

Supporting Information

Transition-Metal-free Regioselective Synthesis of *Spiro*-oxazolidine through [3 + 2] Annulation Reaction of Azadienes and Haloalcohols

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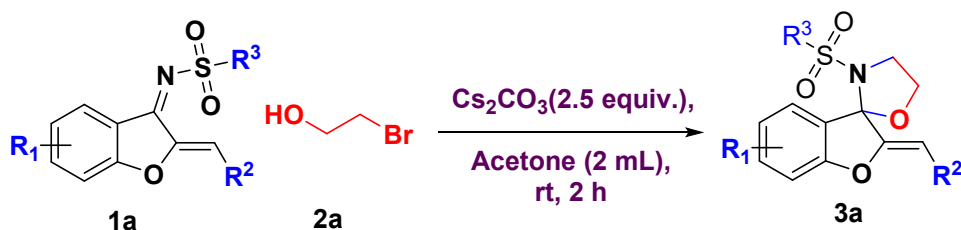
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1. General Information

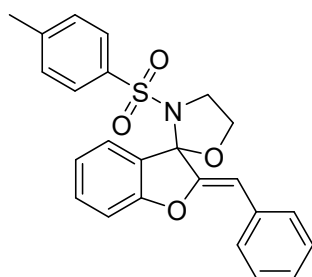
Unless otherwise noted, all commercially available components, as well as reagents, and solvents, were obtained from suppliers and used without further purification. Analytical thin layer chromatography (TLC) was performed using a Merck 60 F₂₅₄ precoated silica gel plate (0.2 mm thickness). After elution, plates were visualized using UV radiation (254 nm). Further visualization was possible by staining with a basic solution of potassium permanganate or an acidic solution of ceric molybdate. Column chromatography was carried out through silica gel (100-200 mesh) using EtOAc/n-Hexane as an eluent. ¹H NMR (400 MHz) and ¹³CNMR (100MHz) spectra were measured on Bruker AMX 400 spectrometers with CDCl₃ as solvent and tetramethylsilane (TMS) as internal standard. Chemical shifts were reported in units (ppm) by assigning TMS resonance in the ¹H spectrum as 0.00 ppm and CDCl₃ resonance in the ¹³C spectrum as 77.00 ppm. All coupling constants (J values) were reported in Hertz (Hz). Multiplicities were given as: s (singlet); d (doublet); t (triplet); q (quartet); dd (doublets of doublet); ddd (doublets of doublets of doublet); dt (doublets of triplet); or m (multiplets), coupling constants (Hz) and integration. Chemical shifts of common trace ¹H NMR impurities (ppm): H₂O: 1.56, CHCl₃: 7.26. HRMS (ESI) spectra were recorded using a Bruker Impact HD quadrupole plus ion trap at the CIF S. P. Pune University. Melting points were determined with a Buchi B-540 capillary melting point apparatus in open capillaries and were uncorrected. An oil bath was used as a heating source. The starting compounds **1a-1s**¹, **4a-4u**¹, and **6a**³ have been synthesized using the reported procedure.

2. General experimental procedures and characterization data for the synthesis of compounds 3

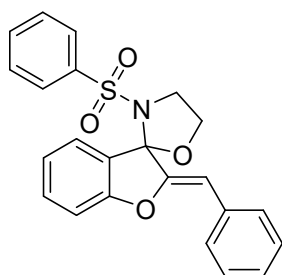


General experimental procedure: To an oven-dried 50 mL round bottom flask was added azadiene (0.27 mmol, 1.0 equiv), 2-bromoethanol (0.40 mmol, 1.5 equiv.), and Cs_2CO_3 (0.67 mmol, 2.5 equiv.) in acetone (2 mL), and the resulting solution was stirred at room temperature until starting completely consumed (based on TLC analysis). After completion of the reaction, the mixture was diluted with water (10 mL) and extracted with EtOAc (3×10 mL). The organic layer was collected and dried over anhydrous Na_2SO_4 . The combined organic layer was concentrated under reduced pressure. The resultant crude material was purified by column chromatography on silica gel using *n*-hexane/EtOAc as an eluent.

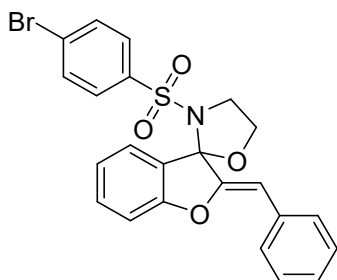
Characterization of the products:



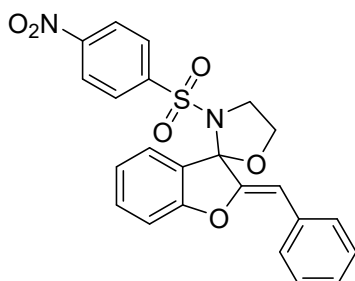
(Z)-2-benzylidene-3'-(p-toluenesulfonyl)-2H-spiro[benzofuran-3,2'-oxazolidine] (3a); White solid, 93 mg, 83% yield, $R_f = 0.4$, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp 170–172°C; ^1H NMR (400 MHz, CDCl_3): δ 7.65–7.56 (m, 2H), 7.45–7.32 (m, 5H), 7.27–7.22 (m, 1H), 7.20–7.11 (m, 2H), 7.06 (d, $J = 8.0$ Hz, 2H), 6.98 (td, $J = 7.5, 0.8$ Hz, 1H), 5.62 (s, 1H), 4.37 (ddd, $J = 7.9, 6.0, 2.1$ Hz, 2H), 3.91 (dt, $J = 8.3, 6.0$ Hz, 1H), 3.82 (dt, $J = 8.3, 6.5$ Hz, 1H), 2.32 (s, 3H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 157.5, 152.8, 143.7, 136.1, 134.2, 131.7, 129.4, 129.4, 128.4, 127.7, 127.3, 125.7, 124.7, 122.8, 111.3, 106.9, 97.9, 65.2, 47.1, 21.6; **HRMS (ESI)** calcd for: $\text{C}_{24}\text{H}_{22}\text{NO}_4\text{S}$: $[\text{M} + \text{H}]^+$, 420.1264 found: 420.1272.



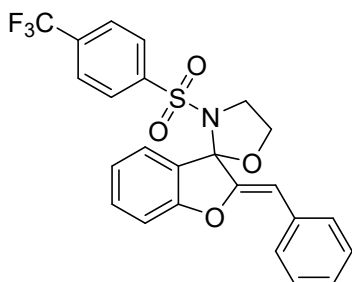
(Z)-2-benzylidene-3'-(phenylsulfonyl)-2H-spiro[benzofuran-3,2'-oxazolidine] (3b); Pale yellow solid, 85 mg, 76% yield, $R_f = 0.4$, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp 116–118°C; ^1H NMR (400 MHz, CDCl_3): δ 7.64 (d, $J = 7.4$ Hz, 2H), 7.52 (dd, $J = 8.3, 1.1$ Hz, 2H), 7.45 (dd, $J = 10.7, 4.3$ Hz, 1H), 7.42–7.34 (m, 3H), 7.30–7.22 (m, 3H), 7.19–7.07 (m, 2H), 6.95 (td, $J = 7.5, 0.7$ Hz, 1H), 5.67 (s, 1H), 4.46–4.28 (m, 2H), 3.95–3.76 (m, 2H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 157.5, 152.9, 138.9, 134.0, 132.8, 131.7, 129.4, 128.8, 128.5, 127.6, 127.3, 125.5, 124.5, 122.8, 111.4, 106.9, 97.9, 65.3, 47.1; **HRMS (ESI)** calcd for: $\text{C}_{23}\text{H}_{20}\text{NO}_4\text{S}$: $[\text{M} + \text{H}]^+$, 406.1108 found: 406.1110.



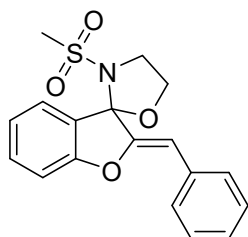
(Z)-2-benzylidene-3'--((4-bromophenyl)sulfonyl)-2H-spiro[benzofuran-3,2'-oxazolidine](3c); Pale yellow solid, 82 mg, 75% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp 114–116°C; ^1H NMR (400 MHz, CDCl_3): δ 7.61 (d, J = 7.3 Hz, 2H), 7.43 – 7.34 (m, 7H), 7.28 – 7.24 (m, 1H), 7.15 (dd, J = 8.1, 1.9 Hz, 2H), 6.99 (td, J = 7.5, 0.7 Hz, 1H), 5.61 (s, 1H), 4.39 (ddd, J = 8.6, 5.3, 2.1 Hz, 2H), 3.87 (dtd, J = 13.2, 8.3, 6.3 Hz, 2H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 157.5, 152.5, 137.9, 133.8, 132.1, 131.9, 129.3, 129.1, 128.6, 128.0, 127.5, 125.4, 124.5, 122.9, 111.4, 107.2, 97.9, 65.3, 47.1; HRMS (ESI) calcd for: $\text{C}_{23}\text{H}_{19}\text{BrNO}_4\text{S}$: $[\text{M} + \text{H}]^+$, 484.0213 found: 484.0216.



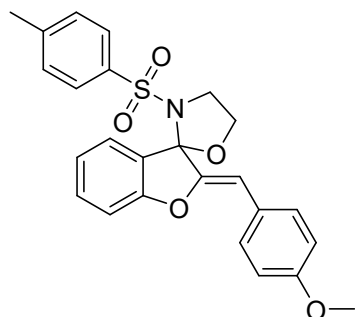
(Z)-2-benzylidene-3'--((4-nitrophenyl)sulfonyl)-2H-spiro[benzofuran-3,2'-oxazolidine](3d); White solid, 87 mg, 79% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp 144–146°C; ^1H NMR (400 MHz, CDCl_3): δ 8.12 – 7.95 (m, 2H), 7.72 – 7.62 (m, 2H), 7.59 – 7.50 (m, 2H), 7.47 – 7.41 (m, 1H), 7.37 (dd, J = 10.4, 4.7 Hz, 2H), 7.31 – 7.26 (m, 1H), 7.22 – 7.10 (m, 2H), 6.99 (td, J = 7.5, 0.8 Hz, 1H), 5.54 (s, 1H), 4.50 – 4.35 (m, 2H), 3.99 (dt, J = 8.4, 5.7 Hz, 1H), 3.89 (dt, J = 8.4, 6.7 Hz, 1H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 157.6, 152.0, 150.0, 144.4, 133.5, 132.2, 129.2, 128.7, 128.7, 127.9, 125.2, 124.4, 124.0, 123.0, 111.6, 107.4, 98.0, 65.4, 47.3; HRMS (ESI) calcd for: $\text{C}_{23}\text{H}_{19}\text{N}_2\text{O}_6\text{S}$: $[\text{M} + \text{H}]^+$, 451.0958 found: 451.0963.



