

Using this procedure, the reaction of various  $\beta$ -dicarbonyl compounds **1a-e** with formaldehyde in the presence of  $C_2H_5MgBr$  was examined. Synthetic results are reported in Table 1.

**Table 1.** Reaction of aromatic  $\beta$ -dicarbonyl compounds **1a-e** with formaldehyde (**4a**) in the presence of  $C_2H_5MgBr$ .

Entry	Reagent 1	R	Y	Product 5	Yield (%)	Selectivity (%)
1	1a	H	OC <sub>2</sub> H <sub>5</sub>	5a	72	93
2	1b	4-CH <sub>3</sub>	"	5b	78	92
3	1c	4-OCH <sub>3</sub>	"	5c	65	85
4	1d	H	CH <sub>3</sub>	5d	66	87
5	1e	4-CH <sub>3</sub>	"	5e	75	90

\* Selectivity=Yield/Reacted 1 x 100

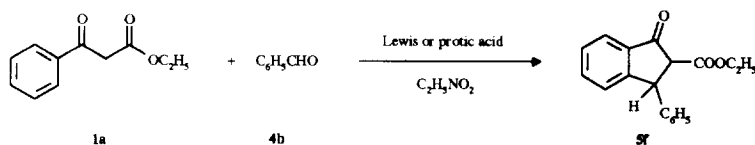
Compounds **5a-e** were obtained in good yields (65-78%) and excellent selectivities (85-93%), comparable with those obtained with the more expensive  $CH_3OCH_2COCl$ <sup>10</sup>. The nature of the substituent R and the pattern of substitution on the aromatic ring markedly affect the reaction course.

In fact, ethyl-3-oxo-4'-chloro- and ethyl-3-oxo-4'-carbethoxyphenyl propionates did not afford the corresponding indanones but only traces of 1,5-diaryl-2,4-dicarbethoxy-1,5-pentandiones, obtained by reaction of formaldehyde with two molecules of  $\beta$ -dicarbonyl compounds, were detected. Similar results were observed with ethyl-3-oxo-3',5'-dimethoxyphenylpropionate due to the steric hindrance of the methoxy groups.

A similar approach was employed to examine the reaction of substrates **1** with aromatic aldehydes. At first bromomagnesium chelate of ethyl-3-oxo-3-phenylpropionate (**6a**) was reacted with benzaldehyde (**4b**) in nitroethane at 110°C for 3 hours. The expected 3-phenyl-2-carbethoxy-1-indanone (**5f**) was obtained in a disappointingly low yield (40%). Thus, we studied the model reaction between **1a** and **4b** in the presence of different oxophilic Lewis acids capable of giving chelates with  $\beta$ -dicarbonyl compounds<sup>11</sup> and activating the aldehyde by coordination<sup>12</sup>.

Results reported in Table 2 show that the Lewis acid hardness and the coordination power of the metal halide play a crucial role on the reactivity of the system. Thus, dichloroaluminium chelate **7a** was found to be the best reagent of the present reaction (entries 2 and 3). Moreover, with protic acids compounds **1a** and **4b** were recovered unchanged accompanied by traces of **5f** (entry 6).

These results indicate that the efficiency of the present reaction depends on two fundamental requirements: the conversion of the substrate **1** into the more reactive metal chelate **7** and the activation of the aldehyde toward the electrophilic attack by coordination with the Lewis acid.

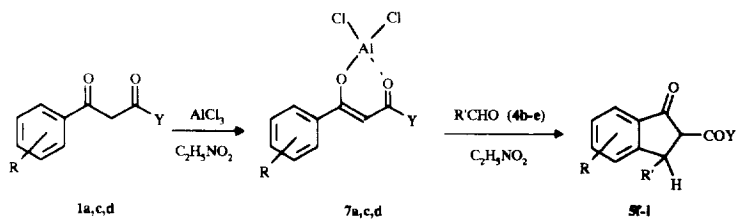
**Table 2.** Lewis and protic acid effect in the bisalkylation of ethyl-3-oxo-3-phenylpropionate (**1a**) with benzaldehyde (**4b**)<sup>a</sup>.

Entry	Lewis or protic acid	Yield <b>5f</b> (%)	Selectivity (%)
1	C <sub>2</sub> H <sub>5</sub> MgBr	40	92
2	C <sub>2</sub> H <sub>5</sub> AlCl <sub>2</sub>	65	89
3	AlCl <sub>3</sub>	72	88
4	TiCl <sub>4</sub>	38	89
5	SnCl <sub>4</sub>	20	93
6	CH <sub>3</sub> COOH/H <sub>2</sub> SO <sub>4</sub>	traces	

a) Molar ratio Lewis acid : **1a** = 1 : 1; all the reactions were carried out at 110°C for 3 hours.

We successively applied this methodology to the synthesis of 3-aryl-2-carbethoxy- and 3-aryl-2-acetyl-1-indanones **5f-1** by reacting β-dicarbonyl compounds **1a**, **c**, **d** with aromatic aldehydes **4b-d** or cinnamic aldehyde (**4e**), in the presence of AlCl<sub>3</sub> (Scheme 4); good yields and selectivities were observed in all cases (Table 3).

