

Supporting Information

Transition metal free decarboxylative fluoroalkylation of *N*-acrylamides with 3,3,3-trifluoro-2,2-dimethylpropanoic Acid (TFDMPA)

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1. General Information.

^1H and ^{19}F NMR spectra were recorded on a Bruker AM 400 or 600 spectrometer. ^{13}C NMR spectra were recorded on a Bruker AM 400. Chemical shifts (δ) were reported in ppm, and coupling constants (J) were in Hertz (Hz). The following abbreviations were used to explain the multiplicities: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet.

Materials: Unless otherwise noted, all reagents were obtained commercially and used without further purification. Reactions were performed using glassware that was flame-dried under vacuum.

2. Preparation of Substrates:

General Procedures for the Synthesis of Substrates 1:

All the substrates were synthesized according to the literature¹, and the NMR spectroscopy were consisted with those data reported.

The physical and spectroscopic data of substrate (**1b**, **1c**) were in full accordance with the data reported in literature.²

The physical and spectroscopic data of substrate (**1d**, **1e**, **1f**, **1g**, **1i**, **1k**, **1m**, **1v**, **1w**) were in full accordance with the data reported in literature.³

The physical and spectroscopic data of substrate (**1j**) were in full accordance with the data reported in literature.⁴

The physical and spectroscopic data of substrate (**1h**) were in full accordance with the data reported in literature.⁵

The physical and spectroscopic data of substrate (**1l**) were in full accordance with the data reported in literature.⁶

Reference:

[1] X. Mu, T. Wu, H. Y. Wang, Y. L. Guo, G. Liu, *J. Am. Chem. Soc.* 2012, **134**, 878.

[2] W. Wei, J. Wen, M. Guo, H. Wang, *RSC Adv.*, 2014, **4**, 48535.

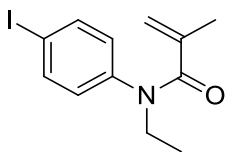
[3] F. Yin, and X.S. Wang, *Org. Lett.*, 2014, **16**, 1128.

[4] C. C. Li, and S. D. Yang, *Org. Lett.*, 2015, **17**, 2142.

[5] Q. Dai, J. Yu, Y. Jiang, S. Guo, H. Yang and J. Cheng, *Chem. Commun.*, 2014, **50**, 3865.

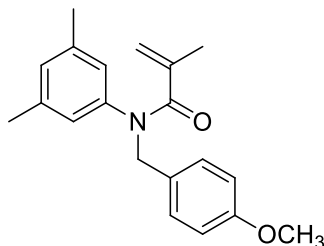
[6] W. Fu, F. Xu, Y. Fu, C. Xu, S. Li, D. Zou, *Eur. J. Org. Chem.* **2014**, 709

3. Physical and Spectroscopic Data of Substrates

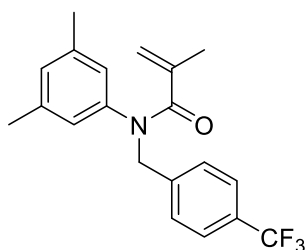


N-ethyl-N-(4-iodophenyl)methacrylamide(1n) (1.06 g, 90%): White solid. m.p. 67-69 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.60 (d, J = 1.3 Hz, 1H), 7.58 (d, J = 1.4 Hz, 1H), 6.80 (d, J = 0.7 Hz, 1H), 6.78 (s, 1H), 4.97 (d, J = 1.1 Hz, 1H), 4.89 (s, 1H), 3.75-3.69 (m, 2H), 1.69 (s, 3H), 1.05 (td, J = 7.1, 1.3 Hz, 3H). ^{13}C NMR

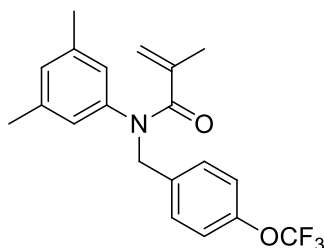
(101 MHz, CDCl₃) δ 171.26, 142.72, 140.68, 138.37, 129.42, 119.51, 91.88, 44.54, 20.36, 12.97. ¹³C NMR (101 MHz, CDCl₃) δ 171.26, 142.72, 140.68, 138.37, 129.42, 119.51, 91.88, 44.54, 20.36, 12.97. IR (thin film): ν 1621, 1488, 1376, 1231, 1137, 1013, 925 cm⁻¹. MS (EI) m/z : 316 [M+H]⁺. HRMS (EI-TOF): m/z Calcd for C₁₂H₁₅INO [M+H]⁺: 316.0193; found: 316.0194.



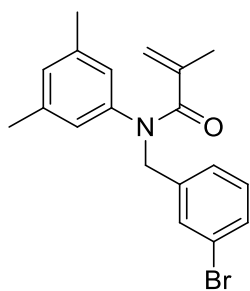
N-(3,5-dimethylphenyl)-N-(4-methoxybenzyl)methacrylamide(1o) (1.31g, 57%): White solid. m.p. 96-98 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.14-7.05 (m, 2H), 6.76 (s, 1H), 6.72 (d, J = 8.7 Hz, 2H), 6.49 (s, 2H), 4.92 (s, 2H), 4.78 (s, 2H), 3.69 (s, 3H), 2.15 (s, 6H), 1.69 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 170.74, 157.76, 142.03, 139.92, 137.55, 128.87, 128.80, 127.67, 124.17, 117.88, 112.65, 54.16, 51.63, 20.12, 19.43. IR (thin film): ν 2923, 1618, 1600, 1512, 1249, 1182, 925, 859 cm⁻¹. MS (EI) m/z : 310 [M+H]⁺. HRMS (EI-TOF): m/z Calcd for C₂₀H₂₄NO₂ [M+H]⁺: 310.1802; found: 310.1802.



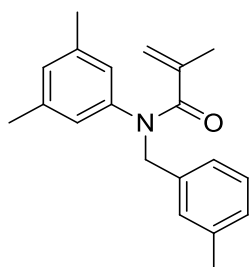
N-(3,5-dimethylphenyl)-N-(4-(trifluoromethyl)benzyl)methacrylamide(1p) (2.05g, 79%): White solid. m.p. 68-70 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.45 (d, J = 8.1 Hz, 2H), 7.28 (d, J = 8.0 Hz, 2H), 6.74 (d, J = 25.7 Hz, 1H), 6.52 (s, 2H), 4.97 (d, J = 1.3 Hz, 2H), 4.89 (s, 2H), 2.15 (s, 6H), 1.70 (s, 3H). ¹⁹F NMR (377 MHz, CDCl₃) δ -62.46 (s, 3F). ¹³C NMR (101 MHz, CDCl₃) δ 170.93, 141.94, 140.75, 140.74, 139.53, 137.92, 128.46 (q, J = 32.32 Hz), 127.95, 127.55, 124.37, 124.33, 124.30, 124.26, 123.81, 123.17 (q, J = 272.7 Hz), 118.57, 51.98, 20.09, 19.32. IR (thin film): ν 2917, 1633, 1367, 1334, 1164, 1107, 916, 853 cm⁻¹. MS (EI) m/z : 348 [M+H]⁺. HRMS (EI-TOF): m/z Calcd for C₂₀H₂₁F₃NO [M+H]⁺: 348.1570; found: 348.1572.



