Supporting Information

for

Parallel solid-phase synthesis of diaryltriazoles

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Experimental details and spectra

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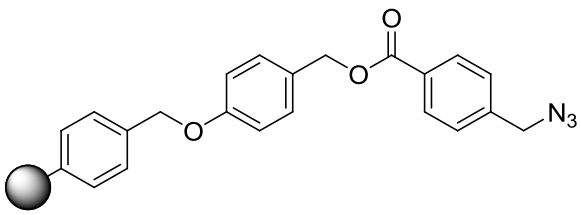
Synthesis of new compounds

General information and instruments

Nuclear magnetic resonance spectroscopy (NMR): Bruker Avance 300 (^{1}H: 300.1 MHz, ^{13}C: 75.5 MHz); Bruker Avance 400 (^{1}H: 400.1 MHz, ^{13}C: 100.6 MHz); Bruker Avance 600 Kryo (^{1}H: 600.3 MHz, ^{13}C: 150.9 MHz). The measurements were performed at 300 Kelvin [K] if not stated otherwise. The chemical shifts are in δ-values (ppm) relative to the internal or external standard TMS. The spectra were analyzed by first order and coupling constants *J* are given in hertz [Hz]. Abbreviations for the characterization of the signals: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, bs = broad singlet, dd = doublet of doublets, dt = doublet of triplets, td = triplet of doublets, tt = triplet of triplets, ddd = doublet of doublets of doublets, dddd = doublet of doublets of doublets of doublets. Integration is determined as the relative number of protons. Error of reported values: chemical shift 0.01 ppm for ^{1}H NMR, 0.1 ppm for ^{13}C NMR; coupling constant: 0.1 Hz. The used solvent for each spectrum is reported. Infrared spectroscopy (IR): Bio-Rad Excalibur FT-IR-Spectrometer “FTS 3000 MX”; Perkin Elmer Precisely FTIR-Spectrometer “Spectrum 100”; abbreviations of the signals: s = strong, m = medium, w = weak; bs, bm, bw are broad signals with the corresponding intensity of the signal. Mass spectrometry (MS): Varian CH-5 (EI); Finnigan MAT 95 (EI); Finnigan MAT TSQ 7000 (ESI); Waters LCT Premier Micromass (ESI). Melting points (mp): Stanford Research System OptiMelt melting point apparatus; Thomas Hoover capillary melting point apparatus; all values are given in °C and are uncorrected. Elementary analysis: Microanalytical Laboratory of the University of Regensburg. Thin layer chromatography: Analytical thin layer chromatography (TLC) was performed on silica gel coated alumina plates (Merck TLC Aluminum sheets Si 60 F_{254}, layer thickness 175–225 µm). Visualization was done by UV-light (λ = 254 and 366 nm). Preparative thin layer chromatography (PTLC): Preparative thin layer chromatography (PTLC) was carried out on home-made glass plates (20 × 20 cm) coated with silica gel (60 M, 0.04–0.063 mm, 230–400 mesh ASTM purchased from Merck). Visualization was done by UV-light (λ = 254 and 366 nm). Column chromatography: Column chromatography was performed on silica gel (60 M, 0.04–0.063 mm/230–400 mesh ASTM purchased from Merck) and/or by using prepared solid phase extraction tubes from Mettler-Toledo Autochem (SPE-C18/18% octadecyl, 1000 mg capacity/tube, particle size: 40 µm, mean pore diameter: 6 nm). High pressure liquid chromatography (HPLC): The preparative HPLC purification was performed on an “Agilent system 1100 series” with a Phenomenex Luna 10 µm C18 (2) 100A 250 × 21.2 mm column. For detection a DAD detector was used. Column temperature: 25 °C; injection volume: 300 µL; flow: 21mL/min; gradient: 0 min 5% MeCN/H_2O [0.0059% TFA w/w]; 8 min 98% MeCN/H_2O [0.0059% TFA w/w]; maximum pressure: 200 bar. Chemicals: All chemicals were purchased from the Sigma-Aldrich

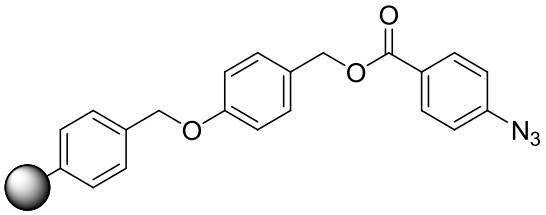
Corporation, except: (A) Riedel de Haën GmbH: 1-(But-3-yn-2-yl)-3-(4-chlorophenyl)-1-methylurea (Buturon), CAS 3766-60-7; (B) Brenntag Schweizerhall AG: 4-Azidobenzoic acid, CAS 6427-66-3. All chemicals were of analytical grade and no further purification was needed. Solvents: Commercially available solvents were used if not stated otherwise. Dry solvents were prepared by common procedures. Solid phase chemistry: All reported reactions on solid phase were carried out using a Wang Resin (Advanced ChemTech, Wang Resin SS, Bead Size: 100–200 Mesh, Polystyrene; 1% DVB, substitution: 0.9 mmol/g, Catalog[#]: SA5009, Lot[#]: 27481). Miniblock system: A Miniblock station from Mettler-Toledo Autochem was used (Bohdan 2080, New Brunswick Scientific, "Compact Shaking and Washing Station", Shaking frequency: 450 rpm).

Synthesis of functionalized Wang resins



4-(Azidomethyl)benzoic acid functionalized Wang resin (7):

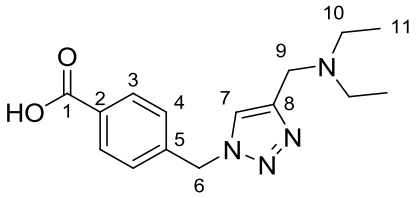
Resin **7** was synthesized according to **GP1**, using Wang resin (2.2 g, 1.98 mmol, 1.0 equiv), DIC (875 mg, 6.93 mmol, 3.5 equiv), DMAP (121 mg, 0.99 mmol, 0.5 equiv) and 4-(azidomethyl)benzoic acid (**6**, 877 mg, 4.95 mmol, 2.5 equiv), yielding the beige colored, quantitatively functionalized Wang resin **7** (2.55 g, 1.98 mmol, quantitative). IR (cm^{-1}) $\tilde{\nu}$: 3025 (w), 2921 (w), 2096 (m), 1716 (m), 1603 (w), 1511 (m), 1452 (m), 1269 (m), 696 (s).



4-Azidobenzoic acid functionalized Wang resin (9):

Compound **9** was synthesized according to **GP1**, using Wang resin (2.5 g, 2.25 mmol, 1.0 equiv), DIC (994 mg, 7.88 mmol, 3.5 equiv), DMAP (137 mg, 1.13 mmol, 0.5 equiv) and 4-azidobenzoic acid (**8**, 918 mg, 5.63 mmol, 2.5 equiv), yielding the orange colored, quantitatively functionalized Wang resin **9** (2.86 g, 2.25 mmol, quantitative). IR (cm^{-1}) $\tilde{\nu}$: 3026 (w), 2920 (w), 2114 (m), 1716 (m), 1602 (m), 1512 (m), 1452 (m), 1268 (m), 697 (s).

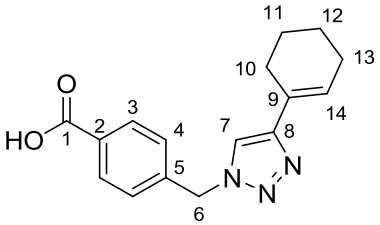
Synthesis of substituted triazoles



4-{[4-((Diethylamino)methyl)-1*H*-1,2,3-triazol-1-yl]methyl}benzoic acid (11a):

The compound was synthesized according to **GP 2** using 4-(azidomethyl)benzoic acid functionalized Wang resin **7** (120 mg, 93 µmol, 1.0 equiv), L-ascorbic acid (8.2 mg, 47 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.3 mg, 9 µmol, 0.1 equiv) and *N,N*-diethylpropargylamine (**10a**, 52 µL, 373 µmol, 4.0 equiv). Cleavage according to **GP 4** yielded compound **11a** (17 mg, 59 µmol, 63%) as a light green oil.

^{1}H NMR (600 MHz, MeOD) δ (ppm) 1.35 (t, $^{3}J_{HH}$ = 7.0 Hz, 6 H, H-11), 3.18 (bs, 4 H, H-10), 4.44 (s, 2 H, H-9), 5.70 (s, 2 H, H-6); 7.38 (d, $^{3}J_{HH}$ = 7.9 Hz, 2 H, H-4), 7.79 (d, $^{3}J_{HH}$ = 7.9 Hz, 2 H, H-3), 8.25 (s, 1 H, H-7); ^{13}C NMR (150 MHz, MeOD) δ (ppm) 9.4 (+, 2 C, C-11), 46.7 (–, 2 C, C-10), 54.7 (–, 2 C, C-6, C-9), 128.1 (C_q, 1 C, C-2), 129.0 (+, 2 C, C-4), 131.3 (+, 2 C, C-3), 140.3 (C_q, 1 C, C-5), 163.2 (C_q, 1 C, C-1); HRMS–EI (m/z): [$M^{+\cdot}$] calcd for $C_{15}H_{20}N_4O_2$, 288.1586; found, 288.1586.

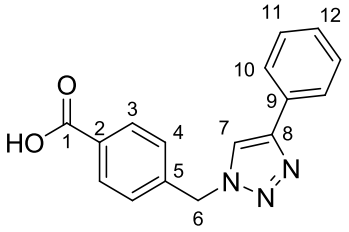


4-[Cyclohex-1-en-1-yl-1*H*-1,2,3-triazol-1-yl]methylbenzoic acid (11d):

According to **GP 2**, the resin-bound molecule **11d** was synthesized, using 4-(azidomethyl)benzoic acid functionalized Wang resin (300 mg, 233 µmol, 1.0 equiv), L-ascorbic acid (21 mg, 116 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (6 mg, 23 µmol, 0.1 equiv) and 1-ethynyl-1-cyclohexanol (**10d**, 116 mg, 932 µmol, 4.0 equiv). The molecule was cleaved according to **GP 4**. The purification was done by preparative HPLC yielding compound **11d** (38 mg, 133 µmol, 57%) as a colorless solid.

Mp: 154 °C (decomposition); ^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 1.54–1.62 (m, 2 H, H-12), 1.63–1.72 (m, 2 H, H-11), 2.09–2.18 (m, 2 H, H-13), 2.26–2.35 (m, 2 H, H-10), 5.64 (s, 2 H, H-6), 6.35–6.44 (m, 1 H, H-14), 7.35 (d, $^{3}J_{HH}$ = 8.2 Hz, 2 H, H-4), 7.92 (d, $^{3}J_{HH}$ = 8.2 Hz, 2 H, H-3), 8.16 (s, 1 H, H-7), 12.97 (bs, 1 H, COOH); ^{13}C NMR (100 MHz, DMSO-d_6) δ (ppm) 21.8 (–, 1 C, C-12), 21.9 (–, 1 C, C-11), 24.6 (–, 1 C, C-13), 25.7 (–, 1 C, C-10), 52.2 (–, 1 C,

C-6), 120.2 (+, 1 C, C-7), 123.5 (+, 1 C, C-14), 127.3 (C_q, 1 C, C-9), 127.6 (+, 2 C, C-4), 129.6 (+, 2 C, C-3), 130.4 (C_q, 1 C, C-2), 140.8 (C_q, 1 C, C-5), 148.3 (C_q, 1 C, C-8), 166.8 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 3128 (w), 2939 (w), 2875 (w), 2659 (w), 2535 (w), 1670 (s), 1424 (m), 1274 (m), 1186 (m), 1021 (m), 846 (m), 744 (s); ESIMS: m/z (%): 567.2 (30) [$2MH^+$], 325.1 (80) [MH^+ + MeCN], 284.0 (100) [MH^+].

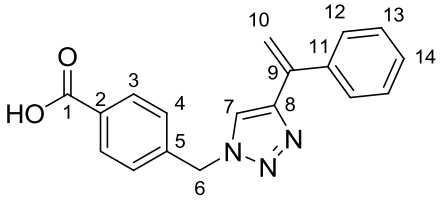


4-[(4-Phenyl-1*H*-1,2,3-triazol-1-yl)methyl]benzoic acid (11b):

Literature known compound; improved procedure [1].

According to **GP 2**, the resin-bound molecule **11b** was synthesized, using 4-(azidomethyl)benzoic acid functionalized Wang resin (180 mg, 140 µmol, 1.0 equiv), L-ascorbic acid (12 mg, 70 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (3.5 mg, 14 µmol, 0.1 equiv) and phenylacetylene (**10b**, 61 µL, 559 µmol, 4.0 equiv). The molecule was cleaved according to **GP 4** and after evaporation of the solvent, compound **11b** (35 mg, 126 µmol, 90%) was obtained as a colorless solid.

Mp: 222 °C (decomposition); ^{1}H NMR (400 MHz, acetone-d_6, HSQC, HMBC): δ (ppm) 5.79 (s, 2 H, H-6), 7.31 (tt, $^3J_{HH}$ = 7.4 Hz, $^4J_{HH}$ = 1.3 Hz, 1 H, H-12), 7.41 (t, $^3J_{HH}$ = 7.6 Hz, 2 H, H-11), 7.50 (d, $^3J_{HH}$ = 8.3 Hz, 2 H, H-4), 7.88 (dt, $^3J_{HH}$ = 8.2 Hz, $^4J_{HH}$ = 1.6 Hz, 2 H, H-10), 8.05 (d, $^3J_{HH}$ = 8.3 Hz, 2 H, H-3), 8.41 (s, 1 H, H-7); ^{13}C NMR (100 MHz, acetone-d_6, HSQC, HMBC): δ (ppm) 53.9 (–, 1 C, C-6), 121.7 (+, 1 C, C-7), 126.2 (+, 2 C, C-10), 128.7 (+, 1 C, C-12), 128.8 (+, 2 C, C-4), 129.6 (+, 2 C, C-11), 131.0 (+, 2 C, C-3), 132.1 (C_q, 1 C, C-9), 141.9 (C_q, 1 C, C-5), 148.3 (C_q, 1 C, C-8), 166.9 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 3067 (w), 2920 (w), 2850 (w), 2670 (w), 2554 (w), 1681 (s), 1291 (s), 732 (s); ESIMS: m/z (%): 559.2 (25) [$2MH^+$], 321.1 (100) [MH^+ + MeCN], 280.1 (65) [MH^+].

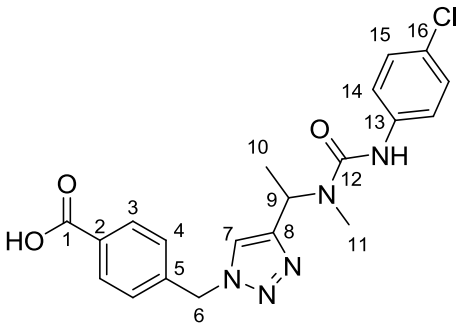


4-[1-Phenylvinyl-1*H*-1,2,3-triazol-1-yl]methylbenzoic acid (11e):

According to **GP 2**, the resin-bound molecule **11e** was synthesized, using 4-(azidomethyl)benzoic acid functionalized Wang resin (300 mg, 233 µmol, 1.0 equiv), L-ascorbic acid (21 mg, 116 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (6 mg, 23 µmol,

0.1 equiv) and 2-phenyl-3-butin-2-ol (**10e**,136 mg, 932 µmol, 4.0 equiv). The molecule was cleaved according to **GP 4**. The purification was done by preparative HPLC, yielding compound **11e** (51 mg, 165 µmol, 71%) as a colorless solid.

Mp: 155 °C (decomposition); ^{1}H NMR (600 MHz, MeOD, COSY, HSQC, HMBC): δ (ppm) 5.46 (d, $^{2}J_{HH}$ = 1.1 Hz, 1 H, H-10), 5.67 (s, 2 H, H-6), 5.88 (d, $^{2}J_{HH}$ = 1.0 Hz, 1 H, H-10), 7.28–7.44 (m, 7 H, H-4, H-12, H-13, H-14), 7.91 (s, 1 H, H-7), 8.02 (d, $^{3}J_{HH}$ = 8.4 Hz, 2 H, H-3); ^{13}C NMR (150 MHz, MeOD, COSY, HSQC, HMBC): δ (ppm) 54.4 (–, 1 C, C-6), 115.6 (–, 1 C, C-10), 124.5 (+, 1 C, C-7), 128.7 (+, 2 C, C-12), 128.9 (+, 2 C, C-4), 129.3 (+, 1 C, C-14), 129.6 (+, 2 C, C-13), 131.4 (+, 2 C, C-3), 132.1 (C_q, 1 C, C-2), 140.5 (C_q, 1 C, C-11), 141.1 (C_q, 1 C, C-9), 141.8 (C_q, 1 C, C-5), 149.3 (C_q, 1 C, C-8), 169.2 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 3131 (w), 2915 (w), 2848 (w), 2673 (w), 2565 (w), 1686 (s), 1430 (m), 1294 (s), 1049 (m), 730 (s), 698 (s); HRMS–EI (M/Z): $[M]^{+}$ calcd for $C_{18}H_{15}N_3O_2$, 305.1164; found, 305.1165.

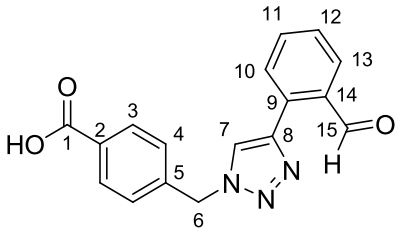


4-{[4-(1-(3-(4-Chlorophenyl)-1-methylureido)ethyl)-1*H*-1,2,3-triazol-1-yl]methyl}benzoic acid (11c):

According to **GP 2**, the resin-bound molecule **11c** was synthesized, using 4-(azidomethyl)benzoic acid functionalized Wang resin (150 mg, 116 µmol, 1.0 equiv), L-ascorbic acid (10 mg, 58 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (3 mg, 12 µmol, 0.1 equiv) and 1-(but-3-yn-2-yl)-3-(4-chlorophenyl)-1-methylurea (**10c**, 110 mg, 466 µmol, 4.0 equiv). The molecule was cleaved according to **GP 4**. The purification was done by preparative HPLC, yielding compound **11c** (39 mg, 94 µmol, 81%) as a colorless solid.

Mp: 183–189 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 1.47 (d, $^{3}J_{HH}$ = 7.0 Hz, 3 H, H-10), 2.72 (s, 3 H, H-11), 5.66 (q, $^{3}J_{HH}$ = 7.0 Hz, 1 H, H-9), 5.67 (s, 2 H, H-6), 7.28 (d, $^{3}J_{HH}$ = 8.9 Hz, 2 H, H-15), 7.39 (d, $^{3}J_{HH}$ = 8.0 Hz, 2 H, H-4), 7.54 (d, $^{3}J_{HH}$ = 8.9 Hz, 2 H, H-14), 7.94 (d, $^{3}J_{HH}$ = 8.0 Hz, 2 H, H-3), 8.14 (s, 1 H, NH), 8.46 (s, 1 H, H-7), 12.99 (bs, 1 H, COOH); ^{13}C NMR (100 MHz, DMSO-d_6) δ (ppm) 16.8 (+, 1 C, C-10), 28.7 (+, 1 C, C-11), 45.7 (+, 1 C, C-9), 52.3 (–, 1 C, C-6), 121.2 (+, 2 C, C-14), 123.1 (+, 1 C, C-7), 125.2 (C_q, 1 C, C-16), 127.8 (+, 2 C, C-4), 127.9 (+, 2 C, C-15), 128.0 (+, 2 C, C-3), 139.5 (C_q, 1 C, C-13),

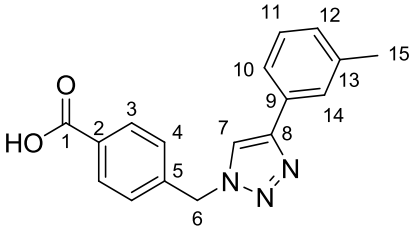
140.8 (C_q, 1 C, C-5), 147.7 (C_q, 1 C, C-8), 155.1 (C_q, 1 C, C-12), 166.8 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 3112 (w), 2983 (w), 2922 (w), 2255 (w), 1647 (m), 1493 (m), 1402 (m), 1242 (m), 1023 (s), 824 (m), 647 (m); ESIMS: m/z (%): 827.4 (20) [$2MH^+$], 414.0 (100) [MH^+].



4-{[4-(2-Formylphenyl)-1*H*-1,2,3-triazol-1-yl]methyl}benzoic acid (11f):

According to **GP 2**, the resin-bound molecule **11f** was synthesized, using 4-(azidomethyl)benzoic acid functionalized Wang resin (100 mg, 78 µmol, 1.0 equiv), L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 2-ethynylbenzaldehyde (**10f**, 40 mg, 311 µmol, 4.0 equiv). Cleavage was done according to **GP 4**, followed by purification using a SPE tube. Subsequently, the solvent was evaporated, yielding compound **11f** (23 mg, 74 µmol, 95%) as a light yellow solid.

Mp: 144 °C (decomposition); ^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 5.80 (s, 2 H, H-6), 7.47 (d, $^3J_{HH}$ = 8.2 Hz, 2 H, H-4), 7.56 (td, $^3J_{HH}$ = 7.2 Hz, $^4J_{HH}$ = 1.0 Hz, 1 H, H-12), 7.74 (td, $^3J_{HH}$ = 7.7 Hz, $^4J_{HH}$ = 1.0 Hz, 1 H, H-11), 7.78 (dd, $^3J_{HH}$ = 7.7 Hz, $^4J_{HH}$ = 1.3 Hz, 1 H, H-13), 7.89 (dd, $^3J_{HH}$ = 7.7 Hz, $^4J_{HH}$ = 1.0 Hz, 2 H, H-10), 7.96 (d, $^3J_{HH}$ = 8.2 Hz, 2 H, H-3), 8.78 (s, 1 H, H-7), 10.34 (s, 1 H, H-15), 13.03 (bs, 1 H, COOH); ^{13}C NMR (100 MHz, DMSO-d_6) δ (ppm) 52.5 (–, 1 C, C-6), 124.9 (+, 1 C, C-7), 127.4 (+, 1 C, C-10), 127.8 (+, 2 C, C-4), 128.3 (+, 1 C, C-13), 128.5 (+, 1 C, C-12), 129.6 (+, 2 H, C-3), 132.7 (C_q, 1 C, C-2), 133.2 (C_q, 1 C, C-9), 133.7 (+, 1 C, C-11), 138.1 (C_q, 1 C, C-14), 140.3 (C_q, 1 C, C-5), 144.0 (C_q, 1 C, C-8), 166.7 (C_q, 1 C, C-1), 192.3 (+, 1 C, C-15); IR (cm^{-1}) $\tilde{\nu}$: 3141 (w), 3014 (w), 2884 (w), 2809 (w), 1675 (s), 1602 (m), 1400 (m), 1198 (m), 759 (s), 739 (s); HRMS (ESI+): [MH^+] calcd for $C_{17}H_{14}N_3O_3$, 308.1030; found, 308.1027.

