Recyclization of 2-indolyl cyclopropyl ketones into carbazoles

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General information

NMR spectra were acquired on Bruker Avance 600 MHz spectrometer at room temperature; the chemical shifts δ were measured in ppm with respect to solvent (^{1}H: $CDCl_3$, δ = 7.27; ^{13}C: $CDCl_3$, δ = 77.0). Splitting patterns are designated as s, singlet; d, doublet; t, triplet; q, quartet; m, multiplet; dd, doublet of doublets. Coupling constants *J* are given in Hertz (Hz). The structures of compounds were elucidated with the aid of ^{1}H and ^{13}C NMR spectroscopy. Structural assignments were made with additional information from gCOSY, NOESY, gHSQC, and gHMBC experiments. High resolution and accurate mass measurements were carried out using Orbitrap LTQ XL mass spectrometer. Melting points (mp) were determined using Stuart SMP3 capillary melting point apparatus, the values are uncorrected. Analytical thin layer chromatography (TLC) was carried out with silica gel plates (silica gel 60, F_{254}, supported on aluminium) visualized with UV lamp (254 nm). Column chromatography was performed on silica gel 60 (230–400 mesh), or on aluminium oxide 90 basic for **6a**, using freshly distilled solvents: petroleum ether (PE) with ethyl acetate (EA) or diethyl ether (E). Acetophenones, NaH (60% dispersion in mineral oil), trimethylsulfoxonium iodide were available commercially. Brønsted acids used (Hydrochloric acid, HCl in dioxane, TFA, TsOH) were commercial products.

Experimental procedures and spectral data

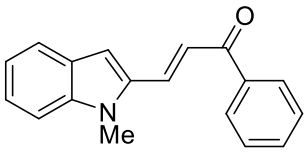
Synthesis of Enones 1

General Procedure 1 (GP1).[1] To a mixture of 1-methyl-1*H*-indole-2-carbaldehyde (1.59 g, 10 mmol), the corresponding acetophenone (10 mmol), CH_2Cl_2 (1 mL) and EtOH (2.5 mL), cold solution of NaOH (0.55 g, 13.8 mmol) in H_2O (4.5 mL) was added. The resulting mixture was stirred overnight. The resulting precipitate was filtered off under vacuum, washed with water (2 times) and then once with PE – E (4:1). The dried adduct was used in the next stage.

General Procedure 2 (GP2). A mixture of 1-methyl-1*H*-indole-2-carbaldehyde (1.59 g, 10 mmol) and the corresponding acetophenone (10 mmol) in MeOH (50 mL) was cooled to 0 °C in ice-water bath. To this mixture, NaOH (200 mg, 5 mmol) was added under stirring. The resulting mixture was allowed to warm up to room temperature, stirred for additional 12 h and then diluted with water (50 mL). The resulting precipitate was collected by filtration, washed with water and MeOH (10 mL) and dried under reduced pressure.

General Procedure 3 (GP3). A mixture of 1-methyl-1*H*-indole-2-carbaldehyde (1.59 g, 10 mmol), acetylpyridine (1.21 g, 10 mmol) and piperidine (426 mg, 5 mmol) in MeOH (20 mL) was heated at 90 °C under stirring for 18 h. The resulting mixture was allowed to cool down to room temperature and concentrated under reduced pressure. The product was isolated by column chromatography (SiO_2, eluent PE – EA, 4:1→1:1).

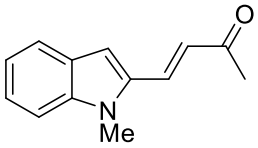
(2*E*)-3-(1-Methyl-1*H*-indol-2-yl)-1-phenylprop-2-en-1-one[2] (1a)



1a was obtained from acetophenone (1.20 g) *via* GP1. Yield 2.21 g (85%); yellow solid, mp 103–105 °C (lit. 87–88 °C).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.08–8.06 (m, 2H, Ph), 8.01 (dd, ^3J = 15.3, ^4J = 0.6, 1H, CH=), 7.66 (d, ^3J = 15.3, 1H, CH=), 7.66–7.64 (m, 1H, Ind), 7.63–7.60 (m, 1H, Ph), 7.56–7.52 (m, 2H, Ph), 7.37–7.35 (m, 1H, Ind), 7.32–7.29 (m, 1H, Ind), 7.16 (br.s, 1H, Ind), 7.16–7.13 (m, 1H, Ind), 3.90 (s, 3H, CH_3N).

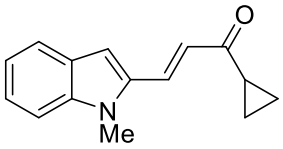
(2*E*)-3-(1-Methyl-1*H*-indol-2-yl)-1-methylprop-2-en-1-one[2,3] (1b)



1b was obtained *via* published procedure.[2] A mixture of 1-methyl-1*H*-indole-2-carbaldehyde (1.59 g, 10 mmol) and 1-(triphenylphosphoranylidene)-2-propanone (4.77 g, 15 mmol) in toluene (32 mL) was heated at 120 °C for 12 h. The resulting mixture was allowed to cool down to room temperature and concentrated under reduced pressure. The residue was poured into diethyl ether and filtered to remove Ph_3PO. The filtrate was concentrated under reduced pressure. The product was isolated by column chromatography (SiO_2, eluent PE – EA, 4:1). Yield 0.85 g (43%); yellow solid, mp 101–103 °C (lit.[2] 96–97 °C; lit.[3] 110–112 °C); R_f = 0.25 (PE:EA, 4:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.67 (dd, ^3J = 15.9, ^4J = 0.4, 1H, CH=), 7.64–7.62 (m, 1H, Ind), 7.34–7.32 (m, 1H, Ind), 7.29 (ddd, ^3J = 8.4, ^3J = 6.9, ^4J = 1.1, 1H, Ind), 7.15–7.12 (m, 1H, Ind), 7.02 (br.s, 1H, Ind), 6.83 (d, ^3J = 15.9, 1H, CH=), 3.85 (s, 3H, CH_3N), 2.40 (s, 3H, CH_3).

(2*E*)-1-Cyclopropyl-3-(1-methyl-1*H*-indol-2-yl)prop-2-en-1-one[4,5] (1c)

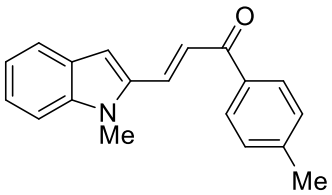


1c was obtained from cyclopropyl methyl ketone (0.84 g) *via* GP1. Yield 1.87 g (83%); yellow solid, mp 138–140 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.74 (d, ^3J = 15.7, 1H, CH=), 7.64–7.62 (m, 1H, Ind), 7.34–7.32 (m, 1H, Ind), 7.30–7.27 (m, 1H, Ind), 7.15–7.12 (m, 1H, Ind), 7.04 (br.s, 1H, Ind), 6.99 (d, ^3J = 15.7, 1H, CH=), 3.84 (s, 3H, CH_3N), 2.22–2.18 (m, 1H, CH), 1.22–1.19 (m, 2H, CH_2), 1.03–1.00 (m, 2H, CH_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 199.3 (CO), 139.3 (C, Ind), 135.3 (C, Ind), 129.6 (CH=), 127.5 (C, Ind), 125.8 (CH=), 123.7 (CH, Ind), 121.4 (CH, Ind), 120.5 (CH, Ind), 109.6 (CH, Ind), 103.8 (CH, Ind), 30.0 (CH_3N), 20.6 (CH), 11.4 (2×CH_2).

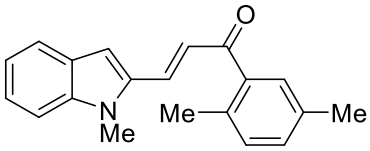
(2*E*)-3-(1-Methyl-1*H*-indol-2-yl)-1-(4-methylphenyl)prop-2-en-1-one[2,3] (1d)



1d was obtained from 4'-methylacetophenone (1.34 g) *via* GP1. Yield 2.36 g (86%); yellow solid, mp 137–139 °C (lit.[2] 127–128 °C; lit.[3] 118–120 °C).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.00 (br.d, ^3J = 15.3, 1H, CH=), 8.00–7.98 (m, 2H, Ar), 7.67–7.64 (m, 1H, Ind), 7.65 (d, ^3J = 15.3, 1H, CH=), 7.35–7.32 (m, 3H, Ar, Ind), 7.32–7.29 (m, 1H, Ind), 7.17–7.14 (m, 1H, Ind), 7.15 (br.s, 1H, Ind), 3.86 (s, 3H, CH_3N), 2.46 (s, 3H, CH_3).

(2*E*)-1-(2,5-Dimethylphenyl)-3-(1-methyl-1*H*-indol-2-yl)prop-2-en-1-one (1e)



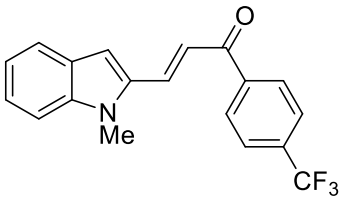
1e was obtained from 2',5'-dimethylacetophenone (1.48 g) *via* GP1. Yield 2.51 g (87%); yellow solid.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.74 (dd, ^3J = 15.7, ^4J = 0.5, 1H, CH=), 7.66–7.63 (m, 1H, Ind), 7.40 (br.s, 1H, Ar), 7.35–7.33 (m, 1H, Ind), 7.31–7.28 (m, 1H, Ind), 7.27 (d, ^3J = 15.7, 1H, CH=), 7.23 (dd, ^3J = 7.8, ^4J = 1.5, 1H, Ar), 7.20 (br.d, ^3J = 7.8, 1H, Ar), 7.16–7.13 (m, 1H, Ind), 7.09 (br.s, 1H, Ind), 3.83 (s, 3H, CH_3N), 2.48 (s, 3H, CH_3), 2.41 (s, 3H, CH_3).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 195.1 (CO), 139.4 (C, Ind), 139.2 (C, Ar), 135.4 (C, Ind), 135.1 (C, Ar), 134.0 (C, Ar), 132.7 (CH=), 131.4 (CH, Ar), 131.3 (CH, Ar), 128.6 (CH, Ar), 127.5 (C, Ind), 126.0 (CH=), 123.9 (CH, Ind), 121.5 (CH, Ind), 120.6 (CH, Ind), 109.6 (CH, Ind), 104.4 (CH, Ind), 30.0 (CH_3N), 20.9 (CH_3), 19.9 (CH_3).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{20}H_{20}NO^+$ 290.1539, found 290.1545.

(2*E*)-3-(1-Methyl-1*H*-indol-2-yl)-1-[4-(trifluoromethyl)phenyl]prop-2-en-1-one (1f)



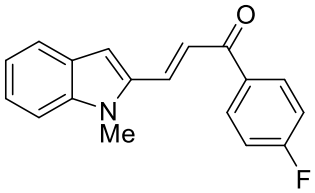
1f was obtained from 4'-(trifluoromethyl)acetophenone (1.88 g) *via* GP1. Yield 2.82 g (86%); yellow solid, mp 141–143 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.15–8.12 (m, 2H, Ar), 8.03 (dd, ^3J = 15.3, ^4J = 0.5, 1H, CH=), 7.80–7.77 (m, 2H, Ar), 7.67–7.65 (m, 1H, Ind), 7.59 (d, ^3J = 15.3, 1H, CH=), 7.35–7.30 (m, 2H, Ind), 7.19 (br.s, 1H, Ind), 7.17–7.14 (m, 1H, Ind), 3.87 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 188.4 (CO), 141.1 (C, Ar), 139.6 (C, Ind), 135.3 (C, Ind), 134.0 ($^2J_{CF}$ = 33, C, Ar), 133.5 (CH=), 128.6 (2×CH, Ar), 127.5 (C, Ind), 125.6 ($^3J_{CF}$ = 4, 2×CH, Ar), 124.3 (CH, Ind), 123.7 ($^1J_{CF}$ = 273, C), 121.6 (CH, Ind), 120.7 (2×CH, Ind + CH=), 109.8 (CH, Ind), 104.7 (CH, Ind), 30.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{19}H_{15}F_3NO^+$ 330.1100, found 330.1106.

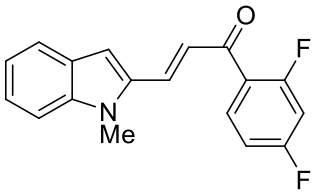
(2*E*)-1-(4-Fluorophenyl)-3-(1-methyl-1*H*-indol-2-yl)prop-2-en-1-one[3] (1g)



1g was obtained from 4'-fluoroacetophenone (1.38 g) *via* GP1. Yield 2.40 g (86%); yellow solid, mp 120–122 °C (lit. 114–116 °C).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.12–8.08 (m, 2H, Ar), 8.01 (dd, ^3J = 15.3, ^4J = 0.6, 1H, CH=), 7.67–7.64 (m, 1H, Ind), 7.61 (d, ^3J = 15.3, 1H, CH=), 7.36–7.34 (m, 1H, Ind), 7.32–7.29 (m, 1H, Ind), 7.22–7.18 (m, 2H, Ar), 7.17–7.14 (m, 1H, Ind), 7.16 (br.s, 1H, Ind), 3.87 (s, 3H, CH_3N).

(2*E*)-1-(2,4-Difluorophenyl)-3-(1-methyl-1*H*-indol-2-yl)prop-2-en-1-one (1h)



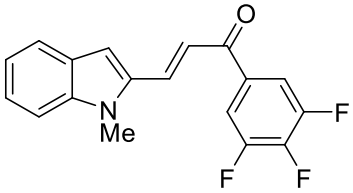
1h was obtained from 2',4'-difluoroacetophenone (1.56 g) *via* GP1. Yield 2.61 g (88%); yellow solid, mp 119–121 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.97 (ddd, ^3J = 15.4, ^4J = 0.5, $^6J_{HF}$ = 1.9, 1H, CH=), 7.96 (ddd, ^3J = 8.6, $^3J_{HF}$ = 8.6, $^5J_{HF}$ = 6.1, 1H, Ar), 7.65–7.63 (m, 1H, Ind), 7.49 (dd, ^3J = 15.4, $^5J_{HF}$ = 3.1 Hz, 1H, CH=), 7.35–7.33 (m, 1H, Ind), 7.30 (ddd, ^3J = 8.4, ^3J = 6.7, ^4J = 1.1, 1H, Ind), 7.16–7.13 (m, 2H, Ind), 7.03–7.00 (m, 1H, Ar), 6.95–6.91 (m, 1H, Ar), 3.87 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 186.2 ($^3J_{CF}$ = 3, CO), 165.5 ($^1J_{CF}$ = 256, $^3J_{CF}$ = 12, C, Ar), 162.2 ($^1J_{CF}$ = 256, $^3J_{CF}$ = 12, C, Ar), 139.6 (C, Ind), 135.4 (C, Ind), 133.0 ($^2J_{CF}$ = 10, $^4J_{CF}$ = 4, CH, Ar), 132.6 (CH=), 127.5 (C, Ind), 124.6 ($^4J_{CF}$ = 8, CH=), 124.2 (CH, Ind), 123.6 ($^2J_{CF}$ = 13, $^4J_{CF}$ = 3, C, Ar), 121.6 (CH, Ind), 120.6 (CH, Ind), 112.2 ($^2J_{CF}$ = 21, $^4J_{CF}$ = 3, CH, Ar), 109.7 (CH, Ind), 105.0 (CH, Ind), 104.7 ($^3J_{CF}$ = 27, $^3J_{CF}$ = 26, CH, Ar), 30.1 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{18}H_{14}F_2NO^+$ 298.1038, found 298.1042.

(2*E*)-3-(1-Methyl-1*H*-indol-2-yl)-1-(3,4,5-trifluorophenyl)prop-2-en-1-one (1i)



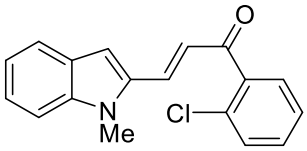
1i was obtained from 3',4',5'-trifluoroacetophenone (1.74 g) *via* GP2. Yield 2.73 g (87%); yellow solid, mp 202–204 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.04 (d, ^3J = 15.2, 1H, CH=), 7.71 (dd, $^3J_{HF}$ = 7.8, $^4J_{HF}$ = 6.7, 2H, Ar), 7.67–7.65 (m, 1H, Ind), 7.48 (d, ^3J = 15.2, 1H, CH=), 7.36–7.34 (m, 1H, Ind), 7.34–7.31 (m, 1H, Ind), 7.20 (br.s, 1H, Ind), 7.17–7.14 (m, 1H, Ind), 3.89 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 185.5 (CO), 151.3 ($^1J_{CF}$ = 253, $^2J_{CF}$ = 10, $^3J_{CF}$ = 3, 2×C, Ar), 142.9 ($^1J_{CF}$ = 260, $^2J_{CF}$ = 15, C, Ar), 139.7 (C, Ind), 135.1 (C, Ind), 134.1 (CH=), 134.0 ($^3J_{CF}$ = 6, $^4J_{CF}$ = 4, C, Ar), 127.5 (C, Ind), 124.5 (CH, Ind), 121.8 (CH, Ind), 120.9 (CH, Ind), 119.3 (CH=), 112.8 ($^2J_{CF}$ = 17, $^3J_{CF}$ = 5, 2×CH, Ar), 109.8 (CH, Ind), 105.0 (CH, Ind), 30.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{18}H_{13}F_3NO^+$ 316.0944, found 316.0948.

(2*E*)-1-(2-Chlorophenyl)-3-(1-methyl-1*H*-indol-2-yl)prop-2-en-1-one (1j)



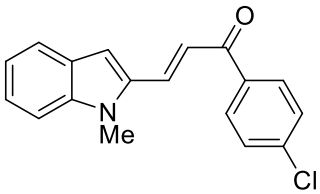
1j was obtained from 2'-chloroacetophenone (1.55 g) *via* GP1. Yield 2.62 g (89%); yellow solid, mp 100–102 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.73 (br.d, ^3J = 15.7, 1H, CH=), 7.65–7.63 (m, 1H, Ind), 7.57 (dd, ^3J = 7.6, ^4J = 1.6, 1H, Ar), 7.49 (dd, ^3J = 7.9, ^4J = 1.3, 1H, Ar), 7.46–7.43 (m, 1H, Ar), 7.41–7.38 (m, 1H, Ar), 7.34–7.32 (m, 1H, Ind), 7.32–7.29 (m, 1H, Ind), 7.26 (d, ^3J = 15.7, 1H, CH=), 7.16–7.13 (m, 1H, Ind), 7.10 (br.s, 1H, Ind), 3.81 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 192.5 (CO), 139.5 (C, Ind), 139.2 (C, Ar), 135.0 (C, Ind), 133.1 (CH=), 131.6 (CH, Ar), 131.3 (C, Ar), 130.3 (CH, Ar), 129.5 (CH, Ar), 127.4 (C, Ind), 126.9 (CH, Ar), 125.3 (CH=), 124.2 (CH, Ind), 121.5 (CH, Ind), 120.6 (CH, Ind), 109.7 (CH, Ind), 105.1 (CH, Ind), 30.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{18}H_{15}ClNO^+$ 296.0837, found 296.0832.

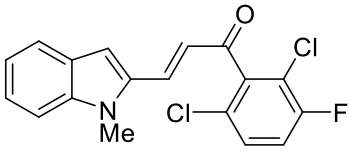
(2*E*)-1-(4-Chlorophenyl)-3-(1-methyl-1*H*-indol-2-yl)prop-2-en-1-one[3] (1k)



1k was obtained from 4'-chloroacetophenone (1.55 g) *via* GP1. Yield 2.50 g (85%); yellow solid, mp 131–133 °C (lit. 136–138 °C).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.03–7.99 (m, 3H, Ar + CH=), 7.66–7.64 (m, 1H, Ind), 7.59 (d, ^3J = 15.3, 1H, CH=), 7.52–7.49 (m, 2H, Ar), 7.36–7.33 (m, 1H, Ind), 7.32–7.29 (m, 1H, Ind), 7.16 (br.s, 1H, Ind), 7.16–7.13 (m, 1H, Ind), 3.88 (s, 3H, CH_3N).

(2*E*)-1-(2,6-Dichloro-3-fluorophenyl)-3-(1-methyl-1*H*-indol-2-yl)prop-2-en-1-one (1l)



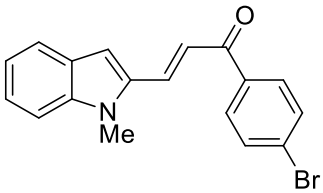
1l was obtained from 2',6'-dichloro-3'-fluoroacetophenone (2.07 g) *via* GP2. Yield 2.71 g (78%); yellow solid, mp 137–139 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.64–7.62 (m, 1H, Ind), 7.54 (d, ^3J = 15.9, 1H, CH=), 7.38 (dd, ^3J = 8.9, $^4J_{HF}$ = 4.3, 1H, Ar), 7.34–7.30 (m, 2H, Ind), 7.21 (dd, ^3J = 8.9, $^3J_{HF}$ = 8.3, 1H, Ar), 7.15–7.12 (m, 1H, Ind), 7.11 (br.s, 1H, Ind), 6.99 (d, ^3J = 15.9, 1H, CH=), 3.80 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 190.0 (CO), 157.1 ($^1J_{CF}$ = 252, C, Ar), 140.0 (2×C, Ind, Ar), 135.2 (CH=), 134.5 (C, Ind), 129.2 ($^3J_{CF}$ = 7, CH, Ar), 127.5 (C, Ind), 126.5 ($^3J_{CF}$ = 4, C, Ar), 124.9 (CH=), 124.7 (CH, Ind), 121.9 (CH, Ind), 120.9 (CH, Ind), 119.6 ($^2J_{CF}$ = 20, C, Ar), 117.6 ($^2J_{CF}$ = 23, CH, Ar), 109.8 (CH, Ind), 106.6 (CH, Ind), 30.2 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{18}H_{13}Cl_2FNO^+$ 348.0353, found 348.0358.

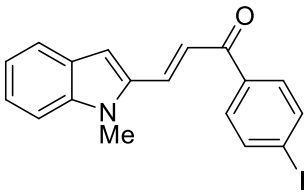
(2*E*)-1-(4-Bromophenyl)-3-(1-methyl-1*H*-indol-2-yl)prop-2-en-1-one[3] (1m)



1m was obtained from 4'-bromoacetophenone (1.99 g) *via* GP1. Yield 3.07 g (90%); yellow solid, mp 142–144 °C (lit. 138–140 °C).

^{1}H NMR ($CDCl_3$, 400 MHz) δ = 8.01 (d, ^3J = 15.3, 1H, CH=), 7.95–7.91 (m, 2H, Ar), 7.68–7.64 (m, 3H, Ind, Ar), 7.58 (d, ^3J = 15.3, 1H, CH=), 7.37–7.34 (m, 1H, Ind), 7.33–7.28 (m, 1H, Ind), 7.17 (br.s, 1H, Ind), 7.17–7.13 (m, 1H, Ind), 3.88 (s, 3H, CH_3N).

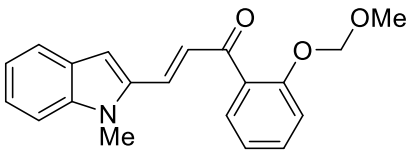
(2*E*)-1-(4-Iodophenyl)-3-(1-methyl-1*H*-indol-2-yl)prop-2-en-1-one[3] (1n)



1n was obtained from 4'-iodoacetophenone (2.46 g) *via* GP1. Yield 3.57 g (92%); yellow solid, mp 158–160 °C (lit. 156–158°C).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.99 (dd, ^3J = 15.3, ^4J = 0.5, 1H, CH=), 7.89–7.86 (m, 2H, Ar), 7.77–7.74 (m, 2H, Ar), 7.66–7.64 (m, 1H, Ind), 7.55 (d, ^3J = 15.3, 1H, CH=), 7.34–7.29 (m, 2H, Ind), 7.17–7.14 (m, 2H, Ind), 3.85 (s, 3H, CH_3N).

(2*E*)-1-[2-(Methoxymethoxy)phenyl]-3-(1-methyl-1*H*-indol-2-yl)prop-2-en-1-one (1o)



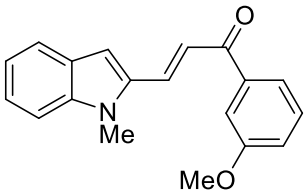
1o was obtained from 2'-(methoxymethoxy)acetophenone (1.80 g) *via* GP1. Yield 2.79 g (87%); yellow solid, mp 113–115 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.84 (br.d, ^3J = 15.6, 1H, CH=), 7.70 (dd, ^3J = 7.7, ^4J = 1.8, 1H, Ar), 7.65–7.63 (m, 1H, Ind), 7.51 (d, ^3J = 15.6, 1H, CH=), 7.48 (ddd, ^3J = 8.4, ^3J = 7.3, ^4J = 1.8, 1H, Ar), 7.35–7.32 (m, 1H, Ind), 7.31–7.28 (m, 1H, Ind), 7.24 (dd, ^3J = 8.4, ^4J = 0.9, 1H, Ar), 7.16–7.12 (m, 2H, Ar), 7.05 (br.s, 1H, Ind), 5.29 (s, 2H, OCH_2O), 3.85 (s, 3H, CH_3N), 3.53 (s, 3H, CH_3O).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 191.8 (CO), 155.7 (C), 139.3 (C), 135.7 (C), 132.8 (CH), 130.8 (CH), 130.29 (C), 130.26 (CH), 127.5 (C), 126.8 (CH), 123.7 (CH), 122.0 (CH), 121.4 (CH), 120.5 (CH), 115.3 (CH), 109.6 (CH), 104.0 (CH), 94.9 (OCH_2O), 56.4 (CH_3O), 30.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{20}H_{20}NO_3^+$ 322.1438, found 322.1438.

(2*E*)-1-(3-Methoxyphenyl)-3-(1-methyl-1*H*-indol-2-yl)prop-2-en-1-one[5] (1p)



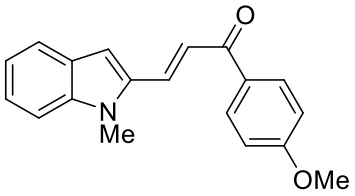
1p was obtained from 3'-methoxyacetophenone (1.50 g) *via* GP1. Yield 2.46 g (84%); yellow solid, mp 83–85 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.99 (br.d, ^3J = 15.3, 1H, CH=), 7.67–7.64 (m, 2H, Ar), 7.62–7.61 (m, 1H, Ar), 7.61 (d, ^3J = 15.3, 1H, CH=), 7.45–7.42 (m, 1H, Ar), 7.34–7.29 (m, 2H, Ind), 7.17–7.14 (m, 2H, Ar), 7.14 (br.s, 1H, Ind), 3.90 (s, 3H, CH_3O), 3.82 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 189.0 (CO), 159.8 (C, Ar), 139.5 (C, Ar), 139.3 (C, Ar), 135.5 (C, Ar), 132.3 (CH=), 129.5 (CH, Ar), 127.4 (C, Ar), 123.8 (CH, Ar), 121.44 (CH, Ar), 121.40 (CH, Ar), 120.8 (CH, Ar), 120.5 (CH, Ar), 119.1 (CH, Ar), 112.7 (CH, Ar), 109.6 (CH, Ar), 103.9 (CH, Ar), 55.3 (CH_3O), 29.8 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{19}H_{18}NO_2^+$ 292.1332, found 292.1335.

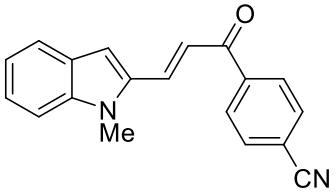
(2*E*)-1-(4-Methoxyphenyl)-3-(1-methyl-1*H*-indol-2-yl)prop-2-en-1-one[2,3] (1q)



1q was obtained from 4'-methoxyacetophenone (1.50 g) *via* GP1. Yield 2.53 g (87%); yellow solid, mp 141–143 °C (lit.[2] 135–136 °C; lit.[3] 142–144 °C).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.10–8.07 (m, 2H, Ar), 7.99 (br.d, ^3J = 15.3, 1H, CH=), 7.65 (d, ^3J = 15.3, 1H, CH=), 7.66–7.63 (m, 1H, Ind), 7.36–7.33 (m, 1H, Ind), 7.31–7.28 (m, 1H, Ind), 7.16–7.13 (m, 1H, Ind), 7.13 (br.s, 1H, Ind), 7.03–7.00 (m, 2H, Ar), 3.91 (s, 3H, CH_3O), 3.88 (s, 3H, CH_3N).

