Annulation of Enaminones with Quinonediimides/

Quinoneimides for Selective Synthesis of Indoles and 2-

Aminobenzofurans

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Table S1	Optimization	on the sy	ynthesis	of benzofuan
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NTs TsHN Catalyst solvent, T						
	1a	4		-	5a	
entry	catalyst	solvent	<i>t</i> (°C)	time (h)	yield (%) ^b	
1	-	1,4-dioxane	50	8	0	
2	Cu(OTf) ₂	1,4-dioxane	50	8	17	
3	TFA	1,4-dioxane	50	8	0	
4	Fe(OTf) ₃	1,4-dioxane	50	8	34	
5	Fe(OTf) ₃	CH ₃ CN	50	8	39	
6	Fe(OTf) ₃	DMF	50	8	34	
7	Fe(OTf) ₃	DMSO	50	8	37	
8	Fe(OTf) ₃	CH ₃ CN	30	8	43	
9	Fe(OTf) ₃	CH ₃ CN	25	8	46	
10	Fe(OTf) ₃	CH ₃ CN	0	8	51	
11	Fe(OTf) ₃	CH ₃ CN	0	5	54	
12	Fe(OTf) ₃	CH ₃ CN	0	3	57	
13°	Fe(OTf) ₃	CH ₃ CN	0	3	61	
14 ^{c,d}	Fe(OTf) ₃	CH ₃ CN	0	3	73	

^aGeneral conditions: **1a** (0.2 mmol), **4** (0.24 mmol), catalyst (0.04 mmol, 20 mol %), solvent (2 mL), stirred in a sealed tube for 8 h. ^bYield of isolated product. ^cWith 0.3 mmol of **4**. ^dCatalyst (0.05 mmol, 25 mol %)

General experimental information

All experiments were carried out under air atmosphere. All enaminones¹ **1** and quinonediimides/quinoneimides² **2** and **4** were synthesized following literature processes. Other chemicals and solvents used in the experiments were obtained from commercial sources and used directly without further treatment. The ¹H and ¹³C NMR spectra were recorded in 400 MHz apparatus and the frequencies for ¹H NMR and ¹³C NMR test are 400 MHz and 100 MHz, respectively. The chemical shifts were reported in ppm with TMS as internal standard. Melting points were tested in X-4A instrument without correcting temperature. The HRMS were obtained under ESI model in a mass spectrometer with TOF analyzer.

General procedure for the synthesis of 3

In a 10 mL sealed tube were added enaminone **1** (0.2 mmol, 1 equiv), quinonediimide **2** (0.24 mmol, 1.2 equiv), Zn(OTf)₂ (0.04 mmol, 20 mol %) and 1,4-dioxane (2 mL, 0.1 M). After sealing the tube with Teflon cap, the mixture was stirred at 50 °C with oil bath heating for 24 h. After being cooled down to room temperature, the mixture was transferred into the round bottom flask, and solvent was removed at reduced pressure. The residue obtained therein was subjected to flash silica gel column chromatography to provide pure products with the elution of mixed petroleum ether and ethyl acetate.

Procedure for the 1 mmol scale reaction for the synthesis of 3a

The In a 50 mL sealed tube were added enaminone **1** (1.0 mmol, 1 equiv), quinonediimide **2** (1.2mmol, 1.2 equiv), $Zn(OTf)_2$ (0.2 mmol, 20 mol %) and 1,4-dioxane (10 mL, 0.1 M). After sealing the tube with Teflon cap, the mixture was stirred at 50 °C with oil bath heating for 24 h. After being cooled down to room temperature, the mixture was transferred into a round bottom flask, and solvent was removed at reduced pressure. The residue obtained therein was subjected to flash silica gel column chromatography to provide pure product 3a (424 mg, 78% yield) with the elution of mixed petroleum ether and ethyl acetate (v/v = 2:1).

General procedure for the synthesis of 5

In a 10 mL sealed tube were added enaminone **1** (0.2 mmol, 1 equiv), quinoneimide **4** (0.3 mmol, 1.5 equiv), Fe(OTf)₃ (0.05 mmol, 25 mol %) and CH₃CN (2 mL, 0.1 M). After sealing the tube with Teflon cap, the mixture was stirred at 0 °C with ice bath for 3 h. Then the mixture was transferred into the round bottom flask, and solvent was removed at reduced pressure. The residue obtained therein was subjected to flash silica gel column chromatography to provide pure products with the elution of mixed petroleum ether and ethyl acetate.

Procedure for the 1 mmol scale reaction for the synthesis of 5a

The In a 50 mL sealed tube were added enaminone 1 (1.0 mmol, 1 equiv), quinoneimide

4 (1.5 mmol, 1.5 equiv), Fe(OTf)₃ (0.25 mmol, 25 mol %) and CH₃CN (10 mL, 0.1 M). After sealing the tube with Teflon cap, the mixture was stirred at 0 °C with ice bath for 3 h. Then the mixture was transferred into a round bottom flask, and solvent was removed at reduced pressure. The residue obtained therein was subjected to flash silica gel column chromatography to provide pure product **3a** (295 mg, 68% yield) with the elution of mixed petroleum ether and ethyl acetate (v/v = 3:1).

Characterization data



N-(3-Benzoyl-1-tosyl-1H-indol-5-yl)-4-methylbenzenesulfonamide (3a). Eluent: $V_{PE}/V_{EA} = 2:1$; white solid (92.5 mg, 85% yield); mp 105-106 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.98 (s, 1H), 7.90 (d, *J* = 2.3 Hz, 1H), 7.86 (d, *J* = 9.0 Hz, 1H), 7.81 (d, *J* = 6.9 Hz, 2H), 7.76 (d, *J* = 8.0 Hz, 2H), 7.61 (d, *J* = 8.2 Hz, 3H), 7.52 (s, 2H), 7.39 (s, 1H), 7.27 (s, 2H), 7.19 (s, 1H), 7.13 (d, *J* = 8.0 Hz, 2H), 2.36 (s, 3H), 2.31 (s, 3H);¹³C NMR (100 MHz, CDCl₃) δ 190.8, 146.2, 143.8, 138.9, 136.2, 134.5, 134.3, 134.2, 132.5, 132.5, 130.3, 129.7, 129.6, 129.1, 129.0, 128.7, 127.3, 127.2, 126.5, 120.6, 120.0, 115.5, 113.9, 21.6, 21.5; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₉H₂₄N₂O₅S₂ 545.1199; Found 545.1197.



4-Methyl-*N***-(3-(4-methylbenzoyl)-1-tosyl-1H-indol-5-yl)benzenesulfonamide (3b)**. Eluent: V_{PE}/V_{EA} = 2:1; white solid (100.4 mg, 90% yield); mp 175-176 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.98 (s, 1H), 7.93 (d, *J* = 2.2 Hz, 1H), 7.85 (d, *J* = 9.0 Hz, 1H), 7.75 (d, *J* = 8.0 Hz, 4H), 7.56 (d, *J* = 7.9 Hz, 3H), 7.41 (dd, *J* = 9.0, 2.2 Hz, 1H), 7.31 (d, *J* = 7.9 Hz, 2H), 7.23 (d, *J* = 8.0 Hz, 2H), 7.05 (d, *J* = 8.0 Hz, 2H), 2.44 (s, 3H), 2.33 (s, 3H), 2.27 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 190.8, 146.1, 143.6, 143.4, 136.2, 134.3, 134.3, 134.2, 132.4, 130.3, 129.6, 129.4, 129.3, 129.2, 127.2, 127.2, 120.5, 120.2, 115.4, 113.9, 21.7, 21.7, 21.5; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₃₀H₂₆N₂O₅S₂ 559.1356; Found 559.1348.



