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Substitutions on 1-Methoxynaphthalenes via their Oxazoline Derivatives: A Convenient Route to 1-Substituted Naphthoic Acids

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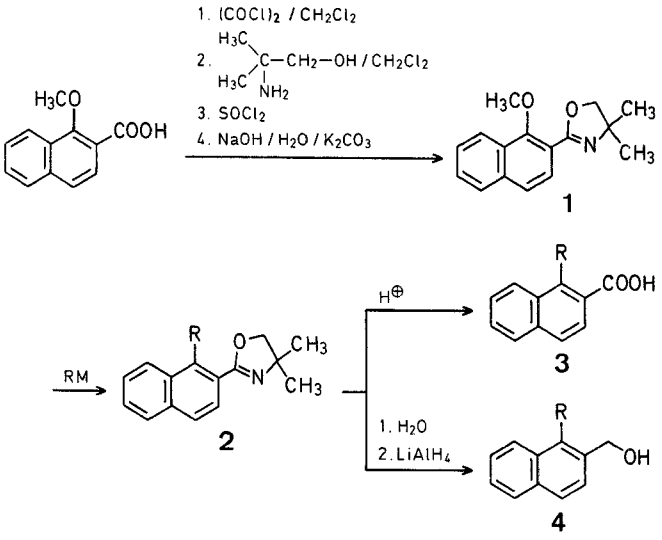
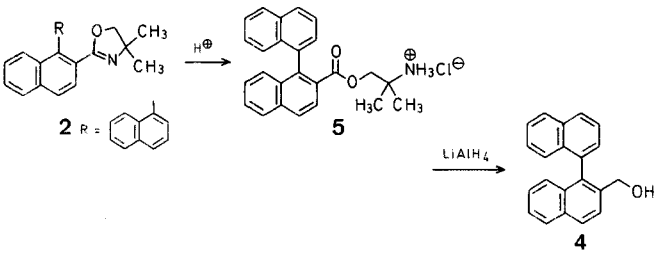
We have recently reported the preparation of lignan lactones derived from certain naphthyloxazolines[2] which demonstrated, within the context of these natural products, the versatility of aryl-substituted oxazolines. Previous work from this laboratory also described the utility of phenyloxazolines toward nucleophilic, electrophilic, addition-elimination, and cycloaddition modes of substitution[3].

We now report studies on naphthalenes containing an oxazoline moiety **(1)** which appear to be quite general for the preparation of a large number of substituted naphthoic acids **(3)** and naphthylmethanols **(4)**[4]. 1-Methoxy-2-naphthoic acid[5] was transformed into the naphthyloxazoline **1** in 70% yield, utilizing the reaction of 2-methyl-2-aminopropanol with the acid chloride followed by amide cyclodehydration with thionyl chloride. Treatment of **1** in tetrahydrofuran with various organometallic reagents at −15 °C for 1–3 h gave 1-substituted naphthalenes **2** (Table).



As seen from the Table, both Grignard and organolithium reagents served as useful nucleophilic reagents to affect this transformation. Further, use of so-called non-nucleophilic bases (e.g. lithium diisopropylamide) and soft anions (e.g. benzyl) also led to the desired products. The method further allows entry into the biaryl series if phenyl or naphthyl Grignard reagents are employed.

In order to remove the oxazoline moiety two techniques were employed. Acidic hydrolysis in 4–6 normal hydrochloric acid gave the naphthoic acids **3**. For the binaphthyls, acidic hydrolysis was slow and incomplete after 30 h[6]. An alternative technique was developed which involved partial hydrolysis of the oxazoline to the amino ester **5** followed by reduction with lithium aluminum hydride to the primary alcohol **4**.



Although this technique was not attempted with the other less sterically encumbered examples in the Table, its potential for success may be rather low. From previous experience on oxazoline hydrolysis[2], acidic treatment of oxazolines usually proceeds to the amide (via rearrangement of **5**) when there is less steric congestion in the vicinity of the oxazoline.

