One-Pot Synthesis of Tetrahydroindeno[1,2-b]pyrrolo-3-carboxylates Derivatives

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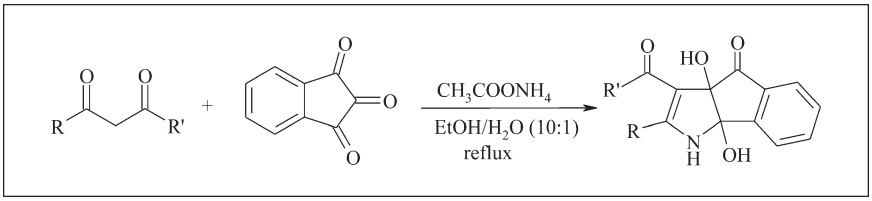

Tetrahydroindeno [1,2-b]pyrrole-3-carboxylate were synthesized in a one-pot procedure by the reaction of 1,3-dicarbonyl and activated carbonyl compounds such as benzyl or ninhydrin in ethanol/water in the presence of ammonium acetate.

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INTRODUCTION

Multicomponent reactions (MCRs), with three or more reactants combining in a one-pot procedure to give a single product, have become increasingly popular during the last decade [1–4]. They are economically and environmentally advantageous because multistep syntheses produce considerable amounts of waste mainly due to complex isolation procedures often involving expensive, toxic, and hazardous solvents after each step. MCRs are perfectly suited for combinatorial library synthesis, and thus are finding increased use in the discovery process for new drugs and agrochemicals [5]. They provide a powerful tool toward the one-pot synthesis of diverse and complex compounds as well as small and drug-like heterocycles [6]. Polysubstituted pyrroles are molecular frameworks having immense importance in material science [7]. They have been also used as antioxidants [8], antibacterial [9,10], ionotropic [11,12], antitumor [13], anti-inflammatory [14,15], and antifungal agents [16]. Moreover, they are a highly versatile class of intermediates in the synthesis of natural products as well as in heterocyclic chemistry [17].

As part of our research on the development of new synthetic methods in heterocyclic chemistry [18–20], herein, we describe an efficient synthesis of functionalized tetrahydroindeno [1,2-b]pyrrole-3-carboxylate 3 via the reaction of 1,3-dicarbonyls 1 and ninhydrin 2 in the presence of ammonium acetate in EtOH/H_2O as a solvent (Scheme 1).

RESULTS AND DISCUSSION

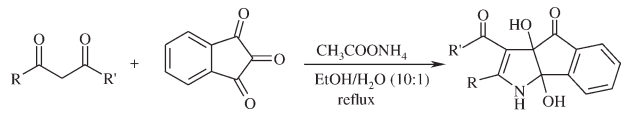
The presence of two or more different heterocyclic moieties in a single molecule often enhances the biocidal profile remarkably [21]. Therefore, we investigated a MCR of 1,3-dicarbonyl **1** and ninhydrin **2** in the presence of ammonium acetate in EtOH/H_2O as a solvent, which afforded tetrahydroindeno [1,2-b]pyrrole-3-carboxylate derivatives in good isolated yields (Scheme 1). The procedure was simple and easy to handle. Structures of compounds **3a–3d** were assigned by IR, ^{1}H NMR, ^{13}C NMR, and mass spectral data. The ^{1}H NMR spectrum of **3a** exhibited a triplet and singlet at δ = 1.35 (^3J = 7.1) and δ = 2.21 ppm for the methyl protons, along with characteristic signals for the methoxy protons at (δ = 4.61 and 4.72 ppm). The carbonyl group resonances in the ^{13}C NMR spectra of **3a** appear at 165.7 and 190.1 ppm. The mass spectra of **3a** displayed the molecular ion peak at 289.

A tentative mechanism for this transformation is proposed in Scheme 2. It is conceivable that, the reaction involves the initial formation of enaminones **4** between 1,3-dicarbonyls **1** with ammonium acetate. Enaminones that is formed in EtOH/H_2O; react with carbonyl group of **2** and produced **5**. Cyclization of this intermediate leads to the compound **3**.

Under similar conditions, the reaction of 1,3-dicarbonyl **1** with another activated carbonyl compounds such as benzyl or acenaphthoquinone in the presence of ammonium acetate in EtOH/H_2O led to tetrahydroindeno [1,2-b]pyrrole-3-carboxylate derivatives in good yields (see Table 1).

