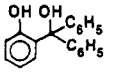
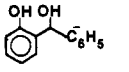
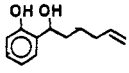
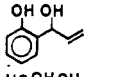
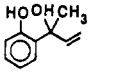
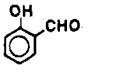
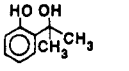
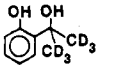
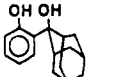
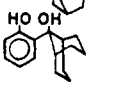
Table I. Adducts of Lithium o-Lithiophenoxide with Carbonyl Compounds

Substrate	Product	Yield[a]	mp (bp) °C	lit. mp °C ref
$C_6H_5CC_6H_5$ (O)		75	135.5-138.5	140-141[10]
C_6H_5CHO		88	87-89	84-85[11]
$CH_2=CH(CH_2)_3CHO$		82	oil	oil[12,13]
$CH_2=CH-CHO$		88	oil	25-30[12]
$CH_2=CH-C(=O)-CH_3$		80	oil	25-30[12]
$C_6H_5N(CHO)-CH_3$		68	(195)	(b)
CH_3CCH_3 (O)		80	44.5-45.5	41-45[7]
CD_3CCD_3 (O)		80	46-47	
		82	165-166	
		55	163-165	

[a] Isolated yield of purified product. [b] Identical with an authentic sample.

after purification by medium-pressure liquid chromatography using 6:1 hexane/ethyl acetate: oil (lit.[12] oil); ^1H NMR ($CDCl_3/Me_4Si$) 1.20 (s, 1 H, exchanges with D_2O), 5.00–5.30 (m, 3 H), 5.97 (ddd, 1 H, J = 14 Hz, J = 10 Hz, J = 5.5 Hz), 6.70–7.30 (m, 4 H), 8.30 (s, 1 H, exchanges with D_2O); IR (neat liquid) 3380 cm^{-1} (OH).

2-(2-Hydroxyphenyl)-3-buten-2-ol, isolated in 80% yield after purification by flash chromatography using 6:1 hexane/ethyl acetate: oil (lit.[12] oil); ^1H NMR ($CDCl_3/Me_4Si$) δ 1.00–2.28 (m, 6 H), 1.24 (s, 1 H, exchanges with D_2O), 4.68 (d, 1 H, J = 8 Hz), 4.80–5.10 (m, 2 H), 5.71 (ddt, 1 H, J = 17 Hz, J = 10 Hz, J = 6 Hz), 6.76–7.30 (m, 4 H), 8.00 (s, 1 H, exchanges with D_2O); IR (neat liquid) 3320 cm^{-1} (OH).

Acknowledgment. We wish to thank Dr. Elizabeth Williams and Mr. Paul Donahue for obtaining carbon NMR spectra, Mr. Steve Dorn for mass spectral data, and Lynn Heidrich Hendrickson for preparing this manuscript.

Registry No. **2a**, 95-56-7; **3d**, 55274-02-7; (2-hydroxyphenyl)diphenylmethanol, 6326-60-9; 2-phenyl-2-hydroxybenzyl alcohol, 40473-50-5; 1-(2-hydroxyphenyl)-5-hexen-1-ol, 38865-45-1; 1-(2-hydroxyphenyl)-2-propen-1-ol, 38865-40-6; 2-(2-hydroxyphenyl)-3-buten-2-ol, 38865-41-7; salicylaldehyde, 90-02-8; α,α-dimethyl-2-hydroxybenzyl alcohol, 3045-32-7; α,α-bis(trideuteriomethyl)-2-hydroxybenzyl alcohol, 93085-30-4; 2-(2-hydroxyphenyl)-2-hydroxytricyclo[3.3.1.1]decane, 93085-31-5; 9-(2-hydroxyphenyl)-9-hydroxybicyclo[3.3.1]nonane, 93085-32-6; 2-deuteriophenol, 23951-01-1; benzophenone, 119-61-9; benzaldehyde, 100-52-7; 5-hexenal, 764-59-0; 2-propenal, 107-02-8; 3-buten-2-one, 78-94-4; *N*-methyl-*N*-phenylformamide, 93-61-8; 2-propanone, 67-64-1; 2-propanone-d_6, 666-52-4; 2-adamantanone, 700-58-3; bicyclo[3.3.1]nonan-9-one, 17931-55-4; water-d_2, 7789-20-0; *n*-butyllithium, 109-72-8; hexane, 110-54-3.