4-[(2*E*)-3-(1-Methyl-1*H*-indol-2-yl)prop-2-enoyl]benzonitrile (1r)



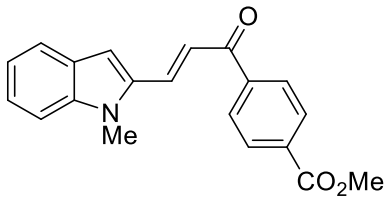
1r was obtained from 4-acetylbenzonitrile (1.45 g) *via* GP2. Yield 2.62 g (92%); orange solid, mp 159–161 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.12–8.09 (m, 2H, Ar), 8.03 (d, ^3J = 15.3, 1H, CH=), 7.81–7.79 (m, 2H, Ar), 7.66–7.64 (m, 1H, Ind), 7.55 (d, ^3J = 15.3, 1H, CH=), 7.35–7.33 (m, 1H, Ind), 7.33–7.30 (m, 1H, Ind), 7.19 (br.s, 1H, Ind), 7.17–7.14 (m, 1H, Ind), 3.87 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 187.9 (CO), 141.5 (C, Ar), 139.7 (C, Ind), 135.2 (C, Ind), 133.9 (CH=), 132.4 (2×CH, Ar), 128.7 (2×CH, Ar), 127.4 (C, Ind), 124.5 (CH, Ind), 121.7 (CH, Ind), 120.8 (CH, Ind or CH=), 120.2 (CH, Ind or CH=), 118.0 (CN), 115.9 (C, Ar), 109.8 (CH, Ind), 104.9 (CH, Ind), 30.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{19}H_{15}N_2O^+$ 287.1179, found 287.1185.

Methyl 4-[(2*E*)-3-(1-methyl-1*H*-indol-2-yl)prop-2-enoyl]benzoate (1s)



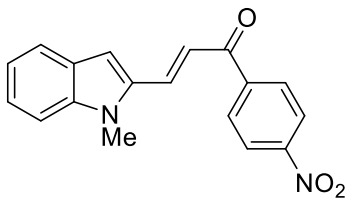
1s was obtained from methyl 4-acetylbenzoate (1.78 g) *via* GP2. Yield 2.54 g (80%); yellow solid, mp 162–164 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.19–8.17 (m, 2H, Ar), 8.10–8.08 (m, 2H, Ar), 8.01 (d, ^3J = 15.3, 1H, CH=), 7.66–7.64 (m, 1H, Ind), 7.60 (d, ^3J = 15.3, 1H, CH=), 7.35–7.33 (m, 1H, Ind), 7.32–7.29 (m, 1H, Ind), 7.18 (br.s, 1H, Ind), 7.16–7.13 (m, 1H, Ind), 3.98 (s, 3H, CH_3O), 3.87 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 188.9 (CO), 166.3 (CO_2Me), 141.7 (C, Ar), 139.5 (C, Ind), 135.4 (C, Ind), 133.5 (C, Ar), 133.2 (CH=), 129.8 (2×CH, Ar), 128.2 (2×CH, Ar), 127.5 (C, Ind), 124.2 (CH, Ind), 121.6 (CH, Ind), 121.1 (CH, Ind or CH=), 120.7 (CH, Ind or CH=), 109.8 (CH, Ind), 104.5 (CH, Ind), 52.4 (CH_3O), 30.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{20}H_{18}NO_3^+$ 320.1281, found 320.1279.

(2*E*)-3-(1-Methyl-1*H*-indol-2-yl)-1-(4-nitrophenyl)prop-2-en-1-one (1t)



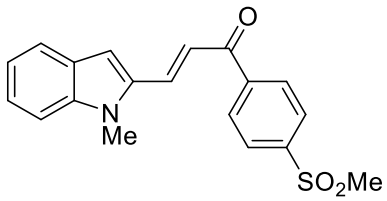
1t was obtained from 4'-nitroacetophenone (1.65 g) *via* GP2. Yield 2.61 g (75%); orange solid, mp 179–181 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.36–8.34 (m, 2H, Ar), 8.18–8.16 (m, 2H, Ar), 8.05 (d, ^3J = 15.3, 1H, CH=), 7.66–7.64 (m, 1H, Ind), 7.58 (d, ^3J = 15.3, 1H, CH=), 7.36–7.34 (m, 1H, Ind), 7.34–7.31 (m, 1H, Ind), 7.21 (br.s, 1H, Ind), 7.17–7.14 (m, 1H, Ind), 3.89 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 187.8 (CO), 150.1 (C, Ar), 143.1 (C, Ar), 139.7 (C, Ind), 135.1 (C, Ind), 134.2 (CH=), 128.2 (2×CH, Ar), 127.5 (C, Ind), 124.6 (CH, Ind), 123.8 (2×CH, Ar), 121.8 (CH, Ind), 120.9 (CH, Ind or CH=), 120.3 (CH, Ind or CH=), 109.9 (CH, Ind), 105.1 (CH, Ind), 30.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{18}H_{15}N_2O_3^+$ 307.1077, found 307.1078.

(2*E*)-3-(1-Methyl-1*H*-indol-2-yl)-1-[4-(methylsulfonyl)phenyl]prop-2-en-1-one (1u)



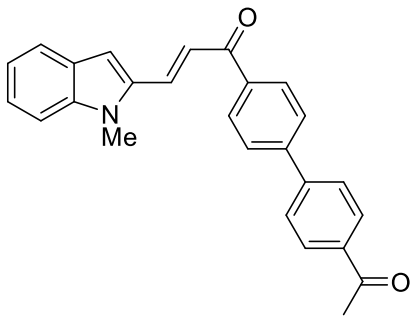
1u was obtained from 4'-(methylsulfonyl)acetophenone (1.98 g) *via* GP2. Yield 3.03 g (91%); yellow solid, mp 185–187 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.21–8.19 (m, 2H, Ar), 8.12–8.09 (m, 2H, Ar), 8.04 (d, ^3J = 15.3, 1H, CH=), 7.67–7.65 (m, 1H, Ind), 7.58 (d, ^3J = 15.3, 1H, CH=), 7.37–7.35 (m, 1H, Ind), 7.34–7.31 (m, 1H, Ind), 7.21 (br.s, 1H, Ind), 7.17–7.14 (m, 1H, Ind), 3.89 (s, 3H, CH_3N), 3.11 (s, 3H, CH_3S).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 188.2 (CO), 143.7 (C, Ar), 142.6 (C, Ar), 139.7 (C, Ind), 135.2 (C, Ind), 134.1 (CH=), 129.1 (2×CH, Ar), 127.8 (2×CH, Ar), 127.5 (C, Ind), 124.5 (CH, Ind), 121.7 (CH, Ind), 120.9 (CH, Ind or CH=), 120.5 (CH, Ind or CH=), 109.8 (CH, Ind), 105.1 (CH, Ind), 44.4 (CH_3S), 30.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{19}H_{18}NO_3S^+$ 340.1002, found 340.0999.

(2*E*)-1-(4'-Acetylbiphenyl-4-yl)-3-(1-methyl-1*H*-indol-2-yl)prop-2-en-1-one (1v)



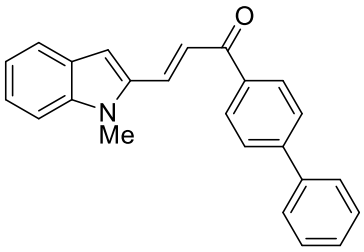
1v was obtained from 4,4'-diacetylbiphenyl (2.38 g) *via* GP2. Yield 1.63 g (86%); yellow solid, mp 152–154 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.18–8.15 (m, 2H, Ar), 8.08–8.06 (m, 2H, Ar), 8.03 (br.d, ^3J = 15.3, 1H, CH=), 7.81–7.74 (m, 4H, Ar), 7.67 (d, ^3J = 15.3, 1H, CH=), 7.67–7.65 (m, 1H, Ind), 7.36–7.33 (m, 1H, Ind), 7.32–7.29 (m, 1H, Ind), 7.18 (br.s, 1H, Ind), 7.17–7.14 (m, 1H, Ind), 3.88 (s, 3H, CH_3N), 2.66 (s, 3H, CH_3).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 197.5 (CO), 188.7 (CO), 144.3 (C, Ar), 144.0 (C, Ar), 139.5 (C, Ind), 137.7 (C, Ar), 136.6 (C, Ar), 135.6 (C, Ind), 132.6 (CH=), 129.1 (2×CH, Ar), 129.0 (2×CH, Ar), 127.51 (C, Ind), 127.47 (2×CH, Ar), 127.4 (2×CH, Ar), 124.0 (CH, Ind), 121.5 (CH=), 121.3 (CH, Ind), 120.6 (CH, Ind), 109.7 (CH, Ind), 104.2 (CH, Ind), 30.0 (CH_3N), 26.6 (CH_3).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{26}H_{22}NO_2^+$ 380.1645, found 380.1649.

(2*E*)-1-(Biphenyl-4-yl)-3-(1-methyl-1*H*-indol-2-yl)prop-2-en-1-one (1w)



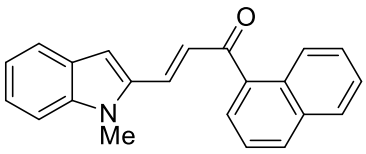
1w was obtained from 4-acetylbiphenyl (1.96 g) *via* GP2. Yield 2.68 g (79%); orange solid, mp 152–154 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.17–8.14 (m, 2H, Ar), 8.04 (br.d, ^3J = 15.3, 1H, CH=), 7.77–7.75 (m, 2H, Ar), 7.70 (d, ^3J = 15.3, 1H, CH=), 7.69–7.66 (m, 3H, Ar + Ind), 7.52–7.49 (m, 2H, Ar), 7.45–7.41 (m, 1H, Ar), 7.37–7.35 (m, 1H, Ind), 7.32–7.30 (m, 1H, Ind), 7.18 (br.s, 1H, Ind), 7.17–7.14 (m, 1H, Ind), 3.90 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 188.9 (CO), 145.5 (C, Ar), 139.9 (C, Ar), 139.4 (C, Ind), 137.0 (C, Ar), 135.7 (C, Ind), 132.4 (CH=), 128.99 (2×CH, Ar), 128.95 (2×CH, Ar), 128.2 (CH, Ar), 127.5 (C, Ind), 127.30 (2×CH, Ar), 127.27 (2×CH, Ar), 123.9 (CH, Ind), 121.6 (CH, Ind), 121.5 (CH=), 120.6 (CH, Ind), 109.7 (CH, Ind), 104.0 (CH, Ind), 30.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{24}H_{20}NO^+$ 338.1539, found 338.1545.

(2*E*)-3-(1-Methyl-1*H*-indol-2-yl)-1-(naphthalen-1-yl)prop-2-en-1-one (1x)



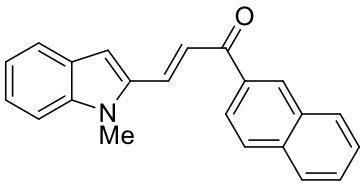
1x was obtained from 1-acetylnaphthalene (1.70 g) *via* GP1. Yield 2.71 g (87%); yellow solid, mp 129–131 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.46–8.43 (m, 1H, Ar), 8.03 (br.d, ^3J = 8.2, 1H, Ar), 7.95–7.93 (m, 1H, Ar), 7.87 (dd, ^3J = 15.7, ^4J = 0.5, 1H, CH=), 7.86 (dd, ^3J = 7.0, ^4J = 1.2, 1H, Ar), 7.66–7.64 (m, 1H, Ind), 7.63–7.56 (m, 3H, Ar), 7.43 (d, ^3J = 15.7, 1H, CH=), 7.35–7.33 (m, 1H, Ar), 7.32–7.29 (m, 1H, Ar), 7.17–7.14 (m, 1H, Ar), 7.11 (br.s, 1H, Ind), 3.82 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 194.3 (CO), 139.5 (C, Ind), 137.3 (C, Ar), 135.3 (C, Ind), 133.9 (C, Ar), 133.1 (CH=), 131.8 (CH, Ar), 130.5 (C, Ar), 128.5 (CH, Ar), 127.5 (CH + C, Ar, Ind), 127.1 (CH, Ar), 126.5 (CH, Ar), 126.3 (CH, Ar), 125.7 (CH, Ar), 124.5 (CH=), 124.0 (CH, Ar), 121.6 (CH, Ar), 120.6 (CH, Ar), 109.7 (CH, Ind), 104.6 (CH, Ind), 30.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{22}H_{18}NO^+$ 312.1383, found 312.1384.

(2*E*)-3-(1-Methyl-1*H*-indol-2-yl)-1-(naphthalen-2-yl)prop-2-en-1-one (1y)



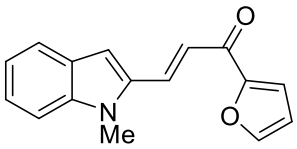
1y was obtained from 2-acetylnaphthalene (1.70 g) *via* GP1. Yield 2.43 g (78%); yellow solid, mp 175–177 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.58 (br.d, ^4J = 1.0, 1H, Ar), 8.15 (dd, ^3J = 8.6, ^4J = 1.8, 1H, Ar), 8.07 (br.d, ^3J = 15.3, 1H, CH=), 8.03 (br.d, ^3J = 8.0, 1H, Ar), 7.96 (br.d, ^3J = 8.6, 1H, Ar), 7.92 (br.d, ^3J = 7.9, 1H, Ar), 7.81 (d, ^3J = 15.3, 1H, CH=), 7.69–7.66 (m, 1H, Ind), 7.65–7.62 (m, 1H, Ar), 7.61–7.58 (m, 1H, Ar), 7.37–7.35 (m, 1H, Ind), 7.33–7.30 (m, 1H, Ind), 7.23 (br.s, 1H, Ind), 7.18–7.15 (m, 1H, Ind), 3.90 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 189.2 (CO), 139.4 (C, Ind), 135.7 (C, Ar), 135.6 (C, Ar), 135.5 (C, Ar), 132.6 (C, Ar), 132.3 (CH=), 129.8 (CH, Ar), 129.5 (CH, Ar), 128.6 (CH, Ar), 128.4 (CH, Ar), 127.8 (CH, Ar), 127.5 (C, Ar), 126.8 (CH, Ar), 124.4 (CH, Ar), 123.9 (CH, Ind), 121.6 (Ind or CH=), 121.5 (CH= or Ind), 120.6 (CH, Ind), 109.7 (CH, Ind), 103.9 (CH, Ind), 30.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{22}H_{18}NO^+$ 312.1383, found 312.1384.

(2*E*)-1-(Furan-2-yl)-3-(1-methyl-1*H*-indol-2-yl)prop-2-en-1-one[3,5] (1z)



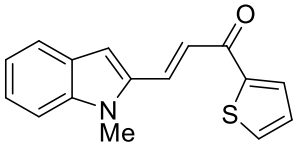
1z was obtained from 2-acetylfuran (1.10 g) *via* GP2. Yield 1.11 g (44%); yellow-orange solid, mp 123–125 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.01 (d, ^3J = 15.4, 1H, CH=), 7.68–7.67 (m, 1H, Fu), 7.65–7.63 (m, 1H, Ind), 7.53 (d, ^3J = 15.4, 1H, CH=), 7.35–7.34 (m, 1H, Fu), 7.34–7.33 (m, 1H, Ind), 7.31–7.28 (m, 1H, Ind), 7.16 (br.s, 1H, Ind), 7.15–7.13 (m, 1H, Ind), 6.61 (dd, ^3J = 3.6, ^3J = 1.7, 1H, Fu), 3.88 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 177.5 (CO), 153.8 (C, Fu), 146.4 (CH, Fu), 139.4 (C, Ind), 135.6 (C, Ind), 131.6 (CH=), 127.5 (C, Ind), 124.0 (CH=), 121.5 (CH, Ind), 121.0 (CH, Ind), 120.6 (CH, Ind), 117.3 (CH, Fu), 112. 6 (CH, Fu), 109.7 (CH, Ind), 104.3 (CH, Ind), 30.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{16}H_{14}NO_2^+$ 252.1019, found 252.1022.

(2*E*)-3-(1-Methyl-1*H*-indol-2-yl)-1-(thiophen-2-yl)prop-2-en-1-one[5] (1aa)



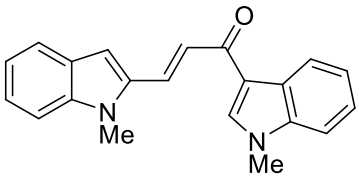
1aa was obtained from 2-acetylthiophene (1.26 g) *via* GP1. Yield 2.32 g (87%); yellow solid, mp 98–100 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.00 (d, ^3J = 15.3, 1H, CH=), 7.89 (dd, ^3J = 3.8, ^4J = 1.1, 1H, Th), 7.69 (dd, ^3J = 4.9, ^4J = 1.1, 1H, Th), 7.66–7.64 (m, 1H, Ind), 7.50 (d, ^3J = 15.3, 1H, CH=), 7.35–7.33 (m, 1H, Ind), 7.32–7.29 (m, 1H, Ind), 7.21 (dd, ^3J = 4.9, ^3J = 3.8, 1H, Th), 7.16–7.13 (m, 1H, Ind), 7.15 (br.s, 1H, Ind), 3.87 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 181.3 (CO), 145.6 (C, Th), 139.4 (C, Ind), 135.5 (C, Ind), 133.7 (CH, Th), 131.7 (CH=), 131.6 (CH, Th), 128.3 (CH, Th), 127.5 (C, Ind), 123.9 (CH, Ind), 121.5 (2×CH, Ind + CH=), 120.6 (CH, Ind), 109.7 (CH, Ind), 104.0 (CH, Ind), 30.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{16}H_{14}NOS^+$ 268.0791, found 268.0795.

(2*E*)-3-(1-Methyl-1*H*-indol-2-yl)-1-(1-methyl-1*H*-indol-3-yl)prop-2-en-1-one (1ab)



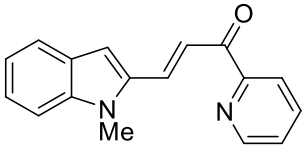
1ab was obtained from *N*-methyl-3-acetylindole (1.59 g) *via* GP1. Yield 2.96 g (94%); yellow solid, mp 202–204 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.59–8.56 (m, 1H, Ind), 7.95 (br.d, ^3J = 15.2, 1H, CH=), 7.80 (br.s, 1H, Ind), 7.65–7.63 (m, 1H, Ind), 7.43 (d, ^3J = 15.2, 1H, CH=), 7.40–7.32 (m, 3H, Ind), 7.30–7.26 (m, 2H, Ind), 7.16–7.13 (m, 1H, Ind), 7.08 (br.s, 1H, Ind), 3.79 (s, 3H, CH_3N), 3.78 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 183.5 (CO), 138.9 (C), 137.5 (C), 136.1 (C), 135.4 (CH), 128.6 (CH=), 127.5 (C), 126.7 (C), 124.1 (CH=), 123.6 (CH), 123.1 (CH), 122.9 (CH), 122.7 (CH), 121.1 (CH), 120.3 (CH), 117.5 (C), 109.64 (CH), 109.57 (CH), 102.2 (CH), 33.4 (CH_3N), 29.8 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{21}H_{19}N_2O^+$ 315.1492, found 315.1494.

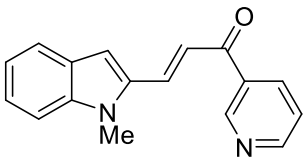
(2*E*)-3-(1-Methyl-1*H*-indol-2-yl)-1-(pyridin-2-yl)prop-2-en-1-one[4] (1ac)



1ac was obtained from 2-acetylpyridine (1.21 g) *via* GP3. Yield 1.77 g (49%); yellow-green solid, mp 103–105 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.76 (ddd, ^3J = 4.7, ^4J = 1.7, ^5J = 0.9, 1H, Py), 8.36 (d, ^3J = 15.8, 1H, CH=), 8.22–8.20 (m, 1H, Py), 8.09 (d, ^3J = 15.8, 1H, CH=), 7.88–7.85 (m, 1H, Py), 7.66–7.64 (m, 1H, Ind), 7.48 (ddd, ^3J = 7.5, ^3J = 4.7, ^4J = 1.2, 1H, Py), 7.34–7.32 (m, 1H, Ind), 7.30–7.27 (m, 1H, Ind), 7.25 (br.s, 1H, Ind), 7.15–7.12 (m, 1H, Ind), 3.89 (s, 3H, CH_3N).

(2*E*)-3-(1-Methyl-1*H*-indol-2-yl)-1-(pyridin-3-yl)prop-2-en-1-one (1ad)



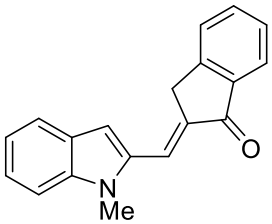
1ad was obtained from 3-acetylpyridine (1.21 g) *via* GP3. Yield 1.41 g (53%); yellow solid, mp 134–136 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 9.27 (dd, ^4J = 2.3, ^4J = 0.8, 1H, Py), 8.81 (dd, ^3J = 4.8, ^4J = 1.7, 1H, Py), 8.31 (ddd, ^3J = 7.9, ^4J = 1.7, ^4J = 2.3, 1H, Py), 8.03 (d, ^3J = 15.3, 1H, CH=), 7.66–7.64 (m, 1H, Ind), 7.57 (d, ^3J = 15.3, 1H, CH=), 7.46 (ddd, ^3J = 7.9, ^3J = 4.8, ^4J = 0.8, 1H, Py), 7.34–7.32 (m, 1H, Ind), 7.32–7.29 (m, 1H, Ind), 7.18 (br.s, 1H, Ind), 7.16–7.13 (m, 1H, Ind), 3.87 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 187.9 (CO), 153.1 (CH, Py), 149.6 (CH, Py), 139.6 (C, Ind), 135.7 (CH, Py), 135.2 (C, Ind), 133.5 (C, Py), 133.4 (CH=), 127.4 (C, Ind), 124.3 (CH, Ind), 123.6 (CH, Py), 121.7 (CH, Ind), 120.7 (CH, Ind), 120.5 (CH=), 109.8 (CH, Ind), 104.8 (CH, Ind), 30.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{17}H_{15}N_2O^+$ 263.1179, found 263.1183.

(2*E*)-2-[(1-Methyl-1*H*-indol-2-yl)methylidene]-2,3-dihydro-1*H*-inden-1-one (1ae)



1ae was obtained from 1-indanone (1.32 g) *via* GP1. Yield 2.44 g (89%); yellow solid, mp 170–172 °C.

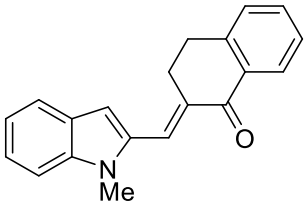
^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.93–7.91 (m, 1H, Ar), 7.83–7.81 (m, 1H, CH=), 7.70–7.68 (m, 1H, Ind), 7.64–7.61 (m, 1H, Ar), 7.59–7.57 (m, 1H, Ar),

7.45–7.42 (m, 1H, Ar), 7.36–7.34 (m, 1H, Ind), 7.32–7.29 (m, 1H, Ind), 7.17–7.14 (m, 1H, Ind), 7.03 (br.s, 1H, Ind), 3.99 (br.s, 2H, CH_2), 3.89 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 193.6 (CO), 149.2 (C, Ar), 138.7 (C, Ind), 138.5 (C, Ar), 135.0 (C, Ind), 134.9 (C=), 134.5 (CH, Ar), 127.9 (C, Ind), 127.6 (CH, Ar), 126.1 (CH, Ar), 124.3 (CH, Ar), 124.0 (CH, Ind), 121.5 (CH, Ind), 120.8 (CH=), 120.5 (CH, Ind), 109.7 (CH, Ind), 106.8 (CH, Ind), 33.0 (CH_2), 29.8 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{19}H_{16}NO^+$ 274.1226, found 274.1231.

(2*E*)-2-[(1-Methyl-1*H*-indol-2-yl)methylidene]-3,4-dihydronaphthalen-1(2*H*)-one (1af)



1af was obtained from 1-tetralone (1.46 g) *via* GP1. Yield 2.52 g (88%); yellow solid, mp 113–115 °C.

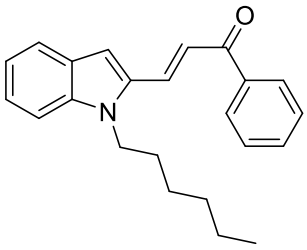
^1H NMR ($CDCl_3$, 600 MHz) δ = 8.17 (dd, ^3J = 7.8, ^4J = 1.1, 1H, Ar), 8.01–8.00 (m, 1H, CH=), 7.67 (d, ^3J = 7.9, 1H, Ind), 7.54–7.51 (m, 1H, Ar), 7.41–7.38 (m, 1H, Ar), 7.36 (d, ^3J = 8.3, 1H, Ind), 7.32–7.28 (m, 2H, Ind + Ar), 7.17–7.14 (m, 1H, Ind), 6.86 (s, 1H, Ind), 3.87 (s, 3H, CH_3N), 3.32–3.29 (m, 2H, CH_2), 3.05–3.02 (m, 2H, CH_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 186.9 (CO), 143.0 (C, Ar), 138.2 (C, Ind), 135.4 (C=), 135.0 (C, Ind), 133.5 (C, Ar), 133.2 (CH, Ar), 128.1 (2×CH, Ar), 127.6 (C, Ind), 127.0 (CH, Ar), 124.5 (CH=), 123.4 (CH, Ind), 121.2 (CH, Ind), 120.2 (CH, Ind), 109.5 (CH, Ind), 105.9 (CH, Ind), 30.0 (CH_3N), 28.3 (CH_2), 27.8 (CH_2).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{20}H_{18}NO^+$ 288.1383, found 288.1387.

General Procedure 1* (GP1*). The reaction between acetophenone (1 equiv) and the corresponding 2-indolecarbaldehyde (1 equiv) was carried out under conditions of GP1. However, in two days, only 50–80% conversion into enone **1** was achieved (^{1}H NMR analysis). Then, CH_2Cl_2 (2 mL), NaOH (up to 40% in water), Bu_4NHSO_4 (0.02 equiv) were added to the reaction mixture. After stirring for additional 48 h at room temperature, resulting mixture was diluted with water and extracted with EA. Combined organic fractions were washed with brine twice, dried with Na_2SO_4 and concentrated under reduced pressure. Residue was purified by column chromatography on silica gel.

(2*E*)-3-(1-Hexyl-1*H*-indol-2-yl)-1-phenylprop-2-en-1-one (1ag)



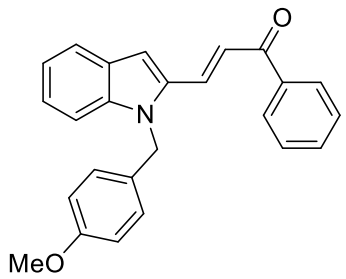
1ag was obtained from 1-hexyl-1*H*-indole-2-carbaldehyde (1.15 g, 5.01 mmol). Yield 1.18 g (71%); orange oil; R_f = 0.61 (PE:EA, 6:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.09–8.07 (m, 2H, Ph), 7.99 (br.d, ^3J = 15.3, 1H, CH=), 7.66 (d, ^3J = 15.3, 1H, CH=), 7.67–7.65 (m, 1H, Ind), 7.63–7.60 (m, 1H, Ph), 7.56–7.52 (m, 2H, Ph), 7.37–7.35 (m, 1H, Ind), 7.30–7.27 (m, 1H, Ind), 7.16 (br.s, 1H, Ind), 7.16–7.13 (m, 1H, Ind), 4.29 (t, ^3J = 7.5, 2H, CH_2N), 1.85–1.79 (m, 2H, CH_2), 1.40–1.27 (m, 6H, CH_2), 0.90–0.87 (m, 3H, CH_3).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 189.5 (CO), 138.8 (C, Ind), 138.3 (C, Ar), 135.1 (C, Ind), 132.8 (CH, Ph), 132.5 (CH=), 128.6 (2×CH, Ph), 128.4 (2×CH, Ph), 127.6 (C, Ind), 123.7 (CH, Ind), 121.6 (CH), 121.5 (CH), 120.5 (CH, Ind), 109.9 (CH, Ind), 103.8 (CH, Ind), 43.4 (CH_2N), 31.4 (CH_2), 30.7 (CH_2), 26.7 (CH_2), 22.5 (CH_2), 13.9 (CH_3).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{23}H_{26}NO^+$ 332.2009, found 332.2006.

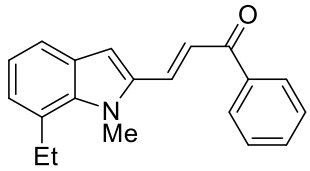
(2*E*)-3-[1-(4-Methoxybenzyl)-1*H*-indol-2-yl]-1-phenylprop-2-en-1-one[4] (1ah)



1ah was obtained from 1-(4-methoxybenzyl)-1*H*-indole-2-carbaldehyde (1.05 g, 3.96 mmol). Yield 1.17 g (80%); yellow foam; R_f = 0.57 (PE:EA, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.00–7.97 (m, 2H, Ph), 7.97 (br.d, ^3J = 15.5, 1H, CH=), 7.73–7.71 (m, 1H, Ind), 7.61–7.58 (m, 1H, Ph), 7.59 (d, ^3J = 15.5, 1H, CH=), 7.52–7.48 (m, 2H, Ph), 7.37–7.34 (m, 1H, Ind), 7.30–7.27 (m, 1H, Ind), 7.22 (br.s, 1H, Ind), 7.20–7.17 (m, 1H, Ind), 7.03 (br.d, ^3J = 8.9, 2H, PMP), 6.84 (br.d, ^3J = 8.9, 2H, PMP), 5.45 (br.s, 2H, CH_2N), 3.77 (s, 3H, CH_3O).