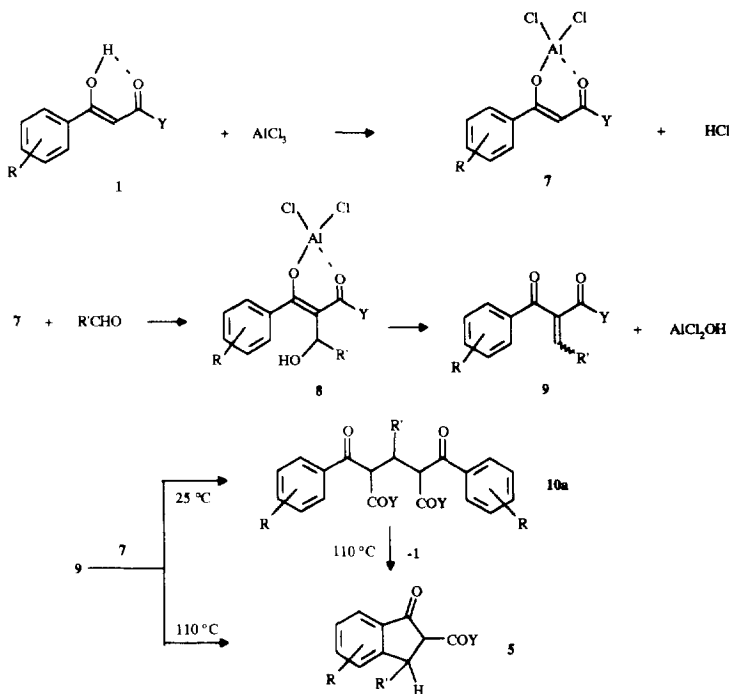
An electron enrichment of the aromatic nucleus in the substrate **1** results in an increase of the reactivity of the system according to a typical electrophilic substitution process.

**Scheme 4**

**Table 3.** Reaction of aromatic  $\beta$ -dicarbonyl compounds **1a, c, d** with aldehydes **4b-e** in the presence of  $\text{AlCl}_3$ .

Entry	Reagent	R	Y	Aldehyde	R'	Product	Yield (%)	Selectivity (%)
1	<b>1a</b>	H	$\text{OC}_2\text{H}_5$	<b>4b</b>	$\text{C}_6\text{H}_5$	<b>5f</b>	72	88
2	"	"	"	<b>4c</b>	4-Cl- $\text{C}_6\text{H}_4$	<b>5g</b>	58	87
3	"	"	"	<b>4d</b>	4-OCH <sub>3</sub> - $\text{C}_6\text{H}_4$	<b>5h</b>	70	89
4	"	"	"	<b>4e</b>	$\text{C}_6\text{H}_5\text{-CH=CH}$	<b>5i</b>	63	78
5	<b>1c</b>	4-OCH <sub>3</sub>	"	<b>4b</b>	$\text{C}_6\text{H}_5$	<b>5j</b>	82	90
6	<b>1d</b>	H	$\text{CH}_3$	"	"	<b>5k</b>	48	78
7	<b>1c</b>	4-OCH <sub>3</sub>	$\text{OC}_2\text{H}_5$	<b>4e</b>	$\text{C}_6\text{H}_5\text{-CH=CH}$	<b>5l</b>	68	77

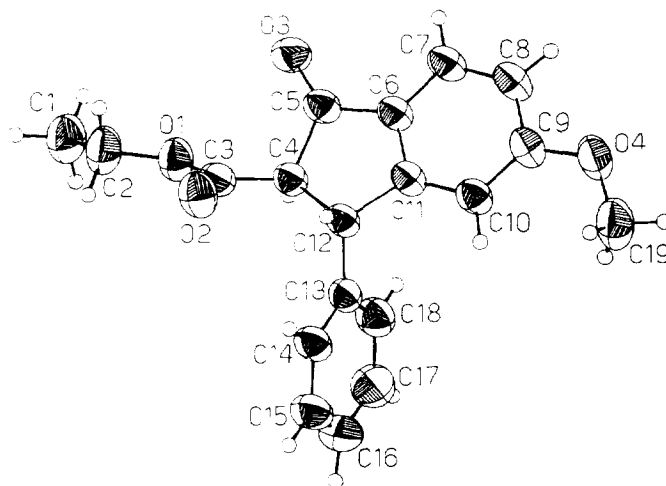
Concerning the general mechanism we envision the sequence to occur as follows (Scheme 5). The dichloroaluminium chelate **7** directly obtained by reaction of **1** with  $\text{AlCl}_3$  would react with the aldehyde leading to the Knoevenagel product **9**<sup>13</sup>. Compound **9** could then undergo an electrophilic cyclization promoted by the same Lewis acid affording the indanone **5**. The latter step resembles the Nazarov cyclization which consists of a concerted cycloaddition, but the extreme polarity of the reagents renders this less likely<sup>14</sup>.

**Scheme 5**

We succeeded in crystallizing the product **5j** and in characterizing it by X-ray analysis. The *ORTEP* drawing of the molecule is shown in Fig. 1 which gives also the adopted atom labelling scheme. The geometric parameters of the molecule (a few selected values are given in the caption of the figure 1) agree fairly well with those calculated for the isolated molecule by molecular-mechanics force-field optimization, starting from the experimental (crystal) atomic coordinates.