In summary, the reaction of 1,3-dicarbonyls and activated carbonyl compounds such as ninhydrin, benzyl, or acenaphthoquinone in the presence of ammonium acetate in EtOH/H_2O as a solvent, which afforded tetrahydroindeno [1,2-*b*]pyrrole-3-carboxylate derivatives in

Scheme 1



1 2 3

1, 3	R	R'	Yield/ % of 3
a	Me	OEt	92
b	Me	Me	90
c	OEt	OEt	60
d	Ph	Me	90

excellent yields. The present procedure has the advantage that the reaction is performed under neutral conditions, and the starting material can be used without any activation or modification.

EXPERIMENTAL

All the chemicals used in this work were purchased from Fluka (Buchs, Switzerland) and were used without further purification. Melting points were measured on an Electrothermal-9100 apparatus. IR spectra were recorded with a *Shimadzu IR-460* spectrometer. ^{1}H and ^{13}C NMR spectra were measured with a *BRUKER DRX-500 AVANCE* spectrometer at 500.1 and 125.7 MHz, respectively. ^{1}H and ^{13}C NMR spectra were obtained for solutions in $CDCl_3$ using tetramethylsilane (TMS) or 85% H_3PO_4 as external standard; δ in parts per million, *J* in hertz. EI-mass spectrometer (MS) (70 eV): Mass spectra were obtained with a *Finnigan-MAT-8430* mass spectrometer, in *m/z*. Elemental analyses (C, H, N) were obtained with a *Heraeus CHN-O-Rapid* analyzer.

General procedure. To a stirred solution of 1,3-dicarbonyls (2 mmol) in EtOH/H_2O (10:1) as a solvent (10 mL) was added ammonium acetate (2 mmol). After 30 min, a solution of activated carbonyl compounds (2 mmol) in EtOH/H_2O (3 mL) was added slowly, and the mixture was refluxed for 7–10 h. The solvent was removed under reduced pressure, and the residue was purified by column chromatography (SiO_2; *n*-hexane/AcOEt 8:1) to afford the pure title compounds.

Ethyla,8b-dihydroxy-2-methyl-4-oxo-1,3a,4,8b-tetrahydroindeno[1,2-b]-pyrrolo-3carboxylate (3a). Yellow crystal, mp: 152–154°C, yield: 0.53 g (92%). IR (KBr) (ν_{max}/cm^{-1}): 3403, 1716, 1650, 1564, 1480, 1379, 1326, 1208, 1140 cm^{-1}. ^{1}H NMR: 1.35 (t, 3 H, $^3J_{HH}$ = 7.1 Hz, Me), 2.21 (s, 3 H, Me), 4.26 (t, 2 H, $^3J_{HH}$ =7.4 Hz, OCH_2), 4.61 (s, OH), 4.72 (s, OH), 5.67 (s, NH), 7.56 (t,1 H, $^3J_{HH}$ =3.3 Hz), 7.78 (d, 2 H, $^3J_{HH}$ =3.7 Hz,), 7.87 (d,1 H, $^3J_{HH}$ =7.6 Hz) ppm. ^{13}C NMR: 14.1 (Me), 14.4 (Me), 58.6 (CH_2O), 85.4, 91.9, 96.1, 123.47, 124.75, 130.32, 135.5, 135.86, 150.5, 159.92 (C), 165.7 (C=O), 190.1 (C=O) ppm. EI-MS: 289 (M$^+$,30), 271 (62), 243(92), 225 (97), 198 (30), 104(40),76 (30). Anal. Calcd for $C_{15}H_{15}NO_5$ (289.3): C, 62.27, H, 5.22, N, 4.84; Found: C, 61.23, H, 5.32, N, 4.43%.

