Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.

Supporting Information-I

Reaction Engineering and Photophysical Studies of Fully Enriched C-Vinyl-1,2,3-Triazoles

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General Methods: The ^{1}H NMR and ^{13}C NMR spectra were recorded at 400 MHz and 100 MHz respectively. The chemical shifts are reported in ppm downfield to TMS ($\delta = 0$) for ^{1}H NMR and relative to the central $CDCl_3$ resonance ($\delta = 77.0$) for ^{13}C NMR. *In the ^{13}C NMR spectra, the nature of the carbons (C, CH, CH_2 or CH_3) was determined by recording the DEPT-135 experiment, and is given in parentheses.* The coupling constants *J* are given in Hz. Column chromatography was performed using Acme's silica gel (particle size 0.063-0.200 mm). High-resolution mass spectra were recorded on micromass ESI-TOF MS. GCMS mass spectrometry was performed on Shimadzu GCMS-QP2010 mass spectrometer. IR spectra were recorded on JASCO FT/IR-5300 and Thermo Nicolet FT/IR-5700. Elemental analyses were recorded on a Thermo Finnigan Flash EA 1112 analyzer. Mass spectra were recorded on either VG7070H mass spectrometer using EI technique or Shimadzu-LCMS-2010 A mass spectrometer. The X-ray diffraction measurements were carried out at 298 K on an automated Enraf-Nonious MACH 3 diffractometer using graphite monochromated, Mo-Kα (λ = 0.71073 Å) radiation with CAD4 software or the X-ray intensity data were measured at 298 K on a Bruker SMART APEX CCD area detector system equipped with a graphite monochromator and a Mo-Kα fine-focus sealed tube (λ = 0.71073 Å). For thin-layer chromatography (TLC), silica gel plates Merck 60 F254 were used and compounds were visualized by irradiation with UV light and/or by treatment with

a solution of *p*-anisaldehyde (23 mL), conc. H_2SO_4 (35mL), acetic acid (10 mL), and ethanol (900 mL) followed by heating. Absorbance spectra were recorded on a Shimadzu model UV-3100 or Cary 100 Bio UV-Visible spectrophotometer and fluorescence emission spectra were recorded on a Jobin Yvon Horiba model Fluoromax-3 spectrofluorometer.

Materials: All solvents and commercially available chemicals were used as received. Starting materials **1a-e**,[1] **5a-e**,[2] **7a-f**,[3] **5f/5'f**[4], **7f/7'f**[5] and **2j**[6] were synthesized based on the previous literature methods.

General Experimental Procedures:

Procedure A: General procedure for the DBU-catalyzed formal [3+2]-cycloaddition reactions: In an ordinary glass vial equipped with a magnetic stirring bar, to 0.10 mmol of DBU (**3d**) in DMSO (1.0 mL), was added 0.75 mmol of aryl azide **2** and 0.5 mmol of corresponding allylic ketones (**1**, **5** and **7)** and the reaction mixture was stirred at 25 °C. The crude reaction mixture was worked up with aqueous NH_4Cl solution and the aqueous layer was extracted with dichloromethane (2 x 20 mL). The combined organic layers were dried (Na_2SO_4), filtered and concentrated. Pure click products were obtained by column chromatography (silica gel, mixture of hexane/ethyl acetate).

Procedure B: General procedure for the SeO_2 oxidation: In an ordinary glass vial equipped with a magnetic stirring bar, to 0.05 mmol of CH_3COOH and 300µL of H_2O in 1,4-dioxane (1.0 mL), was added 5 equivalents of SeO_2 and 0.5 mmol of compound **8dd** and the reaction mixture was stirred at 100 °C for 8 h. The crude reaction mixture was worked up with water and the aqueous layer was extracted with dichloromethane (2 x 20 mL). The combined organic layers were dried (Na_2SO_4), filtered and concentrated. Pure oxidized product **12dd** was obtained by column chromatography (silica gel, mixture of hexane/ethyl acetate).

Procedure C: General procedure for the DDQ oxidation: In a 10 mL round bottom flask equipped with a magnetic stirring bar, to 0.5 mmol of compound **8fd** was added 5.0 mL of dry toluene as a solvent and then DDQ (2 equiv., 1.0 mmol) was added. The reaction mixture was

refluxed for 48 h, the crude product was purified by column chromatography on silica gel (hexane/EtOAc) to afford the oxidized product **12fd**.