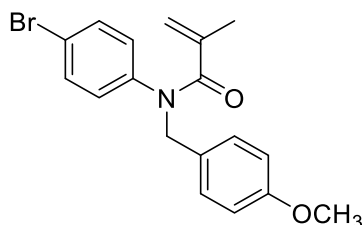
N-(3,5-dimethylphenyl)-N-(4-(trifluoromethoxy)benzyl)methacrylamide(1q) (1.18g, 87%): White solid. m.p. 76-78 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.18 (d, J = 8.6 Hz, 2H), 7.03 (d, J = 8.1 Hz, 2H), 6.77 (s, 1H), 6.49 (s, 2H), 4.95 (d, J = 1.2 Hz, 2H), 4.83 (s, 2H), 2.14 (s, 6H), 1.69 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 171.89, 148.42, 148.40, 142.89, 140.65, 138.86, 136.47, 129.87, 128.93, 125.02, 120.83, 120.48 (q, J = 257.55 Hz), 119.41, 52.63, 21.08, 20.36. IR (KBr): ν 1657, 1509, 1255, 1161, 938, 705 cm⁻¹. MS (EI) m/z : 364 [M+H]⁺. HRMS (EI-TOF): m/z Calcd for C₂₀H₂₁F₃NO₂ [M+H]⁺: 364.1519; found: 364.1519.



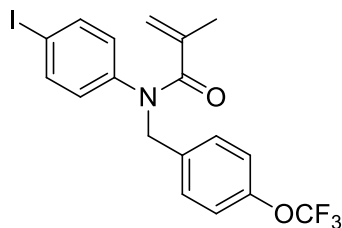
N-(3-bromobenzyl)-N-(3,5-dimethylphenyl)methacrylamide(1r) (2.49g, 93%): White solid. m.p. 67-69 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.09 (d, J = 1.9 Hz, 1H), 7.07 (d, J = 1.9 Hz, 1H), 6.78 (s, 1H), 6.51 (s, 2H), 4.96 (d, J = 1.2 Hz, 2H), 4.80 (s, 2H), 2.16 (s, 6H), 1.70 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 170.83, 141.87, 139.58, 138.97, 137.81, 130.31, 129.35, 128.92, 127.91, 125.93, 123.92, 121.34, 118.41, 51.72, 20.13, 19.36. IR (thin film): ν 2920, 1654, 1590, 1376, 1324, 925, 856 cm^{-1} . MS (EI) m/z : 358 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{19}\text{H}_{21}\text{BrNO}$ $[\text{M}+\text{H}]^+$: 358.0801; found: 358.0802.



N-(3,5-dimethylphenyl)-N-(3-methylbenzyl)methacrylamide(1s) (1.61g, 74%): White solid. m.p. 56-58 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.16 (t, J = 7.5 Hz, 1H), 7.07 (s, 1H), 7.03 (t, J = 7.5 Hz, 2H), 6.84 (s, 1H), 6.60 (s, 2H), 5.10-4.98 (m, 2H), 4.89 (s, 2H), 2.30 (s, 3H), 2.22 (s, 6H), 1.79 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 171.86, 143.28, 140.95, 138.61, 137.94, 137.69, 129.07, 128.71, 128.23, 127.96, 125.33, 125.06, 119.04, 53.27, 21.43, 21.17, 20.47. IR (thin film): ν 1624, 1600, 1391, 1321, 1219, , 919, 859, 783 cm^{-1} . MS (EI) m/z : 294 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{20}\text{H}_{24}\text{NO}$ $[\text{M}+\text{H}]^+$: 294.1852; found: 294.1852.



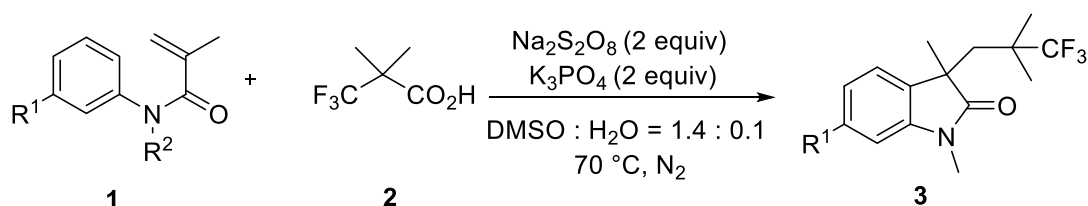
N-(4-bromophenyl)-N-(4-methoxybenzyl)methacrylamide (1t) (1.733g, 80%): White solid. m.p. 86-89 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.30 (dd, J = 6.8, 1.9 Hz, 2H), 7.04 (d, J = 8.4 Hz, 2H), 6.75 (s, 1H), 6.73 (s, 1H), 6.71 (s, 1H), 4.97 (d, J = 0.9 Hz, 1H), 4.90 (s, 1H), 4.79 (s, 1H), 3.70 (s, 3H), 1.70 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 170.51, 157.95, 141.07, 139.45, 131.20, 128.86, 128.23, 128.19, 119.73, 118.65, 112.84, 54.16, 51.40, 19.39. IR (thin film): ν 2926, 1624, 1512, 1246, 1010, 916, 817 cm^{-1} . MS (EI) m/z : 360 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{18}\text{H}_{19}\text{BrNO}_2$ $[\text{M}+\text{H}]^+$: 360.0594; found: 360.0597.



N-(4-iodophenyl)-N-(4-(trifluoromethoxy)benzyl)methacrylamide(1u) (1.63g, 95%): White solid. m.p. 78-80 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.57-7.46 (m, 2H), 7.19 (dd, J = 18.8, 14.3 Hz, 2H), 7.05 (d, J = 8.5 Hz, 2H), 6.69-6.47 (m, 2H), 5.02 (d, J = 0.8 Hz, 1H), 4.94 (s, 1H), 4.85 (s, 2H), 1.71 (s, 3H). ^{19}F NMR (377

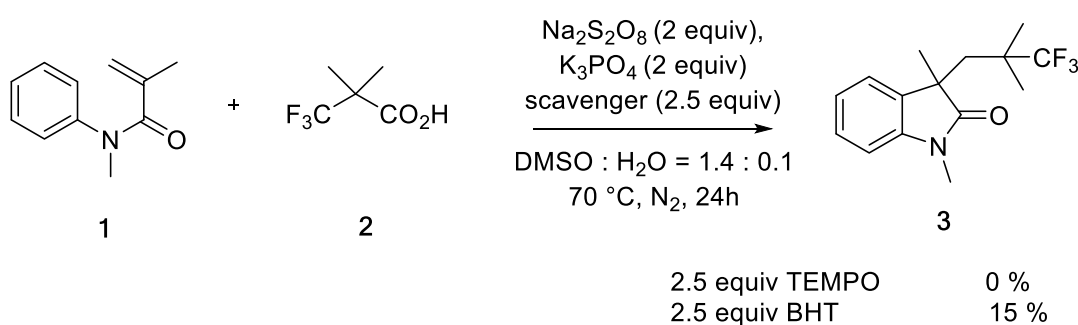
MHz, CDCl₃) δ -57.83 (s, 3F). ¹³C NMR (101 MHz, CDCl₃) δ 171.63, 148.61, 142.78, 140.17, 138.46, 135.84, 129.88, 129.20, 121.00, 120.43 (q, J = 258.56 Hz), 120.30, 92.26, 52.42, 20.34. IR (thin film): ν 1621, 1494, 1210, 1149, 1004, 916, 829 cm⁻¹. MS (EI) m/z : 462 [M+H]⁺. HRMS (EI-TOF): m/z Calcd for C₁₈H₁₆F₃INO₂ [M+H]⁺: 462.0172; found: 462.0175.

4. General procedures for the synthesis of oxindole derivatives



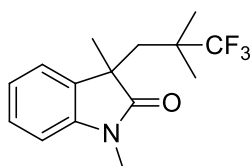
A mixture of **1** (0.8 mmol, 1.0 equiv.), **2** (2.4 mmol, 3.0 equiv.), K₃PO₄ (1.6 mmol, 2 equiv.) and Na₂S₂O₈ (1.6 mmol, 2 equiv.) in DMSO : H₂O = 14:1 (5.6 mL : 0.4 mL) was added into a tube that was sealed with a septum, evacuated, and backfilled with nitrogen three times. Then, the tube was stirred at 70 °C for 24 h. After the reaction was completed, saturated sodium bicarbonate solution was added. The resulting mixture was extracted with ethyl acetate for three times. The combined organic layer was dried over anhydrous MgSO₄ and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (eluent: petroleum ether / ethyl acetate = 5:1) to give product **3**.

