# Synthesis of Functionalized Indoles *via* Palladium-Catalyzed Aerobic Oxidative Cycloisomerization of *o*-Allylanilines

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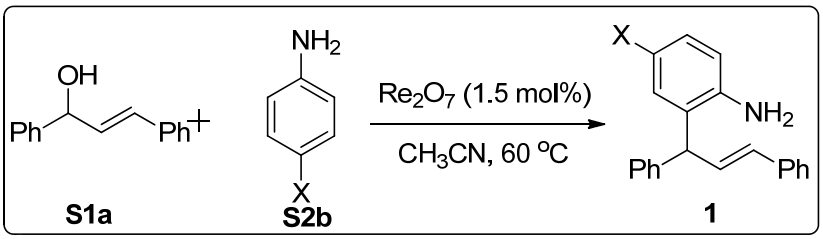
# I. Experimental Details and Compound Characterization Data

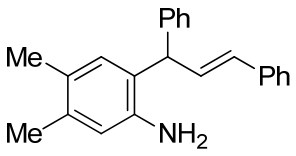
## 1. General information

All reagents and solvents were used as supplied commercially. Commercial $Pd(OAc)_2$ (98.0% purchased from Sigma-Aldrich) were stored in a desiccator over $CaCl_2$. Analytical thin-layer chromatography (TLC) was performed on 0.2 mm coated Science silica gel (EM 60-F254) plates purchased from Merck, Germany. Visualization was accomplished with UV light (254 nm) and exposure to either ethanolic phosphomolybdic acid (PMA), anisaldehyde or $KMnO_4$, $CeSO_4$ + ammonium phosphomolybdate + 10% $H_2SO_4$, ninhydrine solution followed by heating. Melting points are uncorrected. $^1H$ NMR spectra were acquired on a 400 MHz spectrometer and chemical shifts are reported relative to the residual solvent peak. $^{13}C$ NMR spectra were acquired on a 100 MHz spectrometer and chemical shifts are reported in ppm relative to the residual solvent peak. Unless noted, NMR spectra were acquired in $CDCl_3$; individual peaks are reported as: multiplicity, integration, coupling constant in Hz. All IR spectra were obtained as neat films with a Perkin-Elmer Model 2000 FT-IR and selected absorbances are reported in $cm^{-1}$. Low resolution (LR) and High-resolution (HR) mass spectrometry data were acquired by the Central Instrumentation Facility, Indian Institute of Science Education and Research Bhopal on a Bruker Daltonics MicroTOF-Q-II (quadrupole) Mass Spectrometer using $CH_3CN/H_2O$ as solvent.

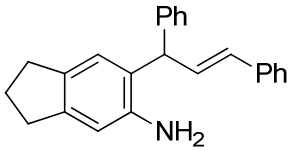
## 2. Preparation of starting materials



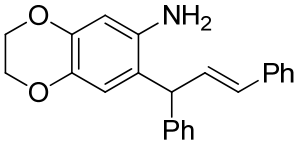

| X | | | |
|---|---|---|---|
| Cl | **1f**, | 8h, | 74% |
| Br | **1g**, | 3h, | 72% |
| $OCF_3$ | **1h**, | 10h, | 73% |
| $Ph_3C$ | **1l**, | 7h, | 74% |
| SMe | **1m**, | 4h, | 79% |



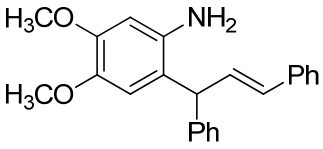

**1j,** 10h, 93%



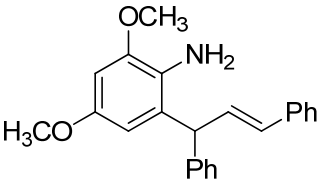

**1k**, 10h, 85%



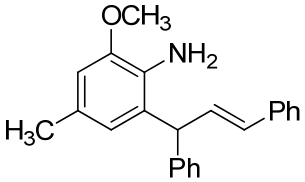

**1n,** 10h, 80%



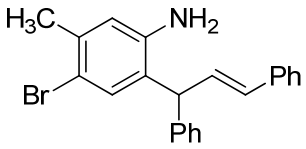

**1o**, 4h, 97%



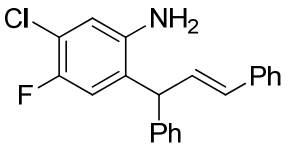

**1p**, 30h, 82%



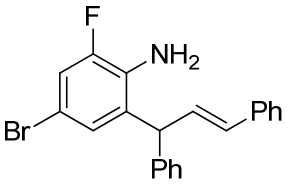

**1q**, 7h, 76%



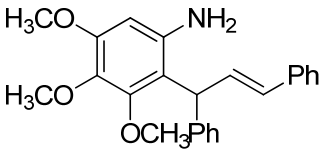

**1r**, 8h, 88%



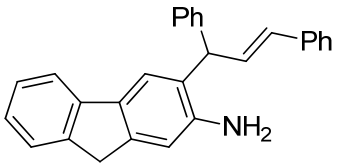

**1s**, 6h, 83%



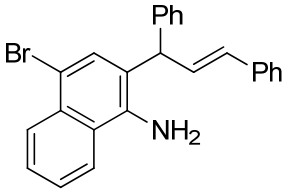

**1t**, 10h, 61%




**1u**, 2h, 78%

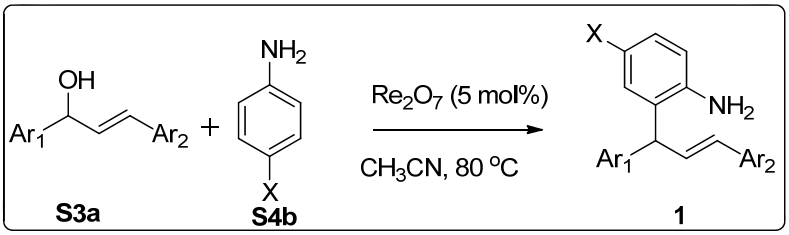



**1v**, 12h, 81%




**1w**, 8h, 68%

## 2.1 Preparation of *ortho*-allylanilines

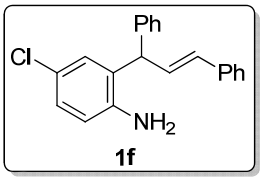



| SN | $Ar_1$ | $Ar_2$ | X | Time (h) | Yield (%) |
|---|---|---|---|---|---|
| **1aa** | *p*-Me | *p*-Me | Cl | 24 | 72 |
| **1ab** | *p*-Cl | *p*-Cl | Cl | 15 | 62 |
| **1ac** | *p*-Br | *p*-Br | Cl | 20 | 73 |
| **1ad** | 1-Naphthyl | 1-Naphthyl | Cl | 24 | 60 |
| **1ae** | Ph | *p*-OMe | Cl | 14 | 61 |
| **1af** | Ph | 1-Naphthyl | Cl | 10 | 60 |
| **1ag** | Me | Ph | Cl | 24 | 43 |
| **1ah** | Me | Ph | $NO_2$ | 10 | 62 |
| **1ai** | *n*-Bu | Ph | Cl | 48 | 50 |

General procedure A: To a stirred solution of alcohol (0.5 mmol) and aniline (0.6 mmol) in $CH_3CN$ (2.0 ml), taken in a round-bottom flask attached to a refluxed condenser, $Re_2O_7$ (1.5 or 5 mol %) was added. Unless otherwise noted, the reaction was stirred at 60 $^oC$ or 80 $^oC$ for the given time. The reaction was quenched with the addition of brine solution (2 ml), followed by extraction with EtOAc (3 × 10 ml). The combined organic layer was dried over anhydrous $MgSO_4$. The solvent was removed under vacuum and the crude residue was purified by flash column chromatography (EtOAc/n-Hexane) on silica gel.

**1a**, **1b**, **1c**, **1d**, **1e** and **1i** were synthesized by a previuosly reported method.[1]

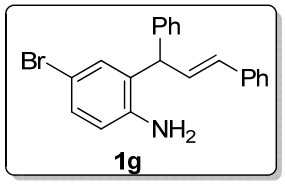
### 2.1.1 (*E*)-4-Chloro-2-(1,3-diphenylallyl)aniline (1f):




The title compound was prepared using the general procedure A.

74% yield; $R_f$ = 0.30 (20:80 = EtOAc/n-Hexane); Yellow liquid; **IR (neat)**: 3458, 3376, 1623, 1493, 1282, 972, 817 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.50-7.20 (10H), 7.15-7.05 (2H), 6.67 (2H), 6.34 (d, *J* = 16 Hz, 1H), 4.88 (d, *J* = 6.8 Hz, 1H), 3.58 (bs, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 142.9, 141.0, 136.9, 132.1, 130.4, 129.7, 128.99, 128.95, 128.8, 128.6, 127.6, 127.5, 127.1, 126.4, 123.6, 117.5, 49.5; **HR-MS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{21}H_{19}ClN$: 320.1206; found: 320.1217.

### 2.1.2 (*E*)-4-Bromo-2-(1,3-diphenylallyl)aniline (1g):

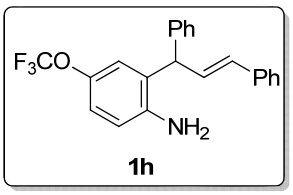


1g

The title compound was prepared using the general procedure A.

72% yield; $R_f$ = 0.30 (10:90 = EtOAc/n-Hexane); Clear liquid; **IR (neat)**: 3447, 3374, 3054, 3025, 1621, 1485, 1281, 743, 699 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.38-7.27 (7H), 7.24-7.23 (3H), 7.21-7.19 (2H), 6.61 (dd, *J* = 15.9, 7.1 Hz, 2H), 6.28 (d, *J* = 15.9 Hz, 1H), 4.84 (d, *J* = 6.9 Hz, 1H), 3.67 (bs, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 142.9, 140.9, 136.8, 132.1, 131.8, 130.5, 130.4, 130.3, 128.9, 128.7, 128.6, 127.6, 127.1, 126.4, 118.2, 111.2, 49.5**; HR-MS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{21}H_{19}NBr$: 364.0695; found; 364.0691.

### 2.1.3 (*E*)-2-(1,3-Diphenylallyl)-4-(trifluoromethoxy)aniline (1h):

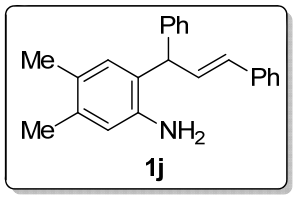


1h

The title compound was prepared using the general procedure A.

73% yield; $R_f$ = 0.39 (10:90 = EtOAc/n-Hexane); Colourless liquid; **IR (neat)**: 3447, 3380, 3061, 3028, 1952, 1626, 1600, 1497, 1450, 1430, 1257, 1222, 1150, 1075, 1029, 972, 888, 868, 744 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.39-7.29 (m, 7H), 7.26-7.24 (m, 3H), 6.99 (2H), 6.67-6.60 (m, 2H), 6.31 (d, *J* = 16.0 Hz, 1H), 4.87 (d, *J* = 6.8 Hz, 1H), 3.57 (bs, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 143.0, 141.6 (q, *J* = 2.0 Hz), 140.8, 136.8, 132.2, 130.3, 129.3, 128.9, 128.76 128.6, 127.6, 127.2, 126.4, four signals: 124.5, 121.9, 119.4, 116.9 (q, *J* = 254.0 Hz), 122.4, 120.4, 116.8, 49.4; **HR-MS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{22}H_{19}F_3NO$: 370.1419; found: 370.1413.

### 2.1.4 (*E*)-2-(1,3-Diphenylallyl)-4,5-dimethylaniline (1j):

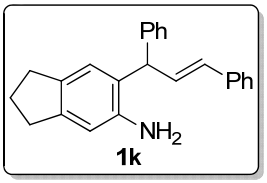


**1j**

The title compound was prepared using the general procedure A.

93% yield; $R_f$ = 0.37 (10:90 = EtOAc/Hexane); Brown liquid; **IR (neat)**: 3441, 3362, 3025, 2922, 2857, 2364, 1623, 1601, 1508, 1492, 1449, 1285, 1075, 1026, 971, 862, 744 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.43 (d, *J* = 7.6 Hz, 2H), 7.39-7.24 (m, 8H), 6.91 (s, 1H), 6.74 (dd, *J* = 16.0, 7.2 Hz, 1H), 6.56 (s, 1H), 6.35 (d, *J* = 16.0 Hz, 1H), 4.91 (d, *J* = 6.8 Hz, 1H), 3.52 (bs, 2H), 2.24 (s, 3H), 2.20 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 142.2, 142.0, 137.3, 135.7, 131.8, 131.3, 130.4, 128.8, 128.7, 128.6, 127.3, 126.8, 126.7, 126.4, 125.8, 118.3, 49.4, 19.5, 19.0; **HR-MS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{23}H_{24}N$: 314.1909; found; 314.1904.

### 2.1.5 (*E*)-6-(1,3-Diphenylallyl)-2,3-dihydro-1H-inden-5-amine (1k):

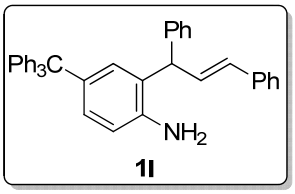


**1k**

The title compound was prepared using the general procedure A.

85% yield; $R_f$ = 0.46 (10:90 = EtOAc/n-Hexane); Brown solid; **mp**. 105-110 °C; **IR (neat)**: 3435, 3362, 3057, 3024, 2934, 2842, 1624, 1600, 1492, 1449, 1332, 1265, 1240, 1195, 1121, 1074, 1030, 972, 915, 889, 742 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.42 (d, *J* = 7.6 Hz, 2H), 7.39-7.29 (m, 7H), 7.27-7.23 (m, 1H), 7.01 (s, 1H), 6.73 (dd, *J* = 16.0, 7.2 Hz, 1H), 6.64 (s, 1H), 6.34 (d, *J* = 15.6 Hz, 1H), 4.93 (d, *J* = 6.8 Hz, 1H), 3.44 (bs, 2H), 2.90-2.83 (m, 4H), 2.12-2.04 (m, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 143.7, 142.5, 142.3, 137.3, 134.8, 132.0, 131.3, 128.9, 128.7, 128.5, 127.3, 126.7, 126.6, 126.4, 124.8, 112.8, 49.7, 32.9, 32.3, 25.7; **HR-MS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{24}H_{24}N$: 326.1909; found: 326.1906.

### 2.1.6 (*E*)-2-(1,3-Diphenylallyl)-4-tritylaniline (1l):

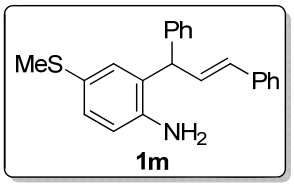


**1l**

The title compound was prepared using the general procedure A.

74% yield; $R_f$ = 0.40 (20:80 = EtOAc/Hexane); White sponge solid; **mp.** 55-60 °C; **IR (neat)**: 3446, 3373, 3057, 3026, 2926, 1955, 1622, 1548, 1492, 1447, 1415, 1265, 1186, 1157, 1083, 1033, 1001, 971, 892, 821, 743 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.28-718 (m, 20 H), 7.16-7.12 (m, 5H), 7.00 (d, *J* = 2.4 Hz, 1H), 6.92 (dd, *J* = 8.4, 2.4 Hz, 1H), 6.60 (d, *J* = 8.0 Hz, 1H), 6.43 (dd, *J* = 16.0, 6.8 Hz, 1H), 6.16 (d, *J* = 16.0 Hz, 1H), 4.83 (d, *J* = 6.8 Hz, 1H), 3.72 (bs, 2H**); $^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 147.2, 141.7, 141.5, 137.5, 137.2, 132.5, 131.7, 131.3, 131.1, 130.3, 128.6, 128.6, 128.4, 127.3, 127.2, 126.7, 126.4, 125.7, 115.7, 64.4, 49.2; **HR-MS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{40}H_{34}N$: 528.2691; found: 528.2708.