(2*E*)-3-(7-Ethyl-1-methyl-1*H*-indol-2-yl)-1-phenylprop-2-en-1-one (1ai)



1ai was obtained from 7-ethyl-1-methyl-1*H*-indole-2-carbaldehyde (1.17 g, 6.25 mmol). Yield 1.19 g (66%); yellow solid, mp 93–95 °C; R_f = 0.62 (PE:EA, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.08–8.06 (m, 2H, Ph), 8.03 (dd, ^3J = 15.2, ^4J = 0.6, 1H, CH=), 7.63 (d, ^3J = 15.2, 1H, CH=), 7.62–7.59 (m, 1H, Ph), 7.55–7.52 (m, 2H, Ph), 7.50–7.47 (m, 1H, Ind), 7.15 (br.s, 1H, Ind), 7.07–7.04 (m, 2H, Ind), 4.11 (s, 3H, CH_3N), 3.16 (q, ^3J = 7.6, 2H, CH_2), 1.39 (t, ^3J = 7.6, 3H, CH_3).

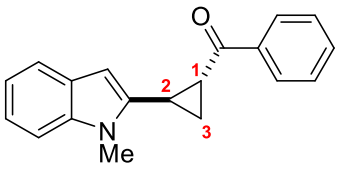
^{13}C NMR ($CDCl_3$, 150 MHz) δ = 189.4 (CO), 138.3 (C, Ph), 137.8 (C, Ind), 136.5 (C, Ind), 132.7 (CH= + CH, Ph), 128.7 (C, Ind), 128.6 (2×CH, Ph), 128.4 (2×CH, Ph), 128.0 (C, Ind), 125.3 (CH, Ind), 121.8 (CH=), 120.8 (CH, Ind), 119.7 (CH, Ind), 104.9 (CH, Ind), 32.9 (CH_3N), 26.1 (CH_2), 16.4 (CH_3).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{20}H_{20}NO^+$ 290.1539, found 290.1538.

Synthesis of Cyclopropanes 2

General Procedure 4 (GP4). Cyclopropanes **2** were synthesized under Corey–Chaykovsky reaction conditions.[6] To suspension of NaH (1.02 equiv, 60% suspension in mineral oil) in dry DMF (0.5 M) Me_3SOI (1.02 equiv) was added in one portion under inert atmosphere. After stirring for 20 min at ambient temperature, resulting suspension was cooled with ice–water bath, and enone **1** (1 equiv) was added portion-wise under vigorous stirring. The mixture was stirred for additional 1.5 h (4 h for *ortho*-substituted substrates) at ambient temperature, quenched with ice water and extracted with EA. Combined organic fractions were washed with brine (3 times), dried with Na_2SO_4 and concentrated under reduced pressure. Residue was purified by column chromatography on silica gel.

[2-(1-Methyl-1*H*-indol-2-yl)cyclopropyl](phenyl)methanone (2a)



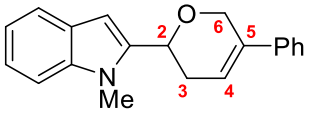
2a was obtained from enone **1a** (2.21 g, 8.46 mmol). Yield 2.07 g (89%); yellowish solid, mp 94–96 °C; R_f = 0.48 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.12–8.09 (m, 2H, Ph), 7.66–7.63 (m, 1H, Ph), 7.62–7.60 (m, 1H, Ind), 7.56–7.53 (m, 2H, Ph), 7.33–7.31 (m, 1H, Ind), 7.27–7.24 (m, 1H, Ind), 7.17–7.14 (m, 1H, Ind), 6.32 (br.s, 1H, Ind), 3.74 (s, 3H, CH_3N), 2.97 (ddd, ^3J = 8.2, ^3J = 5.1, ^3J = 4.1, 1H, C^{1}H), 2.82 (dddd, ^3J = 8.9, ^3J = 6.6, ^3J = 4.1, ^4J = 0.9, 1H, C^{2}H), 1.98 (ddd, ^2J = 3.8, ^3J = 8.9, ^3J = 5.1, 1H, C^{3}H$_2$), 1.70 (ddd, ^2J = 3.8, ^3J = 8.2, ^3J = 6.6, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 198.0 (CO), 139.9 (C, Ind), 137.5 (C, Ind), 137.3 (C, Ph), 133.1 (CH, Ph), 128.7 (2×CH, Ph), 128.1 (2×CH, Ph), 127.3 (C, Ind), 121.3 (CH, Ind), 120.2 (CH, Ind), 119.6 (CH, Ind), 108.8 (CH, Ind), 98.0 (CH, Ind), 29.7 ($^1J_{CH}$ = 138, CH_3N), 27.2 ($^1J_{CH}$ = 165, C^{1}H), 21.6 ($^1J_{CH}$ = 165, C^{2}H), 17.7 ($^1J_{CH}$ = 166, C^{3}H$_2$).

HRMS (ESI) *m/z* [M + H]$^+$ calcd for $C_{19}H_{18}NO^+$ 276.1383, found 276.1387.

1-Methyl-2-(5-phenyl-3,6-dihydro-2*H*-pyran-2-yl)-1*H*-indole (2-DHP)



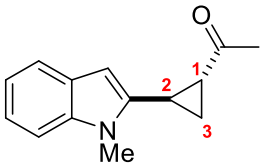
2-DHP was obtained from enone **1a** (1.30 g, 4.98 mmol) using *ca*. 1.2 equiv of ylide. Yield 0.24 g (17%); colorless crystals, mp 158–160 °C; R_f = 0.75 (PE:EA, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.64–7.62 (m, 1H, Ind), 7.40–7.35 (m, 5H, Ph + Ind), 7.33–7.30 (m, 1H, Ph), 7.28–7.25 (m, 1H, Ind), 7.14–7.11 (m, 1H, Ind), 6.57 (br.s, 1H, Ind), 6.38–6.35 (m, 1H, C^{4}H), 4.95 (dd, ^3J = 9.0, ^3J = 3.8, 1H, C^{2}H), 4.74–4.69 (m, 1H, C^{6}H$_2$), 4.66–4.61 (m, 1H, C^{6}H$_2$), 3.87 (s, 3H, CH_3N), 3.00–2.94 (m, 1H, C^{3}H$_2$), 2.72–2.66 (m, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 138.5 (C), 138.1 (C), 138.0 (C), 135.9 (C), 128.5 (2×CH, Ph), 127.5 (CH, Ph), 127.1 (C), 124.8 (2×CH, Ph), 121.8 (CH, Ind), 120.83 (Ind or C^{4}H), 120.81 (C^{4}H or Ind), 119.4 (CH, Ind), 109.1 (CH, Ind), 100.2 (CH, Ind), 68.0 (C^{1}H), 66.6 (C^{6}H$_2$), 30.0 (CH_3N), 28.9 (C^{3}H$_2$).

HRMS (ESI) *m/z* [M + H]$^+$ calcd for $C_{20}H_{20}NO^+$ 290.1539, found 290.1544.

1-[2-(1-Methyl-1*H*-indol-2-yl)cyclopropyl]ethanone (2b)



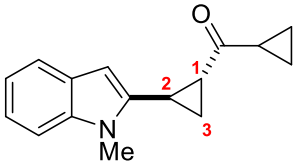
2b was obtained from enone **1b** (741 mg, 3.72 mmol). Yield 371 mg (47%); yellowish oil; R_f = 0.34 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.55–7.54 (m, 1H, Ind), 7.30–7.28 (m, 1H, Ind), 7.23–7.20 (m, 1H, Ind), 7.12–7.09 (m, 1H, Ind), 6.19 (br.s, 1H, Ind), 3.76 (s, 3H, CH_3N), 2.60 (ddd, ^3J = 9.0, ^3J = 6.6, ^3J = 4.2, 1H, C^{2}H), 2.39 (s, 3H, CH_3), 2.25 (ddd, ^3J = 8.2, ^3J = 5.0, ^3J = 4.2, 1H, C^{1}H), 1.70 (ddd, ^2J = 3.9, ^3J = 9.0, ^3J = 5.0, 1H, C^{3}H$_2$), 1.47 (ddd, ^2J = 3.9, ^3J = 8.2, ^3J = 6.6, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 206.4 (CO), 139.7 (C, Ind), 137.5 (C, Ind), 127.3 (C, Ind), 121.3 (CH, Ind), 120.1 (CH, Ind), 119.6 (CH, Ind), 108.8 (CH, Ind), 97.9 (CH, Ind), 30.9 (CH_3), 30.7 (C^{1}H), 29.6 (CH_3N), 20.6 (C^{2}H), 17.5 (C^{3}H$_2$).

HRMS (ESI) *m/z* [M + H]$^+$ calcd for $C_{14}H_{16}NO^+$ 214.1226, found 214.1229.

[2-(1-Methyl-1*H*-indol-2-yl)cyclopropyl](phenyl)methanone (2c)



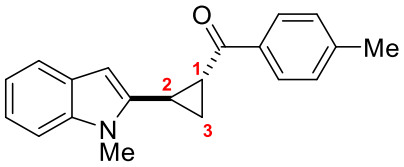
2c was obtained from enone **1c** (1.13 g, 5.00 mmol). Yield 884 mg (74%); yellowish solid, mp 77–79 °C; R_f = 0.27 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.56–7.54 (m, 1H, Ind), 7.31–7.29 (m, 1H, Ind), 7.23–7.20 (m, 1H, Ind), 7.12–7.09 (m, 1H, Ind), 6.21 (br.s, 1H, Ind), 3.77 (s, 3H, CH_3N), 2.60 (dddd, ^3J = 8.9, ^3J = 6.5, ^3J = 4.2, ^4J = 0.9, 1H, C^{2}H), 2.32 (ddd, ^3J = 8.2, ^3J = 5.1, ^3J = 4.2, 1H, C^{1}H), 2.17 (tt, ^3J = 7.8, ^3J = 4.5, 1H, CH), 1.73 (ddd, ^2J = 3.9, ^3J = 8.9, ^3J = 5.1, 1H, C^{3}H$_2$), 1.49 (ddd, ^2J = 3.9, ^3J = 8.2, ^3J = 6.5, 1H, C^{3}H$_2$), 1.21–1.13 (m, 2H, CH_2), 1.05–0.96 (m, 2H, CH_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 208.5 (CO), 140.0 (C, Ind), 137.5 (C, Ind), 127.3 (C, Ind), 121.3 (CH, Ind), 120.1 (CH, Ind), 119.5 (CH, Ind), 108.8 (CH, Ind), 97.9 (CH, Ind), 30.3 (C^{1}H), 29.6 (CH_3N), 21.5 (CH), 20.7 (C^{2}H), 17.2 (C^{3}H$_2$), 10.9 (CH_2), 10.8 (CH_2).

HRMS (ESI) *m/z* [M + H]$^+$ calcd for $C_{16}H_{18}NO^+$ 240.1383, found 240.1386.

[2-(1-Methyl-1*H*-indol-2-yl)cyclopropyl](4-methylphenyl)methanone (2d)



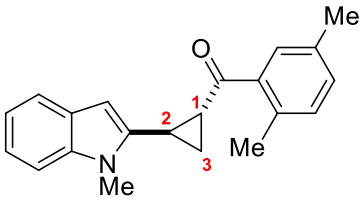
2d was obtained from enone **1d** (2.34 g, 8.50 mmol). Yield 2.29 g (93%); yellow oil; R_f = 0.68 (PE:EA, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.00–7.98 (m, 2H, Ar), 7.60–7.58 (m, 1H, Ind), 7.34–7.32 (m, 2H, Ar), 7.31–7.29 (m, 1H, Ind), 7.24–7.21 (m, 1H, Ind), 7.14–7.11 (m, 1H, Ind), 6.29 (br.s, 1H, Ind), 3.73 (s, 3H, CH_3N), 2.93 (ddd, ^3J = 8.2, ^3J = 5.1, ^3J = 4.1, 1H, C^{1}H), 2.78 (dddd, ^3J = 8.9, ^3J = 6.6, ^3J = 4.1, ^4J = 0.9, 1H, C^{2}H), 2.47 (s, 3H, CH_3), 1.94 (ddd, ^2J = 3.8, ^3J = 8.9, ^3J = 5.1, 1H, C^{3}H$_2$), 1.66 (ddd, ^2J = 3.8, ^3J = 8.2, ^3J = 6.6, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 197.5 (CO), 144.0 (C, Ar), 140.1 (C, Ind), 137.6 (C, Ind), 134.9 (C, Ar), 129.4 (2×CH, Ar), 128.2 (2×CH, Ar), 127.4 (C, Ind), 121.3 (CH, Ind), 120.2 (CH, Ind), 119.6 (CH, Ind), 108.8 (CH, Ind), 98.0 (CH, Ind), 29.7 (CH_3N), 27.1 (C^{1}H), 21.6 (CH_3), 21.4 (C^{2}H), 17.4 (C^{3}H$_2$).

HRMS (ESI) *m/z* [M + H]$^+$ calcd for $C_{20}H_{20}NO^+$ 290.1539, found 290.1543.

(2,5-Dimethylphenyl)[2-(1-methyl-1*H*-indol-2-yl)cyclopropyl]methanone (2e)



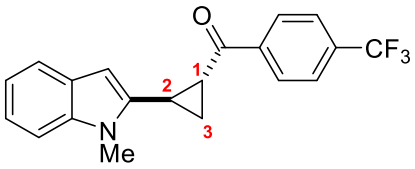
2e was obtained from enone **1e** (2.48 g, 8.57 mmol). Yield 2.38 g (92%); yellow solid, mp 79–81 °C; R_f = 0.75 (PE:EA, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.61–7.59 (m, 2H, Ind + Ar), 7.33–7.31 (m, 1H, Ind), 7.26–7.23 (m, 2H, Ind + Ar), 7.21 (br.d, ^3J = 7.7, 1H, Ar), 7.16–7.13 (m, 1H, Ind), 6.29–6.28 (m, 1H, Ind), 3.79 (s, 3H, CH_3N), 2.84 (dddd, ^3J = 8.9, ^3J = 6.6, ^3J = 4.2, ^4J = 0.9, 1H, C^{2}H), 2.79 (ddd, ^3J = 8.2, ^3J = 5.1, ^3J = 4.2, 1H, C^{1}H), 2.55 (s, 3H, CH_3), 2.42 (s, 3H, CH_3), 1.95 (ddd, ^2J = 3.7, ^3J = 8.9, ^3J = 5.1, 1H, C^{3}H$_2$), 1.66 (ddd, ^2J = 3.7, ^3J = 8.2, ^3J = 6.6, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 202.2 (CO), 140.0 (C, Ind), 138.7 (C, Ar), 137.6 (C, Ind), 135.3 (C, Ar), 134.2 (C, Ar), 132.0 (CH, Ar), 131.6 (CH, Ar), 129.0 (CH, Ar), 127.3 (C, Ind), 121.3 (CH, Ind), 120.1 (CH, Ind), 119.6 (CH, Ind), 108.8 (CH, Ind), 97.8 (CH, Ind), 30.4 (C^{1}H), 29.7 (CH_3N), 21.6 (C^{2}H), 20.9 (CH_3), 20.4 (CH_3), 18.3 (C^{3}H$_2$).

HRMS (ESI) *m/z* [M + H]$^+$ calcd for $C_{21}H_{22}NO^+$ 304.1696, found 304.1703.

[2-(1-Methyl-1*H*-indol-2-yl)cyclopropyl](4-methylphenyl)methanone (2f)



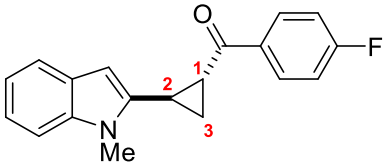
2f was obtained from enone **1f** (2.80 g, 8.50 mmol). Yield 2.21 g (76%); yellow solid, mp 91–93 °C; R_f = 0.58 (PE:E, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.18–8.16 (m, 2H, Ar), 7.80–7.78 (m, 2H, Ar), 7.60–7.59 (m, 1H, Ind), 7.32–7.30 (m, 1H, Ind), 7.26–7.23 (m, 1H, Ind), 7.15–7.12 (m, 1H, Ind), 6.32–6.31 (m, 1H, Ind), 3.74 (s, 3H, CH_3N), 2.94 (ddd, ^3J = 8.2, ^3J = 5.1, ^3J = 4.2, 1H, C^{1}H), 2.85 (dddd, ^3J = 8.9, ^3J = 6.7, ^3J = 4.2, ^4J = 0.9, 1H, C^{2}H), 2.01 (ddd, ^2J = 3.9, ^3J = 8.9, ^3J = 5.1, 1H, C^{3}H$_2$), 1.75 (ddd, ^2J = 3.9, ^3J = 8.2, ^3J = 6.7, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 197.1 (CO), 140.0 (C, Ar), 139.5 (C, Ind), 137.6 (C, Ind), 134.5 ($^2J_{CF}$ = 33, C, Ar), 128.4 (2×CH, Ar), 127.3 (C, Ind), 125.8 ($^3J_{CF}$ = 4, 2×CH, Ar), 123.6 ($^2J_{CF}$ = 273, CF_3), 121.5 (CH, Ind), 120.3 (CH, Ind), 119.7 (CH, Ind), 108.9 (CH, Ind), 98.2 (CH, Ind), 29.7 (CH_3N), 27.7 (C^{1}H), 22.2 (C^{2}H), 18.2 (C^{3}H$_2$).

HRMS (ESI) *m/z* [M + H]$^+$ calcd for $C_{20}H_{17}F_3NO^+$ 344.1257, found 344.1263.

(4-Fluorophenyl)[2-(1-methyl-1*H*-indol-2-yl)cyclopropyl]methanone (2g)



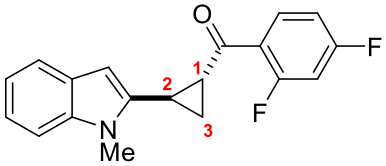
2g was obtained from enone **1g** (2.38 g, 8.52 mmol). Yield 2.22 g (89%); yellow oil; R_f = 0.73 (PE:EA, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.13–8.09 (m, 2H, Ar), 7.61–7.59 (m, 1H, Ind), 7.32–7.30 (m, 1H, Ind), 7.26–7.23 (m, 1H, Ind), 7.22–7.18 (m, 2H, Ar), 7.15–7.13 (m, 1H, Ind), 6.31–6.30 (m, 1H, Ind), 3.74 (s, 3H, CH_3N), 2.91 (ddd, ^3J = 8.2, ^3J = 5.1, ^3J = 4.2, 1H, C^{1}H), 2.81 (dddd, ^3J = 8.9, ^3J = 6.6, ^3J = 4.2, ^4J = 0.9, 1H, C^{2}H), 1.95 (ddd, ^2J = 3.9, ^3J = 8.9, ^3J = 5.1, 1H, C^{3}H$_2$), 1.69 (ddd, ^2J = 3.9, ^3J = 8.2, ^3J = 6.6, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 196.4 (CO), 165.8 ($^1J_{CF}$ = 255, C, Ar), 139.8 (C, Ind), 137.5 (C, Ind), 133.7 ($^4J_{CF}$ = 3, C, Ar), 130.7 ($^3J_{CF}$ = 9, 2×CH, Ar), 127.2 (C, Ind), 121.4 (CH, Ind), 120.2 (CH, Ind), 119.6 (CH, Ind), 115.8 ($^2J_{CF}$ = 22, 2×CH, Ar), 108.8 (CH, Ind), 98.0 (CH, Ind), 29.7 (CH_3N), 27.1 (C^{1}H), 21.6 (C^{2}H), 17.7 (C^{3}H$_2$).

HRMS (ESI) *m/z* [M + H]$^+$ calcd for $C_{19}H_{17}FNO^+$ 294.1289, found 294.1293.

(2,4-Difluorophenyl)[2-(1-methyl-1*H*-indol-2-yl)cyclopropyl]methanone (2h)



2h was obtained from enone **1h** (2.57 g, 8.65 mmol). Yield 2.16 g (80%); yellow solid, mp 88–90 °C; R_f = 0.52 (PE:E, 3:1).

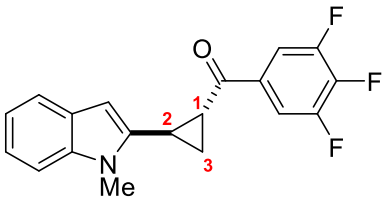
^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.94–7.90 (m, 1H, Ar), 7.59–7.57 (m, 1H, Ind), 7.32–7.30 (m, 1H, Ind), 7.25–7.22 (m, 1H, Ind), 7.14–7.11 (m, 1H, Ind), 7.03–7.00 (m, 1H, Ar), 6.95–6.91 (m, 1H, Ar), 6.30–6.29 (m, 1H, Ind), 3.77 (s, 3H, CH_3N), 2.96 (dddd, ^3J = 8.1, ^3J = 5.1, ^3J = 4.1, $^5J_{HF}$ = 2.8, 1H, C^{1}H), 2.85 (ddd, ^3J = 8.9, ^3J = 6.7, ^3J = 4.1, 1H, C^{2}H), 1.97 (dddd, ^2J = 3.8, ^3J = 8.9, ^3J = 5.1, $^6J_{HF}$ = 0.9, 1H, C^{3}H$_2$), 1.67 (ddd, ^2J = 3.8, ^3J = 8.1, ^3J = 6.7, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 195.5 (CO), 165.7 ($^1J_{CF}$ = 257, $^3J_{CF}$ = 12, C), 162.6 ($^1J_{CF}$ = 257, $^3J_{CF}$ = 13, C), 139.6 (C, Ind), 137.6 (C, Ind), 132.4 ($^3J_{CF}$ = 10, $^3J_{CF}$ = 4, CH), 127.3 (C, Ind), 123.1 ($^2J_{CF}$ = 12, $^4J_{CF}$ = 3, C), 121.4 (CH, Ind), 120.2 (CH, Ind), 119.6 (CH, Ind), 112.2 ($^2J_{CF}$ = 22, $^4J_{CF}$ = 3, CH),

108.8 (CH, Ind), 104.9 ($^2J_{CF}$ = 27, $^2J_{CF}$ = 26, CH), 98.3 (CH, Ind), 30.9 ($^4J_{CF}$ = 10, C^{1}H), 29.6 (CH_3N), 22.4 (C^{2}H), 18.5 (C$^3H_2$).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{19}H_{16}F_2NO^+$ 312.1194, found 312.1200.

[2-(1-Methyl-1*H*-indol-2-yl)cyclopropyl](3,4,5-trifluorophenyl)methanone (2i)



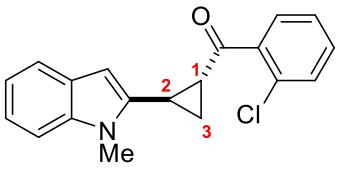
2i was obtained from enone **1i** (1.58 g, 5.00 mmol). Yield 1.28 g (78%); yellowish solid, mp 139–141 °C; R_f = 0.73 (PE:EA, 4:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.73–7.68 (m, 2H, Ar), 7.58–7.57 (m, 1H, Ind), 7.31–7.29 (m, 1H, Ind), 7.25–7.22 (m, 1H, Ind), 7.14–7.11 (m, 1H, Ind), 6.28 (br.s, 1H, Ind), 3.73 (s, 3H, CH_3N), 2.83 (dddd, ^3J = 8.9, ^3J = 6.7, ^3J = 4.1, ^4J = 0.9, 1H, C^{2}H), 2.79 (ddd, ^3J = 8.2 ^3J = 5.0, ^3J = 4.1, 1H, C^{1}H), 1.96 (ddd, ^2J = 3.9, ^3J = 8.9, ^3J = 5.0, 1H, C$^3H_2$), 1.58 (ddd, ^2J = 3.9, ^3J = 8.2, ^3J = 6.7, 1H, C$^3H_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 194.5 (CO), 151.3 ($^1J_{CF}$ = 253, $^2J_{CF}$ = 10, $^3J_{CF}$ = 3, 2×C, Ar), 143.1 ($^1J_{CF}$ = 260, $^2J_{CF}$ = 15, C, Ar), 139.1 (C, Ind), 137.7 (C, Ind), 132.9 ($^3J_{CF}$ = 5, $^4J_{CF}$ = 4, C, Ar), 127.2 (C, Ar), 121.6 (CH, Ind), 120.3 (CH, Ind), 119.8 (CH, Ind), 112.7 ($^2J_{CF}$ = 17, $^3J_{CF}$ = 4, 2×CH, Ar), 108.9 (CH, Ind), 98.2 (CH, Ind), 29.7 (CH_3N), 27.1 (C^{1}H), 22.3 (C^{2}H), 18.5 (C$^3H_2$).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{19}H_{15}F_3NO^+$ 330.1100, found 330.1097.

(2-Chlorophenyl)[2-(1-methyl-1*H*-indol-2-yl)cyclopropyl]methanone (2j)



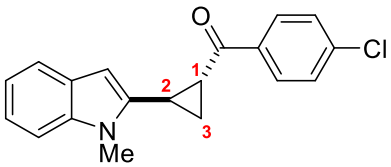
2j was obtained from enone **1j** (2.61 g, 8.82 mmol). Yield 2.40 g (88%); yellow solid, mp 89–91 °C; R_f = 0.64 (PE:EA, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.63 (dd, ^3J = 7.6, ^4J = 1.8, 1H, Ar), 7.62–7.60 (m, 1H, Ind), 7.50 (dd, ^3J = 8.0, ^4J = 1.3, 1H, Ar), 7.47–7.44 (m, 1H, Ar), 7.42–7.39 (m, 1H, Ar), 7.34–7.32 (m, 1H, Ind), 7.27–7.25 (m, 1H, Ind), 7.18–7.14 (m, 1H, Ind), 6.30 (br.s, 1H, Ind), 3.80 (s, 3H, CH_3N), 2.93 (dddd, ^3J = 8.9, ^3J = 6.7, ^3J = 4.1, ^4J = 0.9, 1H, C^{2}H), 2.87 (ddd, ^3J = 8.2, ^3J = 5.1, ^3J = 4.1, 1H, C^{1}H), 2.03 (ddd, ^2J = 3.8, ^3J = 8.9, ^3J = 5.1, 1H, C$^3H_2$), 1.75 (ddd, ^2J = 3.8, ^3J = 8.2, ^3J = 6.7, 1H, C$^3H_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 200.9 (CO), 139.43 (C), 139.38 (C), 137.5 (C, Ind), 131.9 (CH, Ar), 131.2 (C, Ar), 130.4 (CH, Ar), 129.1 (CH, Ar), 127.2 (C, Ind), 126.9 (CH, Ar), 121.3 (CH, Ind), 120.1 (CH, Ind), 119.5 (CH, Ind), 108.8 (CH, Ind), 97.9 (CH, Ind), 31.7 (C^1H), 29.7 (CH_3N), 22.7 (C^2H), 19.2 (C^3H_2).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{19}H_{17}ClNO^+$ 310.0993, found 310.0995.

(4-Chlorophenyl)[2-(1-methyl-1*H*-indol-2-yl)cyclopropyl]methanone (2k)



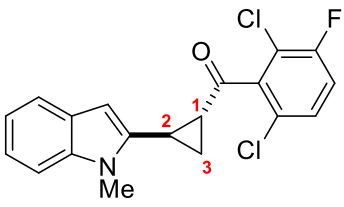
2k was obtained from enone **1k** (2.47 g, 8.35 mmol). Yield 2.13 g (82%); yellow solid, mp 94–96 °C; R_f = 0.84 (PE:EA, 2:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.03–8.00 (m, 2H, Ar), 7.62–7.60 (m, 1H, Ind), 7.52–7.49 (m, 2H, Ar), 7.33–7.30 (m, 1H, Ind), 7.26–7.23 (m, 1H, Ind), 7.16–7.13 (m, 1H, Ind), 6.31 (br.s, 1H, Ind), 3.73 (s, 3H, CH_3N), 2.90 (ddd, ^3J = 8.2, ^3J = 5.1, ^3J = 4.1, 1H, C^1H), 2.81 (dddd, ^3J = 8.9, ^3J = 6.6, ^3J = 4.1, ^4J = 0.9, 1H, C^2H), 1.98 (ddd, ^2J = 3.9, ^3J = 8.9, ^3J = 5.1, 1H, C^3H_2), 1.71 (ddd, ^2J = 3.9, ^3J = 8.1, ^3J = 6.6, 1H, C^3H_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 196.5 (CO), 139.6 (C), 139.5 (C), 137.5 (C, Ind), 135.5 (C, Ar), 129.4 (2×CH, Ar), 128.8 (2×CH, Ar), 127.2 (C, Ind), 121.3 (CH, Ind), 120.1 (CH, Ind), 119.6 (CH, Ind), 108.8 (CH, Ind), 98.0 (CH, Ind), 29.5 (CH_3N), 27.1 (C^1H), 21.7 (C^2H), 17.7 (C^3H_2).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{19}H_{17}ClNO^+$ 310.0993, found 310.0995.

