NMR δ 3.88 (s, methyl ester groups, 6 H), 4.85 (s, CH_2, 2 H), 7.92 (d, C_2 and C_6, 2 H), 7.84 (d, C_3', 1 H), 7.45 (d, C_3 and C_5, 2 H), 7.52 (m, C_4', C_5', and C_6', 3 H).

Ethyl 4-[(Phenylsulfonyl)methyl]benzoate (2a). White solid: yield 89%; mp 158–9 °C; ^{1}H NMR δ 1.35 (t, methyl ester group, 3 H), 4.32 (q, methylene ester group, 2 H), 4.63 (s, CH_2, 2 H), 7.92 (d, C_2 and C_6, 2 H), 7.34 (d, C_3 and C_5, 2 H), 7.57 (t, C_3', C_4', and C_5', 3 H), 7.71 (d, C_2' and C_6', 2 H).

Ethyl 4-[(4′-Tolylsulfonyl)methyl]benzoate (2b). White solid: yield 81%; mp 123–4 °C; ^{1}H NMR δ 1.38 (t, methyl ester group, 3 H), 4.36 (q, methylene ester group, 2 H), 2.42 (s, CH_3, 3 H), 4.58 (s, CH_2, 2 H), 7.98 (d, C_2 and C_6, 2 H), 7.57 (d, C_3 and C_5, 2 H), 7.82 (d, C_2' and C_6', 2 H), 7.35 (d, C_3' and C_5', 2 H).

Ethyl 4-[((4′-Methoxyphenyl)sulfonyl)methyl]benzoate (2c). White solid: yield 73%; mp 149–50 °C; ^{1}H NMR δ 1.38 (t, methyl ester group, 3 H), 4.37 (q, methylene ester group, 2 H), 3.90 (s, OCH_3, 3 H), 4.59 (s, CH_2, 2 H), 7.90 (d, C_2 and C_6, 2 H), 7.05 (d, C_3 and C_5, 2 H), 7.60 (d, C_2' and C_6', 2 H), 7.32 (d, C_3' and C_5', 2 H).

Ethyl 4-[((4′-Aminophenyl)sulfonyl)methyl]benzoate (2d). Deep yellow solid: yield 78%, mp 154–5 °C; ^{1}H NMR δ 1.38 (t, methyl ester group, 3 H), 4.34 (q, methylene ester group, 2 H), 4.52 (s, NH_2, 2 H), 4.62 (s, CH_2, 2 H), 8.19 (d, C_2 and C_6, 2 H), 7.82 (d, C_3 and C_5, 2 H), 8.00 (d, C_2' and C_6', 2 H), 7.32 (d, C_3' and C_5', 2 H).

Ethyl 4-[((4′-Chlorophenyl)sulfonyl)methyl]benzoate (2e). White solid: yield 91%, mp 169–70 °C, ^{1}H NMR δ 1.38 (t, methyl ester group, 3 H), 4.37 (q, methylene ester group, 2 H), 4.68 (s, CH_2, 2 H), 7.92 (d, C_2 and C_6, 2 H), 7.31 (d, C_3 and C_5, 2 H), 7.70 (d, C_2' and C_6', 2 H), 7.58 (d, C_3' and C_5', 2 H).

Ethyl 4-[((4′-Bromophenyl)sulfonyl)methyl]benzoate (2f). White solid: yield 88%; mp 181 °C; ^{1}H NMR δ 1.38 (t, methyl ester group, 3 H), 4.34 (q, methylene ester group, 2 H), 4.70 (s, CH_2, 2 H), 8.00 (d, C_2 and C_6, 2 H), 7.39 (d, C_3 and C_5, 2 H), 7.76 (d, C_2' and C_6', 2 H), 7.65 (d, C_3' and C_5', 2 H).

