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Asymmetric Synthesis of 3-Substituted Morpholinones and Piperazinones by *L*-Malate-mediated Dynamic Kinetic Resolution of α-Bromo Esters

Jinho Baek, Jung In Jang and Yong Sun Park*

*Department of Chemistry, Konkuk University, Seoul 143-701, Korea. *E-mail: parkyong@konkuk.ac.kr*
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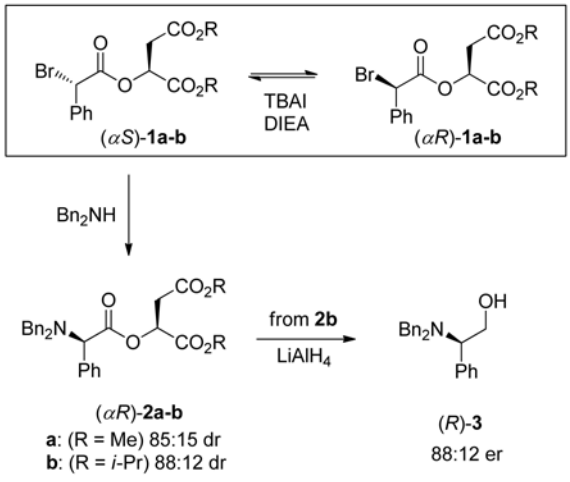
Chiral auxiliary-mediated dynamic resolution of α-halo esters has been known as an effective method for asymmetric synthesis of α-heteroatom substituted carboxylic acid derivatives.[1] While the synthetic method can achieve a useful level of stereoselectivity, it is still of interest to find novel ways to utilize the dynamic resolution for practical synthesis. We have previously reported *L*-malate-mediated dynamic kinetic resolution of α-bromo esters with various aryl amines for asymmetric synthesis of *N*-aryl substituted amino acid derivatives.[2] Herein we report our results on the extension of the methodology to various alkyl amines for practical asymmetric syntheses of morpholin-2-ones and piperazin-2-ones.

Initial studies on *L*-malate-mediated dynamic kinetic resolution were performed with α-bromo esters **1a-b** and dibenzylamine (Bn_2NH) as shown in Scheme 1. When the diastereomeric mixture (1:1) of dimethyl ester (α*RS*)-**1a** was treated with tetrabutylammonium iodide (TBAI, 1.0 equiv), diisopropylethylamine (DIEA, 1.0 equiv) and dibenzylamine (1.5 equiv) in CH_2Cl_2 at room temperature for 24 h, the amino acid derivative (α*R*)-**2a** was produced in 76% yield with 85:15 diastereomeric ratio (dr). Also, we examined the substitution of diisopropyl ester (α*RS*)-**1b** under the same reaction condition. The reaction of **1b** gave amino acid derivative (α*R*)-**2b** with a slightly higher dr of 88:12 in 73% yield. Subsequent reductive cleavage of (α*R*)-**2b** using $LiAlH_4$ furnished the enantioenriched *N,N*-dibenzyl 2-aminoalcohol (*R*)-**3** in 77% yield with 88:12 enantiomeric ratio (er). The results imply that α-bromo carbon center is configurationally labile and α-bromo esters **1a-b** are dynamically resolved under the reaction condition.



Scheme 1. *L*-Malate-mediated dynamic kinetic resolution in nucleophilic substitution.

A series of reactions were examined with diisopropyl ester **1b** and dibenzylamine to assess the effect of solvent and temperature on yield and selectivity as shown in Table 1. Most of the solvents explored gave similar selectivities to give **2b** with 87:13 dr in CH_3CN, 85:15 dr in ethyl acetate, 88:12 dr in *p*-dioxane and 87:13 dr in THF. However, the selectivity was reduced in DMSO (entry 5) and the reaction was very slow in *n*-hexane. Decreasing reaction temperature reduced the rate of the reaction significantly with a lower selectivity of 84:16 dr while similar selectivity was observed at 40 °C (entries 7 and 8).

