Supporting Information

Palladium-Catalyzed Three-Component Tandem Reaction of Thioamides, Arenediazonium Tetrafluoroborates and DABSO: An Efficient Synthesis of Arylsulfonyl 1,3-Benzothiazines

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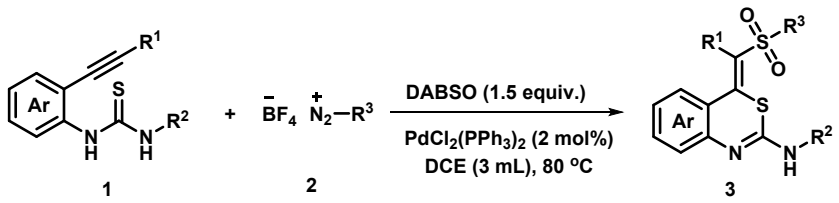
1 General remark

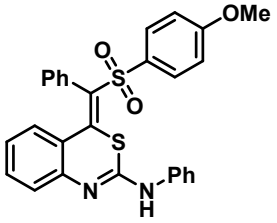
^{1}H NMR and ^{13}C NMR spectra were recorded on 400MHz and 100MHz in $CDCl_3$ (BRUKER 400M or JNM-ECS 400M). All chemical shifts were given as δ value (ppm) with reference to tetramethylsilane (TMS) as an internal standard. All compounds were further characterized by HRMS; copies of their ^{1}H NMR and ^{13}C NMR spectra are provided. Products were purified by flash chromatography on 200-300 mesh silica gels. All melting points were determined without correction. Unless otherwise noted, commercially available reagents and solvents were used without further purification.

2 General procedure for the synthesis of 1,3-benzothiazines



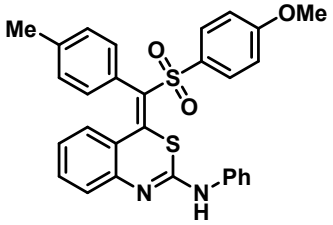
In a sealed tube, 25 mL over-dried Schlenk tube charged with a stir bar, thiourea **1** (0.2 mmol, 1.0 equiv.), diazonium tetrafluoroborate **2** (0.4 mmol, 2.0 equiv.), DABSO (0.3 mmol, 1.5 equiv.) and $PdCl_2(PPh_3)_2$ (2 mol%) was added DCE (3.0 mL). The resulting mixture was allowed to stir at 80^{o}C for 12 hours under Ar atmosphere. After completion, saturated aqueous NH_4Cl solution was added and the resulting mixture was diluted with EA. The organic layer was separated and the aqueous layer was extracted with EtOAc. The combined extracts were washed with brine, dried over anhydrous Na_2SO_4. After filtration, the filtrate was concentrated under vacuum and the obtained residue was purified by flash column chromatography on silica gel (PE/EA as eluent, the ratio varies from 10:1 to 51:1) to 1,3-benzothiazines **3**.

3 The data of products



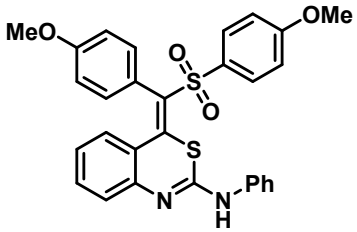
(*Z*)-4-(((4-methoxyphenyl)sulfonyl)(phenyl)methylene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3aa)

White solid (86% yield). melting point: 288-290 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 8.97 (s, 1H), 8.03 – 7.93 (m, 2H), 7.76 – 7.68 (m, 1H), 7.67 – 7.58 (m, 1H), 7.39 – 7.34 (m, 2H), 7.32 – 7.26 (m, 4H), 7.20 – 7.06 (m, 5H), 6.75 (dd, J = 7.7, 2.0 Hz, 2H), 6.71 – 6.68 (m, 2H), 3.84 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 168.3, 160.9, 146.8, 140.4, 133.8, 133.3, 132.9, 132.4, 132.0, 130.8, 130.1, 130.0, 129.7, 129.2, 129.1, 127.0, 125.1, 125.0, 123.5, 117.7, 115.2, 56.5; HRMS calcd for $C_{28}H_{23}N_2O_3S_2$M+H]$^+$ 499.1145; found: 499.1142.



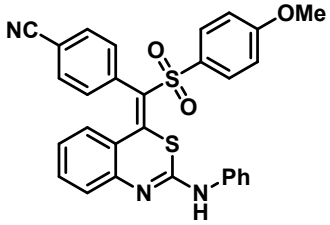
(*Z*)-4-(((4-methoxyphenyl)sulfonyl)(*p*-tolyl)methylene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3ab)

White solid (85% yield). melting point: 291-293 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 8.90 (s, 1H), 8.05 – 7.94 (m, 2H), 7.77 – 7.71 (m, 1H), 7.66 – 7.59 (m, 1H), 7.33 – 7.26 (m, 4H), 7.24 – 7.09 (m, 6H), 6.78 – 6.71 (m, 2H), 6.69 – 6.61 (m, 1H), 3.70 (s, 3H), 2.38 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 166.0, 160.2, 146.8, 140.4, 140.0, 133.8, 133.3, 132.9, 132.4, 130.4, 129.9, 129.8, 129.2, 129.17, 129.15, 126.9, 125.1, 125.0, 123.5, 117.7, 115.2, 55.3, 23.7; HRMS calcd for $C_{29}H_{25}N_2O_3S_2$ [M+H]$^+$ 513.1301; found: 513.1308.



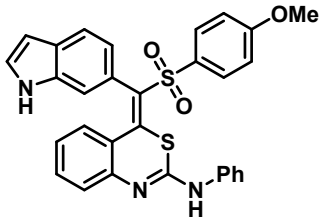
(*Z*)-4-((4-methoxyphenyl)((4-methoxyphenyl)sulfonyl)methylene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3ac)

White solid (82% yield). melting point: 343-345 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 10.69 (s, 1H), 7.89 – 7.81 (m, 2H), 7.74 (dd, J = 6.9, 2.6 Hz, 1H), 7.51 (dd, J = 6.8, 2.7 Hz, 1H), 7.34 – 7.27 (m, 2H), 7.24 (d, J = 1.7 Hz, 1H), 7.14 (t, J = 7.5 Hz, 2H), 7.04 – 6.98 (m, 2H), 6.91 – 6.86 (m, 2H), 6.75 (dd, J = 7.6, 2.0 Hz, 2H), 6.69 – 6.60 (m, 1H), 3.78 (s, 3H), 3.70 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 168.3, 161.8, 160.2, 146.8, 140.4, 133.8, 133.3, 132.9, 132.4, 130.2, 129.9, 129.2, 129.10, 129.09, 126.9, 125.4, 125.1, 125.0, 123.5, 117.7, 114.4, 58.9, 56.8; HRMS calcd for $C_{29}H_{25}N_2O_4S_2$ $[M+H]^+$ 529.1250; found: 529.1259.



(*Z*)-4-(((4-methoxyphenyl)sulfonyl)(2-(phenylamino)-4*H*-benzo[*d*][1,3]thiazin-4-ylidene)methyl)benzonitrile (3ad)

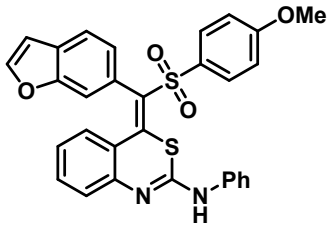
White solid (86% yield). melting point: 380-382 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 10.71 (s, 1H), 7.90 – 7.82 (m, 2H), 7.81 – 7.72 (m, 3H), 7.56 – 7.46 (m, 3H), 7.33 – 7.27 (m, 2H), 7.13 (t, J = 7.5 Hz, 2H), 7.08 – 7.00 (m, 2H), 6.75 (dd, J = 7.6, 2.0 Hz, 2H), 6.72 – 6.66 (m, 1H), 3.96 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 168.9, 160.1, 146.7, 140.3, 134.1, 133.8, 133.3, 133.0, 132.9, 132.4, 130.7, 129.9, 129.2, 129.1, 126.9, 125.1, 125.0, 123.5, 117.7, 117.5, 115.2, 112.9, 57.6; HRMS calcd for $C_{29}H_{22}N_3O_3S_2$ $[M+H]^+$ 524.1097; found: 524.1092.



(*Z*)-4-((1*H*-indol-6-yl)((4-methoxyphenyl)sulfonyl)methylene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3ae)

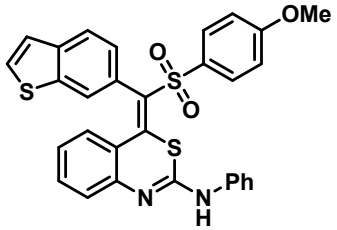
White solid (67% yield). melting point: 322-324 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 10.72 (s, 1H), 7.90 (s, 1H), 7.89 – 7.78 (m, 4H), 7.79 – 7.73 (m, 1H), 7.59 – 7.52 (m, 1H), 7.18 (d, J = 7.5 Hz, 1H), 7.13 (t, J = 7.5 Hz, 2H), 7.05 – 6.96 (m, 2H), 6.80 – 6.72 (m, 2H), 6.69 – 6.63 (m, 1H),

6.62 – 6.53 (m, 1H), 3.89 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 165.4, 157.4, 146.7, 140.4, 134.3, 133.8, 133.4, 133.3, 132.5, 131.5, 129.9, 129.7, 129.1, 129.0, 127.8, 126.9, 125.1, 125.0, 123.5, 123.4, 119.0, 117.7, 115.9, 115.2, 102.7, 57.9; HRMS calcd for $C_{30}H_{24}N_3O_3S_2$ $[M+H]^+$ 538.1254; found: 538.1257.



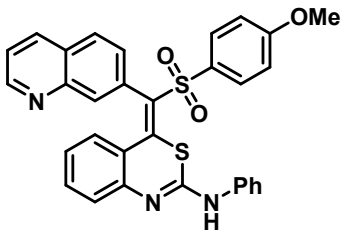
(*Z*)-4-(benzofuran-6-yl((4-methoxyphenyl)sulfonyl)methylene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3af)

White solid (63% yield). melting point: 359-361 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 8.86 (s, 1H), 7.95 – 7.84 (m, 3H), 7.76 – 7.65 (m, 2H), 7.62 – 7.56 (m, 1H), 7.54 (dd, J = 7.5, 1.5 Hz, 1H), 7.37 (d, J = 1.6 Hz, 1H), 7.34 – 7.28 (m, 2H), 7.19 – 7.09 (m, 4H), 6.90 (dd, J = 7.5, 1.5 Hz, 1H), 6.78 – 6.72 (m, 2H), 6.69 – 6.54 (m, 1H), 3.80 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 167.5, 160.2, 152.8, 146.8, 145.5, 140.4, 135.1, 133.8, 133.4, 133.3, 132.4, 132.2, 129.9, 129.7, 129.1, 127.8, 126.9, 125.1, 125.0, 123.5, 121.1, 117.7, 115.2, 110.7, 108.4, 55.3; HRMS calcd for $C_{30}H_{23}N_2O_4S_2[M+H]^+$ 539.1094; found: 539.1092.



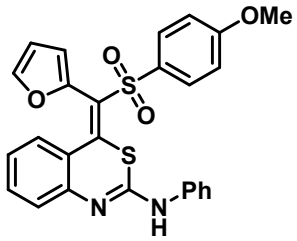
(*Z*)-4-(benzo[*b*]thiophen-6-yl((4-methoxyphenyl)sulfonyl)methylene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3ag)

White solid (65% yield). melting point: 346-348 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 9.92 (s, 1H), 8.01 – 7.95 (m, 1H), 7.94 – 7.87 (m, 3H), 7.75 – 7.66 (m, 2H), 7.62 – 7.55 (m, 1H), 7.42 (d, J = 7.5 Hz, 1H), 7.35 – 7.27 (m, 3H), 7.18 – 7.06 (m, 4H), 6.81 – 6.64 (m, 3H), 3.76 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 168.1, 158.2, 145.9, 140.3, 140.0, 139.3, 135.3, 133.8, 133.4, 133.3, 132.4, 129.9, 129.7, 129.1, 127.8, 127.1, 126.9, 125.5, 125.1, 125.0, 123.5, 123.1, 121.6, 117.7, 115.2, 53.8; HRMS calcd for $C_{30}H_{23}N_2O_3S_3$ $[M+H]^+$ 555.0865; found: 555.0861.



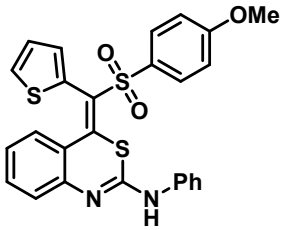
(*Z*)-4-(((4-methoxyphenyl)sulfonyl)(quinolin-7-yl)methylene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3ah)

White solid (46% yield). melting point: 367-369 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 9.12 (s, 1H), 8.84 (dd, J = 7.4, 1.4 Hz, 1H), 8.09 (dd, J = 6.9, 4.4 Hz, 2H), 8.04 – 7.95 (m, 2H), 7.91 – 7.79 (m, 2H), 7.73 (dd, J = 7.3, 2.2 Hz, 1H), 7.59 (dd, J = 7.3, 2.2 Hz, 1H), 7.36 – 7.27 (m, 2H), 7.24 (dd, J = 7.4, 2.1 Hz, 1H), 7.16 (t, J = 7.5 Hz, 2H), 7.13 – 7.05 (m, 2H), 6.79 – 6.72 (m, 2H), 6.69 – 6.63 (m, 1H), 3.98 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 167.0, 159.3, 149.7, 147.1, 146.8, 141.5, 140.4, 135.8, 133.8, 133.6, 133.3, 132.4, 129.9, 129.5, 129.3, 129.1, 127.7, 126.9, 126.5, 125.5, 125.1, 125.0, 123.5, 121.2, 117.7, 115.2, 58.1; HRMS calcd for $C_{31}H_{24}N_3O_3S_2$ $[M+H]^+$ 550.1254; found: 550.1257.



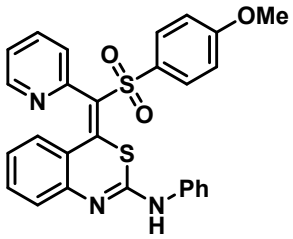
(*Z*)-4-(furan-2-yl((4-methoxyphenyl)sulfonyl)methylene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3ai)

White solid (70% yield). melting point: 256-257 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 8.83 (s, 1H), 8.00 – 7.93 (m, 2H), 7.71 – 7.59 (m, 2H), 7.41 – 7.37 (m, 1H), 7.35 – 7.31 (m, 1H), 7.25 – 7.22 (m, 1H), 7.15 – 7.04 (m, 4H), 6.85 (dd, J = 7.5, 1.5 Hz, 1H), 6.78 – 6.72 (m, 2H), 6.69 – 6.63 (m, 1H), 6.43 (t, J = 7.5 Hz, 1H), 3.99 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 166.0, 158.8, 146.8, 145.1, 144.7, 140.4, 133.8, 133.5, 132.4, 131.7, 129.7, 129.1, 126.9, 125.1, 125.0, 123.5, 122.2, 117.7, 116.6, 115.0, 112.5, 57.1; HRMS calcd for $C_{26}H_{21}N_2O_4S_2$ $[M+H]^+$489.0937; found: 489.0934.



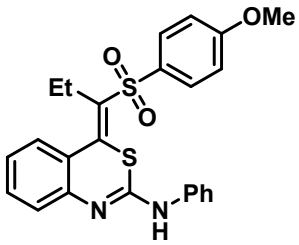
(*Z*)-4-(((4-methoxyphenyl)sulfonyl)(thiophen-2-yl)methylene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3aj)

White solid (77% yield). melting point: 289-291 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 8.85 (s, 1H), 8.06 – 7.96 (m, 2H), 7.72 (dd, J = 7.1, 2.3 Hz, 2H), 7.45 (dd, J = 7.4, 1.6 Hz, 1H), 7.41 – 7.30 (m, 2H), 7.28 (dd, J = 7.5, 1.6 Hz, 1H), 7.20 (t, J = 7.4 Hz, 1H), 7.18 – 7.07 (m, 4H), 6.75 (dd, J = 7.6, 2.0 Hz, 2H), 6.69 – 6.54 (m, 1H), 3.93 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 167.0, 160.6, 146.8, 140.8, 140.6, 140.4, 140.2, 133.8, 133.5, 132.4, 129.7, 129.7, 129.4, 129.1, 127.5, 127.0, 125.1, 125.0, 123.5, 117.7, 115.0, 56.8; HRMS calcd for $C_{26}H_{21}N_2O_3S_3$ $[M+H]^+$ 505.0709; found: 505.0706.



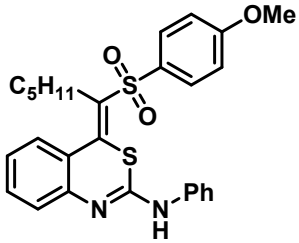
(*Z*)-4-(((4-methoxyphenyl)sulfonyl)(pyridin-2-yl)methylene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3ak)

White solid (64% yield). melting point: 321-323 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 9.03 (s, 1H), 8.48 (dd, J = 5.0, 1.3 Hz, 1H), 7.98 – 7.90 (m, 2H), 7.78 (d, J = 8.0 Hz, 1H), 7.71 (dd, J = 7.4, 2.1 Hz, 1H), 7.63 – 7.59 (m, 1H), 7.44 (dd, J = 7.3, 2.1 Hz, 1H), 7.36 – 7.26 (m, 3H), 7.17 – 7.02 (m, 4H), 6.79 – 6.72 (m, 2H), 6.69 – 6.57 (m, 1H), 3.64 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 168.1, 161.4, 156.9, 148.3, 146.8, 140.4, 139.9, 133.8, 133.3, 132.4, 132.1, 129.9, 129.1, 126.9, 126.7, 125.1, 125.0, 124.7, 123.8, 123.5, 117.7, 115.2, 55.9; HRMS calcd for $C_{27}H_{22}N_3O_3S_2[M+H]^+$ 500.1097; found: 500.1092.



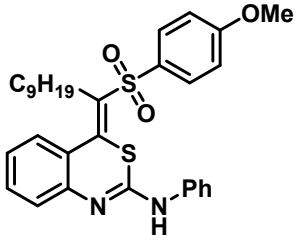
(*Z*)-4-(1-((4-methoxyphenyl)sulfonyl)propylidene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3al)

White solid (79% yield). melting point: 269-271 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 8.98 (s, 1H), 8.01 – 7.88 (m, 2H), 7.69 (dd, J = 7.3, 2.2 Hz, 1H), 7.57 (dd, J = 7.3, 2.2 Hz, 1H), 7.35 – 7.29 (m, 2H), 7.18 – 7.05 (m, 4H), 6.83 – 6.65 (m, 3H), 3.96 (s, 3H), 2.02 – 1.98 (m, 2H), 1.19 (t, J = 6.7 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 165.7, 160.2, 147.0, 140.4, 137.7, 134.0, 133.0, 132.32, 132.31, 130.3, 129.1, 127.5, 127.0, 124.6, 123.5, 117.7, 115.3, 53.3, 19.0, 12.9; HRMS calcd for $C_{24}H_{23}N_2O_3S_2$ $[M+H]^+$ 451.1145; found: 451.1149.



(*Z*)-4-(1-((4-methoxyphenyl)sulfonyl)hexylidene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3am)

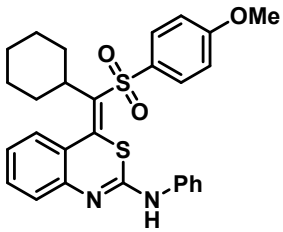
White solid (73% yield). melting point: 246-248 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 9.52 (s, 1H), 7.80 – 7.74 (m, 2H), 7.70 (dd, J = 7.3, 2.3 Hz, 1H), 7.58 (dd, J = 7.3, 2.3 Hz, 1H), 7.35 – 7.21 (m, 2H), 7.15 – 7.03 (m, 4H), 6.75 (dd, J = 7.6, 2.0 Hz, 2H), 6.69 – 6.63 (m, 1H), 3.67 (s, 3H), 1.94 (t, J = 7.5 Hz, 2H), 1.40 – 1.34 (m, 2H), 1.30 – 1.09 (m, 4H), 0.94 – 0.85 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 166.2, 157.7, 147.0, 140.4, 139.1, 134.0, 132.9, 132.3, 130.3, 130.2, 129.1, 127.0, 125.7, 124.6, 123.5, 117.7, 115.3, 56.8, 30.6, 27.3, 26.3, 22.7, 14.0; HRMS calcd for $C_{27}H_{29}N_2O_3S_2$ $[M+H]^+$ 493.1614; found: 493.1611.



(*Z*)-4-(1-((4-methoxyphenyl)sulfonyl)decylidene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine

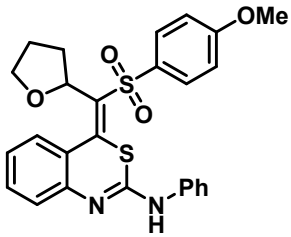
(3an)

White solid (70% yield). melting point: 289-291 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 8.87 (s, 1H), 7.96 – 7.90 (m, 2H), 7.70 (dd, J = 7.3, 2.3 Hz, 1H), 7.56 (dd, J = 7.3, 2.2 Hz, 1H), 7.35 – 7.24 (m, 2H), 7.18 – 7.07 (m, 4H), 6.75 (dd, J = 7.6, 2.0 Hz, 2H), 6.69 – 6.63 (m, 1H), 3.75 (s, 3H), 1.94 (t, J = 7.5 Hz, 2H), 1.43 – 1.33 (m, 2H), 1.32 – 1.26 (m, 12H), 0.93 – 0.85 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 168.9, 160.1, 146.9, 140.3, 139.1, 133.9, 132.9, 132.3, 130.3, 129.1, 127.0, 125.7, 124.6, 123.5, 117.7, 115.3, 53.6, 31.6, 29.4, 29.4, 29.1, 29.109, 27.3, 26.3, 22.8, 14.1; HRMS calcd for $C_{31}H_{37}N_2O_3S_2$ $[M+H]^+$ 549.2240; found: 549.2243.



(Z)-4-(cyclohexyl((4-methoxyphenyl)sulfonyl)methylene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3ao)

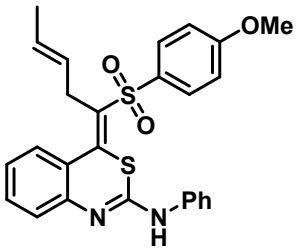
White solid (76% yield). melting point: 331-333 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 8.87 (s, 1H), 7.80 (d, J = 7.5 Hz, 2H), 7.67 (d, J = 7.3 Hz, 1H), 7.58 (d, J = 7.3 Hz, 1H), 7.40 – 7.31 (m, 2H), 7.16 – 7.01 (m, 4H), 6.75 (d, J = 7.5 Hz, 2H), 6.69 (t, J = 7.5 Hz, 1H), 3.67 (s, 3H), 2.17 – 2.10 (m, 2H), 1.90 – 1.66 (m, 6H), 1.48 – 1.42 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 168.6, 160.2, 146.8, 142.9, 140.4, 133.9, 133.0, 132.4, 130.2, 129.1, 127.0, 126.1, 124.9, 124.8, 123.5, 117.7, 115.2, 58.6, 38.9, 31.1, 26.2, 26.0; HRMS calcd for $C_{28}H_{29}N_2O_3S_2$ $[M+H]^+$505.1614; found: 505.1617.



(*Z*)-4-(((4-methoxyphenyl)sulfonyl)(tetrahydrofuran-2-yl)methylene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3ap)

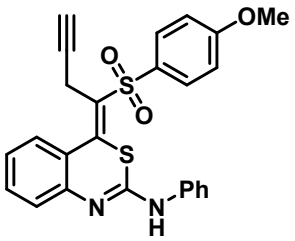
White solid (75% yield). melting point: 312-314 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 8.94 (s, 1H), 7.97 – 7.88 (m, 2H), 7.68 (dd, J = 6.7, 2.8 Hz, 1H), 7.49 (dd, J = 6.8, 2.6 Hz, 1H), 7.36 – 7.31 (m, 2H), 7.16 – 7.09 (m, 4H), 6.80 – 6.66 (m, 3H), 4.43 (t, J = 6.9 Hz, 1H), 4.05 – 3.90 (m,

2H), 3.70 (s, 3H), 2.56 – 2.52 (m, 1H), 2.15 – 2.00 (m, 1H), 1.70 – 1.53 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 167.0, 160.2, 146.8, 141.9, 140.4, 133.9, 133.0, 132.4, 130.2, 129.1, 127.1, 124.9, 124.8, 123.5, 119.5, 117.7, 115.2, 77.7, 68.0, 56.8, 31.7, 25.9; HRMS calcd for $C_{26}H_{25}N_2O_4S_2$ $[M+H]^+$ 493.1250; found: 493.1254.



(*Z*)-4-((*E*)-1-((4-methoxyphenyl)sulfonyl)pent-3-en-1-ylidene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3aq)

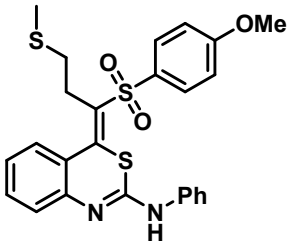
White solid (80% yield). melting point: 265-267 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 10.49 (s, 1H), 8.04 – 7.98 (m, 2H), 7.70 (dd, J = 7.3, 2.1 Hz, 1H), 7.60 (dd, J = 7.4, 2.1 Hz, 1H), 7.36 – 7.26 (m, 2H), 7.20 – 7.14 (m, 2H), 7.10 (t, J = 7.5 Hz, 2H), 6.75 (dd, J = 7.6, 2.0 Hz, 2H), 6.69 – 6.61 (m, 1H), 5.80 – 5.63 (m, 1H), 5.35 – 5.20 (m, 1H), 3.76 (s, 3H), 2.70 – 2.57 (m, 2H), 1.65 – 1.52 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 166.8, 159.1, 147.0, 140.4, 136.4, 134.3, 133.9, 132.9, 132.3, 130.3, 130.2, 129.12, 129.09, 127.0, 125.9, 124.6, 123.5, 117.7, 115.3, 53.1, 27.5, 17.1; HRMS calcd for $C_{26}H_{25}N_2O_3S_2$ $[M+H]^+$ 477.1301; found: 477.1306.



(*Z*)-4-(1-((4-methoxyphenyl)sulfonyl)but-3-yn-1-ylidene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3ar)

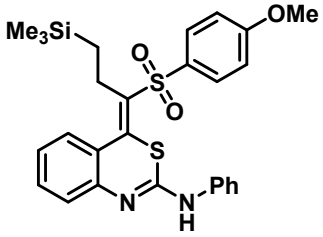
White solid (78% yield). melting point: 293-295 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 9.00 (s, 1H), 8.08 – 8.00 (m, 2H), 7.82 (dd, J = 7.5, 2.1 Hz, 1H), 7.70 (dd, J = 7.4, 2.1 Hz, 1H), 7.43 – 7.39 (m, 1H), 7.35 – 7.31 (m, 1H), 7.19 – 7.06 (m, 4H), 6.80 – 6.71 (m, 2H), 6.73 – 6.64 (m, 1H), 3.91 (s, 3H), 2.70 (d, J = 3.0 Hz, 2H), 2.23 (t, J = 3.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 166.5, 160.2, 158.7, 146.9, 140.4, 133.9, 133.2, 132.9, 132.3, 130.3, 129.1, 127.0, 124.6, 123.5,

117.7, 115.3, 82.7, 73.8, 53.8, 14.7; HRMS calcd for $C_{25}H_{21}N_2O_3S_2$[M+H]$^+$461.0988; found: 461.0985.



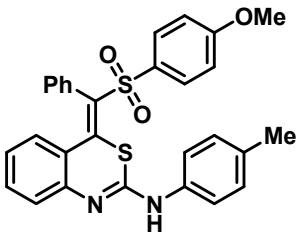
(*Z*)-4-(1-((4-methoxyphenyl)sulfonyl)-3-(methylthio)propylidene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3as)

White solid (71% yield). melting point: 228-230 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 8.95 (s, 1H), 7.98 – 7.84 (m, 2H), 7.70 (dd, J = 7.3, 2.1 Hz, 1H), 7.59 (dd, J = 7.4, 2.1 Hz, 1H), 7.41 – 7.37 (m, 1H), 7.35 – 7.31 (m, 1H), 7.18 – 7.06 (m, 4H), 6.75 (dd, J = 7.6, 2.0 Hz, 2H), 6.69 – 6.63 (m, 1H), 3.86 (s, 3H), 2.48 (t, J = 7.9 Hz, 2H), 2.33 (t, J = 7.4 Hz, 2H), 2.10 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 167.0, 160.2, 147.0, 140.4, 136.6, 134.0, 132.9, 132.3, 130.3, 129.1, 127.0, 126.4, 124.6, 123.5, 117.7, 115.3, 54.4, 31.1, 25.1, 15.2; HRMS calcd for $C_{25}H_{25}N_2O_3S_3$ [M+H]$^+$ 497.1022; found: 497.1026.



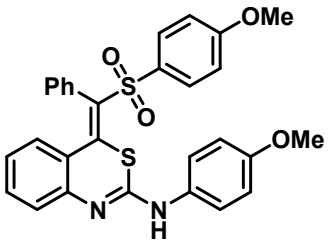
(*Z*)-4-(1-((4-methoxyphenyl)sulfonyl)-3-(trimethylsilyl)propylidene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3at)

White solid (78% yield). melting point: 257-259 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 10.62 (s, 1H), 8.03 – 7.94 (m, 2H), 7.70 (dd, J = 7.1, 2.4 Hz, 1H), 7.54 (dd, J = 7.2, 2.4 Hz, 1H), 7.37 – 7.32 (m, 2H), 7.20 – 7.06 (m, 4H), 6.75 (dd, J = 7.6, 2.0 Hz, 2H), 6.72 – 6.66 (m, 1H), 3.68 (s, 3H), 1.92 (t, J = 8.7 Hz, 2H), 0.66 (t, J = 8.7 Hz, 2H), 0.04 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 167.5, 160.9, 147.0, 140.4, 138.1, 133.9, 132.9, 132.3, 130.3, 129.1, 127.0, 126.3, 124.6, 123.5, 117.7, 115.3, 115.3, 53.8, 22.7, 15.1, -1.9; HRMS calcd for $C_{27}H_{31}N_2O_3S_2Si$ [M+H]$^+$ 523.1540; found: 523.1533.



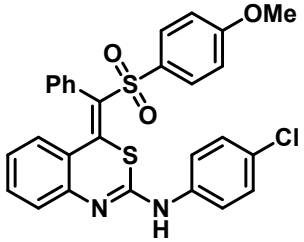
(*Z*)-4-(((4-methoxyphenyl)sulfonyl)(phenyl)methylene)-*N*-(*p*-tolyl)-4*H*-benzo[*d*][1,3]thiazin-2-amine (3au)

White solid (89% yield). melting point: 326-328 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 10.71 (s, 1H), 7.92 – 7.82 (m, 2H), 7.74 (dd, J = 7.0, 2.5 Hz, 1H), 7.51 (dd, J = 6.9, 2.7 Hz, 1H), 7.37 – 7.26 (m, 6H), 7.17 – 6.98 (m, 5H), 6.67 – 6.52 (m, 2H), 3.89 (s, 3H), 2.23 – 2.18 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 167.5, 161.2, 146.8, 138.3, 133.8, 133.3, 132.9, 132.4, 132.1, 132.0, 130.8, 130.1, 129.9, 129.7, 129.4, 129.2, 126.9, 125.1, 125.0, 118.3, 115.2, 57.8, 20.8; HRMS calcd for $C_{29}H_{25}N_2O_3S_2$ $[M+H]^+$ 513.1301; found: 513.1305.