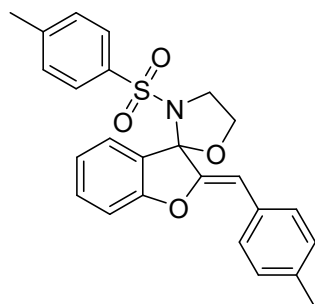
(Z)-2-benzylidene-3'--((4-(trifluoromethyl)phenyl)sulfonyl)-2H-spiro[benzofuran-3,2'-oxazolidine] (3e); White solid, 77 mg, 70% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp 144–146°C; ^1H NMR (400 MHz, CDCl_3): δ 7.63 (d, J = 8.2 Hz, 2H), 7.57 (dd, J = 8.2, 1.0 Hz, 2H), 7.50 (d, J = 8.3 Hz, 2H), 7.44 – 7.33 (m, 3H), 7.30 – 7.25 (m, 1H), 7.19 – 7.11 (m, 2H), 6.97 (td, J = 7.5, 0.9 Hz, 1H), 5.54 (s, 1H), 4.52 – 4.28 (m, 2H), 3.96 (dt, J = 8.3, 5.8 Hz, 1H), 3.87 (dt, J = 8.3, 6.7 Hz, 1H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 157.5, 152.2, 142.3, 134.6, 134.2, 133.6, 131.9, 129.3, 128.6, 128.0, 127.6, 125.96 (q, J = 3.6 Hz), 125.4, 124.4, 122.9, 111.5, 107.3, 98.0, 67.3, 47.7; ^{19}F NMR (377 MHz, CDCl_3): δ -63.22; HRMS (ESI) calcd for: $\text{C}_{24}\text{H}_{19}\text{F}_3\text{NO}_4\text{S}$: $[\text{M} + \text{H}]^+$, 474.0981 found: 474.0986.



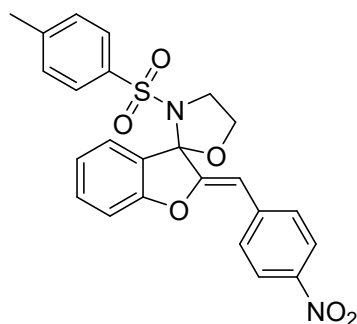
(Z)-2-benzylidene-3'-(methylsulfonyl)-2H-spiro[benzofuran-3,2'-oxazolidine] (3f); White solid, 72 mg, 63% yield, $R_f = 0.4$, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp 186–188°C; ^1H NMR (400 MHz, CDCl_3): δ 7.80 – 7.71 (m, 2H), 7.45 – 7.36 (m, 4H), 7.28 – 7.23 (m, 1H), 7.16 – 7.07 (m, 2H), 6.00 (s, 1H), 4.49 – 4.39 (m, 2H), 3.93 (t, $J = 6.4$ Hz, 2H), 2.80 (s, 3H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 157.5, 153.6, 133.8, 132.0, 129.3, 128.6, 127.5, 125.6, 124.2, 123.0, 111.6, 106.5, 97.7, 65.2, 47.0, 39.7; HRMS (ESI) calcd for: $\text{C}_{18}\text{H}_{18}\text{NO}_4\text{S}$: $[\text{M} + \text{H}]^+$, 344.0951 found: 344.0963.



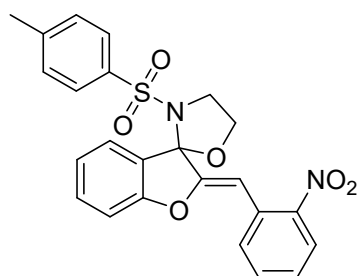
(Z)-2-(4-methoxybenzylidene)-3'-tosyl-2H-spiro[benzofuran-3,2'-oxazolidine] (3g); Pale yellow solid, 75 mg, 68% yield, $R_f = 0.4$, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp 104–106°C; ^1H NMR (400 MHz, CDCl_3): δ 7.60 – 7.51 (m, 2H), 7.40 (d, $J = 8.2$ Hz, 2H), 7.39 – 7.32 (m, 1H), 7.18 – 7.10 (m, 2H), 7.06 (d, $J = 8.1$ Hz, 2H), 6.96 (td, $J = 7.5, 0.8$ Hz, 1H), 6.92 – 6.85 (m, 2H), 5.57 (s, 1H), 4.34 (t, $J = 6.2$ Hz, 2H), 3.89 (dt, $J = 8.6, 5.9$ Hz, 1H), 3.83 (d, $J = 5.9$ Hz, 3H), 3.82 – 3.75 (m, 1H), 2.32 (s, 3H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 158.9, 157.5, 151.0, 143.6, 136.1, 131.6, 130.7, 129.4, 127.7, 126.9, 125.8, 124.6, 122.6, 113.9, 111.2, 106.7, 97.9, 65.1, 55.4, 47.1, 21.6; HRMS (ESI) calcd for: $\text{C}_{25}\text{H}_{24}\text{NO}_5\text{S}$: $[\text{M} + \text{H}]^+$, 450.1370 found: 450.1374.



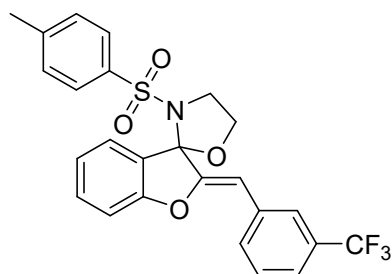
(Z)-2-(4-methylbenzylidene)-3'-tosyl-2H-spiro[benzofuran-3,2'-oxazolidine] (3h); White solid, 67 mg, 60% yield, $R_f = 0.4$, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp 166–168°C; ^1H NMR (400 MHz, CDCl_3): δ 7.43 (d, $J = 8.1$ Hz, 2H), 7.34 (d, $J = 8.3$ Hz, 2H), 7.32 – 7.25 (m, 1H), 7.10 (d, $J = 8.0$ Hz, 3H), 7.06 (d, $J = 8.1$ Hz, 1H), 6.99 (d, $J = 8.2$ Hz, 2H), 6.90 (t, $J = 7.5$ Hz, 1H), 5.52 (s, 1H), 4.28 (t, $J = 6.2$ Hz, 2H), 3.83 (dt, $J = 11.9, 5.9$ Hz, 1H), 3.78 – 3.65 (m, 1H), 2.30 (s, 3H), 2.25 (s, 3H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 157.5, 152.0, 143.6, 137.1, 136.1, 131.6, 131.3, 129.4, 129.3, 129.1, 127.7, 125.7, 124.6, 122.7, 111.3, 106.9, 97.9, 65.2, 47.1, 21.6, 21.5; HRMS (ESI) calcd for: $\text{C}_{25}\text{H}_{24}\text{NO}_4\text{S}$: $[\text{M} + \text{H}]^+$, 434.1421 found: 434.1432.



(Z)-2-(4-nitrobenzylidene)-3'-tosyl-2H-spiro[benzofuran-3,2'-oxazolidine] (3i); White solid, 89 mg, 80% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp 127–129°C; ^1H NMR (400 MHz, CDCl_3): δ 8.33 – 8.11 (m, 2H), 7.87 – 7.73 (m, 2H), 7.43 (ddd, J = 8.2, 7.4, 1.5 Hz, 1H), 7.35 (d, J = 8.3 Hz, 2H), 7.19 (d, J = 8.1 Hz, 1H), 7.10 (d, J = 7.9 Hz, 2H), 7.06 (dd, J = 7.6, 1.0 Hz, 1H), 6.98 (td, J = 7.5, 0.9 Hz, 1H), 5.82 (s, 1H), 4.46 – 4.32 (m, 2H), 3.89 (dtd, J = 12.4, 8.4, 6.4 Hz, 2H), 2.35 (s, 3H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 157.2, 157.1, 146.3, 144.0, 141.0, 135.8, 132.0, 129.7, 129.5, 127.6, 124.7, 124.8, 123.8, 123.4, 111.5, 104.4, 97.7, 65.6, 47.1, 21.6; **HRMS (ESI)** calcd for: $\text{C}_{24}\text{H}_{21}\text{N}_2\text{O}_6\text{S}$: $[\text{M} + \text{H}]^+$, 465.1115 found: 465.1121.

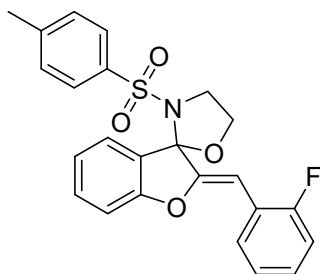


(Z)-2-(2-nitrobenzylidene)-3'-tosyl-2H-spiro[benzofuran-3,2'-oxazolidine] (3j); Pale yellow solid, 91 mg, 82% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp 198–200°C; ^1H NMR (400 MHz, CDCl_3): δ 8.36 (dd, J = 8.0, 1.3 Hz, 1H), 7.94 (dd, J = 8.2, 1.2 Hz, 1H), 7.66 (ddd, J = 8.2, 7.6, 1.0 Hz, 1H), 7.48 – 7.34 (m, 4H), 7.18 (dd, J = 7.6, 0.9 Hz, 1H), 7.11 (t, J = 7.6 Hz, 3H), 7.02 (td, J = 7.5, 0.8 Hz, 1H), 6.41 (s, 1H), 4.53 – 4.28 (m, 2H), 3.91 – 3.72 (m, 2H), 2.34 (s, 3H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 157.2, 157.1, 147.8, 144.1, 135.9, 133.0, 131.9, 131.8, 129.5, 128.8, 127.7, 127.6, 125.1, 125.0, 124.8, 123.3, 111.3, 99.5, 97.7, 65.6, 47.0, 21.6; **HRMS (ESI)** calcd for: $\text{C}_{24}\text{H}_{21}\text{N}_2\text{O}_6\text{S}$: $[\text{M} + \text{H}]^+$, 465.1115 found: 465.1121.

