Electrogenerated Base-Induced N-Acylation of Chiral Oxazolidin-2-ones

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Received January 12, 2001

Chiral oxazolidin-2-ones are used in organic synthesis as chiral auxiliaries (Evans' chiral auxiliaries);¹ they, in fact, induce chirality in a large number of reactions (e.g., alkylation, acylation, aldol reactions).^{1c} The high stereoselection obtained in these reactions is largely due to the nature of the substituents in the 4-position and, to a lower extent, in the 5-position of the oxazolidinone.^{1b} The starting compound in all these transformations is the *N*-acyl derivative of the chiral auxiliary.

N-Acyloxazolidinones are usually obtained through the formation of the lithium salt of the oxazolidinone by deprotonation with BuLi, usually at -78 °C, followed by the addition of a proper acylating agent (acid chlorides and bromides or simple or mixed anhydrides).^{1a,2} In the case of ephedrine derivatives, the use of an excess of BuLi can give epimerization at the benzylic position.³ Besides, this method suffers from a further important limitation: it is not suitable for the reaction of N-acryloylation because of concomitant side reactions of polymerization. More recently, N-acyloxazolidinones have been obtained using triethylamine and catalytic amounts of 4-(N,Ndimethylamino)pyridine along with symmetrical or mixed anhydrides or acid chlorides at room temperature.⁴ Other authors report the use of carboxylic acids instead of their derivatives, but the reaction yields are less satisfactory (especially in the case of N-enoyloxazolidinones). In addition, low reaction temperatures are required.⁵⁻⁷

Recently, we studied the reactivity of electrogenerated bases (EGBs)⁸ versus alcohols,⁹ amines,¹⁰ and amino alcohols¹¹ in the presence of carbon dioxide. We found

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Table 1. Reaction between EGBs 1a-5a, Oxazolidinone 6, and Benzoic Anhydride 12 in 1:1:1 Mole Ratio: Yield of N-Acyloxazolidinone 6a versus the Nature of the Probase 1 - 5



^a Isolated yields.

that some EGBs are strong enough to perform the deprotonation of the above-mentioned substrates affording organic carbonates, carbamates, and oxazolidin-2ones, respectively. In particular, the oxazolidin-2-ones maintain the absolute configuration of all the chiral atoms of the starting amino alcohols and the epimerization (whenever possible) is completely avoided.

These results prompted us to investigate the reactivity of the EGBs 1a-5a (obtained by electrochemical reduction of probases (PBs) 1-5, Table 1) versus Evans' chiral auxiliaries to develop a new and mild methodology for the N-acylation of these substrates in high yields and without epimerization. It relies upon the deprotonation of oxazolidinones by EGBs followed by the addition of a suitable acylating reagent (Scheme 1).

Results and Discussion

Using (R)-(-)-4-phenyl-2-oxazolidinone, **6**, as a model compound, we initially studied the reactivity of this substrate toward the EGBs **1a**–**5a**. Benzoyl anhydride **12** and the corresponding acyl halides (benzoyl bromide and chloride) were employed as acylating agents.

The reduction potential values of PBs **2**–**5** are positive enough to allow their selective reduction to EGBs 2a-5a during the electrolyses (carried out under potentio-

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static control) of solutions containing 2-5 in the presence of oxazolidinones. Therefore, the EGBs 2a-5a can be generated "in situ". In contrast, the reduction potential of **1** is not sufficiently positive to allow the same selective reduction during the electrolyses (carried out under galvanostatic control) of solutions containing **1** in the presence of oxazolidinones. Consequently, this EGB must be generated in a separate step. However, **1a** under the conditions of its generation (MeCN as solvent, tetraethylammonium perchlorate (TEAP) as electrolyte, room temperature) is very stable, so it can be prepared prior to the reaction with oxazolidinones, thus avoiding any problem with electroactive substrates.

