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# **Supporting Information (SI)**

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### **1. General Information.**

Unless otherwise specified, all one-pot reactions were carried out in an over-dried Schlenk tube equipped with a magnetic stir bar under nitrogen atmosphere. DCE and DCM was distilled from CaH<sub>2</sub>; THF and 1,4-dioxane were distilled from sodium; *o*-Enyne-amides 1<sup>[1]</sup> and isatin-derived enals 2<sup>[2]</sup> were synthesized according to the known literatures. All other reagents were obtained from commercial sources and utilized without further purification, if not stated otherwise. All melting points are uncorrected. The NMR spectra were recorded in CDCl<sub>3</sub> on a 400 or 600 M Hz instrument with TMS as internal standard. Recorded shifts were reported in parts per million ( $\delta$ ) downfield from TMS. Data are represented as follows: chemical shift, multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, b = broad), coupling constant (*J*, Hz) and integration. TLC was carried out with 0.2 mm thick silica gel plates (GF254). Visualization was accomplished by UV light. The column chromatography was hand packed with silica gel 60 (160-200 mesh). The products were additionally confirmed by HRMS. Mass spectra were obtained using ESI ionization.

### 2. General Procedure for the One-Pot Synthesis of Products 3.



In a dried and nitrogen filled Schlenk flask, a mixture of PPh<sub>3</sub>AuCl (2.5 mg, 0.005 mmol, 5.0 mol %), AgPF<sub>6</sub> (1.3 mg, 0.005mmol, 5.0 mol %) in DCE (1 mL) was stirred at room temperature under nitrogen for 15 mins to generate the gold catalyst. Immediately afterwards, ynamide (0.1 mmol, 1.0 eq.) was added to the above catalyst solution and stirred for 0.5 h to gain the intermediate. The chiral NHC (9.7 mg, 0.025 mmol, 25 mol%), AcOK (11.7 mg, 0.12 mmol, 1.2 eq.) and isatin-derived enal 2 (0.15 mmol, 1.5 eq.) were subsequently added to the mixture under nitrogen. After that the mixture was stirred at room temperature until the reaction was complete (12-24 h, monitored by TLC). The mixture was concentrated under reduced pressure, and the residue was purified by column chromatography on silica gel using (PE:EA:DCM = 40:1:20 to 60:1:20) as eluent to afford the desired product **3**.

#### 3. General procedure for the transformations of 3a



4a, 68%, dr > 20:1, 92% ee

To a solution of **3a** (33.2 mg, 0.05 mmol, 1.0 eq.) in DCM (1 mL) was added *m*-CPBA (15 mg, 0.085 mmol, 1.7 eq.) in portions. The reaction mixture was stirred at room temperature for 3 h until the reaction was complete (monitored by TLC). The mixture was concentrated under reduced pressure. The resulting crude residue was purified via column chromatography on silica gel (PE:EA = 5:1) to afford the desired spiroindolinone derivative **4a** with 68% (23.1 mg) yield.

# 4. Crystal structure of 3c



The single-crystal of 3c was grown from the mixed solution of ethyl acetate and petrol ether (v:v = 1:4).

The X-ray source used for the single crystal X-ray diffraction analysis was GaK $\alpha$  ( $\lambda = 1.34139$ ), and the thermal ellipsoid was drawn at the 50% probability level.

### **Important Structural Data:**

CCDC Number	2232000
Identification code	3c
Empirical formula	$C_{42}H_{34}N_2O_5S$
Formula weight	678.77
Temperature/K	293(2)
Crystal system	orthorhombic
Space group	P212121
a/Å	10.9916(5)
b/Å	13.2198(8)
c/Å	23.8003(10)
$\alpha/^{\circ}$	90

β/°	90	
$\gamma/^{\circ}$	90	
Volume/Å <sup>3</sup>	3458.3(3)	
Ζ	4	
$ ho_{calc}g/cm^3$	1.304	
µ/mm <sup>-1</sup>	0.143	
F(000)	1424.0	
Crystal size/mm <sup>3</sup>	$0.23 \times 0.12 \times 0.11$	
Radiation	MoKa ( $\lambda = 0.71073$ )	
$2\Theta$ range for data collection/° 4.82 to 54.962		
Index ranges	$-14 \le h \le 14, -17 \le k \le 17, -30 \le l \le 30$	
Reflections collected	38329	
Independent reflections	7903 [ $R_{int} = 0.0528, R_{sigma} = 0.0418$ ]	
Data/restraints/parameters	7903/0/454	
Goodness-of-fit on F <sup>2</sup>	1.062	
Final R indexes [I>= $2\sigma$ (I)]	$R_1 = 0.0429, wR_2 = 0.0902$	
Final R indexes [all data]	$R_1 = 0.0704, wR_2 = 0.1033$	
Largest diff. peak/hole / e Å-?	3 0.13/-0.24	
Flack parameter	-0.11(9)	

### 5. Characterization Data of Compounds 3.

(4R,5R)-1'-Benzyl-2,4-diphenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-b]azepine-5,3'-indoline]-





<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.18 (d, *J* = 8.3 Hz, 2H), 7.80 – 7.69 (m, 2H), 7.51 (d, *J* = 8.1 Hz, 2H), 7.42 (t, *J* = 7.6 Hz, 2H), 7.34 – 7.29 (m, 1H), 7.23 – 7.09 (m, 6H), 7.07 – 6.98 (m, 3H), 6.95 – 6.88 (m, 2H), 6.76 – 6.67 (m, 2H), 6.54 (d, *J* = 7.0 Hz, 1H), 6.31 (d, *J* = 7.7 Hz, 1H), 4.67 (d, *J* = 15.9 Hz, 1H), 4.52 (d, *J* = 15.9 Hz, 1H), 4.02 (s, 1H), 3.24 (d, *J* = 12.6 Hz, 1H), 2.57 (s, 3H), 2.15 (d, *J* = 12.6 Hz, 1H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  175.38, 169.04, 152.84, 146.12, 141.69, 139.44, 135.68, 134.95, 134.64, 130.06, 130.01, 129.95, 129.87, 129.80, 128.85, 128.74, 128.52, 128.31, 127.89, 127.54, 126.98, 124.32, 123.65, 122.94, 121.22, 109.33, 106.63, 61.27, 47.30, 43.92, 43.85, 21.99.

**HRMS** (ESI) for  $C_{41}H_{33}N_2O_5S^+$  [M+H]<sup>+</sup> m/z: calcd 665.2105, found 665.2096.

 $[\alpha]^{25}_{D} = +27.25$  (c = 0.25 in CHCl<sub>3</sub>).

HPLC analysis: 96% e.e. (Chiralcel OD-H, 25:75 *i*PrOH/Hexane, 1.0 mL/min), R<sub>t</sub> (major) = 9.8 min, R<sub>t</sub> (minor) =16.3 min.

(4R,5R)-1'-Benzyl-2-phenyl-4-(*p*-tolyl)-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'-indoline]-2',7(6H)-dione (3b)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.17 (d, J = 8.3 Hz, 2H), 7.77 – 7.70 (m, 2H), 7.51 (d, J = 8.1 Hz, 2H), 7.41 (t, J = 7.6 Hz, 2H), 7.31 (t, J = 7.4 Hz, 1H), 7.21 – 7.16 (m, 1H), 7.16 – 7.10 (m, 2H), 7.02 (td, J = 7.8, 1.1 Hz, 1H), 6.94 – 6.87 (m, 6H), 6.72 (d, J = 7.1 Hz, 2H), 6.51 (d, J = 7.1 Hz, 1H), 6.32 (d, J = 7.7

Hz, 1H), 4.74 (d, *J* = 16.0 Hz, 1H), 4.49 (d, *J* = 16.0 Hz, 1H), 3.97 (s, 1H), 3.23 (d, *J* = 12.6 Hz, 1H), 2.57 (s, 3H), 2.28 (s, 3H), 2.13 (d, *J* = 12.5 Hz, 1H).

<sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 175.43, 169.08, 152.76, 146.08, 141.71, 139.34, 137.48, 135.66, 134.98, 131.55, 130.11, 130.08, 129.84, 129.80, 129.78, 129.21, 128.82, 128.76, 128.61, 128.25, 127.49, 127.06, 124.28, 123.62, 122.86, 121.48, 109.33, 106.69, 61.26, 46.80, 43.96, 43.84, 21.98, 21.22.

HRMS (ESI) for  $C_{42}H_{35}N_2O_5S^+$  [M+H]<sup>+</sup> m/z: calcd 679.2261, found 679.2259.

 $[\alpha]^{25}_{D} = +17.25$  (c = 0.25 in CHCl<sub>3</sub>).

HPLC analysis: 87 % e.e. (Chiralcel OD-H, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 9.5 min,  $R_t$  (minor) = 13.5 min.