2-(4,4-Dimethyl-4,5-dihydro-1,3-oxazol-2-yl)-1-methoxynaphthalene (1):

Oxalyl chloride (7.5 ml, 0.085 mol) is added to a cold (0 °C) stirred solution of 1-methoxy-2-naphthoic acid (12.6 g, 0.062 mol) in dichloromethane (150 ml). The resulting reaction mixture is allowed to warm to room temperature overnight (18 h). Excess oxalyl chloride and solvent are removed by concentration on a rotary evaporator. The resulting acid chloride is re-dissolved in dichloromethane (40 ml), and added dropwise to a cold (0 °C) stirred solution of 2-amino-2-methylpropanol (11.26 g, 0.126 mol, 2 equiv) in dichloromethane (50 ml). After the addition is complete, the solution is stirred at room temperature overnight (18 h). The solid amine hydrochloride is filtered and the filtrate is concentrated to give the light brown amide. Thionyl chloride (6.5 ml, 0.089 mol) is slowly added to the amide and the resulting solution is stirred for 30 min at room temperature. Diethyl ether (50 ml) is added, but the oxazoline hydrochloride fails to precipitate from solution. The oily hydrochloride is then dissolved in water (75 ml), the ethereal layer is separated and discarded. The remaining aqueous solution is slowly made basic using 20% aqueous sodium hydroxide solution. The resulting solution is extracted with ether, the extract dried with potassium carbonate, and concentrated to give a thick brown oil which is bulb-to-bulb distilled (120–125 °C/0.07 torr) to give a pale yellow solid; yield: 11.6 g (70%); m.p. 68–72 °C (sublimation gives a white solid; m.p. 73–75 °C). Occasionally, this compound is obtained as a viscous yellow oil, which can be easily purified via chromatography (silica gel, 20% ethyl acetate/hexane) or used as such with comparable results.

$C_{16}H_{17}NO_2$	calc.	C 75.27	H 6.71	N 5.49
(255.3)	found	75.31	6.48	5.49

I.R. (film): $\nu = 1640$ cm^{-1} (C=N).

^{1}H-N.M.R. (CCl_4): $\delta = 1.45$ (s, 6H); 3.73 (s, 3H); 3.88 (s, 2H); 7.0–8.1 ppm (m, 6H).

2-(4,4-Dimethyl-4,5-dihydro-1,3-oxazol-2-yl)-1-methyl naphthalene (2a; R = CH$_3$); Typical Procedure:

To a cold (−15 °C) stirred solution of compound **1** (0.229 g, 0.898 mmol) in tetrahydrofuran (6 ml) is added dropwise, via syringe, methyllithium (0.70 ml of 1.41 molar solution in ether). The resulting red reaction mixture is stirred at −15 to 0 °C for 1.5 h, then quenched

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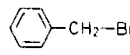
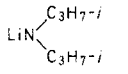
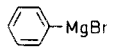
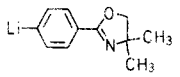
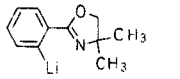
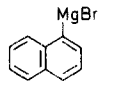
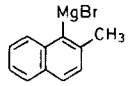
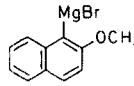
Table. Addition of Organometallic Reagents to 2-(4,4-Dimethyl-4,5-dihydro-1,3-oxazol-2-yl)-1-methoxynaphthalene (**1**) leading to 1-Substituted 2-(4,4-Dimethyl-4,5-dihydro-1,3-oxazol-2-yl)-naphthalenes **2**

