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# A total stereospecific route to α-alkylidene-γ-lactams

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**Abstract**—A new efficient γ-lactams **4** synthesis was achieved by two successive reactions: alkylation of nitroalkane anions with dimethyl α-(bromomethyl) fumarate **1** leading to the formation of (*E*)-1-alkyl-2,3-dimethoxycarbonyl butadienes **3a**,**b** resulting of tandem alkylation–elimination (dehydronitration) processes, followed by an intramolecular cyclization on addition of primary amine. © 2001 Published by Elsevier Science Ltd.

2,3-Dimethoxycarbonylbutadienes **3**-(*E*) are useful intermediates in organic synthesis[1–4] but are often difficult to prepare. For this reason, many researches have been focused on their synthesis.[5–12] In this paper, we report a quite simple and efficient method for the synthesis of functional 1,3-butadienes and their conversion into heterocyclic compounds such as γ-lactams. As part of our continuing study of the electrophilic reactivity of dimethyl α-(bromomethyl) fumarate **1** toward various nucleophiles,[13–16] we report that the allylic bromide **1**, reacts with nitroalkanes **2** in THF in the presence of NaOH (0.6N), leading to the stereospecific formation of (*E*)-1-alkyl-2,3-dimethoxycarbonyl butadienes **3a**,**b** in good yield (Scheme 1, Table 1).

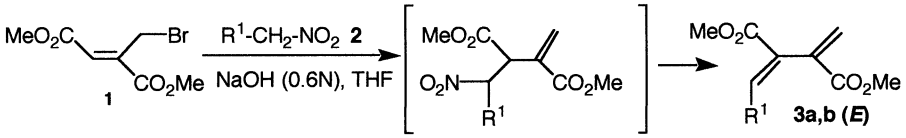
The mechanism of the reaction probably includes successive $S_N2'$ alkylation of dimethyl α-(bromomethyl) fumarate **1** by the anion derived from the nitro compound **2** and elimination of nitrous acid with total stereoselectivity (100% *E*-isomer). In this case, the nitro group acts successively as an activating electron withdrawing group and a leaving group[17,18] (Scheme 2).

The (*E*)-selectivity[19] of butadiene **3** in this reaction may be explained by the strike bulkiness factor of the methoxycarbonyl group in the β-elimination step (Scheme 3).

In this paper, we wish to report our recent results in the synthesis of the γ-lactams compounds from the conjugated dienes **3a**,**b** as a key intermediates. In fact, the α,β-unsaturated carbonyl compounds have recently attracted much attention because of their importance as biologically active species and as useful synthetic intermediates[20–23]. In particular, the α-alkylidene-γ-lactams show cytotoxicity, anti-tumor and anti-inflamation activities[24–26] but lower toxicity when compared with the corresponding α-alkylidene-γ-lactones[27].

The reaction of **3a**,**b** with primary amines in methanol as solvent and at room temperature, proceeds through a two-step sequence: a conjugate addition followed by an intramolecular cyclization via a displacement reaction leading to the formation of the corresponding α-alkylidene-γ-lactams **4a**–**h** in good yield (Table 2, Scheme 3).

All structures of compounds **4a**–**h** are confirmed by nOe experiments. The examination of nOe spectra of compound




**Scheme 1.**

**Table 1.** Preparation of difunctional buta-1,3-dienes **3a**,**b**-(*E*)

| 1,3-Butadiene **3** | Time (h) | Yield (%) |
|---|---|---|
| **3a**, $R^1$=$CH_3$ | 4 | 70 |
| **3b**, $R^1$=$C_2H_5$ | 1 | 96 |

*Keywords*: dimethyl α-(bromomethyl) fumarate; 1,3-dienes; Nef reaction; γ-lactams.