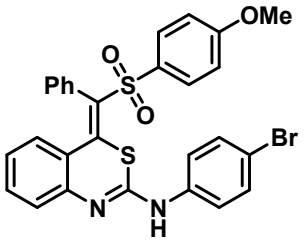
(*Z*)-*N*-(4-methoxyphenyl)-4-(((4-methoxyphenyl)sulfonyl)(phenyl)methylene)-4*H*-benzo[*d*][1,3]thiazin-2-amine (3av)

White solid (84% yield). melting point: 339-341 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 10.60 (s, 1H), 8.12 – 8.00 (m, 2H), 7.77 – 7.70 (m, 1H), 7.65 – 7.58 (m, 1H), 7.38 (t, J = 7.5 Hz, 2H), 7.33 – 7.27 (m, 4H), 7.13 – 7.09 (m, 1H), 7.08 – 7.02 (m, 2H), 6.71 – 6.65 (m, 2H), 6.65 – 6.56 (m, 2H), 3.86 (s, 3H), 3.65 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 167.5, 160.2, 156.1, 146.8, 136.1, 133.8, 133.3, 132.9, 132.4, 131.9, 130.78, 130.79, 130.1, 129.9, 129.7, 129.2, 126.9, 125.1, 125.0, 122.9, 115.2, 60.2, 56.3; HRMS calcd for $C_{29}H_{25}N_2O_4S_2$ $[M+H]^+$ 529.1250; found: 529.1255.



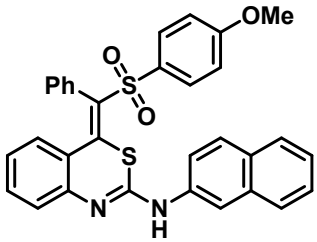
(*Z*)-*N*-(4-chlorophenyl)-4-(((4-methoxyphenyl)sulfonyl)(phenyl)methylene)-4*H*-benzo[*d*][1,3]thiazin-2-amine (3aw)

White solid (80% yield). melting point: 354-356 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 10.60 (s, 1H), 8.11 – 8.02 (m, 2H), 7.73 (dd, J = 5.6, 3.8 Hz, 1H), 7.62 (dd, J = 5.6, 3.8 Hz, 1H), 7.42 – 7.27 (m, 6H), 7.23 – 7.16 (m, 2H), 7.11 – 7.02 (m, 1H), 7.08 – 7.01 (m, 2H), 6.79 – 6.70 (m, 2H), 3.65 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 169.9, 160.4, 146.8, 139.7, 133.8, 133.3, 132.9, 132.4, 131.9, 130.8, 130.1, 129.9, 129.7, 129.2, 129.0, 127.8, 126.9, 125.1, 125.0, 119.4, 115.2, 57.9; HRMS calcd for $C_{28}H_{22}ClN_2O_3S_2$ $[M+H]^+$ 533.0755; found: 533.0757.



(*Z*)-*N*-(4-bromophenyl)-4-(((4-methoxyphenyl)sulfonyl)(phenyl)methylene)-4*H*-benzo[*d*][1,3]thiazin-2-amine (3ax)

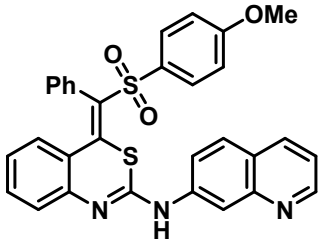
White solid (83% yield). melting point: 376-378 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 10.58 (s, 1H), 8.11 – 8.02 (m, 2H), 7.72 (dd, J = 5.7, 3.9 Hz, 1H), 7.62 (dd, J = 5.7, 3.9 Hz, 1H), 7.41 – 7.27 (m, 8H), 7.23 – 7.17 (m, 2H), 7.11 – 7.02 (m, 1H) 6.73 – 6.66 (m, 2H), 3.94 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 166.5, 160.1, 146.8, 138.9, 133.8, 133.3, 132.9, 132.5, 132.4, 131.9, 130.8, 130.1, 129.9, 129.7, 129.2, 126.9, 125.1, 125.0, 123.9, 119.6, 115.2, 54.1; HRMS calcd for $C_{28}H_{22}BrN_2O_3S_2$ $[M+H]^+$ 577.0250; found: 577.0253.



(*Z*)-4-(((4-methoxyphenyl)sulfonyl)(phenyl)methylene)-*N*-(naphthalen-2-yl)-4*H*-benzo[*d*][1,3]thiazin-2-amine (3ay)

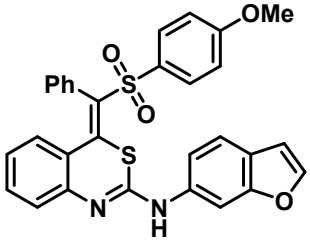
White solid (89% yield). melting point: 352-354 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 9.24 (s, 1H), 8.00 – 7.91 (m, 2H), 7.84 – 7.80 (m, 1H), 7.77 – 7.71 (m, 1H), 7.66 – 7.56 (m, 3H), 7.54 (dd, J = 7.9, 1.5 Hz, 1H), 7.37 (t, J = 7.4 Hz, 2H), 7.34 – 7.26 (m, 6H), 7.16 (s, 1H), 7.15 – 7.05 (m, 3H), 3.87 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): 167.3, 159.6, 146.8, 139.6, 134.7, 133.8, 133.3, 132.9, 132.4, 131.9, 130.8, 130.1, 129.9, 129.7, 129.46, 129.45, 129.20, 129.17, 128.1,

126.9, 126.5, 126.1, 125.1, 125.0, 119.8, 115.6, 115.2, 56.8; HRMS calcd for $C_{32}H_{25}N_2O_3S_2$ $[M+H]^+$ 549.1301; found: 549.1307.



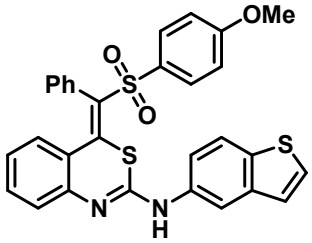
(*Z*)-4-(((4-methoxyphenyl)sulfonyl)(phenyl)methylene)-*N*-(quinolin-7-yl)-4*H*-benzo[*d*][1,3]thiazin-2-amine (3az)

White solid (84% yield). melting point: 412-414 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 9.00 (s, 1H), 8.77 (d, J = 1.5 Hz, 1H), 8.63 (dd, J = 7.5, 1.5 Hz, 1H), 7.92 – 7.87 (m, 3H), 7.77 – 7.69 (m, 2H), 7.66 (dd, J = 7.7, 1.4 Hz, 1H), 7.62 – 7.56 (m, 1H), 7.42 – 7.31 (m, 4H), 7.32 – 7.27 (m, 2H), 7.19 (t, J = 7.5 Hz, 1H), 7.14 – 7.06 (m, 3H), 3.83 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 166.2, 159.3, 150.9, 146.8, 146.5, 140.1, 135.5, 133.8, 133.3, 132.9, 132.4, 131.9, 130.8, 130.1, 129.9, 129.7, 129.2, 127.9, 127.2, 126.9, 125.1, 125.0, 121.2, 120.5, 116.8, 115.2, 56.8; HRMS calcd for $C_{31}H_{24}N_3O_3S_2$ $[M+H]^+$ 550.1254; found: 550.1256.



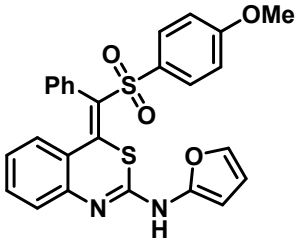
(*Z*)-*N*-(benzofuran-6-yl)-4-(((4-methoxyphenyl)sulfonyl)(phenyl)methylene)-4*H*-benzo[*d*][1,3]thiazin-2-amine (3ba)

White solid (80% yield). melting point: 373-375 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 10.97 (s, 1H), 7.89 – 7.82 (m, 2H), 7.76 (dd, J = 6.8, 2.7 Hz, 1H), 7.61 (d, J = 7.5 Hz, 1H), 7.51 (dd, J = 6.7, 2.8 Hz, 1H), 7.46 – 7.40 (m, 1H), 7.37 – 7.30 (m, 4H), 7.29 – 7.13 (m, 2H) , 7.13 – 7.09 (m, 1H), 7.06 – 6.97 (m, 2H), 6.76 (dd, J = 7.5, 1.5 Hz, 1H), 6.72 (d, J = 1.5 Hz, 1H), 6.43 (dd, J = 7.5, 1.5 Hz, 1H), 3.88 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 167.3, 160.2, 156.4, 146.8, 145.5, 142.4, 133.8, 133.3, 132.9, 132.4, 131.9, 130.8, 130.5, 130.1, 129.9, 129.7, 129.2, 126.9, 125.1, 125.0, 121.7, 116.6, 115.2, 108.4, 103.4, 55.9; HRMS calcd for $C_{30}H_{23}N_2O_4S_2$ $[M+H]^+$539.1094; found: 539.1099.



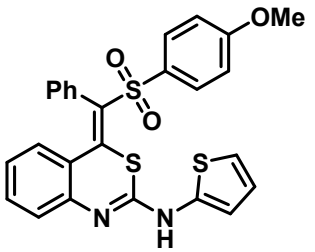
(*Z*)-*N*-(benzo[b]thiophen-5-yl)-4-(((4-methoxyphenyl)sulfonyl)(phenyl)methylene)-4*H*-benzo[*d*][1,3]thiazin-2-amine (3bb)

White solid (83% yield). melting point: 384-386 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 10.91 (s, 1H), 7.90 – 7.81 (m, 2H), 7.76 (dd, J = 6.8, 2.7 Hz, 1H), 7.69 (d, J = 7.6 Hz, 1H), 7.51 (dd, J = 6.7, 2.9 Hz, 1H), 7.46 – 7.36 (m, 2H), 7.37 – 7.31 (m, 4H), 7.29 – 7.15 (m, 2H) 7.15 – 7.06 (m, 2H), 7.05 – 6.97 (m, 2H), 6.53 (dd, J = 7.5, 1.5 Hz, 1H), 3.89 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 165.2, 158.8, 146.8, 138.6, 137.4, 135.6, 133.8, 133.3, 132.9, 132.4, 131.9, 130.8, 130.1, 129.9, 129.7, 129.2, 126.9, 126.6, 126.1, 125.1, 125.0, 122.7, 121.3, 115.2, 114.9, 57.1; HRMS calcd for $C_{30}H_{23}N_2O_3S_3$ $[M+H]^+$ 555.0865; found: 555.0862.



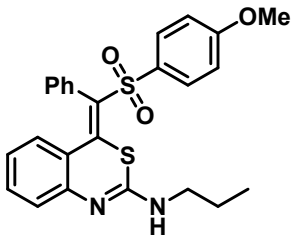
(*Z*)-*N*-(furan-2-yl)-4-(((4-methoxyphenyl)sulfonyl)(phenyl)methylene)-4*H*-benzo[*d*][1,3]thiazin-2-amine (3bc)

White solid (76% yield). melting point: 333-335 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 11.10 (s, 1H), 8.13 – 8.05 (m, 2H), 7.72 – 7.67 (m, 1H), 7.64 – 7.58 (m, 1H), 7.39 – 7.32 (m, 4H), 7.30 – 7.18 (m, 2H) 7.24 – 7.17 (m, 2H), 7.15 – 7.06 (m, 2H), 7.00 (dd, J = 7.5, 1.5 Hz, 1H), 6.30 (t, J = 7.5 Hz, 1H), 3.78 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 166.5, 157.2, 149.5, 146.8, 137.3, 133.8, 133.3, 132.9, 132.4, 131.9, 130.8, 130.1, 129.9, 129.7, 129.2, 126.9, 125.1, 125.0, 115.2, 110.9, 100.9, 54.9; HRMS calcd for $C_{26}H_{21}N_2O_4S_2$ $[M+H]^+$ 489.0937; found: 489.0934.



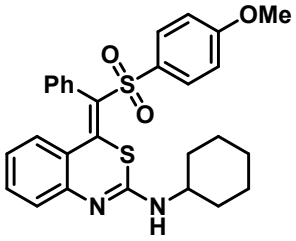
(*Z*)-4-(((4-methoxyphenyl)sulfonyl)(phenyl)methylene)-*N*-(thiophen-2-yl)-4*H*-benzo[*d*][1,3]thiazin-2-amine (3bd)

White solid (81% yield). melting point: 312-314 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 11.19 (s, 1H), 8.14 – 8.05 (m, 2H), 7.75 – 7.68 (m, 1H), 7.66 – 7.59 (m, 1H), 7.43 – 7.27 (m, 6H), 7.24 – 7.18 (m, 2H), 7.11 – 7.04 (m, 1H), 6.80 (t, J = 7.5 Hz, 1H), 6.59 (dd, J = 7.5, 1.5 Hz, 1H), 6.01 (dd, J = 7.5, 1.5 Hz, 1H), 3.89 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 165.9, 156.9, 155.3, 146.8, 133.8, 133.3, 132.9, 132.4, 131.9, 130.8, 130.1, 129.9, 129.9, 129.7, 129.2, 126.9, 125.1, 125.0, 123.8, 120.8, 115.2, 56.8; HRMS calcd for $C_{26}H_{21}N_2O_3S_3$ $[M+H]^+$ 505.0709; found: 505.0703.



(*Z*)-4-(((4-methoxyphenyl)sulfonyl)(phenyl)methylene)-*N*-propyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3be)

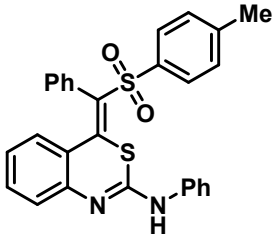
White solid (43% yield). melting point: 289-291 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 7.93 – 7.79 (m, 3H), 7.68 (dd, J = 7.2, 2.2 Hz, 1H), 7.49 (dd, J = 7.2, 2.3 Hz, 1H), 7.39 – 7.26 (m, 6H), 7.07 – 6.98 (m, 2H), 3.89 (s, 3H), 3.64 (t, J = 7.6 Hz, 2H), 1.54 – 1.48 (m, 2H), 0.91 (t, J = 8.0 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 166.0, 164.4, 146.8, 133.8, 133.3, 132.9, 132.4, 131.9, 130.8, 130.1, 129.9, 129.7, 129.2, 126.9, 125.1, 125.0, 115.2, 54.6, 47.5, 22.7, 11.6; HRMS calcd for $C_{25}H_{25}N_2O_3S_2$ $[M+H]^+$ 465.1301; found: 465.1296.



(*Z*)-*N*-cyclohexyl-4-(((4-methoxyphenyl)sulfonyl)(phenyl)methylene)-4*H*-benzo[*d*][1,3]thiazin-2-amine (3bf)

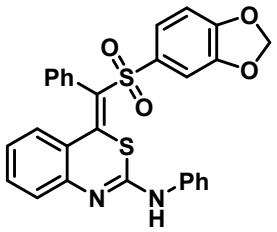
White solid (51% yield). melting point: 305-307 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 7.88 (d, J = 7.5 Hz, 2H), 7.67 (d, J = 6.9 Hz, 1H), 7.56 – 7.50 (m, 2H), 7.42 – 7.33 (m, 4H), 7.33 – 7.27 (m, 2H), 7.11 (dd, J = 7.4, 2.9 Hz, 3H), 3.83 (s, 3H), 3.44 (s, 1H), 2.01 – 1.92 (m, 2H), 1.81 – 1.47 (m, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 167.5, 163.0, 146.8, 133.8, 133.3, 132.9, 132.4, 131.9,

130.8, 130.1, 129.9, 129.7, 129.2, 126.9, 125.1, 125.0, 115.2, 56.5, 51.1, 32.5, 26.0, 25.3; HRMS calcd for $C_{28}H_{29}N_2O_3S_2[M+H]^+$ 505.1614; found: 505.1617.



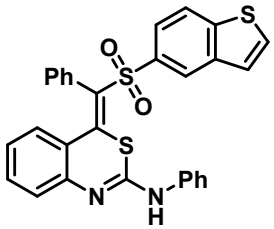
(*Z*)-*N*-phenyl-4-(phenyl(tosyl)methylene)-4*H*-benzo[*d*][1,3]thiazin-2-amine (3bg)

White solid (81% yield). melting point: 362-364 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 9.40 (s, 1H), 7.89 – 7.79 (m, 2H), 7.70 (dd, J = 6.5, 3.0 Hz, 1H), 7.59 – 7.51 (m, 1H), 7.44 (dd, J = 7.7, 2.0 Hz, 2H), 7.38 (t, J = 7.5 Hz, 2H), 7.30 – 7.17 (m, 2H), 7.25 – 7.20 (m, 2H), 7.18-7.09 (m, 3H), 6.75 (dd, J = 7.6, 2.0 Hz, 2H), 6.69 – 6.61 (m, 1H), 2.57 (t, J = 1.0 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 158.8, 146.8, 144.9, 140.4, 138.6, 133.8, 132.9, 132.4, 131.9, 130.8, 130.1, 129.7, 129.4, 129.2, 129.1, 126.9, 126.8, 125.1, 125.0, 123.5, 117.7, 23.0; HRMS calcd for $C_{28}H_{23}N_2O_2S_2$ $[M+H]^+$ 483.1195; found: 483.1198.



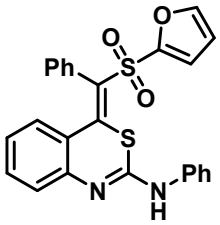
(*Z*)-4-((benzo[*d*][1,3]dioxol-5-ylsulfonyl)(phenyl)methylene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3bh)

White solid (79% yield). melting point: 336-338 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 10.55 (s, 1H), 7.99 (dd, J = 7.5, 2.0 Hz, 1H), 7.94 (d, J = 2.1 Hz, 1H), 7.73 (dd, J = 5.7, 3.8 Hz, 1H), 7.63 (dd, J = 5.6, 3.8 Hz, 1H), 7.43 (dd, J = 7.7, 2.0 Hz, 2H), 7.40 – 7.33 (m, 3H), 7.30 (dd, J = 5.7, 3.8 Hz, 2H), 7.19 – 7.06 (m, 3H), 6.75 (dd, J = 7.6, 2.0 Hz, 2H), 6.69 – 6.60 (m, 1H), 5.95 (s, 2H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 157.9, 151.8, 147.1, 146.8, 140.4, 136.1, 133.8, 132.9, 132.4, 131.9, 130.8, 130.1, 129.7, 129.2, 129.1, 127.0, 125.1, 125.0, 125.0, 123.5, 117.7, 116.8, 109.2, 101.4; HRMS calcd for $C_{28}H_{21}N_2O_4S_2$ $[M+H]^+$ 513.0937; found: 513.0932.



(*Z*)-4-((benzo[*b*]thiophen-5-ylsulfonyl)(phenyl)methylene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3bi)

White solid (72% yield). melting point: 371-373 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 10.66 (s, 1H), 8.71 (d, J = 1.7 Hz, 1H), 8.26 (d, J = 7.5 Hz, 1H), 8.15 (dd, J = 7.5, 1.4 Hz, 1H), 7.74 (dd, J = 5.6, 3.9 Hz, 1H), 7.64 (dd, J = 5.6, 4.0 Hz, 1H), 7.49 – 7.39 (m, 4H), 7.37 (t, J = 7.5 Hz, 2H), 7.30 (dd, J = 5.6, 3.9 Hz, 2H), 7.12 – 7.00 (m, 3H), 6.81 – 6.72 (m, 2H), 6.69 – 6.63 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 159.1, 146.8, 140.4, 138.3, 137.7, 136.5, 133.8, 132.94, 132.88, 132.4, 131.9, 130.8, 130.1, 129.7, 129.2, 129.1, 128.4, 126.9, 126.6, 125.2, 125.1, 125.0, 123.5, 122.7, 117.7; HRMS calcd for $C_{29}H_{21}N_2O_2S_3$ $[M+H]^+$ 525.0760; found: 525.0766.



(*Z*)-4-((furan-2-ylsulfonyl)(phenyl)methylene)-*N*-phenyl-4*H*-benzo[*d*][1,3]thiazin-2-amine (3bj)

White solid (70% yield). melting point: 325-327 °C. ^{1}H NMR (400 MHz, $CDCl_3$, ppm): δ 8.90 (s, 1H), 7.73 (dd, J = 5.7, 3.9 Hz, 1H), 7.62 – 7.55 (m, 2H), 7.41 (dd, J = 7.6, 2.1 Hz, 2H), 7.35 (t, J = 7.5 Hz, 2H), 7.30 (dd, J = 5.7, 3.8 Hz, 2H), 7.18 (t, J = 7.5 Hz, 2H), 7.11 – 7.05 (m, 1H), 6.75 (dd, J = 7.7, 2.0 Hz, 2H), 6.73 – 6.63 (m, 2H), 6.37 (t, J = 7.5 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm): δ 160.9, 150.4, 146.8, 145.2, 140.4, 138.0, 133.8, 133.3, 132.4, 132.0, 130.8, 130.1, 129.7, 129.1, 126.9, 125.1, 125.0, 123.5, 117.7, 111.3, 107.7; HRMS calcd for $C_{25}H_{19}N_2O_3S_2$ $[M+H]^+$ 459.0832; found: 459.0837.

5 X-ray of 3ab Crystallography details

Compound **3ab** was dissolved in DCM and cold *n*-hexane was added, leaving to slow evaporation overnight to yield colorless needle. A suitable crystal was selected and mounted on a X-ray with Mo radiation (10.9413(2), 13.5395(3), 20.7527(5)) for cell determination and subsequent data collection at 296 K. Using SHELXL-2014, the structure was solved with the ShelXT11 structure solution program using Intrinsic Phasing and refined with the ShelXL12 refinement package using Least Squares minimisation. The solved structure of **3ab** has been deposited in The Cambridge Crystallographic Data Centre (CCDC: 2536283)

Figure S1. ORTEP diagram of compound **3ab** with ellipsoid shown at the 50% contour percent probability level

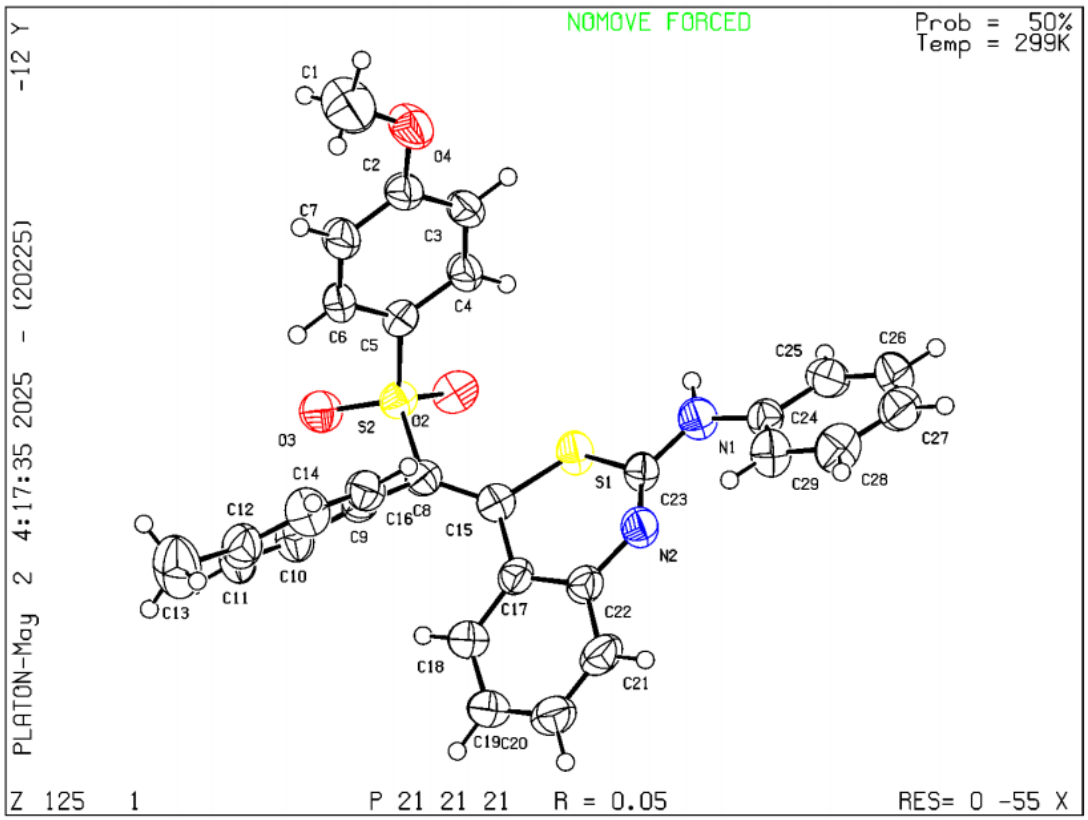
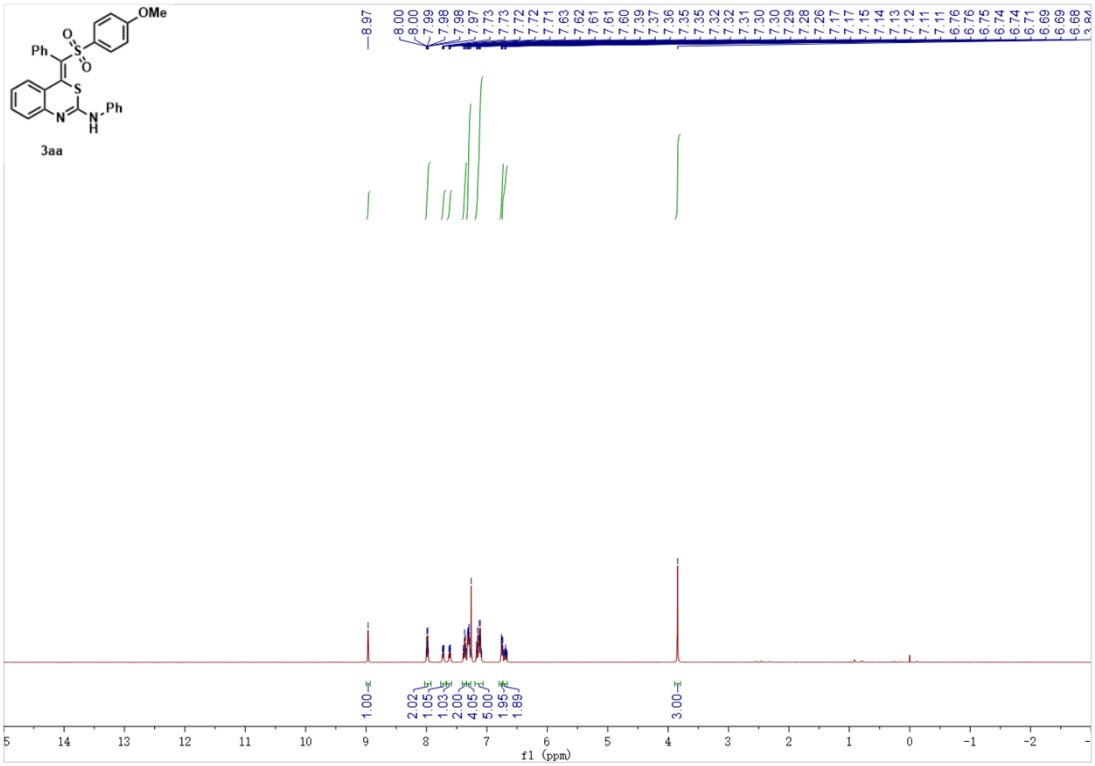


Table S1. Crystal Data and Structure Refinement for **3ab**

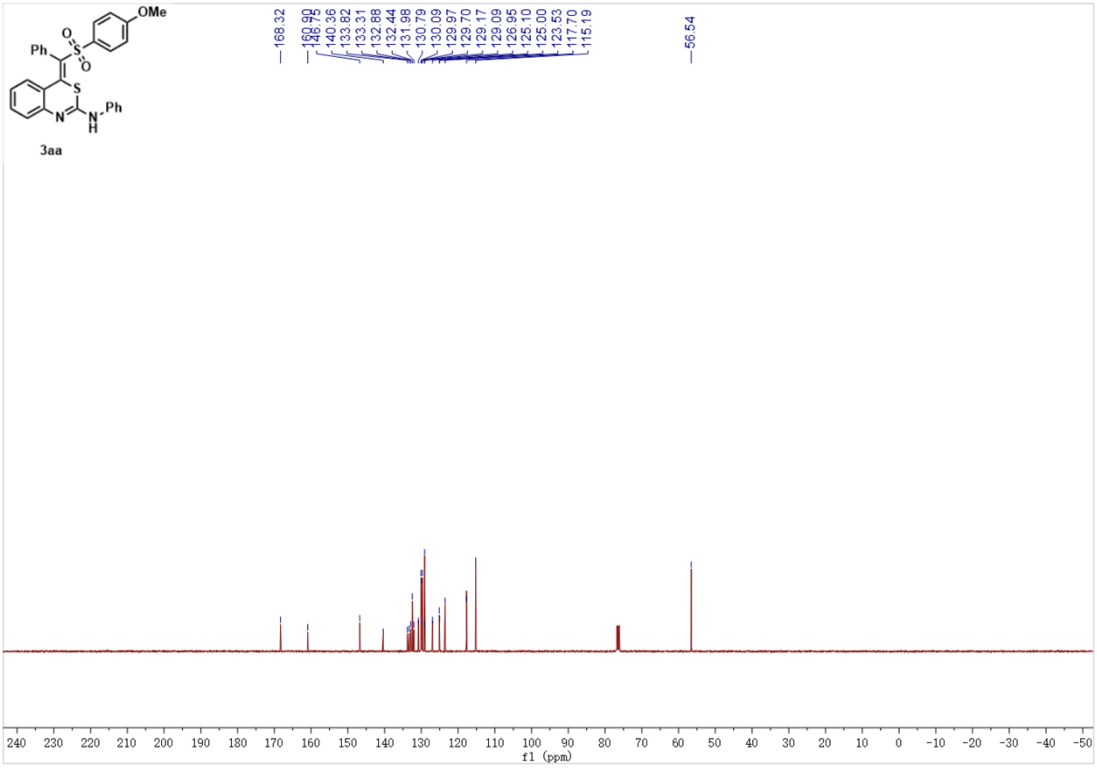
Identification code	1
Empirical formula	$C_{29}H_{24}N_2O_3S_2$
Formula weight	512.62
Temperature/K	299.44(10)
Crystal system	orthorhombic
Space group	$P2_12_12_1$
a/Å	10.9413(2)
b/Å	13.5395(3)
c/Å	20.7527(5)
α/°	90
β/°	90
γ/°	90
Volume/Å^3	3074.30(12)
Z	4
ρ_{calc}g/cm^3	1.108
μ/mm^{-1}	1.798
F(000)	1072.0
Crystal size/mm^3	0.23 × 0.16 × 0.12
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection/°	7.796 to 151.122
Index ranges	-13 ≤ h ≤ 9, -16 ≤ k ≤ 16, -24 ≤ l ≤ 25
Reflections collected	20205
Independent reflections	6029 [R_{int} = 0.0454, R_{sigma} = 0.0427]
Data/restraints/parameters	6029/0/327
Goodness-of-fit on F^2	1.055
Final R indexes [I>=2σ (I)]	R_1 = 0.0463, wR_2 = 0.1182
Final R indexes [all data]	R_1 = 0.0548, wR_2 = 0.1232
Largest diff. peak/hole / e Å^{-3}	0.26/-0.22
Flack parameter	0.000(9)