N-(3-(4-Methoxybenzoyl)-1-tosyl-1H-indol-5-yl)-4-methylbenzenesulfonamide (3c). Eluent: $V_{PE}/V_{EA} = 2:1$; white solid (93.0 mg, 81% yield); mp 210-211 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.98 (s, 1H), 7.86 (d, *J* = 8.6 Hz, 4H), 7.76 (d, *J* = 8.1 Hz, 2H), 7.56 (d, *J* = 7.9 Hz, 2H), 7.40 (d, *J* = 9.0 Hz, 2H), 7.24 (d, *J* = 8.0 Hz, 2H), 7.06 (d, *J* = 7.9 Hz, 2H), 7.00 (d, *J* = 8.5 Hz, 2H), 3.90 (s, 3H), 2.34 (s, 3H), 2.29 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 189.5, 163.4, 146.1, 143.7, 136.1, 134.3, 134.1, 133.7, 132.4, 131.5, 131.4, 130.3, 129.6, 129.3, 127.2, 127.1, 120.6, 120.2, 115.5, 114.0, 113.9, 55.6, 21.7, 21.5; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₃₀H₂₆N₂O₆S₂ 575.1305; Found 575.1304.



N-(3-(4-Fluorobenzoyl)-1-tosyl-1H-indol-5-yl)-4-methylbenzenesulfonamide (3d). Eluent: V_{PE}/V_{EA} = 2:1; white solid (102.3 mg, 91% yield); mp 197-198 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.97 (s, 1H), 7.92 – 7.82 (m, 4H), 7.77 (d, *J* = 8.1 Hz, 2H), 7.58 (d, *J* = 8.0 Hz, 2H), 7.50 – 7.35 (m, 2H), 7.25 (d, *J* = 6.4 Hz, 2H), 7.19 (t, *J* = 8.4 Hz, 2H), 7.08 (d, *J* = 7.8 Hz, 2H), 2.35 (s, 3H), 2.29 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 189.5, 165.4 (d, *J* = 254.3 Hz), 146.3, 143.8, 136.1, 135.1, 134.3, 134.3, 134.1, 132.4, 131.6, 131.6, 130.4, 129.6, 129.0, 127.2, 127.2, 126.4, 120.6, 119.8, 116.0, 115.8, 115.3, 114.0, 21.7, 21.5; ¹⁹F NMR (376 MHz, CDCl₃) δ -105.59; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₉H₂₃FN₂O₅S₂ 563.1105; Found 563.1104.



N-(3-(4-Chlorobenzoyl)-1-tosyl-1H-indol-5-yl)-4-methylbenzenesulfonamide (3e). Eluent: $V_{PE}/V_{EA} = 2:1$; yellow solid (101.7 mg, 88% yield); mp 202-203 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.96 (s, 1H), 7.92 (s, 1H), 7.85 (d, *J* = 9.0 Hz, 1H), 7.77 (dd, *J* = 8.4, 3.7 Hz, 4H), 7.58 (d, *J* = 8.0 Hz, 2H), 7.48 (d, *J* = 8.0 Hz, 3H), 7.42 – 7.37 (m, 1H), 7.24 (s, 1H), 7.08 (d, *J* = 8.0 Hz, 2H), 2.35 (s, 3H), 2.29 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 189.7, 146.3, 143.8, 139.0, 137.1, 136.1, 134.4, 134.3, 134.1, 132.4, 130.4, 130.4, 129.6, 129.1, 128.9, 127.2, 127.2, 120.6, 119.7, 115.3, 114.0, 21.7, 21.5; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₉H₂₃ClN₂O₅S₂ 579.0810; Found 579.0811.



N-(3-(4-Bromobenzoyl)-1-tosyl-1H-indol-5-yl)-4-methylbenzenesulfonamide (3f). Eluent: $V_{PE}/V_{EA} = 2:1$; white solid (108.2 mg, 87% yield); mp 205-206 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.96 (s, 1H), 7.91 (d, *J* = 2.2 Hz, 1H), 7.85 (d, *J* = 9.0 Hz, 1H), 7.77 (d, *J* = 8.1 Hz, 2H), 7.70 (d, *J* = 8.3 Hz, 2H), 7.65 (d, *J* = 8.6 Hz, 2H), 7.59 (d, *J* = 7.9 Hz, 2H), 7.44 – 7.36 (m, 2H), 7.26 (d, *J* = 8.2 Hz, 2H), 7.09 (d, *J* = 8.0 Hz, 2H), 2.35 (s, 3H), 2.30 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 189.9, 146.3, 143.8, 137.6, 136.1, 134.5, 134.4, 134.1, 132.4, 132.0, 130.6, 130.4, 129.6, 128.9, 127.6, 127.2, 127.2, 120.6, 119.7, 115.3, 114.0, 21.7, 21.5; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₉H₂₃BrN₂O₅S₂ 623.0305; Found 623.0299.



N-(3-(4-Iodobenzoyl)-1-tosyl-1H-indol-5-yl)-4-methylbenzenesulfonamide (3g). Eluent: $V_{PE}/V_{EA} = 2:1$; white solid (108.5 mg, 81% yield); mp 195-196 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.95 (s, 1H), 7.91 (s, 1H), 7.88 – 7.84 (m, 3H), 7.76 (d, *J* = 8.1 Hz, 2H), 7.59 (d, *J* = 8.0 Hz, 2H), 7.54 (d, *J* = 8.0 Hz, 2H), 7.41 (s, 1H), 7.25 (d, *J* = 6.1 Hz, 3H), 7.09 (d, *J* = 7.9 Hz, 2H), 2.35 (s, 3H), 2.30 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 190.1, 146.3, 143.8, 138.1, 138.0, 136.1, 134.5, 134.4, 134.1, 132.4, 130.5, 130.4, 129.6, 128.9, 127.2, 127.2, 120.6, 119.6, 115.3, 114.0, 100.1, 21.7, 21.5; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₉H₂₃IN₂O₅S₂ 671.0166; Found 671.0159.



N-(3-(4-Cyanobenzoyl)-1-tosyl-1H-indol-5-yl)-4-methylbenzenesulfonamide (3h). Eluent: $V_{PE}/V_{EA} = 2:1$; white solid (50.1 mg, 44% yield); mp 153-154 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.94 (s, 2H), 7.90 (d, *J* = 8.1 Hz, 2H), 7.83 (d, *J* = 7.8 Hz, 3H), 7.78 (d, *J* = 8.4 Hz, 2H), 7.63 (d, *J* = 8.3 Hz, 2H), 7.35 (s, 2H), 7.28 (d, *J* = 8.1 Hz, 2H), 7.15 (d, *J* = 8.0 Hz, 2H), 2.38 (s, 3H), 2.33 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 189.2, 146.5, 143.9, 142.4, 136.1, 134.8, 134.5, 133.9, 132.6, 132.3, 130.5, 129.7, 129.3, 128.6, 127.3, 126.4, 120.7, 119.3, 118.0, 115.8, 115.2, 114.0, 21.7, 21.6; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₃₀H₂₃N₃O₅S₂ 570.1152; Found 570.1147.