The configurations at C4 and C12 are opposite: *R*(C4) and *S*(C12) in the figure, but also the molecules with *S*(C4) and *R*(C12) are present in the crystal, the space group being centrosymmetric.

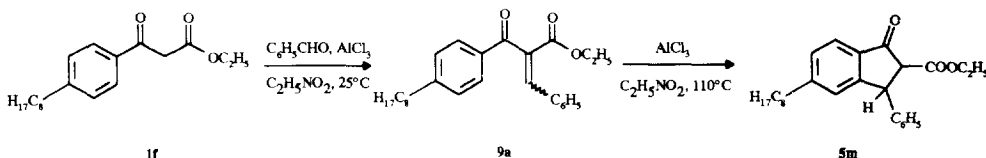
While the planes of the carboxy and phenyl substituents are both approximately perpendicular to the indanone plane, the methoxy group shows a tendency of being coplanar with the benzene ring (as usually found, being due to electronic effects<sup>15</sup>) causing a marked asymmetry of the O4-C9-C8 and O4-C9-C10 bond angles.



**Figure 1.** ORTEP drawing of the molecule **5j**. Atomic displacements ellipsoids at 50%. Selected geometric parameters: C5-O3 1.214(3), C9-O4 1.362(3), C3-C4 1.499(3), C12-C13 1.508(3) Å; C6-C5-C4 106.1(2), C5-C4-C12 105.3(2), C4-C12-C11 102.4(2), C8-C9-O4 114.3(2), C10-C9-O4 124.4(2), C9-O4-C19 118.9(2)°; C5-C4-C3-O2 -104.9(3); C4-C12-C13-C14 -104.5(2); C8-C9-O4-C19 -179.3(2)°.

Finally, hoping to recognize possible intermediates, the reaction with different substrates **1** and aldehydes was examined under different conditions.

After several exploratory experiments it was found that the substrate **1f** reacted with benzaldehyde (**4b**) at 25°C in nitroethane affording the Knoevenagel product **9a** which underwent cycloalkylation to the indanone **5m** by heating with AlCl<sub>3</sub> at 110°C for 2 hours in the same solvent (Scheme 6).



Scheme 6

Moreover, 1,5-diphenyl-2,4-dicarboxy-1,5-pentandione (**10a**) was obtained as the sole product by reacting **1a** and formaldehyde (**4a**) in the presence of AlCl<sub>3</sub> (molar ratio 1:1:1) in nitroethane at 25°C (Scheme 5). Heating a solution of **10a** and AlCl<sub>3</sub> (molar ratio 1:1) in nitroethane at 110°C for 2 hours provided the indanone **5a** in 95% yield with loss of ethyl-3-oxo-3-phenylpropionate (**1a**).

It seems likely from these results that compounds **9** represent the key intermediates in the present indanone synthesis. Conversion of **9** into indanones **5** (cycloalkylation process) occurs at high temperatures (80-110°C), whereas dimeric products **10** are obtained when the reaction is carried out at 25°C.

To conclude, the reaction of magnesium and aluminium chelates of aromatic 1,3-dicarbonyl compounds with not enolizable aldehydes affords 2-carboxy- and 2-acetyl-1-indanones *via* tandem process involving a Knoevenagel condensation followed by an electrophilic cycloalkylation.

### Experimental

Melting and boiling points were obtained on an Electrothermal melting point apparatus and are uncorrected. IR spectra were recorded on a Perkin-Elmer 298 spectrophotometer. <sup>1</sup>H NMR spectra were recorded on a Bruker AMX400 spectrometer at 400 MHz, on a Bruker AC300 at 300 MHz, on a Bruker CXP200 at 200 MHz and on a Bruker AC100 at 100 MHz. Chemical shifts are expressed in ppm relative to TMS as internal standard. Mass spectra were obtained on a Finnigan SSQ 710 instrument at 70 eV. TLC analyses were performed on Stratocrom SIF silica gel plates (Carlo Erba) developed with hexane-ethyl acetate mixtures. All reagents were of commercial quality from freshly opened containers.

**Ethyl-3-oxo-3-(4-methylphenyl)propionate (1b)**<sup>16</sup>, **Ethyl-3-oxo-3-(4-methoxyphenyl)propionate (1c)**<sup>7</sup> and **1-(4'-methylphenyl)-1,3-butandione (1e)**<sup>17</sup> were prepared as previously described.

**Synthesis of 2-acetyl- and 2-carbethoxy-1-indanones 5a-e. General Procedure A.** An ethereal solution of the selected  $\beta$ -dicarbonyl compound (0.01 mol) was added dropwise to a solution of ethylmagnesium bromide (0.01 mol) in diethyl ether (50 ml) with stirring at room temperature under nitrogen. After removal of the ether in vacuo, anhydrous nitroethane (100 ml) and paraformaldehyde (0.36 g, 0.012 mol) were added and the mixture was heated under reflux for 3 hours. After cooling, the reaction mixture was poured on an excess of aqueous 2N HCl solution and extracted with methylene chloride (2x60 ml). The extract was washed with water and dried over  $\text{Na}_2\text{SO}_4$ , the solvent was distilled off and the residue was chromatographed on silica gel plates with 20-30% hexane/ethyl acetate mixtures to give products.