6 Copies of NMR Spectra

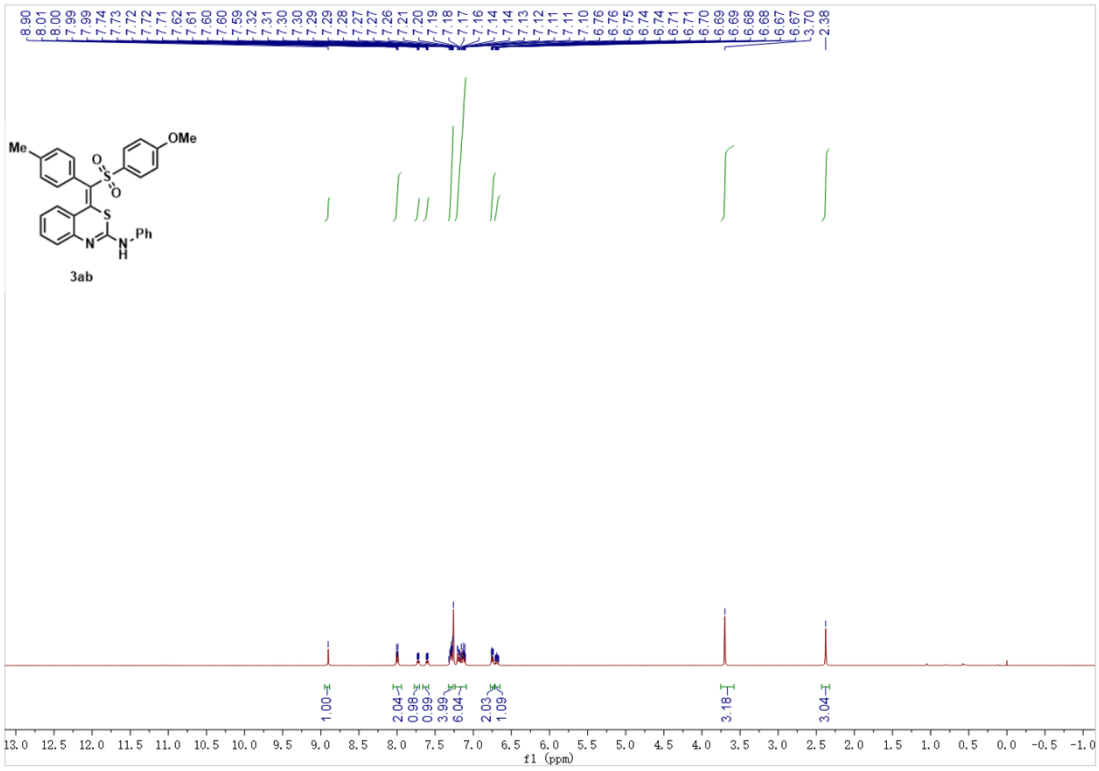
^{1}H NMR of **3aa** (400 MHz, $CDCl_3$):



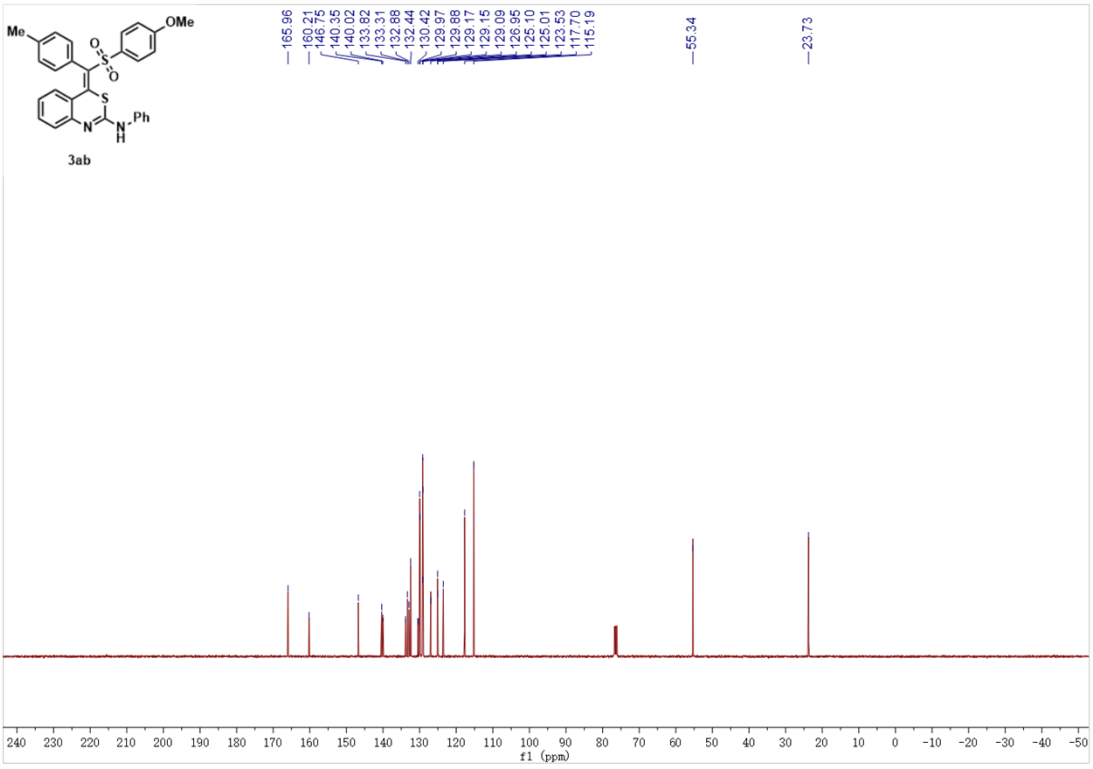
^{13}C NMR of **3aa** (100 MHz, $CDCl_3$):



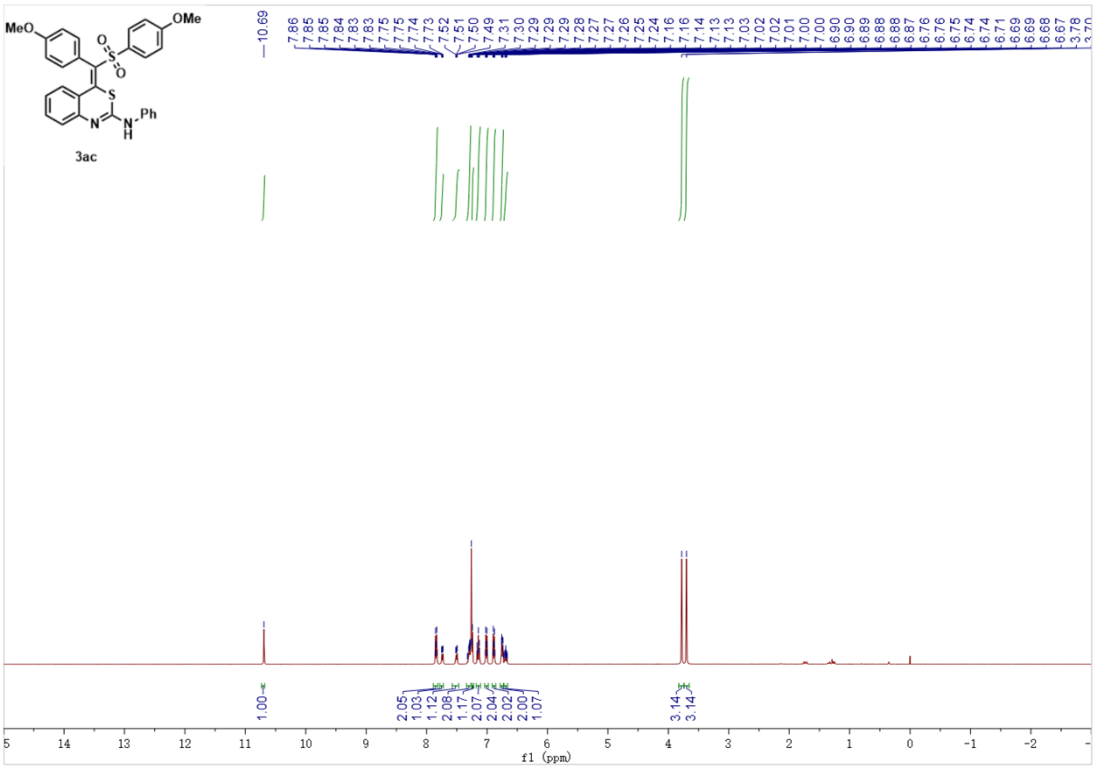
^{1}H NMR of **3ab** (400 MHz, $CDCl_3$):



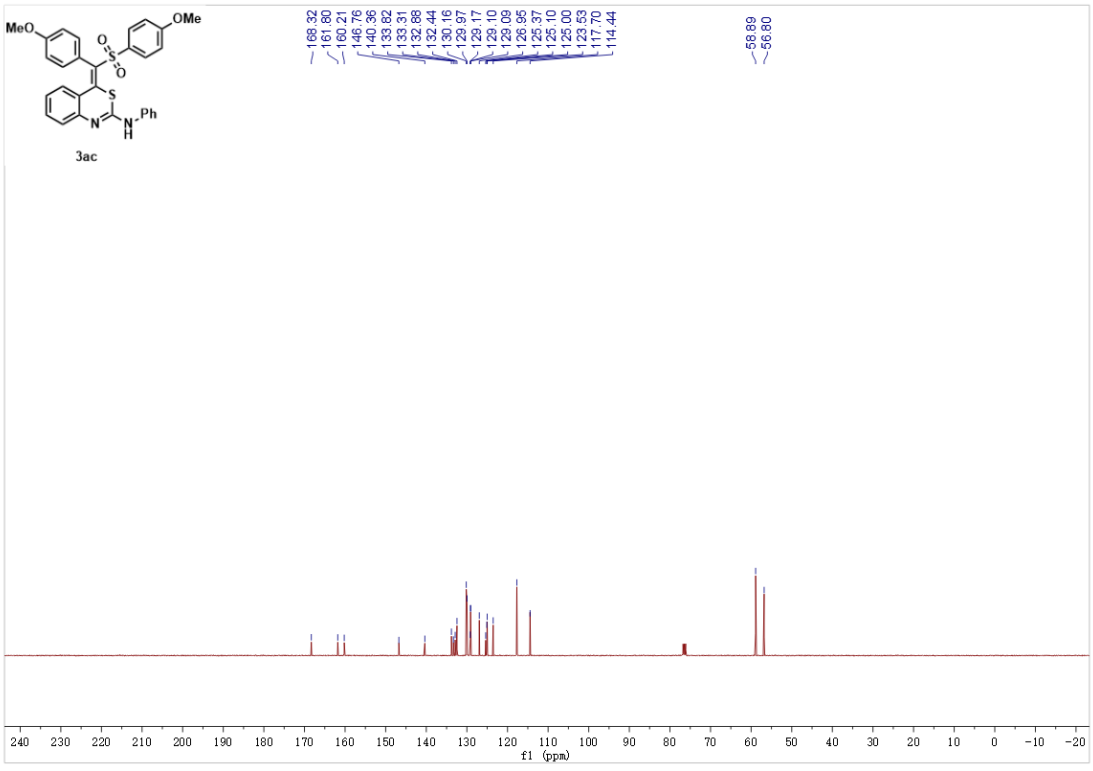
^{13}C NMR of **3ab** (100 MHz, $CDCl_3$):



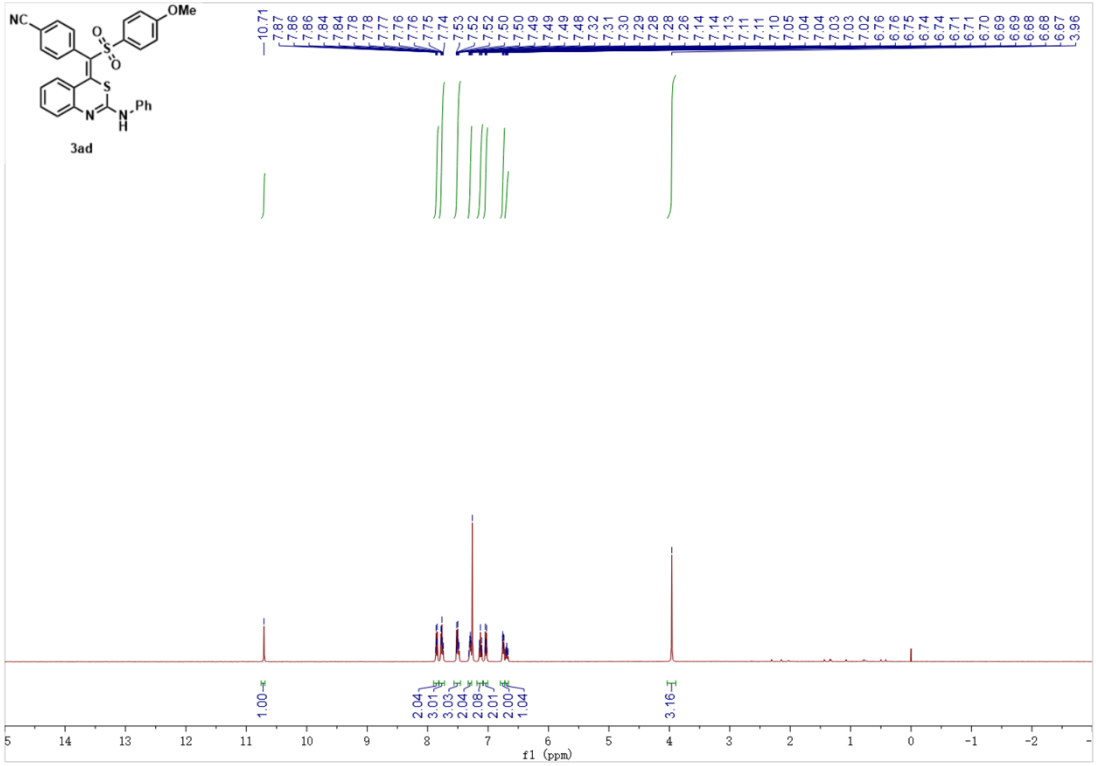
^{1}H NMR of **3ac** (400 MHz, $CDCl_3$):



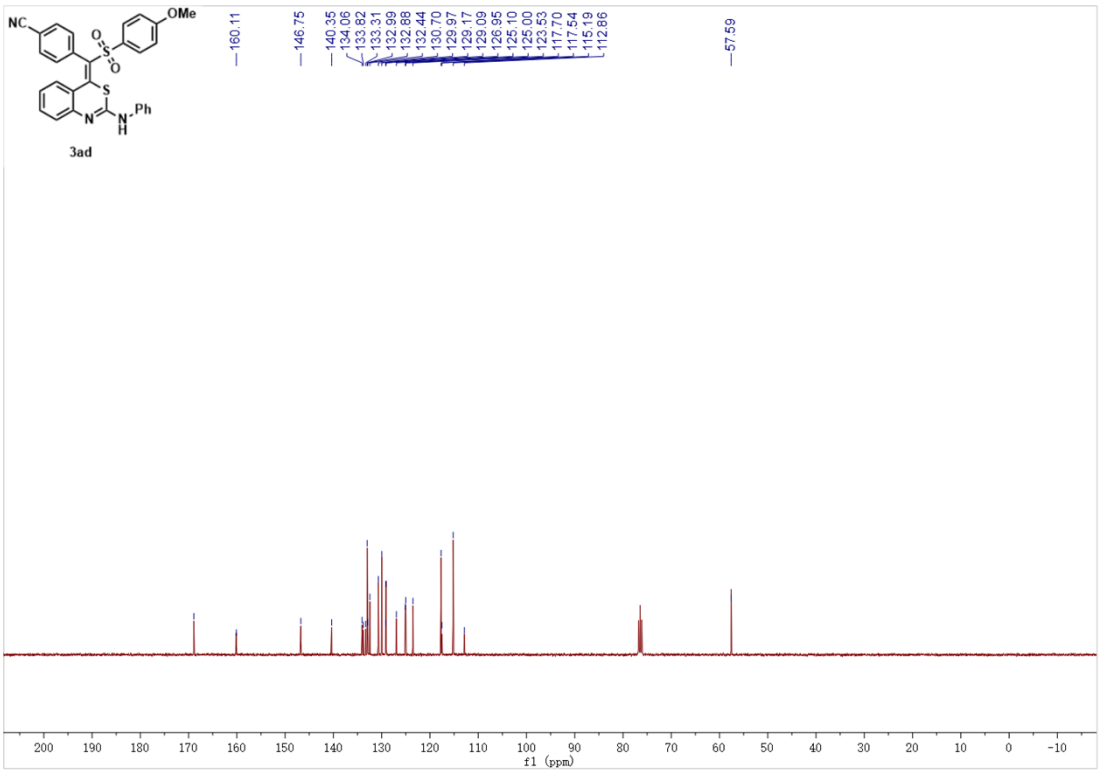
^{13}C NMR of **3ac** (100 MHz, $CDCl_3$):



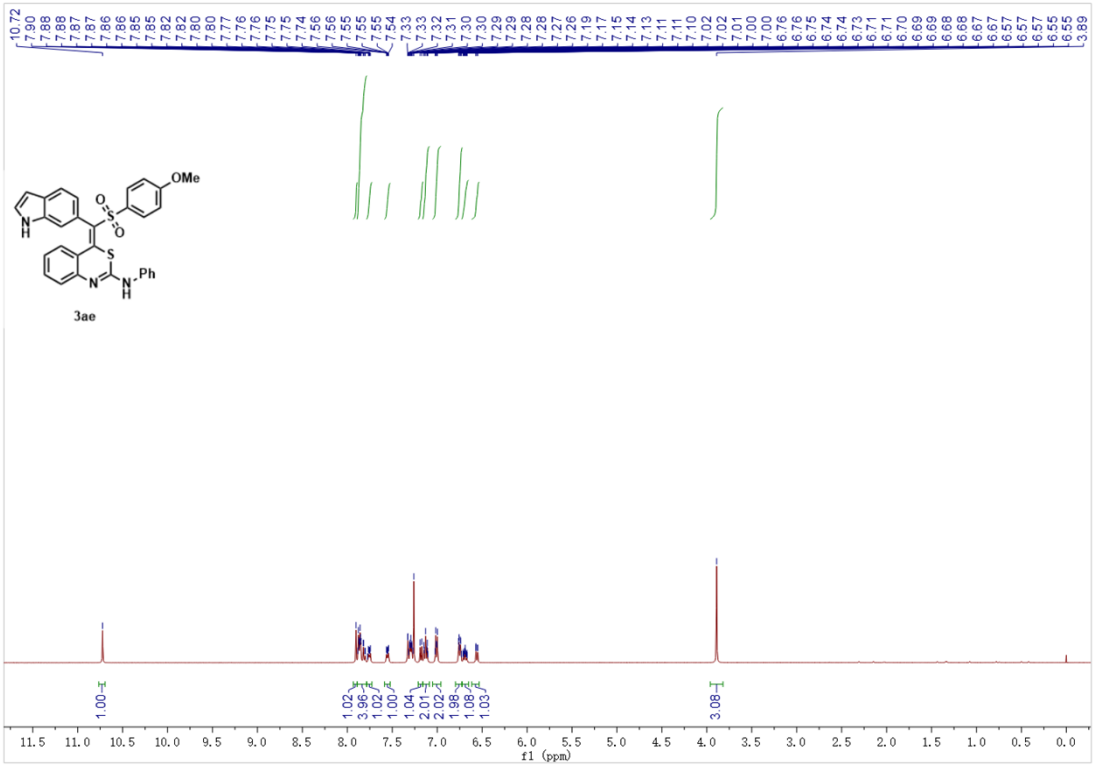
^{1}H NMR of **3ad** (400 MHz, $CDCl_3$):



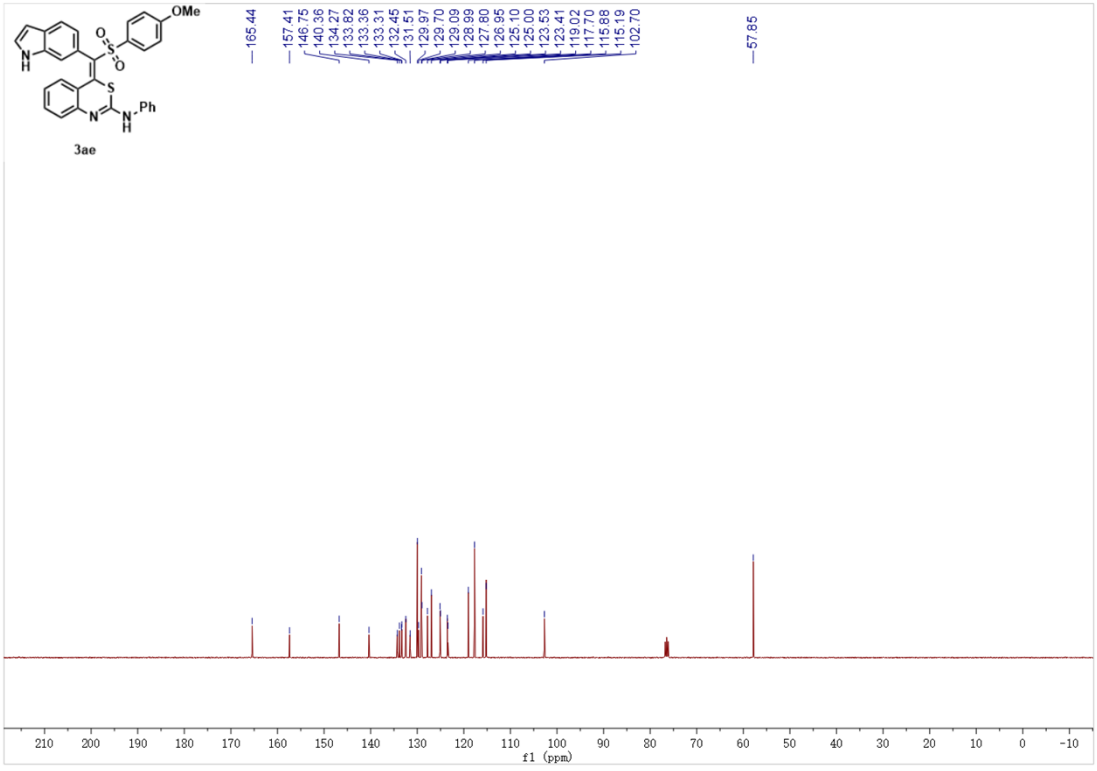
^{13}C NMR of **3ad** (100 MHz, $CDCl_3$):



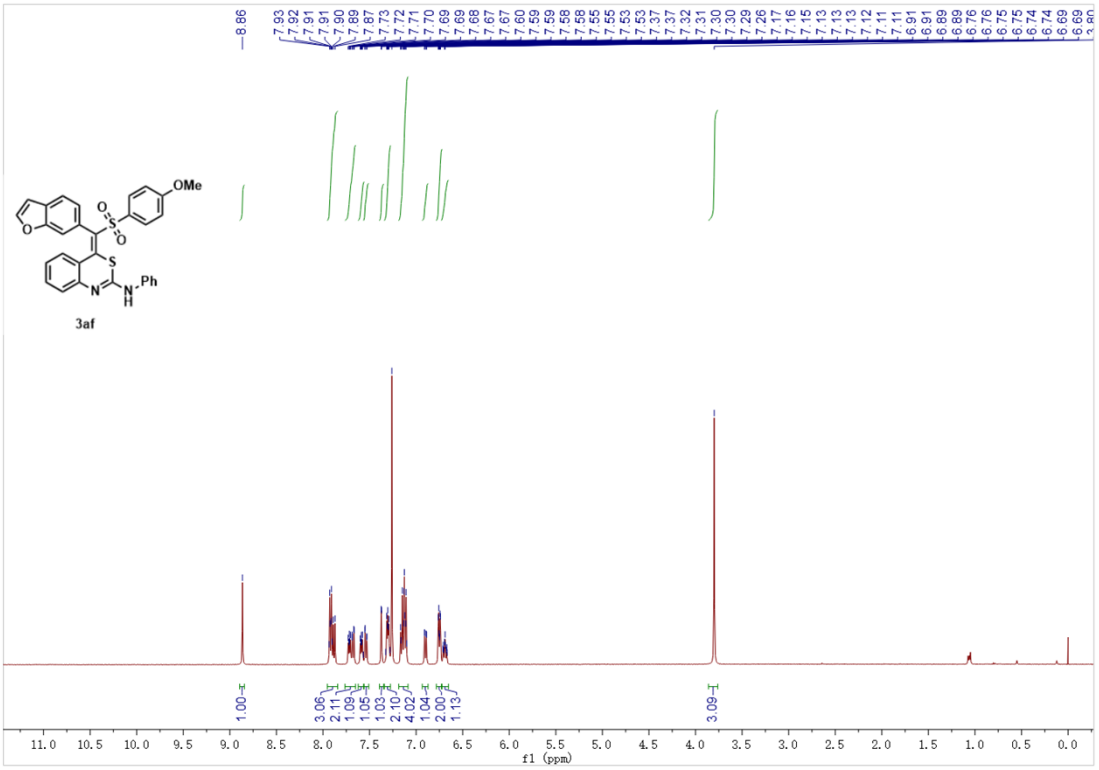
^{1}H NMR of **3ae** (400 MHz, $CDCl_3$):



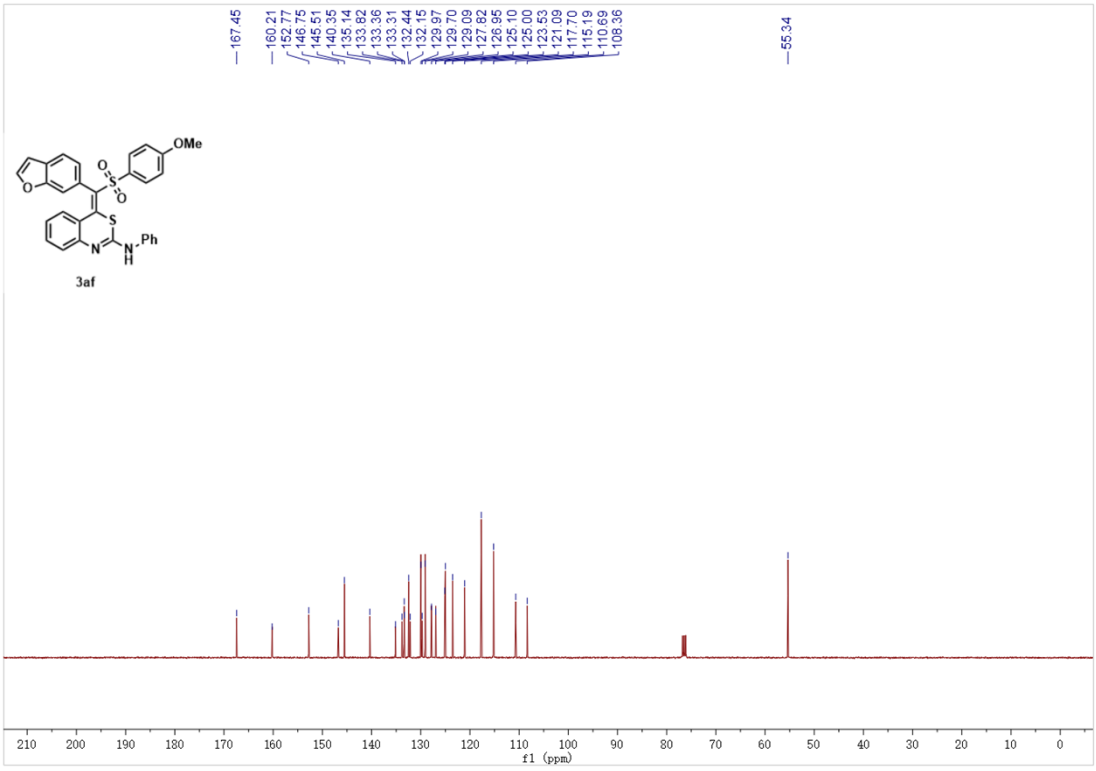
^{13}C NMR of **3ae** (100 MHz, $CDCl_3$):



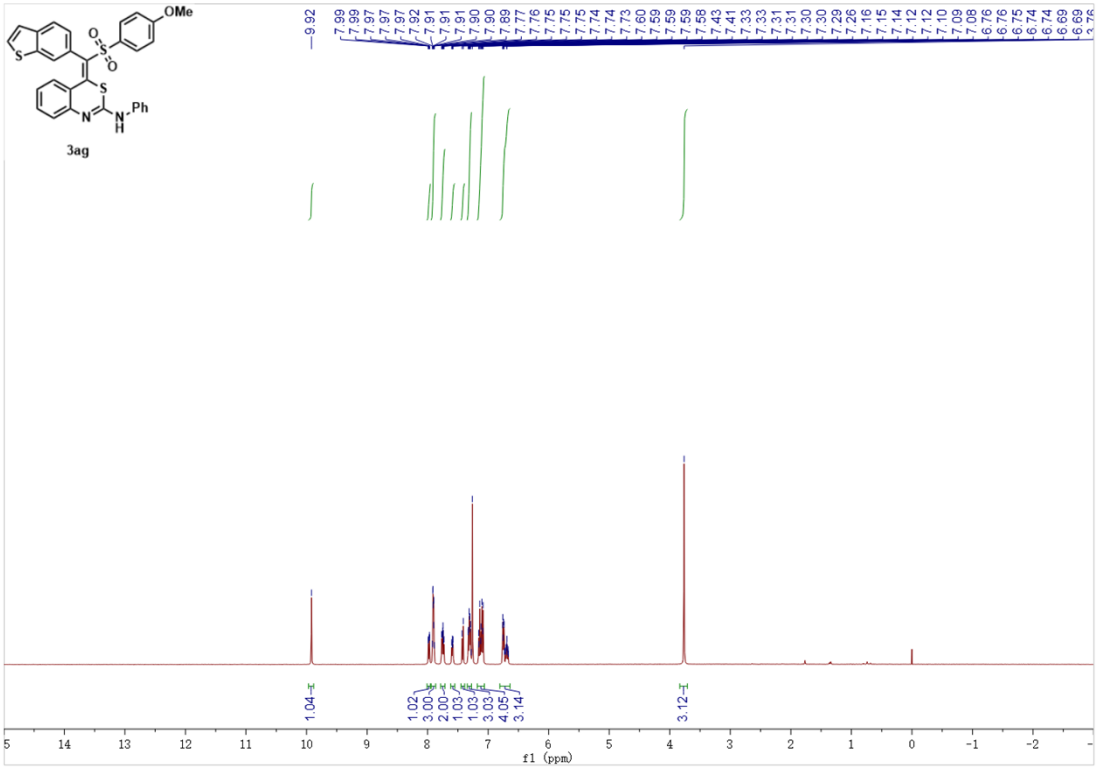
^{1}H NMR of **3af** (400 MHz, $CDCl_3$):



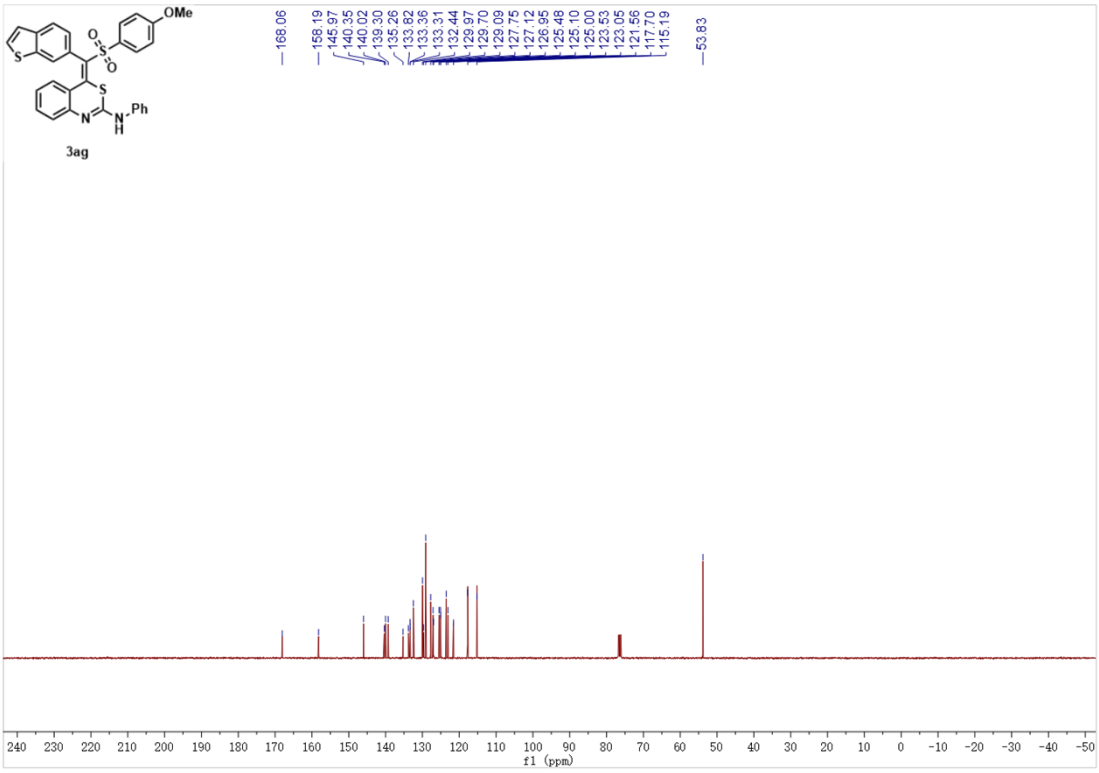
^{13}C NMR of **3af** (100 MHz, $CDCl_3$):



