

Supporting Information

Visible light driven multicomponent synthesis of difluoroamidodisulfonyl quinolines derivatives

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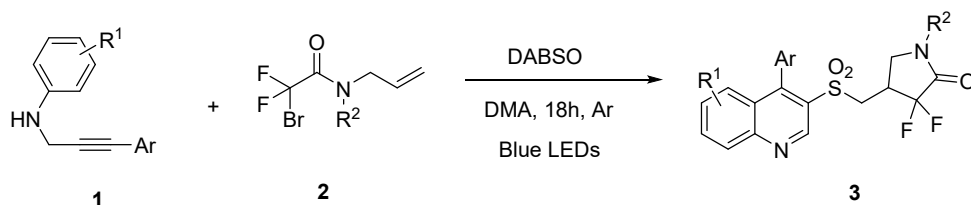
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1. General information

All chemicals were obtained from commercial sources and were used as received unless otherwise noted. **1a-1l**¹ and **2a-2m**² were synthesized according to literature reports. The blue LEDs light source (40 W, 450–470 nm) was purchased from Shenzhen Bestllon Technology Co. Ltd. of China. The distance from the light source to the irradiation vessel is about 1 cm. The progress of the reactions was monitored by TLC with silica gel plates, and the visualization was carried out under UV light (254 nm). Melting points were determined using a Büchi B-540 capillary melting point

apparatus. NMR spectra were recorded using Varian Mercury Plus 400 MHz. Chemical shifts of ¹H NMR are reported downfield from TMS (= 0). Chemical shifts of ¹³C NMR are reported in the scale relative to CDCl₃ (= 77.0). HRMS spectra were recorded on an electrospray ionization quadrupole time-of-flight (ESI-Q-TOF) mass spectrometer.

2. General Procedure for Synthesis of Products 3



To a 10 mL schlenk-tube was charged with **1** (0.1 mmol, 1.0 equiv), **2** (0.2 mmol, 2.0 equiv) and DABSO (0.25 mmol, 2.5 equiv) in DMA (2 mL) under argon atmosphere, and purged with argon three times. The mixture was irradiated with 40 W blue LEDs under vigorous stirring at room temperature for 18 h. After the reaction completed, the reaction mixture was diluted with H₂O (15 mL) and extracted with ethyl acetate (20 mL × 3). The combined organic layers were washed with brine (30 mL), dried over anhydrous Na₂SO₄, and concentrated in vacuo. The residue was purified by column chromatography on silica gel with petroleum ether/ethyl acetate (5:1) to afford the desired product **3**.

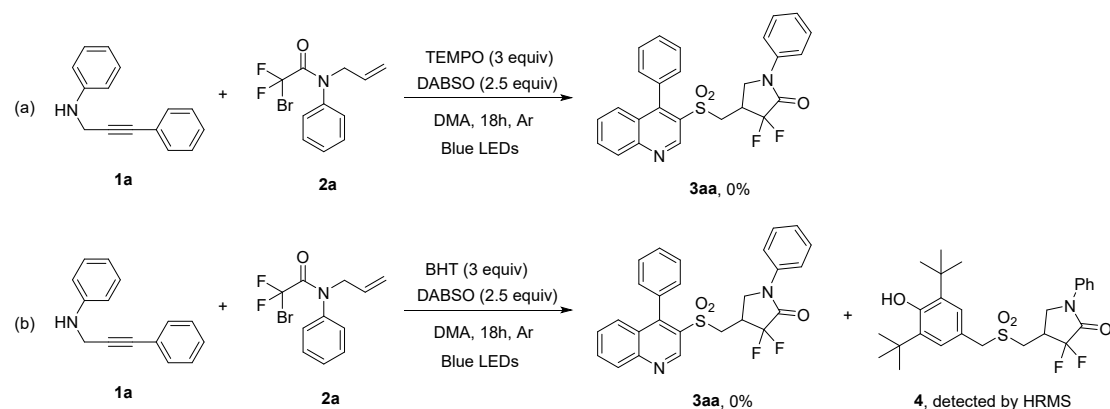
Gram Procedure for the Synthesis of 3aa

To a 100 mL schlenk-tube was charged with **1a** (1 mmol, 1.0 equiv), **2a** (2 mmol, 2.0 equiv), DABSO (2.5 mmol, 2.5 equiv) in DMA (20 mL) under argon atmosphere, and purged with argon three times. The mixture was irradiated with 40 W blue LEDs under vigorous stirring at room

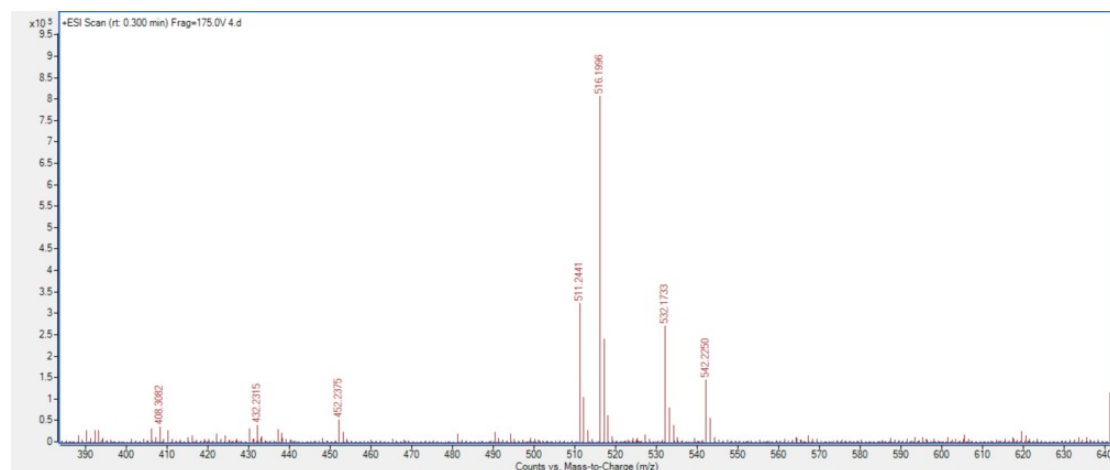
temperature for 18 h. After the reaction completed, the reaction mixture was diluted with H₂O (30 mL) and extracted with ethyl acetate (40 mL × 3). The combined organic layers were washed with brine (60 mL), dried over anhydrous Na₂SO₄, and concentrated in vacuo. The residue was purified by column chromatography on silica gel with petroleum ether/ethyl acetate (5:1) to afford the desired product **3aa** in 71% yield.

3. Mechanistic Studies

(1) Radical trapping Experiment

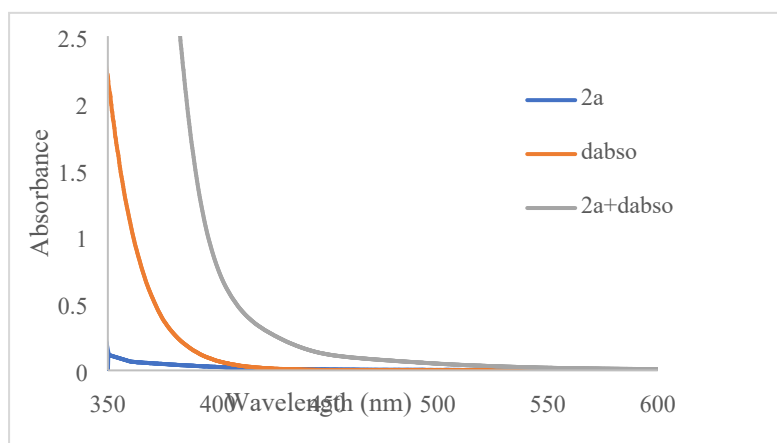


The radical trapping experiments were conducted with **1a** and **2a** under the standard conditions with two different trapping agents (TEMPO and BHT) to capture the radical intermediates. No desired product **3aa** was detected in the above two controlled experiments, indicating that the reaction was completely inhibited. Meanwhile, a trapping product **4** was detected by the HRMS. HRMS (ESI) *m/z* calcd for C₂₆H₃₃F₂NNaO₄S [M + Na]⁺ 516.1991 found 516.1996.