4-Methyl-N-(1-tosyl-3-(4-(trifluoromethyl)benzoyl)-1H-indol-5-

yl)benzenesulfonamide (3i). Eluent: V_{PE}/V_{EA} = 2:1; white solid (61.2 mg, 50% yield); mp 113-114 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.95 (s, 2H), 7.92 (d, J = 8.0 Hz, 2H), 7.85 (d, J = 9.0 Hz, 1H), 7.78 (dd, J = 8.1, 5.2 Hz, 4H), 7.62 (d, J = 8.1 Hz, 2H), 7.39 (d, J = 6.2 Hz, 2H), 7.27 (d, J = 8.3 Hz, 2H), 7.13 (d, J = 7.9 Hz, 2H), 2.37 (s, 3H), 2.32 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 189.8, 146.4, 143.8, 141.9, 136.2, 134.9, 134.5, 134.1, 133.8 (d, J = 32.9 Hz), 132.4, 130.4, 129.6, 129.2, 128.7, 127.3, 127.2, 125.8 (q, J = 3.5 Hz), 123.6 (q, J = 272.8 Hz), 120.7, 119.6, 115.3, 114.0, 21.6, 21.5; ¹⁹F NMR (376 MHz, CDCl₃) δ -62.91; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₃₀H₂₃F₃N₂O₅S₂ 613.1073; Found 613.1083.



N-(**3**-(**3**-Chlorobenzoyl)-1-tosyl-1H-indol-5-yl)-4-methylbenzenesulfonamide (**3j**). Eluent: $V_{PE}/V_{EA} = 2:1$; white solid (70.5 mg, 61% yield); mp 140-141 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.97 (s, 1H), 7.91 (d, J = 2.2 Hz, 1H), 7.86 (d, J = 8.9 Hz, 1H), 7.78 (d, J = 8.4 Hz, 3H), 7.69 (d, J = 7.6 Hz, 1H), 7.64 – 7.55 (m, 3H), 7.49 – 7.36 (m, 3H), 7.27 (d, J = 7.5 Hz, 2H), 7.12 (d, J = 7.9 Hz, 2H), 2.36 (s, 3H), 2.31 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 189.4, 146.4, 143.8, 140.5, 136.1, 134.9, 134.7, 134.4, 134.1, 132.5, 132.4, 130.4, 130.1, 129.7, 129.0, 128.8, 127.3, 127.2, 127.1, 120.6, 119.6, 115.2, 114.0, 21.7, 21.5; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₉H₂₃ClN₂O₅S₂ 579.0810; Found 579.0808.



N-(3-(3-Cyanobenzoyl)-1-tosyl-1H-indol-5-yl)-4-methylbenzenesulfonamide (3k). Eluent: $V_{PE}/V_{EA} = 2:1$; white solid (50.1 mg, 44% yield); mp 127-128 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.04 (d, *J* = 10.6 Hz, 2H), 7.94 (s, 1H), 7.87 (dd, *J* = 15.4, 9.8 Hz, 3H), 7.79 (d, *J* = 8.0 Hz, 2H), 7.66 (dd, *J* = 16.3, 7.9 Hz, 3H), 7.36 (dd, *J* = 8.9, 2.2 Hz, 1H), 7.30 (d, *J* = 5.0 Hz, 3H), 7.16 (d, *J* = 8.0 Hz, 2H), 2.38 (s, 3H), 2.33 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 188.5, 146.5, 143.9, 139.9, 136.1, 135.4, 134.6, 134.4, 134.0, 132.8, 132.4, 130.5, 129.8, 129.7, 128.6, 127.3, 127.2, 120.7, 119.3, 117.9, 115.2, 114.1, 113.2, 21.7, 21.5; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₃₀H₂₃N₃O₅S₂ 570.1152; Found 570.1155.



N-(3-(3,4-Dichlorobenzoyl)-1-tosyl-1H-indol-5-yl)-4-methylbenzenesulfonamide

(31). Eluent: $V_{PE}/V_{EA} = 2:1$; white solid (55.1 mg, 45% yield); mp 192-193 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.96 (s, 1H), 7.88 (d, J = 6.2 Hz, 3H), 7.78 (d, J = 7.9 Hz, 2H), 7.61 (d, J = 7.7 Hz, 4H), 7.41 (d, J = 13.1 Hz, 2H), 7.28 (d, J = 8.0 Hz, 2H), 7.12 (d, J = 7.8 Hz, 2H), 2.37 (s, 3H), 2.31 (s, 3H); ¹³C NMR (100 MHz, DMSO-*d*₆) δ 188.1, 146.9, 143.7, 139.4, 137.2, 136.2, 135.7, 135.6, 133.7, 132.2, 131.4, 131.3, 131.1, 130.9, 130.1, 129.3, 128.7, 127.9, 127.1, 119.8, 119.0, 114.2, 113.7, 21.5, 21.3; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₉H₂₂Cl₂N₂O₅S₂ 613.0420; Found 613.0420.



N-(3-(4-Chloro-3-nitrobenzoyl)-1-tosyl-1H-indol-5-yl)-4-methylbenzenesulfonami de (3m). Eluent: $V_{PE}/V_{EA} = 2:1$; yellow solid (51.2 mg, 41% yield); mp 124-125 °C; ¹H NMR (400 MHz, CDCl₃) δ 10.38 (s, 1H), 8.45 (s, 2H), 8.14 (dd, J = 8.3, 2.2 Hz, 1H), 8.00 (d, J = 8.2 Hz, 4H), 7.88 (d, J = 9.0 Hz, 1H), 7.65 (d, J = 8.0 Hz, 2H), 7.39 (d, J =8.2 Hz, 2H), 7.27 (dd, J = 13.2, 8.6 Hz, 3H), 2.31 (s, 3H), 2.27 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 187.4, 148.0, 146.9, 143.7, 138.8, 137.1, 136.7, 135.8, 134.1, 133.7, 132.7, 131.3, 130.9, 130.1, 129.1, 128.6, 127.9, 127.1, 126.5, 119.8, 118.8, 114.3, 113.6, 21.5, 21.4; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₉H₂₂ClN₃O₇S₂ 624.0660; Found 624.0661.



N-(3-(Benzo[d][1,3]dioxole-5-carbonyl)-1-tosyl-1H-indol-5-yl)-4-methylbenzene sulfonamide (3n). Eluent: $V_{PE}/V_{EA} = 2:1$; white solid (81.2 mg, 69% yield); mp 115-116 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.99 (s, 1H), 7.88 – 7.83 (m, 2H), 7.76 (d, *J* = 8.0 Hz, 2H), 7.59 – 7.52 (m, 3H), 7.43 (dd, *J* = 8.1, 1.7 Hz, 1H), 7.38 (dd, *J* = 8.9, 2.3 Hz, 1H), 7.35 (d, *J* = 1.7 Hz, 1H), 7.24 (d, *J* = 7.9 Hz, 2H), 7.05 (d, *J* = 7.9 Hz, 2H), 6.89 (d, *J* = 8.1 Hz, 1H), 6.07 (s, 2H), 2.34 (s, 3H), 2.28 (s, 3H); ¹³C NMR (100 MHz, 100 MHz, 100 MHz).