(2,6-Dichloro-3-fluorophenyl)[2-(1-methyl-1*H*-indol-2-yl)cyclopropyl]methanone (2l)



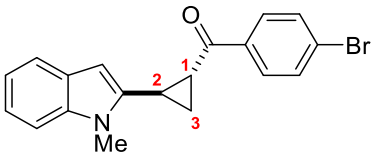
2l was obtained from enone **1l** (1.74 g, 5.00 mmol). Yield 1.46 g (81%); white solid, mp 122–124 °C; R_f = 0.48 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.54–7.53 (m, 1H, Ind), 7.34 (dd, ^3J = 8.9, $^4J_{HF}$ = 4.2, 1H, Ar), 7.30–7.28 (m, 1H, Ind), 7.23–7.20 (m, 1H, Ind), 7.16 (dd, ^3J = 8.9, $^3J_{HF}$ = 8.1, 1H, Ar), 7.11–7.08 (m, 1H, Ind), 6.23–6.22 (m, 1H, Ind), 3.83 (s, 3H, CH_3N), 3.01 (dddd, ^3J = 9.0, ^3J = 6.9, ^3J = 4.1, ^4J = 0.9, 1H, C^2H), 2.51 (ddd, ^3J = 8.2, ^3J = 5.0, ^3J = 4.1, 1H, C^1H), 1.98 (ddd, ^2J = 4.0, ^3J = 9.0, ^3J = 5.0, 1H, C^3H_2), 1.80 (ddd, ^2J = 4.0, ^3J = 8.2, ^3J = 6.9, 1H, C^3H_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 198.6 (CO), 157.1 ($^1J_{CF}$ = 252, C, Ar), 141.4 (C, Ar), 138.8 (C, Ind), 137.7 (C, Ind), 129.3 ($^3J_{CF}$ = 7, CH, Ar), 127.2 (C, Ind), 125.4 ($^3J_{CF}$ = 4, C, Ar), 121.5 (CH, Ind), 120.3 (CH, Ind), 119.7 (CH, Ind), 118.5 ($^2J_{CF}$ = 21, C, Ar), 117.8 ($^2J_{CF}$ = 23, CH, Ar), 108.9 (CH, Ind), 98.4 (CH, Ind), 32.9 (C^1H), 29.9 (CH_3N), 22.8 (C^2H), 19.5 (C^3H_2).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{19}H_{15}Cl_2FNO^+$ 362.0509, found 362.0513.

(4-Bromophenyl)[2-(1-methyl-1*H*-indol-2-yl)cyclopropyl]methanone (2m)



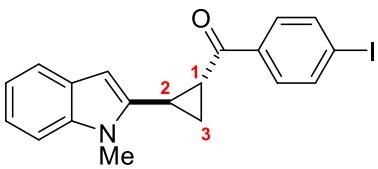
2m was obtained from enone **1m** (3.06 g, 8.99 mmol). Yield 2.64 g (83%); yellow oil, mp 94–96 °C; R_f = 0.65 (PE:EA, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.95–7.92 (m, 2H, Ar), 7.68–7.65 (m, 2H, Ar), 7.62–7.60 (m, 1H, Ind), 7.32–7.30 (m, 1H, Ind), 7.27–7.24 (m, 1H, Ind), 7.17–7.14 (m, 1H, Ind), 6.31 (br.s, 1H, Ind), 3.73 (s, 3H, CH_3N), 2.89 (ddd, ^3J = 8.2, ^3J = 5.1, ^3J = 4.1, 1H, C^1H), 2.82 (dddd, ^3J = 8.9, ^3J = 6.6, ^3J = 4.1, ^4J = 0.9, 1H, C^2H), 1.98 (ddd, ^2J = 3.9, ^3J = 8.9, ^3J = 5.1, 1H, C3H_2), 1.71 (ddd, ^2J = 3.9, ^3J = 8.1, ^3J = 6.6, 1H, C^3H_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 196.8 (CO), 139.6 (C), 137.6 (C), 136.0 (C), 131.9 (2×CH), 129.6 (2×CH), 128.3 (C), 127.3 (C), 121.4 (CH), 120.2 (CH), 119.6 (CH), 108.8 (CH), 98.0 (CH), 29.6 (CH_3N), 27.2 (C^1H), 21.8 (C^2H), 17.8 (C^3H_2).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{19}H_{17}BrNO^+$ 354.0488, found 354.0494.

(4-Iodophenyl)[2-(1-methyl-1*H*-indol-2-yl)cyclopropyl]methanone (2n)



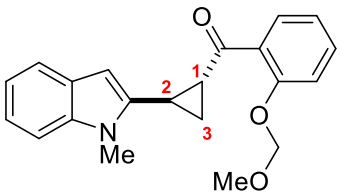
2n was obtained from enone **1n** (3.50 g, 9.04 mmol). Yield 2.94 g (81%); yellow solid, mp 110–112 °C; R_f = 0.66 (PE:EA, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.89–7.87 (m, 2H, Ar), 7.77–7.85 (m, 2H, Ar), 7.58–7.56 (m, 1H, Ind), 7.30–7.28 (m, 1H, Ind), 7.23–7.20 (m, 1H, Ind), 7.13–7.10 (m, 1H, Ind), 6.28–6.27 (m, 1H, Ind), 3.72 (s, 3H, CH_3N), 2.86 (ddd, ^3J = 8.1, ^3J = 5.1, ^3J = 4.2, 1H, C^1H), 2.78 (dddd, ^3J = 8.9, ^3J = 6.6, ^3J = 4.2, ^4J = 0.9, 1H, C^2H), 1.94 (ddd, ^2J = 3.8, ^3J = 8.9, ^3J = 5.1, 1H, C^3H_2), 1.68 (ddd, ^2J = 3.8, ^3J = 8.1, ^3J = 6.6, 1H, C^3H_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 197.2 (CO), 139.7 (C, Ind), 138.0 (2×CH, Ar), 137.6 (C, Ind), 136.6 (C, Ar), 129.5 (2×CH, Ar), 127.3 (C, Ind), 121.5 (CH, Ind), 120.2 (CH, Ind), 119.7 (CH, Ind), 108.9 (CH, Ind), 101.2 (C, Ar), 98.1 (CH, Ind), 29.7 (CH_3N), 27.2 (C^1H), 21.9 (C^2H), 17.9 (C^3H_2).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{19}H_{17}INO^+$ 402.0349, found 402.0354.

[2-(Methoxymethoxy)phenyl][2-(1-methyl-1*H*-indol-2-yl)cyclopropyl]methanone (2o)



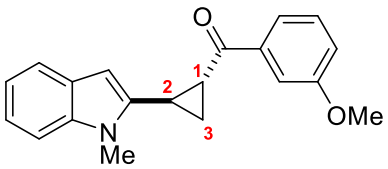
2o was obtained from enone **1o** (2.77 g, 8.62 mmol). Yield 2.39 g (83%); yellowish solid, mp 80–82 °C; R_f = 0.26 (PE:E, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.68–7.66 (m, 1H, Ar), 7.54 (br.d, ^3J = 7.8, 1H, Ar), 7.48–7.45 (m, 1H, Ar), 7.30–7.28 (m, 1H, Ar), 7.23–7.19 (m, 2H, Ar), 7.12–7.08 (m, 2H, Ar), 6.24 (br.s, 1H, Ind), 5.20 (d, ^2J = 6.8, 1H, OCH_2O), 5.17 (d, ^2J = 6.8, 1H, OCH_2O), 3.78 (s, 3H, CH_3O), 3.36 (s, 3H, CH_3N), 3.05 (ddd, ^3J = 8.1, ^3J = 5.3, ^3J = 4.2, 1H, C^1H), 2.78 (dddd, ^3J = 8.9, ^3J = 6.6, ^3J = 4.2, ^4J = 0.9, 1H, C^2H), 1.94 (ddd, ^2J = 3.7, ^3J = 8.9, ^3J = 5.3, 1H, C^3H_2), 1.59 (ddd, ^2J = 3.7, ^3J = 8.1, ^3J = 6.6, 1H, C^3H_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 200.7 (CO), 156.2 (C), 140.5 (C), 137.6 (C), 133.3 (CH), 129.9 (CH + C), 127.4 (C), 121.9 (CH), 121.2 (CH), 120.1 (CH), 119.5 (CH), 115.1 (CH), 108.7 (CH), 97.6 (CH), 94.8 (OCH_2O), 56.4 (CH_3O), 31.9 (C^1H), 29.6 (CH_3N), 22.0 (C^2H), 18.3 (C^3H_2).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{21}H_{22}NO_3^+$ 336.1594, found 336.1602.

(3-Methoxyphenyl)[2-(1-methyl-1*H*-indol-2-yl)cyclopropyl]methanone (2p)



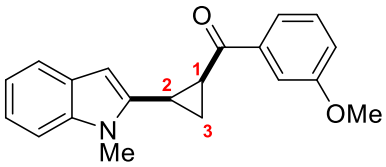
***trans*-2p** was obtained from enone **1p** (2.26 g, 7.76 mmol). Yield 1.79 g (76%); yellow solid, mp 74–76 °C; R_f = 0.51 (PE:EA, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.70 (ddd, ^3J = 7.7, ^4J = 1.6, ^4J = 0.9, 1H, Ar), 7.63 (dd, ^4J = 2.6, ^4J = 1.6, 1H, Ar), 7.62–7.60 (m, 1H, Ind), 7.46–7.43 (m, 1H, Ar), 7.33–7.31 (m, 1H, Ind), 7.27–7.24 (m, 1H, Ind), 7.19 (ddd, ^3J = 8.2, ^4J = 2.6, ^4J = 0.9, 1H, Ar), 7.15 (ddd, ^3J = 8.0, ^3J = 7.0, ^4J = 1.0, 1H, Ind), 6.33–6.32 (m, 1H, Ind), 3.91 (s, 3H, CH_3N), 3.75 (s, 3H, CH_3O), 2.96 (ddd, ^3J = 8.1, ^3J = 5.1, ^3J = 4.2, 1H, C^1H), 2.82 (dddd, ^3J = 8.9, ^3J = 6.6, ^3J = 4.2, ^4J = 0.9, 1H,

C^2H), 1.98 (ddd, ^2J = 3.8, ^3J = 8.9, ^3J = 5.1, 1H, C^3H_2), 1.70 (ddd, ^2J = 3.8, ^3J = 8.1, ^3J = 6.6, 1H, C^3H_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 197.7 (CO), 159.9 (C, Ar), 139.9 (C, Ind), 138.7 (C, Ar), 137.6 (C, Ind), 129.6 (CH, Ar), 127.3 (C, Ind), 121.3 (CH, Ind), 120.7 (CH, Ar), 120.2 (CH, Ind), 119.6 (2×CH, Ind, Ar), 112.3 (CH, Ar), 108.8 (CH, Ind), 98.0 (CH, Ind), 55.4 (CH_3O), 29.6 (CH_3N), 27.3 (C^1H), 21.6 (C^2H), 17.7 (C^3H_2).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{20}H_{20}NO_2^+$ 306.1489, found 306.1497.



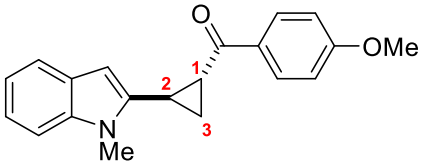
***cis*-2p** was obtained from enone **1p** (2.26 g, 7.76 mmol). Yield 0.09 g (4%); yellow oil; R_f = 0.31 (PE:EA, 3:1).

^1H NMR ($CDCl_3$, 600 MHz) δ = 7.64 (ddd, ^3J = 7.7, ^4J = 1.5, ^4J = 1.0, 1H, Ar), 7.58–7.56 (m, 1H, Ind), 7.43 (dd, ^4J = 2.7, ^4J = 1.6, 1H, Ar), 7.40–7.37 (m, 1H, Ar), 7.15–7.13 (m, 2H, Ind), 7.11 (ddd, ^3J = 8.3, ^4J = 2.7, ^4J = 0.9, 1H, Ar), 7.06 (ddd, ^3J = 7.9, ^3J = 5.2, ^4J = 2.7, 1H, Ind), 6.40 (br.s, 1H, Ind), 3.81 (s, 3H, CH_3N), 3.58 (s, 3H, CH_3O), 3.23 (ddd, ^3J = 8.6, ^3J = 7.6, ^3J = 5.9, 1H, C^1H), 2.78–2.73 (m, 1H, C^2H), 2.19 (ddd, ^2J = 4.4, ^3J = 7.3, ^3J = 5.9, 1H, C^3H_2), 1.59 (ddd, ^2J = 4.4, ^3J = 8.6, ^3J = 7.6, 1H, C^3H_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 193.9 (CO), 159.7 (C, Ar), 139.3 (C, Ind), 137.6 (C, Ar), 135.4 (C, Ind), 129.4 (CH, Ar), 127.3 (C, Ind), 120.9 (CH, Ind), 120.6 (CH, Ar), 120.5 (CH, Ind), 119.2 (CH, Ar), 119.1 (CH, Ind), 112.2 (CH, Ar), 108.5 (CH, Ind), 102.2 (CH, Ind), 55.3 (CH_3O), 29.5 (CH_3N), 25.5 (C^1H), 21.1 (C^2H), 12.0 (C^3H_2).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{20}H_{20}NO_2^+$ 306.1489, found 306.1496.

(4-Methoxyphenyl)[2-(1-methyl-1*H*-indol-2-yl)cyclopropyl]methanone (2q)



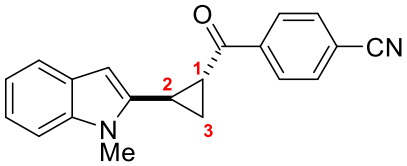
2q was obtained from enone **1q** (2.53 g, 8.68 mmol). Yield 2.42 g (91%); yellow solid, mp 107–109 °C; R_f = 0.62 (PE:EA, 2:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.13–8.10 (m, 2H, Ar), 7.65–7.63 (m, 1H, Ind), 7.34–7.32 (m, 1H, Ind), 7.29–7.26 (m, 1H, Ind), 7.19–7.16 (m, 1H, Ind), 7.04–7.01 (m, 2H, Ar), 6.34 (br.s, 1H, Ind), 3.92 (s, 3H, CH_3O), 3.74 (s, 3H, CH_3N), 2.94 (ddd, ^3J = 8.2, ^3J = 5.1, ^3J = 4.2, 1H, C^{1}H), 2.81 (dddd, ^3J = 8.8, ^3J = 6.5, ^3J = 4.2, ^4J = 0.9, 1H, C^{2}H), 1.98 (ddd, ^2J = 3.8, ^3J = 8.8, ^3J = 5.1, 1H, C^{3}H$_2$), 1.68 (ddd, ^2J = 3.8, ^3J = 8.1, ^3J = 6.5, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 196.1 (CO), 163.5 (C, Ar), 140.1 (C, Ind), 137.5 (C, Ind), 130.29 (C, Ar), 130.27 (2×CH, Ar), 127.3 (C, Ind), 121.2 (CH, Ind), 120.1 (CH, Ind), 119.5 (CH, Ind), 113.7 (2×CH, Ar), 108.7 (CH, Ind), 97.8 (CH, Ind), 55.3 (CH_3O), 29.5 (CH_3N), 26.7 (C^{1}H), 21.0 (C^{2}H), 17.1 (C^{3}H$_2$).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{20}H_{20}NO_2^+$ 306.1489, found 306.1494.

4-{[2-(1-Methyl-1*H*-indol-2-yl)cyclopropyl]carbonyl}benzonitrile (2r)



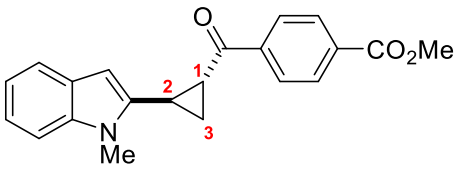
2r was obtained from enone **1r** (1.43 g, 5.00 mmol). Yield 801 mg (53%); beige solid, mp 120–122 °C; R_f = 0.30 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.14–8.12 (m, 2H, Ar), 7.82–7.80 (m, 2H, Ar), 7.58–7.56 (m, 1H, Ind), 7.30–7.28 (m, 1H, Ind), 7.24–7.21 (m, 1H, Ind), 7.13–7.10 (m, 1H, Ind), 6.28 (br.s, 1H, Ind), 3.73 (s, 3H, CH_3N), 2.90 (ddd, ^3J = 8.1, ^3J = 5.1, ^3J = 4.2, 1H, C^{1}H), 2.84 (dddd, ^3J = 8.9, ^3J = 6.7, ^3J = 4.1, ^4J = 0.9, 1H, C^{2}H), 1.99 (ddd, ^2J = 3.9, ^3J = 8.9, ^3J = 5.1, 1H, C^{3}H$_2$), 1.74 (ddd, ^2J = 3.9, ^3J = 8.1, ^3J = 6.7, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 196.8 (CO), 140.3 (C, Ar), 139.3 (C, Ind), 137.7 (C, Ind), 132.6 (2×CH, Ar), 128.5 (2×CH, Ar), 127.3 (C, Ind), 121.6 (CH, Ind), 120.3 (CH, Ind), 119.8 (CH, Ind), 117.8 (CN), 116.5 (C, Ar), 108.9 (CH, Ind), 98.2 (CH, Ind), 29.7 (CH_3N), 27.8 (C^{1}H), 22.5 (C^{2}H), 18.6 (C^{3}H$_2$).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{20}H_{17}N_2O^+$ 301.1335, found 301.1344.

Methyl 4-{[2-(1-methyl-1*H*-indol-2-yl)cyclopropyl]carbonyl}benzoate (2s)

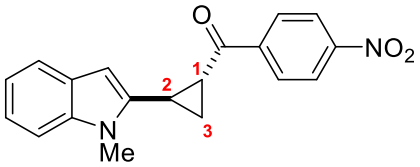


2s was obtained from enone **1s** (958 mg, 3.00 mmol). Yield 843 mg (84%); yellow solid, mp 126–128 °C; R_f = 0.31 (PE:EA, 5:1). ^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.18–8.16 (m, 2H, Ar), 8.11–8.09 (m, 2H, Ar), 7.58–7.56 (m, 1H, Ind), 7.30–7.28 (m, 1H, Ind), 7.23–7.20 (m, 1H, Ind), 7.12–7.10 (m, 1H, Ind), 6.28 (br.s, 1H, Ind), 3.97 (s, 3H, CH_3O), 3.73 (s, 3H, CH_3N), 2.94 (ddd, ^3J = 8.1, ^3J = 5.1, ^3J = 4.1, 1H, C^{1}H), 2.82 (dddd, ^3J = 8.9, ^3J = 6.6, ^3J = 4.1, ^4J = 0.7, 1H, C^{2}H), 1.97 (ddd, ^2J = 3.9, ^3J = 8.9, ^3J = 5.1, 1H, C^{3}H$_2$), 1.71 (ddd, ^2J = 3.9, ^3J = 8.1, ^3J = 6.6, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 197.6 (CO), 166.2 (CO_2Me), 140.6 (C, Ar), 139.6 (C, Ind), 137.6 (C, Ind), 134.0 (C, Ar), 129.9 (2×CH, Ar), 128.0 (2×CH, Ar), 127.3 (C, Ind), 121.5 (CH, Ind), 120.3 (CH, Ind), 119.7 (CH, Ind), 108.9 (CH, Ind), 98.1 (CH, Ind), 52.5 (CH_3O), 29.7 (CH_3N), 27.8 (C^{1}H), 22.2 (C^{2}H), 18.2 (C^{3}H$_2$).

HRMS (ESI) *m/z* [M + H]$^+$ calcd for $C_{21}H_{20}NO_3^+$ 334.1438, found 334.1440.

[2-(1-Methyl-1*H*-indol-2-yl)cyclopropyl](4-nitrophenyl)methanone (2t)

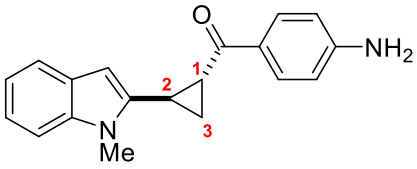


2t was obtained from enone **1t** (1.58 g, 5.16 mmol). Yield 830 mg (50%); yellow solid, mp 100–102 °C; R_f = 0.36 (PE:EA, 4:1). ^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.34–8.32 (m, 2H, Ar), 8.20–8.18 (m, 2H, Ar), 7.57 (br.d, ^3J = 7.9, 1H, Ind), 7.31–7.29 (m, 1H, Ind), 7.24–7.21 (m, 1H, Ind), 7.14–7.11 (m, 1H, Ind), 6.30 (br.s, 1H, Ind), 3.74 (s, 3H, CH_3N), 2.95 (ddd, ^3J = 8.1, ^3J = 5.1, ^3J = 4.1, 1H, C^{1}H), 2.87 (dddd, ^3J = 9.0, ^3J = 6.7, ^3J = 4.1, ^4J = 0.8, 1H, C^{2}H), 2.02 (ddd, ^2J = 4.0, ^3J = 9.0, ^3J = 5.1, 1H, C^{3}H$_2$), 1.78 (ddd, ^2J = 4.0, ^3J = 8.1, ^3J = 6.7, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 196.6 (CO), 150.3 (C, Ar), 141.7 (C, Ar), 139.2 (C, Ind), 137.6 (C, Ind), 129.0 (2×CH, Ar), 127.2 (C, Ind), 123.9 (2×CH, Ar), 121.6 (CH, Ind), 120.3 (CH, Ind), 119.7 (CH, Ind), 108.9 (CH, Ind), 98.2 (CH, Ind), 29.7 (CH_3N), 28.0 (C^{1}H), 22.6 (C^{2}H), 18.6 (C^{3}H$_2$).

HRMS (ESI) *m/z* [M + H]$^+$ calcd for $C_{19}H_{17}N_2O_3^+$ 321.1234, found 321.1231.

(4-Aminophenyl)[2-(1-methyl-1*H*-indol-2-yl)cyclopropyl]methanone (2t′)



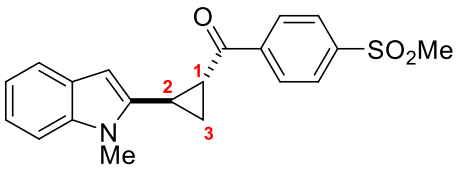
To a suspension of cyclopropane **2t** (427 mg, 1.33 mmol) in EtOH (13 mL) and benzene (2 mL), zinc powder (690 mg, 10.6 mmol) and acetic acid (0.61 mL, 10.6 mmol) were sequentially added. The mixture was heated under reflux for 20 min. Remaining zinc was filtered off and washed with ethyl acetate (15 mL). Filtrate was diluted with water (20 mL) and extracted with ethyl acetate (3×15 mL), washed with brine (2×15 mL) and $NaHCO_3$ solution (15 mL). Combined organic fractions were dried with Na_2SO_4 and concentrated under reduced pressure. The product **2t′** was recrystallized from a mixture of PE – EA. Yield 291 mg (75%); yellowish solid, mp 173–175 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.94–7.91 (m, 2H, Ar), 7.57 (br.d, ^3J = 7.8, 1H, Ind), 7.28 (br.d, ^3J = 8.1, 1H, Ind), 7.22–7.19 (m, 1H, Ind), 7.12–7.09 (m, 1H, Ind), 6.70–6.67 (m, 2H, Ar), 6.26 (br.s, 1H, Ind), 4.16 (br.s, 2H, NH_2), 3.71 (s, 3H, CH_3N), 2.83 (ddd, ^3J = 8.1, ^3J = 5.0, ^3J = 4.2, 1H, C^{1}H), 2.72 (ddd, ^3J = 8.8, ^3J = 6.5, ^3J = 4.2, 1H, C^{2}H), 1.88 (ddd, ^2J = 3.8, ^3J = 8.8, ^3J = 5.0, 1H, C^{3}H$_2$), 1.58 (ddd, ^2J = 3.8, ^3J = 8.1, ^3J = 6.5, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 195.8 (CO), 151.3 (C, Ar), 140.6 (C, Ind), 137.6 (C, Ind), 130.6 (2×CH, Ar), 127.9 (C, Ar), 127.4 (C, Ind), 121.2 (CH, Ind), 120.1 (CH, Ind), 119.5 (CH, Ind), 113.9 (2×CH, Ar), 108.8 (CH, Ind), 97.8 (CH, Ind), 29.7 (CH_3N), 26.6 (C^{1}H), 20.7 (C^{2}H), 16.9 (C^{3}H$_2$).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{19}H_{19}N_2O^+$ 291.1492, found 291.1496.

[2-(1-Methyl-1*H*-indol-2-yl)cyclopropyl][4-(methylsulfonyl)phenyl]methanone (2u)



2u was obtained from enone **1u** (1.73 g, 5.10 mmol). Yield 1.45 g (80%); yellow solid, mp 146–148 °C; R_f = 0.56 (PE:EA, 1:1).

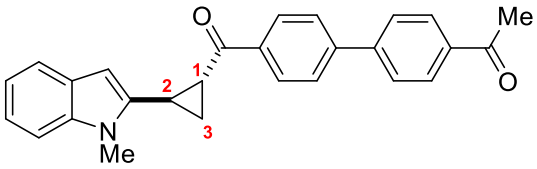
^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.22–8.20 (m, 2H, Ar), 8.09–8.06 (m, 2H, Ar), 7.58–7.56 (m, 1H, Ind), 7.30–7.28 (m, 1H, Ind), 7.23–7.20 (m, 1H, Ind), 7.13–7.10 (m, 1H, Ind), 6.29 (br.s, 1H, Ind), 3.73 (s, 3H, CH_3N), 3.09 (s, 3H, CH_3S), 2.94 (ddd, ^3J = 8.1, ^3J = 5.0,

^3J = 4.2, 1H, C^{1}H), 2.85 (ddd, ^3J = 8.9, ^3J = 6.8, ^3J = 4.2, 1H, C^{2}H), 2.00 (ddd, ^2J = 3.9, ^3J = 8.9, ^3J = 5.0, 1H, C^{3}H$_2$), 1.76 (ddd, ^2J = 3.9, ^3J = 8.1, ^3J = 6.8, 1H, C^{3}H$_2$).

^{13}C NMR (CDCl$_3$, 150 MHz) δ = 196.9 (CO), 144.2 (C, Ar), 141.2 (C, Ar), 139.2 (C, Ind), 137.6 (C, Ind), 128.9 (2×CH, Ar), 127.8 (2×CH, Ar), 127.2 (C, Ind), 121.5 (CH, Ind), 120.2 (CH, Ind), 119.7 (CH, Ind), 108.9 (CH, Ind), 98.2 (CH, Ind), 44.2 (CH$_3$S), 29.7 (CH$_3$N), 27.8 (C^{1}H), 22.5 (C^{2}H), 18.5 (C^{3}H$_2$).

HRMS (ESI) *m/z* [M + H]$^+$ calcd for $C_{20}H_{20}NO_3S^+$ 354.1158, found 354.1153.

1-(4'-{[2-(1-Methyl-1*H*-indol-2-yl)cyclopropyl]carbonyl}biphenyl-4-yl)ethanone (2v)



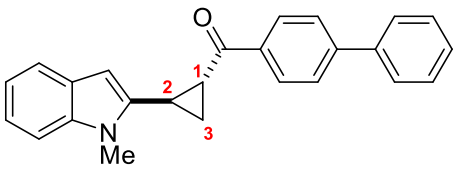
2v was obtained from enone **1v** (948 mg, 2.50 mmol). Yield 654 mg (67%); yellowish solid, mp 169–171 °C; R_f = 0.46 (PE:EA, 5:1).

^{1}H NMR (CDCl$_3$, 600 MHz) δ = 8.17–8.15 (m, 2H, Ar), 8.09–8.07 (m, 2H, Ar), 7.78–7.76 (m, 2H, Ar), 7.76–7.74 (m, 2H, Ar), 7.58–7.57 (m, 1H, Ind), 7.30–7.28 (m, 1H, Ind), 7.23–7.20 (m, 1H, Ind), 7.13–7.10 (m, 1H, Ind), 6.31–6.30 (m, 1H, Ind), 3.74 (s, 3H, CH$_3$N), 2.97 (ddd, ^3J = 8.1, ^3J = 5.1, ^3J = 4.1, 1H, C^{1}H), 2.82 (dddd, ^3J = 8.9, ^3J = 6.6, ^3J = 4.1, ^4J = 0.9, 1H, C^{2}H), 2.66 (s, 3H, CH$_3$), 1.98 (ddd, ^2J = 3.8, ^3J = 8.9, ^3J = 5.1, 1H, C^{3}H$_2$), 1.70 (ddd, ^2J = 3.8, ^3J = 8.1, ^3J = 6.6, 1H, C^{3}H$_2$).