(4R,5R)-1'-Benzyl-2-phenyl-4-(m-tolyl)-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'indoline]-2',7(6H)-dione (3c)



<sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>)  $\delta$  8.17 (d, *J* = 8.3 Hz, 2H), 7.75 (d, *J* = 7.3 Hz, 2H), 7.51 (d, *J* = 8.1 Hz, 2H), 7.42 (t, *J* = 7.7 Hz, 2H), 7.31 (t, *J* = 7.4 Hz, 1H), 7.18 (t, *J* = 7.3 Hz, 1H), 7.13 (t, *J* = 7.4 Hz, 2H), 7.06 – 6.98 (m, 3H), 6.95 – 6.88 (m, 2H), 6.86 – 6.83 (m, 1H), 6.82 (s, 1H), 6.70 (d, *J* = 7.3 Hz, 2H), 6.54 (d, *J* = 7.4 Hz, 1H), 6.30 (d, *J* = 7.8 Hz, 1H), 4.71 (d, *J* = 16.1 Hz, 1H), 4.52 (d, *J* = 16.1 Hz, 1H), 3.94 (s, 1H), 3.23 (d, *J* = 12.6 Hz, 1H), 2.57 (s, 3H), 2.16 (s, 3H), 2.15 (d, *J* = 12.6 Hz, 1H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>)  $\delta$  175.39, 169.13, 152.79, 146.06, 141.71, 139.37, 138.10, 135.63, 134.99, 134.55, 130.74, 130.07, 130.01, 129.85, 129.80, 128.83, 128.79, 128.72, 128.64, 128.38, 128.28, 127.50, 126.93, 126.78, 124.31, 123.65, 122.86, 121.34, 109.34, 106.67, 61.25, 47.19, 43.96, 43.79, 21.99, 21.56. **HRMS** (ESI) for C<sub>42</sub>H<sub>35</sub>N<sub>2</sub>O<sub>5</sub>S<sup>+</sup> [M+H]<sup>+</sup> m/z: calcd 679.2261, found 679.2260. [ $\alpha$ ]<sup>25</sup><sub>D</sub> = +25.25 (c = 0.25 in CHCl<sub>3</sub>).

**HPLC** analysis: 79 % e.e. (Chiralcel IA, 20:80 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 12.4 min,  $R_t$  (minor) = 27.9 min.

(4R,5R)-1'-Benzyl-2-phenyl-4-(*o*-tolyl)-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'-indoline]-2',7(6H)-dione (3d)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.22 (d, J = 8.1 Hz, 2H), 7.76 (d, J = 6.3 Hz, 1H), 7.71 (d, J = 7.8 Hz, 2H), 7.47 (d, J = 8.0 Hz, 2H), 7.40 (t, J = 7.6 Hz, 2H), 7.30 (d, J = 7.3 Hz, 1H), 7.21 – 7.13 (m, 3H), 7.12 – 7.07 (m, 2H), 7.06 – 7.01 (m, 1H), 6.96 – 6.88 (m, 3H), 6.80 (d, J = 7.0 Hz, 2H), 6.74 (s, 1H), 6.37 (d, J = 7.7 Hz, 1H), 4.79 (d, J = 15.9 Hz, 1H), 4.70 (s, 1H), 4.57 (d, J = 15.9 Hz, 1H), 3.29 (d, J = 12.7 Hz, 1H), 2.53 (s, 3H), 2.14 (d, J = 12.6 Hz, 1H), 1.83 (s, 3H).

<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 176.04, 169.14, 152.57, 145.98, 141.74, 139.10, 136.77, 135.62, 135.02, 133.42, 130.87, 130.11, 130.09, 129.88, 129.82, 129.70, 129.04, 128.87, 128.82, 128.78, 128.23, 127.66, 127.57, 127.13, 126.29, 124.26, 122.75, 121.73, 109.33, 107.06, 61.48, 44.54, 44.02, 41.09, 21.96, 20.05.
HRMS (ESI) for C<sub>42</sub>H<sub>35</sub>N<sub>2</sub>O<sub>5</sub>S<sup>+</sup> [M+H]<sup>+</sup> m/z: calcd 679.2261, found 679.2270.

 $[\alpha]^{25}_{D} = +20.25$  (c = 0.25 in CHCl<sub>3</sub>).

HPLC analysis: 85% e.e. (Chiralcel IA, 20:80 *i*PrOH/Hexane, 1.0 mL/min), R<sub>t</sub> (major) = 12.1 min, R<sub>t</sub> (minor) = 22.7 min.

(4R,5R)-1'-Benzyl-4-(3-methoxyphenyl)-2-phenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'-indoline]-2',7(6H)-dione (3e)



<sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) δ 8.18 (d, *J* = 8.2 Hz, 2H), 7.75 (d, *J* = 7.4 Hz, 2H), 7.52 (d, *J* = 8.1 Hz, 2H), 7.42 (t, *J* = 7.7 Hz, 2H), 7.31 (t, *J* = 7.4 Hz, 1H), 7.20 – 7.13 (m, 3H), 7.07 – 7.01 (m, 2H), 6.93 (s, 1H), 6.91 (t, *J* = 7.6 Hz, 1H), 6.76 (dd, *J* = 8.3, 2.0 Hz, 1H), 6.73 (d, *J* = 7.3 Hz, 2H), 6.69 (d, *J* = 7.6 Hz, 1H), 6.76 (dd, *J* = 8.3, 2.0 Hz, 1H), 6.73 (d, *J* = 7.3 Hz, 2H), 6.69 (d, *J* = 7.6 Hz, 1H), 6.76 (dd, *J* = 8.3, 2.0 Hz, 1H), 6.73 (d, *J* = 7.3 Hz, 2H), 6.69 (d, *J* = 7.6 Hz, 1H), 6.76 (dd, *J* = 8.3, 2.0 Hz, 1H), 6.73 (d, *J* = 7.3 Hz, 2H), 6.69 (d, *J* = 7.6 Hz, 1H), 6.76 (dd, *J* = 8.3, 2.0 Hz, 1H), 6.73 (d, *J* = 7.3 Hz, 2H), 6.69 (d, *J* = 7.6 Hz, 1H), 6.76 (dd, *J* = 8.3, 2.0 Hz, 1H), 6.73 (d, *J* = 7.3 Hz, 2H), 6.69 (d, *J* = 7.6 Hz, 1H), 6.73 (d, *J* = 7.3 Hz, 2H), 6.69 (d, *J* = 7.6 Hz, 1H), 6.73 (d, *J* = 7.3 Hz, 2H), 6.69 (d, *J* = 7.6 Hz, 1H), 6.73 (d, *J* = 7.3 Hz, 2H), 6.69 (d, *J* = 7.6 Hz, 1H), 6.73 (d, *J* = 7.3 Hz, 2H), 6.69 (d, *J* = 7.6 Hz, 1H), 6.73 (d, *J* = 7.3 Hz, 2H), 6.69 (d, *J* = 7.6 Hz, 1H), 6.73 (d, *J* = 7.3 Hz, 2H), 6.69 (d, *J* = 7.6 Hz, 1H), 6.73 (d, *J* = 7.3 Hz, 2H), 6.69 (d, *J* = 7.6 Hz, 1H), 6.73 (d, *J* = 7.3 Hz, 2H), 6.69 (d, *J* = 7.6 Hz, 1H), 6.73 (d, *J* = 7.5 Hz, 1H), 6.73 (d, *J* = 7.6 Hz, 1H), 6.73 (d, J = 7.6 Hz, 1H), 6.73 (d, J = 7.6 Hz, 1H), 70 (d, J = 7.6 Hz), 70 (d,

1H), 6.54 – 6.49 (m, 2H), 6.34 (d, J = 7.8 Hz, 1H), 4.72 (d, J = 16.1 Hz, 1H), 4.53 (d, J = 16.1 Hz, 1H), 3.98 (s, 1H), 3.59 (s, 3H), 3.23 (d, J = 12.6 Hz, 1H), 2.56 (s, 3H), 2.15 (d, J = 12.6 Hz, 1H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  175.40, 169.02, 159.39, 152.83, 146.13, 141.78, 139.41, 136.06, 135.65, 134.98, 130.02, 129.86, 129.80, 129.47, 128.87, 128.84, 128.74, 128.31, 127.52, 126.84, 124.31, 123.59, 122.88, 122.12, 121.18, 115.61, 113.66, 109.39, 106.64, 61.20, 55.18, 47.27, 43.98, 43.84, 21.95. **HRMS** (ESI) for C<sub>42</sub>H<sub>35</sub>N<sub>2</sub>O<sub>6</sub>S<sup>+</sup> [M+H]<sup>+</sup> m/z: calcd 695.2210, found 695.2208. [ $\alpha$ ]<sup>25</sup><sub>D</sub> = +14.75 (c = 0.25 in CHCl<sub>3</sub>).

HPLC analysis: 93 % e.e. (Chiralcel OD-H, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 13.7 min,  $R_t$  (minor) = 23.7 min.

(4R,5R)-1'-Benzyl-4-(4-chlorophenyl)-2-phenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'indoline]-2',7(6H)-dione (3f)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.18 (d, J = 8.2 Hz, 2H), 7.74 (d, J = 7.5 Hz, 2H), 7.51 (d, J = 8.1 Hz, 2H), 7.42 (t, J = 7.6 Hz, 2H), 7.32 (t, J = 7.3 Hz, 1H), 7.25 – 7.17 (m, 3H), 7.10 – 7.03 (m, 3H), 7.00 – 6.91 (m, 3H), 6.85 (s, 1H), 6.76 – 6.69 (m, 2H), 6.60 (d, J = 7.4 Hz, 1H), 6.41 (d, J = 7.8 Hz, 1H), 4.77 (d, J = 15.9 Hz, 1H), 4.49 (d, J = 15.9 Hz, 1H), 4.05 (s, 1H), 3.22 (d, J = 12.6 Hz, 1H), 2.56 (s, 3H), 2.15 (d, J = 12.6 Hz, 1H).

<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 175.12, 168.77, 152.91, 146.16, 141.69, 139.44, 135.61, 134.80, 133.95,
133.16, 131.26, 129.89, 129.81, 129.79, 129.75, 129.07, 128.87, 128.76, 128.71, 128.41, 127.71, 126.98,
124.28, 123.60, 123.08, 120.70, 109.44, 106.25, 61.00, 46.63, 43.87, 21.96.

HRMS (ESI) for  $C_{41}H_{32}CIN_2O_5S^+$  [M+H]<sup>+</sup> m/z: calcd 699.1715, found 699.1711.