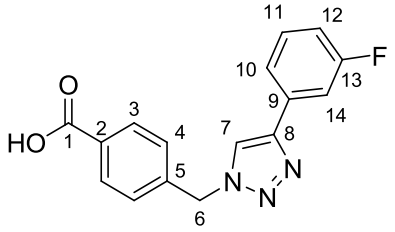


4-[(4-m-Tolyl-1*H*-1,2,3-triazol-1-yl)methyl]benzoic acid (11g):

According to **GP 2**, the resin-bound molecule **11g** was synthesized, using 4-(azidomethyl)benzoic acid functionalized Wang resin (100 mg, 78 µmol, 1.0 equiv), L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 3-ethynyltoluene (**10g**, 40 µL, 311 µmol, 4.0 equiv). Cleavage was done

according to **GP 4**, followed by purification using a SPE tube. Subsequently, the solvent was evaporated, yielding compound **11g** (23 mg, 77 µmol, 99%) as a colorless solid.

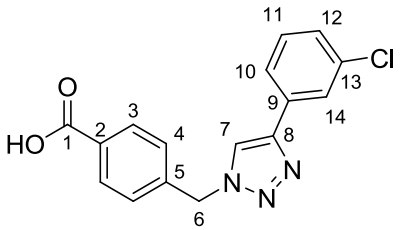
Mp: 138 °C (decomposition); ^{1}H NMR (400 MHz, $CDCl_3$, HSQC, HMBC) δ (ppm) 2.37 (s, 3 H, H-15), 5.65 (s, 2 H, H-6), 7.14 (d, $^{3}J_{HH}$ = 7.6 Hz, 1 H, H-12), 7.29 (t, $^{3}J_{HH}$ = 7.7 Hz, 1 H, H-11), 7.36 (d, $^{3}J_{HH}$ = 8.3 Hz, 2 H, H-4), 7.57 (d, $^{3}J_{HH}$ = 7.7 Hz, 1 H, H-10), 7.65 (s, 1 H, H-14), 7.70 (s, 1 H, H-7), 8.09 (d, $^{3}J_{HH}$ = 8.3 Hz, 2 H, H-3); ^{13}C NMR (100 MHz, $CDCl_3$, HSQC, HMBC) δ (ppm) 21.4 (+, 1 C, C-15), 53.7 (–, 1 C, C-6), 119.6 (+, 1 C, C-7), 122.8 (+, 1 C, C-10), 126.4 (+, 1 C, C-14), 127.8 (+, 2 C, C-4), 128.7 (+, 1 C, C-11), 129.1 (+, 1 C, C-12), 130.0 (C_q, 1 C, C-2), 130.9 (+, 2 C, C-3), 138.5 (C_q, 1 C, C-13), 140.1 (C_q, 1 C, C-5), 169.5 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 3134 (w), 2949 (w), 2884 (w), 2675 (w), 1687 (m), 1282 (m), 779 (s), 725 (s), 690 (s); HRMS (ESI+): [MH^+] calcd for $C_{17}H_{16}N_3O_2$, 294.1237; found, 294.1245.



4-{[4-(3-Fluorophenyl)-1*H*-1,2,3-triazol-1-yl]methyl}benzoic acid (11h):
According to **GP 2**, the resin-bound molecule **11h** was synthesized, using 4-(azidomethyl)benzoic acid functionalized Wang resin (100 mg, 78 µmol, 1.0 equiv), L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 1-ethynyl-3-fluorobenzene (**10h**, 36 µL, 311 µmol, 4.0 equiv). Cleavage was done according to **GP 4**, followed by purification using a SPE tube. Subsequently, the solvent was evaporated, yielding compound **11h** (22 mg, 75 µmol, 97%) as a colorless solid.

Mp: 174 °C (decomposition); ^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 5.76 (s, 2 H, H-6), 7.16 (dddd, $^{3}J_{HF}$ = 8.9 Hz, $^{3}J_{HH}$ = 8.0 Hz, $^{4}J_{HH}$ = 2.6 Hz, $^{4}J_{HH}$ = 0.8 Hz, 1 H, H-12), 7.43 (d, $^{3}J_{HH}$ = 8.4 Hz, 2 H, H-4), 7.49 (ddd, $^{3}J_{HH}$ = 8.0 Hz, $^{3}J_{HH}$ = 7.9 Hz, $^{4}J_{HF}$ = 6.2 Hz, 1 H, H-11), 7.66 (ddd, $^{3}J_{HF}$ = 10.4 Hz, $^{4}J_{HH}$ = 2.5 Hz, $^{4}J_{HH}$ = 1.5 Hz, 1 H, H-14), 7.71 (ddd, $^{3}J_{HH}$ = 7.8 Hz, $^{4}J_{HH}$ = 1.1 Hz, $^{4}J_{HH}$ = 0.9 Hz, 1 H, H-10), 7.96 (d, $^{3}J_{HH}$ = 8.3 Hz, 2 H, H-3), 8.74 (s, 1 H, H-7), 12.92 (bs, 1 H, COOH); ^{13}C NMR (100 MHz, DMSO-d_6) δ (ppm) 52.8 (–, 1 C, C-6), 111.9 (+, d, $^{2}J_{CF}$ = 23.0 Hz, 1 C, C-12), 114.8 (+, d, $^{2}J_{CF}$ = 21.0 Hz, 1 C, C-14), 121.3 (+, d, $^{4}J_{CF}$ = 2.6 Hz, 1 C, C-10), 122.7 (+, 1 C, C-7), 128.1 (+, 2 C, C-4), 129.9 (+, 2 H, C-3), 130.7 (C_q, 1 C, C-2), 131.2 (+, d, $^{3}J_{CF}$ = 8.4 Hz, 1 C, C-11), 133.1 (C_q, d, $^{3}J_{CF}$ = 8.4 Hz, 1 C, C-9), 140.7 (C_q, 1 C, C-5), 145.8 (C_q, d, $^{4}J_{CF}$ = 2.9 Hz, 1 C, C-8), 162.7 (C_q, d, $^{1}J_{CF}$ = 242.9 Hz, 1 C, C-13), 167.0 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 3134 (w), 2956 (w), 2922 (w), 2849 (w), 2680 (w),

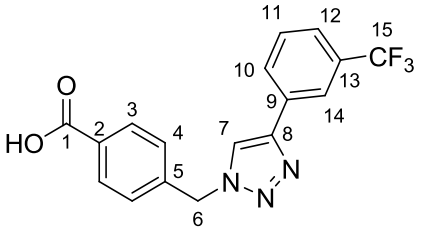
1682 (s), 1428 (m), 1295 (s), 862 (s), 784 (s), 730 (s); HRMS (ESI+): [MH^+] calcd for $C_{16}H_{13}FN_3O_2$, 298.0986; found, 298.0990.



4-{[4-(3-Chlorophenyl)-1*H*-1,2,3-triazol-1-yl]methyl}benzoic acid (11i):

According to **GP 2**, the resin-bound molecule **11i** was synthesized, using 4-(azidomethyl)benzoic acid functionalized Wang resin (100 mg, 78 µmol, 1.0 equiv), L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 3-chloro-1-ethynylbenzene (**10i**, 38 µL, 311 µmol, 4.0 equiv). Cleavage was done according to **GP 4**, followed by purification using a SPE tube. Subsequently, the solvent was evaporated, yielding compound **11i** (24 mg, 76 µmol, 98%) as a light-beige solid.

Mp: 168 °C (decomposition); ^{1}H NMR (400 MHz, DMSO-d_6, HSQC, HMBC) δ (ppm) 5.76 (s, 2 H, H-6), 7.39 (ddd, $^3J_{HH}$ = 8.0 Hz, $^4J_{HH}$ = 2.1 Hz, $^4J_{HH}$ = 1.0 Hz, 1 H, H-12), 7.44 (d, $^3J_{HH}$ = 8.3 Hz, 2 H, H-4), 7.47 (t, $^3J_{HH}$ = 7.9 Hz, 1 H, H-11), 7.84 (ddd, $^3J_{HH}$ = 7.8 Hz, $^4J_{HH}$ = 1.3 Hz, $^4J_{HH}$ = 1.2 Hz, 1 H, H-10), 7.91 (t, $^4J_{HH}$ = 1.8 Hz, 1 H, H-14), 7.96 (d, $^3J_{HH}$ = 8.3 Hz, 2 H, H-3), 8.77 (s, 1 H, H-7), 13.04 (bs, 1 H, COOH); ^{13}C NMR (100 MHz, DMSO-d_6, HSQC, HMBC) δ (ppm) 52.6 (–, 1 C, C-6), 122.5 (+, 1 C, C-7), 123.6 (+, 1 C, C-10), 124.7 (+, 1 C, C-14), 127.6 (+, 1 C, C-12), 127.9 (+, 2 C, C-4), 129.7 (+, 2 C, C-3), 130.5 (C_q, 1 C, C-2), 130.8 (+, 1 C, C-11), 132.6 (C_q, 1 C, C-9), 133.6 (C_q, 1 C, C-13), 140.4 (C_q, 1 C, C-5), 145.3 (C_q, 1 C, C-8), 166.8 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 3134 (w), 3081 (w), 2953 (w), 1687 (m), 1281 (m), 871 (m), 779 (s), 725 (s), 690 (s); HRMS (ESI+): [MH^+] calcd for $C_{16}H_{13}ClN_3O_2$, 314.0691; found, 314.0672; MF: $C_{16}H_{12}ClN_3O_2$; MW: 313.74

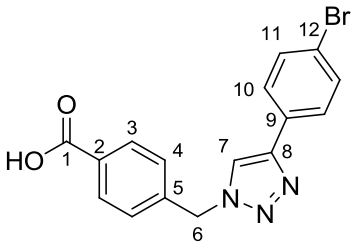


4-{[4-(3-(Trifluoromethyl)phenyl)-1*H*-1,2,3-triazol-1-yl]methyl}benzoic acid (11j):

According to **GP 2**, the resin-bound molecule **11j** was synthesized, using 4-(azidomethyl)benzoic acid functionalized Wang resin (100 mg, 78 µmol, 1.0 equiv), L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 3-ethynyl-α,α,α-trifluorotoluene (**10j**, 45 µL, 311 µmol, 4.0 equiv). Cleavage

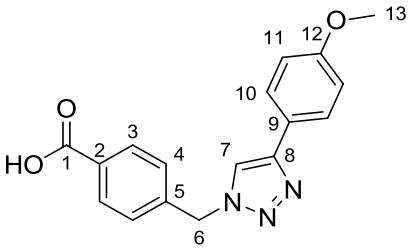
was done according to **GP 4**, followed by purification using a SPE tube. Subsequently, the solvent was evaporated, yielding compound **11j** (26 mg, 76 µmol, 98%) as a colorless solid.

Mp: 124 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 5.78 (s, 2 H, H-6), 7.45 (d, $^{3}J_{HH}$ = 8.4 Hz, 2 H, H-4), 7.65–7.73 (m, 2 H, H-11, H-12), 7.96 (d, $^{3}J_{HH}$ = 8.3 Hz, 2 H, H-3), 8.14–8.22 (m, 2 H, H-10, H-14), 8.87 (s, 1 H, H-7), 12.96 (bs, 1 H, COOH); ^{13}C NMR (100 MHz, DMSO-d_6) δ (ppm) 52.7 (–, 1 C, C-6), 121.5 (+, d, $^{3}J_{CF}$ = 3.7 Hz, 1 C, C-12), 122.7 (+, 1 C, C-7), 124.3 (+, d, $^{3}J_{CF}$ = 3.5 Hz, 1 C, C-14), 125.4 (C_q, 1 C, C-15), 127.9 (+, 2 C, C-4), 128.9 (+, 1 C, C-11), 129.7 (C_q, d, $^{2}J_{CF}$ = 31.7 Hz, 1 C, C-13), 129.8 (+, 2 C, C-3), 130.1 (+, 1 C, C-10), 130.5 (C_q, 1 C, C-2), 131.6 (C_q, 1 C, C-9), 140.4 (C_q, 1 C, C-5), 145.3 (C_q, 1 C, C-8), 166.8 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 3080 (w), 2850 (w), 2556 (w), 1682 (s), 1429 (m), 1294 (s), 1175 (m), 1126 (s), 1069 (m), 807 (m), 729 (s), 697 (s); HRMS (ESI+): [MH^{+}] calcd for $C_{17}H_{13}F_3N_3O_2$, 348.0954; found, 348.0968.



4-{[4-(4-Bromophenyl)-1*H*-1,2,3-triazol-1-yl]methyl}benzoic acid (11k):
According to **GP 2**, the resin-bound molecule **11k** was synthesized, using 4-(azidomethyl)benzoic acid functionalized Wang resin (100 mg, 78 µmol, 1.0 equiv), L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 1-bromo-4-ethynylbenzene (**10k**, 56 mg, 311 µmol, 4.0 equiv). Cleavage was done according to **GP 4**, followed by purification using a SPE tube. Subsequently, the solvent was evaporated, yielding compound **11k** (27 mg, 75 µmol, 97%) as a colorless solid.

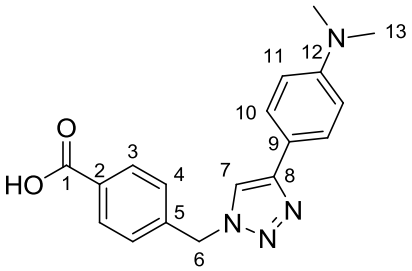
Mp: 245 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 5.75 (s, 2 H, H-6), 7.43 (d, $^{3}J_{HH}$ = 8.2 Hz, 2 H, H-4), 7.63 (d, $^{3}J_{HH}$ = 8.5 Hz, 2 H, H-11), 7.81 (d, $^{3}J_{HH}$ = 8.5 Hz, 2 H, H-10), 7.95 (d, $^{3}J_{HH}$ = 8.2 Hz, 2 H, H-3), 8.71 (s, 1 H, H-7); ^{13}C NMR (100 MHz, DMSO-d_6) δ (ppm) 52.5 (–, 1 C, C-6), 120.7 (C_q, 1 C, C-12), 122.0 (+, 1 C, C-7), 127.0 (+, 2 C, C-10), 127.8 (+, 2 C, C-4), 129.6 (+, 2 C, C-3), 129.7 (C_q, 1 C, C-9), 130.4 (C_q, 1 C, C-2), 131.7 (+, 2 C, C-11), 140.4 (C_q, 1 C, C-5), 145.5 (C_q, 1 C, C-8), 166.7 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 3114 (w), 3083 (w), 2857 (w), 2664 (w), 2544 (w), 1673 (s), 1423 (m), 1283 (s), 1185 (m), 818 (s), 714 (s); HRMS (ESI+): [MH^{+}] calcd for $C_{16}H_{13}BrN_3O_2$, 359.0186; found, 359.0198.



4-{[4-(4-Methoxyphenyl)-1*H*-1,2,3-triazol-1-yl]methyl}benzoic acid (11l):

According to **GP 2**, the resin-bound molecule **11l** was synthesized, using 4-(azidomethyl)benzoic acid functionalized Wang resin (100 mg, 78 µmol, 1.0 equiv), L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 4-ethynylanisole (**10l**, 40 µL, 311 µmol, 4.0 equiv). Cleavage was done according to **GP 4**, followed by purification using a SPE tube. After evaporation of the solvent, compound **11l** (24 mg, 76 µmol, 98%) was yielded as a colorless solid.

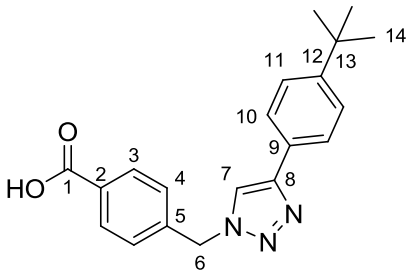
Mp: 238 °C (decomposition); ^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 3.77 (s, 3 H, H-13), 5.72 (s, 2 H, H-6), 7.00 (d, $^{3}J_{HH}$ = 8.8 Hz, 2 H, H-11), 7.42 (d, $^{3}J_{HH}$ = 8.3 Hz, 2 H, H-4), 7.77 (d, $^{3}J_{HH}$ = 8.8 Hz, 2 H, H-10), 7.95 (d, $^{3}J_{HH}$ = 8.3 Hz, 2 H, H-3), 8.54 (s, 1 H, H-7); ^{13}C NMR (100 MHz, DMSO-d_6) δ (ppm) 52.5 (–, 1 C, C-6), 55.1 (+, 1 C, C-13), 114.3 (+, 2 C, C-11), 120.8 (+, 1 C, C-7), 123.1 (C_q, 1 C, C-9), 126.5 (+, 2 C, C-10), 127.9 (+, 2 C, C-4), 129.8 (+, 2 C, C-3), 130.5 (C_q, 1 C, C-2), 140.8 (C_q, 1 C, C-5), 146.7 (C_q, 1 C, C-8), 159.0 (C_q, 1 C, C-12), 166.9 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 3103 (w), 2847 (w), 2672 (w), 2545 (w), 1682 (s), 1498 (m), 1428 (m), 1245 (s), 1173 (m), 1024 (s), 818 (s), 726 (s); HRMS (ESI+): [MH^{+}] calcd for $C_{17}H_{16}N_3O_3$, 310.1186; found, 310.1165.



4-{[4-(4-(Dimethylamino)phenyl)-1*H*-1,2,3-triazol-1-yl]methyl}benzoic acid (11m):

According to **GP 2**, the resin-bound molecule **11m** was synthesized, using 4-(azidomethyl)benzoic acid functionalized Wang resin (100 mg, 78 µmol, 1.0 equiv), L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 4-ethynyl-*N*,*N*-dimethylaniline (**10m**, 45 mg, 311 µmol, 4.0 equiv). Cleavage was done according to **GP 4**, followed by purification using a SPE tube. After evaporation of the solvent, compound **11m** (22 mg, 68 µmol, 88%) was yielded as a dark red solid.

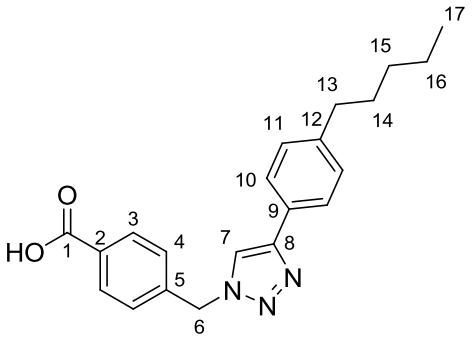
Mp: 154 °C (decomposition); ^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 2.96 (s, 6 H, H-13), 5.70 (s, 2 H, H-6), 6.90 (d, $^{3}J_{HH}$ = 8.4 Hz, 2 H, H-11), 7.41 (d, $^{3}J_{HH}$ = 8.1 Hz, 2 H, H-4), 7.70 (d, $^{3}J_{HH}$ = 8.5 Hz, 2 H, H-10), 7.94 (d, $^{3}J_{HH}$ = 8.1 Hz, 2 H, H-3), 8.48 (s, 1 H, H-7); ^{13}C NMR (100 MHz, DMSO-d_6) δ (ppm) 40.6 (+, 2 C, C-13), 52.3 (–, 1 C, C-6), 113.4 (+, 2 C, C-11), 120.1 (+, 1 C, C-7), 126.0 (+, 2 C, C-10), 127.7 (+, 2 C, C-4), 128.8 (C_q, 1 C, C-9), 129.6 (+, 2 C, C-3), 130.3 (C_q, 1 C, C-2), 140.7 (C_q, 1 C, C-5), 148.8 (C_q, 1 C, C-8), 166.7 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 3131 (w), 3077 (w), 2884 (w), 2676 (w), 1687 (m), 1573 (m), 1282 (m), 1098 (m), 780 (s), 691 (s); MS (ESI+, TOF) m/z (%) 323.1 (100) [MH^{+}].



4-{[4-(4-*tert*-Butylphenyl)-1*H*-1,2,3-triazol-1-yl]methyl}benzoic acid (11n):

According to **GP 2**, the resin-bound molecule **11n** was synthesized, using 4-(azidomethyl)benzoic acid functionalized Wang resin (100 mg, 78 µmol, 1.0 equiv), L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 4-*tert*-butylphenylacetylene (**10n**, 56 µL, 311 µmol, 4.0 equiv). Cleavage was done according to **GP 4**, followed by purification using a SPE tube. After evaporation of the solvent, compound **11n** (26 mg, 76 µmol, 98%) was yielded as a colorless solid.

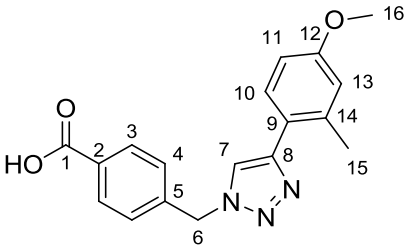
Mp: 243 °C (decomposition); ^{1}H NMR (400 MHz, $CDCl_3$, HSQC, HMBC) δ (ppm) 1.31 (s, 9 H, H-14), 5.62 (s, 2 H, H-6), 7.33 (d, $^{3}J_{HH}$ = 8.2 Hz, 2 H, H-4), 7.42 (d, $^{3}J_{HH}$ = 8.4 Hz, 2 H, H-11), 7.69 (s, 1 H, H-7), 7.71 (d, $^{3}J_{HH}$ = 8.4 Hz, 2 H, H-10), 8.06 (d, $^{3}J_{HH}$ = 8.2 Hz, 2 H, H-3), 11.84 (bs, 1 H, COOH); ^{13}C NMR (100 MHz, $CDCl_3$, HSQC, HMBC) δ (ppm) 31.2 (+, 3 C, C-14), 34.6 (C_q, 1 C, C-13), 53.8 (–, 1 C, C-6), 119.6 (+, 1 C, C-7), 125.5 (+, 2 C, C-10), 125.8 (+, 2 C, C-11), 127.1 (C_q, 1 C, C-9), 127.7 (+, 2 C, C-4), 130.7 (+, 2 C, C-3), 130.9 (C_q, 1 C, C-2), 139.5 (C_q, 1 C, C-5), 148.4 (C_q, 1 C, C-8), 151.5 (C_q, 1 C, C-12), 168.6 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 2960 (w), 2927 (w), 2671 (w), 2545 (w), 1685 (s), 1424 (m), 1283 (m), 1188 (m), 1021 (m), 835 (m), 745 (s); MS (ESI+, TOF) m/z (%) 671.3 (15) [2MH^{+}], 336.1 (100) [MH^{+}].



4-{[4-(4-Pentylphenyl)-1*H*-1,2,3-triazol-1-yl]methyl}benzoic acid (11o):

According to **GP 2**, the resin-bound molecule **11o** was synthesized, using 4-(azidomethyl)benzoic acid functionalized Wang resin (100 mg, 78 µmol, 1.0 equiv), L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 1-ethynyl-4-pentylbenzene (**10o**, 61 µL, 311 µmol, 4.0 equiv). Cleavage was done according to **GP 4**, followed by purification using a SPE tube. After evaporation of the solvent, compound **11o** (26 mg, 75 µmol, 97%) was yielded as a colorless solid.

