

Supporting Information

For

Pd-catalyzed, controllable C–H mono-/diarylation of aryl tetrazoles: concise synthesis of losartan

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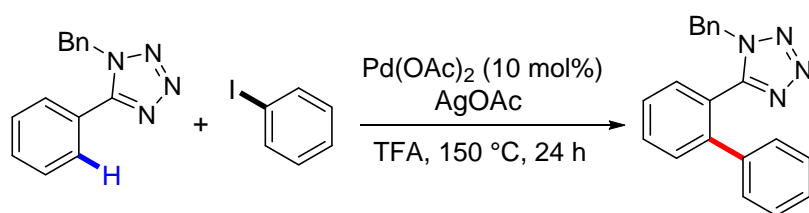
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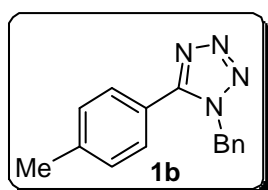
General information: NMR spectra were recorded on Bruker-400 (400 MHz for ^1H ; 100 MHz for ^{13}C) instruments internally referenced to SiMe_4 signal. High resolution mass spectra were recorded on P-SIMS-Gly of Bruker Daltonics Inc. using ESI-TOF (electrospray ionization-time of flight) or Micromass GCT using EI (electron impact). All reagents and solvents were purchased from commercial sources and purified commonly before used.

Table S1. Screening of the Amount of AgOAc

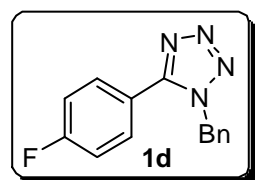
entry	AgOAc (eq.)	yield (%) ^b
1	1.5	43
2	2.0	67
3	3.0	67
4	4.0	76
5	5.0	70

Preparation of Substrates

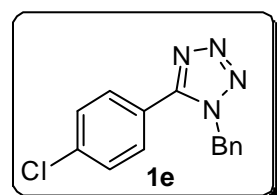
All tetrazoles were synthesized according to the reported method.^[1] Substrates **1a**, **1c**, **2a**, **2c** were prepared according to the reported procedures.^[2] All 1-benzyl-5-aryl-1*H*-tetrazoles and 2-benzyl-5-aryl-1*H*-tetrazoles were synthesized according to known procedure.^[3,4]



1b: ¹H NMR (400 MHz, CDCl₃) δ 7.48 – 7.46 (m, 2H), 7.35 – 7.31 (m, 3H), 7.29 (d, *J* = 0.4 Hz, 2H), 7.16 – 7.13 (m, 2H), 5.60 (s, 2H), 2.41 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 154.8, 141.9, 134.2, 130.0, 129.7, 129.2, 128.8, 128.8, 127.2, 120.9, 51.4, 21.6. HRMS (ESI) calcd. for C₁₅H₁₅N₄ ([M+H]⁺): 251.1291, found: 251.1291.

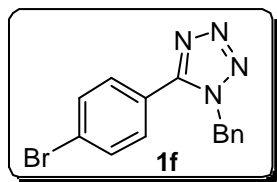


1d: ¹H NMR (400 MHz, CDCl₃) δ 7.60 – 7.55 (m, 2H), 7.38 – 7.34 (m, 3H), 7.20 (m, 2H), 7.14 (m, 2H), 5.61 (s, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 164.4 (d, *J* = 253.1 Hz), 153.9, 133.8, 131.1(d, *J* = 8.9 Hz), 129.3, 128.9, 127.1, 120.0 (d, *J* = 3.5 Hz), 116.6 (d, *J* = 22.2 Hz), 51.5. HRMS (ESI) calcd. for C₁₄H₁₂FN₄ ([M+H]⁺): 255.1041, found: 255.1036.



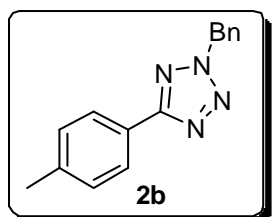
1e: ¹H NMR (400 MHz, CDCl₃) δ 7.53 – 7.51 (m, 2H), 7.48 – 7.46 (m, 2H), 7.37 – 7.34 (m, 3H), 7.15 – 7.12 (m, 2H), 5.61

(s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 153.9, 138.0, 133.8, 130.3, 129.7, 129.4, 129.0, 127.2, 122.3, 51.6. HRMS (ESI) calcd. for $\text{C}_{14}\text{H}_{12}\text{ClN}_4$ ($[\text{M}+\text{H}]^+$): 271.0745, found: 271.0745.



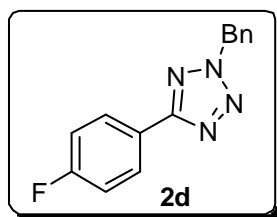
1f: ^1H NMR (400 MHz, CDCl_3) δ 7.66 – 7.63 (m, 2H), 7.47 – 7.43 (m, 2H), 7.37 – 7.34 (m, 3H), 7.15 – 7.13 (m, 2H), 5.61 (s, 1H). ^{13}C NMR (100 MHz, CDCl_3) δ 154.0, 133.8, 132.7, 130.4, 129.4, 129.1, 127.2, 126.3, 122.8, 51.6. HRMS (ESI)

calcd. for $\text{C}_{14}\text{H}_{12}\text{BrN}_4$ ($[\text{M}+\text{H}]^+$): 315.0240, found: 315.0237.



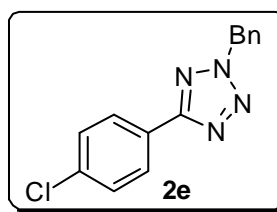
2b: ^1H NMR (400 MHz, CDCl_3) δ 8.04 – 8.02 (m, 2H), 7.43 – 7.35 (m, 5H), 7.29 – 7.26 (m, 2H), 5.79 (s, 2H), 2.40 (s, 1H). ^{13}C NMR (100 MHz, CDCl_3) δ 165.7, 140.6, 133.6, 129.7, 129.1, 129.0, 128.5, 126.9, 124.7, 56.9, 21.6. HRMS (EI)

calcd. for $\text{C}_{15}\text{H}_{14}\text{N}_4$ ($[\text{M}]$): 250.1218, found: 250.1220.



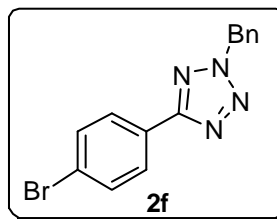
2d: ^1H NMR (400 MHz, CDCl_3) δ 8.15 – 8.10 (m, 2H), 7.40 – 7.35 (m, 5H), 7.18 – 7.12 (m, 2H), 5.79 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 164.8, 164.1 (d, $J = 250.1$ Hz), 133.4, 129.2, 129.1 (d, $J = 2.8$ Hz), 129.0, 128.5, 123.8 (d, $J = 3.2$

Hz), 116.1 (d, $J = 22.0$ Hz), 57.0. HRMS (EI) calcd. for $\text{C}_{14}\text{H}_{11}\text{FN}_4$ ($[\text{M}]$): 254.0968, found: 270.0986.