 $[\alpha]^{25}_{D} = +41.00 \text{ (c} = 0.25 \text{ in CHCl}_3\text{)}.$ 

HPLC analysis: 89 % e.e. (Chiralcel OD-H, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 10.8 min,  $R_t$  (minor) = 17.4 min.

#### (4R,5R)-1'-Benzyl-2-phenyl-8-tosyl-4-(4-(trifluoromethyl)phenyl)-4,8-dihydrospiro[furo[2,3-

*b*]azepine-5,3'-indoline]-2',7(6H)-dione (3g)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.18 (d, J = 8.2 Hz, 2H), 7.74 (d, J = 7.4 Hz, 2H), 7.51 (d, J = 8.1 Hz, 2H), 7.42 (t, J = 7.6 Hz, 2H), 7.37 – 7.30 (m, 3H), 7.23 – 7.19 (m, 1H), 7.18 – 7.12 (m, 4H), 7.08 (t, J = 7.5 Hz, 1H), 6.96 (t, J = 7.5 Hz, 1H), 6.82 (s, 1H), 6.76 (d, J = 7.2 Hz, 2H), 6.64 (d, J = 7.4 Hz, 1H), 6.42 (d, J = 7.8 Hz, 1H), 4.75 (d, J = 15.8 Hz, 1H), 4.48 (d, J = 15.8 Hz, 1H), 4.12 (s, 1H), 3.23 (d, J = 12.6 Hz, 1H), 2.57 (s, 3H), 2.16 (d, J = 12.6 Hz, 1H).

<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 175.10, 168.70, 153.08, 146.23, 141.61, 139.50, 138.78, 135.61, 134.83, 129.84, 129.83, 130.31, 129.98, 129.84, 129.83, 129.56, 129.22, 128.90, 128.79, 128.50, 127.84, 127.07, 125.40 (q, *J* = 3.6 Hz), 124.34, 123.61, 123.20, 120.43, 109.51, 106.14, 60.95, 47.07, 44.06, 44.03, 22.00.
HRMS (ESI) for C<sub>42</sub>H<sub>32</sub>F<sub>3</sub>N<sub>2</sub>O<sub>5</sub>S<sup>+</sup> [M+H]<sup>+</sup> m/z: calcd 733.1979, found 733.1969.

 $[\alpha]^{25}_{D} = +23.25$  (c = 0.25 in CHCl<sub>3</sub>).

HPLC analysis: 86 % e.e. (Chiralcel IA, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 9.9 min,  $R_t$  (minor) = 28.7 min.

(4R,5R)-1'-Benzyl-4-(4-nitrophenyl)-2-phenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'indoline]-2',7(6H)-dione (3h)



<sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) δ 8.19 (d, *J* = 7.8 Hz, 2H), 7.84 (d, *J* = 8.2 Hz, 2H), 7.74 (d, *J* = 7.7 Hz, 2H), 7.52 (d, *J* = 7.8 Hz, 2H), 7.43 (t, *J* = 7.4 Hz, 2H), 7.34 (t, *J* = 7.2 Hz, 1H), 7.24 – 7.20 (m, 1H), 7.19 – 7.11 (m, 5H), 7.00 (t, *J* = 7.5 Hz, 1H), 6.85 – 6.78 (m, 3H), 6.72 (d, *J* = 7.3 Hz, 1H), 6.52 (d, *J* = 7.8

Hz, 1H), 4.67 (d, *J* = 15.7 Hz, 1H), 4.49 (d, *J* = 15.6 Hz, 1H), 4.21 (s, 1H), 3.22 (d, *J* = 12.7 Hz, 1H), 2.57 (s, 3H), 2.18 (d, *J* = 12.8 Hz, 1H).

<sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 174.78, 168.51, 153.17, 147.45, 146.31, 141.91, 141.58, 139.58, 135.53, 134.85, 130.81, 129.85, 129.81, 129.69, 129.46, 129.36, 128.94, 128.71, 128.62, 128.12, 127.42, 124.33, 123.71, 123.44, 123.40, 119.76, 109.39, 105.82, 60.74, 47.18, 44.00, 43.85, 22.02.

**HRMS** (ESI) for  $C_{41}H_{32}N_3O_7S^+$  [M+H]<sup>+</sup> m/z: calcd 710.1955, found 710.1952.

 $[\alpha]^{25}_{D} = +14.75$  (c = 0.25 in CHCl<sub>3</sub>).

HPLC analysis: 85 % e.e. (Chiralcel OD-H, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 22.7 min,  $R_t$  (minor) = 28.7 min.

(4R,5R)-1'-Benzyl-4-(naphthalen-2-yl)-2-phenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'indoline]-2',7(6H)-dione (3i)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.22 (d, *J* = 8.3 Hz, 2H), 7.77 (dd, *J* = 9.0, 7.9 Hz, 3H), 7.67 (d, *J* = 7.9 Hz, 1H), 7.61 (d, *J* = 8.6 Hz, 1H), 7.57 – 7.39 (m, 7H), 7.31 (t, *J* = 7.4 Hz, 1H), 7.19 (dd, *J* = 8.5, 1.6 Hz, 1H), 7.05 – 6.91 (m, 4H), 6.77 (t, *J* = 7.7 Hz, 2H), 6.70 – 6.64 (m, 1H), 6.51 (d, *J* = 7.5 Hz, 2H), 6.26 – 6.19 (m, 1H), 4.71 (d, *J* = 16.0 Hz, 1H), 4.45 (d, *J* = 16.0 Hz, 1H), 4.22 (s, 1H), 3.30 (d, *J* = 12.6 Hz, 1H), 2.61 (s, 3H), 2.20 (d, *J* = 12.6 Hz, 1H).

<sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 175.41, 169.03, 152.85, 146.12, 141.64, 139.41, 135.66, 134.64, 133.17, 132.76, 132.26, 130.01, 129.97, 129.86, 129.85, 129.28, 128.90, 128.84, 128.48, 128.31, 128.19, 128.16, 127.65, 127.64, 127.35, 126.64, 126.44, 126.40, 124.30, 123.63, 122.97, 121.32, 109.45, 106.64, 61.27, 47.31, 44.14, 43.84, 22.04.

HRMS (ESI) for  $C_{45}H_{35}N_2O_5S^+$  [M+H]<sup>+</sup> m/z: calcd 715.2261, found 715.2258.

 $[\alpha]^{25}_{D} = +43.25$  (c = 0.25 in CHCl<sub>3</sub>).

**HPLC** analysis: 82 % e.e. (Chiralcel IA, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 13.1 min,  $R_t$  (minor) = 31.2 min.

(4R,5S)-1'-Benzyl-4-phenyl-2-(p-tolyl)-8-tosyl-4,8-dihydrospiro[furo[2,3-b]azepine-5,3'-indoline]-

2',7(6H)-dione (3j)



<sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>)  $\delta$  8.18 (d, J = 8.2 Hz, 2H), 7.64 (d, J = 8.0 Hz, 2H), 7.51 (d, J = 8.1 Hz, 2H), 7.23 – 7.16 (m, 4H), 7.15 – 7.09 (m, 4H), 7.05 – 6.99 (m, 3H), 6.90 (t, J = 7.5 Hz, 1H), 6.87 (s, 1H), 6.71 (d, J = 7.4 Hz, 2H), 6.51 (d, J = 7.4 Hz, 1H), 6.31 (d, J = 7.8 Hz, 1H), 4.67 (d, J = 16.0 Hz, 1H), 4.52 (d, J = 16.0 Hz, 1H), 4.00 (s, 1H), 3.24 (d, J = 12.6 Hz, 1H), 2.57 (s, 3H), 2.38 (s, 3H), 2.14 (d, J = 12.6 Hz, 1H).

<sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 175.36, 169.08, 153.11, 146.07, 141.66, 139.01, 138.24, 135.66, 134.93,
134.67, 130.01, 129.93, 129.85, 129.77, 129.52, 128.81, 128.72, 128.48, 127.84, 127.50, 127.36, 126.95,
124.26, 123.63, 122.89, 121.15, 109.30, 105.88, 61.29, 47.30, 43.90, 43.82, 21.98, 21.51.

**HRMS** (ESI) for  $C_{42}H_{35}N_2O_5S^+$  [M+H]<sup>+</sup> m/z: calcd 679.2261, found 679.2261.

 $[\alpha]^{25}_{D} = +22.75$  (c = 0.25 in CHCl<sub>3</sub>).

HPLC analysis: 93 % e.e. (Chiralcel OD-H, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 9.3 min,  $R_t$  (minor) = 16.4 min.

(4R,5S)-1'-Benzyl-2-(4-methoxyphenyl)-4-phenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'-indoline]-2',7(6H)-dione (3k)



<sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) δ 8.17 (d, *J* = 8.3 Hz, 2H), 7.71 – 7.66 (m, 2H), 7.51 (d, *J* = 8.1 Hz, 2H), 7.22 – 7.16 (m, 2H), 7.15 – 7.08 (m, 4H), 7.05 – 6.98 (m, 3H), 6.97 – 6.92 (m, 2H), 6.91 – 6.87 (m, 1H),

6.79 (s, 1H), 6.70 (d, *J* = 7.2 Hz, 2H), 6.48 (d, *J* = 7.3 Hz, 1H), 6.31 (d, *J* = 7.8 Hz, 1H), 4.67 (d, *J* = 16.0 Hz, 1H), 4.52 (d, *J* = 16.0 Hz, 1H), 3.98 (s, 1H), 3.85 (s, 3H), 3.24 (d, *J* = 12.6 Hz, 1H), 2.57 (s, 3H), 2.13 (d, *J* = 12.6 Hz, 1H).

<sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 175.37, 169.14, 159.77, 152.99, 146.07, 141.65, 138.70, 135.65, 134.93,
134.69, 130.00, 129.92, 129.85, 129.76, 128.80, 128.72, 128.47, 127.83, 127.51, 126.95, 125.83, 123.62,
123.07, 122.88, 121.21, 114.29, 109.30, 105.07, 61.35, 55.48, 47.29, 43.88, 43.82, 21.98.

**HRMS** (ESI) for  $C_{42}H_{35}N_2O_6S^+$  [M+H]<sup>+</sup> m/z: calcd 695.2210, found 695.2213.

 $[\alpha]^{25}_{D} = +27.25$  (c = 0.25 in CHCl<sub>3</sub>).

HPLC analysis: 81 % e.e. (Chiralcel OD-H, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 13.5 min,  $R_t$  (minor) = 24.8 min.

(4R,5S)-1'-Benzyl-2-(4-bromophenyl)-4-phenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'indoline]-2',7(6H)-dione (3l)



<sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>)  $\delta$  8.16 (d, *J* = 7.8 Hz, 2H), 7.74 (d, *J* = 7.9 Hz, 2H), 7.51 (d, *J* = 7.9 Hz, 2H), 7.42 (t, *J* = 7.6 Hz, 2H), 7.32 (t, *J* = 7.2 Hz, 1H), 7.25 – 7.12 (m, 6H), 7.07 – 6.99 (m, 3H), 6.90 (s, 1H), 6.70 (d, *J* = 7.3 Hz, 2H), 6.47 (s, 1H), 6.40 (d, *J* = 7.9 Hz, 1H), 4.64 (d, *J* = 16.0 Hz, 1H), 4.49 (d, *J* = 16.0 Hz, 1H), 3.95 (s, 1H), 3.22 (d, *J* = 12.6 Hz, 1H), 2.58 (s, 3H), 2.11 (d, *J* = 12.6 Hz, 1H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>)  $\delta$  175.34, 168.90, 151.76, 146.22, 141.65, 139.79, 135.57, 134.89, 134.47, 132.03, 129.87, 129.86, 129.82, 128.97, 128.89, 128.74, 128.55, 127.94, 127.56, 126.98, 125.77, 123.58, 122.94, 122.21, 121.34, 109.36, 107.17, 61.21, 47.21, 43.87, 43.85, 21.99. **HRMS** (ESI) for C<sub>41</sub>H<sub>32</sub>BrN<sub>2</sub>O<sub>5</sub>S<sup>+</sup> [M+H]<sup>+</sup> m/z: calcd 743.1210, found 743.1205.

 $[\alpha]^{25}_{D} = +20.50 \text{ (c} = 0.25 \text{ in CHCl}_3\text{)}.$ 

HPLC analysis: 83 % e.e. (Chiralcel OD-H, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 9.7 min,  $R_t$  (minor) = 29.0 min.

(4R,5S)-1'-Benzyl-2-(4-chlorophenyl)-4-phenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-b]azepine-5,3'-

indoline]-2',7(6H)-dione (3m)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.17 (d, *J* = 7.8 Hz, 2H), 7.68 (d, *J* = 8.1 Hz, 2H), 7.52 (d, *J* = 7.7 Hz, 2H), 7.39 (d, *J* = 8.1 Hz, 2H), 7.24 – 7.08 (m, 6H), 7.07 – 6.97 (m, 3H), 6.95 – 6.86 (m, 2H), 6.72 (d, *J* = 6.7 Hz, 2H), 6.50 (d, *J* = 7.2 Hz, 1H), 6.32 (d, *J* = 7.7 Hz, 1H), 4.66 (d, *J* = 15.9 Hz, 1H), 4.53 (d, *J* = 15.9 Hz, 1H), 3.99 (s, 1H), 3.24 (d, *J* = 12.5 Hz, 1H), 2.58 (s, 3H), 2.15 (d, *J* = 12.5 Hz, 1H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  175.34, 168.92, 151.75, 146.22, 141.65, 139.74, 135.58, 134.90, 134.49, 134.03, 129.99, 129.88, 129.82, 129.11, 128.89, 128.74, 128.64, 128.55, 127.94, 127.75, 127.56, 126.98, 125.54, 123.58, 122.94, 121.33, 109.36, 107.07, 61.22, 47.21, 43.86, 43.86, 21.99. **HRMS** (ESI) for C<sub>41</sub>H<sub>32</sub>ClN<sub>2</sub>O<sub>5</sub>S<sup>+</sup> [M+H]<sup>+</sup> m/z: calcd 699.1715, found 699.1710. [ $\alpha$ ]<sup>25</sup><sub>D</sub> = +48.50 (c = 0.25 in CHCl<sub>3</sub>).

HPLC analysis: 87 % e.e. (Chiralcel OD-H, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 10.6 min,  $R_t$  (minor) = 31.4 min.

(4S,5R)-1'-benzyl-4-phenyl-2-(thiophen-3-yl)-8-tosyl-4,8-dihydrospiro[furo[2,3-b]azepine-5,3'indoline]-2',7(6H)-dione (3n)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.18 (d, *J* = 8.3 Hz, 2H), 7.51 (d, *J* = 8.2 Hz, 2H), 7.38 (dd, *J* = 3.6, 0.9 Hz, 1H), 7.29 (dd, *J* = 5.0, 0.9 Hz, 1H), 7.24 – 7.09 (m, 6H), 7.07 (dd, *J* = 5.0, 3.7 Hz, 1H), 7.04-7.00

(m, 3H), 6.91 (t, *J* = 7.5 Hz, 1H), 6.76 (s, 1H), 6.70 (d, *J* = 6.9 Hz, 2H), 6.55 (d, *J* = 7.3 Hz, 1H), 6.31 (d, *J* = 7.8 Hz, 1H), 4.67 (d, *J* = 16.0 Hz, 1H), 4.52 (d, *J* = 16.0 Hz, 1H), 4.02 (s, 1H), 3.23 (d, *J* = 12.6 Hz, 1H), 2.57 (s, 3H), 2.15 (d, *J* = 12.6 Hz, 1H).

<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 175.21, 168.82, 148.34, 146.05, 141.55, 138.76, 135.46, 134.78, 134.39,
132.68, 129.84, 129.81, 129.74, 129.70, 128.77, 128.62, 128.43, 127.81, 127.78, 127.42, 126.83, 125.21,
124.03, 123.50, 122.85, 121.17, 109.24, 106.40, 61.05, 47.11, 43.78, 43.72, 21.89.

**HRMS** (ESI) for  $C_{39}H_{31}N_2O_5S_2^+$  [M+H]<sup>+</sup> m/z: calcd 671.1669, found 671.1661.

 $[\alpha]^{25}_{D} = +9.0$ , (c = 0.2 in CHCl<sub>3</sub>).

HPLC analysis: 80 % e.e. (Chiralcel OD-H, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 11.8 min,  $R_t$  (minor) = 17.3 min.

(4S,5R)-1'-benzyl-2-(cyclohex-1-en-1-yl)-4-phenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-b]azepine-5,3'-indoline]-2',7(6H)-dione (30)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.14 (d, J = 8.3 Hz, 2H), 7.49 (d, J = 8.2 Hz, 2H), 7.23 – 7.05 (m, 6H), 7.02-6.98 (m, 3H), 6.89 (t, J = 7.5 Hz, 1H), 6.69 (d, J = 7.0 Hz, 2H), 6.50-6.48 (m, 2H), 6.41 (s, 1H), 6.29 (d, J = 7.8 Hz, 1H), 4.66 (d, J = 16.0 Hz, 1H), 4.51 (d, J = 16.0 Hz, 1H), 3.95 (s, 1H), 3.18 (d, J = 12.5 Hz, 1H), 2.56 (s, 3H), 2.44-2.37 (m, 1H), 2.29-2.21 (m, 3H), 2.10 (d, J = 12.5 Hz, 1H), 1.80 – 1.62 (m, 4H).

<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 175.24, 169.01, 154.25, 145.87, 141.50, 138.14, 135.53, 134.81, 134.63,
129.91, 129.79, 129.69, 129.61, 128.64, 128.58, 128.30, 127.63, 127.36, 126.80, 126.62, 124.77, 123.49,
122.75, 120.33, 109.14, 104.89, 61.24, 47.14, 43.71, 43.66, 25.28, 24.56, 22.23, 22.10, 21.86.

HRMS (ESI) for  $C_{41}H_{37}N_2O_5S^+\,[M\!+\!H]^+$  m/z: calcd 669.2418, found 669.2413.

 $[\alpha]^{25}_{D} = +49$ , (c = 0.2 in CHCl<sub>3</sub>).

HPLC analysis: 82 % e.e. (Chiralcel OD-H, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 7.9 min,  $R_t$  (minor) = 14.9 min.

(4R,5R)-1'-Benzyl-2-pentyl-4-phenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'-indoline]-2',7(6H)-dione (3p)



<sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>)  $\delta$  8.13 (d, J = 8.2 Hz, 2H), 7.49 (d, J = 8.1 Hz, 2H), 7.20 – 7.06 (m, 6H), 7.02 – 6.95 (m, 3H), 6.88 (t, J = 7.5 Hz, 1H), 6.69 (d, J = 7.3 Hz, 2H), 6.48 (d, J = 7.4 Hz, 1H), 6.32 (s, 1H), 6.29 (d, J = 7.8 Hz, 1H), 4.64 (d, J = 16.0 Hz, 1H), 4.51 (d, J = 16.0 Hz, 1H), 3.94 (s, 1H), 3.13 (d, J = 12.5 Hz, 1H), 2.71 (t, J = 7.7 Hz, 2H), 2.56 (s, 3H), 2.08 (d, J = 12.5 Hz, 1H), 1.77 – 1.67 (m, 2H), 1.42 – 1.34 (m, 4H), 0.92 (t, J = 7.0 Hz, 3H).

<sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 175.45, 169.24, 156.15, 145.91, 141.66, 138.08, 135.76, 135.00, 134.91,
130.12, 129.94, 129.85, 129.70, 128.72, 128.36, 127.72, 127.50, 126.95, 123.70, 122.87, 119.85, 109.23,
106.98, 61.57, 47.35, 43.86, 43.81, 31.52, 28.58, 27.57, 22.53, 21.98, 14.15.

HRMS (ESI) for  $C_{40}H_{39}N_2O_5S^+$  [M+H]<sup>+</sup> m/z: calcd 659.2574, found 659.2564.

 $[\alpha]^{25}_{D} = +14.5$  (c = 0.2 in CHCl<sub>3</sub>).

HPLC analysis: 81 % e.e. (Chiralcel OD-H, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 6.3 min,  $R_t$  (minor) = 9.8 min.

(4R,5S)-1'-Benzyl-8-(methylsulfonyl)-2,4-diphenyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'indoline]-2',7(6H)-dione (3q)



<sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) δ 7.69 (d, *J* = 7.5 Hz, 2H), 7.47 (d, *J* = 7.2 Hz, 1H), 7.38 (t, *J* = 7.7 Hz, 2H), 7.29 (t, *J* = 7.4 Hz, 1H), 7.25 – 7.22 (m, 1H), 7.21 – 7.10 (m, 9H), 6.83 (s, 1H), 6.66 (d, *J* = 7.3 Hz, 2H), 6.40 (d, *J* = 7.4 Hz, 1H), 4.78 (d, *J* = 16.0 Hz, 1H), 4.58 (s, 1H), 4.49 (d, *J* = 16.0 Hz, 1H), 3.74 (s, 3H), 3.24 (d, *J* = 13.0 Hz, 1H), 2.58 (d, *J* = 11.9 Hz, 1H).

<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 175.39, 170.49, 152.51, 141.79, 138.28, 134.96, 134.89, 130.84, 130.09, 129.87, 129.15, 128.83, 128.78, 128.50, 128.30, 127.93, 127.52, 126.89, 124.23, 123.74, 123.51, 121.01, 109.52, 106.97, 59.62, 48.10, 44.39, 43.89, 43.30.

**HRMS** (ESI) for  $C_{35}H_{29}N_2O_5S^+$  [M+H]<sup>+</sup> m/z: calcd 589.1792, found 589.1792.

 $[\alpha]^{25}_{D} = +58.75$  (c = 0.25 in CHCl<sub>3</sub>).

HPLC analysis: 89 % ee. (Chiralcel OD-H, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 11.5 min,  $R_t$  (minor) = 28.5 min.

#### (4R,5S)-1'-Benzyl-8-((4-bromophenyl)sulfonyl)-2,4-diphenyl-4,8-dihydrospiro[furo[2,3-





<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.16 (d, J = 8.3 Hz, 2H), 7.86 (d, J = 8.3 Hz, 2H), 7.74 (d, J = 7.7 Hz, 2H), 7.42 (t, J = 7.5 Hz, 2H), 7.32 (t, J = 7.3 Hz, 1H), 7.21 (dd, J = 14.5, 7.4 Hz, 2H), 7.17 – 7.12 (m, 4H), 7.08 – 7.02 (m, 3H), 7.00 (t, J = 7.4 Hz, 1H), 6.92 (s, 1H), 6.71 (d, J = 7.2 Hz, 2H), 6.65 (d, J = 7.2 Hz, 1H), 6.34 (d, J = 7.6 Hz, 1H), 4.68 (d, J = 15.9 Hz, 1H), 4.53 (d, J = 15.9 Hz, 1H), 4.04 (s, 1H), 3.24 (d, J = 12.6 Hz, 1H), 2.20 (d, J = 12.7 Hz, 1H).

<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 175.25, 169.13, 152.98, 147.09, 141.69, 138.89, 137.43, 134.89, 134.42,
132.54, 131.25, 130.32, 129.98, 129.93, 129.01, 128.89, 128.75, 128.60, 128.44, 127.98, 127.56, 126.98,
124.31, 123.48, 123.18, 121.45, 109.45, 106.72, 61.13, 47.50, 43.89, 43.88.

HRMS (ESI) for  $C_{40}H_{30}BrN_2O_5S + [M+H]^+ m/z$ : calcd 729.1503, found 729.1504.

 $[\alpha]^{25}_{D} = +24.00$  (c = 0.25 in CHCl<sub>3</sub>).

HPLC analysis: 86 % ee. (Chiralcel IA, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 16.1 min,  $R_t$  (minor) = 23.6 min.

## (4R,5S)-1'-Benzyl-5'-methyl-2,4-diphenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'indoline]-2',7(6H)-dione (3s)



<sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>)  $\delta$  8.18 (d, J = 8.1 Hz, 2H), 7.75 (d, J = 7.7 Hz, 2H), 7.53 (d, J = 8.1 Hz, 2H), 7.41 (t, J = 7.6 Hz, 2H), 7.31 (t, J = 7.3 Hz, 1H), 7.22 (t, J = 7.3 Hz, 1H), 7.19 – 7.15 (m, 1H), 7.12 (d, J = 7.6 Hz, 4H), 7.01 (d, J = 7.6 Hz, 2H), 6.93 (s, 1H), 6.79 (d, J = 8.0 Hz, 1H), 6.70 (d, J = 7.4 Hz, 2H), 6.19 (d, J = 7.6 Hz, 2H), 4.66 (d, J = 16.0 Hz, 1H), 4.51 (d, J = 16.0 Hz, 1H), 3.89 (s, 1H), 3.24 (d, J = 12.5 Hz, 1H), 2.58 (s, 3H), 2.22 (s, 3H), 2.13 (d, J = 12.5 Hz, 1H).

<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 175.25, 169.02, 152.89, 146.02, 139.47, 139.23, 135.81, 135.04, 134.72, 132.27, 130.03, 129.93, 129.89, 129.82, 129.13, 128.82, 128.67, 128.51, 128.28, 127.81, 127.46, 126.96, 124.30, 124.22, 121.16, 109.03, 106.61, 61.35, 47.16, 43.88, 43.81, 22.02, 21.18.

**HRMS** (ESI) for  $C_{42}H_{35}N_2O_5S^+$  [M+H]<sup>+</sup> m/z: calcd 679.2261, found 679.2253.

 $[\alpha]^{25}_{D} = +24.75$  (c = 0.25 in CHCl<sub>3</sub>).

HPLC analysis: 91 % e.e. (Chiralcel IA, 20 :80 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 16.5 min,  $R_t$  (minor) = 23.7 min.

(4R,5S)-1'-Benzyl-7'-methyl-2,4-diphenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'indoline]-2',7(6H)-dione (3t)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.19 (d, J = 8.2 Hz, 2H), 7.73 (d, J = 7.5 Hz, 2H), 7.52 (d, J = 8.1 Hz, 2H), 7.40 (t, J = 7.6 Hz, 2H), 7.32 – 7.27 (m, 1H), 7.26 – 7.23 (m, 1H), 7.32 – 7.10 (m, 5H), 7.07 (d, J = 7.5 Hz, 2H), 6.92 (s, 1H), 6.87 – 6.78 (m, 2H), 6.55 (d, J = 6.9 Hz, 2H), 6.39 (dd, J = 6.4, 1.8 Hz, 1H), 4.93 (d, J = 17.1 Hz, 1H), 4.82 (d, J = 17.1 Hz, 1H), 4.05 (s, 1H), 3.23 (d, J = 12.6 Hz, 1H), 2.58 (s, 3H), 2.14 (d, J = 12.6 Hz, 1H), 1.94 (s, 3H).

<sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 176.27, 168.84, 152.72, 146.10, 139.83, 139.38, 137.03, 135.66, 134.83,
132.88, 130.60, 130.10, 130.04, 129.86, 129.78, 128.81, 128.61, 128.24, 127.88, 127.07, 125.41, 124.25,
122.93, 121.61, 121.34, 119.80, 106.68, 60.72, 47.20, 44.99, 44.61, 21.99, 18.43.

**HRMS** (ESI) for  $C_{42}H_{35}N_2O_5S^+$  [M+H]<sup>+</sup> m/z: calcd 679.2261, found 679.2257.

 $[\alpha]^{25}_{D} = +26.00 \text{ (c} = 0.25 \text{ in CHCl}_3\text{)}.$ 

**HPLC** analysis: 96 % e.e. (Chiralcel IA, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 15.3 min,  $R_t$  (minor) = 25.1 min.

(4R,5S)-1'-Benzyl-5',7'-dimethyl-2,4-diphenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'indoline]-2',7(6H)-dione (3u)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.18 (d, *J* = 7.0 Hz, 2H), 7.73 (d, *J* = 6.8 Hz, 2H), 7.53 (d, *J* = 7.1 Hz, 2H), 7.44 – 7.37 (m, 2H), 7.34 – 7.27 (m, 2H), 7.21 – 7.09 (m, 5H), 7.05 (d, *J* = 6.6 Hz, 2H), 6.90 (s, 1H), 6.60 (s, 1H), 6.56 (d, *J* = 5.6 Hz, 2H), 6.08 (s, 1H), 4.91 (d, *J* = 17.2 Hz, 1H), 4.80 (d, *J* = 16.9 Hz, 1H), 3.93 (s, 1H), 3.22 (d, *J* = 12.3 Hz, 1H), 2.58 (s, 3H), 2.19 (s, 3H), 2.12 (d, *J* = 11.8 Hz, 1H), 1.88 (s, 3H).