(2) UV/VIS Absorption spectra

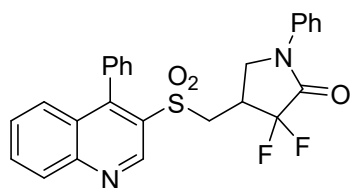
UV/vis absorption spectra between **2a** (0.02 M) and DABSO (0.02 M) in 2 mL DMA were recorded in 1 cm path quartz cuvettes using a Shimadzu UV-2550 UV-Vis spectrophotometer.



4. References

1. L. Zhang, S. Chen, Y. Gao, P. Zhang, Y. Wu, G. Tang and Y. Zhao, *Org. Lett.*, 2016, **18**, 1286-1289.
2. X. Zhuang, X. Shi, R. Zhu, B. Sun, W. Su and C. Jin, *Org. Chem. Front.*, 2021, **8**, 736-742

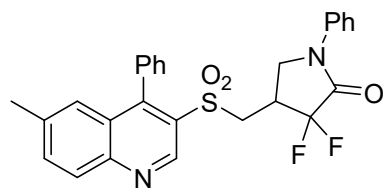
5. Characterization data for the products



3aa

3,3-difluoro-1-phenyl-4-(((4-phenylquinolin-3-yl)sulfonyl)methyl)pyrrolidin-2-one (3aa)

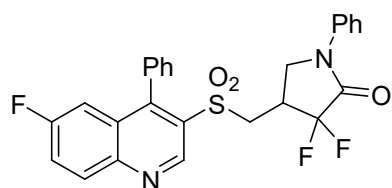
Yellow solid, 38.2 mg, 80% yield, m.p. 151-153 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.49 (s, 1H), 8.18 (d, *J* = 8.5 Hz, 1H), 7.83 (t, *J* = 7.8 Hz, 1H), 7.59 – 7.39 (m, 8H), 7.37 – 7.28 (m, 3H), 7.18 (d, *J* = 6.1 Hz, 1H), 4.02 (t, *J* = 9.4 Hz, 1H), 3.53 (t, *J* = 9.3 Hz, 1H), 3.18 (d, *J* = 13.6 Hz, 1H), 3.05 – 2.80 (m, 2H). ¹⁹F NMR (376 MHz, Chloroform-*d*) δ -110.32 (d, *J* = 266.3 Hz), -115.25 (d, *J* = 266.2 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 160.43 (t, *J*_{F-C} = 30.0 Hz), 150.46, 150.21, 147.38, 137.27, 133.01, 132.29, 130.59, 129.84 (d, *J*_{F-C} = 4.0 Hz), 129.54, 129.48, 129.18, 128.46 (d, *J*_{F-C} = 2.8 Hz), 128.31, 127.74, 127.10, 126.47, 119.93, 116.14 (dd, *J*_{F-C} = 257.8, 250.1 Hz), 51.98 (d, *J*_{F-C} = 6.9 Hz), 47.82 (d, *J*_{F-C} = 5.6 Hz), 34.76 (t, *J*_{F-C} = 21.4 Hz). HRMS calcd for C₂₆H₂₁F₂N₂O₃S [M+H]⁺ 479.1235, found: 479.1241.



3ba

3,3-difluoro-4-(((6-methyl-4-phenylquinolin-3-yl)sulfonyl)methyl)-1-phenylpyrrolidin-2-one

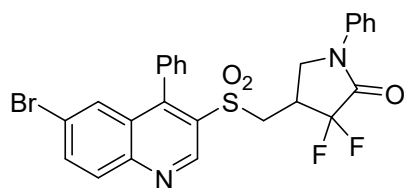
(3ba) Yellow solid, 29.5 mg, 60% yield, m.p. 135-137 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.42 (s, 1H), 8.07 (d, *J* = 8.6 Hz, 1H), 7.66 (d, *J* = 8.7 Hz, 1H), 7.51 (q, *J* = 8.4 Hz, 5H), 7.43 (d, *J* = 7.0 Hz, 1H), 7.33 (t, *J* = 8.4 Hz, 3H), 7.20 – 7.13 (m, 2H), 4.03 (t, *J* = 9.2 Hz, 1H), 3.53 (t, *J* = 9.3 Hz, 1H), 3.17 (d, *J* = 13.6 Hz, 1H), 3.05 – 2.82 (m, 2H), 2.37 (s, 3H). ¹⁹F NMR (376 MHz, Chloroform-*d*) δ -110.33 (d, *J* = 266.3 Hz), -115.27 (d, *J* = 265.9 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 160.62 (t, *J*_{F-C} = 31.5 Hz), 149.59, 148.95, 146.47, 138.91, 137.29, 135.39, 132.45, 130.64, 129.78, 129.53 (d, *J*_{F-C} = 6.4 Hz), 129.45, 129.20, 128.37 (d, *J*_{F-C} = 13.1 Hz), 127.11, 126.48, 126.25, 119.96, 116.16 (dd, *J*_{F-C} = 257.1, 250.4 Hz), 51.97 (d, *J*_{F-C} = 6.7 Hz), 47.85 (d, *J*_{F-C} = 5.7 Hz), 34.80 (t, *J*_{F-C} = 21.1 Hz), 21.85. HRMS calcd for C₂₇H₂₃F₂N₂O₃S [M+H]⁺ 493.1392, found: 493.1400.



3ca

3,3-difluoro-4-(((6-fluoro-4-phenylquinolin-3-yl)sulfonyl)methyl)-1-phenylpyrrolidin-2-one

(3ca) Yellow solid, 37.2 mg, 75% yield, m.p. 168-170 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.53 (s, 1H), 8.27 (dd, *J* = 9.3, 5.3 Hz, 1H), 7.72 – 7.63 (m, 1H), 7.63 – 7.53 (m, 5H), 7.50 (d, *J* = 7.0 Hz, 1H), 7.45 – 7.34 (m, 3H), 7.26 (d, *J* = 6.2 Hz, 1H), 7.11 (dd, *J* = 9.6, 2.8 Hz, 1H), 4.10 (dd, *J* = 10.5, 7.8 Hz, 1H), 3.60 (t, *J* = 9.1 Hz, 1H), 3.26 (d, *J* = 13.4 Hz, 1H), 3.13 – 2.91 (m, 2H). ¹⁹F NMR (376 MHz, Chloroform-*d*) δ -108.31, -110.28 (d, *J* = 266.3 Hz), -115.23 (d, *J* = 266.2 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 162.62, 160.39 (t, *J*_{F-C} = 31.0 Hz), 149.73 (d, *J*_{F-C} = 6.0 Hz), 147.43, 146.77 (d, *J*_{F-C} = 2.9 Hz), 137.25, 132.51 (d, *J*_{F-C} = 9.2 Hz), 131.89, 130.30 (d, *J*_{F-C} = 33.1 Hz), 130.29, 129.37, 129.19, 128.61 (d, *J*_{F-C} = 11.0 Hz), 128.30 (d, *J*_{F-C} = 9.6 Hz), 126.49, 123.40 (d, *J*_{F-C} = 26.1 Hz), 119.92, 116.10 (dd, *J*_{F-C} = 257.8, 249.9 Hz), 111.05 (d, *J*_{F-C} = 23.7 Hz), 51.96 (d, *J*_{F-C} = 7.0 Hz), 47.80 (d, *J*_{F-C} = 5.6 Hz), 34.70 (t, *J*_{F-C} = 21.3 Hz). HRMS calcd for C₂₆H₂₀F₃N₂O₃S [M+H]⁺ 497.1141, found: 497.1147.