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An Internal Imino-Diels-Alder Route to a Tetrahydroisoquinoline

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The internal Diels–Alder reaction of benzocyclobutenes (via quinodimethanes) has been exploited for heterocyclic systems on numerous occasions,[1] as has been the imino-Diels–Alder reaction,[2] but the generation of both reactive intermediates at the same time (e.g., **9**) has not yet been attempted. Construction of an appropriate precursor for the application of this route to the synthesis of Praziquantel (**1**), a well-known drug for the treatment of schistosomiasis,[3] was accomplished in a straightforward manner.

Our first choice for the leaving group on the oxymethylamide moiety, an acetoxy group (**7**), proved too labile, and the compound decomposed (to amide **6**). However, the less labile methoxy group **8** worked reasonably well and was easily prepared directly from the acetoxy intermediate. Pyrolysis of the precursor in various solvents was unavailing, but was successfully accomplished in the gas phase.

The only poor step in the sequence was the alkylation of the primary amine **3** with chloroacetamide (38%). No real attempt was made to optimize the yield; however, the alternative synthesis of amine **4** by reductive amination with glycineamide (30%, also unoptimized) appears to be more promising despite the lower yield.

Experimental Section

Melting points (uncorrected) were determined in open capillaries in a Thomas-Hoover Uni-melt apparatus. Routine proton spectra were obtained with a Varian EM360 nuclear magnetic resonance spectrometer, in deuteriochloroform, with tetramethylsilane as the internal standard. Infrared spectra were recorded on a Perkin-Elmer IR598 instrument. Elemental analyses were performed by the Galbraith Analytical Laboratories, Knoxville, TN. We offer thanks to Dr. Joseph W. Depenbusch for the authentic sample of (racemic) Praziquantel.

Hydrogenation[5] of Cyanobenzocyclobutene. Cyanobenzocyclobutene (**2**;[4] 8.0 g, 0.062 mol) was added to 300 mL of ethanol saturated with ammonia, followed by a slurry of 0.5 g of Raney nickel (W-2) in water (pH 10). The mixture was shaken in an atmosphere of hydrogen at 25–30 psi until no further hydrogen was absorbed (6–8 h) and then filtered through Celite and concentrated to give 8.5 g of crude product. This was distilled under vacuum to give (benzocyclobutenyl)methylamine (**3**) (7.96 g, 96%) as a colorless liquid: bp 35–40 °C (0.05 mm); ^1H NMR δ 7.15 (4 H, m, ArH), 3.75–2.60 (5 H, m), 1.30 (2 H, s, exchangeable D_2O, NH_2). IR 3640, 3360, 2915, 2845, 1590, 1580, 1570, 1455, 1445, 870 cm^{-1}. Anal. Calcd for $C_9H_{11}N$: C, 81.16; H, 8.32; N, 10.52. Found: C, 80.90; H, 8.32; N, 10.34.