**2-Carbethoxyindan-1-one (5a)**<sup>18</sup>. Pale yellow oil, b.p. 157-160°C/2mmHg. (Found: C, 70.72; H, 6.08. Calc. for  $\text{C}_{12}\text{H}_{12}\text{O}_3$ : C, 70.57; H, 5.92%);  $^1\text{H NMR}$  ( $\text{CDCl}_3$ , 100 MHz),  $\delta$  (ppm) 1.32 (t, 3H,  $\text{CH}_3$ ,  $J=7.1$  Hz), 3.2-3.5 (m, 2H, H-3), 3.7-3.8 (m, 1H, H-2), 4.25 (q, 2H,  $\text{CH}_2$ ,  $J=7.1$  Hz), 7.3-7.8 (m, 4H, H-arom); IR (NaCl) 1740, 1720; MS (CI)  $m/e$  205 ( $\text{M}^+ + 1$ , 40%), 204 ( $\text{M}^+$ , 100).

**2-Carbethoxy-5-methylindan-1-one (5b)**. Pale yellow oil, b.p. 163-166°C/2mmHg. (Found: C, 71.59; H, 6.56. Calc. for  $\text{C}_{13}\text{H}_{14}\text{O}_3$ : C, 71.54; H, 6.47%);  $^1\text{H NMR}$  ( $\text{CDCl}_3$ , 100 MHz),  $\delta$  (ppm) 1.30 (t, 3H,  $\text{OCH}_2\text{CH}_3$ ,  $J=7.1$  Hz), 2.44 (s, 3H,  $\text{CH}_3$ ), 3.1-3.5 (m, 2H, H-3), 3.6-3.8 (m, 1H, H-2), 4.24 (q, 2H,  $\text{OCH}_2\text{CH}_3$ ,  $J=7.1$  Hz), 7.19 (d, 1H, H-6,  $J=7.8$  Hz), 7.28 (s, 1H, H-4), 7.65 (d, 1H, H-7,  $J=7.8$  Hz); IR (KBr) 1745, 1720; MS (CI)  $m/e$  219 ( $\text{M}^+ + 1$ , 100%), 218 ( $\text{M}^+$ , 40).

**2-Carbethoxy-5-methoxyindan-1-one (5c)**<sup>5a</sup>. Pale yellow oil, b.p. 164-165°C/2mmHg. (Found: C, 66.63; H, 5.97. Calc. for  $\text{C}_{13}\text{H}_{14}\text{O}_4$ : C, 66.65; H, 6.02%);  $^1\text{H NMR}$  ( $\text{CDCl}_3$ , 100 MHz),  $\delta$  (ppm) 1.30 (t, 3H,  $\text{CH}_3$ ,  $J=7.1$  Hz), 3.3-3.5 (m, 2H, H-3), 3.6-3.8 (m, 1H, H-2), 3.89 (s, 3H,  $\text{OCH}_3$ ), 4.24 (q, 2H,  $\text{CH}_2$ ,  $J=7.1$  Hz), 6.7-7.0 (m, 2H, H-4 and H-6), 7.69 (d, 1H, H-7,  $J=9.2$  Hz); IR (NaCl) 1740, 1715; MS (CI)  $m/e$  235 ( $\text{M}^+ + 1$ , 100%), 234 ( $\text{M}^+$ , 25).

**2-Acetylindan-1-one (5d)**<sup>19</sup>. White solid ( $\text{Et}_2\text{O}$ ), m.p. 75-76°C. (Found: C, 75.90; H, 5.99. Calc. for  $\text{C}_{11}\text{H}_{10}\text{O}_2$ : C, 75.84; H, 5.79%);  $^1\text{H NMR}$  ( $\text{CDCl}_3$ , 100 MHz),  $\delta$  (ppm) 2.16 (s, 0.83x3H, ketonic  $\text{CH}_3$ ), 2.49 (s, 0.17x3H, enolic  $\text{CH}_3$ ), 3.1-3.4 (m, 0.17x2H, enolic H-3), 3.56 (s, 0.83x2H, ketonic H-3), 3.7-4.1 (m, 0.17x1H, enolic H-2), 7.4-8.0 (m, 4H, H-arom), 12.5 (m, 0.83x1H, OH); IR (KBr) 3460, 1675; MS (CI)  $m/e$  175 ( $\text{M}^+ + 1$ , 100%), 174 ( $\text{M}^+$ , 20).