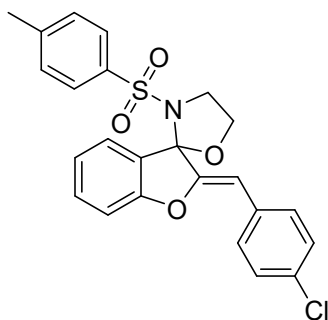


(Z)-3'-tosyl-2-(3-(trifluoromethyl)benzylidene)-2H-spiro[benzofuran-3,2'-oxazolidine] (3k); Thick pale yellow liquid, 91 mg, 83% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20); ^1H NMR (400 MHz, CDCl_3): δ 7.99 – 7.92 (m, 1H), 7.78 (s, 1H), 7.53 (d, J = 5.2 Hz, 2H), 7.48 – 7.40 (m, 3H), 7.24 – 7.17 (m, 2H), 7.11 (d, J = 8.1 Hz, 2H), 7.04 (d, J = 7.4 Hz, 1H), 5.68 (s, 1H), 4.41 (t, J = 6.2 Hz, 2H), 3.91 (dtd, J = 14.8, 8.3, 6.3 Hz, 2H), 2.36 (s, 3H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 157.3, 154.4, 143.9, 136.0, 134.9, 132.3, 131.9, 129.5, 129.0, 127.7, 125.9 (q, J = 3.6 Hz), 125.4, 124.7, 123.7 (q, J = 3.3 Hz), 123.1, 111.4, 105.4, 97.8, 65.4, 47.1, 21.5; ^{19}F

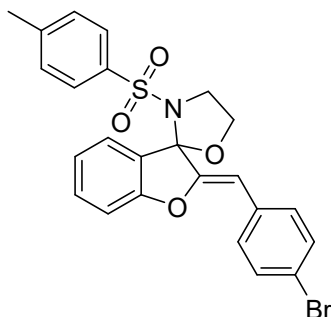
¹H NMR (377 MHz, CDCl₃): δ -62.68; **HRMS (ESI)** calcd for: C₂₅H₂₁F₃NO₄S: [M + H]⁺, 488.1138 found: 488.1150.



(Z)-2-(2-fluorobenzylidene)-3'-tosyl-2H-spiro[benzofuran-3,2'-oxazolidine] (3l); White solid, 89 mg, 80% yield, *R_f* = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp 90–92°C; **¹H NMR (400 MHz, CDCl₃):** δ 8.38 – 8.13 (m, 1H), 7.46 (t, *J* = 5.1 Hz, 2H), 7.45 – 7.37 (m, 1H), 7.28 – 7.21 (m, 3H), 7.17 (d, *J* = 8.1 Hz, 1H), 7.13 – 7.08 (m, 2H), 7.05 (td, *J* = 7.5, 3.7 Hz, 2H), 5.94 (s, 1H), 4.47 – 4.29 (m, 2H), 4.02 – 3.90 (m, 1H), 3.83 (dt, *J* = 8.3, 6.4 Hz, 1H), 2.35 (s, 3H); **¹³C {¹H} NMR (101 MHz, CDCl₃):** δ 159.6 (d, *J* = 249.6 Hz), 157.3, 154.3 (d, *J* = 2.4 Hz), 143.8, 135.8, 131.7, 130.6, 130.6, 129.3, 128.6 (d, *J* = 8.3 Hz), 127.5, 125.5, 124.7, 124.2, 122.1 (d, *J* = 11.6 Hz), 114.9 (d, *J* = 22.1 Hz), 111.2, 97.5 (d, *J* = 9.2 Hz), 65.3, 47.0, 21.5; **¹⁹F {¹H} NMR (377 MHz, CDCl₃):** δ -117.6; **HRMS (ESI)** calcd for: C₂₄H₂₁FNO₄S: [M + H]⁺, 438.1170 found: 438.1185.

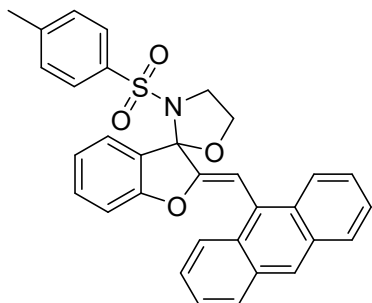


(Z)-2-(4-chlorobenzylidene)-3'-tosyl-2H-spiro[benzofuran-3,2'-oxazolidine] (3m); White solid, 71 mg, 64% yield, *R_f* = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp 198–200°C; **¹H NMR (400 MHz, CDCl₃):** δ 7.60 – 7.52 (m, 2H), 7.43 – 7.35 (m, 3H), 7.35 – 7.29 (m, 2H), 7.16 – 7.09 (m, 2H), 7.07 (d, *J* = 8.0 Hz, 2H), 7.01 – 6.92 (m, 1H), 5.62 (s, 1H), 4.48 – 4.23 (m, 2H), 3.99 – 3.67 (m, 2H), 2.33 (s, 3H); **¹³C {¹H} NMR (101 MHz, CDCl₃):** δ 157.4, 153.5, 143.8, 136.0, 132.8, 132.7, 131.8, 130.5, 129.5, 128.6, 127.6, 125.4, 124.7, 122.9, 111.3, 105.6, 97.8, 65.3, 47.1, 21.6; **HRMS (ESI)** calcd for: C₂₄H₂₁ClNO₄S: [M + H]⁺, 454.0874 found: 454.0881.

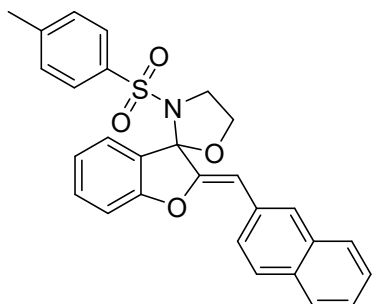


(Z)-2-(4-bromobenzylidene)-3'-tosyl-2H-spiro[benzofuran-3,2'-oxazolidine] (3n); White solid, 79 mg, 72% yield, *R_f* = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp 202–204°C; **¹H NMR (400 MHz, CDCl₃):** δ 7.55 – 7.43 (m, 4H), 7.43 – 7.32 (m, 3H), 7.12 (dd, *J* = 11.4, 4.6 Hz, 2H), 7.07 (d, *J* = 8.0 Hz, 2H), 6.97 (td, *J* = 7.5, 0.8 Hz, 1H), 5.60 (s, 1H), 4.41 – 4.26 (m, 2H), 3.93 – 3.76 (m, 2H), 2.33 (s, 3H); **¹³C {¹H} NMR (101 MHz, CDCl₃):** δ 157.3, 153.7, 143.8,

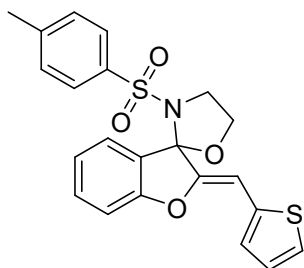
136.0, 133.1, 131.8, 131.6, 130.8, 129.5, 127.6, 125.4, 124.7, 122.9, 121.0, 111.3, 105.6, 97.8, 65.3, 47.1, 21.6; **HRMS (ESI)** calcd for: C₂₄H₂₁BrNO₄S: [M + H]⁺, 498.0369 found: 498.0376.



(Z)-2-(anthracen-9-ylmethylene)-3'-tosyl-2H-spiro[benzofuran-3,2'-oxazolidine] (3o); Thick brown red liquid, 52 mg, 48% yield, *R_f* = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20); **¹H NMR (400 MHz, CDCl₃):** δ 8.42 (dd, *J* = 9.5, 8.5 Hz, 3H), 8.10 – 7.93 (m, 2H), 7.54 – 7.43 (m, 4H), 7.40 (d, *J* = 8.3 Hz, 2H), 7.27 – 7.20 (m, 1H), 7.12 (d, *J* = 8.0 Hz, 2H), 6.90 (s, 1H), 6.87 – 6.71 (m, 3H), 4.58 (dt, *J* = 8.5, 6.6 Hz, 1H), 4.38 (ddd, *J* = 8.6, 6.7, 4.8 Hz, 1H), 4.08 (ddd, *J* = 8.3, 6.3, 4.9 Hz, 1H), 3.75 (dt, *J* = 8.2, 6.8 Hz, 1H), 2.35 (s, 3H); **¹³C {¹H} NMR (101 MHz, CDCl₃):** δ 157.6, 157.1, 143.8, 136.2, 131.7, 131.6, 130.1, 129.5, 128.6, 127.7, 127.7, 127.2, 126.9, 125.8, 125.3, 124.8, 124.5, 122.1, 111.6, 102.5, 97.1, 65.33, 47.2, 21.6; **HRMS (ESI)** calcd for: C₃₂H₂₆NO₄S: [M + H]⁺, 520.1577 found: 520.1583.

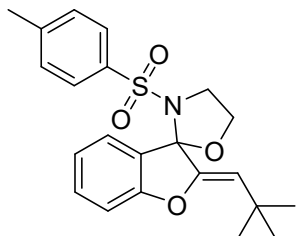


(Z)-2-(naphthalen-2-ylmethylene)-3'-tosyl-2H-spiro[benzofuran-3,2'-oxazolidine] (3p); Pale yellow solid, 92 mg, 83% yield, *R_f* = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp 176–178°C; **¹H NMR (400 MHz, CDCl₃):** δ 7.99 (s, 1H), 7.90 – 7.76 (m, 4H), 7.53 – 7.37 (m, 5H), 7.23 – 7.16 (m, 2H), 7.06 – 6.94 (m, 3H), 5.76 (s, 1H), 4.46 – 4.31 (m, 2H), 3.93 (dt, *J* = 8.2, 5.9 Hz, 1H), 3.84 (dt, *J* = 8.3, 6.6 Hz, 1H), 2.25 (s, 3H); **¹³C {¹H} NMR (101 MHz, CDCl₃):** δ 157.5, 153.0, 143.7, 136.0, 133.6, 132.6, 131.7, 131.7, 129.5, 128.5, 128.3, 127.9, 127.7, 127.7, 127.3, 126.2, 126.1, 125.7, 124.7, 122.9, 111.4, 107.0, 97.9, 65.3, 47.1, 21.5; **HRMS (ESI)** calcd for: C₂₈H₂₄NO₄S: [M + H]⁺, 470.1421 found: 470.1436.