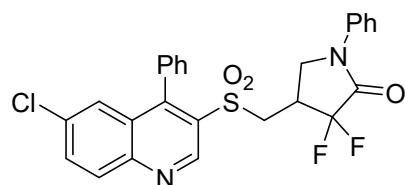


3da

4-(((6-bromo-4-phenylquinolin-3-yl)sulfonyl)methyl)-3,3-difluoro-1-phenylpyrrolidin-2-one

(3da) Yellow solid, 45.6 mg, 82% yield, m.p. 179-181 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.47 (s, 1H), 8.02 (dd, *J* = 9.1, 2.9 Hz, 1H), 7.87 (d, *J* = 8.6 Hz, 1H), 7.63 – 7.38 (m, 7H), 7.35 – 7.25 (m, 3H), 7.17 (dd, *J* = 7.2, 2.8 Hz, 1H), 4.01 (t, *J* = 9.2 Hz, 1H), 3.50 (t, *J* = 9.2 Hz, 1H), 3.15 (d, *J* = 13.5 Hz, 1H), 3.05 – 2.80 (m, 2H). ¹⁹F NMR (376 MHz, Chloroform-*d*) δ -110.22 (d, *J* = 266.2 Hz), -115.17 (d, *J* = 266.2 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 160.34 (t, *J*_{F-C} = 31.0 Hz), 149.43, 148.82, 147.70, 137.22, 136.45, 131.54, 131.44, 130.39, 130.37 (d, *J*_{F-C} = 31.8 Hz), 129.60, 129.46, 129.18, 128.60 (d, *J*_{F-C} = 9.2 Hz), 128.30, 126.48, 122.99, 119.90, 116.08 (dd, *J*_{F-C}

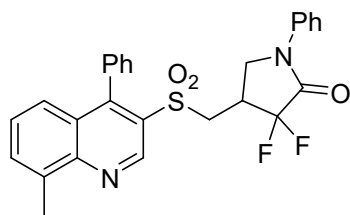
= 257.8, 250.1 Hz), 51.92 (d, J_{F-C} = 6.9 Hz), 47.77 (d, J_{F-C} = 5.6 Hz), 34.65 (t, J_{F-C} = 21.2 Hz). HRMS calcd for $C_{26}H_{20}BrF_2N_2O_3S$ [M+H]⁺ 557.0341, found: 557.0346.



3ea

4-(((6-chloro-4-phenylquinolin-3-yl)sulfonyl)methyl)-3,3-difluoro-1-phenylpyrrolidin-2-one

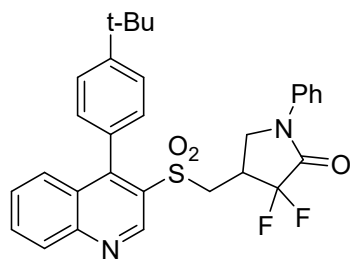
(3ea) Yellow solid, 39.4 mg, 77% yield, m.p. 170-172 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.46 (s, 1H), 8.11 (d, J = 9.0 Hz, 1H), 7.75 (d, J = 9.0 Hz, 1H), 7.58 – 7.45 (m, 5H), 7.40 (d, J = 20.4 Hz, 2H), 7.31 (q, J = 7.2, 6.7 Hz, 3H), 7.18 (d, J = 6.9 Hz, 1H), 4.02 (dd, J = 10.9, 7.7 Hz, 1H), 3.51 (t, J = 9.1 Hz, 1H), 3.16 (d, J = 13.1 Hz, 1H), 3.03 – 2.86 (m, 2H). ¹⁹F NMR (376 MHz, Chloroform-*d*) δ -110.23 (d, J = 266.2 Hz), -115.19 (d, J = 265.7 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 160.35 (t, J_{F-C} = 30.7 Hz), 149.53, 148.61, 147.58, 137.23, 134.74, 133.90, 131.60, 131.41, 130.52, 130.43, 130.20, 129.45, 129.18, 128.61 (d, J_{F-C} = 9.6 Hz), 127.92, 126.38 (d, J_{F-C} = 21.2 Hz), 119.91, 116.09 (dd, J_{F-C} = 257.8, 249.9 Hz), 51.94 (d, J_{F-C} = 7.0 Hz), 47.78 (d, J_{F-C} = 5.5 Hz), 34.66 (t, J_{F-C} = 21.5 Hz). HRMS calcd for $C_{26}H_{20}ClF_2N_2O_3S$ [M+H]⁺ 513.0846, found: 513.0850.



3fa

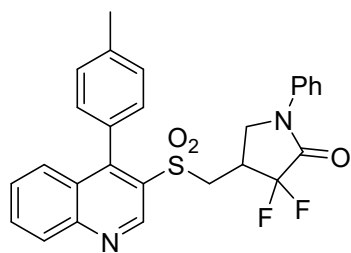
3,3-difluoro-4-(((8-methyl-4-phenylquinolin-3-yl)sulfonyl)methyl)-1-phenylpyrrolidin-2-one

(3fa) Yellow solid, 44.2 mg, 90% yield, m.p. 85-87 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.57 (s, 1H), 7.74 (d, J = 7.0 Hz, 1H), 7.56 (d, J = 8.0 Hz, 5H), 7.53 – 7.31 (m, 6H), 7.25 (d, J = 7.3 Hz, 1H), 4.09 (dd, J = 11.2, 7.8 Hz, 1H), 3.61 (t, J = 9.3 Hz, 1H), 3.29 – 3.21 (m, 1H), 3.12 – 3.01 (m, 1H), 2.99 – 2.90 (m, 1H), 2.87 (s, 3H). ¹⁹F NMR (376 MHz, Chloroform-*d*) δ -110.32 (d, J = 266.2 Hz), -115.25 (d, J = 266.3 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 160.44 (t, J_{F-C} = 30.5 Hz), 150.39, 149.29, 146.12, 137.90, 137.27, 133.02, 132.72, 130.63, 129.61 (d, J_{F-C} = 14.3 Hz), 129.16, 129.06, 128.33, 128.15 (d, J_{F-C} = 8.9 Hz), 127.12, 126.43, 125.66, 119.91, 116.14 (dd, J_{F-C} = 257.8, 249.9 Hz), 51.90 (d, J_{F-C} = 6.7 Hz), 47.81 (d, J_{F-C} = 5.6 Hz), 34.81 (t, J_{F-C} = 21.5 Hz), 18.14. HRMS calcd for $C_{27}H_{23}F_2N_2O_3S$ [M+H]⁺ 493.1392, found: 493.1399.