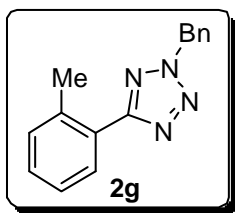
2e: ^1H NMR (400 MHz, CDCl_3) δ 8.09 – 8.06 (m, 2H), 7.43 – 7.36 (m, 7H), 5.79 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 164.7, 136.5, 133.4, 129.3, 129.2, 129.2, 128.6, 128.3, 126.0, 57.0. HRMS (EI) calcd. for $\text{C}_{14}\text{H}_{11}\text{ClN}_4$ ($[\text{M}]$): 270.7169,

found: 270.0688.

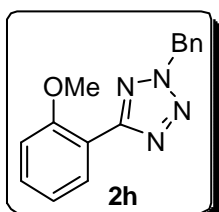


2f: ^1H NMR (400 MHz, CDCl_3) δ 8.02 – 7.99 (m, 2H), 7.62 – 7.59 (m, 2H), 7.41 – 7.34 (m, 5H), 5.80 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 164.8, 133.3, 132.2, 129.2, 129.2, 128.6, 128.5, 126.5, 124.8, 57.1. HRMS (EI) calcd. for

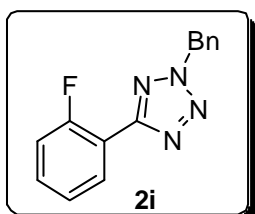
$\text{C}_{14}\text{H}_{11}\text{BrN}_4$ ($[\text{M}]$): 314.0617, found: 314.0178.



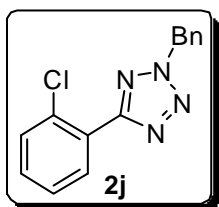
2g: ^1H NMR (400 MHz, CDCl_3) δ 8.01 (dd, $J = 7.2, 1.6$ Hz, 1H), 7.39 – 7.28 (m, 8H), 5.82 (s, 2H), 2.62 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 166.0, 137.6, 133.6, 131.4, 130.0, 129.6, 129.1, 129.0, 128.5, 126.2, 126.1, 56.9, 21.7. HRMS (ESI) calcd. for $\text{C}_{15}\text{H}_{15}\text{N}_4$ ($[\text{M}+\text{H}]^+$): 251.3059, found: 251.1288.



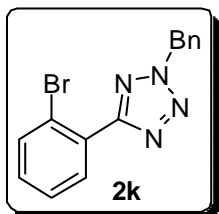
2h: ^1H NMR (400 MHz, CDCl_3) δ 8.01 (dd, $J = 4.0, 1.6$ Hz, 1H), 7.42 – 7.28 (m, 8H), 5.82 (s, 2H), 2.62 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 166.0, 137.6, 133.6, 131.4, 130.0, 129.6, 129.1, 129.0, 128.5, 126.6, 126.1, 56.9, 21.4. HRMS (ESI) calcd. for $\text{C}_{15}\text{H}_{15}\text{N}_4\text{O}$ ($[\text{M}+\text{H}]^+$): 267.1240, found: 267.1238.



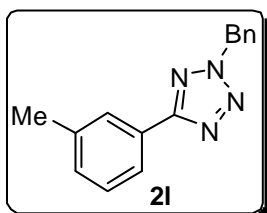
2i: ^1H NMR (400 MHz, CDCl_3) δ 8.11 (td, $J = 8.0, 4.0$ Hz, 1H), 7.44 – 7.32 (m, 6H), 7.27 – 7.19 (m, 2H), 5.84 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 161.7 (d, $J = 5.2$ Hz), 160.2 (d, $J = 255.6$ Hz), 133.4, 132.0 (d, $J = 8.3$ Hz), 130.1 (d, $J = 2.4$ Hz), 129.1, 129.0, 128.5, 124.5 (d, $J = 3.8$ Hz), 116.8 (d, $J = 21.2$ Hz), 115.7 (d, $J = 12.0$ Hz), 57.0. HRMS (EI) calcd. for $\text{C}_{14}\text{H}_{11}\text{FN}_4$ ($[\text{M}]$): 254.0968, found: 254.0989.



2j: ^1H NMR (400 MHz, CDCl_3) δ 7.94 – 7.91 (m, 1H), 7.54 – 7.51 (m, 1H), 7.45 – 7.34 (m, 7H), 5.85 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 163.8, 133.4, 133.3, 130.9, 128.6, 127.0, 126.7, 57.1. HRMS (ESI) calcd. for $\text{C}_{14}\text{H}_{12}\text{ClN}_4$ ($[\text{M}+\text{H}]^+$): 271.0745, found: 271.0743.

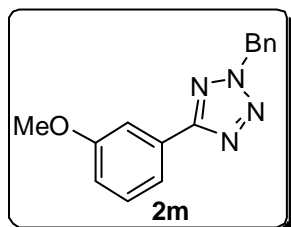


2k: ^1H NMR (400 MHz, CDCl_3) δ 7.83 (dd, $J = 7.6, 2.0$ Hz, 1H), 7.72 (dd, $J = 8.0, 1.2$ Hz, 1H), 7.45 – 7.42 (m, 2H), 7.41 – 7.35 (m, 4H), 7.33 – 7.28 (m, 1H), 5.85 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 164.5, 134.2, 133.3, 131.8, 131.3, 129.1, 129.1, 128.7, 128.5, 127.5, 122.2, 57.0. HRMS (ESI) calcd. for $\text{C}_{14}\text{H}_{12}\text{BrN}_4$ ($[\text{M}+\text{H}]^+$): 351.0240, found: 351.0239.

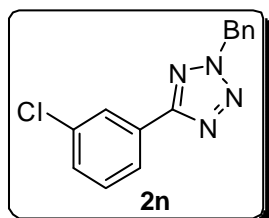


2l: ^1H NMR (400 MHz, CDCl_3) δ 7.97 – 7.93 (m, 2H), 7.43 – 7.34 (m, 6H), 7.27 (d, $J = 6.4$ Hz, 1H), 5.80 (s, 2H), 2.42 (s,

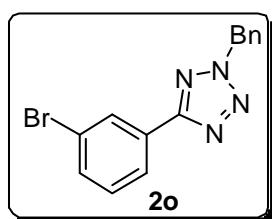
3H). ^{13}C NMR (100 MHz, CDCl_3) δ 165.7, 138.7, 133.5, 131.2, 129.1, 129.0, 128.9, 128.4, 127.4, 127.3, 124.1, 56.9, 21.5. HRMS (ESI) calcd. for $\text{C}_{15}\text{H}_{15}\text{N}_4$ ($[\text{M}+\text{H}]^+$): 251.1291, found: 251.1287.



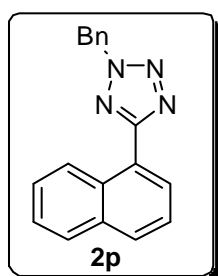
2m: ^1H NMR (400 MHz, CDCl_3) δ 7.73 (d, $J = 7.6$ Hz, 1H), 7.68 (d, $J = 1.2$ Hz, 1H), 7.42 – 7.36 (m, 6H), 7.01 – 6.99 (m, 1H), 5.80 (s, 2H), 3.88 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 165.5, 160.1, 133.5, 130.1, 129.1, 129.1, 128.7, 128.5, 119.4, 116.9, 111.7, 56.9, 55.5. HRMS (ESI) calcd. for $\text{C}_{15}\text{H}_{15}\text{N}_4\text{O}$ ($[\text{M}+\text{H}]^+$): 267.1240, found: 267.1234.