5. Mechanistic Investigation

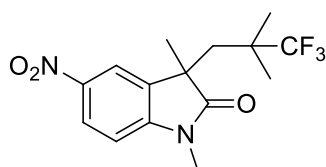


A mixture of **1** (35.0 mg, 0.2 mmol, 1.0 equiv), **2** (93.6 mg, 0.60 mmol, 3.0 equiv), TEMPO (78.1 mg, 0.50 mmol, 2.5 equiv) or 2,6-di-tert-butyl-4-methylphenol (BHT) (110.2mg, 0.50 mmol, 2.5 equiv), Na₂S₂O₈ (95.2 mg, 0.40 mmol, 2.0 equiv) and K₃PO₄ (84.8mg, 0.4 mmol, 2.0 equiv) were added into a tube that was sealed with a septum, evacuated, and backfilled with nitrogen three times. DMSO : H₂O = 14 : 1 (2.8 mL : 0.2 mL) was then added into the tube. The tube was stirred at 70 °C for 24 h. The reaction was monitored by TLC, ¹⁹F NMR spectroscopy. The desired product can be detected by ¹⁹F NMR in 15 % yield when 2.5 equiv of BHT was added, and no desired product was detected when 2.5 equiv of TEMPO was added.

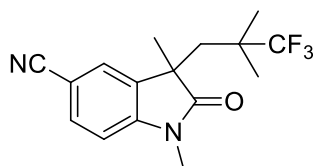
6. Copies of ^1H , ^{19}F , and ^{13}C NMR Spectra for the oxindoles



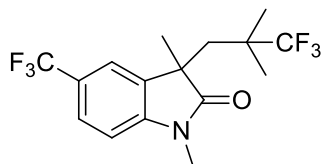
1,3-dimethyl-3-(3,3,3-trifluoro-2,2-dimethylpropyl)indolin-2-one (3a) (159.6 mg, 74 %): White solid. m.p. 69-71 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.23 (m, 1H), 7.14 (t, $J = 7.6$ Hz, 1H), 7.00 (t, $J = 7.5$ Hz, 1H), 6.81 (d, $J = 7.8$ Hz, 1H), 3.17 (s, 3H), 2.38 (d, $J = 14.6$ Hz, 1H), 2.04 (d, $J = 14.6$ Hz, 1H), 1.27 (s, 3H), 0.77 (s, 3H), 0.53 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ -80.56 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ 179.02, 141.67, 132.20, 127.91 (q, $J = 284.82$ Hz), 127.09, 122.72, 121.37, 107.37, 45.29, 40.16 (q, $J = 24.24$ Hz), 39.74 (q, $J = 2.02$ Hz), 27.71, 25.30, 20.45 (q, $J = 2.02$ Hz), 18.77 (q, $J = 2.02$ Hz). IR (thin film): ν 1705, 1615, 1473, 1349, 1110, 1025, 756, 542 cm^{-1} . MS (EI) m/z : 286 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{15}\text{H}_{19}\text{F}_3\text{NO}$ $[\text{M}+\text{H}]^+$: 286.1413, found: 286.1414.



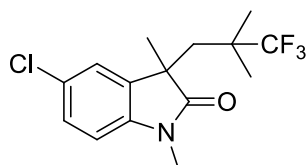
1,3-dimethyl-5-nitro-3-(3,3,3-trifluoro-2,2-dimethylpropyl)indolin-2-one (3b) (177.4 mg, 82 %): Yellow solid. m.p. 138-140 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.23 (dd, $J = 8.6, 2.2$ Hz, 1H), 8.06 (d, $J = 2.2$ Hz, 1H), 6.91 (d, $J = 8.6$ Hz, 1H), 3.25 (s, 3H), 2.43 (d, $J = 14.9$ Hz, 1H), 2.14 (d, $J = 14.9$ Hz, 1H), 1.34 (s, 3H), 0.79 (s, 3H), 0.61 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ -79.80 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ 178.89, 147.27, 142.35, 133.12, 127.54 (q, $J = 284.82$ Hz), 124.41, 118.43, 106.93, 45.41, 40.31 (q, $J = 2.02$ Hz), 40.08 (q, $J = 25.25$ Hz), 27.68, 25.75, 20.86 (q, $J = 2.02$ Hz), 19.65 (q, $J = 2.02$ Hz). IR (thin film): ν 1708, 1596, 1479, 1346, 1213, 802, 608 cm^{-1} . MS (EI) m/z : 330 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{15}\text{H}_{18}\text{F}_3\text{N}_2\text{O}_3$ $[\text{M}+\text{H}]^+$: 331.1264; found: 331.1262.



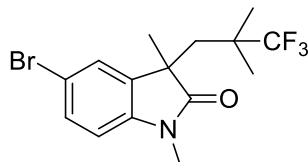
1,3-dimethyl-2-oxo-3-(3,3,3-trifluoro-2,2-dimethylpropyl)indoline-5-carbonitrile (3c) (176.1 mg, 71 %): White solid. m.p. 144-146 °C. ^1H NMR (400 MHz, CDCl_3) δ ppm 7.66-7.63 (m, 1H), 7.48 (d, $J = 1.4$ Hz, 1H), 6.96 (d, $J = 8.1$ Hz, 1H), 3.28 (s, 3H), 2.47 (d, $J = 14.9$ Hz, 1H), 2.15 (d, $J = 14.9$ Hz, 1H), 1.37 (s, 3H), 0.85 (s, 3H), 0.66 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ ppm -79.96 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ ppm 178.52, 145.53, 133.36, 132.44, 127.57 (q, $J = 284.82$ Hz), 125.92, 118.02, 107.81, 104.64, 45.20, 40.17, 40.06 (q, $J = 24.24$ Hz), 27.68, 25.56, 20.72 (q, $J = 2.02$ Hz), 19.53 (q, $J = 2.02$ Hz). IR (thin film): ν 2219, 1714, 1615, 1500, 1343, 1052, 823 cm^{-1} . MS (EI) m/z : 311 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{16}\text{H}_{18}\text{F}_3\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 311.1366; found: 311.1365



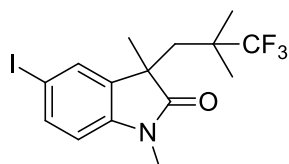
1,3-dimethyl-3-(3,3,3-trifluoro-2,2-dimethylpropyl)-5-(trifluoromethyl)indolin-2-one(3d) (203.3 mg, 72 %): White solid. m.p. 129-131 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.52 (dd, J = 8.2, 0.8 Hz, 1H), 7.36 (d, J = 23.7 Hz, 1H), 6.90 (t, J = 11.1 Hz, 1H), 3.21 (s, 3H), 2.41 (d, J = 14.8 Hz, 1H), 2.09 (d, J = 14.8 Hz, 1H), 1.30 (s, 3H), 0.77 (s, 3H), 0.55 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ -61.53 (s, 3F), -80.22 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ 178.84, 144.64, 132.82, 127.68 (q, J = 283.81 Hz), 124.86 (q, J = 4.04 Hz), 123.76 (q, J = 33.33 Hz), 123.31 (q, J = 272.70 Hz), 119.77 (q, J = 4.04 Hz), 107.09, 45.31, 40.10 (q, J = 24.24 Hz), 40.04 (q, J = 2.02 Hz), 27.64, 25.52, 20.58 (q, J = 2.02 Hz), 19.21 (q, J = 2.02 Hz). IR (thin film): ν 1714, 1621, 1337, 1113, 817, 605 cm^{-1} . MS (EI) m/z : 354 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{16}\text{H}_{18}\text{F}_6\text{NO}$ $[\text{M}+\text{H}]^+$: 354.1287, found: 354.1287.