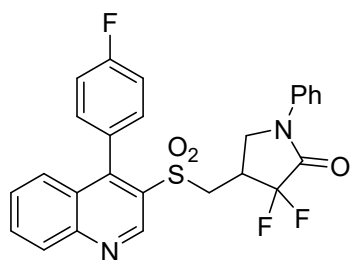
3ga

4-(((4-(4-(tert-butyl)phenyl)quinolin-3-yl)sulfonyl)methyl)-3,3-difluoro-1-phenylpyrrolidin-2-one (3ga) Yellow solid, 35.8 mg, 67% yield, m.p. 125-127 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.49 (s, 1H), 8.18 (d, *J* = 8.2 Hz, 1H), 7.88 – 7.79 (m, 1H), 7.59 – 7.46 (m, 6H), 7.38 (d, *J* = 8.0 Hz, 1H), 7.35 – 7.25 (m, 3H), 7.17 (dd, *J* = 7.6, 2.2 Hz, 1H), 4.05 (t, *J* = 9.0 Hz, 1H), 3.54 (t, *J* = 9.0 Hz, 1H), 3.05 (d, *J* = 11.4 Hz, 1H), 2.98 – 2.75 (m, 2H), 1.32 (s, 9H). ¹⁹F NMR (376 MHz, Chloroform-*d*) δ -110.53 (d, *J* = 265.7 Hz), -115.40 (d, *J* = 266.3 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 160.49 (t, *J*_{F-C} = 31.6 Hz), 153.54, 150.58, 150.15, 147.14, 137.26, 132.93, 130.32, 129.93, 129.72 (d, *J*_{F-C} = 9.4 Hz), 129.20, 129.09, 128.35, 127.91, 127.22, 126.49, 125.37, 119.96, 116.11 (dd, *J*_{F-C} = 258.2, 249.5 Hz), 51.48 (d, *J*_{F-C} = 7.1 Hz), 47.96 (d, *J*_{F-C} = 5.6 Hz), 34.94, 34.67 (t, *J*_{F-C} = 21.3 Hz), 31.21. HRMS calcd for C₃₀H₂₉F₂N₂O₃S [M+H]⁺ 535.1861, found: 535.1868.



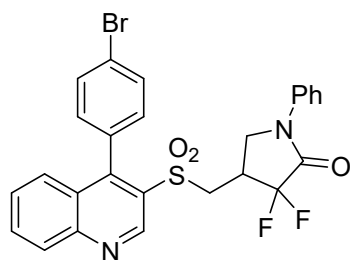
3ha

3,3-difluoro-1-phenyl-4-(((4-(p-tolyl)quinolin-3-yl)sulfonyl)methyl)pyrrolidin-2-one (3ha) Yellow solid, 36.4 mg, 74% yield, m.p. 104-106 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.47 (s, 1H), 8.16 (d, *J* = 8.5 Hz, 1H), 7.87 – 7.77 (m, 1H), 7.49 (d, *J* = 7.9 Hz, 4H), 7.31 (d, *J* = 8.4 Hz, 5H), 7.18 (t, *J* = 7.3 Hz, 2H), 4.01 (t, *J* = 9.4 Hz, 1H), 3.54 (t, *J* = 9.3 Hz, 1H), 3.24 – 3.15 (m, 1H), 3.07 – 2.79 (m, 2H), 2.39 (s, 3H). ¹⁹F NMR (376 MHz, Chloroform-*d*) δ -110.30 (d, *J* = 266.4 Hz), -115.21 (d, *J* = 266.3 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 160.46 (t, *J*_{F-C} = 31.6 Hz), 150.75, 150.16, 147.37, 140.07, 137.26, 132.91, 130.48, 129.76, 129.51 (d, *J*_{F-C} = 4.0 Hz), 129.17, 129.10, 128.95, 128.06 (d, *J*_{F-C} = 54.8 Hz), 127.30, 126.44, 119.89, 116.14 (dd, *J*_{F-C} = 257.7, 249.6 Hz), 51.89 (d, *J*_{F-C} = 6.9 Hz), 47.81 (d, *J*_{F-C} = 5.6 Hz), 34.77 (t, *J*_{F-C} = 21.3 Hz), 21.37. HRMS calcd for C₂₇H₂₃F₂N₂O₃S [M+H]⁺ 493.1392, found: 493.1395.



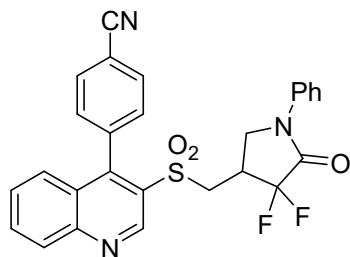
3ia

3,3-difluoro-4-(((4-(4-fluorophenyl)quinolin-3-yl)sulfonyl)methyl)-1-phenylpyrrolidin-2-one (3ia) Yellow solid, 35.2 mg, 71% yield, m.p. 156-158 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.47 (s, 1H), 8.18 (d, *J* = 8.5 Hz, 1H), 7.84 (t, *J* = 7.9 Hz, 1H), 7.58 – 7.37 (m, 5H), 7.37 – 7.13 (m, 6H), 4.05 (t, *J* = 9.4 Hz, 1H), 3.60 (t, *J* = 9.3 Hz, 1H), 3.20 (d, *J* = 13.9 Hz, 1H), 3.09 – 2.80 (m, 2H). ¹⁹F NMR (376 MHz, Chloroform-*d*) δ -109.93, -110.36 (d, *J* = 266.1 Hz), -115.11 (d, *J* = 266.2 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 163.40 (d, *J*_{F-C} = 251.4 Hz), 160.19 (t, *J*_{F-C} = 30.7 Hz), 150.26, 149.55, 147.50, 137.20, 133.12, 132.04 (dd, *J*_{F-C} = 116.7, 8.3 Hz), 129.95, 129.52, 129.22, 128.66, 128.11 (d, *J*_{F-C} = 3.7 Hz), 127.44, 127.17, 126.53, 119.95, 116.12 (dd, *J*_{F-C} = 257.5, 250.0 Hz), 115.72 (dd, *J*_{F-C} = 21.8, 18.0 Hz), 52.15 (d, *J*_{F-C} = 6.8 Hz), 47.82 (d, *J*_{F-C} = 5.6 Hz), 34.86 (t, *J*_{F-C} = 21.5 Hz). HRMS calcd for C₂₆H₂₀F₃N₂O₃S [M+H]⁺ 497.1141, found: 497.1149.



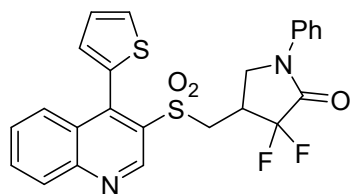
3ja

4-(((4-(4-bromophenyl)quinolin-3-yl)sulfonyl)methyl)-3,3-difluoro-1-phenylpyrrolidin-2-one (3ja) Yellow solid, 44.5 mg, 80% yield, m.p. 178-180 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.46 (s, 1H), 8.21 – 8.15 (m, 1H), 7.85 (t, *J* = 7.9 Hz, 1H), 7.67 (t, *J* = 9.3 Hz, 2H), 7.59 – 7.45 (m, 3H), 7.43 – 7.37 (m, 1H), 7.32 (q, *J* = 8.1, 7.4 Hz, 3H), 7.25 – 7.13 (m, 2H), 4.08 – 3.99 (m, 1H), 3.62 – 3.54 (m, 1H), 3.26 (dd, *J* = 14.0, 2.8 Hz, 1H), 2.99 (m, 2H). ¹⁹F NMR (376 MHz, Chloroform-*d*) δ -110.28 (d, *J* = 265.9 Hz), -114.99 (d, *J* = 265.7 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 160.32 (t, *J*_{F-C} = 30.7 Hz), 150.18, 149.33, 147.53, 137.19, 133.20, 132.14, 131.66 (d, *J*_{F-C} = 22.5 Hz), 131.24, 130.93, 129.95, 129.24, 128.74, 127.40, 126.84, 126.54, 124.36, 119.98, 116.11 (dd, *J*_{F-C} = 257.9, 249.8 Hz), 52.46 (d, *J*_{F-C} = 6.7 Hz), 47.83 (d, *J*_{F-C} = 5.6 Hz), 34.87 (t, *J*_{F-C} = 21.3 Hz). HRMS calcd for C₂₆H₂₀BrF₂N₂O₃S [M+H]⁺ 557.0341, found: 557.0349.