CDCl₃) δ 189.1, 151.7, 148.2, 146.2, 143.7, 136.0, 134.1, 133.7, 133.1, 132.4, 130.3, 129.6, 129.2, 127.2, 127.2, 126.4, 125.4, 120.5, 120.0, 115.4, 113.9, 109.1, 108.1, 102.0, 21.7, 21.5; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₃₀H₂₄N₂O₇S₂ 589.1098; Found 589.1093.



N-(3-(2-Naphthoyl)-1-tosyl-1*H*-indol-5-yl)-4-methylbenzenesulfonamide (30). Eluent: $V_{PE}/V_{EA} = 2:1$; white solid (89.1 mg, 75% yield); mp 130-131 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.32 (s, 1H), 8.04 (s, 1H), 7.99 (d, J = 2.3 Hz, 1H), 7.96 – 7.87 (m, 5H), 7.75 (d, J = 7.9 Hz, 2H), 7.64 (s, 1H), 7.62 – 7.55 (m, 4H), 7.43 (dd, J = 9.0, 2.3 Hz, 1H), 7.22 (d, J = 7.9 Hz, 2H), 7.03 (d, J = 7.8 Hz, 2H), 2.32 (s, 3H), 2.25 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 191.1, 146.2, 143.7, 136.2, 135.3, 134.7, 134.5, 134.2, 132.5, 132.4, 130.5, 130.3, 129.6, 129.5, 129.1, 128.8, 128.4, 127.9, 127.3, 127.2, 127.0, 125.1, 120.6, 120.3, 115.4, 114.0, 21.7, 21.5; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₃₃H₂₆N₂O₅S₂ 595.1356; Found 595.1361.



4-Methyl-*N***-(3-(thiophene-2-carbonyl)-1-tosyl-1H-indol-5-yl)benzenesulfonamide** (3**p**). Eluent: $V_{PE}/V_{EA} = 2:1$; white solid (64.9 mg, 59% yield); mp 101-102 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.23 (s, 1H), 7.86 (d, *J* = 9.2 Hz, 2H), 7.81 – 7.77 (m, 3H), 7.72 (d, *J* = 4.9 Hz, 1H), 7.60 (d, *J* = 8.0 Hz, 2H), 7.39 (dd, *J* = 9.0, 2.3 Hz, 1H), 7.31 (s, 1H), 7.26 (d, *J* = 4.8 Hz, 2H), 7.21 (t, *J* = 4.4 Hz, 1H), 7.11 (d, *J* = 8.0 Hz, 2H), 2.36 (s, 3H), 2.29 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 181.5, 146.2, 143.8, 143.8, 136.0, 134.1, 133.7, 132.9, 132.7, 132.3, 130.4, 129.6, 129.0, 128.3, 127.3, 127.2, 126.4, 120.7, 120.1, 115.3, 114.0, 21.7, 21.5.; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₇H₂₂N₂O₅S₃ 551.0764; Found 551.0773.



N-(3-(1*H*-Pyrrole-2-carbonyl)-1-tosyl-1H-indol-5-yl)-4-methylbenzenesulfonami de (3q). Eluent: $V_{PE}/V_{EA} = 2:1$; yellow solid (46.9 mg, 44% yield); mp 93-94 °C; ¹H NMR (400 MHz, CDCl₃) δ 11.02 (s, 1H), 9.42 (s, 1H), 8.20 (s, 1H), 7.90 (d, *J* = 9.0 Hz, 1H), 7.78 (d, *J* = 8.1 Hz, 2H), 7.73 (s, 1H), 7.65 (s, 1H), 7.59 (d, *J* = 8.0 Hz, 2H), 7.26 – 7.21 (m, 3H), 7.06 (d, *J* = 8.0 Hz, 2H), 6.98 (s, 1H), 6.37 (s, 1H), 2.33 (s, 3H), 2.28 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 179.4, 146.0, 143.6, 135.9, 134.4, 134.1, 132.7, 132.6, 131.7, 130.3, 129.6, 129.5, 129.0, 127.2, 127.2, 126.8, 121.1, 120.2, 119.4, 115.4, 114.0, 111.0, 21.6, 21.5; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₇H₂₃N₃O₅S₂ 534.1152; Found 534.1158.



(3*S*, 8*R*, 9*R*, 10*R*, 13*S*, 14*R*)-10,13-Dimethyl-17-(5-((4-methylphenyl)sulfonamido)-1-tosyl-1H-indole-3-carbonyl)-2,3,4,7,8,9,10,11,12,13,14,15-dodecahydro-1Hcyclopenta[a]phenanthren-3-yl acetate (3*r*). Eluent: $V_{PE}/V_{EA} = 2:1$; white solid (115.5 mg, 74% yield); mp 153-154 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.97 (s, 1H), 7.79 (dd, *J* = 18.0, 8.3 Hz, 4H), 7.59 (d, *J* = 8.0 Hz, 2H), 7.33 (dd, *J* = 9.0, 2.3 Hz, 1H), 7.27 – 7.20 (m, 3H), 7.12 (d, *J* = 7.9 Hz, 2H), 6.53 (s, 1H), 5.42 (s, 1H), 4.63 (dt, *J* = 9.8, 5.1 Hz, 1H), 2.40 (s, 2H), 2.36 (s, 4H), 2.31 (s, 3H), 2.09 (s, 3H), 2.06 (s, 3H), 1.88 (dd, *J* = 9.5, 4.8 Hz, 2H), 1.82 – 1.68 (m, 2H), 1.66 – 1.53 (m, 4H), 1.37 (s, 1H), 1.09 (s, 3H), 1.07 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 188.2, 170.7, 155.0, 146.0, 143.8, 143.7, 140.2, 136.2, 134.3, 133.8, 132.7, 132.5, 130.3, 129.6, 128.8, 127.3, 127.1, 122.1, 121.5, 120.3, 115.6, 113.8, 73.9, 56.2, 50.5, 47.5, 38.1, 36.9, 36.8, 34.0, 32.8, 31.6, 30.1, 27.7, 21.7, 21.5, 21.5, 20.6, 19.3, 16.3; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C44H48N2O7S2 781.2976; Found 781.2971.



N-(3-Benzoyl-1-(phenylsulfonyl)-1H-indol-5-yl)benzenesulfonamide (3s). Eluent: V_{PE}/V_{EA} = 3:1; white solid (83.5 mg, 81% yield); mp 196-197 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 10.46 (s, 1H), 8.23 (s, 1H), 8.15 (d, *J* = 7.9 Hz, 2H), 8.02 (d, *J* = 2.2 Hz, 1H), 7.88 (t, *J* = 7.6 Hz, 3H), 7.76 (d, *J* = 7.0 Hz, 2H), 7.73 – 7.67 (m, 2H), 7.60 (t, *J* = 7.7 Hz, 4H), 7.55 (d, *J* = 7.1 Hz, 1H), 7.51 (d, *J* = 7.7 Hz, 2H), 7.26 (dd, *J* = 9.0, 2.2 Hz, 1H); ¹³C NMR (100 MHz, DMSO-*d*₆) δ 190.3, 139.9, 139.0, 136.6, 135.8, 135.45, 135.39, 133.4, 133.0, 131.4, 130.5, 129.7, 129.4, 129.3, 129.0, 127.9, 127.1, 120.0, 119.4, 114.2; HRMS (ESI-TOF) m/z: [M + Na]⁺ Calcd for C₂₇H₂₀N₂NaO₅S₂ 539.0706; Found 539.0706.



