

Experimental Section:

All chemicals, reagents, and solvents for the synthesis of the compounds were of analytical grade, purchased from commercial sources, and used without further purification, unless otherwise specified. Benzene was first refluxed with freshly cut and dried sodium metal pieces pressed in 3 Å sieves for 4-6 hrs. It was then distilled and stored in a dry bottle. Tetrahydrofuran was first dried initially over calcium sulphate and then refluxed over lithium aluminium hydride. Peroxide was removed by passage through a column of aluminum and distilled and stored over molecular sieves (3Å). All the reactions were monitored by thin layer chromatography over silica gel coated TLC plates. The spots on TLC were visualized by warming ceric sulphate (2% CeSO₄ in 2N H₂SO₄) sprayed plates in hot plate or in oven at about 100°C. Silica gel (60-120 mesh) was used for column chromatography. Melting points were determined in capillary tubes on an electrically heated apparatus and were uncorrected. IR spectra were recorded on Perkin Elmer 881 or FT IR 820/PC instrument and values are expressed in cm⁻¹. FABMS were recorded on a JEOL/SX-102 spectrometer and ESMS were recorded using a Micromass LC-MS system. ¹H and ¹³C NMR were recorded on Bruker Advance DPX 300 MHz and 200 MHz (¹H) and 75MHz and 50MHz (¹³C) using TMS as an internal standard (chemical shifts are expressed as δ values, *J* in hertz). Elementary analysis was carried out on Carlo ERBA-1108 analyzer. Optical rotations were determined on an Autopol III polarimeter using a 1 dm cell at 28 °C in chloroform and methanol as the solvents; concentrations mentioned are in g/100 mL.

(4-methoxyphenyl)(naphthalen-1-yl) methanol: **8**

To a suspension of Mg (1.5g, 61.70 mmol) in dry THF (40 mL) was added drop wise a solution of 4-bromoanisole (6.52 mL, 52.08 mmol) in dry THF (40 mL). After stirring the mixture for 30 min. a solution of 1-naphthaldehyde (45 mmol) in dry THF (30 mL) was added drop wise and the resulting solution was allowed to stir for an additional 30 min. After quenching by adding a saturated solution of NH₄Cl (20 mL), the reaction mixture was extracted with ethyl acetate (100 mL), washed with water (100 mL), brine (2x50mL) and then dried over Na₂SO₄. The organic layer was removed under reduced pressure. The crude product was purified by silica gel column chromatography and elution with 10% ethyl acetate in hexane furnished **8** as yellowish semi solid (6.8 g, 58%). ¹H NMR (300 MHz, CDCl₃): δ 7.90-7.88 (m, 1H), 7.78- 7.75 (m, 1H), 7.71 (d, 1H, *J* = 8.3 Hz), 7.59 (d, 1H, *J* = 7.0 Hz), 7.42- 7.30 (m, 4H), 7.21(d, 2H, *J* = 8.7 Hz), 6.75 (d, 2H, *J* = 8.7 Hz), 6.39 (s, 1H), 3.67 (s, 3H). MS (FAB): *m/z* (%): 404 (100, [M⁺]). Anal. C₁₈H₁₆O₂; Calc: C, 81.79; H, 6.10% Found: C, 81.69; H, 6.01%

General Procedure for the preparation of compounds 9-15:

To a solution of carbinol **3a-d** (2.50 mmol) and electron-rich arene or arylthiol (3.75 mmol) in dry benzene (25 mL), a catalytic amount of conc. H₂SO₄ was added and the mixture was refluxed for half an hour. After adding water, the reaction mixture was extracted with ethyl acetate (25 mL), washed by brine (25 mL), and dried over Na₂SO₄. The combined organic layer was removed under reduced pressure. The crude product was purified by silica gel column chromatography.

((4-methoxyphenyl)(naphthalen-1-yl)methyl)(phenyl)sulfane (**11**)

Carbinol **8** (100 mg, 0.378 mmol) and thiophenol (45.81 mg, 0.41 mmol) in dry benzene (10 mL) furnished **11** (110 mg, 82%) as white viscous oil. *R_f* = 0.6 (5 % ethyl acetate in hexane). ¹H NMR (300 MHz, CDCl₃): δ 8.02- 7.99 (m, 1H), 7.77- 7.66 (m, 3H), 7.37- 7.32 (m, 3H), 7.25 (d, 2H, *J* = 8.14 Hz), 7.13- 7.03 (m, 4H), 6.93- 6.89 (m, 1H), 6.72 (d, 2H, *J* = 8.1 Hz), 6.21 (s, 1H), 3.65 (s, 3H). MS (FAB): *m/z* (%): 339; Found 340 [M⁺+1]. Anal. C₂₄H₂₀OS; Calc: C, 80.86; H, 5.65 % Found: C, 80.76; H, 5.55%.