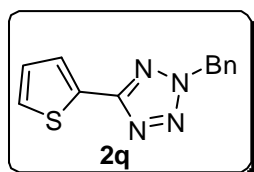
2n: ^1H NMR (400 MHz, CDCl_3) δ 8.14 – 8.13 (m, 1H), 8.03 (dt, $J = 8.0, 2.0$ Hz, 1H), 7.43 – 7.36 (m, 7H), 5.80 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 164.5, 135.0, 133.3, 130.5, 130.3, 128.6, 127.1, 125.1, 57.1. HRMS (ESI) calcd. for $\text{C}_{14}\text{H}_{12}\text{ClN}_4$ ($[\text{M}+\text{H}]^+$): 271.0745, found: 271.0744.



2o: ^1H NMR (400 MHz, CDCl_3) δ 8.29 (d, $J = 1.2$ Hz, 1H), 8.07 (d, $J = 7.6$ Hz, 1H), 7.58 (d, $J = 1.2$ Hz, 1H), 7.41 – 7.32 (m, 6H), 5.80 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 164.3, 133.4, 133.3, 130.5, 130.0, 129.2, 128.5, 125.3, 123.1, 57.1. HRMS (ESI) calcd. for $\text{C}_{14}\text{H}_{12}\text{BrN}_4$ ($[\text{M}+\text{H}]^+$): 315.0240, found: 315.0240.



2p: ^1H NMR (400 MHz, CDCl_3) δ 8.89 (dd, $J = 8.0, 0.4$ Hz, 1H), 7.25 (dd, $J = 8.0, 1.2$ Hz, 1H), 7.98 (d, $J = 8.0$ Hz, 1H), 7.92 (d, $J = 8.0$ Hz, 1H), 7.62 – 7.53 (m, 3H), 7.50 – 7.47 (m, 2H), 7.43 – 7.37 (m, 3H), 5.91 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 165.7, 134.1, 133.6, 131.1, 130.7, 129.2, 129.1, 128.7, 128.6, 128.6, 127.4, 126.3, 125.9, 125.3, 124.4, 57.1. HRMS (EI) calcd. for $\text{C}_{18}\text{H}_{14}\text{N}_4$ ($[\text{M}]$): 286.1218, found: 286.1224.

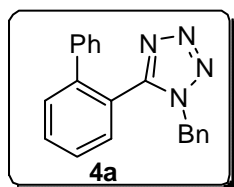


2q: ^1H NMR (400 MHz, CDCl_3) δ 7.79 (dd, $J = 3.6, 1.2$ Hz, 1H), 7.44 – 7.35 (m, 6H), 7.13 (dd, $J = 5.2, 3.6$ Hz, 1H), 5.78 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 161.6, 133.3, 129.1, 129.1,

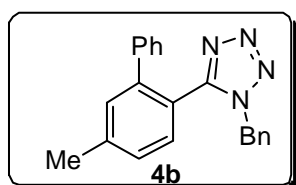
128.5, 128.0, 128.0, 56.9. HRMS (ESI) calcd. for C₁₂H₁₁N₄S ([M+H]⁺): 243.0699, found: 243.0693.

General procedure for the Pd(II)-catalyzed arylation of 1-benzyl-5-aryl-1*H*-tetrazole or 2-benzyl-5-aryl-2*H*-tetrazole

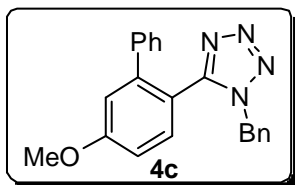
To a 25 mL sealed tube was added 1-benzyl-5-aryl-1*H*-tetrazole or 2-benzyl-5-aryl-2*H*-tetrazole (0.2 mmol), Pd(OAc)₂ (5-15 mol%), aryl iodide **3** (2.0 mmol, 10 equiv), and AgOAc (133.5 mg, 0.8 mmol, 4 equiv). TFA (0.2 mL) was then added and the reaction mixture was heated to 150 °C for 24 hours. The resulting mixture was cooled down to room temperature, filtered through a pad of celite, and the celite was rinsed with copious EtOAc, then washed with H₂O. The phases were separated and the aqueous phase was extracted with EtOAc (3 times). The organic layers were combined, washed with brine, dried over anhydrous Na₂SO₄. The filtrate was concentrated under reduced pressure and the residue was purified by column chromatography on silica gel (eluent: petroleum ether/EtOAc) to afford the corresponding arylated product.



4a: ¹H NMR (400 MHz, CDCl₃) δ 7.64 (td, J = 8.0, 0.6 Hz, 1H), 7.60 – 7.58 (m, 1H), 7.43 (td, J = 8.0, 1.2 Hz, 1H), 7.35 (dd, J = 4.0, 0.8 Hz, 1H), 7.32 – 7.27 (m, 3H), 7.22 – 7.13 (m, 5H), 6.76 (d, J = 4.0 Hz, 2H), 4.75 (s, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 154.8, 141.8, 139.0, 133.3, 131.7, 131.4, 130.4, 129.1, 128.9, 128.8, 128.7, 128.3, 128.0, 128.0, 122.9, 51.0. HRMS (EI) calcd. for C₂₀H₁₆N₄ ([M]): 321.1375, found: 321.1375



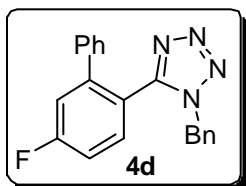
4b: ¹H NMR (400 MHz, CDCl₃) δ 7.40 (s, 1H), 7.30 – 7.26 (m, 5H), 7.24 – 7.13 (m, 5H), 6.77 (d, J = 8.0 Hz, 2H), 4.74 (s, 2H), 2.49 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 154.9, 142.0, 141.6, 139.2, 133.4, 131.3, 131.1, 129.0, 128.8, 128.7, 128.7, 128.6, 128.1, 127.9, 119.8, 50.8, 21.6. HRMS (EI) calcd. for C₂₁H₁₈N₄ ([M]): 326.1531, found: 326.1531



4c: ^1H NMR (400 MHz, CDCl_3) δ 7.32 – 7.27 (m, 4H), 7.20 – 7.17 (m, 5H), 7.08 (d, $J = 4.0$ Hz, 1H), 6.95 (dd, $J = 8.0$, 4.0 Hz, 1H), 6.79 – 6.77 (m, 2H), 4.74 (s, 2H), 3.91 (s, 3H).

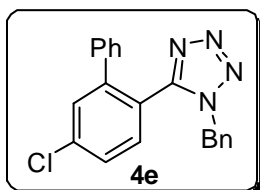
^{13}C NMR (100 MHz, CDCl_3) δ 162.0, 154.7, 143.4, 139.0,

133.4, 132.9, 133.1, 129.1, 128.8, 128.7, 128.6, 128.3, 127.9, 115.9, 114.8, 113.5, 55.7, 50.8. HRMS (EI) calcd. for $\text{C}_{21}\text{H}_{18}\text{N}_4\text{O}$ ([M]): 342.1481, found: 342.2150.