N-(3-Benzoyl-2-methyl-1-tosyl-1H-indol-5-yl)-4-methylbenzenesulfonamide (3t). Eluent: $V_{PE}/V_{EA}=2:1$; yellow liquid (37.9 mg, 34% yield); ¹H NMR (400 MHz, CDCl₃) δ 8.09 (d, J = 9.1 Hz, 1H), 7.69 (d, J = 8.2 Hz, 4H), 7.62 – 7.54 (m, 2H), 7.50 (d, J =8.5 Hz, 2H), 7.42 (d, J = 6.8 Hz, 2H), 7.25 (t, J = 5.3 Hz, 2H), 7.11 (t, J = 6.8 Hz, 3H), 6.97 (s, 1H), 2.55 (s, 3H), 2.37 (s, 3H), 2.32 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 192.8, 145.8, 143.7, 142.5, 138.7, 136.1, 135.6, 133.33, 133.29, 133.2, 130.2, 129.6, 129.6, 129.5, 128.7, 127.2, 126.6, 126.4, 119.9, 119.3, 115.0, 113.4, 21.6, 21.5, 14.7; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₃₀H₂₇N₂O₅S₂ 559.1356; Found 559.1357.



(5-*H*ydroxybenzofuran-3-yl)(phenyl)methanone (3u). Eluent: V_{PE}/V_{EA}=5:1; yellow solid (19.1 mg, 40% yield); mp 187-188°C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.50 (s, 1H), 8.58 (s, 1H), 7.89 (d, *J* = 7.0 Hz, 2H), 7.69 (t, *J* = 7.4 Hz, 1H), 7.61 – 7.52 (m, 4H), 6.89 (dd, *J* = 8.9, 2.5 Hz, 1H); ¹³C NMR (100 MHz, DMSO-*d*₆) δ 190.1, 155.3, 155.1, 149.5, 139.2, 133.0, 129.2, 129.1, 126.2, 120.4, 115.0, 112.5, 107.0 ; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₁₅H₁₁O₃ 239.0703; Found 239.0706.



N-(3-Benzoyl-2-(dimethylamino)benzofuran-5-yl)-4-methylbenzenesulfonamide (5a). Eluent: $V_{PE}/V_{EA} = 3:1$; yellow solid (63.4 mg, 73% yield); mp 129-130 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.70 (d, *J* = 7.5 Hz, 2H), 7.54 (s, 1H), 7.48 – 7.37 (m, 4H), 7.12 (d, *J* = 7.9 Hz, 2H), 7.06 (d, *J* = 8.6 Hz, 1H), 6.84 (d, *J* = 2.2 Hz, 1H), 6.69 (s, 1H), 6.37 (s, 1H), 3.09 (s, 6H), 2.36 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 189.2, 164.8,

146.5, 143.4, 140.5, 136.0, 132.2, 132.0, 130.3, 129.4, 128.9, 128.5, 127.3, 116.4, 113.3, 109.7, 94.5, 40.6, 21.5; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₄H₂₂N₂O₄S 435.1373; Found 435.1379.



N-(2-(Dimethylamino)-3-(4-nitrobenzoyl)benzofuran-5-yl)-4-methylbenzenesulfo namide (5b). Eluent: $V_{PE}/V_{EA} = 3:1$; red solid (38.3 mg, 40% yield); mp 135-136 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 8.35 (d, *J* = 8.7 Hz, 2H), 8.32 (s, 1H), 7.84 (d, *J* = 8.7 Hz, 2H), 7.42 (s, 2H), 7.30 (s, 2H), 7.22 (s, 1H), 6.77 (dd, *J* = 8.6, 2.2 Hz, 1H), 6.43 (d, *J* = 2.2 Hz, 1H), 3.03 (s, 6H), 2.34 (s, 3H); ¹³C NMR (100 MHz, DMSO-*d*₆) δ 185.9, 165.0, 149.4, 146.8, 145.6, 143.5, 136.9, 134.2, 129.9, 129.8, 129.7, 127.1, 124.5, 115.4, 111.4, 110.4, 94.0, 79.6, 40.9, 21.4; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₄H₂₁N₃O₆S 480.1224; Found 480.1223.



N-(2-(Dimethylamino)-3-(4-methoxybenzoyl)benzofuran-5-yl)-4-methylbenzene sulfonamide (5c). Eluent: $V_{PE}/V_{EA} = 3:1$; yellow solid (63.1 mg, 68% yield); mp 180-181 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.84 (s, 1H), 7.66 (d, J = 8.7 Hz, 2H), 7.48 (d, J = 8.0 Hz, 2H), 7.29 (d, J = 8.0 Hz, 2H), 7.20 (d, J = 8.5 Hz, 1H), 7.03 (d, J = 8.7 Hz, 2H), 6.77 – 6.71 (m, 2H), 3.87 (s, 3H), 2.95 (s, 6H), 2.32 (s, 3H); ¹³C NMR (100 MHz, DMSO-*d*₆) δ 187.2, 164.0, 162.8, 145.6, 143.3, 137.2, 133.9, 133.3, 131.2, 130.6, 129.9, 127.1, 115.0, 114.3, 111.7, 110.0, 93.8, 55.9, 40.6, 21.4; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₅H₂₄N₂O₅S 465.1479; Found 465.1477.



N-(2-(Dimethylamino)-3-(4-(trifluoromethoxy)benzoyl)benzofuran-5-yl)-4-meth ylbenzenesulfonamide (5d). Eluent: V_{PE}/V_{EA}= 3:1; yellow solid (52.8 mg, 51% yield); mp 168-169 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.75 (d, *J* = 8.8 Hz, 2H), 7.46 (d, *J* = 8.3 Hz, 2H), 7.21 (d, *J* = 8.2 Hz, 2H), 7.12 (dd, *J* = 8.3, 2.5 Hz, 2H), 7.09 – 6.96 (m, 2H), 6.85 (d, *J* = 8.6 Hz, 1H), 6.41 (s, 1H), 3.09 (s, 6H), 2.35 (d, *J* = 2.3 Hz, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 187.2, 164.9, 151.8, 146.6, 143.4, 137.4 (q, *J* = 259.8 Hz), 132.3, 130.8, 129.9, 129.4, 127.2, 120.4, 117.0, 113.2, 109.9, 94.2, 40.7, 21.5; ¹⁹F NMR (376 MHz, CDCl₃) δ -57.49; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₅H₂₁F₃N₂O₅S 519.1196; Found 519.1197.