Procedure D: General procedure for the Et_2NH-catalyzed formal [3+2]-cycloaddition reactions: In an ordinary glass vial equipped with a magnetic stirring bar, to 0.01 mmol of Et_2NH in DMSO (0.3 mL), was added 0.2 mmol of aryl azide **2a** and 0.1 mmol of allylic ketone **5e** and the reaction mixture were stirred at 80 °C for 8 h. The crude reaction mixture was worked up with aqueous NH_4Cl solution and the aqueous layer was extracted with dichloromethane (2 x 20 mL). The combined organic layers were dried (Na_2SO_4), filtered and concentrated. Pure product was obtained by column chromatography (silica gel, mixture of hexane/ethyl acetate).

Procedure E: General procedure for the Et_3N-catalyzed isomerization of 1-phenylbut-3-en-1-one (9a): In an ordinary glass vial equipped with a magnetic stirring bar, to 0.10 mmol of Et_3N in DMSO (1.0 mL), was added 0.75 mmol of aryl azide **2a** and 0.5 mmol of 1-phenylbut-3-en-1-one **9a** and the reaction mixture were stirred at 25 °C for 30 h. The crude reaction mixture was worked up with aqueous NH_4Cl solution and the aqueous layer was extracted with dichloromethane (2 x 20 mL). The combined organic layers were dried (Na_2SO_4), filtered and concentrated. Pure product was obtained by column chromatography (silica gel, mixture of hexane/ethyl acetate).

Procedure F: General procedure for the DBU- (or) K_2CO_3-catalyzed synthesis of 11aa: In an ordinary glass vial equipped with a magnetic stirring bar, to 0.10 mmol of DBU or K_2CO_3 in DMSO (1.0 mL), was added 0.75 mmol of aryl azide **2a** and 0.5 mmol of 1-phenylbut-3-en-1-one **9a** and the reaction mixture was stirred at 25 °C for 16 h. The crude reaction mixture was worked up with aqueous NH_4Cl solution and the aqueous layer was extracted with dichloromethane (2 x 20 mL). The combined organic layers were dried (Na_2SO_4), filtered and concentrated. Pure product was obtained by column chromatography (silica gel, mixture of hexane/ethyl acetate).

References:

1. Y. –R. Chen, M. R. Ganapuram, K. –H. Hsieh, K. –H. Chen, P. Karanam, S. S. Vagh, Y. –C. Liou, W. Lin, *Chem. Commun.,* **2018**, *54*, 12702-12705.

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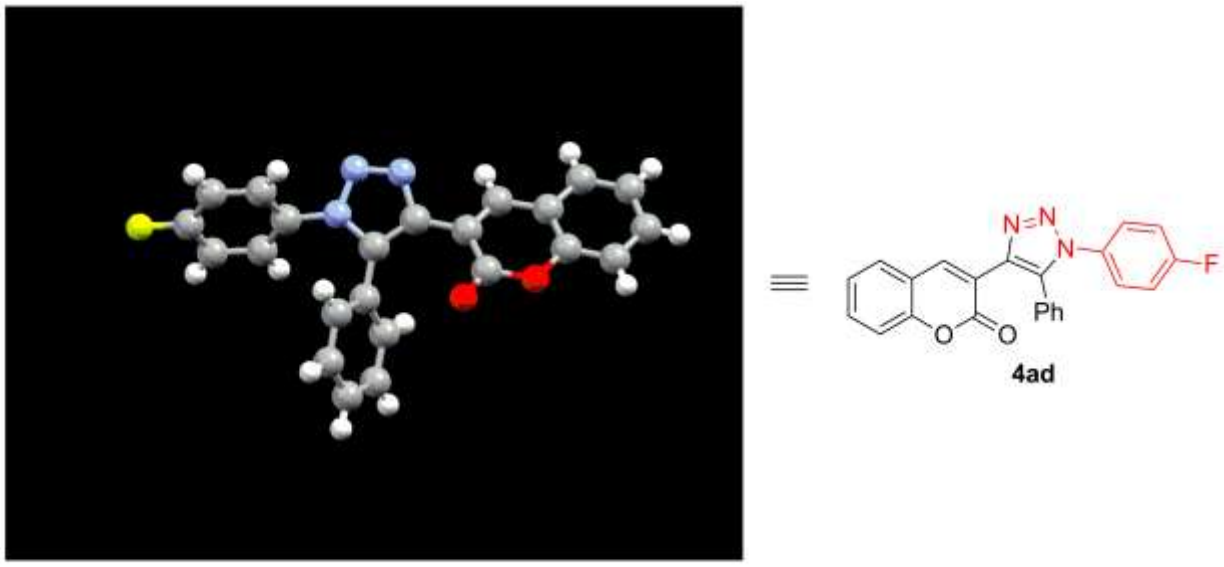


Figure S1. Crystal structure of 3-(1-(4-fluorophenyl)-5-phenyl-1*H*-1,2,3-triazol-4-yl)-2*H*-chromen-2-one (**4ad**).