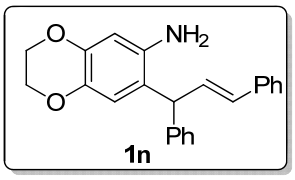
### 2.1.7 (*E*)-2-(1,3-Diphenylallyl)-4-(methylthio)aniline (1m):




The title compound was prepared using the general procedure A.

79% yield; $R_f$ = 0.38 (20:80 = EtOAc/n-Hexane); Brown liquid; **IR (neat)**: 3446, 3373, 3057, 3026, 2926, 1955, 1622, 1548, 1492, 1447, 1415, 1265, 1186, 1157, 1083, 1033, 1001, 971, 892, 821, 743 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.44-7.27 (m, 10H), 7.18 (2H), 6.74-6.68 (m, 2H), 6.35 (d, *J* = 16.0 Hz, 1H), 4.92 (d, *J* = 7.2 Hz, 1H), 3.51 (bs, 2H), 2.44 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 142.9, 141.3, 137.0, 131.9, 130.8, 130.7, 129.0, 128.9, 128.86, 128.82, 128.6, 127.5, 127.0, 126.45, 126.40, 117.3, 49.7, 18.6; **HR-MS (ESI, *m/z*):** $[M + H]^+$ calculated for $C_{22}H_{22}NS$: 332.1473; found: 332.1482.

### 2.1.8 (*E*)-7-(1,3-Diphenylallyl)-2,3-dihydrobenzo[b][1,4]dioxin-6-amine (1n):

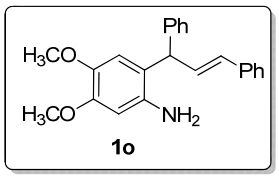



The title compound was prepared using the general procedure A.

80% yield; $R_f$ = 0.30 (20:80 = EtOAc/n-Hexane); Light yellow semi solid; **IR (neat):** 3566, 3386, 3059, 3027, 2855, 2366, 1950, 1600, 1493, 1450, 1300, 1190, 1094, 1068, 1027, 966,

915, 871, 844, 796 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.38-7.25 (m, 9H), 7.22 (d, *J* = 7.2 Hz, 1H), 6.66-6.60 (m, 2H), 6.28 (2H), 4.83 (d, *J* = 6.8 Hz, 1H), 4.19 (4H), 3.30 (bs, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 142.6, 141.9, 138.3, 137.1, 136.4, 131.5, 131.4, 128.8, 128.7, 128.5, 127.4, 126.8, 126.4, 122.3, 117.8, 105.3, 64.7, 64.3, 48.9; **HR-MS (ESI, *m/z*):** $[M + H]^+$ calculated for $C_{23}H_{22}NO_2$: 344.1651; found: 344.1666.

### 2.1.9 (*E*)-2-(1,3-Diphenylallyl)-4,5-dimethoxyaniline (1o):

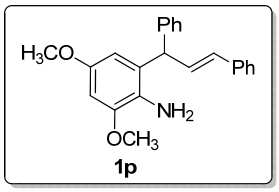


**1o**

The title compound was prepared using the general procedure A.

97% yield; $R_f$ = 0.43 (20:80 = EtOAc/n-Hexane); Brown solid; mp. 45-50°C; **IR (neat)**: 3433, 3361, 3057, 3025, 2999, 2933, 2831, 1617, 1514, 1450, 1409, 1287, 1209, 1181, 1124, 1075, 1029, 973, 862, 757 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.43-7.24 (m, 10H), 6.74-6.68 (2H), 6.36-6.32 (2H), 4.92 (d, *J* = 6.8 Hz, 1H), 3.87 (s, 3H), 3.78 (s, 3H), 3.25 (bs, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 148.7, 142.1, 142.1, 138.2, 137.2, 131.5, 131.4, 128.8, 128.7, 128.6, 127.4, 126.8, 126.4, 119.7, 114.3, 101.8, 56.9, 55.9, 49.1; **HRMS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{23}H_{24}NO_2$: 346.1807; found; 346.1820.

### 2.1.10 (*E*)-2-(1,3-Diphenylallyl)-4,6-dimethoxyaniline (1p):



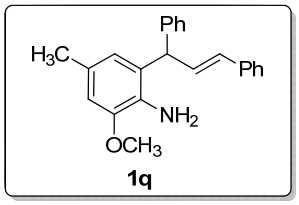
**1p**

The title compound was prepared using the general procedure A.

82% yield; $R_f$ = 0.34 (10:90 = EtOAc/n-Hexane); Black semi solid; **IR (neat)**: 3434, 3358, 3057, 3025, 2999, 2938, 2834, 1597, 1490, 1465, 1359, 1319, 1288, 1243, 1200, 1154, 1058, 973, 927, 834, 747, 701 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.38 (2H), 7.33 (2H), 7.30-7.27 (m, 4H), 7.25-7.20 (m, 2H), 6.68 (dd, *J* = 16.0, 7.2 Hz, 1H), 6.45 (d, *J* = 2.4 Hz, 1H), 6.33 (2H), 4.98 (d, *J* = 6.8 Hz, 1H), 3.84 (s, 3H), 3.72 (s, 3H), 3.40 (bs, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 152.6, 148.7, 141.8, 137.2, 131.6, 131.2, 129.4, 128.8, 128.7, 128.5, 127.6,

127.4, 126.8, 126.4, 105.7, 97.2, 55.7, 49.6; **HRMS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{23}H_{24}NO_2$: 346.1807; found; 346.1817.

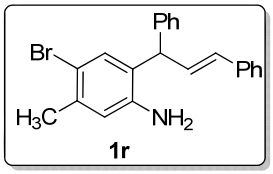
### 2.1.11 (*E*)-2-(1,3-Diphenylallyl)-6-methoxy-4-methylaniline (1q):




The title compound was prepared using the general procedure A.

76% yield; $R_f$ = 0.30 (05:95 = EtOAc/n-Hexane); Light yellow liquid; **IR (neat)**: 3450, 3370, 3026, 2362, 1620, 1491, 1447, 1265, 1243, 1031, 972, 866, 744 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.42 (d, *J* = 7.2 Hz, 2H), 7.38-7.25 (m, 8H), 6.73 (dd, *J* = 16.0, 7.2 Hz, 1H), 6.62 (d, *J* = 12.0 Hz, 2H), 6.34 (d, *J* = 16.0 Hz, 1H), 4.95 (d, *J* = 7.2 Hz, 1H), 3.87 (s, 3H), 3.64 (bs, 2H), 2.31 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 147.7, 141.9, 137.3, 131.6, 131.4, 131.3, 128.8, 128.6, 128.5, 128.4, 127.34, 127.31, 126.7, 126.4, 121.5, 109.8, 55.6, 49.6, 21.2; **HRMS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{23}H_{24}NO$: 330.1858; found; 330.1878.

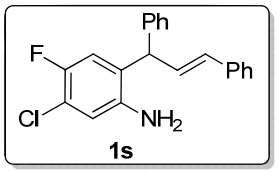
### 2.1.12 (*E*)-4-Bromo-2-(1,3-diphenylallyl)-5-methylaniline (1r):




The title compound was prepared using the general procedure A.

88% yield; $R_f$ = 0.30 (05:95 = EtOAc/n-Hexane); Light yellow liquid; **IR (neat)**: 3450, 3370, 3026, 2362, 1620, 1491, 1447, 1265, 1243, 1031, 972, 866, 744 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.44-7.26 (m, 10H), 7.24 (s, 1H), 6.66 (dd, *J* = 15.6, 6.8 Hz, 1H), 6.61 (s, 1H), 6.33 (dd, *J* = 16.0, 0.8 Hz, 1H), 4.85 (d, *J* = 6.8 Hz, 1H), 3.51 (bs, 2H), 2.35 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 143.5, 141.2, 136.9, 136.8, 132.5, 131.9, 130.6, 128.9, 128.7, 128.6, 127.7, 127.5, 127.0, 126.4, 118.7, 113.5, 49.2, 22.5; **HRMS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{22}H_{21}BrN$: 378.0857; found; 378.0846.

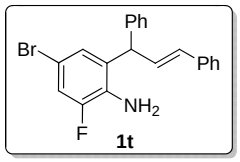
### 2.1.13 (*E*)-5-Chloro-2-(1,3-diphenylallyl)-4-fluoroaniline (1s):




The title compound was prepared using the general procedure A.

83% yield; $R_f$ = 0.30 (05:95 = EtOAc/n-Hexane); Colorless liquid; **IR (neat)**: 3350, 3320, 3026, 2362, 1688, 1488, 1454, 1265, 1225, 1038, 998, 887, 744 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.43-7.32 (m, 7H), 7.30-7.25 (m, 3H), 6.91 (d, *J* = 10.0 Hz, 1H), 6.74 (d, *J* = 6.4 Hz, 1H), 6.62 (dd, *J* = 16.0, 6.8 Hz, 1H), 6.32 (d, *J* = 16.0 Hz, 1H), 4.86 (d, *J* = 6.8 Hz, 1H), 3.51 (s, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 152.8 and 150.4 (d, *J* = 238.8 Hz), 141.0 and 140.98 (d, *J* = 2.5 Hz), 140.7, 136.7, 132.3, 130.0, 129.0, 128.7, 128.6, 128.5 and 128.4 (d, *J* = 5.1 Hz), 127.7, 127.3, 126.4, 119.0 and 118.9 (d, *J* = 18.7 Hz), 117.38, 117.2 and 116.9 (d, *J* = 22.6 Hz), 49.09; **HRMS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{21}H_{18}ClFN$: 338.1112; found; 338.1104.

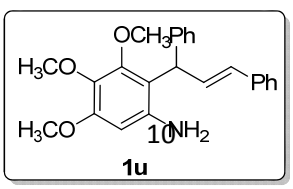
### 2.1.14 (*E*)-4-Bromo-2-(1,3-diphenylallyl)-6-fluoroaniline (1t):




The title compound was prepared using the general procedure A.

61% yield; $R_f$ = 0.32 (05:95 = EtOAc/n-Hexane); Light orange liquid; **IR (neat)**: 3350, 3320, 3001, 2368, 1650, 1488, 1447, 1265, 1143, 1031, 972, 865, 784 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.44 -7.27 (m, 10H), 7.18 (dd, *J* = 10.0, 2.0 Hz, 1H), 7.07 (1H), 6.64 (dd, *J* = 16.0, 6.8 Hz, 1H), 6.35 (d, *J* = 15.6 Hz, 1H), 4.91 (d, *J* = 7.2 Hz, 1H), 3.66 (s, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 153.1 and 150.7 (d, *J* = 242.7 Hz), 140.5, 136.7, 132.4, 132.1, 132.0, 131.95 and 132.92 (d, *J* = 3.1 Hz), 129.8, 129.0, 128.69 and 128.66 (d, *J* = 3.1 Hz), 127.8, 127.3, 127.29 and 127.26 (d, *J* = 3.0 Hz), 126.5, 117.1 and 116.8 (d, *J* = 22.7 Hz), 109.0 and 108.9 (d, *J* = 10.0 Hz), 49.47 and 49.45 (d, *J* = 2.9 Hz); **HRMS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{21}H_{18}BrFN$: 382.0607; found; 382.0625.

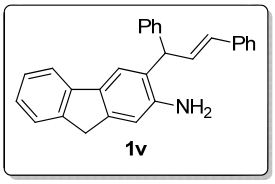
### 2.1.15 (*E*)-2-(1,3-Diphenylallyl)-3,4,5-trimethoxyaniline (1u):



The title compound was prepared using the general procedure A.

78% yield; $R_f$ = 0.35 (10:90 = EtOAc/n-Hexane); White solid; mp. 38-41°C; **IR (neat)**: 3438, 3362, 3056, 3024, 2936, 2838, 1601, 1493, 1456, 1412, 1357, 1298, 1235, 1196, 1121, 1075, 1031, 972, 817, 776 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.44 (d, *J* = 7.6 Hz, 2H), 7.36-7.29 (m, 6H), 7.24-7.20 (m, 2H), 6.90 (dd, *J* = 15.6, 7.6 Hz, 1H), 6.55 (d, *J* = 16.0 Hz, 1H), 6.03 (s, 1H), 5.48 (d, *J* = 7.6 Hz, 1H), 3.85 (s, 3H), 3.82 (s, 3H), 3.81 (s, 3H), 3.23 (bs, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 152.74, 152.71, 142.7, 141.1, 137.4, 135.0, 132.0, 129.5, 128.6, 128.5, 127.6, 127.3, 126.4, 113.6, 96.9, 61.2, 61.0, 55.7, 43.9; **HRMS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{24}H_{26}NO_3$: 376.1913; found; 376.1925.

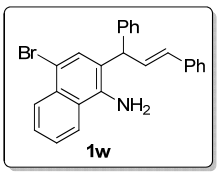
### 2.1.16 (*E*)-3-(1,3-Diphenylallyl)-9H-fluoren-2-amine (1v):




The title compound was prepared using the general procedure A.

81% yield; $R_f$ = 0.28 (10:90 = EtOAc/n-Hexane); Cream solid; **mp.** 145-150 °C; **IR (neat)**: 3463, 3379, 3020, 2887, 1623, 1607, 1487, 1429, 1398, 1289, 1238, 1155, 971, 848, 765 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.66 (d, *J* = 7.5 Hz, 1H), 7.59 (s, 1H), 7.53 (d, *J* = 7.5 Hz, 1H), 7.48 (d, *J* = 7.5 Hz, 2H), 7.44-7.34 (m, 8H), 7.32-7.29 (m, 1H), 7.25 (t, *J* = 7.5 Hz, 1H), 6.94 (s, 1H), 6.84 (dd, *J* = 16.0, 7.0 Hz, 1H), 6.41 (d, *J* = 15.5 Hz, 1H), 5.05 (d, *J* = 7.0 Hz, 1H), 3.88 (s, 2H), 3.67 (bs, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 143.6, 143.4, 142.4, 142.2, 141.9, 137.2, 133.4, 131.7, 131.5, 128.9, 128.8, 128.6, 127.5, 127.2, 126.9, 126.6, 126.5, 125.2, 124.8, 120.7, 118.8, 113.3, 49.8, 36.6; **HR-MS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{28}H_{24}N$: 374.1909; found: 374.1903.

### 2.1.17 (*E*)-4-Bromo-2-(1,3-diphenylallyl)naphthalen-1-amine (1w):

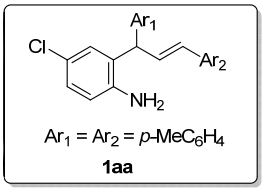



The title compound was prepared using the general procedure A.

68% yield; $R_f$ = 0.34 (10:90 = EtOAc/n-Hexane); Purple liquid; **IR (neat)**: 3475, 3383, 3059, 3025, 2925, 1949, 1614, 1578, 1510, 1492, 1449, 1422, 1394, 1310, 1264, 1157, 1126, 1074,

1029, 971, 868, 822, 791 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 8.23 (d, *J* = 8.4 Hz, 1H), 7.78 (d, *J* = 8.4 Hz, 1H), 7.59-7.55 (m, 2H), 7.49 (t, *J* = 7.6 Hz, 1H), 7.41-7.25 (m, 10H), 6.73 (dd, *J* = 15.6, 6.8 Hz, 1H), 6.37 (d, *J* = 16.0 Hz, 1H), 5.07 (d, *J* = 6.8 Hz, 1H), 4.11 (bs, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 141.4, 139.2, 136.9, 132.3, 131.4, 131.1, 130.4, 128.9, 128.8, 128.6, 127.8, 127.6, 127.1, 126.9, 126.5, 125.8, 125.1, 122.8, 120.9, 111.5, 49.7; **HR-MS (ESI, *m/z*):** $[M + H]^+$ calculated for $C_{25}H_{21}BrN$: 414.0857; found; 414.0827.