**2-Acetyl-5-methylindan-1-one (5e)**. White solid ( $\text{Et}_2\text{O}$ ), m.p. 70-72°C. (Found: C, 76.59; H, 6.51. Calc. for  $\text{C}_{12}\text{H}_{12}\text{O}_2$ : C, 76.56; H, 6.43%);  $^1\text{H NMR}$  ( $\text{CDCl}_3$ , 300 MHz),  $\delta$  (ppm) 2.15 (s, 0.63x3H, enolic  $\text{CH}_3$ ), 2.44 (s, 0.37x3H, ketonic  $\text{CH}_3$ ), 2.45 (s, 0.63x3H, enolic  $\text{CH}_3$ ), 2.47 (s, 0.37x3H, ketonic  $\text{CH}_3$ ), 3.0-3.2 (m, 0.37x1H,

ketonic H-2), 3.53 (s, 0.63x2H, enolic H-3), 3.6-3.8 (m, 0.37x2H, ketonic H-3), 7.17 (d, 0.37x1H, ketonic H-6,  $J=7.7$  Hz), 7.20 (s, 0.37x1H, ketonic H-4), 7.22 (d, 0.63x1H, enolic H-6,  $J=7.8$  Hz), 7.29 (s, 0.63x1H, enolic H-4), 7.61 (d, 0.37x1H, ketonic H-7,  $J=7.7$  Hz), 7.70 (d, 0.63x1H, enolic H-7,  $J=7.8$  Hz), 11.0 (br s, 0.63x1H, enolic OH); IR (KBr) 3040, 1666; MS (CI)  $m/e$  189 ( $M^+ + 1$ , 100%), 188 ( $M^+$ , 25).

**Synthesis of 2-acetyl- and 2-carbethoxy-3-aryl-1-indanones 5f-l. General Procedure B.** Anhydrous  $AlCl_3$  (1.33 g, 0.01 mol) was dissolved in dry nitroethane (50 ml) under nitrogen. A solution of the selected  $\beta$ -dicarbonyl compound (0.01 mol) in dry nitroethane (25 ml) was added at room temperature under stirring followed by a solution of the selected aromatic aldehyde (0.01 mol) in the same solvent (25 ml). The solution was heated at reflux for 3 hours and worked-up as described in the General Procedure A.

**2-Carbethoxy-3-phenylindan-1-one (5f).** Pale yellow solid ( $Et_2O$ ), m.p. 86-88°C. (Found: C, 77.20; H, 5.86. Calc. for  $C_{18}H_{16}O_3$ : C, 77.12; H, 5.75%);  $^1H$  NMR ( $CDCl_3$ , 200 MHz),  $\delta$  (ppm) 1.31 (t, 3H,  $CH_3$ ,  $J=7.1$  Hz), 3.68 (d, 1H, H-3,  $J=4.8$  Hz), 4.26 (q, 2H,  $CH_2$ ,  $J=7.1$  Hz), 5.00 (d, 1H, H-2,  $J=4.8$  Hz), 7.0-8.0 (m, 9H, H arom.); IR (KBr) 1645; MS (EI)  $m/e$  280 ( $M^+$ , 53%), 234 (22), 205 (100).

**2-Carbethoxy-3-(4'-chlorophenyl)-indan-1-one (5g).** Pale yellow oil, b.p. 67-68°C/16mmHg. (Found: C, 68.73; H, 4.98; Cl, 11.39. Calc. for  $C_{18}H_{15}O_3Cl$ : C, 68.60; H, 4.80; Cl, 11.25%);  $^1H$  NMR ( $CDCl_3$ , 200 MHz),  $\delta$  (ppm) 1.25 (t, 3H,  $CH_3$ ,  $J=7.1$  Hz), 3.99 (s, 1H, H-3), 4.21 (q, 2H,  $CH_2$ ,  $J=7.1$  Hz), 7.4-7.7 (m, 5H, H-5, H-6, H-4 or H-7, H-3' and H-5' or H-2' and H-6'), 7.95 (2d, 3H, H-7 or H-4, H-2' and H-6' or H-3' and H-5'), 12.59 (s, 1H, OH); IR (NaCl) 3067, 1736; MS (CI)  $m/e$  343 ( $M^+ + 29$ , 10%), 316 ( $M^+ + 2$ , 6), 315 ( $M^+ + 1$ , 18), 105 (100).

**2-Carbethoxy-3-(4'-methoxyphenyl)-indan-1-one (5h).** Pale yellow oil, b.p. 93-94°C/20mmHg. (Found: C, 73.49; H, 5.81. Calc. for  $C_{19}H_{18}O_4$ : C, 73.53; H, 5.85%);  $^1H$  NMR ( $CDCl_3$ , 300 MHz),  $\delta$  (ppm) 1.31 (t, 3H,  $CH_3$ ,  $J=7.1$  Hz), 3.63 (d, 1H, H-2,  $J=4.9$  Hz), 3.80 (s, 3H,  $OCH_3$ ), 4.26 (q, 2H,  $CH_2$ ,  $J=7.1$  Hz), 4.95 (d, 1H, H-3,  $J=4.9$  Hz), 6.87 (d, 2H, H-2' and H-6' or H-3' and H-5',  $J=8.7$  Hz), 7.08 (d, 2H, H-3' and H-5' or H-2' and H-6',  $J=8.7$  Hz), 7.28 (d, 1H, H-4 or H-7,  $J=8.3$  Hz), 7.43 (t, 1H, H-6 or H-5,  $J=7.7$  Hz), 7.61 (t, 1H, H-5 or H-6,  $J=7.7$  Hz), 7.81 (d, 1H, H-7 or H-4,  $J=8.3$  Hz); IR (NaCl) 1705; MS (CI)  $m/e$  339 ( $M^+ + 29$ , 16%), 311 ( $M^+$ , 60), 265 (100).