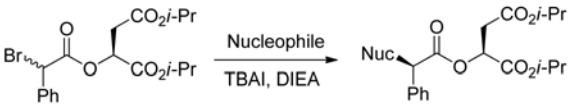
Next, we examined five different alkyl amine nucleophiles to evaluate the scope of the dynamic kinetic resolution as shown in Table 2. The treatment of diisopropyl ester **1b** with *N*-benzyl-2-phenethylamine for 24 h at room temperature gave **4** in 83% yield with 86:14 dr (entry 1). Notably, when cyclic secondary amine nucleophiles were used, the reactions gave much lower stereoselectivities (entries 2-3). Also, the reactions with two primary amines provided the products **7-8** with lower selectivities compared to the reactions with

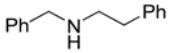
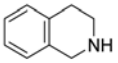
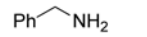
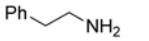
Table 1. Solvent and temperature effect on stereoselectivity

Entry[a]	Solvent	Temp	Yield[b] (%)	Dr[c]
1	CH_3CN	rt	65	87:13
2	Ethyl acetate	rt	68	85:15
3	Dioxane	rt	81	88:12
4	THF	rt	77	87:13
5	DMSO	rt	43	78:12
6	CH_2Cl_2	0 °C	19	84:16
7	CH_2Cl_2	40 °C	77	87:13

[a]All reactions are carried out for 24 h. [b]Isolated yields. [c]The drs are determined by ^1H NMR of reaction mixture.

Table 2. Reactions with various alkyl amine nucleophiles



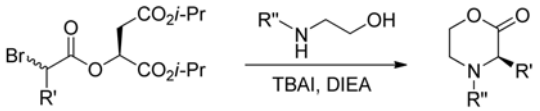
Entry[a]	Nucleophile	Yield[b] (%)	Dr[c]
1		83 (**4**)	86:14
2		59 (**5**)	72:28
3		76 (**6**)	80:20
4		75 (**7**)	84:16
5		62 (**8**)	80:20

[a]The reactions were carried out in methylene chloride. [b]Isolated yields. [c]The drs are determined by ^{1}H NMR of reaction mixture.

non-cyclic secondary amine nucleophile (entries 4-5). Limited results in Table 2 indicate that the size of amine nucleophile significantly affect the stereoselectivity of the nucleophilic substitution.

Encouraged by the high enantioselectivities in the reactions with non-cyclic secondary amines, we set out to examine the substitutions with *N*-substituted 2-aminoethanol nucleophiles for asymmetric syntheses of 3-substituted morpholin-2-ones as shown in Table 3.[3] When diisopropyl ester **1b** was treated with *N*-benzyl 2-aminoethanol, TBAI and DIEA for 48 h, we were pleased to observe that the substitution and following spontaneous cyclization gave *N*-benzyl 3-phenyl-morpholin-2-one **10** in 65% yield with 90:10 er (entry 1). The reaction of α-bromo propionate **9** afforded 3-methyl-morpholin-2-one **11** with a lower stereoselectivity of 82:18 er (entry 2). In an effort to improve the stereoselectivity, we tested three different 2-aminoethanol nucleophiles. The reactions with two *N*-benzyl 2-aminoethanol derivatives produced morpholin-2-ones **12** and **13**

Table 3. Asymmetric synthesis of 3-substituted morpholinones



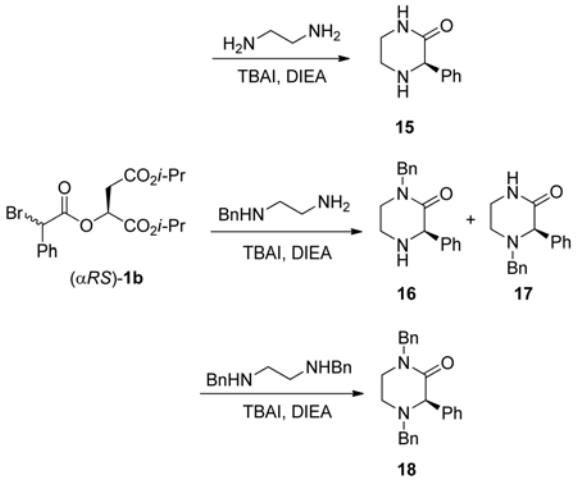
1b (R' = Ph)
9 (R' = Me)

(*R*)-**10-14**

Entry[a]	R'	R"	Yield[b] (%)	Er[c] (*R*;*S*)
1	Ph	$PhCH_2$	65 (**10**)	90:10
2	Me	$PhCH_2$	69 (**11**)	82:18
3	Ph	*m*-Me-$PhCH_2$	71 (**12**)	88:12
4	Ph	*p*-MeO-$PhCH_2$	61 (**13**)	89:11
5	Ph	*p*-MeO-Ph	74 (**14**)	94:6

[a]All reactions are carried out for 48 h in methylene chloride at rt. [b]Isolated yields. [c]Ers are determined by CSP-HPLC.