<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 176.21, 168.85, 152.82, 145.98, 139.43, 137.36, 137.12, 135.88, 134.98,
133.37, 132.20, 130.61, 130.08, 129.95, 129.85, 128.81, 128.78, 128.64, 128.24, 127.82, 127.05, 125.47,
124.29, 122.18, 121.31, 119.43, 106.70, 60.88, 47.12, 44.94, 44.61, 22.04, 20.88, 18.27.

HRMS (ESI) for  $C_{43}H_{37}N_2O_5S^+$  [M+H]<sup>+</sup> m/z: calcd 693.2418, found 693.2415.

 $[\alpha]^{25}_{D} = +18.50 \text{ (c} = 0.25 \text{ in CHCl}_3\text{)}.$ 

HPLC analysis: 76 % e.e. (Chiralcel OD-H, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 7.1 min,  $R_t$  (minor) = 13.1 min.

#### (4R,5S)-1'-Benzyl-5'-methoxy-2,4-diphenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-b]azepine-5,3'-





<sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>)  $\delta$  8.16 (d, J = 8.2 Hz, 2H), 7.75 (d, J = 7.5 Hz, 2H), 7.50 (d, J = 8.1 Hz, 2H), 7.41 (t, J = 7.7 Hz, 2H), 7.31 (t, J = 7.4 Hz, 1H), 7.23 (t, J = 7.4 Hz, 1H), 7.20 – 7.10 (m, 5H), 7.07 (d, J = 7.5 Hz, 2H), 6.92 (s, 1H), 6.70 (d, J = 7.3 Hz, 2H), 6.51 (dd, J = 8.5, 2.4 Hz, 1H), 6.20 (d, J = 8.5 Hz, 1H), 6.11 (d, J = 2.2 Hz, 1H), 4.66 (d, J = 16.0 Hz, 1H), 4.51 (d, J = 16.0 Hz, 1H), 3.94 (s, 1H), 3.70 (s, 3H), 3.25 (d, J = 12.6 Hz, 1H), 2.56 (s, 3H), 2.15 (d, J = 12.6 Hz, 1H).

<sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 175.05, 169.02, 156.15, 152.85, 146.30, 139.44, 135.61, 135.01, 134.93, 134.67, 131.21, 130.03, 129.92, 129.87, 129.75, 128.82, 128.69, 128.55, 128.27, 127.87, 127.48, 126.95, 124.30, 121.16, 112.97, 111.19, 109.67, 106.61, 61.66, 55.83, 47.30, 43.89, 43.87, 21.97.

HRMS (ESI) for C<sub>42</sub>H<sub>35</sub>N<sub>2</sub>O<sub>6</sub>S<sup>+</sup> [M+H]<sup>+</sup> m/z: calcd 695.2210, found 695.2210.

 $[\alpha]^{25}_{D} = +26.50 \text{ (c} = 0.25 \text{ in CHCl}_3\text{)}.$ 

HPLC analysis: 81% e.e. (Chiralcel IA, 20 :80 *i*PrOH/Hexane, 1.0 mL/min), R<sub>t</sub> (major) = 22.3 min, R<sub>t</sub> (minor) = 28.1 min.

## (4R,5S)-1'-Benzyl-5'-bromo-2,4-diphenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'indoline]-2',7(6H)-dione (3w)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.14 (d, *J* = 8.2 Hz, 2H), 7.76 (d, *J* = 7.5 Hz, 2H), 7.56 (d, *J* = 8.1 Hz, 2H), 7.42 (t, *J* = 7.6 Hz, 2H), 7.32 (t, *J* = 7.3 Hz, 1H), 7.25 – 7.09 (m, 7H), 6.96 (d, *J* = 7.5 Hz, 2H), 6.90 (s, 1H), 6.69 (d, *J* = 7.2 Hz, 2H), 6.37 (d, *J* = 1.1 Hz, 1H), 6.16 (d, *J* = 8.3 Hz, 1H), 4.62 (d, *J* = 16.0 Hz, 1H), 4.52 (d, *J* = 16.0 Hz, 1H), 3.67 (s, 1H), 3.24 (d, *J* = 12.5 Hz, 1H), 2.61 (s, 3H), 2.14 (d, *J* = 12.5 Hz, 1H).

<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 174.73, 168.81, 153.17, 146.58, 140.67, 139.59, 135.31, 134.38, 134.20,
131.78, 130.18, 129.91, 129.78, 129.73, 128.86, 128.82, 128.70, 128.41, 128.07, 127.74, 126.91, 126.72,
124.35, 120.66, 115.63, 110.78, 106.46, 61.37, 47.14, 43.88, 43.51, 22.24.

**HRMS** (ESI) for  $C_{41}H_{32}BrN_2O_5S^+$  [M+H]<sup>+</sup> m/z: calcd 743.1210, found 743.1198.

 $[\alpha]^{25}_{D} = +16.00 \text{ (c} = 0.25 \text{ in CHCl}_3\text{)}.$ 

**HPLC** analysis: 76 % e.e. (Chiralcel IA, 20 :80 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 18.8 min,  $R_t$  (minor) = 22.1 min.

(4R,5S)-1'-Benzyl-6'-bromo-2,4-diphenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'indoline]-2',7(6H)-dione (3x)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.16 (d, J = 8.0 Hz, 2H), 7.74 (d, J = 7.8 Hz, 2H), 7.51 (d, J = 8.0 Hz, 2H), 7.42 (t, J = 7.6 Hz, 2H), 7.32 (t, J = 7.3 Hz, 1H), 7.25 – 7.12 (m, 6H), 7.03 (t, J = 8.5 Hz, 3H), 6.90 (s, 1H), 6.70 (d, J = 7.3 Hz, 2H), 6.47 (s, 1H), 6.40 (d, J = 8.0 Hz, 1H), 4.64 (d, J = 16.0 Hz, 1H), 4.49 (d, J = 16.0 Hz, 1H), 3.95 (s, 1H), 3.22 (d, J = 12.6 Hz, 1H), 2.57 (s, 3H), 2.11 (d, J = 12.6 Hz, 1H).

<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 175.23, 168.81, 152.97, 146.24, 143.05, 139.37, 135.56, 134.32, 134.27, 129.94, 129.88, 129.85, 129.81, 128.98, 128.90, 128.87, 128.72, 128.39, 128.11, 127.78, 126.90, 125.84, 124.94, 124.31, 122.59, 121.01, 112.63, 106.53, 61.01, 47.12, 43.93, 43.82, 22.02.
HRMS (ESI) for C<sub>41</sub>H<sub>32</sub>BrN<sub>2</sub>O<sub>5</sub>S<sup>+</sup> [M+H]<sup>+</sup> m/z: calcd 743.1210, found 743.1204.

 $[\alpha]^{25}_{D} = +37.25$  (c = 0.25 in CHCl<sub>3</sub>).

HPLC analysis: 90 % e.e. (Chiralcel OD-H, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 8.5 min,  $R_t$  (minor) = 17.2 min.

#### (4R,5S)-1'-Benzyl-5'-chloro-2,4-diphenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-b]azepine-5,3'-





<sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) δ 8.15 (d, *J* = 8.3 Hz, 2H), 7.80 – 7.73 (m, 2H), 7.55 (d, *J* = 8.1 Hz, 2H), 7.42 (t, *J* = 7.8 Hz, 2H), 7.32 (t, *J* = 7.4 Hz, 1H), 7.23 (t, *J* = 7.4 Hz, 1H), 7.21 – 7.10 (m, 5H), 7.01 – 6.94 (m, 3H), 6.90 (s, 1H), 6.68 (d, *J* = 7.2 Hz, 2H), 6.19 (dd, *J* = 6.8, 5.2 Hz, 2H), 4.62 (d, *J* = 16.1 Hz, 1H), 4.52 (d, *J* = 16.1 Hz, 1H), 3.73 (s, 1H), 3.25 (d, *J* = 12.6 Hz, 1H), 2.60 (s, 3H), 2.14 (d, *J* = 12.6 Hz, 1H).

<sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 174.85, 168.77, 153.17, 146.64, 140.21, 139.65, 135.42, 134.44, 134.27,
131.50, 130.11, 129.94, 129.83, 129.82, 128.87, 128.83, 128.70, 128.41, 128.33, 128.07, 127.73, 126.91,
124.37, 124.04, 120.70, 110.29, 106.45, 61.42, 47.17, 43.93, 43.55, 22.14.

**HRMS** (ESI) for  $C_{41}H_{32}ClN_2O_5S^+$  [M+H]<sup>+</sup> m/z: calcd 699.1715, found 699.1714.

 $[\alpha]^{25}_{D} = +24.25$  (c = 0.25 in CHCl<sub>3</sub>).

HPLC analysis: 82 % e.e. (Chiralcel OD-H, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 9.8 min,  $R_t$  (minor) = 22.8 min.

(4R,5S)-1'-Benzyl-5'-fluoro-2,4-diphenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'indoline]-2',7(6H)-dione (3z)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.17 (d, J = 8.0 Hz, 2H), 7.76 (d, J = 7.5 Hz, 2H), 7.54 (d, J = 8.0 Hz, 2H), 7.42 (t, J = 7.5 Hz, 2H), 7.35 – 7.29 (m, 1H), 7.23 (d, J = 7.3 Hz, 1H), 7.21 – 7.09 (m, 5H), 7.03 (d, J = 7.4 Hz, 2H), 6.91 (s, 1H), 6.80 – 6.60 (m, 3H), 6.19 (dd, J = 8.4, 3.9 Hz, 1H), 5.95 (d, J = 7.7 Hz, 1H), 4.64 (d, J = 16.0 Hz, 1H), 4.51 (d, J = 16.0 Hz, 1H), 3.85 (s, 1H), 3.26 (d, J = 12.6 Hz, 1H), 2.58 (s, 3H), 2.14 (d, J = 12.6 Hz, 1H).

