

Supplementary Information

Photo-induced cyclization of olefinic amides towards sulfonamidylated iminoisobenzofurans and benzoxazines

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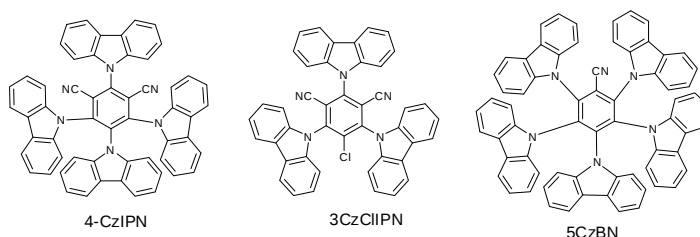
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1. General Considerations

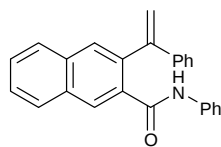
General Information: Unless otherwise noted, all chemicals were purchased and used without further purification. ^1H NMR and ^{13}C NMR spectra were recorded at ambient temperature on a 400 or 500 MHz NMR spectrometer (101 or 125 MHz for ^{13}C). ^{19}F NMR spectra were recorded at ambient temperature on a 300 MHz NMR spectrometer. NMR experiments are reported in δ units, parts per million (ppm). The coupling constants J are given in Hz. Column chromatography was performed using EM Silica gel 60 (300-400 mesh). HRMS were recorded on a TOF LC/MS equipped with electrospray ionization (ESI) probe operating in positive ion mode.

2. General Synthetic Procedures

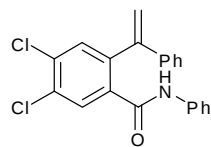
All *N*-aryl-2-(1-phenylvinyl)benzamide **1**,¹ *N*-sulfonylaminopyridinium salts **2**² and *N*-(2-(prop-1-en-2-yl)phenyl)arylamide **4**³ were prepared according to previous reports. Photocatalysts (Rhodamine B, Eosin Y, $\text{Ru}(\text{bpy})_3\text{Cl}_2$ and $\text{Ir}(\text{PPy})_3$) are commercial available. 4CzIPN, 3CzCIIPN and 5CzBN were synthesized according to the reported methods.⁴



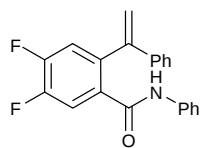
N-aryl-2-(1-phenylvinyl)benzamide **1p**, **1q**, and **1r** are unknown compounds. The data of NMR and HRMS of these unknown compounds were listed as following:



***N*-phenyl-3-(1-phenylvinyl)-2-naphthamide (1p).** white solid; ^1H NMR (400 MHz, CDCl_3) δ 8.29 (s, 1H), 7.93-7.88 (m, 3H), 7.72 (s, 1H), 7.62-7.54 (m, 2H), 7.30-7.13 (m, 9H), 7.08-7.05 (m, 1H), 5.86 (s, 1H), 5.58 (s, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 166.5, 149.7, 139.8, 137.7, 136.6, 134.1, 133.7, 132.5, 130.3, 129.7, 128.8, 128.6, 128.5, 128.4, 127.9, 127.8, 127.1, 126.9, 124.4, 119.9, 115.9; HRMS (ESI) m/z calcd for $\text{C}_{25}\text{H}_{20}\text{NO}$ [$\text{M}+\text{H}^+$]: 350.1539, found 350.1539.



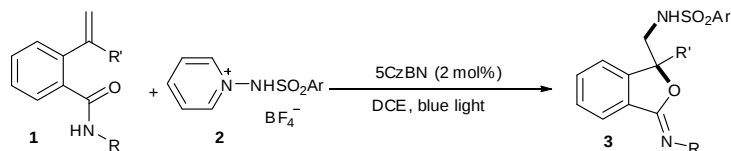
4,5-dichloro-*N*-phenyl-2-(1-phenylvinyl)benzamide (1q). white solid; ^1H NMR (400 MHz, CDCl_3) δ 7.77 (s, 1H), 7.57 (s, 1H), 7.42 (s, 1H), 7.17-7.11 (m, 7H), 7.04-6.96 (m, 3H), 5.77 (s, 1H), 5.37 (s, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 164.3, 147.2, 139.2, 138.7, 137.1, 135.3, 134.9, 132.7, 132.6, 131.1, 128.9, 128.8, 128.7, 126.7, 124.8, 120.1, 117.1; HRMS (ESI) m/z calcd for $\text{C}_{21}\text{H}_{16}\text{Cl}_2\text{NO}$ [$\text{M}+\text{H}^+$]: 368.0603, found 368.0599.



4,5-difluoro-*N*-phenyl-2-(1-phenylvinyl)benzamide (1r). white solid; ^1H NMR (400 MHz, CDCl_3) δ 7.68-7.60 (m, 2H), 7.34-7.19 (m, 8H), 7.12 (d, $J = 7.7$ Hz, 2H), 7.12 (t, $J = 7.2$ Hz, 1H), 5.86 (s, 1H), 5.44 (s, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 164.3, 151.3 (dd, $J_{\text{C-F}} =$

255.7, 12.8 Hz), 149.9 (dd, J_{C-F} = 252.6, 12.5 Hz), 147.4, 138.8, 137.2, 136.7 (dd, J_{C-F} = 6.4, 4.2 Hz), 132.3 (dd, J_{C-F} = 8.8, 3.7 Hz), 128.9, 128.8, 128.7, 126.6, 124.7, 120.0, 119.8, 118.8 (d, J_{C-F} = 18.7 Hz), 116.9; HRMS (ESI) m/z calcd for $C_{21}H_{16}F_2NO$ [$M+H^+$]: 336.1194, found 336.1190.

General procedure for photo-induced cyclization of olefinic amides with *N*-sulfonylaminopyridinium salt to construct iminoisobenzofurans:

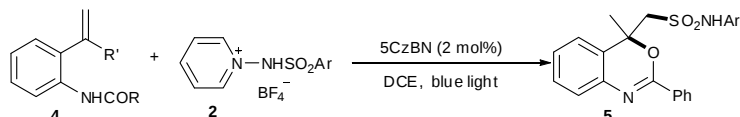


The mixture of olefinic amide **1** (0.2 mmol), **2** (0.24 mmol, 1.2 equiv.), 5CzBN (2 mol%, 0.004 mmol, 3.7 mg) and DCE (2 mL) was added to a Schlenk tube. The tube was evacuated and backfilled with nitrogen (repeated five times). The reaction mixture was irradiated with 20W 450-460nm blue LEDs at ambient temperature for 12 h. Then, the solvent was evaporated under reduced pressure, and the residue was purified by silica gel flash column chromatography (eluent: petroleum ether/ethyl acetate) to obtain the product **3**. The photoreactors is shown in Figure S1.

1 mmol scale preparation of 3aa

The mixture of olefinic amide **1a** (1.0 mmol, 299.1 mg), **2a** (1.2 mmol, 403.2 mg), 5CzBN (2 mol%, 0.02 mmol, 18.6 mg) and DCE (5 mL) was added to a Schlenk tube. The tube was evacuated and backfilled with nitrogen (repeated five times). The reaction mixture was irradiated with 20W 450-460nm blue LEDs at ambient temperature for 12 h. Then, the solvent was evaporated under reduced pressure, and the residue was purified by silica gel flash column chromatography (eluent: petroleum ether/ethyl acetate = 3/1) to obtain the product **3aa** (65%, 304.3 mg).

General procedure for photo-induced cyclization of olefinic amides with *N*-sulfonylaminopyridinium salt to construct benzoxazines:



The mixture of olefinic amide **4** (0.2 mmol), **2** (0.24 mmol, 1.2 equiv.), 5CzBN (2 mol%, 0.004 mmol, 3.7 mg) and DCE (2 mL) was added to a Schlenk tube. The tube was evacuated and backfilled with nitrogen (repeated five times). The reaction mixture was irradiated with 20W 450-460nm blue LEDs at ambient temperature for 12 h. Then, the solvent was evaporated under reduced pressure, and the residue was purified by silica gel flash column chromatography (eluent: petroleum ether/ethyl acetate) to obtain the product **5**. The photoreactors is shown in Figure S1.



Figure S1 Photoreactor used in this work (20 W blue LEDs, λ_{max} = 454 nm).

The Light Source and the Material of the Irradiation Vessel:

The photochemical reaction was carried out under visible light irradiation by a 20W 450-460 nm blue LED at room temperature. This blue LED was purchased from taobao (link: <https://m.tb.cn/h.UHPcb7J?sm=b633d5?tk=5p3fdOWuIMq>). The blue LED's energy peak wavelength is 454 nm, the peak width at half-height is 17.0 nm, and irradiance@20 W is 38.51 mW/cm². The reaction vessel is a borosilicate glass tube. The distance between the tube and lamp is about 1cm, and no filter is applied.

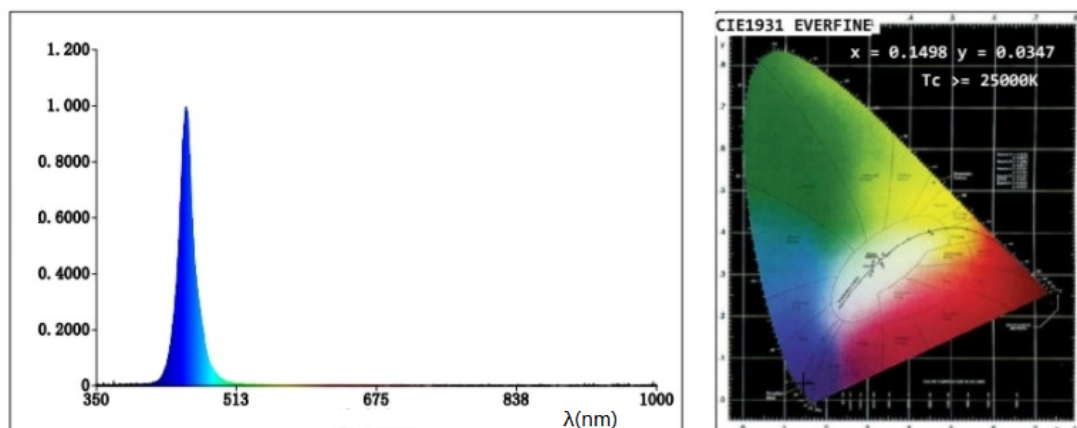
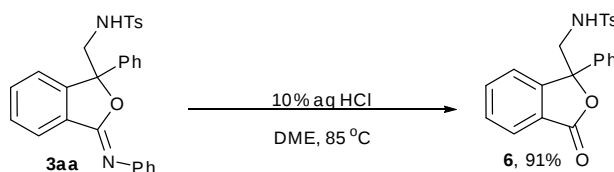


Figure S2. The spectral distribution of 20 W 450-460 nm blue LED

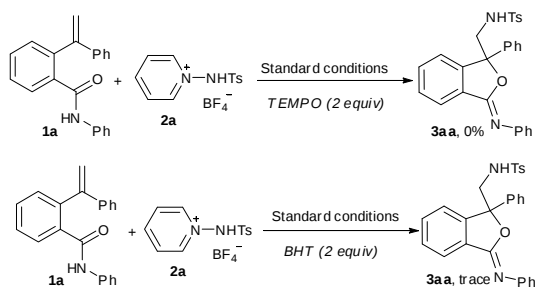
Procedure for the preparation of compound **8**:



To a solution of **3aa** (0.2 mmol) in 1,2-dimethoxyethane (1 mL) at 0 °C was added 10% aq. HCl (2 mL). The mixture was then heated at 100 °C for 30 min. Upon completion of the reaction, the resulting mixture was diluted with EtOAc and washed with aq. NH₄Cl and brine, dried over Na₂SO₄. The solvent was then removed under vacuo. The residue was purified by silica gel flash column chromatography (eluent: petroleum ether/ethyl acetate = 4/1) to give the corresponding product **8** in 91% yield.