Condensation of Amine 3 with Chloroacetamide. A mixture of amine **3** (8.0 g, 0.06 mol) and 6.0 g (0.064 mol) of chloroacetamide in 50 mL of absolute ethanol was refluxed under nitrogen for 6 h. After about 4 h the initially clear solution began to deposit white crystals. The hot mixture was suction filtered, and the crystalline product was washed with warm ethanol and dried under vacuum, affording hydrochloride **4** (5.2 g, 38%): mp 246–248 °C dec; ^1H NMR 9.40 (2 H, br s, exchangeable D_2O, NH_2^+), 8.07 and 7.60 (2 H, each br s, exchangeable D_2O, $CONH_2$), 7.26 (4 H, m, ArH), 3.77 (2 H, s, CH_2CO), 4.10–2.90 (5 H, m); IR 3380, 3200, 2920, 3880, 2760, 2623, 2415, 1780, 1642, 1455, 1415, 1318, 730 cm^{-1}. Anal. Calcd for $C_{11}H_{15}N_2OCl$: C, 58.28; H, 6.67; N, 12.35; Cl, 15.64. Found: C, 58.40; H, 6.86; N, 12.37; Cl, 15.71.

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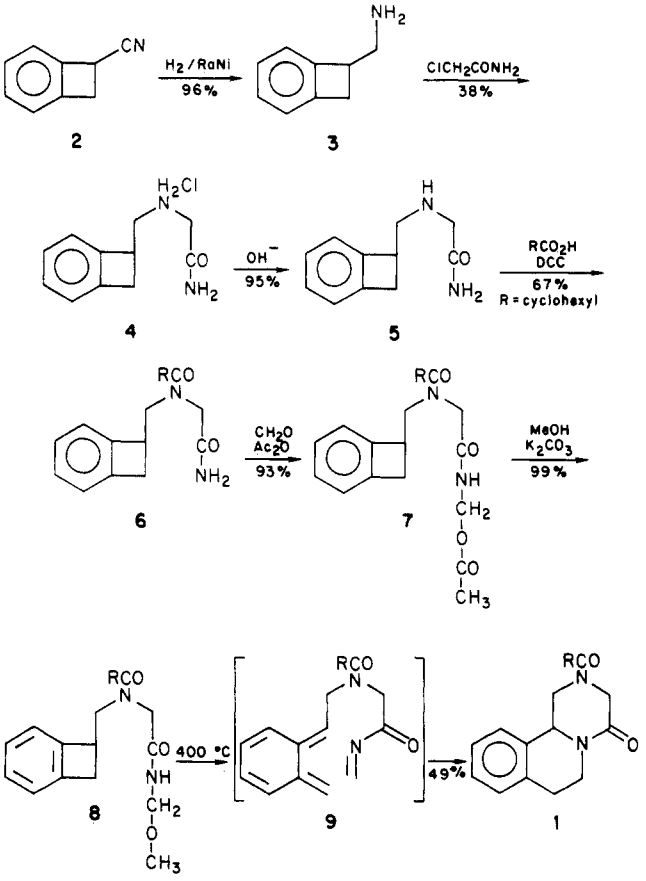
0022-3263/84/1949-5269$01.50/0

Scheme I



Preparation of Free Base 5. A. Neutralization of the Amine Hydrochloride. To a saturated aqueous solution of sodium bicarbonate (200 mL) at room temperature was added 5.2 g (22.9 mmol) of the hydrochloride 4 with stirring. The initially clear solution soon deposited a crystalline product. Stirring was continued for 30 min, and the reaction mixture was then extracted three times with 100-mL portions of chloroform. The combined organic layers were dried over anhydrous sodium sulfate and then concentrated to crude product that was recrystallized from ether (70 mL), affording 4.15 g (95%) of colorless crystals of **5**: mp 82–84 °C; ^{1}H NMR δ 7.15 (4 H, m, ArH), 6.20 (2 H, br s, $CONH_2$), 3.32 (2 H, s, CH_2CO), 3.73–2.66 (5 H, m), 1.70 (1 H, s, exchangeable D_2O, NH). IR 3495, 3410, 3360, 2990, 2910, 2825, 1685, 1675, 1545, 1450, 1245, 1125 cm^{-1}. Anal. Calcd for $C_{11}H_{14}N_2O$: C, 69.45; H, 7.42; N, 14.72. Found: C, 69.26; H, 7.53; N, 14.63.