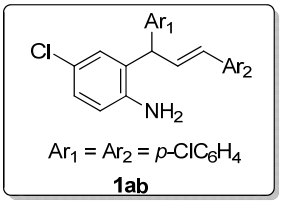
### 2.1.18 (*E*)-4-Chloro-2-(1,3-di-*p*-tolylallyl)aniline (1aa):




The title compound was prepared using the general procedure A.

72% yield; $R_f$ = 0.24 (10:90 = EtOAc/n-Hexane); Dark yellow liquid (viscous); **IR (neat)**: 3447, 3372, 3023, 2922, 2861, 1733, 1622, 1511, 1488, 1412, 1282, 1184, 1144, 1112, 1043, 1020, 975, 814, 732 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.26 (d, *J* = 8.0 Hz, 2H), 7.15-7.04 (8H), 6.60 (d, *J* = 9.2 Hz, 1H), 6.54 (dd, *J* = 16.0, 6.8 Hz, 1H), 6.25 (d, *J* = 16.0 Hz, 1H), 4.78 (d, *J* = 6.8 Hz, 1H), 3.32 (bs, 2H), 2.34 (s, 3H), 2.33 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 142.7, 137.9, 137.4, 136.6, 134.1, 131.7, 130.2, 129.6, 129.2, 128.9, 128.6, 127.3, 126.3, 123.7, 117.5, 49.1, 21.2, 21.1; **HR-MS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{23}H_{23}ClN$: 348.1519; found: 348.1531.

### 2.1.19 (*E*)-2-(1,3-Bis(4-chlorophenyl)allyl)-4-chloroaniline (1ab):

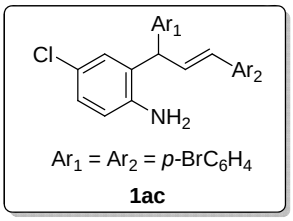



The title compound was prepared using the general procedure A.

62% yield; $R_f$ = 0.21 (10:90 = EtOAc/n-Hexane); Dark brown liquid (viscous); **IR (neat)**: 3459, 3384, 3028, 1622, 1489, 1406, 1282, 1091, 1013, 974, 818, 740 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.30 (d, *J* = 8.4 Hz, 2H), 7.27 (4H), 7.14 (d, *J* = 8.4 Hz, 2H), 7.07 (dd, *J* = 8.5, 2.5 Hz, 1H), 6.99 (d, *J*=2.4 Hz, 1H), 6.62 (d, *J* = 8.4 Hz, 1H), 6.53 (dd, *J* = 16.0, 6.8 Hz, 1H), 6.19 (d, *J*=15.6 Hz, 1H), 4.79 (d, *J* = 6.8 Hz, 1H), 3.52 (bs, 2H); **$^{13}$C NMR (100 MHz,**

**$CDCl_3$**): δ, 142.7, 139.2, 135.1, 133.4, 133.1, 131.2, 130.6, 130.1, 129.1, 128.9, 128.86, 128.80, 127.8, 127.6, 123.8, 117.8, 48.7; **HR-MS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{21}H_{17}Cl_3N$: 388.0427; found; 388.0425.

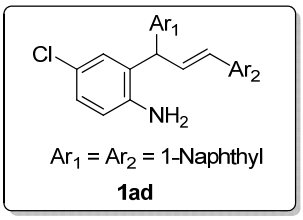
### 2.1.20 (*E*)-2-(1,3-Bis(4-bromophenyl)allyl)-4-chloroaniline (1ac):




The title compound was prepared using the general procedure A.

73% yield; $R_f$ = 0.17 (10:90 = EtOAc/n-Hexane); Dark brown liquid (viscous); **IR (neat)**: 3459, 3378, 3019, 2927, 1621, 1487, 1413, 1283, 1072, 1010, 974, 818, 735 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.46 (d, *J* = 8.4 Hz, 2H), 7.42 (d, *J* = 8.4 Hz, 2H), 7.21 (d, *J* = 8.4 Hz, 2H), 7.08 (d, *J* = 8.4 Hz, 3H), 6.98 (d, *J* = 2.4 Hz, 1H), 6.61 (d, *J* = 8.0 Hz, 1H), 6.58-6.51 (1H), 6.17 (d, *J* = 16.0 Hz, 1H), 4.76 (d, *J* = 6.4 Hz, 1H), 3.52 (bs, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 142.7, 139.7, 135.5, 132.0, 131.7, 131.3, 130.6, 130.4, 129.1, 128.8, 127.9, 123.8, 121.5, 121.2, 117.7, 116.3, 48.8; **HR-MS (APCI, *m/z*):** $[M + H]^+$ calculated for $C_{21}H_{17}Br_2ClN$: 475.9416; found: 475.9380.

### 2.1.21 (*E*)-4-Chloro-2-(1,3-di(naphthalen-1-yl)allyl)aniline (1ad):

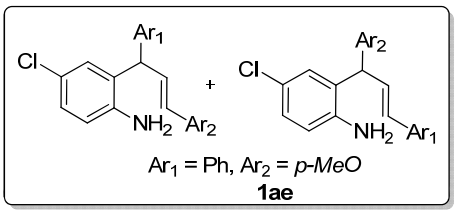



The title compound was prepared using the general procedure A.

60% yield; $R_f$ = 0.22 (10:90 = EtOAc/n-Hexane); Colourless solid; **mp.** 190-195 °C; **IR (neat):** 3447, 3372, 3023, 2922, 2861, 1733, 1622, 1511, 1488, 1412, 1282, 1184, 1144, 1112, 1043, 1020, 975, 814, 732 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 8.10-8.08 (1H), 7.93-7.91 (1H), 7.83 (t, *J* = 8.0 Hz, 2H), 7.79-7.76 (2H), 7.66 (d, *J* = 6.8 Hz, 1H), 7.54-7.49 (m, 2H), 7.47-7.40 (m, 5H), 7.12-6.99 (m, 3H), 6.73-6.68 (2H), 5.71 (d, *J* = 6.4 Hz, 1H), 3.59 (bs, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 142.7, 137.0, 134.8, 134.2, 133.6, 133.3, 131.8, 131.1, 130.2, 129.9, 129.1, 129.0, 128.5, 128.1, 128.0, 127.6, 126.6, 126.2, 126.1, 125.88, 125.83,

125.65, 125.63, 123.97, 123.91, 123.74, 123.70, 117.6, 45.1; **HR-MS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{29}H_{23}ClN$: 420.1519; found: 420.1526.

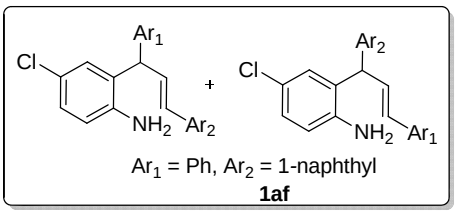
### 2.1.22 (*E*)-4-Chloro-2-(3-(4-methoxyphenyl)-1-phenylallyl)aniline (1ae):




The title compound was prepared using the general procedure A.

61% yield (Mixture of regiomers 59:41); $R_f$ = 0.25 (10:90 = EtOAc/Hexane); Colourless liquid; **IR (neat):** 3436, 3362, 3025, 1623, 1503, 1449, 1281, 972 $cm^{-1}$**; $^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.38 (t, *J* = 7.9 Hz, 2H), 7.34-7.28 (m, 3H), 7.25 (d, *J* = 7.2 Hz, 1H), 7.16 (d, *J* = 8.6 Hz, 1H), 7.07 (2H), 6.88 (dd, *J* = 13.6, 8.7 Hz, 2H), 6.67-6.44 (m, 2H), 6.27 (t, *J* = 14.7 Hz, 1H), 4.85-4.75 (two d, *J*= 7.0, 6.9 Hz, two regiomers, 1H), 3.55 (bs, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 159.3, 158.6, 142.9, 141.2, 136.9, 132.8, 131.8, 131.5, 130.8, 130.0, 130.0, 129.86, 129.80, 129.7, 128.9, 128.8, 128.6, 128.2, 127.66, 127.61, 127.5, 127.4, 127.1, 126.4, 123.5, 117.6, 117.5, 116.3, 114.3, 114.2, 114.1, 114.0, 55.4, 55.2, 49.5, 48.7; **HR-MS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{22}H_{21}ClNO$: 350.1312; found; 350.1332.

### 2.1.23 (*E*)-4-Chloro-2-(3-(naphthalen-1-yl)-1-phenylallyl)aniline (1af):

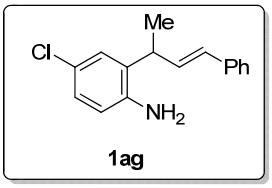



The title compound was prepared using the general procedure A.

60% yield; (Mixture of regiomers 67:33) $R_f$ = 0.20 (10:90 = EtOAc/Hexane); Colourless liquid; **IR (neat):** 3459, 3383, 3028, 2945, 1732, 1622, 1957, 1516, 1488, 1344, 1246, 1110, 1046, 976, 850, 744 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 8.01-7.79 (m, 3H), 7.64 (d, *J* = 7.1 Hz, 1H), 7.50-7.30 (m, 8H), 7.15-7.02 (m, 3H), 6.74-6.56 (m, 2H), 5.60-4.90 (two d, *J*= 6.3, 6.7 Hz, two regiomers, 1H), 3.44 (bs, 2H); $^{13}$C NMR (100 MHz, $CDCl_3$) δ, 142.9, 142.7, 140.9, 137.0, 136.8, 134.7, 134.1, 133.68, 133.61, 132.5, 131.7, 131.1, 130.09, 129.9, 129.8, 129.5, 129.1, 129.04, 129.01, 128.9, 128.8, 128.6, 128.5, 128.06, 128.00, 127.6, 127.58,

127.53, 127.2, 126.5, 126.4, 126.2, 126.1, 125.8, 125.6, 125.5, 123.9, 123.8, 123.7, 123.6, 117.6, 117.5, 116.4, 49.8, 44.6; HRMS (ESI, *m/z*): $[M + H]^+$ calculated for $C_{25}H_{21}ClN$: 370.1363; found; 370.1373.

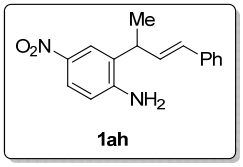
### 2.1.24 *(E)*-4-Chloro-2-(4-phenylbut-3-en-2-yl)aniline (1ag):




The title compound was prepared using the general procedure A.

43% yield; $R_f$ = 0.29 (10:90 = EtOAc/n-Hexane); Light brown solid; **mp**. 62-64 °C; **IR (neat)**: 3450, 3375, 3023, 2955, 2861, 1753, 1611, 1511, 1488, 1455, 1282, 1184, 1150, 1112, 1043, 1020, 975, 814, 738 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.34-7.32 (2H), 7.29-7.25 (2H), 7.22-7.18 (1H), 7.13 (d, *J* = 2.4 Hz, 1H), 7.02 (dd, *J* = 8.4, 2.4 Hz, 1H), 6.66 (d, *J* = 8.4 Hz, 1H), 6.42 (d, *J* = 16.0 Hz, 1H), 6.26 (dd, *J* = 16.0, 6.8 Hz, 1H), 4.33 (bs, 2H), 3.66-3.59 (m, 1H), 1.47 (d, *J* = 6.8 Hz, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 142.8, 136.9, 133.0, 130.8, 129.6, 128.6, 127.4, 127.05, 127.02, 126.2, 123.7, 117.3, 37.4, 19.2; **HR-MS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{16}H_{17}ClN$: 258.1050; found: 258.1028.

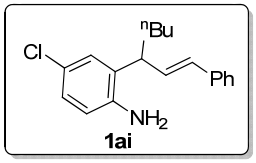
### 2.1.25 (*E*)-4-Nitro-2-(4-phenylbut-3-en-2-yl)aniline (1ah):




The title compound was prepared using the general procedure A.

62% yield; $R_f$ = 0.11 (10:90 = EtOAc/n-Hexane); Yellow liquid (viscous); **IR (neat)**: 3458, 3382, 3028, 2945, 1732, 1628, 1957, 1516, 1488, 1344, 1230, 1109, 1046, 878, 850, 750 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 8.11 (d, *J* = 2.4 Hz, 1H), 7.99 (dd, *J* = 8.8, 2.4 Hz, 1H), 7.34-7.27 (m, 4H), 7.24-7.20 (m, 1H), 6.63 (d, *J* = 8.8 Hz, 1H), 6.45 (d, *J* = 16.0 Hz, 1H), 6.25 (dd, *J* = 16.0, 6.8 Hz, 1H), 4.97-3.92 (bs, 2H), 3.62-3.56 (m, 1H), 1.54 (d, *J* = 7.0 Hz, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 150.8, 139.4, 136.5, 132.0, 130.4, 128.6, 127.7, 127.6, 126.2, 124.2, 123.9, 114.6, 37.5, 19.2; **HR-MS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{16}H_{17}N_2O_2$: 269.1290; found: 269.1290.

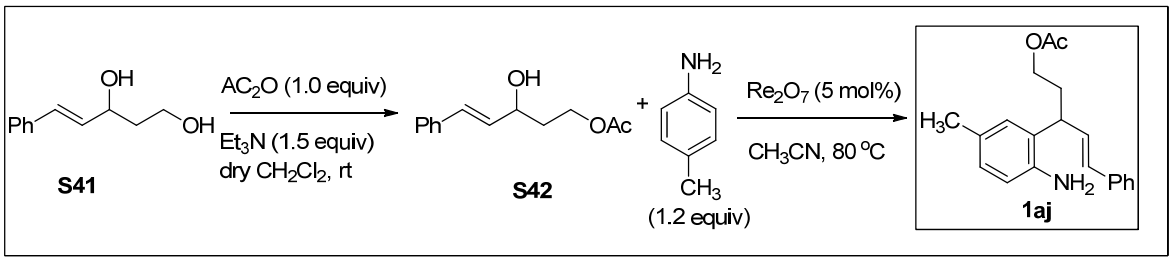
### 2.1.26 (*E*)-4-Chloro-2-(1-phenylhept-1-en-3-yl)aniline (1ai):




The title compound was prepared using the general procedure A.

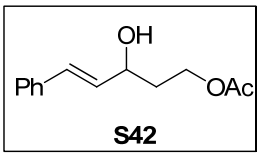
50% yield; $R_f$ = 0.30 (10:90 = EtOAc/n-Hexane); Colourless liquid**; IR (neat):** 3444, 3380, 3018, 2845, 1733, 1618, 1516, 1468, 1384, 1239, 1100, 1046, 878, 841, 750 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.37-7.26 (4H), 7.23 (d, *J* = 7.2 Hz, 1H), 7.14 (d, *J* = 2.0 Hz, 1H), 7.02 (dd, *J* = 8.4, 2.4 Hz, 1H), 6.61 (d, *J* = 8.4 Hz, 1H), 6.42 (d, *J* = 16.0 Hz, 1H), 6.19 (dd, *J* = 15.9, 7.6 Hz, 1H), 3.62 (s, 2H), 3.38 (q, *J* = 7.3 Hz, 1H), 1.91-1.79 (m, 2H), 1.40 -1.35 (m, 4H), 0.92 (t, *J* = 6.8 Hz, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 143.0, 137.0, 132.2, 130.3, 130.2, 128.6, 127.4, 127.3, 126.8, 126.2, 123.8, 117.5, 43.4, 33.3, 29.8, 22.7, 14.1; **HR-MS (ESI, *m/z*):** $[M + H]^+$ calculated for $C_{19}H_{23}ClN$: 300.1519; found: 300.1539.