3-Acetyl-3a,8b-dihydroxy-2-methyl-3a,8b-dihydroindeno[1,2-b]-pyrrol-4(1*H*)-one (3b). White powder, mp 215–218°C, yield: 0.46 g (90%). IR (KBr) (ν_{max}/cm^{-1}): 3361, 3271, 1709, 1603, 1578, 1482, 1441, 1385 cm^{-1}. ^{1}H NMR: 2.23 (s, 3 H, Me), 2.40 (s, 3 H, Me), 4.12(s, OH), 4.15(s, OH), 4.26 (s, NH), 7.59–7.62 (t, 1H),7.79 (1H), 7.81 (2 H) ppm. ^{13}C NMR: 15.3 (Me), 27.9 (Me), 85.8 (COH), 92.1 (COH), 106.4 (C), 123.5, 124.6, 130.4, 135.1, 136.3, 150.2 (C), 163.4 (C=O), 196.5 (C=O) ppm. EI-MS: 259 (M$^+$,40), 241 (60), 227 (90),199 (35), 104 (50), 76 (33). Anal. Calcd for $C_{14}H_{13}NO_4$ (259.259): C, 64.85, H, 5.05, N, 5.40; Found: C, 63.78, H, 5.11, N, 5.9%.

Ethyl2-ethioxt-3a,8b-dihydroxy-4-oxo-1,3a,4,8b-tetrahydroindeno[1,2-b]-pyrrolo-3carboxylate (3c). Yellow powder, mp 200–202°C, yield: 0.38g (60%). IR (KBr) (ν_{max}/cm^{-1}): 3200,1772, 1726, 1514, 1260 cm^{-1}. ^{1}H NMR: 1.3 (s, 6 H, 2 Me), 3.77 (t, 2 H, $^3J_{HH}$ = 7.5 Hz, OCH_2), 4.18 (t, 2 H, $^3J_{HH}$ = 7.5 Hz, OCH_2),4.56(s, OH), 4.70(s,OH), 5.5 (s,1H,NH), 7.74(1H), 7.89(2H), 8.08(1H)ppm. ^{13}C NMR: 14.1(Me), 14.5(Me), 60.2 (OCH_2), 65.3 (OCH_2), 82.4 (OCH_2), 95.2 (OCH_2), 109.1 (C), 122.7, 124.4, 129.2,133.4, 135.5,136.6, 140.2, 165.4 (C=O), 173.2(C=O) ppm.. EI-MS: 319 (M$^+$,15), 301(60), 273 (88), 245 (78), 218 (25), 104 (50), 76 (33). Anal. Calcd for $C_{16}H_{17}NO_6$ (319.31): C, 60.12, H, 5.32, N, 4.38; Found: C, 59.48, H, 5.12, N, 4.51%.

3-Acetyl-3a,8b-dihydroxy-2-phenyl-3a,8b-dihydroindeno[1,2-b]-pyrrol-4(1*H*)-one (3d). Yellow powder, yield: mp 144–146°C, 0.57 g (90%). IR (KBr) (ν_{max}/cm^{-1}): 3648, 3462, 3217, 1731, 1715, 1602, 1548, 1472, 899, 701 cm^{-1}. ^{1}H NMR: 1.65 (s, 3 H, Me), 3.51(s, 2OH), 5.74 (s, NH), 7.37 (2 H), 7.5 (3 H), 7.6(1 H), 7.81(2 H), 7.91(1 H) ppm. ^{13}C NMR: 30.1 (Me), 85.2 (C), 92.4 (C), 115.1, 118.2, 119.3, 124.9, 125.2, 128.8, 129.3, 131.1, 132.4, 134.5,135.1, 137.4, 142.5, 150.1, 175.2 (C=O), 190 (C=O) ppm. EI-MS: 321 (M$^+$, 20), 303 (62), 289 (90), 226 (78), 213 (45), 186 (35), 104 (50), 76 (40). Anal. Calcd for $C_{19}H_{15}NO_4$ (321.3): C, 70.9, H, 4.66, N, 4.35; Found: C, 70.5, H, 4.20, N, 4.27%.