^{13}C NMR (CDCl$_3$, 150 MHz) δ = 197.5 (CO), 197.4 (CO), 144.5 (C, Ar), 144.2 (C, Ar), 139.9 (C, Ind), 137.6 (C, Ind), 136.8 (C, Ar), 136.7 (C, Ar), 129.0 (2×CH, Ar), 128.8 (2×CH, Ar), 127.6 (2×CH, Ar), 127.5 (2×CH, Ar), 127.3 (C, Ind), 121.5 (CH, Ind), 120.2 (CH, Ind), 119.7 (CH, Ind), 108.9 (CH, Ind), 98.1 (CH, Ind), 29.8 (CH$_3$N), 27.4 (C^{1}H), 26.7 (CH$_3$), 21.8 (C^{2}H), 17.8 (C^{3}H$_2$).

HRMS (ESI) *m/z* [M + H]$^+$ calcd for $C_{27}H_{24}NO_2^+$ 394.1802, found 394.1803.

[(1,1'-Biphenyl)-4-yl][2-(1-methyl-1*H*-indol-2-yl)cyclopropyl]methanone (2w)



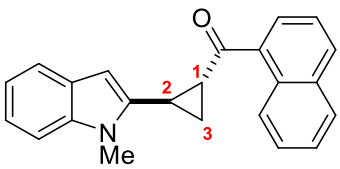
2w was obtained from enone **1w** (1.48 g, 4.38 mmol). Yield 1.11 g (72%); yellowish solid, mp 128–130 °C; R_f = 0.56 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.17–8.15 (m, 2H, Ar), 7.76–7.74 (m, 2H, Ar), 7.69–7.67 (m, 2H, Ar), 7.61–7.59 (m, 1H, Ind), 7.53–7.50 (m, 2H, Ar), 7.46–7.43 (m, 1H, Ar), 7.32–7.30 (m, 1H, Ind), 7.25–7.22 (m, 1H, Ind), 7.15–7.12 (m, 1H, Ind), 6.33–6.32 (m, 1H, Ind), 3.75 (s, 3H, CH_3N), 2.99 (ddd, ^3J = 8.1, ^3J = 5.1, ^3J = 4.2, 1H, C^{1}H), 2.83 (dddd, ^3J = 8.9, ^3J = 6.6, ^3J = 4.2, ^4J = 0.9, 1H, C^{2}H), 1.99 (ddd, ^2J = 3.8, ^3J = 8.9, ^3J = 5.1, 1H, C^{3}H$_2$), 1.71 (ddd, ^2J = 3.8, ^3J = 8.1, ^3J = 6.6, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 197.5 (CO), 145.9 (C, Ar), 140.0 (C, Ar), 139.8 (C, Ind), 137.6 (C, Ind), 136.0 (C, Ar), 129.0 (2×CH, Ar), 128.7 (2×CH, Ar), 128.3 (CH, Ar), 127.4 (C, Ind), 127.3 (2×CH, Ar), 127.2 (2×CH, Ar), 121.4 (CH, Ind), 120.2 (CH, Ind), 119.6 (CH, Ind), 108.8 (CH, Ind), 98.0 (CH, Ind), 29.7 (CH_3N), 27.3 (C^{1}H), 21.6 (C^{2}H), 17.7 (C^{3}H$_2$).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{25}H_{22}NO^+$ 352.1696, found 352.1703.

[2-(1-Methyl-1*H*-indol-2-yl)cyclopropyl](naphthalen-1-yl)methanone (2x)

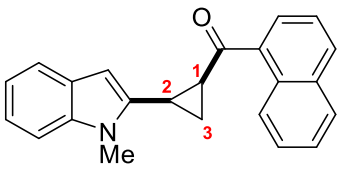


***trans*-2x** was obtained from enone **1x** (2.70 g, 8.67 mmol). Yield 2.53 g (90%); yellow solid, mp 109–111 °C; R_f = 0.65 (PE:EA, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.85 (br.d, ^3J = 8.6, 1H, Ar), 8.13 (br.d, ^3J = 7.2, ^4J = 1.2, 1H, Ar), 8.10 (br.d, ^3J = 8.3, 1H, Ar), 8.01 (br.d, ^3J = 8.2, 1H, Ar), 7.78–7.74 (m, 2H, Ind + Ar), 7.70–7.67 (m, 1H, Ar), 7.62 (dd, ^3J = 8.2, ^3J = 7.2, 1H, Ar), 7.40–7.37 (m, 2H, Ind), 7.30 (ddd, ^3J = 7.9, ^3J = 4.7, ^4J = 3.2, 1H, Ind), 6.41 (br.s, 1H, Ind), 3.80 (s, 3H, CH_3N), 3.06 (dddd, ^3J = 8.9, ^3J = 6.6, ^3J = 4.2, ^4J = 0.8, 1H, C^{2}H), 3.03 (ddd, ^3J = 8.1, ^3J = 5.1, ^3J = 4.2, 1H, C^{1}H), 2.22 (ddd, ^2J = 3.7, ^3J = 8.9, ^3J = 5.1, 1H, C^{3}H$_2$), 1.81 (ddd, ^2J = 3.7, ^3J = 8.1, ^3J = 6.6, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 201.4 (CO), 139.6 (C, Ind), 137.4 (C, Ind), 136.5 (C, Ar), 133.7 (C, Ar), 132.4 (CH, Ar), 129.8 (C, Ar), 128.3 (CH, Ar), 127.63 (CH, Ar), 127.59 (CH, Ar), 127.2 (C, Ind), 126.3 (CH, Ar), 125.5 (CH, Ar), 124.3 (CH, Ar), 121.1 (CH, Ind), 120.0 (CH, Ind), 119.4 (CH, Ind), 108.7 (CH, Ind), 97.8 (CH, Ind), 30.9 (C^{1}H), 29.4 (CH_3N), 21.9 (C^{2}H), 18.3 (C^{3}H$_2$).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{23}H_{20}NO^+$ 326.1539, found 326.1542.



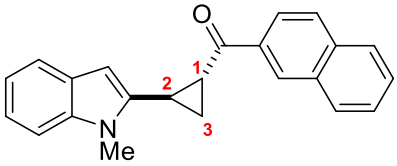
***cis*-2x** was obtained from enone **1x** (2.70 g, 8.67 mmol). Yield 0.10 g (4%); yellow oil; R_f = 0.38 (PE:EA, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.95 (br.d, ^3J = 8.2, 1H, Ar), 7.90 (dd, ^3J = 7.2, ^4J = 1.2, 1H, Ar), 7.81 (br.d, ^3J = 8.2, 1H, Ar), 7.59–7.57 (m, 2H, Ind + Ar), 7.51 (dd, ^3J = 8.2, ^3J = 7.2, 1H, Ar), 7.43–7.40 (m, 1H, Ar), 7.16–7.13 (m, 1H, Ind), 7.13–7.10 (m, 1H, Ar), 7.09–7.06 (m, 2H, Ind), 6.49–6.48 (m, 1H, Ind), 3.41 (s, 3H, CH_3N), 3.25 (ddd, ^3J = 8.7, ^3J = 7.3, ^3J = 6.0, 1H, C^{1}H), 2.79–2.75 (m, 1H, C^{2}H), 2.29 (ddd, ^2J = 4.6, ^3J = 7.4, ^3J = 6.0, 1H, C^{3}H$_2$), 1.66 (ddd, ^2J = 4.6, ^3J = 8.6, ^3J = 7.3, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 197.8 (CO), 137.8 (C, Ind), 137.7 (C, Ar), 135.0 (C, Ind), 133.7 (C, Ar), 131.9 (CH, Ar), 129.6 (C, Ar), 128.0 (CH, Ar), 127.5 (CH, Ar), 127.3 (C, Ind), 126.7 (CH, Ar), 126.4 (CH, Ar), 125.5 (CH, Ar), 124.2 (CH, Ar), 121.1 (CH, Ind), 120.6 (CH, Ind), 119.3 (CH, Ind), 108.6 (CH, Ind), 102.6 (CH, Ind), 29.5 (C^{1}H), 29.4 (CH_3N), 21.5 (C^{2}H), 12.4 (C^{3}H$_2$).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{23}H_{20}NO^+$ 326.1539, found 326.1535.

[2-(1-Methyl-1*H*-indol-2-yl)cyclopropyl](naphthalen-2-yl)methanone (2y)



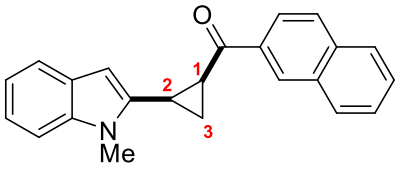
***trans*-2y** was obtained from enone **1y** (2.19 g, 7.02 mmol). Yield 1.98 g (87%); yellow solid, mp 114–116 °C; R_f = 0.64 (PE:EA, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.64 (br.d, ^4J = 1.8, 1H, Ar), 8.18 (dd, ^3J = 8.7, ^4J = 1.8, 1H, Ar), 8.02 (br.d, ^3J = 8.1, 1H, Ar), 7.98 (br.d, ^3J = 8.7, 1H, Ar), 7.94 (br.d, ^3J = 8.2, 1H, Ar), 7.68–7.65 (m, 2H, Ind + Ar), 7.63–7.60 (m, 1H, Ar), 7.34–7.32 (m, 1H, Ind), 7.29–7.27 (m, 1H, Ind), 7.20–7.17 (m, 1H, Ind), 6.39–6.38 (m, 1H, Ind), 3.75 (s, 3H, CH_3N), 3.13 (ddd, ^3J = 8.1, ^3J = 5.1, ^3J = 4.2, 1H, C^{1}H), 2.89 (dddd, ^3J = 9.0, ^3J = 6.6, ^3J = 4.2, ^4J = 0.9, 1H, C^{2}H), 2.06 (ddd, ^2J = 3.9, ^3J = 9.0, ^3J = 5.1, 1H, C^{3}H$_2$), 1.78 (ddd, ^2J = 3.9, ^3J = 8.1, ^3J = 6.6, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 197.7 (CO), 140.0 (C, Ind), 137.5 (C, Ind), 135.6 (C, Ar), 134.6 (C, Ar), 132.5 (C, Ar), 129.8 (CH, Ar), 129.6 (CH, Ar), 128.52 (CH, Ar), 128.50 (CH, Ar), 127.7 (CH,

Ar), 127.3 (C, Ind), 126.8 (CH, Ar), 123.8 (CH, Ar), 121.3 (CH, Ind), 120.2 (CH, Ind), 119.6 (CH, Ind), 108.8 (CH, Ind), 98.0 (CH, Ind), 29.7 (CH_3N), 27.4 (C^1H), 21.7 (C^2H), 17.6 (C^3H_2).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{23}H_{20}NO^+$ 326.1539, found 326.1537.



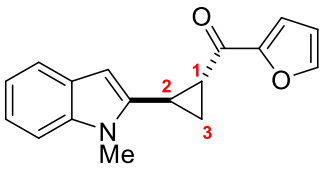
***cis*-2y** was obtained from enone **1y** (2.19 g, 7.02 mmol). Yield 0.09 g (4%); yellow oil; R_f = 0.36 (PE:EA, 3:1).

^1H NMR ($CDCl_3$, 600 MHz) δ = 8.57 (br.d, ^4J = 1.8, 1H, Ar), 8.00–7.98 (m, 1H, Ar), 7.99 (dd, ^3J = 8.6, ^4J = 1.8, 1H, Ar), 7.90–7.86 (m, 2H, Ar), 7.64–7.61 (m, 1H, Ind), 7.60–7.55 (m, 2H, Ind + Ar), 7.13–7.09 (m, 2H, Ind), 7.07–7.04 (m, 1H, Ind), 6.43–6.42 (m, 1H, Ind), 3.57 (s, 3H, CH_3N), 3.40 (ddd, ^3J = 8.7, ^3J = 7.6, ^3J = 5.9, 1H, C^1H), 2.79–2.75 (dddd, ^3J = 8.7, ^3J = 8.6, ^3J = 7.3, ^4J = 1.0, 1H, C^2H), 2.25 (ddd, ^2J = 4.5, ^3J = 7.3, ^3J = 5.9, 1H, C^3H_2), 1.64 (ddd, ^2J = 4.5, ^3J = 8.6, ^3J = 7.6, 1H, C^3H_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 194.0 (CO), 137.6 (C, Ind), 135.5 (C, Ind), 135.4 (C, Ar), 135.3 (C, Ar), 132.5 (C, Ar), 129.44 (CH, Ar), 129.41 (CH, Ar), 128.4 (CH, Ar), 128.3 (CH, Ar), 127.7 (CH, Ar), 127.4 (C, Ind), 126.7 (CH, Ar), 124.0 (CH, Ar), 120.9 (CH, Ind), 120.5 (CH, Ind), 119.1 (CH, Ind), 108.5 (CH, Ind), 102.3 (CH, Ind), 29.6 (CH_3N), 25.4 (C^1H), 21.2 (C^2H), 12.1 (C^3H_2).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{23}H_{20}NO^+$ 326.1539, found 326.1537.

(Furan-2-yl)[2-(1-methyl-1H-indol-2-yl)cyclopropyl]methanone (2z)



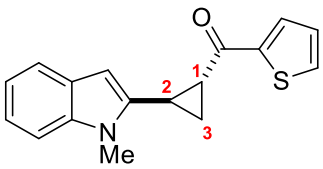
2z was obtained from enone **1z** (1.00 g, 4.00 mmol). Yield 840 mg (79%); yellow oil; R_f = 0.26 (PE:EA, 5:1).

^1H NMR ($CDCl_3$, 600 MHz) δ = 7.65 (dd, ^3J = 1.7, ^4J = 0.8, 1H, Fu), 7.56–7.55 (m, 1H, Ind), 7.29–7.27 (m, 1H, Ind), 7.28 (dd, ^3J = 3.6, ^4J = 0.8, 1H, Fu), 7.22–7.19 (m, 1H, Ind), 7.11–7.08 (m, 1H, Ind), 6.59 (dd, ^3J = 3.6, ^3J = 1.7, 1H, Fu), 6.27–6.26 (m, 1H, Ind), 3.73 (s, 3H, CH_3N), 2.84 (ddd, ^3J = 8.2, ^3J = 5.1, ^3J = 4.2, 1H, C^1H), 2.76 (ddd, ^3J = 9.0, ^3J = 6.6, ^3J = 4.2, ^4J = 0.9, 1H, C^2H), 1.91 (ddd, ^2J = 3.9, ^3J = 9.0, ^3J = 5.1, 1H, C^3H_2), 1.62 (ddd, ^2J = 3.9, ^3J = 8.2, ^3J = 6.6, 1H, C^3H_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 186.7 (CO), 153.1 (C, Fu), 146.7 (CH, Fu), 139.8 (C, Ind), 137.6 (C, Ind), 127.3 (C, Ind), 121.4 (CH, Ind), 120.2 (CH, Ind), 119.6 (CH, Ind), 117.1 (CH, Fu), 112.5 (CH, Fu), 108.8 (CH, Ind), 98.2 (CH, Ind), 29.7 (CH_3N), 27.3 (C^1H), 21.2 (C^2H), 17.2 (C^3H_2).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{17}H_{16}NO_2^+$ 266.1176, found 266.1179.

[2-(1-Methyl-1H-indol-2-yl)cyclopropyl](thiophen-2-yl)methanone (2aa)



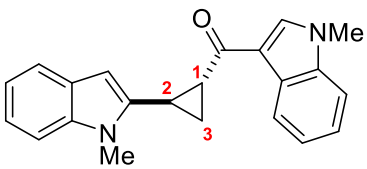
2aa was obtained from enone **1aa** (1.34 g, 5.00 mmol). Yield 1.11 g (79%); yellowish solid, mp 95–97 °C; R_f = 0.22 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.85 (dd, ^3J = 3.8, ^4J = 1.1, 1H, Th), 7.70 (dd, ^3J = 4.9, ^4J = 1.1, 1H, Th), 7.57–7.56 (m, 1H, Ind), 7.30–7.28 (m, 1H, Ind), 7.23–7.20 (m, 1H, Ind), 7.19 (dd, ^3J = 4.9, ^3J = 3.8, 1H, Th), 7.12–7.09 (m, 1H, Ind), 6.28–6.27 (m, 1H, Ind), 3.73 (s, 3H, CH_3N), 2.80 (dddd, ^3J = 8.9, ^3J = 6.6, ^3J = 4.1, ^4J = 0.9, 1H, C^2H), 2.77 (ddd, ^3J = 8.1, ^3J = 5.2, ^3J = 4.1, 1H, C^1H), 1.94 (ddd, ^2J = 3.9, ^3J = 8.9, ^3J = 5.2, 1H, C^3H_2), 1.65 (ddd, ^2J = 3.9, ^3J = 8.1, ^3J = 6.6, 1H, C^3H_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 190.4 (CO), 144.6 (C, Th), 139.8 (C, Ind), 137.6 (C, Ind), 133.9 (CH, Th), 131.9 (CH, Th), 128.3 (CH, Th), 127.3 (C, Ind), 121.4 (CH, Ind), 120.2 (CH, Ind), 119.6 (CH, Ind), 108.9 (CH, Ind), 98.2 (CH, Ind), 29.8 (CH_3N), 28.2 (C^1H), 21.3 (C^2H), 17.3 (C^3H_2).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{17}H_{16}NOS^+$ 282.0947, found 282.0953.

(1-Methyl-1*H*-indol-3-yl)[2-(1-methyl-1*H*-indol-2-yl)cyclopropyl]methanone (2ab)



2ab was obtained from enone **1ab** (2.96 g, 9.41 mmol) and isolated by recrystallization from a mixture of PE – EA. Yield 2.70 g (87%); yellow solid, mp 202–204 °C.

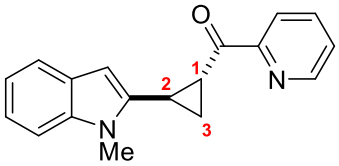
^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.50–8.48 (m, 1H, Ind), 7.82 (br.s, 1H, Ind), 7.59 (br.d, ^3J = 7.8, 1H, Ind), 7.39–7.35 (m, 3H, Ind), 7.30–7.27 (m, 1H, Ind), 7.24–7.21 (m, 1H, Ind), 7.15–7.11 (m, 1H, Ind), 6.28 (br.s, 1H, Ind), 3.86 (s, 3H, CH_3N), 3.73 (s, 3H, CH_3N), 2.80 (dddd, ^3J = 8.9, ^3J = 6.5, ^3J = 4.2, ^4J

= 0.7, 1H, C^{2}H), 2.64 (ddd, ^3J = 8.3, ^3J = 5.1, ^3J = 4.2, 1H, C^{1}H), 1.95 (ddd, ^2J = 3.9, ^3J = 8.9, ^3J = 5.1, 1H, C^{3}H$_2$), 1.59 (ddd, ^2J = 3.9, ^3J = 8.3, ^3J = 6.5, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 192.1 (CO), 140.8 (C, Ind), 137.5 (2×C, Ind), 135.4 (CH, Ind), 127.4 (C, Ind), 126.2 (C, Ind), 123.5 (CH, Ind), 122.7 (CH, Ind), 122.6 (CH, Ind), 121.1 (CH, Ind), 120.0 (CH, Ind), 119.5 (CH, Ind), 117.0 (C, Ind), 109.6 (CH, Ind), 108.8 (CH, Ind), 97.6 (CH, Ind), 33.5 (CH_3N), 29.7 (CH_3N), 28.5 (C^{1}H), 19.6 (C^{2}H), 15.9 (C^{3}H$_2$).

HRMS (ESI) *m/z* [M + H]$^+$ calcd for $C_{22}H_{21}N_2O^+$ 329.1648, found 329.1645.

[2-(1-Methyl-1*H*-indol-2-yl)cyclopropyl](pyridin-2-yl)methanone (2ac)



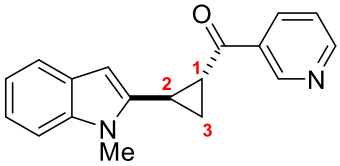
2ac was obtained from enone **1ac** (1.77 g, 6.75 mmol). Yield 1.14 g (61%); yellow solid, mp 77–79 °C; R_f = 0.61 (PE:EA, 2:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.73 (ddd, ^3J = 4.7, ^4J = 1.7, ^5J = 0.9, 1H, Py), 8.12 (ddd, ^3J = 7.9, ^4J = 1.2, ^5J = 0.9, 1H, Py), 7.88 (ddd, ^3J = 7.9, ^3J = 7.6, ^4J = 1.7, 1H, Py), 7.58–7.56 (m, 1H, Ind), 7.51 (ddd, ^3J = 7.6, ^3J = 4.7, ^4J = 1.2, 1H, Py), 7.29–7.27 (m, 1H, Ind), 7.22–7.19 (m, 1H, Ind), 7.12–7.09 (m, 1H, Ind), 6.33 (br.s, 1H, Ind), 3.84 (ddd, ^3J = 8.2, ^3J = 5.3, ^3J = 4.2, 1H, C^{1}H), 3.72 (s, 3H, CH_3N), 2.77 (dddd, ^3J = 8.8, ^3J = 6.5, ^3J = 4.2, ^4J = 0.9, 1H, C^{2}H), 1.94 (ddd, ^2J = 3.7, ^3J = 8.8, ^3J = 5.3, 1H, C^{3}H$_2$), 1.71 (ddd, ^2J = 3.7, ^3J = 8.2, ^3J = 6.5, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 199.2 (CO), 153.3 (C, Py), 149.1 (CH, Py), 140.1 (C, Ind), 137.6 (C, Ind), 136.9 (CH, Py), 127.4 (C, Ind), 127.1 (CH, Py), 121.8 (CH, Py), 121.2 (CH, Ind), 120.2 (CH, Ind), 119.4 (CH, Ind), 108.8 (CH, Ind), 98.4 (CH, Ind), 29.7 (CH_3N), 25.7 (C^{1}H), 22.5 (C^{2}H), 18.3 (C^{3}H$_2$).

HRMS (ESI) *m/z* [M + H]$^+$ calcd for $C_{18}H_{17}N_2O^+$ 277.1335, found 277.1338.

[2-(1-Methyl-1*H*-indol-2-yl)cyclopropyl](pyridin-3-yl)methanone (2ad)



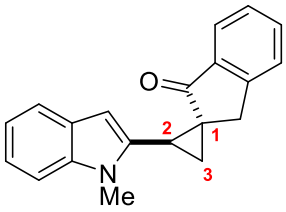
2ad was obtained from enone **1ad** (1.31 g, 5.00 mmol). Yield 1.09 g (79%); yellow oil; R_f = 0.20 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 9.30 (dd, ^4J = 2.3, ^5J = 0.9, 1H, Py), 8.83 (dd, ^3J = 4.8, ^4J = 1.7, 1H, Py), 8.31 (ddd, ^3J = 8.0, ^4J = 2.3, ^4J = 1.7, 1H, Py), 7.58–7.56 (m, 1H, Ind), 7.46 (ddd, ^3J = 8.0, ^3J = 4.8, ^5J = 0.9, 1H, Py), 7.30–7.28 (m, 1H, Ind), 7.23–7.20 (m, 1H, Ind), 7.13–7.10 (m, 1H, Ind), 6.29 (br.s, 1H, Ind), 3.73 (s, 3H, CH_3N), 2.92 (ddd, ^3J = 8.1, ^3J = 5.0, ^3J = 4.1, 1H, C^1H), 2.85 (dddd, ^3J = 8.9, ^3J = 6.7, ^3J = 4.1, ^4J = 0.9, 1H, C^2H), 1.98 (ddd, ^2J = 3.8, ^3J = 8.9, ^3J = 5.0, 1H, C^3H_2), 1.72 (ddd, ^2J = 3.8, ^3J = 8.1, ^3J = 6.7, 1H, C^3H_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 196.9 (CO), 153.6 (CH, Py), 149.6 (CH, Py), 139.3 (C, Ind), 137.6 (C, Ind), 135.3 (CH, Py), 132.6 (C, Py), 127.3 (C, Ind), 123.6 (CH, Py), 121.5 (CH, Ind), 120.3 (CH, Ind), 119.7 (CH, Ind), 108.9 (CH, Ind), 98.2 (CH, Ind), 29.7 (CH_3N), 27.5 (C^1H), 22.1 (C^2H), 18.2 (C^3H_2).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{18}H_{17}N_2O^+$ 277.1335, found 277.1340.

2-(1-Methyl-1*H*-indol-2-yl)spiro[cyclopropane-1,2'-inden]-1'(3'*H*)-one (2ae)



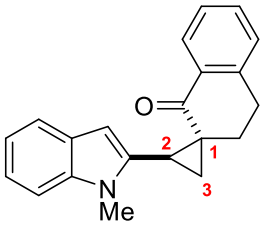
2ae was obtained from enone **1ae** (2.44 g, 8.93 mmol), reaction was carried out at 5 °C. Yield 0.98 g (38%); yellowish solid, mp 132–134 °C; R_f = 0.46 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.85 (br.d, ^3J = 7.7, 1H, Ar), 7.61–7.60 (m, 1H, Ind), 7.59–7.56 (m, H, Ar), 7.44–7.41 (m, 1H, Ar), 7.37–7.35 (m, 1H, Ar), 7.26–7.24 (m, 1H, Ind), 7.23–7.20 (m, 1H, Ind), 7.14–7.11 (m, 1H, Ind), 6.34 (br.s, 1H, Ind), 3.49 (s, 3H, CH_3N), 2.99 (d, ^2J = 18.0, 1H, CH_2), 2.89 (ddd, ^3J = 9.2, ^3J = 7.0, ^4J = 0.9, 1H, C^2H), 2.66 (d, ^2J = 18.0, 1H, CH_2), 2.14 (dd, ^2J = 4.3, ^3J = 9.2, 1H, C^3H), 1.84 (ddd, ^2J = 4.3, ^3J = 7.0, 1H, C^3H_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 204.1 (CO), 153.0 (C, Ar), 137.8 (2×C, Ind, Ar), 137.1 (C, Ind), 134.1 (CH, Ar), 127.40 (C, Ind), 127.37 (CH, Ar), 126.1 (CH, Ar), 123.5 (CH, Ar), 121.4 (CH, Ind), 120.3 (CH, Ind), 119.6 (CH, Ind), 108.9 (CH, Ind), 99.8 (CH, Ind), 37.5 (C^1), 32.1 (CH_2), 29.5 (CH_3N), 26.1 (C^2H), 20.4 (C^3H_2).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{20}H_{18}NO^+$ 288.1383, found 288.1386.

2-(1-Methyl-1*H*-indol-2-yl)-3',4'-dihydro-1'*H*-spiro[cyclopropane-1,2'-naphthalen]-1'-one (2af)



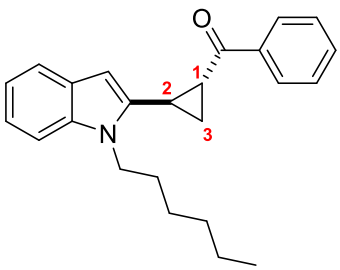
2af was obtained from enone **1af** (1.44 g, 5.00 mmol). Yield 1.21 g (80%); yellowish solid, mp 153–155 °C; R_f = 0.50 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.12 (br.dd, ^3J = 7.8, ^4J = 1.3, 1H, Ar), 7.62–7.60 (m, 1H, Ind), 7.53–7.51 (m, 1H, Ar), 7.41–7.38 (m, 1H, Ar), 7.31–7.29 (m, 1H, Ind), 7.26–7.22 (m, 2H, Ind + Ar), 7.16–7.13 (m, 1H, Ind), 6.34–6.33 (m, 1H, Ind), 3.66 (s, 3H, CH_3N), 3.02 (ddd, ^3J = 9.1, ^3J = 6.9, ^4J = 0.9, 1H, C^2H), 2.84 (ddd, ^2J = 16.0, ^3J = 7.8, ^3J = 4.5, 1H, CH_2), 2.73 (ddd, ^2J = 16.0, ^3J = 8.3, ^3J = 4.5, 1H, CH_2), 2.03 (dd, ^2J = 4.1, ^3J = 9.1, 1H, C^3H_2), 1.92 (ddd, ^2J = 13.9, ^3J = 8.3, ^3J = 4.5, 1H, CH_2), 1.82 (ddd, ^2J = 13.9, ^3J = 7.9, ^3J = 4.5, 1H, CH_2), 1.49 (dd, ^2J = 4.1, ^3J = 6.9, 1H, C^3H_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 197.3 (CO), 143.9 (C, Ar), 137.8 (C, Ind), 137.3 (C, Ind), 133.4 (CH, Ar), 132.7 (C, Ar), 128.6 (CH, Ar), 127.4 (C, Ind), 127.2 (CH, Ar), 126.7 (CH, Ar), 121.3 (CH, Ind), 120.2 (CH, Ind), 119.5 (CH, Ind), 108.8 (CH, Ind), 100.8 (CH, Ind), 34.4 (C^1), 29.7 (CH_3N), 28.2 (CH_2), 26.2 (CH_2), 25.7 (C^2H), 21.2 (C^3H_2).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{21}H_{20}NO^+$ 302.1539, found 302.1542.