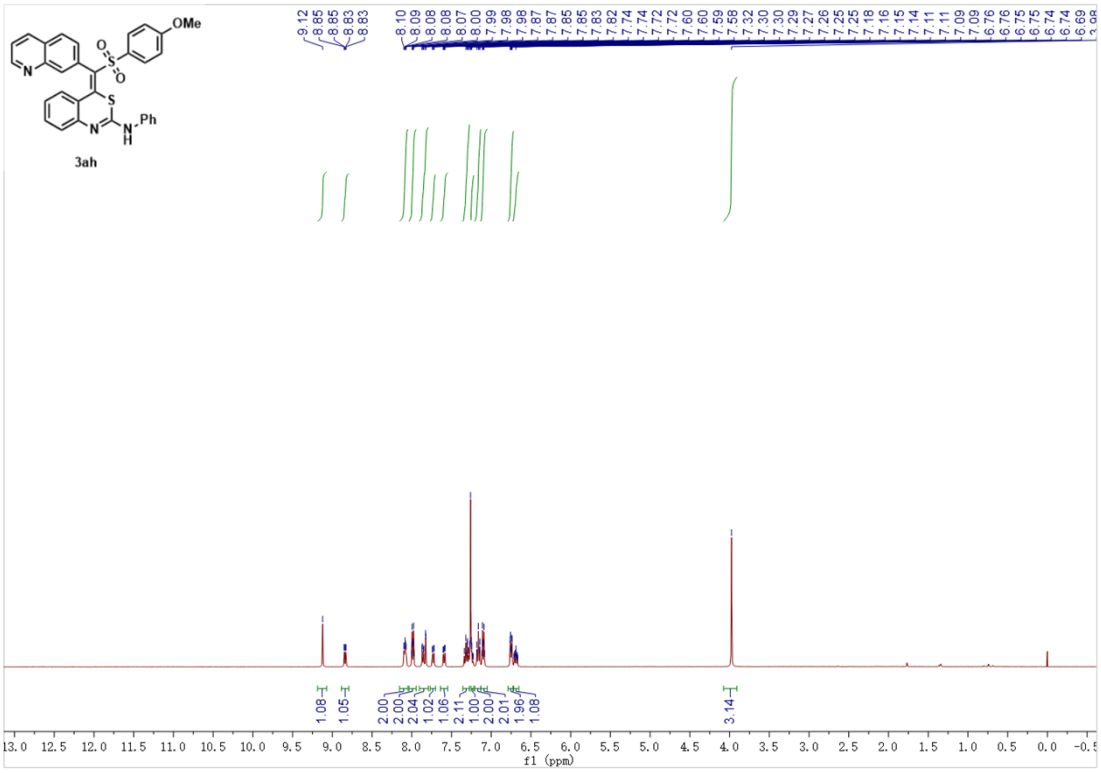
^{1}H NMR of **3ag** (400 MHz, $CDCl_3$):



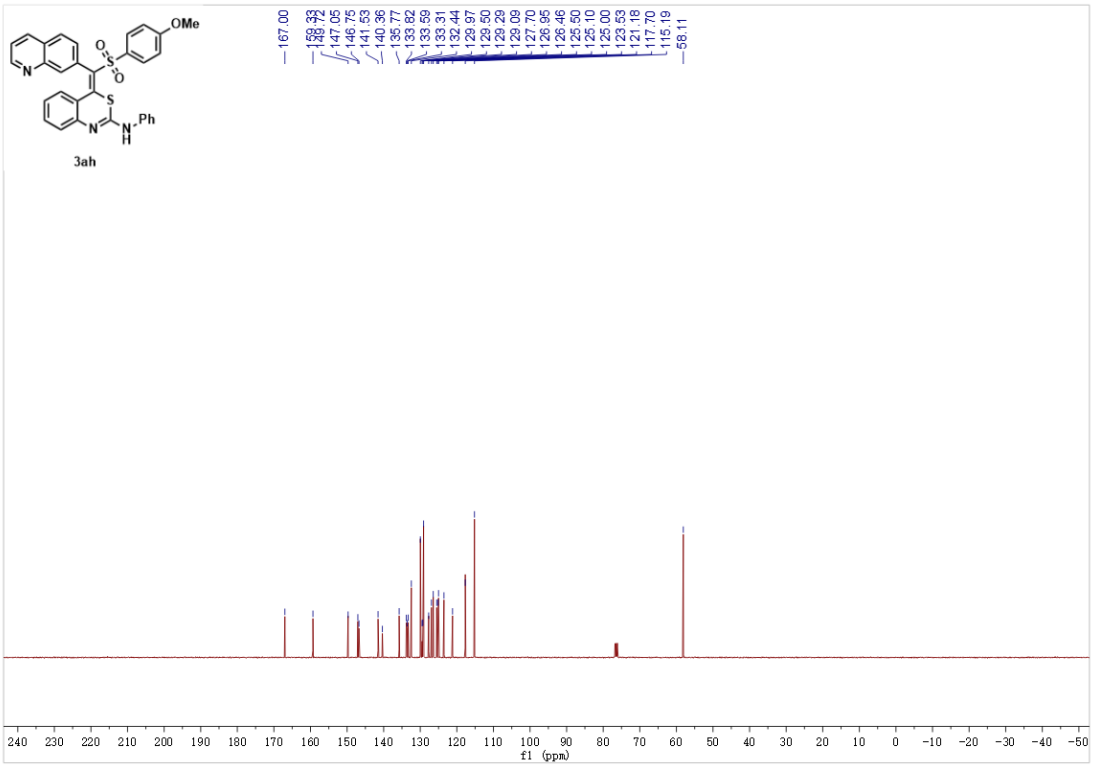
^{13}C NMR of **3ag** (100 MHz, $CDCl_3$):



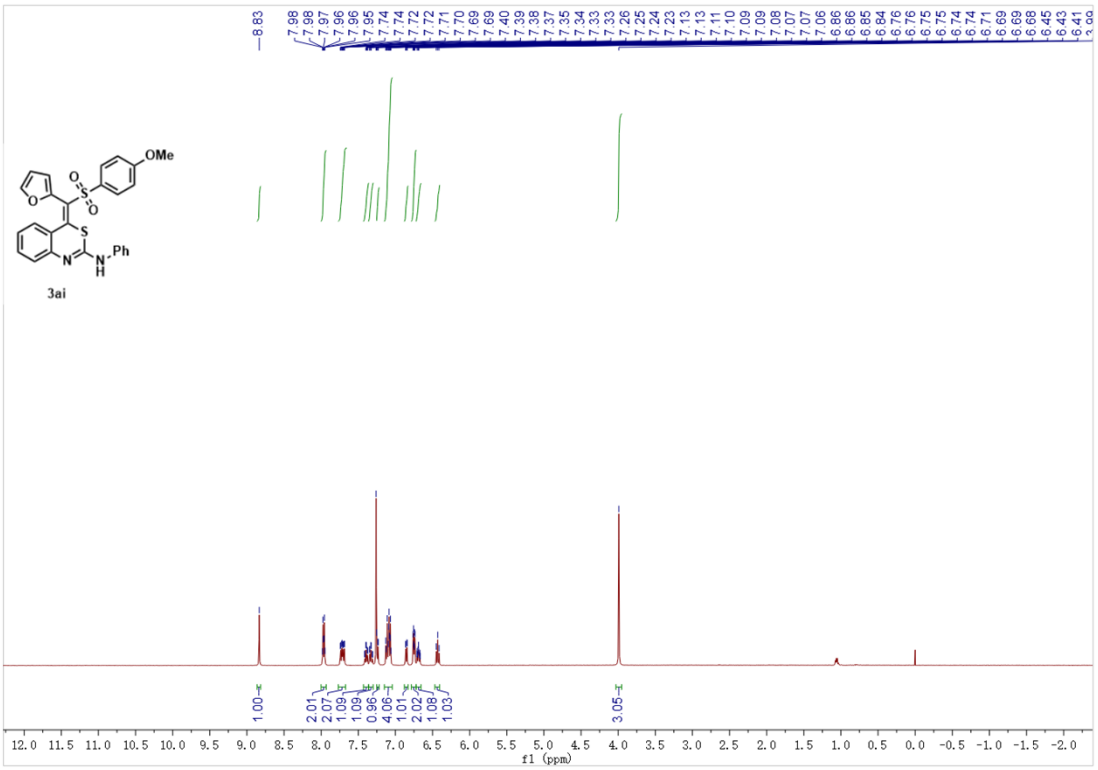
^{1}H NMR of **3ah** (400 MHz, $CDCl_3$):



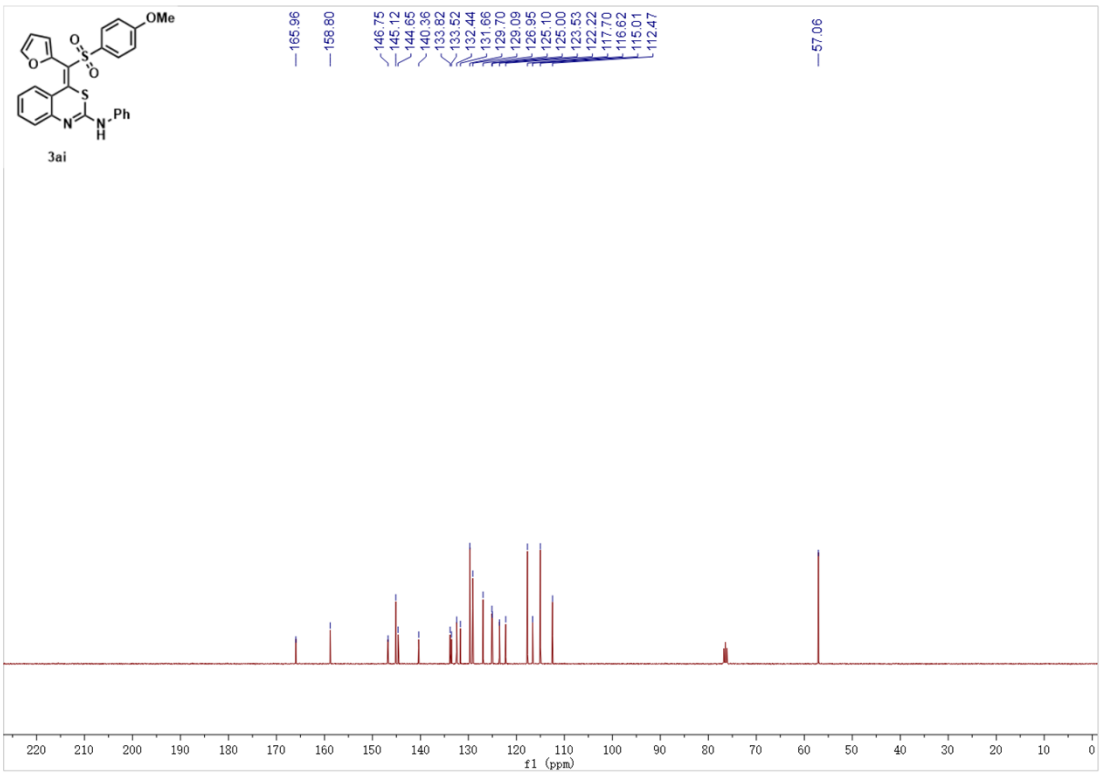
^{13}C NMR of **3ah** (100 MHz, $CDCl_3$):



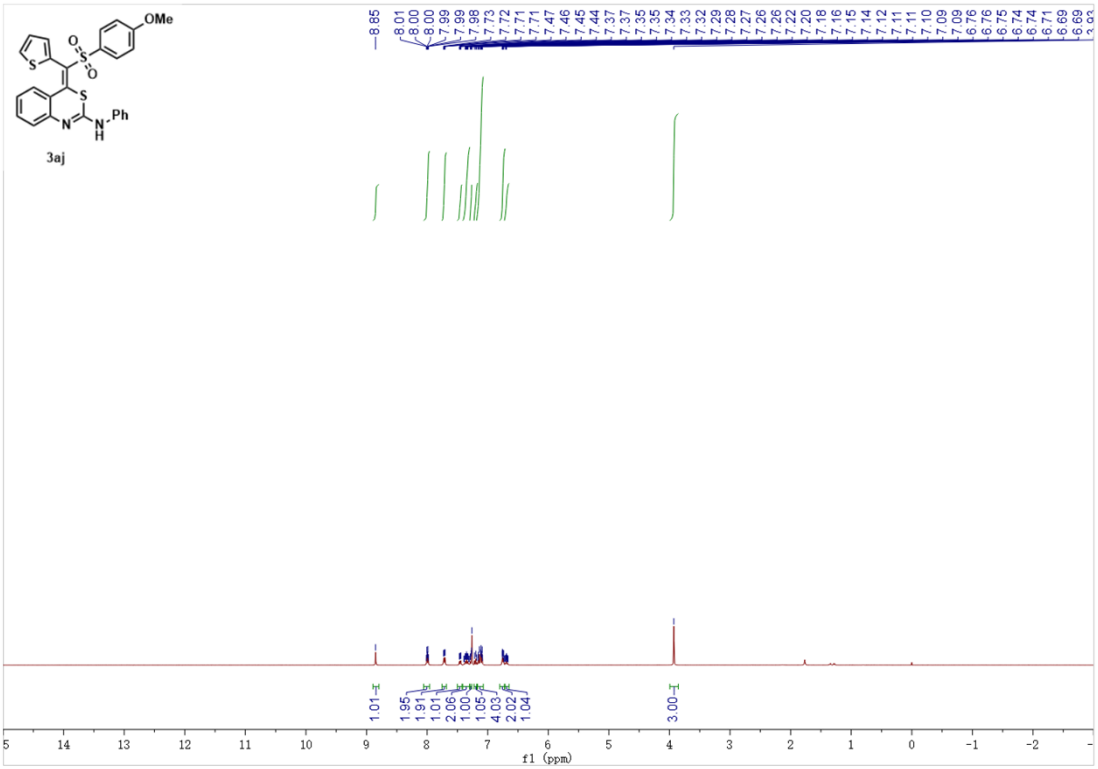
^{1}H NMR of **3ai** (400 MHz, $CDCl_3$):



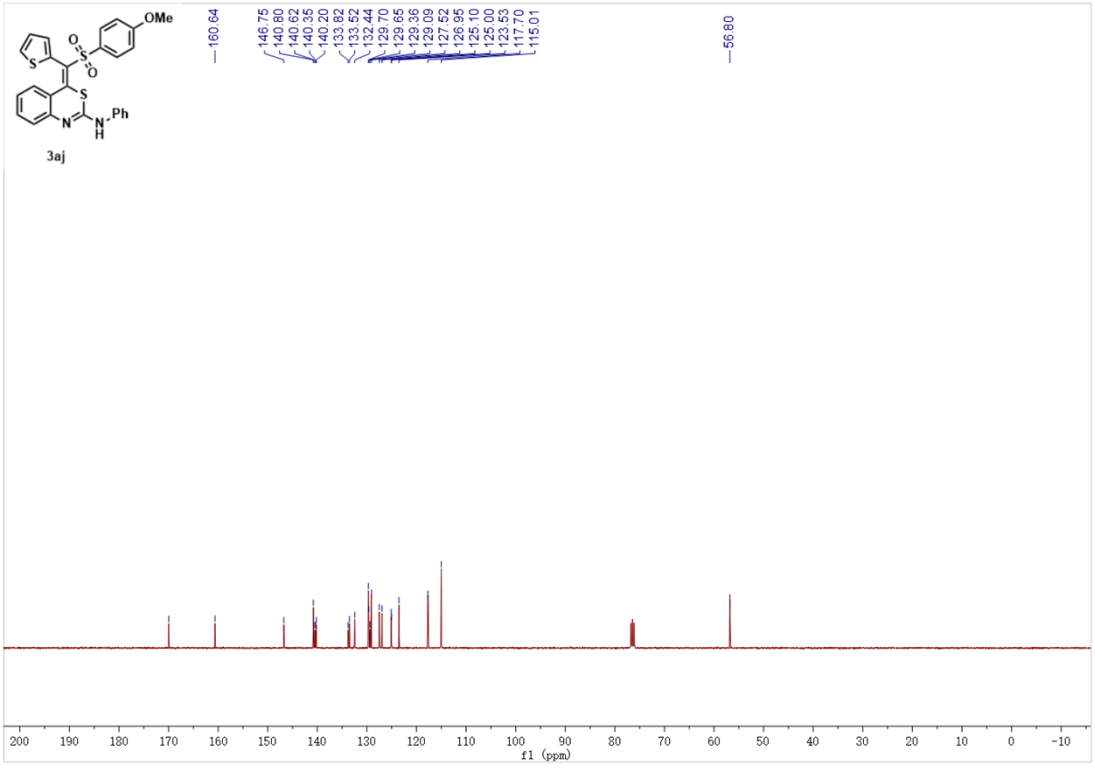
^{13}C NMR of **3ai** (100 MHz, $CDCl_3$):



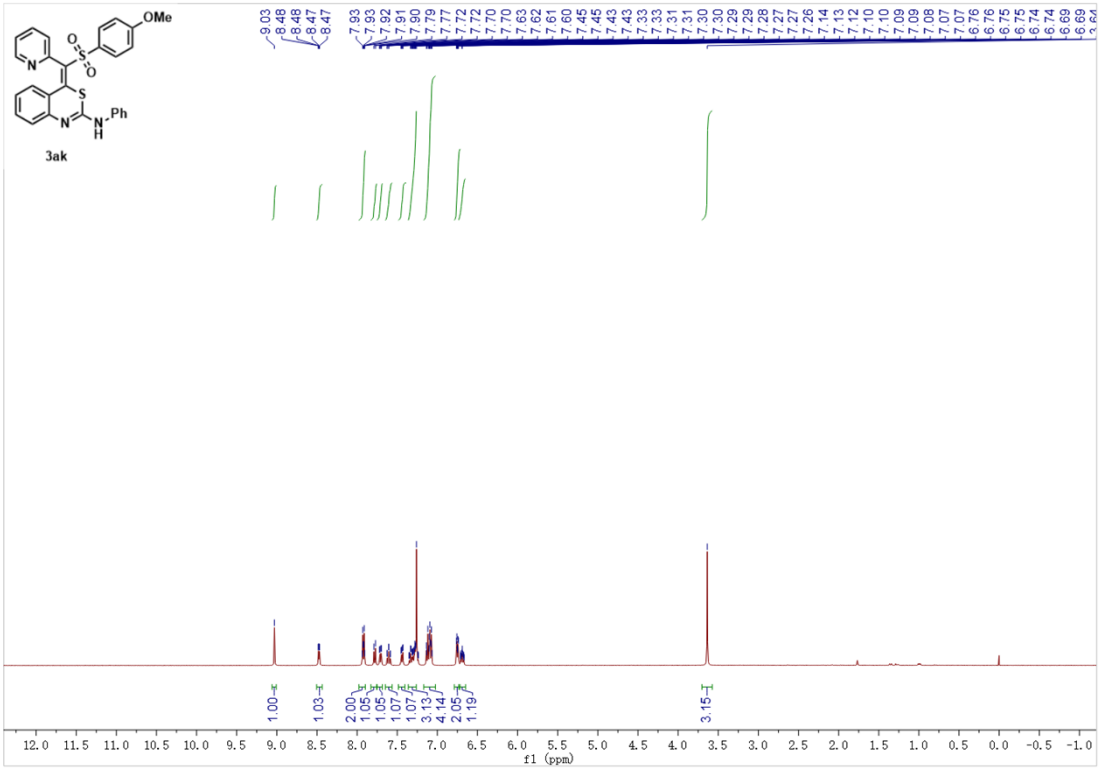
^{1}H NMR of **3aj** (400 MHz, $CDCl_3$):



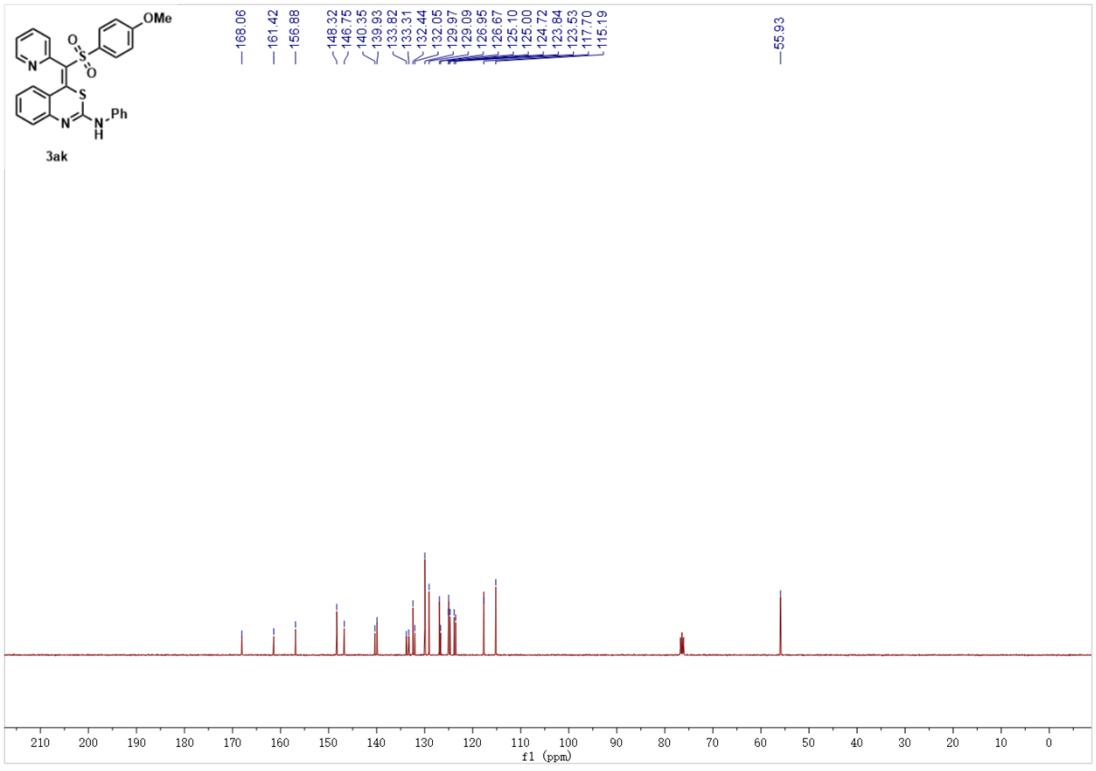
^{13}C NMR of **3aj** (100 MHz, $CDCl_3$):



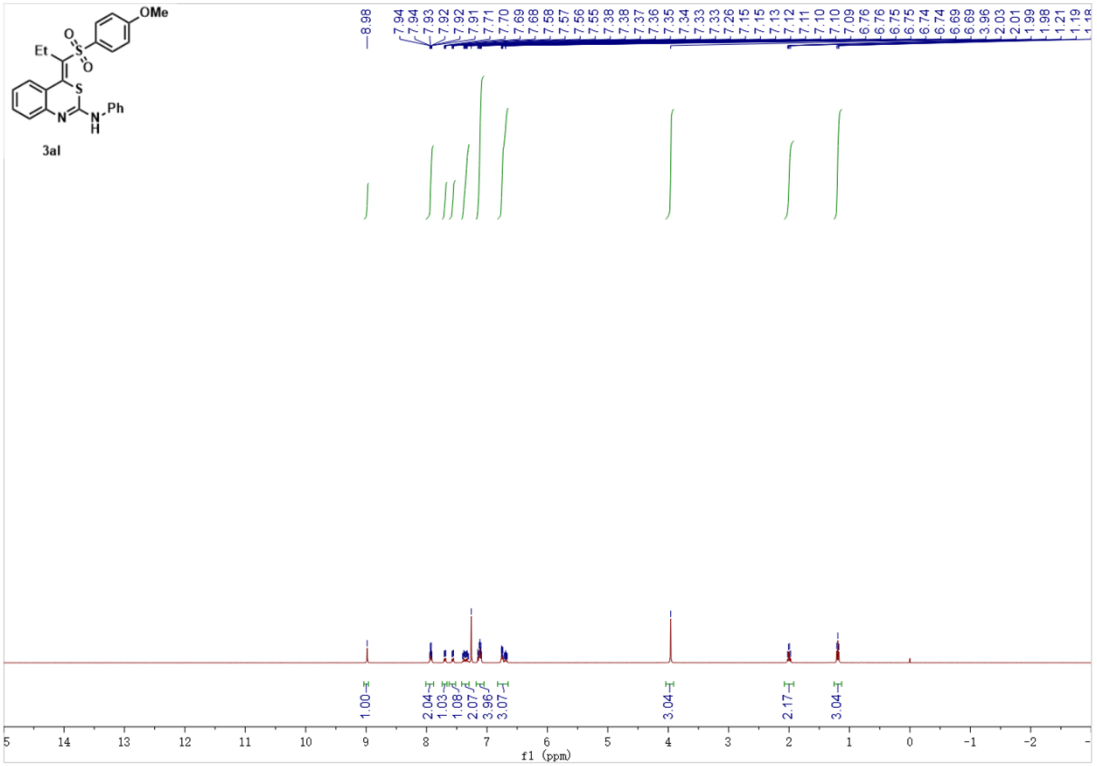
^{1}H NMR of **3ak** (400 MHz, $CDCl_3$):



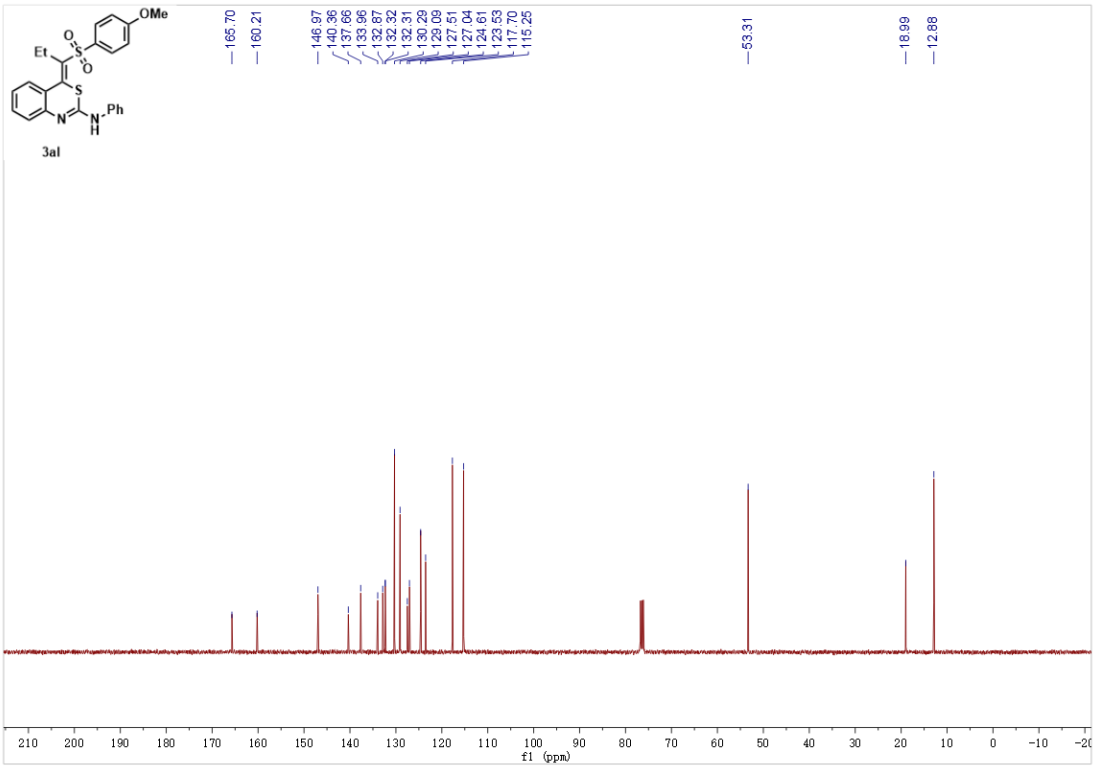
^{13}C NMR of **3ak** (100 MHz, $CDCl_3$):



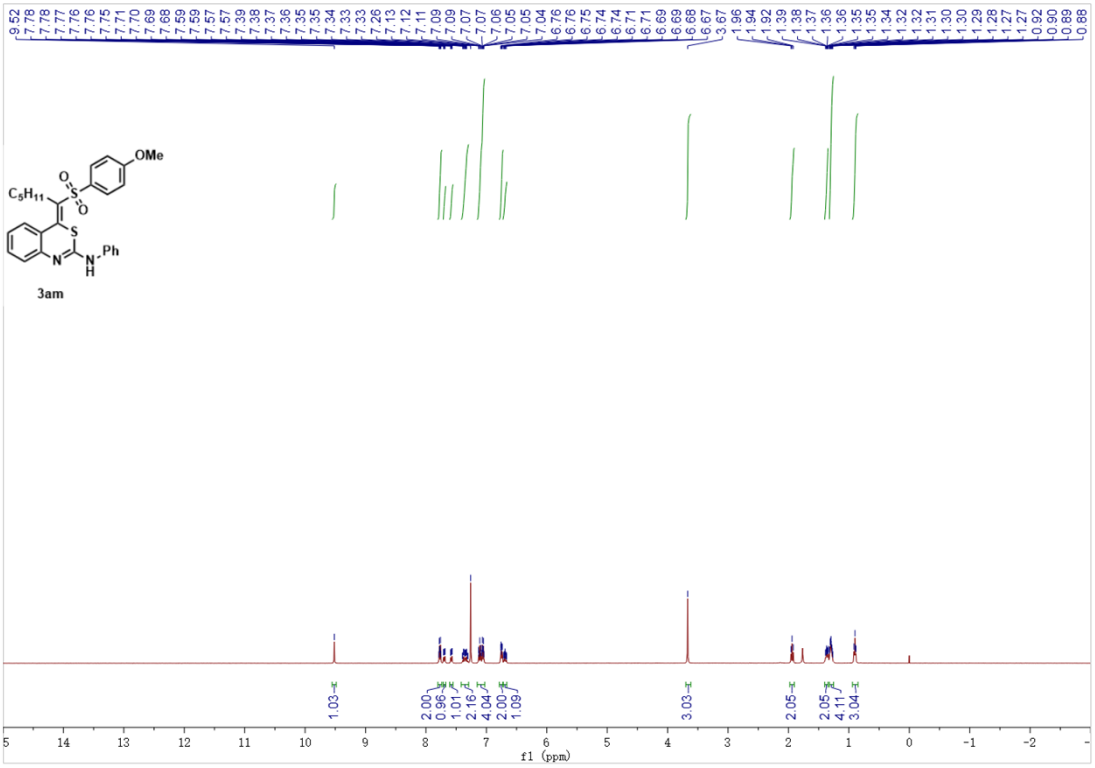
^{1}H NMR of **3al** (400 MHz, $CDCl_3$):