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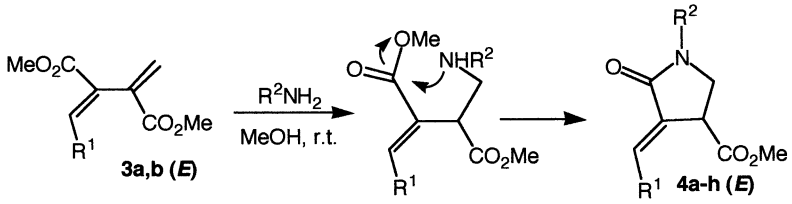
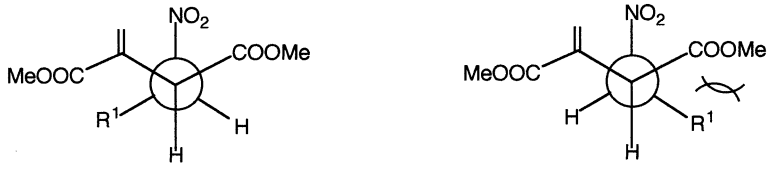



**Scheme 2.**

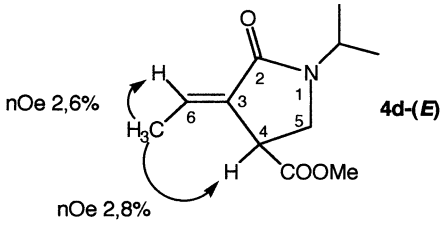



**Scheme 3.**

**Table 2.** Synthesis of the α-alkylidene-γ-lactams **4a**–**h**-(*E*)

| $R^1$ | $R^2$ | Time (h) | γ-Lactam **4** | Yield (%)[a] |
|---|---|---|---|---|
| Me | $PhCH_2$ | 16 | **4a** | 63 |
| Me | $^n$Bu | 16 | **4b** | 75 |
| Me | $^n$Pr | 16 | **4c** | 80 |
| Me | $^i$Pr | 16 | **4d** | 72 |
| Et | $PhCH_2$ | 8 | **4e** | 80 |
| Et | $^n$Bu | 5 | **4f** | 64 |
| Et | $^n$Pr | 4 | **4g** | 87 |
| Et | $^i$Pr | 12 | **4h** | 70 |

All reactions were carried out in 10 mmol scale of conjugated diene **3**.
[a] Yield of isolated γ-lactams **4** after silica gel chromatography (AcOEt/hexane, 1:1).




**Scheme 4.**

**4d** after irradiation of the vinylic methyl protons at C-6 (1.88) resulted in 2.6 and 2.8% enhancement of, respectively, the vinylic protons at C-6 and the proton at C-4 (Scheme 4). The enhancement could only be rationalized if the alkylidene group is in (*E*)-configuration.

In summary, we have developed an efficient and simple stereospecific synthesis of functional dienes **3a**,**b** and we have demonstrated that these compounds can be used as an electrophilic synthon for the synthesis of α-alkylidene-γ-lactams **4a**–**h** via an effective coupling with primary amines.

## 1. Experimental

All reactions were monitored with an Intersmat 20M gas chromatography using a 3m column packed with 10% SE 30 and by TLC on silica gel plates (Fluka Kieselgel 60 $F_{254}$). For column chromatography, Fluka Kieselgel 70–230 mesh was used. The infrared (IR) spectra were determined on a Perkin Elmer Paragon 1000 PC spectrometer. $^1$H and $^{13}$C NMR (fully decoupled) and spectra were recorded on Bruker AMX 300 spectrometers in $CDCl_3$ as solvent and TMS as the internal. GC–MS spectra were obtained using a HP 5890 chromatography fitted with HP 1 (0.33 μm×12 m) and HP 5889 Å quadripolar spectrometer in Electronic Impact (70 eV) or in Chemical Ionization (500 eV) with $NH_3$ gas. The fragmentation peaks given in relative intensity (%).