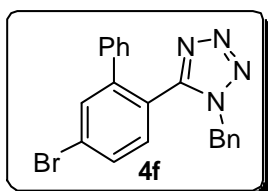
4d: ^1H NMR (400 MHz, CDCl_3) δ 7.34 – 7.27 (m, 5H), 7.21 – 7.09 (m, 6H), 6.76 (dd, $J = 8.0$, 1.6 Hz, 2H), 4.75 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 164.5 (d, $J = 252.8$ Hz), 154.0, 144.4 (d, $J = 8.5$ Hz), 137.9 (d, $J = 1.6$ Hz), 133.5 (d, $J = 9.1$

Hz), 133.1, 129.3, 128.9, 128.8 (2C), 128.6, 127.9, 118.9 (d, $J = 3.3$ Hz), 117.4 (d, $J = 22.5$ Hz), 115.2 (d, $J = 21.9$ Hz), 51.0. HRMS (EI) calcd. for $\text{C}_{20}\text{H}_{15}\text{FN}_4$ ([M]): 330.3581, found: 330.1280.



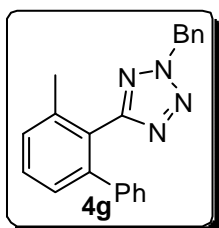
4e: ^1H NMR (400 MHz, CDCl_3) δ 7.56 (d, $J = 2.0$, Hz, 1H), 7.37 (dd, $J = 8.0$, 4.0 Hz, 1H), 7.33 – 7.26 (m, 3H), 7.24 (d, $J = 1.2$ Hz, 1H), 7.20 – 7.10 (m, 5H), 6.76 – 6.73 (m, 2H), 4.74 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 153.9, 143.4, 137.9,

137.7, 133.1, 132.7, 130.5, 129.3, 128.9, 128.8, 128.6, 128.1, 127.9, 121.4, 51.0. HRMS (EI) calcd. for $\text{C}_{20}\text{H}_{15}\text{ClN}_4$ ([M]): 346.0985, found: 346.0956.



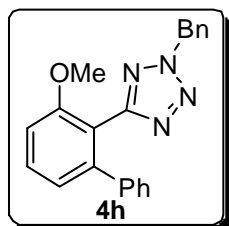
4f: ^1H NMR (400 MHz, CDCl_3) δ 7.74 (d, $J = 4.0$, Hz, 1H), 7.55 (dd, $J = 8.0$, 4.0 Hz, 1H), 7.32 – 7.28 (m, 3H), 7.19 – 7.11 (m, 6H), 6.77 – 6.75 (m, 2H), 4.76 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 153.9, 143.9, 137.5, 133.3, 133.0, 132.6, 131.0, 129.2,

128.8, 128.7, 128.5, 127.8, 50.9. HRMS (EI) calcd. for $\text{C}_{20}\text{H}_{15}\text{BrN}_4$ ([M]): 390.0480, found: 390.0443.



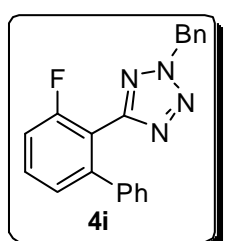
4g: ^1H NMR (400 MHz, CDCl_3) δ 7.43 (t, $J = 8.0$ Hz, 1H), 7.33 – 7.27 (m, 5H), 7.16 – 7.11 (m, 2H), 7.10 – 7.03 (m, 6H), 5.68 (s, 2H), 2.20 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 164.6, 143.6, 141.0, 138.7, 133.7, 129.9, 129.2, 129.0, 128.7, 127.9, 127.9,

127.6, 126.8, 126.6, 56.6, 20.5. HRMS (EI) calcd. for C₂₁H₁₈N₄([M]): 326.1531, found: 326.1523.



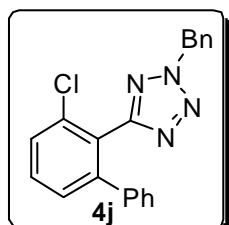
4h: ¹H NMR (400 MHz, CDCl₃) δ 7.50 (dd, *J* = 8.4, 8.0 Hz, 1H), 7.34 – 7.27 (m, 3H), 7.14 – 7.09 (m, 1H), 7.09 – 7.04 (m, 7H), 7.00 (dd, *J* = 8.0, 4.0 Hz, 1H), 5.70 (s, 2H), 3.80 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 162.3, 158.7, 144.9, 140.2, 133.8, 131.2, 129.2, 129.2, 128.9, 128.6, 127.9, 127.8, 127.0, 122.4, 116.2, 110.0, 56.5, 56.2.

HRMS (EI) calcd. for C₂₁H₁₈N₄O([M]): 342.1481, found: 342.1477.



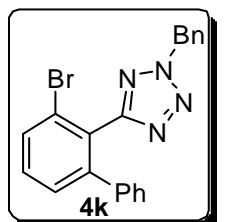
4i: ¹H NMR (400 MHz, CDCl₃) δ 7.55 – 7.49 (m, 1H), 7.34 – 7.29 (m, 3H), 7.27 – 7.25 (m, 1H), 7.22 – 7.18 (m, 2H), 7.16 – 7.12 (m, 4H), 7.08 – 7.05 (m, 2H), 5.71 (s, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 161.3 (d, *J* = 251.0 Hz), 160.3, 145.3 (d, *J* = 1.9 Hz), 139.3 (d, *J* = 2.5 Hz), 133.5, 131.6 (d, *J* = 9.1 Hz), 129.2, 129.0,

128.8, 128.2, 128.0, 127.5, 125.9 (d, *J* = 3.3 Hz), 115.4 (d, *J* = 15.3 Hz), 114.7 (d, *J* = 21.8 Hz), 56.7. HRMS (EI) calcd. for C₂₀H₁₅FN₄ ([M]): 330.1281, found: 330.1280.



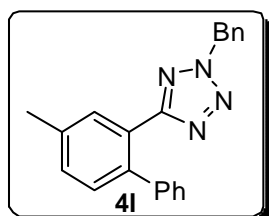
4j: ¹H NMR (400 MHz, CDCl₃) δ 7.53 – 7.46 (m, 2H), 7.36 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.34 – 7.28 (m, 3H), 7.18 – 7.14 (m, 1H), 7.10 – 7.03 (m, 6H), 5.72 (s, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 162.8, 145.5, 139.6, 135.5, 133.6, 131.1, 129.1, 129.0, 128.8,

128.6, 128.5, 128.1, 127.8, 127.4, 126.5, 56.7. HRMS (EI) calcd. for C₂₀H₁₅ClN₄([M]): 346.0985, found: 346.0962.



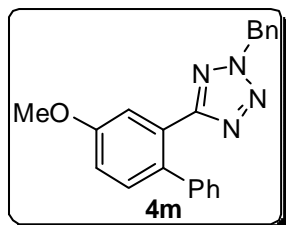
4k: ¹H NMR (400 MHz, CDCl₃) δ 7.69 (dt, *J* = 12.0, 4.0 Hz, 1H), 7.43 – 7.38 (m, 2H), 7.33 – 7.28 (m, 3H), 7.17 – 7.13 (m, 1H), 7.11 – 7.03 (m, 5H), 5.72 (s, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 164.0, 145.7, 139.7, 133.6, 131.8, 131.3, 129.1, 129.1, 128.8, 128.5, 128.1,

127.8, 127.5, 125.1, 56.7. HRMS (EI) calcd. for C₂₀H₁₅BrN₄([M]): 390.0480, found: 390.0485.