Mp: 169 °C (decomposition); ^{1}H NMR (400 MHz, DMSO-d_6, HSQC, HMBC) δ (ppm) 0.84 (t, $^{3}J_{HH}$ = 7.0 Hz, 3 H, H-17), 1.20–1.33 (m, 4 H, H-15, H-16), 1.56 (quintet, $^{3}J_{HH}$ = 7.5 Hz, 2 H, H-14), 2.57 (t, $^{3}J_{HH}$ = 7.8 Hz, 2 H, H-13), 5.73 (s, 2 H, H-6), 7.24 (d, $^{3}J_{HH}$ = 8.2 Hz, 2 H, H-11), 7.42 (d, $^{3}J_{HH}$ = 8.3 Hz, 2 H, H-4), 7.74 (d, $^{3}J_{HH}$ = 8.2 Hz, 2 H, H-10), 7.95 (d, $^{3}J_{HH}$ = 8.3 Hz, 2 H, H-3), 8.59 (s, 1 H, H-7); ^{13}C NMR (100 MHz, DMSO-d_6, HSQC, HMBC) δ (ppm) 13.9 (+, 1 C, C-17), 21.9 (–, 1 C, C-16), 30.5 (–, 1 C, C-15), 30.8 (–, 1 C, C-14), 34.8 (–, 1 C, C-13), 52.6 (–, 1 C, C-6), 121.4 (+, 1 C, C-7), 125.1 (+, 2 C, C-10), 127.9 (+, 2 C, C-4), 128.0 (C_q, 1 C, C-9), 128.8 (+, 2 C, C-11), 129.8 (+, 2 C, C-3), 130.5 (C_q, 1 C, C-2), 140.8 (C_q, 1 C, C-5), 142.2 (C_q, 1 C, C-12), 146.8 (C_q, 1 C, C-8), 166.9 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 2959 (m), 2927 (m), 2857 (m), 2671 (w), 2555 (w), 1685 (s), 1424 (m), 1284 (m), 1188 (m), 1049 (m), 836 (m), 745 (s); MS MS (ESI+, TOF) m/z (%) 699.4 (15) [2MH$^+$], 350.2 (100) [MH$^+$].

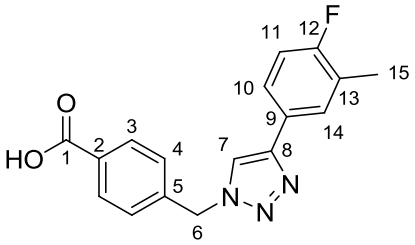


4-{[4-(4-Methoxy-2-methylphenyl)-1*H*-1,2,3-triazol-1-yl]methyl}benzoic acid (11p):

According to **GP 2**, the resin-bound molecule **11p** was synthesized, using 4-(azidomethyl)benzoic acid functionalized Wang resin (100 mg, 78 µmol, 1.0 equiv), L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol,

0.1 equiv) and 1-ethynyl-4-methoxy-2-methylbenzene (**10p**, 45 mg, 311 µmol, 4.0 equiv). Cleavage was done according to **GP 4**, followed by purification using a SPE tube. Subsequently, the solvent was evaporated, yielding compound **11p** (25 mg, 77 µmol, 99%) as a colorless solid.

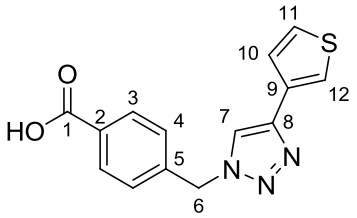
Mp: 146 °C (decomposition); ^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 2.40 (s, 3 H, H-15), 3.77 (s, 3 H, H-16), 5.74 (s, 2 H, H-6), 6.85 (d, $^{3}J_{HH}$ = 8.4 Hz, $^{4}J_{HH}$ = 2.7 Hz, 1 H, H-11), 6.87 (d, $^{4}J_{HH}$ = 2.5 Hz, 1 H, H-13), 7.43 (d, $^{3}J_{HH}$ = 8.3 Hz, 2 H, H-4), 7.66 (d, $^{3}J_{HH}$ = 8.4 Hz, 1 H, H-10), 7.95 (d, $^{3}J_{HH}$ = 8.3 Hz, 2 H, H-3), 8.42 (s, 1 H, H-7), 13.02 (bs, 1 H, COOH); ^{13}C NMR (100 MHz, DMSO-d_6) δ (ppm) 21.2 (+, 1 C, C-15), 52.3 (–, 1 C, C-6), 55.0 (+, 1 C, C-16), 111.5 (+, 1 C, C-11), 115.9 (+, 1 C, C-13), 122.4 (C_q, 1 C, C-9), 122.9 (+, 1 C, C-7), 127.7 (+, 2 C, C-4), 129.4 (+, 1 C, C-10), 129.7 (+, 2 C, C-3), 130.4 (C_q, 1 C, C-2), 136.4 (C_q, 1 C, C-14), 140.9 (C_q, 1 C, C-5), 145.8 (C_q, 1 C, C-8), 158.7 (C_q, 1 C, C-12), 166.8 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 3122 (w), 3090 (w), 3002 (w), 2836 (w), 2675 (w), 2552 (w), 1686 (s), 1425 (m), 1287 (m), 729 (s); MS (ESI+, TOF) m/z (%) 647.3 (5) [2MH$^+$], 324.1 (100) [MH$^+$].



4-{[4-(4-Fluoro-3-methylphenyl)-1*H*-1,2,3-triazol-1-yl]methyl}benzoic acid (11q):
According to **GP 2**, the resin-bound molecule **11q** was synthesized, using 4-(azidomethyl)benzoic acid functionalized Wang resin (100 mg, 78 µmol, 1.0 equiv), L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 4-ethynyl-1-fluoro-2-methylbenzene (**10q**, 41 µL, 311 µmol, 4.0 equiv). Cleavage was done according to **GP 4**, followed by purification using a SPE tube. Subsequently, the solvent was evaporated, yielding compound **11q** (24 mg, 76 µmol, 98%) as a brown solid.

Mp: 153 °C (decomposition); ^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 2.27 (d, $^{4}J_{HF}$ = 1.6 Hz, 3 H, H-15), 5.73 (s, 2 H, H-6), 7.20 (dd, $^{3}J_{HF}$ = 8.9 Hz, $^{3}J_{HH}$ = 8.7 Hz, 1 H, H-11), 7.42 (d, $^{3}J_{HH}$ = 8.3 Hz, 2 H, H-4), 7.69 (ddd, $^{3}J_{HH}$ = 8.4 Hz, $^{4}J_{HF}$ = 5.0 Hz, $^{4}J_{HH}$ = 2.1 Hz, 1 H, H-10), 7.78 (dd, $^{4}J_{HF}$ = 7.6 Hz, $^{4}J_{HH}$ = 1.7 Hz, 1 H, H-14), 7.95 (d, $^{3}J_{HH}$ = 8.3 Hz, 2 H, H-3), 8.61 (s, 1 H, H-7); ^{13}C NMR (100 MHz, DMSO-d_6) δ (ppm) 14.1 (+, d, $^{3}J_{CF}$ = 3.0 Hz, 1 C, C-15), 52.5 (–, 1 C, C-6), 115.4 (+, d, $^{2}J_{CF}$ = 22.6 Hz, 1 C, C-11), 121.5 (+, 1 C, C-7), 124.5 (+, d,

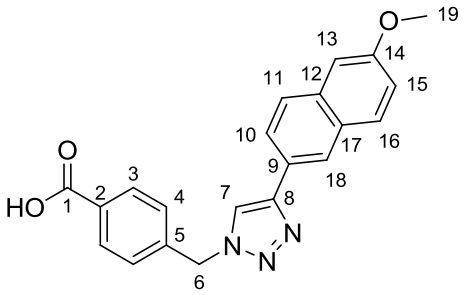
$^3J_{CF}$ = 8.3 Hz, 1 C, C-10), 124.7 (C_q, d, $^2J_{CF}$ = 17.5 Hz, 1 C, C-13), 126.8 (C_q, d, $^4J_{CF}$ = 3.4 Hz, 1 C, C-9), 127.9 (+, 2 C, C-4), 128.4 (+, d, $^3J_{CF}$ = 5.1 Hz, 1 C, C-14), 129.7 (+, 2 C, C-3), 130.5 (C_q, 1 C, C-2), 140.6 (C_q, 1 C, C-5), 145.9 (C_q, 1 C, C-8), 160.3 (C_q, d, $^1J_{CF}$ = 243.5 Hz, 1 C, C-12), 166.9 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 3133 (w), 2673 (w), 1687 (s), 1426 (m), 1197 (m), 730 (s); HRMS (ESI+): [MH^+] calcd for $C_{17}H_{15}FN_3O_2$, 312.1143; found, 312.1146.



4-{[4-(Thiophen-3-yl)-1*H*-1,2,3-triazol-1-yl]methyl}benzoic acid (11r):

According to **GP 2**, the resin-bound molecule **11r** was synthesized, using 4-(azidomethyl)benzoic acid functionalized Wang resin (100 mg, 78 µmol, 1.0 equiv), L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 3-ethynylthiophene (**10r**, 31 µL, 311 µmol, 4.0 equiv). Cleavage was done according to **GP 4**, followed by purification using a SPE tube. Subsequently, the solvent was evaporated, yielding compound **11r** (22 mg, 76 µmol, 98%) as a colorless solid.

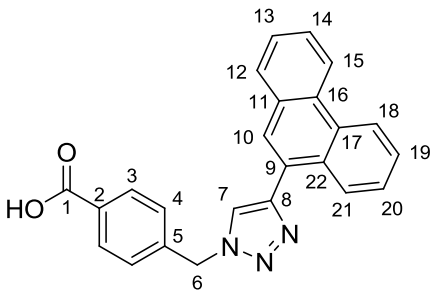
Mp: 195 °C (decomposition); ^{1}H NMR (400 MHz, DMSO-d_6, HSQC) δ (ppm) 5.74 (s, 2 H, H-6), 7.41 (d, $^3J_{HH}$ = 8.4 Hz, 2 H, H-4), 7.52 (dd, $^3J_{HH}$ = 5.0 Hz, $^4J_{HH}$ = 1.2 Hz, 1 H, H-10), 7.63 (dd, $^3J_{HH}$ = 5.0 Hz, $^4J_{HH}$ = 3.0 Hz, 1 H, H-11), 7.86 (dd, $^4J_{HH}$ = 2.9 Hz, $^4J_{HH}$ = 1.2 Hz, 1 H, H-12), 7.95 (d, $^3J_{HH}$ = 8.4 Hz, 2 H, H-3), 8.51 (s, 1 H, H-7), 12.95 (s, 1 H, COOH); ^{13}C NMR (100 MHz, DMSO-d_6, HSQC) δ (ppm) 52.4 (–, 1 C, C-6), 120.9 (+, 1 C, C-12), 121.5 (+, 1 C, C-7), 125.7 (+, 1 C, C-10), 127.1 (+, 1 C, C-11), 127.8 (+, 2 C, C-4), 129.7 (+, 2 C, C-3), 130.5 (C_q, 1 C, C-2), 131.9 (C_q, 1 C, C-9), 140.7 (C_q, 1 C, C-5), 143.2 (C_q, 1 C, C-8), 166.9 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 3091 (w), 2920 (w), 2852 (w), 2668 (w), 2544 (w), 1681 (s), 1426 (m), 1283 (m), 779 (s), 730 (s); MS (TOF, ES+): m/z (%) = 571.1 (5) [$2MH^+$], 286.1 (100) [MH^+].



4-{[4-(6-Methoxynaphthalen-2-yl)-1*H*-1,2,3-triazol-1-yl]methyl}benzoic acid (11s):

According to **GP 2**, the resin-bound molecule **11s** was synthesized, using 4-(azidomethyl)benzoic acid functionalized Wang resin (100 mg, 78 µmol, 1.0 equiv), L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 2-ethynyl-6-methoxynaphthalene (**10s**, 57 mg, 311 µmol, 4.0 equiv). Cleavage was done according to **GP 4**, followed by purification using a SPE tube. Subsequently, the solvent was evaporated, yielding compound **11s** (26 mg, 73 µmol, 94%) as a colorless solid.

Mp: 297 °C; ^{1}H NMR (400 MHz, DMSO-d_6, HSQC, HMBC) δ (ppm) 3.88 (s, 3 H, H-19), 5.77 (s, 2 H, H-6), 7.18 (dd, $^{3}J_{HH}$ = 8.9 Hz, $^{4}J_{HH}$ = 2.6 Hz, 1 H, H-15), 7.34 (d, $^{4}J_{HH}$ = 2.5 Hz, 1 H, H-13), 7.46 (d, $^{3}J_{HH}$ = 7.9 Hz, 2 H, H-4), 7.87 (d, $^{3}J_{HH}$ = 9.1 Hz, 1 H, H-16), 7.88 (d, $^{3}J_{HH}$ = 8.7 Hz, 1 H, H-11), 7.95 (dd, $^{3}J_{HH}$ = 8.6 Hz, $^{4}J_{HH}$ = 1.6 Hz, 1 H, H-10), 7.97 (d, $^{3}J_{HH}$ = 7.7 Hz, 2 H, H-3), 8.33 (d, $^{4}J_{HH}$ = 1.6 Hz, 1 H, H-18), 8.71 (s, 1 H, H-7), 13.03 (bs, 1 H, COOH); ^{13}C NMR (100 MHz, DMSO-d_6, HSQC, HMBC) δ (ppm) 52.5 (–, 1 C, C-6), 55.1 (+, 1 C, C-19), 105.9 (+, 1 C, C-13), 119.1 (+, 1 C, C-15), 121.6 (+, 1 C, C-7), 123.4 (+, 1 C, C-18), 124.0 (+, 1 C, C-10), 124.1 (C_q, 1 C, C-17), 125.7 (C_q, 1 C, C-9), 127.3 (+, 1 C, C-16), 127.9 (+, 2 C, C-4), 128.4 (C_q, 1 C, C-2), 129.4 (+, 1 C, C-11), 129.7 (+, 2 C, C-3), 133.8 (C_q, 1 C, C-12), 140.7 (C_q, 1 C, C-5), 146.9 (C_q, 1 C, C-8), 157.4 (C_q, 1 C, C-14), 170.4 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 3128 (w), 2920 (w), 2564 (w), 1690 (m), 1225 (m), 724 (s); HRMS (ESI+): [MH^{+}] calcd for $C_{21}H_{18}N_3O_3$, 360.1343; found, 360.1339.

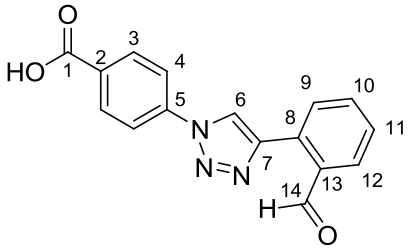


4-{[4-(Phenanthren-9-yl)-1*H*-1,2,3-triazol-1-yl]methyl}benzoic acid (11t):

According to **GP 2**, the resin-bound molecule **11t** was synthesized, using 4-(azidomethyl)benzoic acid functionalized Wang resin (100 mg, 78 µmol, 1.0 equiv), L-

ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 9-ethynylphenanthrene (**10t**, 63 mg, 311 µmol, 4.0 equiv). Cleavage was done according to **GP 4**, followed by purification using a SPE tube. Subsequently, the solvent was evaporated, yielding compound **11t** (28 mg, 75 µmol, 96%) as a colorless solid.

Mp: 89–93 °C–^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 5.74 (s, 2 H, H-6), 7.44 (d, $^{3}J_{HH}$ = 7.9 Hz, 2 H, H-4), 7.60 (t, $^{3}J_{HH}$ = 7.3 Hz, 2 H), 7.65–7.70 (m, 2 H), 7.89 (d, $^{3}J_{HH}$ = 7.2 Hz, 2 H), 7.98 (s, 1 H, H-7), 8.12 (d, $^{3}J_{HH}$ = 7.9 Hz, 2 H, H-3), 8.31 (d, $^{3}J_{HH}$ = 7.7 Hz, 1 H), 8.69 (d, $^{3}J_{HH}$ = 8.2 Hz, 1 H), 8.75 (d, $^{3}J_{HH}$ = 7.9 Hz, 1 H), 9.25 (bs, 1 H, COOH); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 54.0 (–, 1 C, C-6), 122.5 (+, 1 C), 123.0 (+, 1 C), 125.9 (+, 1 C), 126.7 (+, 1 C), 126.9 (+, 1 C), 127.0 (+, 1 C), 127.2 (+, 1 C), 127.9 (+, 2 C, C-4), 128.5 (+, 1 C), 128.9 (+, 1 C), 129.9 (C_q, 1 C), 130.4 (C_q, 1 C), 130.7 (C_q, 1 C), 130.8 (+, 2 C, C-3), 131.2 (+, 1 C), 139.4 (C_q, 1 C), 177.6 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 3129 (w), 2920 (w), 2854 (w), 1691 (m), 1427 (m), 1261 (m), 975 (m), 734 (s); MS (ESI+, TOF) m/z (%) 759.3 (50) [2MH^{+}], 380.1 (100) [MH^{+}].

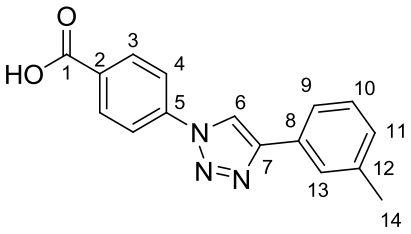


4-[4-(2-Formylphenyl)-1*H*-1,2,3-triazol-1-yl]benzoic acid (12a):

The synthesis of the resin-bound molecule **78** followed **GP 2**. The 4-azidobenzoic acid functionalized Wang resin **9** (100 mg, 79 µmol, 1.0 equiv) was treated with L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 2-ethynylbenzaldehyde (**10f**, 41 mg, 314 µmol, 4.0 equiv). After the cleavage according to **GP 4**, the crude product was pre-purified using a SPE tube and then washed twice with 2 mL of a 1:1 mixture of dichloromethane/methanol, yielding compound **12a** (11 mg, 38 µmol, 49%) as a colorless solid.

^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 7.64 (t, $^{3}J_{HH}$ = 7.5 Hz, 1 H, H-11), 7.83 (td, $^{3}J_{HH}$ = 7.5 Hz, $^{4}J_{HH}$ = 1.4 Hz, 1 H, H-10), 7.89 (dd, $^{3}J_{HH}$ = 7.7 Hz, $^{4}J_{HH}$ = 1.0 Hz, 1 H, H-12), 7.96 (dd, $^{3}J_{HH}$ = 7.8 Hz, $^{4}J_{HH}$ = 1.0 Hz, 1 H, H-9), 8.17 (d, $^{3}J_{HH}$ = 8.9 Hz, 2 H, H-4), 8.20 (d, $^{3}J_{HH}$ = 8.9 Hz, 2 H, H-3), 9.48 (s, 1 H, H-6), 10.43 (bs, 1 H, H-14), 13.25 (bs, 1 H, COOH); ^{13}C NMR (100 MHz, DMSO-d_6) δ (ppm) 119.9 (+, 2 C, C-4), 123.0 (+, 1 C, C-6), 127.6 (+, 1 C, C-9), 128.9 (+, 1 C, C-12), 129.8 (+, 1 C, C-11), 131.1 (+, 2 C, C-3), 132.3 (C_q, 1 C, C-2), 133.5 (C_q, 1 C, C-8), 134.0 (+, 1 C, C-10), 137.3 (C_q, 1 C, C-13), 139.3 (C_q, 1 C, C-5),

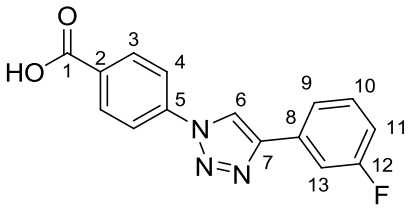
145.0 (C_q, 1 C, C-7), 167.0 (C_q, 1 C, C-1), 192.3 (+, 1 C, C-14); MS (ESI+, TOF) m/z (%) 294.1 (100) [MH^+].



4-(4-m-Tolyl-1*H*-1,2,3-triazol-1-yl)benzoic acid (12b):

The synthesis of the resin-bound molecule **12b** followed **GP 2**. The 4-azidobenzoic acid functionalized Wang resin **9** (100 mg, 79 µmol, 1.0 equiv) was treated with L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 3-ethynyltoluene (**10g**, 41 µL, 314 µmol, 4.0 equiv). After the cleavage according to **GP 4**, the crude product was pre-purified using a SPE tube and then washed twice with 2 mL of a 1:1 mixture of dichloromethane/methanol, yielding compound **12b** (10 mg, 35 µmol, 44%) as a colorless solid.

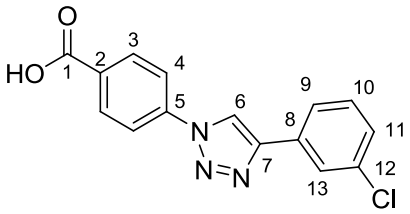
^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 2.40 (s, 3 H, H-14), 7.22 (d, $^3J_{HH}$ = 7.9 Hz, 1 H, H-11), 7.40 (t, $^3J_{HH}$ = 7.7 Hz, 1 H, H-10), 7.76 (d, $^3J_{HH}$ = 7.7 Hz, 2 H, H-9), 7.80 (s, 1 H, H-13), 8.09 (d, $^3J_{HH}$ = 8.7 Hz, 2 H, H-4), 8.17 (d, $^3J_{HH}$ = 8.7 Hz, 2 H, H-3), 9.41 (s, 1 H, H-6), 13.09 (bs, 1 H, COOH); IR (cm^{-1}) $\tilde{\nu}$: 2682 (w), 2556 (w), 2114 (w), 1702 (s), 1604 (s), 1518 (m), 1379 (m), 1320 (m), 1294 (m), 1036 (s), 782 (s); HRMS (ESI+): [MH^+] calcd for $C_{16}H_{14}N_3O_2$, 280.1081; found, 280.1084.



4-[4-(3-Fluorophenyl)-1*H*-1,2,3-triazol-1-yl]benzoic acid (12c):

The synthesis of the resin-bound molecule **12c** followed **GP 2**. The 4-azidobenzoic acid functionalized Wang resin **9** (100 mg, 79 µmol, 1.0 equiv) was treated with L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 1-ethynyl-3-fluorobenzene (**10h**, 36 µL, 314 µmol, 4.0 equiv). After the cleavage according to **GP 4**, the crude product was pre-purified using a SPE tube and then washed twice with 2 mL of a 1:1 mixture of dichloromethane/methanol, yielding compound **12c** (10 mg, 35 µmol, 45%) as a colorless solid.