## 2.2 Preparation of 1aj:




General procedure B: **S41**[2] (4.0 mmol, 1 equiv) and dry $CH_2Cl_2$ (20 mL) was taken in a round-bottom flask fitted with a rubber septum. The flask was purged with argon followed by addition of acetic anhydride (4.0 mmol, 1.0 equiv). The reaction mixture was stirred at room temperature. Upon completionof starting material (generally 4-5 hours), the reaction mixture was washed with a saturated solution of sodium carbonate, the organic layers dried over anhydrous $MgSO_4$. The solvent was removed under reduced pressure and the crude residue was purified by flash column chromatography (EtOAc/n-Hexane) on silica gel to provide S42 (65%) as a colourless solid.

### 2.2.1 (*E*)-3-Hydroxy-5-phenylpent-4-en-1-yl acetate (S42):

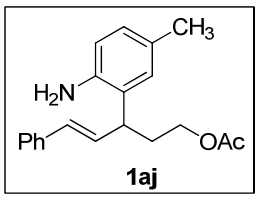



**S42**

The title compound was prepared using the general procedure B.

$R_f$ = 0.30 (30:70 = EtOAc/n-Hexane); **FT-IR (neat):** 3371, 2921, 2818, 2388, 2352, 1752, 1626, 1465, 1248, 1121, 1090, 1097, 972, 814 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.36 (d, *J* = 7.2 Hz, 2H), 7.30 (t, *J* = 7.4 Hz, 2H), 7.23 (d, *J* = 7.6 Hz, 1H), 6.59 (d, *J* = 15.9 Hz, 1H), 6.20 (dd, *J* = 15.9, 6.5 Hz, 1H), 4.38 (1H), 4.30-4.27 (m, 1H), 4.22-4.13 (m, 1H), 2.27 (s, 1H), 2.04 (s, 3H), 1.97-1.86 (m, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 171.5, 136.6, 131.7, 130.4, 128.6, 127.7, 126.5, 69.6, 61.4, 36.2, 20.9; **LR-MS (ESI, *m/z*):** $[M+H]^+$ calculated for $C_{13}H_{17}O_3$: 221.11; found: 221.10.

### 2.2.2 (*E*)-3-(2-Amino-5-methylphenyl)-5-phenylpent-4-en-1-yl acetate (1aj):

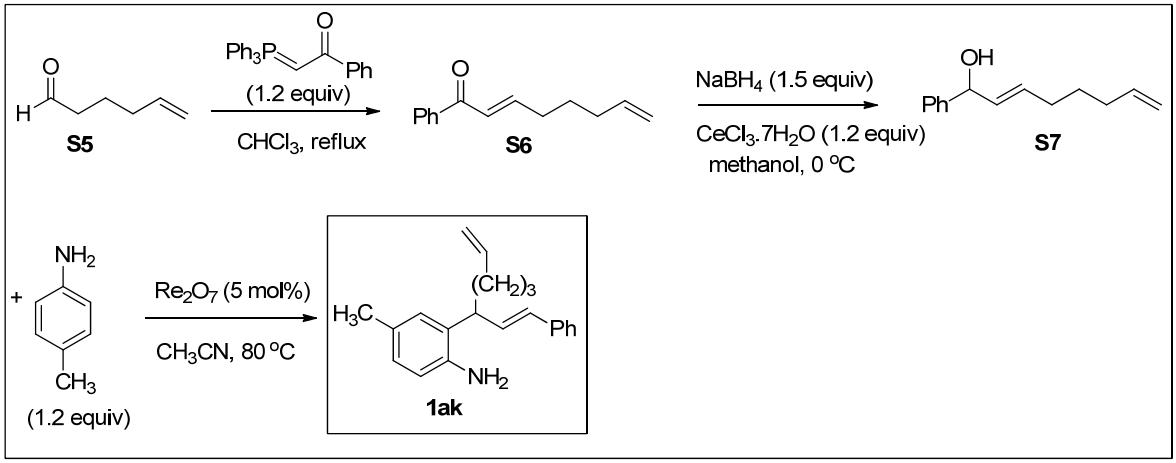



**1aj**

The title compound was prepared using the general procedure A.

62% yield; $R_f$ = 0.33 (20:80 = EtOAc/n-Hexane); Yellow liquid (viscous); **IR (neat)**: 3458, 3382, 3028, 2945, 1732, 1628, 1957, 1516, 1488, 1344, 1230, 1109, 1046, 878, 850, 750 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.34 (d, *J* = 7.2 Hz, 2H), 7.28 (t, *J* = 7.2 Hz, 2H), 7.21 (1H), 6.94 (s, 1H), 6.88 (dd, *J* = 7.6, 1.2 Hz, 1H), 6.60 (d, *J* = 7.6 Hz, 1H), 6.46 (1H), 6.24 (dd, *J* = 15.6, 7.2 Hz, 1H), 4.20-4.09 (m, 2H), 3.80-2.90 ( 3.61 (q, *J* = 7.2 Hz, 1H), 3.45 (bs, 2H)), 2.26 (s, 3H), 2.23-2.15 (m, 2H), 2.04 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 171.0, 141.9, 136.9, 131.8, 130.4, 128.5, 128.4, 128.0, 127.9, 127.4, 127.2, 126.2, 116.8, 62.8, 40.0, 32.4, 21.0, 20.7; **HR-MS (ESI, *m/z*)**: $[M]^+$ calculated for $C_{20}H_{23}NO_2$: 309.1729; found: 309.1732.

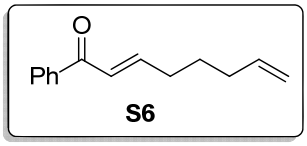
## 2.3 Preparation of 1ak:




**General procedure C:** Following a modified procedure,[3] a 25 mL round-bottom flask was charged with hex-5-enal (**S5**) (0.490 g, 5.0 mmol), (benzoylmethylene)triphenylphosphorane (2.28 g, 6.0 mmol), and 20 mL $CH_2Cl_2$ or $CHCl_3$ and allowed to reflux overnight. The reaction mixture was cooled to ambient temperature and concentrated. The resulting yellow oil was stirred vigorously with n-hexane until a white precipitate formed. The solids were washed with hexanes (10 ml) and the combined organic layer was washed with brine, dried over anhydrous $Na_2SO_4$. The solvent was removed under reduced pressure and the crude residue was purified by flash column chromatography (EtOAc/n-Hexane) on silica gel to provide **S6** (0.700 g, 70% yield) as a colourless oil.

**General procedure D:** Following a reported procedure,[4] $CeCl_3.7H_2O$ (0.671 mg, 1.8 mmol) was taken in MeOH (10 mL) in a 25 mL round-bottom flask. **S6** (1.5 mmol) was added under stirring at 0 °C. Sodium borohydride (86 mg, 2.25 mmol) was added portion wise, and then the reaction mixture was stirred for another 15 min at rt. The reaction mixture was treated with a decimolar solution of HCl until pH 7 and then extracted three times with $Et_2O$. Combined organic layers were washed with brine followed by drying over anhydrous $MgSO_4$. The solvent was removed under reduced pressure and the crude residue was purified by flash column chromatography (EtOAc/n-Hexane) on silica gel to provide **S7** (0.303g, 82 %) as a colourless liquid.

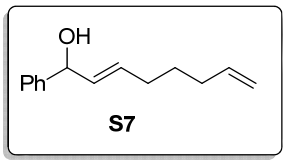
### 2.3.1 (*E*)-1-Phenylocta-2,7-dien-1-one (S6):




The title compound was prepared using the general procedure C (step 1).

$R_f$ = 0.30 (05:95 = EtOAc/n-Hexane); **FT-IR (neat):** 3100, 2951, 2802, 2352,1671, 1633, 1555, 1452, 1354, 1262, 1048, 825 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.90 (2H), 7.53 (1H), 7.45 (2H), 7.05 (dt, *J* = 15.2, 7.2 Hz, 1H), 6.87 (dt, *J* = 15.6, 1.2 Hz, 1H), 5.83-5.73 (m, 1H), 5.05-4.95 (m, 2H), 2.32 (td, *J* = 8.4, 1.2 Hz, 2H), 2.11 (2H), 1.66-1.59 (m, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 190.9, 149.6, 138.0, 137.9, 132.6, 128.5, 126.1, 115.2, 33.2, 32.1, 27.3; **LR-MS (ESI, *m/z*):** $[M+H]^+$ calculated for $C_{14}H_{17}O$: 201.12; found: 201.10.

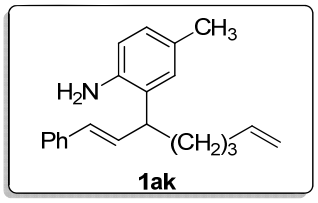
### 2.3.2 (*E*)-1-phenylocta-2,7-dien-1-ol (S7):




The title compound was prepared using the general procedure D.

$R_f$ = 0.20 (05:95 = EtOAc/n-Hexane); **FT-IR (neat):** 3341, 2981, 2918, 2361, 2350, 2100, 1520, 1247, 1191, 1090, 1057, 972, 814 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.40-7.33 (m, 4H), 7.30-7.25 (m, 1H), 5.90-5.71 (m, 2H), 5.70-5.59 (m, 1H), 5.14 (d, *J* = 6.4 Hz, 1H), 5.04-4.93 (m, 2H), 2.27 (s, 1H), 2.12-2.02 (m, 4H), 1.55-1.44 (m, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 143.4, 138.6, 132.7, 132.2, 128.5, 127.5, 126.2, 114.7, 75.1, 33.3, 31.6, 28.3; **LR-MS (ESI, *m/z*):** $[M+Na]^+$ calculated for $C_{14}H_{18}ONa$: 225.12; found: 225.2.

### 2.3.3 (*E*)-4-Methyl-2-(1-phenylocta-1,7-dien-3-yl)aniline (1ak):

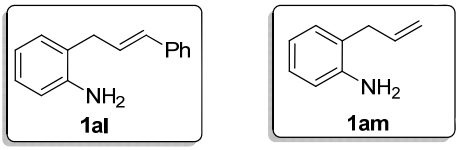



The title compound was prepared using the general procedure A.

60% yield; $R_f$ = 0.22 (05:95 = EtOAc/n-Hexane); Colourless liquid; **FT-IR (neat)**; 3444, 3368, 2904, 2957, 2362, 2319, 1615, 1465, 1298, 1288, 1110, 972, 815 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.36 (d, *J* = 6.8 Hz, 2 H), 7.30 (t, *J* = 7.2 Hz, 2H), 7.22 (d, *J* = 7.2 Hz, 1H), 6.98 (s, 1H), 6.89 (d, *J* = 7.2 Hz, 1H), 6.62 (d, *J* = 7.6 Hz, 1H), 6.44 (d, *J* = 16.0 Hz, 1H),

6.26 (dd, *J* = 15.6, 7.2 Hz, 1H), 5.89-5.75 (m, 1H), 5.04 (dd, *J* = 16.8, 1.2 Hz, 1H), 4.97 (d, *J* = 10.4 Hz, 1H), 3.70-3.40 (3.45 (q, *J* = 7.2 Hz, 1H) and broad peak 2H), 2.29 (s, 3H), 2.14 (q, *J* = 6.8 Hz, 2H), 1.95-1.87 (m, 2H), 1.59-1.43 (m, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 141.9, 138.8, 137.2, 133.1, 129.8, 128.5, 128.5, 128.3, 128.0, 127.6, 127.2, 126.2, 116.7, 114.6, 43.4, 33.8, 33.2, 27.1, 20.8; **HR-MS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{21}H_{26}N$: 292.2065; found: 292.2086.

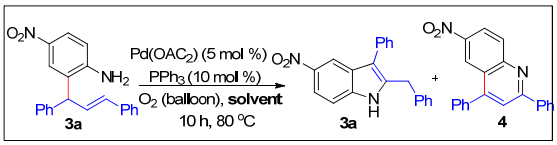
## 2.4 Preparation of (1al and 1am):[5]




1al and 1am were synthesized by a previuosly reported method and the characterization data for this compound 1al and 1am matched with the previously reported data.[5]

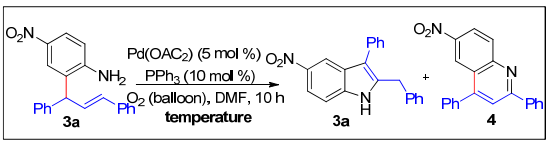
## 3. Optimization of reaction conditions

## 3.1 Solvent optimization:



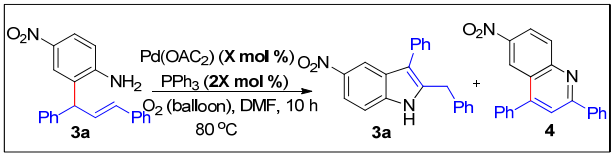

| Entry | Solvent | 3a (%)[a] |
|---|---|---|
| 1 | DCE | trace |
| 2 | 1,4-Dioxane | 25 |
| 3 | THF | <10 |
| 4 | Toluene | 80 |
| 5 | Acetonitrile | 40 |
| 6 | DMF | >95[b] |

## 3.2 Temperature optimization:




| Entry | Temperature | 3a (%)[a] |
|---|---|---|
| 1 | room temperature | trace |
| 2 | 50 °C | 60 |
| 3 | 80 °C | >95[b] |

## 3.3 Catalyst loading optimization:




| Entry | $Pd(OAc_2)$:$PPh_3$ (1:2) | 3a (%)[a] |
|---|---|---|
| 1 | 2.5 mol% | 70 |
| 2 | 5.0 mol% | >95[b] |

[a] The conversions were determined based on $^1$H-NMR data of reaction mixture using acetophenone as internal standard. [b] A trace (< 5%) of **4** was observed.

## 4. Typical procedure for the palladium-catalyzed cyclization

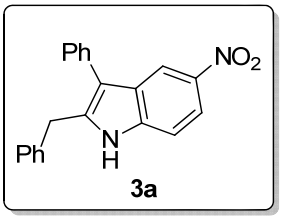
**4.1 General procedure for the Palladium catalyzed aerobic oxidative cycloisomerization:** o-Allylaniline **1** (0.20 mmol) in DMF (0.5 ml) was taken in a round bottom flask. After purging with $O_2$, $Pd(OAc)_2$ ( 5 mol %) and $PPh_3$ (10 mol %) was added. The reaction mixture containing RB flask was fitted with a reflux condenser, attached to an $O_2$ balloon, was placed into a preheated oil bath at 80 ºC. After consumption of starting material (followed by TLC analysis), the mixture was cooled to room temperature and filtered through celite. The filtrate was diluted with $H_2O$ (10 mL) followed by washing with ethylacetate (3 x 10 mL). The organic extract was dried over anhydrous $MgSO_4$. The solvents were removed under reduced pressure to provide the crude product **3** which was purified by flash chromatography on silicagel using n-hexane / ethylacetate as eluent.

**4.2 General procedure for one-pot protocol**: To a stirred solution of alcohol (0.2 mmol) and aniline (0.24 mmol) in $CH_3CN$ (2.0 ml), taken in a round-bottom flask attached to a refluxed condenser, $Re_2O_7$ (5 mol %) was added. The reaction was stirred at 80 $^o$C. After consumption of starting material (followed by TLC analysis), the solvent was removed under reduced pressure. To this reaction mixture, DMF (0.5 ml) was added. The reaction mixture was purged with $O_2$ and $Pd(OAc)_2$ ( 10 mol %)/$PPh_3$(20 mol %) was added. The reaction mixture containing RB flask was fitted with a reflux condenser attached to an $O_2$ balloon was placed into a preheated oil bath at 80 ºC. After consumption of starting material (monitored by TLC analysis), the mixture was cooled to room temperature and filtered through celite. The filtrate was diluted with $H_2O$ (10 mL) followed by washing with ethylacetate (3 x 10 mL). The organic extract was dried over anhydrous $MgSO_4$. The solvents were removed

under reduced pressure to provide the crude product **3** which was purified by flash chromatography on silica-gel using n-hexane / ethylacetate as eluent.