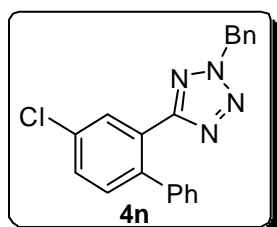
4l: ¹H NMR (400 MHz, CDCl₃) δ 7.67 (d, *J* = 4.0 Hz, 1H), 7.34 – 7.31 (m, 5H), 7.24 – 7.16 (m, 5H), 7.14 – 7.11 (m, 2H),

5.62 (s, 2H), 2.45 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 165.8, 141.0, 139.5, 137.4, 133.5, 131.0, 130.9, 130.8, 129.3, 129.0, 128.8, 128.3, 128.0, 126.9, 126.1, 56.6, 21.1. HRMS (EI) calcd. for $\text{C}_{21}\text{H}_{18}\text{N}_4$ ([M]): 326.1531, found: 326.1526.



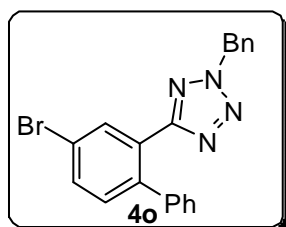
4m: ^1H NMR (400 MHz, CDCl_3) δ 7.40 (d, $J = 2.8$ Hz, 1H), 7.37 – 7.29 (m, 4H), 7.24 – 7.16 (m, 5H), 7.12 – 7.16 (m, 3H), 5.62 (s, 2H), 3.89 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 159.0, 140.7, 134.9, 133.4, 132.1, 129.4, 129.0, 128.8, 128.3,

128.0, 127.2, 126.7, 116.5, 115.0, 56.6, 55.7. HRMS (EI) calcd. for $\text{C}_{21}\text{H}_{18}\text{N}_4\text{O}$ ([M]): 342.1481, found: 324.1499.



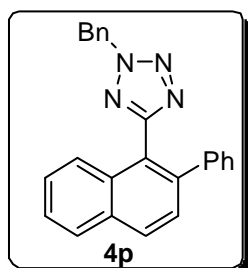
4n: ^1H NMR (400 MHz, CDCl_3) δ 7.88 (d, $J = 4.0$ Hz, 1H), 7.49 (dd, $J = 8.0, 2.0$ Hz, 1H), 7.38 – 7.31 (m, 4H), 7.27 – 7.25 (m, 1H), 7.24 – 7.16 (m, 4H), 7.12 – 7.09 (m, 2H), 5.62 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 164.6, 140.7, 139.9,

133.6, 133.3, 132.2, 130.3, 130.1, 129.2, 129.0, 128.9, 128.4, 128.2, 127.9, 127.4, 56.8. HRMS (EI) calcd. for $\text{C}_{20}\text{H}_{15}\text{ClN}_4$ ([M]): 346.0985, found: 346.0987.



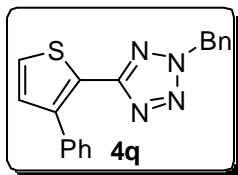
4o: ^1H NMR (400 MHz, CDCl_3) δ 8.02 (d, $J = 4.0$ Hz, 1H), 7.64 (dd, $J = 8.0, 2.4$ Hz, 1H), 7.35 – 7.28 (m, 4H), 7.26 – 7.25 (m, 1H), 7.23 – 7.16 (m, 4H), 7.12 – 7.09 (m, 1H), 5.62 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 164.5, 141.2, 139.9,

133.3, 133.2, 133.1, 132.4, 129.1, 129.0, 128.9, 128.4, 128.2, 128.2, 127.4, 121.5, 56.8. HRMS (EI) calcd. for $\text{C}_{20}\text{H}_{15}\text{BrN}_4$ ([M]): 390.0480, found: 390.0472.

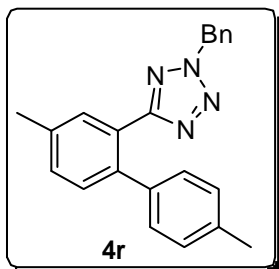


4p: ^1H NMR (400 MHz, CDCl_3) δ 8.04 (d, $J = 8.0$ Hz, 1H), 7.95 – 7.93 (m, 1H), 7.61 – 7.57 (m, 2H), 7.55 – 7.46 (m, 2H), 7.36 – 7.30 (m, 3H), 7.21 – 7.13 (m, 7H), 5.75 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 164.0, 141.7, 141.0, 133.7, 132.9, 132.6, 130.4, 129.6, 129.0, 128.8, 128.2, 128.1, 128.0, 127.9, 127.4, 127.0,

126.3, 125.6, 123.3, 56.7. HRMS (EI) calcd. for $\text{C}_{24}\text{H}_{18}\text{N}_4$ ([M]): 362.1531, found: 362.1543.

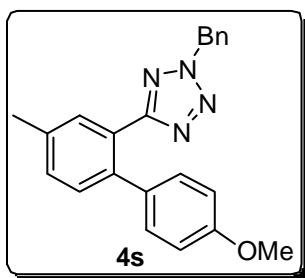


4q: ^1H NMR (400 MHz, CDCl_3) δ 8.09 (d, $J = 4.0$ Hz, 1H), 7.64 – 7.62 (m, 2H), 7.54 (d, $J = 1.6$ Hz, 1H), 7.44 – 7.37 (m, 7H), 7.35 – 7.30 (m, 1H), 5.80 (s, 2H), 3.80 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 161.6, 143.3, 135.2, 133.3, 129.8, 129.2, 129.2, 129.1, 128.6, 127.7, 127.0, 126.5, 122.7, 57.0. HRMS (EI) calcd. for $\text{C}_{18}\text{H}_{14}\text{N}_4\text{S}$ ([M]): 318.0939, found: 318.0927.



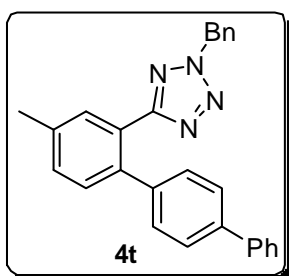
4r: ^1H NMR (400 MHz, CDCl_3) δ 7.46 (d, $J = 0.4$ Hz, 1H), 7.35 – 7.30 (m, 5H), 7.20 – 7.18 (m, 2H), 7.00 (s, 4H), 5.64 (s, 2H), 2.44 (s, 3H), 2.34 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 166.0, 139.4, 138.0, 137.2, 136.3, 133.6, 131.1, 130.9, 130.8, 129.2, 128.9, 128.8, 128.3, 126.1, 56.6, 21.3, 21.1.

HRMS (EI) calcd. for $\text{C}_{22}\text{H}_{20}\text{N}_4$ ([M]): 340.1688, found: 340.1680.



