

Methyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (1). was obtained as a fluffy white solid (251 mg, 67%) upon chromatography on silica gel (EtOAc:hexanes; 20:80) followed by recrystallization from Et₂O. mp = 94-96 °C; ¹H NMR (CDCl₃) δ 7.65-7.68 (d, 2 H, *J* = 8.3 Hz, ArH), 7.45-7.48 (d, 2 H, *J* = 8.4 Hz, ArH), 6.95-6.96 (d, 1 H, *J* = 2.2 Hz, ArH), 6.84-6.87 (d, 1 H, *J* = 9.0 Hz, ArH), 6.64-6.68 (dd, 1 H, *J* = 9.0 Hz and 2.4 Hz, ArH), 3.84 (s, 3 H, CH₃), 3.72 (s, 3 H, CH₃), 3.67 (s, 2 H, CH₂), 2.38 (s, 3 H, CH₃).

Ethyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (2). was obtained as a fluffy white solid (300 mg, 81%) upon chromatography on silica gel (EtOAc:hexanes; 10:90) followed by recrystallization from Et₂O. mp = 100-101 °C; ¹H NMR (CDCl₃) δ 7.65-7.67 (d, 2 H, *J* = 8.4 Hz, ArH), 7.45-7.48 (d, 2 H, *J* = 8.4 Hz, ArH), 6.96-6.97 (d, 1 H, *J* = 2.4 Hz, ArH), 6.85-6.88 (d, 1 H, *J* = 9.0 Hz, ArH), 6.64-6.68 (dd, 1 H, *J* = 9.0 Hz and 2.5 Hz, ArH), 4.12-4.19 (q, 2 H, *J* = 7.1 Hz, CH₂), 3.83 (s, 3 H, CH₃), 3.65 (s, 2 H, CH₂), 2.38 (s, 3 H, CH₃), 1.24-1.28 (t, 3 H, *J* = 7.1 Hz, CH₃).

Propyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (3). was obtained upon chromatography on silica gel (EtOAc:hexanes; 10:90) as a yellow gum that eventually solidified upon freezing (321 mg, 83%). mp = 74-76 °C; ¹H NMR (CDCl₃) δ 7.64-7.67 (d, 2 H, *J* = 8.5 Hz, ArH), 7.44-7.48 (d, 2 H, *J* = 8.5 Hz, ArH), 6.96-6.97 (d, 1 H, *J* = 2.5 Hz, ArH), 6.85-6.88 (d, 1 H, *J* = 8.9 Hz, ArH), 6.64-6.68 (dd, 1 H, *J* = 8.9 Hz and 2.5 Hz, ArH), 4.03-4.08 (t, 2 H, *J* = 6.7 Hz, CH₂), 3.83 (s, 3 H, CH₃), 3.66 (s, 2 H, CH₂), 2.38 (s, 3 H, CH₃), 1.58-1.70 (m, 2 H, CH₂), 0.88-0.93 (t, 3 H, *J* = 7.5 Hz, CH₃).

Isopropyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (4). was obtained as a white crystalline solid (325 mg, 84%) upon chromatography on silica gel (EtOAc:hexanes; 10:90) followed by recrystallization with Et₂O. mp = 73-75 °C; ¹H NMR (CDCl₃) δ 7.63-7.67 (d, 2 H, *J* = 8.5 Hz, ArH), 7.45-7.48 (d, 2 H, *J* = 8.4 Hz, ArH), 6.96-6.97

(d, 1 H, $J = 2.5$ Hz, ArH), 6.86-6.89 (d, 1 H, $J = 8.9$ Hz, ArH), 6.64-6.68 (dd, 1 H, $J = 8.9$ Hz and 2.5 Hz, ArH), 4.99-5.03 (m, 1 H, CH), 3.83 (s, 3 H, CH₃), 3.62 (s, 2 H, CH₂), 2.37 (s, 3 H, CH₃), 1.22-1.24 (d, 6 H, 2 CH₃).

Butyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (5). was obtained upon chromatography on silica gel (EtOAc:hexanes; 10:90) as a yellow gum that eventually solidified upon freezing (354 mg, 88%). mp = 77-78 °C; ¹H NMR (CDCl₃) δ 7.63-7.68 (d, 2 H, $J = 8.7$ Hz, ArH), 7.44-7.49 (d, 2 H, $J = 8.8$ Hz, ArH), 6.96-6.97 (d, 1 H, $J = 2.5$ Hz, ArH), 6.85-6.88 (d, 1 H, $J = 9.0$ Hz, ArH), 6.64-6.68 (dd, 1 H, $J = 9.0$ Hz and 2.5 Hz, ArH), 4.07-4.12 (t, 2 H, $J = 6.6$ Hz, CH₂), 3.83 (s, 3 H, CH₃), 3.65 (s, 2 H, CH₂), 2.38 (s, 3 H, CH₃), 1.56-1.65 (m, 2 H, CH₂), 1.30-1.40 (m, 2 H, CH₂), 0.87-0.92 (t, 3 H, $J = 7.3$ Hz, CH₃).

Pentyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (6). was obtained upon chromatography on silica gel (EtOAc:hexanes; 20:80) as a yellow gum that eventually solidified upon freezing (326 mg, 91%). mp = 80-81 °C; ¹H NMR (CDCl₃) δ 7.63-7.67 (d, 2 H, $J = 8.5$ Hz, ArH), 7.45-7.48 (d, 2 H, $J = 9.0$ Hz, ArH), 6.96-6.97 (d, 1 H, $J = 2.5$ Hz, ArH), 6.85-6.88 (d, 1 H, $J = 9.0$ Hz, ArH), 6.64-6.68 (dd, 1 H, $J = 9.0$ Hz and 2.5 Hz, ArH), 4.06-4.11 (t, 2 H, $J = 6.7$ Hz, CH₂), 3.83 (s, 3 H, CH₃), 3.65 (s, 2 H, CH₂), 2.38 (s, 3 H, CH₃), 1.56-1.63 (m, 2 H, CH₂), 1.20-1.30 (m, 4 H, CH₂), 0.83-0.88 (t, 3 H, $J = 6.8$ Hz, CH₃).

Hexyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (7). was obtained upon chromatography on silica gel (EtOAc:hexanes; 10:90) as a yellow gum that eventually solidified upon freezing (290 mg, 79%). mp = 62-64 °C; ¹H NMR (CDCl₃) δ 7.64-7.67 (d, 2 H, $J = 8.5$ Hz, ArH), 7.45-7.48 (d, 2 H, $J = 9.0$ Hz, ArH), 6.96-6.97 (d, 1 H, $J = 2.5$ Hz, ArH), 6.84-6.87 (d, 1 H, $J = 9.0$ Hz, ArH), 6.64-6.67 (dd, 1 H, $J = 9.0$ Hz and 2.5 Hz, ArH), 4.06-4.11 (t, 2 H, $J = 6.7$ Hz, CH₂), 3.83 (s, 3 H, CH₃), 3.65 (s, 2 H, CH₂), 2.38 (s, 3

H, CH₃), 1.58-1.63 (m, 2 H, CH₂), 1.25-1.33 (m, 6 H, CH₂), 0.83-0.87 (t, 3 H, $J = 6.8$ Hz, CH₃).

Cyclohexyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (8). was obtained upon chromatography on silica gel (EtOAc:hexanes; 10:90) as a fluffy white solid (455 mg, 93%). mp = 129-130 °C; ¹H NMR (CDCl₃) δ 7.63-7.67 (d, 2 H, $J = 8.7$ Hz, ArH), 7.45-7.47 (d, 2 H, $J = 8.5$ Hz, ArH), 6.97-6.98 (d, 1 H, $J = 2.5$ Hz, ArH), 6.86-6.89 (d, 1 H, $J = 9.0$ Hz, ArH), 6.64-6.68 (dd, 1 H, $J = 9.0$ Hz and 2.5 Hz, ArH), 4.76-4.78 (m, 1 H, CH), 3.83 (s, 3 H, CH₃), 3.63 (s, 2 H, CH₂), 2.37 (s, 3 H, CH₃), 1.79-1.83 (m, 2 H, CH₂), 1.65-1.68 (m, 2 H, CH₂), 1.28-1.53 (m, 6 H, CH₂).

Cyclohexylethyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (9). was obtained upon chromatography on silica gel (EtOAc:hexanes; 10:90) as a yellow solid (390 mg, 96%). mp = 94-95 °C; ¹H NMR (CDCl₃) δ 7.64-7.67 (d, 2 H, $J = 8.5$ Hz, ArH), 7.45-7.48 (d, 2 H, $J = 8.5$ Hz, ArH), 6.96-6.97 (d, 1 H, $J = 2.4$ Hz, ArH), 6.84-6.87 (d, 1 H, $J = 9.0$ Hz, ArH), 6.64-6.68 (dd, 1 H, $J = 9.0$ Hz and 2.5 Hz, ArH), 4.10-4.15 (t, 2 H, $J = 6.8$ Hz, CH₂), 3.83 (s, 3 H, CH₃), 3.65 (s, 2 H, CH₂), 2.38 (s, 3 H, CH₃), 1.62-1.65 (m, 5 H, CH₂ and CH), 1.46-1.52 (q, 2 H, CH₂), 1.09-1.27 (m, 4 H, CH₂), 0.84-0.91 (m, 2 H, CH₂).

Heptyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (10). was obtained upon chromatography on silica gel (EtOAc:hexanes; 5:95) as a yellow solid (342 mg, 89%). mp = 70-72 °C; ¹H NMR (CDCl₃) δ 7.64-7.67 (d, 2 H, $J = 8.5$ Hz, ArH), 7.45-7.48 (d, 2 H, $J = 8.4$ Hz, ArH), 6.96-6.97 (d, 1 H, $J = 2.4$ Hz, ArH), 6.85-6.88 (d, 1 H, $J = 9.0$ Hz, ArH), 6.64-6.67 (dd, 1 H, $J = 9.0$ Hz and 2.5 Hz, ArH), 4.06-4.11 (t, 2 H, $J = 6.7$ Hz, CH₂), 3.83 (s, 3 H, CH₃), 3.65 (s, 2 H, CH₂), 2.38 (s, 3 H, CH₃), 1.56-1.63 (m, 2 H, CH₂), 1.23-1.27 (m, 8 H, CH₂), 0.83-0.88 (t, 3 H, $J = 7.0$ Hz, CH₃).

Butoxyethyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (11). was obtained upon chromatography on silica gel (EtOAc:hexanes; 10:90) as a yellow oil which

solidified upon freezing (368 mg, 96%). mp = 58-59 °C; ^1H NMR (CDCl_3) δ 7.65-7.68 (dd, 2 H, J = 6.7 Hz and 1.9 Hz, ArH), 7.45-7.48 (dd, 2 H, J = 6.8 Hz and 2.0 Hz, ArH), 6.96-6.97 (d, 1 H, J = 2.5 Hz, ArH), 6.85-6.88 (d, 1 H, J = 9.0 Hz, ArH), 6.64-6.68 (dd, 1 H, J = 9.1 Hz and 2.5 Hz, ArH), 4.24-4.27 (t, 2 H, J = 4.8 Hz, CH_2), 3.84 (s, 3 H, CH_3), 3.70 (s, 2 H, CH_2), 3.60-3.64 (t, 2 H, J = 4.7 Hz, CH_2), 3.40-3.45 (t, 2 H, J = 6.6 Hz, CH_2), 2.38 (s, 3 H, CH_3), 1.50-1.56 (m, 2 H, CH_2), 1.26-1.37 (m, 2 H, CH_2), 0.88-0.92 (t, 3 H, J = 7.3 Hz, CH_3).

***Trans*-Heptenyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (12).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 10:90) as a yellow oil which solidified upon freezing (380 mg, 97%). mp = 76-78 °C; ^1H NMR (CDCl_3) δ 7.64-7.67 (d, 2 H, J = 8.5 Hz, ArH), 7.45-7.48 (d, 2 H, J = 8.5 Hz, ArH), 6.95-6.96 (d, 1 H, J = 2.4 Hz, ArH), 6.85-6.88 (d, 1 H, J = 9.0 Hz, ArH), 6.64-6.68 (dd, 1 H, J = 9.0 Hz and 2.5 Hz, ArH), 5.69-5.77 (m, 1 H, olefinic H), 5.49-5.59 (m, 1 H, olefinic H), 4.53-4.55 (d, 2 H, J = 6.5 Hz, CH_2), 3.83 (s, 3 H, CH_3), 3.66 (s, 2 H, CH_2), 2.38 (s, 3 H, CH_3), 2.00-2.06 (m, 2 H, CH_2), 1.23-1.36 (m, 4 H, CH_2), 0.85-0.90 (t, 3 H, J = 7.0 Hz, CH_3).

Hept-2-ynyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (13). was obtained upon chromatography on silica gel (EtOAc:hexanes; 5:95) as a yellow oil which solidified upon freezing (317 mg, 81%). mp = 77-79 °C; ^1H NMR (CDCl_3) δ 7.65-7.67 (d, 2 H, J = 8.4 Hz, ArH), 7.45-7.48 (d, 2 H, J = 8.4 Hz, ArH), 6.96-6.97 (d, 1 H, J = 2.4 Hz, ArH), 6.85-6.88 (d, 1 H, J = 9.0 Hz, ArH), 6.64-6.68 (dd, 1 H, J = 9.0 Hz and 2.4 Hz, ArH), 4.68-4.70 (t, 2 H, J = 2.0 Hz, CH_2), 3.84 (s, 3 H, CH_3), 3.70 (s, 2 H, CH_2), 2.38 (s, 3 H, CH_3), 2.18-2.23 (m, 2 H, CH_2), 1.31-1.52 (m, 4 H, CH_2), 0.87-0.91 (t, 3 H, J = 6.9 Hz, CH_3).

2-(Hept-4-ynyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (14). was obtained upon chromatography on silica gel (EtOAc:hexanes; 5:95) as a yellow oil (329 mg, 84%). mp = 69-71 °C; ^1H NMR (CDCl_3) δ 7.64-7.67 (d, 2 H, J = 8.5 Hz, ArH), 7.45-7.48 (d, 2 H, J = 8.5 Hz, ArH), 6.96-6.97 (d, 1 H, J = 2.4 Hz, ArH), 6.86-6.89 (d, 1 H, J

= 9.0 Hz, ArH), 6.64-6.68 (dd, 1 H, J = 9.0 Hz and 2.4 Hz, ArH), 4.94-5.02 (m, 1 H, CH), 3.83 (s, 3 H, CH₃), 3.64 (s, 2 H, CH₂), 2.33-2.47 (m merged with a s, 5 H, CH₂ and CH₃), 2.04-2.13 (m, 2 H, CH₂), 1.29-1.31 (d, 3 H, J = 6.3 Hz, CH₃), 1.04-1.09 (t, 3 H, J = 7.4 Hz, CH₃).

Octyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (15). was obtained upon chromatography on silica gel (EtOAc:hexanes; 5:95) as a yellow gum which solidified upon freezing (355 mg, 90%). mp = 56-57 °C; ¹H NMR (CDCl₃) δ 7.64-7.67 (d, 2 H, J = 8.5 Hz, ArH), 7.45-7.48 (d, 2 H, J = 8.4 Hz, ArH), 6.96-6.97 (d, 1 H, J = 2.4 Hz, ArH), 6.85-6.87 (d, 1 H, J = 9.0 Hz, ArH), 6.64-6.67 (dd, 1 H, J = 9.0 Hz and 2.5 Hz, ArH), 4.06-4.10 (t, 2 H, J = 6.6 Hz, CH₂), 3.83 (s, 3 H, CH₃), 3.65 (s, 2 H, CH₂), 2.38 (s, 3 H, CH₃), 1.58-1.62 (m, 2 H, CH₂), 1.23-1.24 (m, 10 H, CH₂), 0.84-0.88 (t, 3 H, J = 7.1 Hz, CH₃).