Ethyl 4-[((4′-Nitrophenyl)sulfonyl)methyl]benzoate (2g). Pale yellow solid: yield 92%; mp 159–60 °C; ^{1}H NMR δ 1.38 (t, methyl ester group, 3 H), 4.35 (q, methylene ester group, 2 H), 4.82 (s, CH_2, 2 H), 8.39 (d, C_2 and C_6, 2 H), 7.38 (d, C_3 and C_5, 2 H), 8.70 (d, C_2' and C_6', 2 H), 8.79 (d, C_3' and C_5', 2 H).

Ethyl 4-[((2′-Carbethoxyphenyl)sulfonyl)methyl]benzoate (2h). White solid: yield 86%; mp 101–2 °C; ^{1}H NMR δ 1.40 (t, methyl ester groups, 6 H), 4.32 (q, methylene ester groups, 4 H); 4.86 (s, CH_2, 2 H), 7.78 (d, C_2 and C_6, 2 H), 7.76 (d, C_3', 1 H), 7.32 (d, C_3 and C_5, 2 H), 7.48 (m, C_4', C_5', and C_6', 3 H).

Registry No. **1a**, 59584-27-9; **1b**, 117687-51-1; **1c**, 117687-52-2; **1d**, 117687-53-3; **1e**, 117687-54-4; **1f**, 117687-55-5; **1g**, 117687-56-6; **1h**, 117687-57-7; **2a**, 56571-79-0; **2b**, 117687-58-8; **2c**, 117687-59-9; **2d**, 117687-60-2; **2e**, 117687-61-3; **2f**, 117687-62-4; **2g**, 117687-63-5; **2h**, 117687-64-6; 4-[(phenylsulfonyl)methyl]benzoic acid, 71964-92-6; 4-[(4′-tolylsulfonyl)methyl]benzoic acid, 110046-36-1; 4-[((4′-methoxyphenyl)sulfonyl)methyl]benzoic acid, 110046-37-2; 4-[((4′-aminophenyl)sulfonyl)methyl]benzoic acid, 110046-38-3; 4-[((4′-chlorophenyl)sulfonyl)methyl]benzoic acid, 110046-39-4; 4-[((4′-bromophenyl)sulfonyl)methyl]benzoic acid, 110046-40-7; 4-[((4′-nitrophenyl)sulfonyl)methyl]benzoic acid, 110046-41-8; 4-[((2′-carboxyphenyl)sulfonyl)methyl]benzoic acid, 110046-42-9.

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New and Convenient Synthesis of Indeno[2,1-*c*]quinoline Derivatives

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2-Propanoylindane-1,3-dione (I) was condensed with aniline and three isomeric toluidines to give the corresponding anils (IIa–d), which on treatment with polyphosphoric acid afforded the corresponding 6-ethylindeno[2,1-*c*]quinolin-7(7*H*)-ones (IIIa–d). The structures of these were established by elemental analyses and IR and ^{1}H NMR spectral data.

Introduction

The reported (*1–4*) biological activity of azafluorenes and their benzoanalogues (indenoquinolines) led to the elaboration of few synthetic procedures for building up of these aza hydrocarbons (*5–11*). However, the syntheses of indenoquinolines are either too lengthy or commence with substrates which are not readily available. Moreover, there appears to be no report on the synthesis of indeno[2,1-*c*]quinolines bearing an alkyl group at C-6. The present paper describes a convenient synthesis of indeno[2,1-c]quinolin-7(7*H*)-ones (IIIa–d) (Scheme I).

2-Propanoylindane-1,3-dione (I) upon refluxing with aniline and three isomeric toluidines in ethanol gave the corresponding anils (IIa–d) in excellent yields. The structures of these were confirmed by ^{1}H NMR spectral data (Table I). The IR spectrum of IIb shows absorptions at 1690 (C=O) and 1630 cm^{-1} (C=N). ^{1}H NMR spectra of these compounds revealed the presence of enolic H (Table I). The anils (IIa–d) on treatment with polyphosphoric acid (PPA) yielded the corresponding 6-ethylindeno[2,1-*c*]quinolin-7(7*H*)-ones (IIIa–d). Such cyclization reactions catalyzed by PPA are reported in the case of α-(1,3-dioxocyclohexyl-2-yl)ethylideneanilines (*12*). The structures of these (IIIa–d) were established by ^{1}H NMR spectral data (Table I). The IR spectrum of IIIb exhibits absorption at