5-chloro-1,3-dimethyl-3-(3,3,3-trifluoro-2,2-dimethylpropyl)indolin-2-one(3e) (176.0 mg, 69 %): White solid. m.p. 94-96 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.24 – 7.18 (m, 1H), 7.13 (d, J = 2.1 Hz, 1H), 6.73 (d, J = 8.3 Hz, 1H), 3.16 (s, 3H), 2.38 (d, J = 14.7 Hz, 1H), 2.02 (d, J = 14.7 Hz, 1H), 1.27 (s, 3H), 0.78 (s, 3H), 0.59 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ -80.37 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ 178.43, 140.24, 134.02, 127.76 (q, J = 283.81 Hz), 127.05, 126.90, 123.15, 108.29, 45.58, 40.13 (q, J = 24.24 Hz), 39.88 (q, J = 2.02 Hz), 27.68, 25.44, 20.60 (q, J = 2.02 Hz), 19.07 (q, J = 2.02 Hz). IR (thin film): ν 1708, 1596, 1479, 1346, 1213, 802, 608 cm^{-1} . MS (EI) m/z : 320 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{15}\text{H}_{18}\text{ClF}_3\text{NO}$ $[\text{M}+\text{H}]^+$: 320.1024; found: 320.1023.

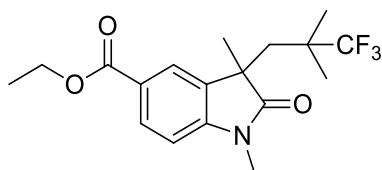


5-bromo-1,3-dimethyl-3-(3,3,3-trifluoro-2,2-dimethylpropyl)indolin-2-one(3f) (220.7 mg, 76 %): White solid. m.p. 86-88 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.36 (dd, J = 8.3, 2.0 Hz, 1H), 7.27 (d, J = 2.0 Hz, 1H), 6.69 (d, J = 8.2 Hz, 1H), 3.16 (s, 3H), 2.37 (d, J = 14.7 Hz, 1H), 2.02 (d, J = 14.8 Hz, 1H), 1.27 (s, 3H), 0.78 (s, 3H), 0.59 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ -80.37 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ 178.32, 140.68, 134.36, 129.94, 127.73 (q, J = 284.82 Hz), 125.89, 114.11, 108.81, 45.53, 40.12 (q, J = 24.24 Hz), 39.87 (q, J = 2.02 Hz), 27.68, 25.42, 20.64 (q, J = 2.02 Hz), 19.04 (q, J = 2.02 Hz). IR (thin film): ν 2984, 1708, 1603, 1349, 1155, 1119, 805, 614 cm^{-1} . MS (EI) m/z : 363 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{15}\text{H}_{18}\text{BrF}_3\text{NO}$ $[\text{M}+\text{H}]^+$: 364.0518; found: 364.0518.

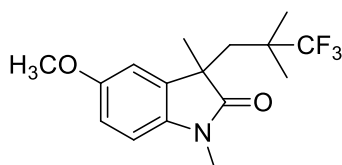


5-iodo-1,3-dimethyl-3-(3,3,3-trifluoro-2,2-dimethylpropyl)indolin-2-one(3g) (276.2 mg, 84 %): White solid. m.p. 126-128 °C. ^1H NMR (400 MHz, Chloroform- d) δ 7.54 (dd, J = 8.2, 1.7 Hz, 1H), 7.44 (d, J = 1.7

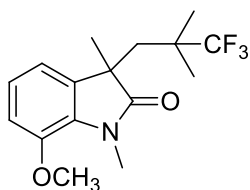
Hz, 1H), 6.60 (d, $J = 8.2$ Hz, 1H), 3.14 (s, 3H), 2.36 (d, $J = 14.7$ Hz, 1H), 2.01 (d, $J = 14.8$ Hz, 1H), 1.26 (s, 3H), 0.77 (s, 3H), 0.58 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ -80.35(s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ 178.12, 141.37, 135.90, 134.69, 131.48, 127.73 (q, $J = 284.82$ Hz), 109.41, 83.81, 45.34, 40.12 (q, $J = 24.24$ Hz), 39.85 (q, $J = 2.02$ Hz), 27.65, 25.35, 20.67 (q, $J = 2.02$ Hz), 19.00 (q, $J = 2.02$ Hz). IR (thin film): ν 2966, 1705, 1603, 1337, 1119, 795, 605 cm^{-1} . MS (EI) m/z : 411 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{15}\text{H}_{18}\text{F}_3\text{INO}$ $[\text{M}+\text{H}]^+$: 412.0380; found: 412.0379.



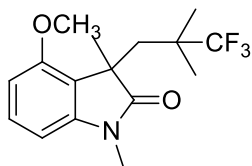
ethyl 1,3-dimethyl-2-oxo-3-(3,3,3-trifluoro-2,2-dimethylpropyl)indoline-5-carboxylate (3h) (214.2 mg, 75 %): White solid. m.p. 120-122 $^{\circ}\text{C}$. ^1H NMR (400 MHz, CDCl_3) δ 7.99 (dd, $J = 8.2, 1.6$ Hz, 1H), 7.83 (d, $J = 1.4$ Hz, 1H), 6.85 (d, $J = 8.2$ Hz, 1H), 4.31 (q, $J = 7.1$ Hz, 2H), 3.21 (s, 3H), 2.40 (d, $J = 14.7$ Hz, 1H), 2.11 (d, $J = 14.7$ Hz, 1H), 1.34 (t, $J = 7.1$ Hz, 3H), 1.30 (s, 3H), 0.76 (s, 3H), 0.56 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ -80.31 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ 179.26, 165.30, 145.68, 132.18, 129.73, 127.77 (q, $J = 283.82$ Hz), 123.90, 123.79, 106.86, 59.98, 45.19, 40.14 (q, $J = 24.24$ Hz), 39.93 (q, $J = 2.02$ Hz), 27.64, 25.52, 20.75 (q, $J = 2.02$ Hz), 19.02 (q, $J = 2.02$ Hz), 13.37. IR (thin film): ν 2219, 1714, 1615, 1500, 1343, 1052, 823 cm^{-1} . MS (EI) m/z : 358 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{18}\text{H}_{23}\text{F}_3\text{NO}_3$ $[\text{M}+\text{H}]^+$: 358.1625; found: 358.1623.



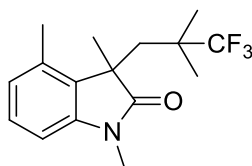
5-methoxy-1,3-dimethyl-3-(3,3,3-trifluoro-2,2-dimethylpropyl)indolin-2-one (3i) (143.6 mg, 57 %): Colorless oil. ^1H NMR (400 MHz, CDCl_3) δ 6.76 (d, $J = 0.8$ Hz, 1H), 6.74 (d, $J = 2.4$ Hz, 1H), 6.71 (dd, $J = 8.1, 1.0$ Hz, 1H), 3.73 (s, 3H), 3.14 (s, 3H), 2.36 (d, $J = 14.6$ Hz, 1H), 2.01 (d, $J = 14.6$ Hz, 1H), 1.25 (s, 3H), 0.78 (s, 3H), 0.57 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ -80.57 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ 179.56, 155.28, 142.70, 128.37, 128.06 (q, $J = 285.82$ Hz), 117.82, 104.61, 100.72, 54.04, 45.35, 40.08 (q, $J = 24.24$ Hz), 37.91 (q, $J = 2.02$ Hz), 25.50, 24.46, 18.92 (q, $J = 2.02$ Hz), 18.04 (q, $J = 2.02$ Hz). IR (thin film): ν 2933, 1708, 1497, 1288, 1113, 1034, 805, 696 cm^{-1} . MS (EI) m/z : 315 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{16}\text{H}_{21}\text{F}_3\text{NO}_2$ $[\text{M}+\text{H}]^+$: 316.1519; found: 316.1519.