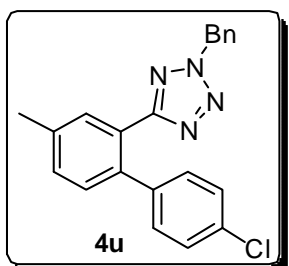
4s: ^1H NMR (400 MHz, CDCl_3) δ 7.63 (s, 1H), 7.34 – 7.31 (m, 5H), 7.20 – 7.18 (m, 2H), 7.03 (d, $J = 8.0$ Hz, 2H), 6.73 (d, $J = 8.0$ Hz, 2H), 5.64 (s, 2H), 3.80 (s, 3H), 2.43 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 166.0, 158.7, 139.2, 137.1, 133.5, 133.4, 131.1, 130.9, 130.8, 130.4, 129.0, 128.8,

128.4, 126.1, 113.5, 56.6, 55.3, 21.1. HRMS (EI) calcd. for $\text{C}_{22}\text{H}_{20}\text{N}_4\text{O}$ ([M]): 356.1637, found: 356.1616.



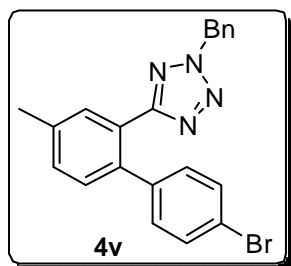
4t: ^1H NMR (400 MHz, CDCl_3) δ 7.72 (m, 1H), 7.62 – 7.60 (m, 2H), 7.49 – 7.43 (m, 4H), 7.41 – 7.34 (m, 3H), 7.21 – 7.16 (m, 7H), 5.63 (s, 2H), 2.47 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 165.8, 140.9, 139.9, 139.5, 139.0, 137.5, 133.4, 131.1, 131.0, 130.8, 129.7, 128.9, 128.9, 128.8, 128.3, 127.4,

127.1, 126.7, 126.1, 56.6, 21.1. HRMS (EI) calcd. for $\text{C}_{27}\text{H}_{22}\text{N}_4\text{O}$ ([M]): 402.1844, found: 402.1838.



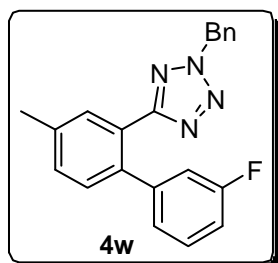
4u: ^1H NMR (400 MHz, CDCl_3) δ 7.68 (d, $J = 4.0$ Hz, 1H), 7.36 – 7.29 (m, 4H), 7.24 (d, $J = 4.0$ Hz, 1H), 7.17 – 7.15 (m, 2H), 7.13 – 7.09 (m, 2H), 7.01 – 6.97 (m, 2H), 5.60 (s, 2H),

2.42 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 165.6, 139.5, 138.1, 137.9, 133.3, 132.9, 131.1, 131.0, 130.7, 130.6, 129.0, 128.5, 128.2, 126.0, 56.8, 21.1. HRMS (EI) calcd. for $\text{C}_{21}\text{H}_{17}\text{ClN}_4$ ($[\text{M}]$): 360.1142, found: 360.1135.



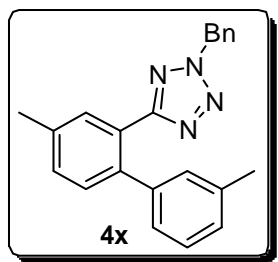
4v: ^1H NMR (400 MHz, CDCl_3) δ 7.66 (s, 1H), 7.35 – 7.31 (m, 3H), 7.29 – 7.22 (m, 4H), 7.16 – 7.13 (m, 2H), 6.93 – 6.90 (m, 2H), 5.58 (s, 2H), 2.40 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 165.5, 139.9, 138.1, 137.9, 133.3, 131.1, 131.1, 131.0, 131.0, 130.6, 129.0, 129.0, 128.4, 125.9, 121.1, 56.7,

21.1. HRMS (EI) calcd. for $\text{C}_{21}\text{H}_{17}\text{BrN}_4$ ($[\text{M}]$): 404.0637, found: 404.0630.



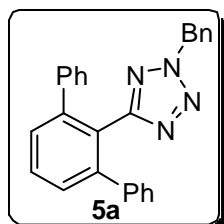
4w: ^1H NMR (400 MHz, CDCl_3) δ 7.70 (m, 1H), 7.35 – 7.26 (m, 5H), 7.20 – 7.18 (m, 2H), 7.18 – 7.11 (m, 1H), 6.95 – 6.90 (m, 1H), 6.88 – 6.83 (m, 2H), 5.64 (s, 2H), 2.45 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 165.5, 162.5 (d, $J = 245.5$ Hz), 143.2 (d, $J = 8.0$ Hz), 138.1 (d, $J = 2.0$ Hz), 138.0, 133.4,

131.0 (2C), 130.6, 129.5 (d, $J = 8.4$ Hz), 129.0, 128.9, 128.3, 126.0, 125.1 (d, $J = 2.9$ Hz), 116.4 (d, $J = 21.7$ Hz), 113.8 (d, $J = 21.0$ Hz), 56.7, 21.1. HRMS (EI) calcd. for $\text{C}_{21}\text{H}_{17}\text{FN}_4$ ($[\text{M}]$): 344.1437, found: 344.1437.



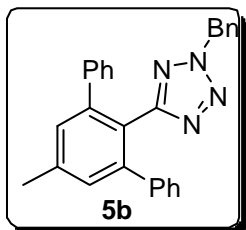
4x: ^1H NMR (400 MHz, CDCl_3) δ 7.65 (s, 1H), 7.34 – 7.29 (m, 5H), 7.19 – 7.16 (m, 2H), 7.10 – 7.03 (m, 2H), 6.95 (s, 1H), 6.90 (d, $J = 8.0$ Hz, 2H), 5.64 (s, 2H), 2.44 (s, 3H), 2.22 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 165.9, 140.8, 139.6, 137.6, 137.3, 133.5, 131.0, 130.8, 130.8, 130.0, 129.0, 128.8,

128.3, 127.9, 127.6, 126.4, 126.1, 56.6, 21.5, 21.1. HRMS (EI) calcd. for $\text{C}_{22}\text{H}_{20}\text{N}_4$ ($[\text{M}]$): 340.1688, found: 340.1679.

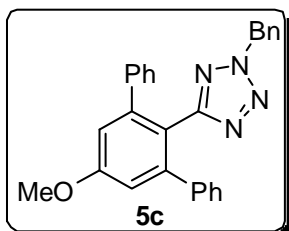


5a: ^1H NMR (400 MHz, CDCl_3) δ 7.59 (dd, $J = 8.0, 7.2$ Hz, 1H), 7.46 – 7.44 (m, 2H), 7.32 – 7.24 (m, 3H), 7.20 – 7.11 (m, 10H), 6.92 (dd, $J = 12.0, 4.0$ Hz, 2H), 5.55 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 164.4, 143.9, 140.6, 133.8, 129.9, 129.4, 129.2, 128.9,

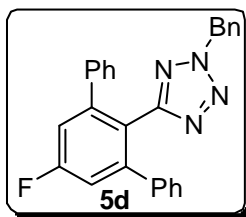
128.6, 128.0, 127.7, 127.0, 125.8, 56.4. HRMS (EI) calcd. for $\text{C}_{26}\text{H}_{20}\text{N}_4$ ($[\text{M}]$): 388.1688, found: 388.1682.