3. Mechanism studies

3.1 Radical inhibition experiment for the reaction of **1a** with **2a**



The mixture of **1a** (0.1 mmol, 29.9 mg), **2a** (0.12 mmol, 40.3 mg), 5CzBN (2 mol%, 1.8 mg), TEMPO (0.2 mmol, 31.2 mg) or BHT (0.2 mmol, 44 mg) and DCE (1 mL) was added to a Schlenk tube. The tube was evacuated and backfilled with nitrogen (repeated five times). The reaction mixture was irradiated with 20W 450-460nm blue LEDs at ambient temperature for 12 h.

The trapped adduct of BHT and sulfonamidyl radical was detected by HRMS (Figure S3).

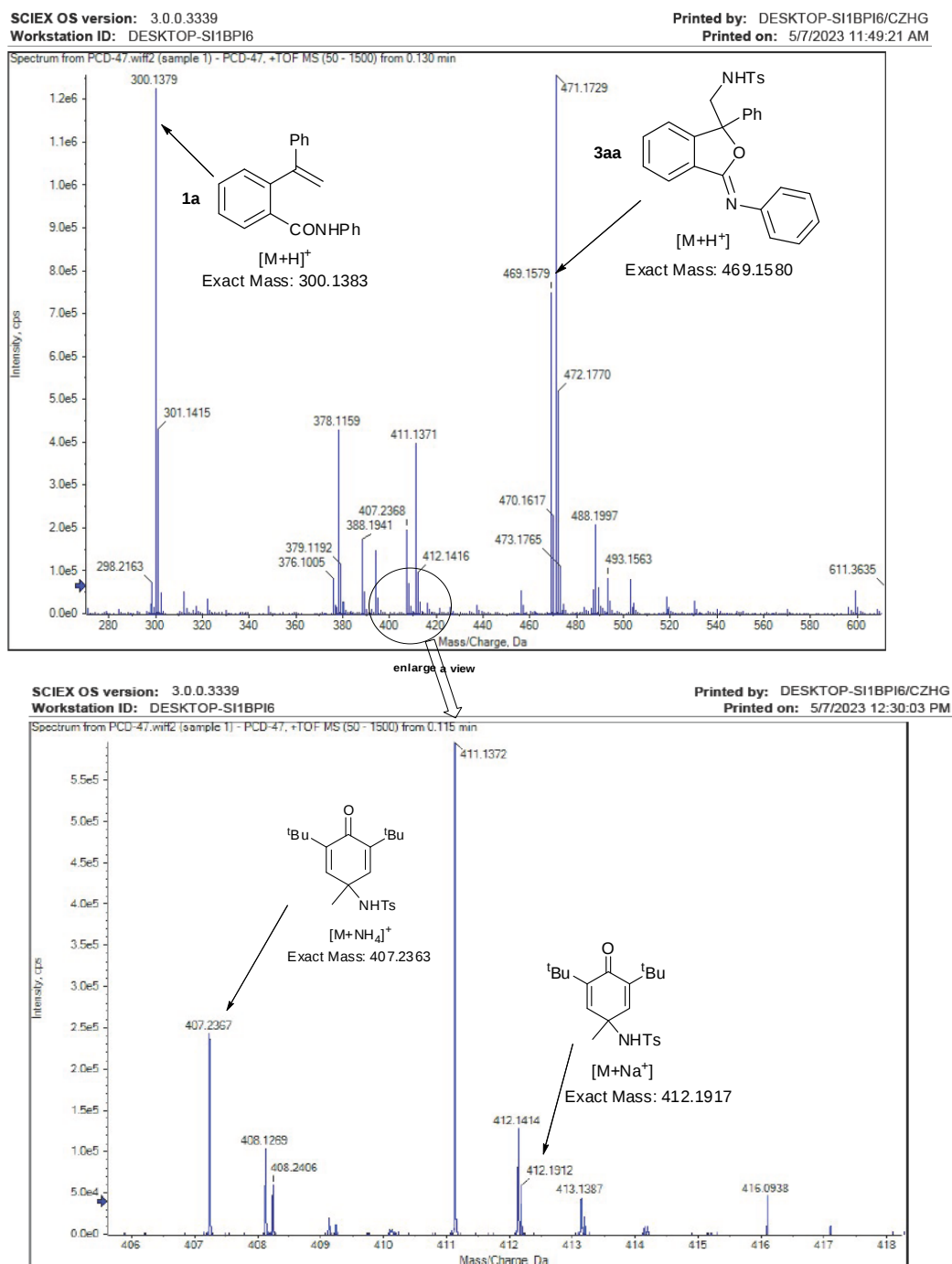
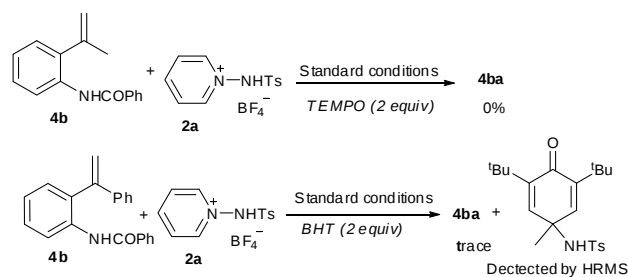


Figure S3. HRMS spectrum of the reaction mixture (**1a** and **2a**) in the presence of BHT.

3.2 Radical inhibition experiment for the reaction of **4b** with **2a**



The mixture of **4b** (0.1 mmol, 23.7 mg), **2a** (0.12 mmol, 40.3 mg), 5CzBN (2 mol%, 1.8 mg), TEMPO (0.2 mmol, 31.2 mg) or BHT (0.2 mmol, 44 mg) and DCE (1 mL) was added to a Schlenk tube. The tube was evacuated and backfilled with nitrogen (repeated five times). The reaction mixture was irradiated with 20W 450-460nm blue LEDs at ambient temperature for 12 h. The trapped adduct of BHT and sulfonamidyl radical was detected by HRMS (Figure S4).

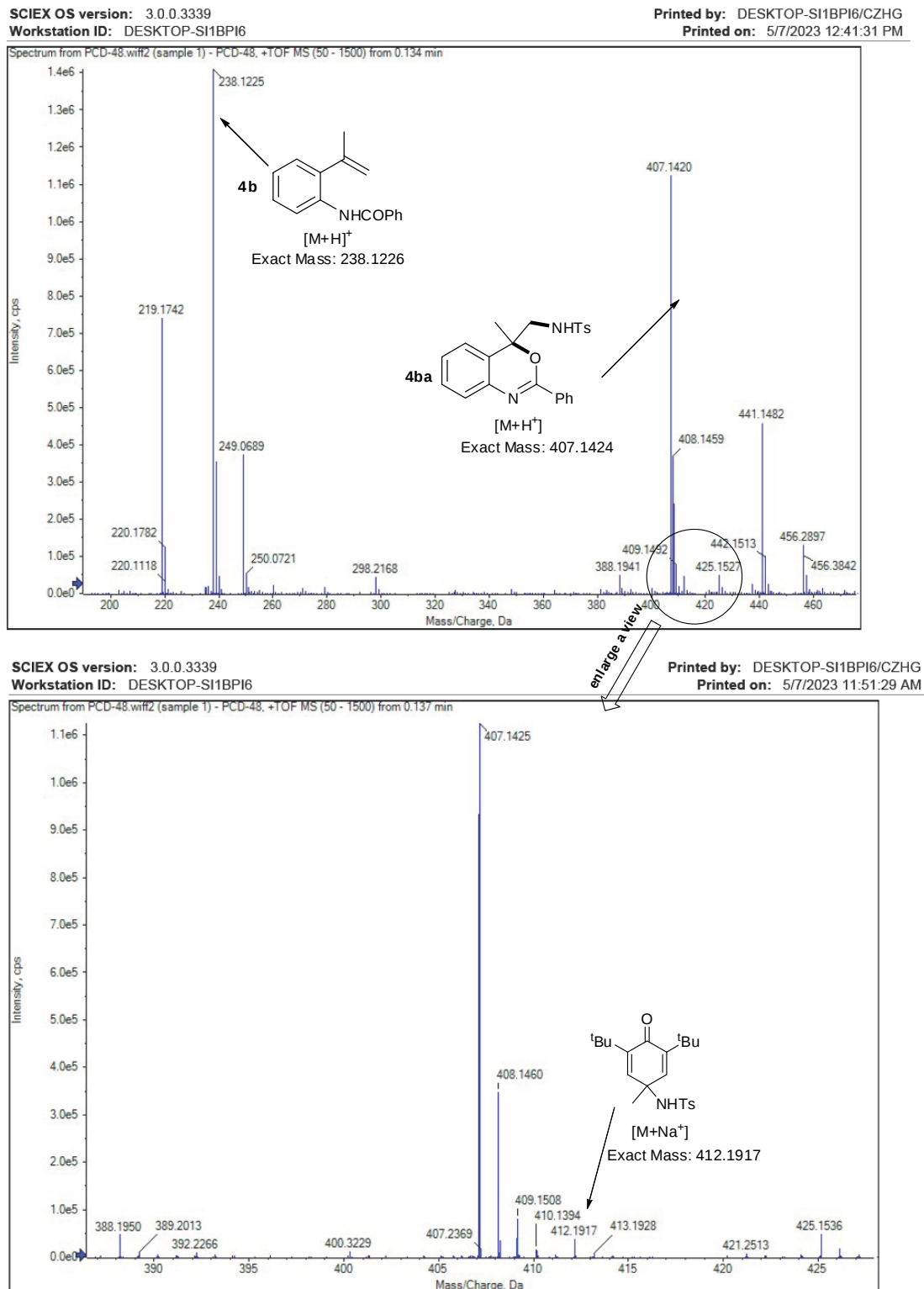


Figure S4. HRMS spectrum of the reaction mixture (**4b** and **2a**) in the presence of BHT.

3.3 Stern–Volmer luminescence-quenching experiments

Fluorescence quenching experiments were measured on an Agilent Cary Eclipse Spectrophotometer. The excitation wavelength of 5CzBN was fixed at 230 nm with emission spectrum $\lambda_{\text{max}} = 510$ nm. The emission spectrum of a 3×10^{-5} M solution of 5CzBN in DCE was collected.