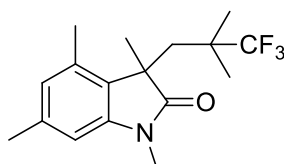
7-methoxy-1,3-dimethyl-3-(3,3,3-trifluoro-2,2-dimethylpropyl)indolin-2-one (3j) (85.2 mg, 38 %): White solid. m.p. 120-122 $^{\circ}\text{C}$. ^1H NMR (400 MHz, CDCl_3) δ 6.93 (dd, $J = 8.4, 7.4$ Hz, 1H), 6.78 (d, $J = 3.0$ Hz, 1H), 6.77 – 6.74 (m, 1H), 3.80 (s, 3H), 3.44 (s, 3H), 2.35 (d, $J = 14.6$ Hz, 1H), 1.98 (dd, $J = 13.3, 10.5$ Hz, 1H), 1.24 (s, 3H), 0.80 (s, 3H), 0.54 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ -80.65 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ 179.26, 144.58, 133.94, 129.49, 127.96 (q, $J = 283.81$ Hz), 121.85, 115.44, 110.59, 54.83, 45.33, 40.19 (q, $J = 24.24$ Hz), 39.79 (q, $J = 2.02$ Hz), 28.64, 27.94, 20.34 (q, $J = 2.02$ Hz), 18.77 (q, $J = 2.02$ Hz). IR (thin film): ν 2219, 1714, 1615, 1500, 1343, 1052, 823 cm^{-1} . MS (EI) m/z : 316 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{16}\text{H}_{21}\text{F}_3\text{NO}_2$ $[\text{M}+\text{H}]^+$: 316.1519; found: 316.1518.



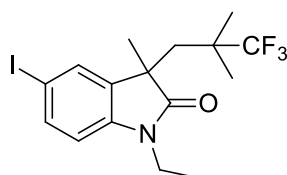
4-methoxy-1,3-dimethyl-3-(3,3,3-trifluoro-2,2-dimethylpropyl)indolin-2-one(3k) (148.6 mg, 59 %): Colorless oil. ^1H NMR (400 MHz, CDCl_3) δ 7.20 (t, $J = 8.1$ Hz, 1H), 6.53 (d, $J = 8.5$ Hz, 1H), 6.47 (d, $J = 7.8$ Hz, 1H), 3.79 (s, 3H), 3.13 (s, 3H), 2.35 (d, $J = 14.3$ Hz, 1H), 2.24 (d, $J = 14.2$ Hz, 1H), 1.30 (s, 3H), 0.71 (s, 3H), 0.60 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ -80.49 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ 178.66, 154.90, 135.19, 133.62, 128.05 (q, $J = 284.82$ Hz), 111.19, 110.29, 107.67, 54.80, 45.71, 40.15 (q, $J = 24.24$ Hz), 39.67 (q, $J = 2.02$ Hz), 27.76, 25.38, 20.45 (q, $J = 2.02$ Hz), 18.80 (q, $J = 2.02$ Hz). IR (thin film): ν 2936, 1714, 1612, 1476, 1152, 1071, 780cm^{-1} . MS (EI) m/z : 315 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{16}\text{H}_{21}\text{F}_3\text{NO}_2$ $[\text{M}+\text{H}]^+$ 316.1519; found: 316.1519.



1,3,6-trimethyl-3-(3,3,3-trifluoro-2,2-dimethylpropyl)indolin-2-one(3l) (162.6 mg, 68 %): Colorless oil. ^1H NMR (400 MHz, CDCl_3) δ 6.97 (d, $J = 7.3$ Hz, 1H), 6.94 (d, $J = 7.1$ Hz, 1H), 6.87 (t, $J = 7.5$ Hz, 1H), 3.44 (s, 3H), 2.52 (s, 3H), 2.35 (d, $J = 14.5$ Hz, 1H), 2.00 (d, $J = 14.6$ Hz, 1H), 1.23 (s, 3H), 0.78 (s, 3H), 0.52 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ -80.63 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ 179.78, 139.42, 132.77, 130.73, 127.93 (q, $J = 283.81$ Hz), 121.25, 120.66, 119.02, 44.61, 40.16 (q, $J = 23.23$ Hz), 39.83 (q, $J = 2.02$ Hz), 28.64, 28.08, 20.45 (q, $J = 2.02$ Hz), 18.72 (q, $J = 2.02$ Hz), 18.06. IR (thin film): ν 2981, 1711, 1463, 1143, 1116, 750, 596cm^{-1} . MS (EI) m/z : 299 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{16}\text{H}_{21}\text{F}_3\text{NO}$ $[\text{M}+\text{H}]^+$: 300.1570; found: 300.1569.

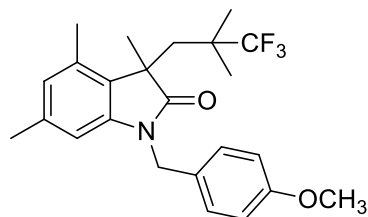


1,3,4,6-tetramethyl-3-(3,3,3-trifluoro-2,2-dimethylpropyl)indolin-2-one(3m) (160.3 mg, 64 %): White solid. m.p. 80-82 °C. ^1H NMR (400 MHz, CDCl_3) δ ppm 6.58 (s, 1H), 6.48 (s, 1H), 3.13 (s, 3H), 2.31 (d, $J = 14.7$ Hz, 1H), 2.29 (s, 6H), 2.22 (d, $J = 14.7$ Hz, 1H), 1.31 (s, 3H), 0.75 (s, 3H), 0.59 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ ppm -80.68 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ ppm 179.38, 142.04, 137.04, 133.47, 128.01 (q, $J = 283.81$ Hz), 126.28, 124.85, 106.08, 45.70, 40.23 (q, $J = 23.23$ Hz), 38.16 (q, $J = 2.02$ Hz), 25.34, 24.72 (q, $J = 2.02$ Hz), 20.53, 18.72, 18.22 (q, $J = 2.02$ Hz), 17.51. IR (thin film): ν 1702, 1621, 1457, 1334, 1149, 1052, 835cm^{-1} . MS (EI) m/z : 314 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{17}\text{H}_{23}\text{F}_3\text{NO}$ $[\text{M}+\text{H}]^+$: 314.1726; found: 314.1726.

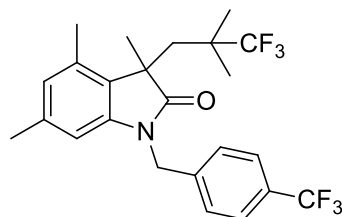


1-ethyl-5-iodo-3-methyl-3-(3,3,3-trifluoro-2,2-dimethylpropyl)indolin-2-one (3n) (227.6 mg, 67 %): White solid. m.p. 138-140 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.53 (dd, $J = 8.2, 1.7$ Hz, 1H), 7.44 (d, $J = 1.6$ Hz, 1H), 6.61 (d, $J = 8.2$ Hz, 1H), 3.79 (dq, $J = 14.4, 7.2$ Hz, 1H), 3.60 (dq, $J = 14.3, 7.2$ Hz, 1H), 2.36 (d, J

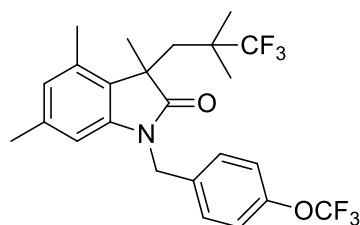
= 14.7 Hz, 1H), 2.00 (d, J = 14.7 Hz, 1H), 1.25 (s, 3H), 1.17 (t, J = 7.2 Hz, 3H), 0.80 (s, 3H), 0.60 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ -80.36 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ 177.71, 140.49, 135.83, 135.02, 131.72, 127.77 (q, J = 284.82 Hz), 109.51, 83.50, 45.35, 40.19 (q, J = 24.24 Hz), 39.66 (q, J = 2.02 Hz), 33.69, 27.95, 20.63 (q, J = 2.02 Hz), 19.19 (q, J = 2.02 Hz), 11.11. IR (thin film): ν 1708, 1596, 1479, 1346, 1213, 802, 608 cm^{-1} . MS (EI) m/z : 426 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{16}\text{H}_{20}\text{F}_3\text{INO}$ $[\text{M}+\text{H}]^+$: 426.0536; found: 426.0534.