N-((4-methoxyphenyl)(naphthalen-1-yl)methyl)aniline (**13b**)

Carbinol **8** (200 mg, 0.756 mmol) and aniline (77.52 mg, 0.832 mmol) in dry benzene (10 mL) furnished **13b** (17.8 mg, 7 %) as blackish viscous oil. *R_f* = 0.6 (5 % ethyl acetate in hexane). ¹H NMR (300 MHz, CDCl₃): δ 7.92- 7.90 (m, 1H), 7.78- 7.76 (m, 1H), 7.68 (d, 1H, *J* = 8.2 Hz), 7.42 (d, 1H, *J* = 6.9 Hz), 7.38- 7.33 (m, 2H), 7.30 (d, 1H, *J* = 7.7 Hz), 7.19 (d, 2H, *J* = 8.6 Hz), 7.02- 6.98 (m, 2H), 6.7 (d, 2H, *J* = 8.7 HZ), 6.62- 6.58 (m, 1H), 6.43 (d, 2H, *J* = 7.92 Hz), 6.08 (s, 1H), 3.66 (s, 3H). ¹³C (75 MHz, CDCl₃): 158.9, 147.1, 137.6, 134.2, 131.1, 131.09, 129.1, 128.9, 128.1, 126.3, 125.54, 125.51, 125.3, 123.6, 117.6, 117.1, 114.1, 113.4, 58.8, 55.1. MS (FAB): *m/z* (%): 339; Found 340 [M⁺+1]. Anal. C₂₄H₂₁NO; Calc: C, 84.92; H, 6.24; N, 4.13% Found: C, 84.81; H, 6.15; N, 4.23%.

4-((4-methoxyphenyl)(naphthalen-1-yl)methyl)-N-methylaniline (**14**)

Carbinol **8** (200 mg, 0.756 mmol) and N-methylaniline (89.18 mg, 0.832 mmol) in dry benzene (10 mL) furnished **14a** (157 mg, 59%) as major product along with **14b** as minor one (24 mg, 9%) as blackish viscous oil. *R_f* = 0.6 (20 % ethyl acetate in hexane) ¹H NMR (300 MHz, CDCl₃): δ 7.25- 7.23 (m, 3H), 7.19- 7.09 (m, 3H), 7.03- 7.01 (m, 3H), 6.92- 6.90 (m, 2H), 6.81- 6.79 (m, 2H), 6.54- 6.52 (m, 2H), 5.39 (s, 1H), 3.76 (s, 3H), 2.79 (s, 3H). MS (FAB): *m/z* (%): 353; Found 354 [M⁺+1]. Anal. C₂₅H₂₃NO; Calc: C, 84.95; H, 6.56; N, 3.96% Found: C, 84.81; H, 6.45; N, 3.84%.

(4-Methoxy-phenyl)-(2,3,6-trimethoxy-phenanthren-9-yl)-methanone (17)

A mixture of trimethoxy-phenanthrene-9-carboxylic acid **16** (5 gm, 16.02 mmol), PPA (50 gm) and anisole (2.5 gm, 24.03 mmol) was heated at 100°C for 2 h. The reaction mixture was poured into ice-cold water and extracted with ethyl acetate, washed with NaHCO₃, dried over anhydrous Na₂SO₄ and concentrated under vacuo. The residue was chromatographed over silica gel and elution with 40% ethyl acetate in hexane ($R_f = 0.6$) furnished the methanone **17** as white solid, (3.73 gm, 58%), m.p. 147°C (dichloromethane). ¹H NMR (200 MHz, CDCl₃): δ 8.01 (d, 1H, $J = 7$ Hz), 8.00-7.84 (m, 4H), 7.58 (s, 1H), 7.11 (d, 2H, $J = 7.8$ Hz), 6.89 (d, 2H, $J = 7$ Hz), 4.08 (s, 3H), 3.96 (s, 6H), 3.81 (s, 3H). IR (KBr): 3457, 2934, 2362, 1600, 1511, 1425, 1248 1161 cm⁻¹. MS (FAB): m/z (%): 402 (100, [M⁺]). Anal. C₂₅H₂₂O₅; Calc: C, 74.61; H 5.51%; Found: C, 74.57; H, 5.45%.