### 1.1. Synthesis of (*E*)-1-alkyl-2,3-dimethoxycarbonyl buta-1,3-dienes 3a,b: general procedure

To a mixture of the appropriate nitroalkane (Table 1) and a solution of NaOH (25 mL, 0.6N), cooled at 0°C with an ice bath, was added slowly a solution of dimethyl α-(bromomethyl) fumarate **1** (2.37 g, 10 mmol) in THF (25 mL). After the addition was complete, the mixture was left to stirred at room temperature for the appropriate time given in Table 1. The mixture was diluted with $H_2O$ and extracted with $Et_2O$ (3×30 mL). The combined organic layers were washed with brine and dried ($MgSO_4$). The solvent was removed to leave an oil which was purified by column chromatography on silica gel (AcOEt/hexane, 3:7).

**1.1.1. (*E*)-1-Methyl-2,3-dimethoxycarbonyl buta-1,3-diene 3a.** IR (neat) $\nu_{max}/cm^{-1}$): 1649 (C=C); 1715 (COO). $^1$H NMR ($CDCl_3$; δ, ppm; *J*, Hz): 1.83 (d, 3H, *J*=7.2, $CH_3$–CH=); 3.77 (2s, 6H, 2COO$CH_3$); 5.61 (s, 1H, $CH_2$=); 6.53 (s, 1H, $CH_2$=); 7.11 (q, 1H, *J*=7.2, $CH_3$–C*H*=). $^{13}$C NMR ($CDCl_3$; δ, ppm): 15.1 ($CH_3$CH=); 51.7 (COO$CH_3$); 51.9 (COO$CH_3$); 129.4 ($CH_2$=C); 130.7 (*C*H=C); 134.7 (*C*=); 141.0 (*C*=); 166.2 (*C*OOCH$_3$); 166.3 (*C*OOCH$_3$). *m/z*: 184 ($M^{+\cdot}$, 3); 152 (100); 93 (47); 59 (34); 39 (29). HRMS (EI): $C_9H_{12}O_4$: calcd 184.0765; found 184.0748.

**1.1.2. (*E*)-1-Ethyl-2,3-dimethoxycarbonyl buta-1,3-diene 3b.** IR (neat) $\nu_{max}/cm^{-1}$): 1645 (C=C); 1715 (COO). $^1$H NMR ($CDCl_3$; δ, ppm; *J*, Hz): 1.08 (t, 3H, *J*=7.2,

$CH_3$–$CH_2$); 2.2 (m, 2H, $CH_3$–$CH_2$); 3.73 (2s, 6H, 2COO$CH_3$); 5.6 (s, 1H, $CH_2$=); 6.49 (s, 1H, $CH_2$=); 6.98 (t, 1H, $J$=7.6, $CH_2$–CH=). $^{13}$C NMR ($CDCl_3$; $\delta$, ppm): 13.2 ($CH_3CH_2$); 22.7 ($CH_3CH_2$); 51.6 (COO$CH_3$); 51.8 (COO$CH_3$); 129.0 ($CH_2$=C); 134.9 (CH=C); 140.1 (C=); 147.3 (C=); 166.3 ($COOCH_3$); 166.4 ($COOCH_3$). $m/z$: 198 ($M^{+\cdot}$, 1); 166 (100); 151 (41); 134 (38); 79 (93); 59 (35); 15 (33).

### 1.2. Synthesis of (*E*)-α-alkylidene-γ-lactams 4: typical procedure

To a solution of (*E*)-1-alkyl-2,3-dimethoxycarbonyl buta-1,3-diene **3** (10 mmol) was added dropwise an excess of primary amine (2.1 equiv., 21 mmol) in methanol (5 mL). After stirring during the time indicated in Table 2, at room temperature, the reaction mixture was concentrated, the oil was purified on column chromatography by using silica gel (AcOEt/hexane, 1:1).