All five of the EGBs used were able to deprotonate the nitrogen atom of the chiral auxiliary, but the yields of the acylated product (after the addition of benzoic anhydride) were quite different and ranged between 65% and 96%. The most efficient base seemed to be the EGB 1a derived from the reduction of 2-pyrrolidone (96% yield of N-acylated product, Table 1, entry 1). In fact, the yield decreases to 87% and 83% using the PBs tetraethyl ethylenetetracarboxylate and dioxygen (Table 1, entries 2 and 4, respectively) and to 68% and 65% using azobenzene and ethyl α -bromoisobutyrate (Table 1, entries 3 and 5). This remarkable decrease in yields may be related to the complex reactivity of some electrogenerated bases.¹² Actually, not only the substrates but also the solvent may be deprotonated in some cases. In addition, the behavior of the EGBs as nucleophiles must not be overlooked. Moreover, the reactivity of superoxide ion both as an oxidizing and as a reducing agent is well-known.¹³

The good choice of anhydride **12** as acylating agent has been confirmed by the lower reaction yields obtained using the corresponding acyl halides in lieu of anhydride. Actually, the reaction between EGB **1a**, oxazolidinone **6**, and benzoyl bromide or chloride (in the reaction conditions of Table 1) gave **6a** only in 63% or 57% yield, respectively, according to the stability of the acylating agents.

To determine whether this method of N-acylation of Evans' chiral auxiliaries could be generalized, we extended the study to oxazolidinones 7-11 according to the optimized reaction conditions, i.e., 2-pyrrolidone as PB

Table 2. Reaction between 2-Pyrrolidone EGB 1a, Oxazolidinones 6–11, and Benzoic Anhydride 12 in 1:1:1 Mole Ratio: Yield of N-Acyloxazolidinones 6a–11a

Entry	Oxazolidin- 2-one	N-Acyloxazolidin- 2-one (yield, %) ^a
1	O HN Ph 6	Ph N O Ph 6a (96)
2	HN Me 7	Ph N O Me Ph 7a (84)
3	HN Ph [°] Ph 8	Ph N O Ph N O Ph Ph Ph 8a (87)
4	O M M M M M M M M	0 0 Ph N 0
5	HN Bri 10	Ph N O Bn ² 10a (83)
6		Ph 0 N 0 11a (92)

^a Isolated yields.

and benzoic anhydride as acylating agent (Table 2). The reaction yields are good or excellent with all the substrates employed, regardless of the nature of the substituents on the carbon atoms in the 4- and 5-positions of the oxazolidinones, and epimerization has been completely avoided.

Moreover, we examined the reaction between EGB 1a, oxazolidinone 6, and various acylating agents. Table 3 shows how the reaction yields are affected by the nature of the acylating agent employed. It is to be stressed that the unsaturated carboxylic acid derivatives are less reactive than the saturated ones; in fact, when saturated anhydrides or acyl chlorides are used as acylating agents, *N*-acyloxazolidin-2-ones **6a**–**c** and **6f** have been isolated in high yields (Table 3, entries 1-3 and 6); in contrast, when unsaturated anhydrides or acyl chlorides are employed, N-enoyloxazolidin-2-ones 6d and 6e have been obtained in moderate yields (Table 3, entries 4 and 5). Through the use of these last reagents, it is not possible to obtain high yields of acylated product even by forcing the reaction conditions, i.e., an excess of base or acylating agent.

In addition, it has to be observed that, whichever base is employed (EGB or triethylamine⁴⁻⁷), the yields of the acylated products are affected by the nature of the

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Table 3. Yields of N-Acyloxazolidinones 6a-f in theReaction between Pyrrolidone EGB 1a, Oxazolidinone 6,and Acylating Agents 12-17 in Mole Ratios of ρ_A (1:1:1)and ρ_B (2:1:4)



^{*a*} Isolated yields. ^{*b*} Mole ratio of **1/6**/acylating agent equals 1:1: 1. ^{*c*} Mole ratio of **1/6**/acylating agent equals 2:1:4.

substituent at positions 4 and 5 in the oxazolidinone structure. Therefore, to get correct information about the soundness of the use of electrogenerated bases in place of triethylamine, it may be useful to compare the yields obtained for the same oxazolidinones by employing the electrochemical method or other methods reported in the literature.⁴ The data suitable for this comparison are summarized in Table 4.