N-sulfonylaminopyridinium salt **2a**: A stock solution of **2a** (0.01 M) was prepared. The emission intensity of 5CzBN (3×10^{-5} M in DCE) was collected with the gradient concentration of **2a** and the results were presented.

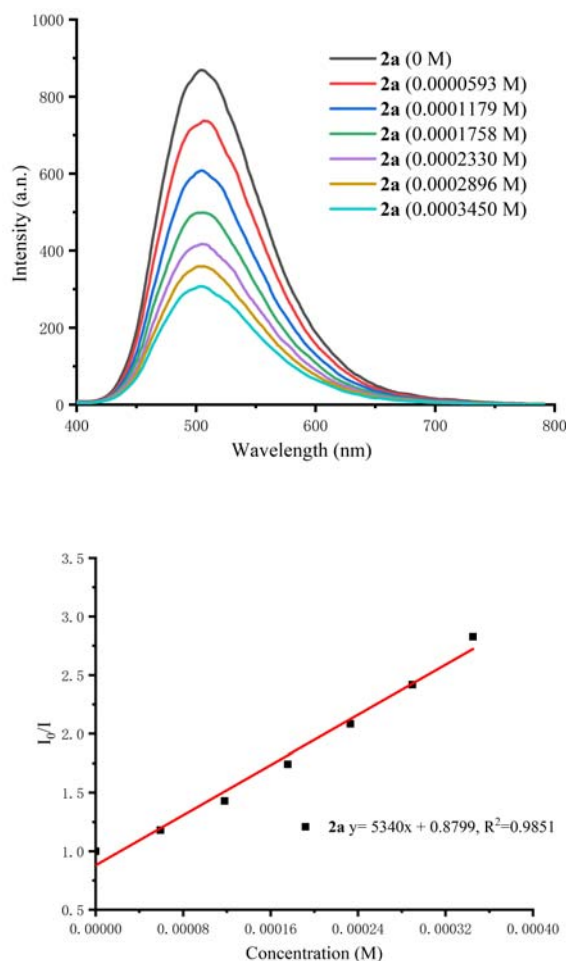


Figure S5. Luminescence quenching of 5CzBN by **2a** and the Stern-Volmer plots.

3.4 The proposed mechanism for forming benzoxazines **5**

The single electron transfer (SET) between the excited photocatalyst 5CzBN* and *N*-tosylaminopyridinium salt **2a** produces the sulfonamidyl radical **A**. Then, the addition of radical **A** to the double bond in **4b** forms the radical intermediate **E**, which delivers benzylic carbocation **F** via single-electron oxidation by 5CzBN⁺⁺ ($E_{1/2}(\text{5CzBN}^+/\text{5CzBN}) = 1.41$ V). Finally, the carbocation **F** undergoes intramolecular nucleophilic attack to produce intermediate **G**, which is followed by deprotonation to give the product **4ba** (Figure S6).

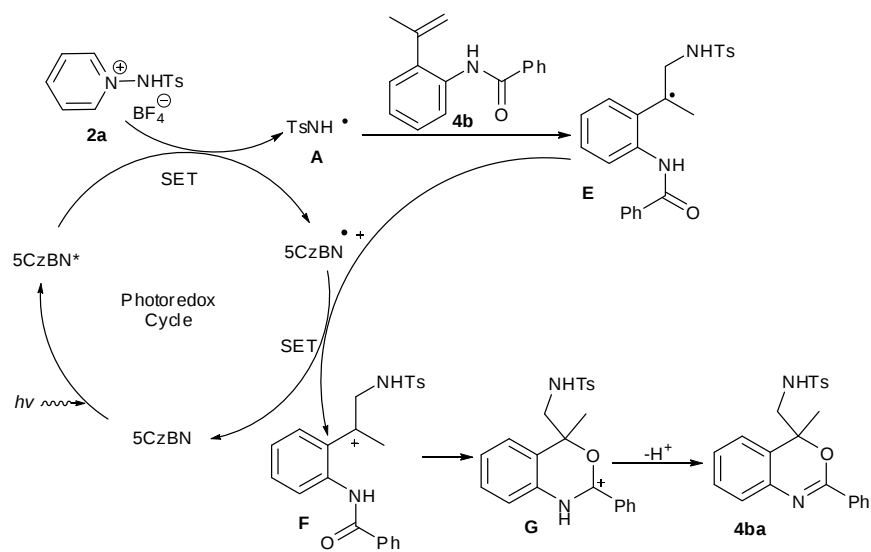
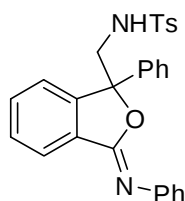
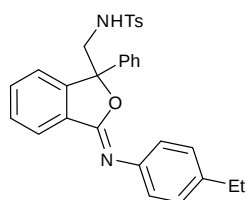


Figure S6. Proposed mechanism for forming benzoxazines 5.

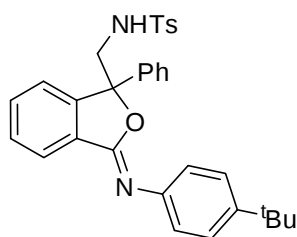
4. Characterization Data for the Products



4-methyl-N-((1-phenyl-3-(phenylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)benzenesulfonamide (3aa, 72.1 mg, 77%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.77 (d, J = 7.5 Hz, 1H), 7.55-7.42 (m, 7H), 7.36-7.29 (m, 7H), 7.17-7.13 (m, 3H), 4.86-4.83 (m, 1H), 3.85-3.80 (m, 1H), 3.71-3.66 (m, 1H), 2.37 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 156.3, 145.9, 143.5, 138.4, 136.5, 132.3, 130.8, 129.7, 129.6, 128.9, 128.8, 128.7, 126.9, 125.2, 124.5, 124.4, 123.7, 122.1, 90.9, 50.4, 21.5; HRMS (ESI) m/z calcd for $\text{C}_{28}\text{H}_{25}\text{N}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 469.1580, found 469.1565.

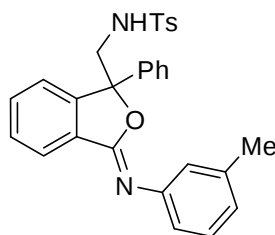


N-((3-(4-ethylphenylimino)-1-phenyl-1,3-dihydroisobenzofuran-1-yl)methyl)-4-methylbenzenesulfonamide (3ba, 75.4 mg, 76%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.90 (d, J = 7.8 Hz, 1H), 7.54 (d, J = 8.2 Hz, 2H), 7.49-7.40 (m, 5H), 7.37-7.30 (m, 5H), 7.19 (d, J = 8.4 Hz, 2H), 7.14 (d, J = 8.1 Hz, 2H), 4.81 (s, 1H), 3.86-3.81 (m, 1H), 3.70-3.65 (m, 1H), 2.67 (q, J = 7.6 Hz, 2H), 2.37 (s, 3H), 1.27 (t, J = 7.6 Hz, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 155.9, 145.8, 143.5, 143.3, 140.5, 138.5, 136.5, 132.1, 130.9, 129.7, 129.5, 128.9, 128.6, 128.1, 126.9, 125.2, 124.3, 123.9, 122.1, 90.8, 50.4, 28.4, 21.5, 15.6; HRMS (ESI) m/z calcd for $\text{C}_{30}\text{H}_{29}\text{N}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 497.1893, found 497.1887.

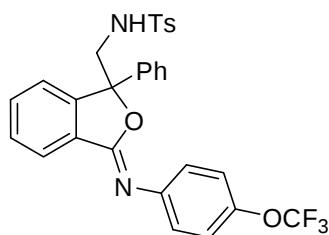


N-((3-(4-tert-butylphenylimino)-1-phenyl-1,3-dihydroisobenzofuran-1-yl)methyl)-4-methylbenzenesulfonamide (3ca, 68.1 mg, 65%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.93-7.90 (m, 1H), 7.55 (d, J = 8.3 Hz, 2H), 7.49-7.30 (m, 12H), 7.14 (d, J = 8.1 Hz, 2H), 3.87 (d, J = 13.4 Hz, 1H), 3.68 (d, J = 13.4 Hz, 1H), 1.36 (s, 9H); ^{13}C NMR (101 MHz, CDCl_3) δ 155.8, 147.4, 145.8, 143.5, 142.9, 138.5, 136.5, 132.1, 130.9, 129.7, 129.5, 128.9, 128.6, 126.9, 125.6, 125.2, 124.3, 123.8, 122.0, 90.8, 50.4,

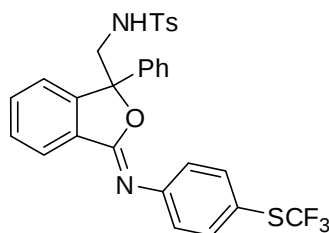
34.4, 31.5, 21.5; HRMS (ESI) m/z calcd for $C_{32}H_{33}N_2O_3S$ $[M+H]^+$: 525.2206, found 525.2203.



4-methyl-N-((1-phenyl-3-(m-tolylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)benzenesulfonamide (3da, 70.4 mg, 73%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; 1H NMR (400 MHz, $CDCl_3$) δ 7.89 (d, $J = 7.0$ Hz, 1H), 7.54-7.42 (m, 7H), 7.35-7.21 (m, 5H), 7.14 (d, $J = 7.3$ Hz, 3H), 6.96 (d, $J = 6.8$ Hz, 1H), 4.77 (s, 1H), 3.82-3.78 (m, 1H), 3.70-3.66 (m, 1H), 2.36 (s, 6H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 156.2, 145.9, 145.8, 143.5, 138.5, 138.4, 136.5, 132.2, 130.8, 129.7, 129.6, 128.9, 128.6, 128.5, 126.9, 125.2, 125.1, 124.5, 124.3, 122.1, 120.4, 90.8, 50.4, 21.5, 21.4; HRMS (ESI) m/z calcd for $C_{29}H_{27}N_2O_3S$ $[M+H]^+$: 483.1737, found 483.1729.

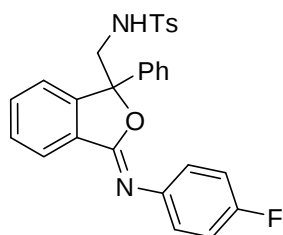


4-methyl-N-((1-phenyl-3-(4-(trifluoromethoxy)phenylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)benzenesulfonamide (3ea, 83.9 mg, 76%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 2/1) gave colorless oil; 1H NMR (400 MHz, $CDCl_3$) δ 7.89 (d, $J = 7.3$ Hz, 1H), 7.55-7.47 (m, 4H), 7.44-7.39 (m, 3H), 7.36-7.31 (m, 5H), 7.19-7.13 (m, 4H), 4.81-4.76 (m, 1H), 3.87-3.82 (m, 1H), 3.74-3.69 (m, 1H), 2.37 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 156.9, 145.8, 145.7, 144.5, 143.6, 138.1, 136.5, 132.5, 130.7, 129.7, 128.9, 128.8, 126.9, 125.1, 125.0, 124.4, 124.3, 122.2, 121.3, 120.6 (q, $J_{C-F} = 257.7$ Hz), 91.3, 50.3, 21.5; ^{19}F NMR (282 MHz, $CDCl_3$) δ -57.8; HRMS (ESI) m/z calcd for $C_{29}H_{24}F_3N_2O_4S$ $[M+H]^+$: 553.1403, found 553.1395.