Product	RM	Yield [%]	m.p. [°C]	I.R. (film) $\nu_{C=N}$ [cm^{-1}]	^{1}H-N.M.R. (solvent) δ [ppm]
2a	H_3CLi	84	oil	1645	(CCl_4): 1.36 (s, 6H); 2.92 (s, 6H); 3.97 (s, 2H); 7.3–8.2 (m, 6H)
2b	n-C_4H_9Li	80	oil	1640	(CCl_4): 0.8–1.85 (m, 13H); 3.45 (br. t, 2H); 3.95 (s, 2H); 7.3–8.2 (m, 6H)
2c	n-C_4H_9MgBr	59	oil	1635	(CCl_4): 1.30 (s, 6H); 3.92 (s, 2H); 4.97 (s, 2H); 7.0–8.2 (m, 12H)
2d		68	oil[a]	1645	($CDCl_3$): 1.00 (d, 6H); 1.12 (d, 6H); 1.35 (s, 6H); 3.45–4.19 (hept, 2H); 4.0 (s, 2H); 7.3–7.9 (m, 5H); 8.65 (m, 1H)
2e		78	oil[b]	1650	($CDCl_3$): 1.05 (t, 6H); 1.35 (s, 6H); 3.30 (d, 4H); 3.95 (s, 2H); 7.2–7.8 (m, 5H); 8.3–8.5 (m, 1H)
2f		84	oil	1660	(CCl_4): 1.12 (s, 6H); 3.59 (s, 2H); 7.2–7.9 (m, 11H)
2g		55	oil	1645	(CCl_4): 1.18 (s, 6H); 1.39 (s, 6H); 3.66 (s, 2H); 4.05 (s, 2H); 7.3–8.2 (m, 10H)
2h		32	84–87°	1655, 1635	(CCl_4): 0.93 (s, 6H); 1.13 (s, 3H); 1.16 (s, 3H); 3.37 (s, 2H); 3.60 (s, 2H); 7.1–8.0 (m, 11H)
2i		78	oil	1655	($CDCl_3$): 0.98 (s, 3H); 1.02 (s, 3H); 3.31, 3.42 (d, 2H); 7.1–8.1 (m, 13H)
2j		69	164–165°	1650	($CDCl_3$): 0.92 (s, 3H); 1.06 (s, 3H); 2.10 (s, 3H); 3.24, 3.39 (d, 2H); 7.1–8.2 (m, 12H)
2k		72	50–52°	1655	($CDCl_3$): 0.086 (s, 3H); 0.095 (s, 3H); 3.18, 3.42 (d, 2H); 3.63 (s, 3H); 7.1–8.3 (m, 12H)

[a] $C_{21}H_{28}N_2O$ (324.5) calc. C 77.73 H 8.70 N 8.63; found 77.83 8.53 8.66

[b] $C_{19}H_{24}N_2O$ (296.4) calc. C 76.99 H 8.16 N 9.45; found 76.77 8.22 9.37

with saturated aqueous ammonium chloride (2 ml), followed by stirring at room temperature for 1 h. Addition of ether followed by washing with water, brine, then drying with potassium carbonate, and concentration gives a thick yellow oil. Purification via preparative T.L.C. (silica gel, 20% ethyl acetate/hexane) affords the product as a clear, colorless, viscous oil; yield: 0.18 g (84%).

For those compounds carried on to naphthyl acids or alcohols, no analyses were performed since the final products were completely characterized (see below).

1-Methyl-2-naphthoic Acid (3a) from Hydrolysis of 2a:

A solution of **2a** (0.134 g, 0.56 mmol) in 6 normal aqueous hydrochloric acid (10 ml) is heated to reflux for 20 h. After cooling to room temperature, the aqueous layer is extracted three times with ether/dichloromethane. The combined organic layers are washed with brine, dried with magnesium sulfate, and concentrated to give the crude acid. Purification via preparative T.L.C. (silica gel, 20% acetonitrile/78% dichloromethane/2% acetic acid) gives the product; yield: 0.092 g (88%); m.p. 174–175 °C (Ref.[9], m.p. 178 °C).

^{1}H-N.M.R. ($CDCl_3$/acetone-d_6): δ = 2.99 (s, 3H); 7.4–8.4 (m, 6H); 9.3 ppm (br. s, 1H).

1-Benzyl-2-naphthoic Acid (3c):

In a similar manner, **2c** (0.111 g, 0.351 mmol) is heated to reflux for 24 h in 4.5 normal aqueous hydrochloric acid to give, after purification, the acid; yield: 0.039 g (42%); m.p. 191.5–192 °C.

$C_{18}H_{14}O_2$	calc.	C 82.42	H 5.38
(262.3)	found	82.54	5.30

I.R. (Nujol): ν = 1680 cm^{-1}.

^{1}H-N.M.R. ($CDCl_3$/acetone-d_6): δ = 4.94 (s, 2H); 7.4–8.3 ppm (m, 12H).