## 5. Characterization of indole products

### 5.1 2-Benzyl-5-nitro-3-phenyl-1H-indole (3a; Scheme 3)

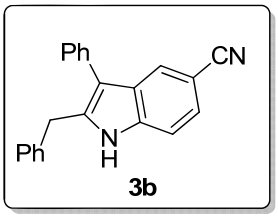


**3a**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

81% yield; $R_f$ = 0.24 (10:90 = EtOAc/n-Hexane); Yellow solid; **mp** 95-100 °C; **FT-IR (neat):** 3344, 2932, 2848, 2356, 2329, 1599, 1327, 1298, 1123, 1064, 702 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 8.58 (d, *J* = 2.0 Hz, 1H), 8.20 (s, 1H), 8.07 (dd, *J* = 8.8, 2.0 Hz, 1H), 7.52-7.48 (4H), 7.41-7.37 (m, 1H), 7.36-7.32 (2H), 7.28 (d, *J* = 8.8 Hz, 2H), 7.20 (d, *J* = 7.2 Hz, 2H), 4.25 (s, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 142.2, 138.5, 137.6, 136.8, 133.3, 129.5, 129.1, 129.0, 128.7, 127.4, 127.2, 127.1, 117.7, 116.5, 110.5, 32.7; **HR-MS (ESI, *m/z*):** $[M+H]^+$ calculated for $C_{21}H_{17}N_2O_2$: 329.1290; found: 329.1300.

### 5.2 2-Benzyl-3-phenyl-1H-indole-5-carbonitrile (3b; Scheme 3)



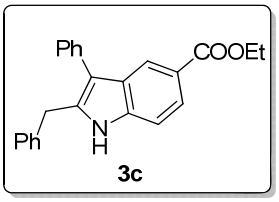
**3b**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

83% yield; $R_f$ = 0.48 (20:80 = EtOAc/n-Hexane); Brown solid; **mp** 150-152 °C **FT-IR (neat):** 3308, 3055, 3028, 2923, 2364, 2220, 1616, 1603, 1496, 1472, 1455, 1300, 1265, 1212, 1075, 1008, 890, 808, 702 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 8.16 (s, 1H), 7.98 (s, 1H), 7.49 (d, *J* = 4.4 Hz, 4H), 7.38 (dd, *J* = 8.4, 1.6 Hz, 2H), 7.35-7.31 (3H), 7.30-7.26 (1H), 7.19 (d, *J* = 7.2 Hz, 2H), 4.25 (s, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 137.8, 137.2, 135.9, 133.5, 129.5, 129.0, 128.9, 128.7, 127.8, 127.1, 126.9, 124.9, 124.8, 120.8, 116.2, 111.4,

103.1, 32.6; **HR-MS (ESI, *m/z*):** $[M+H]^+$ calculated for $C_{22}H_{17}N_2$: 309.1392; found: 309.1363.

### 5.3 Ethyl 2-benzyl-3-phenyl-1H-indole-5-carboxylate (3c; Scheme 3):

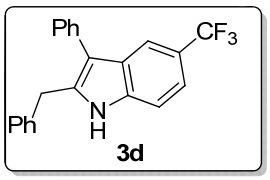


**3c**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

87% yield; $R_f$ = 0.25 (10:90 = EtOAc/n-Hexane); White solid; **mp** 158-160 °C; **FT-IR (neat):** 3308, 3056, 2925, 2361, 1683, 1616, 1495, 1455, 1367, 1277, 1177, 1094, 1025, 964, 894, 810 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 8.34 (s, 1H), 7.95 (s, 1H), 7.82 (dd, *J* = 8.5, 1.6 Hz, 1H), 7.48 (dd, *J* = 8.1, 1.2 Hz, 2H), 7.42 (t, *J* = 7.7 Hz, 2H), 7.25 (d, *J* = 7.5 Hz, 2H), 7.21-7.18 (m, 3H), 7.14 (d, *J* = 7.0 Hz, 2H), 4.30 (q, *J* = 7.1 Hz, 2H), 4.18 (s, 2H), 1.31 (t, *J* = 7.1 Hz, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 167.7, 138.2, 138.1, 134.8, 134.3, 129.6, 128.9, 128.7, 127.4, 126.9, 126.5, 123.4, 122.5, 122.1, 116.8, 110.2, 60.5, 32.6, 14.4; **HRMS (ESI, *m/z*):** $[M+H]^+$ calculated for $C_{24}H_{22}NO_2$: 356.1651; found: 356.1568.

### 5.4 2-Benzyl-3-phenyl-5-(trifluoromethyl)-1H-indole (3d; Scheme 3)

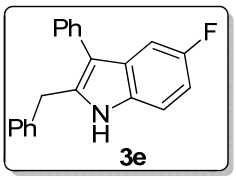


**3d**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

75% yield; $R_f$ = 0.31 (10:90 = EtOAc/n-Hexane); Light yellow liquid; **FT-IR (neat):** 3412, 3068, 3030, 2361, 1603, 1496, 1454, 1437, 1337, 1295, 1160, 1113, 1056, 964, 894, 810 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 8.05 (s, 1H), 7.98 (s, 1H), 7.59-7.50 (m, 4H), 7.44 (dd, *J* = 8.7, 1.2 Hz, 1H), 7.40 (d, *J* = 7.1 Hz, 1H), 7.36 (d, *J* = 7.6 Hz, 3H), 7.33-7.28 (1H), 7.24 (d, *J* = 7.0 Hz, 2H), 4.29 (s, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 138.2, 136.8, 135.39, 134.1, 129.5, 129.0, 128.8, 128.7, 127.3, 127.0, 126.7, 124.0, 122.5 (q, *J* = 31.6 Hz), 118.7 (q, *J* = 3.5 Hz), 116.9 (q, *J* = 4.2 Hz), 116.4, 110.8, 32.6; **$^{19}$F NMR (376 MHz, $CDCl_3$):** δ, -60.2 ($CF_3$, s); **HR-MS (ESI, *m/z*):** [M-H] calculated for $C_{22}H_{15}F_3N$: 350.1151; found: 350.1169.

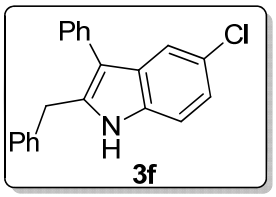
### 5.5 2-Benzyl-5-fluoro-3-phenyl-1H-indole (3e; Scheme 3)




The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

50% yield; $R_f$ = 0.35 (10:90 = EtOAc/n-Hexane); Yellow liquid; **FT-IR (neat):** 3417, 3061, 3024, 2918, 2850, 2361, 2338, 1723, 1602, 1487, 1455, 1265, 1137, 1108, 1030, 861, 800, 701 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.80 (s, 1H), 7.52 (dd, *J* = 8.0, 1.2, 2H), 7.46 (t, *J* = 7.7 Hz, 2H), 7.35-7.30 (m, 4H), 7.27 (d, *J* = 7.2 Hz, 1H), 7.20 (d, *J* = 7.0 Hz, 2H), 7.16 (dd, *J* = 8.8, 4.4 Hz, 1H), 6.90 (td, *J* = 9.0, 2.5 Hz, 1H), 4.23 (s, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 159.5 and 157.2 (d, *J* = 234.5 Hz), 138.4, 135.5, 134.6, 132.0, 129.4, 128.9, 128.8, 128.7, 128.3 and 128.2 (d, *J* = 9.7 Hz), 126.9, 126.3, 115.84 and 115.80 (d, *J* = 4.5 Hz), 111.2 and 111.1 (d, *J* = 9.6 Hz), 110.2 and 109.9 (d, *J* = 26.2 Hz), 104.3 and 104.1 (d, *J* = 24.0 Hz), 32.8; **$^{19}$F NMR (376 MHz, CDCl3):** δ, -124.2 (td, *J* = 9.5, 4.4 Hz); **HR-MS (ESI, *m/z*):** [M-H] calculated for $C_{21}H_{15}FN$: 300.1183; found: 300.1189.

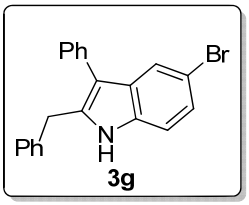
### 5.6 2-Benzyl-5-chloro-3-phenyl-1H-indole (3f; Scheme 3)




The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

72% yield; $R_f$ = 0.30 (10:90 = EtOAc/n-Hexane); Yellow liquid; **FT-IR (neat):** 3412, 3062, 2362, 1668, 1602, 1470, 1386, 1293, 1064, 959, 870, 799, 703 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.83 (s, 1H), 7.62 (d, *J* = 1.7 Hz, 1H), 7.50 (dd, *J* = 8.0, 1.2 Hz, 2H), 7.48-7.44 (2H), 7.35-7.33 (m, 1H), 7.31 (d, *J* = 7.5 Hz, 2H), 7.26 (d, *J* = 7.2 Hz, 1H), 7.19 (d, *J* = 8.0 Hz, 2H), 7.16 (s, 1H), 7.10 (dd, *J* = 8.6, 1.9 Hz, 1H), 4.22 (s, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 138.3, 135.0, 134.3, 133.8, 129.4, 128.9, 128.76, 128.74, 126.9, 126.4, 125.8, 122.1, 118.6, 115.4, 111.5, 32.7; **HR-MS (ESI, *m/z*):** [M-H] calculated for $C_{21}H_{15}ClN$: 316.0888; found: 316.0919.

### 5.7 2-Benzyl-5-bromo-3-phenyl-1H-indole (3g; Scheme 3)

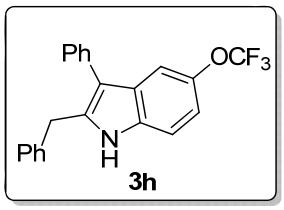


**3g**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

50% yield; $R_f$ = 0.42 (10:90 = EtOAc/n-Hexane); Yellow liquid; **FT-IR (neat):** 3413, 3059, 3028, 2924, 2848, 2364, 1719, 1602, 1495, 1465, 1293, 1074, 1054, 954, 871, 768 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.84 (s, 1H), 7.78 (d, *J* = 1.2 Hz, 1H), 7.51-7.44 (m, 4H), 7.35-7.30 (m, 3H), 7.27 (d, *J* = 7.1 Hz, 1H), 7.22 (d, *J* = 1.7 Hz, 1H), 7.19 (d, *J* = 7.2 Hz, 2H), 7.12 (1H), 4.22 (s, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 138.3, 134.9, 134.3, 134.1, 129.5, 129.5, 128.9, 128.7, 126.9, 126.5, 124.7, 121.7, 115.3, 113.3, 112.0, 32.6; **HR-MS (ESI, *m/z*):** [M-H] calculated for $C_{21}H_{15}BrN$: 360.0382; found: 360.0397.

### 5.8 2-Benzyl-3-phenyl-5-(trifluoromethoxy)-1H-indole (3h; Scheme 3)

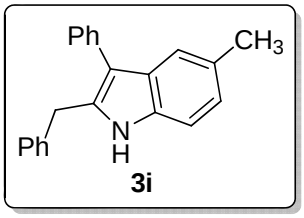


**3h**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

79% yield; $R_f$ = 0.31 (10:90 = EtOAc/n-Hexane); Brown solid; **mp** 100-102 °C; **FT-IR (neat):** 3417, 3063, 3024, 2926, 2857, 2361, 2334, 1726, 1603, 1487, 1454, 1260, 1154, 1009, 797, 768 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.88 (s, 1H), 7.51-7.46 (5H), 7.37-7.27 (m, 4H), 7.23-7.19 (3H), 7.04 (dd, *J* = 8.7, 1.1 Hz, 1H), 4.24 (s, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 143.4, (d, *J* = 1.9 Hz), 138.2, 135.6, 134.3, 133.8, 129.4, 128.9, 128.8, 128.7, 128.1, 126.9, 126.5, four signals: 124.6, 122.1, 119.5, 117.03, (q, *J* = 253.7 Hz), 116.0, 115.8, 111.8, 111.1, 32.7; **HR-MS (ESI, *m/z*):** [M-H] calculated for $C_{22}H_{15}F_3NO$: 366.1106; found: 366.1120.

### 5.9 2-Benzyl-5-methyl-3-phenyl-1H-indole (3i; Scheme 3)

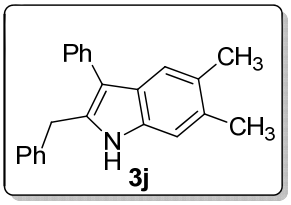



**3i**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

77% yield; $R_f$ = 0.25 (05:95 = EtOAc/n-Hexane); Yellow liquid; **FT-IR (neat):** 3412, 3068, 3030, 2361, 1603, 1470, 1386, 1293, 1064, 959, 870, 799, 703 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.72 (s, 1H), 7.54 (d, *J* = 7.0 Hz, 2H), 7.48-7.44 (3H), 7.33-7.31 (m, 1H), 7.30 (d, *J* = 7.6 Hz, 2H), 7.25 (d, *J* = 5.5 Hz, 1H), 7.19 (d, *J* = 7.2 Hz, 2H), 7.16 (d, *J* = 8.0 Hz, 1H), 6.99 (d, *J* = 8.2 Hz, 1H), 4.22 (s, 2H), 2.42 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 138.8, 135.2, 133.8, 133.6, 129.5, 129.3, 128.8, 128.7, 128.5, 127.9, 126.7, 126.0, 123.4, 118.8, 115.2, 110.2, 32.6, 21.5; **HR-MS (ESI, *m/z*):** [M-H] calculated for $C_{22}H_{18}N$: 296.1434; found: 296.1404.

### 5.10 2-Benzyl-5,6-dimethyl-3-phenyl-1H-indole (3j; Scheme 3)

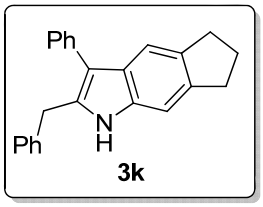



**3j**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

60% yield; $R_f$ = 0.32 (10:90 = EtOAc/n-Hexane); Light yellow solid; ; **mp** 100-102 °C **;FT-IR (neat):** 3344, 3058, 2920, 2857, 2363, 1623, 1531, 1493, 1447, 1420, 1349, 1264, 1178, 1073, 1016, 856,736 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.63 (s, 1H), 7.55 (d, *J* = 7.6 Hz, 2H), 7.46 (t, *J* = 8.0 Hz, 3H), 7.32 (d, *J* = 7.2 Hz, 1H), 7.29 (d, *J* = 7.2 Hz, 2H), 7.25-7.23 (1H), 7.18 (d, *J* = 6.8 Hz, 2H), 7.05 (s, 1H), 4.21 (s, 2H), 2.35 (s, 3H), 2.34 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 139.1, 135.5, 134.5, 132.6, 130.9, 129.5, 128.7, 128.7, 128.6, 128.5, 126.6, 126.0, 125.9, 119.3, 115.1, 111.0, 32.6, 20.4, 20.1; **HR-MS (ESI, *m/z*):** $[M-H]^+$ calculated for $C_{23}H_{20}N$: 310.1596; found: 310.1626.