***N*-(4-Ethylmorpholino)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (16).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 40:60) as a yellow oil which solidified upon freezing (348 mg, 86%). mp = 83-84 °C; ¹H NMR (CDCl₃) δ 7.63-7.66 (d, 2 H, J = 8.4 Hz, ArH), 7.44-7.47 (d, 2 H, J = 8.4 Hz, ArH), 6.94-6.95 (d, 1 H, J = 2.4 Hz, ArH), 6.81-6.84 (d, 1 H, J = 9.0 Hz, ArH), 6.63-6.66 (dd, 1 H, J = 9.0 Hz and 2.4 Hz, ArH), 4.20-4.24 (t, 2 H, J = 5.8 Hz, CH₂), 3.82 (s, 3 H, CH₃), 3.66 (s, 2 H, CH₂), 3.58-3.61 (t, 4 H, J = 4.8 Hz, 2 CH₂), 2.55-2.59 (t, 2 H, J = 5.7 Hz, CH₂), 2.38-2.40 (s merged with a t, 7 H, CH₃ and 2 CH₂).

***N*-(*tert*-Butoxycarbonyl)aminoethyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (17).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 30:70 and then 60:40) as a pale yellow oil which eventually solidified upon freezing (221 mg, 53%). mp = 108-110 °C; ¹H NMR (CDCl₃) δ 7.65-7.68 (d, 2 H, J = 8.4 Hz, ArH), 7.46-7.49 (d, 2 H, J = 8.5 Hz, ArH), 6.95-6.96 (d, 1 H, J = 2.4 Hz, ArH), 6.85-6.88 (d, 1 H, J = 9.0 Hz, ArH), 6.65-6.69 (dd, 1 H, J = 9.0 Hz and 2.5 Hz, ArH), 4.65 (bs, 1 H, NH),

4.14-4.18 (t, 2 H, $J = 5.4$ Hz, CH₂), 3.84 (s, 3 H, CH₃), 3.68 (s, 2 H, CH₂), 3.36-3.38 (m, 2 H, CH₂), 2.39 (s, 3 H, CH₃), 1.42 (s, 9 H, CH₃).

Phenyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (18). was obtained upon chromatography on silica gel (EtOAc:hexanes; 20:80) as a crystalline white solid (155 mg, 43%). mp = 138-140 °C; ¹H NMR (CDCl₃) δ 7.66-7.68 (d, 2 H, $J = 8.4$ Hz, ArH), 7.45-7.48 (d, 2 H, $J = 8.5$ Hz, ArH), 7.33-7.38 (m, 2 H, ArH), 7.03-7.06 (m, 3 H, ArH), 6.87-6.90 (d, 1 H, $J = 9.0$ Hz, ArH), 6.67-6.71 (dd, 1 H, $J = 9.0$ Hz and 2.5 Hz, ArH), 3.90 (s, 2 H, CH₂), 3.83 (s, 3 H, CH₃), 2.45 (s, 3 H, CH₃).

β-Naphthyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (19). was obtained upon chromatography on silica gel (EtOAc:hexanes; 20:80) as a crystalline yellow solid (166 mg, 41%). mp = 70-72 °C; ¹H NMR (CDCl₃) δ 7.82-7.85 (d, 2 H, $J = 8.9$ Hz, ArH), 7.76-7.79 (m, 1 H, ArH), 7.68-7.71 (d, 2 H, $J = 8.2$ Hz, ArH), 7.54-7.55 (d, 1 H, $J = 1.8$ Hz, ArH), 7.46-7.50 (m, 4 H, ArH), 7.17-7.21 (dd, 1 H, $J = 8.9$ Hz and 2.1 Hz, ArH), 7.10-7.11 (d, 1 H, $J = 2.3$ Hz, ArH), 6.90-6.93 (d, 1 H, $J = 9.1$ Hz, ArH), 6.69-6.73 (dd, 1 H, $J = 8.9$ Hz and 2.2 Hz, ArH), 3.97 (s, 2 H, CH₂), 3.85 (s, 3 H, CH₃), 2.49 (s, 3 H, CH₃).

α-Naphthyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (20). was obtained upon chromatography on silica gel (EtOAc:hexanes; 30:70) as a crystalline white solid (378 mg, 93%). mp = 118-120 °C; ¹H NMR (CDCl₃) δ 7.82-7.85 (d, 1 H, $J = 8.9$ Hz, ArH), 7.61-7.71 (m, 3 H, ArH), 7.41-7.49 (m, 6 H, ArH), 7.37-7.39 (m, 1 H, ArH), 7.22-7.24 (d, 1 H, $J = 7.2$ Hz, ArH), 6.91-6.94 (d, 1 H, $J = 9.0$ Hz, ArH), 6.70-6.74 (dd, 1 H, $J = 8.9$ Hz and 2.2 Hz, ArH), 4.07 (s, 2 H, CH₂), 3.82 (s, 3 H, CH₃), 2.51 (s, 3 H, CH₃).

3,5-(Dimethyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (21). was obtained upon chromatography on silica gel (EtOAc:hexanes; 20:80) as a yellow oil that solidified upon freezing (219 mg, 54%). mp = 143-145 °C; ¹H NMR (CDCl₃) δ 7.67-7.69

(d, 2 H, $J = 8.3$ Hz, ArH), 7.46-7.49 (d, 2 H, $J = 8.4$ Hz, ArH), 7.05-7.06 (d, 1 H, $J = 2.4$ Hz, ArH), 6.89-6.92 (d, 1 H, $J = 9.0$ Hz, ArH), 6.85 (s, 1 H, ArH), 6.67-6.71 (m, 3 H, ArH), 3.88 (s, 2 H, CH₂), 3.84 (s, 3 H, CH₃), 2.45 (s, 3 H, CH₃), 2.29 (s, 6 H, 2 CH₃).

2-(Phenylethyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (22). was obtained upon chromatography on silica gel (EtOAc:hexanes; 20:80) as a yellow oil that solidified upon freezing (393 mg, 95%). mp = 81-83 °C; ¹H NMR (CDCl₃) δ 7.61-7.64 (dd, 2 H, $J = 8.4$ Hz and 1.6 Hz, ArH), 7.41-7.44 (dd, 2 H, $J = 8.5$ Hz and 1.9 Hz, ArH), 7.16-7.24 (m, 2 H, ArH), 7.03-7.06 (d, 2 H, $J = 8.0$ Hz, ArH), 6.97-6.98 (d, 1 H, $J = 2.4$ Hz, ArH), 6.83-6.86 (d, 1 H, $J = 9.0$ Hz, ArH), 6.64-6.68 (dd, 1 H $J = 9.0$ Hz and 2.5 Hz, ArH), 4.08-4.12 (t, 2 H, $J = 6.4$ Hz, CH₂), 3.81 (s, 3 H, CH₃), 3.65 (s, 2 H, CH₂), 2.55-2.60 (t, 2 H, $J = 8.1$ Hz, CH₂), 2.39 (s, 3 H, CH₃), 1.87-1.96 (m, 2 H, CH₂).