Table 4. Asymmetric synthesis of 3-phenyl piperazinones



Entry[a]	Nucleophile	Yield (%)	Er[d] (*R*;*S*)
1	$H_2NCH_2CH_2NH_2$	33	71:29
2	$BnHNCH_2CH_2NH_2$	45[b] (76:24)[c]	84:16 88:12[e]
3	$BnHNCH_2CH_2NHBn$	87	84:16

[a]The reactions are carried out in methylene chloride for 12 at rt. [b]Combined isolated yields of **16** and **17**. [c]The ratio (**16**:**17**) was determined by H NMR of the reaction mixture. [d]Ers are determined by CSP-HPLC. [e]Er of minor product **17**.

with similar yields and enantioselectivities (entries 3-4). As with *N*-*p*-methoxyphenyl 2-aminoethanol nucleophile, the reaction provided 3-phenyl-morpholin-2-one **14** with a higher stereoselectivity (94:6 dr) compared to the reaction with *N*-benzyl 2-aminoethanol (entry 5).

For asymmetric synthesis of 3-phenyl piperazin-2-ones we have investigated *L*-malate-mediated dynamic kinetic resolution of **1b** with various ethylenediamine nucleophiles.[4] When the diastereomeric mixture of diisopropyl ester **1b** was treated with TBAI, DIEA and ethylenediamine ($H_2NCH_2CH_2NH_2$) for 12 h, the substitution and spontaneous cyclization produced piperazin-2-one (*R*)-**15** in 33% yield with 71:29 er as shown in Table 4, entry 1. When *N*-benzyl ethylenediamine ($BnHNCH_2CH_2NH_2$) was used as a nucleophile, the reaction produced two regioisomers; 1-benzyl piperazin-2-one (**16**) as a major product with 84:16 er and 4-benzyl piperazin-2-one (**17**) as a minor product with 88:12 er. (entry 2) The regioselectivity of 76:24 indicates the higher reactivity of sterically less hindered primary amino group of the nucleophile. In addition, the reaction of **1b** with *N,N*-dibenzyl ethylenediamine ($BnHNCH_2CH_2NHBn$) nucleophile gave (*R*)-1,4-dibenzyl piperazin-2-one (**18**) with a comparable er of 84:16.

We conclude that dynamic kinetic resolution of *L*-malate-derived α-bromo esters in nucleophilic substitution with alkyl amines can be successfully applied towards the preparation of various enantioenriched amino acid derivatives. The results showed that stereoselectivity depends on solvent, temperature and the structure of amine nucleophiles. In the substitution with *N*-substituted 2-aminoethanol or ethylene-

diamine, subsequent spontaneous cyclization can provide a convenient procedure for asymmetric syntheses of 3-substituted morpholin-2-ones and piperazin-2-ones. The simple protocol with mild condition and the spontaneous removal of chiral auxiliary suggests further applications to asymmetric syntheses of various heterocyclic compounds.

Experimental

General Procedure for the Asymmetric Nucleophilic Substitution *via* Dynamic Kinetic Resolution. To a solution of α-bromo ester (**1** and **9**) in CH_2Cl_2 (*ca*. 0.1 M) at room temperature were added DIEA (1.0 equiv), TBAI (1.0 equiv) and an amine nucleophile (1.5 equiv). After the resulting reaction mixture was stirred at room temperature for 12-48 h, the solvent was evaporated and the crude material was purified by column chromatography to give a α-amino ester. The drs of **2** and **4-8** were determined by ^{1}H NMR integration of hydrogens of two diastereomers and the ers of **10-18** were determined by chiral stationary phase HPLC.