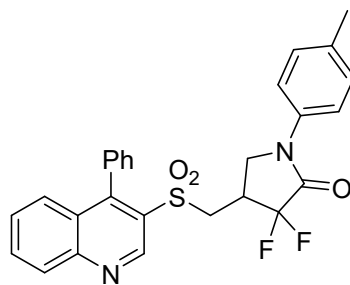
3ka

4-(3-(((4,4-difluoro-5-oxo-1-phenylpyrrolidin-3-yl)methyl)sulfonyl)quinolin-4-yl)benzonitrile (3ka) Yellow solid, 35.2 mg, 70% yield, m.p. 209-211 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.46 (s, 1H), 8.20 (d, *J* = 8.5 Hz, 1H), 7.97 – 7.73 (m, 3H), 7.62 – 7.50 (m, 2H), 7.45 (dd, *J* = 13.1, 8.4 Hz, 3H), 7.33 (t, *J* = 7.8 Hz, 2H), 7.26 (d, *J* = 8.6 Hz, 1H), 7.18 (d, *J* = 6.1 Hz, 1H), 4.05 (t, *J* = 9.4 Hz, 1H), 3.62 (t, *J* = 9.3 Hz, 1H), 3.30 – 3.22 (m, 1H), 3.16 – 2.88 (m, 2H). ¹⁹F NMR (376 MHz, Chloroform-*d*) δ -110.12 (d, *J* = 266.0 Hz), -114.80 (d, *J* = 265.7 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 160.20 (t, *J*_{F-C} = 30.0 Hz), 150.18, 148.29, 147.62, 137.49, 137.11, 133.41, 131.99 (d, *J*_{F-C} = 13.2 Hz), 131.11, 130.09 (d, *J*_{F-C} = 8.0 Hz), 129.26, 129.05, 128.96, 127.02, 126.62, 126.25, 119.94, 117.83, 116.02 (dd, *J*_{F-C} = 258.5, 249.5 Hz), 113.81, 52.98 (d, *J*_{F-C} = 6.8 Hz), 47.75 (d, *J*_{F-C} = 5.6 Hz), 34.78 (t, *J*_{F-C} = 21.3 Hz). HRMS calcd for C₂₇H₂₀F₂N₃O₃S [M+H]⁺ 504.1188, found: 504.1192.



3la

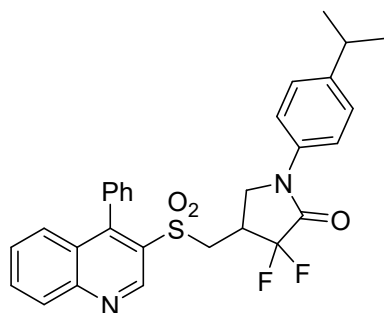
3,3-difluoro-1-phenyl-4-(((4-(thiophen-2-yl)quinolin-3-yl)sulfonyl)methyl)pyrrolidin-2-one (3la) Yellow solid, 41.1 mg, 85% yield, m.p. 158-160 °C. ¹H NMR (400 MHz,) δ 9.48 (s, 1H), 8.18 – 8.12 (m, 1H), 7.84 (t, *J* = 7.8 Hz, 1H), 7.66 (dd, *J* = 20.9, 6.5 Hz, 2H), 7.55 (t, *J* = 7.9 Hz, 1H), 7.50 (d, *J* = 8.1 Hz, 2H), 7.32 (t, *J* = 7.9 Hz, 3H), 7.26 – 7.15 (m, 2H), 4.08 (t, *J* = 9.3 Hz, 1H), 3.65 (d, *J* = 10.2 Hz, 1H), 3.28 (d, *J* = 13.3 Hz, 1H), 3.17 – 2.90 (m, 2H). ¹⁹F NMR (376 MHz, Chloroform-*d*) δ -110.33 (dd, *J* = 265.2, 109.2 Hz), -115.16 (d, *J* = 266.3 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 160.45 (t, *J*_{F-C} = 30.1 Hz), 150.09, 147.34, 143.68, 137.29, 133.18, 131.00, 129.75, 129.36, 129.19, 128.75, 128.02, 127.55, 127.41, 126.47, 119.95, 116.15 (dd, *J*_{F-C} = 257.7, 249.7 Hz), 51.47, 47.84 (d, *J*_{F-C} = 5.5 Hz), 34.76 (t, *J*_{F-C} = 21.3 Hz). HRMS calcd for C₂₄H₁₉F₂N₂O₃S₂ [M+H]⁺ 485.0800, found: 485.0809.



3ab

3,3-difluoro-4-(((4-phenylquinolin-3-yl)sulfonyl)methyl)-1-(p-tolyl)pyrrolidin-2-one (3ab)

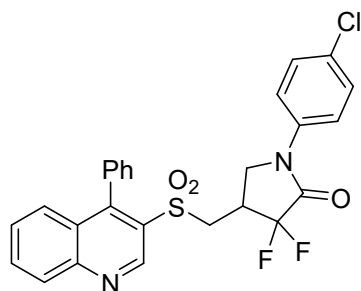
Yellow solid, 33.4 mg, 68% yield, m.p. 142-144 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.48 (s, 1H), 8.17 (d, *J* = 8.5 Hz, 1H), 7.83 (t, *J* = 7.8 Hz, 1H), 7.57 – 7.47 (m, 4H), 7.44 (d, *J* = 7.9 Hz, 2H), 7.36 (dd, *J* = 8.6, 2.3 Hz, 2H), 7.32 – 7.28 (m, 1H), 7.11 (d, *J* = 8.0 Hz, 2H), 3.99 (t, *J* = 9.5 Hz, 1H), 3.50 (t, *J* = 9.3 Hz, 1H), 3.17 (d, *J* = 13.9 Hz, 1H), 3.04 – 2.79 (m, 2H), 2.26 (s, 3H). ¹⁹F NMR (376 MHz, Chloroform-*d*) δ -110.20 (d, *J* = 265.7 Hz), -115.13 (d, *J* = 265.8 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 160.27 (t, *J*_{F-C} = 30.7 Hz), 150.48, 150.16, 147.37, 136.39, 134.76, 133.01, 132.29, 130.57, 129.83 (d, *J*_{F-C} = 6.9 Hz), 129.68, 129.54, 129.50, 128.45 (d, *J*_{F-C} = 2.9 Hz), 128.32, 127.74, 127.11, 119.90, 116.19 (dd, *J*_{F-C} = 257.7, 250.3 Hz), 52.03 (d, *J*_{F-C} = 6.9 Hz), 47.91 (d, *J*_{F-C} = 5.6 Hz), 34.77 (t, *J*_{F-C} = 20.5 Hz), 20.91. HRMS calcd for C₂₇H₂₃F₂N₂O₃S [M+H]⁺ 493.1392, found: 493.1401.