Ethyl 4,5-dihydroxy-2-methyl-4,5-diphenyl-4,5-dihydro-1*H*-pyrrole-3carboxylate (6a). Pale yellow powder, mp 119–120°C, yield: 0.64 g (95%). IR (KBr) (ν_{max}/cm^{-1}): 3353, 3056, 2398, 1734, 1713, 1682, 1602, 1191, 1088, cm^{-1}. ^{1}H NMR: 1.01 (t, 3 H, $^3J_{HH}$ = 7.1 Hz, Me), 2.37 (s, 3 H, Me), 4.09 (q, 2 H, $^3J_{HH}$ = 5.7 Hz, OCH_2), 5.2 (s, OH), 7.09–7.3 (m,10 H), 9.02(s, 1 H, NH) ppm. ^{13}C NMR: 14.2 (Me), 30.3 (Me), 59.5 (OCH_2), 61.1, 62.2, 113.2, 123.3, 126.3, 127.1, 127.9, 128.3, 128.4, 128.8,128.9, 130.3, 131.1, 133.6, 136.3, 151.7, 170 (C=O) ppm. EI-MS: 339 (M$^+$, 15), 321 (58), 293 (90), 275 (95), 248 (25), 171 (30), 76 (25). Anal. Calcd for $C_{20}H_{21}NO_4$ (339.4): C, 70.78, H, 6.19, N, 4.12; Found: C, 69.89, H, 7.21, N, 4.87%.

1-(4,5-Dihydroxy-2-methyl-4,5-diphenyl-4,5-dihydro-1*H*-pyrrol-3yl)-1-ethanone (6b). Yellow powder, mp132–134°C, yield: 0.49 g (80%). IR (KBr) (ν_{max}/cm^{-1}): 3412,1733, 1685, 1559, 1522, 1187, 1090, 830 cm^{-1}. ^{1}H NMR: 1.87 (s, 3 H, Me), 2.37 (s, 3 H, Me), 4.12 (s, OH), 4.2 (s, OH), 4.32 (s, NH), 7.09–7.62 (m, 10 H) ppm. ^{13}C NMR: 22.0 (Me), 30.6 (Me), 66.7, 80.6, 122.7, 123.3, 127.1,127.2, 127.3, 127.6, 128.3, 128.9, 131.3,131.2, 132.1, 135.7, 136.7,151.2, 197.1

Scheme 2

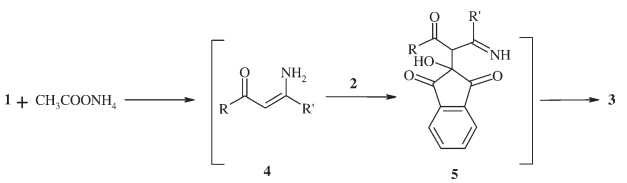


Table 1

Tetrahydroindeno[1,2-*b*]pyrrole-3-carboxylate derivatives.

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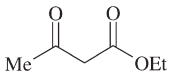
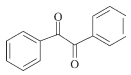
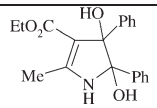
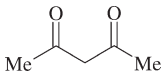
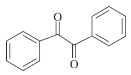
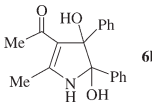
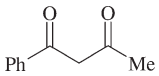
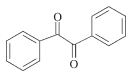
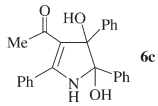
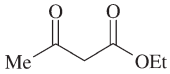
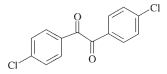
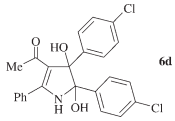
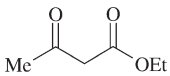
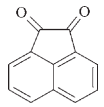
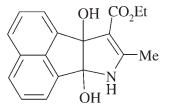
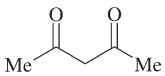
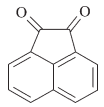
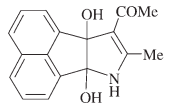
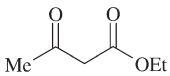
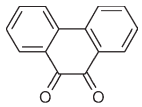
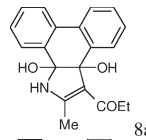
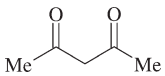
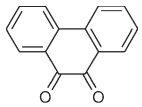
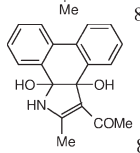
1			 **6a**	95
2			 **6b**	80
3			 **6c**	65
4			 **6d**	80
5			 **7a**	60
6			 **7b**	87
7			 8a	90
8			 8b	85

(C=O) ppm. EI-MS: 309 (M^+, 35), 291 (60), 277 (88), 250 (48), 173 (50), 76 (30). Anal. Calcd for $C_{19}H_{19}NO_3$ (309.3): C, 73.70, H, 6.14, N, 4.52; Found: C, 72.5, H, 6.38, N, 4.92%.