**1.2.1. (*E*)-3-Ethylidene-4-methoxycarbonyl-1-*N*-benzyl pyrrolin-2-one 4a.** IR (neat) $\nu_{max}$/cm$^{-1}$): 1668 (C=C); 1691 (CON); 1736 (COO). $^1$H NMR ($CDCl_3$; $\delta$, ppm; $J$, Hz): 1.86 (d, 3H, $J$=7.2, $CH_3$–CH=); 3.36–3.55 (m, 2H, $NCH_2CH$); 3.6 (s, 3H, COO$CH_3$); 3.7 (m, 1H, $CHCOOCH_3$); 4.55 (s, 2H, $CH_2C_6H_5$); 6.75 (q, 1H, $J$=7.2, $CH_3CH$=); 7.28 (m, 5H, $C_6H_5$). $^{13}$C NMR ($CDCl_3$; $\delta$, ppm): 14.3 ($CH_3CH$=); 39.3 ($NCH_2CH$); 46.5 ($CHCOOCH_3$); 46.6 (COO$CH_3$); 52.0 ($NCH_3C_6H_5$); 127.3, 127.8, 128.3 (5 CH arom); 130.3 ($CH_3CH$=); 132.1 (CH=C); 135.7 (C arom); 166.5 ($COOCH_3$); 171.5 (CON). $m/z$: 259 ($M^{+\cdot}$, 46); 200 (68); 91 (100); 65 (15). HRMS (EI): $C_{15}H_{17}NO_3$: calcd 259.1283; found 259.1264.

**1.2.2. (*E*)-3-Ethylidene-4-methoxycarbonyl-1-*N*-butyl pyrrolin-2-one 4b.** IR (neat) $\nu_{max}$/cm$^{-1}$): 1667 (C=C); 1692 (CON); 1736 (COO). $^1$H NMR ($CDCl_3$; $\delta$, ppm; $J$, Hz): 0.92 (t, 3H, $J$=7.2, $CH_3$–$CH_2$); 1.36 (m, 2H, $CH_3$–$CH_2$); 1.55 (m, 2H, $CH_2$–$CH_2$); 1.86 (d, 3H, $J$=7.2, $CH_3CH$=); 3.3–3.6 (m, 4H, $CH_2NCH_2$); 3.7 (s, 3H, COO$CH_3$); 3.81 (m, 1H, $CHCOOCH_3$); 6.66 (q, 1H, $J$=7.2, $CH_3CH$=). $^{13}$C NMR ($CDCl_3$; $\delta$, ppm): 13.4 ($CH_3CH_2$); 14.2 ($CH_3CH$=); 19.5 ($CH_3CH_2$); 28.8 ($CH_2CH_2$); 39.4 ($NCH_2CH$); 42.2 ($CHCOOCH_3$); 46.8 (COO$CH_3$); 52.0 ($NCH_2CH_2$); 130.5 (CH=); 131.1 (CH=C); 166.4 ($COOCH_3$); 171.6 (CON). $m/z$: 225 ($M^{+\cdot}$, 27); 183 (75); 182 (100); 153 (38); 94 (31); 42 (43).

**1.2.3. (*E*)-3-Ethylidene-4-methoxycarbonyl-1-*N*-propyl pyrrolin-2-one 4c.** IR (neat) $\nu_{max}$/cm$^{-1}$): 1667 (C=C); 1691 (CON); 1736 (COO). $^1$H NMR ($CDCl_3$; $\delta$, ppm; $J$, Hz): 0.93 (t, 3H, $J$=7.4, $CH_3$–$CH_2$); 1.61 (m, 2H, $CH_2$–$CH_2$); 1.88 (d, 3H, $J$=7.2, $CH_3CH$=); 3.24–3.69 (m, 4H, $CH_2NCH_2$); 3.71 (s, 3H, COO$CH_3$); 3.82 (m, 1H, $CHCOOCH_3$); 6.68 (q, 1H, $J$=7.2, $CH_3CH$=). $^{13}$C NMR ($CDCl_3$; $\delta$, ppm): 10.9 ($CH_3CH_2$); 14.3 ($CH_3CH$=); 20.1 ($CH_3CH_2$); 39.5 ($NCH_2CH$); 44.2 ($CHCOOCH_3$); 46.9 (COO$CH_3$); 52.1 ($NCH_2CH_2$); 130.6 (CH=); 131.4 (CH=C); 166.5 ($COOCH_3$); 171.7 (CON). $m/z$: 211 ($M^{+\cdot}$, 43); 182 (100); 153 (35); 94 (27); 42 (43).