B. Reductive Amination with Glycinamide. Glycinamide hydrochloride (7.0 g, 64 mmol) and 6.0 g (71 mmol) of sodium bicarbonate were dissolved in 5 mL of water, and the solution was stirred at room temperature for 1 h. The mixture was diluted with 50 mL of ethanol and then filtered to remove the precipitate of sodium chloride and excess sodium carbonate. To the filtrate was added 0.50 g (3.9 mmol) of cyanobenzocyclobutene and 0.5 g of an aqueous slurry of Raney nickel. This mixture was shaken under hydrogen at 20–25 psi until no further hydrogen was absorbed (4–6 h), then filtered through Celite, and concentrated under reduced pressure. The oily residue was dissolved in 25 mL of chloroform, washed with three 15 mL portions of water, dried over anhydrous sodium sulfate, and reconcentrated to 0.30 g of crude product. This was crystallized from 15 mL of ether and gave 0.22 g (30%) of pure free base **5**, mp 82–84 °C.

Preparation of Diamide 6. To a solution of the amine **5** (1.85 g, 9.72 mmol) and 1.85 g (14.4 mmol) of cyclohexanecarboxylic acid in 50 mL of methylene chloride was added 3.313 g (16.01 mmol) of dicyclohexylcarbodiimide. The mixture was stirred at room temperature for 24 h and then diluted with 50 mL of ether and refrigerated overnight. The precipitate of dicyclohexylurea was filtered, and the filtrate was concentrated under reduced pressure to an oily residue that was dissolved in 25 mL of ether and cooled overnight. The crude crystalline product that formed was collected by filtration (2.25 g) and purified by flash chromatography (ethyl acetate/silica gel) and then recrystallized from 20 mL of ether to give 1.97 g (67%) of colorless crystals: mp 117–119 °C; ^{1}H NMR δ 7.03 (4 H, m, ArH), 6.36 and 5.87 (2 H, br s, $CONH_2$), 4.05 (2 H, s, NCH_2CO), 3.74 (3 H, m, $CHCH_2N$), 3.70 (1 H, br d, J = 14 Hz, $ArCH_{2a}$), 2.80 (1 H, br d, J = 14 Hz, $ArCH_{2b}$, 2.33 (1 H, m, CHCO), 1.93–1.00 (10 H, m, c-C_6); IR 3475, 3390, 2990, 2845, 1680, 1635, 1622, 1575, 1450, 1240, 1120 cm^{-1}. Anal. Calcd for $C_{18}H_{24}N_2O_2$: C, 71.97; H, 8.05; N, 9.33. Found: C, 72.22; H, 8.06; N, 9.26.

Preparation of Acetoxymethyldiamide (7). A mixture of 1.5 g (5.0 mmol) of diamide **6**, 180 mg (6 mmol) of paraformaldehyde, 5 mL of acetic acid, and 15 mL of acetic anhydride[6] was stirred at 75–80 °C for 16 h and then concentrated under reduced pressure. The residue was flash chromatographed (ethyl acetate/silica gel) and gave 1.73 g (93%) of **7** as a colorless oil: ^{1}H NMR δ 7.52 (1 H, br t, NH), 7.10 (4 H, m, ArH), 5.18 (2 H, d, J = 7 Hz, NCH_2OAc), 4.08 (2 H, d, J = 2.5 Hz, NCH_2CO), 3.73 (3 H, m, CHCON), 3.38 (1 H, br d, J = 15 Hz, $ArCH_{2a}$), 2.36 (1 H, br d, J = 15 Hz, $ArCH_{2b}$), 2.36 (1 H, m, CHCON), 2.05 (3 H, s, OAc), 1.86–0.97 (10 H, m, c-C_6); IR 3440, 3050, 2935, 2860, 1735, 1695, 1625, 1525, 1450, 1315, 1230, 1015, 960 cm^{-1}.