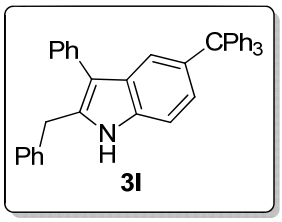
### 5.11 2-Benzyl-3-phenyl-1,5,6,7-tetrahydrocyclopenta[*f*]indole (3k; Scheme 3)




The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

75% yield; $R_f$ = 0.25 (05:95 = EtOAc/n-Hexane); Yellow liquid; **FT-IR (neat):** 3333, 3060, 2927, 2848, 2360, 2329, 1704, 1602, 1494, 1264, 1072, 866, 736 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.69 (s, 1H), 7.57 (d, *J* = 6.8 Hz, 2H), 7.54 (s, 1H), 7.48 (t, *J* = 7.6 Hz, 2H), 7.35-7.31 (m, 3H), 7.28 (s, 1H), 7.22 (d, *J* = 6.8 Hz, 2H), 7.14 (s, 1H), 4.25 (s, 2H), 3.02-2.97 (m, 4H), 2.17-2.10 (m, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 139.1, 139.0, 136.6, 135.4, 135.12, 132.8, 129.5, 128.75, 128.70, 128.5, 126.8, 126.6, 125.9, 114.0, 106.0, 32.8, 32.6, 32.5, 26.6; **HR-MS (ESI, *m/z*)**: $[M+H]^+$ calculated for $C_{24}H_{22}N$: 324.1752; found: 324.1742.

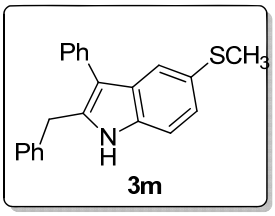
### 5.12 2-Benzyl-3-phenyl-5-trityl-1H-indole (3l; Scheme 3):




The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

78% yield; $R_f$ = 0.44 (20:80 = EtOAc/n-Hexane); Yellow Solid; **FT-IR (neat):** 3422, 3058, 2926, 2363, 1706, 1602, 1493, 1447, 1265, 1082, 1035, 809, 739 $cm^{-1}$; **$^1$H NMR (500 MHz, $CDCl_3$):** δ, 7.78 (s, 1H), 7.68 (d, *J* = 1.2 Hz, 1H), 7.46 (2H), 7.41-7.35 (m, 4H), 7.32-7.30 (m, 7H), 7.29 (s, 1H), 7.27 (7H), 7.22-7.17 (m, 4H), 7.15 (1H), 6.99 (dd, *J* = 6.8, 1.6 Hz, 1H), 4.28 (s, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 147.5, 138.8, 138.7, 134.9, 133.6, 133.5, 131.3, 129.2, 128.9, 128.8, 128.5, 127.3, 126.9, 126.8, 126.6, 125.9, 125.6, 121.0, 115.7, 109.5, 65.0, 32.8; **HR-MS (ESI, *m/z*):** [M+H] calculated for $C_{40}H_{32}N$: 526.2535; found: 526.2529.

### 5.13 2-Benzyl-5-(methylthio)-3-phenyl-1H-indole (3m; Scheme 3)

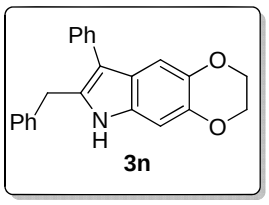



**3m**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

51% yield; $R_f$ = 0.32 (10:90 = EtOAc/n-Hexane); Brown liquid; **FT-IR (neat):** 3405, 3059, 3027, 2918, 2852, 2363, 2338, 1602, 1494, 1454, 1290, 1176, 1029, 956, 801, 769 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.83 (s, 1H), 7.69 (s, 1H), 7.53 (d, *J* = 7.2 Hz, 2H), 7.46 (t, *J* = 7.6 Hz, 2H), 7.33-7.29 (3H), 7.27 (s, 1H), 7.20-7.18 (4H), 4.22 (s, 2H), 2.47 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 138.5, 134.7, 134.4, 134.3, 129.5, 128.9, 128.7, 128.7, 128.5, 128.2, 126.8, 126.3, 124.0, 120.2, 115.3, 111.1, 32.6, 19.0; **HR-MS (ESI, *m/z*):** $[M-H]^+$ calculated for $C_{22}H_{18}NS$: 328.1160; found: 328.1141.

### 5.14 7-Benzyl-8-phenyl-3,6-dihydro-2H-[1,4]dioxino[2,3-f]indole (3n; Scheme 3)

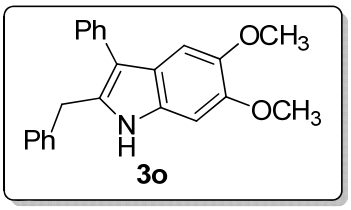



**3n**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

66% yield; $R_f$ = 0.32 (20:80 = EtOAc/n-Hexane); Light yellow solid; **mp** 58-62°C;**FT-IR (neat):** 3354, 3059, 2930, 2859, 2263, 1623, 1541, 1493, 1447, 1425, 1349, 1264, 1178, 1073, 1018, 856,739 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.55 (s, 1H), 7.52 (d, *J* = 7.2 Hz, 2H), 7.43 (t, *J* = 7.6 Hz, 2H), 7.29 (3H), 7.25 (1H), 7.18 (3H), 6.75 (s, 1H), 4.25 (4H), 4.19 (s, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 140.7, 139.2, 138.9, 135.2, 133.2, 130.8, 129.3, 128.8, 128.7, 128.6, 126.7, 126.0, 122.2, 114.9, 105.7, 98.0, 64.6, 64.3, 32.7; **HR-MS (ESI, *m/z*):** $[M-H]^+$ calculated for $C_{23}H_{18}NO_2$: 340.1338; found: 340.1338.

### 5.15 2-Benzyl-5,6-dimethoxy-3-phenyl-1H-indole (3o; Scheme 3):

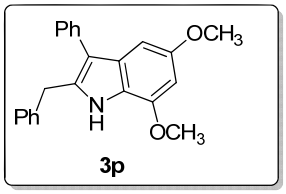



**3o**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

75% yield; $R_f$ = 0.32 (20:80 = EtOAc/Hexane); Semi solid; **IR (neat)**: 3370, 2933, 1625, 1603, 1494, 1247, 1130, 1030, 1010, 735 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.71 (s, 1H), 7.57 (dd, *J* = 8.0, 1.2 Hz, 2H), 7.50 (t, *J* = 8.0 Hz, 2H), 7.38-7.35 (1H), 7.33 (d, *J* = 7.6 Hz, 2H), 7.29-7.27 (1H), 7.25-7.21 (2H), 7.16 (s, 1H), 6.82 (s, 1H), 4.23 (s, 2H), 3.91 (s, 3H), 3.90 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 146.9, 145.3, 139.1, 135.4, 132.0, 129.7, 129.3, 128.8, 128.7, 128.7, 126.6, 126.0, 120.4, 115.4, 101.2, 94.4, 56.5, 56.2, 32.7**; GC-MS (EI, *m/z*)**: $[M]^+$ calculated for $C_{23}H_{21}NO_2$: 343.1572; found; 343.1534.

### 5.16 2-Benzyl-5,7-dimethoxy-3-phenyl-1H-indole (3p; Scheme 3):

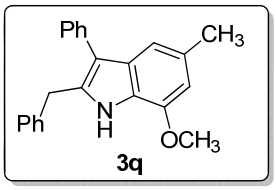



**3p**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

61% yield; $R_f$ = 0.30 (10:90 = EtOAc/Hexane); Colorless liquid; **IR (neat)**: 3375, 2943, 1655, 1605, 1498, 1257, 1123, 1030, 1010, 735 $cm^{-1}$; **$^1$H NMR (500 MHz, $CDCl_3$)**: δ, 7.98 (s, 1H), 7.57 (dd, *J* = 8.0, 1.0 Hz, 2H), 7.50 (t, *J* = 7.5 Hz, 2H), 7.38-7.32 (3H), 7.28 (1H), 7.23 (d, *J* = 7.5 Hz, 2H), 6.77 (d, *J* = 2.0 Hz, 1H), 6.38 (d, *J* = 1.5 Hz, 1H), 4.24 (s, 2H), 3.92 (s, 3H), 3.85 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 155.3, 146.0, 138.9, 135.4, 133.6, 129.4, 128.8, 128.68, 128.65, 128.2, 126.6, 126.0, 121.1, 116.1, 94.1, 92.6, 55.9, 55.3, 32.7; **HRMS (ESI, *m/z*)**: $[M]^+$ calculated for $C_{23}H_{21}NO_2$: 343.1572; found; 343.1568.

### 5.17 2-Benzyl-7-methoxy-5-methyl-3-phenyl-1H-indole (3q; Scheme 3):

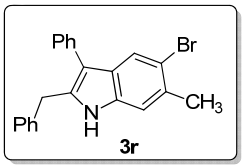


3q

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

81% yield; $R_f$ = 0.32 (05:95 = EtOAc/Hexane); Colourless liquid; **IR (neat)**: 3355, 3018, 2920, 2358, 1614, 1454, 1278, 984, 869, 703 $cm^{-1}$; **$^1$H NMR (500 MHz, $CDCl_3$)**: δ, 8.01 (s, 1H), 7.57 (dd, *J* = 8.0, 1.0 Hz, 2H), 7.49 (t, *J* = 7.5 Hz, 2H), 7.37-7.32 (m, 3H), 7.28 (1H), 7.23 (d, *J* = 7.0 Hz, 2H), 7.13 (s, 1H), 6.52 (s, 1H), 4.26 (s, 2H), 3.94 (s, 3H), 2.47 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 145.3, 139.0, 135.4, 133.2, 130.1, 129.5, 129.0, 128.7, 128.6, 128.5, 126.6, 126.0, 124.1, 115.7, 111.4, 103.8, 55.2, 32.6, 22.0**; HR-MS (APCI, *m/z*)**: $[M+H]^+$ calculated for $C_{23}H_{22}NO$: 328.1701; found; 328.1710.

### 5.18 2-Benzyl-5-bromo-6-methyl-3-phenyl-1H-indole (3r; Scheme 3):

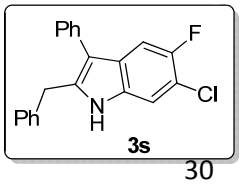


3r

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

80% yield; $R_f$ = 0.32 (05:95 = EtOAc/Hexane); Brown liquid; **IR (neat)**: 3415, 3059, 2920, 2358, 1604, 1454, 1264, 984, 875, 703 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.88 (s, 1H), 7.76 (s, 1H), 7.57-7.47(m, 4H), 7.39-7.33 (m, 3H), 7.32-7.28 (m, 1H), 7.22 (d, *J* = 7.2 Hz, 2H), 7.16 (s, 1H), 4.24 (s, 2H), 2.51 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 138.5, 134.9, 134.5, 134.0, 130.7, 129.4, 128.9, 128.74, 128.72, 127.7, 126.8, 126.4, 122.3, 116.6, 115.0, 112.0, 32.6, 23.4**; HR-MS (APCI, *m/z*)**: $[M + H]^+$ calculated for $C_{22}H_{19}BrN$: 376.0701; found; 376.0712.

### 5.19 2-Benzyl-6-chloro-5-fluoro-3-phenyl-1H-indole (3s; Scheme 3):

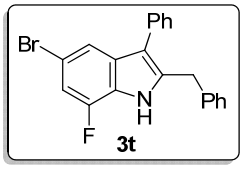


3s

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

75% yield; $R_f$ = 0.25 (02:98 = EtOAc/Hexane); Colorless solid; mp: 90-92°C; **IR (neat)**: 3395, 2958, 1689, 1625, 1488, 1257, 1107, 1009, 735 $cm^{-1}$; **$^1$H NMR (500 MHz, $CDCl_3$)**: δ, 7.84 (s, 1H), 7.55-7.49 (4H), 7.45-7.35 (m, 4H), 7.33-7.27 (m, 2H), 7.23 (d, *J* = 7.0 Hz, 2H), 4.25 (s, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 154.4 and 152.5 (d, *J* = 237.7 Hz), 138.1, 135.8, 134.1, 131.7, 129.3, 129.0, 128.8, 128.7, 127.0, 126.87 and 126.80 (d, *J* = 8.6 Hz), 126.66, 115.87 and 115.83 (d, *J* = 4.4 Hz), 115.37 and 115.20 (d, *J* = 21.2 Hz), 111.7, 105.4 and 105.2 (d, *J* = 23.7 Hz), 32.75; **HRMS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{21}H_{16}ClFN$: 338.1106; found; 338.1129.

### 5.20 2-Benzyl-5-bromo-7-fluoro-3-phenyl-1H-indole (3t; Scheme 3):

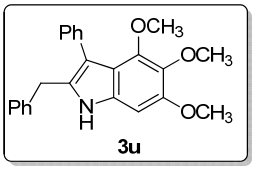


**3t**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

75% yield; $R_f$ = 0.32 (05:95 = EtOAc/Hexane); Light yellow liquid; **IR (neat)**: 3425, 2968, 1630, 1490, 1250, 1207, 1109, 739$cm^{-1}$; **$^1$H NMR (500 MHz, $CDCl_3$)**: δ, 8.11 (s, 1H), 7.60 (1H), 7.54-7.50 (m, 4H), 7.42-7.35 (m, 3H), 7.33-7.28 (1H), 7.23 (d, *J* = 7.5 Hz, 2H), 7.08 (dd, *J* = 10.5, 1.5 Hz, 1H), 4.26 (s, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 149.5 and 147.5 (d, *J* = 248.0 Hz), 144.9, 137.9, 135.6, 132.3 and 132.2 (d, *J* = 5.8 Hz), 129.51, 129.0, 128.8, 128.7, 127.0, 126.8, 122.7 and 122.58 (d, *J* = 13.0 Hz), 117.8 and117.7 (d, *J* = 3.4 Hz), 116.13 and 116.11 (d, *J* = 2.3 Hz), 111.9 and 111.8 (d, *J* = 8.1 Hz), 110.6 and 110.5 (d, *J* = 19.7 Hz), 32.6; **HRMS (ESI, *m/z*)**: $[M + H]^+$ calculated for $C_{21}H_{16}BrFN$: 380.0450; found; 380.0475.

### 5.21 2-Benzyl-4,5,6-trimethoxy-3-phenyl-1H-indole (3u; Scheme 3):

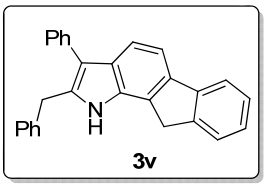


**3u**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

70% yield; $R_f$ = 0.30 (20:80 = EtOAc/Hexane); Light yellow solid; mp. 45-47°C; **IR (neat)**: 3350, 2926, 2852, 2360, 1464, 1368, 1311, 1266, 1195, 1130, 1105, 910, 702 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.74 (s, 1H), 7.54 (dd, *J* = 8.4, 1.6 Hz, 2H), 7.43 (t, *J* = 7.2 Hz, 2H), 7.36-7.30 (m, 3H), 7.29-7.26 (1H), 7.22-7.19 (2H), 6.60 (s, 1H), 4.10 (s, 2H), 3.89 (s, 3H), 3.88 (s, 3H), 3.51 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ,150.7, 146.7, 139.0, 136.9, 135.5, 132.4, 130.7, 128.7, 128.7, 127.5, 126.6, 126.1, 115.1, 114.8, 89.9, 61.5, 61.1, 56.2, 32.4**; HRMS (ESI, *m/z*)**: $[M+H]^+$ calculated for $C_{24}H_{24}NO_3$: 374.1756; found; 374.1771.