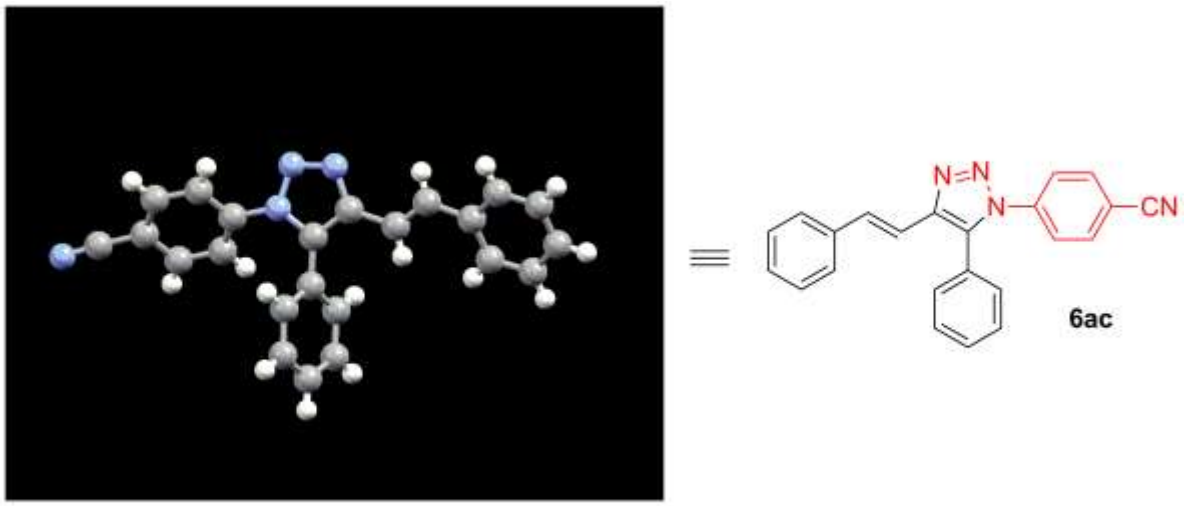


Figure S2. Crystal structure of (*E*)-4-(5-phenyl-4-styryl-1*H*-1,2,3-triazol-1-yl)benzonitrile (**6ac**).

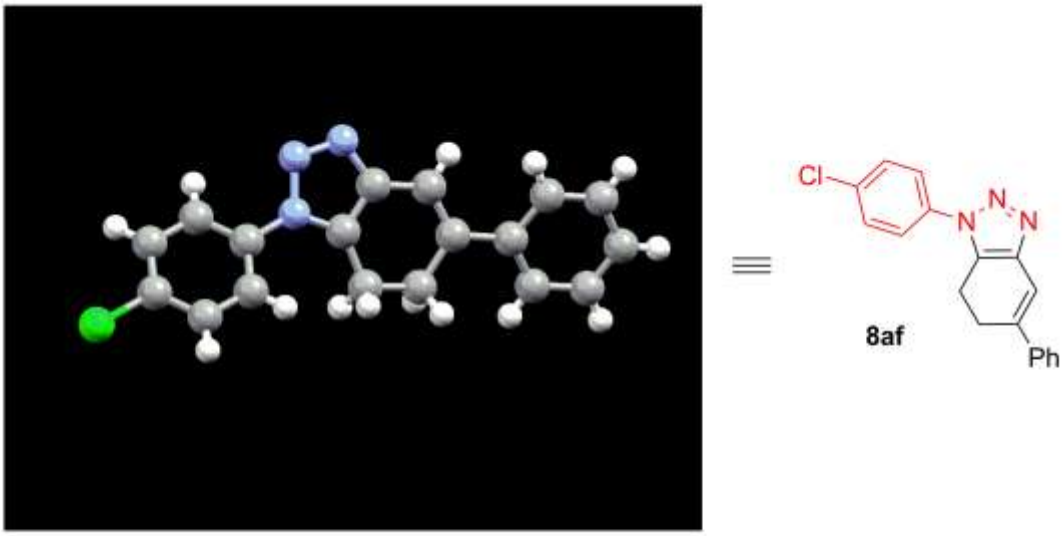
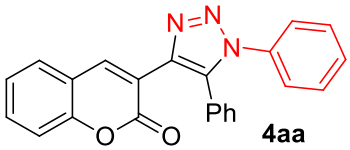


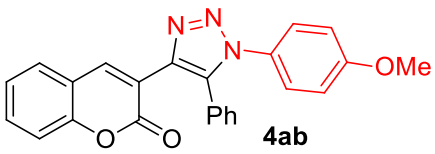
Figure S3. Crystal structure of 1-(4-chlorophenyl)-5-phenyl-6,7-dihydro-1*H*-benzo[*d*][1,2,3]triazole (**8af**).