Preparation of Methoxymethyldiamide (8). A mixture of acetoxymethyldiamide **7** (1.75 g, 4.70 mmol) and 1.00 g (7.23 mmol) of anhydrous potassium carbonate in 20 mL of methanol was stirred at room temperature for 16 h and then concentrated under reduced pressure. The residue was extracted with a mixture of 50 mL of ethyl acetate and 10 mL of water, and the organic layer was separated, dried over anhydrous sodium sulfate, and concentrated under reduced pressure to give 1.6 g (99%) of **8** as a colorless syrup: bp 190–195 °C (0.05 mm), which slowly crystallized: mp 81–83 °C; ^{1}H NMR δ 7.14 (4 H, m, ArH), 4.63 (2 H, d, J = 7 Hz, CH_2OMe), 4.12 (2 H, s, NCH_2CO), 3.76 (3 H, br s, $CHCH_2N$), 3.43 (1 H, dd, J = 15, 4 Hz, $ArCH_{2a}$), 3.30 (3 H, s, OMe), 2.70 (1 H, d, J = 15 Hz, $ArCH_{2b}$), 2.34 (1 H, m, NCOCH), 1.90–0.92 (10 H, m, c-C_6); IR 3422, 2990, 2930, 2850, 1680, 1635, 1625, 1615, 1575, 1440, 1240 cm^{-1}. Anal. Calcd for $C_{20}H_{28}N_2O_3$: C, 69.74; H, 8.19; N, 8.13. Found: C, 69.55; H, 8.30; N, 8.14.

Pyrolysis of Methoxymethyldiamide (8). The methoxymethyldiamide **8** (320 mg, 0.929 mmol) was heated at 150 °C at 0.1 mm in a slow stream of nitrogen which carried the vapor into a Pyrex glass tube (diameter 1 in.) packed with 3 in. of glass wool kept at 400–425 °C by a 12-in. tube oven. After 8 h the ovens were cooled to room temperature and air was admitted. Somewhat decomposed methoxymethyldiamide (210 mg, 66%) was recovered, and the crude product was collected as a yellow syrup (92 mg) on the cool exit walls of the Pyrex tube. The syrup was purified

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(5) Robertson, J. E.; Skorcz, J. A. U.S. Patent 3 308 157, 1967 (*Chem. Abstr.* **1967**, *67*, P43590). Skorcz, J. A.; Robertson, J. E. *J. Med. Chem.* **1965** *8*, 255. Sakhabutdinov, A. G.; Lipovich, V. G.; Kalechits, I. V. *Zh. Org. Khim.* **1975**, *11*, 1728 (*Chem. Abstr.* **1975**, *83*, 192892. Jenny, E. F.; Schenker CIBA, Swiss Patent 485 647, 1970, (*Chem. Abstr.* **1970**, *72*, P132388); CIBA, Belgium Patent 635 901, 1964, (*Chem. Abstr.* **1964**, *62*, 3987).

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by thick layer preparative chromatography (benzene/ethyl acetate 1/1, silica gel) and gave 52 mg (49%) of colorless crystalline Praziquantel (1): mp 132-133 °C, mixed mp 133-137 °C. The ^{1}H NMR and IR spectra of the product were identical with those of an authentic sample of Praziquantel (mp 137-138 °C).

Pyrolysis of the methoxy- and acetoxymethylamides in various solvents (toluene, xylene, and dichloro- and dibromobenzene, etc.) gave varying amounts of decomposition, the main component isolable being amide **6**, but no trace of Praziquantel.

Acknowledgment. The Edna McConnell Clark foundation supported this work with a generous grant. For this we are very grateful, for without their help the work could not have been done. We are also grateful for the technical assistance of Mr. John Perumattam.