**2-Carbethoxy-3-[(E)- $\beta$ -styril]-indan-1-one (5i).** White solid ( $Et_2O$ ), m.p. 73-74°C. (Found: C, 78.52; H, 6.01. Calc. for  $C_{20}H_{18}O_3$ : C, 78.41; H, 5.92%);  $^1H$  NMR ( $CDCl_3$ , 400 MHz),  $\delta$  (ppm) 1.13 (t, 3H,  $CH_3$ ,  $J=7.2$  Hz), 4.18 (q, 2H,  $CH_2$ ,  $J=7.2$  Hz), 6.82 (dd, 1H, H- $\beta$ ,  $J=15.4$  and 11.8 Hz), 7.04 (d, 1H, H- $\alpha$ ,  $J=15.4$  Hz), 7.2-7.4 (m, 5H, H-arom), 7.48 (t, 1H, H-6 or H-5,  $J=7.5$  Hz), 7.49 (d, 1H, H-7 or H-4,  $J=7.5$  Hz), 7.59 (t, 1H, H-5 or

H-6,  $J=7.5$  Hz), 7.70 (d, 1H, H-3,  $J=11.8$  Hz), 7.91 (d, 1H, H-4 or H-7,  $J=7.5$  Hz); IR (KBr) 1710, 1670; MS (EI)  $m/e$  306 ( $M^+$ , 44%).

**2-Carbethoxy-3-phenyl-5-methoxyindan-1-one (5j).** White solid ( $\text{Et}_2\text{O}$ ), m.p. 66-67°C. (Found: C, 73.65; H, 5.96. Calc. for  $\text{C}_{19}\text{H}_{18}\text{O}_4$ : C, 73.53; H, 5.85%);  $^1\text{H}$  NMR ( $\text{CDCl}_3$ , 400 MHz),  $\delta$  (ppm) 1.31 (t, 3H,  $\text{CH}_3$ ,  $J=7.1$  Hz), 3.66 (d, 1H, H-2,  $J=4.6$  Hz), 3.80 (s, 3H,  $\text{OCH}_3$ ), 4.26 (q, 2H,  $\text{CH}_2$ ,  $J=7.1$  Hz), 4.93 (d, 1H, H-3,  $J=4.6$  Hz), 6.66 (d, 1H, H-4,  $J=1.4$  Hz), 6.97 (dd, 1H, H-6,  $J=8.6$  and 1.4 Hz), 7.2-7.4 (m, 5H, H-arom), 7.76 (d, 1H, H-7,  $J=8.6$  Hz); IR (KBr) 1724, 1697; MS (CI)  $m/e$  339 ( $M^++29$ , 20%), 311 ( $M^++1$ , 100).

**2-Acetyl-3-phenylindan-1-one (5k).** Pale yellow solid (hexane), m.p. 103-105°C. (Found: C, 81.69; H, 5.73. Calc. for  $\text{C}_{17}\text{H}_{14}\text{O}_2$ : C, 81.58; H, 5.64%);  $^1\text{H}$  NMR ( $\text{CDCl}_3$ , 100 MHz),  $\delta$  (ppm) 1.83 (s, 3H,  $\text{CH}_3$ ), 4.84 (s, 1H, H-3), 6.9-8.0 (m, 9H, H-arom), 12.0 (br s, 1H, OH); IR (KBr) 3392, 1664; MS (CI)  $m/e$  279 ( $M^++29$ , 20%), 251 ( $M^++1$ , 100).

**2-Carbethoxy-3[(E)- $\beta$ -phenylethenyl]-5-methoxyindan-1-one (5l).** Pale yellow oil, b.p. 76-77°C/16mmHg. (Found: C, 75.09; H, 6.12. Calc. for  $\text{C}_{21}\text{H}_{20}\text{O}_4$ : C, 74.98; H, 5.99%);  $^1\text{H}$  NMR ( $\text{CDCl}_3$ , 200 MHz),  $\delta$  (ppm) 1.17 (t, 3H,  $\text{CH}_3$ ,  $J=7.1$  Hz), 3.87 (s, 3H,  $\text{OCH}_3$ ), 4.19 (q, 2H,  $\text{CH}_2$ ,  $J=7.1$  Hz), 6.76 (dd, 1H, H- $\beta$ ,  $J=15.4$  and 11.8 Hz), 6.9-8.2 (m, 9H, H-arom and H- $\alpha$ ), 7.66 (d, 1H, H-3,  $J=11.8$  Hz); IR (NaCl) 1700, 1666; MS (CI)  $m/e$  337 ( $M^++1$ , 38%), 336 ( $M^+$ , 50), 291 (100).