N-(2-(Dimethylamino)-3-(3-methoxybenzoyl)benzofuran-5-yl)-4-methylbenzene sulfonamide (5e). Eluent: $V_{PE}/V_{EA} = 3:1$; yellow solid (50.1 mg, 54% yield); mp 170-

171 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.47 (d, J = 8.2 Hz, 2H), 7.28 (d, J = 3.0 Hz, 2H), 7.16 – 7.00 (m, 5H), 6.81 (d, J = 2.3 Hz, 1H), 6.74 (s, 1H), 6.49 (d, J = 2.3 Hz, 1H), 3.82 (s, 3H), 3.09 (s, 6H), 2.34 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 188.9, 164.7, 159.9, 146.5, 143.4, 142.0, 136.2, 130.4, 129.5, 129.4, 127.3, 121.5, 118.4, 116.1, 113.3, 113.2, 109.7, 94.6, 77.2, 55.4, 40.6, 21.5; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₅H₂₄N₂O₅S 465.1479; Found 465.1479.



N-(2-(Dimethylamino)-3-(3-nitrobenzoyl)benzofuran-5-yl)-4-methylbenzenesulfo namide (5f). Eluent: V_{PE}/V_{EA} = 3:1; yellow solid (30.7 mg, 32% yield); mp 118-119 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.99 (s, 1H), 7.93 (d, *J* = 7.7 Hz, 1H), 7.79 (d, *J* = 7.8 Hz, 1H), 7.56 (t, *J* = 7.7 Hz, 1H), 7.46 (d, *J* = 8.0 Hz, 2H), 7.26 (s, 1H), 7.11 (d, *J* = 7.9 Hz, 2H), 7.07 (d, *J* = 8.5 Hz, 1H), 6.82 (dd, *J* = 8.6, 2.3 Hz, 1H), 6.44 (s, 1H), 3.09 (s, 6H), 2.33 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 187.2, 165.1, 146.4, 143.5, 141.1, 136.0, 132.6, 132.2, 131.2, 130.9, 129.9, 129.4, 129.2, 128.4, 127.2, 125.6, 125.2, 122.5, 116.2, 112.7, 109.9, 94.3, 40.7, 21.4; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₄H₂₁N₃O₆S 480.1224; Found 480.1222.



N-(3-(3,4-Dichlorobenzoyl)-2-(dimethylamino)benzofuran-5-yl)-4-methylbenzene sulfonamide (5g). Eluent: $V_{PE}/V_{EA} = 3:1$; yellow solid (65.3 mg, 65% yield); mp 181-182 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.74 (d, *J* = 1.8 Hz, 1H), 7.48 – 7.45 (m, 1H), 7.39 (d, *J* = 8.3 Hz, 3H), 7.19 (s, 1H), 7.07 (d, *J* = 8.1 Hz, 2H), 6.98 (s, 1H), 6.76 – 6.73 (m, 1H), 6.37 (s, 1H), 3.03 (s, 6H), 2.28 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 186.0, 165.0, 146.4, 143.5, 140.1, 136.2, 136.2, 133.1, 132.6, 130.7, 130.6, 129.7, 129.5, 128.2, 127.3, 127.2, 116.5, 112.8, 110.0, 94.1, 40.7, 21.5; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₄H₂₀Cl₂N₂O4S 503.0594; Found 503.0599.



N-(2-(Dimethylamino)-3-(3-fluoro-4-nitrobenzoyl)benzofuran-5-yl)-4-methylbenz enesulfonamide (5h). Eluent: $V_{PE}/V_{EA} = 3:1$; yellow solid (35.8 mg, 36% yield); mp 215-216 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.45 (dd, *J* = 7.2, 2.2 Hz, 1H), 8.04 (ddd, *J* = 8.6, 4.2, 2.2 Hz, 1H), 7.47 (d, *J* = 8.2 Hz, 2H), 7.36 (dd, *J* = 10.3, 8.6 Hz, 1H), 7.15 (d, *J* = 8.1 Hz, 2H), 7.05 (d, *J* = 8.1 Hz, 2H), 6.72 – 6.68 (m, 1H), 6.44 (d, *J* = 2.2 Hz, 1H), 3.16 (s, 6H), 2.35 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 184.4, 165.2, 158.7, 146.4, 143.7, 137.1, 136.1 – 135.9 (m), 135.9, 132.6, 129.6, 129.5, 127.2, 127.1, 119.1, 118.9, 116.3, 112.7, 110.1, 93.8, 40.7, 21.5; ¹⁹F NMR (376 MHz, CDCl₃) δ -111.71; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₄H₂₀FN₃O₆S 498.1130; Found 498.1130.



N-(3-(Benzo[d][1,3]dioxole-5-carbonyl)-2-(dimethylamino)benzofuran-5-yl)-4methylbenzenesulfonamide (5i). Eluent: $V_{PE}/V_{EA} = 3:1$; yellow solid (49.8 mg, 52% yield); mp 210-211 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.43 (d, *J* = 7.9 Hz, 2H), 7.25 (d, *J* = 8.1 Hz, 1H), 7.17 (s, 1H), 7.08 (d, *J* = 7.8 Hz, 2H), 6.97 (d, *J* = 8.5 Hz, 1H), 6.70 (t, *J* = 9.6 Hz, 2H), 6.56 (s, 1H), 6.42 (s, 1H), 6.01 (s, 2H), 3.03 (s, 6H), 2.29 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 186.8, 164.0, 150.9, 148.1, 145.5, 143.4, 137.1, 135.2, 134.0, 130.5, 129.9, 127.1, 124.8, 114.8, 111.4, 110.1, 108.6, 108.5, 102.3, 93.7, 79.6, 40.6, 21.4; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₅H₂₂N₂O₆S 480.1272; Found 480.1287.



N-(2-(Dimethylamino)-3-(furan-2-carbonyl)benzofuran-5-yl)-4-methylbenzene

sulfonamide (**5j**). Eluent: V_{PE}/V_{EA} = 3:1; yellow solid (49.8 mg, 54% yield); mp 162-163 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.47 (d, *J* = 7.9 Hz, 3H), 7.19 (s, 1H), 7.09 (d, *J* = 7.9 Hz, 2H), 6.99 – 6.95 (m, 2H), 6.73 (dd, *J* = 8.5, 2.2 Hz, 1H), 6.69 (d, *J* = 2.1 Hz, 1H), 6.46 (dd, *J* = 3.5, 1.5 Hz, 1H), 3.04 (s, 6H), 2.28 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 175.5, 164.2, 153.7, 146.6, 145.6, 143.5, 136.2, 132.2, 129.9, 129.5, 127.3, 117.2, 116.9, 113.7, 112.2, 109.7, 93.8, 40.4, 21.5; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for C₂₂H₂₀N₂O₅S 425.1166; Found 425.1172.