3-(1,5-Diphenyl-1*H*-1,2,3-triazol-4-yl)-2*H*-chromen-2-one (4aa): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 3:7) and was isolated as a white solid; Yield: 86% (157.1 mg); Mp 252-254 °C; IR (Neat): ν_{max} 3063, 2923, 1724, 1608, 1497, 1450, 1276, 1251, 1132, 947, 761, 697, and 634 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.19 (1H, s), 7.55 (2H, t, *J* = 7.5 Hz), 7.43-7.39 (3H, m), 7.37-7.29 (7H, m), 7.19 (2H, td, *J* = 7.0, 1.5 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 158.9 (C), 154.0 (C), 143.2 (CH), 139.7 (C), 136.5 (C), 136.4 (C), 132.0 (CH), 129.3 (3 x CH), 129.2 (3 x CH), 128.7 (2 x CH), 128.2 (CH), 127.3 (C), 125.3 (2 x CH), 124.6 (CH), 119.6 (C), 119.1 (C), 116.6 (CH); HRMS (ESI-TOF) m/z 388.1063 ($M + Na^+$), calcd for $C_{23}H_{15}N_3O_2Na$ 388.1062.



4aa

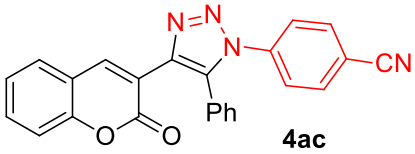
3-(1-(4-Methoxyphenyl)-5-phenyl-1*H*-1,2,3-triazol-4-yl)-2*H*-chromen-2-one (4ab): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 3:7) and was isolated as a white solid; Yield: 77% (152.1 mg); Mp 208-210 °C; IR (Neat): ν_{max} 2984, 1736, 1445, 1371, 1231, 1042, 937, 846, 633, and 607 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.18 (1H, s), 7.56-7.53 (2H, m), 7.36-7.29 (5H, m), 7.25 (2H, td, *J* = 10.0, 2.0 Hz), 7.19 (2H, td, *J* = 8.0, 1.5 Hz), 6.90 (2H, td, *J* = 10.0, 2.0 Hz), 3.83 (3H, s); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 160.0 (C), 158.9 (C), 153.9 (C),



4ab

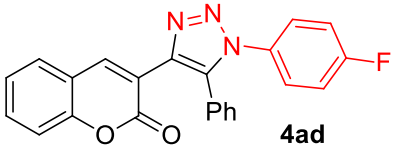
143.2 (CH), 139.4 (C), 136.5 (C), 131.9 (CH), 129.33 (C), 129.26 (2 x CH), 129.2 (CH), 128.6 (2 x CH), 128.2 (CH), 127.3 (C), 126.7 (2 x CH), 124.6 (CH), 119.7 (C), 119.1 (C), 116.6 (CH), 114.3 (2 x CH), 55.5 (OCH_3); HRMS (ESI-TOF) m/z 418.1167 ($M + Na^+$), calcd for $C_{24}H_{17}N_3O_3Na$ 418.1168.