**Synthesis of 1,5-diphenyl-2,4-dicarbethoxy-1,5-pentandione (10a).** Ethyl-3-oxo-3-phenylpropionate (1.92 g, 0.01 mol) was reacted with paraformaldehyde (0.36 g, 0.012 mol) as described in General Procedure A or B at 25°C for 2 hours. After normal work-up and purification by chromatography on silica gel plates (eluant 25% hexane/ethyl acetate), were obtained 1.58 g (80% yield) of a white solid ( $\text{Et}_2\text{O}$ ), m.p. 79-80°C. (Found: C, 72.78; H, 6.48. Calc. for  $\text{C}_{23}\text{H}_{24}\text{O}_5$ : C, 72.61; H, 6.36%);  $^1\text{H}$  NMR ( $\text{CDCl}_3$ ),  $\delta$  (ppm) 1.21 (t, 6H,  $\text{CH}_2\text{CH}_3$ ,  $J=7.1$  Hz), 2.56 (t, 2H,  $\text{CH}_2$ ,  $J=7.0$  Hz), 4.21 (q, 4H,  $\text{CH}_2\text{CH}_3$ ,  $J=7.1$  Hz), 4.62 (t, 2H, H-2 and H-4,  $J=7.0$  Hz), 7.4-7.7 (m, 6H, H-arom), 8.05 (d, 4H, H-2' and H-6',  $J=8.3$  and 1.3 Hz); IR (KBr) 1750, 1735; MS (CI)  $m/e$  397 ( $M^++1$ , 10%), 379 (10), 105 (100).

**Conversion of 10a into the indanone 5a.** A solution of compound 10a (1.98 g, 0.005 mol) and  $\text{AlCl}_3$  (0.66 g, 0.005 mol) in dry nitroethane (50 mL) was heated at reflux for 2 hours under nitrogen. After usual work-up (see General Procedure A), 0.97 g of the indanone 5a were obtained (95% yield).

**Synthesis of ethyl-3-oxo-3-(4-octylphenyl)propionate (1f).** Prepared in 75% yield from 4-octylacetophenone and diethylcarbonate following the general method reported in the literature<sup>7</sup>. Compound 1f was purified by flash



chromatography on silica gel (eluant 5% hexane/ethyl acetate). Yellow oil. (Found: C, 74.98; H, 9.20. Calc. for  $C_{19}H_{28}O_3$ : C, 74.96; H 9.27%);  $^1H$  NMR ( $CDCl_3$ , 300 MHz),  $\delta$  (ppm) 0.88 (t, 3H,  $(CH_2)_7-CH_3$ ,  $J=6.9$  Hz), 1.26 (m, 13H,  $Ar-(CH_2)_2-(CH_2)_5-CH_3$  and  $OCH_2CH_3$ ), 1.62 (t, 2H,  $Ar-CH_2-CH_2$ ,  $J=7.9$  Hz), 2.66 (t, 2H,  $Ar-CH_2$ ,  $J=7.9$  Hz), 3.97 (s, 2H,  $COCH_2CO$ ), 4.21 (q, 2H,  $OCH_2CH_3$ ,  $J=7.0$  Hz), 7.27 (d, 2H, H-3 and H-5 or H-2 and H-6,  $J=8.2$  Hz), 7.86 (d, 2H, H-2 and H-6 or H-3 and H-5,  $J=8.2$  Hz); IR (NaCl) 1740, 1680; MS (CI)  $m/e$  333 ( $M^++29$ , 10%), 305 ( $M^++1$ , 40), 217 (65), 130 (100).

**Synthesis of ethyl-2-oxo-(4'-octylphenyl)-3-(Z)-phenyl-2-propenoate (9a).** Compound **1f** (3.04 g, 0.01 mol),  $AlCl_3$  (1.33 g, 0.01 mol) and benzaldehyde (1.06 g, 0.01 mol) in dry nitroethane (100 ml) were stirred under nitrogen at 25°C for 5 hours. After normal work-up (see General Procedure A) and purification by chromatography on silica gel plates (eluant 10% hexane/ethyl acetate), 3.18 g of compound **9f** were obtained (80% yield). Yellow oil, b.p. 139°C/16mmHg. (Found: C, 79.64; H, 8.17. Calc. for  $C_{26}H_{32}O_3$ : C, 79.55; H, 8.22%);  $^1H$  NMR ( $CDCl_3$ , 300 MHz),  $\delta$  (ppm) 0.87 (t, 3H,  $(CH_2)_7-CH_3$ ,  $J=6.7$  Hz), 1.18 (t, 3H,  $OCH_2CH_3$ ,  $J=7.1$  Hz), 1.26 (m, 10H,  $Ar-(CH_2)_2-(CH_2)_5$ ), 1.60 (m, 2H,  $Ar-CH_2-CH_2$ ), 2.62 (t, 2H,  $Ar-CH_2$ ,  $J=7.7$  Hz), 4.22 (q, 2H,  $OCH_2CH_3$ ,  $J=7.1$  Hz), 7.21 (d, 2H, H-3' and H-5' or H-2' and H-5'),  $J=8.3$  Hz), 7.2-7.3 (m, 3H, H-3'', H-4'' and H-5''), 7.36 (dd, 2H, H-2'' and H-6'',  $J=8.0$  and 2.2 Hz), 7.85 (d, 2H, H-2' and H-6' or H-3' and H-5',  $J=8.3$  Hz), 7.93 (s, 1H, H-3); IR (NaCl) 1716, 1679; MS (CI)  $m/e$  421 ( $M^++29$ , 30%), 393 ( $M^++1$ , 90), 347 (100).