3ac

3,3-difluoro-1-(4-isopropylphenyl)-4-(((4-phenylquinolin-3-yl)sulfonyl)methyl)pyrrolidin-2-

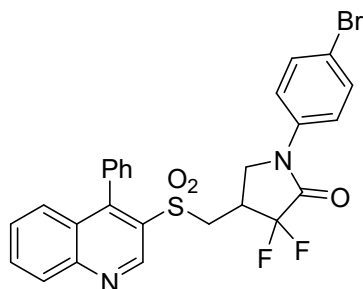
one (3ac) Yellow solid, 37.4 mg, 72% yield, m.p. 157-159 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.48 (s, 1H), 8.17 (d, *J* = 8.5 Hz, 1H), 7.83 (t, *J* = 7.8 Hz, 1H), 7.51 (q, *J* = 6.8, 5.9 Hz, 4H), 7.43 (d, *J* = 7.8 Hz, 2H), 7.38 (dd, *J* = 8.6, 2.2 Hz, 2H), 7.34 – 7.27 (m, 1H), 7.17 (dd, *J* = 8.3, 2.4 Hz, 2H), 4.00 (t, *J* = 9.5 Hz, 1H), 3.51 (t, *J* = 9.3 Hz, 1H), 3.17 (d, *J* = 13.8 Hz, 1H), 3.07 – 2.77 (m, 3H), 1.17 (s, 3H), 1.15 (s, 3H). ¹⁹F NMR (376 MHz, Chloroform-*d*) δ -110.27 (d, *J* = 265.8 Hz), -115.20 (d, *J* = 265.6 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 160.28 (t, *J*_{F-C} = 30.1 Hz), 150.46, 150.17, 147.37, 134.95, 132.99, 132.28, 130.57, 129.85, 129.80, 129.53, 129.49, 128.45, 128.43, 128.30, 127.73, 127.10, 120.06, 116.21 (dd, *J*_{F-C} = 257.4, 249.8 Hz), 52.01 (d, *J*_{F-C} = 7.0 Hz), 47.94 (d, *J*_{F-C} = 5.5 Hz), 34.81 (t, *J*_{F-C} = 21.3 Hz), 33.62, 23.84. HRMS calcd for C₂₉H₂₇F₂N₂O₃S [M+H]⁺ 521.1705, found: 521.1713.



3ad

1-(4-chlorophenyl)-3,3-difluoro-4-(((4-phenylquinolin-3-yl)sulfonyl)methyl)pyrrolidin-2-one

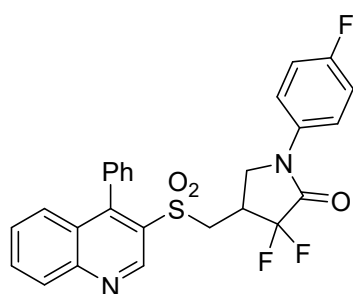
(3ad) Yellow solid, 37.9 mg, 74% yield, m.p. 167-169 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.48 (s, 1H), 8.17 (d, *J* = 8.5 Hz, 1H), 7.83 (t, *J* = 7.7 Hz, 1H), 7.55 – 7.41 (m, 8H), 7.28 (d, *J* = 8.5 Hz, 3H), 4.00 (t, *J* = 9.3 Hz, 1H), 3.50 (t, *J* = 9.2 Hz, 1H), 3.18 (d, *J* = 13.3 Hz, 1H), 3.04 – 2.79 (m, 2H). ¹⁹F NMR (376 MHz, Chloroform-*d*) δ -110.43 (d, *J* = 266.3 Hz), -115.10 (d, *J* = 266.4 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 160.42 (t, *J*_{F-C} = 30.7 Hz), 150.44, 150.21, 147.34, 135.81, 133.04, 132.29, 131.78, 130.57, 129.84 (d, *J*_{F-C} = 4.3 Hz), 129.54, 129.41, 129.25, 128.47 (d, *J*_{F-C} = 5.1 Hz), 128.31, 127.73, 127.07, 121.02, 115.96 (dd, *J*_{F-C} = 257.8, 249.9 Hz), 51.91 (d, *J*_{F-C} = 7.0 Hz), 47.72 (d, *J*_{F-C} = 5.5 Hz), 34.65 (t, *J*_{F-C} = 20.9 Hz). HRMS calcd for C₂₆H₂₀ClF₂N₂O₃S [M+H]⁺ 513.0846, found: 513.0852.



3ae

1-(4-bromophenyl)-3,3-difluoro-4-(((4-phenylquinolin-3-yl)sulfonyl)methyl)pyrrolidin-2-one

(3ae) Yellow solid, 46.1 mg, 83% yield, m.p. 177-179 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.47 (s, 1H), 8.17 (d, *J* = 8.5 Hz, 1H), 7.83 (t, *J* = 7.7 Hz, 1H), 7.60 – 7.36 (m, 10H), 7.30 (t, *J* = 4.1 Hz, 1H), 4.00 (t, *J* = 9.3 Hz, 1H), 3.49 (t, *J* = 9.2 Hz, 1H), 3.18 (d, *J* = 13.4 Hz, 1H), 3.05 – 2.82 (m, 2H). ¹⁹F NMR (376 MHz, Chloroform-*d*) δ -110.45 (d, *J* = 267.0 Hz), -115.09 (d, *J* = 267.0 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 160.42 (t, *J*_{F-C} = 31.6 Hz), 150.43, 150.22, 147.33, 136.32, 133.03, 132.29, 132.20, 130.57, 129.84 (d, *J*_{F-C} = 3.2 Hz), 129.54, 129.40, 128.47 (d, *J*_{F-C} = 4.9 Hz), 128.31, 127.72, 127.07, 121.27, 119.57, 115.95 (dd, *J*_{F-C} = 257.8, 250.0 Hz), 51.90 (d, *J*_{F-C} = 6.9 Hz), 47.64 (d, *J*_{F-C} = 5.6 Hz), 34.63 (t, *J*_{F-C} = 21.3 Hz). HRMS calcd for C₂₆H₂₀BrF₂N₂O₃S [M+H]⁺ 557.0341, found: 557.0346.

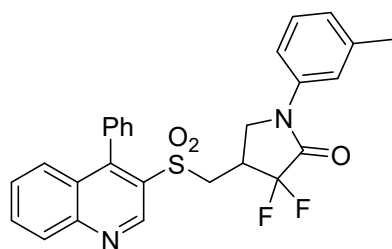


3af

3,3-difluoro-1-(4-fluorophenyl)-4-(((4-phenylquinolin-3-yl)sulfonyl)methyl)pyrrolidin-2-one

(3af) Yellow solid, 35.7 mg, 72% yield, m.p. 164-166 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.48 (s, 1H), 8.17 (d, *J* = 8.6 Hz, 1H), 7.83 (t, *J* = 7.8 Hz, 1H), 7.58 – 7.40 (m, 8H), 7.30 (d, *J* = 6.0 Hz, 1H), 7.01 (t, *J* = 8.4 Hz, 2H), 4.00 (t, *J* = 9.3 Hz, 1H), 3.52 (t, *J* = 9.2 Hz, 1H), 3.18 (d, *J* = 13.5 Hz, 1H), 3.05 – 2.86 (m, 2H). ¹⁹F NMR (376 MHz, Chloroform-*d*) δ -110.40 (d, *J* = 266.5 Hz), -114.40, -115.15 (d, *J* = 266.6 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 160.48 (d, *J*_{F-C} = 247.2 Hz), 160.36 (t, *J*_{F-C} = 30.9 Hz), 150.44, 150.22, 147.34, 133.35 (d, *J*_{F-C} = 2.9 Hz), 133.02,

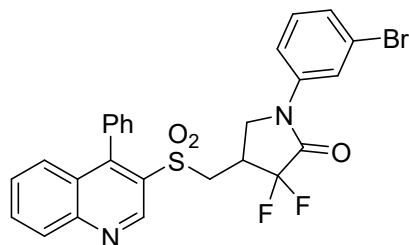
132.30, 130.57, 129.84 (d, $J_{F-C} = 2.2$ Hz), 129.54, 129.42, 128.46 (d, $J_{F-C} = 4.4$ Hz), 128.31, 127.73, 127.08, 121.84 (d, $J_{F-C} = 8.3$ Hz), 116.04 (t, $J_{F-C} = 257.5$ Hz), 116.03 (d, $J_{F-C} = 22.7$ Hz), 51.94 (d, $J_{F-C} = 6.9$ Hz), 48.05 (d, $J_{F-C} = 5.5$ Hz), 34.75 (t, $J_{F-C} = 21.5$ Hz). HRMS calcd for $C_{26}H_{20}F_3N_2O_3S$ $[M+H]^+$ 497.1141, found: 497.1148.