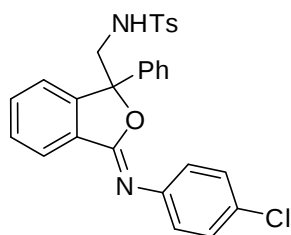


4-methyl-N-((1-phenyl-3-(4-(trifluoromethylthio)phenylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)benzenesulfonamide (3fa, 85.2 mg, 75%). Flash column

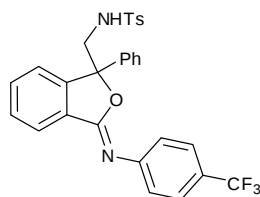
chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.87 (d, $J = 7.9$ Hz, 1H), 7.59 (d, $J = 8.0$ Hz, 2H), 7.54-7.45 (m, 5H), 7.41-7.30 (m, 7H), 7.14 (d, $J = 7.8$ Hz, 2H), 5.02 (s, 1H), 3.84-3.79 (m, 1H), 3.74-3.69 (m, 1H), 2.37 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 157.4, 148.7, 145.9, 143.6, 138.0, 136.5, 132.7, 131.2, 130.5, 129.7, 128.9, 128.8, 128.1, 126.9, 126.8, 125.1, 124.7, 124.5, 122.3, 118.8, 91.5, 50.3, 21.5; ^{19}F NMR (282 MHz, CDCl_3) δ -43.1; HRMS (ESI) m/z calcd for $\text{C}_{29}\text{H}_{24}\text{F}_3\text{N}_2\text{O}_3\text{S}_2$ [$\text{M}+\text{H}^+$]: 569.1175, found 569.1170.



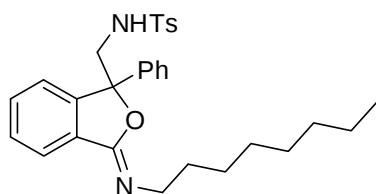
***N*-((3-(4-fluorophenylimino)-1-phenyl-1,3-dihydroisobenzofuran-1-yl)methyl)-4-methylbenzenesulfonamide (3ga, 79.7 mg, 82%).** Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.85 (d, $J = 7.4$ Hz, 1H), 7.52-7.28 (m, 12H), 7.12 (d, $J = 7.8$ Hz, 2H), 6.99 (t, $J = 8.2$ Hz, 2H), 5.01-4.98 (m, 1H), 3.84-3.79 (m, 1H), 3.71-3.66 (m, 1H), 2.35 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 159.8 (d, $J_{\text{C-F}} = 244.5$ Hz), 156.2 (d, $J_{\text{C-F}} = 1.6$ Hz), 145.6, 143.5, 141.7 (d, $J_{\text{C-F}} = 2.9$ Hz), 138.3, 136.5, 132.3, 130.8, 129.7, 128.9, 128.7, 126.9, 125.5 (d, $J_{\text{C-F}} = 7.9$ Hz), 125.1, 124.2, 122.1, 115.4, 115.2, 91.1, 50.3, 21.5; ^{19}F NMR (282 MHz, CDCl_3) δ -118.4; HRMS (ESI) m/z calcd for $\text{C}_{28}\text{H}_{24}\text{FN}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 487.1486, found 487.1480.



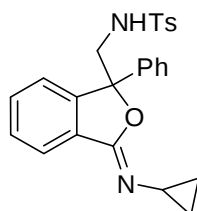
***N*-((3-(4-chlorophenylimino)-1-phenyl-1,3-dihydroisobenzofuran-1-yl)methyl)-4-methylbenzenesulfonamide (3ha, 73.3 mg, 73%).** Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 7.87 (d, $J = 7.4$ Hz, 1H), 7.53-7.25 (m, 14H), 7.13 (d, $J = 8.1$ Hz, 2H), 4.81-4.77 (m, 1H), 3.83-3.78 (m, 1H), 3.72-3.67 (m, 1H), 2.37 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 156.8, 145.7, 144.4, 143.6, 138.2, 136.5, 132.5, 130.7, 129.7, 129.6, 129.5, 128.9, 128.8, 126.9, 125.2, 125.1, 124.3, 122.2, 91.2, 50.4, 21.5; HRMS (ESI) m/z calcd for $\text{C}_{28}\text{H}_{24}\text{ClN}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 503.1191, found 503.1184.



4-methyl-N-((1-phenyl-3-(4-(trifluoromethyl)phenylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)benzenesulfonamide (3ia, 75.1 mg, 70%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.90 (d, $J = 7.4$ Hz, 1H), 7.59-7.45 (m, 7H), 7.40-7.31 (m, 7H), 7.14 (d, $J = 8.0$ Hz, 2H), 4.79-4.76 (m, 1H), 3.83-3.78 (m, 1H), 3.74-3.69 (m, 1H), 2.37 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 157.7, 149.4, 145.9, 143.7, 138.0, 136.5, 132.8, 130.4, 129.8, 129.7, 129.0, 128.9, 127.2 (q, $J_{\text{C-F}} = 272.6$ Hz), 126.9, 125.9 (q, $J_{\text{C-F}} = 3.7$ Hz), 125.2, 124.5, 123.6, 122.4, 91.5, 50.4, 21.5; ^{19}F NMR (282 MHz, CDCl_3) δ -61.8; HRMS (ESI) m/z calcd for $\text{C}_{29}\text{H}_{24}\text{F}_3\text{N}_2\text{O}_3\text{S}$ $[\text{M}+\text{H}^+]$: 537.1454, found 537.1452.

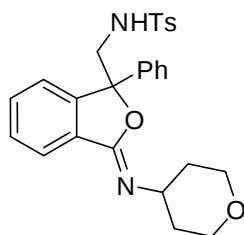


4-methyl-N-((3-(octylimino)-1-phenyl-1,3-dihydroisobenzofuran-1-yl)methyl)benzenesulfonamide (3ja, 38.3 mg, 38%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 2/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.77-7.75 (m, 1H), 7.57 (d, $J = 8.3$ Hz, 2H), 7.42-7.38 (m, 4H), 7.35-7.28 (m, 4H), 7.21 (d, $J = 8.1$ Hz, 2H), 4.65 (s, 1H), 3.85 (d, $J = 13.3$ Hz, 1H), 3.64 (d, $J = 13.3$ Hz, 1H), 3.59-3.52 (m, 1H), 3.50-3.43 (m, 1H), 2.41 (s, 3H), 1.71-1.63 (m, 2H), 1.40-1.25 (m, 10H), 0.88 (t, $J = 7.0$ Hz, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 157.3, 145.9, 143.4, 139.1, 136.8, 131.6, 130.3, 129.7, 129.3, 128.8, 128.4, 126.9, 125.0, 123.6, 121.9, 89.5, 50.5, 47.7, 31.9, 30.9, 29.6, 29.4, 27.8, 22.7, 21.6, 14.2; HRMS (ESI) m/z calcd for $\text{C}_{30}\text{H}_{37}\text{N}_2\text{O}_3\text{S}$ $[\text{M}+\text{H}^+]$: 505.2519, found 505.2518.

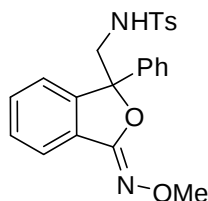


N-((3-(cyclopropylimino)-1-phenyl-1,3-dihydroisobenzofuran-1-yl)methyl)-4-methylbenzenesulfonamide (3ka, 51.8 mg, 60%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.67 (d, $J = 7.0$ Hz, 1H), 7.58 (d, $J = 8.2$ Hz, 2H), 7.44 (d, $J = 7.2$ Hz, 2H), 7.38-7.29 (m, 6H), 7.21 (d, $J = 8.0$ Hz, 2H), 4.89-4.86 (m, 1H), 3.88-3.83 (m, 1H), 3.71-3.65 (m, 1H), 3.41-3.38 (m, 1H), 2.40 (s, 3H), 0.86-0.78 (m, 4H); ^{13}C NMR (101

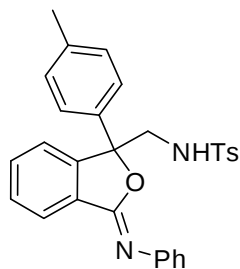
MHz, CDCl₃) δ 157.9, 145.5, 143.4, 139.1, 136.7, 131.3, 130.2, 129.7, 129.2, 128.8, 128.4, 126.9, 125.0, 123.2, 121.9, 89.4, 50.4, 29.9, 21.5, 8.1; HRMS (ESI) m/z calcd for C₂₅H₂₅N₂O₃S [M+H⁺]: 433.1580, found 433.1577.



4-methyl-N-((1-phenyl-3-(tetrahydro-2H-pyran-4-ylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)benzenesulfonamide (3la, 39.0 mg, 41%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 1/1) gave colorless oil; ¹H NMR (400 MHz, CDCl₃) δ 7.76 (d, J = 7.0 Hz, 1H), 7.55 (d, J = 8.2 Hz, 2H), 7.40-7.28 (m, 8H), 7.19 (d, J = 7.9 Hz, 2H), 4.98 (s, 1H), 4.05-3.98 (m, 3H), 3.86 (d, J = 13.2 Hz, 1H), 3.59-3.49 (m, 3H), 2.39 (s, 3H), 1.82-1.69 (m, 4H); ¹³C NMR (101 MHz, CDCl₃) δ 156.6, 145.9, 143.5, 138.9, 136.6, 131.7, 130.3, 129.8, 129.3, 128.9, 128.5, 126.9, 125.1, 123.8, 121.9, 89.5, 66.7, 66.6, 52.6, 50.4, 33.8, 33.7, 21.6; HRMS (ESI) m/z calcd for C₂₇H₂₉N₂O₄S [M+H⁺]: 477.1843, found 477.1839.

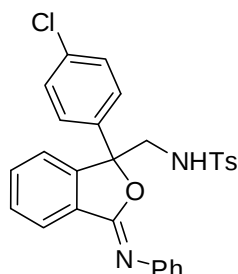


N-((3-(methoxyimino)-1-phenyl-1,3-dihydroisobenzofuran-1-yl)methyl)-4-methylbenzenesulfonamide (3ma, 70.1 mg, 83%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 1/1) gave white solid; ¹H NMR (400 MHz, CDCl₃) δ 7.63-7.61 (m, 1H), 7.56 (d, J = 8.2 Hz, 2H), 7.42-7.27 (m, 8H), 7.20 (d, J = 8.1 Hz, 2H), 4.92-4.89 (m, 1H), 3.96 (s, 3H), 3.81-3.78 (m, 2H), 2.39 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 154.4, 144.0, 143.5, 138.4, 136.7, 131.2, 129.7, 129.4, 128.9, 128.7, 128.4, 126.9, 125.1, 122.3, 121.8, 92.9, 62.9, 50.1, 21.6; HRMS (ESI) m/z calcd for C₂₃H₂₃N₂O₄S [M+H⁺]: 423.1373, found 423.1364.