1-(4-methoxybenzyl)-3,4,6-trimethyl-3-(3,3,3-trifluoro-2,2-dimethylpropyl)indol-2-one(3o) (201.1 mg, 60 %): White solid. m.p. 73-75 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.28 (s, 1H), 7.26 (d, J = 1.2 Hz, 1H), 6.85 (s, 1H), 6.83 (s, 1H), 6.61 (s, 1H), 6.54 (s, 1H), 4.92 (d, J = 15.2 Hz, 1H), 4.72 (d, J = 15.2 Hz, 1H), 3.77 (s, 3H), 2.41 (d, J = 14.7 Hz, 1H), 2.35 (s, 3H), 2.32 (d, J = 14.8 Hz, 1H), 2.28 (s, 3H), 1.41 (s, 3H), 0.79 (s, 3H), 0.65 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ -80.69 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ 180.39, 159.06, 142.32, 137.88, 134.56, 129.12, 129.08 (q, J = 284.82 Hz), 128.07, 127.38, 125.88, 114.07, 107.98, 55.25, 46.75, 43.44, 41.30 (q, J = 24.24 Hz), 39.01 (q, J = 2.02 Hz), 31.60, 26.22, 21.61, 19.64 (q, J = 2.02 Hz), 18.63. IR (thin film): ν 1717, 1615, 1518, 1442, 1346, 1246, 1034, 823 cm^{-1} . MS (EI) m/z : 420 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{24}\text{H}_{29}\text{F}_3\text{NO}_2$ $[\text{M}+\text{H}]^+$: 420.2145; found: 420.2144.

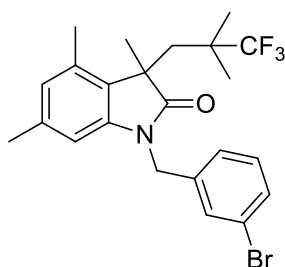


3,4,6-trimethyl-3-(3,3,3-trifluoro-2,2-dimethylpropyl)-1-(4-(trifluoromethyl)benzyl)indolin-2-one(3p) (201.1 mg, 55 %): White solid. m.p. 120-122°C. ^1H NMR (400 MHz, CDCl_3) δ 7.51 (d, J = 8.1 Hz, 2H), 7.35 (d, J = 8.1 Hz, 2H), 6.58 (s, 1H), 6.38 (s, 1H), 5.03 (d, J = 15.8 Hz, 1H), 4.70 (d, J = 15.8 Hz, 1H), 2.36 (d, J = 14.7 Hz, 1H), 2.29 (s, 3H), 2.25 (s, J = 14.8 Hz, 1H), 2.21 (s, 3H), 1.37 (s, 3H), 0.73 (s, 3H), 0.61 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ -62.60 (s, 3F), -80.61 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ 179.54, 140.85, 139.03, 137.08, 133.83, 129.00 (q, J = 32.32 Hz), 127.97 (q, J = 283.81 Hz), 126.82, 126.26, 125.26, 124.74 (q, J = 4.04 Hz), 122.97 (q, J = 27.27 Hz), 106.67, 45.79, 42.51, 40.29 (q, J = 24.24 Hz), 38.08 (q, J = 2.02 Hz), 25.28, 20.53, 18.75 (q, J = 2.02 Hz), 18.64 (q, J = 2.02 Hz), 17.60. IR (thin film): ν 1699, 1618, 1454, 1327, 1152, 1107, 1022, 835, 669 cm^{-1} . MS (EI) m/z : 458 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{24}\text{H}_{26}\text{F}_6\text{NO}$ $[\text{M}+\text{H}]^+$: 458.1913; found: 458.1911.

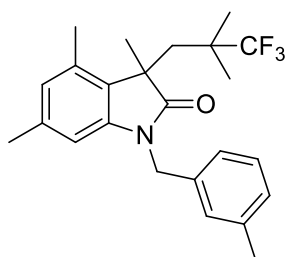


3,4,6-trimethyl-3-(3,3,3-trifluoro-2,2-dimethylpropyl)-1-(4-(trifluoromethoxy)benzyl)indolin-2-one (3q) (314.1 mg, 83 %): White solid. m.p. 88-90 °C. ^1H NMR (400 MHz, CDCl_3) δ ppm 7.28 (d, J = 8.5 Hz, 2H), 7.09 (d, J = 8.1 Hz, 2H), 6.57 (s, 1H), 6.42 (s, 1H), 4.95 (d, J = 15.5 Hz, 1H), 4.66 (d, J = 15.5 Hz, 1H), 2.34 (d, J = 14.7 Hz, 1H), 2.29 (s, 3H), 2.26 (d, J = 14.8 Hz, 1H), 2.22 (s, 3H), 1.36 (s, 3H), 0.70 (s, 3H), 0.59 (s,

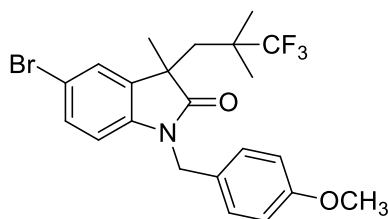
3H); ^{19}F NMR (377 MHz, CDCl_3) δ ppm -57.90 (s, 3F), -80.65 (s, 3F); ^{13}C NMR (101 MHz, CDCl_3) δ ppm 179.5, 147.64, 140.9, 137.0, 133.8, 133.7, 128.1, 127.97 (q, $J = 284.82$ Hz), 126.3, 125.2, 120.22, 119.39 (q, $J = 258.56$ Hz), 106.68, 45.74, 42.21, 40.25 (q, $J = 24.24$ Hz), 38.06 (q, $J = 2.02$ Hz), 25.22, 20.55, 18.70 (q, $J = 2.02$ Hz), 18.57 (q, $J = 2.02$ Hz), 17.60. IR (thin film): ν 2987, 1923, 1624, 1512, 1343, 1255, 1149, 838cm^{-1} . MS (EI) m/z : 474 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{24}\text{H}_{26}\text{F}_6\text{NO}_2$ $[\text{M}+\text{H}]^+$: 474.1862; found: 474.1861.



1-(3-bromobenzyl)-3,4,6-trimethyl-3-(3,3,3-trifluoro-2,2-dimethylpropyl)indolin-2-one(3r) (254.0 mg, 68 %): White solid. m.p. 138-140 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.39 (s, 1H), 7.33 (d, $J = 7.8$ Hz, 1H), 7.17 (d, $J = 7.8$ Hz, 1H), 7.11 (t, $J = 7.7$ Hz, 1H), 6.57 (s, 1H), 6.39 (s, 1H), 4.89 (d, $J = 15.6$ Hz, 1H), 4.66 (d, $J = 15.6$ Hz, 1H), 2.35 (d, $J = 14.7$ Hz, 1H), 2.29 (s, 3H), 2.25 (d, $J = 14.8$ Hz, 1H), 2.21 (s, 3H), 1.37 (s, 3H), 0.75 (s, 3H), 0.60 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ -80.64 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ 179.47, 140.90, 137.24, 137.04, 133.72, 129.83, 129.60, 129.29, 127.99 (q, $J = 284.82$ Hz), 126.26, 125.16, 121.78, 106.73, 45.76, 42.36, 40.27 (q, $J = 24.24$ Hz), 38.02 (q, $J = 2.02$ Hz), 30.56, 25.29, 20.56, 18.67 (q, $J = 2.02$ Hz), 17.61. IR (thin film): ν 1708, 1624, 1442, 1352, 1237, 1119, 841, 684cm^{-1} . MS (EI) m/z : 468 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{23}\text{H}_{26}\text{BrF}_3\text{NO}$ $[\text{M}+\text{H}]^+$: 468.1144; found: 468.1145.