3ag

3,3-difluoro-4-(((4-phenylquinolin-3-yl)sulfonyl)methyl)-1-(m-tolyl)pyrrolidin-2-one (3ag)

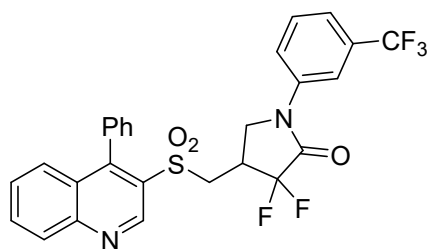
Yellow solid, 36.9 mg, 75% yield, m.p. 138-140 °C. 1H NMR (400 MHz, Chloroform-*d*) δ 9.49 (s, 1H), 8.17 (d, $J = 8.5$ Hz, 1H), 7.83 (t, $J = 7.8$ Hz, 1H), 7.47 (dd, $J = 29.6, 6.4$ Hz, 6H), 7.29 (t, $J = 8.1$ Hz, 3H), 7.24 – 7.15 (m, 1H), 6.98 (d, $J = 7.4$ Hz, 1H), 4.00 (t, $J = 9.4$ Hz, 1H), 3.51 (t, $J = 9.3$ Hz, 1H), 3.18 (d, $J = 13.8$ Hz, 1H), 3.06 – 2.78 (m, 2H), 2.29 (s, 3H). ^{19}F NMR (376 MHz, Chloroform-*d*) δ -110.29 (d, $J = 266.0$ Hz), -115.26 (d, $J = 265.8$ Hz). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 160.38 (t, $J_{F-C} = 30.7$ Hz), 150.44, 150.21, 147.38, 139.22, 137.19, 132.99, 132.30, 130.57, 129.84 (d, $J_{F-C} = 2.9$ Hz), 129.54, 129.47, 128.97, 128.44 (d, $J_{F-C} = 2.5$ Hz), 128.31, 127.73, 127.29, 127.09, 120.57, 117.15, 116.17 (dd, $J_{F-C} J = 257.6, 249.9$ Hz), 52.01 (d, $J_{F-C} = 6.9$ Hz), 47.92 (d, $J_{F-C} = 5.7$ Hz), 34.78 (t, $J_{F-C} = 21.3$ Hz), 21.48. HRMS calcd for $C_{27}H_{23}F_2N_2O_3S$ $[M+H]^+$ 493.1392, found: 493.1397.



3ah

1-(3-bromophenyl)-3,3-difluoro-4-(((4-phenylquinolin-3-yl)sulfonyl)methyl)pyrrolidin-2-one (3ah)

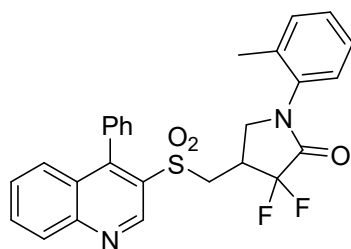
Yellow solid, 46.7 mg, 84% yield, m.p. 167-169 °C. 1H NMR (400 MHz, Chloroform-*d*) δ 9.48 (s, 1H), 8.16 (d, $J = 8.6$ Hz, 1H), 7.83 (t, $J = 7.8$ Hz, 1H), 7.65 (s, 1H), 7.58 – 7.40 (m, 7H), 7.29 (d, $J = 7.7$ Hz, 2H), 7.19 (d, $J = 8.1$ Hz, 1H), 3.99 (dd, $J = 10.8, 7.8$ Hz, 1H), 3.46 (t, $J = 9.2$ Hz, 1H), 3.18 (d, $J = 13.4$ Hz, 1H), 3.05 – 2.83 (m, 2H). ^{19}F NMR (376 MHz, Chloroform-*d*) δ -110.45 (d, $J = 266.9$ Hz), -115.15 (d, $J = 266.5$ Hz). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 160.48 (t, $J_{F-C} = 30.9$ Hz), 150.45, 150.19, 147.33, 138.44, 133.03, 132.28, 130.64, 130.44, 129.88, 129.80, 129.52, 129.41, 128.47 (d, $J_{F-C} = 3.3$ Hz), 128.30, 127.72, 127.07, 122.82, 122.74, 118.31, 115.88 (dd, $J_{F-C} = 258.2, 249.8$ Hz), 51.93 (d, $J_{F-C} = 6.9$ Hz), 47.69 (d, $J_{F-C} = 5.5$ Hz), 34.61 (t, $J_{F-C} = 21.3$ Hz). HRMS calcd for $C_{26}H_{20}BrF_2N_2O_3S$ $[M+H]^+$ 557.0341, found: 557.0347.



3ai

3,3-difluoro-4-(((4-phenylquinolin-3-yl)sulfonyl)methyl)-1-(3-(trifluoromethyl)phenyl)pyrrolidin-2-one (3ai)

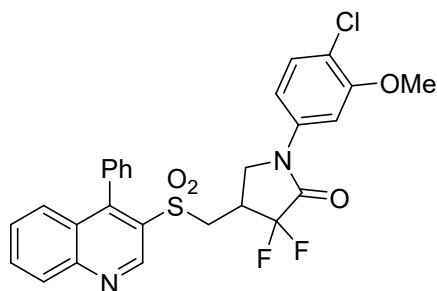
Yellow solid, 41.5 mg, 76% yield, m.p. 130-132 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.48 (s, 1H), 8.17 (d, *J* = 8.5 Hz, 1H), 7.83 (t, *J* = 7.8 Hz, 1H), 7.73 (d, *J* = 8.3 Hz, 2H), 7.59 – 7.40 (m, 8H), 7.35 – 7.27 (m, 1H), 4.05 (t, *J* = 9.1 Hz, 1H), 3.50 (t, *J* = 9.1 Hz, 1H), 3.21 (d, *J* = 13.1 Hz, 1H), 3.08 – 2.85 (m, 2H). ¹⁹F NMR (376 MHz, Chloroform-*d*) δ -62.70, -110.58 (d, *J* = 267.5 Hz), -115.23 (d, *J* = 267.4 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 160.71 (t, *J*_{F-C} = 30.9 Hz), 159.04, 150.51, 150.22, 147.32, 137.79, 133.08, 132.30, 131.83, 131.50, 130.69, 129.86, 129.80, 129.54, 128.53, 128.45, 128.30, 127.73, 127.09, 122.97, 116.54 (q, *J*_{F-C} = 3.9 Hz), 115.87 (dd, *J*_{F-C} = 258.2, 249.8 Hz), 51.95 (d, *J*_{F-C} = 6.9 Hz), 47.72 (d, *J*_{F-C} = 5.6 Hz), 34.66 (t, *J*_{F-C} = 21.5 Hz). HRMS calcd for C₂₇H₂₀F₅N₂O₃S [M+H]⁺ 547.1109, found: 547.1117.