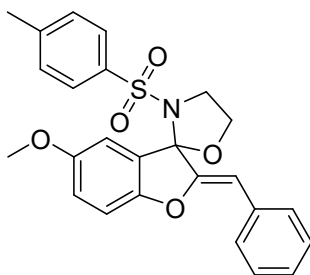


(Z)-2-(thiophen-2-ylmethylene)-3'-tosyl-2H-spiro[benzofuran-3,2'-oxazolidine] (3q); Thick red brown liquid, 64 mg, 57% yield, *R_f* = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20); **¹H NMR (400 MHz, CDCl₃):** δ 7.46 – 7.39 (m, 3H), 7.36 (d, *J* = 5.0 Hz, 1H), 7.18 (d, *J* = 8.9

Hz, 2H), 7.14 (d, $J = 3.1$ Hz, 1H), 7.11 (d, $J = 8.0$ Hz, 2H), 7.06 (dd, $J = 5.1, 3.6$ Hz, 1H), 7.03 – 6.99 (m, 1H), 5.93 (s, 1H), 4.37 (t, $J = 6.2$ Hz, 2H), 3.92 (dt, $J = 8.3, 5.9$ Hz, 1H), 3.83 (dt, $J = 8.3, 6.4$ Hz, 1H), 2.37 (s, 3H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 157.3, 151.1, 143.8, 136.9, 135.9, 131.7, 129.4, 127.7, 127.4, 127.1, 126.4, 125.8, 124.7, 122.9, 111.4, 101.1, 97.4, 65.2, 47.1, 21.6; HRMS (ESI) calcd for: $\text{C}_{22}\text{H}_{20}\text{NO}_4\text{S}_2$: $[\text{M} + \text{H}]^+$, 426.0828 found: 426.0834.

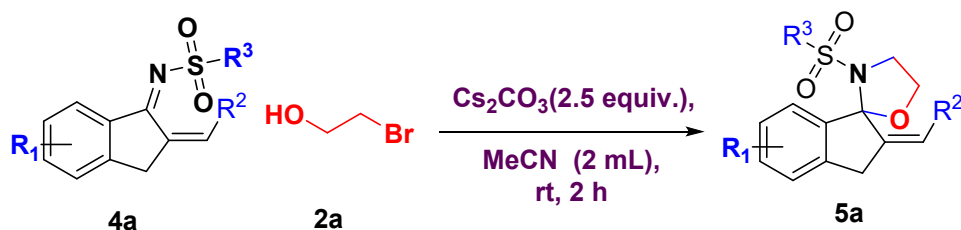


(Z)-2-(2,2-dimethylpropylidene)-3'-tosyl-2H-spiro[benzofuran-3,2'-oxazolidine] (3r); Thick pale yellow liquid, 64 mg, 54% yield, $R_f = 0.4$, column chromatography on silica gel (n -hexane/EtOAc 80:20); ^1H NMR (400 MHz, CDCl_3): δ 7.42 – 7.35 (m, 2H), 7.31 (ddd, $J = 8.2, 7.4, 1.4$ Hz, 1H), 7.18 – 7.13 (m, 2H), 7.01 (d, $J = 8.1$ Hz, 1H), 6.91 (ddd, $J = 4.7, 2.4, 1.9$ Hz, 1H), 6.82 (td, $J = 7.5, 0.9$ Hz, 1H), 5.00 (s, 1H), 4.31 – 4.20 (m, 2H), 3.80 (ddd, $J = 8.3, 6.4, 5.7$ Hz, 1H), 3.70 (dt, $J = 8.3, 6.5$ Hz, 1H), 2.39 (s, 3H), 1.26 (s, 9H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 157.5, 152.2, 143.5, 136.5, 131.4, 129.4, 127.6, 125.1, 124.5, 121.8, 116.9, 111.1, 97.4, 64.7, 46.8, 32.0, 30.4, 21.6; HRMS (ESI) calcd for: $\text{C}_{22}\text{H}_{26}\text{NO}_4\text{S}$: $[\text{M} + \text{H}]^+$, 400.1577 found: 400.1589.



(Z)-2-benzylidene-5-methoxy-3'-tosyl-2H-spiro[benzofuran-3,2'-oxazolidine] (3s); Thick pale brown liquid, 89 mg, 80% yield, $R_f = 0.4$, column chromatography on silica gel (n -hexane/EtOAc 80:20); ^1H NMR (400 MHz, CDCl_3): δ 7.64 – 7.59 (m, 2H), 7.42 – 7.33 (m, 4H), 7.25 – 7.21 (m, 1H), 7.06 (dd, $J = 8.4, 4.5$ Hz, 3H), 6.93 (dd, $J = 8.8, 2.7$ Hz, 1H), 6.53 (d, $J = 2.6$ Hz, 1H), 5.63 (s, 1H), 4.40 – 4.31 (m, 2H), 3.88 (t, $J = 6.2$ Hz, 2H), 3.67 (s, 3H), 2.32 (s, 3H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 155.5, 153.7, 151.6, 143.7, 135.9, 134.2, 129.4, 129.3, 128.4, 127.7, 127.2, 125.5, 118.2, 111.8, 108.9, 106.6, 98.1, 65.3, 55.8, 47.2, 21.6; HRMS (ESI) calcd for: $\text{C}_{25}\text{H}_{24}\text{NO}_5\text{S}$: $[\text{M} + \text{H}]^+$, 450.1370 found: 450.1386.

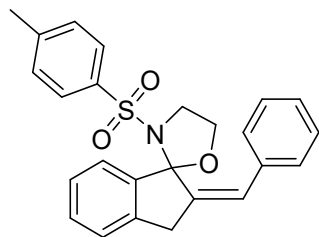
3. General experimental procedures and characterization data for the synthesis of compounds 5



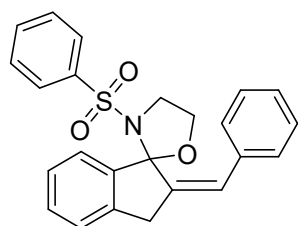
General experimental procedure: To an oven-dried 50 mL round bottom flask was added azadiene (0.27 mmol, 1.0 equiv.), 2-bromoethanol (0.40 mmol, 1.5 equiv.), and Cs_2CO_3 (0.67 mmol, 2.5 equiv.) in MeCN (1.5 mL), and the resulting solution was stirred at room temperature until starting completely consumed (based on TLC analysis). Upon completion of the reaction, the solid was filtered and washed with DCM, and the combined filtrate was concentrated under reduced pressure. The residue was purified

using column chromatography on silica gel using *n*-hexane /EtOAc as an eluent to afford the products **5a**.

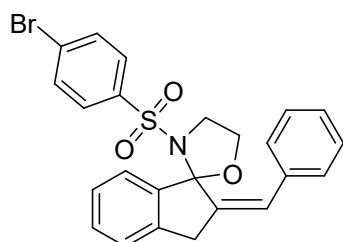
Characterization of the products:



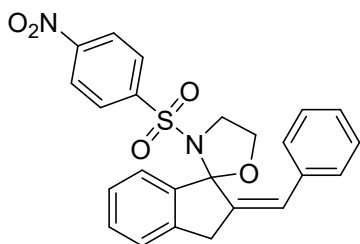
(Z)-2-benzylidene-3'-tosyl-2,3-dihydrospiro[indene-1,2'-oxazolidine] (5a); Brown solid, 71 mg, 63% yield, $R_f = 0.4$, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-156-158°C ; ^1H NMR (400 MHz, CDCl_3): δ 7.39 (s, 2H), 7.38 (d, $J = 2.3$ Hz, 2H), 7.34 (dd, $J = 7.5, 3.6$ Hz, 4H), 7.30 – 7.26 (m, 1H), 7.13 (dd, $J = 3.3, 1.1$ Hz, 2H), 7.06 (s, 1H), 7.04 (s, 1H), 6.67 (t, $J = 2.4$ Hz, 1H), 4.40 (t, $J = 6.2$ Hz, 2H), 4.14 (dd, $J = 20.3, 2.5$ Hz, 1H), 3.93 (dd, $J = 9.7, 2.3$ Hz, 1H), 3.90 – 3.82 (m, 2H), 2.33 (s, 3H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 143.2, 141.7, 141.6, 141.4, 136.6, 136.6, 130.1, 129.3, 129.3, 128.5, 128.1, 127.6, 127.5, 127.2, 125.2, 124.3, 103.0, 65.4, 47.6, 35.4, 21.6; **HRMS (ESI)** calcd for: $\text{C}_{25}\text{H}_{24}\text{NO}_3\text{S}$: $[\text{M} + \text{H}]^+$, 418.1471 found: 418.1479.



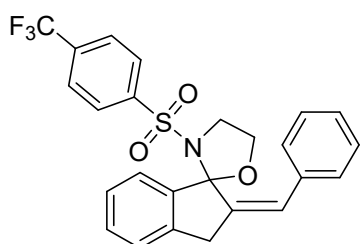
(Z)-2-benzylidene-3'-(phenylsulfonyl)-2,3-dihydrospiro[indene-1,2'-oxazolidine] (5b); White solid, 70 mg, 62% yield, $R_f = 0.4$, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-150-152°C ; ^1H NMR (400 MHz, CDCl_3): δ 7.45 – 7.36 (m, 7H), 7.35 – 7.27 (m, 3H), 7.26 – 7.22 (m, 2H), 7.12 – 7.04 (m, 2H), 6.69 (t, $J = 2.3$ Hz, 1H), 4.39 (t, $J = 6.3$ Hz, 2H), 4.19 – 4.10 (m, 1H), 3.93 (dd, $J = 5.1, 3.0$ Hz, 1H), 3.91 – 3.81 (m, 2H).; ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 141.7, 141.6, 141.2, 139.3, 136.7, 132.4, 130.1, 129.3, 128.6, 128.7, 128.1, 127.6, 127.3, 127.2, 125.2, 124.3, 102.8, 65.4, 47.6, 35.4 ; **HRMS (ESI)** calcd for: $\text{C}_{24}\text{H}_{22}\text{NO}_3\text{S}$: $[\text{M} + \text{H}]^+$, 404.1315 found: 404.1323.