(4-Methoxy-phenyl)-(2,3,6-trimethoxy-phenanthren-9-yl)-methanol (18)

The methanone **17** (2.0 gm, 4.97 mmol) was taken in dry THF (60 mL) at 0°C and LAH (0.38 gm, 10.27 mmol) was added in portions into it and the reaction mixture was stirred at rt for 2 h. After completion (monitored by TLC), the reaction was quenched with ethyl acetate followed by water at 0°C. The aqueous layer was extracted thrice with ethyl acetate. The combined organic layer was washed with brine, dried over anhydrous Na₂SO₄ and concentrated under vacuo. The residue was chromatographed over silica gel and elution with 40% ethyl acetate in hexane ($R_f = 0.4$) furnished the methanol **18** as white solid, (1.67 gm, 83%), m.p. 176°C (dichloromethane). ¹H NMR (200 MHz, CDCl₃): δ 7.91-7.79 (m, 3H), 7.64 (s, 1H), 7.31 (d, 2H, $J = 8.6$ Hz), 7.15 (s, 1H), 7.07 (dd, 1H, $J_1 = 8.4$ Hz, $J_2 = 2.6$ Hz), 6.82 (d, 2H, $J = 8.6$ Hz), 6.37 (s, 1H), 4.07 (s, 3H), 3.99 (s, 3H), 3.95 (s, 3H), 3.75 (s, 3H), 1.80 (bs, 1H). IR (KBr): 3468, 2929, 1611, 1511, 1464, 1248, 1160, 1034, 760 cm⁻¹. MS (FAB): m/z (%): 404 (100, [M⁺]). Anal. C₂₅H₂₄O₅; Calc: C, 74.24; H 5.98%; Found: C, 74.28; H, 6.03%

2-[(4-Methoxy-phenyl)-(2,3,6-trimethoxy-phenanthren-9-yl)-methyl]-phenol (19):

As described for **14**, carbinol **18** (2.85 gm, 7.05 mmol), phenol (0.88 mL, 10.58 mmol), catalytic amount of conc. H₂SO₄ in dry benzene (40 mL) furnished **19** (0.34 gm, 10%) as pale yellow solid, mp. 150°C, $R_f = 0.65$ (20% ethyl acetate in hexane). ¹H NMR (300 MHz, CDCl₃): δ 7.93-7.86 (m, 3H), 7.25-6.98 (m, 6H), 6.87-6.79 (m, 5H), 6.31 (s, 1H), 4.97 (bs, 1H), 4.09 (s, 3H), 3.95 (s, 6H), 3.79 (s, 3H). IR (KBr): 3443, 2935, 2362, 1614, 1510, 1460, 1245, 1033 cm⁻¹. MS (FAB): m/z (%): 480 (100, [M⁺]). Anal. C₃₁H₂₈O₅; Calc: C, 77.48; H, 5.87%; Found: C, 77.53; H, 5.83%.

4-[(4-Methoxy-phenyl)-(2,3,6-trimethoxy-phenanthren-9-yl)-methyl]-phenol (20)

As described for **14**, carbinol **18** (2.85 gm, 7.05 mmol), phenol (0.88 mL, 10.58 mmol), catalytic amount of conc. H₂SO₄ in dry benzene (40 mL) furnished **20** (0.81 gm, 24%) as pale yellow solid, mp. 175°C $R_f = 0.6$ (20% ethyl acetate in hexane). ¹H NMR (200 MHz, CDCl₃): δ 7.94-7.86 (m, 3H), 7.11-6.97 (m, 5H), 6.90-6.72 (m, 6H), 6.08 (s, 1H), 4.95 (bs, 1H), 4.07 (s, 3H), 3.93 (s, 6H), 3.78 (s, 3H). IR (KBr): 3459, 2929, 1741, 1611, 1509, 1465, 1243, 1033 cm⁻¹. MS (FAB): m/z (%): 480 (100, [M⁺]). Anal. C₃₁H₂₈O₅; Calc: C, 77.48; H, 5.87%; Found: C, 77.41; H, 5.94%

4-Bromo-7-methoxy-2,2-dimethyl-2H-chromene (24)

To a solution of 7-methoxy-2,2-dimethyl-chroman-4-one **23** (2 gm, 9.71 mmol) in dry benzene (10 mL) was added phosphorus tribromide (1.37 mL, 14.56 mmol) and mixture was heated at 80°C for 6 h. After cooling, the reaction mixture was poured into ice-cold water and extracted with ethyl acetate. The extract was washed with brine, dried over anhydrous Na₂SO₄ and concentrated under vacuo. The residue was chromatographed over silica gel and elution with 5% ethyl acetate in hexane ($R_f = 0.8$) furnishing **24** (1.6 gm, 62%) as pale yellow oil. Compound **24** was sensitive to air, moisture and usually prepared before further reaction. ¹H NMR (200 MHz, CDCl₃): δ 7.29 (d, 1H, $J = 8.5$ Hz), 6.46 (dd, 1H, $J = 8.5$ Hz), 6.35 (d, 1H, $J = 2.4$ Hz), 5.84 (s, 1H), 3.78 (s, 3H), 1.43 (s, 6H). ¹³C NMR (50 MHz, CDCl₃): δ 163.5, 159.4, 130.1, 128.2, 116.2, 113.1, 105.8, 101.3, 68.5, 56.5, 28.1. MS (FAB): m/z (%): 269 (50, [M⁺]), 189 (100, [M⁺-Br]).