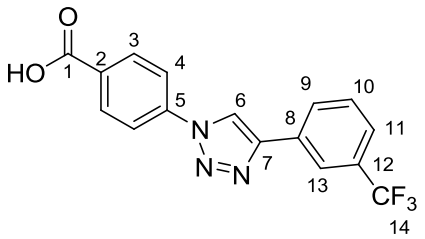
^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 7.25 (dddd, $^{3}J_{HF}$ = 8.6 Hz, $^{3}J_{HH}$ = 8.6 Hz, $^{4}J_{HH}$ = 2.6 Hz, $^{4}J_{HH}$ = 0.7 Hz, 1 H, H-11), 7.58 (ddd, $^{3}J_{HH}$ = 8.0 Hz, $^{3}J_{HH}$ = 7.9 Hz, $^{4}J_{HF}$ = 6.2 Hz, 1 H, H-10), 7.76 (ddd, $^{3}J_{HF}$ = 10.2 Hz, $^{4}J_{HH}$ = 2.5 Hz, $^{4}J_{HH}$ = 1.5 Hz, 1 H, H-13), 7.82 (ddd, $^{3}J_{HH}$ = 7.8 Hz, $^{4}J_{HH}$ = 1.2 Hz, $^{4}J_{HH}$ = 1.0 Hz, 1 H, H-9), 8.10 (d, $^{3}J_{HH}$ = 8.8 Hz, 2 H, H-4), 8.19 (d, $^{3}J_{HH}$ = 8.8 Hz, 2 H, H-3), 9.52 (s, 1 H, H-6), 13.25 (bs, 1 H, COOH); ^{13}C NMR (100 MHz, DMSO-d_6) δ (ppm) 111.9 (+, d, $^{2}J_{CF}$ = 22.9 Hz, 1 C, C-11), 115.0 (+, d, $^{2}J_{CF}$ = 20.9 Hz, 1 C, C-13), 119.7 (+, 2 C, C-4), 120.4 (+, 1 C, C-6), 121.3 (+, d, $^{4}J_{CF}$ = 2.8 Hz, 1 C, C-9), 130.7 (C_q, 1 C, C-2), 131.1 (+, 2 C, C-3), 131.2 (+, d, $^{3}J_{CF}$ = 8.8 Hz, 1 C, C-10), 132.3 (C_q, d, $^{3}J_{CF}$ = 8.5 Hz, 1 C, C-8), 139.5 (C_q, 1 C, C-5), 146.4 (C_q, d, $^{4}J_{CF}$ = 3.1 Hz, 1 C, C-7), 162.5 (C_q, d, $^{1}J_{CF}$ = 243.1 Hz, 1 C, C-12), 166.3 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 2918 (w), 2849 (w), 2559 (w), 2112 (w), 1682 (s), 1606 (s), 1293 (m), 1229 (m), 1034 (m), 860 (s), 769 (s); HRMS (ESI+): [MH^{+}] calcd for $C_{15}H_{11}FN_3O_2$, 284.0830; found, 284.0841.



4-[4-(3-Chlorophenyl)-1*H*-1,2,3-triazol-1-yl]benzoic acid (12d):

The synthesis of the resin-bound molecule **12d** followed **GP 2**. The 4-azidobenzoic acid functionalized Wang resin **9** (100 mg, 79 µmol, 1.0 equiv) was treated with L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 3-chloro-1-ethynylbenzene (**10i**, 38 µL, 314 µmol, 4.0 equiv). After the cleavage according to **GP 4**, the crude product was pre-purified using a SPE tube and then washed twice with 2 mL of a 1:1 mixture of dichloromethane/methanol, yielding compound **12d** (10 mg, 35 µmol, 44%) as a colorless solid.

^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 7.47 (ddd, $^{3}J_{HH}$ = 8.0 Hz, $^{4}J_{HH}$ = 2.1 Hz, $^{4}J_{HH}$ = 1.0 Hz, 1 H, H-11), 7.56 (t, $^{3}J_{HH}$ = 7.9 Hz, 1 H, H-10), 7.95 (dt, $^{3}J_{HH}$ = 7.8 Hz, $^{4}J_{HH}$ = 1.2 Hz, 1 H, H-9), 8.01 (t, $^{4}J_{HH}$ = 1.8 Hz, 1 H, H-13), 8.10 (d, $^{3}J_{HH}$ = 8.7 Hz, 2 H, H-4), 8.19 (d, $^{3}J_{HH}$ = 8.7 Hz, 2 H, H-3), 9.55 (s, 1 H, H-6), 13.23 (bs, 1 H, COOH); ^{13}C NMR (100 MHz, DMSO-d_6) δ (ppm) 119.6 (+, 2 C, C-4), 120.5 (+, 1 C, C-6), 123.8 (+, 1 C, C-9), 124.9 (+, 1 C, C-13), 128.1 (+, 1 C, C-11), 131.0 (+, 1 C, C-10), 131.1 (+, 2 C, C-3), 132.0 (C_q, 1 C, C-8), 133.7 (C_q, 1 C, C-12), 139.3 (C_q, 1 C, C-5), 146.1 (C_q, 1 C, C-7); IR (cm^{-1}) $\tilde{\nu}$: 2818 (w), 2549 (w), 2109 (w), 1681 (s), 1604 (s), 1519 (m), 1290 (s), 1226 (s), 1180 (m), 1031 (s), 940 (m), 767 (s); HRMS (ESI+): [MH^{+}] calcd for $C_{15}H_{11}ClN_3O_2$, 300.0534; found, 300.0565.

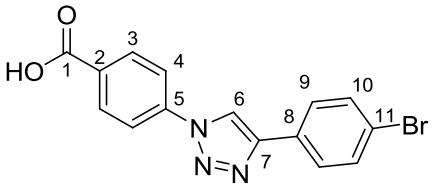


4-{4-[3-(Trifluoromethyl)phenyl]-1*H*-1,2,3-triazol-1-yl}benzoic acid (12e):

Literature known compound; improved procedure [2]

The synthesis of the resin-bound molecule **12e** followed **GP 2**. The 4-azidobenzoic acid functionalized Wang resin **9** (100 mg, 79 µmol, 1.0 equiv) was treated with L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 3-ethynyl-α,α,α-trifluorotoluene (**10j**, 45 µL, 314 µmol, 4.0 equiv). After the cleavage according to **GP 4**, the crude product was pre-purified using a SPE tube and then washed twice with 2 mL of a 1:1 mixture of dichloromethane/methanol, yielding compound **12e** (11 mg, 32 µmol, 41%) as a colorless solid.

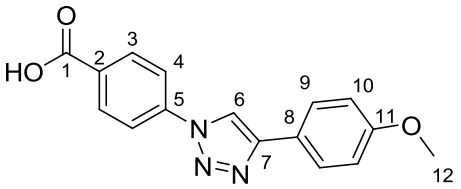
^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 7.76–7.80 (m, 2 H, H-10, H-11), 8.11 (d, $^3J_{HH}$ = 8.6 Hz, 2 H, H-4), 8.20 (d, $^3J_{HH}$ = 8.7 Hz, 2 H, H-3), 8.26–8.32 (m, 2 H, H-9, H-13), 9.65 (s, 1 H, H-6), 13.09 (bs, 1 H, COOH); HRMS (ESI+): [MH$^+$] calcd for $C_{16}H_{11}F_3N_3O_2$, 334.0798; found, 334.0802.



4-[4-(4-Bromophenyl)-1*H*-1,2,3-triazol-1-yl]benzoic acid (12f):

The synthesis of the resin-bound molecule **12f** followed **GP 2**. The 4-azidobenzoic acid functionalized Wang resin **9** (100 mg, 79 µmol, 1.0 equiv) was treated with L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 1-bromo-4-ethynylbenzene (**10k**, 57 mg, 314 µmol, 4.0 equiv). After the cleavage according to **GP 4**, the crude product was pre-purified using a SPE tube before the purification was done by column chromatography on silica gel (ethyl acetate/petroleum ether 4:1, R_f 0.49), yielding compound **12f** (6 mg, 16 µmol, 21%) as a colorless solid.

^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 7.71 (d, $^3J_{HH}$ = 8.5 Hz, 2 H, H-10), 7.82 (d, $^3J_{HH}$ = 8.6 Hz, 2 H, H-9), 8.01 (d, $^3J_{HH}$ = 8.8 Hz, 2 H, H-4), 8.12 (d, $^3J_{HH}$ = 8.8 Hz, 2 H, H-3), 9.34 (s, 1 H, H-6); MS (ESI+, TOF) m/z (%) 344.1, 346.0 (50) [MH$^+$].

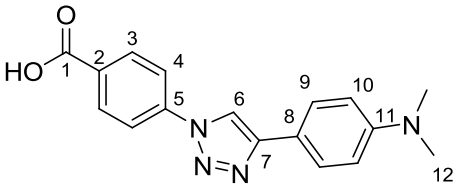


4-[4-(4-Methoxyphenyl)-1*H*-1,2,3-triazol-1-yl]benzoic acid (12g):

Literature known compound; improved procedure [3].

The synthesis of the resin-bound molecule **12g** followed **GP 2**. The 4-azidobenzoic acid functionalized Wang resin **9** (100 mg, 79 µmol, 1.0 equiv) was treated with L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 4-ethynylanisole (**10l**, 41 µL, 314 µmol, 4.0 equiv). After the cleavage according to **GP 4**, the crude product was pre-purified using a SPE tube and then washed twice with 2 mL of a 1:1 mixture of dichloromethane/methanol, yielding compound **12g** (12 mg, 41 µmol, 52%) as a colorless solid.

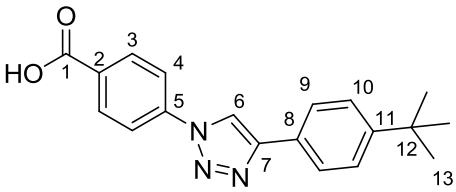
^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 3.82 (s, 3 H, H-12), 7.08 (d, $^{3}J_{HH}$ = 8.9 Hz, 2 H, H-10), 7.89 (d, $^{3}J_{HH}$ = 8.8 Hz, 2 H, H-9), 8.10 (d, $^{3}J_{HH}$ = 8.8 Hz, 2 H, H-4), 8.18 (d, $^{3}J_{HH}$ = 8.8 Hz, 2 H, H-3), 9.32 (s, 1 H, H-6), 13.25 (bs, 1 H, COOH); ^{13}C NMR (100 MHz, DMSO-d_6) δ (ppm) 55.1 (+, 1 C, C-12), 114.4 (+, 2 C, C-10), 118.6 (+, 1 C, C-6), 119.5 (+, 2 C, C-4), 122.4 (C_q, 1 C, C-8), 126.7 (+, 2 C, C-9), 130.5 (C_q, 1 C, C-2), 131.0 (+, 2 C, C-3), 139.5 (C_q, 1 C, C-5), 147.5 (C_q, 1 C, C-7), 159.3 (C_q, 1 C, C-11), 166.3 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 3115 (w), 2912 (w), 2777 (w), 1682 (m), 1409 (m), 1284 (m), 1226 (s), 1028 (m), 947 (m), 837 (s), 771 (s); HRMS (ESI+): [MH^{+}] calcd for $C_{16}H_{14}N_3O_3$, 296.1030; found, 296.1049.



4-{4-[4-(Dimethylamino)phenyl]-1*H*-1,2,3-triazol-1-yl}benzoic acid (12h):

The synthesis of the resin-bound molecule **12h** followed **GP 2**. The 4-azidobenzoic acid functionalized Wang resin **9** (100 mg, 79 µmol, 1.0 equiv) was treated with L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 4-ethynyl-*N*,*N*-dimethylaniline (**10m**, 46 mg, 314 µmol, 4.0 equiv). After the cleavage according to **GP 4**, the crude product was pre-purified using a SPE tube and then washed twice with 2 mL of a 1:1 mixture of DCM / methanol, yielding compound **12h** (9 mg, 30 µmol, 38%) as a colorless solid.

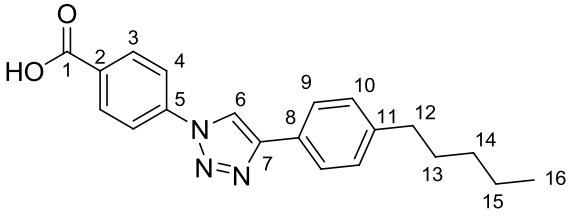
^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 2.96 (s, 6 H, H-12), 6.84 (d, $^3J_{HH}$ = 9.0 Hz, 2 H, H-9), 7.77 (d, $^3J_{HH}$ = 8.9 Hz, 2 H, H-10), 8.10 (d, $^3J_{HH}$ = 8.8 Hz, 2 H, H-4), 8.17 (d, $^3J_{HH}$ = 8.8 Hz, 2 H, H-3), 9.22 (s, 1 H, H-6), 13.02 (bs, 1 H, COOH); HRMS (ESI+): [MH$^+$] calcd for $C_{17}H_{17}N_4O_2$, 309.1346; found, 309.1308.



4-[4-(4-*tert*-Butylphenyl)-1*H*-1,2,3-triazol-1-yl]benzoic acid (12i):

The synthesis of the resin-bound molecule **12i** followed **GP 2**. The 4-azidobenzoic acid functionalized Wang resin **9** (100 mg, 79 µmol, 1.0 equiv) was treated with L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 4-*tert*-butylphenylacetylene (**10n**, 57 µL, 314 µmol, 4.0 equiv). After the cleavage according to **GP 4**, the crude product was pre-purified using a SPE tube and then washed twice with 2 mL of a 1:1 mixture of dichloromethane/methanol, yielding compound **12i** (10 mg, 32 µmol, 41%) as a colorless solid.

^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 1.33 (s, 9 H, H-13), 7.54 (d, $^3J_{HH}$ = 8.4 Hz, 2 H, H-10), 7.88 (d, $^3J_{HH}$ = 8.4 Hz, 2 H, H-9), 8.12 (d, $^3J_{HH}$ = 8.7 Hz, 2 H, H-4), 8.18 (d, $^3J_{HH}$ = 8.7 Hz, 2 H, H-3), 9.40 (s, 1 H, H-6), 13.04 (bs, 1 H, COOH); IR (cm^{-1}) $\tilde{\nu}$: 2554 (w), 2108 (w), 1677 (s), 1601 (s), 1425 (m), 1284 (s), 1252 (s), 1176 (m), 1024 (m), 766 (s); MS (ESI+, TOF) m/z (%) 643.3 (5) [2MH$^+$], 322.2 (100) [MH$^+$].

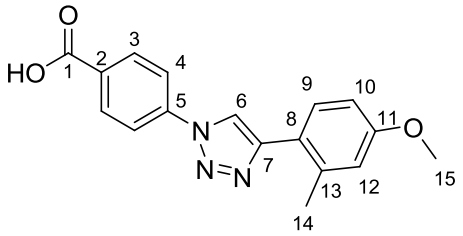


4-[4-(4-Pentylphenyl)-1*H*-1,2,3-triazol-1-yl]benzoic acid (12j):

The synthesis of the resin-bound molecule **12j** followed **GP 2**. The 4-azidobenzoic acid functionalized Wang resin **9** (100 mg, 79 µmol, 1.0 equiv) was treated with L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 1-ethynyl-4-pentylbenzene (**10o**, 61 µL, 314 µmol, 4.0 equiv). After the cleavage according to **GP 4**, the crude product was pre-purified using a SPE tube and then washed twice with 2 mL

of a 1:1 mixture of dichloromethane/methanol, yielding compound **12j** (17 mg, 49 µmol, 63%) as a colorless solid.

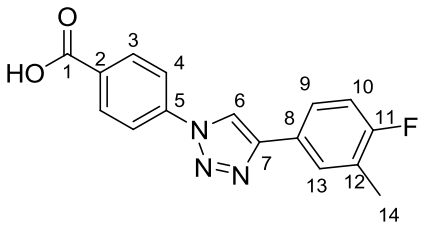
^{1}H NMR (400 MHz, DMSO-d_6, HSQC) δ (ppm) 0.87 (t, $^{3}J_{HH}$ = 6.9 Hz, 3 H, H-16), 1.25–1.38 (m, 4 H, H-14, H-15), 1.61 (quintet, $^{3}J_{HH}$ = 7.5 Hz, 2 H, H-13), 2.62 (t, $^{3}J_{HH}$ = 7.6 Hz, 2 H, H-12), 7.33 (d, $^{3}J_{HH}$ = 8.1 Hz, 2 H, H-10), 7.86 (d, $^{3}J_{HH}$ = 8.1 Hz, 2 H, H-9), 8.11 (d, $^{3}J_{HH}$ = 8.8 Hz, 2 H, H-4), 8.18 (d, $^{3}J_{HH}$ = 8.7 Hz, 2 H, H-3), 9.38 (s, 1 H, H-6), 13.05 (bs, 1 H, COOH); ^{13}C NMR (100 MHz, DMSO-d_6, HSQC) δ (ppm) 13.8 (+, 1 C, C-16), 21.9 (–, 1 C, C-15), 30.4 (–, 1 C, C-14), 30.8 (–, 1 C, C-13), 34.8 (–, 1 C, C-12), 119.1 (+, 1 C, C-6), 119.5 (+, 2 C, C-4), 125.3 (+, 2 C, C-9), 127.9 (C_q, 1 C, C-8), 128.8 (+, 2 C, C-10), 130.5 (C_q, 1 C, C-2), 131.0 (+, 2 C, C-3), 139.5 (C_q, 1 C, C-5), 142.7 (C_q, 1 C, C-11), 147.6 (C_q, 1 C, C-7), 166.3 (C_q, 1 C, C-1); IR (cm^{-1}) $\tilde{\nu}$: 2957 (w), 2924 (w), 2854 (w), 2108 (w), 1681 (s), 1604 (s), 1428 (m), 1288 (s), 1230 (s), 1037 (m), 860 (m), 769 (s); HRMS (ESI+): [MH^{+}] calcd for $C_{20}H_{22}N_3O_2$, 336.1707; found, 336.1706.



4-[4-(4-Methoxy-2-methylphenyl)-1*H*-1,2,3-triazol-1-yl]benzoic acid (12k):

The synthesis of the resin-bound molecule **12k** followed **GP 2**. The 4-azidobenzoic acid functionalized Wang resin **9** (100 mg, 79 µmol, 1.0 equiv) was treated with L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 1-ethynyl-4-methoxy-2-methylbenzene (**10p**, 46 mg, 314 µmol, 4.0 equiv). After the cleavage according to **GP 4**, the crude product was pre-purified using a SPE tube before the purification was done by column chromatography on silica gel (ethyl acetate/petroleum ether 4:1, R_f 0.31), yielding compound **12k** (11 mg, 37 µmol, 47%) as a colorless solid.

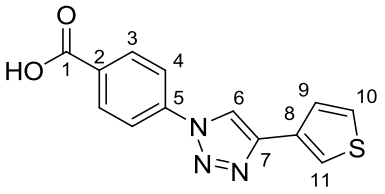
^{1}H NMR (400 MHz, DMSO-d_6, HSQC, HMBC) δ (ppm) 2.49 (s, 3 H, H-14), 3.80 (s, 3 H, H-15), 6.90 (dd, $^{3}J_{HH}$ = 8.5 Hz, $^{4}J_{HH}$ = 2.5 Hz, 1 H, H-10), 6.93 (d, $^{4}J_{HH}$ = 2.5 Hz, 1 H, H-12), 7.72 (d, $^{3}J_{HH}$ = 8.4 Hz, 1 H, H-9), 7.99 (d, $^{3}J_{HH}$ = 8.4 Hz, 2 H, H-4), 8.15 (d, $^{3}J_{HH}$ = 8.4 Hz, 2 H, H-3), 8.98 (s, 1 H, H-6); ^{13}C NMR (100 MHz, DMSO-d_6, HSQC, HMBC) δ (ppm) 21.2 (+, 1 C, C-14), 55.0 (+, 1 C, C-15), 111.6 (+, 1 C, C-10), 116.0 (+, 1 C, C-12), 119.0 (+, 2 C, C-4), 120.4 (+, 1 C, C-6), 122.0 (C_q, 1 C, C-8), 129.8 (+, 1 C, C-9), 131.0 (+, 2 C, C-3), 136.9 (C_q, 1 C, C-13), 137.7 (C_q, 1 C, C-5), 146.7 (C_q, 1 C, C-7), 159.0 (C_q, 1 C, C-11), 167.4 (C_q, 1 C, C-1); HRMS (ESI+): [MH^{+}] calcd for $C_{17}H_{16}N_3O_3$, 310.1179; found, 310.1186.



4-[4-(4-Fluoro-3-methylphenyl)-1*H*-1,2,3-triazol-1-yl]benzoic acid (12l):

The synthesis of the resin-bound molecule **12l** followed **GP 2**. The 4-azidobenzoic acid functionalized Wang resin **9** (100 mg, 79 µmol, 1.0 equiv) was treated with L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 4-ethynyl-1-fluoro-2-methylbenzene (**10q**, 42 µL, 314 µmol, 4.0 equiv). After the cleavage according to **GP 4**, the crude product was pre-purified using a SPE tube and then washed twice with 2 mL of a 1:1 mixture of dichloromethane/methanol, yielding compound **12l** (9 mg, 31 µmol, 40%) as a colorless solid.

^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 2.33 (d, $^4J_{HF}$ = 1.5 Hz, 3 H, H-14), 7.23 (dd, $^3J_{HF}$ = 9.8 Hz, $^3J_{HH}$ = 8.4 Hz, 1 H, H-10), 7.80 (ddd, $^3J_{HH}$ = 7.8 Hz, $^4J_{HF}$ = 4.8 Hz, $^4J_{HH}$ = 2.1 Hz, 1 H, H-9), 7.90 (dd, $^4J_{HF}$ = 7.5 Hz, $^4J_{HH}$ = 1.7 Hz, 1 H, H-13), 8.10 (d, $^3J_{HH}$ = 8.6 Hz, 2 H, H-4), 8.18 (d, $^3J_{HH}$ = 8.6 Hz, 2 H, H-3), 9.41 (s, 1 H, H-6), 13.15 (bs, 1 H, COOH); MS (ESI+, TOF) m/z (%) 298.1 (100) [MH$^+$].



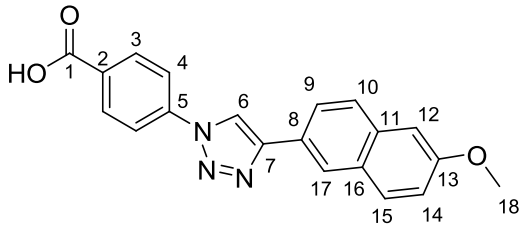
4-[4-(Thiophen-3-yl)-1*H*-1,2,3-triazol-1-yl]benzoic acid (12m):

Literature known compound, improved procedure [4]

The synthesis of the resin-bound molecule **12m** followed **GP 2**. The 4-azidobenzoic acid functionalized Wang resin **9** (100 mg, 79 µmol, 1.0 equiv) was treated with L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 3-ethynylthiophene (**10r**, 31 µL, 314 µmol, 4.0 equiv). After the cleavage according to **GP 4**, the crude product was pre-purified using a SPE tube and then washed twice with 2 mL of a 1:1 mixture of dichloromethane/methanol, yielding compound **12m** (13 mg, 48 µmol, 61%) as a colorless solid.

^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 7.60 (dd, $^3J_{HH}$ = 5.0 Hz, $^4J_{HH}$ = 1.2 Hz, 1 H, H-9), 7.73 (dd, $^3J_{HH}$ = 5.0 Hz, $^4J_{HH}$ = 3.0 Hz, 1 H, H-10), 7.97 (dd, $^4J_{HH}$ = 2.9 Hz, $^4J_{HH}$ = 1.2 Hz, 1 H, H-

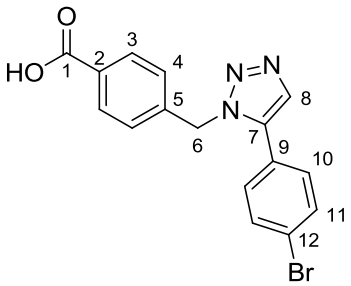
11), 8.09 (d, $^3J_{HH}$ = 8.8 Hz, 2 H, H-4), 8.18 (d, $^3J_{HH}$ = 8.8 Hz, 2 H, H-3), 9.31 (s, 1 H, H-6), 13.20 (bs, 1 H, COOH); MS (ESI+, TOF) m/z (%) 272.1 (100) [MH^+].