1-(4,5-Dihydroxy-2,4,5-triphenyl-4,5-dihydro-1*H*-pyrrol-3yl)-1-ethanone (6c). Yellow powder,mp 140–142°C, yield: 0.48 g (65%). IR (KBr) (ν_{max}/cm^{-1}): 3319, 3180, 1911, 1594, 1570, 1324, 1261, 1092, 1025, 802 cm^{-1}. ^1H NMR: 2.06 (s, 3 H, Me), 5.19 (s, OH), 5.76 (s, OH), 7.25–7.91 (15H-Ar), 10.22 (s, NH) ppm. ^{13}C NMR: 23.3 (Me), 76.9 (COH), 92.7 (COH), 111.0, 118.2, 122.2, 124.3, 125.4, 126.1, 127.5 (3C), 127.7, 128.6 (3C), 128.7, 129.1, 130.0, 131.2 (3C), 140.5, 189.9 (C=O) ppm. EI-MS: 371 (M^+, 25), 353 (50), 339 (90), 312 (38), 235(60), 76 (40). Anal. Calcd for $C_{24}H_{21}NO_3$ (371.4): C, 77.53, H, 5.65, N, 3.76; Found: C, 77.19, H, 5.38, N, 3.79%.

Ethyl4,5-bis(4-chlorophenyl)-4,5-dihydroxy-2-methyl-4,5-dihydro-1*H*-pyrrole-3-carboxylate (6d). Yellow powder,mp 122–124°C, yield: 0.65 g (80%). IR (KBR) (ν_{max}/cm^{-1}): 3412, 1733, 1685, 1522,1370,1187, 1090, 1014, 830 cm^{-1}. ^1H NMR: 1.19 (t, 3 H, $^3J_{HH}$ =7.08 HZ, Me), 2.25 (s, 3H, Me), 4.04 (q, 2H, $^3J_{HH}$ = 7.12 HZ,CH_2O), 4.61 (s, 2OH), 7.18–7.35 (8 H-Ar), 10.74 (s, NH) ppm.^{13}C NMR: 13.7(Me), 26.2 (Me), 59.1 (CH_2O),

61.4 (COH), 114.1, 117. 2, 127.5, 128.01, 128.8, 129.06, 131.5, 132.1, 132.2, 132.9, 135. 6, 137.03, 150.1, 155.0, 165.1 (C=O), 169.5 (C=O) ppm. EI-MS: 408 (M^+, 30), 390 (59), 362 (88), 344 (98), 317(30), 111(40). Anal. Calcd for $C_{20}H_{19}Cl_2NO_4$ (408.3): C, 58.83, H, 4.69, N, 3.43: Found: C, 57.5, H, 4.25, N, 3.60

Ethyl6b,9a-dihydroxy-8-methyl-7,9a-dihydro-6b*H*-acenaphtho*[1,2-b]-pyrrole-9-carboxylate (7a).* Yellow powder, mp 169–171°C, yield: 0.37 g (60%). IR (KBR) (ν_{max}/cm^{-1}): 3412, 1733, 1685, 1522, 1370,1187, 1090, 1014, 830 cm^{-1}. ^1H NMR: 1.48 (t, 3 H, $^3J_{HH}$ = 7.0 HZ, Me), 2.23 (s, 3H, Me), 4.25 (q, 2H, $^3J_{HH}$ = 7.12 HZ, OCH_2), 4.1(s, OH), 4.4 (s, OH),

5.4 (s, NH), 7.12–7.82 (6H-Ar) ppm.^{13}C NMR: 13.7 (Me), 13.5 (Me), 17.0 (Me), 59.4 (CH_2O), 90.4 (COH), 95.0 (COH), 110.3, 116.1, 117. 2, 124.7, 126.5, 127.5, 128.1, 132.2, 139.1, 140.0, 144.1, 166.7 (C=O) ppm. EI-MS: 311 (M^+, 25), 293 (49), 265 (85), 247 (95), 220(33). Anal. Calcd for $C_{18}H_{17}NO_4$ (311.3): C, 69.44, H, 5.50, N, 4.49: Found: C, 68.97, H, 5.39, N, 4.87.