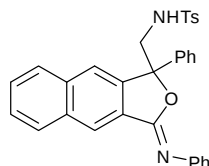


4-methyl-N-((3-(phenylimino)-1-p-tolyl-1,3-dihydroisobenzofuran-1-yl)methyl)benzenesulfonamide (3na, 78.1 mg, 81%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ¹H NMR (400 MHz, CDCl₃) δ

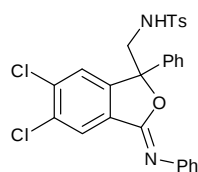
7.91 (d, $J = 7.1$ Hz, 1H), 7.55-7.29 (m, 11H), 7.14 (d, $J = 8.1$ Hz, 5H), 4.79-4.76 (m, 1H), 3.83-3.78 (m, 1H), 3.69-3.64 (m, 1H), 2.37 (s, 3H), 2.31 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 156.6, 146.1, 145.9, 143.5, 138.6, 136.5, 135.4, 132.3, 130.7, 129.7, 129.6, 129.5, 128.8, 126.9, 125.2, 124.4, 124.3, 123.8, 122.2, 91.0, 50.4, 21.6, 21.1; HRMS (ESI) m/z calcd for $\text{C}_{29}\text{H}_{27}\text{N}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 483.1737, found 483.1732.



N-((1-(4-chlorophenyl)-3-(phenylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)-4-methylbenzenesulfonamide (30a, 78.3 mg, 78%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 7.89 (d, $J = 7.4$ Hz, 1H), 7.52-7.44 (m, 4H), 7.39-7.25 (m, 9H), 7.15-7.12 (m, 3H), 4.94 (s, 1H), 3.82-3.77 (m, 1H), 3.63-3.58 (m, 1H), 2.37 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 156.0, 145.7, 145.6, 143.6, 136.9, 136.5, 134.7, 132.5, 130.6, 129.8, 129.7, 129.1, 128.8, 126.9, 126.7, 124.6, 124.5, 123.7, 122.0, 90.5, 50.4, 21.6; HRMS (ESI) m/z calcd for $\text{C}_{28}\text{H}_{24}\text{ClN}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 503.1191, found 503.1187.

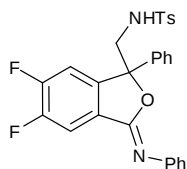


4-methyl-N-((1-phenyl-3-(phenylimino)-1,3-dihydronaphtho[2,3-c]furan-1-yl)methyl)benzenesulfonamide (3pa, 69.4 mg, 67%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 8.44 (s, 1H), 7.94 (d, $J = 7.9$ Hz, 1H), 7.80 (d, $J = 7.7$ Hz, 1H), 7.74 (s, 1H), 7.59-7.49 (m, 4H), 7.44-7.29 (m, 9H), 7.19-7.16 (m, 1H), 6.95 (d, $J = 8.0$ Hz, 2H), 4.82 (s, 1H), 3.98-3.93 (m, 1H), 3.87-3.82 (m, 1H), 2.26 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 156.2, 145.6, 143.4, 141.4, 138.8, 136.4, 135.3, 133.6, 129.5, 129.3, 129.0, 128.9, 128.7, 128.6, 128.5, 128.0, 127.1, 126.7, 125.2, 124.6, 123.9, 121.2, 90.9, 50.9, 23.5; HRMS (ESI) m/z calcd for $\text{C}_{32}\text{H}_{27}\text{N}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 519.1737, found 519.1733.

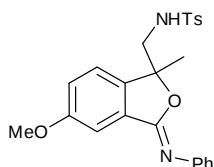


N-((5,6-dichloro-1-phenyl-3-(phenylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)-4-methylbenzenesulfonamide (3qa, 75 mg, 70%). Flash column chromatography on

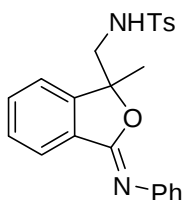
silica gel (petroleum ether/ethyl acetate 4/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.89 (s, 1H), 7.48 (d, $J = 8.2$ Hz, 2H), 7.38-7.34 (m, 10H), 7.15 (d, $J = 8.0$ Hz, 3H), 5.11 (s, 1H), 3.83-3.80 (m, 2H), 2.40 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 154.2, 145.1, 145.0, 143.8, 137.7, 136.6, 136.5, 134.5, 130.9, 129.7, 129.2, 129.1, 128.9, 126.7, 125.7, 125.1, 124.9, 124.2, 123.9, 90.9, 50.1, 21.7; HRMS (ESI) m/z calcd for $\text{C}_{28}\text{H}_{23}\text{Cl}_2\text{N}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 537.0801, found 537.0799.



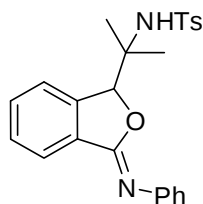
N-((5,6-difluoro-1-phenyl-3-(phenylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)-4-methylbenzenesulfonamide (**3ra**, 72.6 mg, 72%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 4/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.60 (t, $J = 7.5$ Hz, 1H), 7.51 (d, $J = 8.2$ Hz, 2H), 7.37-7.31 (m, 9H), 7.17-7.08 (m, 4H), 5.25-5.22 (m, 1H), 3.81-3.75 (m, 2H), 2.39 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 154.6 (d, $J_{\text{C-F}} = 3.3$ Hz), 153.3 (dd, $J_{\text{C-F}} = 257.6, 14.1$ Hz), 151.7 (dd, $J_{\text{C-F}} = 253.6, 16.8$ Hz), 145.2, 143.8, 142.0 (dd, $J_{\text{C-F}} = 6.9, 2.6$ Hz), 137.8, 136.6, 129.7, 129.2, 129.0, 128.9, 127.4 (dd, $J_{\text{C-F}} = 8.1, 2.4$ Hz), 126.8, 124.9, 124.8, 123.9, 112.6 (d, $J_{\text{C-F}} = 19.5$ Hz), 111.4 (d, $J_{\text{C-F}} = 20.4$ Hz), 90.9, 50.1, 21.5; ^{19}F NMR (282 MHz, CDCl_3) δ -127.9 (d, $J = 19.7$ Hz), -133.9 (d, $J = 19.7$ Hz); HRMS (ESI) m/z calcd for $\text{C}_{28}\text{H}_{23}\text{F}_2\text{N}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 505.1392, found 505.1388.



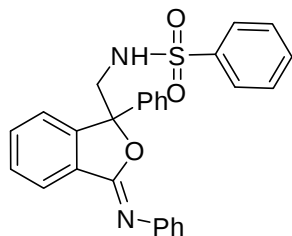
N-((5-methoxy-1-methyl-3-(phenylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)-4-methylbenzenesulfonamide (**3sa**, 54.9 mg, 63%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 2/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.55 (d, $J = 8.2$ Hz, 2H), 7.32 (d, $J = 2.2$ Hz, 1H), 7.28-7.25 (m, 2H), 7.18-7.13 (m, 5H), 7.10-7.03 (m, 2H), 5.20 (s, 1H), 3.84 (s, 3H), 3.40-3.35 (m, 1H), 3.29-3.24 (m, 1H), 2.36 (s, 3H), 1.60 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 160.9, 157.4, 145.9, 143.5, 139.5, 136.6, 132.3, 129.7, 128.6, 126.9, 124.2, 123.5, 121.7, 121.4, 106.3, 88.7, 55.9, 50.7, 24.1, 21.5; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{25}\text{N}_2\text{O}_4\text{S}$ [$\text{M}+\text{H}^+$]: 437.1530, found 437.1528.



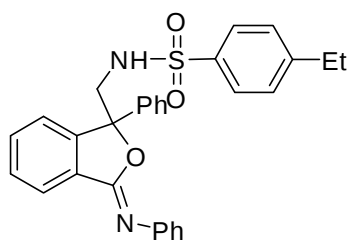
4-methyl-N-((1-methyl-3-(phenylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)benzenesulfonamide (3ta, 57.7 mg, 71%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.87 (d, $J = 7.0$ Hz, 1H), 7.57 (d, $J = 8.2$ Hz, 2H), 7.51-7.43 (m, 2H), 7.32-7.22 (m, 5H), 7.17 (d, $J = 8.1$ Hz, 2H), 7.09 (t, $J = 7.2$ Hz, 1H), 4.95-4.91 (m, 1H), 3.40-3.35 (m, 1H), 3.26-3.21 (m, 1H), 2.37 (s, 3H), 1.62 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 156.8, 147.1, 146.1, 143.4, 136.6, 132.3, 130.9, 129.8, 129.5, 128.7, 126.9, 124.2, 123.7, 120.9, 88.6, 50.7, 23.7, 21.5; HRMS (ESI) m/z calcd for $\text{C}_{23}\text{H}_{23}\text{N}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 407.1424, found 407.1418.



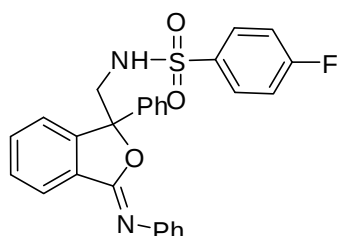
4-methyl-N-(2-(3-(phenylimino)-1,3-dihydroisobenzofuran-1-yl)propan-2-yl)benzenesulfonamide (3ua, 35.3 mg, 42%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.97-7.95 (m, 1H), 7.57 (d, $J = 8.2$ Hz, 2H), 7.65-7.63 (m, 1H), 7.58-7.50 (m, 2H), 7.34 (t, $J = 7.4$ Hz, 2H), 7.28-7.25 (m, 2H), 7.21 (d, $J = 8.2$ Hz, 2H), 7.12 (t, $J = 7.3$ Hz, 1H), 5.65 (s, 1H), 4.92 (s, 1H), 2.39 (s, 3H), 1.17 (s, 3H), 1.14 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 157.7, 146.1, 143.4, 142.4, 139.9, 131.9, 129.7, 129.5, 128.7, 126.9, 124.3, 124.1, 123.5, 123.4, 87.9, 59.2, 23.7, 22.6, 21.6; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{25}\text{N}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 421.1580, found 421.1580.



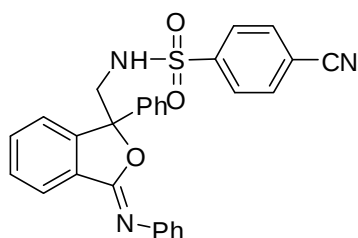
N-((1-phenyl-3-(phenylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)benzenesulfonamide (3ab, 62.7 mg, 69%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 7.89 (d, $J = 7.4$ Hz, 1H), 7.65 (d, $J = 7.7$ Hz, 2H), 7.51-7.41 (m, 6H), 7.36-7.29 (m, 9H), 7.16-7.12 (m, 1H), 5.23 (s, 1H), 3.84 (d, $J = 13.3$ Hz, 1H), 3.74 (d, $J = 12.8$ Hz, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 156.7, 145.9, 145.8, 139.6, 138.5, 132.7, 132.4, 130.8, 129.7, 129.2, 128.9, 128.8, 128.7, 126.9, 125.2, 124.5, 124.4, 123.8, 122.3, 91.2, 50.5; HRMS (ESI) m/z calcd for $\text{C}_{27}\text{H}_{23}\text{N}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 455.1424, found 455.1416.