4-[4-(6-Methoxynaphthalen-2-yl)-1*H*-1,2,3-triazol-1-yl]benzoic acid (12n):

The synthesis of the resin-bound molecule **12n** followed **GP 2**. The 4-azidobenzoic acid functionalized Wang resin **9** (100 mg, 79 µmol, 1.0 equiv) was treated with L-ascorbic acid (6.9 mg, 39 µmol, 0.5 equiv), copper(II) sulfate pentahydrate (2.0 mg, 8 µmol, 0.1 equiv) and 2-ethynyl-6-methoxynaphthalene (**10s**, 57 mg, 314 µmol, 4.0 equiv). After the cleavage according to **GP 4**, the crude product was pre-purified using a SPE tube and then washed twice with 2 mL of a 1:1 mixture of dichloromethane/methanol, yielding compound **12n** (7 mg, 20 µmol, 26%) as a red solid.

^{1}H NMR (400 MHz, DMSO-d_6, HSQC, HMBC) δ (ppm) 3.91 (s, 3 H, H-18), 7.23 (dd, $^3J_{HH}$ = 8.9 Hz, $^4J_{HH}$ = 2.6 Hz, 1 H, H-14), 7.39 (d, $^4J_{HH}$ = 2.4 Hz, 1 H, H-12), 7.58 (d, $^3J_{HH}$ = 9.1 Hz, 1 H, H-15), 8.04 (d, $^3J_{HH}$ = 8.5 Hz, $^4J_{HH}$ = 1.7 Hz, 1 H, H-9), 8.10 (d, $^3J_{HH}$ = 8.8 Hz, 1 H, H-10), 8.14 (d, $^3J_{HH}$ = 8.8 Hz, 2 H, H-4), 8.20 (d, $^3J_{HH}$ = 8.8 Hz, 2 H, H-3), 8.40 (d, $^4J_{HH}$ = 1.6 Hz, 1 H, H-17), 9.51 (s, 1 H, H-6), 13.20 (bs, 1 H, COOH); IR (cm^{-1}) $\tilde{\nu}$: 2838 (w), 2525 (bw), 2110 (m), 1682 (m), 1603 (s), 1510 (m), 1266 (s), 1175 (m), 1026 (m), 981 (s), 856 (m), 786 (s); MS (ESI+, TOF) m/z (%) 346.1 (100) [MH^+].



4-{[5-(4-Bromophenyl)-1*H*-1,2,3-triazol-1-yl]methyl}benzoic acid (13f):

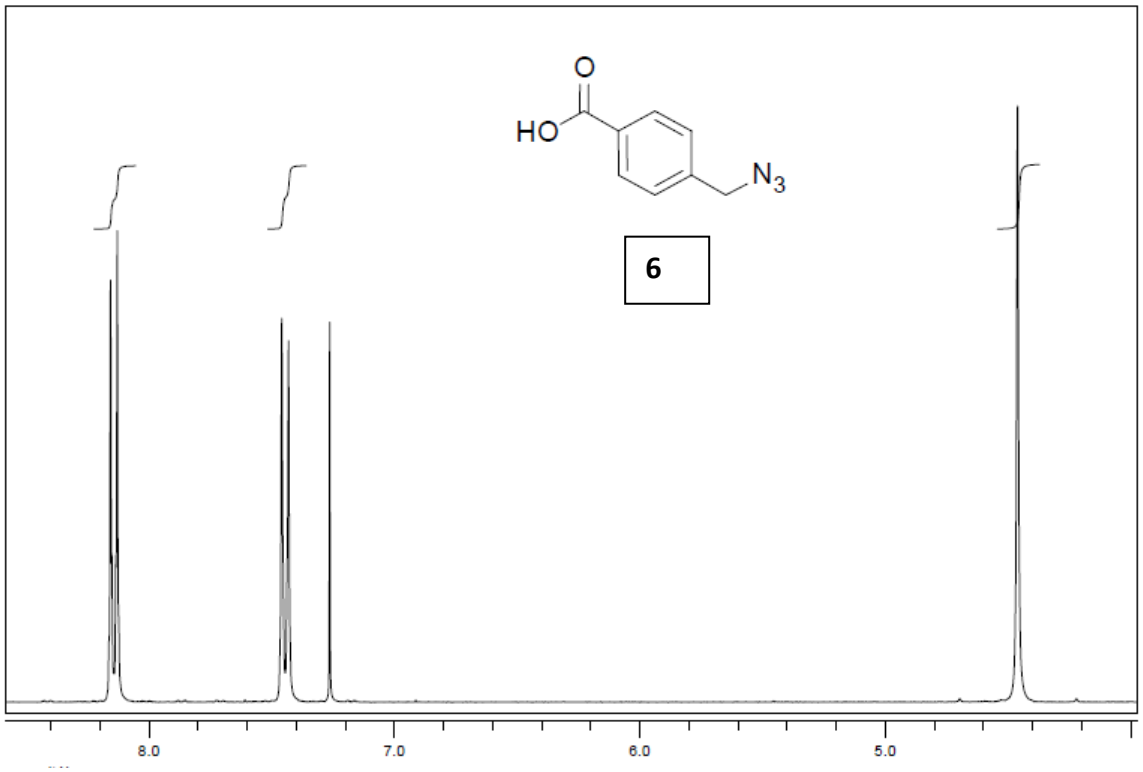
Compound **13f** was synthesized according to **GP 3**, using 4-(azidomethyl)-benzoic acid functionalized Wang resin **7** (100 mg, 78 µmol, 1.0 equiv), Cp × $RuCl(PPh_3)_2$ (3 mg, 4 µmol, 0.05 equiv) and 1-bromo-4-ethynylbenzene (**10k**, 56 mg, 311 µmol, 4.0 equiv), followed by **GP 4**. The cleaved crude product, was pre-purified using a SPE tube before the purification

was done by preparative TLC (ethyl acetate/petroleum ether 4:1, R_f 0.72) yielding compound **13f** (13 mg, 38 µmol, 48%) as a colorless solid.

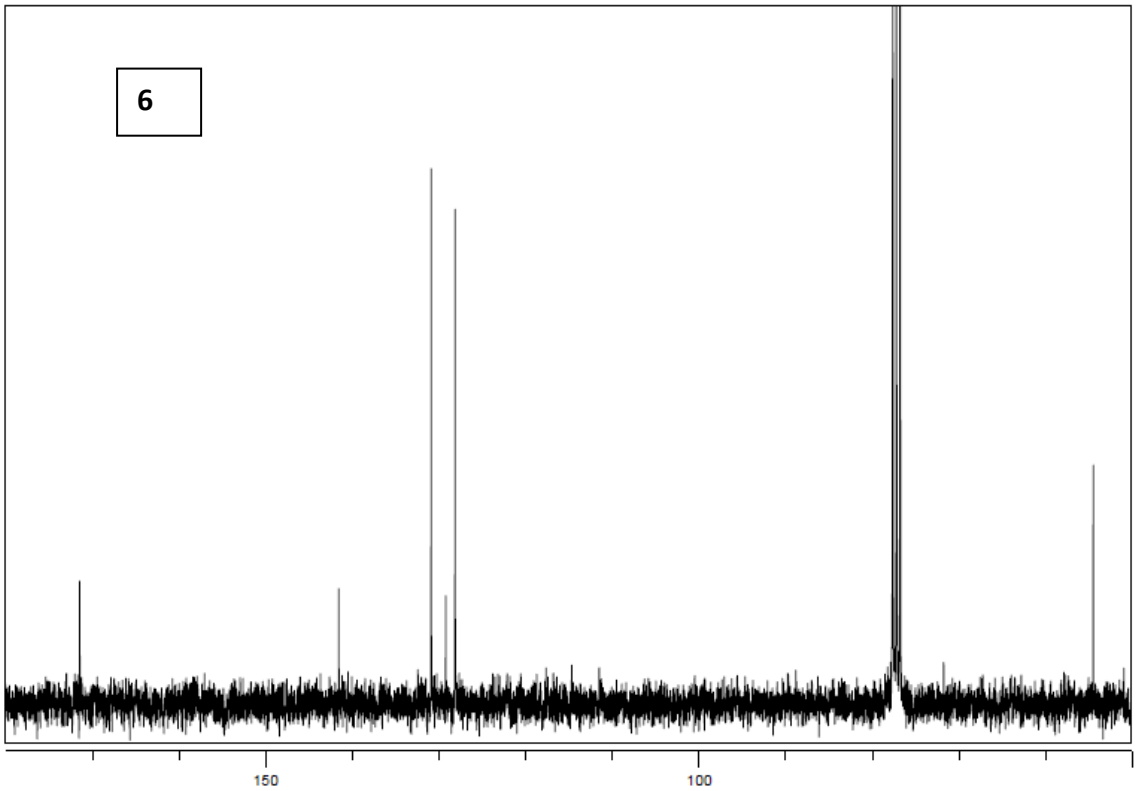
^{1}H NMR (400 MHz, DMSO-d_6) δ (ppm) 5.72 (s, 2 H, H-6), 6.98 (d, $^3J_{HH}$ = 8.2 Hz, 2 H, H-4), 7.41 (d, $^3J_{HH}$ = 8.5 Hz, 2 H, H-11), 7.67 (d, $^3J_{HH}$ = 8.5 Hz, 2 H, H-10), 7.84 (d, $^3J_{HH}$ = 8.2 Hz, 2 H, H-3), 8.00 (s, 1 H, H-8); HRMS (ESI+) (m/z): [$MH^{+\cdot}$]: calcd for $C_{16}H_{13}BrN_3O_2$, 358.0186; found, 358.0197; MF: $C_{16}H_{12}BrN_3O_2$; MW: 358.19

Copies of spectra of new compounds

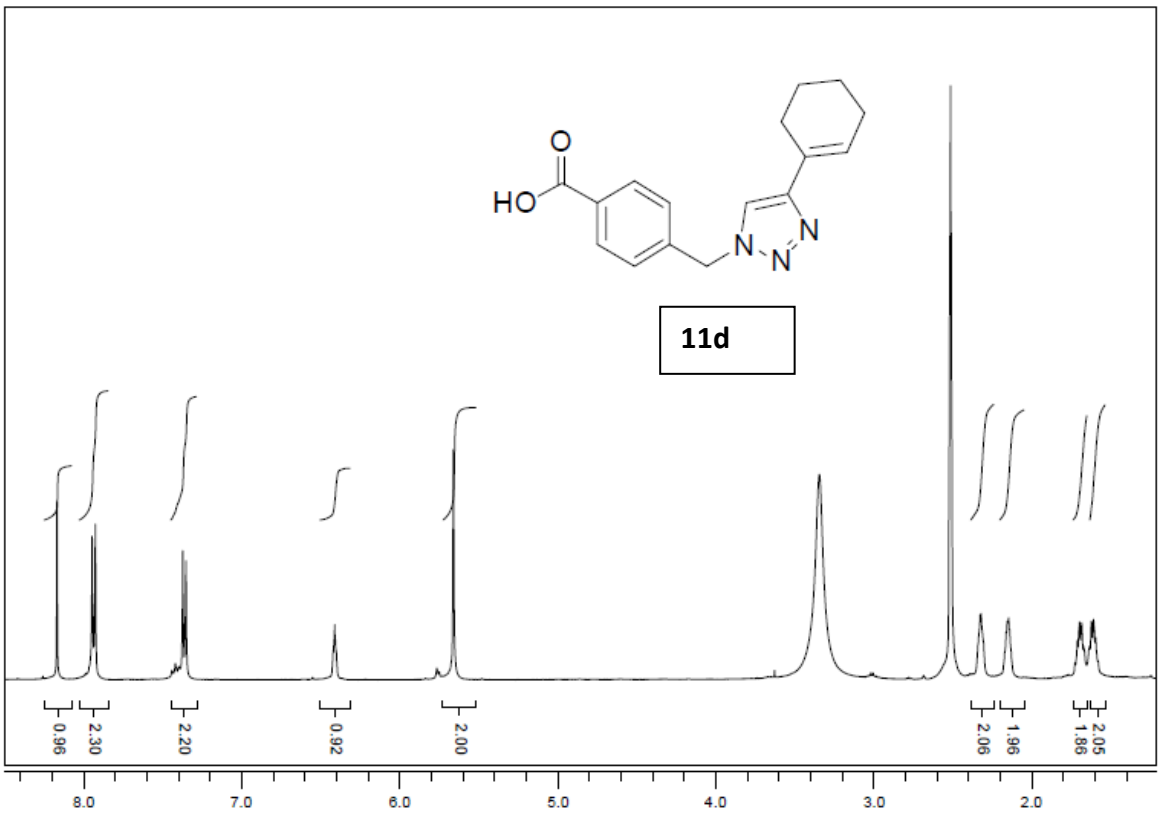
^{1}H-NMR (300 MHz, $CDCl_3$)



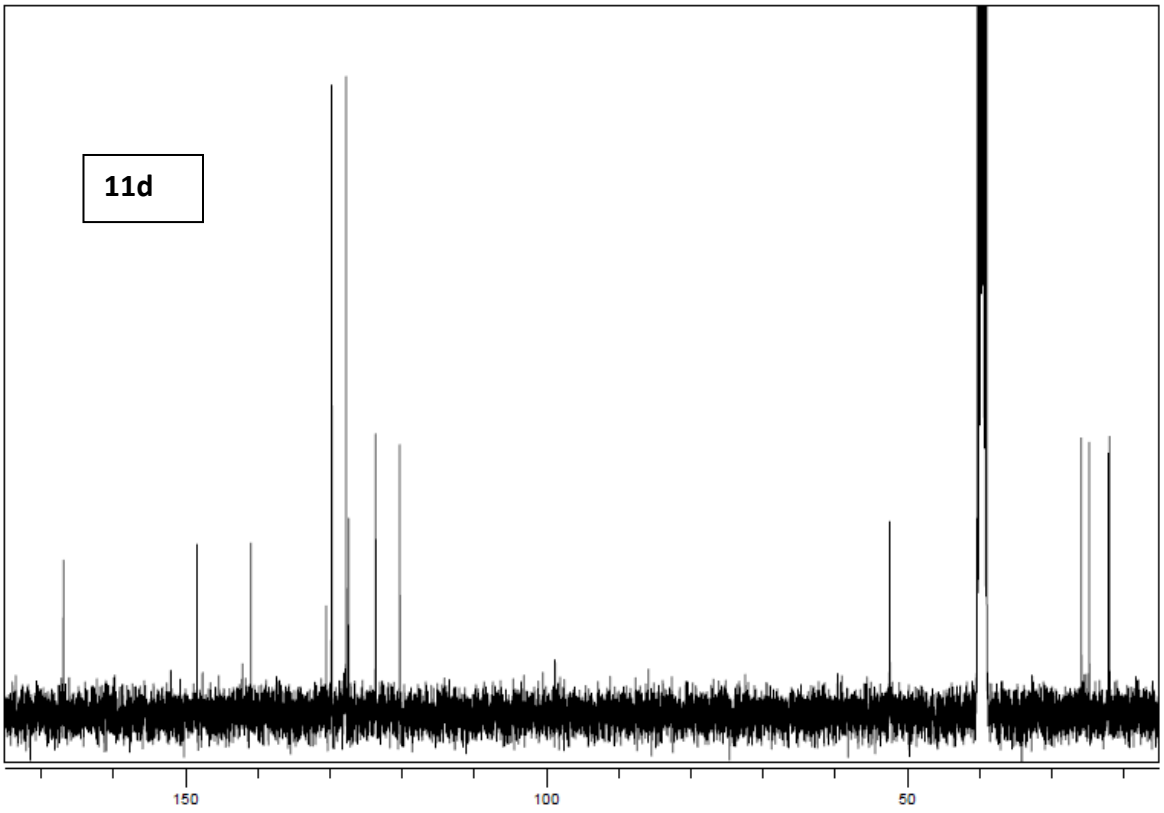
^{13}C-NMR (75 MHz, $CDCl_3$)



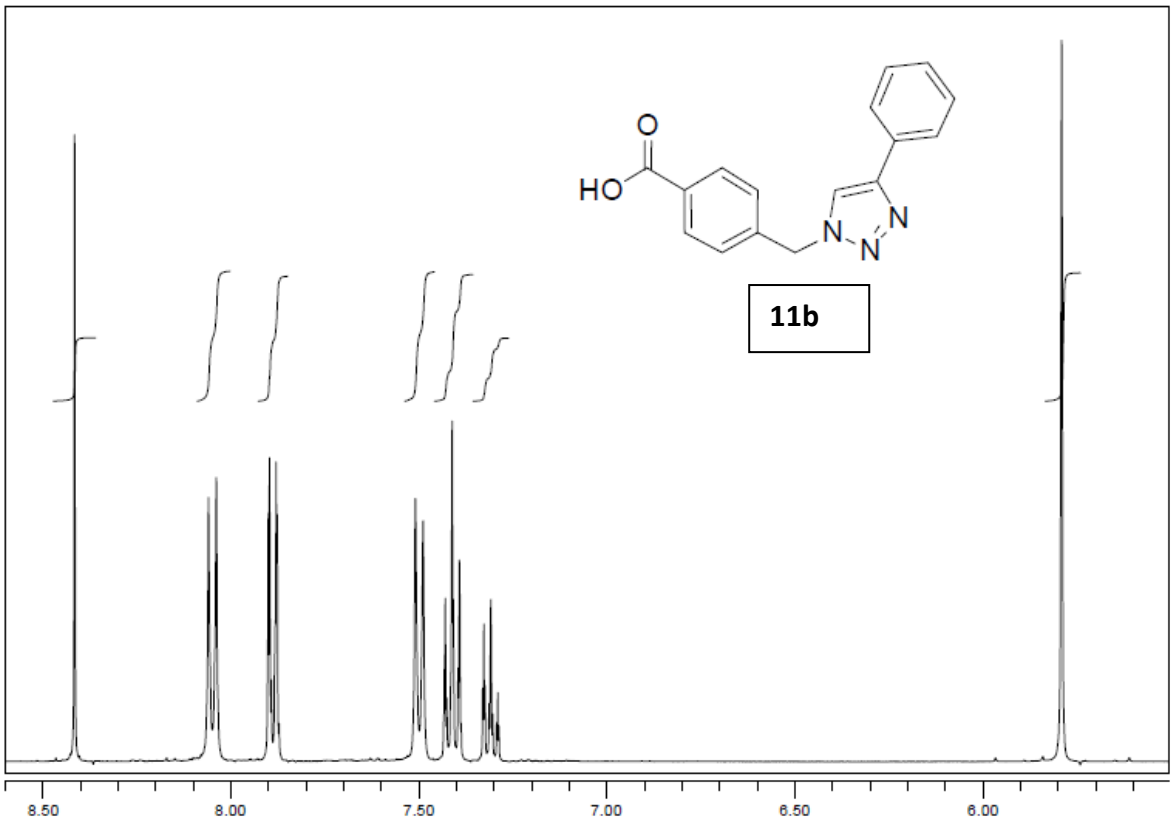
^{1}H-NMR (400 MHz, DMSO-d_6)



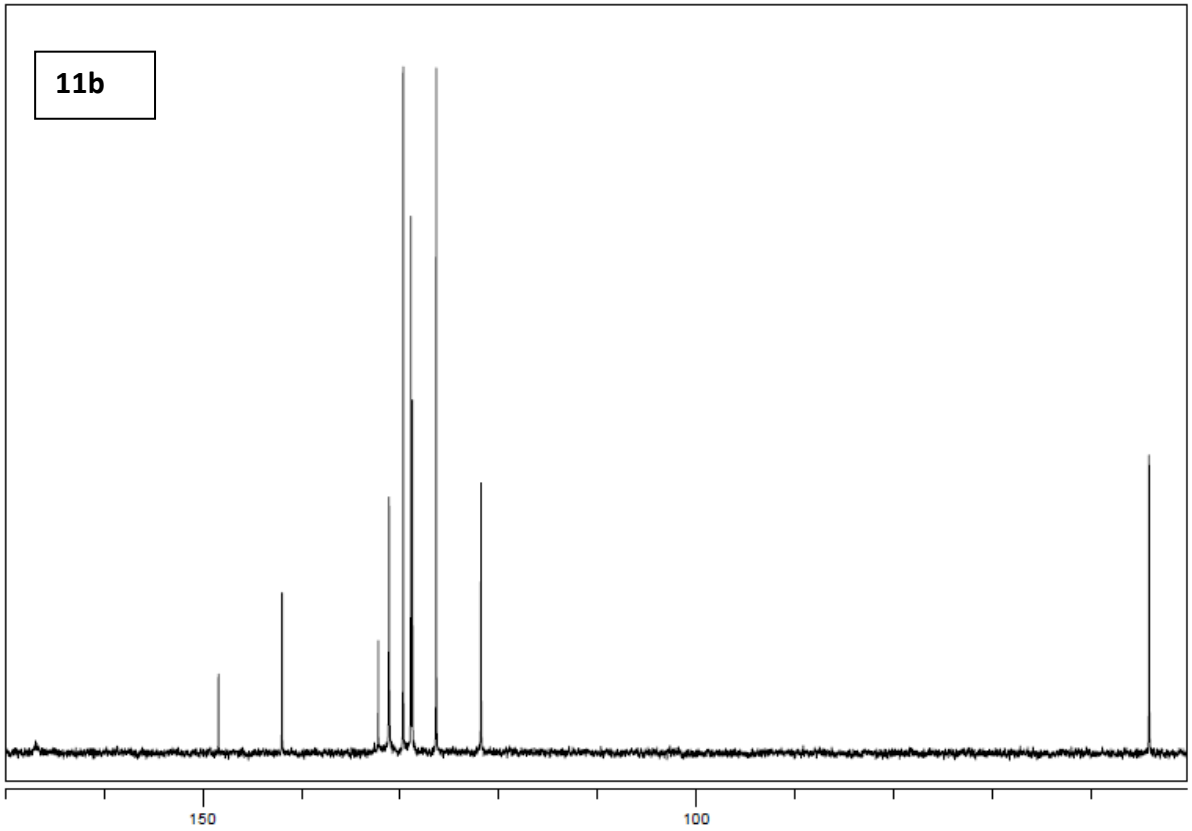
^{13}C-NMR (100 MHz, DMSO-d_6)