N-(2-(Dimethylamino)-3-(thiophene-2-carbonyl)benzofuran-5-yl)-4-methylbenz enesulfonamide (5k). Eluent: $V_{PE}/V_{EA} = 3:1$; yellow solid (45.8 mg, 52% yield); mp 159-160 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.53 (dd, *J* = 4.9, 1.2 Hz, 1H), 7.49 (d, *J* = 8.3 Hz, 1H), 7.45 – 7.41 (m, 3H), 7.08 (d, *J* = 8.3 Hz, 2H), 6.98 – 6.95 (m, 2H), 6.75 (dd, *J* = 8.6, 2.3 Hz, 1H), 6.68 (d, *J* = 2.2 Hz, 1H), 3.02 (s, 6H), 2.28 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 180.6, 163.9, 146.5, 145.8, 143.4, 136.1, 132.6, 132.4, 132.2, 130.1, 129.5, 127.7, 127.3, 125.7, 116.8, 116.0, 113.3, 109.8, 94.1, 40.5, 21.5; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₂H₂₀N₂O₄S₂ 441.0937; Found 441.0944.



N-(3-Benzoyl-2-(diethylamino)benzofuran-5-yl)-4-methylbenzenesulfonamide

(51). Eluent: $V_{PE}/V_{EA} = 3:1$; yellow solid (61.9 mg, 67% yield); mp 201-202 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.69 – 7.66 (m, 2H), 7.53 (s, 1H), 7.43 (dd, J = 14.7, 8.1 Hz, 4H), 7.13 (d, J = 8.0 Hz, 2H), 7.05 (d, J = 8.5 Hz, 1H), 6.79 (dd, J = 8.5, 2.3 Hz, 1H), 6.71 (s, 1H), 6.23 (d, J = 2.3 Hz, 1H), 3.56 (d, J = 7.1 Hz, 4H), 2.36 (s, 3H), 1.18 (d, J = 7.1 Hz, 6H); ¹³C NMR (100 MHz, CDCl₃) δ 189.5, 163.2, 146.4, 143.3, 140.5, 136.1, 132.1, 131.9, 130.5, 129.4, 128.9, 128.5, 127.3, 116.2, 113.3, 109.6, 94.5, 45.2, 21.5, 13.1; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₆H₂₆N₂O₄S 463.1686; Found

463.1688.



N-(3-Benzoyl-2-(pyrrolidin-1-yl)benzofuran-5-yl)-4-methylbenzenesulfonamide

(**5m**). Eluent: $V_{PE}/V_{EA} = 3:1$; yellow solid (59.8 mg, 65% yield); mp 201-202 °C; ¹H NMR (400 MHz, CDCl₃) δ 9.82 (s, 1H), 7.65 (dd, J = 7.8, 6.2 Hz, 3H), 7.50 (t, J = 7.7 Hz, 2H), 7.44 (d, J = 8.2 Hz, 2H), 7.29 (d, J = 8.2 Hz, 2H), 7.20 (d, J = 8.5 Hz, 1H), 6.69 (dd, J = 8.6, 2.3 Hz, 1H), 6.63 (d, J = 2.2 Hz, 1H), 3.37 (d, J = 5.7 Hz, 4H), 2.33 (s, 3H), 1.92 – 1.84 (m, 4H); ¹³C NMR (100 MHz, CDCl₃) δ 192.3, 167.0, 150.6, 148.1, 145.6, 141.8, 138.6, 137.1, 134.9, 134.7, 133.8, 133.7, 131.9, 119.7, 116.3, 114.9, 98.6, 54.9, 30.2, 26.1; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₆H₂₄N₂O₄S 461.1530; Found 461.1534.



N-(3-Benzoyl-2-(piperidin-1-yl)benzofuran-5-yl)-4-methylbenzenesulfonamide

(**5n**). Eluent: $V_{PE}/V_{EA} = 3:1$; yellow solid (65.1 mg, 69% yield); mp 151-152 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.68 (d, J = 6.8 Hz, 2H), 7.52 (d, J = 7.4 Hz, 1H), 7.47 (d, J = 8.3 Hz, 2H), 7.41 (t, J = 7.6 Hz, 2H), 7.08 (dd, J = 14.9, 8.3 Hz, 3H), 6.93 – 6.86 (m, 2H), 6.59 (d, J = 2.3 Hz, 1H), 3.41 (d, J = 5.5 Hz, 4H), 2.33 (s, 3H), 1.59 (s, 6H); ¹³C NMR (100 MHz, CDCl₃) δ 189.2, 164.2, 145.8, 143.4, 140.6, 137.1, 134.1, 132.3, 130.2, 129.9, 129.1, 128.7, 127.2, 115.7, 112.1, 110.2, 94.5, 79.6, 49.9, 25.2, 23.6, 21.4; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₇H₂₆N₂O₄S 475.1686; Found 475.1686.



N-(3-Benzoyl-2-morpholinobenzofuran-5-yl)-4-methylbenzenesulfonamide (50).

Eluent: $V_{PE}/V_{EA} = 3:1$; yellow solid (66.7 mg, 70% yield); mp 203-204 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.71 – 7.67 (m, 2H), 7.55 (t, J = 7.4 Hz, 1H), 7.44 (q, J = 8.0, 7.5 Hz, 4H), 7.11 (dd, J = 10.0, 8.2 Hz, 3H), 6.92 – 6.85 (m, 2H), 6.55 (d, J = 2.1 Hz, 1H), 3.72 (t, J = 4.7 Hz, 4H), 3.51 (t, J = 4.7 Hz, 4H), 2.35 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 190.2, 163.7, 146.5, 143.4, 140.2, 136.0, 132.6, 132.2, 129.8, 129.5, 128.7, 128.6, 127.3, 117.0, 113.7, 110.0, 95.6, 66.2, 48.3, 21.5; HRMS (ESI-TOF) m/z: [M + H]⁺ Calcd for C₂₆H₂₄N₂O₅S 477.1479; Found 477.1487.

N-(3-Benzoyl-2-(dimethylamino)-7-methylbenzofuran-5-yl)-4-methylbenzene sulfonamide (5p). Eluent: $V_{PE}/V_{EA} = 3:1$; yellow solid (45.7 mg, 51% yield); mp 103-104 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.80 (s, 1H), 7.63 (t, *J* = 9.2 Hz, 3H), 7.48 (dd, *J* = 17.6, 7.8 Hz, 4H), 7.28 (d, *J* = 8.0 Hz, 2H), 6.59 (d, *J* = 6.9 Hz, 2H), 2.94 (s, 6H), 2.32 (s, 3H), 2.28 (s, 3H); ¹³C NMR (100 MHz, DMSO-*d*₆) δ 188.4, 164.3, 144.3, 143.3, 141.0, 137.2, 133.9, 132.3, 129.9, 129.8, 129.0, 128.8, 127.1, 119.7, 116.4, 109.3, 94.3, 79.6, 40.6, 21.4, 14.9; HRMS (ESI-TOF) m/z: [M + Na]⁺ Calcd for C₂₅H₂₄N₂NaO₄S 471.1349; Found 471.1349.



N-(3-Benzoyl-2-(dimethylamino)benzofuran-5-yl)methanesulfonamide (5q). Eluent: $V_{PE}/V_{EA} = 3:1$; yellow solid (66.7 mg, 70% yield); mp 137-138 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.79 (d, J = 6.4 Hz, 2H), 7.56 (t, J = 7.5 Hz, 1H), 7.47 (t, J = 7.5 Hz, 2H), 7.17 (d, J = 8.6 Hz, 1H), 6.98 (dd, J = 8.5, 2.2 Hz, 1H), 6.72 (d, J = 2.2 Hz, 1H), 6.58 (s, 1H), 3.10 (s, 6H), 2.83 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 189.4, 164.8, 146.9, 140.7, 132.3, 132.1, 130.9, 128.9, 128.6, 116.5, 113.3, 110.1, 94.5, 40.7, 38.9; HRMS (ESI-TOF) m/z: [M + Na]⁺ Calcd for C₁₈H₁₈N₂NaO₄S 381.0879; Found 381.0880.