[2-(1-Hexyl-1*H*-indol-2-yl)cyclopropyl](phenyl)methanone (2ag)



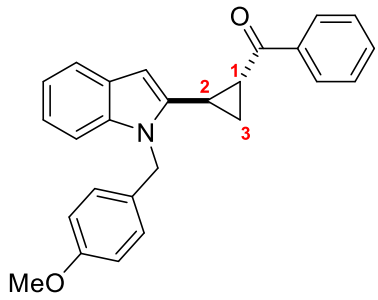
2ag was obtained from enone **1ag** (0.85 g, 2.56 mmol). Yield 0.75 g (85%); yellowish solid, mp 63–65 °C; R_f = 0.56 (PE:EA, 10:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.06–8.04 (m, 2H, Ph), 7.62–7.59 (m, 1H, Ph), 7.56 (br.d, ^3J = 7.8, 1H, Ind), 7.52–7.49 (m, 2H, Ph), 7.29 (br.d, ^3J = 8.2, 1H, Ind), 7.20–7.17 (m, 1H, Ind), 7.11–7.08 (m, 1H, Ind), 6.26 (br.s, 1H, Ind), 4.16–4.08 (m, 2H, CH_2N), 2.94 (ddd, ^3J = 8.2, ^3J = 5.1, ^3J = 4.1, 1H, C^1H), 2.77 (dddd, ^3J = 8.9, ^3J = 6.6, ^3J = 4.1, ^4J = 0.4, 1H, C^2H), 1.94 (ddd, ^2J = 3.8, ^3J = 8.9, ^3J = 5.1, 1H, C^3H_2), 1.78–1.64 (m, 2H, CH_2), 1.69 (ddd, ^2J = 3.8, ^3J = 8.2, ^3J = 6.6, 1H, C^3H_2), 1.29–1.10 (m, 6H, CH_2), 0.81–0.79 (m, 3H, CH_3).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 198.0 (CO), 139.7 (C, Ind), 137.4 (C, Ar), 137.0 (C, Ar), 133.2 (CH, Ph), 128.7 (2×CH, Ph), 128.1 (2×CH, Ph), 127.5 (C, Ind), 121.2 (CH, Ind), 120.2 (CH, Ind), 119.5 (CH, Ind), 109.2 (CH, Ind), 97.7 (CH, Ind), 43.6 (CH_2N), 31.4 (CH_2), 30.2 (CH_2), 27.9 (C^1H), 26.7 (CH_2), 22.4 (CH_2), 21.8 (C^2H), 18.0 (C^3H_2). 13.9 (CH_3).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{24}H_{28}NO^+$ 346.2165, found 346.2162.

{2-[1-(4-Methoxybenzyl)-1*H*-indol-2-yl]cyclopropyl}(phenyl)methanone (2ah)



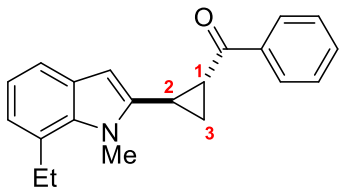
2ah was obtained from enone **1ah** (1.10 g, 2.99 mmol). The product was recrystallized from a mixture of PE – EA. Yield 0.84 g (74%); colorless crystals, mp 140–142 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.84–7.81 (m, 2H, Ph), 7.62 (br.d, ^3J = 7.7, 1H, Ind), 7.58–7.55 (m, 1H, Ph), 7.45–7.41 (m, 2H, Ph), 7.29 (br.d, ^3J = 8.2, 1H, Ind), 7.21–7.18 (m, 1H, Ind), 7.15–7.12 (m, 1H, Ind), 6.82 (br.d, ^3J = 8.6, 2H, PMP), 6.63 (br.d, ^3J = 8.6, 2H, PMP), 6.36 (br.s, 1H, Ind), 5.35 (d, ^2J = 16.6, 1H, CH_2N), 5.30 (d, ^2J = 16.6, 1H, CH_2N), 3.65 (s, 3H, CH_3O), 2.77 (dddd, ^3J = 8.9, ^3J = 6.6, ^3J = 4.2, ^4J = 0.6, 1H, C^2H), 2.73 (ddd, ^3J = 8.2, ^3J = 5.1, ^3J = 4.2, 1H, C^1H), 1.83 (ddd, ^2J = 3.8, ^3J = 8.9, ^3J = 5.1, 1H, C^3H_2), 1.66 (ddd, ^2J = 3.8, ^3J = 8.2, ^3J = 6.6, 1H, C^3H_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 197.9 (CO), 158.7 (C, PMP), 139.9 (C, Ind), 137.6 (C, Ind), 137.3 (C, Ph), 132.9 (CH, Ph), 129.5 (C, PMP), 128.4 (2×CH, Ph), 128.0 (2×CH, Ph), 127.5 (C, Ind), 127.2 (2×CH, PMP), 121.7 (CH, Ind), 120.3 (CH, Ind), 119.8 (CH, Ind), 114.0 (2×CH, PMP), 109.3 (CH, Ind), 98.7 (CH, Ind), 55.0 (CH_3O), 46.2 (CH_2N), 27.7 (C^1H), 21.5 (C^2H), 17.7 (C^3H_2).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{26}H_{24}NO_2^+$ 382.1802, found 382.1795.

[2-(7-Ethyl-1-methyl-1*H*-indol-2-yl)cyclopropyl](phenyl)methanone (2ai)



2ai was obtained from enone **1ai** (0.95 g, 3.28 mmol). Yield 0.94 g (94%); yellowish solid, mp 83–85 °C; R_f = 0.74 (PE:EA, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.10–8.08 (m, 2H, Ph), 7.65–7.62 (m, 1H, Ph), 7.55–7.52 (m, 2H, Ph), 7.42 (dd, ^3J = 7.7, ^4J = 1.3, 1H, Ind), 7.03 (dd, ^3J = 7.7, ^3J = 7.2, 1H, Ind), 6.99 (br.dd, ^3J = 7.2, ^4J = 1.3, 1H, Ind), 6.31 (d, ^4J = 0.9, 1H, Ind), 3.98 (s, 3H, CH_3N), 3.18–3.09 (m, 2H, CH_3CH_2), 2.95 (ddd, ^3J = 8.1, ^3J = 5.1, ^3J = 4.2, 1H, C^1H), 2.78 (dddd, ^3J = 8.8, ^3J = 6.6, ^3J = 4.2, ^4J = 0.9, 1H, C^2H), 1.94 (ddd, ^2J = 3.8, ^3J = 8.8, ^3J = 5.1, 1H, C^3H_2), 1.68 (ddd, ^2J = 3.8, ^3J = 8.1, ^3J = 6.6, 1H, C^3H_2), 1.38 (t, ^3J = 7.5, 3H, CH_3CH_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 198.1 (CO), 140.4 (C, Ind), 137.4 (C, Ph), 135.7 (C, Ind), 133.2 (CH, Ph), 128.7 (2×CH, Ph), 128.5 (C, Ind), 128.1 (2×CH, Ph), 127.3 (C, Ind), 122.9 (CH, Ind), 119.8 (CH, Ind), 118.4 (CH, Ind), 99.2 (CH, Ind), 32.8 (CH_3N), 27.1 (C^1H), 25.8 (CH_3CH_2), 22.0 (C^2H), 17.8 (C^3H_2), 16.7 (CH_3CH_2).

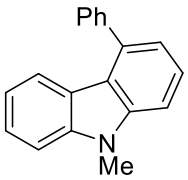
HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{21}H_{22}NO^+$ 304.1696, found 304.1695.

Transformation of cyclopropanes 2 into carbazoles 3

General Procedure 5 (GP5; Method A). To a mixture of cyclopropane **2** in methanol (0.1 M) and CH_2Cl_2 (0.5 mL), ~10 M solution of HCl (0.1 mL per 1 mmol of **2**) was added. Resulting mixture was stirred at ambient temperature for specified time, then slowly poured into ice-water mixture with $NaHCO_3$ and extracted with EA. Combined organic fractions were washed once with brine, dried with Na_2SO_4 and concentrated under reduced pressure. The residue was subjected to column chromatography on silica gel (PE – EA).

General Procedure 6 (GP6; Method B). To a solution of cyclopropane **2** in CH_2Cl_2 (0.1 M) 4 M solution of HCl in dioxane (25 µL per 1 mmol of **2**, 10 mol%) was added. Resulting solution was stirred at ambient temperature for specified time, then $NaHCO_3$ (*ca*. 30 mg) was added. After 20 min of additional stirring at rt the solvent was evaporated to a residual volume of *ca*. 2 mL. The residue was subjected to column chromatography on silica gel (PE – EA).

9-Methyl-4-phenyl-9*H*-carbazole[7–12] **(3a)**

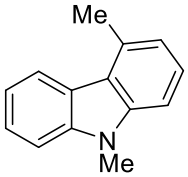


3a was synthesized from cyclopropane **2a**. Yield 334 mg (89%) from **2a** (400 mg, 1.45 mmol) *via* GP5, reaction time 2 h; yield 214 mg (90%) from **2a** (255 mg, 0.93 mmol) *via* GP6, reaction time 2 h; yellowish oil; R_f = 0.63 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.66–7.63 (m, 2H, Ar), 7.56–7.52 (m, 3H, Ar), 7.51–7.48 (m, 2H, Ar), 7.45–7.40 (m, 3H, Ar), 7.13 (dd, ^3J = 7.2, ^4J = 0.9, 1H, Ar), 7.01–6.98 (m, 1H, Ar), 3.91 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 141.3 (C), 141.2 (C), 141.1 (C), 137.7 (C), 129.2 (2×CH), 128.3 (2×CH), 127.4 (CH), 125.5 (CH), 125.4 (CH), 122.33 (C), 122.30 (CH), 120.6 (CH), 120.1 (C), 118.5 (CH), 108.2 (CH), 107.3 (CH), 29.0 (CH_3N).

4,9-Dimethyl-9*H*-carbazole[13,14] **(3b)**

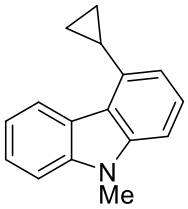


3b was synthesized from cyclopropane **2b** (107 mg, 0.50 mmol) *via* GP6, reaction time 6 h. Yield 94 mg (96%); white solid, mp 109–111 °C (lit.[13] 105–106 °C); R_f = 0.75 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.25 (br.d, ^3J = 7.8, 1H, Ar), 7.54–7.51 (m, 1H, Ar), 7.45 (br.d, ^3J = 8.1, 1H, Ar), 7.44–7.41 (m, 1H, Ar), 7.32–7.29 (m, 2H, Ar), 7.07 (br.d, ^3J = 7.3, 1H, Ar), 3.87 (s, 3H, CH_3N), 2.94 (br.s, 3H, CH_3).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 141.1 (C), 140.9 (C), 133.4 (C), 125.4 (CH), 125.0 (CH), 123.4 (C), 122.5 (CH), 121.3 (C), 120.5 (CH), 118.8 (CH), 108.1 (CH), 106.0 (CH), 29.0 (CH_3N), 20.8 (CH_3).

4-Cyclopropyl-9-methyl-9*H*-carbazole (3c)



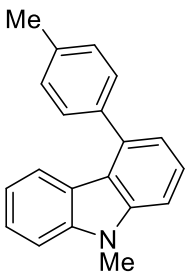
3c was synthesized from cyclopropane **2c** (192 mg, 0.80 mmol) *via* GP6, reaction time 12 h. Yield 156 mg (88%); white solid, mp 80–82 °C; R_f = 0.68 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.55–8.53 (m, 1H, Ar), 7.57–7.55 (m, 1H, Ar), 7.49–7.44 (m, 2H, Ar), 7.35–7.31 (m, 2H, Ar), 7.08–7.06 (m, 1H, Ar), 3.88 (s, 3H, CH_3N), 2.68–2.62 (m, 1H, CH), 1.26–1.18 (m, 2H, CH_2), 1.01–0.93 (m, 2H, CH_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 141.02 (C), 140.97 (C), 138.1 (C), 125.4 (CH), 125.1 (CH), 123.1 (CH + C), 122.3 (C), 118.8 (CH), 117.0 (CH), 108.1 (CH), 106.3 (CH), 29.0 (CH_3N), 14.7 (CH), 6.8 (2×CH_2).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{16}H_{16}N^+$ 222.1277, found 222.1279.

9-Methyl-4-(4-methylphenyl)-9*H*-carbazole[7,11] **(3d)**



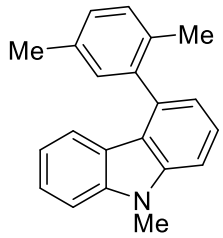
3d was synthesized from cyclopropane **2d** (319 mg, 1.10 mmol) *via* GP5, reaction time 3 h. Yield 258 mg (86%); white solid, mp 100–102 °C (lit.[7] 98–100 °C); R_f = 0.66 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.71–7.69 (m, 1H, Ar), 7.66 (br.d, ^3J = 8.1, 2H, Ar),

7.60 (dd, ^3J = 8.2, ^3J = 7.3, 1H, Ar), 7.53–7.50 (m, 1H, Ar), 7.48–7.43 (m, 4H, Ar), 7.23 (dd, ^3J = 7.3, ^4J = 0.9, 1H, Ar), 7.13–7.10 (m, 1H, Ar), 3.92 (s, 3H, CH_3N), 2.60 (s, 3H, CH_3).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 141.3 (C), 141.2 (C), 138.4 (C), 137.8 (C), 137.1 (C), 129.07 (2×CH), 129.06 (2×CH), 125.42 (CH), 125.38 (CH), 122.5 (C), 122.4 (CH), 120.6 (CH), 120.2 (C), 118.4 (CH), 108.2 (CH), 107.1 (CH), 29.0 (CH_3N), 21.3 (CH_3).

4-(2,5-Dimethylphenyl)-9-methyl-9*H*-carbazole (3e)



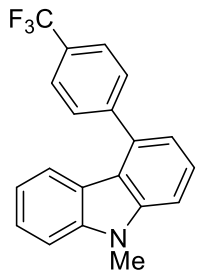
3e was synthesized from cyclopropane **2e**. Yield 222 mg (75%) from **2e** (314 mg, 1.04 mmol) *via* GP5, reaction time 3 h; yield 93 mg (80%) from **2e** (123 mg, 0.40 mmol) *via* GP6, reaction time 24 h; yellowish oil; R_f = 0.74 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.58 (dd, ^3J = 8.2, ^3J = 7.2, 1H, Ar), 7.48–7.42 (m, 3H, Ar), 7.34 (br.d, ^3J = 7.8, 1H, Ar), 7.28 (dd, ^3J = 7.8, ^4J = 1.8, 1H, Ar), 7.25 (br.d, ^4J = 1.8, 1H, Ar), 7.12 (dd, ^3J = 7.3, ^4J = 0.9, 1H, Ar), 7.11–7.09 (m, 1H, Ar), 7.04–7.01 (m, 1H, Ar), 3.93 (s, 3H, CH_3N), 2.45 (s, 3H, CH_3), 2.11 (s, 3H, CH_3).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 141.0 (C), 140.9 (C), 140.7 (C), 137.1 (C), 135.2 (C), 133.2 (C), 130.1 (CH), 129.8 (CH), 128.3 (CH), 125.4 (2×CH), 122.7 (C), 121.8 (CH), 120.8 (C), 120.0 (CH), 118.8 (CH), 108.1 (CH), 107.0 (CH), 29.1 (CH_3N), 21.0 (CH_3), 19.2 (CH_3).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{21}H_{20}N^+$ 286.1590, found 286.1588.

9-Methyl-4-[4-(trifluoromethyl)phenyl]-9*H*-carbazole[11] (3f)

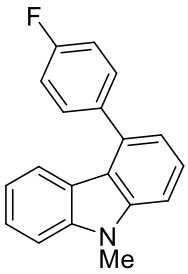


3f was synthesized from cyclopropane **2f** (335 mg, 0.98 mmol) *via* GP5, reaction time 3 h. Yield 281 mg (89%); colorless solid, mp 147–149 °C; R_f = 0.68 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.88–7.86 (m, 2H, Ar), 7.84–7.81 (m, 2H, Ar), 7.60 (dd, ^3J = 8.2, ^3J = 7.3, 1H, Ar), 7.56–7.54 (m, 1H, Ar), 7.54–7.47 (m, 3H, Ar), 7.16 (dd, ^3J = 7.3, ^4J = 0.9, 1H, Ar), 7.12–7.09 (m, 1H, Ind), 3.93 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 145.1 (C), 141.3 (C), 141.2 (C), 136.0 (C), 129.64 ($^2J_{CF}$ = 32, C), 129.62 (2×CH), 125.6 (CH), 125.5 (CH), 125.4 ($^3J_{CF}$ = 4, 2×CH), 124.5 ($^1J_{CF}$ = 272, CF_3), 122.1 (CH), 122.0 (C), 120.6 (CH), 119.9 (C), 118.8 (CH), 108.5 (CH), 108.1 (CH), 29.0 (CH_3N).

4-(4-Fluorophenyl)-9-methyl-9*H*-carbazole[11] (3g)



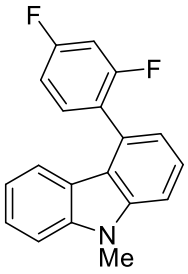
3g was synthesized from cyclopropane **2g** (313 mg, 1.07 mmol) *via* GP5, reaction time 3 h. Yield 266 mg (91%); yellowish oil; R_f = 0.57 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.67–7.63 (m, 2H, Ar), 7.56 (dd, ^3J = 8.2, ^3J = 7.2, 1H, Ar), 7.55–7.53 (m, 1H, Ar), 7.51–7.48 (m, 1H, Ar), 7.46 (dd, ^3J = 8.2, ^4J = 0.9, 1H, Ar), 7.45 (br.d, ^3J = 8.1, 1H, Ar), 7.30–7.26 (m, 2H, Ar), 7.14 (dd, ^3J = 7.2, ^4J = 0.9, 1H, Ar), 7.09–7.06 (m, 1H, Ar), 3.92 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 162.5 ($^1J_{CF}$ = 246, C), 141.3 (C), 141.2 (C), 137.3 ($^4J_{CF}$ = 3, C), 136.6 (C), 130.8 ($^3J_{CF}$ = 8, 2×CH), 125.6 (CH), 125.4 (CH), 122.3 (C), 122.1 (CH), 120.6 (CH), 120.2 (C), 118.6 (CH), 115.3 ($^2J_{CF}$ = 21, 2×CH), 108.3 (CH), 107.5 (CH), 29.1 (CH_3N).

HRMS (ESI) *m/z* $[M]^+$ calcd for $C_{19}H_{14}FN^+$ 275.1105, found 275.1109.

4-(2,4-Difluorophenyl)-9-methyl-9*H*-carbazole (3h)



3h was synthesized from cyclopropane **2h**. Yield 265 mg (90%) from **2h** (312 mg, 1.00 mmol) *via* GP5, reaction time 1 h; yield 137 mg (93%) from **2h** (156 mg, 0.50 mmol) *via* GP6, reaction time 24 h; white solid, mp 103–105 °C; R_f = 0.59 (PE:EA, 5:1).

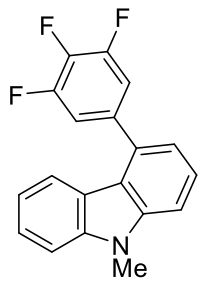
^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.58 (dd, ^3J = 8.2, ^3J = 7.3, 1H, Ar), 7.57–7.53 (m, 1H, Ar), 7.51–7.47 (m, 2H, Ar), 7.45–7.43 (m, 1H, Ar), 7.40–7.38 (m, 1H, Ar), 7.17 (br.d, ^3J = 7.3, 1H, Ar), 7.13–7.08 (m, 3H, Ar), 3.89 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 162.7 ($^1J_{CF}$ = 249, $^3J_{CF}$ = 11, C), 160.1 ($^1J_{CF}$ = 250, $^3J_{CF}$ = 12, C), 141.2 (C), 141.1 (C), 132.4 ($^2J_{CF}$ = 9, $^4J_{CF}$ = 5, CH), 129.5 (C), 125.8 (CH), 125.3 (CH), 124.9 ($^2J_{CF}$ =

17, $^{4}J_{CF}$ = 4, C), 122.2 (C), 121.6 (CH), 121.04 (CH), 120.98 (C), 118.9 (CH), 111.4 ($^{2}J_{CF}$ = 21, $^{4}J_{CF}$ = 4, CH), 108.4 (CH), 108.2 (CH), 104.2 ($^{3}J_{CF}$ = 26, $^{3}J_{CF}$ = 26, CH), 29.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{19}H_{14}F_2N^+$ 294.1089, found 294.1092.

9-Methyl-4-(3,4,5-trifluorophenyl)-9*H*-carbazole (3i)



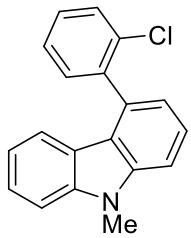
3i was synthesized from cyclopropane **2i** (330 mg, 1.00 mmol) *via* GP5, reaction time 1 h. Yield 273 mg (88%); yellowish solid, mp 108–110 °C; R_f = 0.66 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.54–7.51 (m, 2H, Ar), 7.50–7.44 (m, 3H, Ar), 7.29–7.24 (m, 2H, Ar), 7.10–7.07 (m, 1H, Ar), 7.06–7.05 (m, 1H, Ar), 3.92 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 151.1 ($^{1}J_{CF}$ = 250, $^{2}J_{CF}$ = 10, $^{3}J_{CF}$ = 4, 2×C), 141.33 (C), 141.26 (C), 139.3 ($^{1}J_{CF}$ = 251, $^{2}J_{CF}$ = 15, C), 137.4 ($^{3}J_{CF}$ = 8, $^{4}J_{CF}$ = 5, C), 134.4 (C), 126.0 (CH), 125.5 (CH), 121.9 (CH), 121.6 (C), 120.3 (CH), 119.8 (C), 118.9 (CH), 113.4 ($^{2}J_{CF}$ = 16, $^{3}J_{CF}$ = 4, 2×CH), 108.6 (CH), 108.4 (CH), 29.2 (CH_3N).

HRMS (ESI) *m/z* $[M]^+$ calcd for $C_{19}H_{12}F_3N^+$ 311.0916, found 311.0919.

4-(2-Chlorophenyl)-9-methyl-9*H*-carbazole (3j)



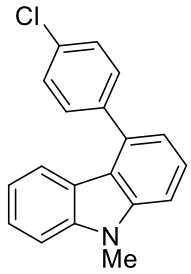
3j was synthesized from cyclopropane **2j**. Yield 293 mg (81%) from **2j** (386 mg, 1.25 mmol) *via* GP5, reaction time 3 h; yield 91 mg (78%) from **2j** (124 mg, 0.40 mmol) *via* GP6, reaction time 24 h; colorless solid, mp 82–84 °C; R_f = 0.55 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.73 (dd, ^{3}J = 7.7, ^{4}J = 1.6, 1H, Ar), 7.65 (dd, ^{3}J = 8.2, ^{3}J = 7.3, 1H, Ar), 7.61 (dd, ^{3}J = 7.2, ^{4}J = 2.0, 1H, Ar), 7.56–7.50 (m, 4H, Ar), 7.47 (br.d, ^{3}J = 8.2, 1H, Ar), 7.25–7.22 (m, 2H, Ar), 7.14–7.11 (m, 1H, Ar), 3.91 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 141.0 (C), 140.9 (C), 139.9 (C), 134.2 (C), 133.7 (C), 131.1 (CH), 129.6 (CH), 129.0 (CH), 126.8 (CH), 125.6 (CH), 125.2 (CH), 122.3 (C), 121.7 (CH), 120.7 (C), 120.2 (CH), 118.8 (CH), 108.2 (CH), 107.9 (CH), 29.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{19}H_{15}ClN^+$ 292.0888, found 292.0887.

4-(4-Chlorophenyl)-9-methyl-9*H*-carbazole[7,11] **(3k)**

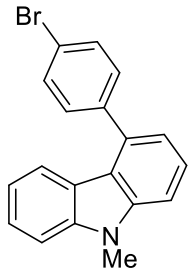


3k was synthesized from cyclopropane **2k**. Yield 290 mg (86%) from **2k** (360 mg, 1.16 mmol) *via* GP5, reaction time 3 h; yield 105 mg (90%) from **2k** (124 mg, 0.40 mmol) *via* GP6, reaction time 2 h; yellowish oil; R_f = 0.64 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.75–7.73 (m, 1H, Ar), 7.72 (br.d, ^3J = 8.1, 2H, Ar), 7.67–7.62 (m, 3H, Ar), 7.60–7.57 (m, 1H, Ar), 7.50 (br.d, ^3J = 8.1, 2H, Ar), 7.22 (br.d, ^3J = 7.2, 1H, Ar), 7.22–7.19 (m, 1H, Ar), 3.92 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 141.2 (C), 141.1 (C), 139.8 (C), 136.2 (C), 133.3 (C), 130.5 (2×CH), 128.5 (2×CH), 125.6 (CH), 125.4 (CH), 122.09 (CH), 122.05 (C), 120.5 (CH), 119.9 (C), 118.6 (CH), 108.3 (CH), 107.6 (CH), 28.9 (CH_3N).

4-(4-Bromophenyl)-9-methyl-9*H*-carbazole[7,11] **(3m)**

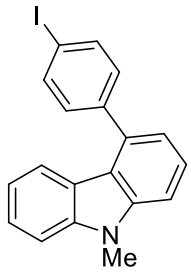


3m was synthesized from cyclopropane **2m** (330 mg, 0.93 mmol) *via* GP5, reaction time 3 h. Yield 264 mg (85%); yellowish oil; R_f = 0.62 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.69–7.66 (m, 2H, Ar), 7.54–7.51 (m, 4H, Ar), 7.47–7.41 (m, 3H, Ar), 7.08 (dd, ^3J = 7.2, ^4J = 1.0, 1H, Ar), 7.05–7.02 (m, 1H, Ar), 3.92 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 141.2 (C), 141.1 (C), 140.2 (C), 136.2 (C), 131.5 (2×CH), 130.9 (2×CH), 125.6 (CH), 125.4 (CH), 122.1 (CH), 122.0 (C), 121.6 (C), 120.4 (CH), 119.8 (C), 118.6 (CH), 108.3 (CH), 107.7 (CH), 29.0 (CH_3N).

4-(4-Iodophenyl)-9-methyl-9*H*-carbazole (3n)



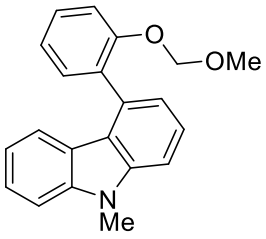
3n was synthesized from cyclopropane **2n** (817 mg, 2.04 mmol) *via* GP6, reaction time 2 h. Yield 737 mg (94%); yellowish oil; R_f = 0.61 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.88 (br.d, ^3J = 7.9, 2H, Ar), 7.55–7.51 (m, 2H, Ar), 7.47–7.42 (m, 3H, Ar), 7.40 (br.d, ^3J = 7.9, 2H, Ar), 7.08 (br.d, ^3J = 7.3, 1H, Ar), 7.06–7.03 (m, 1H, Ar), 3.91 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 141.4 (C), 141.2 (C), 140.9 (C), 137.5 (2×CH), 136.4 (C), 131.2 (2×CH), 125.7 (CH), 125.5 (CH), 122.2 (CH), 122.1 (C), 120.4 (CH), 119.9 (C), 118.7 (CH), 108.4 (CH), 107.7 (CH), 93.2 (C), 29.2 (CH_3N).

HRMS (ESI) *m/z* $[M]^+$ calcd for $C_{19}H_{14}IN^+$ 383.0165, found 383.0169.

4-[2-(Methoxymethoxy)phenyl]-9-methyl-9*H*-carbazole (3o)



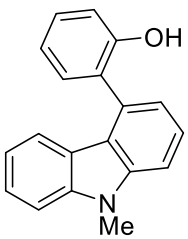
3o was synthesized from cyclopropane **2o** (302 mg, 0.90 mmol) *via* GP6, reaction time 36 h. Yield 242 mg (85%); white solid, mp 125–127 °C; R_f = 0.59 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.60 (dd, ^3J = 8.2, ^3J = 7.3, 1H, Ar), 7.56–7.53 (m, 1H, Ar), 7.51 (br.dd, ^3J = 7.5, ^4J = 1.8, 1H, Ar), 7.49–7.46 (m, 1H, Ar), 7.47 (dd, ^3J = 8.2, ^4J = 0.9, 1H, Ar), 7.44 (dd, ^3J = 8.4, ^4J = 1.1, 1H, Ar), 7.43 (br.d, ^3J = 8.1, 1H, Ar), 7.36–7.34 (m, 1H, Ar), 7.28–7.25 (m, 1H, Ar), 7.23 (dd, ^3J = 7.3, ^4J = 0.9, 1H, Ar), 7.08–7.05 (m, 1H, Ar), 5.06 (d, ^2J = 6.8, 1H, OCH_2O), 5.03 (d, ^2J = 6.8, 1H, OCH_2O), 3.91 (s, 3H, CH_3N), 3.21 (s, 3H, CH_3O).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 154.9 (C), 141.1 (C), 140.9 (C), 133.8 (C), 131.3 (CH + C), 129.0 (CH), 125.3 (CH), 125.2 (CH), 122.8 (C), 122.06 (CH), 122.05 (CH), 121.2 (C), 120.8 (CH), 118.6 (CH), 115.3 (CH), 108.0 (CH), 107.3 (CH), 94.8 (OCH_2O), 55.9 (CH_3O), 29.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{21}H_{20}NO_2^+$ 318.1489, found 318.1491.