<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 175.04, 168.85, 153.12, 146.73, 139.63, 137.58, 135.49, 134.59, 134.35, 131.63 – 131.42 (m), 129.96, 129.87, 128.87, 128.81, 128.68, 128.41, 128.08, 127.67, 126.90, 124.36, 120.86, 115.35, 115.12, 111.90, 111.65, 110.01, 109.93, 106.46, 61.59, 47.25, 43.95, 43.60, 21.93.

HRMS (ESI) for  $C_{41}H_{32}FN_2O_5S^+$  [M+H]<sup>+</sup> m/z: calcd 683.2010, found 683.2004.

 $[\alpha]^{25}_{D} = +1.25$  (c = 0.25 in CHCl<sub>3</sub>).

HPLC analysis: 80% e.e. (Chiralcel OD-H, 25 :75 *i*PrOH/Hexane, 1.0 mL/min), R<sub>t</sub> (major) = 9.3 min, R<sub>t</sub> (minor) = 20.0 min.

(4R,5S)-1'-Methyl-2,4-diphenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-b]azepine-5,3'-indoline]-

2',7(6H)-dione (3aa)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.16 (d, *J* = 8.2 Hz, 2H), 7.75 (d, *J* = 7.4 Hz, 2H), 7.50 (d, *J* = 8.1 Hz, 2H), 7.41 (t, *J* = 7.6 Hz, 2H), 7.31 (t, *J* = 7.4 Hz, 1H), 7.16 – 7.07 (m, 4H), 6.92 (t, *J* = 7.3 Hz, 3H), 6.88 (s, 1H), 6.56 (d, *J* = 7.4 Hz, 1H), 6.42 (d, *J* = 7.8 Hz, 1H), 3.86 (s, 1H), 3.21 (d, *J* = 12.6 Hz, 1H), 2.82 (s, 3H), 2.57 (s, 3H), 2.15 (d, *J* = 12.6 Hz, 1H).

<sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 175.13, 169.49, 152.82, 146.10, 142.30, 139.32, 135.55, 134.29, 130.07,
130.04, 129.80, 129.77, 129.48, 128.83, 128.27, 128.03, 127.91, 124.27, 123.50, 122.91, 120.94, 107.88,
106.51, 61.26, 48.07, 42.84, 25.99, 21.99.

HRMS (ESI) for  $C_{35}H_{29}N_2O_5S^+$  [M+H]<sup>+</sup> m/z: calcd 589.1792, found 589.1794

 $[\alpha]^{25}_{D} = +0.75$  (c = 0.25 in CHCl<sub>3</sub>).

HPLC analysis: 95 % e.e. (Chiralcel IA, 20:80 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 11.2 min,  $R_t$  (minor) = 17.9 min.

(4S,5R)-1'-allyl-2,4-diphenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-b]azepine-5,3'-indoline]-2',7(6H)dione (3ab)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.17 (d, *J* = 8.1 Hz, 2H), 7.75 (d, *J* = 7.6 Hz, 2H), 7.51 (d, *J* = 8.0 Hz, 1H), 7.41 (t, *J* = 7.6 Hz, 1H), 7.31 (t, *J* = 7.3 Hz, 1H), 7.12 (dt, *J* = 15.1, 8.2 Hz, 2H), 6.99 (d, *J* = 7.0 Hz, 1H), 6.96 - 6.88 (m, 1H), 6.53 (d, *J* = 7.4 Hz, 1H), 6.46 (d, *J* = 7.8 Hz, 1H), 5.43 - 5.23 (m, 1H), 4.96 (d, *J* = 10.4 Hz, 1H), 4.65 (d, *J* = 17.2 Hz, 1H), 4.17 (dd, *J* = 16.4, 4.3 Hz, 1H), 3.94 (s, 1H), 3.86 (dd, *J* = 16.4, 5.2 Hz, 1H), 3.20 (d, *J* = 12.6 Hz, 1H), 2.57 (s, 1H), 2.13 (d, *J* = 12.6 Hz, 1H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  174.79, 169.10, 152.69, 146.00, 141.56, 139.28, 135.51, 134.34, 130.47,

129.88, 129.73, 129.67, 128.72, 128.66, 128.20, 127.76, 124.17, 123.48, 122.74, 120.98, 117.68, 108.94, 106.46, 61.01, 47.48, 43.34, 42.25, 21.88.

HRMS (ESI) for  $C_{37}H_{31}N_2O_5S^+$  [M+H]<sup>+</sup> m/z: calcd 615.1948, found 615.1949.

 $[\alpha]^{25}_{D} = +15$ , (c = 0.1 in CHCl<sub>3</sub>).

HPLC analysis: 81 % e.e. (Chiralcel OD-H, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 7.9 min,  $R_t$  (minor) = 13.4 min.

(3R,3'S)-1"-Benzyl-3',5-diphenyl-1'-tosyl-2H-dispiro[furan-3,2'-piperidine-4',3"-indoline]-

2,2",6'-trione (4a)



<sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>)  $\delta$  8.05 (d, J = 8.0 Hz, 2H), 7.42 (d, J = 6.3 Hz, 2H), 7.37 – 7.28 (m, 10H), 7.07 (d, J = 7.4 Hz, 1H), 7.01 (t, J = 7.4 Hz, 2H), 6.94 (d, J = 6.4 Hz, 1H), 6.89 (dd, J = 14.5, 7.2 Hz, 2H), 6.84 (s, 1H), 6.76 (d, J = 7.2 Hz, 1H), 6.61 (d, J = 7.7 Hz, 1H), 6.55 (t, J = 7.0 Hz, 1H), 4.99 (d, J= 15.2 Hz, 1H), 4.84 (d, J = 15.3 Hz, 1H), 4.05 (s, 1H), 3.03 (d, J = 16.9 Hz, 1H), 2.71 (d, J = 17.0 Hz, 1H), 2.44 (s, 3H).

<sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 176.97, 167.67, 154.27, 145.20, 142.09, 136.11, 135.16, 132.31, 131.03, 130.27, 129.86, 129.30, 129.11, 129.05, 128.99, 128.60, 128.51, 128.11, 127.92, 127.84, 127.69, 127.06, 125.49, 123.36, 122.97, 109.48, 103.34, 72.32, 57.17, 49.98, 44.28, 43.51, 21.88.

**HRMS** (ESI) for  $C_{41}H_{33}N_2O_5S^+$  [M+H]<sup>+</sup> m/z: calcd 681.2054, found 681.2055.

 $[\alpha]^{25}_{D} = +5.00 \text{ (c} = 0.25 \text{ in CHCl}_3\text{)}.$ 

HPLC analysis: 92 % e.e. (Chiralcel OD-H, 25 :75 *i*PrOH/Hexane, 1.0 mL/min),  $R_t$  (major) = 22.3 min,  $R_t$  (minor) = 33.0 min.

## 6. References.

[1] (a) G. Q. Yang, Y.-M. Ke and Y. Zhao, *Angew. Chem., Int. Ed.* 2021, **60**, 12775–12780; (b) Y.
Luo, K.-M. Qiu, X. Lu, K. Liu, J. Fu and H.-L. Zhu, *Bioorg. Med. Chem.* 2011, **19**, 4730–4738.

[2] T. Mukaiyama, K. Ogata, I. Sato and Y. Hayashi, Chem. Eur. J. 2014, 20, 13583-13588.

# 7. <sup>1</sup>H NMR, <sup>13</sup>C NMR and HPLC Spectra of the Compounds.


































#### -2.2.3748 -2.2.3748 -2.2.3748 -2.2.3748 -2.2.3748 -2.2.3748 -2.2.3748 -2.2.3748 -2.2.3748 -2.2.3748 -2.2.3748 -2.2.3748 -3.9526 -3.9526 -3.9526 -3.9526 -3.9526 -3.9526 -2.2.3748 -2.2.374





















































(4R,5R)-1'-Benzyl-2,4-diphenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'-indoline]-2',7(6H)-dione (3a)





Peak#	Ret.Time	Area	Height	Area%	Height%
1	9.553	5933240	175662	50.796	67.355
2	15.761	5747282	85137	49.204	32.645
Total		11680522	260798	100.000	100.000





Peak#	Ret.Time	Area	Height	Area%	Height%
1	9.873	7354986	223637	98.261	99.068
2	16.366	130164	2105	1.739	0.932
Total		7485150	225742	100.000	100.000



(4R,5R)-1'-Benzyl-2-phenyl-4-(p-tolyl)-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'-indoline]-2',7(6H)-dione (3b)

PDA Ch1 254nm

Peak#	Ret.Time	Area	Height	Area%	Height%
1	9.495	11041873	307387	93.121	94.961
2	13.463	815623	16310	6.879	5.039
Total		11857495	323697	100.000	100.000

(4R,5R)-1'-Benzyl-2-phenyl-4-(m-tolyl)-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'indoline]-2',7(6H)-dione (3c)



PDA Ch1 254nm

Peak#	Ret.Time	Area	Height	Area%	Height%
1	12.357	8816402	263172	89.228	94.941
2	27.950	1064310	14023	10.772	5.059
Total		9880711	277194	100.000	100.000

min

(4R,5R)-1'-Benzyl-2-phenyl-4-(o-tolyl)-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'indoline]-2',7(6H)-dione (3d)