4-ethyl-N-((1-phenyl-3-(phenylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)benzenesulfonamide (3ac, 70.4 mg, 73%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.93-7.91 (m, 1H), 7.56 (d, $J = 8.4$ Hz, 2H), 7.51-7.47 (m, 2H), 7.44-7.42 (m, 3H), 7.37-7.30 (m, 7H), 7.19-7.15 (m, 3H), 4.69-4.66 (m, 1H), 3.87-3.82 (m, 1H), 3.73-3.68 (m, 1H), 2.67 (q, $J = 7.6$ Hz, 2H), 1.24 (t, $J = 7.6$ Hz, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 156.6, 149.6, 145.95, 145.91, 138.5, 136.7, 132.4, 130.7, 129.6, 128.9, 128.8, 128.7, 128.6, 127.0, 125.2, 124.5, 124.4, 123.8, 122.3, 91.1, 50.5, 28.8, 15.2; HRMS (ESI) m/z calcd for $\text{C}_{29}\text{H}_{27}\text{N}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 483.1737, found 483.1741.

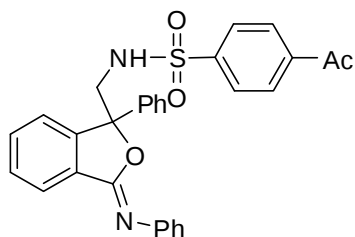


4-fluoro-N-((1-phenyl-3-(phenylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)benzenesulfonamide (3ad, 68 mg, 72%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.88 (d, $J = 7.4$ Hz, 1H), 7.62-7.59 (m, 2H), 7.52-7.30 (m, 12H), 7.16-7.13 (m, 1H), 6.95 (t, $J = 8.4$ Hz, 2H), 5.22-5.18 (m, 1H), 3.87-3.82 (m, 1H), 3.76-3.71 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 164.9 (d, $J_{\text{C-F}} = 256.0$ Hz), 156.3, 145.8, 145.7, 138.4, 135.6 (d, $J_{\text{C-F}} = 3.2$ Hz), 132.2 (d, $J_{\text{C-F}} = 2.9$ Hz), 130.8, 129.6, 129.5, 129.4, 128.9, 128.8, 128.7, 125.1, 124.5, 124.3 (d, $J_{\text{C-F}} = 5.2$ Hz), 123.7, 122.0 (d, $J_{\text{C-F}} = 2.9$ Hz), 90.9, 50.4; ^{19}F NMR (282 MHz, CDCl_3) δ -105.1; HRMS (ESI) m/z calcd for $\text{C}_{27}\text{H}_{22}\text{FN}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 473.1330, found 473.1333.

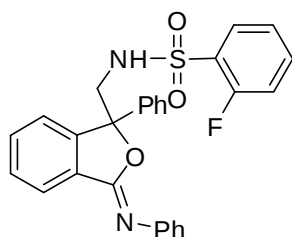


4-cyano-N-((1-phenyl-3-(phenylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)benzenesulfonamide (3ae, 65.2 mg, 68%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 2/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 7.84 (d, $J = 7.8$ Hz, 1H), 7.64 (d, $J = 8.4$ Hz, 2H), 7.51-7.41 (m, 7H), 7.37-7.32 (m, 7H), 7.17-7.13 (m, 1H), 5.54 (s, 1H), 3.92-3.79 (m, 2H); ^{13}C NMR (101 MHz, CDCl_3)

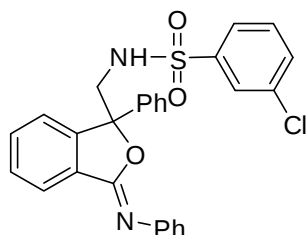
δ 156.2, 145.6, 145.5, 143.9, 138.2, 132.8, 132.4, 130.8, 129.9, 129.1, 128.9, 127.3, 125.0, 124.8, 124.5, 123.9, 122.1, 117.3, 116.1, 91.0, 50.6; HRMS (ESI) m/z calcd for $C_{28}H_{22}N_3O_3S$ $[M+H]^+$: 480.1376, found 480.1367.



4-acetyl-N-((1-phenyl-3-(phenylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)benzenesulfonamide (3af), 55.6 mg, 56%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 2/1) gave white solid; 1H NMR (400 MHz, $CDCl_3$) δ 7.86-7.80 (m, 3H), 7.68 (d, J = 8.4 Hz, 2H), 7.50-7.40 (m, 5H), 7.34-7.28 (m, 7H), 7.12-7.09 (m, 1H), 5.51-5.46 (m, 1H), 3.90-3.77 (m, 2H), 2.56 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 196.9, 156.5, 145.7, 145.6, 143.6, 139.8, 138.4, 132.4, 130.8, 129.7, 129.0, 128.9, 128.8, 128.7, 127.0, 125.1, 124.6, 124.4, 123.9, 122.2, 91.1, 50.5, 26.9; HRMS (ESI) m/z calcd for $C_{29}H_{25}N_2O_4S$ $[M+H]^+$: 497.1530, found 497.1521.

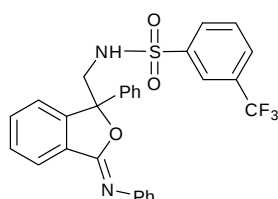


2-fluoro-N-((1-phenyl-3-(phenylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)benzenesulfonamide (3ag), 71.8 mg, 76%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; 1H NMR (400 MHz, $CDCl_3$) δ 7.88 (d, J = 7.4 Hz, 1H), 7.72-7.68 (m, 1H), 7.47-7.26 (m, 13H), 7.16-7.12 (m, 2H), 7.04-6.99 (m, 1H), 5.06-5.04 (m, 1H), 3.96-3.84 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 158.5 (d, J_{C-F} = 254.5 Hz), 156.3, 145.8 (d, J_{C-F} = 21.9 Hz), 138.4, 134.9 (d, J_{C-F} = 8.6 Hz), 132.3, 130.8, 129.8, 129.6, 129.0, 128.8, 128.7, 127.9 (d, J_{C-F} = 13.1 Hz), 125.1, 124.5, 124.4, 124.39, 124.34, 123.7, 122.2, 117.0 (d, J_{C-F} = 21.1 Hz), 90.9, 50.7; ^{19}F NMR (282 MHz, $CDCl_3$) δ -110.2; HRMS (ESI) m/z calcd for $C_{27}H_{22}FN_2O_3S$ $[M+H]^+$: 473.1330, found 473.1335.

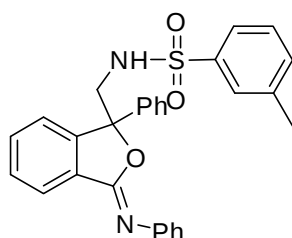


3-chloro-N-((1-phenyl-3-(phenylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)benzenesulfonamide (3ah), 76.1 mg, 78%). Flash column chromatography on silica gel

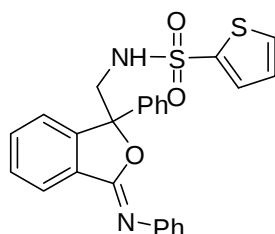
(petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.89 (d, $J = 7.4$ Hz, 1H), 7.59 (s, 1H), 7.52-7.39 (m, 7H), 7.38-7.30 (m, 7H), 7.27-7.22 (m, 1H), 7.16-7.13 (m, 1H), 4.96 (s, 1H), 3.88 (d, $J = 13.6$ Hz, 1H), 3.77 (d, $J = 13.6$ Hz, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 156.2, 145.8, 145.6, 141.5, 138.3, 135.2, 132.8, 132.3, 130.8, 130.3, 129.7, 128.9, 128.8, 126.8, 125.1, 124.5, 124.3, 123.7, 122.0, 90.9, 50.5; HRMS (ESI) m/z calcd for $\text{C}_{27}\text{H}_{22}\text{ClN}_2\text{O}_3\text{S}$ $[\text{M}+\text{H}^+]$: 489.1034, found 489.1028.



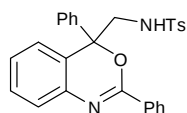
N-((1-phenyl-3-(phenylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)-3-(trifluoromethyl)benzenesulfonamide (3ai, 76.2 mg, 73%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 7.92 (s, 1H), 7.88 (d, $J = 7.0$ Hz, 1H), 7.77-7.70 (m, 2H), 7.52-7.39 (m, 6H), 7.37-7.28 (m, 7H), 7.16-7.13 (m, 1H), 5.07 (s, 1H), 3.92 (d, $J = 13.6$ Hz, 1H), 3.81 (d, $J = 13.8$ Hz, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 156.5, 145.8, 145.6, 141.2, 138.4, 132.3, 131.5 (q, $J_{\text{C-F}} = 33.5$ Hz), 130.8, 129.9, 129.7, 129.2 (q, $J_{\text{C-F}} = 3.3$ Hz), 129.0, 128.8, 128.7, 125.1, 124.6, 124.5, 123.8, 123.7, 123.2 (q, $J_{\text{C-F}} = 274.1$ Hz), 122.2, 91.2, 50.6; ^{19}F NMR (282 MHz, CDCl_3) δ -62.6; HRMS (ESI) m/z calcd for $\text{C}_{28}\text{H}_{22}\text{F}_3\text{N}_2\text{O}_3\text{S}$ $[\text{M}+\text{H}^+]$: 523.1298, found 523.1295.



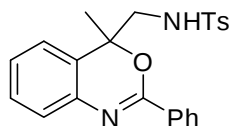
3-methyl-N-((1-phenyl-3-(phenylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)benzenesulfonamide (3aj, 65.5 mg, 70%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 7.94 (d, $J = 7.1$ Hz, 1H), 7.55-7.44 (m, 7H), 7.39-7.27 (m, 9H), 7.20-7.15 (m, 2H), 4.90-4.87 (m, 1H), 3.89-3.84 (m, 1H), 3.77-3.72 (m, 1H), 2.35 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 156.4, 145.9, 145.8, 139.4, 138.5, 133.6, 132.3, 130.8, 129.6, 128.9, 128.8, 128.7, 127.2, 125.2, 124.5, 124.3, 124.0, 123.7, 122.2, 91.1, 50.4, 21.4; HRMS (ESI) m/z calcd for $\text{C}_{28}\text{H}_{25}\text{N}_2\text{O}_3\text{S}$ $[\text{M}+\text{H}^+]$: 469.1580, found 469.1571.