**1.2.4. (*E*)-3-Ethylidene-4-methoxycarbonyl-1-*N*-isopropyl pyrrolin-2-one 4d.** IR (neat) $\nu_{max}$/cm$^{-1}$): 1662 (C=C); 1691 (CON); 1737 (COO). $^1$H NMR ($CDCl_3$; $\delta$, ppm; $J$, Hz): 1.01 (d, 3H, $J$=7.0, $CH_3$–CH); 1.17 (d, 3H, $J$=7.0, $CH_3$–CH); 1.87 (d, 3H, $J$=7.2, $CH_3CH$=); 3.46–3.64 (m, 2H, $NCH_2$); 3.68 (s, 3H, COO$CH_3$); 3.83 (m, 1H, $CHCOOCH_3$); 4.43 (m, 1H, CHN); 6.65 (q, 1H, $J$=7.4, $CH_3CH$=). $^{13}$C NMR ($CDCl_3$; $\delta$, ppm): 14.0 ($CH_3CH$=); 18.8 ($CH_3CH$); 19.0 ($CH_3CH$); 39.0 ($NCH_2$); 41.7 ($CHCOOCH_3$); 42.4 (COO$CH_3$); 51.7 (NCH); 130.7 (CH=); 130.9 (CH=C); 165.4 ($COOCH_3$); 171.3 (CON). $m/z$: 211 ($M^{+\cdot}$, 40); 182 (100); 153 (28).

**1.2.5. (*E*)-3-Propylidene-4-methoxycarbonyl-1-*N*-benzyl pyrrolin-2-one 4e.** IR (neat) $\nu_{max}$/cm$^{-1}$): 1668 (C=C); 1690 (CON); 1737 (COO). $^1$H NMR ($CDCl_3$; $\delta$, ppm; $J$, Hz): 1.05 (t, 3H, $J$=7.4, $CH_3$–$CH_2$); 2.25 (m, 2H, $CH_3$–$CH_2$); 3.35–3.54 (m, 4H, $CH_2NCH_2$); 3.65 (s, 3H, COO$CH_3$); 3.78 (m, 1H, $CHCOOCH_3$); 6.65 (t, 1H, $J$=7.6, CH=); 7.28 (m, 5H, $C_6H_5$). $^{13}$C NMR ($CDCl_3$; $\delta$, ppm): 12.5 ($CH_3CH_2$); 22.2 ($CH_3CH_2$); 39.2 ($NCH_2CH$); 46.4 ($CHCOOCH_3$); 46.9 (COO$CH_3$); 52.4 ($NCH_2C_6H_5$); 127.2, 127.7, 128.4 (5 CH arom); 128.5 (CH=C); 135.6 (CH=C); 138.6 (C arom); 166.5 ($COOCH_3$); 172.5 (CON). $m/z$: 273 ($M^{+\cdot}$, 19); 214 (43); 91 (100); 65 (17).