4-(2-Hydroxyphenyl)-9-methyl-9*H*-carbazole (3o′)



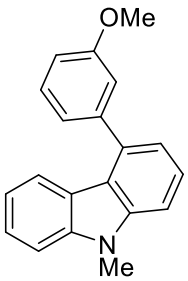
3o′ was synthesized from cyclopropane **2o** (336 mg, 1.00 mmol) *via* GP5, reaction time 36 h. Yield 222 mg (81%); yellowish oil; R_f = 0.45 (PE:EA, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.60 (dd, ^3J = 8.2, ^3J = 7.2, 1H, Ar), 7.50 (dd, ^3J = 8.2, ^4J = 0.9, 1H, Ar), 7.48–7.41 (m, 4H, Ar), 7.37–7.34 (m, 1H, Ar), 7.19 (dd, ^3J = 7.2, ^4J = 0.9, 1H, Ar), 7.16–7.10 (m, 2H, Ar), 7.07–7.04 (m, 1H, Ar), 5.09 (d, ^4J = 0.4, 1H, OH), 3.93 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 153.1 (C), 141.4 (C), 141.2 (C), 130.6 (C), 130.4 (CH), 129.6 (CH), 127.0 (C), 126.1 (CH), 126.0 (CH), 122.1 (CH), 121.9 (C), 121.1 (CH), 120.8 (C), 120.7 (CH), 119.2 (CH), 115.6 (CH), 108.5 (CH), 108.3 (CH), 29.2 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{19}H_{16}NO^+$ 274.1226, found 274.1229.

4-(3-Methoxyphenyl)-9-methyl-9*H*-carbazole (3p)



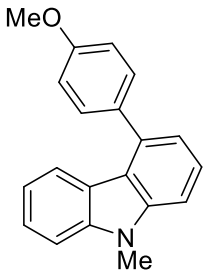
3p was synthesized from cyclopropane **2p** (330 mg, 1.08 mmol) *via* GP5, reaction time 3 h. Yield 271 mg (87%); yellowish oil; R_f = 0.56 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.74–7.72 (m, 1H, Ar), 7.62 (dd, ^3J = 8.2, ^3J = 7.3, 1H, Ar), 7.58–7.52 (m, 2H, Ar), 7.49 (dd, ^3J = 8.2, ^4J = 0.9, 1H, Ar), 7.48 (br.d, ^3J = 8.1, 1H, Ar), 7.37 (ddd, ^3J = 7.5, ^4J = 1.5, ^4J = 1.0, 1H, Ar), 7.34 (br.dd, ^4J = 2.6, ^4J = 1.5, 1H, Ar), 7.27 (dd, ^3J = 7.3, ^4J = 0.9, 1H, Ar), 7.17–7.13 (m, 2H, Ar), 3.96 (s, 3H, CH_3O), 3.93 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 159.6 (C), 142.7 (C), 141.3 (C), 141.1 (C), 137.5 (C), 129.4 (CH), 125.5 (CH), 125.3 (CH), 122.4 (CH), 122.3 (C), 121.6 (CH), 120.4 (CH), 120.1 (C), 118.5 (CH), 114.4 (CH), 113.4 (CH), 108.2 (CH), 107.4 (CH), 55.2 (CH_3O), 29.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{20}H_{18}NO^+$ 288.1383, found 288.1384.

4-(4-Methoxyphenyl)-9-methyl-9*H*-carbazole[11] (3q)



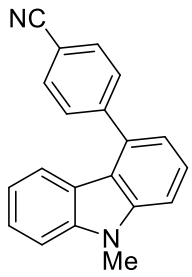
3q was synthesized from cyclopropane **2q** (365 mg, 1.20 mmol) *via* GP5, reaction time 3 h. Yield 287 mg (84%); yellowish oil; R_f = 0.68 (PE:EA, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.67–7.65 (m, 1H, Ar), 7.65–7.62 (m, 2H, Ar), 7.56 (dd, ^3J = 8.2, ^3J = 7.3, 1H, Ar), 7.50–7.47 (m, 1H, Ar), 7.44 (br.d, ^3J = 8.2, 1H, Ar), 7.43 (dd, ^3J = 8.2, ^4J = 0.9, 1H, Ar), 7.17 (dd, ^3J = 7.3, ^4J = 0.9, 1H, Ar), 7.15–7.12 (m, 2H, Ar), 7.09–7.06 (m, 1H, Ar), 3.98 (s, 3H, CH_3O), 3.91 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 159.1 (C), 141.3 (C), 141.1 (C), 137.4 (C), 133.7 (C), 130.3 (2×CH), 125.41 (CH), 125.38 (CH), 122.5 (C), 122.3 (CH), 120.6 (CH), 120.3 (C), 118.4 (CH), 113.8 (2×CH), 108.2 (CH), 107.1 (CH), 55.3 (CH_3O), 29.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{20}H_{18}NO^+$ 288.1383, found 288.1382.

4-(9-Methyl-9*H*-carbazol-4-yl)benzonitrile (3r)

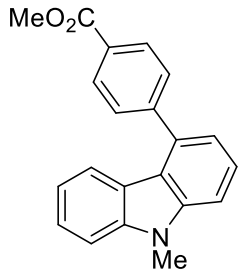


3r was synthesized from cyclopropane **2r** (290 mg, 0.97 mmol) *via* GP5, reaction time 12 h. Yield 245 mg (89%); white solid, mp 160–162 °C; R_f = 0.63 (PE:EA, 3:1). ^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.83 (br.d, ^3J = 8.5, 2H, Ar), 7.77 (br.d, ^3J = 8.5, 2H, Ar), 7.55 (dd, ^3J = 8.2, ^3J = 7.3, 1H, Ar), 7.50–7.43 (m, 3H, Ar), 7.42 (br.d, ^3J = 7.8, 1H, Ar), 7.08 (dd, ^3J = 7.3, ^4J = 0.9, 1H, Ar), 7.05–7.02 (m, 1H, Ar), 3.92 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 146.1 (C), 141.24 (C), 141.15 (C), 135.3 (C), 132.1 (2×CH), 129.9 (2×CH), 125.9 (CH), 125.4 (CH), 121.8 (CH), 121.6 (C), 120.3 (CH), 119.5 (C), 118.9 (C), 118.7 (CH), 111.2 (CN), 108.5 (CH), 108.4 (CH), 29.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{20}H_{15}N_2^+$ 283.1230, found 283.1237.

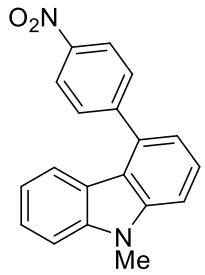
Methyl 4-(9-methyl-9*H*-carbazol-4-yl)benzoate[11] (3s)



3s was synthesized from cyclopropane **2s** (266 mg, 0.80 mmol) *via* GP6, reaction time 1 h. Yield 241 mg (96%); yellowish oil; R_f = 0.63 (PE:EA, 3:1). ^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.27 (br.d, ^3J = 8.4, 2H, Ar), 7.78 (br.d, ^3J = 8.4, 2H, Ar), 7.56 (dd, ^3J = 8.2, ^3J = 7.3, 1H, Ar), 7.55 (br.d, ^3J = 8.0, 1H, Ar), 7.49–7.45 (m, 2H, Ar), 7.43 (br.d, ^3J = 8.2, 1H, Ar), 7.15 (dd, ^3J = 7.3, ^4J = 0.9, 1H, Ar), 7.07–7.04 (m, 1H, Ar), 4.05 (s, 3H, CH_3O), 3.89 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 167.1 (CO), 146.2 (C), 141.3 (C), 141.2 (C), 136.4 (C), 129.7 (2×CH), 129.3 (2×CH), 129.2 (C), 125.7 (CH), 125.4 (CH), 122.1 (CH), 122.0 (C), 120.4 (CH), 119.8 (C), 118.6 (CH), 108.3 (CH), 107.9 (CH), 52.1 (CH_3O), 29.0 (CH_3N).

9-Methyl-4-(4-nitrophenyl)-9*H*-carbazole[11] **(3t)**

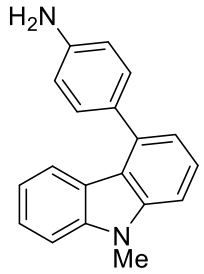


3t was synthesized from cyclopropane **2t** (323 mg, 1.01 mmol) *via* GP5, reaction time 0.25 h, and isolated by filtration from the partially evaporated reaction mixture. Yield 277 mg (91%); yellow solid, mp 131–133 °C.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.40–8.38 (m, 2H, Ar), 7.83–7.81 (m, 2H, Ar), 7.57 (dd, ^3J = 8.2, ^3J = 7.3, 1H, Ar), 7.50 (dd, ^3J = 8.2, ^4J = 0.9, 1H, Ar), 7.49–7.44 (m, 3H, Ar), 7.11 (dd, ^3J = 7.3, ^4J = 0.9, 1H, Ar), 7.05–7.02 (m, 1H, Ar), 3.93 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 148.3 (C), 147.4 (C), 141.4 (C), 141.3 (C), 135.0 (C), 130.2 (2×CH), 126.0 (CH), 125.5 (CH), 123.7 (2×CH), 121.9 (CH), 121.7 (C), 120.4 (CH), 119.7 (C), 118.9 (CH), 108.66 (CH), 108.65 (CH), 29.2 (CH_3N).

9-Methyl-4-(4-aminophenyl)-9*H*-carbazole (3t′)



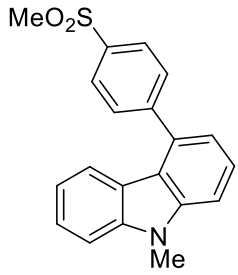
3t′ was synthesized from cyclopropane **2t′** (114 mg, 0.39 mmol) *via* GP5, reaction time 6 h. Yield 76 mg (71%); colorless oil; R_f = 0.37 (PE:EA, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.77 (br.d, ^3J = 8.1, 1H, Ar), 7.56 (dd, ^3J = 8.1, ^3J = 7.3, 1H, Ar), 7.52 (br.d, ^3J = 8.4, 2H, Ar), 7.51–7.48 (m, 1H, Ar), 7.44 (br.d, ^3J = 8.1, 1H, Ar), 7.41 (dd, ^3J = 8.1, ^4J = 0.6, 1H, Ar), 7.18 (dd, ^3J = 7.3, ^4J = 0.9, 1H, Ar), 7.12–7.08 (m, 1H, Ar), 6.89 (br.d, ^3J = 8.4, 2H, Ar), 3.90 (s, 3H, CH_3N), 3.77 (br.s, 2H, NH_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 145.8 (C), 141.4 (C), 141.1 (C), 137.9 (C), 131.5 (C), 130.1 (2×CH), 125.4 (CH), 125.3 (CH), 122.6 (C), 122.5 (CH), 120.6 (CH), 120.3 (C), 118.3 (CH), 115.0 (2×CH), 108.1 (CH), 106.7 (CH), 29.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{19}H_{17}N_2^+$ 273.1386, found 273.1390.

9-Methyl-4-[4-(methylsulfonyl)phenyl]-9*H*-carbazole (3u)



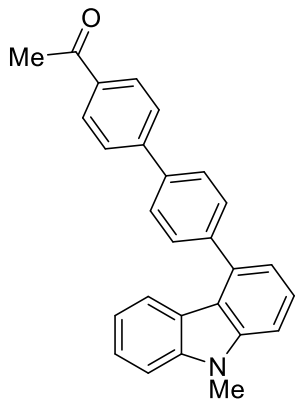
3u was synthesized from cyclopropane **2u**. Yield 346 mg (92%) from **2u** (395 mg, 1.12 mmol) *via* GP5, reaction time 0.5 h; yield 147 mg (94%) from **2u** (165 mg, 0.47 mmol) *via* GP6, reaction time 1 h; colorless solid, mp 151–153 °C; R_f = 0.62 (PE:EA, 1:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.12 (br.d, ^3J = 8.5, 2H, Ar), 7.86 (br.d, ^3J = 8.5, 2H, Ar), 7.56 (dd, ^3J = 8.2, ^3J = 7.3, 1H, Ar), 7.50–7.44 (m, 4H, Ar), 7.09 (dd, ^3J = 7.3, ^4J = 0.9, 1H, Ar), 7.05–7.02 (m, 1H, Ar), 3.92 (s, 3H, CH_3N), 3.20 (s, 3H, CH_3S).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 147.2 (C), 141.3 (C), 141.2 (C), 139.5 (C), 135.3 (C), 130.2 (2×CH), 127.5 (2×CH), 126.0 (CH), 125.5 (CH), 121.9 (CH), 121.7 (C), 120.5 (CH), 119.7 (C), 118.8 (CH), 108.6 (CH), 108.5 (CH), 44.6 (CH_3S), 29.1 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{20}H_{18}NO_2S^+$ 336.1053, found 336.1045.

1-{4'-(9-Methyl-9*H*-carbazol-4-yl)-[1,1'-biphenyl]-4-yl}ethan-1-one (3v)



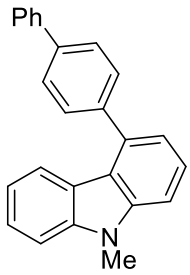
3v was synthesized from cyclopropane **2v** (111 mg, 0.28 mmol) *via* GP5, reaction time 30 h. Yield 101 mg (95%); white solid, mp 190–191 °C; R_f = 0.66 (PE:EA, 2:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.12–8.10 (m, 2H, Ar), 7.87–7.85 (m, 2H, Ar), 7.84–7.82 (m, 2H, Ar), 7.79–7.77 (m, 2H, Ar), 7.64 (br.d, ^3J = 8.0, 1H, Ar), 7.56 (dd, ^3J = 8.2, ^3J = 7.3, 1H, Ar), 7.47–7.43 (m, 3H, Ar), 7.17 (dd, ^3J = 7.3, ^4J = 0.9, 1H, Ar), 7.05–7.02 (m, 1H, Ar), 3.93 (s, 3H, CH_3N), 2.69 (s, 3H, CH_3).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 197.7 (CO), 145.5 (C), 141.5 (C), 141.4 (C), 141.3 (C), 138.9 (C), 137.0 (C), 135.9 (C), 129.9 (2×CH), 129.0 (2×CH), 127.22 (2×CH), 127.17 (2×CH), 125.7 (CH), 125.5 (CH), 122.34 (CH), 122.30 (C), 120.6 (CH), 120.1 (C), 118.6 (CH), 108.4 (CH), 107.7 (CH), 29.2 (CH_3N), 26.7 (CH_3).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{27}H_{22}NO^+$ 376.1696, found 376.1698.

4-(Biphenyl-4-yl)-9-methyl-9*H*-carbazole (3w)

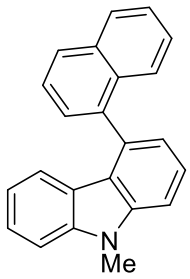


3w was synthesized from cyclopropane **2w** (258 mg, 0.73 mmol) *via* GP5, reaction time 12 h. Yield 219 mg (89%); white solid, mp 159–161 °C; R_f = 0.78 (PE:EA, 3:1). ^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.82 (br.d, ^3J = 8.1, 2H, Ar), 7.81–7.78 (m, 2H, Ar), 7.77 (br.d, ^3J = 8.1, 2H, Ar), 7.69 (br.d, ^3J = 7.9, 1H, Ar), 7.60–7.57 (m, 1H, Ar), 7.56–7.53 (m, 2H, Ar), 7.49–7.42 (m, 4H, Ar), 7.21 (br.d, ^3J = 7.3, 1H, Ar), 7.07–7.04 (m, 1H, Ar), 3.93 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 141.4 (C), 141.2 (C), 140.9 (C), 140.4 (C), 140.2 (C), 137.3 (C), 129.7 (2×CH), 128.8 (2×CH), 127.3 (CH), 127.1 (2×CH), 127.0 (2×CH), 125.6 (CH), 125.5 (CH), 122.44 (CH), 122.40 (C), 120.7 (CH), 120.2 (C), 118.6 (CH), 108.3 (CH), 107.4 (CH), 29.1 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{25}H_{20}N^+$ 334.1590, found 334.1598.

9-Methyl-4-(naphthalen-1-yl)-9*H*-carbazole (3x)



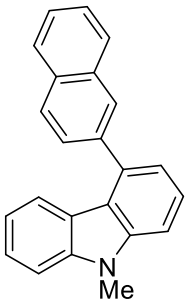
3x was synthesized from cyclopropane **2x**. Yield 258 mg (67%) from **2x** (410 mg, 1.26 mmol) *via* GP5, reaction time 36 h; yield 84 mg (67%) from **2x** (133 mg, 0.41 mmol) *via* GP6, reaction time 24 h; yellowish solid, mp 123–125 °C; R_f = 0.54 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.13–8.09 (m, 1H, Ar), 8.08 (br.d, ^3J = 8.3, 1H, Ar), 7.75–7.71 (m, 3H, Ar), 7.69 (dd, ^3J = 8.3, ^3J = 7.3, 1H, Ar), 7.59–7.56 (m, 2H, Ar), 7.45–7.41 (m, 2H, Ar), 7.38–7.35 (m, 1H, Ar), 7.34 (dd, ^3J = 7.3, ^4J = 0.9, 1H, Ar), 6.88–6.85 (m, 1H, Ar), 6.79–6.77 (m, 1H, Ar), 3.96 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 141.1 (C), 141.0 (C), 139.1 (C), 135.4 (C), 133.6 (C), 132.1 (C), 128.1 (CH), 127.9 (CH), 126.8 (CH), 126.3 (CH), 126.0 (CH), 125.8 (CH), 125.5 (CH), 125.4 (CH), 125.3 (CH), 122.32 (C), 122.29 (CH), 121.6 (C), 121.3 (CH), 118.6 (CH), 108.0 (CH), 107.5 (CH), 29.0 (CH_3N).

HRMS (ESI) *m/z* $[M]^+$ calcd for $C_{23}H_{17}N^+$ 307.1356, found 307.1356.

9-Methyl-4-(naphthalen-2-yl)-9*H*-carbazole (3y)



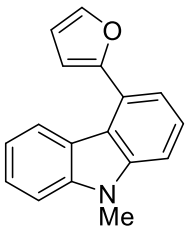
3y was synthesized from cyclopropane **2y** (320 mg, 0.98 mmol) *via* GP5, reaction time 24 h. Yield 228 mg (75%); yellowish oil; R_f = 0.61 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.28 (br.s, 1H, Ar), 8.15 (br.d, ^3J = 8.4, 1H, Ar), 8.12–8.09 (m, 1H, Ar), 8.07–8.04 (m, 1H, Ar), 7.97 (dd, ^3J = 8.4, ^4J = 1.8, 1H, Ar), 7.73–7.67 (m, 4H, Ar), 7.57–7.50 (m, 3H, Ar), 7.39 (dd, ^3J = 7.3, ^4J = 0.9, 1H, Ar), 7.12–7.09 (m, 1H, Ar), 3.95 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 141.4 (C), 141.2 (C), 138.9 (C), 137.5 (C), 133.5 (C), 132.8 (C), 128.2 (CH), 127.82 (CH), 127.80 (CH), 127.77 (CH), 127.7 (CH), 126.2 (CH), 125.9 (CH), 125.52 (CH), 125.45 (CH), 122.40 (CH), 122.36 (C), 120.9 (CH), 120.3 (C), 118.5 (CH), 108.2 (CH), 107.5 (CH), 29.0 (CH_3N).

HRMS (ESI) *m/z* $[M]^+$ calcd for $C_{23}H_{17}N^+$ 307.1356, found 307.1357.

4-(Furan-2-yl)-9-methyl-9*H*-carbazole (3z)



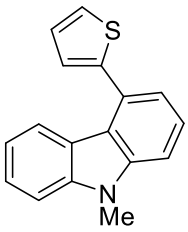
3z was synthesized from cyclopropane **2z** (175 mg, 0.66 mmol) *via* GP6, reaction time 48 h. Yield 126 mg (77%); yellow oil; R_f = 0.71 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.16–8.14 (m, 1H, Ar), 7.73 (dd, ^3J = 1.9, ^4J = 0.9, 1H, Fu), 7.52 (dd, ^3J = 8.1, ^3J = 7.4, 1H, Ar), 7.53–7.50 (m, 1H, Ar), 7.44–7.42 (m, 2H, Ar), 7.40 (dd, ^3J = 7.4, ^4J = 0.9, 1H, Ar), 7.22–7.19 (m, 1H, Ar), 6.81 (dd, ^3J = 3.3, ^4J = 0.9, 1H, Fu), 6.68 (dd, ^3J = 3.3, ^3J = 1.9, 1H, Fu), 3.88 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 154.2 (C, Fu), 141.9 (CH, Fu), 141.6 (C), 141.3 (C), 125.9 (C), 125.8 (CH), 125.2 (CH), 123.0 (CH), 122.0 (C), 119.9 (C), 119.8 (CH), 118.8 (CH), 111.5 (CH, Fu), 108.4 (CH), 108.3 (CH), 108.2 (CH), 29.1 (CH_3N).

HRMS (ESI) *m/z* $[M]^+$ calcd for $C_{17}H_{13}NO^+$ 247.0992, found 247.0994.

9-Methyl-4-(thiophen-2-yl)-9*H*-carbazole[7,15] **(3aa)**

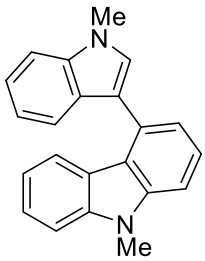


3aa was synthesized from cyclopropane **2aa** (201 mg, 0.71 mmol) *via* GP5, reaction time 12 h. Yield 175 mg (93%); yellowish oil; R_f = 0.68 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.83–7.80 (m, 1H, Ar), 7.53 (dd, ^3J = 8.2, ^4J = 0.9, 1H, Ar), 7.52 (dd, ^3J = 5.2, ^4J = 1.2, 1H, Th), 7.52–7.49 (m, 1H, Ar), 7.46–7.43 (m, 2H, Ar), 7.42 (dd, ^3J = 3.5, ^4J = 1.2, 1H, Th), 7.30 (dd, ^3J = 7.3, ^4J = 0.9, 1H, Ar), 7.29 (dd, ^3J = 5.2, ^3J = 3.5, 1H, Th), 7.14–7.11 (m, 1H, Ar), 3.89 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 142.3 (C), 141.3 (C), 141.2 (C), 129.7 (C), 127.2 (CH), 126.6 (CH), 125.8 (CH), 125.5 (CH), 125.1 (CH), 122.3 (CH), 122.2 (C), 121.9 (CH), 121.0 (C), 118.7 (CH), 108.3 (CH), 108.2 (CH), 29.1 (CH_3N).

9-Methyl-4-(1-methyl-1*H*-indol-3-yl)-9*H*-carbazole (3ab)



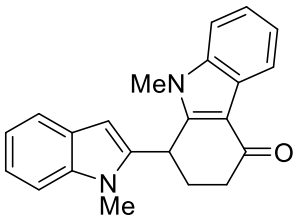
3ab was synthesized from cyclopropane **2ab**. Yield 131 mg (42%) from **2ab** (330 mg, 1.01 mmol) *via* GP5 at reflux, reaction time 3 h; yield 51 mg (41%) from **2ab** (132 mg, 0.40 mmol) *via* GP6, HCl 30 mol%, reaction time 120 h; colorless crystals, mp 201–203 °C; R_f = 0.38 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.58–7.55 (m, 2H, Ar), 7.52–7.49 (m, 2H, Ar), 7.44 (dd, ^3J = 8.2, ^4J = 0.9, 1H, Ar), 7.44–7.42 (m, 2H, Ar), 7.36 (br.s, 1H, Ar), 7.36–7.33 (m, 1H, Ar), 7.31 (dd, ^3J = 7.3, ^4J = 0.9, 1H, Ar), 7.14–7.11 (m, 1H, Ar), 6.97–6.93 (m, 1H, Ar), 3.97 (s, 3H, CH_3N), 3.93 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 141.6 (C), 141.2 (C), 137.0 (C), 130.2 (C), 127.5 (C), 127.4 (CH), 125.3 (CH), 125.2 (CH), 122.9 (CH), 122.8 (C), 121.9 (CH), 121.8 (CH), 121.3 (C), 120.9 (CH), 119.5 (CH), 118.3 (CH), 115.8 (C), 109.3 (CH), 107.9 (CH), 106.8 (CH), 32.9 (CH_3N), 29.1 (CH_3N).

HRMS (ESI) *m/z* $[M]^+$ calcd for $C_{22}H_{18}N_2^+$ 310.1465, found 310.1470.

9-Methyl-1-(1-methyl-1*H*-indol-2-yl)-1,2,3,9-tetrahydro-4*H*-carbazol-4-one (4)



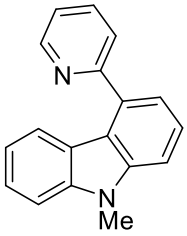
4 was synthesized from cyclopropane **2ab** (330 mg, 1.01 mmol) *via* GP5 at reflux, reaction time 3 h. Yield 65 mg (20%); brown solid, mp 197–199 °C; R_f = 0.18 (PE:EA, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.41–8.39 (m, 1H, Ar), 7.72–7.70 (m, 1H, Ar), 7.38–7.31 (m, 5H, Ar), 7.24–7.21 (m, 1H, Ar), 6.40 (br.s, 1H, Ar), 4.80–4.78 (m, 1H, CH), 3.67 (s, 3H, CH_3N), 3.56 (s, 3H, CH_3N), 2.71–2.62 (m, 2H, CH_2), 2.52–2.48 (m, 1H, CH_2), 2.47–2.43 (m, 1H, CH_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 194.2 (CO), 152.9 (C), 137.53 (C), 137.45 (C), 127.4 (CH), 126.5 (C), 124.6 (C), 123.1 (CH), 122.6 (CH), 122.1 (CH), 121.9 (CH), 119.3 (CH), 118.4 (CH), 112.8 (C), 112.0 (C), 109.6 (CH), 109.3 (CH), 34.1 (CH_2), 32.6 (CH_3N), 30.3 (CH_2), 29.9 (CH + CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{22}H_{21}N_2O^+$ 329.1648, found 329.1643.

9-Methyl-4-(pyridin-2-yl)-9*H*-carbazole (3ac)



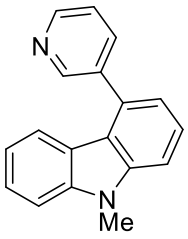
3ac was synthesized from cyclopropane **2ac** (215 mg, 0.78 mmol). Yield 138 mg (69%) from **2ac** (215 mg, 0.78 mmol) *via* GP5, reaction time 120 h; yield 75 mg (72%) from **2ac** (111 mg, 0.40 mmol) *via* GP6, HCl 110 mol%, reaction time 3 h; yellow oil; R_f = 0.44 (PE:EA, 2:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.88 (ddd, ^3J = 4.8, ^4J = 1.9, ^5J = 0.9, 1H, Py), 7.86 (ddd, ^3J = 7.8, ^3J = 7.6, ^4J = 1.9, 1H, Py), 7.76 (ddd, ^3J = 7.8, ^4J = 1.2, ^5J = 0.9, 1H, Py), 7.68–7.66 (m, 1H, Ar), 7.60–7.57 (m, 1H, Ar), 7.49–7.45 (m, 2H, Ar), 7.43–7.41 (m, 1H, Ar), 7.40 (ddd, ^3J = 7.6, ^3J = 4.8, ^4J = 1.2, 1H, Py), 7.36–7.34 (m, 1H, Ar), 7.09–7.05 (m, 1H, Ar), 3.88 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 159.5 (C), 149.5 (CH), 141.5 (C), 141.3 (C), 136.3 (CH), 136.2 (C), 125.7 (CH), 125.4 (CH), 124.2 (CH), 122.7 (CH), 122.3 (CH), 121.9 (C), 120.6 (CH), 120.0 (C), 118.5 (CH), 108.5 (CH), 108.2 (CH), 29.1 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{18}H_{15}N_2^+$ 259.1230, found 259.1232.