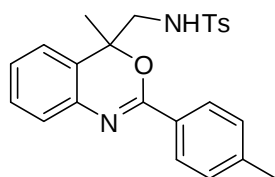
***N*-((1-phenyl-3-(phenylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)thiophene-2-sulfonamide (3ak, 76.4 mg, 83%).** Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 7.92 (d, $J = 7.4$ Hz, 1H), 7.55-7.29 (m, 14H), 7.16-7.13 (m, 1H), 6.95-6.93 (m, 1H), 5.06 (s, 1H), 3.93-3.88 (m, 1H), 3.79-3.74 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 156.4, 145.9, 145.8, 140.4, 138.4, 132.4, 132.2, 132.1, 130.7, 129.7, 129.0, 128.8, 128.7, 127.4, 125.2, 124.5, 124.4, 123.7, 122.2, 90.9, 50.6; HRMS (ESI) m/z calcd for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}_3\text{S}_2$ [$\text{M}+\text{H}^+$]: 461.0988, found 461.0985.



***N*-((2,4-diphenyl-4H-benzo[d][1,3]oxazin-4-yl)methyl)-4-methylbenzenesulfonamide (5aa, 55.2 mg, 59%).** Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 8.07 (d, $J = 7.6$ Hz, 2H), 7.61 (d, $J = 7.9$ Hz, 2H), 7.51-7.47 (m, 1H), 7.42-7.31 (m, 4H), 7.26-7.22 (m, 7H), 7.13 (d, $J = 7.5$ Hz, 2H), 5.62-5.26 (m, 1H), 3.90-3.85 (m, 1H), 3.81-3.76 (m, 1H), 2.34 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 155.7, 143.4, 140.2, 139.8, 136.7, 131.9, 131.7, 129.7, 129.6, 128.7, 128.6, 128.3, 127.9, 126.97, 126.90, 125.8, 125.7, 124.8, 124.6, 82.9, 49.9, 21.6; HRMS (ESI) m/z calcd for $\text{C}_{28}\text{H}_{25}\text{N}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 469.1580, found 469.1579.

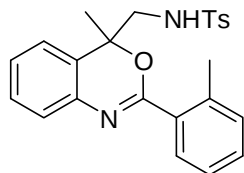


4-methyl-*N*-((4-methyl-2-phenyl-4H-benzo[d][1,3]oxazin-4-yl)methyl)benzenesulfonamide (5ba, 53.6 mg, 66%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave white solid; ^1H NMR (500 MHz, CDCl_3) δ 8.03 (d, $J = 8.2$ Hz, 2H), 8.61 (d, $J = 8.2$ Hz, 2H), 7.50-7.47 (m, 1H), 7.42-7.39 (m, 2H), 7.33-7.27 (m, 2H), 7.19-7.14 (m, 3H), 7.05 (d, $J = 7.7$ Hz, 2H), 4.95-4.81 (m, 1H), 3.47-3.43 (m, 1H), 3.39-3.35 (m, 1H), 2.34 (s, 3H), 1.70 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 155.2, 142.9, 138.5, 136.3, 131.8, 131.1, 129.3, 128.9, 127.8, 127.4, 126.6, 126.4, 126.1, 125.1, 122.5, 79.1, 50.3, 24.6, 21.1; HRMS (ESI) m/z calcd for $\text{C}_{23}\text{H}_{23}\text{N}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 407.1424, found 407.1411.

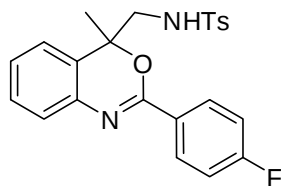


4-methyl-*N*-((4-methyl-2-*p*-tolyl-4H-benzo[d][1,3]oxazin-4-yl)methyl)benzenesulfonamide (5ca, 58.9 mg, 70%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.91 (d, $J =$

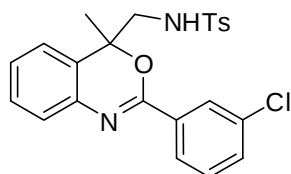
8.2 Hz, 2H), 7.60 (d, J = 8.3 Hz, 2H), 7.32-7.25 (m, 2H), 7.21 (d, J = 8.0 Hz, 2H), 7.18-7.14 (m, 3H), 7.05-7.03 (m, 1H), 4.76-4.73 (m, 1H), 3.46-3.41 (m, 1H), 3.38-3.33 (m, 1H), 2.41 (s, 3H), 2.34 (s, 3H), 1.69 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 155.7, 143.4, 142.0, 139.0, 136.7, 129.7, 129.4, 128.9, 127.8, 127.7, 126.9, 126.8, 126.5, 125.4, 122.9, 79.3, 50.6, 24.9, 21.5; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{25}\text{N}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 421.1580, found 421.1572.



4-methyl-N-((4-methyl-2-o-tolyl-4H-benzo[d][1,3]oxazin-4-yl)methyl)benzenesulfonamide (5da, 51.3 mg, 61%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.67-7.61 (m, 3H), 7.35-7.16 (m, 8H), 7.03 (d, J = 7.6 Hz, 1H), 4.81 (s, 1H), 3.49-3.45 (m, 1H), 3.41-3.35 (m, 1H), 2.55 (s, 3H), 2.36 (s, 3H), 1.69 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 157.3, 143.5, 138.7, 138.3, 136.6, 132.1, 131.5, 130.6, 129.7, 129.6, 129.4, 127.3, 126.9, 126.0, 125.8, 125.6, 122.9, 79.8, 50.7, 25.5, 21.7, 21.6; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{25}\text{N}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 421.1580, found 421.1573.

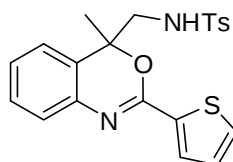


N-((2-(4-fluorophenyl)-4-methyl-4H-benzo[d][1,3]oxazin-4-yl)methyl)-4-methylbenzenesulfonamide (5ea, 54.3 mg, 64%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 8.04-8.01 (m, 2H), 7.61 (d, J = 8.3 Hz, 2H), 7.32-7.24 (m, 3H), 7.19-7.14 (m, 3H), 7.09-7.03 (m, 3H), 4.89-4.85 (m, 1H), 3.47-3.42 (m, 1H), 3.39-3.34 (m, 1H), 2.35 (s, 3H), 1.68 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 164.9 (d, $J_{\text{C-F}}$ = 253.3 Hz), 154.7, 143.5, 138.8, 136.7, 130.0 (d, $J_{\text{C-F}}$ = 14.5 Hz), 129.6 (d, $J_{\text{C-F}}$ = 3.0 Hz), 129.3 (d, $J_{\text{C-F}}$ = 2.6 Hz), 128.3 (d, $J_{\text{C-F}}$ = 3.0 Hz), 127.1, 126.8 (d, $J_{\text{C-F}}$ = 7.4 Hz), 126.3, 125.5, 122.9, 115.2 (d, $J_{\text{C-F}}$ = 21.6 Hz), 79.7, 50.8, 25.1, 21.4; ^{19}F NMR (282 MHz, CDCl_3) δ -108.1; HRMS (ESI) m/z calcd for $\text{C}_{23}\text{H}_{22}\text{FN}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 425.1330, found 425.1329.

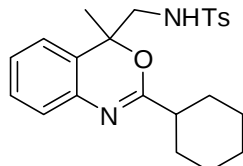


N-((2-(3-chlorophenyl)-4-methyl-4H-benzo[d][1,3]oxazin-4-yl)methyl)-4-methylbenzenesulfonamide (5fa, 55.5 mg, 63%). Flash column chromatography on silica gel

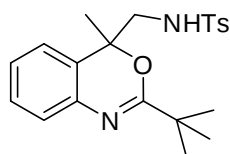
(petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.95 (s, 1H), 7.90 (d, $J = 7.8$ Hz, 1H), 7.59 (d, $J = 8.2$ Hz, 2H), 7.43 (d, $J = 8.0$ Hz, 1H), 7.34-7.25 (m, 3H), 7.21-7.16 (m, 1H), 7.14 (d, $J = 8.1$ Hz, 2H), 7.05 (d, $J = 7.6$ Hz, 1H), 4.98 (s, 1H), 3.49-3.44 (m, 1H), 3.39-3.33 (m, 1H), 2.34 (s, 3H), 1.67 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 154.3, 143.5, 138.6, 136.6, 134.3, 134.0, 131.4, 129.7, 129.5, 129.4, 127.8, 127.5, 126.9, 126.4, 125.9, 125.7, 123.1, 79.9, 50.9, 25.3, 21.5; HRMS (ESI) m/z calcd for $\text{C}_{23}\text{H}_{22}\text{ClN}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 441.1034, found 441.1031.



4-methyl-N-((4-methyl-2-(thiophen-2-yl)-4H-benzo[d][1,3]oxazin-4-yl)methyl)benzenesulfonamide (5ga, 33.8 mg, 41%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.62-7.60 (m, 3H), 7.46 (d, $J = 4.3$ Hz, 1H), 7.30-7.27 (m, 1H), 7.22 (d, $J = 7.0$ Hz, 1H), 7.18-7.13 (m, 3H), 7.07-7.03 (m, 2H), 4.92 (s, 1H), 3.45-3.40 (m, 1H), 3.36-3.30 (m, 1H), 2.35 (s, 3H), 1.69 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 152.3, 143.5, 138.8, 136.6, 136.5, 130.5, 130.1, 129.7, 129.4, 127.8, 126.92, 126.90, 126.5, 125.3, 123.1, 80.0, 50.6, 24.8, 21.6; HRMS (ESI) m/z calcd for $\text{C}_{21}\text{H}_{21}\text{N}_2\text{O}_3\text{S}_2$ [$\text{M}+\text{H}^+$]: 413.0988, found 413.0992.

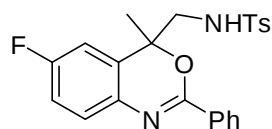


N-((2-cyclohexyl-4-methyl-4H-benzo[d][1,3]oxazin-4-yl)methyl)-4-methylbenzenesulfonamide (5ha, 37.9 mg, 46%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 7.67 (d, $J = 8.2$ Hz, 2H), 7.28-7.21 (m, 3H), 7.12-7.09 (m, 2H), 6.95 (d, $J = 7.2$ Hz, 1H), 4.94-4.89 (m, 1H), 3.33-3.22 (m, 2H), 2.41 (s, 3H), 2.20-3.14 (m, 1H), 1.83-1.74 (m, 3H), 1.68-1.65 (m, 1H), 1.56 (s, 3H), 1.45-1.33 (m, 2H), 1.29-1.16 (m, 4H); ^{13}C NMR (101 MHz, CDCl_3) δ 164.7, 143.6, 138.4, 136.6, 129.8, 129.2, 126.9, 126.7, 126.3, 124.9, 122.8, 77.1, 50.7, 43.7, 29.7, 29.4, 25.8, 25.7, 24.9, 21.6; HRMS (ESI) m/z calcd for $\text{C}_{23}\text{H}_{29}\text{N}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 413.1893, found 413.1887.