Peak#	Ret.Time	Area	Height	Area%	Height%
1	12.096	1750959	55857	92.476	95.642
2	22.725	142466	2545	7.524	4.358
Total		1893425	58403	100.000	100.000

(4R,5R)-1'-Benzyl-4-(3-methoxyphenyl)-2-phenyl-8-tosyl-4,8-dihydrospiro[furo[2,3b]azepine-5,3'-indoline]-2',7(6H)-dione (3e)



PDA Ch1 254nm

Peak#	Ret.Time	Area	Height	Area%	Height%
1	13.657	17259226	507326	96.784	98.192
2	23.733	573410	9344	3.216	1.808
Total		17832636	516670	100.000	100.000

(4R,5R)-1'-Benzyl-4-(4-chlorophenyl)-2-phenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'-indoline]-2',7(6H)-dione (3f)



Peak#	Ret.Time	Area	Height	Area%	Height%
1	10.692	6831769	146001	51.537	64.122
2	16.433	6424240	81691	48.463	35.878
Total		13256009	227693	100.000	100.000



PDA Ch1 254nm

Peak#	Ret.Time	Area	Height	Area%	Height%
1	10.797	8226203	191090	94.421	92.036
2	17.430	486036	16535	5.579	7.964
Total		8712239	207625	100.000	100.000

(4R,5R)-1'-Benzyl-2-phenyl-8-tosyl-4-(4-(trifluoromethyl)phenyl)-4,8-dihydrospiro[furo[2,3-



*b*]azepine-5,3'-indoline]-2',7(6H)-dione (3g)



Peak#	Ret.Time	Area	Height	Area%	Height%
1	10.806	6063356	232297	51.584	76.191
2	2 29.655	5691010	72591	48.416	23.809
Tota	1	11754366	304889	100.000	100.000



PDA Ch1 254nm

Peak#	Ret.Time	Area	Height	Area%	Height%
1	9.924	24820230	954144	92.924	97.231
2	28.708	1890064	27177	7.076	2.769
Total		26710295	981321	100.000	100.000

(4R,5R)-1'-Benzyl-4-(4-nitrophenyl)-2-phenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-



*b*]azepine-5,3'-indoline]-2',7(6H)-dione (3h)

#### (4R,5R)-1'-Benzyl-4-(naphthalen-2-yl)-2-phenyl-8-tosyl-4,8-

dihydrospiro[furo[2,3-b]azepine-5,3'-indoline]-2',7(6H)-dione (3i)



554282

100.000

100.000

20976572

Total

## (4R,5S)-1'-Benzyl-4-phenyl-2-(p-tolyl)-8-tosyl-4,8-dihydrospiro[furo[2,3-

*b*]azepine-5,3'-indoline]-2',7(6H)-dione (3j)



I Cak#	Ket. I lille	Alca	ITelgitt	Alca/0	ileight/0
1	9.329	3709148	106860	96.526	98.234
2	16.403	133509	1921	3.474	1.766
Total		3842657	108782	100.000	100.000

# (4R,5S)-1'-Benzyl-2-(4-methoxyphenyl)-4-phenyl-8-tosyl-4,8-

dihydrospiro[furo[2,3-b]azepine-5,3'-indoline]-2',7(6H)-dione (3k)



r cak#	Ket. I lille	Alea	ffeight	Alea /0	fieight/0
1	13.532	9030954	163064	90.638	95.482
2	24.769	932853	7717	9.362	4.518
Total		9963807	170781	100.000	100.000

#### (4R,5S)-1'-Benzyl-2-(4-bromophenyl)-4-phenyl-8-tosyl-4,8-

dihydrospiro[furo[2,3-b]azepine-5,3'-indoline]-2',7(6H)-dione (3l)



Реак#	Ret. I ime	Area	Height	Area%	Height%
1	9.731	7108721	184176	91.433	97.475
2	29.037	666031	4772	8.567	2.525
Total		7774752	188948	100.000	100.000

(4R,5S)-1'-Benzyl-2-(4-chlorophenyl)-4-phenyl-8-tosyl-4,8-dihydrospiro[furo[2,3b]azepine-5,3'-indoline]-2',7(6H)-dione (3m)



S 69

70650

100.000

100.000

3804471

Total

(4S,5R)-1'-benzyl-4-phenyl-2-(thiophen-3-yl)-8-tosyl-4,8-dihydrospiro[furo[2,3b]azepine-5,3'-indoline]-2',7(6H)-dione (3n)



reak#	Ket. I lille	Alea	neigin	Alea 70	neight%
1	11.820	6686979	144208	89.841	93.863
2	17.357	756173	9429	10.159	6.137
Total		7443152	153637	100.000	100.000

# (4S,5R)-1'-benzyl-2-(cyclohex-1-en-1-yl)-4-phenyl-8-tosyl-4,8dihydrospiro[furo[2,3-b]azepine-5,3'-indoline]-2',7(6H)-dione (30)



			8		8
1	7.898	20377872	677616	90.959	95.936
2	14.902	2025399	28708	9.041	4.064
Total		22403271	706324	100.000	100.000

### (4R,5R)-1'-Benzyl-2-pentyl-4-phenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-

#### *b*]azepine-5,3'-indoline]-2',7(6H)-dione (3p)



1 0011/1			110-8-10	11100/0	Bitty o
1	6.344	16554011	700602	89.814	91.81
2	9.755	1877420	62460	10.186	8.18
Total		18431430	763062	100.000	100.00
(4R,5S)-1'-Benzyl-8-(methylsulfonyl)-2,4-diphenyl-4,8-dihydrospiro[furo[2,3b]azepine-5,3'-indoline]-2',7(6H)-dione (3q)



Реак#	Ret. I ime	Area	Height	Area%	Height%
1	11.517	11697782	410816	94.657	97.760
2	28.524	660237	9414	5.343	2.240
Total		12358019	420230	100.000	100.000





130083

5108845

100.000

100.000

(4R,5S)-1'-Benzyl-5'-methyl-2,4-diphenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-



b]azepine-5,3'-indoline]-2',7(6H)-dione (3s)

26880

27847

967

95.679

4.321

100.000

96.527

3.473

100.000

1180948

1234287

53339

16.542

23.745

1

## 

b]azepine-5,3'-indoline]-2',7(6H)-dione (3t)



487857

100.000

100.000

16454709

(4R,5S)-1'-Benzyl-5',7'-dimethyl-2,4-diphenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-b]azepine-5,3'-indoline]-2',7(6H)-dione (3u)



1 Cakπ	Ket. I lille	Alca	meight	Alca/0	fieight/0
1	7.052	17854805	708614	88.014	95.149
2	13.092	2431593	36131	11.986	4.851
Total		20286398	744745	100.000	100.000

(4R,5S)-1'-Benzyl-5'-methoxy-2,4-diphenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-



*b*]azepine-5,3'-indoline]-2',7(6H)-dione (3v)

Peak#	Ret.Time	Area	Height	Area%	Height%
1	22.299	5538319	87042	90.691	92.025
2	28.137	568449	7543	9.309	7.975
Total		6106767	94585	100.000	100.000

(4R,5S)-1'-Benzyl-5'-bromo-2,4-diphenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-



*b*]azepine-5,3'-indoline]-2',7(6H)-dione (3w)

53732

100.000

2738721

100.000

(4R,5S)-1'-Benzyl-6'-bromo-2,4-diphenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'indoline]-2',7(6H)-dione (3x)



Peak#	Ret.Time	Area	Height	Area%	Height%
1	8.496	6294471	212856	95.073	98.141
2	17.210	326174	4031	4.927	1.859
Total		6620645	216887	100.000	100.000

## (4R,5S)-1'-Benzyl-5'-chloro-2,4-diphenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-b]azepine-5,3'-



indoline]-2',7(6H)-dione (3y)

2

Total

22.792

S 81

4513

145297

9.042

100.000

3.106

100.000

464238

5134470



PDA Ch1 254nm

Peak#	Ret.Time	Area	Height	Area%	Height%
1	9.201	1491494	50571	50.596	77.073
2	19.884	1456377	15043	49.404	22.927
Total		2947871	65615	100.000	100.000





Peak#	Ret.Time	Area	Height	Area%	Height%
1	9.278	4657303	157903	89.970	96.380
2	19.998	519177	5931	10.030	3.620
Total		5176480	163834	100.000	100.000

(4R,5S)-1'-Methyl-2,4-diphenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-*b*]azepine-5,3'-indoline]-2',7(6H)-dione (3aa)



	1	11.129	16140191	560660	49.848	61.564
	2	17.805	16238325	350038	50.152	38.436
	Total		32378516	910698	100.000	100.000
mAU						,

PDA Multi 1 254nm, 4nm min



Peak#	Ret.Time	Area	Height	Area%	Height%
1	11.162	5560281	196003	97.304	98.507
2	17.857	154031	2971	2.696	1.493
Total		5714312	198974	100.000	100.000

(48,5R)-1'-allyl-2,4-diphenyl-8-tosyl-4,8-dihydrospiro[furo[2,3-b]azepine-5,3'-indoline]-2',7(6H)-dione (3ab)



PDA Ch1 254nm

Peak#	Ret.Time	Area	Height	Area%	Height%
1	7.916	17264998	399535	90.411	95.287
2	13.422	1831119	19763	9.589	4.713
Total		19096117	419299	100.000	100.000

## (3R,3'S)-1''-Benzyl-3',5-diphenyl-1'-tosyl-2H-dispiro[furan-3,2'-piperidine-4',3''-indoline]-

## 2,2'',6'-trione (4a)







Peak#	Ret.Time	Area	Height	Area%	Height%
1	23.266	9282406	70612	95.472	95.981
2	33.017	440240	2957	4.528	4.019
Total		9722647	73569	100.000	100.000