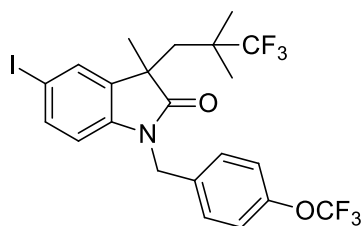


3,4,6-trimethyl-1-(3-methylbenzyl)-3-(3,3,3-trifluoro-2,2-dimethylpropyl)indolin-2-one(3s) (187.0 mg, 58 %): White solid. m.p. 98-100 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.12 (t, $J = 7.5$ Hz, 1H), 7.06 (s, 1H), 7.03 (d, $J = 7.8$ Hz, 1H), 6.99 (d, $J = 7.4$ Hz, 1H), 6.55 (s, 1H), 6.45 (s, 1H), 4.87 (d, $J = 15.4$ Hz, 1H), 4.68 (d, $J = 15.4$ Hz, 1H), 2.38-2.33 (m, 1H), 2.29 (s, 3H), 2.25 (d, 1H), 2.24 (s, 3H), 2.20 (s, 3H), 1.36 (s, 3H), 0.75 (s, 3H), 0.59 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ -80.69 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ 179.42, 141.36, 137.38, 136.87, 134.89, 133.49, 128.05 (q, $J = 284.42$ Hz), 127.54, 127.35, 127.34, 126.34, 124.89, 123.64, 107.00, 45.75, 42.96, 40.29 (q, $J = 24.24$ Hz), 37.98 (q, $J = 2.02$ Hz), 25.27, 20.56, 20.37, 18.67 (q, $J = 2.02$ Hz), 18.61 (q, $J = 2.02$ Hz), 17.60. IR (thin film): ν 1699, 1621, 1451, 1352, 1237, 1143, 1113, 841, 783cm^{-1} . MS (EI) m/z : 404 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{24}\text{H}_{30}\text{F}_3\text{NO}$ $[\text{M}+\text{H}]^+$: 404.2196; found: 404.2196.

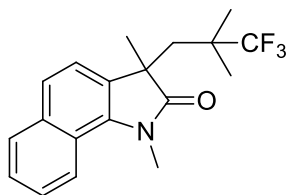


5-bromo-1-(4-methoxybenzyl)-3-methyl-3-(3,3,3-trifluoro-2,2-dimethylpropyl)indolin-2-one (3t) (251.3 mg, 67 %): White solid. m.p. 66-68 °C. ^1H NMR (400 MHz, CDCl_3) δ ppm 7.29-7.22 (m, 2H), 7.21-7.14 (m, 2H), 6.82-6.74 (m, 2H), 6.70-6.58 (m, 1H), 4.85 (d, $J = 15.2$ Hz, 1H), 4.68 (d, $J = 15.2$ Hz, 1H), 3.70 (s, 3H),

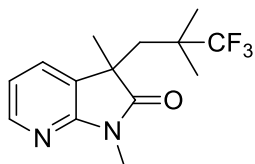
2.40 (d, $J = 14.7$ Hz, 1H), 2.04 (d, $J = 14.7$ Hz, 1H), 1.30 (s, 3H), 0.76 (s, 3H), 0.57 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ ppm -80.40 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ ppm 178.26, 158.23, 139.87, 134.51, 129.79, 128.05, 127.78 (q, $J = 284.82$ Hz), 126.33, 125.94, 114.08, 113.18, 109.85, 54.23, 45.58, 42.52, 40.16 (q, $J = 24.24$ Hz), 39.60 (q, $J = 2.02$ Hz), 28.28, 20.55 (q, $J = 2.02$ Hz), 19.29 (q, $J = 2.02$ Hz). IR (thin film): ν 1702, 1612, 1512, 1249, 1034, 802cm^{-1} . MS (EI) m/z : 470 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{22}\text{H}_{24}\text{BrF}_3\text{NO}_2$ $[\text{M}+\text{H}]^+$: 470.0937; found: 470.0938.



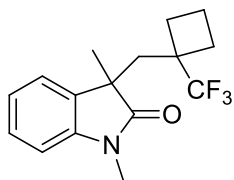
5-iodo-3-methyl-3-(3,3,3-trifluoro-2,2-dimethylpropyl)-1-(4-(trifluoromethoxy)benzyl)indolin-2-one (3u) (333.5 mg, 73 %): White solid. m.p. 121-123 °C. ^1H NMR (400 MHz, CDCl_3) δ ppm 7.49 – 7.44 (m, 2H), 7.25 (d, $J = 8.6$ Hz, 2H), 7.10 (d, $J = 8.1$ Hz, 2H), 6.52 (d, $J = 8.6$ Hz, 1H), 4.94 (d, $J = 15.5$ Hz, 1H), 4.70 (d, $J = 15.5$ Hz, 1H), 2.40 (d, $J = 14.8$ Hz, 1H), 2.05 (d, $J = 14.8$ Hz, 1H), 1.31 (s, 3H), 0.74 (s, 3H), 0.59 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ ppm -57.91 (s, 3F), -80.29 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ ppm 178.17, 147.81, 140.21, 135.89, 134.75, 133.03, 131.79, 128.06, 127.71 (q, $J = 283.81$ Hz), 120.36, 119.36 (q, $J = 258.56$ Hz), 110.13, 84.13, 45.44, 42.25, 40.15 (q, $J = 40.15$ Hz), 39.70 (q, $J = 2.02$ Hz), 28.32, 20.68 (q, $J = 2.02$ Hz), 19.30 (q, $J = 2.02$ Hz). IR (thin film): ν 1711, 1612, 1509, 1476, 1252, 998, 798cm^{-1} . MS (EI) m/z : 572 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{22}\text{H}_{21}\text{F}_6\text{INO}_2$ $[\text{M}+\text{H}]^+$: 572.0516; found: 572.0512.



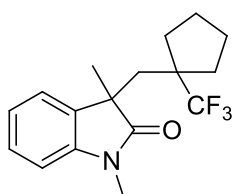
1,3-dimethyl-3-(3,3,3-trifluoro-2,2-dimethylpropyl)-1,3-dihydro-2H-benzo[g]indol-2-one (3v) (195.6 mg, 73%): White solid. m.p. 98-100 °C. ^1H NMR (400 MHz, CDCl_3) δ ppm 7.65 (dd, $J = 8.0, 0.9$ Hz, 1H), 7.49 – 7.41 (m, 2H), 7.41 – 7.32 (m, 2H), 6.88 (dd, $J = 7.5, 0.6$ Hz, 1H), 3.45 (s, 3H), 2.87 (d, $J = 14.4$ Hz, 1H), 2.17 (d, $J = 14.4$ Hz, 1H), 1.65 (s, 3H), 0.80 (s, 3H), 0.29 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ ppm -80.40 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ ppm 171.95, 136.23, 135.39, 132.43, 128.08 (q, $J = 284.82$ Hz), 125.61, 125.46, 125.28, 123.11, 121.70, 118.21, 107.48, 45.72, 43.96, 40.34 (q, $J = 24.24$ Hz), 35.08, 28.60, 20.53, 19.07. IR (thin film): ν 1660, 1584, 1473, 1385, 1315, 1068, 826, 750cm^{-1} . MS (EI) m/z : 336 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{19}\text{H}_{21}\text{F}_3\text{NO}$ $[\text{M}+\text{H}]^+$: 336.1570; found: 336.1570.