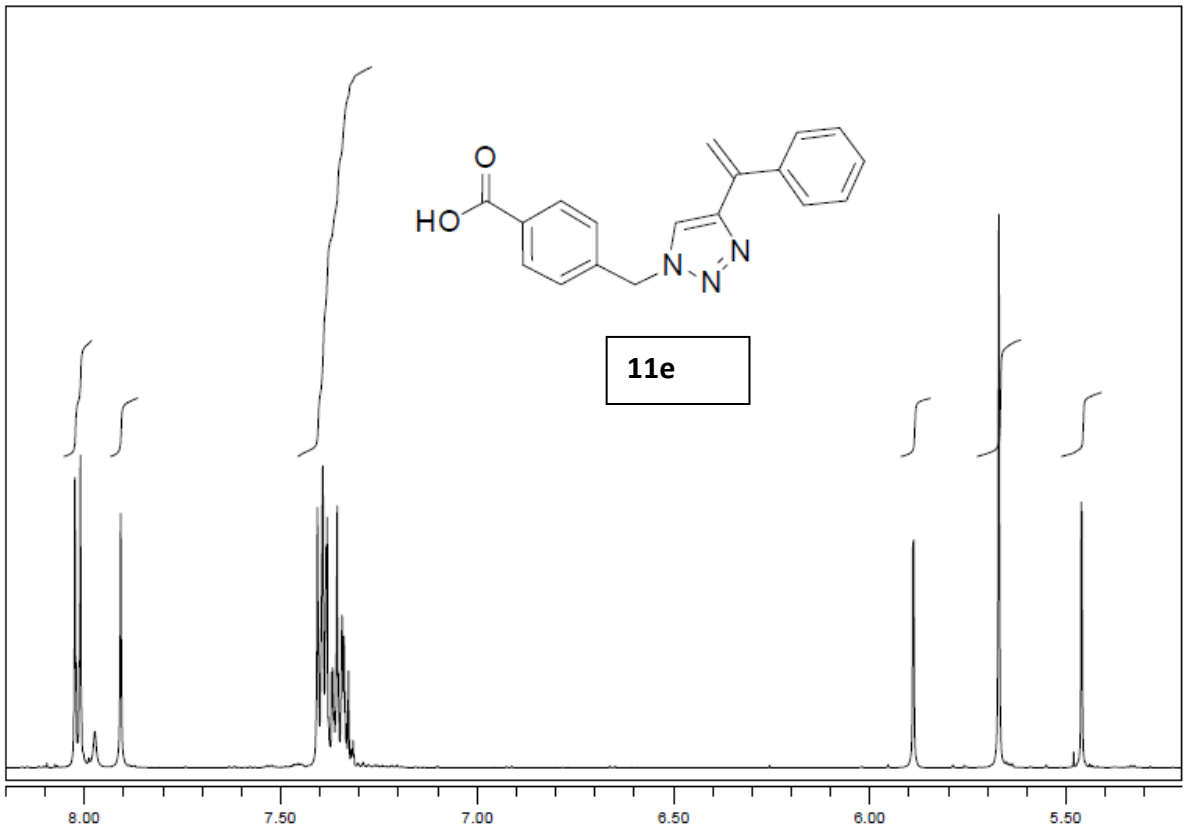
^{1}H NMR (400 MHz, acetone-d_6)



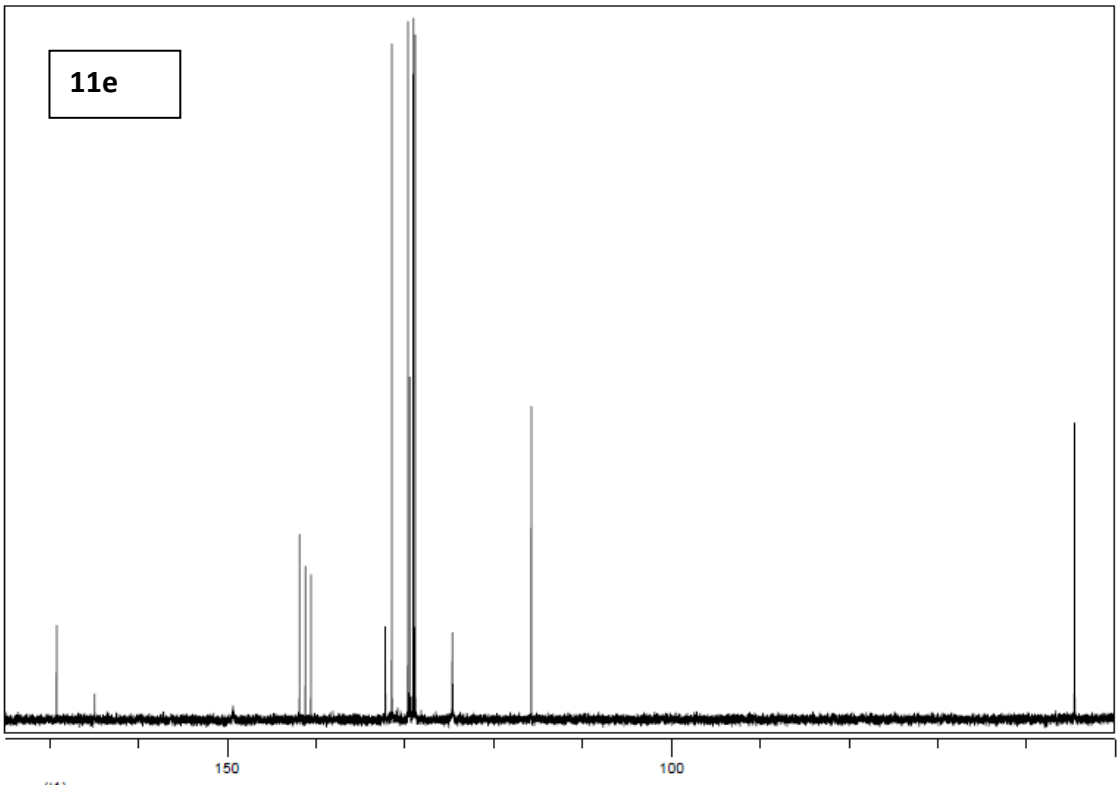
^{13}C NMR (100 MHz, acetone-d_6)



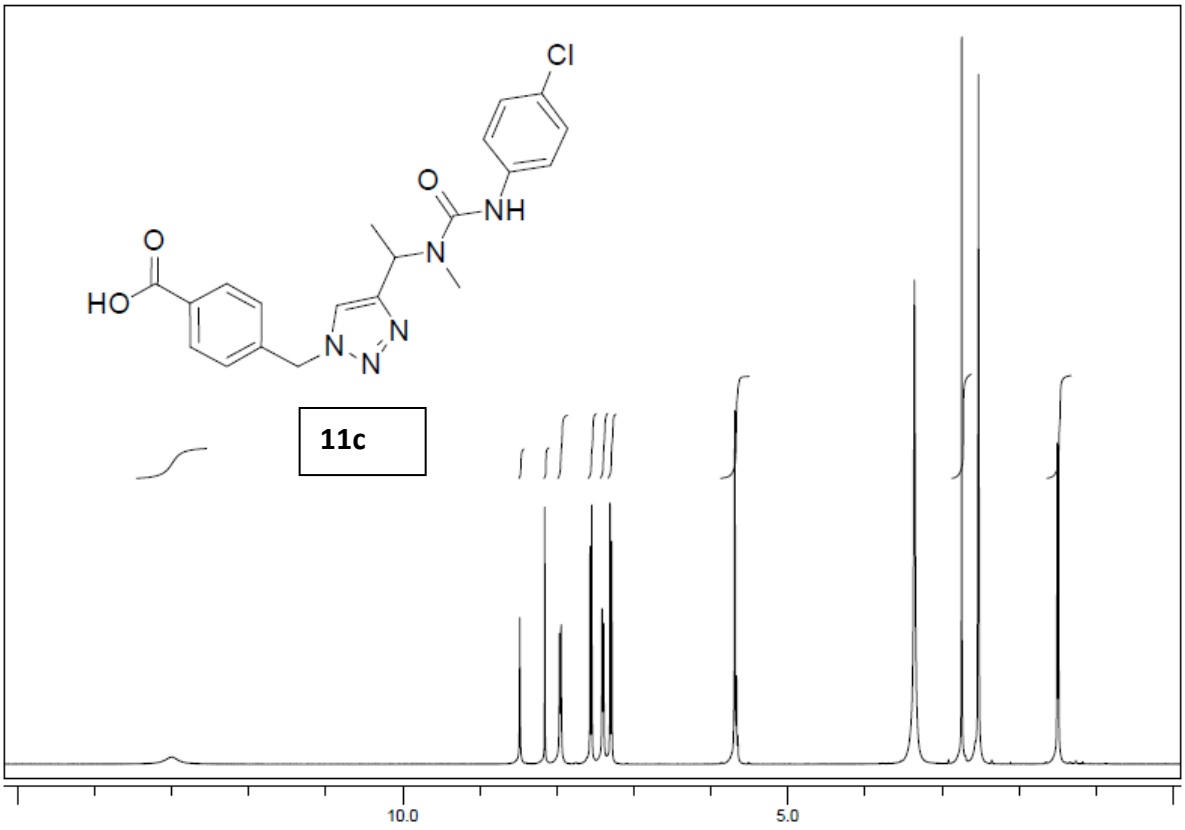
^{1}H NMR (600 MHz, methanol-*d*4)



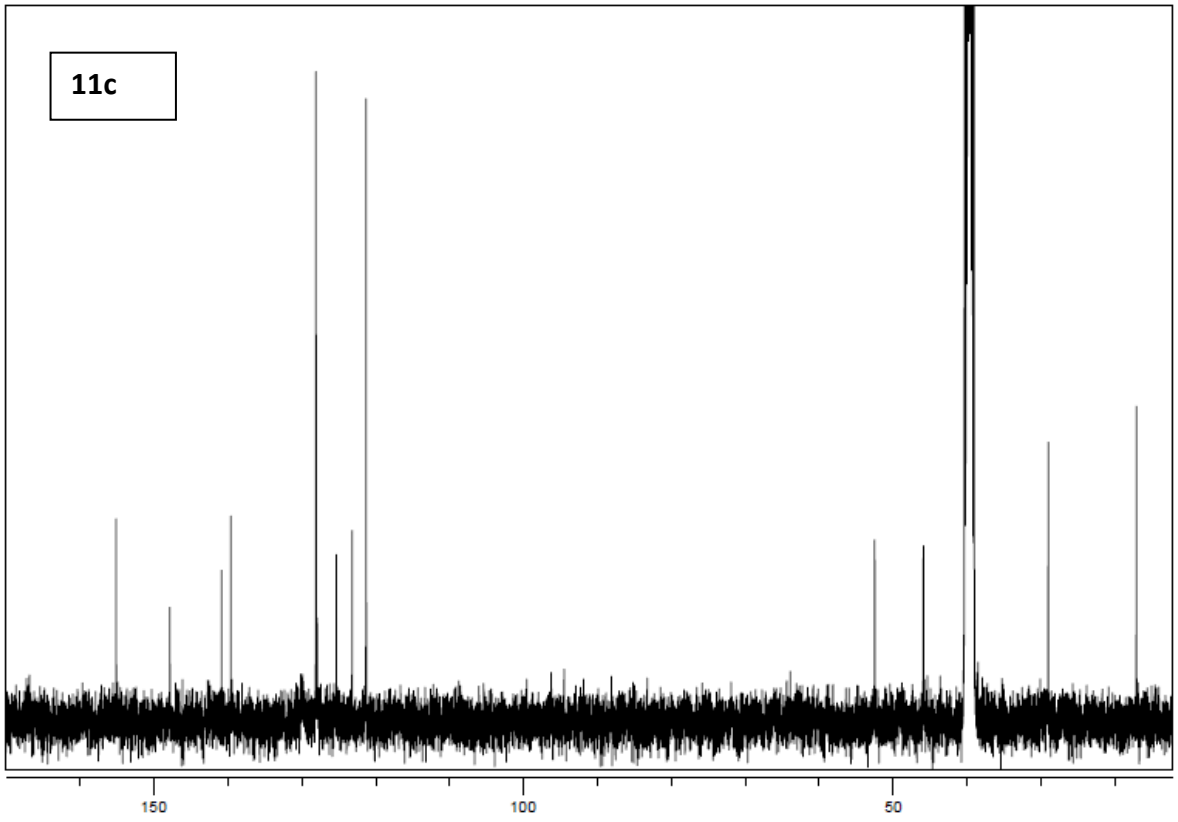
^{13}C NMR (150 MHz, methanol-*d*4)



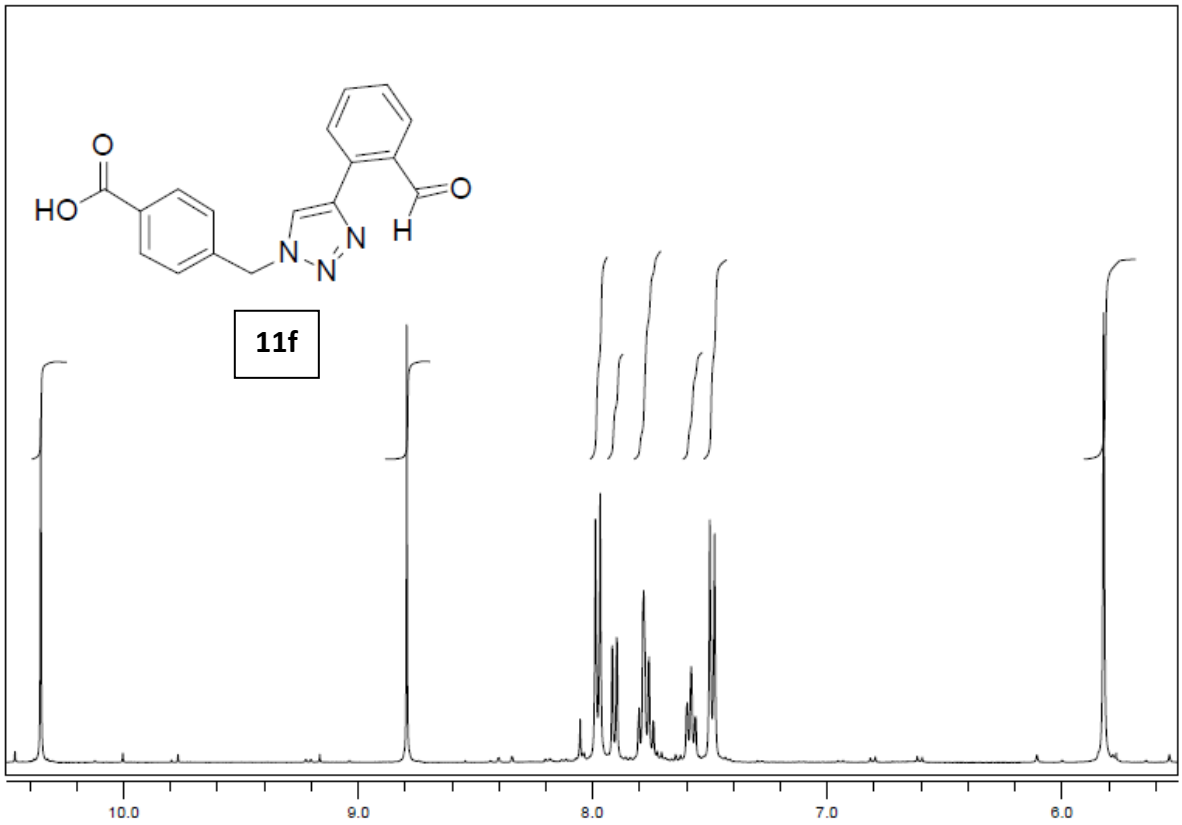
^{1}H-NMR (400 MHz, DMSO-d_6)



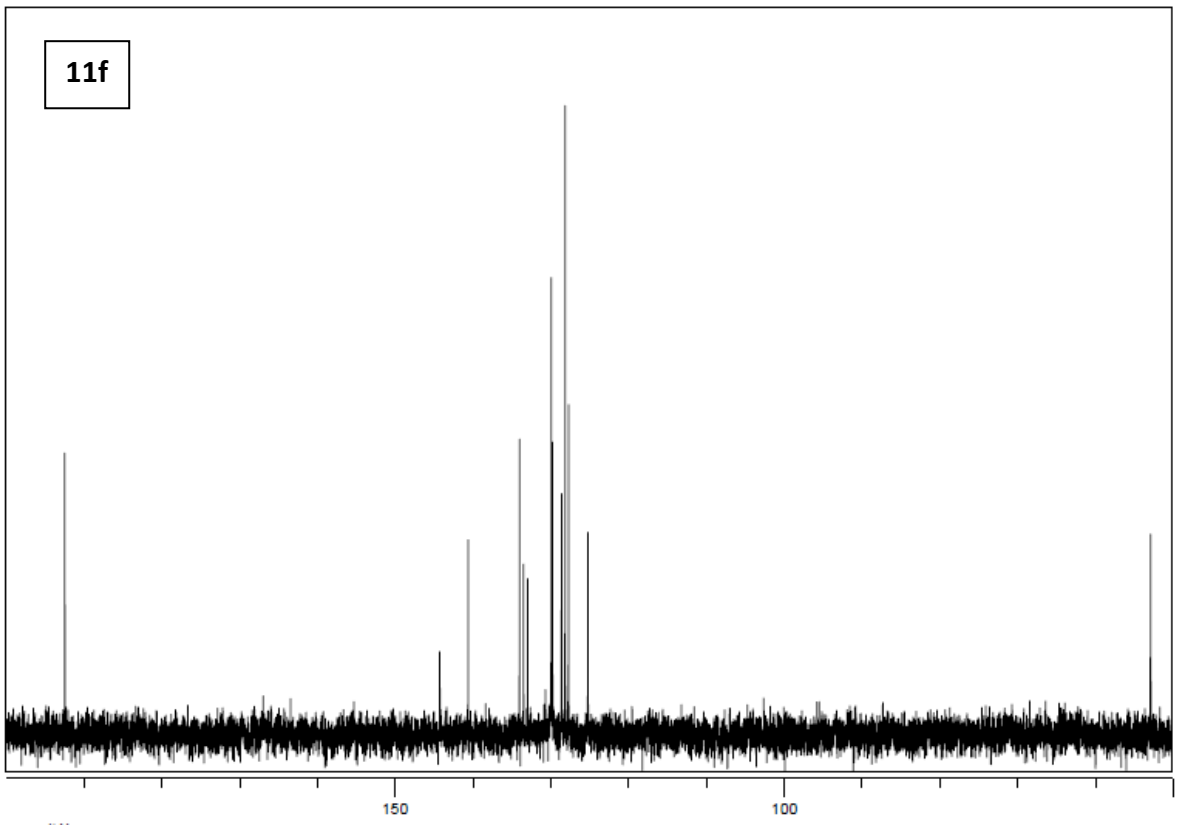
^{13}C-NMR (100 MHz, DMSO-d_6)



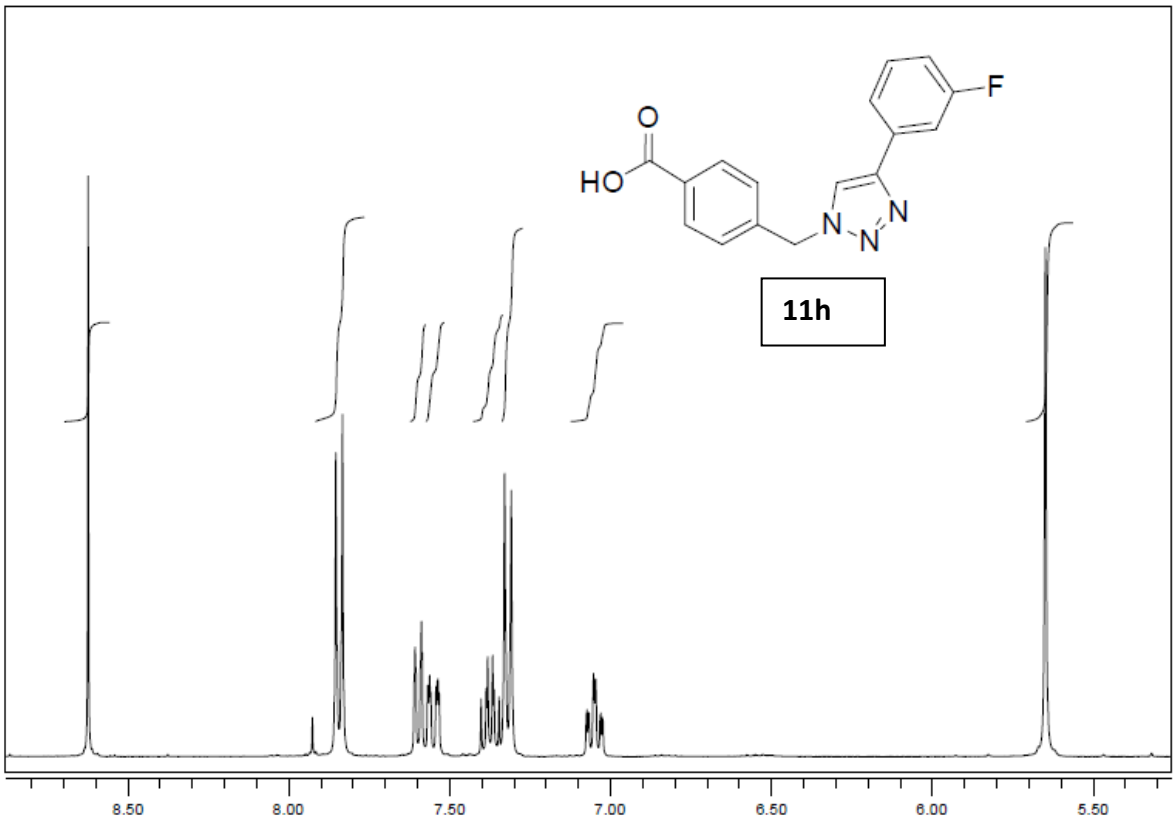
^{1}H-NMR (400 MHz, DMSO-d_6)



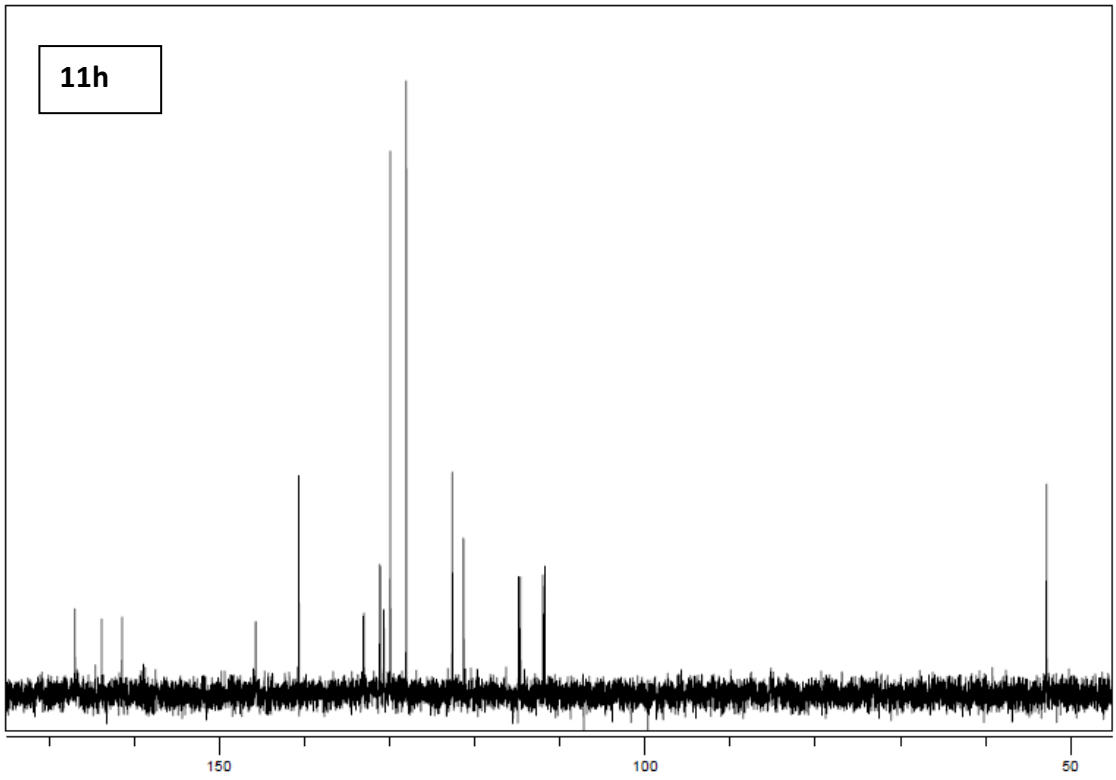
^{13}C-NMR (100 MHz, DMSO-d_6)