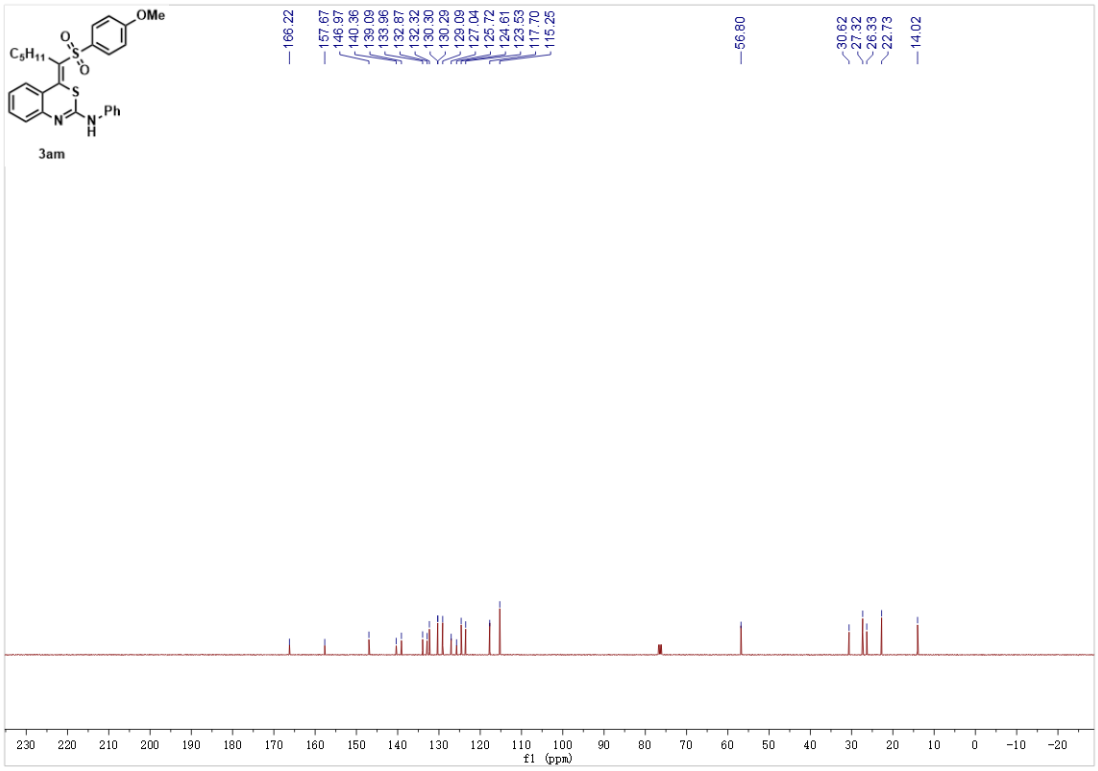
^{13}C NMR of **3al** (100 MHz, $CDCl_3$):



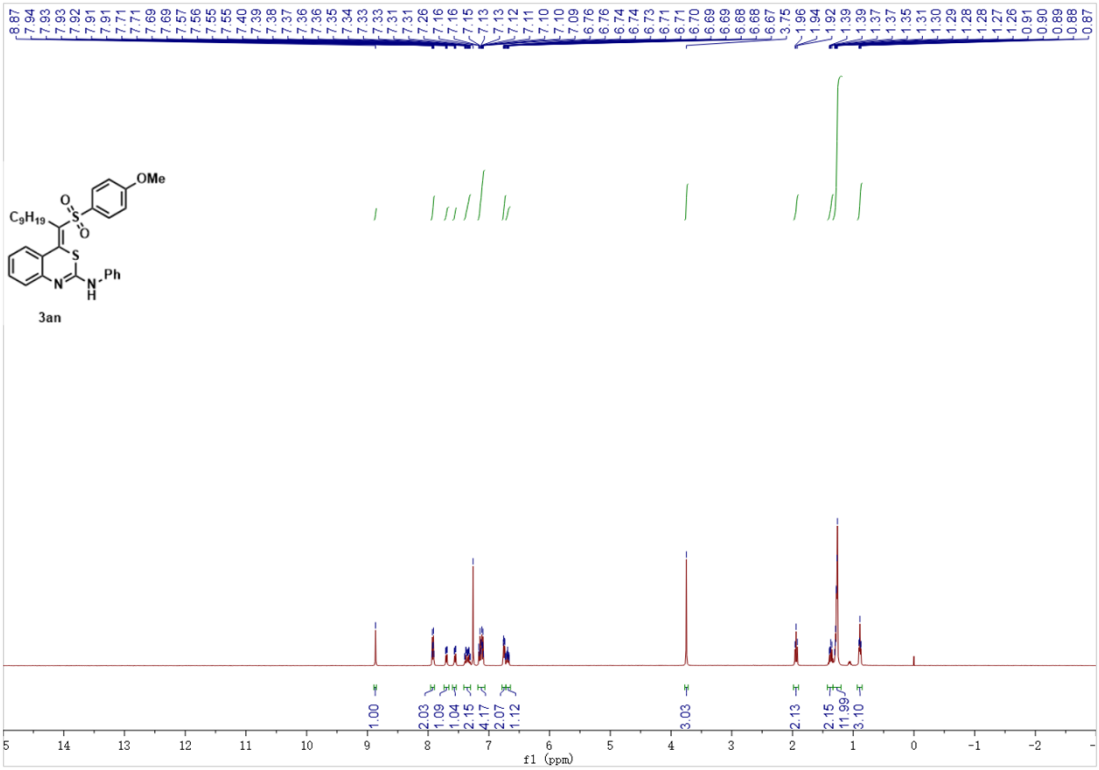
^{1}H NMR of **3am** (400 MHz, $CDCl_3$):



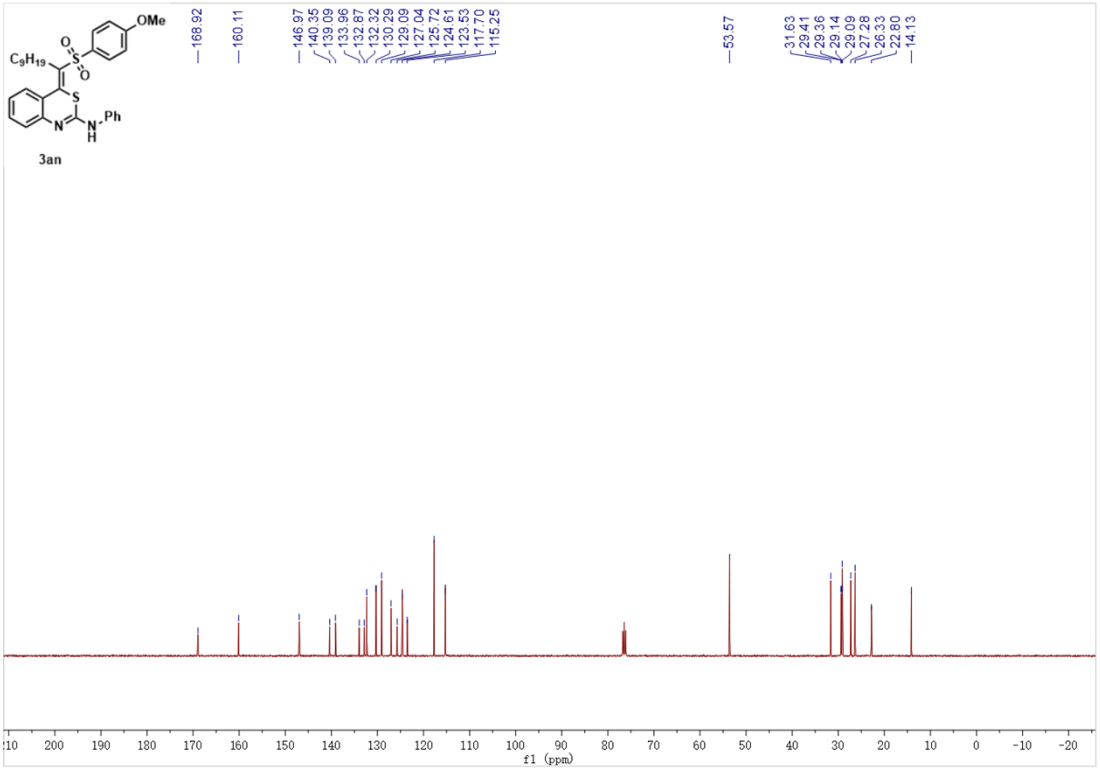
^{13}C NMR of **3am** (100 MHz, $CDCl_3$):



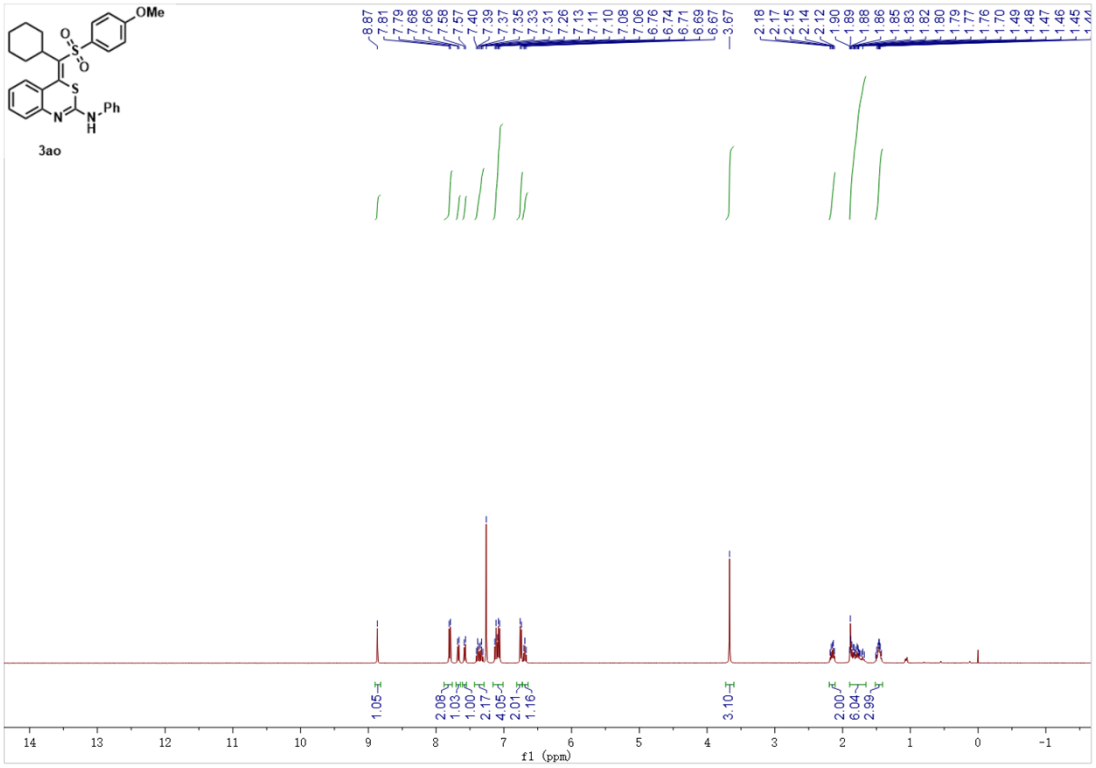
^{1}H NMR of **3an** (400 MHz, $CDCl_3$):



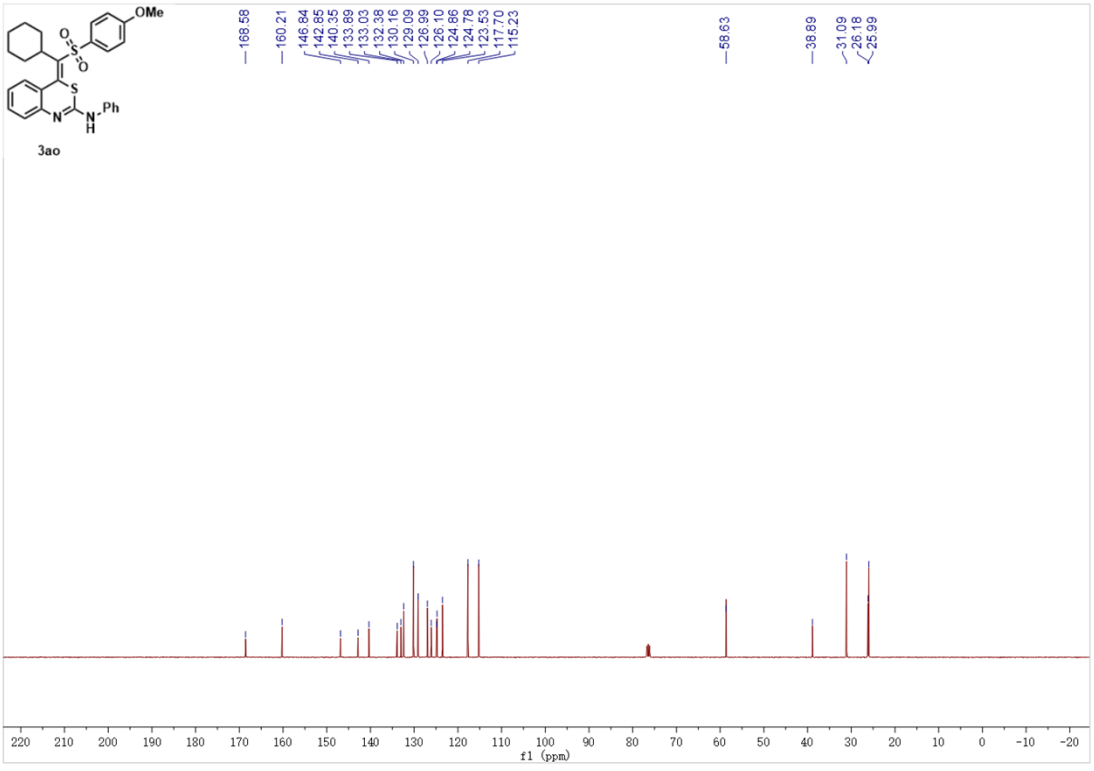
^{13}C NMR of **3an** (100 MHz, $CDCl_3$):



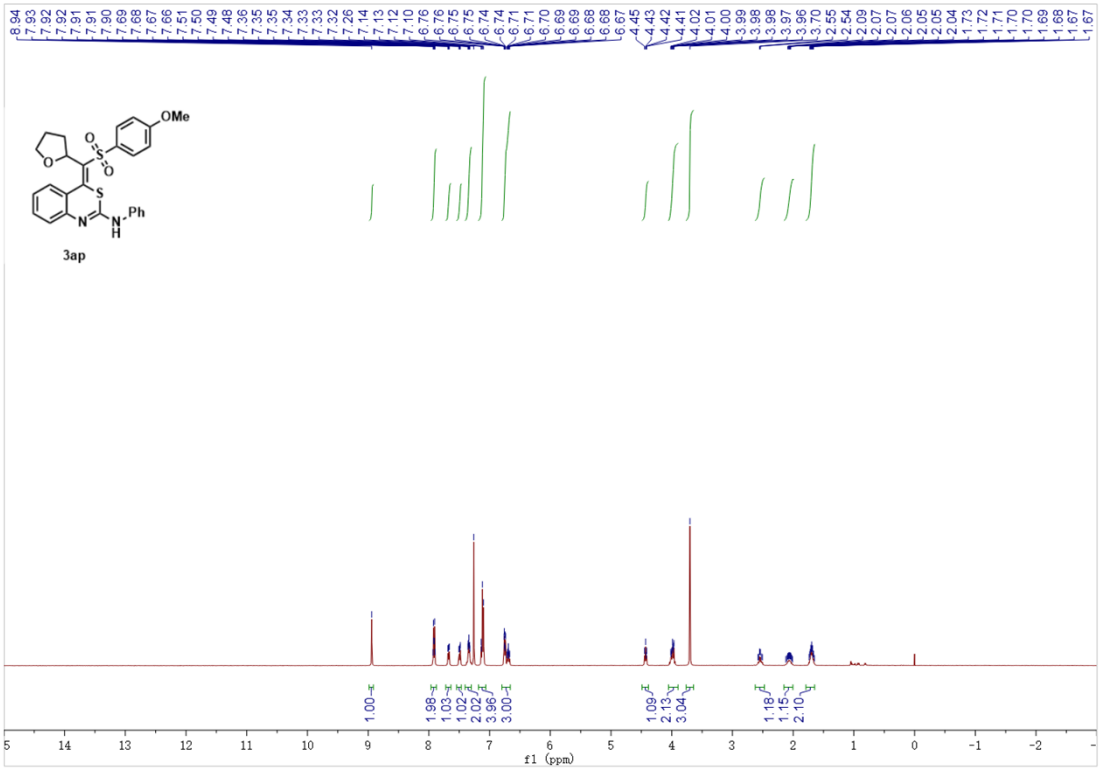
^{1}H NMR of **3ao** (400 MHz, $CDCl_3$):



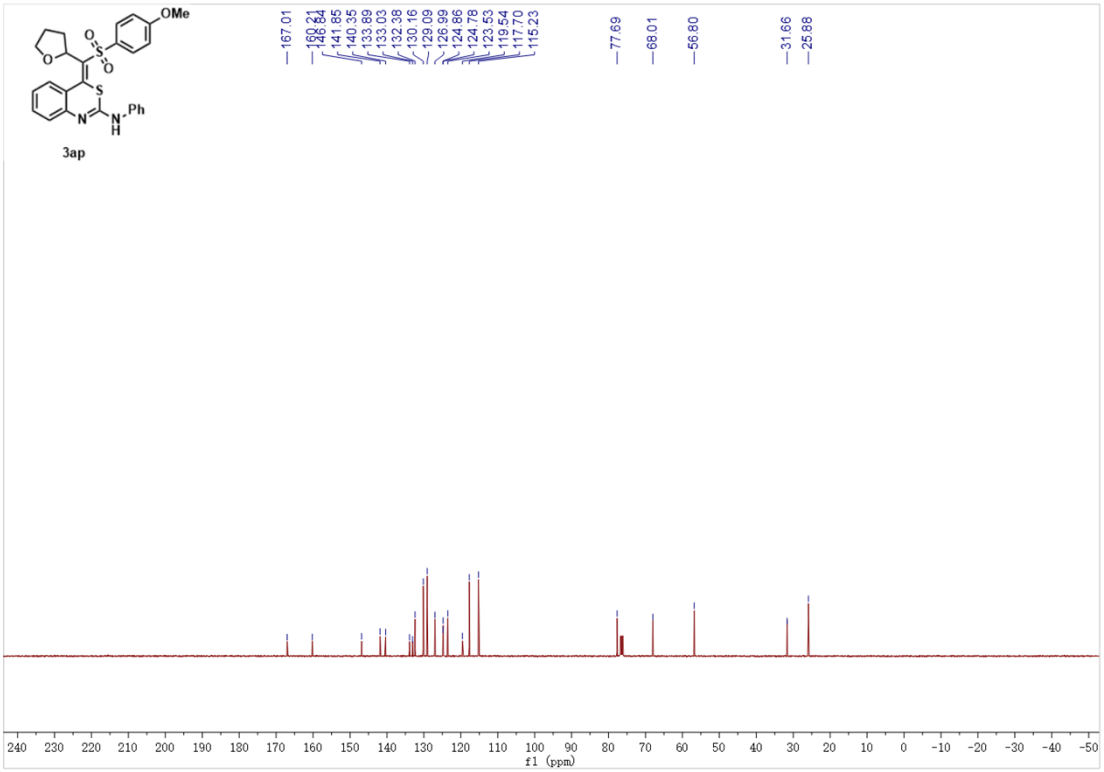
^{13}C NMR of **3ao** (100 MHz, $CDCl_3$):



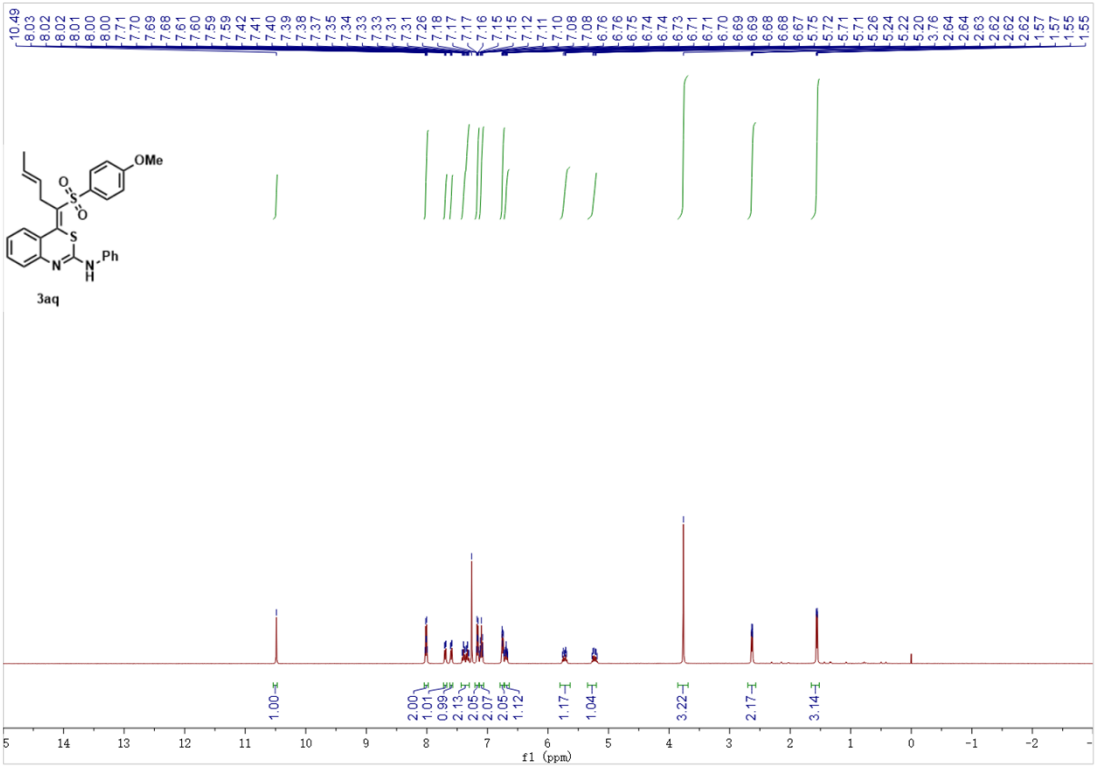
^{1}H NMR of **3ap** (400 MHz, $CDCl_3$):



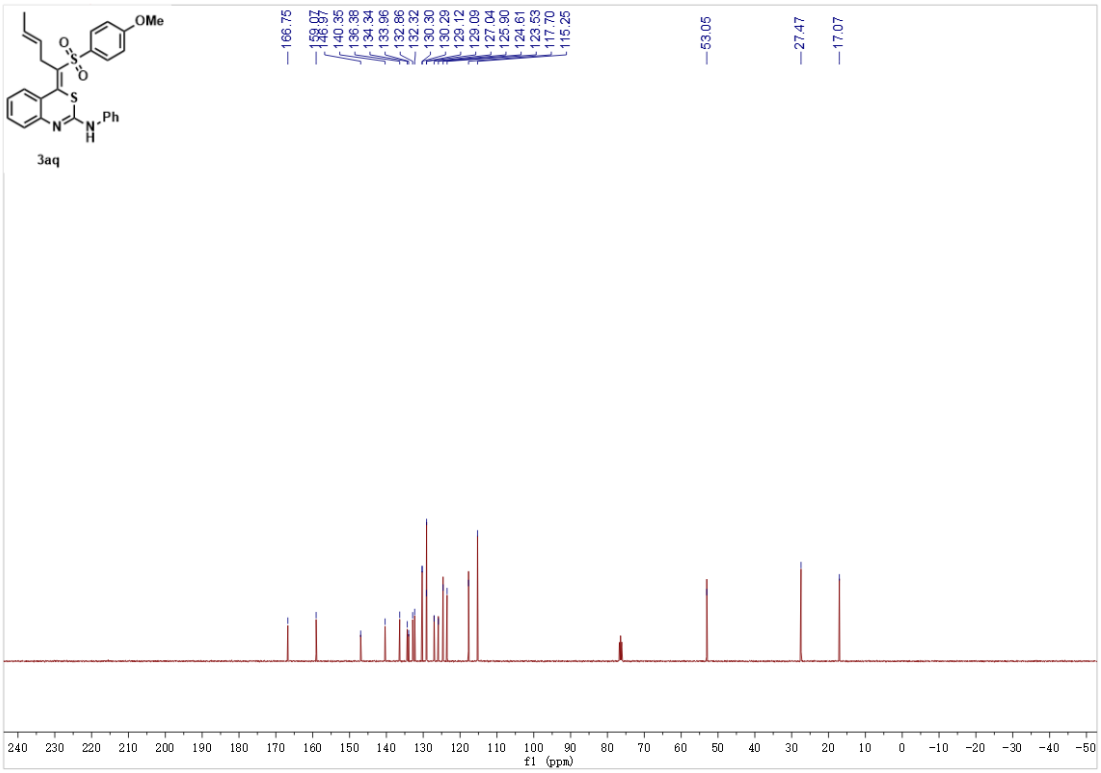
^{13}C NMR of **3ap** (100 MHz, $CDCl_3$):



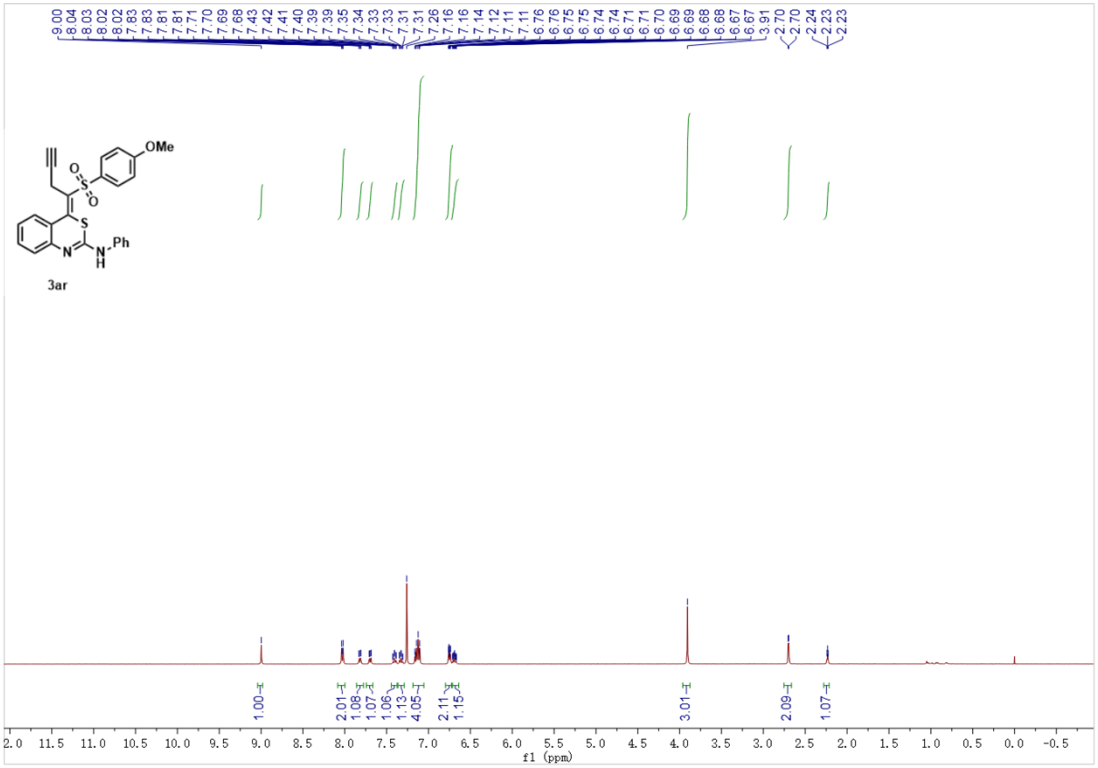
^{1}H NMR of **3aq** (400 MHz, $CDCl_3$):



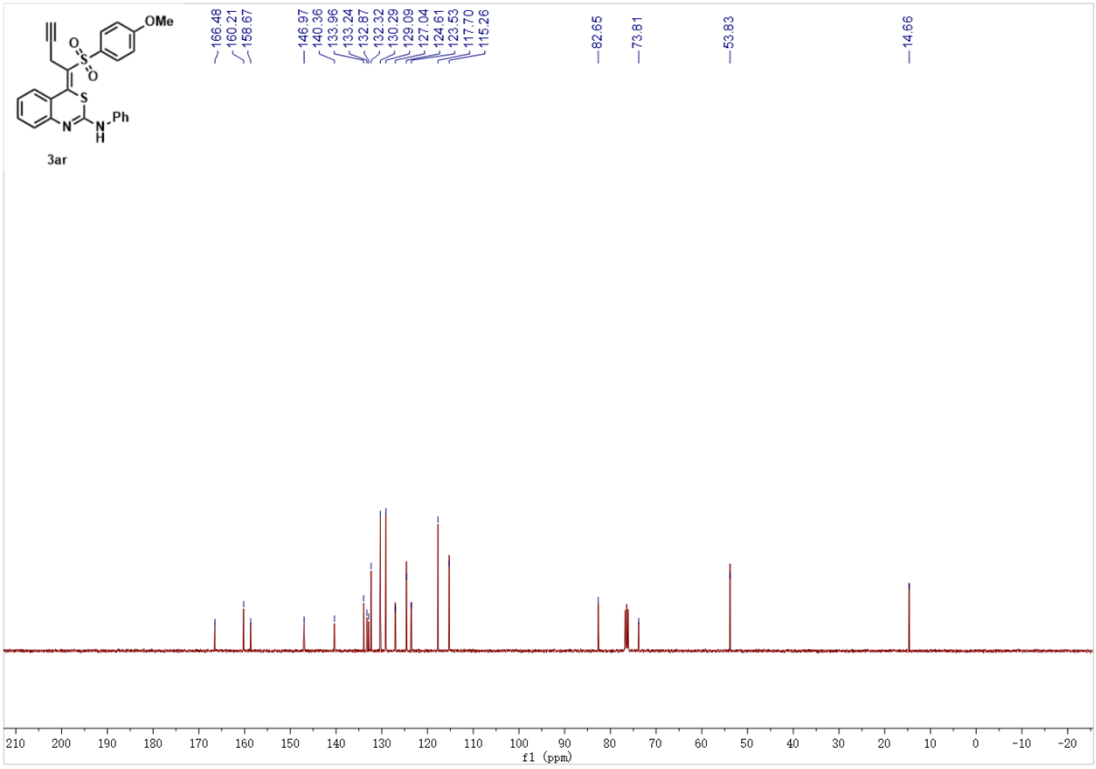
^{13}C NMR of **3aq** (100 MHz, $CDCl_3$):



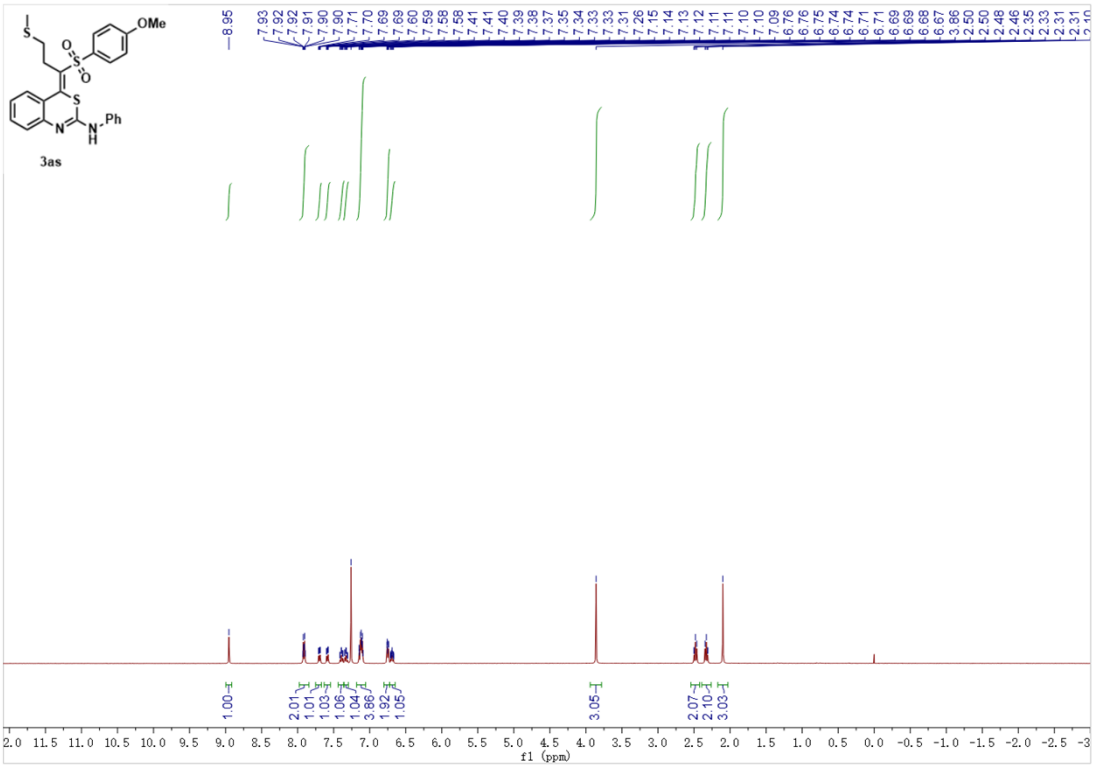
^{1}H NMR of **3ar** (400 MHz, $CDCl_3$):