1-Phenyl-2-naphthoic Acid (3f):

Compound **2f** (0.105 g, 0.349 mmol) is hydrolyzed with refluxing 4.5 normal hydrochloric acid for 36 h; yield: 0.058 g (67%); m.p. 148–149 °C (Ref.[10], m.p. 147–148.5 °C).

I.R. (film): ν = 3500–2400 (broad), 1700, 1625, 1600, 1570 cm^{-1}.

^{1}H-N.M.R. ($CDCl_3$): δ = 7.2–8.1 (m, 11H); 10.19 ppm (br. s, 1H).

1-*n*-Butyl-2-naphthoic Acid (3b):

Similarly, heating of compound **2b** (0.111 g, 0.395 mmol) in 6 normal hydrochloric acid for 24 h gives, after purification, the acid **3b**; yield: 0.060 g (67%); m.p. 92–94 °C (Ref.[11], m.p. 97–98 °C).

I.R. (film): ν = 3400–2400 (broad), 1705, 1585, 1420, 1290 cm^{-1}.

^{1}H-N.M.R. ($CDCl_3$): δ = 0.9–1.9 (m, 7H); 3.55 (br. t, 2H); 7.5–8.9 (m, 6H); 9.56 ppm (br. s, 1H).

1-(4′-Carboxyphenyl)-2-naphthoic Acid (3g):

In the same manner, a solution of the bis-oxazoline **2g** (0.116 g, 0.29 mmol) in 6 normal hydrochloric acid is heated at reflux for 24 h to give, after purification, the diacid **3g**; yield: 0.036 g (42%); m.p. 266–267.5 °C.

$C_{18}H_{12}O_4$	calc.	C 73.97	H 4.14
(292.3)	found	73.71	4.00

I.R. (Nujol): ν = 3400–2000 (broad), 1675 cm^{-1}.

^{1}H-N.M.R. (acetone-d_6): δ = 7.3–8.2 ppm (m, no integration of COOH possible).

1-(2′-Carboxyphenyl)-2-naphthoic Acid (3h):

A solution of the bis-oxazoline **2h** (0.115 g, 0.29 mmol) in 6 normal hydrochloric acid is heated at reflux for 30 h to give, after purification, the diacid **3h**; yield: 0.057 g (67%); m.p. 246–247.5 °C.

$C_{18}H_{12}O_4$	calc.	C 73.97	H 4.14
(292.3)	found	73.77	4.07

I.R. (film): ν = 3600–2200 (broad), 1700, 1410, 1290, 1260 cm^{-1}.

^{1}H-N.M.R. (acetone-d_6): δ = 7.1–8.2 ppm (m, no integration of COOH possible).

Formation of Binaphthyl Carbinols 4i-k; General Procedure:
A solution of binaphthyl derivative **2i-k** in 3 normal ethanolic hydrochloric acid (prepared using concentrated hydrochloric acid and 95% ethanol) is heated to reflux for 8–14 h. The resulting clear reaction mixture is cooled to room temperature, extracted with dichloromethane (3–4×), dried with anhydrous sodium sulfate, and the solvent evaporated under reduced pressure to give the ester-amine hydrochloride **5** as an off-white foam; yield: nearly quantitative.

I.R. $\nu = 1720\ cm^{-1}$ (ester-CO).

A solution of the ester in tetrahydrofuran is added very slowly with stirring to a suspension of 4–8 equivalents of lithium aluminum hydride in tetrahydrofuran. The cloudy reaction mixture is stirred at room temperature for 2.5–3.5 h followed by careful quenching with water. Extraction with dichloromethane, drying of the extract with sodium sulfate, and concentration gives the crude carbinol which can be separated via chromatography (silica gel, prep T.L.C., or M.P.L.C.).

2-Hydroxymethyl-1,1′-binaphthyl (4i):
In the above manner, compound **2i** (0.377 g, 1.074 mmol) is converted to the ester-amine hydrochloride using 3 normal ethanolic hydrochloric acid and heated to reflux for 18 h to give a pale yellow foam; yield: 0.384 g (88%).