3aj

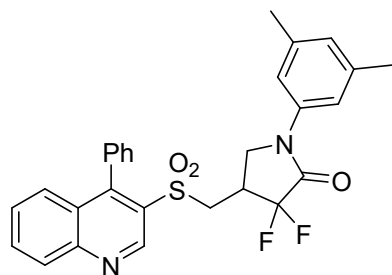
3,3-difluoro-4-(((4-phenylquinolin-3-yl)sulfonyl)methyl)-1-(o-tolyl)pyrrolidin-2-one (3aj)

Yellow solid, 20.1 mg, 41% yield, m.p. 220-222 °C. ¹H NMR (400 MHz,) δ 9.49 (s, 1H), 8.20 (d, *J* = 8.5 Hz, 1H), 7.90 – 7.81 (m, 1H), 7.60 – 7.49 (m, 4H), 7.49 – 7.40 (m, 2H), 7.39 – 7.28 (m, 1H), 7.25 – 7.18 (m, 2H), 7.17 (dd, *J* = 6.0, 3.5 Hz, 1H), 7.00 (d, *J* = 7.3 Hz, 1H), 3.90 – 3.81 (m, 1H), 3.51 – 3.41 (m, 1H), 3.27 – 3.17 (m, 1H), 3.10 – 2.93 (m, 2H), 2.08 (s, 3H). ¹⁹F NMR (376 MHz,) δ -111.66 (d, *J* = 266.6 Hz), -115.34 (d, *J* = 266.3 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 160.59 (t, *J*_{F-C} = 29.4 Hz), 150.47, 150.22, 147.37, 135.00 (d, *J*_{F-C} = 4.1 Hz), 133.02, 132.39, 131.46, 130.86, 130.52, 129.85 (d, *J*_{F-C} = 2.9 Hz), 129.61 (d, *J*_{F-C} = 3.3 Hz), 129.12, 128.48 (d, *J*_{F-C} = 1.8 Hz), 128.32, 127.77, 127.17, 126.95 (d, *J*_{F-C} = 19.6 Hz), 125.98, 123.17, 114.79 (dd, *J*_{F-C} = 258.4, 250.8 Hz), 52.16 (d, *J*_{F-C} = 7.2 Hz), 50.16 (d, *J*_{F-C} = 5.4 Hz), 35.61 (t, *J*_{F-C} = 20.7 Hz), 17.64. HRMS calcd for C₂₇H₂₃F₂N₂O₃S [M+H]⁺ 493.1392, found: 493.1403.



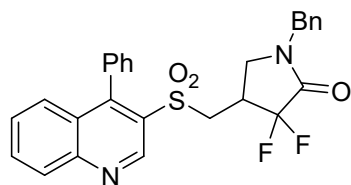
3ak

1-(4-chloro-3-methoxyphenyl)-3,3-difluoro-4-(((4-phenylquinolin-3-yl)sulfonyl)methyl)pyrrolidin-2-one (3ak) Yellow solid, 43.9 mg, 81% yield, m.p. 120-122 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.48 (s, 1H), 8.17 (d, *J* = 8.4 Hz, 1H), 7.84 (t, *J* = 7.7 Hz, 1H), 7.60 – 7.39 (m, 7H), 7.33 – 7.24 (m, 2H), 6.74 (dd, *J* = 8.7, 2.6 Hz, 1H), 4.02 (dd, *J* = 10.7, 7.8 Hz, 1H), 3.82 (s, 3H), 3.50 (t, *J* = 9.0 Hz, 1H), 3.19 (dd, *J* = 13.8, 2.5 Hz, 1H), 3.05 – 2.86 (m, 2H). ¹⁹F NMR (376 MHz, Chloroform-*d*) δ -110.40 (d, *J* = 266.8 Hz), -115.01 (d, *J* = 266.8 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 160.52 (t, *J*_{F-C} = 30.9 Hz), 155.26, 150.49, 150.17, 147.31, 136.96, 133.07, 132.29, 130.54, 130.13, 129.83 (d, *J*_{F-C} = 7.5 Hz), 129.56, 129.42, 128.48 (d, *J*_{F-C} = 8.1 Hz), 128.32, 127.73, 127.08, 120.19, 116.00 (dd, *J*_{F-C} = 257.8, 250.0 Hz), 111.59, 104.58, 56.26, 51.93 (d, *J*_{F-C} = 6.8 Hz), 47.87 (d, *J*_{F-C} = 5.5 Hz), 34.61 (t, *J*_{F-C} = 22.0 Hz). HRMS calcd for C₂₇H₂₂ClF₂N₂O₄S [M+H]⁺ 543.0951, found: 543.0960.



3al

1-(3,5-dimethylphenyl)-3,3-difluoro-4-(((4-phenylquinolin-3-yl)sulfonyl)methyl)pyrrolidin-2-one (3al) Yellow solid, 37.4 mg, 74% yield, m.p. 98-100 °C. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.49 (s, 1H), 8.18 (d, *J* = 8.5 Hz, 1H), 7.83 (t, *J* = 7.6 Hz, 1H), 7.59 – 7.47 (m, 4H), 7.44 (d, *J* = 7.8 Hz, 2H), 7.31 (dd, *J* = 6.2, 2.8 Hz, 1H), 7.08 (s, 2H), 6.81 (s, 1H), 3.99 (dd, *J* = 10.7, 8.0 Hz, 1H), 3.50 (t, *J* = 9.4 Hz, 1H), 3.18 (d, *J* = 13.7 Hz, 1H), 3.05 – 2.77 (m, 2H), 2.25 (s, 6H). ¹⁹F NMR (376 MHz, Chloroform-*d*) δ -110.26 (d, *J* = 265.9 Hz), -115.26 (d, *J* = 265.8 Hz). ¹³C NMR (101 MHz, Chloroform-*d*) δ 160.35 (t, *J* = 30.6 Hz), 150.49, 150.15, 147.37, 138.96, 137.11, 133.01, 132.29, 130.55, 129.82 (d, *J*_{F-C} = 7.6 Hz), 129.54, 129.48, 128.45 (d, *J*_{F-C} = 4.0 Hz), 128.32, 128.24, 127.73, 127.11, 117.84, 116.19 (dd, *J*_{F-C} = 257.4, 249.6 Hz), 52.05 (d, *J*_{F-C} = 6.9 Hz), 48.04 (d, *J*_{F-C} = 5.6 Hz), 34.80 (t, *J*_{F-C} = 21.8 Hz), 21.37. HRMS calcd for C₂₈H₂₅F₂N₂O₃S [M+H]⁺ 507.1548, found: 507.1556.



3am

1-benzyl-3,3-difluoro-4-(((4-phenylquinolin-3-yl)sulfonyl)methyl)pyrrolidin-2-one (3am)

Yellow solid, 30.5 mg, 62% yield, m.p. 131-133 °C. ^1H NMR (400 MHz,) δ 9.41 (s, 1H), 8.16 (dd, $J = 8.5, 1.1$ Hz, 1H), 7.88 – 7.77 (m, 1H), 7.53 – 7.39 (m, 5H), 7.38 – 7.32 (m, 1H), 7.32 – 7.21 (m, 4H), 7.14 – 7.05 (m, 2H), 4.44 (dd, $J = 14.6, 1.3$ Hz, 1H), 4.29 (d, $J = 14.5$ Hz, 1H), 3.49 – 3.38 (m, 1H), 3.10 (dd, $J = 13.9, 2.3$ Hz, 1H), 2.97 – 2.64 (m, 3H). ^{19}F NMR (376 MHz, Chloroform-*d*) δ -111.37 (d, $J = 266.5$ Hz), -114.99 (d, $J = 266.5$ Hz). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 161.67 (t, $J_{\text{F-C}} = 30.1$ Hz), 150.33, 150.18, 147.36, 134.03, 132.92, 132.27, 130.38, 129.82, 129.74, 129.56, 129.52, 129.04, 128.39, 128.33, 128.24 (d, $J_{\text{F-C}} = 1.9$ Hz), 127.71, 127.05, 116.49 (dd, $J_{\text{F-C}} = 258.6, 250.3$ Hz), 52.11 (d, $J_{\text{F-C}} = 7.5$ Hz), 47.39, 46.40 (d, $J_{\text{F-C}} = 6.0$ Hz), 34.93 (t, $J_{\text{F-C}} = 20.4$ Hz). HRMS calcd for $\text{C}_{27}\text{H}_{23}\text{F}_2\text{N}_2\text{O}_3\text{S}$ $[\text{M}+\text{H}]^+$ 493.1392, found: 493.1402.

6. ^1H , ^{13}C and ^{19}F NMR spectra for products