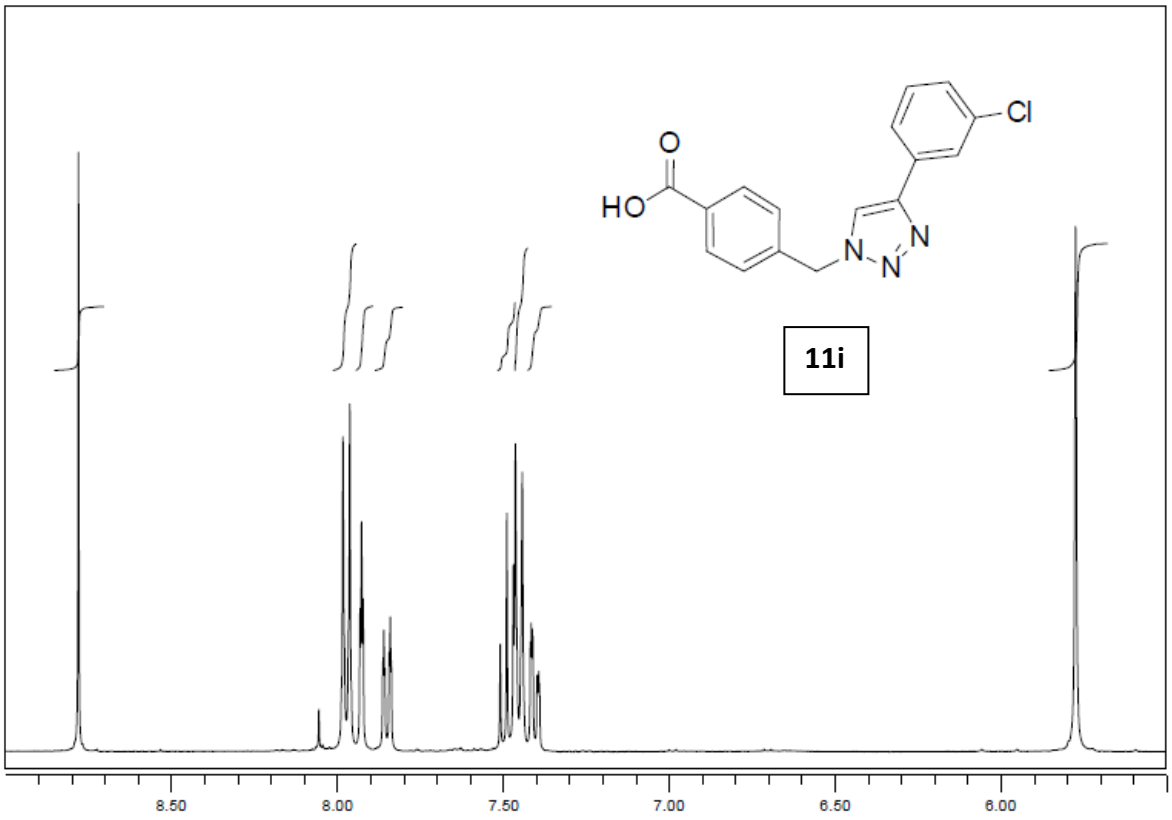
^{1}H-NMR (400 MHz, DMSO-d_6)



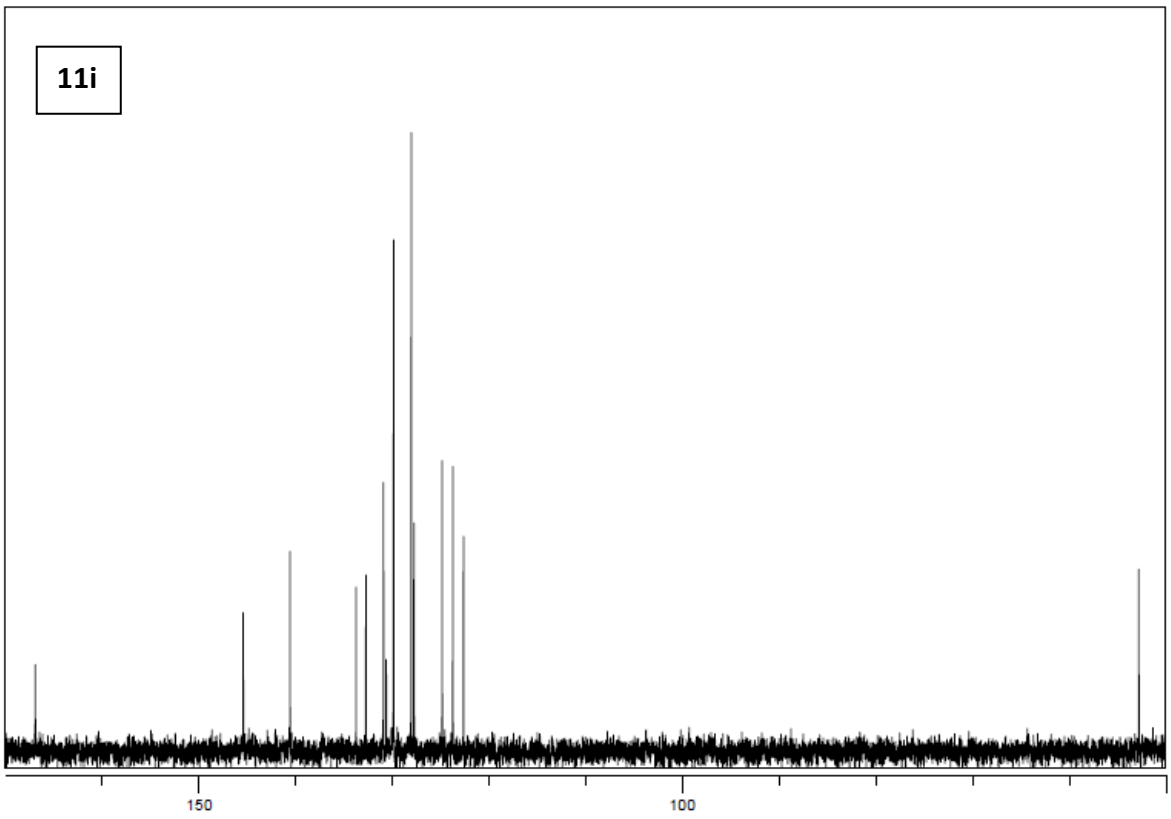
^{13}C-NMR (100 MHz, DMSO-d_6)



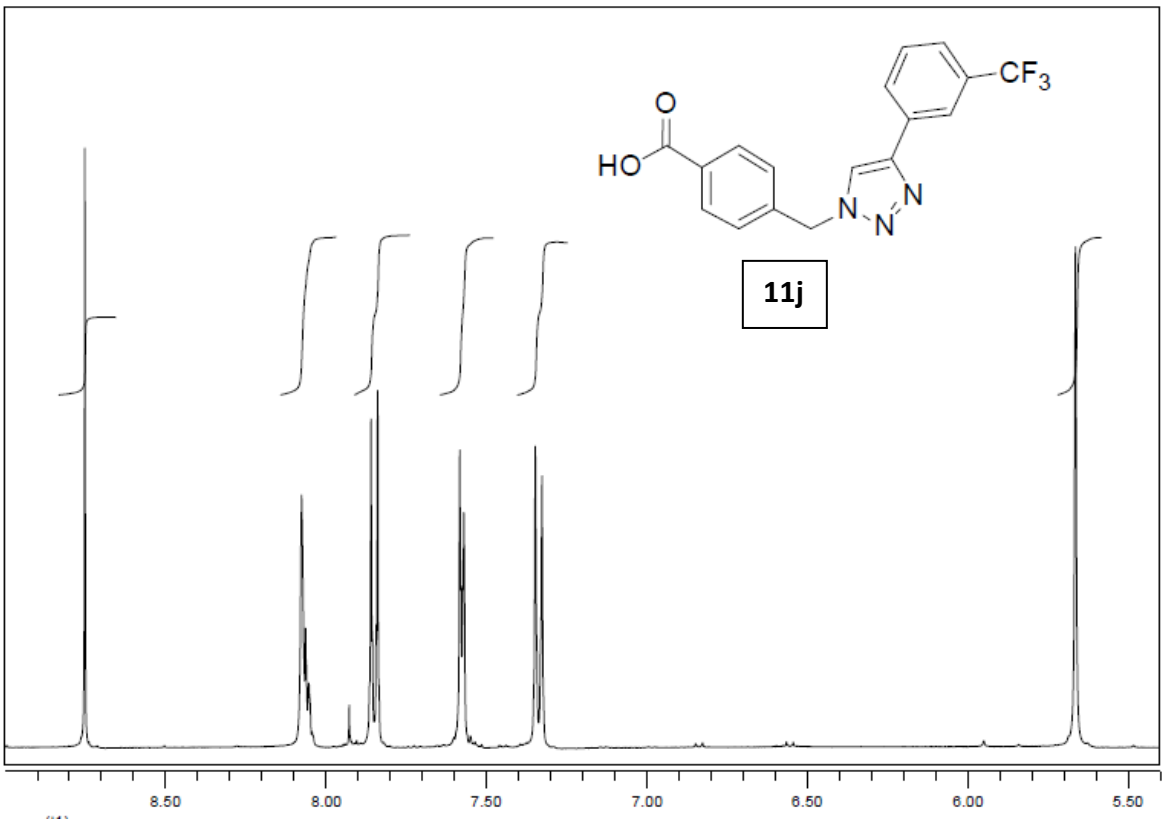
^{1}H-NMR (400 MHz, DMSO-d_6)



^{13}C-NMR (100 MHz, DMSO-d_6)



^{1}H-NMR (400 MHz, DMSO-d_6)



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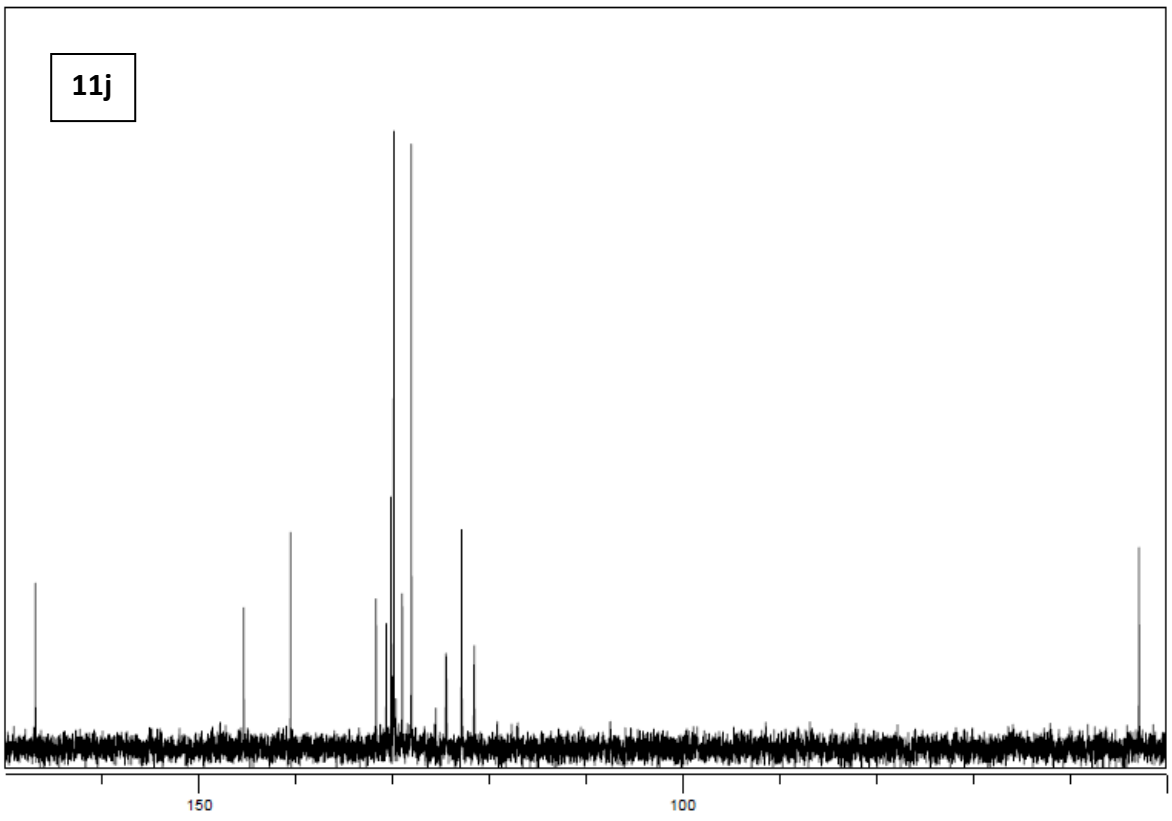
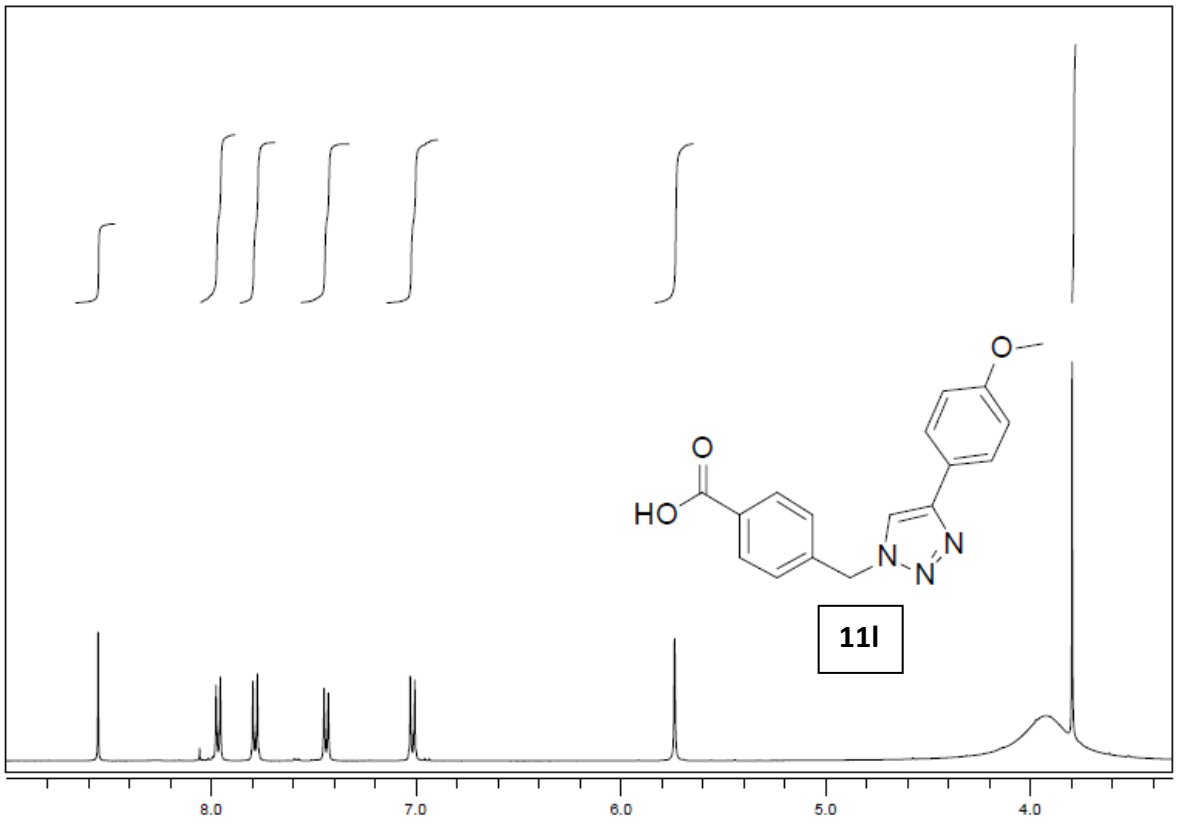
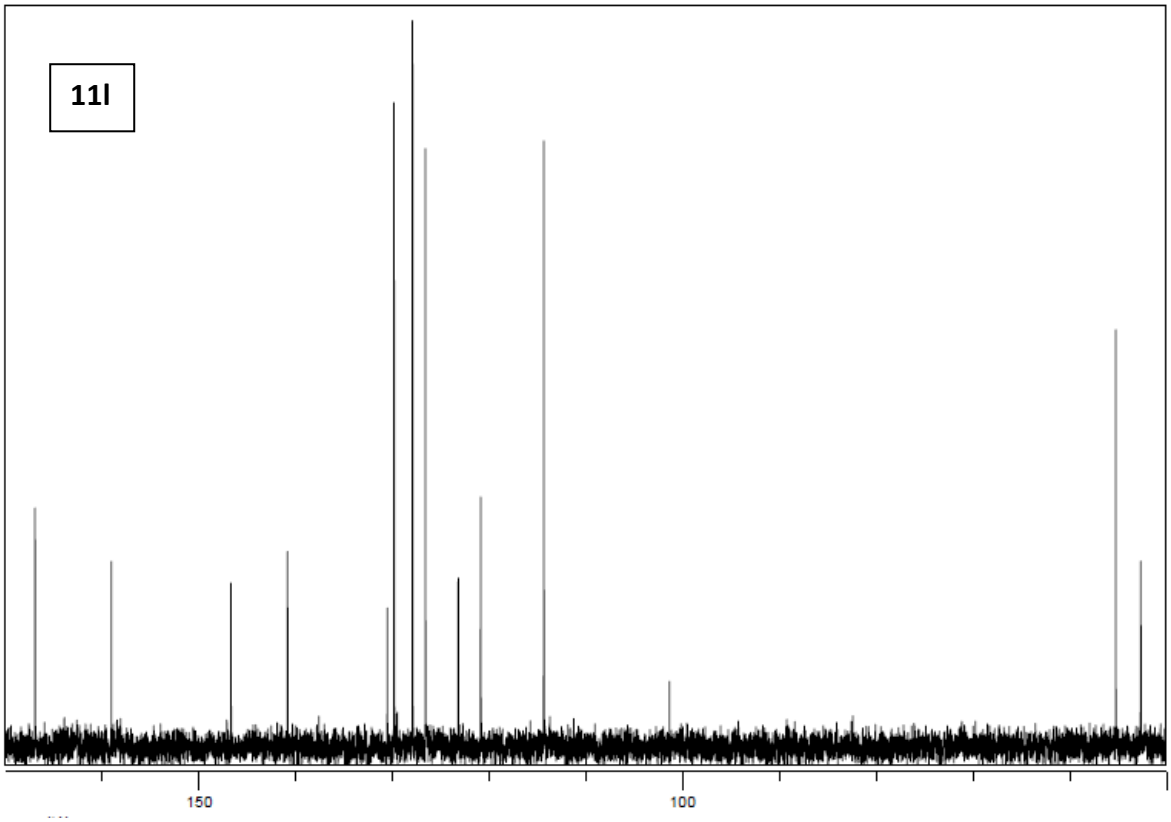


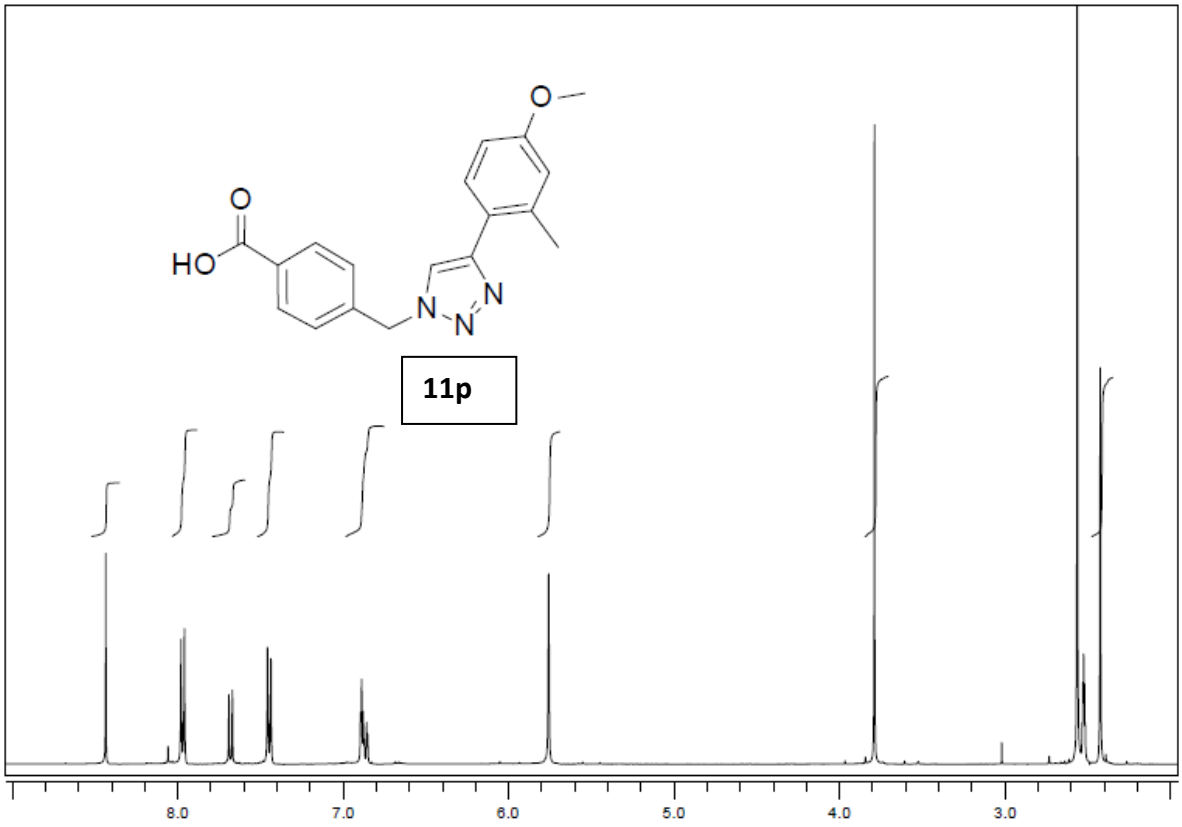
^{1}H-NMR (400 MHz, DMSO-d_6)