(4-Fluoro-phenyl)-(7-methoxy-2,2-dimethyl-2H-chromen-4-yl)-methanol (26a)

To a stirred solution of the **24** (1.5 gm, 5.58 mmol) in anhydrous THF (30 mL) at -78°C and under N₂, *n*-butyl lithium (5.2 mL of 1.6 M in hexane, 8.36 mmol) was added *via* a syringe in a single portion. The resulting orange solution was stirred at -78°C for 15-20 minutes after which the 4-fluoro benzaldehyde (0.59 gm, 5.58 mmol) in THF (2 mL) was added in a single portion at the same temperature. The resulted pale yellow solution was stirred at room temperature for 1 h. The reaction mixture was quenched by gradual addition of saturated aq. NH₄Cl (~10 mL) and THF was removed in vacuo. The mixture was extracted thrice with ethyl acetate, the extract was washed with brine, dried over anhydrous Na₂SO₄ and concentrated under vacuo. The residue was chromatographed over silica gel and elution with 15% ethyl acetate in hexane ($R_f = 0.5$) furnishing **26a** (0.9 gm, 51%) as yellow semi solid. ¹H NMR (200 MHz, CDCl₃): δ 7.34-7.27 (m, 2H), 6.93-6.89 (m, 2H), 6.80 (d, 1H, $J = 8.5$ Hz), 6.31 (d, 1H, $J = 2.5$ Hz), 6.20 (dd, 1H, $J_1 = 8.5$ Hz, $J_2 = 2.5$ Hz), 5.57 (s, 1H), 5.52 (s, 1H), 3.63 (s, 3H), 2.24 (bs, 1H), 1.37 (s, 6H). ¹³C NMR (50 MHz, CDCl₃): δ 160.9, 155.0, 137.8, 133.5, 129.2, 125.8, 125.2, 116.1, 115.7, 113.6, 106.0, 102.8, 76.6, 72.7, 55.6, 28.2. IR (Neat): 3420, 1612, 1506, 1277, 1223, 1147, 836, 758 cm⁻¹. MS (FAB): m/z (%): 314 (40, [M⁺]), 299 (100, [M⁺-CH₃]), 297, (30, [M⁺-OH]). Anal. C₁₉H₁₉FO₃; Calc: C, 72.60; H, 6.09%; Found: C, 72.62; H, 6.04%.

(7-Methoxy-2,2-dimethyl-2H-chromen-4-yl)-(4-methoxy-phenyl)-methanol (26b)

As described for **26a**, **24** (1.2 gm, 4.46 mmol) in dry THF (30 mL), *n*-butyl lithium (4.18 mL of 1.6 M in hexane, 6.69 mmol), 4-methoxy benzaldehyde (0.61 gm, 4.46 mmol) in THF (2 mL) furnished **26b** (1.02 gm, 70%) as yellow oil; $R_f = 0.5$ (15% ethyl acetate in hexane). $^1\text{H NMR}$ (200 MHz, CDCl_3): δ 7.32 (d, 2H, $J = 8.6$ Hz), 6.89-6.83 (m, 3H), 6.38 (d, 1H, $J = 2.5$ Hz), 6.27 (dd, 1H, $J_1 = 8.5$ Hz, $J_2 = 2.5$ Hz), 5.70 (s, 1H), 5.56 (s, 1H), 3.76 (s, 3H), 3.70 (s, 1H), 2.35 (bs, 1H), 1.46 (s, 6H). $^{13}\text{C NMR}$ (50 MHz, CDCl_3): δ 160.7, 159.6, 154.9, 134.5, 133.7, 128.8, 125.3, 125.2, 114.4, 114.1, 106.8, 102.7, 76.7, 72.6, 55.5, 28.2. IR (Neat): 3420, 2974, 1612, 1507, 1249, 1169, 1146, 1030, 754 cm^{-1} . MASS (FAB): m/z (%): 326 (50, $[\text{M}^+]$), 311 (100, $[\text{M}^+-\text{CH}_3]$), 309 (55, $[\text{M}^+-\text{OH}]$). Anal. $\text{C}_{20}\text{H}_{22}\text{O}_4$; Calcd: C, 73.60; H, 6.79%; Found: C, 73.62; H, 6.74%.