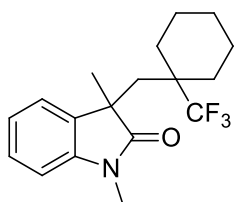
1,3-dimethyl-3-(3,3,3-trifluoro-2,2-dimethylpropyl)-1,3-dihydro-2H-pyrrolo[2,3-b]pyridin-2-one (3w) (117.1 mg, 51 %): White solid. m.p. 120-122 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.15 (dd, $J = 5.2, 1.2$ Hz, 1H), 7.38 (dd, $J = 7.3, 1.4$ Hz, 1H), 6.91 (dd, $J = 7.2, 5.3$ Hz, 1H), 3.26 (s, 3H), 2.41 (d, $J = 14.8$ Hz, 1H), 2.06 (d, $J = 14.8$ Hz, 1H), 1.30 (s, 3H), 0.82 (s, 3H), 0.59 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ -80.16 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ 178.52, 155.16, 146.09, 129.93, 127.54 (q, $J = 284.82$ Hz), 126.62, 116.96, 45.05, 40.48 (q, $J = 25.25$ Hz), 39.76 (q, $J = 2.02$ Hz), 27.33, 24.46, 20.59 (q, $J = 2.02$ Hz), 19.45 (q, $J = 2.02$ Hz). IR (thin film): ν 2219, 1714, 1615, 1500, 1343, 1052, 823cm^{-1} . MS (EI) m/z : 287 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{14}\text{H}_{18}\text{F}_3\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 287.1366; found: 287.1365.



1,3-dimethyl-3-((1-(trifluoromethyl)cyclobutyl)methyl)indolin-2-one(4a) (125.9 mg, 53 %): Colorless oil. ^1H NMR (400 MHz, CDCl_3) δ 7.22 (dd, $J = 9.9, 5.4$ Hz, 1H), 7.14 (dd, $J = 12.5, 4.6$ Hz, 1H), 6.99 (t, $J = 7.5$ Hz, 1H), 6.83-6.76 (m, 1H), 3.17 (s, 3H), 2.40 (dd, $J = 15.2, 8.0$ Hz, 1H), 2.14 (dd, $J = 15.2, 4.1$ Hz, 1H), 2.00-1.91 (m, 1H), 1.89-1.82 (m, 2H), 1.74-1.60 (m, 2H), 1.45-1.32 (m, 1H), 1.30 (s, 3H). ^{19}F NMR (377 MHz, CDCl_3) δ -78.26 (s, 0.61F), δ -78.83 (s, 3F). ^{13}C NMR (101 MHz, CDCl_3) δ 178.76(178.14), 142.08(140.69), 131.90(133.63), 127.88 (q, $J = 280.78$ Hz)[128.09 (q, $J = 202.00$ Hz)], 126.98(126.89), 122.22(122.73), 121.31(121.38), 107.20(108.07), 45.81(46.07), 43.68 (q, $J = 26.26$ Hz)[43.58 (q, $J = 26.26$ Hz)], 39.00(q, $J = 2.02$ Hz) [39.46 (q, $J = 2.02$ Hz)], 27.84(28.68), 25.26 (q, $J = 3.03$ Hz) [25.85 (q, $J = 3.03$ Hz)], 25.20, 24.70(q, $J = 3.03$ Hz)[25.03(q, $J = 3.03$ Hz)], 14.04(14.14). IR (thin film): ν 2966, 1711, 1612, 1492, 1374, 1121, 753 cm^{-1} . MS (EI) m/z : 297 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{16}\text{H}_{19}\text{F}_3\text{NO}$ $[\text{M}+\text{H}]^+$: 298.1413; found: 298.1411.



1,3-dimethyl-3-((1-(trifluoromethyl)cyclopentyl)methyl)indolin-2-one(4b) (136.8 mg, 55 %): Colorless oil. ^1H NMR (400 MHz, CDCl_3) δ 7.20 (dd, $J = 9.3, 6.1$ Hz, 1H), 7.13 (dd, $J = 15.6, 7.6$ Hz, 1H), 6.99 (t, $J = 7.5$ Hz, 1H), 6.78 (t, $J = 8.8$ Hz, 1H), 3.15 (s, 3H), 2.41 (d, $J = 15.1$ Hz, 1H), 2.16 (d, $J = 15.1$ Hz, 1H), 1.61-1.50 (m, 2H), 1.40 (d, $J = 15.4, 6.0$ Hz, 2H), 1.32 (dd, $J = 14.2, 8.4$ Hz, 2H), 1.26 (s, 3H), 1.22-1.04 (m, 2H). ^{19}F NMR (377 MHz, CDCl_3) δ -74.85(s, 3F), δ -74.90(s, 0.55F). ^{13}C NMR (101 MHz, CDCl_3) δ 178.76(178.95), 141.92(139.18), 131.98, 128.61 (q, $J = 283.81$ Hz)[127.43 (q, $J = 282.80$ Hz)], 126.92, 122.43(122.02), 121.24(121.50), 107.17(107.44), 50.16 (q, $J = 23.23$ Hz)[55.15 (q, $J = 24.24$ Hz)], 45.86(45.65), 40.01 (q, $J = 2.02$ Hz), 31.74(q, $J = 2.02$ Hz) [32.93 (q, $J = 2.02$ Hz)], 31.45(q, $J = 2.02$ Hz) [31.57 (q, $J = 2.02$ Hz)], 28.32(28.01), 25.18(25.22), 24.76(24.80), 24.50(24.42). IR (thin film): ν 2966, 1709, 1613, 1493, 1375, 1345, 1140, 754 cm^{-1} . MS (EI) m/z : 311 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{17}\text{H}_{21}\text{F}_3\text{NO}$ $[\text{M}+\text{H}]^+$: 312.1570; found: 312.1568.



1,3-dimethyl-3-((1-(trifluoromethyl)cyclohexyl)methyl)indolin-2-one(4a)(156.0 mg, 60 %): Colorless oil. ^1H NMR (400 MHz, CDCl_3) δ 7.19 (t, $J = 7.7$ Hz, 1H), 7.13 (t, $J = 6.8$ Hz, 1H), 6.95 (t, $J = 7.5$ Hz, 1H), 6.78 (t, $J = 11.9$ Hz, 1H), 3.14 (s, 3H), 2.34 (d, $J = 15.5$ Hz, 1H), 2.14 (d, $J = 15.4$ Hz, 1H), 1.49-1.40 (m, 2H), 1.39-1.33 (m, 4H), 1.30 (dd, $J = 12.2, 5.9$ Hz, 2H), 1.27 (s, 3H), 1.23-1.13 (m, 2H). ^{19}F NMR (377 MHz, CDCl_3) δ -73.69 (s, 3F), δ -73.93 (s, 0.25F). ^{13}C NMR (101 MHz, CDCl_3) δ 178.62(178.75), 141.93 (142.31), 131.99, 127.79 (q, $J = 284.82$ Hz)[129.97(q, $J = 254.52$ Hz)], 126.75(126.65), 122.17(122.65), 120.85(121.83), 106.99 (107.84), 45.56, 42.10 (q, $J = 23.23$ Hz), 37.88, 28.86(28.68), 28.80 (q, $J = 2.02$ Hz), 28.00(q, $J = 2.02$ Hz) [28.33 (q, $J = 2.02$ Hz)], 25.16(25.27), 24.09(24.74), 20.07, 19.96. IR (thin film): ν 2929, 1715, 1613,

1493, 1161, 1122, 754 cm^{-1} . MS (EI) m/z : 325 $[\text{M}+\text{H}]^+$. HRMS (EI-TOF): m/z Calcd for $\text{C}_{18}\text{H}_{23}\text{F}_3\text{NO}$ $[\text{M}+\text{H}]^+$: 326.1726; found: 326.1724.