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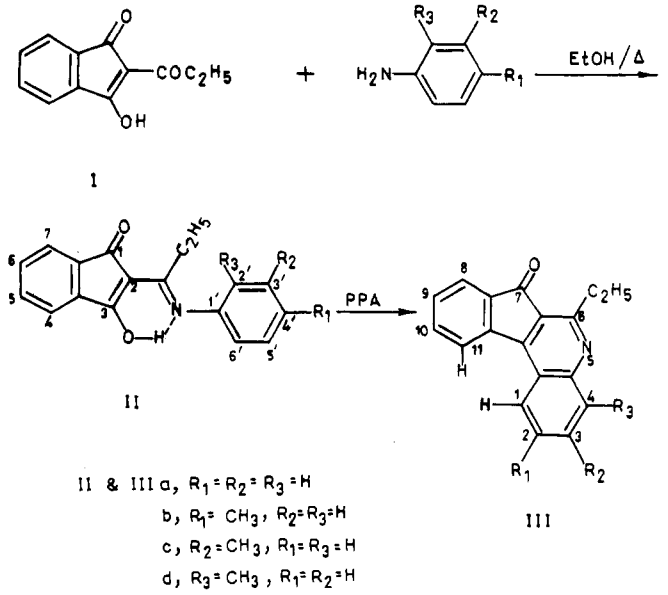
Table I. Characterization Data of Compounds II and III

no.	mp, °C	yield, %	$R_f \times 100$	^{1}H NMR ($CDCl_3$), ppm
IIa	139	76	55	1.22 (t, 3 H, J = 7 Hz, CH_2–CH_3), 2.95 (q, 2 H, J = 7 Hz, CH_2), 7.15–7.90 (9 H, ArH), 12.35 (br s, 1 H, H-3 enolic, exchangeable with D_2O)
IIb	130	80	56	1.25 (t, 3 H, CH_3), 2.38 (s, 3 H, C-4′ CH_3), 3.00 (d, 2 H, CH_2), 7.12 (d, 2 H, J = 8 Hz, H-2′, H-6′), 7.27 (d, 2 H, J = 8 Hz, H-3′, H-5′), 7.50–7.87 (m, 4 H, ArH), 12.25 (br s, 1 H, H-3 enolic, exchangeable with D_2O)
IIc	122	83	54	1.25 (t, 3 H, CH_3), 2.42 (s, 3 H, C-3′, CH_3), 3.00 (q, 2 H, CH_2), 7.05–7.80 (m, 8 H, ArH), 12.15 (br s, 1 H, H-3 enolic, exchangeable with D_2O
IId	136	82	53	1.20 (t, 3 H, CH_3), 2.35 (s, 3 H, C-2′–CH_3), 2.95 (q, 2 H, J = 7 Hz, CH_2), 7.20–7.80 (m, 8 H, ArH), 12.15 (br s, 1 H, H-3 enolic, exchangeable with D_2O)
IIIa	118	55	52	1.35 (t, 3 H, CH_2–CH_3), 3.30 (q, 2 H, CH_2), 7.25–7.70 (m, 5 H, ArH), 7.75–8.05 (dd, 2 H, J = 8 and 2.5 Hz, H-4, H-11), 8.15 (d, 1 H, J = 8 Hz, H-1)
IIIb	113	60	54	1.35 (t, 3 H, CH_2–CH_3), 2.52 (s, 3 H, C-2–CH_3), 3.35 (q, 2 H, J = 7 Hz, CH_2), 7.40–7.70 (m, 4 H, ArH), 7.80–7.95 (m, 2 H, H-4, H-11), 8.10 (1 H, J = 2.5 Hz, H-1)
IIIc	115	45	51	1.35 (t, 3 H, J = 7 Hz, CH_2–CH_3), 2.45 (s, 3 H, C-3–CH_3), 3.30 (q, 2 H, CH_2), 7.2–7.95 (m, 6 H, ArH), 8.05 (d, 1 H, J = 8 Hz, H-1)
IIId	142	48	50	1.37 (t, 3 H, J = 7 Hz, CH_2–CH_3), 2.72 (s, 3 H, C-4–CH_3), 3.35 (q, 3 H, CH_2), 7.15–7.75 (m, 5 H, ArH), 7.90 (dd, 1 H, J = 8 and 2.5 Hz, H-11), 8.15 (dd, J = 8 and 2.5 Hz, H-1)