(1-Benzyl-1H-indol-3-yl)-(7-methoxy-2, 2-dimethyl-2H-chromen-4-yl)-methanol (27)

As described for **26a**, **24** (1.00 gm, 3.72 mmol) in dry THF (30 mL), *n*-butyl lithium (3.48 mL of 1.6 M in hexane, 5.57 mmol), 1-benzyl-1H-indole-3-carboxaldehyde (0.87 gm, 3.71 mmol) in THF (4 mL) furnished **27** (0.79 gm, 50%) as yellow oil; $R_f = 0.4$ (15% ethyl acetate in hexane). $^1\text{H NMR}$ (200 MHz, CDCl_3): δ 7.58 (d, 1H, $J = 7.9$ Hz), 7.49 (d, 1H, $J = 8.8$ Hz), 7.24-6.84 (m, 7H), 6.94-5.77 (m, 4H), 5.20 (s, 2H), 3.68 (s, 3H), 2.19 (bs, 1H), 1.39 (s, 6H). $^{13}\text{C NMR}$ (50 MHz, CDCl_3): 160.6, 155.0, 137.8, 137.3, 131.5, 129.1, 128.6, 127.6, 126.5, 125.6, 125.5, 122.1, 120.6, 119.9, 115.4, 110.2, 106.7, 102.4, 76.8, 73.1, 71.6, 55.5, 50.4, 28.3. IR (Neat): 3435, 1619, 1507, 1267, 1229, 1143, 757 cm^{-1} . MS (FAB): m/z (%): 425 (70, $[\text{M}^+]$), 408 (100, $[\text{M}^+-\text{OH}]$). Anal. $\text{C}_{28}\text{H}_{27}\text{NO}_3$; Calcd: C, 79.03; H, 6.40; N, 3.29%; Found: C, 79.07; H, 6.44; N, 3.27%.

1-[(4-Fluoro-phenyl)-(7-methoxy-2,2-dimethyl-2H-chromen-4-yl)-methyl]-1H-imidazole (21a)

To a stirred solution of the **26a** (0.4 gm, 1.27 mmol) in dry THF (10 mL) and under N_2 atmosphere was added CDI (0.21 gm, 1.27 mmol). The resulting solution was refluxed for 12 h. The THF was removed under vacuo and the concentrated extract was subjected to column chromatography over silica gel and elution with 30% ethyl acetate in hexane ($R_f = 0.6$) furnishing **21a** (0.15 gm, 33%) as yellow viscous oil. $^1\text{H NMR}$ (200 MHz, CDCl_3): δ 8.16 (s, 1H), 7.49-7.44 (m, 3H), 7.11-7.03 (m, 3H), 6.87 (d, 2H, $J = 8.6$ Hz), 6.42 (d, 1H, $J = 2.5$ Hz), 6.34 (dd, 1H, $J_1 = 8.5$ Hz, $J_2 = 2.5$ Hz), 5.63 (s, 1H), 3.73 (s, 3H), 1.47 (d, 6H, $J = 4.1$ Hz). $^{13}\text{C NMR}$ (50 MHz, CDCl_3): δ 161.1, 159.2, 155.0, 137.9, 133.5, 129.8, 129.2, 129.1, 125.7, 124.9, 122.1, 116.1, 115.6, 106.9, 102.7, 72.6, 55.6, 28.1. MS (FAB): m/z (%): 365 (60, $[\text{M}^++\text{H}]$), 297 (100, $[\text{M}^+-\text{imidazole}]$). Anal. $\text{C}_{22}\text{H}_{21}\text{FN}_2\text{O}_2$; Calcd: C, 72.51; H, 5.81; N, 7.69%; Found: C, 72.72; H, 5.71; N, 7.54%.

1-[(7-Methoxy-2,2-dimethyl-2H-chromen-4-yl)-(4-methoxy-phenyl)-methyl]-1H-imidazole (21b)

As described for **21a**, **26b** (0.46 gm, 1.41 mmol), CDI (0.23 gm, 1.41 mmol) in dry THF (10 mL) furnished **21b** (0.165 gm, 31%) as yellow viscous oil; $R_f = 0.5$ (50% ethyl acetate in hexane). $^1\text{H NMR}$ (200 MHz, CDCl_3): δ 7.79 (s, 1H), 7.17-7.08 (m, 3H), 6.91-6.85 (m, 3H), 7.21 (d, 1H, $J = 8.6$ Hz), 6.65 (s, 1H), 6.32 (d, 1H, $J = 2.5$ Hz), 6.21 (dd, 1H, $J_1 = 8.5$ Hz, $J_2 = 2.5$ Hz), 5.69 (s, 1H), 3.69 (s, 3H), 3.61 (s, 3H), 1.39 (d, 6H, $J = 6.7$ Hz). $^{13}\text{C NMR}$ (50 MHz, CDCl_3): δ 160.8, 159.7, 154.3, 137.1, 131.1, 129.3, 128.9, 128.8, 127.0, 124.0, 129.2, 114.3, 113.2, 106.8, 102.3, 60.5, 55.2, 27.6. MS (FAB): m/z (%): 377 (50, $[\text{M}^++\text{H}]$), 309 (100, $[\text{M}^+-\text{imidazole}]$). Anal. $\text{C}_{23}\text{H}_{24}\text{N}_2\text{O}_3$; Calcd: C, 73.38; H, 6.43; N, 7.44%; Found: C, 73.55; H, 6.59; N, 7.35%.

