(*S*)-5-[1-(*tert*-Butoxycarbonyl)amino-2-hydroxyethyl]oxazole (**8e**): Purified by flash chromatography [hexane–AcOEt (1 : 2, v/v)] to give a slightly yellow oil, $[\alpha]_D^{23}$ −45.5° ($c=1.00$, $CHCl_3$); MS *m/z*: 228 (M^+); IR $\nu_{max}^{CHCl_3}$ cm^{-1}: 3615 (OH), 3440 (NH), 1711 (carbamate CO); ^{1}H-NMR ($CDCl_3$) δ: 1.46 (9H, s, CMe_3), 2.51 (1H, br, OH), 3.88—3.98 (2H, m, C$\underline{H}_2$OH), 4.96 (1H, br, C$\underline{H}$CH$_2$), 5.26 (1H, br, NH), 7.01 [1H, s, C(4)-H], 7.83 [1H, s, C(2)-H]; high-resolution MS Calcd for $C_{10}H_{16}N_2O_4$: 228.1110, Found: 228.1107.

(*S*)-5-[1-(*tert*-Butoxycarbonyl)pyrrolidin-2-yl]oxazole (**9**): Purified by flash chromatography [hexane–AcOEt (1 : 1, v/v)] to give a colorless oil, $[\alpha]_D^{26}$ −91.2° ($c=1.01$, $CHCl_3$); MS *m/z*: 238 (M^+); IR ν_{max}^{film} 1698 cm^{-1} (carbamate CO); ^{1}H-NMR ($CDCl_3$) δ: 1.37 (6H) and 1.45 (3H) (s each, CMe_3), 1.90—2.25 (4H) and 3.35—3.60 (2H) (m each, three CH_2's), 4.91 (2/3H) and 5.04 (1/3H) (br each, NCH), 6.85 [1H, br s, C(4)-H], 7.77 [1H, s, C(2)-H]; high-resolution MS Calcd for $C_{12}H_{18}N_2O_3$: 238.1318, Found: 238.1318. The enantiomeric purity (92% ee) of this oil was determined by HPLC analysis [hexane–EtOH (100 : 1, v/v); 0.8 ml/min].

(*S*)-5-[3-(*tert*-Butoxycarbonyl)-2,2-dimethyloxazolidin-4-yl]oxazole (**10**) and 5-[1-(*tert*-butoxycarbonyl)aminoethenyl]oxazole (**14**): The crude oil was subjected to flash chromatography [hexane–AcOEt (2 : 1, v/v)]. Earlier fractions afforded **14** as a colorless oil, MS *m/z*: 210 (M^+); IR $\nu_{max}^{CHCl_3}$ cm^{-1}: 3435 (NH), 1720 (carbamate CO); ^{1}H-NMR ($CDCl_3$) δ: 1.50 (9H, s, CMe_3), 5.23 and 5.67 (1H each, s, C=CH$_2$), 6.19 (1H, br, NH), 7.15 [1H, s, C(4)-H], 7.83 [1H, s, C(2)-H].

Later fractions in the above chromatography furnished **10** as a colorless solid, which was recrystallized from H_2O to give colorless prisms, mp 42—44 °C; $[\alpha]_D^{25}$ −85.5° ($c=1.03$, $CHCl_3$); MS *m/z*: 268 (M^+); IR ν_{max}^{Nujol} 1701 cm^{-1} (carbamate CO); ^{1}H-NMR ($CDCl_3$) δ: 1.36 (6H) and 1.48 (3H) (s each, CMe_3), 1.56 (6/5H), 1.58 (9/5H), 1.64 (6/5H), and 1.69 (9/5H) (s each, CMe_2), 4.03—4.22 (2H, m, CH_2), 4.99 (3/5H) and 5.13 (2/5H) (m each, C$\underline{H}$CH$_2$), 6.94 (3/5H) and 6.98 (2/5H) [s each, C(4)-H], 7.82 [1H, s, C(2)-H]. *Anal.* Calcd for $C_{13}H_{20}N_2O_4$: C, 58.19; H, 7.51; N, 10.44. Found: C, 58.01; H, 7.53; N, 10.40. The enantiomeric purity of the solid was determined to be 91% ee by HPLC analysis [hexane–EtOH (100 : 1, v/v); 0.6 ml/min].

(*S*)-5-[1-(*tert*-Butoxycarbonyl)aminoethyl]-4-phenyloxazole (**17**): Recrystallized from MeOH to give colorless needles, mp 137—138 °C; $[\alpha]_D^{22}$ +37.0° ($c=1.01$, $CHCl_3$); MS *m/z*: 288 (M^+); IR ν_{max}^{Nujol} cm^{-1}: 3360 (NH), 1709 (carbamate CO); ^{1}H-NMR ($CDCl_3$) δ: 1.43 (9H, s, CMe_3), 1.52 (3H, d, $J=6.8$ Hz, CH$\underline{Me}$), 4.97 (1H, br, NH), 5.31 (1H, br, C$\underline{H}$Me), 7.33—7.73 (5H, m, Ph), 7.85 [1H, s, C(2)-H]. *Anal.* Calcd for $C_{16}H_{20}N_2O_3$: C, 66.65; H, 6.99; N, 9.72. Found: C, 66.54; H, 7.04; N, 9.72.

Preparation of (*S*)-5-[1-(*tert*-Butoxycarbonyl)aminoethyl]-4-methyloxazole (18) (Entry 12 in Table 2) A solution of diisopropylamine (0.76 ml, 5.4 mmol) in THF (4 ml) was cooled to −78 °C in an atmosphere of N_2, and a 1.5 M solution (3.6 ml, 5.4 mmol) of BuLi in hexane was added dropwise. After 30 min, a solution of ethyl isocyanide (275 mg, 5.0 mmol) in THF (2 ml) was added over 5 min. Stirring was continued for 15 min and a solution of **5a** (406 mg, 2.0 mmol) in THF (2 ml) was then added dropwise over 10 min. After the mixture had been stirred for a further 30 min at −78 °C and warmed to 0 °C over 15 min, the reaction was quenched by adding AcOH (0.31 ml, 5.4 mmol). Work-up of the resulting mixture was effected according to "General Procedure" described above. Purification of the crude oil by flash chromatography [hexane–AcOEt (1 : 1, v/v)] afforded **18** (212 mg, 47%) as a pale yellow oil, $[\alpha]_D^{26}$ −54.9° ($c=0.48$, $CHCl_3$); MS *m/z*: 226 (M^+); IR $\nu_{max}^{CHCl_3}$ cm^{-1}: 3445 (NH), 1709 (carbamate CO); ^{1}H-NMR ($CDCl_3$) δ: 1.43 (9H, s, CMe_3), 1.45 (3H, d, $J=6.3$ Hz, CH$\underline{Me}$), 2.20 [3H, s, C(4)-Me], 4.82 (1H, br, NH), 4.94 (1H, br, C$\underline{H}$Me), 7.70 [1H, s, C(2)-H]; high-resolution MS Calcd for $C_{11}H_{18}N_2O_3$: 226.1317, Found: 226.1317. The enantiomeric purity of this oil was estimated to be 98% ee by HPLC analysis [hexane–EtOH (200 : 1, v/v); 1.0 ml/min].

References and Notes

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