**1.2.6. (*E*)-3-Propylidene-4-methoxycarbonyl-1-*N*-butyl pyrrolin-2-one 4f.** IR (neat) $\nu_{max}$/cm$^{-1}$): 1666 (C=C); 1688 (CON); 1736 (COO). $^1$H NMR ($CDCl_3$; $\delta$, ppm; $J$, Hz): 0.93 (t, 3H, $J$=7.2, $CH_3$–$CH_2$); 1.05 (t, 3H, $J$=7.4, $CH_3CH_2CH$=); 1.36 (m, 2H, $CH_3$–$CH_2$); 1.56 (m, 2H, $CH_2$–$CH_2$); 2.26 (m, 2H, $CH_2CH$=); 3.2–3.6 (m, 4H, $CH_2NCH_2$); 3.7 (s, 3H, COO$CH_3$); 3.82 (m, 1H, $CHCOOCH_3$); 6.57 (t, 1H, $J$=7.4, CH=C). $^{13}$C NMR ($CDCl_3$; $\delta$, ppm): 12.5 ($CH_3CH_2$); 13.3 ($CH_3CH_2CH$=); 19.5 ($CH_3CH_2$); 22.1 ($CH_2CH_2$); 28.7 ($CH_3CH_2CH$=); 39.3 ($NCH_2CH$); 42.1 ($CHCOOCH_3$); 46.8 (COO$CH_3$); 52.0 ($NCH_2CH_2$); 128.8 (CH=C); 137.7 (CH=C); 166.4 ($COOCH_3$); 171.6 (CON). $m/z$: 239 ($M^{+\cdot}$, 32); 197 (75); 196 (100); 108 (26); 42 (46).

**1.2.7. (*E*)-3-Propylidene-4-methoxycarbonyl-1-*N*-propyl pyrrolin-2-one 4g.** IR (neat) $\nu_{max}$/cm$^{-1}$): 1666 (C=C); 1689 (CON); 1736 (COO). $^1$H NMR ($CDCl_3$; $\delta$, ppm; $J$, Hz): 0.92 (t, 3H, $J$=7.4, $CH_3$–$CH_2$); 1.06 (t, 3H, $J$=7.4, $CH_3$–$CH_2CH$=); 1.62 (m, 2H, $CH_3$–$CH_2$); 2.26 (m, 2H, $CH_2CH$=); 3.2–3.7 (m, 4H, $CH_2NCH_2$); 3.71 (s, 3H, COO$CH_3$); 3.82 (m, 1H, $CHCOOCH_3$); 6.58 (t, 1H, $J$=7.4, CH=C). $^{13}$C NMR ($CDCl_3$; $\delta$, ppm): 10.8 ($CH_3CH_2CH_2$); 12.6 ($CH_3CH_2CH$=); 20.1 ($CH_3CH_2CH_2$); 22.2 ($CH_3CH_2CH$=); 39.5 ($NCH_2CH$); 44.2 ($CHCOOCH_3$); 46.9 (COO$CH_3$); 52.2($NCH_2CH_2$); 128.9 (CH=C); 137.9 (CH=C); 166.6 ($COOCH_3$); 171.7 (CON). $m/z$: 225 ($M^{+\cdot}$, 42); 196 (100); 166 (29); 108 (24); 72 (28); 42 (38).

**1.2.8. (*E*)-3-Propylidene-4-methoxycarbonyl-1-*N*-isopropyl pyrrolin-2-one 4h.** IR (neat) $\nu_{max}$/cm$^{-1}$): 1661 (C=C); 1686 (CON); 1737 (COO). $^1$H NMR ($CDCl_3$; $\delta$, ppm; $J$, Hz): 1.05 (t, 3H, $J$=7.4, $CH_3$–$CH_2$); 1.16 (d, 3H, $J$=7.0, $CH_3$–CH); 1.21 (d, 3H, $J$=7.0, $CH_3$–CH); 2.26 (m, 2H, $CH_3CH_2$); 3.49–3.64 (m, 2H, $NCH_2CH$); 3.71 (s, 3H, COO$CH_3$); 3.84 (m, 1H, $CHCOOCH_3$); 4.46 (m, 1H, CHN); 6.57 (t, 1H, $J$=7.6, CH=C). $^{13}$C NMR ($CDCl_3$; $\delta$, ppm): 12.6 ($CH_3CH_2$); 19.1 ($CH_3CH$); 19.3 ($CH_3CH$); 22.2 ($CH_3CH_2$); 39.3 ($NCH_2CH$); 42.0 ($CHCOOCH_3$); 42.6

($COOCH_3$); 52.1 (NCH); 129.3 (CH=C); 137.6 (CH=C); 165.6 ($COOCH_3$); 171.7 (CON). *m/z*: 225 ($M^{+\cdot}$, 24); 210 (100); 124 (13); 56(29).