1-Benzyl-3-[imidazol-1-yl-(7-methoxy-2,2-dimethyl-2H-chromen-4-yl)-methyl]-1H-indole (22)

As described for **21a**, **27** (0.5 gm, 1.18 mmol), CDI (0.19 gm, 1.18 mmol) in dry THF (10 mL) furnished **22** (0.186 gm, 33%) as light brown viscous oil; $R_f = 0.2$ (50% ethyl acetate in hexane). $^1\text{H NMR}$ (200 MHz, CDCl_3): δ 7.76 (s, 1H), 7.32 (d, 1H, $J = 7.9$ Hz), 7.18-7.11 (m, 5H), 6.98-6.75 (m, 7H), 6.52 (s, 1H), 6.34 (d, 1H, $J = 2.6$ Hz), 6.17 (dd, 1H, $J_1 = 8.5$ Hz, $J_2 = 2.6$ Hz), 5.68 (s, 1H), 5.16 (s, 2H), 3.64 (s, 3H), 1.29 (d, 6H, $J = 5.8$ Hz). $^{13}\text{C NMR}$ (50 MHz, CDCl_3): δ 161.2, 154.8, 137.6, 137.4, 137.3, 131.5, 129.2, 128.1, 127.0, 126.9, 126.1, 124.6, 123.1, 120.7, 119.7, 119.3, 113.9, 112.1, 110.7, 107.3, 102.7, 55.6, 54.6, 50.6, 28.1. MS (FAB): m/z (%): 476 (50, $[\text{M}^++\text{H}]$), 408 (100, $[\text{M}^+-\text{imidazole}]$). Anal. $\text{C}_{31}\text{H}_{29}\text{N}_3\text{O}_2$; Calcd: C, 78.29; H, 6.15; N, 8.84%; Found: C, 78.35; H, 6.24; N, 8.87%.

1-(6-methoxynaphthalen-2-yl)-2-methylpropan-1-ol (29):

To a stirred solution of 2-bromo-6-methoxy naphthalene **28** (2.0 g, 8.44 mmol) in anhydrous THF (25 mL) at -78°C and under N_2 , *n*-BuLi (1.6 M in hexane, 5.3 mL, 8.44 mmol) was added. The resulting yellow solution was stirred at -78°C for 20 minutes after which isobutyraldehyde (0.70 mL, 7.66 mmol) in THF (2 mL) were added at the same temperature and stirred at room temperature for 1 h. After quenching with water, THF was removed in vacuo. The mixture was extracted with ethyl acetate (3x20 mL), washed with brine and dried over Na_2SO_4 . The concentrated extract was subjected to column chromatography on silica gel and elution with 10% ethyl acetate in hexane furnished alcohol **29** (1.71 g, 88%) as colourless oil. $R_f = 0.58$ (20% ethyl acetate in hexane). IR (Neat): 2963, 2364, 1608, 1468, 1265, 1218, 1167, 1031, 765 cm^{-1} . $^1\text{H NMR}$ (300 MHz, CDCl_3): δ 7.69- 7.63 (m, 3H), 7.38 (d, 1H, $J = 8.3$ Hz), 7.12 (d, 2H, $J = 10.4$ Hz), 4.43 (d, 1H, $J = 6.9$ Hz), 3.89 (s, 3H), 2.12 (s, 1H), 2.08- 1.97 (m, 1H), 1.02 (d, 3H, $J = 6.6$ Hz), 0.79 (d, 3H, $J = 6.7$ Hz). $^{13}\text{C NMR}$ (75 MHz, CDCl_3): δ 157.6, 138.9, 134.0, 129.4, 128.6, 126.7, 125.3,

125.2, 118.9, 105.7, 80.1, 55.2, 35.2, 19.1, 18.3. MS (ESI): m/z 230 $[M]^+$, 213 $[M-OH]^+$. Anal. Calcd for $C_{15}H_{18}O_2$: C, 78.23; H, 7.88. Found: C, 78.39; H, 7.74.