(*R*)-α-(Dibenzylamino)phenylacetic Acid *L*-diisopropyl Malate Ester (2b). ^{1}H NMR ($CDCl_3$, 400 MHz, major diastereomer) 7.39-7.20 (m, 15H), 5.60 (m, 1H), 5.17 (m, 1H), 4.95 (m, 1H), 4.71 (s, 1H), 3.80 (s, 4H), 2.85 (m, 2H), 1.32 (d, J = 6.4 Hz, 3H), 1.30 (d, J = 6.4 Hz, 3H), 1.15 (d, J = 6.0 Hz, 3H), 1.10 (d, J = 6.0 Hz, 3H); ^{13}C NMR ($CDCl_3$, 100 MHz, major diastereomer) 171.3, 168.6, 168.3, 139.6, 136.5, 129.0, 128.9, 128.8, 128.3, 128.2, 127.8, 127.0, 69.8, 69.0, 68.8, 65.4, 53.9, 36.6, 21.8, 21.7. Subsequent reductive cleavage of **2b** using $LiAlH_4$ furnished (*R*)-2-dibenzylamino-2-phenylethanol **3**. ^{1}H NMR ($CDCl_3$, 400 MHz) 7.44-7.25 (m, 15H), 4.14 (dd, J = 10.6, 10.6 Hz, 1H), 3.96-3.90 (m, 3H), 3.62 (m, 1H), 3.15 (d, J = 13.4 Hz, 1H), 3.01 (br, 1H). The enantiomeric ratio of **3** was determined to be 88:12 in favor of the *R* enantiomer by CSP-HPLC using racemic material as a standard. (Chiralcel OD column; 10% 2-propanol in hexane; 0.5 mL/min): 12.7 min (*R*), 19.4 min (*S*).

(*R*)-α-(*N*-Benzyl phenethylamino)phenylacetic Acid *L*-diisopropyl Malate Ester (4). ^{1}H NMR ($CDCl_3$, 400 MHz, major diastereomer) 7.34-6.97 (m, 15H), 5.57 (m, 1H), 5.11 (m, 1H), 4.92 (m, 1H), 4.77 (s, 1H), 3.91 (d, J = 14.4 Hz, 1H), 3.80 (d, J = 14.4 Hz, 1H), 2.92-2.80 (m, 6H), 1.27 (d, J = 6.4 Hz, 3H), 1.24 (d, J = 6.4 Hz, 3H), 1.13 (d, J = 6.4 Hz, 3H), 1.10 (d, J = 6.4 Hz, 3H); ^{13}C NMR ($CDCl_3$, 100 MHz, major diastereomer) 171.4, 168.6, 168.3, 140.2, 139.8, 136.7, 128.9, 128.8, 128.7, 128.3, 128.2, 127.8, 126.9, 125.8, 69.8, 69.0, 68.8, 67.2, 55.1, 51.8, 36.6, 34.6, 21.8, 21.7.

(*R*)-α-(1-Pyrrolidinyl)phenylacetic Acid *L*-diisopropyl Malate Ester (5). ^{1}H NMR ($CDCl_3$, 400 MHz, major diastereomer) 7.48-7.27 (m, 5H), 5.41 (m, 1H), 5.03 (m, 1H), 4.85 (m, 1H), 4.02 (s, 1H), 2.79-2.46 (m, 6H), 1.79 (m, 4H), 1.29-1.03 (m, 12H); ^{13}C NMR ($CDCl_3$, 100 MHz, major diastereomer) 170.8, 168.3, 168.2, 137.1, 128.6, 128.5, 128.3, 73.3, 69.6, 68.7, 67.4, 61.1, 52.4, 49.7, 36.5, 23.7, 23.4, 21.8, 21.4.

(*R*)-α-(3,4-Dihydro-2(1*H*)-isoquinolinyl)phenylacetic Acid *L*-diisopropyl Malate Ester (6). ^{1}H NMR ($CDCl_3$, 400 MHz, major diastereomer) 7.52-7.08 (m, 9H), 5.45 (m, 1H), 5.08 (m, 1H), 4.84 (m, 1H), 4.35 (s, 1H), 3.72 (m, 1H), 2.88-2.78 (m, 6H), 1.28-1.06 (m, 12H); ^{13}C NMR ($CDCl_3$, 100 MHz, major diastereomer) 170.7, 168.4, 168.2, 134.3, 128.9, 128.7, 128.6, 128.5, 126.7, 126.1, 125.6, 72.9, 69.7, 69.1, 68.8, 53.6, 48.2, 36.5, 28.9, 21.7, 21.6.