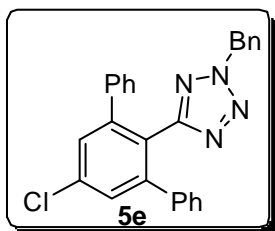
5b: ^1H NMR (400 MHz, CDCl_3) δ 7.32 – 7.23 (m, 5H), 7.19 – 7.11 (m, 10H), 6.90 (dd, $J = 8.0, 4.0$ Hz, 2H), 5.55 (s, 2H), 2.49 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 164.4, 143.7, 140.8, 139.9, 133.8, 133.8, 130.0, 129.4, 128.9, 128.5, 127.9, 127.6, 126.9, 123.0, 56.3, 21.5. HRMS (EI) calcd. for $\text{C}_{27}\text{H}_{22}\text{N}_4$ ($[\text{M}]$): 402.1844, found: 402.1852.



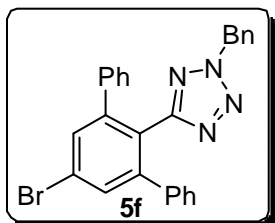
5c: ^1H NMR (400 MHz, CDCl_3) δ 7.30 – 7.27 (m, 2H), 7.25 – 7.23 (m, 1H), 7.20 – 7.13 (m, 10H), 6.99 (s, 2H), 6.91 – 6.89 (m, 2H), 5.54 (s, 2H), 3.90 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 162.0, 154.7, 143.4, 139.1, 133.4, 132.9, 129.1, 128.8, 128.7, 128.6, 128.3, 127.9, 115.9, 114.8, 113.5, 55.7, 50.8. HRMS (EI) calcd. for $\text{C}_{27}\text{H}_{22}\text{N}_4\text{O}$ ($[\text{M}]$): 418.1794, found: 418.1791.



5d: ^1H NMR (400 MHz, CDCl_3) δ 7.33 – 7.24 (m, 3H), 7.21 – 7.09 (m, 12H), 6.93 – 6.91 (m, 2H), 5.54 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 163.7, 163.0 (d, $J = 250.6$ Hz), 146.3 (d, $J = 8.8$ Hz), 139.6 (d, $J = 1.8$ Hz), 133.7, 129.2, 128.9, 128.6, 128.1, 127.7, 127.5, 122.1 (d, $J = 3.2$ Hz), 116.1 (d, $J = 21.8$ Hz), 56.5. HRMS (EI) calcd. for $\text{C}_{26}\text{H}_{19}\text{FN}_4$ ($[\text{M}]$): 406.1594, found: 406.1576.

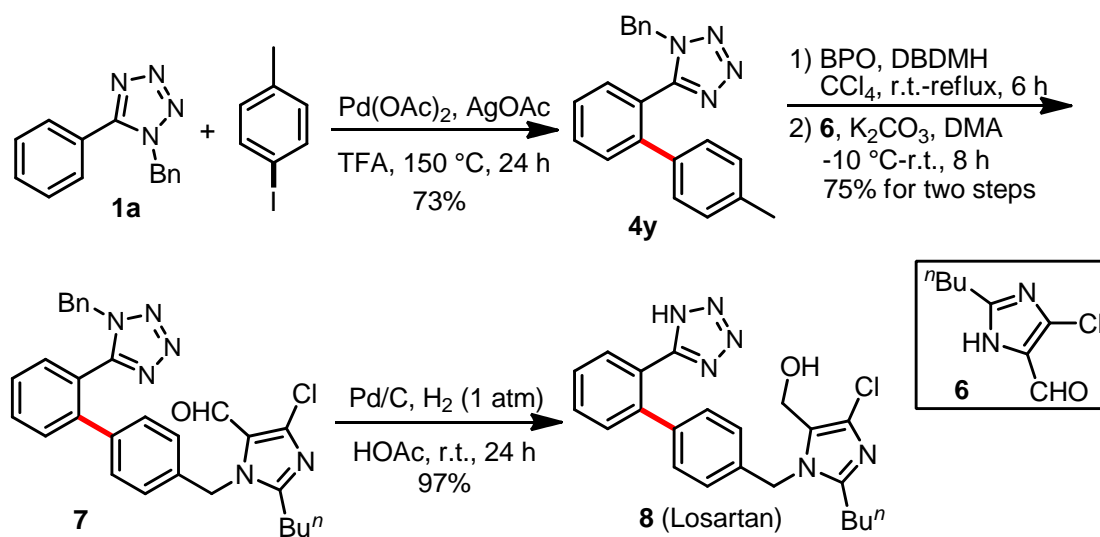


5e: ^1H NMR (400 MHz, CDCl_3) δ 7.46 (s, 2H), 7.33 – 7.24 (m, 3H), 7.21 – 7.09 (m, 10H), 6.91 (dd, $J = 8.0, 1.2$ Hz, 2H), 5.54 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 163.6, 145.5, 139.4, 135.7, 133.6, 129.2, 129.0, 128.9, 128.6, 128.1, 127.7, 127.5, 124.5, 56.4. HRMS (EI) calcd. for $\text{C}_{26}\text{H}_{19}\text{ClN}_4$ ($[\text{M}]$): 422.1298, found: 422.1303.



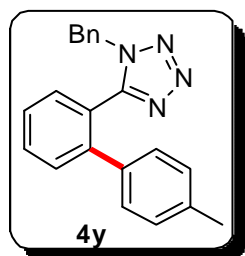
5f: ^1H NMR (400 MHz, CDCl_3) δ 7.61 (s, 2H), 7.31 – 7.23 (m, 3H), 7.21 – 7.09 (m, 10H), 6.91 (d, $J = 8.0$ Hz, 2H), 5.54 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 163.6, 145.6, 139.2, 137.4, 133.6, 131.9, 131.3, 129.2, 128.9, 128.6, 128.1, 127.7, 127.5, 124.9, 124.1, 56.5. HRMS (EI) calcd. for $\text{C}_{26}\text{H}_{19}\text{BrN}_4$ ($[\text{M}]$): 466.0793, found: 466.0787.

Total Synthesis of losartan



1-Benzyl-5-(2-*p*-tolylphenyl)-1*H*-tetrazole (**4y**)

1-Benzyl-5-phenyl-1*H*-tetrazole (**1a**) (803 mg, 3.4 mmol), Pd(OAc)₂ (38.1 mg, 0.17 mmol, 5 mol%), AgOAc (2.27 g, 13.6 mmol, 4 equiv.), and 4-iodotoluene (**3r**) (7.4 g, 34 mmol, 10 equiv.), were dissolved in 3.4 mL TFA in a 100 mL sealed tube. The tube was sealed with a Teflon lined cap and the reaction mixture was stirred at 150 °C for 24 h. After cooling to room temperature, the mixture was filtered through a pad of celite, and the celite was rinsed with copious EtOAc. The filtration was washed with water, and the aqueous phase was extracted with EtOAc for 3 times. The combined organic layer was washed with brine, and dried over anhydrous Na₂SO₄. After filtration, the filtrate was concentrated under reduced pressure and the residue was purified by chromatography on a silica gel (petroleum/ethyl acetate = 10:1) to afford **4y** (810 mg, 73%) as a white solid.