The use of 2-pyrrolidone anion EGB allows us to obtain oxazolidinones **6g**, **7b**, and **10b** under mild conditions, through simple operations, and without catalysts; the yields are very high and significantly higher than those obtained by using triethylamine and a catalyst.

Conclusions

The study of the reactivity of oxazolidin-2-ones 6-11 versus acylating agents (anhydrides 12-15 or acyl chlorides 16 and 17) in solutions containing an electrogenerated base (1a-5a) has allowed us to establish a new methodology for the acylation of Evans' chiral auxiliaries under mild conditions and to avoid any possible epimerization. The acid-base reaction is easily performed at room temperature, and a severe control of anhydricity of the medium is not required (bottle dry acetonitrile was used as solvent). *N*-Acyloxazolidin-2-ones have been

Table 4. Yields of 3-Propionyl-2-oxazolidinones in the N-Acylation of Chiral Oxazolidin-2-ones with Propionic Anhydride in the Presence of 2-Pyrrolidone EGB (Round Brackets) or Triethylamine (Square Brackets) as the Base



^{*a*} Reaction between 2-pyrrolidone EGB 1a, oxazolidin-2-ones, and propionic anhydride in 2:1:4 ratio. Isolated yields. ^{*b*} Acylation of oxazolidin-2-ones carried out in the presence of triethylamine and catalytic amounts of 4-(N,N-dimethylamino)pyridine.⁴

isolated in high to excellent yields employing 2-pyrrolidone anion **1a** as the electrogenerated base. This EGB (easily obtained by electrolysis, under galvanostatic control, of solutions containing probase 2-pyrrolidone) is stable at room temperature and can be stored for a long time without loss of activity. This methodology represents a further example of the successful use of electrogenerated bases in organic synthesis.

Experimental Section

General. The electrochemical apparatus, the cell, and the reference electrode as well as the NMR instrument, polarimeter, and melting point apparatus were described elsewhere.¹⁴ Acetonitrile (MeCN) and tetraethylammonium perchlorate (TEAP) were purified as already described.¹⁵

Reagents. All reagents were commercially available and used as received.

Electrochemistry. General procedures, according to the use of the EGBs **1a**–**5a** obtained by electrochemical reduction of PBs **1**–**5**, are as follows:

Reduction of 2-Pyrrolidone, 1. The electrolyses were carried out under galvanostatic control ($I = 25 \text{ mA cm}^{-2}$) in a divided cell (platinum gauze cathode and anode) at room temperature on solutions of 2-pyrrolidone (0.5-1.0 mmol) in MeCN-0.1 mol dm⁻³ TEAP (30 mL) in which N₂ was continuously bubbling. After the consumption of 1.0 F mol⁻¹ of 2-pyrrolidone, the current was switched off, the cathodic solution was added to the oxazolidinone (0.5 mmol), and the mixture was stirred at room temperature for 30 min. Then, the acylating agent (0.5-2.0 mmol) was added to the mixture, and the solution was stirred overnight at room temperature and then analyzed. The solvent was removed from the solution under reduced pressure, and after a TLC analysis, a flash column chromatography of the residue (using as eluent a mixture of petroleum ether (30-60 °C)/ethyl acetate 8:2) allowed the separation of the products, the identity of which was established by comparison

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of their spectral (^1H, $^{13}C,$ and $[\alpha]_D)$ data with those reported in the literature, when possible.