(*R*)-α-(Benzylamino)phenylacetic Acid *L*-diisopropyl Malate Ester (7). ^{1}H NMR ($CDCl_3$, 400 MHz, major diastereomer) 7.40-7.26 (m, 10H), 5.45 (m, 1H), 5.08 (m, 1H), 4.84 (m, 1H), 4.51 (s, 1H), 3.81 (m, 2H), 2.76 (m, 2H), 1.25 (d, J = 6.4 Hz, 3H), 1.22 (d, J = 6.4 Hz, 3H), 1.10 (d, J = 6.4 Hz, 3H), 1.05 (d, J = 6.4 Hz, 3H); ^{13}C NMR ($CDCl_3$, 100 MHz, major diastereomer) 171.9, 168.5, 168.1, 139.0, 137.2, 128.7, 128.6, 128.2, 127.8, 127.7, 127.3, 69.8, 69.4, 68.8, 63.9, 51.3, 36.4, 21.7, 21.6.

(*R*)-α-(Phenethylamino)phenylacetic Acid *L*-diisopropyl Malate Ester (8). ^{1}H NMR ($CDCl_3$, 400 MHz, major diastereomer) 7.35-7.19 (m, 10H), 5.41 (m, 1H), 5.05 (m, 1H), 4.82 (m, 1H), 4.49 (s, 1H), 2.85-2.73 (m, 6H), 1.92 (br, 1H), 1.25-1.02 (m, 12H); ^{13}C NMR ($CDCl_3$, 100 MHz, major diastereomer) 172.1, 168.5, 167.9, 139.7, 137.6, 128.6, 128.4, 128.1, 127.6, 126.2, 69.8, 69.2, 68.7, 65.2, 49.1, 36.4, 21.7, 21.5.

***N*-Benzyl-3-(*R*)-phenyl-morpholin-2-one (10).** ^{1}H NMR ($CDCl_3$, 400 MHz) 7.58-7.24 (m, 10H), 4.55 (dt, J = 11.0 Hz, 3.1 Hz, 1H), 4.37 (m, 1H), 4.26 (s, 1H), 3.77 (d, J = 13.4 Hz, 1H), 3.17 (d, J = 13.3 Hz, 1H), 2.99 (m, 1H), 2.64 (m, 1H). The spectral data were identical to those of the authentic material reported previously.[3b] CSP-HPLC (Chiralpak AD-H column; 10% 2-propanol in hexane; 0.5 mL/min) 90:10 er, 20.1 min (major enantiomer), 24.5 min (minor enantiomer).

***N*-Benzyl-3-(*R*)-methyl-morpholin-2-one (11).** ^{1}H NMR ($CDCl_3$, 400 MHz) 7.34-7.28 (m, 5H), 4.32 (m, 2H), 3.96 (d, J = 13.4 Hz, 1H), 3.42 (q, J = 6.9 Hz, 1H), 3.33 (d, J = 13.4 Hz, 1H), 2.86 (dt, J = 12.9 Hz, 3.7 Hz, 1H), 2.52 (m, 1H), 1.56 (d, J = 6.7 Hz, 3H). The spectral data were identical to those of the authentic material reported previously.[3b] CSP-HPLC (Chiralpak AD-H column; 2% 2-propanol in hexane; 0.5 mL/min) 82:18 er, 39.8 min (major enantiomer), 45.9 min (minor enantiomer).

***N*-(*m*-Methylbenzyl)-3-(*R*)-phenyl-morpholin-2-one (12).** ^{1}H NMR ($CDCl_3$, 400 MHz) 7.58-7.03 (m, 9H), 4.54 (dt, J = 11.4 Hz, 3.0 Hz, 1H), 4.34 (m, 1H), 4.24 (s, 1H), 3.73 (d, J = 13.3 Hz, 1H), 3.12 (d, J = 13.3 Hz, 1H), 2.97 (m, 1H), 2.62 (m, 1H), 2.32 (s, 3H). The spectral data were identical to those of the authentic material reported previously.[3b] CSP-HPLC (Chiralpak AD-H column; 10% 2-propanol in hexane; 0.5 mL/min) 88:12 er, 17.7 min (major enantiomer), 20.8 min (minor enantiomer).