Reduction is achieved using lithium aluminum hydride (3 mmol) in tetrahydrofuran (8 ml). Addition of the ester to the lithium aluminum hydride solution at 0 °C, followed by stirring at 0 °C for 1 h, then warming to 25 °C for 1 h gives the crude product; yield: 0.269 g. Purification via preparative T.L.C. (silica gel, 40% ethyl acetate/hexane) gives pure **4i**; yield: 0.193 g (63% overall; 72% from **5**); m.p. 123–125 °C.

$C_{21}H_{16}O$	calc.	C 88.70	H 5.67
(284.3)	found	88.51	5.45

I.R. (film): $\nu = 3620$–3100 (broad), 3040, 1500, 1365 cm^{-1}.

^{1}H-N.M.R. ($CDCl_3$): $\delta = 1.60$ (s, 1H); 4.40 (s, 2H); 7.0–8.1 ppm (m, 13H).

2-Methoxy-2′-hydroxymethyl-1,1′-binaphthyl (4k):
The methoxy-binaphthyl-oxazoline **2k** (0.191 g, 0.501 mmol) is converted to the ester-amine hydrochloride using 3 normal ethanolic hydrochloric acid by heating at reflux for 6.5 h to give an orange foam; yield: 0.245 g. Reduction of the ester is accomplished using lithium aluminum hydride in tetrahydrofuran (10.5 ml) at room temperature for 12 h to give the crude material; yield: 0.198 g. Purification via preparative T.L.C. (silica gel, 40% ethyl acetate/hexane) gives pure **4k**; yield: 62%; m.p. 139–142 °C.

$C_{22}H_{18}O_2$	calc.	C 84.05	H 5.77
(314.4)	found	83.88	5.71

I.R. (film): $\nu = 3480$–3200 (broad), 1615, 1590, 1505 cm^{-1}.

^{1}H-N.M.R. ($CDCl_3$): $\delta = 2.13$ (br. s, 1H); 3.71 (s, 3H); 4.36 (s, 2H); 6.8–8.2 ppm (m, 12H).

2-Hydroxymethyl-2′-methyl-1,1′-binaphthyl (4j):
In the same manner, the methyl-binaphthyl-oxazoline **2j** (2.1 g, 4.6 mmol) is converted to the ester-amine hydrochloride by heating in 3 normal ethanolic hydrochloric acid (50 ml) at reflux for 11 h; yield: 2.267 g (97%); (I.R.: $\nu = 1715$, 1730 cm^{-1}). Reduction of the ester using lithium aluminum hydride (18.1 mmol) in tetrahydrofuran (85 ml) for 12 h at room temperature gives an off-white foam; yield: 1.496 g. Chromatography on silica gel (20% ethyl acetate/hexane, 30% ethyl acetate/hexane) gives pure **4j**; yield: 0.643 g (65%); m.p. 127.5 °C (from ether/hexane or dichloromethane/hexane).

$C_{22}H_{18}O$	calc.	C 88.56	H 6.08
(298.4)	found	88.44	5.96

I.R. (film): $\nu = 3600$–3100 (broad), 1610, 1585, 1500, 1210 cm^{-1}.

^{1}H-N.M.R. ($CDCl_3$): $\delta = 0.95$ (m, 1H); 2.00 (s, 3H); 4.31 (d, 2H, $J = 5$ Hz); 6.8–8.0 ppm (m, 12H).

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[1] Taken from the doctoral dissertation of K. A. Lutomski, Colorado State University, 1982.
[2] A. I. Meyers, W. B. Avila, *J. Org. Chem.* **46,** 3881 (1981).
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A. I. Meyers, K. A. Lutomski, *J. Am. Chem. Soc.* **104,** 879 (1982).
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H. W. Gschwend, A. Hamden, *J. Org. Chem.* **40,** 2008 (1975).
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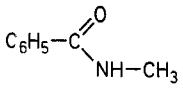
Errata

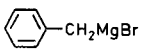
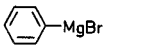
E. Haug, W. Kantlehner, P. Speh, H.-J. Bräuner, *Synthesis* **1983** (1), 35–37:
Compound **4** (p. 35) should be *N*-methylbenzamide



4

A. I. Meyers, K. A. Lutomski, *Synthesis* **1983** (2), 105–107:
The first seven entries in the Table (p. 106) should be as follows:

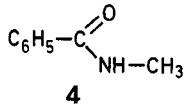
Table. Addition of Organometallic Reagents to 2-(4,4-Dimethyl-4,5-dihydro-1,3-oxazol-2-yl)-1-methoxynaphthalene **(1)** leading to 1-Substituted 2-(4,4-Dimethyl-4,5-dihydro-1,3-oxazol-2-yl)-naphthalenes **2**

Product	RM	Yield [%]	m.p. [°C]	I.R. (film) $\nu_{C=N}$ [cm^{-1}]	^{1}H-N.M.R. (solvent) δ [ppm]
2a	H_3CLi	84	oil	1645	(CCl_4): 1.36 (s, 6H); 2.92 (s, 6H); 3.97 (s, 2H); 7.3–8.2 (m, 6H)
2b	*n*-C_4H_9Li	80	oil	1640	(CCl_4): 0.8–1.85 (m, 13H); 3.45 (br, t, 2H); 3.95 (s, 2H); 7.3–8.2 (m, 6H)
	n-C_4H_9MgBr	89			
2c		59	oil	1635	(CCl_4): 1.30 (s, 6H); 3.92 (s, 2H); 4.97 (s, 2H); 7.0–8.2 (m, 12H)
2d		68	oil[a]	1645	$CDCl_3$): 1.00 (d, 6H); 1.12 (d, 6H); 1.35 (s, 6H); 3.45–4.19 (hept, 2H); 4.0 (s, 2H); 7.3–7.9 (m, 5H); 8.65 (m, 1H)
2e		78	oil[a]	1650	($CDCl_3$): 1.05 (t, 6H); 1.35 (s, 6H); 3.30 (d, 4H); 3.95 (s, 2H); 7.2–7.8 (m, 5H); 8.3–8.5 (m, 1H)
2f		84	oil	1660	(CCl_4): 1.12 (s, 6H); 3.59 (s, 2H); 7.2–7.9 (m, 11H)

Errata and Addenda 1983

E. Haug, W. Kantlehner, P. Speh, H.-J. Bräuner, *Synthesis* **1983** (1), 35–37:

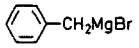
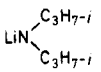
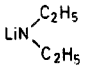
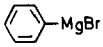
Compound **4** should be *N*-methylbenzamide:



4

A. I. Meyers, K. A. Lutomski, *Synthesis* **1983** (2), 105–107:
The first seven entries in the Table (p. 106) should be as follows:

Table. Addition of Organometallic Reagents to 2-(4,4-Dimethyl-4,5-dihydro-1,3-oxazol-2-yl)-1-methoxynaphthalene **(1)** leading to 1-Substituted 2-(4,4-Dimethyl-4,5-dihydro-1,3-oxazol-2-yl)-naphthalenes **2**

Product	RM	Yield [%]	m.p. [°C]	I.R. (film) $\nu_{C=N}$ [cm^{-1}]	^{1}H-N.M.R. (solvent) δ [ppm]
2a	H_3CLi	84	oil	1645	(CCl_4): 1.36 (s, 6 H); 2.92 (s, 6 H); 3.97 (s, 2 H); 7.3–8.2 (m, 6 H)
2b	*n*-C_4H_9Li	80	oil	1640	(CCl_4): 0.8–1.85 (m, 13 H); 3.45 (br, t, 2 H); 3.95 (s. 2 H); 7.3–8.2 (m, 6 H)
	n-C_4H_9MgBr	89			
2c		59	oil	1635	(CCl_4): 1.30 (s, 6 H); 3.92 (s, 2 H); 4.97 (s, 2 H); 7.0–8.2 (m, 12 H)
2d		68	oil[a]	1645	($CDCl_3$): 1.00 (d, 6 H); 1.12 (d, 6 H); 1.35 (s, 6 H); 3.45–4.19 (hept, 2 H); 4.0 (s, 2 H); 7.3–7.9 (m, 5 H); 8.65 (m, 1 H)
2e		78	oil[b]	1650	($CDCl_3$): 1.05 (t, 6 H); 1.35 (s, 6 H); 3.30 (d, 4 H); 3.95 (s, 2 H); 7.2–7.8 (m, 5 H); 8.3–8.5 (m, 1 H)
2f		84	oil	1660	(CCl_4): 1.12 (s, 6 H); 3.59 (s, 2 H); 7.2–7.9 (m, 11 H)