Reduction of Tetraethyl Ethylenetetracarboxylate, 2. The electrolysis was carried out at a constant potential of -1.2 V vs SCE in a divided cell (cathode, Hg; anode, Pt) at room temperature on a solution of MeCN-0.1 mol dm⁻³ TEAP (30 mL) containing tetraethyl ethylenetetracarboxylate (0.5 mmol) and (R)-(-)-4-phenyl-2-oxazolidinone (0.5 mmol) in which N₂ was continuously bubbling. After the consumption of 1.0 F mol⁻¹ of PB, the current was switched off and benzoic anhydride (0.5 mmol) was added to the cathodic solution, which was stirred overnight at room temperature and then analyzed.

Reduction of Azobenzene, 3. The electrolysis was carried out at a constant potential of -1.5 V vs SCE in a divided cell (cathode, Hg; anode, Pt) at room temperature on a solution of MeCN-0.1 mol dm⁻³ TEAP (30 mL) containing azobenzene (0.5 mmol) and (R)-(-)-4-phenyl-2-oxazolidinone (0.5 mmol) in which N₂ was continuously bubbling. After the consumption of 1.0 F mol⁻¹ of PB, the current was switched off and benzoic anhydride (0.5 mmol) was added to the cathodic solution, which was stirred overnight at room temperature and then analyzed.

Reduction of Dioxygen, 4. The electrolysis was carried out at a constant potential of -1.0 V vs SCE in a divided cell (cathode, Hg; anode, Pt) at room temperature on a solution of MeCN-0.1 mol dm⁻³ TEAP (30 mL) containing (*R*)-(-)-4-phenyl-2-oxazolidinone (0.5 mmol) in which O₂ was continuously bubbling. After the consumption of 1.0 F mol⁻¹ of PB, the current was switched off, N₂ was bubbled into the solution, and benzoic anhydride (0.5 mmol) was added to the catholyte, which was stirred overnight at room temperature and then analyzed.

Reduction of Ethyl α -**Bromoisobutyrate, 5.** The electrolysis was carried out at a constant potential of -1.2 V vs SCE in a divided cell (cathode, Hg; anode, Pt) at room temperature on a solution of MeCN-0.1 mol dm⁻³ TEAP (30 mL) containing ethyl α -bromoisobutyrate (0.5 mmol) and (R)-(-)-4-phenyl-2-oxazolidinone (0.5 mmol) in which N₂ was continuously bubbling. When the current dropped to the preelectrolysis value (after the consumption of 2.0 F mol⁻¹ of PB), the current was switched off, and benzoic anhydride (0.5 mmol) was added to the cathodic solution, which was stirred overnight at room temperature and then analyzed.

Isolated Products. (4*R*)-3-Acetyl-4-phenyl-2-oxazolidinone (**6b**),¹⁶ (4*R*)-3-(2-(*E*)-butenoyl)-4-phenyl-2-oxazolidinone (**6d**),¹⁷ (4*R*)-3-(3-phenyl-2-(*E*)-propenoyl)-4-phenyl-2-oxazolidinone (**6e**),¹⁸ (4*R*)-4-phenyl-3-propionyl-2-oxazolidinone (**6g**),⁴ (4*R*,5*S*)-4-methil-5-phenyl-3-propionyl-2-oxazolidinone (**7b**),⁴ and (4*S*)-4-benzyl-3-propionyl-2-oxazolidinone (**7b**),⁴ and (4*S*)-4-benzyl-3-propionyl-2-oxazolidinone (**10b**)⁴ gave spectral data in accordance with that reported in the literature.

(4*R*)-3-Benzoyl-4-phenyl-2-oxazolidinone, 6a: mp 187–188 °C. ¹H NMR (CD₃CN, 200 MHz): δ 7.71–7.33 (m, 10H), 5.60 (dd, 1H, J = 8.4, 7.6 Hz), 4.78 (dd, 1H, J = 9.1, 8.4 Hz), 4.22 (dd, 1H, J = 9.1, 7.6 Hz). ¹³C NMR (CD₃CN, 50.3 MHz): δ 170.32, 154.92, 139.74, 135.04, 133.18, 130.03, 129.88, 129.53, 128.97, 127.48, 71.08, 59.72. GC–MS *m/z*: M⁺ absent, 223 (M⁺ – CO₂, 8%), 105 (PhCO⁺, 100%), 77 (68%). [α]²⁰_D –75.9 (*c* 0.94, AcOEt).