1-[6b,9a-dihydroxy-8-methyl-7,9a-dihydro-6b*H*-acenaphtho[1,2-b]-pyrrol-9-yl]-1-ethanone (7b). White powder, mp 177–181°C, yield: 0.48 g (87%). IR (KBr) (ν_{max}/cm^{-1}): 3422, 1773, 1726, 1581, 1436, 1383, 1023, 776 cm^{-1}. ^{1}H NMR: 2.21 (s, 3 H, Me), 2.40 (s, 3 H, Me), 5.19 (s, OH), 5.38 (s, OH), 5.6 (s, NH), 7.54–7.86 (m, 6 H) ppm. ^{13}C NMR: 16.7 (Me), 29.7 (Me), 89.8 (C-OH), 97.42 (C-OH), 112.0 (C), 119.5, 121.2, 124.3, 125.3, 128.9, 129.4, 131.5, 136.4, 143.5, 146.6, 161.8, 192.7 (C=O) ppm. EI-MS: 281 (M^+, 19), 263 (70), 249 (90), 222 (38). Anal. Calcd for $C_{17}H_{15}NO_3$ (281.3): C, 72.52, H, 5.37, N, 4.97; Found: C, 71.77, H, 5.11, N, 4.66%.

Ethyl3a,11b-dihydroxy-2-methyl-3a,11b-dihydro-1*H*-dibenzo[e,g]indole-3-carboxylate (8a). White powder, mp 188–190°C, yield: 0.60 g (90%). IR (KBr) (ν_{max}/cm^{-1}): 3766, 3431, 17, 1726, 1690, 1629,1443, 1337,1205, 1028, 745 cm^{-1}. ^{1}H NMR: 1.33 (t, 3 H, $^3J_{HH}$ = 7.2 Hz, Me), 2.38 (s, 3 H, Me), 4.38 (q, 2 H, $^3J_{HH}$ = 7.1 Hz, CH_2O), 5.31(s, OH), 5.43 (s, OH), 5.8 (s, NH), 7.39–7.54 (m, 4 H, H-Ar), 7.62 (t, 1 H, $^3J_{HH}$ = 7.1 Hz, 1 H), 7.78 (t, 1 H, $^3J_{HH}$ = 7.3 Hz, CH), 7.93 (d,1 H, $^3J_{HH}$ = 7.9 Hz, CH), 7.97 (d, 1 H, $^3J_{HH}$ = 7.8 Hz, CH) ppm. ^{13}C NMR: 14.4 (Me), 18.1 (Me), 62.2 (CH_2O), 75.3 (COH), 98.2 (COH), 108.0 (C), 119.2, 124.9, 125.5, 126.6, 127.4, 128.9, 130.0, 130.3, 130.4, 133.3, 134.0, 137.1, 164.4, 168.3 (C=O) ppm. EI-MS: 337 (M^+, 42), 319 (53), 291 (94), 273 (98), 246(41). Anal. Calcd for $C_{20}H_{19}NO_4$ (337.3): C, 71.14, H, 5.67, N, 4.15; Found: C, 70.69, H, 5.41, N, 4.9%.

1-(3a,11b-dihydroxy-2-methyl-3a-11b-dihydro-1*H*-dibenzo[e,g]indol-3-yl)-1-ethanone (8b). Orange powder, mp 198–200°C, yield: 0.52 g (85%). IR (KBr) (ν_{max}/cm^{-1}): 3367, 1726, 1660, 1383, 1195, 1157, 1083, 745 cm^{-1}. ^{1}H NMR: 2.38 (s, NH), 2.5 (s, 6 H, 2 Me), 5.31(s, OH), 5.43 (s, OH), 7.38–7.56 (m, 4 H-Ar), 7.62 (t, 1 H, $^3J_{HH}$ = 7.7 HZ, H-Ar), 7.75 (d, 1 H, $^3J_{HH}$ = 6.4 Hz, H-Ar), 7.95 (t, 2 H, $^3J_{HH}$ = 8.5 Hz, H_{Ar}) ppm. ^{13}C NMR: 14.4 (Me), 18.1 (Me), 75.3 (C), 98.2 (C), 108.0 (C), 119.2, 124.9, 125.5, 126.6, 127.4, 128.9, 130.0, 130.3, 130.4, 133.3, 134.0, 137.1, 164.4, 192.3 (C=O) ppm. EI-MS: 307(M^+, 37), 289 (57), 275 (30), 248 (38). Anal. Calcd for $C_{19}H_{17}NO_3$ (337.3): C, 74.00, H, 5.57, N, 4.55; Found: C, 73.45, H, 5.29, N, 4.21%.

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