1-(6-methoxynaphthalen-2-yl)-2-methylpropan-1-one (30):

To a stirring solution of oxalyl chloride (1.65 g, 13.02 mmol) in dry CH_2Cl_2 (20 mL) at $-78^\circ C$ was added drop wise dry DMSO (1.38 mL, 19.53 mmol) in CH_2Cl_2 (5 mL). After 30 min, alcohol **29** (1.5 g, 6.51 mmol) in CH_2Cl_2 (15 mL) was added over 10 min giving copious white precipitate. After stirring for 1 h at $-78^\circ C$ the reaction mixture was brought to $-60^\circ C$ and anhyd. Et_3N (4.55 mL, 32.55 mmol) was added slowly and stirred for 30 min allowing the reaction mixture to warm to room temperature. The reaction mixture was then diluted with water (25 mL) and CH_2Cl_2 . The organic layer was separated and washed with water and brine, dried (Na_2SO_4) and passed through short pad of celite. The filtrate was concentrated to give ketone **30** as pale yellow oil (1.19 g, 80%). R_f : 0.35 (10% ethyl acetate IR (Neat): 3449, 3020, 2361, 1625, 1480, 1215, 1030, 761 cm^{-1} . 1H NMR (300 MHz, $CDCl_3$): δ 8.40 (m, 1H), 8.02- 7.97 (m, 1H), 7.85 - 7.73 (m, 2H), 7.21- 7.13 (m, 2H), 3.92 (s, 3H), 3.76- 3.62 (m, 1H), 1.28 (d, 6H, $J = 10.2$ Hz). ^{13}C NMR (75 MHz, $CDCl_3$): δ 204.1, 159.6, 137.1, 131.5, 131.0, 129.5, 127.9, 127.1, 125.0, 119.5, 105.7, 55.3, 35.1, 19.3. MS (ESI): m/z 228 $[M]^+$. Anal. Calcd for $C_{15}H_{16}O_2$: C, 78.92; H, 7.06. Found: C, 78.78; H, 7.23.

2-methoxy-6-(3-methylbut-1-en-2-yl)naphthalene (31):

To a suspension of methyltriphenylphosphonium iodide (5.34 g, 13.2 mmol) in dry THF (40 mL) under a nitrogen atmosphere at $0^\circ C$ was added *t*-BuOK (1.50 g, 13.2 mmol). The reaction mixture was stirred for 15 min at $0^\circ C$ and was then warmed to rt while stirring was continued for 45 min. The solution was then recooled to $0^\circ C$, and a solution of ketone **30** (1.00 g, 4.4 mmol) in dry THF (10 mL) was added dropwise. The reaction mixture was then warmed to rt and stirred for an additional 12 h. The reaction was quenched with saturated aq. NH_4Cl solution (10 mL) and extracted with Et_2O (3×30 mL). The combined organic extracts were washed with brine (20 mL), dried (Na_2SO_4), and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (2% ethyl acetate in *n*-hexane) to give alkene **31** (0.78 g, 78%) as a colorless solid. Mp: 84-89 $^\circ C$. R_f : 0.80 (10% ethyl acetate in hexane). IR (KBr): 3449, 2964, 2362, 1630, 1483, 1215, 1163, 1033, 854, 761 cm^{-1} . 1H NMR (300 MHz, $CDCl_3$): δ 7.72- 7.66 (m, 3H), 7.48- 7.45 (m, 1H), 7.15- 7.11 (m, 2H), 5.25 (s, 1H), 5.09 (s, 1H), 3.90 (s, 3H), 3.02- 2.89 (m, 1H), 1.13 (d, 6H, $J = 7.8$ Hz). ^{13}C NMR (75 MHz, $CDCl_3$): δ 157.6, 155.6, 137.9, 133.8, 129.6, 128.8, 126.5, 125.8, 124.8, 118.8, 109.8, 105.6, 55.3, 32.2, 29.7, 22.2. MS (ESI): m/z 226 $[M]^+$. Anal. Calcd for $C_{16}H_{18}O$: C, 84.91; H, 8.02. Found: C, 85.03; H, 8.26.