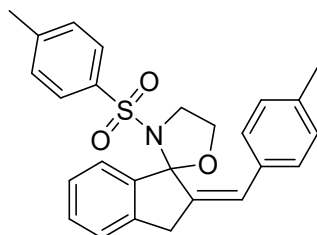
(Z)-2-benzylidene-3'-((4-bromophenyl)sulfonyl)-2,3-dihydrospiro[indene-1,2'-oxazolidine] (5c); White solid, 76 mg, 69% yield, $R_f = 0.4$, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-178-180°C ; ^1H NMR (400 MHz, CDCl_3): δ 7.45 – 7.37 (m, 5H), 7.37 – 7.32 (m, 3H), 7.32 – 7.28 (m, 1H), 7.27 – 7.24 (m, 2H), 7.13 (d, $J = 2.1$ Hz, 1H), 7.07 (d, $J = 7.6$ Hz, 1H), 6.68 (t, $J = 2.5$ Hz, 1H), 4.43 (td, $J = 6.2, 1.1$ Hz, 2H), 4.14 (dd, $J = 20.3, 2.5$ Hz, 1H), 3.95 (t, $J = 4.2$ Hz, 1H), 3.93 – 3.79 (m, 2H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 141.7, 141.5, 140.9, 138.3, 136.6, 131.9, 130.3, 129.3, 128.9, 128.6, 128.4, 127.7, 127.4, 127.3, 125.3, 124.2, 103.1, 65.5, 47.6, 35.4 ; **HRMS (ESI)** calcd for: $\text{C}_{24}\text{H}_{21}\text{BrNO}_3\text{S}$: $[\text{M} + \text{H}]^+$, 482.0420 found: 482.0432.



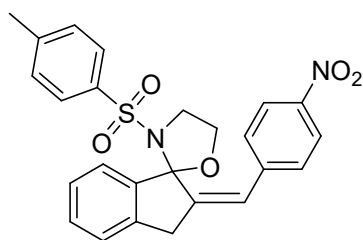
(Z)-2-benzylidene-3'--((4-nitrophenyl)sulfonyl)-2,3-dihydrospiro[indine-1,2'-oxazolidine] (5d); White solid, 80 mg, 72% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-172-174°C ; ^1H NMR (400 MHz, CDCl_3): δ 8.07 (d, J = 8.7 Hz, 2H), 7.56 (d, J = 8.8 Hz, 2H), 7.41 (dd, J = 6.5, 3.9 Hz, 6H), 7.33 (ddd, J = 8.5, 5.7, 2.5 Hz, 1H), 7.10 (d, J = 3.5 Hz, 1H), 7.06 (s, 1H), 6.70 (t, J = 2.4 Hz, 1H), 4.49 (tt, J = 12.4, 6.1 Hz, 2H), 4.20 (dd, J = 20.3, 2.4 Hz, 1H), 4.08 – 4.00 (m, 1H), 3.94 (ddd, J = 14.3, 10.6, 4.1 Hz, 2H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 144.8, 141.8, 141.1, 140.8, 136.3, 130.5, 129.2, 128.7, 128.6, 128.4, 128.0, 127.3, 125.4, 123.9 (d, J = 19.2 Hz), 103.1, 65.7, 47.8, 35.5.; **HRMS(ESI)** calcd for: $\text{C}_{24}\text{H}_{21}\text{N}_2\text{O}_5\text{S}$: $[\text{M} + \text{H}]^+$, 449.1166 found: 449.1170



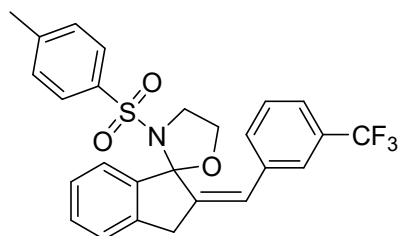
(Z)-2-benzylidene-3'--((4-(trifluoromethyl)phenyl)sulfonyl)-2,3-dihydrospiro[indine-1,2'-oxazolidine] (5e); White solid, 83 mg, 76% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-180-182°C ; ^1H NMR (400 MHz, CDCl_3): δ 7.56 – 7.45 (m, 4H), 7.44 – 7.32 (m, 6H), 7.32 – 7.26 (m, 1H), 7.08 (dd, J = 8.0, 4.5 Hz, 1H), 7.03 (d, J = 7.7 Hz, 1H), 6.64 (t, J = 2.4 Hz, 1H), 4.51 – 4.39 (m, 2H), 4.16 (dd, J = 20.3, 2.5 Hz, 1H), 3.98 (dd, J = 6.3, 2.1 Hz, 1H), 3.91 (ddd, J = 12.6, 10.9, 4.6 Hz, 2H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 142.7, 141.7, 141.2, 140.9, 136.5, 130.3, 129.2, 128.6, 128.7, 127.8, 127.9, 127.3, 125.9 (q, J = 3.7 Hz), 125.3, 124.1, 103.1, 65.5, 47.8, 35.5.; ^{19}F NMR (377 MHz, CDCl_3): δ -63.1; **HRMS (ESI)** calcd for: $\text{C}_{25}\text{H}_{21}\text{F}_3\text{NO}_3\text{S}$: $[\text{M} + \text{H}]^+$, 472.1189 found: 472.1195.



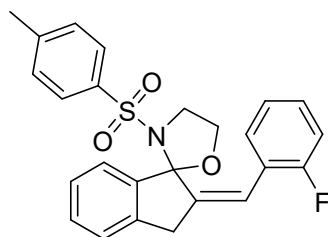
(Z)-2-(4-methylbenzylidene)-3'--tosyl-2,3-dihydro-spiro[indine-1,2'-oxazolidine] (5f); White solid, 69mg, 62% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-148-150°C ; ^1H NMR (400 MHz, CDCl_3): ^1H NMR (400 MHz, CDCl_3) δ 7.37 – 7.29 (m, 4H), 7.27 (d, J = 8.1 Hz, 2H), 7.17 (d, J = 8.0 Hz, 2H), 7.12 (dd, J = 4.1, 2.4 Hz, 2H), 7.03 (d, J = 8.0 Hz, 2H), 6.61 (t, J = 2.3 Hz, 1H), 4.37 (t, J = 6.2 Hz, 2H), 4.10 (dd, J = 20.2, 2.4 Hz, 1H), 3.95 – 3.88 (m, 1H), 3.88 – 3.77 (m, 2H), 2.34 (d, J = 19.2 Hz, 6H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 143.1, 141.6, 141.5, 140.5, 137.4, 136.6, 134.0, 130.0, 129.3, 129.3, 129.1, 128.0, 127.5, 127.1, 125.1, 124.3, 103.1, 65.3, 47.6, 35.4, 21.6, 21.4.; **HRMS (ESI)** calcd for: $\text{C}_{26}\text{H}_{26}\text{NO}_3\text{S}$: $[\text{M} + \text{H}]^+$, 432.1628 found: 432.1635.



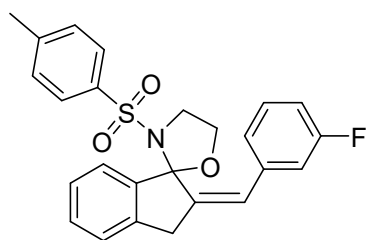
(Z)-2-(4-nitrobenzylidene)-3'-tosyl-2,3-dihydrospiro[indine-1,2'-oxazolidine] (5g); White solid, 80 mg, 72% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-180-200°C ; ^1H NMR (400 MHz, CDCl_3): δ 8.34 – 8.15 (m, 2H), 7.58 (d, J = 8.8 Hz, 2H), 7.42 – 7.32 (m, 2H), 7.29 – 7.23 (m, 2H), 7.08 (dd, J = 8.1, 4.1 Hz, 3H), 7.00 (d, J = 7.7 Hz, 1H), 6.86 (t, J = 2.4 Hz, 1H), 4.42 (d, J = 6.4 Hz, 2H), 4.20 (dd, J = 20.5, 2.4 Hz, 1H), 3.99 (dd, J = 5.2, 3.1 Hz, 1H), 3.90 (dd, J = 20.4, 2.0 Hz, 1H), 3.85 – 3.75 (m, 1H), 2.35 (s, 3H).; ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 147.7, 146.7, 143.5, 143.4, 140.9, 140.4, 136.2, 130.4, 129.7, 129.4, 127.4, 127.3, 125.9, 125.2, 124.4, 123.9, 102.5, 65.7, 47.5, 35.5, 21.6.; **HRMS (ESI)** calcd for: $\text{C}_{25}\text{H}_{23}\text{N}_2\text{O}_5\text{S}$: $[\text{M} + \text{H}]^+$, 463.1322 found: 463.1336.



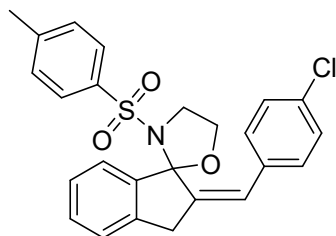
(Z)-3'-tosyl-2-(3-trifluoromethyl)benzylidene-2,3'-dihydrospiro[indine-1,2'-oxazolidine] (5h); White solid, 85 mg, 77% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-120-122°C ; ^1H NMR (400 MHz, CDCl_3): δ 7.63 (d, J = 6.8 Hz, 1H), 7.58 (s, 1H), 7.55 – 7.47 (m, 2H), 7.38 – 7.33 (m, 2H), 7.30 (d, J = 8.3 Hz, 2H), 7.15 – 7.01 (m, 4H), 6.71 (t, J = 2.3 Hz, 1H), 4.40 (t, J = 6.2 Hz, 2H), 4.15 (dd, J = 20.3, 2.4 Hz, 1H), 4.01 – 3.93 (m, 1H), 3.87 (ddd, J = 12.8, 11.8, 9.3 Hz, 2H), 2.33 (s, 3H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 144.2, 143.4, 141.1 (d, J = 9.9 Hz), 137.5, 136.4, 132.1, 130.2, 129.4, 129.1, 127.4 (d, J = 4.5 Hz), 126.6, 126.1 (q, J = 3.7 Hz), 125.2, 124.1 (q, J = 3.8 Hz), 102.8, 65.5, 47.6, 35.3, 21.5.; ^{19}F NMR (377 MHz, CDCl_3): δ -62.7.; **HRMS (ESI)** calcd for: $\text{C}_{26}\text{H}_{23}\text{F}_3\text{NO}_3\text{S}$: $[\text{M} + \text{H}]^+$, 486.1345 found: 486.1357.