Registry No. 1, 55268-74-1; **2**, 6809-91-2; **3**, 1005-19-2; **4**, 93255-04-0; **5**, 93255-05-1; **6**, 93255-06-2; **7**, 93255-07-3; **8**, 93255-08-4; chloroacetamide, 79-07-2; cyclohexanecarboxylic acid, 98-89-5; glycinamide hydrochloride, 1668-10-6; paraformaldehyde, 30525-89-4; acetic anhydride, 108-24-7.

Mild Deprotection of Carbapenem Esters with Aluminum Trichloride

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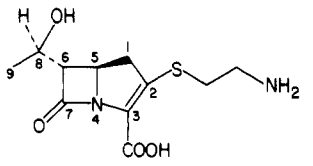
Since the isolation of the potent carbapenem antibiotic thienamycin **1** (Chart I) many similar antibiotics have been discovered and synthesized.[1] One crucial step in the synthesis of carbapenems is the final deprotection step of the C-3 ester function because *tert*-butyl, benzyl, and benzhydryl esters, which have been used as efficient protective groups in cephalosporin synthesis, have been found to be unsuitable in the synthesis of carbapenems which are very unstable to acid conditions.

Two representative methods in this area have been the deprotection of the *p*-nitrobenzyl (PNB) ester group by catalytic reduction[2] and the cleavage of the allyl ester group by the action of palladium(0).[3,4] However, these procedures have been used restrictively because the PNB ester group is sensitive to reduction and base-mediated transformations and the allyl ester group is sensitive to reduction and oxidation. Accordingly, a new deprotection method was needed for the carbapenem synthesis.

Here we describe the mild deprotection of benzhydryl (Bh) and *p*-methoxybenzyl (PMB) esters using aluminum trichloride in anisole.[5] PMB esters were expected to regenerate the carboxylic acid under milder conditions because of electronic factors. Although the reaction conditions appear to be drastic, the reaction can be carried out under completely nonacidic conditions, as illustrated by the effective synthesis of 1-oxacephems, which are believed to be more fragile than cephalosporins.

Chart I



1

First, we examined the deprotection of Bh esters of (±)-PS-5 **2a** and its analogue **2b** (Table I). Deprotection with aluminum trichloride in anisole diluted with cosolvent at −50 °C was carried out in a few minutes and the reaction mixture was quenched with aqueous sodium bicarbonate solution with cooling at −50 °C. After the temperature had been raised to 0 °C, passing the aqueous phase through an HP-20 (registered name) column gave the desired products **3a,b** in good yields. As expected, deprotection of the PMB ester of (±)-NS-5 **2c** proceeded smoothly and gave the zwitterionic product, i.e., (±)-NS-5 **3c** in 53.6% yield. In the same manner, several carbapenems containing optically active compounds **3g-l** were obtained in satisfactory yields.

The present mild deprotection with aluminum trichloride in anisole makes it possible to employ Bh and PMB esters as protecting groups in carbapenem chemistry. Moreover, it is an inexpensive and safe method for large-scale experiments.

Details of the chemical transformations leading to the substrates[7] for deprotection and the biological results of carbapenems will be published elsewhere.

Experimental Section

General Methods. All reactions were carried out under anhydrous conditions in a nitrogen atmosphere with anhydrous solvents dried over 4-Å molecular sieves. Melting points were determined on a Yanagimoto apparatus and were not corrected. Infrared (IR) spectra were recorded on a Hitachi 215 spectrometer. Proton nuclear magnetic resonance (^{1}H NMR) spectra were measured on a Varian T60-A or EM-390 spectrometer with tetramethylsilane or sodium 2,2-dimethyl-2-silapentane-5-sulfonate (in D_2O) as an internal reference. Ultraviolet (UV) spectra were recorded on a Hitachi 323 spectrometer. For column chromatography, silica gel (Merck silica gel 60) or Merck's Lobar column was used.

Sodium Salt of (±)-PS-5 3a (General Procedure for Compounds 3b-l). A stirred solution of **2a** (46.4 mg, 0.1 mmol) in

(1) For recent review, see: Ratcliffe, R. W.; Albers-Schönberg, G. "Chemistry and Biology of β-Lactam Antibiotics"; Morin, R. B., Gorman, M., Eds.; Academic Press: New York, 1982; pp 227-313.