9-Methyl-4-(pyridin-3-yl)-9*H*-carbazole (3ad)



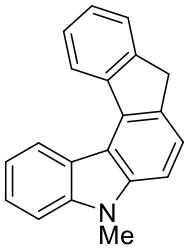
3ad was synthesized from cyclopropane **2ad** (225 mg, 0.81 mmol) *via* GP5, reaction time 40 h. Yield 160 mg (76%); colorless solid, mp 103–105 °C; R_f = 0.51 (PE:EA, 2:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.96 (br.dd, ^4J = 2.3, ^5J = 0.9, 1H, Py), 8.79 (dd, ^3J = 4.9, ^4J = 1.7, 1H, Py), 7.97 (ddd, ^3J = 7.7, ^4J = 2.3, ^4J = 1.7, 1H, Py), 7.56 (dd, ^3J = 8.2, ^3J = 7.3, 1H, Ar), 7.51–7.45 (m, 4H, Ar), 7.43–7.41 (m, 1H, Ar), 7.12 (dd, ^3J = 7.3, ^4J = 0.9, 1H, Ar), 7.07–7.04 (m, 1H, Ar), 3.88 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 149.9 (CH), 148.7 (CH), 141.2 (C), 141.1 (C), 136.9 (C), 136.5 (CH), 133.5 (C), 125.8 (CH), 125.4 (CH), 123.1 (CH), 121.9 (C), 121.8 (CH), 120.7 (CH), 120.1 (C), 118.7 (CH), 108.4 (CH), 108.1 (CH), 29.0 (CH_3N).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{18}H_{15}N_2^+$ 259.1230, found 259.1235.

5-Methyl-5,8-dihydroindeno[2,1-*c*]carbazole (3ae)



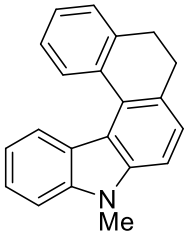
3ae was synthesized from cyclopropane **2ae** (81 mg, 0.28 mmol) *via* GP5, reaction time 6 h. Yield 56 mg (74%); white solid, mp 163–165 °C; R_f = 0.56 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.83 (br.d, ^3J = 8.0, 1H, Ar), 8.74 (br.d, ^3J = 7.8, 1H, Ar), 7.68 (br.d, ^3J = 7.4, 1H, Ar), 7.66 (br.d, ^3J = 8.1, 1H, Ar), 7.62–7.56 (m, 2H, Ar), 7.49 (br.d, ^3J = 8.1, 1H, Ar), 7.45–7.42 (m, 1H, Ar), 7.41–7.38 (m, 1H, Ar), 7.38 (d, ^3J = 8.2, 1H, Ar), 4.07 (s, 2H, CH_2), 3.88 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 144.8 (C), 142.6 (C), 141.3 (C), 141.2 (C), 136.5 (C), 135.4 (C), 126.5 (CH), 126.1 (CH), 125.2 (CH), 124.9 (CH), 123.8 (CH), 123.3 (CH), 122.3 (C), 122.0 (CH), 118.5 (CH), 117.5 (C), 108.4 (CH), 107.2 (CH), 37.4 (CH_2), 29.2 (CH_3N).

HRMS (ESI) *m/z* $[M]^+$ calcd for $C_{20}H_{15}N^+$ 269.1199, found 269.1201.

9-Methyl-6,9-dihydro-5*H*-naphtho[2,1-*c*]carbazole (3af)



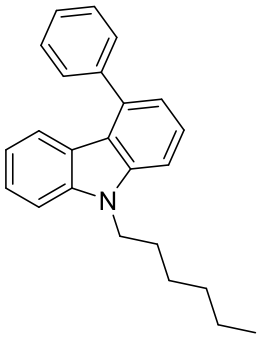
3af was synthesized from cyclopropane **2af** (297 mg, 0.99 mmol) *via* GP6, reaction time 24 h. Yield 237 mg (85%); yellowish oil; R_f = 0.62 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.50 (br.d, ^3J = 8.2, 1H, Ar), 8.34 (br.d, ^3J = 7.7, 1H, Ar), 7.50–7.47 (m, 1H, Ar), 7.44–7.39 (m, 4H, Ar), 7.36–7.31 (m, 2H, Ar), 7.14–7.12 (m, 1H, Ar), 3.88 (s, 3H, CH_3N), 2.95–2.89 (m, 4H, CH_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 141.7 (C), 141.6 (C), 139.4 (C), 134.5 (C), 131.0 (C), 130.8 (C), 127.9 (CH), 127.5 (CH), 127.4 (CH), 125.8 (CH), 125.52 (CH), 125.50 (CH), 123.0 (CH), 122.3 (C), 118.6 (C), 117.9 (C), 108.3 (CH), 107.5 (CH), 30.1 (CH_2), 29.8 (CH_2), 29.1 (CH_3N).

HRMS (ESI) *m/z* $[M]^+$ calcd for $C_{21}H_{17}N^+$ 283.1356, found 283.1357.

9-Hexyl-4-phenyl-9*H*-carbazole (3ag)



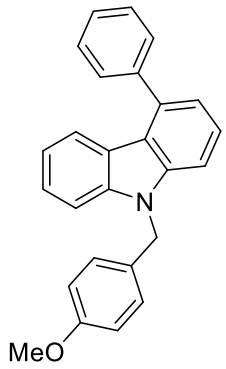
3ag was synthesized from cyclopropane **2ag** (138 mg, 0.40 mmol) *via* GP6, reaction time 6 h. Yield 125 mg (96%); yellowish oil; R_f = 0.78 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.70–7.68 (m, 2H, Ph), 7.59–7.51 (m, 5H, Ar), 7.46 (dd, ^3J = 8.2, ^4J = 0.9, 1H, Ar), 7.45–7.43 (m, 2H, Ar), 7.15 (dd, ^3J = 7.3, ^4J = 0.9, 1H, Ar), 7.04–6.99 (m, 1H, Ar), 4.37 (t, ^3J = 7.4, 2H, CH_2N), 1.97–1.92 (m, 2H, CH_2), 1.51–1.46 (m, 2H, CH_2), 1.43–1.33 (m, 4H, CH_2), 0.94 (t, ^3J = 7.2, 3H, CH_3).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 141.5 (C, Ar), 140.7 (C, Ar), 140.6 (C, Ar), 137.8 (C, Ph), 129.2 (2×CH, Ph), 128.4 (2×CH, Ph), 127.4 (CH, Ph), 125.4 (CH, Ar), 125.3 (CH, Ar), 122.5 (C, Ar), 122.4 (CH, Ar), 120.5 (CH, Ar), 120.3 (C, Ar), 118.4 (CH, Ar), 108.4 (CH, Ar), 107.6 (CH, Ar), 43.1 (CH_2N), 31.6 (CH_2), 28.9 (CH_2), 27.0 (CH_2), 22.6 (CH_2), 14.0 (CH_3).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{24}H_{26}N^+$ 328.2060, found 328.2060.

9-(4-Methoxybenzyl)-4-phenyl-9*H*-carbazole (3ah)



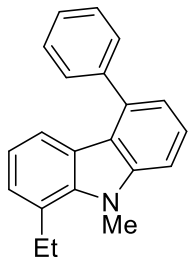
3ah was synthesized from cyclopropane **2ah** (153 mg, 0.40 mmol) *via* GP6, reaction time 6 h. Yield 139 mg (95%); yellowish foam; R_f = 0.58 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.73–7.70 (m, 2H, Ph), 7.61–7.57 (m, 3H, Ar), 7.56–7.53 (m, 1H, Ar), 7.51 (dd, ^3J = 8.2, ^3J = 7.3, 1H, Ar), 7.44–7.40 (m, 3H, Ar), 7.19–7.17 (m, 1H, Ar), 7.16 (br.d, ^3J = 8.8, 2H, PMP), 7.06–7.03 (m, 1H, Ar), 6.85 (br.d, ^3J = 8.8, 2H, PMP), 5.52 (s, 2H, CH_2N), 3.78 (s, 3H, CH_3O).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 159.0 (C, PMP), 141.3 (C, Ar), 140.9 (C, Ar), 140.8 (C, Ar), 137.8 (C, Ph), 129.2 (2×CH, Ph), 129.1 (C, PMP), 128.4 (2×CH, Ph), 127.7 (2×CH, PMP), 127.5 (CH, Ph), 125.6 (CH, Ar), 125.5 (CH, Ar), 122.7 (C, Ar), 122.4 (CH, Ar), 120.9 (CH, Ar), 120.4 (C, Ar), 118.8 (CH, Ar), 114.2 (2×CH, PMP), 108.7 (CH, Ar), 107.8 (CH, Ar), 55.2 (CH_3O), 46.0 (CH_2O).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{26}H_{22}NO^+$ 364.1696, found 364.1695.

1-Ethyl-9-methyl-5-phenyl-9*H*-carbazole (3ai)



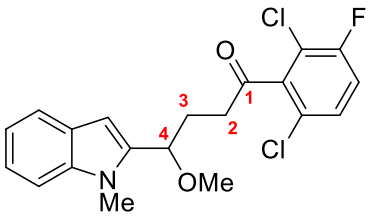
3ai was synthesized from cyclopropane **2ai** (122 mg, 0.40 mmol) *via* GP6, reaction time 6 h. Yield 102 mg (89%); yellowish solid, mp 106–108 °C; R_f = 0.69 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.70–7.67 (m, 2H, Ph), 7.61–7.54 (m, 4H, Ar), 7.46 (br.d, ^3J = 8.3, 1H, Ar), 7.39 (br.d, ^3J = 7.9, 1H, Ar), 7.25 (br.d, ^3J = 7.3, 1H, Ar), 7.17 (br.d, ^3J = 7.2, 1H, Ar), 6.99–6.96 (m, 1H, Ar), 4.18 (s, 3H, CH_3N), 3.28 (q, ^3J = 7.6, 2H, CH_2), 1.48 (t, ^3J = 7.6, 3H, CH_3).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 142.2 (C, Ar), 141.5 (C, Ar), 139.2 (C, Ar), 137.5 (C, Ph), 129.2 (2×CH, Ph), 128.3 (2×CH, Ph), 127.4 (CH, Ar), 127.1 (CH, Ar), 126.7 (C, Ar), 125.2 (CH, Ar), 123.6 (C, Ar), 120.8 (CH, Ar), 120.38 (C, Ar), 120.35 (CH, Ar), 118.8 (CH, Ar), 107.6 (CH, Ar), 32.4 (CH_3N), 26.2 (CH_2), 16.6 (CH_3).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{21}H_{20}N^+$ 286.1590, found 286.1592.

1-(2,6-Dichloro-3-fluorophenyl)-4-methoxy-4-(1-methyl-1*H*-indol-2-yl)butan-1-one (5a)



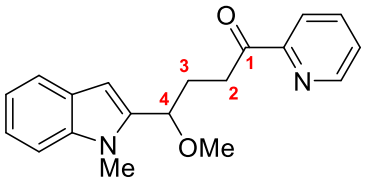
5a was synthesized from cyclopropane **2l** (240 mg, 0.66 mmol) *via* GP5, reaction time 10 min, conversion ~80%. Yield 126 mg (60%); colorless oil; R_f = 0.77 (PE:EA, 2:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.63–7.62 (m, 1H, Ind), 7.38–7.36 (m, 1H, Ind), 7.29 (dd, ^3J = 8.9, $^4J_{HF}$ = 4.3, 1H, Ar), 7.28–7.25 (m, 1H, Ind), 7.16–7.12 (m, 2H, Ind + Ar), 6.51 (br.s, 1H, Ind), 4.68 (dd, ^3J = 8.3, ^3J = 6.0, 1H, C^{4}H), 3.88 (s, 3H, CH_3N), 3.31 (s, 3H, CH_3O), 3.08 (ddd, ^2J = 19.0, ^3J = 7.3, ^3J = 7.2, 1H, C^{2}H$_2$), 3.02 (ddd, ^2J = 19.0, ^3J = 7.4, ^3J = 6.0, 1H, C^{2}H$_2$), 2.46 (dddd, ^2J = 14.4, ^3J = 8.3, ^3J = 7.3, ^3J = 6.0, 1H, C^{3}H$_2$), 2.38 (dddd, ^2J = 14.4, ^3J = 7.4, ^3J = 7.2, ^3J = 6.0, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 200.4 (CO), 156.0 ($^1J_{CF}$ = 252, C, Ar), 141.2 (C, Ar), 138.3 (2×C, Ind), 129.2 ($^3J_{CF}$ = 7, CH, Ar), 127.3 (C, Ind), 124.9 ($^3J_{CF}$ = 4, C, Ar), 121.6 (CH, Ind), 120.5 (CH, Ind), 119.5 (CH, Ind), 118.0 ($^2J_{CF}$ = 21, C, Ar), 117.5 ($^2J_{CF}$ = 23, CH, Ar), 109.0 (CH, Ind), 101.6 (CH, Ind), 75.7 (C^{4}H), 55.7 (CH_3O), 39.8 (C^{2}H$_2$), 30.3 (CH_3N), 28.0 (C^{3}H$_2$).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{20}H_{19}Cl_2FNO_2^+$ 394.0771, found 394.0773.

4-Methoxy-4-(1-methyl-1*H*-indol-2-yl)-1-(pyridin-2-yl)butan-1-one (5b)



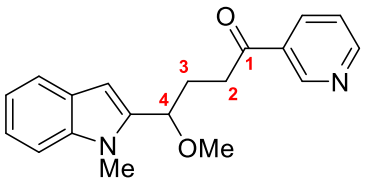
5b was synthesized from cyclopropane **2ac** (138 mg, 0.50 mmol) *via* GP5, reaction time 10 min. Yield 85 mg (55%); colorless oil; R_f = 0.40 (PE:EA, 3:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.67 (ddd, ^3J = 4.7, ^4J = 1.7, ^5J = 0.9, 1H, Py), 7.98 (ddd, ^3J = 7.7, ^4J = 1.2, ^5J = 0.9, 1H, Py), 7.80 (ddd, ^3J = 7.7, ^3J = 7.5, ^4J = 1.7, 1H, Py), 7.58–7.56 (m, 1H, Ind), 7.44 (ddd, ^3J = 7.5, ^3J = 4.7, ^4J = 1.2, 1H, Py), 7.36–7.33 (m, 1H, Ind), 7.35–7.32 (m, 1H, Ind), 7.13–7.10 (m, 1H, Ind), 6.48 (br.s, 1H, Ind), 4.67 (dd, ^3J = 8.0, ^3J = 6.2, 1H, C^{4}H), 3.86 (s, 3H, CH_3N), 3.43 (ddd, ^2J = 17.9, ^3J = 7.7, ^3J = 6.5, 1H, C^{2}H), 3.38 (ddd, ^2J = 17.9, ^3J = 7.6, ^3J = 6.8, 1H, C^{2}H), 3.26 (s, 3H, CH_3O), 2.51–2.45 (m, 1H, C^{3}H$_2$), 2.40–2.34 (m, 1H, C^{3}H$_2$).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 201.2 (CO), 153.3 (C, Py), 148.8 (CH, Py), 138.5 (C, Ind), 138.3 (C, Ind), 136.7 (CH, Py), 127.3 (C, Ind), 126.9 (CH, Py), 121.6 (CH, Py), 121.4 (CH, Ind), 120.4 (CH, Ind), 119.3 (CH, Ind), 108.9 (CH, Ind), 101.9 (CH, Ind), 76.5 (C^4H), 55.5 (CH_3O), 34.1 (C^2H_2), 30.3 (CH_3N), 28.9 (C^3H_2).

HRMS (ESI) *m/z* $[M - OH]^+$ calcd for $C_{19}H_{19}N_2O^+$ 291.1492, found 291.1496.

4-Methoxy-4-(1-methyl-1*H*-indol-2-yl)-1-(pyridin-3-yl)butan-1-one (5c)



5c was synthesized from cyclopropane **2ad** (225 mg, 0.81 mmol) *via* GP5, reaction time 10 min. Yield 143 mg (57%); yellowish oil; R_f = 0.33 (PE:EA, 1:1).

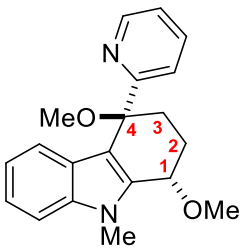
^{1}H NMR ($CDCl_3$, 600 MHz) δ = 9.15 (ddd, ^4J = 2.3, ^5J = 0.9, 1H, Py), 8.77 (dd, ^3J = 4.8, ^4J = 1.7, 1H, Py), 7.88 (ddd, ^3J = 7.9, ^4J = 2.3, ^4J = 1.7, 1H, Py), 7.58–7.56 (m, 1H, Ind), 7.38 (ddd, ^3J = 7.9, ^3J = 4.8, ^5J = 0.9, 1H, Py), 7.35–7.33 (m, 1H, Ind), 7.25–7.22 (m, 1H, Ind), 7.13–7.10 (m, 1H, Ind), 6.45 (br.s, 1H, Ind), 4.64 (dd, ^3J = 7.9, ^3J = 6.0, 1H, C^4H), 3.84 (s, 3H, CH_3N), 3.27 (s, 3H, CH_3O), 3.16 (ddd, ^2J = 17.9, ^3J = 7.1, ^3J = 6.8, 1H, C^2H), 3.13 (ddd, ^2J = 17.9, ^3J = 7.1, ^3J = 6.6, 1H, C^2H), 2.47–2.41 (m, 1H, C^3H_2), 2.39–2.33 (m, 1H, C^3H_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 198.3 (CO), 153.4 (CH, Py), 149.5 (CH, Py), 138.3 (C, Ind), 138.2 (C, Ind), 135.2 (CH, Py), 132.1 (C, Py), 127.2 (C, Ind), 123.5 (CH, Py), 121.7 (CH, Ind), 120.5 (CH, Ind), 119.5 (CH, Ind), 109.0 (CH, Ind), 101.8 (CH, Ind), 76.2 (C^4H), 55.8 (CH_3O), 34.9 (C^2H_2), 30.3 (CH_3N), 28.7 (C^3H_2).

HRMS (ESI) *m/z* $[M + H]^+$ calcd for $C_{19}H_{21}N_2O_2^+$ 309.1598, found 309.1599.

1,4-Dimethoxy-9-methyl-4-(pyridin-2-yl)-2,3,4,9-tetrahydro-1*H*-carbazole (6a)

6a was synthesized from cyclopropane **2ac** (141 mg, 0.51 mmol) *via* GP5, reaction time 20 h. Mixture of ***R**S*-6a**:***S**S*-6a**:**3ac** in 1:0.82:1.63 ratio. Yield 72 mg (44%).

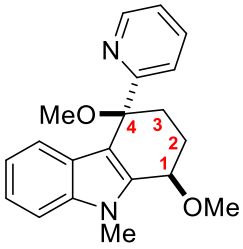


***S*S**-6a** (minor): yellowish solid, mp 102–104 °C; R_f = 0.34 (PE:EA, 1:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.59 (ddd, ^3J = 4.8, ^4J = 1.8, ^5J = 0.9, 1H, Py), 7.63–7.60 (m, 1H, Py), 7.46–7.44 (m, 1H, Py), 7.33 (br.d, ^3J = 8.2, 1H, Ind), 7.19–7.17 (m, 1H, Ind), 7.14 (ddd, ^3J = 7.5, ^3J = 4.8, ^4J = 1.1, 1H, Py), 7.05 (br.d, ^3J = 7.9, 1H, Ind), 6.95–6.92 (m, 1H, Ind), 4.70–4.68 (m, 1H, C^1H), 3.81 (s, 3H, CH_3N), 3.51 (s, 3H, CH_3OC^1), 3.26 (s, 3H, CH_3OC^4), 2.45 (ddd, ^2J = 12.9, ^3J = 9.8, ^3J = 3.0, 1H, C^3H_2), 2.36 (dddd, ^2J = 13.6, ^3J = 8.1, ^3J = 5.2, ^3J = 3.0, 1H, C^2H_2), 2.26 (ddd, ^2J = 12.9, ^3J = 8.1, ^3J = 2.9, 1H, C^3H_2), 2.03 (dddd, ^2J = 13.6, ^3J = 9.8, ^3J = 5.1, ^3J = 2.9, 1H, C^2H_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 164.0 (C, Py), 149.3 (CH, Py), 137.8 (C, Ind), 137.7 (C, Ind), 136.1 (CH, Py), 125.9 (C, Ind), 121.83 (CH, Py), 121.79 (CH, Py), 121.13 (CH, Ind), 121.05 (CH, Ind), 119.5 (CH, Ind), 112.3 (C, Ind), 109.2 (CH, Ind), 80.4 (C^4), 71.0 (C^1H), 55.4 (CH_3O), 52.0 (CH_3O), 32.3 (C^3H_2), 30.0 (CH_3N), 24.3 (C^2H_2).

HRMS (ESI) *m/z* $[M - CH_3O]^+$ calcd for $C_{19}H_{19}N_2O^+$ 291.1492, found 291.1496.



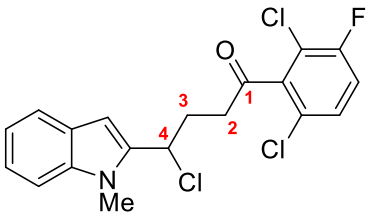
***R*S**-6a** (major): yellowish solid, mp 138–140 °C; R_f = 0.26 (PE:EA, 1:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 8.63 (ddd, ^3J = 4.7, ^4J = 1.7, ^5J = 0.9, 1H, Py), 7.63–7.60 (m, 1H, Py), 7.46–7.44 (m, 1H, Py), 7.34 (br.d, ^3J = 8.3, 1H, Ind), 7.18–7.15 (m, 2H, Ind, Py), 6.91–6.88 (m, 1H, Ind), 6.83 (br.d, ^3J = 7.9, 1H, Ind), 4.64–4.63 (m, 1H, C^1H), 3.81 (s, 3H, CH_3N), 3.49 (s, 3H, CH_3OC^1), 3.27 (s, 3H, CH_3OC^4), 2.46–2.39 (m, 2H, C^2H_2, C^3H_2), 2.21–2.09 (m, 2H, C^2H_2, C^3H_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 163.9 (C, Py), 149.2 (CH, Py), 137.5 (C, Ind), 137.4 (C, Ind), 136.0 (CH, Py), 126.2 (C, Ind), 121.68 (2×CH, Py), 121.65 (CH, Ind), 121.3 (CH, Ind), 119.3 (CH, Ind), 111.7 (C, Ind), 109.2 (CH, Ind), 79.4 (C^4), 71.3 (C^1H), 55.8 (CH_3O), 53.0 (CH_3O), 34.8 (C^3H_2), 29.7 (CH_3N), 23.5 (C^2H_2).

HRMS (ESI) *m/z* $[M - CH_3O]^+$ calcd for $C_{19}H_{19}N_2O^+$ 291.1492, found 291.1496.

4-Chloro-1-(2,6-dichloro-3-fluorophenyl)-4-(1-methyl-1*H*-indol-2-yl)butan-1-one (7)



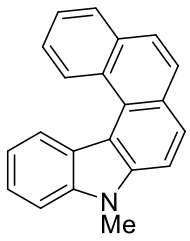
7 was synthesized from cyclopropane **2l** (73 mg, 0.2 mmol) *via* GP6 using excessive HCl (55 µL, 110 mol%), reaction time 10 min. **7** was characterized as a crude product obtained from the reaction mixture *via* solvent evaporation.

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.64–7.62 (m, 1H, Ind), 7.36–7.34 (m, 1H, Ind), 7.30–7.27 (m, 2H, Ind + Ar), 7.16–7.12 (m, 2H, Ind + Ar), 6.64 (br.s, 1H, Ind), 5.36 (dd, ^{3}J = 9.1, ^{3}J = 5.6, 1H, C^4H), 3.83 (s, 3H, CH_3N), 3.22 (t, ^{3}J = 6.8, 2H, C^2H_2), 2.92–2.87 (m, 1H, C^3H_2), 2.81–2.75 (m, 1H, C^3H_2).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 199.6 (C^1O), 156.9 ($^{1}J_{CF}$ = 252, C, Ar), 140.8 (C, Ar), 137.91 (C, Ind), 137.87 (C, Ind), 129.2 ($^{3}J_{CF}$ = 7, CH, Ar), 126.8 (C, Ind), 124.8 ($^{3}J_{CF}$ = 4, C, Ar), 122.6 (CH, Ind), 121.0 (CH, Ind), 119.9 (CH, Ind), 118.0 ($^{2}J_{CF}$ = 21, C, Ar), 117.6 ($^{2}J_{CF}$ = 23, CH, Ar), 109.2 (CH, Ind), 100.4 (CH, Ind), 53.8 (C^4H), 40.8 (C^2H_2), 30.0 (C^3H_2), 29.7 (CH_3N).

Follow-up transformations of carbazoles 3

9-Methyl-9*H*-naphtho[2,1-*c*]carbazole (8)



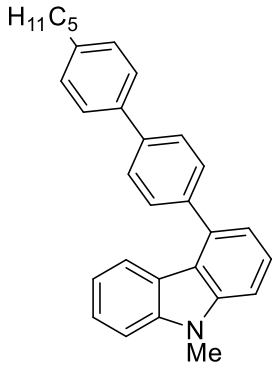
To a solution of **3af** (126 mg, 0.44 mmol) in benzene (5 mL), 2,3-dichloro-5,6-dicyano-1,4-benzoquinone (131 mg, 0.58 mmol) was added. The resulting mixture was stirred at rt for 24 h, then filtered through a small pad (1 cm) of silica gel using CH_2Cl_2 (30 mL) for washing, and concentrated under reduced pressure. The residue was subjected to column chromatography on silica gel (PE – EA). Yield 96 mg (77%); white crystals, mp 188–190 °C; R_f = 0.47 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 9.46–9.43 (m, 1H, Ar), 8.87 (br.d, ^3J = 8.1, 1H, Ar), 8.03–8.00 (m, 1H, Ar), 7.94 (d, ^3J = 8.6, 1H, Ar), 7.88 (d, ^3J = 8.6, 1H, Ar), 7.77 (br.d, ^3J = 8.6, 1H, Ar), 7.71 (d, ^3J = 8.6, 1H, Ar), 7.71–7.67 (m, 2H, Ar), 7.58–7.55 (m, 2H, Ar), 7.33–7.29 (m, 1H, Ar), 4.01 (s, 3H, CH_3N).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 140.81 (C), 140.77 (C), 133.1 (C), 129.5 (C), 128.0 (CH), 127.9 (C), 127.8 (CH), 127.5 (CH), 127.11 (C), 127.09 (CH), 126.6 (CH), 125.0 (CH), 124.2 (CH), 123.9 (CH), 123.7 (C), 123.4 (CH), 118.3 (CH), 116.6 (C), 109.8 (CH), 108.9 (CH), 29.4 (CH_3N).

HRMS (ESI) *m/z* $[M]^+$ calcd for $C_{21}H_{15}N^+$ 281.1199, found 281.1201.

9-Methyl-4-(4′-pentylbiphenyl-4-yl)-9*H*-carbazole (9)



K_2CO_3 (100 mg, 0.72 mmol) was dissolved in H_2O (0.2 mL) under stirring. To the resulting solution EtOH (0.3 mL) and benzene (0.6 mL) were added and this mixture was degassed *via* bubbling with Ar for 15 min. Then, 4-iodophenylcarbazole **3x** (136 mg, 0.36 mmol), 4-(*n*-pentyl)phenylboronic acid (90 mg, 0.47 mmol) and $Pd(PPh_3)_4$ (12 mg, 0.01 mmol, 3 mol%) were added subsequently. The reaction mixture was heated under reflux for 3 h, then cooled down to ambient temperature and poured into ice-water mixture (*ca*. 20 mL). The resulting mixture was extracted with ethyl acetate (3×10 mL), combined organic fractions were washed with

brine (3×10 mL), dried with Na_2SO_4 and concentrated under reduced pressure. Residue was purified by column chromatography (eluent PE – EA, 50:1 → 50:3). Yield 135 mg (93%); white solid, mp 98–100 °C; R_f = 0.59 (PE:EA, 5:1).

^{1}H NMR ($CDCl_3$, 600 MHz) δ = 7.82–7.80 (m, 2H, Ar), 7.76–7.74 (m, 2H, Ar), 7.72–7.70 (m, 2H, Ar), 7.69–7.67 (m, 1H, Ar), 7.57 (dd, ^3J = 8.2, ^3J = 7.3, 1H, Ar), 7.48–7.43 (m, 3H, Ar), 7.37–7.34 (m, 2H, Ar), 7.21 (dd, ^3J = 7.3, ^4J = 0.9, 1H, Ar), 7.06–7.03 (m, 1H, Ar), 3.92 (s, 3H, CH_3N), 2.74–2.72 (m, 2H, CH_2), 1.77–1.72 (m, 2H, CH_2), 1.46–1.41 (m, 4H, CH_2), 0.98 (t, ^3J = 7.1, 3H, CH_3).

^{13}C NMR ($CDCl_3$, 150 MHz) δ = 142.2 (C), 141.4 (C), 141.2 (C), 140.2 (C), 140.0 (C), 138.2 (C), 137.4 (C), 129.6 (2×CH), 128.9 (2×CH), 126.9 (2×CH), 126.8 (2×CH), 125.5 (CH), 125.4 (CH), 122.5 (CH), 122.4 (C), 120.6 (CH), 120.2 (C), 118.5 (CH), 108.2 (CH), 107.4 (CH), 35.6 (CH_2), 31.6 (CH_2), 31.2 (CH_2), 29.1 (CH_3N), 22.6 (CH_2), 14.1 (CH_3).

HRMS (ESI) *m/z* $[M]^+$ calcd for $C_{30}H_{29}N^+$ 403.2295, found 403.2297.

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