(4*R*, 5*S*)-3-Benzoyl-4-methyl-5-phenyl-2-oxazolidinone, 7a: mp 128–129 °C. ¹H NMR (CD₃CN, 200 MHz): δ 7.68–7.40 (m, 10H), 5.86 (d, 1H, *J* = 7.6 Hz), 4.92 (app quint, 1H, *J* = 6.7 Hz), 0.97 (d, 1H, J = 6.7 Hz). ¹³C NMR (CD₃CN, 50.3 MHz): δ 170.49, 154.14, 135.53, 132.77, 129.77, 129.65, 129.54, 128.91, 127.32, 80.01, 56.32, 14.98. GC–MS m/z: 281 (M⁺, 2%), 237 (M⁺ – CO₂, 2%), 105 (PhCO⁺, 100%), 77 (53%). [α]²⁰_D +46.6 (c 0.88, AcOEt).

(4.5, 5.R)-3-Benzoyl-4,5-diphenyl-2-oxazolidinone, 8a: mp 139–140 °C. ¹H NMR (CD₃CN, 200 MHz): δ 7.74–7.49 (m, 5H), 7.18–7.04 (m, 10H), 6.13 (d, AB, 1H, $J_{AB} = 8.1$ Hz, $\Delta \nu = 41.0$ Hz), 5.92 (d, AB, 1H, $J_{AB} = 8.1$ Hz, $\Delta \nu = 41.0$ Hz), 5.92 (d, AB, 1H, $J_{AB} = 8.1$ Hz, $\Delta \nu = 41.0$ Hz). ¹³C NMR (CD₃CN, 50.3 MHz): δ 169.98, 154.65, 136.55, 135.26, 135.04, 133.03, 129.66, 129.23, 129.02, 128.89, 127.91, 127.48, 80.87, 64.22. GC–MS *m/z*: M⁺ absent, 299 (M⁺ – CO₂, 6%), 105 (PhCO⁺, 100%), 77 (53%). [α]²⁰_D – 59.6 (*c* 0.99, AcOEt).

(4*R*)-3-Benzoyl-4-isopropyl-2-oxazolidinone, 9a: mp 133–134 °C. ¹H NMR (CDCl₃, 200 MHz): δ 7.67–7.36 (m, 5H), 4.67 (qd, 1H, *J* = 8.9, 5.5, 4.6 Hz), 4.37 (dd, 1H, *J* = 8.9, 8.9 Hz), 4.22 (dd, 1H, *J* = 8.9, 5.5 Hz), 2.46 (d sept, 1H, *J* = 7.1, 4.6 Hz), 0.97 (d, 1H, *J* = 7.1 Hz), 0.95 (d, 1H, *J* = 7.1 Hz). ¹³C NMR (CDCl₃, 50.3 MHz): δ 169.82, 153.73, 133.29, 132.30, 129.04, 127.85, 63.48, 58.63, 28.34, 17.82, 15.07. GC–MS *m/z*: 233 (M⁺, 22%), 232 (M⁺ – 1, 27%), 190 (1%), 105 (PhCO⁺, 100%), 77 (79%). [α]²⁰_D +155.0 (*c* 1.00, AcOEt).