## References


1. Tarnchompoo, B.; Thebtaranonth, C.; Thebtaranonth, Y. *Tetrahedron Lett.* **1987**, *28*, 6675–6678.
2. Tarnchompoo, B.; Thebtaranonth, C.; Thebtaranonth, Y. *Tetrahedron Lett.* **1987**, *28*, 6671–6674.
3. Kotera, M.; Lehn, J. M.; Vigneron, J. P. *Tetrahedron* **1995**, *51*, 1953–1972.
4. Bailey, W. J.; Hudson, R. L.; Yates, E. T. *J. Org. Chem.* **1963**, *28*, 828–831.
5. Hamon, D. P. G.; Spurr, P. R. *Synthesis* **1981**, 873–874.
6. Davidson, R. M.; Kenyon, G. L. *J. Org. Chem.* **1977**, *42*, 1030–1035.
7. Semmelhack, M. F.; Helquist, P.; Jones, L. D.; Keller, L.; Mendelson, L.; Ryono, L. S.; Smith, J. G.; Stauffer, R. D. *J. Am. Chem. Soc.* **1981**, *103*, 6460–6471.
8. Cobb, R. L.; Mahan, J. E. *J. Org. Chem.* **1977**, *42*, 2829.
9. Bellus, D.; Weis, C. D. *Tetrahedron Lett.* **1973**, 999–1000.
10. Bellus, D.; Von Bredow, K.; Sauter, H.; Weis, C. D. *Helv. Chim. Acta* **1973**, *56*, 3004.
11. Pettett, M. G.; Holmes, A. B. *J. Chem. Soc.* **1985**, 1161–1165.
12. Kiji, H.; Okano, T.; Fujii, E.; Tsuji, J. *Synthesis* **1997**, 869–870.
13. Ben Gharbia, S.; Besbes, R.; Villiéras, J.; Amri, H. *Synth. Commun.* **1996**, *26*, 1685–1692.
14. Besbes, R.; Villiéras, M.; Amri, H. *Indian J. Chem.* **1997**, *36B*, 5–8.
15. Beltaïef, I.; Besbes, R.; Ben Amor, F.; Amri, H.; Villiéras, J.; Villiéras, M. *Tetrahedron* **1999**, *55*, 3949.
16. Beltaïef, I.; Besbes, R.; Amri, H.; Villiéras, J. *Tetrahedron Lett.* **1997**, *38*, 813–814.
17. Ballini, R.; Bosica, G.; Petrelli, L.; Petrini, M. *Synthesis* **1999**, *7*, 1236–1240.
18. Ballini, R.; Bosica, G. *Tetrahedron* **1995**, *51*, 4213–4222.
19. Basavaiah, D.; Hyma, R. S.; Padmaja, K.; Krishnamacharyulu, M. *Tetrahedron* **1999**, *55*, 6971–6976.
20. Tanaka, K.; Yoda, H.; Inoue, K.; Kaji, A. *Synthesis* **1986**, 66–69.
21. Newas, S. S. *Aldrichim. Acta* **1977**, *10*, 64.
22. Gamil, R. B.; Wilson, C. A.; Bryson, T. A. *Synth. Commun.* **1975**, *5*, 245.
23. Grieco, P. A. *Synthesis* **1975**, 67.
24. Belaud, C.; Roussakis, C.; Letourneux, Y.; Alami, N.; Villiéras, J. *Synth. Commun.* **1985**, *15*, 1233.
25. Kornet, M. J. *J. Pharm. Sci.* **1979**, *68*, 350–353.
26. Ikuta, H.; Shirota, H.; Kobayash, Y. Y.; Yamada, K.; Katayama, K. *J. Med. Chem.* **1987**, *30*, 1995.
27. Patra, R.; Maiti, S. B.; Chatterjee, A.; Chakravarty, A. K. *Tetrahedron Lett.* **1991**, *32*, 1363–1366.