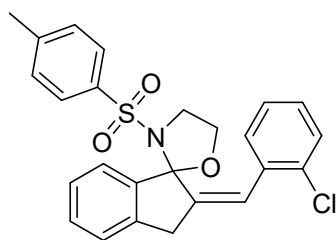
(Z)-2-(2-fluorobenzylidene)-3'-tosyl-2,3-dihydrospiro[indine-1,2'-oxazolidine] (5i); White solid, 70 mg, 68% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-130-132°C ; ^1H NMR (400 MHz, CDCl_3): δ 7.64 (td, J = 7.7, 1.6 Hz, 1H), 7.40 – 7.32 (m, 4H), 7.31 – 7.27 (m, 1H), 7.22 (td, J = 7.5, 1.2 Hz, 1H), 7.19 – 7.14 (m, 2H), 7.13 – 7.02 (m, 3H), 6.97 (s, 1H), 4.50 – 4.37 (m, 2H), 4.16 (dd, J = 20.4, 2.5 Hz, 1H), 3.93 (dd, J = 7.1, 4.7 Hz, 1H), 3.91 – 3.84 (m, 2H), 2.36 (s, 3H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 161.8, 159.3, 144.3, 143.3, 141.3 (d, J = 13.6 Hz), 136.4, 130.1, 129.6 (d, J = 2.9 Hz), 129.3 (d, J = 4.9 Hz), 127.4, 127.2, 125.1, 124.8, 124.7, 124.4, 124.1 (d, J = 3.7 Hz), 119.5 (d, J = 5.8 Hz), δ 115.6 (d, J = 22.3 Hz), 102.6, 65.6, 47.5, 35.3, 21.6.; ^{19}F NMR (377 MHz, CDCl_3): δ -115.5 ; **HRMS (ESI)** calcd for: $\text{C}_{25}\text{H}_{23}\text{FNO}_3\text{S}$: $[\text{M} + \text{H}]^+$, 436.1377 found: 436.1385.



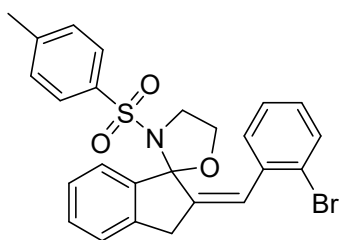
(Z)-2-(3-fluorobenzylidene)-3'-tosyl-2,3-dihydrospiro[indine-1,2'-oxazolidine] (5j); White solid, 74 mg, 66% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-130-132°C ; ^1H NMR (400 MHz, CDCl_3): δ 7.42 – 7.29 (m, 5H), 7.23 – 7.12 (m, 2H), 7.09 (dt, J = 18.6, 5.4 Hz, 4H), 6.99 (d, J = 2.5 Hz, 1H), 6.66 (t, J = 2.4 Hz, 1H), 4.41 (t, J = 6.2 Hz, 2H), 4.14 (dd, J = 20.3, 2.5 Hz, 1H), 3.94 (dd, J = 3.8, 2.4 Hz, 1H), 3.92 – 3.74 (m, 2H), 2.35 (s, 3H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 164.2, 161.8, 143.4 (d, J = 12.0 Hz), 141.3, 141.1, 136.4, 130.2, 129.8, 129.6, 127.4 (d, J = 14.6 Hz), 126.9 (d, J = 2.5 Hz), 125.3, 124.9, 124.5, 115.9, 115.7, 114.5, 114.3, 102.8, 65.5, 47.6, 35.4, 21.6.; ^{19}F NMR (377 MHz, CDCl_3): δ -113.4; HRMS (ESI) calcd for: $\text{C}_{25}\text{H}_{23}\text{FNO}_3\text{S}$: [$\text{M} + \text{H}$] $^+$, 436.1377 found: 436.1385.



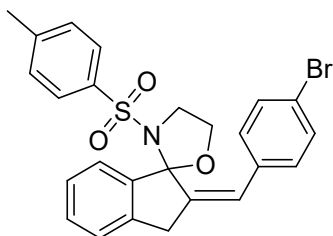
(Z)-2-(4-chlorobenzylidene)-3'-tosyl-2,3-dihydrospiro[indine-1,2'-oxazolidine] (5k); White solid, 78 mg, 70% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-160-162°C ; ^1H NMR (400 MHz, CDCl_3): δ 7.40 – 7.34 (m, 6H), 7.32 (d, J = 8.3 Hz, 2H), 7.14 (d, J = 2.1 Hz, 1H), 7.10 (t, J = 8.1 Hz, 3H), 6.69 (t, J = 2.4 Hz, 1H), 4.42 (t, J = 6.2 Hz, 2H), 4.14 (dd, J = 20.3, 2.4 Hz, 1H), 3.94 (s, 1H), 3.92 – 3.83 (m, 2H), 2.37 (s, 3H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 143.3, 142.7, 141.3, 141.1, 136.5, 135.4, 133.3, 130.5, 130.1, 129.3, 128.7, 127.4, 127.2, 126.8, 125.2, 124.3, 102.8, 65.5, 47.5, 35.3, 21.6.; HRMS (ESI) calcd for: $\text{C}_{25}\text{H}_{23}\text{ClNO}_3\text{S}$: [$\text{M} + \text{H}$] $^+$, 452.1082 found: 452.1089.



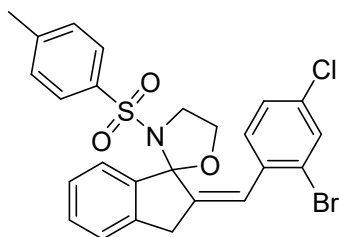
(Z)-2-(2-chlorobenzylidene)-3'-tosyl-2,3-dihydrospiro[indine-1,2'-oxazolidine] (5l); White solid, 80 mg, 72% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-160-162°C ; ^1H NMR (400 MHz, CDCl_3): ^1H NMR (400 MHz, CDCl_3) δ 7.70 – 7.56 (m, 1H), 7.40 (dd, J = 7.9, 1.1 Hz, 1H), 7.37 – 7.26 (m, 5H), 7.23 (dd, J = 7.6, 1.3 Hz, 1H), 7.12 (s, 1H), 7.11 – 6.85 (m, 4H), 4.55 – 4.34 (m, 2H), 4.15 (dd, J = 20.3, 2.3 Hz, 1H), 3.96 (dt, J = 8.2, 5.9 Hz, 1H), 3.88 – 3.67 (m, 2H), 2.34 (s, 3H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 144.9, 143.3, 141.6, 140.9, 136.4, 135.1, 134.4, 130.1, 129.9, 129.7, 129.3, 128.7, 127.4, 127.1, 126.7, 125.1, 124.5, 124.1, 102.4, 65.8, 47.6, 34.9, 21.6.; HRMS (ESI) calcd for: $\text{C}_{25}\text{H}_{23}\text{ClNO}_3\text{S}$: [$\text{M} + \text{H}$] $^+$, 452.1082 found: 452.1089.



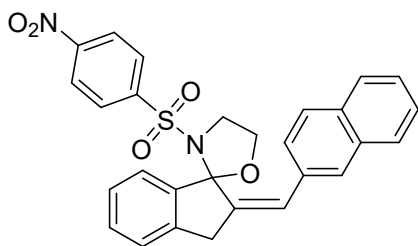
(Z)-2-(2-bromobenzylidene)-3'-tosyl-2,3-dihydrospiro[indine-1,2'-oxazolidine] (5m); White solid, 80 mg, 72% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-180-182°C ; ^1H NMR (400 MHz, CDCl_3): δ 7.60 (t, J = 8.4 Hz, 2H), 7.34 (dd, J = 15.5, 7.7 Hz, 2H), 7.28 – 7.23 (m, 3H), 7.13 (t, J = 7.6 Hz, 1H), 7.05 (t, J = 8.8 Hz, 4H), 6.99 (d, J = 7.6 Hz, 1H), 4.48 (dd, J = 14.4, 6.9 Hz, 1H), 4.39 (d, J = 6.4 Hz, 1H), 4.13 (d, J = 20.2 Hz, 1H), 3.97 (dd, J = 10.1, 3.7 Hz, 1H), 3.74 (dd, J = 27.9, 14.0 Hz, 2H), 2.32 (s, 3H); ^{13}C $\{^1\text{H}\}$ NMR (101 MHz, CDCl_3): δ 145.1, 143.2, 141.6, 140.7, 136.7, 136.3, 132.9, 130.1, 129.9, 129.3, 128.9, 127.3, 127.1, 126.7, 125.1, 124.9, 124.5, 102.2, 65.8, 47.5, 34.8, 21.6.; HRMS (ESI) calcd for: $\text{C}_{25}\text{H}_{23}\text{BrNO}_3\text{S}$: $[\text{M} + \text{H}]^+$, 496.0577 found: 496.0582



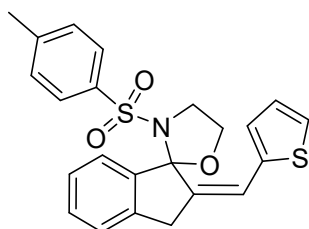
(Z)-2-(4-bromobenzylidene)-3'-tosyl-2,3-dihydrospiro[indine-1,2'-oxazolidine] (5n); White solid, 75 mg, 77% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-180-182°C ; ^1H NMR (400 MHz, CDCl_3): δ 7.55 – 7.46 (m, 2H), 7.31 (ddd, J = 23.6, 12.2, 5.4 Hz, 6H), 7.14 – 7.00 (m, 4H), 6.64 (t, J = 2.3 Hz, 1H), 4.39 (t, J = 6.2 Hz, 2H), 4.07 (d, J = 2.4 Hz, 1H), 3.91 (s, 1H), 3.88 – 3.78 (m, 2H), 2.34 (s, 3H); ^{13}C $\{^1\text{H}\}$ NMR (101 MHz, CDCl_3): δ 143.3, 142.9, 141.3, 141.1, 136.4, 135.8, 131.7, 130.8, 130.2, 129.3, 127.4, 127.2, 126.9, 125.2, 124.3, 121.5, 102.8, 65.5, 47.5, 35.4, 21.6.; HRMS (ESI) calcd for: $\text{C}_{25}\text{H}_{23}\text{BrNO}_3\text{S}$: $[\text{M} + \text{H}]^+$, 496.0577 found: 496.0582.