(4*R*)-3-Benzoyl-4-benzyl-2-oxazolidinone, 10a: mp 129–130 °C. ¹H NMR (CD₃CN, 200 MHz): δ 7.62–7.26 (m, 10H), 4.87 (qd, 1H, *J* = 9.0, 7.7, 4.7, 3.8 Hz), 4.38 (dd, 1H, *J* = 9.0, 9.0 Hz), 4.25 (dd, 1H, *J* = 9.0, 4.7 Hz), 3.25 (dd, 1H, *J* = 13.6, 3.8 Hz), 3.09 (dd, 1H, *J* = 13.6, 7.7 Hz). ¹³C NMR (CD₃CN, 50.3 MHz): δ 174.87, 158.71, 141.11, 139.59, 136.92, 134.94, 133.94, 133.83, 133.02, 132.33, 71.93, 60.66, 42.31. GC–MS *m/z*. 281 (M⁺, 6%), 190 (2%), 105 (PhCO⁺, 100%), 77 (48%). [α]²⁰_D –75.9 (*c* 0.94, AcOEt).

(3a*R*-*cis*)-3-Benzoyl-3,3a,8,8a-tetrahydro-2*H*-indeno[1,2-*d*]-oxazol-2-one, 11a: mp 216–217 °C. ¹H NMR (CDCl₃, 200 MHz): δ 7.64–7.18 (m, 10H), 6.01 (d, 1H, *J*= 6.8 Hz), 5.45 (app td, 1H, *J* = 6.8, 1.3 Hz), 3.42 (dd, 1H, *J* = 17.8, 6.0 Hz), 3.23 (app d, 1H, *J* = 17.8 Hz). ¹³C NMR (CDCl₃, 50.3 MHz): δ 170.58, 153.50, 141.62, 140.88, 135.30, 132.47, 130.69, 129.61, 128.87, 128.66, 127.97, 126.44, 79.78, 64.96, 38.66. GC–MS *m/z*: M⁺ absent, 235 (M⁺ – CO₂, 8%), 105 (PhCO⁺, 100%), 77 (63%). [α]²⁰_D –173.4 (*c* 0.94, AcOEt).

(4*R*)-3-Butanoyl-4-phenyl-2-oxazolidinone, 6c: ¹H NMR (CDCl₃, 200 MHz): δ 7.40–7.24 (m, 5H), 5.39 (dd, 1H, *J* = 8.7, 3.6 Hz), 4.78 (dd, 1H, *J* = 8.7, 8.7 Hz), 4.22 (dd, 1H, *J* = 8.7, 3.6 Hz), 2.88 (t, 2H, *J* = 7.4 Hz), 1.61 (q, 2H, *J* = 7.4 Hz), 0.89 (t, 3H, *J* = 7.4 Hz). ¹³C NMR (CDCl₃, 50.3 MHz): δ 172.59, 153.70, 139.23, 129.10, 128.58, 125.83, 69.89, 57.51, 37.32, 17.58, 13.48. GC–MS *m*/*z*: 233 (M⁺, 1%), 189 (M⁺ – CO₂, 5%), 162 (9%), 71 (57%), 43 (100%). [α]²⁰_D – 77.8 (*c* 0.84, AcOEt).

(4*R*)-3-(3-Phenylpropanoyl)-4-phenyl-2-oxazolidinone, 6f: mp 126–127 °C. ¹H NMR (CDCl₃, 200 MHz): δ 7.37–7.16 (m, 10H), 5.39 (dd, 1H, J = 8.9, 3.4 Hz), 4.64 (dd, 1H, J = 8.9, 8.9 Hz), 4.24 (dd, 1H, J = 8.9, 3.4 Hz), 3.26 (t, 2H, J = 7.6 Hz), 2.92 (t, 2H, J = 7.6 Hz). ¹³C NMR (CDCl₃, 50.3 MHz): δ 171.85, 153.65, 140.36, 139.03, 129.16, 128.66, 128.47, 128.40, 126.17, 125.86, 69.97, 57.56, 37.07, 30.14. GC–MS m/z: 295 (M⁺, 4%), 251 (M⁺ – CO₂, 2%), 104 (100%), 91 (52%), 77 (29%). [α]²⁰_D – 67.4 (*c* 0.96, AcOEt).

Acknowledgment. The authors thank Mr. M. Di Pilato for his contribution to the experimental part of this work. This work was supported by research grants from MURST (Cofin 2000) and CNR, Roma, Italy.

JO010038+

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