### 5.22 2-Benzyl-3-phenyl-1,10-dihydroindeno[1,2-g]indole (3v; Scheme 3)

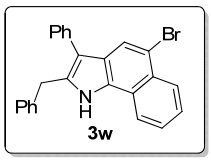


**3v**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

65% yield; $R_f$ = 0.20 (10:90 = EtOAc/n-Hexane); Black liquid; **FT-IR (neat):** 3422, 3058, 2925, 2363, 2329, 1700, 1603, 1494, 1455, 1368, 1265, 1030, 766, 727 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 8.03 (s, 1H), 7.79 (s, 1H), 7.77 (d, *J* = 7.6 Hz, 1H), 7.61 (d, *J* = 6.8 Hz, 2H), 7.53-7.47 (m, 3H), 7.38-7.34 (3H), 7.31 (d, *J* = 7.6 Hz, 2H), 7.26 (d, *J* = 7.2 Hz, 1H), 7.22 (d, *J* = 7.2 Hz, 3H), 4.25 (s, 2H), 3.94 (s, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 142.9, 142.5, 138.8, 138.2, 135.7, 135.2, 135.0, 133.5, 129.6, 128.8, 128.7, 128.7, 127.3, 126.7, 126.6, 126.2, 125.5, 124.8, 119.2, 115.9, 109.8, 107.0, 36.5, 32.7; **HR-MS (ESI, *m/z*):** $[M-H]^+$ calculated for $C_{28}H_{20}N$: 370.1596; found: 370.1590.

### 5.23 2-Benzyl-5-bromo-3-phenyl-1H-benzo[g]indole (3w; Scheme 3)

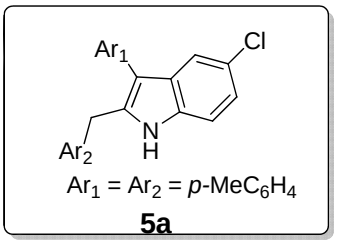


**3w**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

81% yield; $R_f$ = 0.35 (10:90 = EtOAc/n-Hexane); Green solid; **mp** 58-60°C; **FT-IR (neat):** 3423, 3059, 2925, 2854, 2363, 1706, 1603, 1495, 1453, 1374, 1289, 1158, 1072, 917, 755 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 8.55 (s, 1H), 8.32 (dd, *J* = 7.7, 1.7 Hz, 1H), 8.08 (s, 1H), 7.85 (1H), 7.55 (2H), 7.51-7.47 (m, 4H), 7.38-7.36 (1H), 7.33 (d, *J* = 7.5 Hz, 2H), 7.28 (d, *J* = 7.1 Hz, 1H), 7.23 (d, *J* = 7.1 Hz, 2H), 4.31 (s, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 138.8, 134.3, 132.2, 129.6, 129.6, 128.9, 128.8, 128.6, 128.4, 128.1, 126.9, 126.6, 126.2, 124.9, 123.9, 123.3, 122.1, 119.6, 117.3, 114.5, 32.6; **HR-MS (APCI, *m/z*):** $[M+H]^+$ calculated for $C_{25}H_{19}BrN$: 412.0701; found: 412.0687.

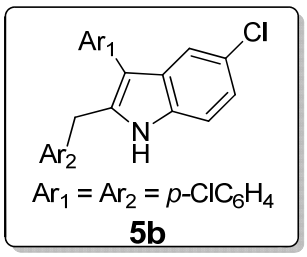
### 5.24 5-Chloro-2-(4-methylbenzyl)-3-(*p*-tolyl)-1H-indole (5a; Scheme 4)




The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

50% yield; $R_f$ = 0.28 (10:90 = EtOAc/n-Hexane); Brown liquid; **FT-IR (neat):** 3410, 3019, 2922, 2343, 1706, 1605, 1512, 1469, 1297, 1183, 1112, 1063, 959, 798 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.79 (s, 1H), 7.63 (d, *J* = 1.6 Hz, 1H), 7.41 (d, *J* = 8.0 Hz, 2H), 7.29 (d, *J* = 7.6 Hz, 2H), 7.14 (d, *J* = 8.4 Hz, 3H), 7.09 (d, *J* = 7.6 Hz, 3H), 4.17 (s, 2H), 2.43 (s, 3H), 2.35 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 136.5, 136.1, 135.3, 135.2, 133.8, 131.4, 129.6, 129.4, 129.3, 129.1, 128.7, 125.7, 121.9, 118.6, 115.1, 111.5, 32.3, 21.2, 21.0; **HR-MS (ESI, *m/z*):** $[M-H]^+$ calculated for $C_{23}H_{19}ClN$: 344.1206; found: 344.1218.

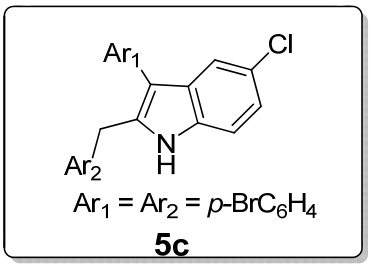
### 5.25 5-Chloro-2-(4-chlorobenzyl)-3-(4-chlorophenyl)-1H-indole (5b; Scheme 4)




The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

51% yield; $R_f$ = 0.40 (20:80 = EtOAc/n-Hexane); Yellow liquid; **FT-IR (neat):** 3435, 2926, 2848, 2362, 2329, 1590, 1491, 1467, 1291, 1173, 1092, 1015, 963, 831, 799 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.84 (s, 1H), 7.55 (d, *J* = 1.2 Hz, 1H), 7.44-7.37 (4H), 7.28 (d, *J* = 8.4 Hz, 2H), 7.19 (d, *J* = 8.8 Hz, 1H), 7.12 (dd, *J* = 8.8, 2.0 Hz, 1H), 7.09 (d, *J* = 8.0 Hz, 2H), 4.15 (s, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 136.5, 134.5, 133.8, 132.9, 132.6, 132.5, 130.6, 129.9, 129.1, 129.0, 128.6, 126.1, 122.5, 118.5, 114.5, 111.7, 32.0; **HR-MS (ESI, *m/z*):** $[M-H]^+$ calculated for $C_{21}H_{14}Cl_3N$: 384.0114; found: 384.0047.

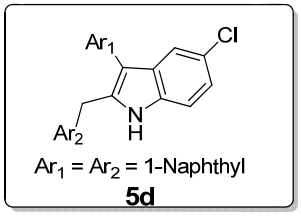
### 5.26 2-(4-Bromobenzyl)-3-(4-bromophenyl)-5-chloro-1H-indole (5c; Scheme 4)




The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

55% yield; $R_f$ = 0.35 (20:80 = EtOAc/n-Hexane); Light yellow solid; **FT-IR (neat):** 3430, 2924, 2848, 2361, 2338, 1586, 1488, 1467, 1292, 1256, 1071, 1011, 960, 828, 797 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.86 (s, 1H), 7.57 (d, *J* = 8.4 Hz, 2H), 7.55 (d, *J* = 1.6 Hz, 1H), 7.43 (d, *J* = 8.4 Hz, 2H), 7.32 (d, *J* = 8.4 Hz, 2H), 7.20-7.17 (1H), 7.12 (dd, *J* = 8.8, 2.0 Hz, 1H), 7.02 (d, *J* = 8.4 Hz, 2H), 4.12 (s, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 137.0, 134.3, 133.8, 133.1, 132.0, 131.9, 130.9, 130.3, 128.5, 126.2, 122.6, 120.9, 120.5, 118.5, 114.5, 111.7, 32.0; **HR-MS (APCI, *m/z*):** $[M+H]^+$ calculated for $C_{21}H_{15}Br_2ClN$: 473.9260; found: 473.9230.

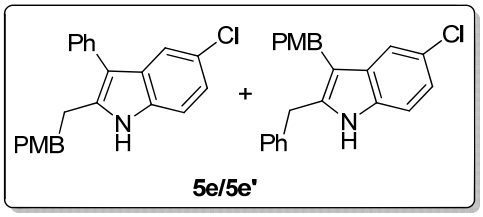
### 5.27 5-Chloro-3-(naphthalen-1-yl)-2-(naphthalen-1-ylmethyl)-1H-indole (5d; Scheme 4)




The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

60% yield; $R_f$ = 0.36 (10:90 = EtOAc/n-Hexane); Yellow liquid; **FT-IR (neat):** 3438, 3050, 2925, 2853, 2363, 1597, 1469, 1264, 1059, 779, 739 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.97 (d, *J* = 8.0 Hz, 1H), 7.96-7.93 (m, 1H), 7.82 (dd, *J* = 8.0, 4.0 Hz, 3H), 7.78 (d, *J* = 8.0 Hz, 1H), 7.73 (d, *J* = 8.4 Hz, 1H), 7.61 (s, 1H), 7.60 (d, *J* = 2.0 Hz, 1H), 7.56-7.52 (m, 1H), 7.47-7.37 (m, 4H), 7.27-7.22 (1H), 7.19 (d, *J* = 1.2 Hz, 1H), 7.12 (1H), 7.06 (dd, *J* = 8.4, 1.6 Hz, 1H), 4.49-4.35 (2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 136.5, 134.1, 134.0, 133.9, 133.6, 133.1, 131.8, 130.3, 128.8, 128.6, 128.4, 128.0, 127.9, 127.0, 126.5, 126.4, 126.0, 125.99, 125.94, 125.68, 125.65, 125.5, 123.8, 121.9, 119.0, 114.0, 112.9, 111.5, 30.8; **HR-MS (ESI, *m/z*):** $[M-H]^+$ calculated for $C_{29}H_{19}ClN$: 416.1206; found: 416.1189.

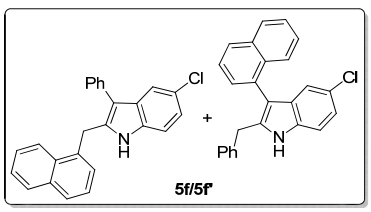
### 5.28 5-Chloro-2-(4-methoxybenzyl)-3-phenyl-1H-indole (5e; Scheme 4)




The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

66% yield (mixture of regio-isomers 1:1.4); $R_f$ = 0.30 (10:90 = EtOAc/Hexane); Light yellow liquid; **IR (neat):** 3355, 3104, 3055, 1689, 1555, 1449, 1281, 972 $cm^{-1}$**; $^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.80 (s, 2H), 7.60 (2H), 7.54-7.44 (m, 3H), 7.42 (d, *J* = 8.7 Hz, 2H), 7.32 (3H), 7.26 (d, *J* = 7.2 Hz, 1H), 7.21-7.14 (m, 4H), 7.11-7.07 (3H), 7.01 (d, *J* = 8.7 Hz, 2H), 6.86 (d, *J* = 8.6 Hz, 1H), 4.19 (s, 2H), 4.16 (s, 1H), 3.86 (s, 3H), 3.79 (s, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 158.5, 158.3, 138.4, 135.5, 134.7, 134.4, 133.8, 130.5, 130.2, 129.7, 129.4, 129.1, 128.9, 128.7, 127.4, 126.8, 126.6, 126.4, 125.7, 125.6, 122.7, 122.05, 122.02, 119.3, 118.65, 118.63, 115.0, 114.3, 114.2, 112.3, 111.55, 111.52, 55.36, 55.33, 32.6, 31.8; **HR-MS (ESI, *m/z*)**: $[M - H]^+$ calculated for $C_{22}H_{17}ClNO$: 346.0999; found; 346.1005.

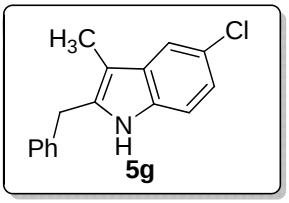
### 5.29 5-Chloro-2-(naphthalen-1-ylmethyl)-3-phenyl-1H-indole (5f; Scheme 4):



The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

61% yield (mixture of regio-isomers 1:2.5); $R_f$ = 0.23 (10:90 = EtOAc/Hexane); Brown solid; mp. 145-147 $^oC$; **IR (neat):** 3332, 3102, 3025, 1623, 1503, 1449, 1281, 972 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 7.96-7.85 (m, 3H), 7.83-7.71 (m, 5H), 7.66 (s, 1H), 7.58 (4H), 7.54-7.43 (m, 7H), 7.43-7.33 (m, 5H), 7.29 (2H), 7.17 (m, 3H), 7.07 (s, 3H), 4.64 (s, 3H), 3.99 (s, 1H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 138.2, 136.6, 134.9, 134.4, 134.0, 133.9, 133.8, 133.7, 133.1, 131.8, 131.7, 130.3, 129.4, 128.9, 128.87, 128.85, 128.7, 128.3, 128.0, 127.8, 126.9, 126.8, 126.6, 126.5, 126.4, 126.0, 126.0, 125.86, 125.84, 125.64, 125.60, 123.6, 122.0, 122.0, 119.1, 118.5, 114.8, 113.5, 111.6, 111.5, 32.9, 30.6; **GC-MS (EI, *m/z*)**: $[M]^+$ calculated for $C_{25}H_{18}ClN$: 367.1128; found; 390.1077.

### 5.30 2-Benzyl-5-chloro-3-methyl-1H-indole (5g; Scheme 4)

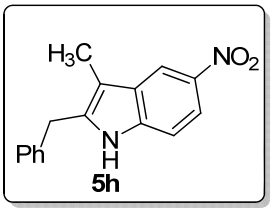


**5g**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

71% yield; $R_f$ = 0.30 (10:90 = EtOAc/n-Hexane); Yellow liquid; **FT-IR (neat):** 3422, 3058, 2926, 2363, 1706, 1602, 1493, 1447, 1265, 1082, 1035, 809, 739 $cm^{-1}$; **$^1$H NMR (400 MHz $CDCl_3$):** δ, 7.88 (d, *J* = 7.2 Hz, 1H), 7.63 (s, 1H), 7.54 (d, *J* = 7.6 Hz, 1H), 7.46 (d, *J* = 1.6 Hz, 1H), 7.30 (2H), 7.17 (d, *J* = 7.2 Hz, 1H), 7.09 (1H), 7.05 (1H), 4.07 (s, 2H), 2.27 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 140.5, 137.7, 136.9, 135.7, 128.1, 127.9, 127.8, 126.2, 116.3, 114.8, 109.5, 109.3, 31.5, 7.6; **HR-MS (APCI, *m/z*):** [M-H] calculated for $C_{16}H_{13}ClN$: 254.0737; found: 254.0740.

### 5.31 2-Benzyl-3-methyl-5-nitro-1H-indole (5h; Scheme 4)

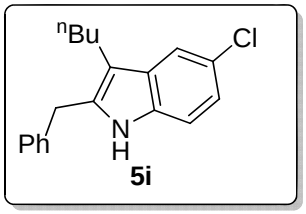


**5h**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

72% yield; $R_f$ = 0.36 (20:80 = EtOAc/n-Hexane); Yellow solid; **mp** 58-60°C; **FT-IR (neat):** 3369, 2932, 2853, 2364, 2334, 1625, 1599, 1515, 1474, 1454, 1329, 1119, 888, 738 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 8.47 (d, *J* = 2.0 Hz, 1H), 8.01 (dd, *J* = 8.9, 2.2 Hz, 2H), 7.35-7.31 (2H), 7.27 (d, *J* = 7.2 Hz, 1H), 7.19 (d, *J* = 8.6 Hz, 3H), 4.11 (s, 2H), 2.35 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 141.4, 138.5, 137.7, 136.5, 129.0, 128.8, 128.6, 127.0, 117.2, 115.6, 110.3, 110.1, 32.3, 8.5; **HR-MS (ESI, *m/z*):** $[M+H]^+$ calculated for $C_{16}H_{15}N_2O_2$: 267.1134; found: 267.1130.