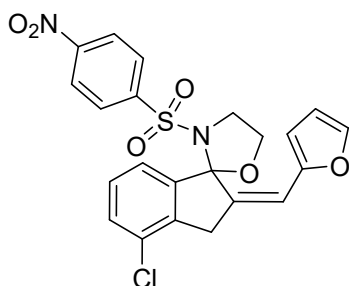
(Z)-2-(2-bromo-4-chlorobenzylidene)-3'-tosyl-2,3-dihydrospiro[indine-1,2'-oxazolidine] (5o); White solid, 77 mg, 70% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-192-194°C ; ^1H NMR (400 MHz, CDCl_3): δ 7.63 (d, J = 2.1 Hz, 1H), 7.55 (d, J = 8.4 Hz, 1H), 7.39 – 7.31 (m, 2H), 7.28 (d, J = 7.2 Hz, 1H), 7.26 – 7.20 (m, 2H), 7.11 – 6.99 (m, 4H), 6.95 (d, J = 7.6 Hz, 1H), 4.49 (dt, J = 8.3, 6.6 Hz, 1H), 4.40 (ddd, J = 8.4, 6.7, 5.0 Hz, 1H), 4.12 (dd, J = 20.3, 2.5 Hz, 1H), 4.00 (ddd, J = 8.4, 6.4, 5.0 Hz, 1H), 3.77 (dt, J = 8.4, 6.7 Hz, 1H), 3.68 (dd, J = 20.3, 1.9 Hz, 1H), 2.35 (s, 3H); ^{13}C $\{^1\text{H}\}$ NMR (101 MHz, CDCl_3): δ 145.9, 143.3, 141.4, 140.5, 136.3, 135.4, 133.8, 132.6, 130.6, 130.2, 129.3, 127.6, 127.3, 127.2, 125.6, 125.1, 124.5, 102.1, 65.9, 47.5, 34.8, 21.6.; HRMS (ESI) calcd for: $\text{C}_{25}\text{H}_{22}\text{BrClNO}_3\text{S}$: $[\text{M} + \text{H}]^+$, 530.0187 found: 530.0188.



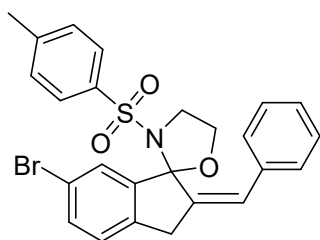
(Z)-2-(2-naphthalene-2-ylmethylene)-3'-((4-nitrophenyl)sulphonyl)-2,3-dihydrospiro[indine-1,2'-oxazolidine] (5p); Yellow solid, 82 mg, 74% yield, $R_f = 0.4$, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-220-222°C; ^1H NMR (400 MHz, CDCl_3): δ 8.08 – 7.98 (m, 2H), 7.84 (dd, $J = 10.2, 6.0$ Hz, 4H), 7.59 – 7.48 (m, 5H), 7.44 – 7.36 (m, 2H), 7.12 – 7.05 (m, 1H), 7.01 (d, $J = 7.7$ Hz, 1H), 6.85 (s, 1H), 4.50 (ddd, $J = 14.8, 8.5, 2.3$ Hz, 2H), 4.29 (dd, $J = 20.4, 2.2$ Hz, 1H), 4.10 – 3.98 (m, 2H), 3.91 (dt, $J = 8.4, 6.4$ Hz, 1H); ^{13}C $\{^1\text{H}\}$ NMR (101 MHz, CDCl_3): δ 149.8, 144.8, 141.9, 141.7, 140.7, 133.9, 133.5, 132.9, 130.5, 128.6, 128.5, 128.3, 128.2, 127.8, 127.3, 126.6, 126.5, 125.5, 123.9, 123.8, 103.2, 65.7, 47.8, 35.6.; **HRMS (ESI)** calcd for: $\text{C}_{28}\text{H}_{23}\text{N}_2\text{O}_5\text{S}$: $[\text{M} + \text{H}]^+$, 499.1322 found: 499.1337



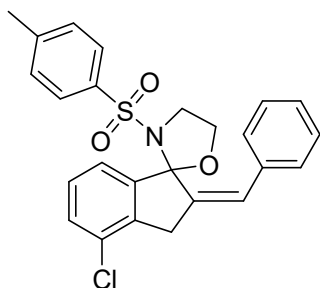
(Z)-2-(thiophen-2-ylmethylene)-3'-tosyl-2,3-dihydrospiro[indine-1,2'-oxazolidine] (5q); White solid, 67 mg, 60% yield, $R_f = 0.4$, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-154-156°C; ^1H NMR (400 MHz, CDCl_3): δ 7.46 – 7.34 (m, 3H), 7.32 (d, $J = 8.3$ Hz, 2H), 7.18 – 7.11 (m, 2H), 7.10 – 7.01 (m, 3H), 6.98 (d, $J = 3.5$ Hz, 1H), 6.80 (t, $J = 2.3$ Hz, 1H), 4.38 (td, $J = 6.2, 3.3$ Hz, 2H), 4.02 (dd, $J = 20.6, 2.4$ Hz, 1H), 3.92 – 3.89 (m, 1H), 3.86 (dd, $J = 7.4, 4.3$ Hz, 2H), 2.33 (s, 3H); ^{13}C $\{^1\text{H}\}$ NMR (101 MHz, CDCl_3): δ 143.2, 141.8, 141.0, 140.9, 139.4, 136.4, 130.1, 129.3, 128.3, 127.5, 127.3, 126.9, 125.3, 124.3, 121.6, 102.7, 65.4, 47.6, 35.6, 21.6.; **HRMS (ESI)** calcd for: $\text{C}_{23}\text{H}_{22}\text{NO}_3\text{S}_2$: $[\text{M} + \text{H}]^+$, 424.1036 found: 424.1043.



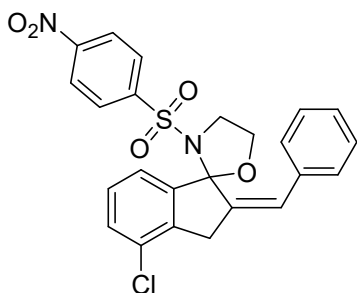
(Z)-4-chloro-2-(furan-2-ylmethylene)-3'-((4-nitrophenyl)sulphonyl)-2,3-dihydrospiro[indene-1,2'-oxazolidine] (5r). Yellow solid, 68 mg, 53% yield, $R_f = 0.4$, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-184-186°C; ^1H NMR (400 MHz, CDCl_3): δ 8.10 (d, $J = 8.4$ Hz, 2H), 7.63 (d, $J = 8.4$ Hz, 2H), 7.51 (s, 1H), 7.39 (d, $J = 7.7$ Hz, 1H), 7.13 (t, $J = 7.6$ Hz, 1H), 7.04 (d, $J = 7.5$ Hz, 1H), 6.48 (s, 1H), 6.35 (d, $J = 11.4$ Hz, 2H), 4.41 (d, $J = 4.1$ Hz, 2H), 4.11 – 3.85 (m, 4H); ^{13}C $\{^1\text{H}\}$ NMR (101 MHz, CDCl_3): δ 151.9, 149.9, 144.6, 143.6, 140.1, 137.1, 131.5, 130.3, 128.9, 128.5, 123.9, 122.2, 117.4, 112.1, 111.6, 102.9, 65.6, 47.8, 34.9.; **HRMS (ESI)** calcd for: $\text{C}_{22}\text{H}_{18}\text{ClN}_2\text{O}_6\text{S}$: $[\text{M} + \text{H}]^+$, 473.0569 found: 473.0575.



(Z)-2-benzylidene-6-bromo-3'-tosyl-2,3-dihydrospiro[indene-1,2'-oxazolidine] (5s). Yellow solid, 76 mg, 69% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-186-188°C; ^1H NMR (400 MHz, CDCl_3): δ 7.46 – 7.35 (m, 5H), 7.31 – 7.26 (m, 1H), 7.26 – 7.22 (m, 2H), 7.20 (d, J = 8.1 Hz, 1H), 7.09 (d, J = 8.2 Hz, 2H), 6.97 (d, J = 1.6 Hz, 1H), 6.77 (t, J = 2.4 Hz, 1H), 4.43 (dt, J = 8.3, 6.5 Hz, 1H), 4.35 (ddd, J = 8.4, 6.6, 5.4 Hz, 1H), 4.09 (dd, J = 20.4, 2.3 Hz, 1H), 4.03 – 3.94 (m, 1H), 3.88 – 3.74 (m, 2H), 2.43 – 2.30 (m, 3H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 143.6, 142.8, 141.5, 140.8, 136.6, 135.9, 133.1, 129.5, 129.3, 128.5, 128.5, 127.7, 127.6, 127.1, 126.7, 120.7, 102.1, 65.6, 47.7, 34.9, 21.7.; HRMS (ESI) calcd for: $\text{C}_{25}\text{H}_{23}\text{BrNO}_3\text{S}$: $[\text{M} + \text{H}]^+$, 496.0577 found: 496.0579.

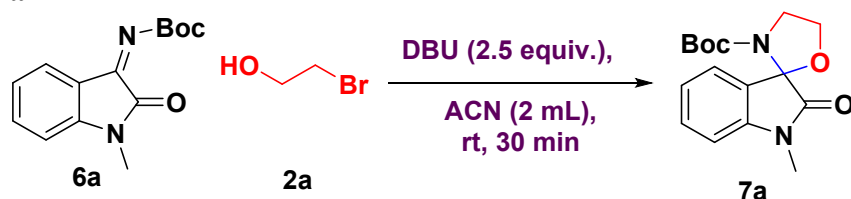


(Z)-2-benzylidene-4-chloro-3'-tosyl-2,3-dihydrospiro[indene-1,2'-oxazolidine] (5t). White solid, 68 mg, 53% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-164-166°C; ^1H NMR (400 MHz, CDCl_3): δ 8.17 (dd, J = 7.4, 0.9 Hz, 1H), 7.50 – 7.34 (m, 6H), 7.09 (d, J = 8.3 Hz, 2H), 7.02 (d, J = 8.2 Hz, 2H), 6.83 (t, J = 7.7 Hz, 1H), 6.57 – 6.45 (m, 1H), 3.99 (dd, J = 14.8, 6.9 Hz, 1H), 3.71 (d, J = 22.6 Hz, 1H), 3.57 (d, J = 22.7 Hz, 1H), 3.53 – 3.45 (m, 1H), 3.43 – 3.34 (m, 1H), 2.94 – 2.84 (m, 1H), 2.36 (s, 3H).; ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 161.4, 158.7, 147.1, 144.8, 143.4, 143.2, 142.3, 136.2, 135.8, 135.7, 134.9, 132.3, 131.1, 129.6, 129.3, 129.1, 128.8, 128.4, 127.3, 121.9, 120.3, 97.7, 65.5, 47.2, 33.6, 21.6.; HRMS (ESI) calcd for: $\text{C}_{25}\text{H}_{23}\text{ClNO}_3\text{S}$: $[\text{M} + \text{H}]^+$, 452.1082 found: 452.1095.