2-(Methylmercapto)phenyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (24). was obtained upon chromatography on silica gel (EtOAc:hexanes; 15:85) as a off-white solid (335 mg, 85%). mp = 147-148 °C: ¹H NMR (CDCl₃) δ 7.67-7.70 (dd, 2 H, $J = 6.5$ Hz and 1.8 Hz, ArH), 7.46-7.49 (dd, 2 H, $J = 6.8$ Hz and 1.9 Hz, ArH), 7.17-7.26 (m, 3 H, ArH), 7.02-7.05 (dd, 1 H, $J = 7.7$ Hz and 1.2 Hz, ArH), 6.90-6.93 (d, 1 H, $J = 8.9$ Hz, ArH), 6.68-6.72 (dd, 1 H, $J = 9.1$ Hz and 2.5 Hz, ArH), 3.98 (s, 2 H, CH₂), 3.86 (s, 3 H, CH₃), 2.47 (s, 3 H, CH₃), 2.38 (s, 3 H, CH₃). ESI-CID 480 (MH⁺), m/z 312, 139.

4-(Methoxy)phenyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (25). was obtained upon chromatography on silica gel (EtOAc:hexanes; 20:80) as a yellow oil that solidified upon freezing (355 mg, 88%). mp = 136-138 °C; ¹H NMR (CDCl₃) δ 7.65-7.69 (d, 2 H, $J = 8.5$ Hz, ArH), 7.46-7.48 (d, 2 H, $J = 8.5$ Hz, ArH), 7.04-7.05 (d, 1 H, $J = 2.4$ Hz, ArH), 6.84-6.98 (m, 5 H, ArH), 6.67-6.71 (dd, 1 H, $J = 9.0$ Hz and 2.5 Hz, ArH), 3.88 (s, 2 H, CH₂), 3.83 (s, 3 H, CH₃), 3.78 (s, 3 H, CH₃), 2.44 (s, 3 H, CH₃). ESI-CID 464 (MH⁺), m/z 312, 139.

4-(Acetamido)phenyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (26). was obtained upon chromatography on silica gel (EtOAc:hexanes; 20:80 then 70:30) as a yellow solid (345 mg, 83%). mp = 191-193 °C; ¹H NMR (CDCl₃) δ 7.66-7.69 (dd, 2 H, *J* = 8.5 Hz and 1.8 Hz, ArH), 7.46-7.49 (m, 4 H, ArH), 7.16 (bs, 1 H, NH), 6.99-7.04 (m, 3 H, ArH), 6.87-6.89 (d, 1 H, *J* = 9.0 Hz, ArH), 6.67-6.71 (dd, 1 H, *J* = 8.9 Hz and 2.5 Hz, ArH), 3.88 (s, 2 H, CH₂), 3.83 (s, 3 H, CH₃), 2.44 (s, 3 H, CH₃), 2.04 (s, 3 H, CH₃). ESI-CID 491 (MH⁺), *m/z* 312, 139.

4-(Fluoro)phenyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (27). was obtained upon chromatography on silica gel (EtOAc:hexanes; 10:90) as a off-white solid (359 mg, 95%). mp = 143-144 °C; ¹H NMR (CDCl₃) δ 7.66-7.69 (dd, 2 H, *J* = 8.5 Hz and 1.8 Hz, ArH), 7.46-7.49 (dd, 2 H, *J* = 8.5 Hz and 1.9 Hz, ArH), 7.01-7.04 (m, 5 H, ArH), 6.86-6.89 (d, 1 H, *J* = 9.0 Hz, ArH), 6.67-6.71 (dd, 1 H, *J* = 9.0 Hz and 2.5 Hz, ArH), 3.89 (s, 2 H, CH₂), 3.83 (s, 3 H, CH₃), 2.45 (s, 3 H, CH₃).

(3-Pyridyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetate (28). was obtained upon chromatography on silica gel (EtOAc:hexanes; 20:80) as a yellow oil that solidified upon freezing (191 mg, 67%). mp = 134-136 °C; ¹H NMR (CDCl₃) δ 8.46-8.47 (d, 1 H, *J* = 4.6 Hz, pyridyl-H), 8.39-8.40 (d, 1 H, *J* = 2.5 Hz, pyridyl-H), 7.66-7.68 (d, 2 H, *J* = 8.4 Hz, ArH), 7.43-7.48 (d and m 3 H, 2 ArH, *J* = 8.5 Hz and 1 pyridyl-H), 7.28-7.32 (m, 1 H, pyridyl-H), 7.02-7.03 (d, 1 H, *J* = 2.4 Hz, ArH), 6.85-6.88 (d, 1 H, *J* = 9.0 Hz, ArH), 6.67-6.70 (dd, 1 H, *J* = 9.0 Hz and 2.5 Hz, ArH), 3.93 (s, 2 H, CH₂), 3.83 (s, 3 H, CH₃), 2.46 (s, 3 H, CH₃).

***N*-Methyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (29).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 10:90 then 50:50) as a bright yellow solid (271 mg, 79%). mp = 187-189 °C; ¹H NMR (CDCl₃) δ 7.64-7.67 (dd, 2 H, *J* = 6.6 Hz and 1.9 Hz, ArH), 7.47-7.50 (dd, 2 H, *J* = 6.7 Hz and 1.9 Hz, ArH), 6.88-6.89 (dd,

1 H, $J = 9.1$ Hz and 2.5 Hz, ArH), 6.84 - 6.87 (d, 1 H, $J = 9.0$ Hz, ArH), 6.68 - 6.72 (dd, 1 H, $J = 9.1$ Hz and 2.5 Hz, ArH), 5.22 (bs, 1 H, NH), 3.83 (s, 3 H, CH₃), 3.65 (s, 2 H, CH₂), 2.75 - 2.76 (d, 3 H, $J = 4.8$ Hz, CH₃), 2.39 (s, 3 H, CH₃).

***N,N*-Dimethyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (30).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 20:80 then 60:40) as a white solid (195 mg, 61%). mp = 177 - 178 °C; ¹H NMR (CDCl₃) δ 7.65 - 7.69 (dd, 2 H, $J = 6.7$ Hz and 2.0 Hz, ArH), 7.44 - 7.48 (dd, 2 H, $J = 6.8$ Hz and 2.0 Hz, ArH), 7.00 - 7.02 (d, 1 H, $J = 2.4$ Hz, ArH), 6.79 - 6.84 (d, 1 H, $J = 9.0$ Hz, ArH), 6.62 - 6.67 (dd, 1 H, $J = 9.0$ Hz and 2.4 Hz, ArH), 3.82 (s, 3 H, CH₃), 3.71 (s, 2 H, CH₂), 3.08 (s, 3 H, CH₃), 2.98 (s, 3 H, CH₃), 2.38 (s, 3 H, CH₃).

***N,N*-Diethyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (31).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 20:80 then 50:50) as a white solid (229 mg, 66%). mp = 138 - 139 °C; ¹H NMR (CDCl₃) δ 7.64 - 7.68 (dd, 2 H, $J = 6.7$ Hz and 1.9 Hz, ArH), 7.44 - 7.48 (dd, 2 H, $J = 6.9$ Hz and 2.0 Hz, ArH), 7.01 - 7.03 (d, 1 H, $J = 2.4$ Hz, ArH), 6.79 - 6.83 (d, 1 H, $J = 8.9$ Hz, ArH), 6.61 - 6.66 (dd, 1 H, $J = 9.0$ Hz and 2.5 Hz, ArH), 3.82 (s, 3 H, CH₃), 3.70 (s, 2 H, CH₂), 3.33 - 3.45 (m, 4 H, 2 CH₂), 2.38 (s, 3 H, CH₃), 1.09 - 1.19 (m, 6 H, 2 CH₃).

***N*-Octyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (32).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 30:70) as a yellow solid (164 mg, 42%). mp = 109 - 111 °C; ¹H NMR (CDCl₃) δ 7.62 - 7.65 (d, 2H, $J = 8.2$ Hz, ArH), 7.46 - 7.49 (d, 2H, $J = 8.2$ Hz, ArH), 6.85 - 6.89 (m, 2H, ArH), 6.68 - 6.71 (d, 1H, $J = 8.9$ Hz, ArH), 5.67 (s, 1H, NH), 3.82 (s, 3H, CH₃), 3.64 (s, 2H, CH₂), 3.16 - 3.22 (m, 2H, CH₂), 2.38 (s, 3H, CH₃), 1.39 (m, 2H, CH₂), 1.19 (m, 10 H, 5CH₂), 0.83 - 0.88 (t, $J = 6.2$ Hz, CH₃).