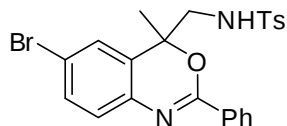


N-((2-tert-butyl-4-methyl-4H-benzo[d][1,3]oxazin-4-yl)methyl)-4-methylbenzenesulfonamide (5ia, 50.2 mg, 65%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 7.66 (d, $J =$

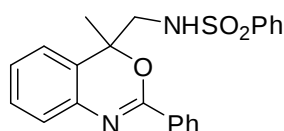
8.2 Hz, 2H), 7.28-7.22 (m, 3H), 7.15-7.08 (m, 2H), 6.95 (d, $J = 7.6$ Hz, 1H), 4.72-4.69 (m, 1H) 3.35-3.23 (m, 2H), 2.41 (s, 3H), 1.55 (s, 3H), 1.19 (s, 9H); ^{13}C NMR (101 MHz, CDCl_3) δ 166.7, 143.6, 138.7, 136.5, 129.8, 129.1, 126.9, 126.7, 126.2, 125.2, 122.8, 78.4, 50.5, 37.2, 27.5, 24.9, 21.6; HRMS (ESI) m/z calcd for $\text{C}_{21}\text{H}_{27}\text{N}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 387.1737, found 387.1735.



***N*-((6-fluoro-4-methyl-2-phenyl-4H-benzo[d][1,3]oxazin-4-yl)methyl)-4-methylbenzenesulfonamide (5ja**, 56 mg, 66%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 8.01 (d, $J = 7.4$ Hz, 2H), 7.61 (d, $J = 8.2$ Hz, 2H), 7.48 (t, $J = 7.3$ Hz, 1H), 7.39 (d, $J = 7.7$ Hz, 2H), 7.25-7.22 (m, 1H), 7.16 (d, $J = 8.1$ Hz, 2H), 6.99-6.94 (m, 1H), 6.73-6.70 (m, 1H), 5.10-5.07 (m, 1H), 3.43-3.32 (m, 2H), 2.35 (s, 3H), 1.66 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 161.2 (d, $J_{\text{C-F}} = 247.5$ Hz), 154.9 (d, $J_{\text{C-F}} = 1.9$ Hz), 143.6, 136.5, 135.2 (d, $J_{\text{C-F}} = 3.0$ Hz), 131.9, 131.6, 129.8, 128.3, 128.2 (d, $J_{\text{C-F}} = 7.4$ Hz), 127.8, 127.2 (d, $J_{\text{C-F}} = 8.3$ Hz), 126.9, 116.6 (d, $J_{\text{C-F}} = 22.2$ Hz), 110.2 (d, $J_{\text{C-F}} = 24.5$ Hz), 79.3, 50.5, 24.9, 21.5; ^{19}F NMR (282 MHz, CDCl_3) δ -113.5; HRMS (ESI) m/z calcd for $\text{C}_{23}\text{H}_{22}\text{FN}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 425.1330, found 425.1336.

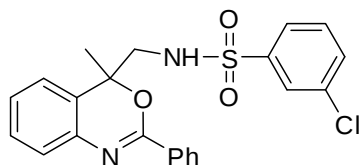


***N*-((6-bromo-4-methyl-2-phenyl-4H-benzo[d][1,3]oxazin-4-yl)methyl)-4-methylbenzenesulfonamide (5ka**, 58.1 mg, 64%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 8.01 (d, $J = 7.7$ Hz, 2H), 7.61 (d, $J = 8.1$ Hz, 2H), 7.48 (t, $J = 7.2$ Hz, 1H), 7.41-7.38 (m, 3H), 7.17-7.12 (m, 4H), 5.11-5.08 (m, 1H), 3.42-3.33 (m, 2H), 2.35 (s, 3H), 1.66 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 155.9, 143.6, 138.1, 136.5, 132.4, 131.84, 131.82, 129.8, 128.5, 128.4, 127.9, 127.2, 126.9, 126.1, 119.7, 79.3, 50.7, 25.1, 21.6; HRMS (ESI) m/z calcd for $\text{C}_{23}\text{H}_{22}\text{BrN}_2\text{O}_3\text{S}$ [$\text{M}+\text{H}^+$]: 485.0529, found 485.0520.

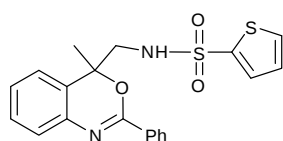


***N*-((4-methyl-2-phenyl-4H-benzo[d][1,3]oxazin-4-yl)methyl)benzenesulfonamide (5ab**, 49.4 mg, 63%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ^1H NMR (400 MHz, CDCl_3) δ 8.03 (d, $J = 7.7$ Hz, 2H), 7.72 (d, $J = 7.8$ Hz, 2H), 7.49-7.44 (m, 2H), 7.42-7.34 (m, 4H), 7.32-7.25 (m, 2H), 7.16 (t, $J = 7.5$ Hz, 1H), 7.04 (d, $J = 7.6$ Hz, 2H), 5.02-4.99 (m,

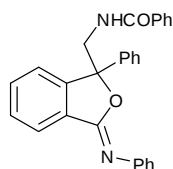
1H), 3.49-3.44 (m, 1H), 3.41-3.35 (m, 1H), 1.69 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 155.6, 139.6, 138.9, 132.7, 132.2, 131.6, 129.4, 129.1, 128.3, 127.9, 127.1, 126.8, 126.5, 125.6, 122.9, 79.6, 50.7, 25.1; HRMS (ESI) *m/z* calcd for C₂₂H₂₁N₂O₃S [M+H⁺]: 393.1267, found 393.1265.



3-chloro-N-((4-methyl-2-phenyl-4H-benzo[d][1,3]oxazin-4-yl)methyl)benzenesulfonamide (5ai), 56.2 mg, 66%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ¹H NMR (400 MHz, CDCl₃) δ 8.00 (d, *J* = 7.5 Hz, 2H), 7.68 (s, 1H), 7.54 (d, *J* = 7.9 Hz, 1H), 7.47 (t, *J* = 7.2 Hz, 1H), 7.42-7.36 (m, 3H), 7.32-7.14 (m, 4H), 7.03 (d, *J* = 7.6 Hz, 1H), 5.15-5.11 (m, 1H), 3.55-3.49 (m, 1H), 3.46-3.40 (m, 1H), 1.67 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 155.5, 141.6, 138.9, 135.2, 132.8, 132.1, 131.6, 130.4, 129.5, 128.3, 127.8, 127.1, 126.9, 126.2, 125.7, 124.8, 122.9, 79.6, 50.8, 25.3; HRMS (ESI) *m/z* calcd for C₂₂H₂₀ClN₂O₃S [M+H⁺]: 427.0878, found 427.0870.

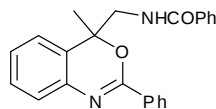


N-((4-methyl-2-phenyl-4H-benzo[d][1,3]oxazin-4-yl)methyl)thiophene-2-sulfonamide (5ak), 56.5 mg, 71%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave white solid; ¹H NMR (400 MHz, DMSO-d₆) δ 8.28 (t, *J* = 6.4 Hz, 1H), 8.13 (d, *J* = 7.2 Hz, 2H), 7.91-7.89 (m, 1H), 7.61-7.56 (m, 2H), 7.52 (t, *J* = 7.6 Hz, 2H), 7.38-7.31 (m, 2H), 7.27-7.23 (m, 2H), 7.17-7.15 (m, 1H), 3.51-3.49 (m, 1H), 3.28-3.23 (m, 1H), 1.66 (s, 3H); ¹³C NMR (101 MHz, DMSO-d₆) δ 155.2, 141.4, 138.5, 132.3, 132.2, 131.5, 131.4, 128.9, 128.2, 127.8, 127.6, 127.2, 126.7, 124.6, 124.0, 79.5, 50.7, 25.2; HRMS (ESI) *m/z* calcd for C₂₀H₁₉N₂O₃S₂ [M+H⁺]: 399.0832, found 399.0828.

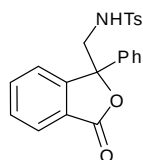


(Z)-N-((1-phenyl-3-(phenylimino)-1,3-dihydroisobenzofuran-1-yl)methyl)benzamide (6), 46.8 mg, 56%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ¹H NMR (400 MHz, CDCl₃) δ 7.94 (d, *J* = 7.6 Hz, 1H), 7.60-7.53 (m, 4H), 7.49-7.30 (m, 13H), 7.18-7.14 (m, 1H), 6.23 (s, 1H), 4.52-4.71 (m, 1H), 4.26-4.21 (m, 1H); ¹³C NMR (101 MHz, CDCl₃) δ 167.7, 157.1, 146.5, 146.2, 138.8, 134.2, 132.4, 131.6, 130.6, 129.6, 129.0, 128.9, 128.6, 126.7, 125.1, 124.5, 123.9, 123.6, 122.6, 92.5, 46.7; HRMS (ESI) *m/z* calcd for

C₂₈H₂₃N₂O [M+H⁺]: 419.1754, found 419.1753.



N-((4-methyl-2-phenyl-4H-benzo[d][1,3]oxazin-4-yl)methyl)benzamide (7, 23.5 mg, 33%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless oil; ¹H NMR (400 MHz, CDCl₃) δ 8.16 (d, *J* = 7.0 Hz, 2H), 7.60 (d, *J* = 7.3 Hz, 2H), 7.52-7.44 (m, 4H), 7.39-7.31 (m, 4H), 7.26-7.23 (m, 2H), 6.30 (s, 1H), 4.17-4.11 (m, 1H), 4.02-3.96 (m, 1H), 1.74 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 167.7, 156.1, 139.1, 134.3, 132.5, 131.65, 131.61, 129.3, 128.6, 128.4, 127.9, 127.2, 127.1, 126.9, 125.5, 123.1, 80.8, 47.5, 25.3; HRMS (ESI) *m/z* calcd for C₂₃H₂₁N₂O₂ [M+H⁺]: 357.1598, found 357.1593.



4-methyl-N-((3-oxo-1-phenyl-1,3-dihydroisobenzofuran-1-yl)methyl)benzenesulfonamid (8, 71.5 mg, 91%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 4/1) gave white solid; ¹H NMR (400 MHz, CDCl₃) δ 7.83 (d, *J* = 7.6 Hz, 1H), 7.64 (t, *J* = 7.4 Hz, 1H), 7.57 (d, *J* = 8.0 Hz, 3H), 7.52-7.45 (m, 3H), 7.37-7.29 (m, 3H), 7.21 (d, *J* = 8.0 Hz, 2H), 5.21-5.14 (m, 1H), 3.85-3.74 (m, 2H), 2.39 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 169.3, 149.6, 143.5, 137.2, 136.6, 134.5, 129.73, 129.70, 128.9, 128.8, 126.8, 125.9, 125.6, 125.1, 122.7, 88.4, 50.1, 21.5; HRMS (ESI) *m/z* calcd for C₂₂H₂₀NO₄S [M+H⁺]: 394.1108, found 394.1105.

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6. Copies of the ^1H NMR, ^{13}C NMR and ^{19}F NMR Spectra