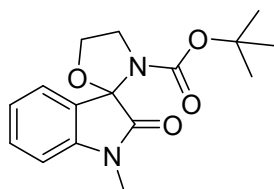


(Z)-2-benzylidene-4-chloro-3'-((4-nitrophenyl)sulphonyl)-2,3-dihydrospiro[indene-1,2'-oxazolidine] (5u). White solid, 68 mg, 53% yield, R_f = 0.4, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp-172-174°C; ^1H NMR (400 MHz, CDCl_3): δ 8.06 (d, J = 8.7 Hz, 2H), 7.62 (d, J = 8.7 Hz, 2H), 7.48 – 7.37 (m, 3H), 7.37 – 7.26 (m, 3H), 7.12 (t, J = 7.7 Hz, 1H), 7.04 (d, J = 7.6 Hz, 1H), 6.56 (d, J = 2.2 Hz, 1H), 4.45 (t, J = 6.2 Hz, 2H), 4.08 (d, J = 2.3 Hz, 1H), 3.95 (d, J = 6.2 Hz, 2H), 3.93 – 3.76 (m, 1H); ^{13}C { ^1H } NMR (101 MHz, CDCl_3): δ 149.8, 144.7, 143.3, 140.1, 139.2, 135.8, 131.4, 130.3, 129.4, 129.2, 128.9, 128.8, 128.5, 128.3, 124.0, 122.2, 103.4, 65.7, 47.8, 34.8; HRMS (ESI) calcd for: $\text{C}_{24}\text{H}_{20}\text{ClN}_2\text{O}_5\text{S}$: $[\text{M} + \text{H}]^+$, 483.0776 found: 483.0781

4. General experimental procedures and characterization data for the synthesis of compounds 7a



General experimental procedure: To an oven-dried 50 mL round bottom flask was added isatin-derived *N*-Boc ketimine (0.38 mmol, 1.0 equiv.), 2-bromoethanol (0.58 mmol, 1.5 equiv.), and DBU (0.96 mmol, 2.5 equiv.) in acetonitrile (2 mL), and the resulting solution was stirred at room temperature until starting completely consumed (based on TLC analysis). After completion of the reaction, the mixture was diluted with water (10 mL) and extracted with EtOAc (3×10 mL). The organic layer was collected and dried over anhydrous Na₂SO₄. The combined organic layer was concentrated under reduced pressure. The resultant crude material was purified by column chromatography on silica gel using *n*-hexan /EtOAc as an eluent.



tert-butyl 1-methyl-2-oxospiro[indoline-3,2'-oxazolidine]-3'-carboxylate (7a); Thick colorless liquid, 65 mg, 56% yield, R_f = 0.5, column chromatography on silica gel (*n*-hexane/EtOAc 80:20); **¹H NMR (400 MHz, CDCl₃):** δ 7.28 (t, J = 7.6 Hz, 1H), 7.19 (d, J = 5.7 Hz, 1H), 7.00 (t, J = 7.4 Hz, 1H), 6.71 (d, J = 7.7 Hz, 1H), 4.48 (dd, J = 15.3, 8.5 Hz, 1H), 4.25 – 4.18 (m, 1H), 3.95 (t, J = 6.4 Hz, 1H), 3.74 (dd, J = 15.9, 8.9 Hz, 1H), 3.10 (s, 3H), 1.00 (s, 9H); **¹³C {¹H} NMR (101 MHz, CDCl₃):** ¹³C NMR (101 MHz, CDCl₃) δ 173.5, 151.6, 144.7, 130.9, 127.0, 123.7, 123.2, 108.4, 89.1, 81.1, 66.0, 45.7, 27.9, 26.2; **HRMS (ESI)** calcd for: C₁₆H₂₁N₂O₄: [M + H]⁺, 305.1496 found: 305.1506.

5. Experimental procedure for gram-scale synthesis of 3a

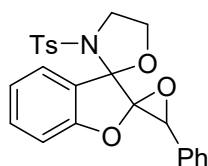
To an oven-dried 50 mL round bottom flask was added azadiene (2.7 mmol, 1.0 equiv), 2-bromoethanol (4.0 mmol, 1.5 equiv.), and Cs₂CO₃ (6.7 mmol, 2.5 equiv.) in acetone (10 mL), and the resulting solution was stirred at room temperature until starting completely consumed (based on TLC analysis). After completion of the reaction, the mixture was diluted with water (10 mL) and extracted with EtOAc (3×10 mL). The organic layer was collected and dried over anhydrous Na₂SO₄. The combined organic layer was concentrated under reduced pressure. The resultant crude material was purified by column chromatography on silica gel using *n*-hexan /EtOAc as eluent (0.84 mg, 75% yield)

7. Experimental procedure for gram-scale synthesis of 5a

To an oven-dried 50 mL round bottom flask was added azadiene (2.7 mmol, 1.0 equiv.), 2-bromoethanol (4.0 mmol, 1.5 equiv.), and Cs₂CO₃ (6.7 mmol, 2.5 equiv.) in MeCN (10 mL), and the resulting solution was stirred at room temperature until starting completely consumed (based on TLC analysis). Upon completion of the reaction, the solid was filtered and washed with DCM, and the combined filtrate was concentrated under reduced pressure. The residue was purified using column chromatography on silica gel using *n*-hexan /EtOAc (80:20) as eluent (0.65mg, 58%)

7. General experimental procedures and characterization data for the transformation of compounds 3a:

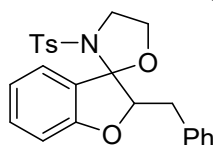
a) General experimental procedure for epoxidation of 3a: To a solution of 3a (50 mg, 0.12 mmol) in DCM (2 mL) was added *m*-CPBA (41 mg, 0.24 mmol), and the reaction mixture was stirred for 12 h at room temperature. The complete consumption of 3a was confirmed by TLC. The white precipitate was filtered, and the filtrate was washed with aq. NaHCO₃ (5 mL) and brine (5 mL), and then the organic layer was dried with anhydrous Na₂SO₄. The solvents were removed under reduced pressure and the resultant crude material was purified by column chromatography on silica gel using *n*-hexane/EtOAc as an eluent. (*n*-hexane/EtOAc 80:20).



3''-phenyl-3-tosyldispiro[oxazolidine-2,3'-benzofuran-2',2''-oxirane] (8); White solid, 47 mg, 91% yield, >20:1 *dr*, $R_f = 0.4$, column chromatography on silica gel (*n*-hexane/EtOAc 80:20), mp 136–138°C; ¹H NMR (400 MHz, CDCl₃): δ 7.73 – 7.57 (m, 2H), 7.47 – 7.34 (m, 3H), 7.29 – 7.21 (m, 3H), 7.13 (d, *J* = 8.1 Hz, 2H), 6.86 (d, *J* = 8.2 Hz, 1H), 6.68 (td, *J* = 7.5, 0.8 Hz, 1H), 6.48 (dd, *J* = 7.6, 1.0 Hz, 1H), 4.66 (s, 1H), 4.34 – 4.18 (m, 2H), 4.02 (ddd, *J* = 8.1, 5.8, 2.4 Hz, 1H), 3.58 (ddd, *J* = 9.2, 8.1, 6.8 Hz, 1H), 2.40 (s, 3H); ¹³C {¹H} NMR (101 MHz, CDCl₃): δ 159.0, 143.9, 136.1, 133.0, 132.1, 129.5, 128.5, 128.2, 127.6, 125.2, 121.7, 121.5, 111.7, 97.8, 97.3, 66.6, 64.4, 47.5, 21.7; HRMS (ESI) calcd for: C₂₄H₂₂NO₅S: [M + H]⁺, 436.1213 found: 436.1220.

b) General experimental procedure for reduction of 3a:

To a solution of 3a, (50 mg, 0.12 mmol) in ethanol (3 mL) was added 5% Pd/C (15 mg) and evacuated with house vacuum (water aspirator), and flushed with H₂ gas through a balloon. The reaction mixture was stirred under H₂ gas balloon at room temperature for 12 h. The complete consumption of 3a was confirmed by TLC. The mixture was passed through a Celite bed and washed with EtOAc (10 mL). The collected filtrate was concentrated under reduced pressure. The resultant crude material was purified by column chromatography on silica gel using *n*-hexane/EtOAc as an eluent. (*n*-hexane/EtOAc 90:10)



2-benzyl-3'-tosyl-2H-spiro[benzofuran-3,2'-oxazolidine] (9); White solid, 32 mg, 64% yield, >20:1 *dr*, $R_f = 0.4$, column chromatography on silica gel (*n*-hexane/EtOAc 90:10), mp 175–177°C; ¹H NMR (400 MHz, CDCl₃): δ 7.48 (d, *J* = 7.4 Hz, 2H), 7.36 (t, *J* = 7.6 Hz, 2H), 7.32 – 7.25 (m, 2H), 7.09 (q, *J* = 8.4 Hz, 4H), 6.92 (d, *J* = 8.1 Hz, 1H), 6.62 (t, *J* = 7.4 Hz, 1H), 6.47 (d, *J* = 7.5 Hz, 1H), 4.76 (t, *J* = 7.3 Hz, 1H), 3.91 (ddd, *J* = 8.3, 6.8, 3.9 Hz, 1H), 3.72 – 3.59 (m, 2H), 3.54 (dd, *J* = 15.0, 8.0 Hz, 1H), 3.44 (dd, *J* = 14.3, 7.1 Hz, 1H), 3.26 (dd, *J* = 14.5, 8.0 Hz, 1H), 2.39 (s, 3H); ¹³C {¹H} NMR (101 MHz, CDCl₃): δ 160.9, 143.5, 137.6, 135.9, 131.7, 130.0, 129.6, 128.7, 127.9, 126.7, 125.5, 123.8, 120.4, 111.4, 102.7, 91.2, 64.7, 48.6, 36.3, 21.6; HRMS (ESI) calcd for: C₂₄H₂₄NO₄S: [M + H]⁺, 422.1421 found: 422.1432.

8. References:

- 1 S. Li, Z.-H. Dong, S.-Y. Dan, M.-J. Zheng, T. Long, J. Zhan, Q. Zhou, W.-D. Chu, and Q. Z. Liu, *Org. Chem. Front.*, 2024, **11**, 2905–2910.
- 2 S. Hajra and B. Jana, *Org. Lett.*, 2017, **19**, 4778–4781.

9. ^1H NMR, ^{13}C NMR and ^{19}F Spectra of products