**Conversion of ethyl-2-oxo-(4'-octylphenyl)-3-(Z)-phenyl-2-propenoate (9a) into 2-Carbethoxy-3-phenyl-5-octylindan-1-one (5m).** A solution of compound **9a** (1.96 g, 0.005 mol) and  $AlCl_3$  (0.66 g, 0.005 mol) in dry nitroethane (50 mL) was heated at reflux under nitrogen for 2 hours. After normal work-up (see General Procedure A) and purification by chromatography on silica gel plates (eluant 10% hexane/ethyl acetate) were obtained 3.4 g (87% yield) of a pale yellow oil. (Found: C, 79.71; H, 8.34. Calc. for  $C_{26}H_{32}O_3$ : C, 79.55; H, 8.22%);  $^1H$  NMR ( $CDCl_3$ , 300 MHz),  $\delta$  (ppm) 0.87 (t, 3H,  $(CH_2)_7-CH_3$ ,  $J=6.7$  Hz), 1.26 (m, 10H,  $Ar-(CH_2)_2-(CH_2)_5-CH_3$ ), 1.31 (t, 3H,  $OCH_2CH_3$ ,  $J=7.1$  Hz), 1.54 (m, 2H,  $Ar-CH_2-CH_2$ ), 2.62 (t, 2H,  $Ar-CH_2$ ,  $J=7.7$  Hz), 3.64 (d, 0.62x1H, ketonic H-3,  $J=4.8$  Hz), 4.26 (q, 2H,  $OCH_2CH_3$ ,  $J=7.1$  Hz), 4.95 (s, 0.38x1H, enolic H-3), 4.96 (d, 0.62x1H, ketonic H-2,  $J=4.8$  Hz), 7.05 (s, 1H, H-4), 7.1-7.4 (m, 5H, H-arom), 7.25 (d, 1H, H-6,  $J=7.9$  Hz), 7.73 (d, 1H, H-7,  $J=7.9$  Hz); IR (NaCl) 3400, 1748, 1713; MS (CI)  $m/e$  421 ( $M^++29$ , 30%), 393 ( $M^++1$ , 100).

**X-ray analysis of 3-phenyl-2-carbethoxy-5-methoxy-1-indanone (5j).**  $C_{19}H_{18}O_4$ ,  $M_w$  310.35, colourless tablets, monoclinic, space group  $P 2_1/a$ ,  $a=28.029(8)$ ,  $b=6.506(4)$ ,  $c=8.964(2)$  Å,  $\beta=90.01(1)^\circ$ ,  $V=1635(1)$  Å<sup>3</sup>,  $Z=4$ ,  $D_x=1.261$  Mg m<sup>-3</sup>,  $\lambda(Cu-K\alpha)=1.54178$  Å,  $T=293(2)$  K,  $\mu=0.718$  mm<sup>-1</sup>. Cell parameters were obtained from the angular settings of 26 reflections in the  $27<\theta<38^\circ$  range.

Intensity data were measured in the range  $3 < \theta < 70^\circ$  ( $h = 34/34$ ,  $k = 7/7$ ,  $l = 1/10$ ) on a Siemens AED diffractometer with a sample of size  $0.16 \times 0.25 \times 0.39$  mm, using the  $\theta/2\theta$  scan mode. A total number of 5926 reflections were measured giving 3109 independent ones ( $R_{\text{int}} = 0.0267$ ), of these 1791, having  $I > 2\sigma(I)$ , were considered "observed".

The structure was solved by direct methods and refined on  $F^2$  considering all the 3109 independent data. All the hydrogen atoms were refined isotropically excepting those of the methoxy group which were put in calculated positions riding on the attached carbon atom. The number of the refined parameters was 270 and the weighting scheme:  $w = 1/[\sigma^2(F_o^2) + (0.0742 P)^2 + 0.0591 P]$  where  $P = (F_o^2 + 2F_c^2)/3$ .

Final residual error indices:  $R(F) = 0.0394$ ,  $wR(F^2) = 0.1050$  for the "observed" reflections;  $R(F) = 0.0810$ ,  $wR(F^2) = 0.1436$  for all reflections. Goodness of fit  $S = 1.067$ ; maximum shift/esd ratio 0.001; minimum and maximum  $\Delta\rho$   $-0.14$  and  $0.19 \text{ e } \text{\AA}^{-3}$ .

The analysis of 'thermal' motion in terms of the Schomaker & Trueblood TLS rigid-body approximation<sup>20</sup> gave a residual disagreement index  $R_{wU} = [\Sigma(w\Delta U_{ij}(\text{obs.}) - U_{ij}(\text{calc.}))^2 / \Sigma(wU_o)^2]^{1/2}$ , of 0.122 which improved to 0.078 when the internal motions were taken into account according to Dunitz & White<sup>21</sup>. No particular indication was found for static disorder.

Computer programs: for cell refinement *LQPARM*<sup>22</sup>; to solve structure *SHELXS86*<sup>23</sup>; to refine structure *SHELXL93*<sup>24</sup>; molecular graphics *ORTEP*<sup>25</sup>; geometrical calculations *PARST*<sup>26</sup>; molecular mechanics *PCMODEL*<sup>27</sup>, *HYPERCHEM*<sup>28</sup> and *ROTENER*<sup>29</sup>; analysis of 'thermal' motion *THMV*<sup>30</sup>.

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