Scheme I



1700 cm^{-1} indicative of a C=O group.

Experimental Section

All the melting points are uncorrected. IR specta in KBr disks were recorded on a beckman IR-20 spectrophotometer. ^{1}H NMR spectra were recorded on R-32 Perkin-Elmer (90 MHz) spectrometer in deuteriochloroform using tetramethylsilane as an internal standard. The solvent system for TLC was benzene–ethyl acetate (8:2).

The elemental analysis data for all eight compounds appear in Table II.

Materials. Anilines were procured from BDH India. 2-Propanoylindan-1,3-dione (I) was prepared by the reported method (*13*).

α-(1,3-Dioxoindan-2-yl)propylidineanilines (IIa–d). A mixture of 2-propanoylindan-1,3-dione (1.2 g, 0.01 mol) and pure anilines (0.012 mol) in ethanol (30 mL) was refluxed for 3 h. The solid product obtained on cooling was crystallized from ethanol to afford green needles of anils (IIa–d) (Table I).

6-Ethylindeno[2,1-c]quinolin-7(7H)-ones (IIIa–d). The anil II (4 mmol) was added to a freshly prepared PPA (5 g of P_2O_5 + 3 mL of H_3PO_4) and heated to 120 °C for 6 h, cooled, poured into cold water, and made alkaline with NH_4OH. It was extracted with $CHCl_3$, and the extracts were dried over anhydrous $MgSO_4$. The solvent was distilled, and the residue upon crystallization from petroleum ether–benzene mixture afforded shining yellow needles of indenoquinolinones (IIIa–d) (Table I).

Table II. Analyses of Compounds II and III

		anal. %, calcd (found)		
no.	mol formula	C	H	N
IIa	$C_{18}H_{15}O_2N$ (277)	77.97	5.41	5.05
		(77.71)	(5.34)	(4.99)
IIb	$C_{19}H_{17}O_2N$ (291)	79.03	5.84	4.81
		(79.28)	(5.79)	(4.75)
IIc	$C_{19}H_{17}O_2N$ (291)	79.03	5.84	4.81
		(78.19)	(5.80)	(4.88)
IId	$C_{19}H_{17}O_2N$ (291)	79.03	5.84	4.81
		(78.73)	(5.78)	(4.77)
IIIa	$C_{18}H_{13}ON$ (259)	88.39	5.01	5.40
		(88.16)	(4.94)	(5.37)
IIIb	$C_{19}H_{15}ON$ (273)	86.03	5.49	5.12
		(85.85)	(5.52)	(5.03)
IIIc	$C_{19}H_{15}ON$ (273)	86.03	5.49	5.12
		(86.00)	(5.38)	(5.07)
IIId	$C_{19}H_{15}ON$ (273)	86.03	5.49	5.12
		(85.98)	(5.51)	(5.14)

Registry No. I, 46383-33-9; IIa, 117067-89-7; IIb, 117067-90-0; IIc, 117067-91-1; IId, 117067-92-2; IIIa, 117067-93-3; IIIb, 117067-94-4; IIIc, 117067-95-5; IIId, 117067-96-6; 4-$MeC_6H_4NH_2$, 106-49-0; 3-$MeC_6H_4NH_2$, 108-44-1; 2-$MeC_6H_4NH_2$, 95-53-4; aniline, 62-53-3.

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