***N*-Nonyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (33).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 30:70) as a yellow solid (191

mg, 47%). mp = 128-130 °C; ¹H NMR (CDCl₃) δ 7.64-7.67 (d, 2H, *J* = 8.4 Hz, ArH), 7.47-7.50 (d, 2H, *J* = 8.4 Hz, ArH), 6.89 (s, 1H, ArH), 6.85-6.88 (d, *J* = 8.9 Hz, ArH), 6.68-6.72 (dd, 1H, *J* = 9.0 Hz and 2.4 Hz, ArH), 5.60-5.63 (bt, *J* = 5.3 Hz, NH), 3.82 (s, 3H, CH₃), 3.64 (s, 2H, CH₂), 3.16-3.22 (m, 2H, CH₂), 2.38 (s, 3H, CH₃), 1.36-1.41 (m, 2H, CH₂), 1.19-1.28 (m, 12H, 6CH₂), 0.84-0.89 (t, *J* = 6.5 Hz, CH₃).

***N*-3-(Chloropropyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (34).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 30:70) as a off-white solid (153 mg, 40%). mp = 162-164 °C; ¹H NMR (DMSO-*d*₆) δ 8.11 (bs, 1H, NH), 7.62-7.69 (m, 4H, ArH), 7.09 (s, 1 H, ArH), 6.92-6.95 (d, 1 H, *J* = 8.9 Hz, ArH), 6.68-6.71 (d, 1 H, *J* = 8.8 Hz, ArH), 3.80 (s, 3H, CH₃), 3.58-3.67 (t, 2 H, *J* = 6.3 Hz, CH₂), 3.52 (s, 2H, CH₂), 3.15-3.17 (m, 2 H, CH₂), 2.20 (s, 3H, CH₃), 1.81-1.85 (t, 2 H, *J* = 6.5 Hz, CH₂).

***N*-Methoxycarbonylmethyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (37).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 30:70) as a yellow solid (265 mg, 76%). mp = 138-140 °C; ¹H NMR (CDCl₃) δ 7.66-7.68 (dd, 2 H, *J* = 6.7 Hz and 1.7 Hz, ArH), 7.47-7.50 (dd, 2 H, *J* = 6.9 Hz and 1.9 Hz, ArH), 6.92-6.95 (m, 2 H, ArH), 6.70-6.73 (m, 1 H, ArH), 6.03 (bs, 1 H, NH), 3.98-4.00 (d, 2 H, *J* = 5.5 Hz, CH₂), 3.84 (s, 3 H, CH₃), 3.71 (s, 3 H, CH₃), 3.69 (s, 2 H, CH₂), 2.38 (s, 3 H, CH₃).

***N*-2-(2-*L*-Methoxycarbonylethyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (38).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 30:70 and then 50:50) as a yellow solid (300 mg, 84%). mp = 149-151 °C; ¹H NMR (CDCl₃) δ 7.67-7.70 (dd, 2 H, *J* = 8.5 Hz and 1.85 Hz, ArH), 7.47-7.50 (dd, 2 H, *J* = 8.4 Hz and 1.9 Hz, ArH), 6.91-6.96 (m, 2 H, ArH), 6.69-6.73 (m, 1 H, ArH), 6.16-6.18 (d, 1 H, *J* = 7.4 Hz, NH), 4.57-4.62 (m, 1 H, CH), 3.83 (s, 3 H, CH₃), 3.70 (s, 3 H, CH₃), 3.65 (s, 2 H, CH₂), 2.37 (s, 3 H, CH₃), 1.32-1.34 (d, 3 H, *J* = 7.2 Hz, CH₃).

***N*-2-(2-D-Methoxycarbonylethyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (39).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 40:60) as a yellow solid (803 mg, 67%). mp = 149-151 °C; ¹H NMR (CDCl₃) δ 7.67-7.70 (dd, 2 H, *J* = 8.5 Hz and 1.85 Hz, ArH), 7.47-7.50 (dd, 2 H, *J* = 8.4 Hz and 1.9 Hz, ArH), 6.91-6.96 (m, 2 H, ArH), 6.69-6.73 (dd, 1 H, ArH), 6.16-6.18 (d, 1 H, *J* = 7.4 Hz, NH), 4.57-4.62 (m, 1 H, CH), 3.83 (s, 3 H, CH₃), 3.70 (s, 3 H, CH₃), 3.65 (s, 2 H, CH₂), 2.36 (s, 3 H, CH₃), 1.32-1.34 (d, 3 H, *J* = 7.2 Hz, CH₃).

***N*-(2-Phenethyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (40).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 30:70) as a bright yellow solid (169 mg, 44%). mp = 148-150 °C; ¹H NMR (CDCl₃) δ 7.58-7.60 (d, *J* = 8.4 Hz, ArH), 7.46-7.48 (d, 2H, *J* = 8.5 Hz, ArH), 7.12-7.14 (m, 3H, ArH), 6.85-6.95 (m, 4H, ArH), 6.69-6.73 (dd, 1H, *J* = 8.9 Hz and 2.4 Hz, ArH), 5.61 (s, 1H, NH), 3.81 (s, 3H, CH₃), 3.59 (s, 2H, CH₂), 3.43-3.49 (m, 2H, CH₂), 2.68-2.72 (t, 2H, *J* = 6.7 Hz, CH₂), 2.04 (s, 3H, CH₃). ESI-CID 461 (MH⁺), *m/z* 443, 312, 139.

***N,N*-(Methylphenethyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (41).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 50:50) as a yellow solid (288 mg, 72%). mp = 61-63 °C; ¹H NMR (CDCl₃) δ 7.64-7.67 (d, 2H, *J* = 8.4 Hz, ArH), 7.45-7.48 (d, 2H, *J* = 8.5 Hz, ArH), 7.02 (d, 1H, *J* = 2.4 Hz, ArH), 6.81-6.84 (d, 1H, *J* = 9.0 Hz, ArH), 6.63-6.66 (dd, 1H, *J* = 9.0 Hz and 2.5 Hz, ArH), 3.82 (s, 3H, CH₃), 3.71 (s, 2H, CH₂), 3.57-3.60 (t, 2H, *J* = 5.4 Hz, CH₂), 3.43-3.46 (t, 2H, *J* = 5.3 Hz, CH₂), 2.38 (s, 3H, CH₃), 1.59-1.61 (m, 2H, CH₂), 1.52-1.53 (m, 2H, CH₂), 1.42-1.43 (m, 2H, CH₂).

***N*-Piperidinyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (42).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 40:60) as a pale yellow solid (146 mg, 41%). mp = 161-163 °C; ¹H NMR (CDCl₃) δ 7.64-7.67 (d, 2H, *J* = 8.4 Hz, ArH), 7.45-7.48 (d, 2H, *J* = 8.5 Hz, ArH), 7.02 (d, 1H, *J* = 2.4 Hz, ArH), 6.81-6.84 (d, 1H, *J* =

9.0 Hz, ArH), 6.63-6.66 (dd, 1H, J = 9.0 Hz and 2.5 Hz, ArH), 3.82 (s, 3H, CH₃), 3.71 (s, 2H, CH₂), 3.57-3.60 (t, 2H, J = 5.4 Hz, CH₂), 3.43-3.46 (t, 2H, J = 5.3 Hz, CH₂), 2.38 (s, 3H, CH₃), 1.59-1.61 (m, 2H, CH₂), 1.52-1.53 (m, 2H, CH₂), 1.42-1.43 (m, 2H, CH₂).