***N*-(*p*-Methoxybenzyl)-3-(*R*)-phenyl-morpholin-2-one (13).** ^{1}H NMR ($CDCl_3$, 400 MHz) 7.58-7.31 (m, 5H), 7.16 (d, J = 8.5 Hz, 2H), 6.85 (d, J = 8.5 Hz, 2H), 4.54 (dt, J = 11.0 Hz, 3.0 Hz, 1H), 4.37 (m, 1H), 4.24 (s, 1H), 3.79 (s, 3H), 3.71 (d, J = 13.2 Hz, 1H), 3.12 (d, J = 13.2 Hz, 1H), 2.99 (m, 1H), 2.64 (m, 1H). The spectral data were identical

to those of the authentic material reported previously.[3b] CSP-HPLC (Chiralpak AD-H column; 10% 2-propanol in hexane; 0.5 mL/min) 89:11 er, 26.4 min (major enantiomer), 33.0 min (minor enantiomer).

***N*-(*p*-Methoxyphenyl)-3-(*S*)-phenyl-morpholin-2-one (14).** ^{1}H NMR ($CDCl_3$, 400 MHz) 7.52-7.33 (m, 5H), 6.83 (d, J = 9.0 Hz, 2H), 6.61 (d, J = 9.0 Hz, 2H), 5.43 (s, 1H), 4.47 (m, 2H), 3.75 (s, 3H), 3.68 (m, 2H). The spectral data were identical to those of the authentic material reported previously.[3b] CSP-HPLC (Chiralpak AD-H column; 10% 2-propanol in hexane; 0.5 mL/min) 94:6 er, 38.1 min (major enantiomer), 42.8 min (minor enantiomer).

3-(*R*)-Phenyl-piperazin-2-one (15). ^{1}H NMR ($CDCl_3$, 400 MHz) 7.44-7.29 (m, 5H), 6.57 (br, 1H), 4.59 (s, 1H), 3.53 (m, 1H), 3.39 (m, 1H), 3.16 (m, 1H), 3.08 (m, 1H), 1.95 (br, 1H). The spectral data were identical to those of the authentic material reported previously.[4] CSP-HPLC (Chiralcel OJ-H column; 10% 2-propanol in hexane; 0.5 mL/min) 71:29 er, 52.3 min (major enantiomer), 55.3 min (minor enantiomer).

1-Benzyl-3-(*R*)-phenyl-piperazin-2-one (16). ^{1}H NMR ($CDCl_3$, 400 MHz) 7.43-7.28 (m, 10H), 4.63 (m, 3H), 3.40 (m, 1H), 3.21 (m, 1H), 3.08 (m, 1H), 3.02 (m, 1H), 2.05 (br, 1H). The spectral data were identical to those of the authentic material reported previously.[4] CSP-HPLC (Chiralcel OD column; 20% 2-propanol in hexane; 0.5 mL/min) 84:16 er, 47.1 min (major enantiomer), 26.4 min (minor enantiomer).

4-Benzyl-3-(*R*)-phenyl-piperazin-2-one (17). ^{1}H NMR ($CDCl_3$, 400 MHz) 7.55-7.26 (m, 10H), 6.60 (br, 1H), 4.06 (s, 1H), 3.75 (d, J = 13.4 Hz, 1H), 3.45 (m, 1H), 3.25 (m, 1H), 3.17 (d, J = 13.4 Hz, 1H), 2.98 (m, 1H), 2.51 (m, 1H). The spectral data were identical to those of the authentic material reported previously.[4] CSP-HPLC (Chiralpak AD-H column; 10% 2-propanol in hexane; 0.5 mL/min) 88:12 er, 28.0 min (major enantiomer), 35.4 min (minor enantiomer).

1,4-Dibenzyl-3-(*R*)-phenyl-piperazin-2-one (18). ^{1}H NMR ($CDCl_3$, 400 MHz) 7.57-7.21 (m, 15H), 4.62 (d, J = 14.6 Hz, 1H), 4.53 (d, J = 14.6 Hz, 1H), 4.13 (s, 1H), 3.74 (d, J = 13.4 Hz, 1H), 3.42 (m, 1H), 3.13 (d, J = 13.4 Hz, 1H), 3.10 (m, 1H), 2.94 (m, 1H), 2.46 (m, 1H). The spectral data were identical to those of the authentic material reported previously.[4] CSP-HPLC (Chiralcel OD column; 10% 2-propanol in hexane; 0.5 mL/min) 84:16 er, 25.0 min (major enantiomer), 29.9 min (minor enantiomer).

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