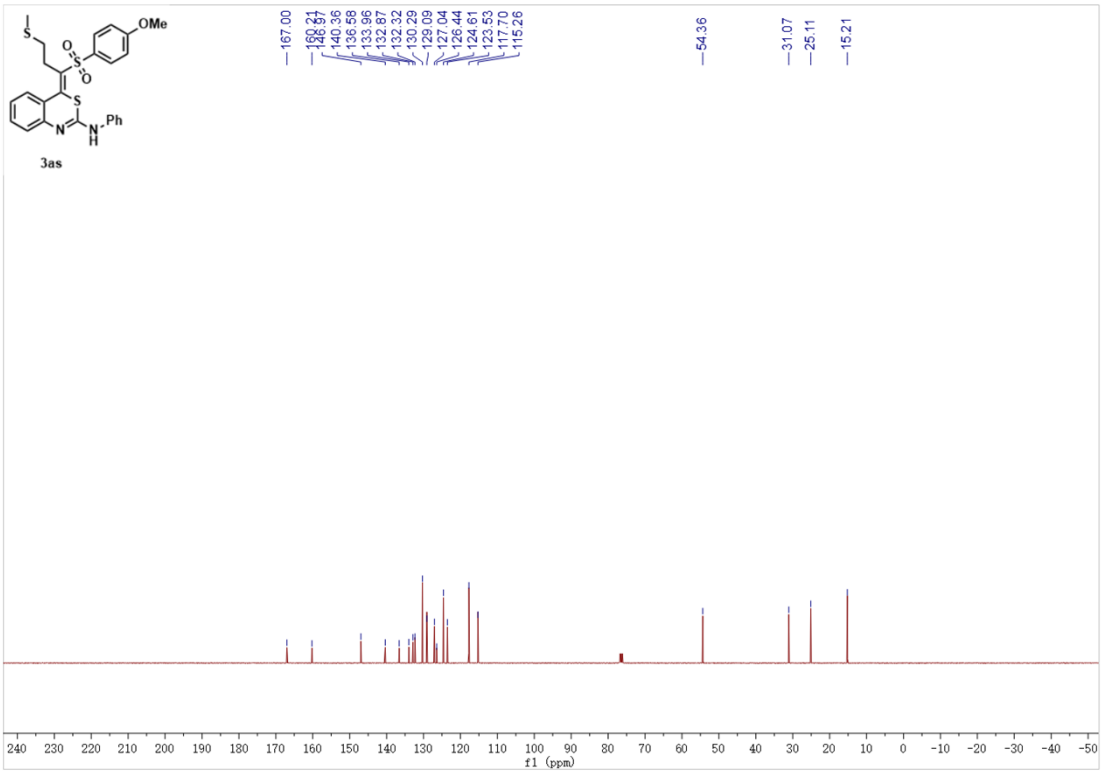
^{13}C NMR of **3ar** (100 MHz, $CDCl_3$):



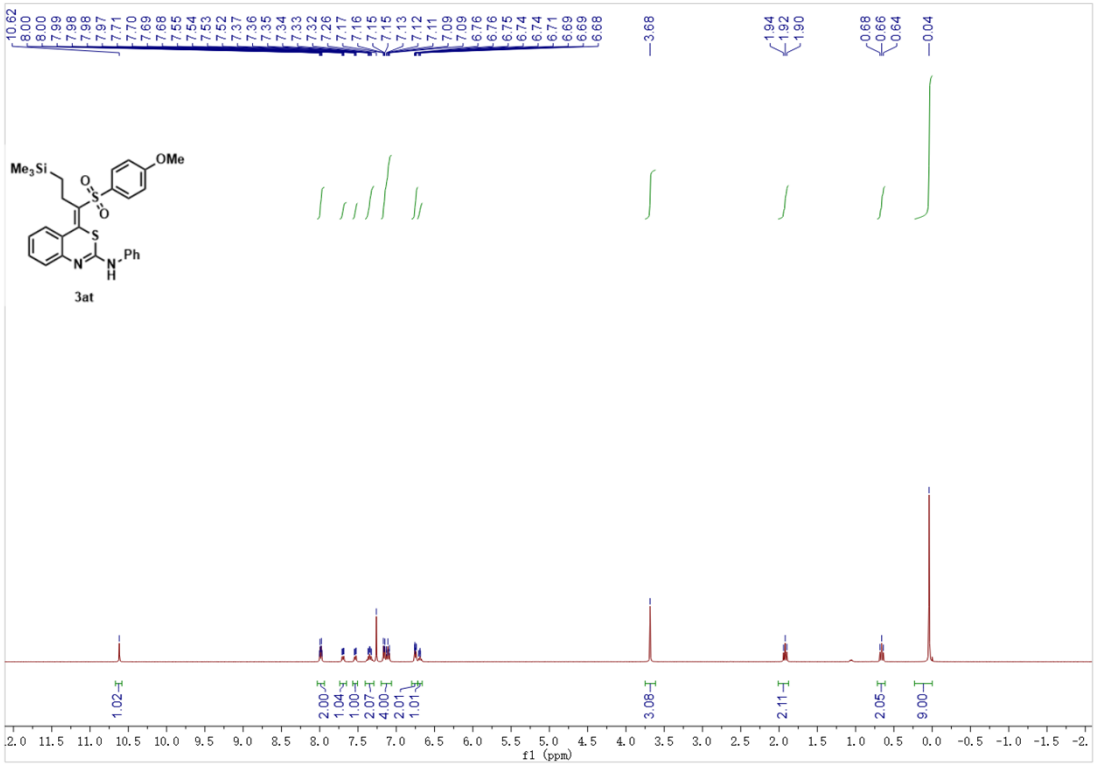
^{1}H NMR of **3as** (400 MHz, $CDCl_3$):



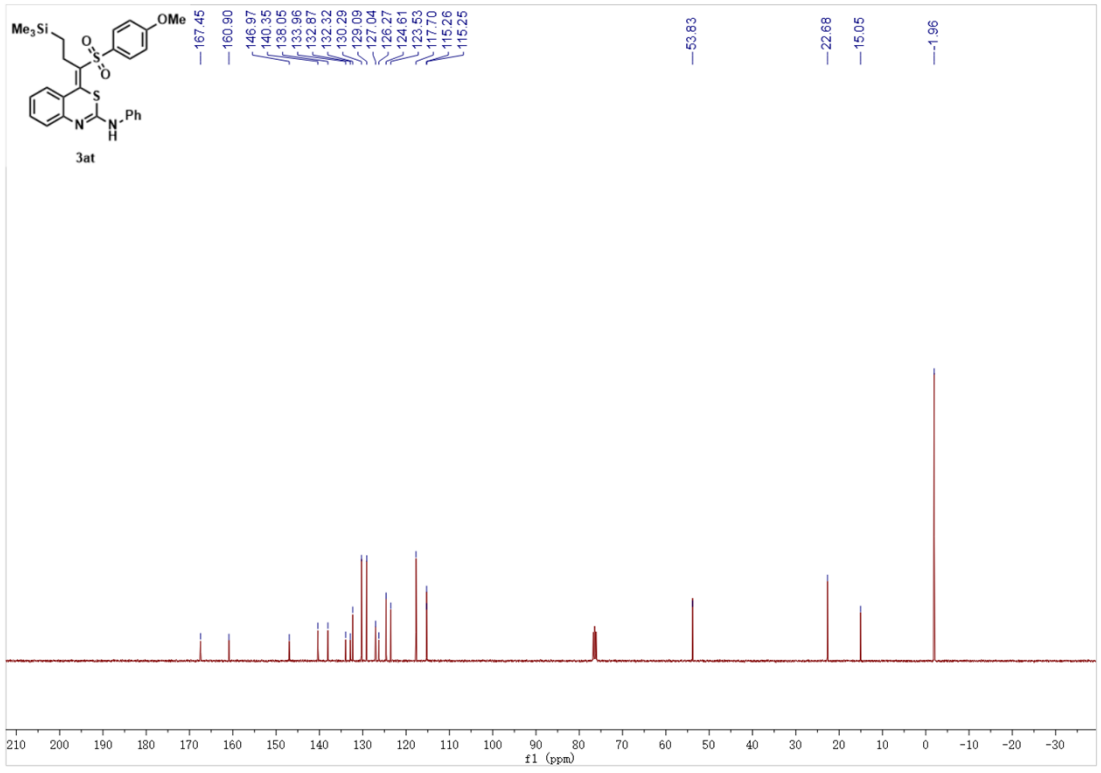
^{13}C NMR of **3as** (100 MHz, $CDCl_3$):



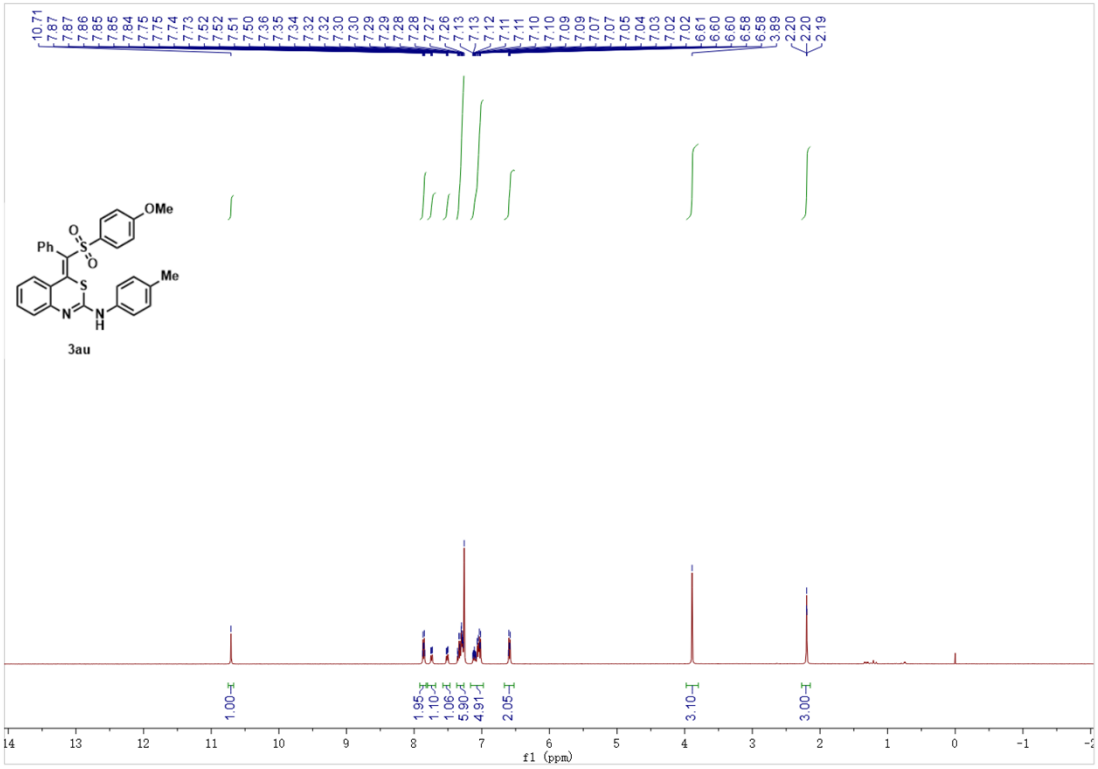
^{1}H NMR of **3at** (400 MHz, $CDCl_3$):



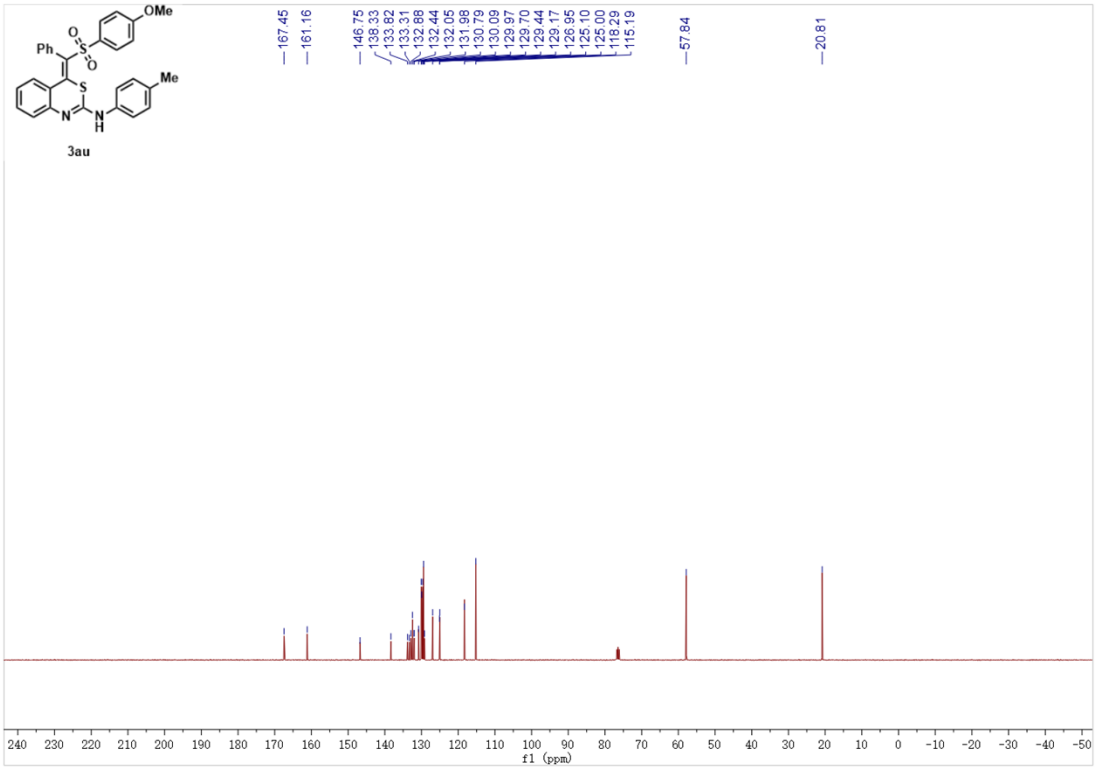
^{13}C NMR of **3at** (100 MHz, $CDCl_3$):



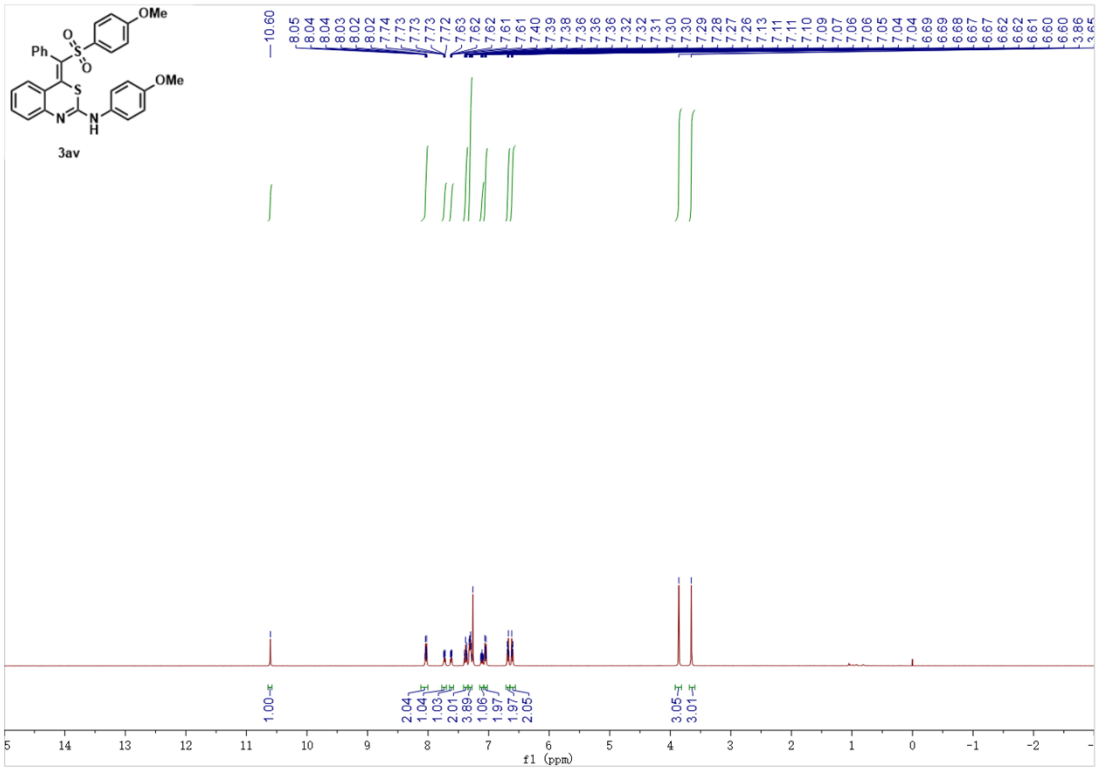
^{1}H NMR of **3au** (400 MHz, $CDCl_3$):



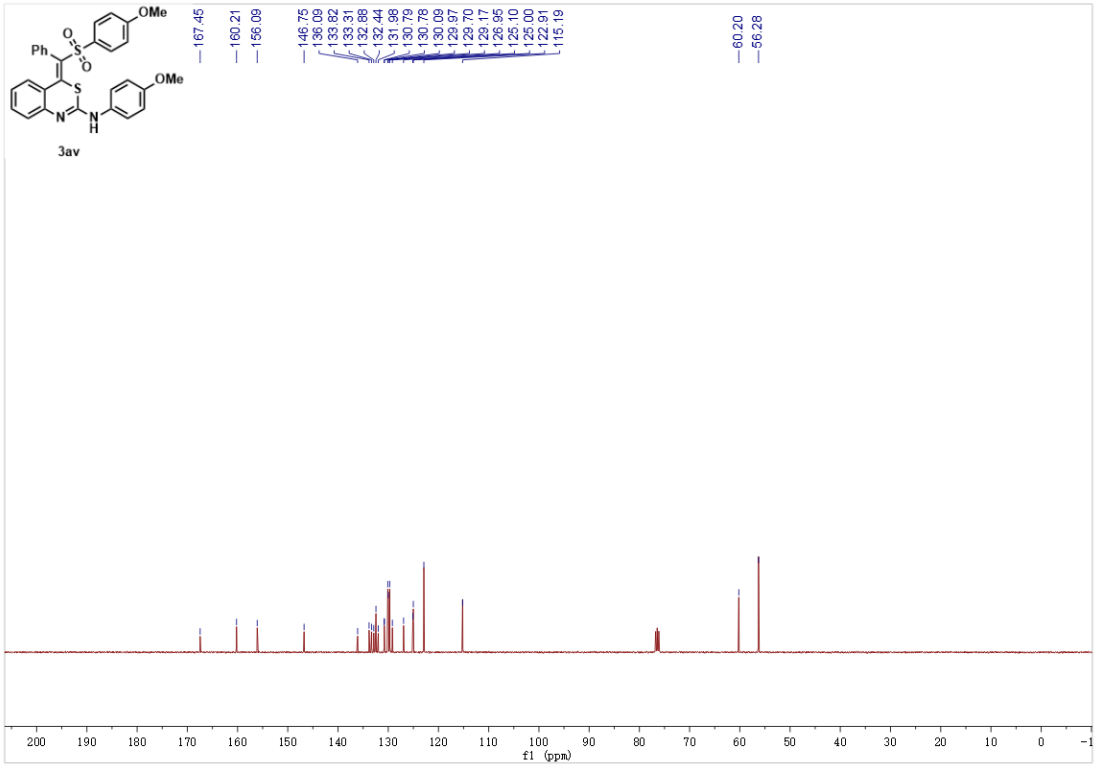
^{13}C NMR of **3au** (100 MHz, $CDCl_3$):



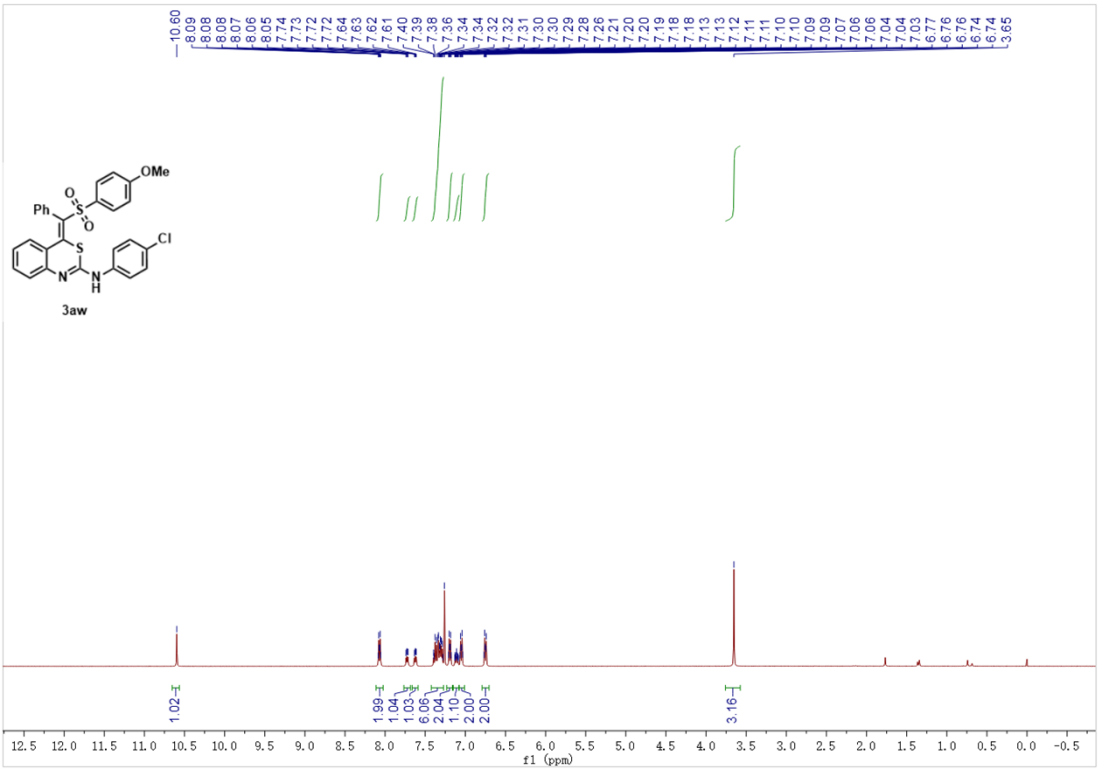
^{1}H NMR of **3av** (400 MHz, $CDCl_3$):



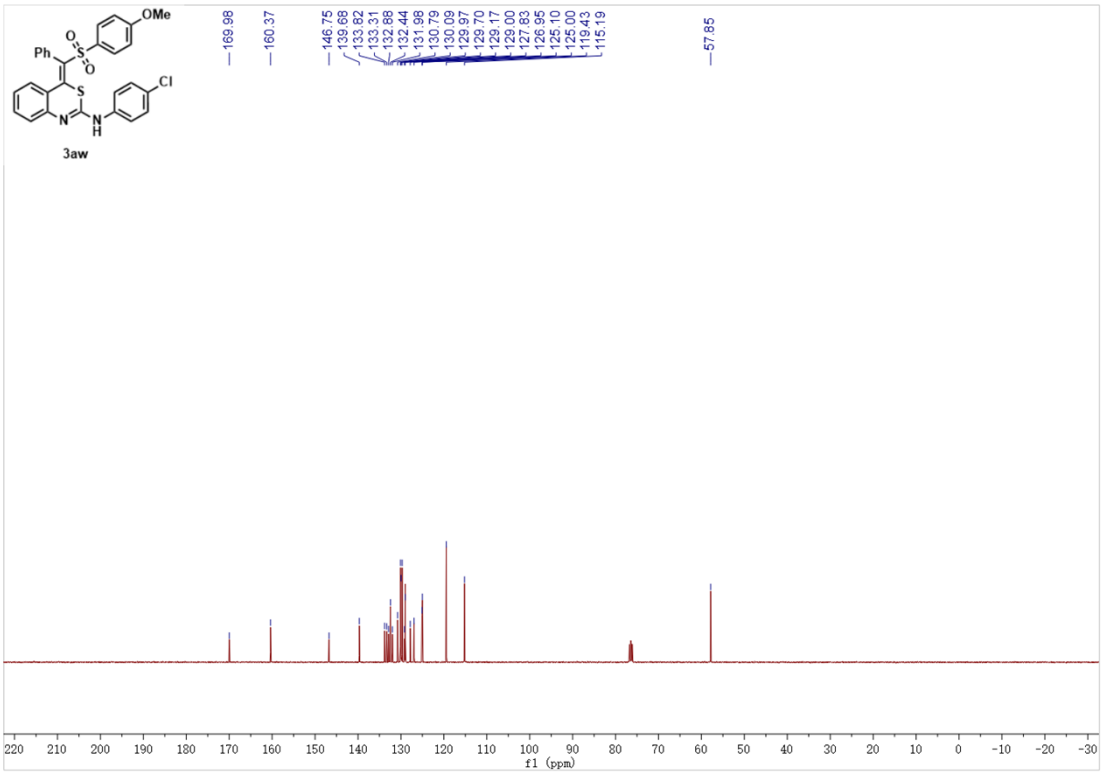
^{13}C NMR of **3av** (100 MHz, $CDCl_3$):



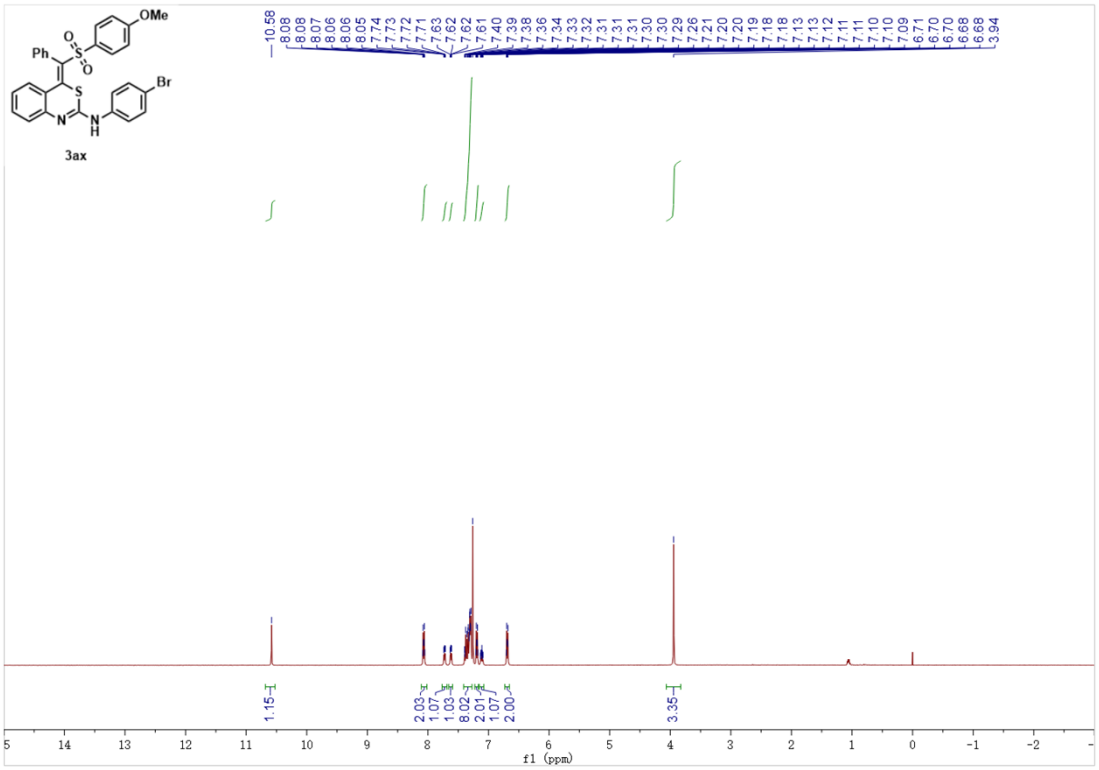
^{1}H NMR of **3aw** (400 MHz, $CDCl_3$):



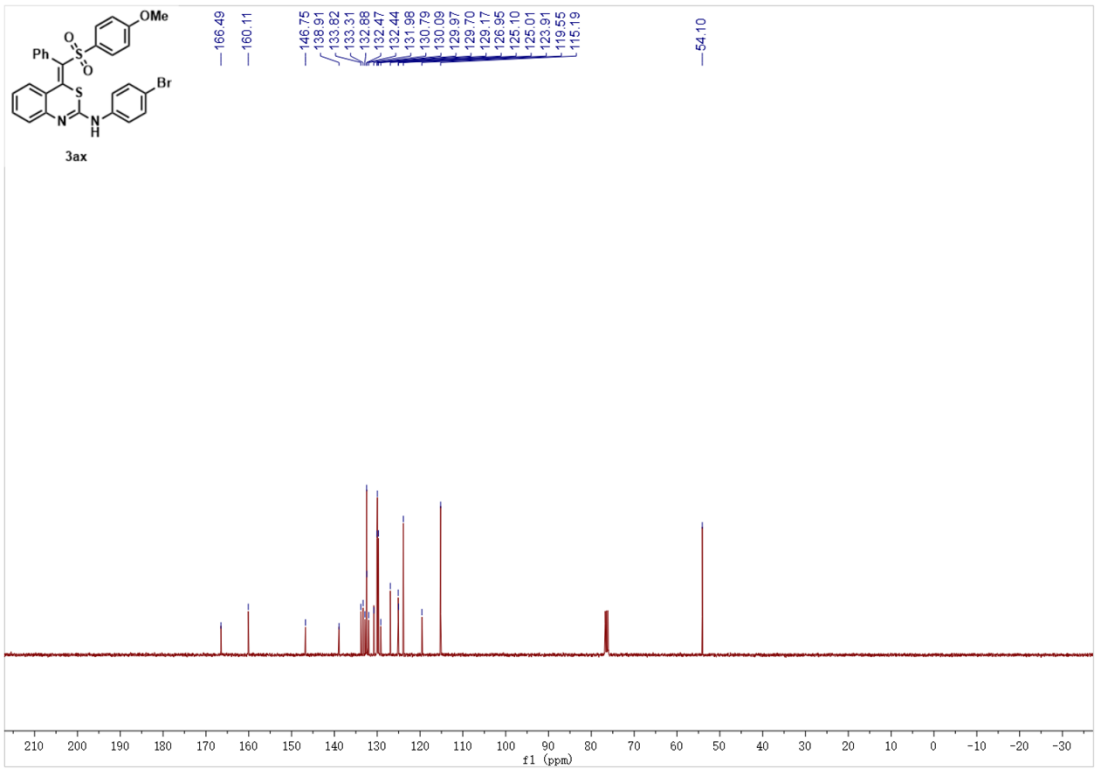
^{13}C NMR of **3aw** (100 MHz, $CDCl_3$):