***N*-Morpholidino-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (43).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 50:50) as a white solid (218 mg, 61%). mp = 166-167 °C; ¹H NMR (CDCl₃) δ 7.64-7.68 (d, 2H, J = 8.45 Hz, ArH), 7.45-7.49 (d, 2H, J = 8.4 Hz, ArH), 6.97-6.98 (d, 1H, J = 2.4 Hz, ArH), 6.78-6.82 (d, 1H, J = 8.9 Hz, ArH), 6.62-6.68 (dd, 1H, J = 9.0 Hz and 2.5 Hz, ArH), 3.82 (s, 3H, CH₃), 3.71 (s, 2H, CH₂), 3.55 (s, 4H, CH₂), 3.53 (m, 4H, CH₂), 2.39 (s, 3H, CH₃).

***N*-(2-Methylbenzyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (45).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 50:50) as a yellow solid (218 mg, 56%). mp = 177-179 °C; ¹H NMR (CDCl₃) δ 7.60-7.61 (d, 2H, J = 8.1 Hz, ArH), 7.44-7.46 (d, 2H, J = 8.1 Hz, ArH), 7.06-7.15 (m, 4H, ArH), 6.83-6.89 (m, 2H, ArH), 6.67-6.70 (d, 1H, J = 8.1 Hz, ArH), 5.84 (s, 1H, NH), 4.40-4.41 (d, 2H, J = 5.3 Hz, CH₂), 3.79 (s, 3H, CH₃), 3.70 (s, 2H, CH₂), 2.37 (s, 3H, CH₃), 2.19 (s, 3H, CH₃).

***N*-(4-Methylbenzyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (46).** was obtained upon recrystallization from methanol as a yellow solid (142 mg, 37%). mp = 191-192 °C; ¹H NMR (CDCl₃) δ 7.63-7.60 (d, 2H, J = 8.5 Hz, ArH), 7.46-7.44 (d, 2H, J = 8.4 Hz, ArH), 7.08-7.01 (m, 4H, ArH), 6.88 (s, 1H, ArH), 6.87-6.85 (d, 1H, J = 6.3 Hz, ArH), 6.71-6.67 (dd, 1H, J = 9.0 Hz and 2.4 Hz, ArH), 5.89 (bt, 1H, NH), 4.38-4.36 (d, 2H, J = 5.9 Hz, CH₂), 3.78 (s, 3H, CH₃), 3.69 (s, 2H, CH₂), 2.35 (s, 3H, CH₃), 2.30 (s, 3H, CH₃).

***N*-[(*R*)-α,4-Dimethylbenzyl]-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (47).** was obtained upon recrystallization from methanol to yield a pale yellow solid (124 mg, 31%). mp = 201-202 °C; ¹H NMR (CDCl₃) δ 7.62-7.64 (d, 2H, J =

8.4 Hz, ArH), 7.45-7.48 (d, 2H, J = 8.6 Hz, ArH), 7.01-7.08 (m, 4H, ArH), 6.87-6.90 (d, 1H, J = 9.0 Hz, ArH), 6.83-6.84 (d, 1H, J = 2.3 Hz, ArH), 6.68-6.72 (dd, 1H, J = 9.0 Hz and 2.4 Hz, ArH), 5.76-5.78 (bd, 1H, J = 8.0 Hz, NH), 5.09-5.14 (m, 1H, CH), 3.76 (s, 3H, CH₃), 3.63-3.64 (d, 2H, J = 2.8 Hz, CH₂), 2.34 (s, 3H, CH₃), 2.30 (s, 3H, CH₃), 1.35-1.38 (d, 3H, J = 6.8 Hz, CH₃).

***N*-[(*S*)- α ,4-Dimethylbenzyl]-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (48).** was obtained upon recrystallization from methanol as a pale yellow solid (163 mg, 41%). mp = 200-201 °C; ¹H NMR (CDCl₃) δ 7.53-7.55 (d, 2H, J = 8.3 Hz, ArH), 7.37-7.40 (d, 2H, J = 8.4 Hz, ArH), 6.94-7.01 (m, 4H, ArH), 6.76-6.82 (m, 2H, ArH), 6.61-6.64 (dd, 1H, J = 9.0 Hz and 2.5 Hz, ArH) 5.77-5.79 (bd, 1H, J = 7.8 Hz, NH), 5.02-5.07 (m, 1H, CH), 3.69 (s, 3H, CH₃), 3.58-3.59 (d, 2H, J = 2.9 Hz, CH₂), 2.27 (s, 3H, CH₃), 2.23 (s, 3H, CH₃), 1.28-1.30 (d, 3H, J = 6.9 Hz, CH₃).

***N*-(4-Methoxycarbonylbenzyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (49).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 40:60) as a yellow solid (198 mg, 47%). mp = 163-165 °C; ¹H NMR (CDCl₃) δ 7.91-7.94 (d, 2 H, J = 6.8 Hz, ArH), 7.61-7.65 (d, 2H, J = 8.7 Hz, ArH), 7.45-7.48 (d, 2H, J = 9.0 Hz, ArH), 7.19-7.21 (d, 2H, J = 8.3 Hz, ArH), 6.83-6.88 (m, 2H, ArH), 6.68-6.72 (dd, 1H, J = 9.0 Hz and 2.4 Hz, ArH), 5.97-5.99 (bt, 1H, J = 5.9 Hz, NH), 4.45-4.47 (d, 2H, J = 6.1 Hz, CH₂), 3.90 (s, 3H, CH₃), 3.83 (s, 3H, CH₃), 3.72 (s, 2H, CH₂), 2.38 (s, 3H, CH₃).

***N*-(4-Fluorophenyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (50).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 5:95 to 20:80) as an orange solid (217 mg, 57%). mp = 200-202 °C; ¹H NMR (CDCl₃) δ 7.65-7.67 (d, 2H, J = 8.3 Hz, ArH), 7.47-7.50 (d, 2H, J = 8.3 Hz, ArH), 7.32-7.35 (m, 3H, ArH), 6.94-6.99 (m, 3H, ArH, NH), 6.85-6.88 (d, 1H, J = 9.0 Hz, ArH), 6.70-6.73 (dd, 1H, J = 9.0 Hz and 2.0 Hz, ArH), 3.81 (s, 3H, CH₃), 3.79 (s, 2H, CH₂), 2.45 (s, 3H, CH₃).

***N*-(4-Chlorophenyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (51).** was obtained upon recrystallization from methanol as a pale yellow solid (234 mg, 56%). mp = 209-210 °C; ¹H NMR (CDCl₃) δ 7.58-7.61 (d, 2H, *J* = 8.2 Hz, ArH), 7.40-7.42 (d, 2H, *J* = 8.2 Hz, ArH), 7.13-7.27 (m, 5H, ArH), 6.84 (s, 1H, NH), 6.77-6.80 (d, 1H, *J* = 9.0 Hz, ArH), 6.62-6.65 (d, 1H, *J* = 9.0 Hz, ArH), 3.72 (s, 2H, CH₂), 3.72 (s, 3H, CH₃), 2.37 (s, 3H, CH₃).

***N*-(4-Methylmercaptophenyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (52).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 50:50) as a bright yellow solid (162 mg, 40%). mp = 195-196 °C; ¹H NMR (CDCl₃) δ 7.67-7.70 (d, 2 H, *J* = 8.4 Hz, ArH), 7.48-7.50 (d, 2 H, *J* = 8.4 Hz, ArH), 7.30-7.33 (d, 2 H, *J* = 8.6 Hz, ArH), 7.17-7.22 (m, 3 H, 2 ArH and NH), 6.92-6.93 (d, 1 H, *J* = 2.3 Hz, ArH), 6.85-6.88 (d, 1 H, *J* = 9.0 Hz, ArH), 6.69-6.73 (dd, 1 H, *J* = 9.0 Hz and 2.4 Hz, ArH), 3.80 (s, 3 H, CH₃), 3.79 (s, 2 H, CH₂), 2.45 (s, 3 H, CH₃), 2.44 (s, 3 H, CH₃). ESI-CID 479 (MH⁺), *m/z* 312, 139.