### 5.32 2-Benzyl-3-butyl-5-chloro-1H-indole (5i; Scheme 4)

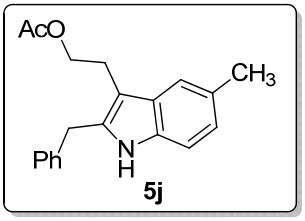


**5i**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

84% yield; $R_f$ = 0.40 (10:90 = EtOAc/n-Hexane); Reddish liquid; **FT-IR (neat):** 3333, 3059, 2930, 2857, 2360, 1694, 1471, 1264, 1107, 981, 797, 741 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.55 (s, 1H), 7.51 (d, *J* = 1.2 Hz, 1H), 7.32 (2H), 7.26 (d, *J* = 7.2 Hz, 1H), 7.19 (d, *J* = 7.2 Hz, 2H), 7.09 (1H), 7.04 (dd, *J* = 8.8, 2.0 Hz, 1H), 4.08 (s, 2H), 2.73 (t, *J* = 7.6 Hz, 2H), 1.66-1.58 (m, 2H), 1.45-1.35 (m, 2H), 0.94 (t, *J* = 7.2 Hz, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 138.5, 134.5, 133.9, 129.8, 128.8, 128.7, 126.8, 124.7, 121.3, 118.0, 113.2, 111.3, 33.1, 32.3, 23.8, 22.8, 14.0; **HR-MS (ESI, *m/z*):** $[M-H]^+$ calculated for $C_{19}H_{19}ClN$: 296.1206; found: 296.1171.

### 5.33 2-(2-Benzyl-5-methyl-1H-indol-3-yl)ethyl acetate (5j; Scheme 4)

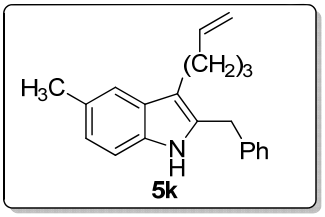


**5j**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

65% yield; $R_f$ = 0.46 (20:80 = EtOAc/n-Hexane); Light yellow liquid; **FT-IR (neat):** 3363, 2918, 2839, 2361, 2329, 1736, 1631, 1467, 1368, 1240, 1044, 735 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.57 (s, 1H), 7.35 (s, 1H), 7.31-7.28 (2H), 7.24-7.17 (3H), 7.10 (d, *J* = 8.2 Hz, 1H), 6.94 (dd, *J* = 8.2, 0.8 Hz, 1H), 4.26 (t, *J* = 7.3 Hz, 2H), 4.11 (s, 2H), 3.08 (t, *J* = 7.3 Hz, 2H), 2.44 (s, 3H), 2.00 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 171.1, 138.6, 134.4, 133.8, 128.7, 128.7, 128.6, 126.7, 123.0, 118.0, 110.2, 107.9, 64.6, 32.2, 23.8, 21.5, 21.0; **HRMS (APCI, *m/z*):** [M-H] calculated for $C_{20}H_{20}NO_2$: 306.1500; found: 306.1512.

### 5.34 2-Benzyl-5-methyl-3-(pent-4-en-1-yl)-1H-indole (5k; Scheme 4)

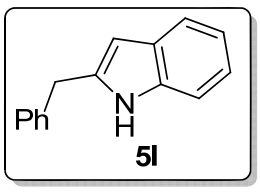


**5k**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

74% yield; $R_f$ = 0.43 (10:90 = EtOAc/n-Hexane); Dark brown liquid; **FT-IR (neat):** 3338, 2925, 2855, 2364, 2334, 1717, 1496, 1458, 1303, 1077, 911, 700 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.46 (s, 1H), 7.30 (4H), 7.17 (d, *J* = 7.2 Hz, 2H), 7.09 (d, *J* = 8.4 Hz, 1H), 6.92 (d, *J* = 8.0 Hz, 1H), 5.90-5.80 (m, 1H), 5.04-4.95 (m, 2H), 4.08 (s, 2H), 2.75 (t, *J* = 7.6 Hz, 2H), 2.44 (s, 3H), 2.16-2.10 (m, 2H), 1.78-1.70 (m, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 138.9, 133.9, 133.1, 128.8, 128.7, 128.6, 128.2, 126.6, 122.7, 118.3, 114.5, 112.5, 110.1, 33.7, 32.3, 30.1, 23.7, 21.5; **HR-MS (ESI, *m/z*):** $[M-H]^+$ calculated for $C_{21}H_{22}N$: 288.1752; found: 288.1749.

### 5.35 2-Benzyl-1H-indole (5l; Scheme 4)[6]



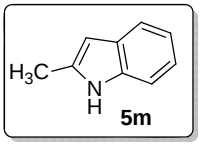
**5l**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

65% yield; $R_f$ = 0.40 (10:90 = EtOAc/n-Hexane); Brown solid; **mp** 130-132 °C; **FT-IR (neat):** 3321, 2935, 2865, 2462, 1694, 1612, 1495, 1464, 1319, 1275, 1129, 1183, 989, 744

$cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.71 (s, 1H), 7.59 (d, *J* = 7.2 Hz, 1H), 7.40-7.33 (m, 2H), 7.32-7.22 (m, 4H), 7.18-7.07 (m, 2H), 6.36 (s, 1H), 4.13 (s, 2H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 138.6, 137.8, 136.3, 128.9, 128.8, 128.7, 126.8, 121.3, 120.1, 119.8, 110.5, 101.2, 34.7; **LR-MS (ESI, *m/z*):** $[M+Na]^+$ calculated for $C_{15}H_{13}NNa$: 230.09; found: 230.01.

### 5.36 2-Methyl-1H-indole (5m; Scheme 4)[7]



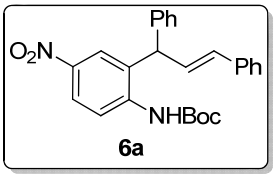
**5m**

The title compound was prepared using the general procedure for the palladium catalyzed aerobic oxidative cycloisomerization.

70% yield; $R_f$ = 0.40 (05:95 = EtOAc/n-Hexane); Light Yellow solid; **mp** 55-60 °C; **FT-IR (neat):** 3371, 2925, 2855, 2362, 1684, 1602, 1491, 1461, 1309, 1265, 1189, 1083, 969, 744 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$):** δ, 7.81 (s, 1H), 7.51 (d, *J* = 7.2 Hz, 1H), 7.27 (d, *J* = 8.0 Hz, 1H), 7.12-7.04 (m, 2H), 6.21 (s, 1H), 2.43 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$):** δ, 136.0, 135.0, 129.1, 120.9, 119.6, 110.2, 100.4, 13.7; **HR-MS (ESI, *m/z*):** $[M-H]^+$ calculated for $C_9H_8N$: 130.0657; found: 130.0658.

## 6. Preperation of 6a, 6b, 6c and 6d

### 6.1 (*E*)-*tert*-Butyl (2-(1,3-diphenylallyl)-4-nitrophenyl)carbamate (6a; Table 2):



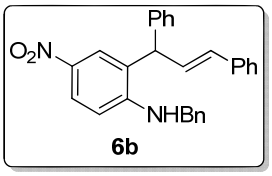
**6a**

Following a modified procedure,[8] $BOC_2O$ (3 equiv) was dissolved in 4 mL of MeCN and placed in an ice bath, and 0.1 equiv DMAP and 2 equiv of $Et_3N$ were added. After 5 min, **1a** (0.5 mmol) in 1 mL of solvent was added dropwise during 2 min, and the reaction was allowed to run for 2 h more. Then diluted with chloroform (10 mL) was added, and the solution was washed with 5% HCl (20 mL). The solvent was removed under reduced pressure and the crude residue was purified by flash column chromatography (EtOAc/n-Hexane) on silica gel to provide **6a.**

40% yield; $R_f$ = 0.32 (10:90 = EtOAc/Hexane); Light yellow solid; mp. 120-122°C; **IR (neat)**: 3408, 2979, 2929, 2358, 1739, 1585, 1530, 1505, 1418, 1394, 1339, 1227, 1151, 1050, 743 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 8.15 (2H), 8.05 (s, 1H), 7.41-7.35 (4H),

7.32 (3H), 7.26 (d, *J* = 7.2 Hz, 1H), 7.22 (d, *J* = 7.2 Hz, 2H), 6.70 (s, 1H), 6.60 (dd, *J* = 15.9, 6.8 Hz, 1H), 6.31 (d, *J* = 16.0 Hz, 1H), 4.93 (d, *J* = 6.4 Hz, 1H), 1.44 (s, 9H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 152.0, 143.0, 142.3, 139.6, 136.2, 133.4, 132.0, 129.4, 128.8, 128.7, 128.5, 128.1, 127.8, 126.5, 124.7, 123.5, 120.5, 81.7, 49.6, 28.1; **LR-MS (ESI, *m/z*)**: $[M]^+$ calculated for $C_{26}H_{26}N_2O_4$: 430.1893; found: 430.19.

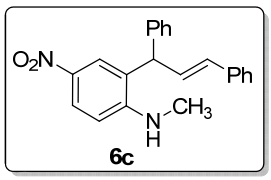
### 6.2 (*E*)-N-Benzyl-2-(1,3-diphenylallyl)-4-nitroaniline (6b; Table 2):



**6b**

77% yield; $R_f$ = 0.32 (10:90 = EtOAc/Hexane); Yellow solid; mp. 58-60°C; **IR (neat)**: 3429, 3028, 2927, 1587, 1528, 1495, 1325, 1290, 1162, 1102, 818, 745 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 8.08 (dd, *J* = 4.4, 2.0 Hz, 2H), 7.40-7.30 (m, 7H), 7.24 (6H), 7.00-6.95 (2H), 6.64 (dd, *J* = 15.9, 6.8 Hz, 1H), 6.58 (d, *J* = 9.6 Hz, 1H), 6.34 (d, *J* = 16.0 Hz, 1H), 4.82 (2H), 4.33 (2H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 150.6, 140.1, 138.1, 137.2, 136.5, 133.0, 129.3, 129.2, 128.8, 128.6, 128.5, 127.9, 127.7, 127.6, 127.0, 126.6, 126.5, 125.3, 125.1, 109.6, 49.7, 47.6; **LR-MS (ESI, *m/z*)**: $[M]^+$ calculated for $C_{28}H_{24}N_2O_2$: 420.1838; found: 420.19.

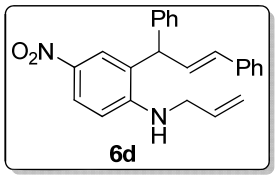
### 6.3 (*E*)-2-(1,3-Diphenylallyl)-N-methyl-4-nitroaniline (6c; Table 2):



**6c**

78% yield; $R_f$ = 0.30 (20:80 = EtOAc/Hexane); Yellow solid; ; mp. 55-57°C; **IR (neat)**: 3418, 3009, 1577, 1545, 1489, 1438, 1311, 1294, 1187, 1108, 1028, 998, 918, 887, 747 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 8.15 (dd, *J* = 8.8, 2.4 Hz, 1H), 8.02 (d, *J* = 2.8 Hz, 1H), 7.39-7.27 (m, 7H), 7.26-7.21 (m, 3H), 6.61 (2H), 6.29 (d, *J* = 16.0 Hz, 1H), 4.77 (d, *J* = 6.8 Hz, 1H), 4.47 (bs, 1H), 2.85 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 151.8, 140.1, 137.9, 136.6, 132.7, 129.5, 129.2, 128.6, 128.5, 127.8, 127.5, 126.5, 126.4, 125.3, 125.2, 108.8, 49.2, 30.5; **LR-MS (ESI, *m/z*)**: $[M]^+$ calculated for $C_{22}H_{20}N_2O_2$: 344.1525; found: 344.18.

### 6.4 (*E*)-N-Allyl-2-(1,3-diphenylallyl)-4-nitroaniline (6d; Table 2):



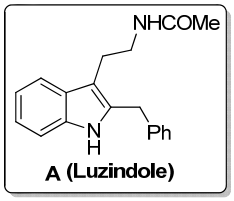
**6d**

74% yield; $R_f$ = 0.25 (10:90 = EtOAc/Hexane); Yellow liquid; **IR (neat)**: 3427, 3028, 1585, 1529, 1495, 1450, 1325, 1294, 1162, 1103, 1029, 975, 916, 818, 747 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 8.11 (dd, *J* = 9.2, 2.8 Hz, 1H), 8.07 (d, *J* = 2.4 Hz, 1H), 7.40-7.23 (m, 10H), 6.64 (dd, *J* = 16.0, 7.2 Hz, 1H), 6.58 (d, *J* = 8.8 Hz, 1H), 6.34 (d, *J* = 15.6 Hz, 1H), 5.80-5.70 (m, 1H), 5.10 (dd, *J* = 10.4, 1.2 Hz, 1H), 4.99 (dd, *J* = 17.2, 0.8 Hz, 1H) 4.81 (d, *J* = 6.8 Hz, 1H), 4.59 (bs, 1H), 3.79 (2H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 150.7, 140.1, 138.0, 136.6, 133.1, 132.9, 129.4, 129.2, 128.6, 128.5, 127.8, 127.6, 126.6, 126.5, 125.4, 125.0, 116.8, 109.7, 49.5, 45.6; **LR-MS (ESI, *m/z*)**: $[M]^+$ calculated for $C_{24}H_{22}N_2O_2$: 370.1681; found: 370.18.

**6b**, **6c** and **6d** were synthesized using following procedure.

To a stirred solution of alcohol (0.5 mmol) and protected aniline (-Bn, $CH_3$ and allyl) (0.6 mmol) in $CH_3CN$ (2.0 ml), taken in a round-bottom flask attached to a refluxed condenser, $Re_2O_7$ (3 mol %) was added. The reaction was stirred at 80 $^oC$ for 6-8 hrs. The reaction was quenched with the addition of brine solution (2 ml), followed by extraction with EtOAc (3 × 10 ml). The combined organic layer was dried over anhydrous $MgSO_4$. The solvent was removed under vacuum and the crude residue was purified by flash column chromatography (EtOAc/n-Hexane) on silica gel.

### 7. N-(2-(2-Benzyl-1H-indol-3-yl)ethyl)acetamide (A; Scheme 5):[9]



**A (Luzindole)**

**Procedure:** A solution of 2-benzyl indole (0.25 mmol) and N acetylaminoacetaldehyde dimethyl acetal (0.27 mmol) in $CH_2Cl_2$ (1mL) was added to a solution of trifluoroacetic acid (TFA, 1.25 mmol) and triethylsilane (TES, 0.75 mmol) in $CH_2Cl_2$ (0.5 mL), and the resulting

mixture was stirred at room temperature for 4 h. The reaction was cooled at 0 °C and carefully neutralized with saturated solution of $NaHCO_3$ and diluted with $CH_2Cl_2$. The two phases were separated, and the aqueous layer was extracted three times with $CH_2Cl_2$ (20 mL). The combined organic phase was washed with brine, dried over $Na_2SO_4$, filtered, and evaporated on rotary evaporator. The residue was purified by flash chromatography on silica gel affording desired compounds.

70% yield; $R_f$ = 0.26 (30:70 = EtOAc/Hexane); Colourless solid; **IR (neat)**: 3402, 3299, 3061, 2925, 1709, 1660, 1648, 1565, 1455, 1369, 1304, 742 $cm^{-1}$; **$^1$H NMR (400 MHz, $CDCl_3$)**: δ, 8.00 (bs, 1H), 7.59-7.56 (1H), 7.35-7.31 (2H), 7.28 (2H), 7.23-7.11 (m, 4H), 5.54 (bs, 1H), 4.14 (s, 2H), 3.54 (q, *J* = 6.4 Hz, 2H), 3.02 (t, *J* = 6.4 Hz, 2H), 1.80 (s, 3H); **$^{13}$C NMR (100 MHz, $CDCl_3$)**: δ, 170.2, 138.7, 135.6, 134.2, 128.9, 128.5, 128.4, 126.8, 121.6, 119.5, 118.2, 110.7, 109.3, 40.1, 32.1, 24.2, 23.2; **LR-MS (ESI, *m/z*)**: $[M+H]^+$ calculated for $C_{19}H_{21}N_2O$: 293.1654; found: 293.10.

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