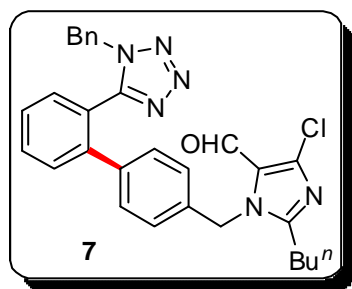


4y: ¹H NMR (400 MHz, CDCl₃) δ 7.64 – 7.60 (m, 1H), 7.56 (d, *J* = 8.0, 1.2 Hz, 1H), 7.40 (td, *J* = 8.0, 1.6 Hz, 1H), 7.34 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.22 – 7.09 (m, 5H), 7.03 (d, *J* = 8.0 Hz, 2H), 6.76 (d, *J* = 4.0 Hz, 2H), 4.77 (s, 2H), 2.34 (s, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 154.9, 141.8, 138.2, 136.1, 133.3, 131.7,

131.4, 130.3, 129.9, 128.8, 128.7, 128.0, 127.7, 122.9, 51.0, 21.3. HRMS (ESI) calcd. for C₂₁H₁₉N₄ ([M+H]⁺): 327.1610, found: 327.1610.

2-Butyl-4-chloro-1-[2'-(1-benzyl-1*H*-tetrazol-5-yl)biphenyl-4-yl]methyl-imidazole-5-carbaldehyde (**7**)

To a stirred solution of **4y** (39.2 mg, 0.12 mmol) and DBDMH (1,3-dibromo-5,5-dimethyl hydantoin) (22.9 mg, 0.08 mmol, 0.75 equiv) in 0.4 mL CCl₄, was added a solution of 1.5 mg (0.006 mmol, 5 mol%) BPO in 0.05 mL CCl₄ at r.t., and the reaction mixture heated to reflux for 7 hours. After cooling to room temperature, the reaction mixture was diluted with CH₂Cl₂, filtered out the undissolved salts and washed with CH₂Cl₂. The filtrate was washed with water and brine, dried over anhydrous Na₂SO₄, filtered and concentrated under reduced pressure. The residue was dissolved in 1 mL DMA, then K₂CO₃ (17.0 mg, 0.123 mmol, 1.03 equiv) and 2-butyl-4-chloro-1*H*-imidazole-5-carbaldehyde (**6**) (22.8 mg, 0.122 mmol, 1.02 equiv) were added successively. The reaction mixture was stirred at -10 °C for 4 hours, and another 4 hours at room temperature. After filtration, the filter cake was washed with EtOAc. The combined organic phase was washed with water and brine, dried over Na₂SO₄, filtered, and concentrated under reduced pressure. The residue was purified by column chromatography with an eluent of petroleum ether/ethyl acetate (3:1) to furnish **7** (45.9 mg, 75% for 2 steps) as a white solid.

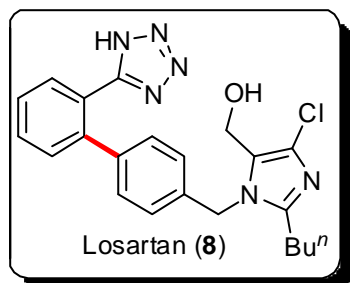


7: ¹H NMR (400 MHz, CDCl₃) δ 9.75 (s, 1H), 7.63 (td, *J* = 8.0, 4.0 Hz, 1H), 7.51 (d, *J* = 8.0 Hz, 1H), 7.43 (td, *J* = 8.0, 1.2 Hz, 1H), 7.32 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.23 – 7.19 (m, 3H), 7.08 (d, *J* = 8.0 Hz, 2H), 6.96 (d, *J* = 8.0 Hz, 2H), 6.77 (d, *J* = 8.0 Hz, 2H), 5.51 (s, 2H), 4.80 (s, 2H), 2.61 (t, *J* = 8.0 Hz, 2H), 1.72 – 1.64 (m, 2H), 1.40 – 1.31 (m, 2H), 0.89 (t, *J* = 8.0 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 178.1, 154.7, 154.5, 143.4, 141.1, 138.8, 135.7, 133.1, 131.8, 131.4, 130.4, 129.4, 128.9, 128.8, 128.2, 128.0, 127.1, 124.4, 122.8, 51.0, 48.0, 29.4, 26.7, 22.5, 13.8. HRMS (ESI) calcd. for C₂₉H₂₈ClN₆O ([M+H]⁺): 511.2013, found: 511.2014.

Losartan (**8**)

In a round bottom flask, 1.5 mL acetic acid was added to a mixture of **7** (51.1mg, 0.1 mmol) and 10% Pd/C (61.3 mg). The mixture was stirred under 1 atm of hydrogen

at r.t. for 24 h. After completion, the suspension was diluted with CH₂Cl₂, filtered through celite, and rinsed with CH₂Cl₂. The filtrate was concentrated under reduced pressure to give losartan **8** (40.8 mg, 97%) as a pale yellow solid.



8: ¹H NMR (400 MHz, CDCl₃) δ 7.68 – 7.64 (m, 2H), 7.58 – 7.54 (m, 1H), 7.51 – 7.49 (m, 1H), 7.18 (s, 1H), 7.10 (d, *J* = 12 Hz, 2H), 7.04 (d, *J* = 8.0 Hz, 2H), 5.34 (s, 2H), 4.38 (s, 2H), 2.66 (t, *J* = 8.0 Hz, 2H), 1.49 – 1.42 (m, 2H), 1.28 – 1.19 (m, 2H), 0.80 (t, *J* = 8.0 Hz, 3H).

HRMS (ESI) calcd. For C₂₂H₂₄ClN₆O ([M+H]⁺):

423.1702, found: 423.1700

Comparison of the diagnostic ¹H NMR signals of the synthetic **8** with those reported in reference 5.

Reference 5	Synthetic 8	
7.68 (t, <i>J</i> = 7.4 Hz, 1H)	7.68 – 7.63 (m, 2H)	
7.66 (d, <i>J</i> = 7.4 Hz, 1H)		
7.58 (t, <i>J</i> = 7.4 Hz, 1H)	7.58 – 7.53 (m, 1H)	
7.55 (d, <i>J</i> = 7.4 Hz, 1H)	7.51 – 7.48 (m, 1H)	
	7.17 (s, 1H)	Move to 7.30 after adding D ₂ O
7.08 (d, <i>J</i> = 8.2 Hz, 2H)	7.09 (d, <i>J</i> = 8.3 Hz, 2H)	
7.02 (d, <i>J</i> = 8.2 Hz, 2H)	7.03 (d, <i>J</i> = 8.3 Hz, 2H)	
5.23, (s, 1H)	5.34 (s, 2H)	Imid-5-CH ₂ OH
4.32 (s, 1H)	4.37 (s, 2H)	ArCH ₂ N
2.45 (t, <i>J</i> = 7.5 Hz, 2H)	2.65 (t, <i>J</i> = 7.6 Hz, 2H)	
1.44 (quint, <i>J</i> = 7.5 Hz, 2H)	1.45 (dt, <i>J</i> = 15.3, 7.6 Hz, 2H)	
1.23 (sext, <i>J</i> = 7.5 Hz, 2H)	1.28 – 1.19 (m, 2H)	
0.80 (t, <i>J</i> = 7.7 Hz, 3H)	0.80 (t, <i>J</i> = 8.0 Hz, 3H)	

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^1H and ^{13}C NMR Spectra