***N*-(3-Methylmercaptophenyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (53).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 15:85) as a yellow solid (218 mg, 54%). mp = 129-131 °C; ¹H NMR (CDCl₃) δ 7.62-7.64 (d, 2H, *J* = 8.2 Hz, ArH), 7.45-7.48 (d, 2H, *J* = 8.4 Hz, ArH), 7.39 (s, 1H, NH), 7.09-7.18 (m, 2H, ArH), 6.94-6.96 (m, 3H, ArH), 6.86-6.89 (d, 1H, *J* = 9.0 Hz), 6.69-6.72 (d, 1H, *J* = 8.9 Hz, ArH), 3.80 (s, 3H, CH₃), 3.78 (s, 2H, CH₂), 2.42 (s, 3H, CH₃).

***N*-(4-Methoxyphenyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (54).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 10:90 to 25:75) as an orange solid (239 mg, 61%). mp = 201-202 °C; ¹H NMR (CDCl₃) δ 7.67-7.70 (dd, 2H, *J* = 6.8 Hz and 1.8 Hz, ArH), 7.48-7.51 (d, 2H, *J* = 7.1 Hz, ArH), 7.28-7.29 (d, 1H, *J* = 2.0 Hz, ArH), 7.20 (s, 1H, NH), 6.94-6.95 (d, 1H, *J* = 2.4 Hz, ArH), 6.86-6.89 (d, 1H, *J* = 9.0 Hz,

ArH), 6.78-6.84 (m, 2H, ArH), 6.69-6.73 (dd, 1H, $J = 9.0$ Hz and 2.4 Hz, ArH), 3.81 (s, 3H, CH₃), 3.79 (s, 2H, CH₂), 3.76 (s, 3H, CH₃), 2.45 (s, 3H, CH₃).

***N*-(3-Ethoxyphenyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (55).** was obtained upon recrystallization from methanol as a bright yellow solid (297 mg, 74%). mp = 152-154 °C; ¹H NMR (CDCl₃) δ 7.68-7.70 (d, 2H, $J = 8.4$ Hz, ArH), 7.48-7.51 (d, 2H, $J = 8.4$ Hz, ArH), 7.24 (s, 1H, NH), 7.13-7.18 (m, 2H, ArH), 6.94-6.82 (m, 3H, ArH), 6.70-6.73 (dd, 1H, $J = 9.0$ Hz and 2.4 Hz), 6.61-6.65 (dd, 1H, $J = 8.2$ Hz and 1.7 Hz, ArH), 3.96-4.03 (q, 2H, $J = 7.0$ Hz, CH₂), 3.81 (s, 3H, CH₃), 3.80 (s, 2H, CH₂), 2.45 (s, 3H, CH₃), 1.36-1.40 (t, 3H, $J = 7.0$ Hz, CH₃).

***N*-(3,4,5-Trimethoxyphenyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (56).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 10:90 to 30:70) as a light orange solid (191 mg, 44%). mp = 239-241 °C; ¹H NMR (CDCl₃) δ 7.67-7.69 (d, 2H, $J = 8.5$ Hz, ArH), 7.48-7.51 (d, 2H, $J = 8.5$ Hz, ArH), 7.20 (s, 1H, NH), 6.94 (d, 1H, $J = 8.9$ Hz, ArH), 6.70-6.74 (m, 3H, ArH), 3.78-3.81 (m, 14H, 3CH₃ & CH₂), 2.45 (s, 3H, CH₃).

***N*-(4-Methoxycarbonylmethylphenyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (58).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 20:80) as a yellow solid (100 mg, 23%). mp = 168-170 °C; ¹H NMR (CDCl₃) δ 7.67-7.70 (d, 2H, $J = 8.5$ Hz, ArH), 7.48-7.51 (d, 2H, $J = 8.5$ Hz, ArH), 7.33-7.36 (d, 2H, $J = 8.4$ Hz, ArH), 7.18-7.23 (d and bs, 3 H, ArH and NH), 6.92-6.93 (d, 1H, $J = 2.3$ Hz, ArH), 6.85-6.88 (d, 1H, $J = 9.0$ Hz, ArH), 6.70-6.73 (dd, 1H, $J = 9.0$ Hz and 2.0 Hz, ArH), 3.81 (s, 5H, CH₂ and CH₃), 3.67 (s, 3H, CH₃), 3.56 (s, 3H, CH₂), 2.45 (s, 3H, CH₃).

***N*-(4-(Aminocarbonyl)phenyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (59).** was obtained by obtained by recrystallization from CH₂Cl₂ as a crystalline yellow solid (1.3 g, 90%). mp = 248-250 °C; ¹H NMR (DMSO-*d*₆) δ 10.47 (s, 2

H, NH₂), 7.80-7.83 (d, 2 H, *J* = 8.6 Hz, ArH), 7.62-7.69 (m, 6 H, ArH), 7.23 (bs, 1 H, NH), 7.17-7.18 (d, 1 H, *J* = 2.3 Hz, ArH), 6.90-6.93 (d, 1 H, *J* = 9.0 Hz, ArH), 6.68-6.72 (dd, 1 H, *J* = 9.0 Hz & 2.4 Hz, ArH), 3.78 (s, 2 H, CH₂), 3.73 (s, 3 H, CH₃), 2.27 (s, 3 H, CH₃).

***N*-1-(4-Benzamido-2-methoxy-5-methyl)phenyl-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (60).** was obtained by recrystallization of the crude residue in CH₂Cl₂/MeOH as a pale yellow solid (700 mg, 67%). mp = 185-187 °C; ¹H NMR (DMSO-*d*₆) δ 9.83 (s, 1 H, CONH), 9.33 (s, 1 H, CONH), 7.95-7.97 (d, 2 H, *J* = 7.8 Hz, ArH), 7.76 (s, 1 H, ArH), 7.63-7.70 (q, 4 H, *J* = 4.9 Hz, ArH), 7.50-7.57 (m, 3 H, ArH), 7.24 (s, 1 H, ArH), 7.04 (s, 1 H, ArH), 6.91-6.94 (d, 1 H, *J* = 9.0 Hz, ArH), 6.69-6.72 (d, 1 H, *J* = 9.0 Hz, ArH), 3.84 (s, 2 H, CH₂), 3.77 (s, 3 H, CH₃), 3.76 (s, 3 H, CH₃), 2.29 (s, 3 H, CH₃), 2.10 (s, 3 H, CH₃).

***N*-(4-Biphenyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (61).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 30:70) to afford the pure product as a pale yellow solid (421 mg, 59%). mp = 212-214 °C; ¹H NMR (CDCl₃) δ 7.68-7.71 (d, 2 H, *J* = 8.4 Hz, ArH), 6.73-6.74 (dd, 1 H, *J* = 1.7 Hz, ArH), 3.83 (s, 2 H, CH₂), 3.81 (s, 3 H, CH₃), 2.47 (s, 3 H, CH₃).

***N*-(3-Pyridyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (62).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 50:50 to 75:25) as a yellow solid (190 mg, 52%). mp = 204-205 °C; ¹H NMR (CDCl₃) δ 8.39-8.40 (d, 1H, *J* = 2.1 Hz, ArH), 8.32-8.34 (d, 1H, *J* = 4.4 Hz, ArH), 8.04-8.08 (m, 1H, ArH), 7.66-7.70 (m, 2H, ArH), 7.48-7.52 (m, 2H, ArH), 7.38 (s, 1H, NH), 7.22-7.25 (m, 1H, ArH), 6.93-6.94 (d, 1H, *J* = 2.4 Hz, ArH), 6.85-6.88 (d, 1H, *J* = 9.1 Hz, ArH), 6.70-6.74 (dd, 1H, *J* = 9.1 Hz and 2.5 Hz, ArH), 3.84 (s, 2H, CH₂), 3.81 (s, 3H, CH₃), 2.47 (s, 3H, CH₃).