S. Takano, K. Seya, E. Goto, M. Hirama, K. Ogasawara, *Synthesis* **1983** (2), 116–117:

The title should read "Synthesis of (*S*)-1-*O*-Benzylglycerol and (*R*)-Benzyl 2,3-Epoxypropyl Ether from (*R*)-1-*O*-Benzylglycerol"; the names of compounds (*R*)-**5**, (*S*)-**5**, and **9** should be (*R*)-1-*O*-benzylglycerol, (*S*)-1-*O*-benzylglycerol, and (*S*)-2,3-Di-*O*-acetyl-1-*O*-benzylglycerol, respectively.

D. Michelot, *Synthesis* **1983** (2), 130–134:

The table under the formula scheme (page 131) should be as follows:

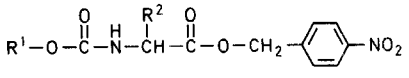
5	m	n	6,7,8,(9)	R
a	4	8	**a**	*n*-C_4H_9
a	4	8	**b**	C_2H_5
c		6	**c**	*n*-C_4H_9
a	4	8	**d**	H_2C=CH–
b	6	10	**e**	C_2H_5

Compounds **6e**, **7e**, **8c**, and **9e** (p. 133) should be named (*Z*, *Z*)-1-(2-tetrahydropyranyloxy)-11,13-hexadecadiene, (*Z*,*Z*)-11,13-hexadecadienol, (*Z*,*Z*)-7,11-hexadecadien-1-yl acetate, and (*Z*,*Z*)-11,13-hexadecadienal, respectively. Compound **8b** is prepared from **5a** and ethylmagnesium bromide.

M. Künstlinger, E. Breitmaier, *Synthesis* **1983** (2), 161–162:

Compounds **5** and **6** should be named pyrimido[1,2-*a*]benzimidazoles.

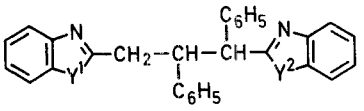
Abstract **6555**, *Synthesis* **1983** (2), 165:

Compound **1** should be: 

1

V. Dryanska, C. Ivanov, *Synthesis* **1983** (2), 143–145:

The formula for compounds **4g, h, 5g, h** (page 144) should be:



4g Y^1 = O, Y^2 = O
4h Y^1 = O, Y^2 = S
5g Y^1 = S, Y^2 = O
5h Y^1 = S, Y^2 = S

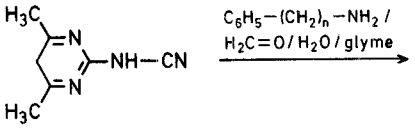
M. A. Brook, T. H. Chan, *Synthesis* **1983** (3), 201–203:

The following addendum should be added:
After publication of our work, our attention was drawn to the fact that the priority for the use of chlorotrimethylsilane for esterification lies with Nakao et al.[24].

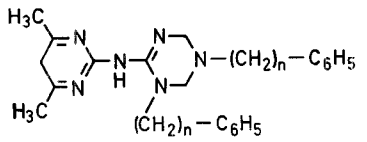
[24] R. Nakao, K. Oka, T. Fukumoto, *Bull. Soc. Chem. Jpn.* **54**, 1267 (1981).

C. W. Thornber, J. M. Farrell, D. S. Clarke, *Synthesis* **1983** (3), 222–223:

The formula scheme **1** ⟶ **10,11** (p. 222) should be:



1



10 n = 1
11 n = 2

H. Takahata, N. Nakajima, Y. Yamazaki, *Synthesis* **1983** (3) 226–228:

Compounds **7** and **8** should be named 3-anilino-6-methyl-1,4,5,6 tetrahydropyrrolo[2,3-*c*]pyrazoles and 3-anilino-7-methyl-4,5,6,7 tetrahydro-1*H*-pyrazolo[3,4-*b*]pyridines, respectively.