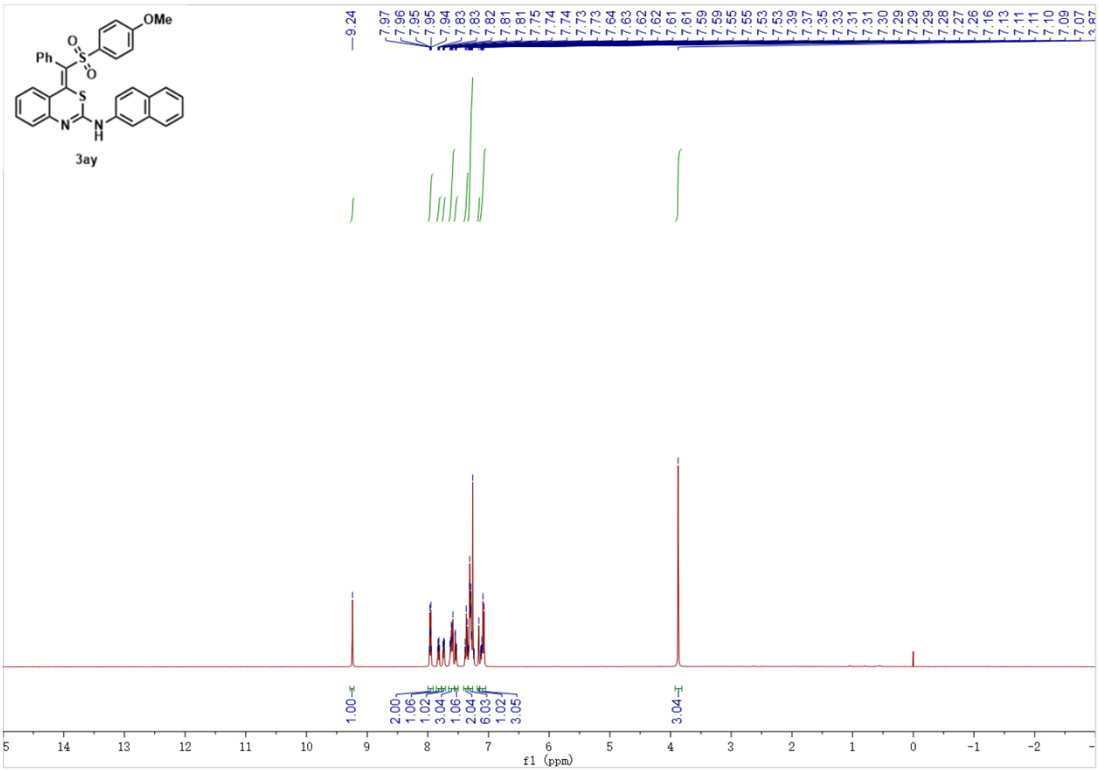
^{1}H NMR of **3ax** (400 MHz, $CDCl_3$):



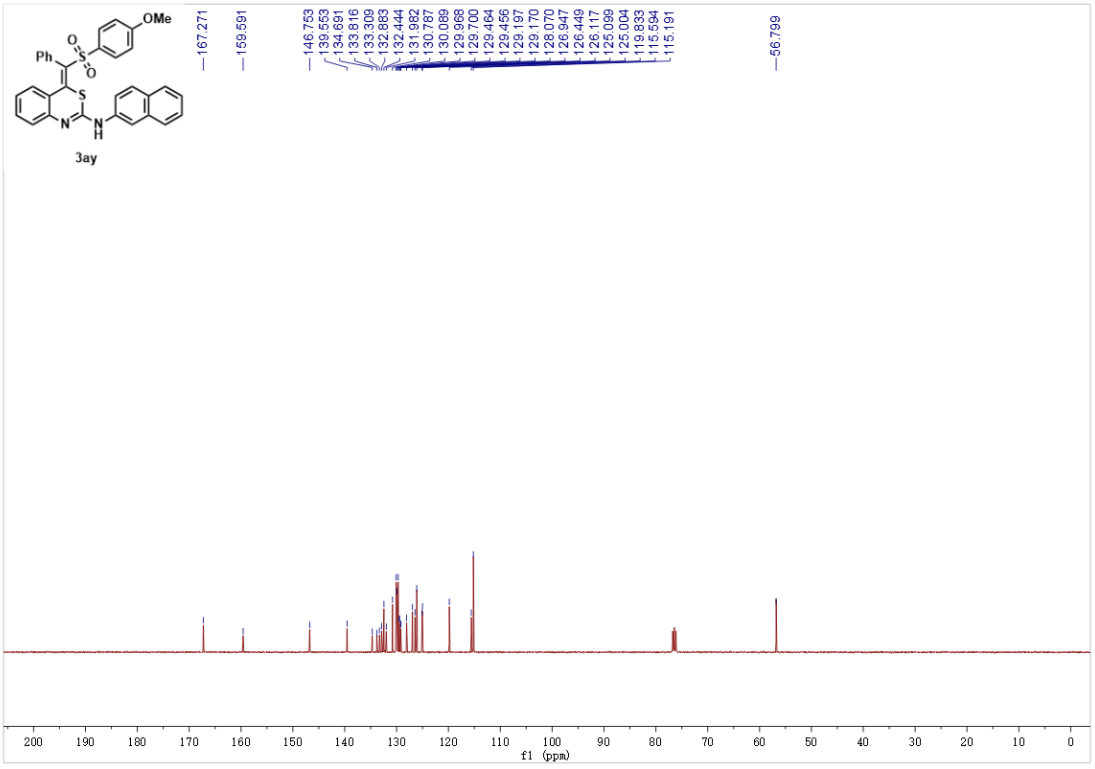
^{13}C NMR of **3ax** (100 MHz, $CDCl_3$):



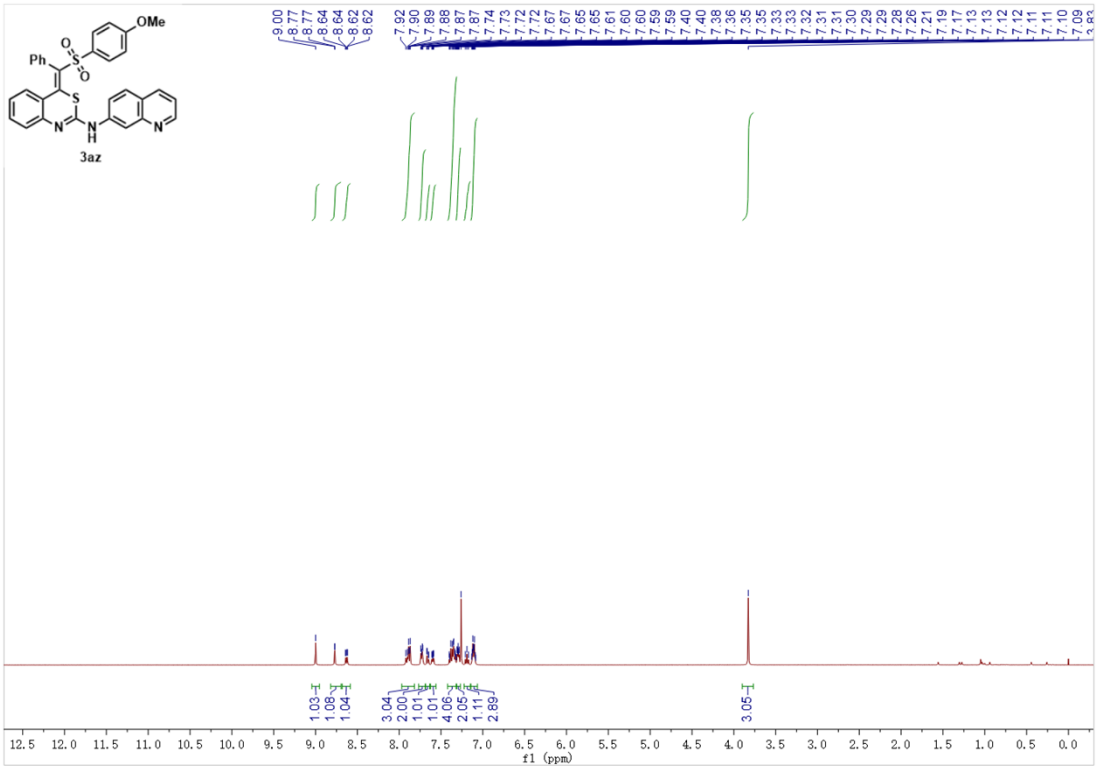
^{1}H NMR of **3ay** (400 MHz, $CDCl_3$):



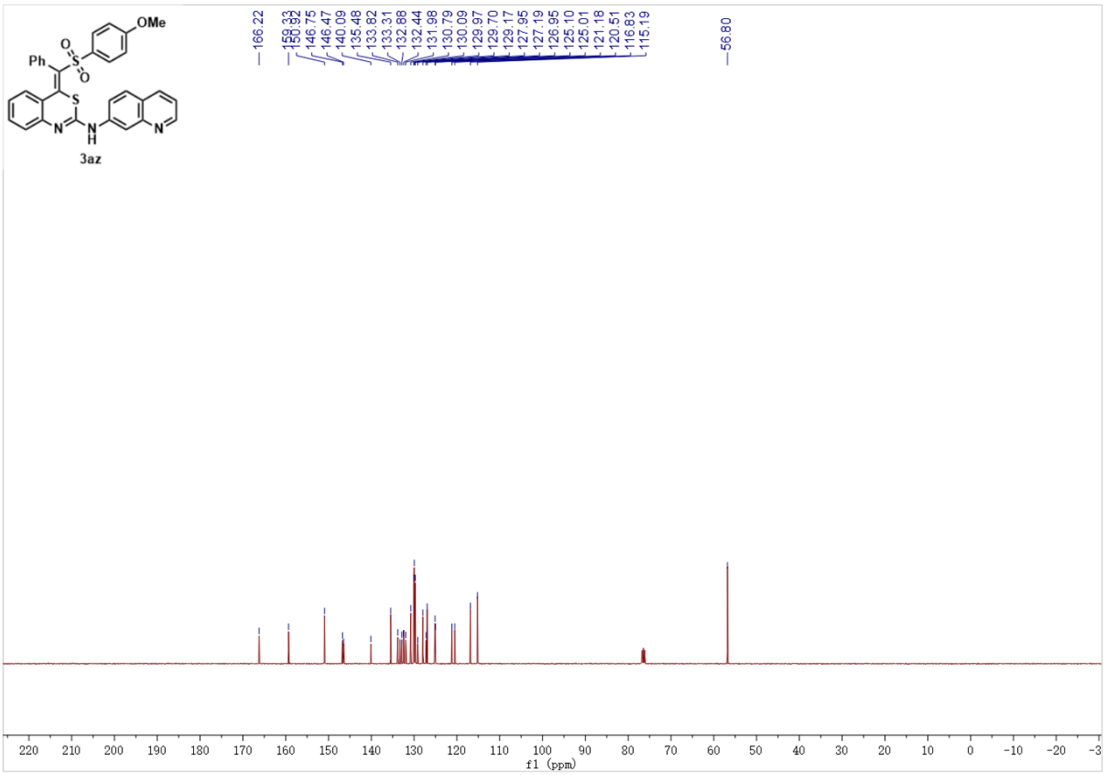
^{13}C NMR of **3ay** (100 MHz, $CDCl_3$):



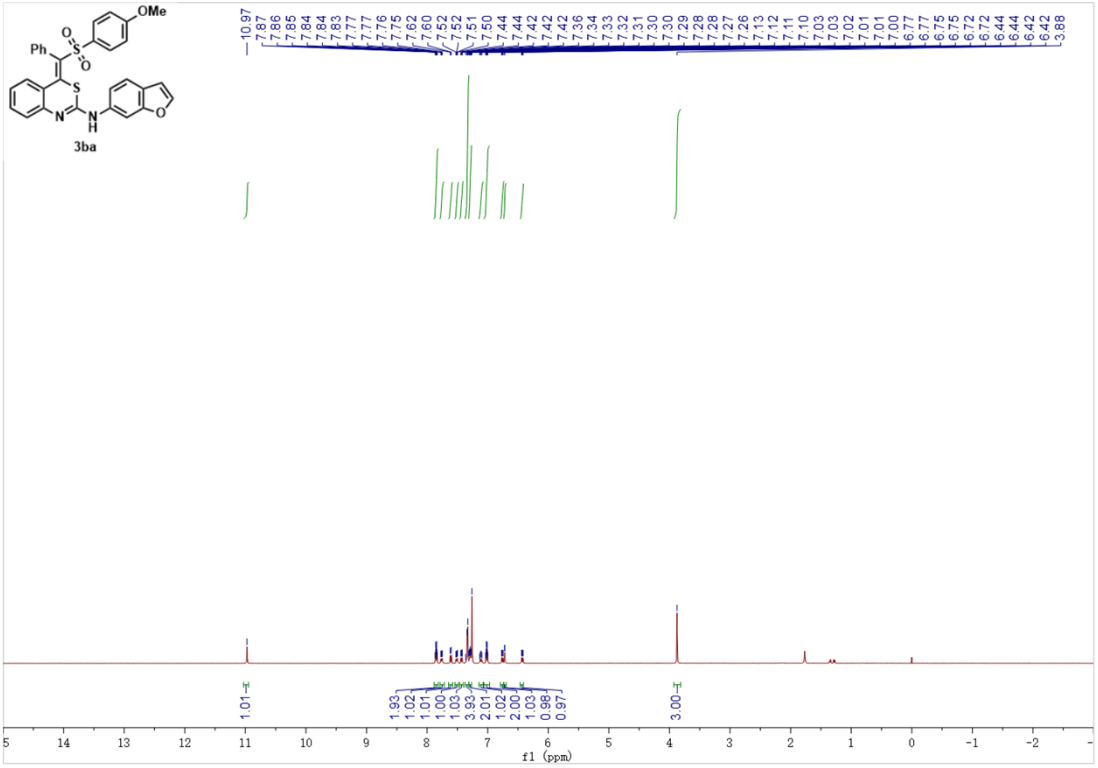
^{1}H NMR of **3az** (400 MHz, $CDCl_3$):



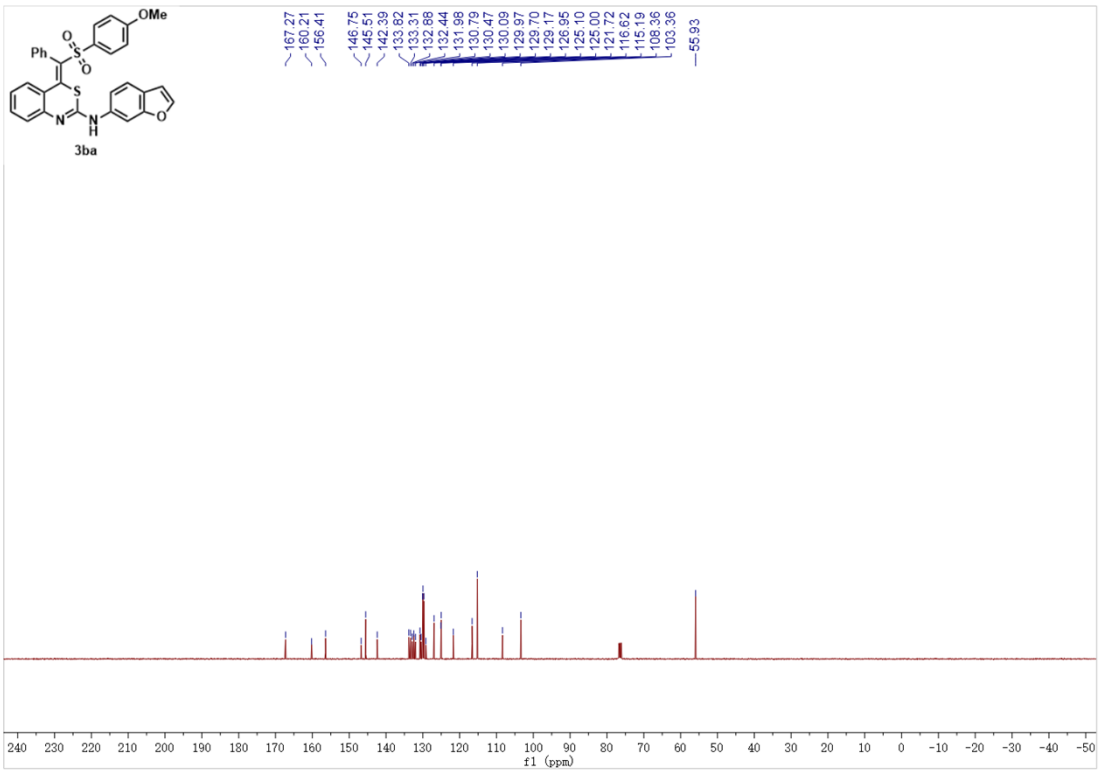
^{13}C NMR of **3az** (100 MHz, $CDCl_3$):



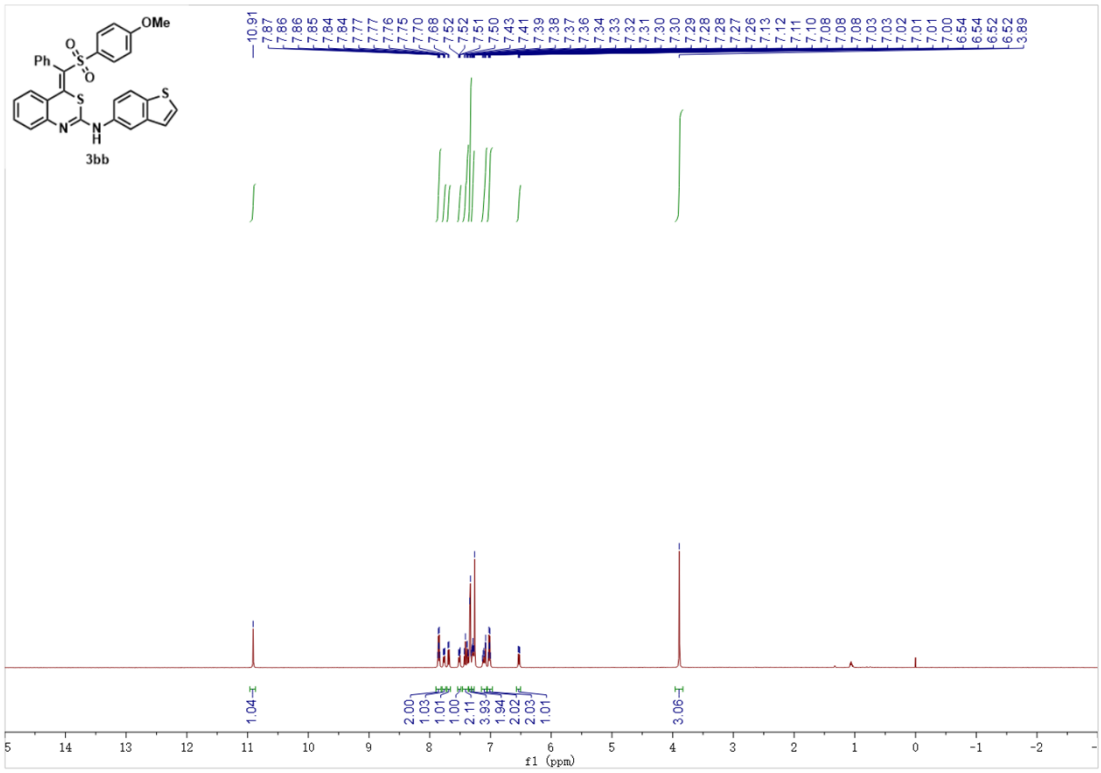
^{1}H NMR of **3ba** (400 MHz, $CDCl_3$):



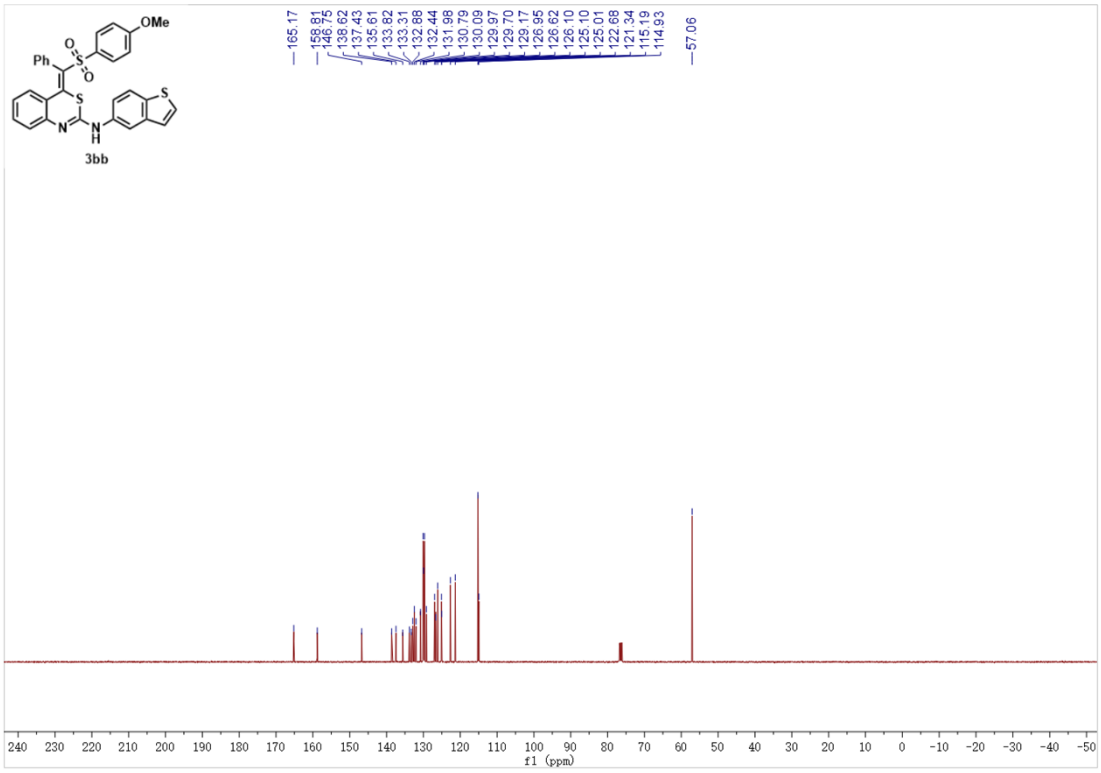
^{13}C NMR of **3ba** (100 MHz, $CDCl_3$):



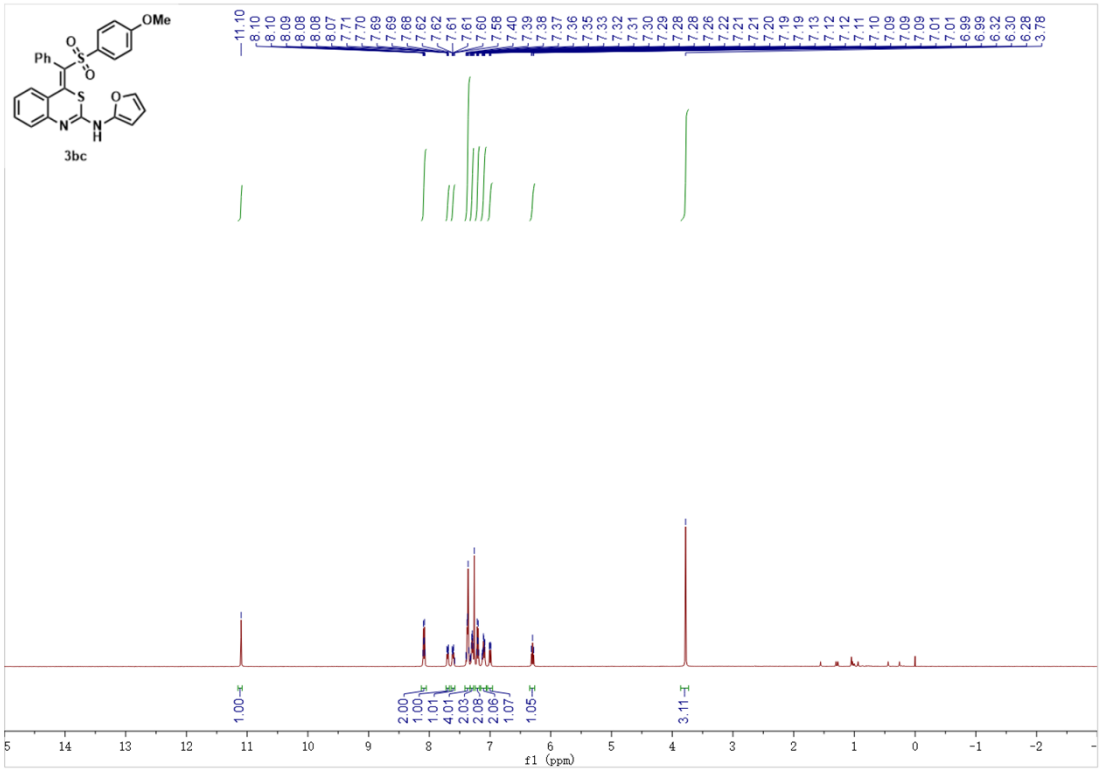
^{1}H NMR of **3bb** (400 MHz, $CDCl_3$):



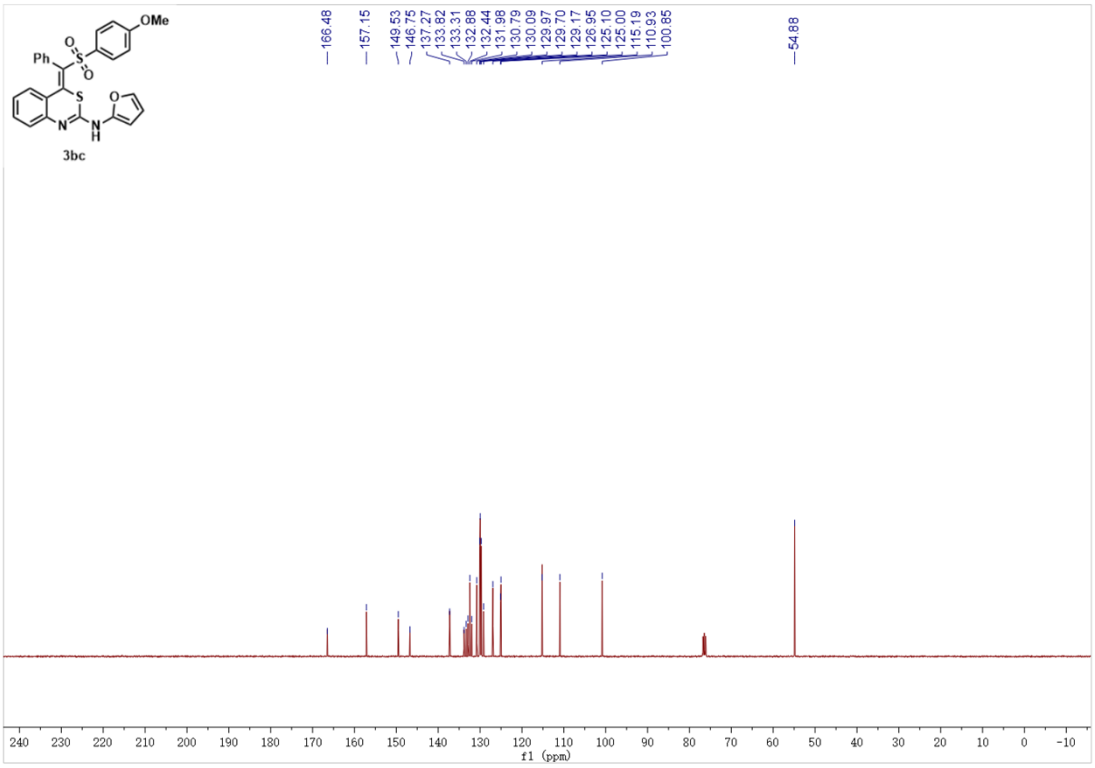
^{13}C NMR of **3bb** (100 MHz, $CDCl_3$):



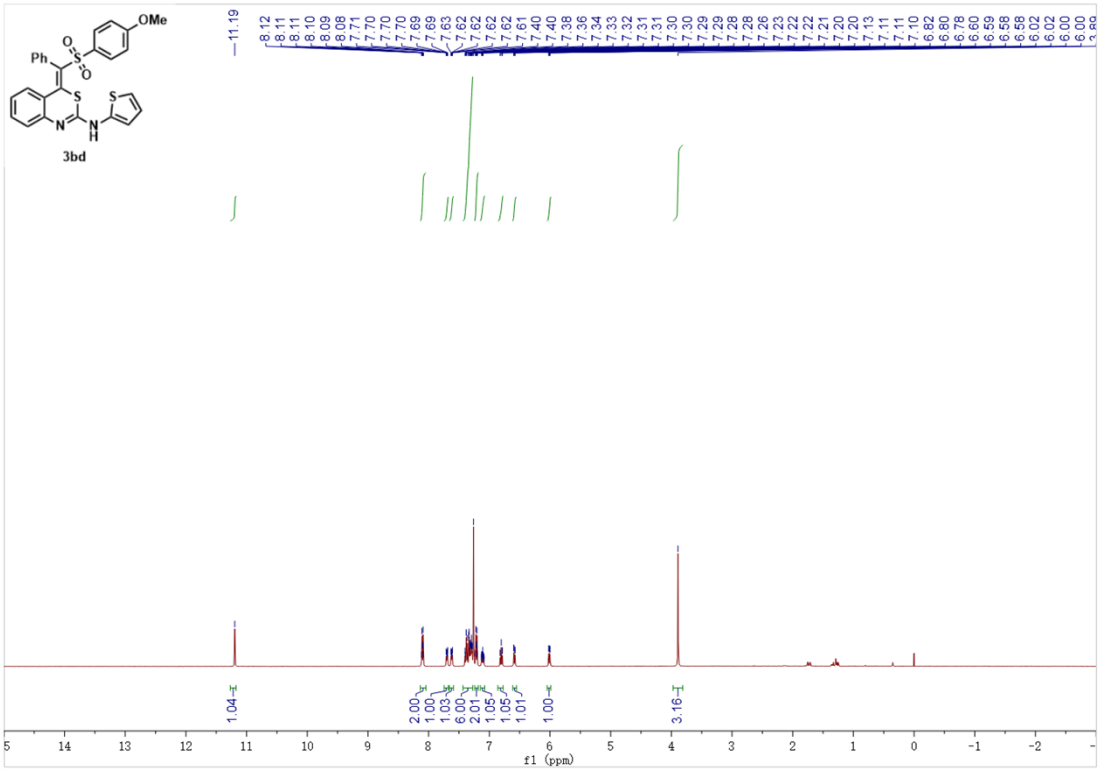
^{1}H NMR of **3bc** (400 MHz, $CDCl_3$):



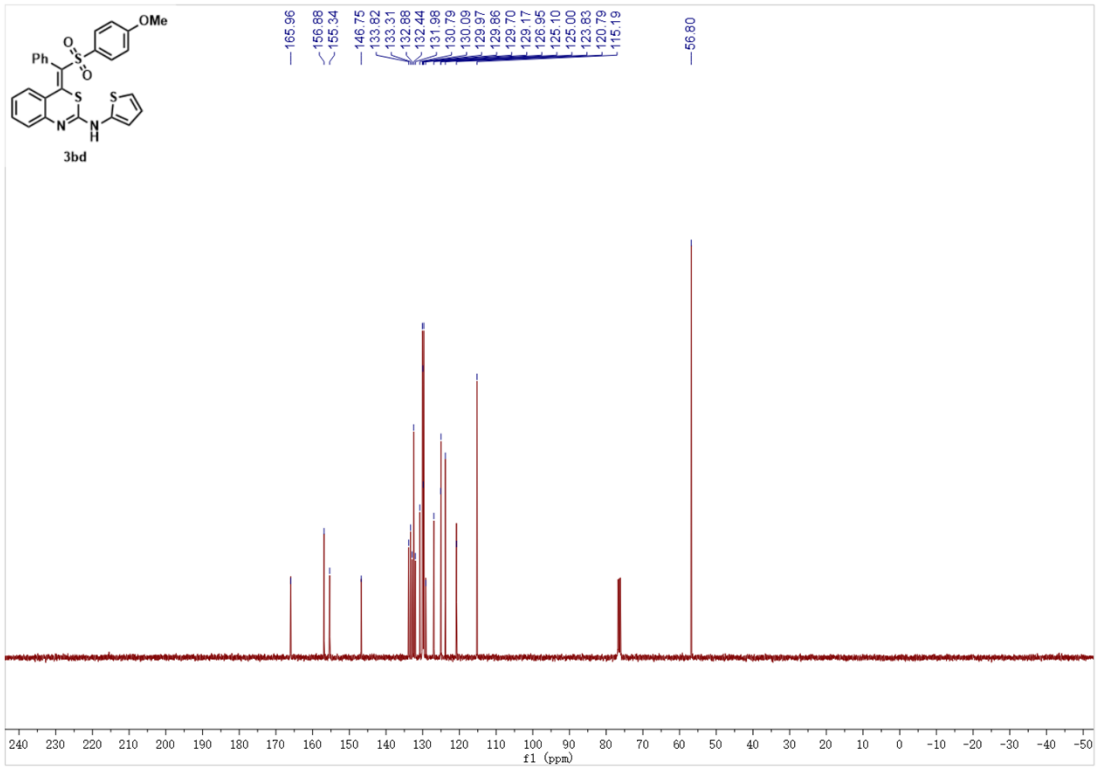
^{13}C NMR of **3bc** (100 MHz, $CDCl_3$):