***N*-5-[(2-Chloro)pyridyl]-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (63).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 5:95 to

50:50) as a pale yellow solid (221 mg, 56%). mp = 196-198 °C; ^1H NMR (CDCl_3) δ 8.19-8.20 (d, 1H, J = 2.8 Hz, ArH), 8.03-8.06 (dd, 1H, J = 8.7 Hz and 2.9 Hz, ArH), 7.59-7.63 (m, 2H, ArH), 7.46-7.51 (m, 3H, ArH), 7.24 (s, 1H, NH), 6.92-6.93 (d, 1H, J = 2.4 Hz, ArH), 6.84-6.87 (d, 1H, J = 9.0 Hz, ArH), 6.70-6.74 (dd, 1H, J = 9.1 Hz and 2.5 Hz, ArH), 3.84 (s, 2H, CH_2), 3.82 (s, 3H, CH_3), 2.46 (s, 3H, CH_3).

***N*-3-(2-Chloropyridyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (64).** was obtained by recrystallization of the crude residue in $\text{CH}_2\text{Cl}_2/\text{MeOH}$ as a pale yellow solid (700 mg, 67%). mp = 206-208 °C; ^1H NMR (CDCl_3) δ 8.72-8.76 (dd, 1 H, J = 8.1 Hz & 1.55 Hz, ArH), 8.06-8.08 (dd, 1 H, J = 6.2 Hz & 3.0 Hz, ArH), 7.96 (bs, 1 H, CONH), 7.67-7.70 (d, 2 H, J = 6.6 Hz, ArH), 7.48-7.51 (d, 2 H, J = 6.7 Hz, ArH), 7.23-7.27 (m, 1 H, ArH), 6.94-6.95 (d, 1 H, J = 2.4 Hz, ArH), 6.83-6.86 (d, 1 H, J = 9.0 Hz, ArH), 6.73-6.74 (d, 1 H, J = 2.4 Hz, ArH), 3.87 (s, 2 H, CH_2), 3.82 (s, 3 H, CH_3), 2.51 (s, 3 H, CH_3).

***N*-(2-Pyrazinyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (65).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 30:70 to 50:50) as a bright yellow solid (251 mg, 69%). mp = 171-172 °C; ^1H NMR (CDCl_3) δ 9.58 (d, 1 H, J = 1.4 Hz, ArH), 8.33-8.34 (d, 1 H, J = 2.5 Hz, ArH), 8.16-8.17 (m, 1 H, ArH), 7.86 (bs, 1 H, NH), 7.69-7.71 (d, 2H, J = 8.5 Hz, ArH), 7.49-7.51 (d, 2H, J = 8.5 Hz, ArH), 6.92-6.93 (d, 1H, J = 2.4 Hz, ArH), 6.84-6.87 (d, 1H, J = 8.9 Hz, ArH), 6.70-6.72 (dd, 1H, J = 9.0 Hz and 2.5 Hz, ArH), 3.86 (s, 2H, CH_2), 3.81 (s, 3H, CH_3), 2.47 (s, 3H, CH_3).

***N*-5-[(1-Ethyl)pyrazolo]-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (66).** was obtained upon recrystallization from methanol as a pale yellow solid (153 mg, 40%). mp = 193-194 °C; ^1H NMR (CDCl_3) δ 7.99 (bs, 1H, NH), 7.66-7.68 (d, 2H, J = 8.2 Hz, ArH), 7.47-7.50 (m, 3H, ArH), 7.00 (s, 1H, ArH), 6.83-6.86 (d, 1H, J = 9.0 Hz, ArH), 6.69-6.72 (d, 1H, J = 8.9 Hz, ArH), 6.35 (s, 1H, ArH), 4.01-4.04 (bd, 2H, J = 6.8 Hz, CH_2),

3.90 (s, 2H, CH₂), 3.82 (s, 3H, CH₃), 2.47 (s, 3H, CH₃), 1.24-1.29 (t, 3H, *J* = 7.1 Hz, CH₃).

ESI-CID 451 (MH⁺), *m/z* 433, 314, 174, 139.

***N*-2-(4-Methylthiazolyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (67).** was obtained upon chromatography on silica gel (EtOAc:hexanes; 30:70 and then 70:30) to afford the pure product as a pale yellow solid which was recrystallized from ethyl ether (241 mg, 63%). mp = 156-158 °C; ¹H NMR (CDCl₃) δ 8.68 (bs, 1 H, NH), 7.70-7.74 (d, 2 H, *J* = 9.0 Hz, ArH), 7.48-7.52 (d, 2 H, *J* = 9.0 Hz, ArH), 6.79-6.85 (m, 2 H, ArH), 6.67-6.71 (dd, 1 H, *J* = 9.0 Hz and 2.4 Hz, ArH), 6.52 (s, 1 H, Thiazole-H), 3.88 (s, 2 H, CH₂), 3.79 (s, 3 H, CH₃), 2.45 (s, 3 H, CH₃), 2.27 (s, 3 H, CH₃).

***N*-(Benzylhydroxy)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (68).** was obtained by chromatography on silica gel (EtOAc:hexanes; 20:80 then 40:60) as a off-white solid (241 mg, 37%). mp = 158-160 °C; ¹H NMR (CDCl₃) δ 7.98 (bs, 1 H, NH), 7.59-7.62 (d, 2 H, *J* = 8.2 Hz, ArH), 7.45-7.48 (d, 2 H, *J* = 8.5 Hz, ArH), 7.26-7.37 (m, 5 H, ArH), 6.81-6.87 (m, 2 H, ArH), 6.67-6.70 (d, 1 H, *J* = 7.5 Hz, ArH), 4.85 (s, 2 H, CH₂), 3.81 (s, 3 H, CH₃), 3.60 (s, 2 H, CH₂), 2.30 (s, 3 H, CH₃).

***N*-4-(Nitrobenzyl)hydroxy-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (69).** was obtained by chromatography on silica gel (EtOAc:hexanes; 20:80) as a off-white solid (284 mg, 57%). mp = 228-229 °C; ¹H NMR (DMSO-*d*₆) δ 11.36 (bs, 1 H, NH), 8.13-8.16 (d, 2 H, *J* = 8.6 Hz, ArH), 7.58-7.68 (m, 2 H, ArH), 7.00-7.01 (d, 1 H, *J* = 2.4 Hz, ArH), 6.88-6.91 (d, 1 H, *J* = 8.9 Hz, ArH), 6.67-6.70 (dd, 1 H, *J* = 8.9 Hz & 2.4 Hz, ArH), 4.92 (s, 2 H, CH₂), 3.70 (s, 3 H, CH₃), 3.38 (s, 2 H, CH₂), 2.20 (s, 3 H, CH₃).

***N*-(Benzylhydrazinyl)-[1-*p*-chlorobenzoyl-5-methoxy-2-methylindole]-3-acetamide (70).** was obtained by chromatography on silica gel (EtOAc:hexanes; 20:80 then 40:60) as a crystalline yellow solid (110 mg, 24%). mp = 74-76 °C; ¹H NMR (DMSO-*d*₆) δ 7.64-7.67 (d, 2 H, *J* = 8.6 Hz, ArH), 7.45-7.47 (d, 2 H, *J* = 8.5 Hz, ArH), 7.16-

7.33 (m, 6 H, ArH), 6.85-6.88 (d, 1 H, $J = 8.9$ Hz, ArH), 6.64-6.67 (dd, 1 H, $J = 9.0$ Hz & 2.3 Hz, ArH), 4.75 (s, 2 H, CH₂), 3.82 (s, 3 H, CH₃), 3.63 (s, 2 H, CH₂), 2.29 (s, 3 H, CH₃).