Eluent: $V_{PE}/V_{EA}=6:1$; yellow liquid (27.79 mg, 21% yield); ¹H NMR (400 MHz, CDCl₃) δ 7.54 (d, J = 8.0 Hz, 2H), 7.42 (d, J = 7.7 Hz, 4H), 7.31 (t, J = 7.5 Hz, 4H), 7.24 (d, J = 4.9 Hz, 2H), 7.16 (d, J = 8.0 Hz, 2H), 6.95 (s, 1H), 6.75 (s, 1H), 6.72 (s, 1H), 6.53 (s, 1H), 3.83 (s, 2H), 2.36 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 157.3, 145.0, 143.6, 129.5, 129.1, 128.3, 127.5, 127.5, 127.4, 125.9, 124.7, 121.7, 109.6, 93.2, 44.1, 21.5.



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N-(4-Hydroxyphenyl)-4-methylbenzenesulfonamide (7).⁴ Eluent: V_{PE}/V_{EA}=5:1; white solid (15.87 mg, 30 % yield); mp 151-152°C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.67 (s, 1H), 9.31 (s, 1H), 7.55 (d, *J* = 7.9 Hz, 2H), 7.30 (d, *J* = 7.9 Hz, 2H), 6.85 (d, *J* = 8.3 Hz, 2H), 6.61 (d, *J* = 8.3 Hz, 2H), 2.32 (s, 3H); ¹³C NMR (100 MHz, DMSO-*d*₆) δ 155.2, 143.3, 137.2, 129.9, 129.1, 127.2, 124.4, 116.0, 21.4.



N-(3-Benzoylbenzofuran-5-yl)-4-methylbenzenesulfonamide (8). Eluent: V_{PE}/V_{EA} =4:1; white solid (41.45 mg, 53% yield); mp 190-191°C; ¹H NMR (400 MHz, CDCl₃) δ 8.05 (s, 1H), 7.90 – 7.83 (m, 3H), 7.61 (dd, *J* = 23.7, 9.7 Hz, 4H), 7.51 (d, *J* = 7.9 Hz, 2H), 7.43 (s, 1H), 7.40 (d, *J* = 2.2 Hz, 1H), 7.14 (d, *J* = 8.1 Hz, 2H), 2.31 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 190.3, 153.3, 143.7, 138.9, 136.1, 133.8, 132.7, 129.6, 128.9, 128.7, 127.3, 125.8, 121.2, 121.1, 116.3, 112.1, 21.5. HRMS (ESI-TOF) m/z: [M + H]+ Calcd for C₂₂H₁₈NO4S 392.0951; Found 392.0951.

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The ¹H and ¹³C NMR spectra



¹H NMR spectrum of **3a** (CDCl₃, 400 MHz)



¹³C NMR spectrum of **3a** (CDCl₃, 100 MHz)



¹³C NMR spectrum of **3b** (CDCl₃, 100 MHz)



¹³C NMR spectrum of **3c** (CDCl₃, 100 MHz)



¹³C NMR spectrum of **3d** (CDCl₃, 100 MHz)





¹H NMR spectrum of **3e** (CDCl₃, 400 MHz)



¹H NMR spectrum of **3f** (CDCl₃, 400 MHz)





¹H NMR spectrum of **3h** (CDCl₃, 400 MHz)



¹H NMR spectrum of **3i** (CDCl₃, 400 MHz)





¹⁹F NMR spectrum of **3i** (CDCl₃, 376 MHz)



¹³C NMR spectrum of **3j** (CDCl₃, 100 MHz)



¹³C NMR spectrum of **3k** (CDCl₃, 100 MHz)



¹³C NMR spectrum of **31** (DMSO-*d*₆, 100 MHz)



¹³C NMR spectrum of **3d** (DMSO-*d*₆, 100 MHz)





¹³C NMR spectrum of **3n** (CDCl₃, 100 MHz)



¹³C NMR spectrum of **30** (CDCl₃, 100 MHz)



¹³C NMR spectrum of **3p** (CDCl₃, 100 MHz)



¹³C NMR spectrum of **3q** (CDCl₃, 100 MHz)











¹³C NMR spectrum of **3r** (CDCl₃, 100 MHz)



¹H NMR spectrum of **3s** (DMSO-*d*₆, 400 MHz)



¹³C NMR spectrum of **3s** (DMSO-*d*₆, 100 MHz)



¹H NMR spectrum of **3t** (CDCl₃, 400 MHz)



¹³C NMR spectrum of **3t** (CDCl₃, 100 MHz)



¹H NMR spectrum of **3u** (DMSO-*d*₆, 400 MHz)



¹³C NMR spectrum of **3u** (DMSO-*d*₆, 100 MHz)



¹³C NMR spectrum of **5a** (CDCl₃, 100 MHz)



¹³C NMR spectrum of **5b** (DMSO-*d*₆, 100 MHz)

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¹H NMR spectrum of **5c** (DMSO-*d*₆, 400 MHz)



¹³C NMR spectrum of **5c** (DMSO-*d*₆, 100 MHz)



¹H NMR spectrum of **5d** (CDCl₃, 400 MHz)



¹³C NMR spectrum of **5d** (CDCl₃, 100 MHz)





¹H NMR spectrum of **5e** (CDCl₃, 400 MHz)



¹H NMR spectrum of **5f** (CDCl₃, 400 MHz)



¹H NMR spectrum of **5g** (CDCl₃, 400 MHz)



¹H NMR spectrum of **5h** (CDCl₃, 400 MHz)



¹⁹F NMR spectrum of **5h** (CDCl₃, 376 MHz)



¹³C NMR spectrum of **5i** (CDCl₃, 100 MHz)



¹³C NMR spectrum of **5j** (CDCl₃, 100 MHz)



¹³C NMR spectrum of **3d** (CDCl₃, 100 MHz)



¹³C NMR spectrum of **5l** (CDCl₃, 100 MHz)



¹³C NMR spectrum of **5m** (CDCl₃, 100 MHz)



¹³C NMR spectrum of **5n** (CDCl₃, 100 MHz)



¹H NMR spectrum of **50** (CDCl₃, 400 MHz)



¹³C NMR spectrum of **50** (CDCl₃, 100 MHz)



¹H NMR spectrum of **5p** (DMSO-*d*₆, 400 MHz)



¹³C NMR spectrum of **5p** (DMSO-*d*₆, 100 MHz)



¹H NMR spectrum of **5q** (CDCl₃, 400 MHz)



S61



¹H NMR spectrum of **6** (CDCl₃, 400 MHz)



¹³C NMR spectrum of **6** (CDCl₃, 100 MHz)



¹H NMR spectrum of 7 (DMSO-*d*₆, 400 MHz)





¹H NMR spectrum of 8 (CDCl₃, 400 MHz)