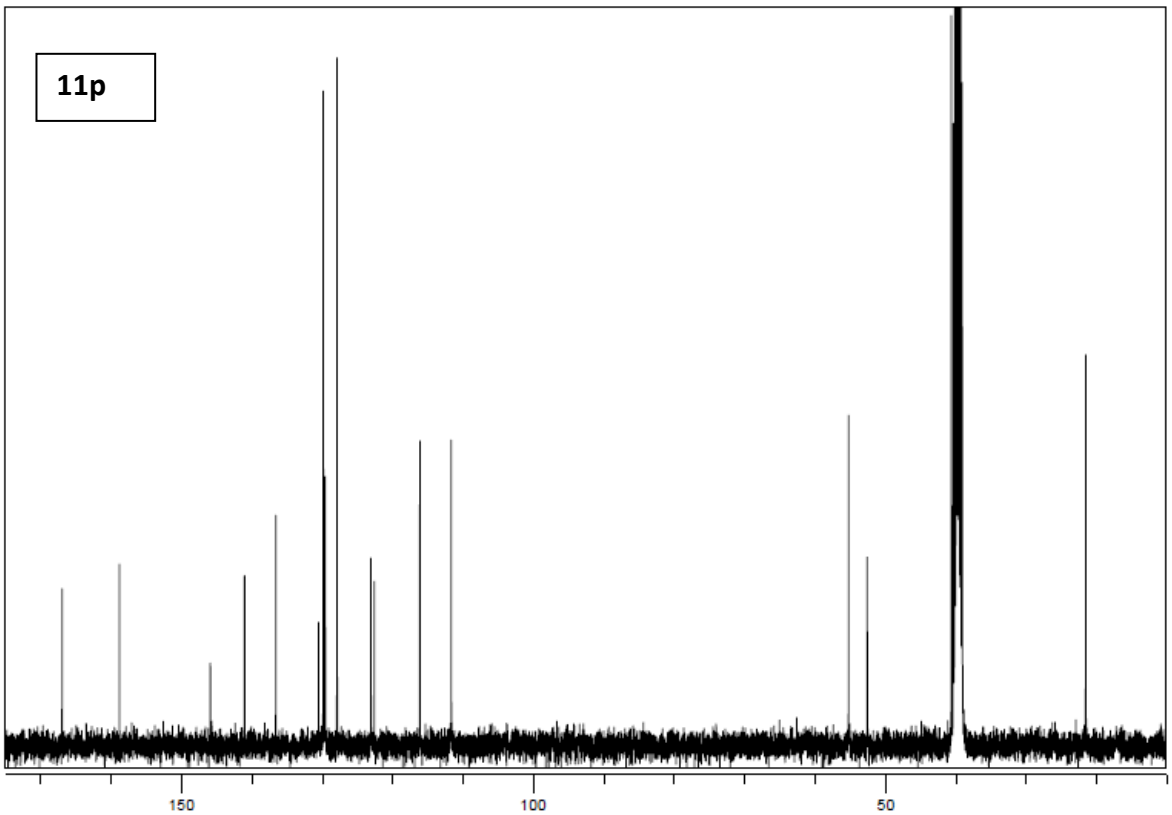
^{13}C-NMR (100 MHz, DMSO-d_6)



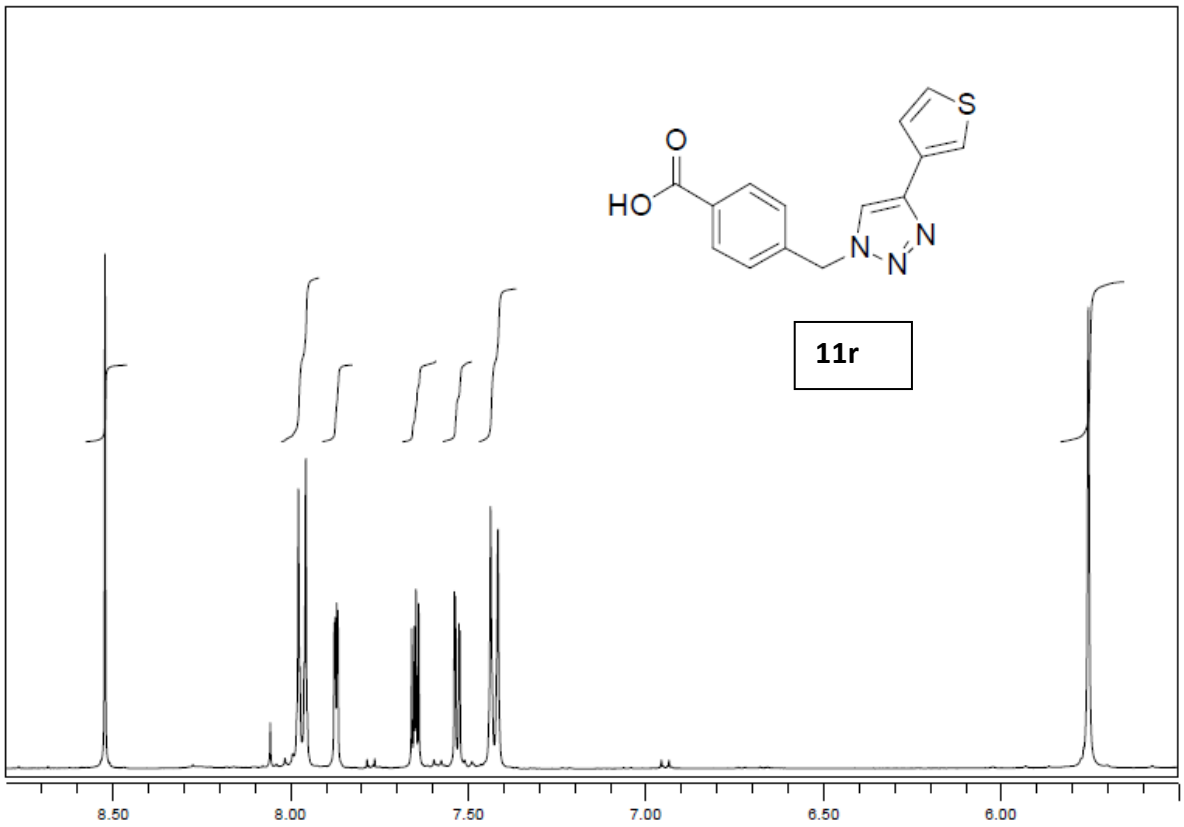
^{1}H-NMR (400 MHz, DMSO-d_6)



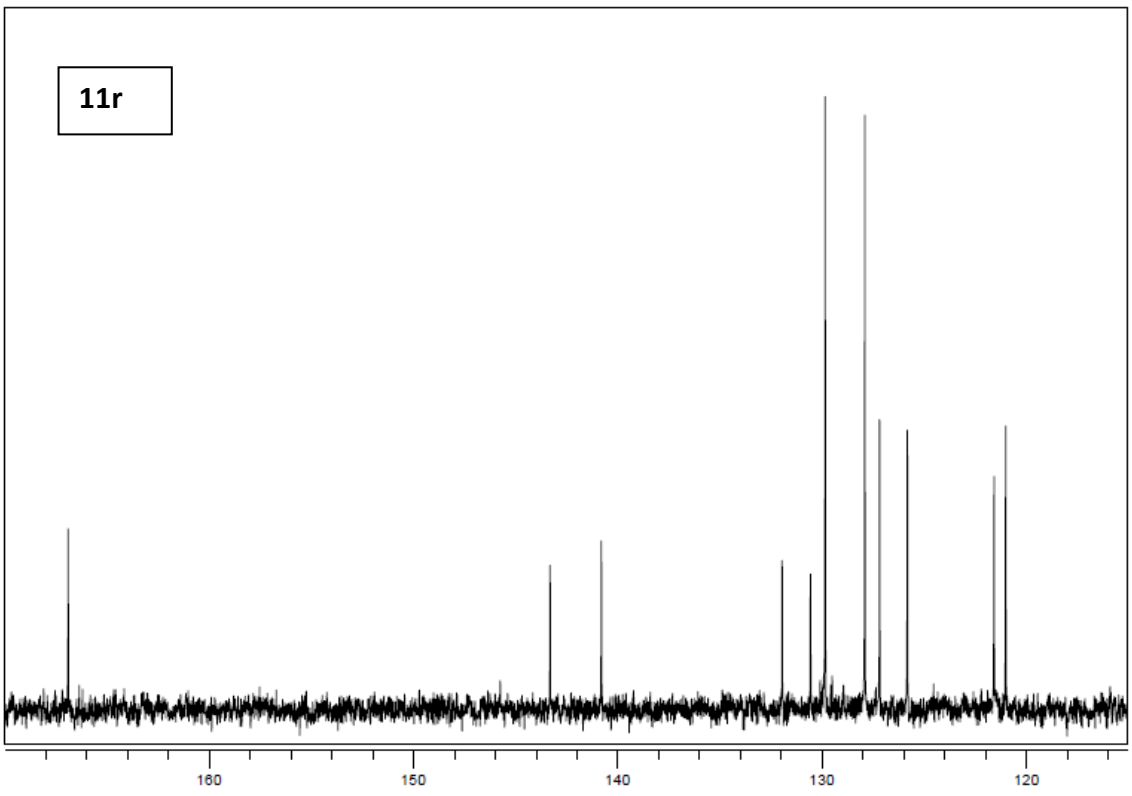
^{13}C-NMR (100 MHz, DMSO-d_6)



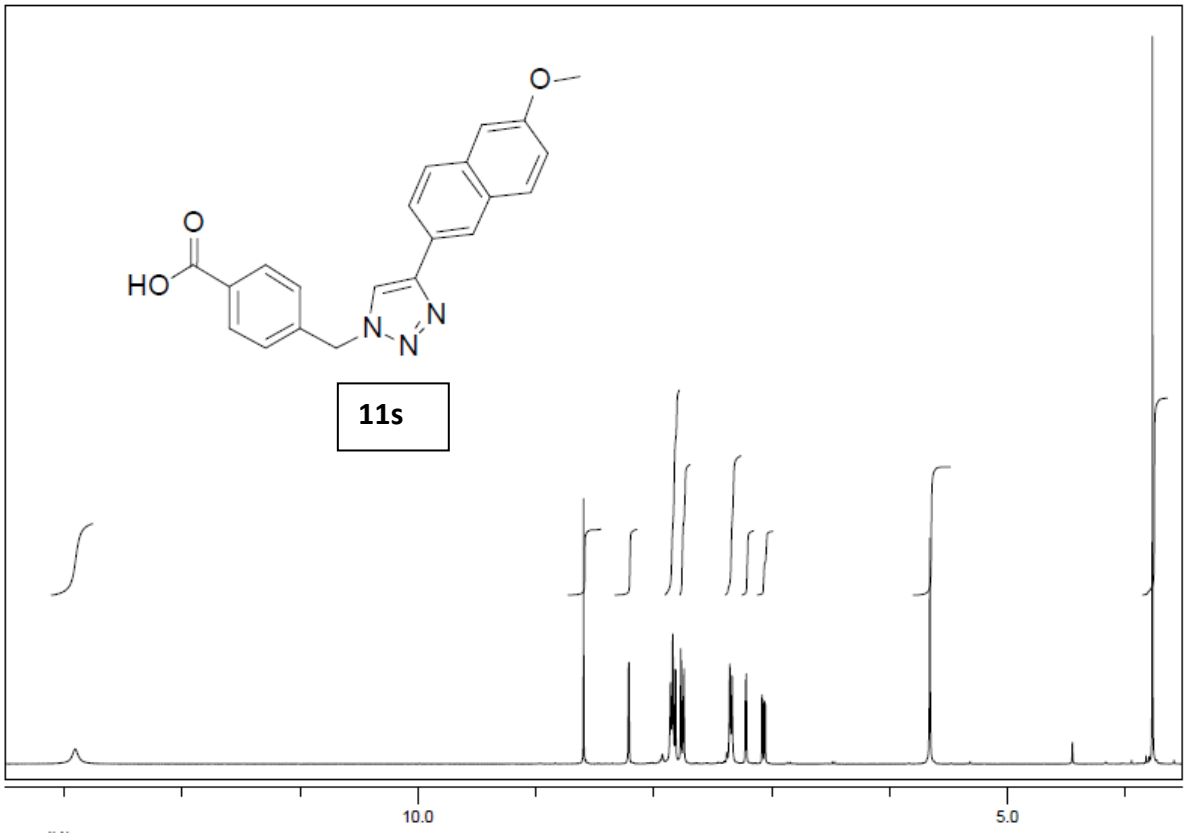
^{1}H-NMR (400 MHz, DMSO-d_6)



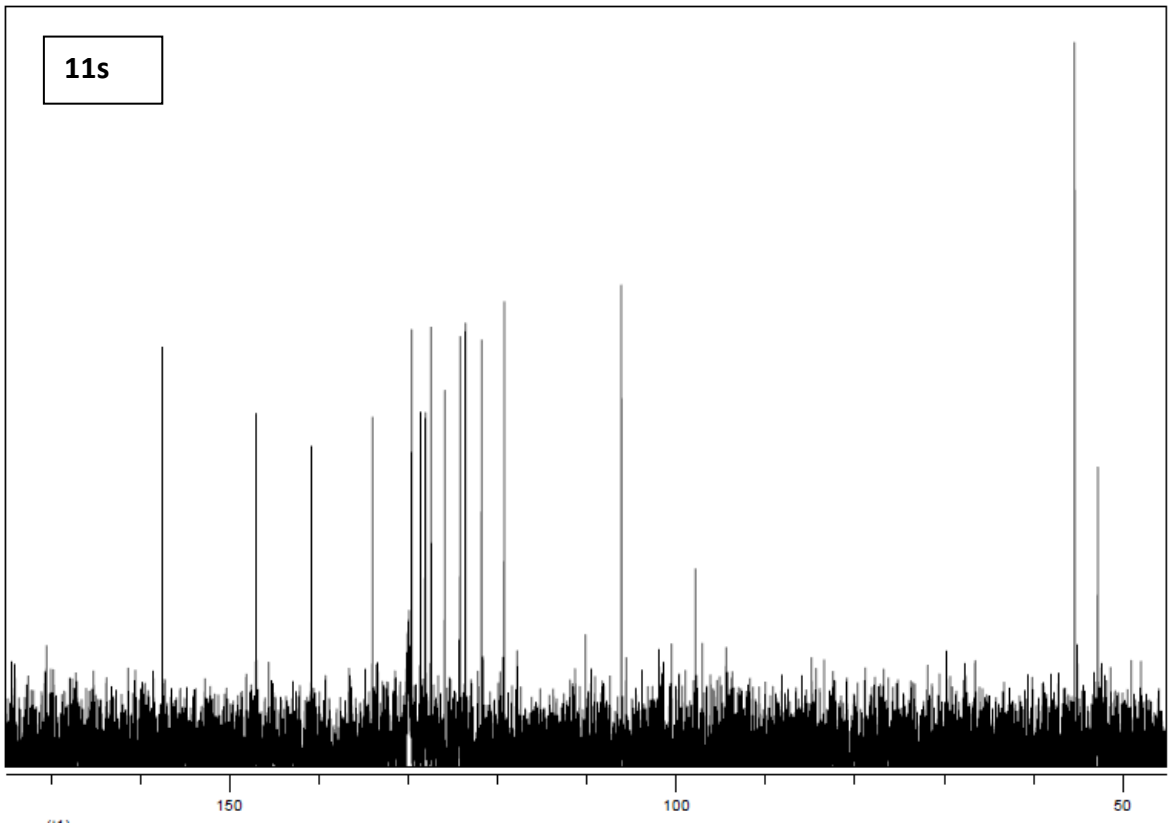
^{13}C-NMR (100 MHz, DMSO-d_6)



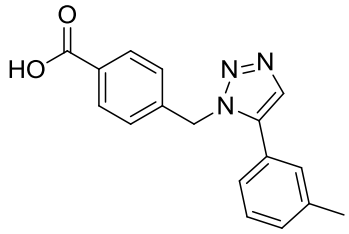
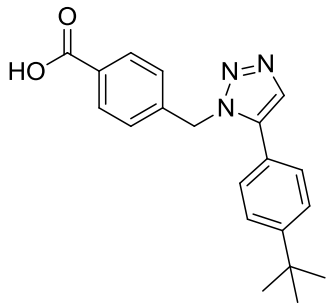
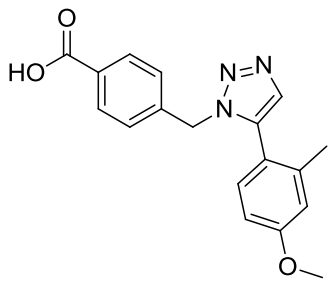
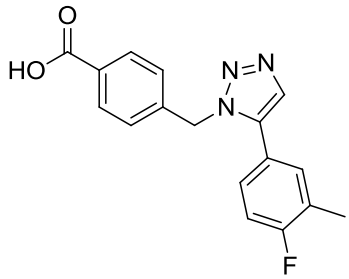
^{1}H-NMR (400 MHz, DMSO-d_6)

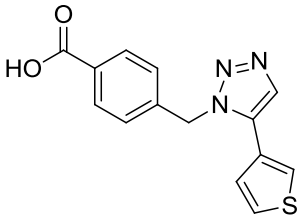
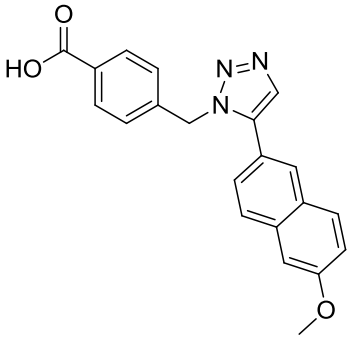
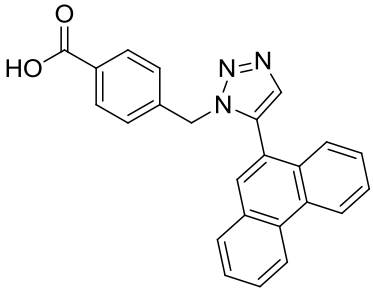
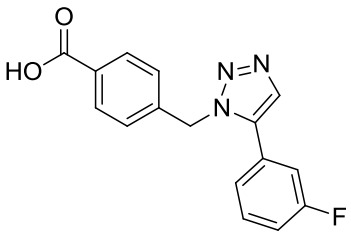
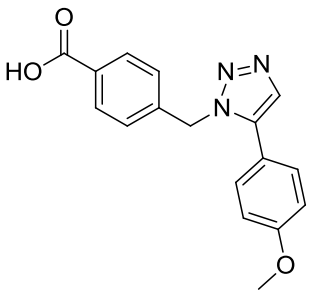


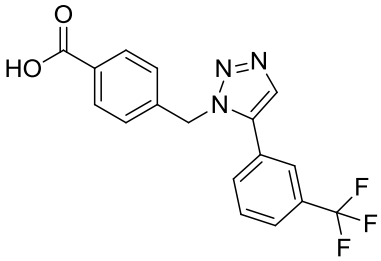
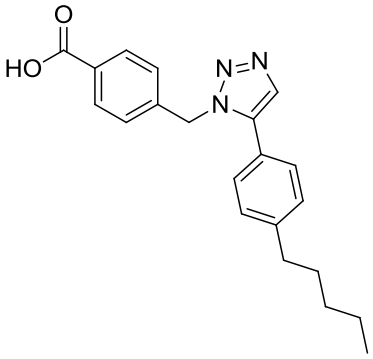
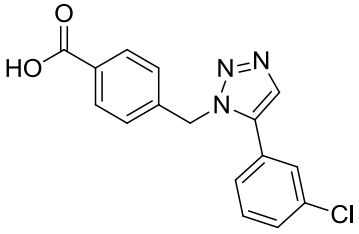
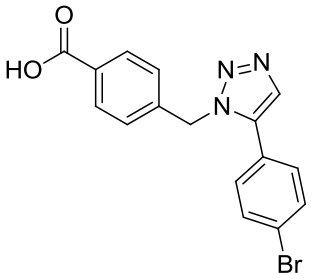
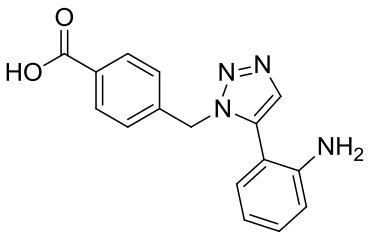
^{13}C-NMR (100 MHz, DMSO-d_6)

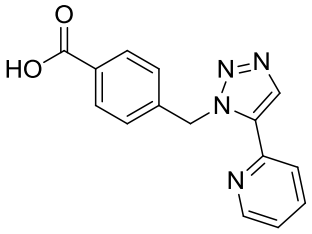
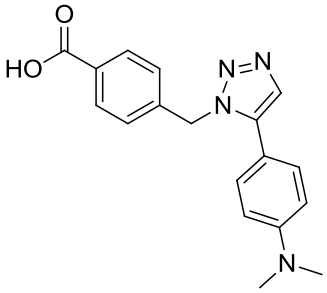
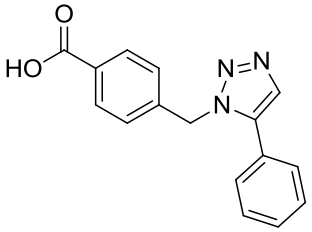
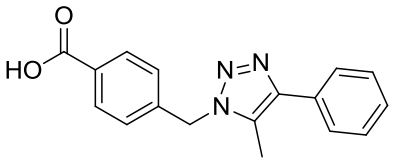
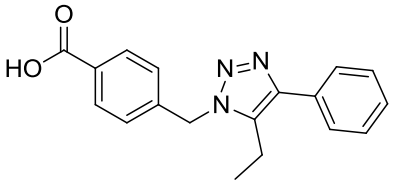
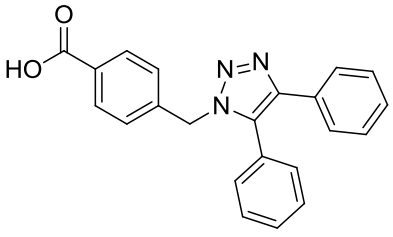


Data on purity of crude material after resin cleavage

Structure	Formula	Calculated exact mass	Crude weight	Crude purity (UV area percent)
	C17H15N3O2	293.1164267	14.7 mg	43.3%
	C20H21N3O2	335.1633769	17.6 mg	80.2%
	C18H17N3O3	323.1269914	16.1 mg	81.2%
	C17H14FN3O2	311.1070049	23.0 mg	88.8%

	$C_{14}H_{11}N_3O_2S$	285.0571973	22.6 mg	92.3%
	$C_{21}H_{17}N_3O_3$	359.1269914	21.2 mg	95.0%
	$C_{24}H_{17}N_3O_2$	379.1320768	17.9 mg	74.3%
	$C_{16}H_{12}FN_3O_2$	297.0913548	25.7 mg	88.8%
	$C_{17}H_{15}N_3O_3$	309.1113414	23.6 mg	94.2%

	$C_{17}H_{12}F_3N_3O_2$	347.0881612	26.0 mg	90.3%
	$C_{21}H_{23}N_3O_2$	349.179027	19.2 mg	82.3%
	$C_{16}H_{12}ClN_3O_2$	313.0618044	24.1 mg	89.1%
	$C_{16}H_{12}BrN_3O_2$	357.0112892	28.6 mg	91.5%
	$C_{16}H_{14}N_4O_2$	294.1116757	40.4 mg	64.7%

	$C_{15}H_{12}N_4O_2$	280.0960257	15.2 mg	91.5%
	$C_{18}H_{18}N_4O_2$	322.1429758	34.1 mg	96.3%
	$C_{16}H_{13}N_3O_2$	279.1007767	29.2 mg	91.0%
	$C_{17}H_{15}N_3O_2$	293.1164267	17.4 mg	78.1%
	$C_{18}H_{17}N_3O_2$	307.1320768	20.0 mg	83.7%
	$C_{22}H_{17}N_3O_2$	355.1320768	27.2 mg	97.9%

References

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3. Wang, M.; Das, M. R.; Li, M.; Boukherroub, R.; Szunerits, S. *J. Phys. Chem. C* **2009,** *113,* 17082–17086. doi:10.1021/jp904501q
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