(2) (a) See ref 1. (b) Johnston, D. B. R.; Schmitt, S. M.; Bouffard, F. A.; Christensen, B. G. *J. Am. Chem. Soc.* **1978**, *100*, 313.

(3) McCombie, S. W.; Ganguly, A. K.; Girijavallabhan, V. M.; Jefferey, P. D.; Lin, S.; Pinto, P. *Tetrahedron Lett.* **1981**, *22*, 3489.

(4) The other protecting groups are utilized. (a) Photolysis of *o*-nitrobenzyl esters; Cama, L. D.; Christensen, B. G. *J. Am. Chem. Soc.* **1978**, *100*, 8006. (b) Electrochemical cleavage of *p*-(methoxycarbonyl)-benzyl esters: Corbett, D. F.; Eglington, A. J. *J. Chem. Soc., Chem. Commun.* **1980**, 1083. (c) Ferres, H. *Med. Actual.* **1983**, *19*, (9) 499.

(5) Tsuji, T.; Kataoka, T.; Yoshioka, M.; Sendo, Y.; Nishitani, Y.; Hirai, S.; Maeda, T.; Nagata, W. *Tetrahedron Lett.* **1979**, 2793.

(6) The stereochemistry at the C-8 position of compounds **2i-l** was confirmed by inspection of their ^{1}H NMR spectra and comparison with those reported: (a) Bouffard, F. A.; Johnston, D. B. R.; Christensen, B. G. *J. Org. Chem.* **1980**, *45*, 1130. (b) Bouffard. F. A.; Christensen, B. G. *J. Org. Chem.* **1981**, *46*, 2208. (c) Crugnola, A.; Longo, A.; Casabuona, F.; Lombardi, P. *Tetrahedron Lett.* **1982**, *23*, 2777. (d) Martel, A.; Collerette, J.; Banville, J.; Daris, J.-P.; Lapointe, P.; Belleau, B.; Ménard, M. *Can. J. Chem.* **1983**, *61*, 613. (e) Corbett, D. F.; Coulton, S.; Southgate, R. *J. Chem. Soc., Perkin Trans. 1* **1982**, 3011.

(7) Substrates **2e,f** and **2i-l** are new compounds. All were prepared by application of the methods described in the following literature: (a) Kametani, T.; Honda, T.; Nakayama, A.; Sasakai, Y.; Mochizuki, T.; Fukumoto, K. *J. Chem. Soc., Perkins Trans. 1* **1981**, 2228. (b) Karady, S.; Amato, J. S.; Reamer, R. A.; Weinstock, L. M. *J. Am. Chem. Soc.* **1981**, *103*, 6765. (c) Salzmann, T. N.; Ratcliffe, R. W.; Christensen, B. G.; Bouffard, F. A. *J. Am. Chem. Soc.* **1980**, *102*, 6161. (d) Ikota, N.; Shibata, H.; Koga, K.; *Heterocycles* **1980**, *14*, (8), 1077. (e) Brooks, D. W.; Lu, L. D.-L.; Masamune, S. *Angew. Chem., Int. Ed. Engl.* **1979**, *18*, 72. (f) Ratcliffe, R. W.; Salzmann, T. N.; Christensen, B. G. *Tetrahedron Lett.* **1980**, *21*, 31. (g) Sletzinger, M.; Liu, T.; Reamer, R. A.; Shinkai, I. *Tetrahedron Lett.* **1980**, *21*, 4221. (h) Reider, P. J.; Grabowski, E. J. J. *Tetrahedron Lett.* **1982**, *23*, 2293. (i) Shinkai, I.; Liu, T.; Reamer, R. A.; Sletzinger, M. *Tetrahedron Lett.* **1982**, *23*, 4899.

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