(S)-2-(6-methoxynaphthalen-2-yl)-3-methylbutane-1,2-diol (32):

To a stirred solution of *tert*-butyl alcohol (15 mL) and water (15 mL) were added AD mix α (3.71 g) at room temperature. The mixture was vigorously stirred at room temperature until both phases were clear and then cooled to $0^\circ C$. A solution of olefin **31** (0.60 g, 2.65 mmol) in *tert*-butyl alcohol (5 mL) was added $0^\circ C$. The reaction was stirred at the same temperature for 28 h. The reaction was quenched at $0^\circ C$ by the addition of sodium sulfite (4.0 g), warmed to room temperature, and further stirred for 1 h. The reaction mixture was then extracted with ethyl acetate (3×15 mL). The combined organic layer was washed with aq. 2 N KOH solutions (20 mL), water and brine. The organic layer was dried over anhydrous Na_2SO_4 , filtered, and then concentrated under vacuo. Purification of the crude product by silica gel column chromatography (25% ethyl acetate in hexane) furnished the crude diol **32** as a colorless solid. $[\alpha]_D^{25} +12.2$ (c 1.23, MeOH). It was recrystallised twice from $EtOAc$ /petroleum ether to furnish the pure diol **32** (1.5 g, 72%). The enantiomeric excess was estimated to be 92%. R_f : 0.6 (30% ethyl acetate in petroleum ether). IR (KBr): 3450, 3018, 2968, 2362, 1606, 1481, 1266, 1216, 1167, 1033, 854, 763 cm^{-1} . 1H NMR (300 MHz, $CDCl_3$): δ 7.84 - 7.22 (m, 1H), 7.74 - 7.72 (m, 2H), 7.48 - 7.45 (m, 1H), 7.20 - 7.15 (m, 2H), 4.07- 4.04 (m, 1H), 3.93 (s, 3H), 3.88 - 3.85 (m, 1H), 2.17- 2.06 (m, 1H), 0.98 (d, 3H, $J = 6.7$ Hz), 0.79 (d, 3H, $J = 6.8$ Hz). ^{13}C NMR (75 MHz, $CDCl_3$): δ 157.8, 138.1, 133.5, 129.6, 128.6, 126.8, 125.2, 124.6, 119.0, 105.4, 79.3, 68.4, 55.3, 35.1, 17.5, 16.8. MS (ESI): m/z 260 $[M]^+$, 243 $[M-OH]^+$. Anal. Calcd for $C_{16}H_{20}O_3$: C, 73.82; H, 7.74. Found: C, 73.94; H, 7.63.

(S)-2-hydroxy-2-(6-methoxynaphthalen-2-yl)-3-methylbutanal (33):

To a stirring solution of oxalyl chloride (0.50 g, 3.85 mmol) in dry CH_2Cl_2 (10 mL) at $-78^\circ C$ was added dropwise dry DMSO (0.42 mL, 5.77 mmol) in CH_2Cl_2 (10 mL). After 30 min, diol **32** (0.50 g, 1.92 mmol) in CH_2Cl_2 (10 mL) was added over 10 min giving copious white precipitate. After stirring for 1 h at $-78^\circ C$ the reaction mixture was brought to $-60^\circ C$ and anhyd. Et_3N (1.40 mL, 9.65 mmol) was added slowly and stirred for 30 min allowing the reaction mixture to warm to room temperature. The reaction mixture was then diluted with water (25 mL) and CH_2Cl_2 . The organic layer was separated and washed with water and brine, dried (Na_2SO_4) and passed through short pad of celite. The filtrate was concentrated to provide the aldehyde **33** as a colorless solid (0.40 g, 81%). R_f : 0.68 (15% ethyl acetate in hexane). $[\alpha]_D^{25} +17.2$ (c 1.5, $CHCl_3$). The enantiomeric excess was estimated to 92% by chiral HPLC analysis (instrument: HP1100, column: LichroCART Chiradex column 250x4 mm, 5 μm), flow rate: 0.5 mL/min, detection: UV 254 nm (eluent: methanol/ H_2O). IR (KBr): 3435, 3018, 2971, 2366, 1723, 1601, 1479, 1385, 1266, 1194, 1035, 985, 800, 669 cm^{-1} . 1H NMR (300 MHz, $CDCl_3$): δ 9.68 (s, 1H), 7.90 (m, 1H), 7.74 (d, 2H, $J = 4.2$ Hz), 7.54 (d, 1H, $J = 7.9$ Hz), 7.17-7.11 (m, 2H), 3.88 (s, 3H), 2.66- 2.64 (m, 1H) 0.96 (d, 3H, $J = 5.0$ Hz), 0.81 (d, 3H, $J = 5.2$ Hz). ^{13}C NMR (75 MHz, $CDCl_3$): δ 208.8, 157.9, 133.8, 133.3, 129.6, 128.8, 127.3, 125.1, 123.8, 119.2, 105.3, 84.3, 55.2, 33.2, 16.3, 15.5. MS (ESI): m/z 258 $[M+H]^+$, 241 $[M-OH]^+$. Anal. Calcd for $C_{16}H_{18}O_3$: C, 74.39; H, 7.02. Found: C, 74.49; H, 7.12.