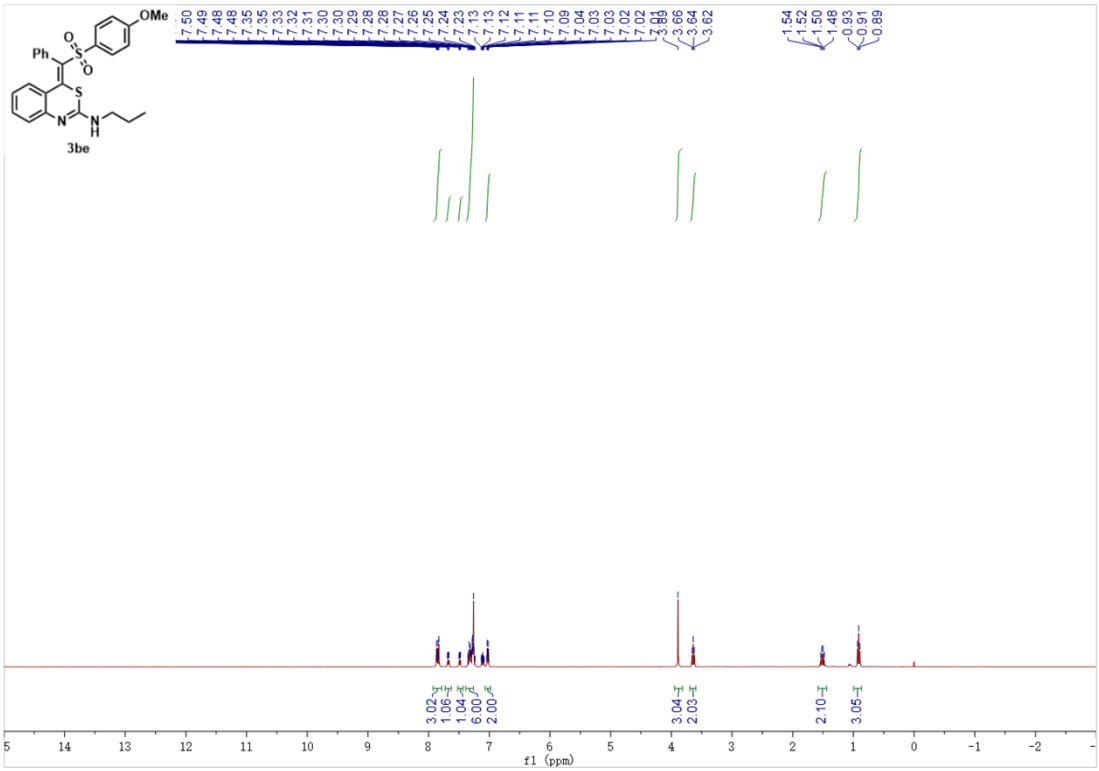
^{1}H NMR of **3bd** (400 MHz, $CDCl_3$):



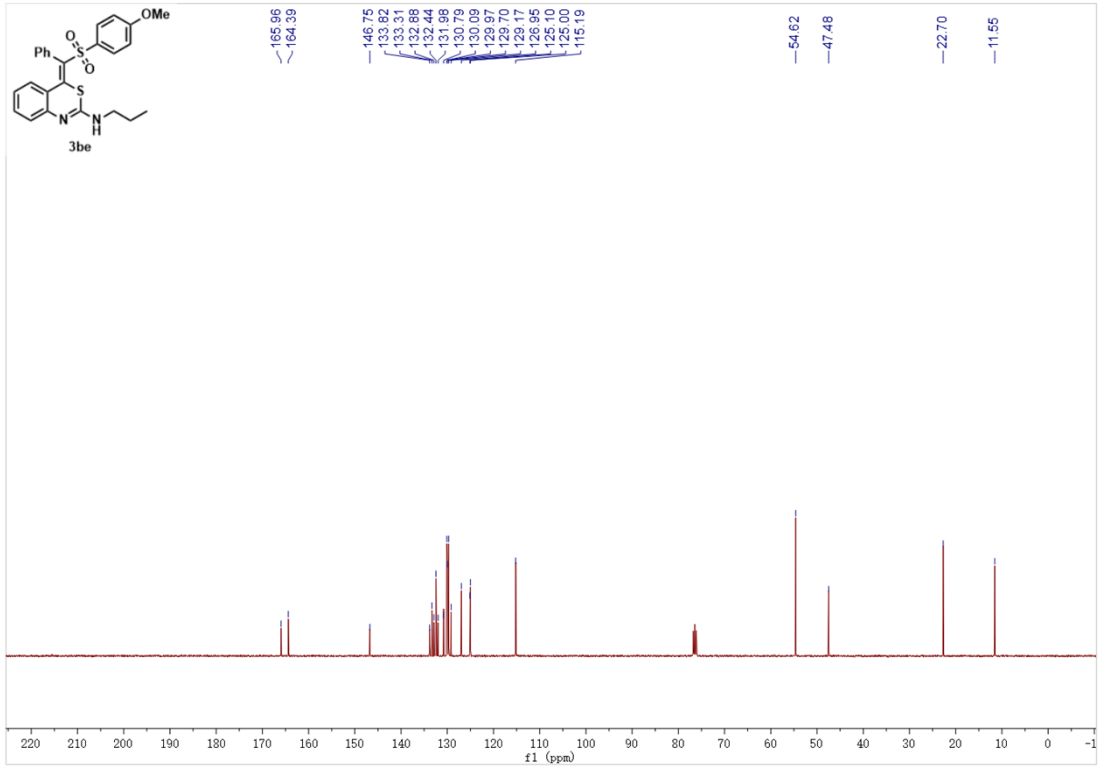
^{13}C NMR of **3ad** (100 MHz, $CDCl_3$):



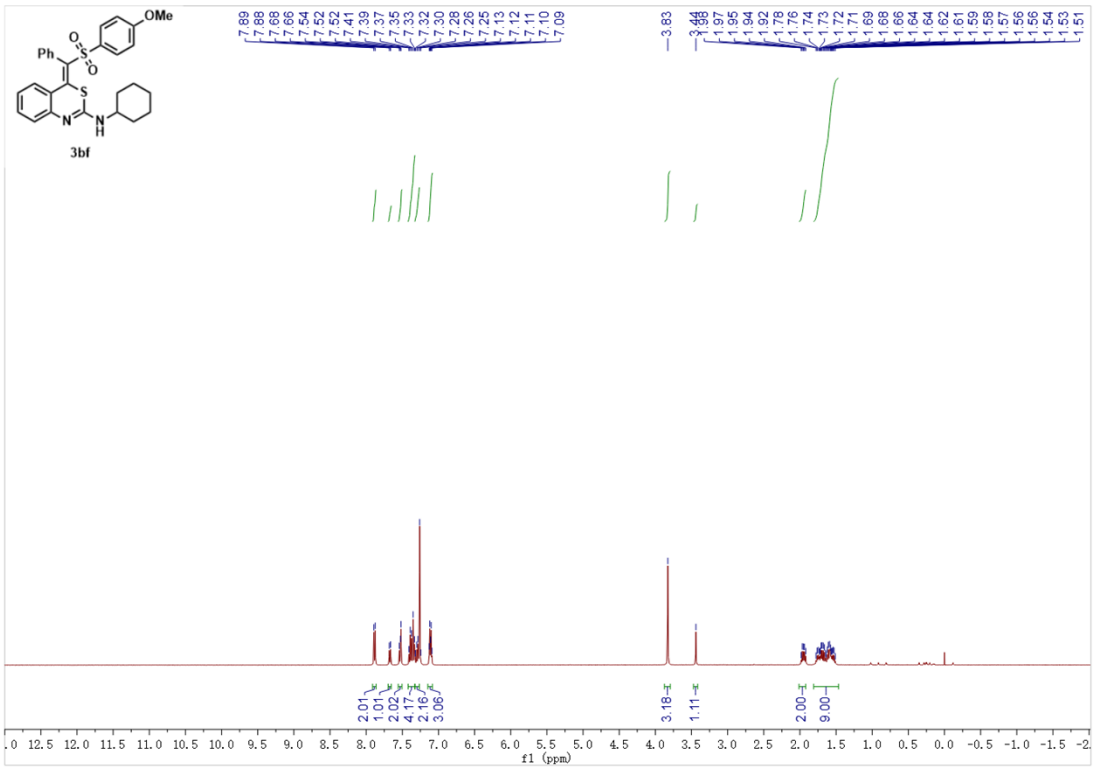
^{1}H NMR of **3be** (400 MHz, $CDCl_3$):



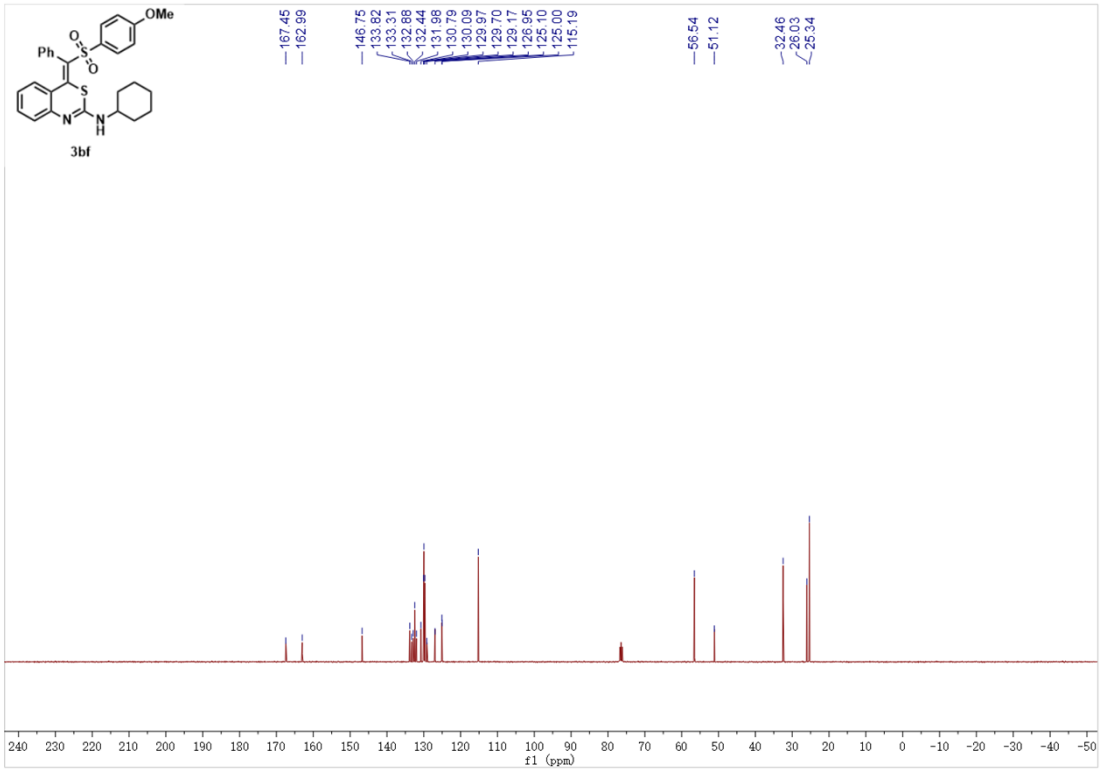
^{13}C NMR of **3be** (100 MHz, $CDCl_3$):



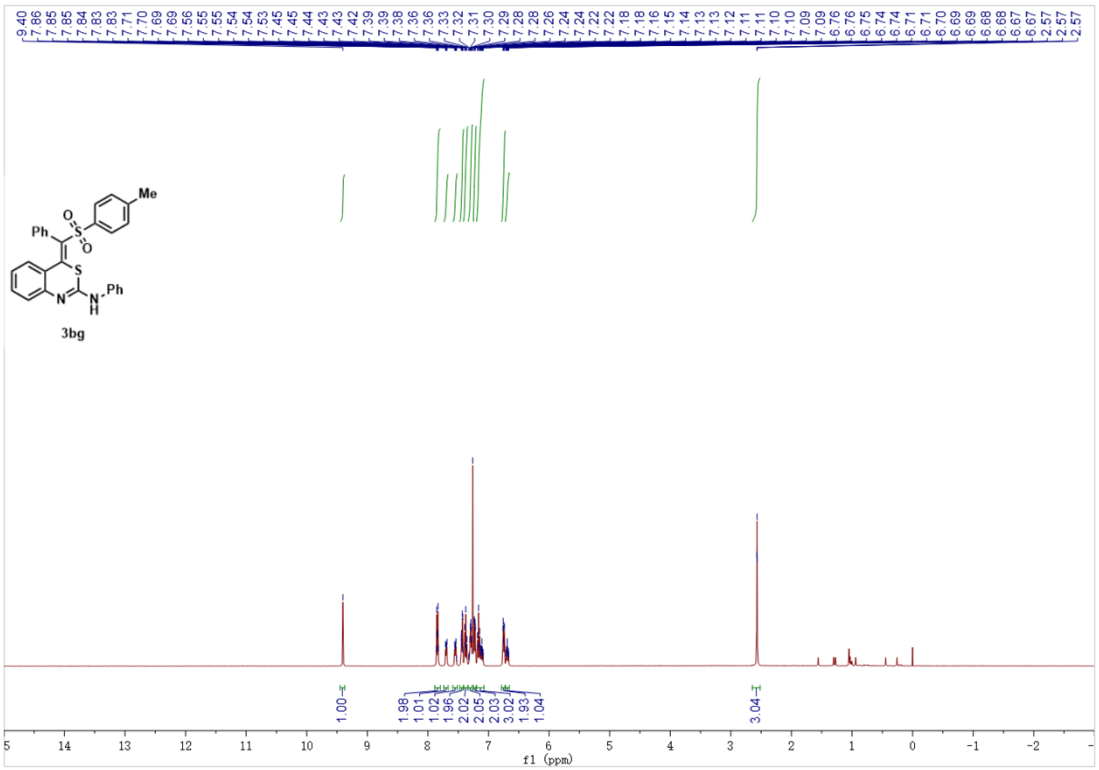
^{1}H NMR of **3bf** (400 MHz, $CDCl_3$):



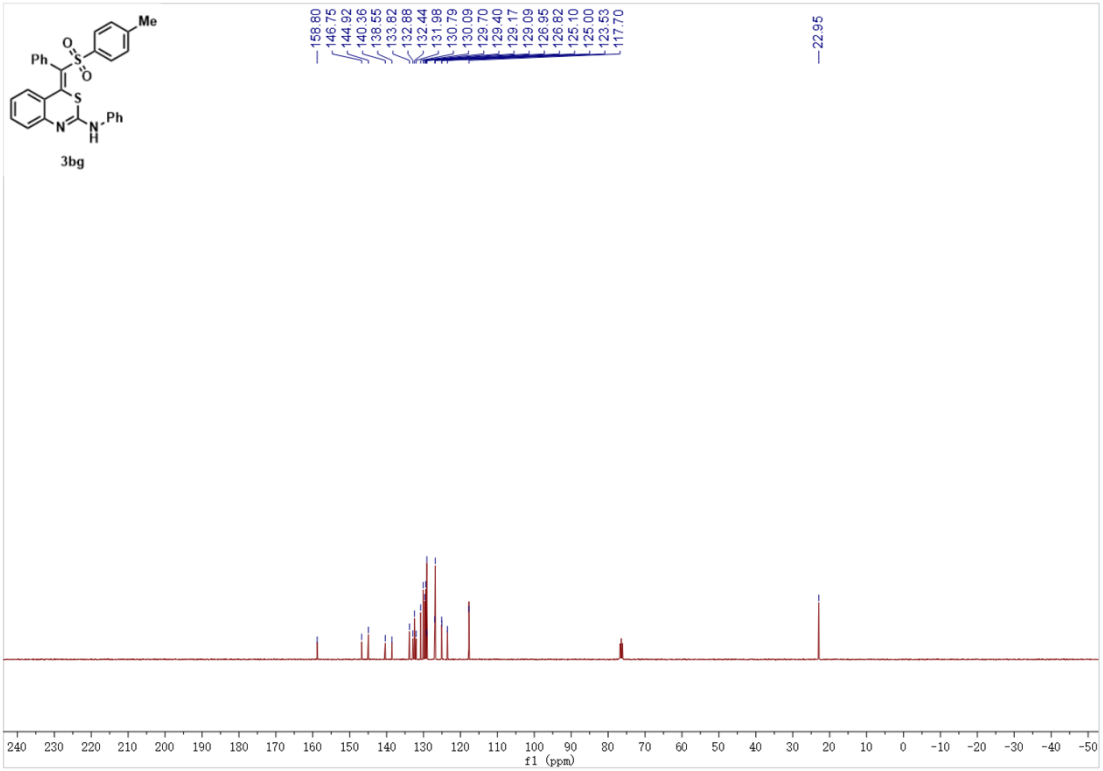
^{13}C NMR of **3bf** (100 MHz, $CDCl_3$):



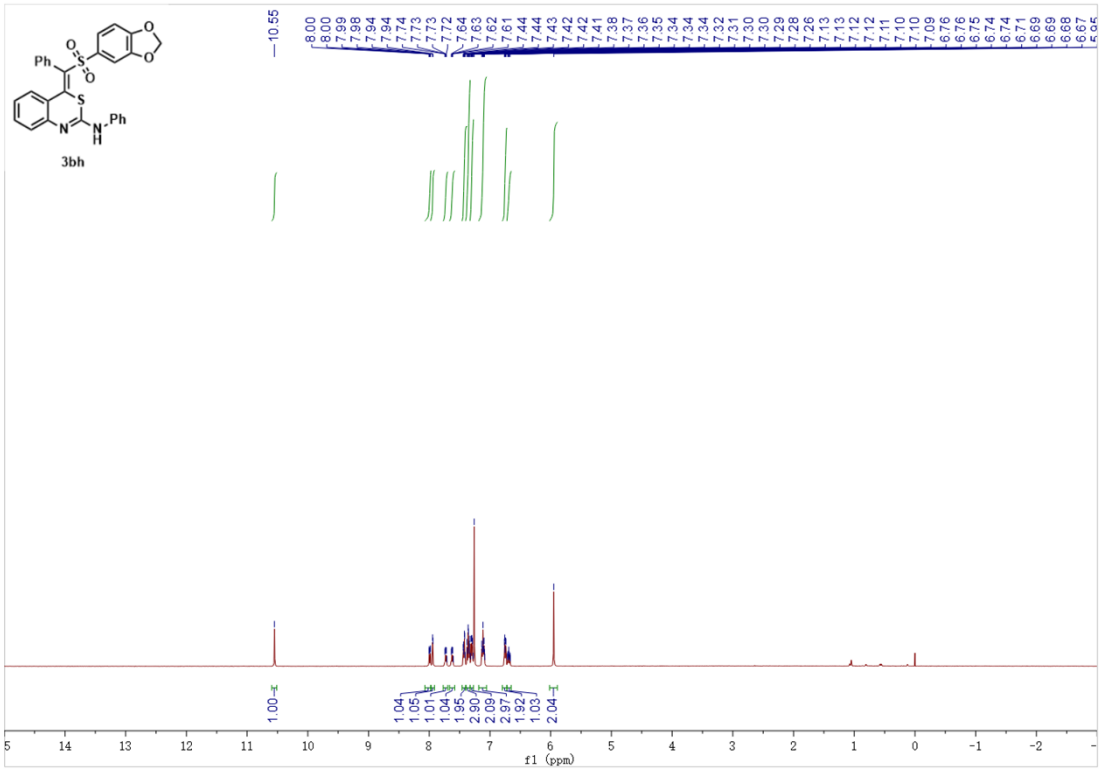
^{1}H NMR of **3bg** (400 MHz, $CDCl_3$):



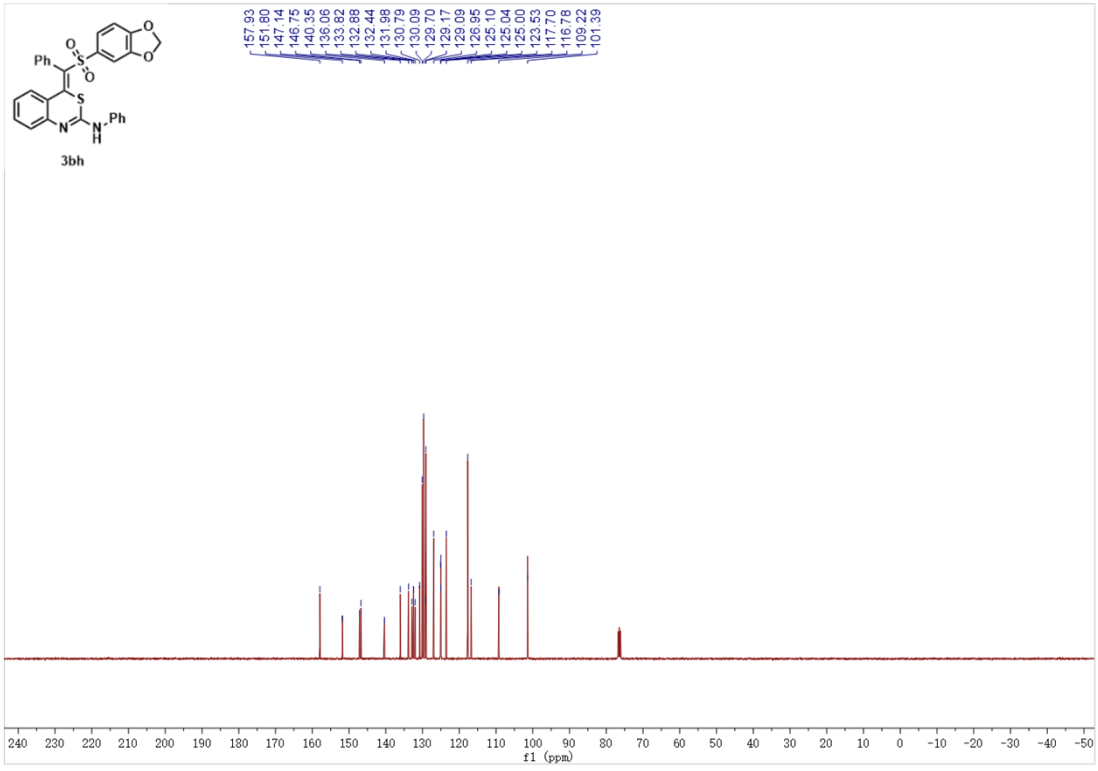
^{13}C NMR of **3bg** (100 MHz, $CDCl_3$):



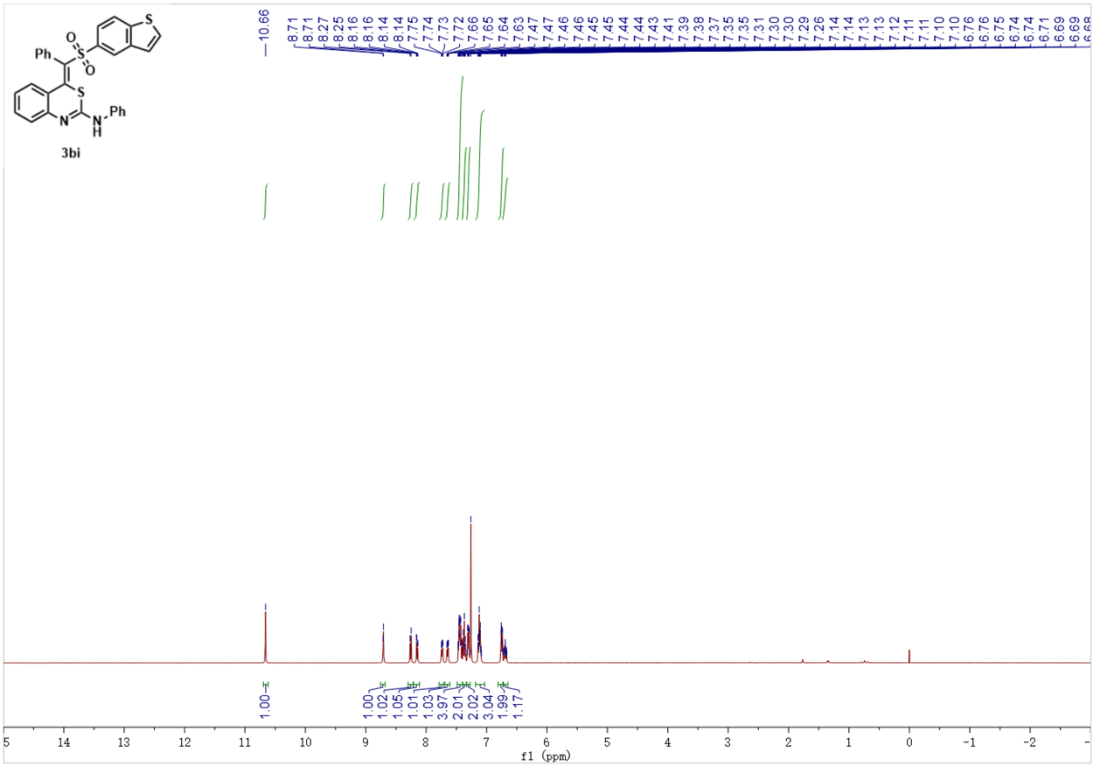
^{1}H NMR of **3bh** (400 MHz, $CDCl_3$):



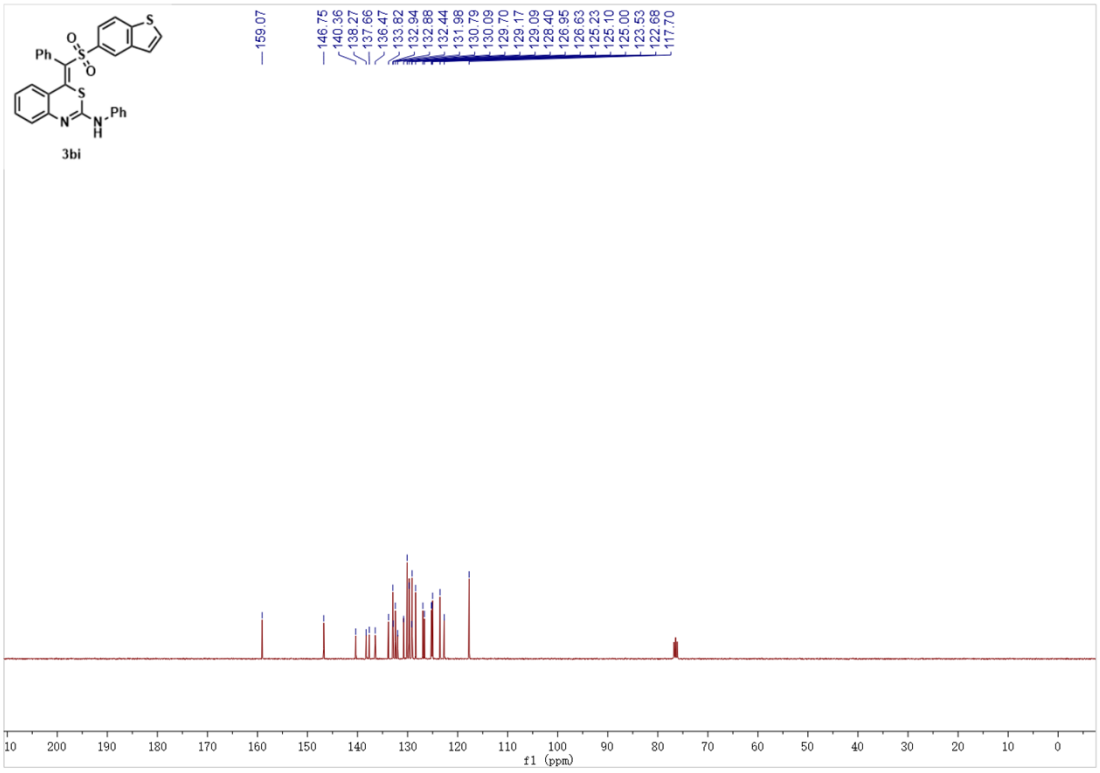
^{13}C NMR of **3bh** (100 MHz, $CDCl_3$):



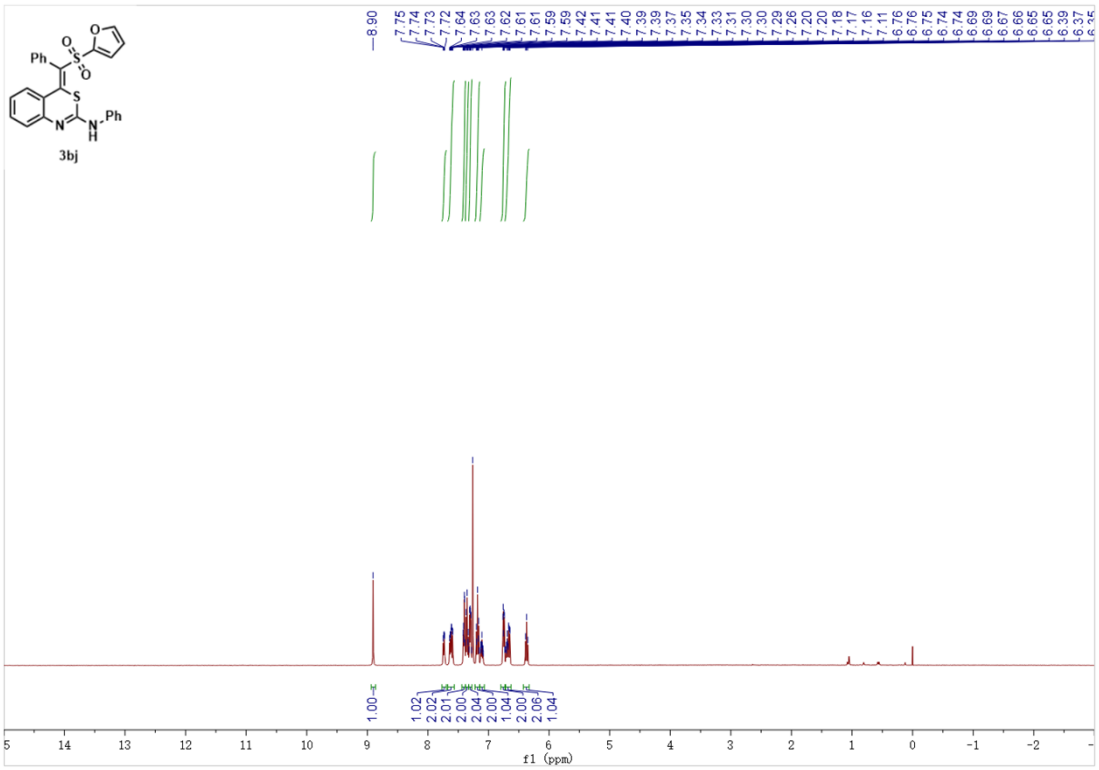
^{1}H NMR of **3bi** (400 MHz, $CDCl_3$):



^{13}C NMR of **3bi** (100 MHz, $CDCl_3$):



^{1}H NMR of **3bj** (400 MHz, $CDCl_3$):



^{13}C NMR of **3bj** (100 MHz, $CDCl_3$):