4-(4-(2-Oxo-2*H*-chromen-3-yl)-5-phenyl-1*H*-1,2,3-triazol-1-yl)benzonitrile (4ac): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 3:7) and was isolated as a white solid; Yield: 86% (168.0 mg); Mp 252-254 °C; IR (Neat): ν_{max} 2969, 2227, 1735, 1605, 1510, 1448, 1371, 1235, 1045, 735, and 702 cm^{-1}; ^1H NMR (500 MHz, $CDCl_3$) δ 8.19 (1H, s), 7.71 (2H, td, *J* = 9.0, 2.0 Hz), 7.59-7.55 (2H, m), 7.49 (2H, td, *J* = 9.0, 2.0 Hz), 7.42 (1H, tt, *J* = 9.0, 1.5 Hz), 7.37 (2H, tt, *J* = 8.0, 1.5 Hz), 7.33 (2H, br t, *J* = 8.0 Hz), 7.21 (1H, q, *J* = 2.0 Hz), 7.19 (1H, t, *J* = 2.0 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 158.8 (C), 154.0 (C), 143.6 (CH), 140.3 (C), 139.6 (C), 136.4 (C), 133.3 (2 x CH), 132.3 (CH), 129.9 (CH), 129.2 (2 x CH), 129.1 (2 x CH), 128.3 (CH), 126.6 (C), 125.4 (2 x CH), 124.7 (CH), 118.92 (C), 118.90 (C), 117.6 (C), 116.7 (CH), 113.0 (C); HRMS (ESI-TOF) m/z 413.1015 ($M + Na^+$), calcd for $C_{24}H_{14}N_4O_2Na$ 413.1015.



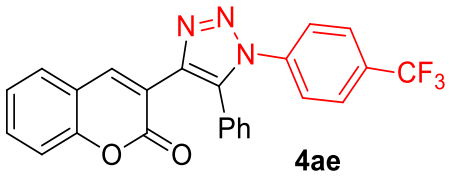
4ac

3-(1-(4-Fluorophenyl)-5-phenyl-1*H*-1,2,3-triazol-4-yl)-2*H*-chromen-2-one (4ad): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 3:7) and was isolated as a white solid; Yield: 92% (176.3 mg); Mp 218-220 °C; IR (Neat): ν_{max} 2984, 1736, 1445, 1371, 1231, 1096, 1042, 937, 846, 633 and 607 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.19 (1H, s), 7.57-7.53 (2H, m), 7.37-7.29 (7H, m), 7.19 (1H, q, *J* = 1.6 Hz), 7.18 (1H, t, *J* = 1.6 Hz), 7.13-7.07 (2H, m); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 162.6 (C, d, *J* = 249 Hz, *C*-F), 158.9 (C), 153.9 (C), 143.3 (CH), 139.7 (C), 136.5 (C), 132.4 (C, d, *J* = 3.0 Hz), 132.0 (CH), 129.4 (CH), 129.2 (2 x CH), 128.7 (2 x CH), 128.2 (CH), 127.2 (2 x CH, d, *J* = 8.0 Hz), 126.9 (C), 124.6 (CH), 119.3 (C), 119.0 (C), 116.5 (2 x CH, d, *J* = 17.0 Hz), 116.2 (CH); HRMS (ESI-TOF) m/z 406.0968 ($M + Na^+$), calcd for $C_{23}H_{14}FN_3O_2Na$ 406.0968.



4ad

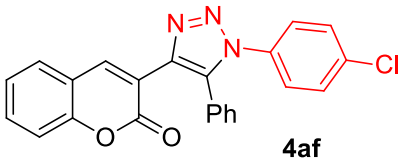
3-(5-Phenyl-1-(4-(trifluoromethyl)phenyl)-1*H*-1,2,3-triazol-4-yl)-2*H*-chromen-2-one (4ae):



4ae

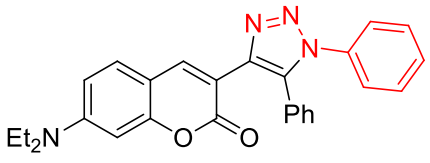
Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 3:7) and was isolated as a white solid; Yield: 91% (198 mg); Mp 196-198° C; IR (Neat): ν_{max} 2984, 1715, 1609, 1324, 1279, 1124, 1067, 992, 846, 749 and 698 cm^{-1}; ^1H NMR (500 MHz, $CDCl_3$) δ 8.19 (1H, s), 7.68 (2H, d, *J* = 8.5 Hz), 7.59-7.54 (2H, m), 7.49 (2H, d, *J* = 8.5 Hz), 7.40 (1H, tt, *J* = 8.5, 1.5 Hz), 7.36 (2H, td, *J* = 7.5, 1.5 Hz), 7.35-7.30 (2H, m), 7.20 (2H, td, *J* = 8.5, 1.5 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 158.8 (C), 154.1 (C), 143.5 (CH), 140.2 (C), 139.2 (C), 136.5 (C), 132.2 (CH), 131.0 (C, q, *J* = 32.5 Hz), 129.7 (CH), 129.3 (2 x CH), 129.0 (2 x CH), 128.3 (CH), 126.9 (C), 126.5 (2 x CH, q, *J* = 3.75 Hz), 125.3 (2 x CH), 124.6 (CH), 123.5 (C, q, *J* = 270.8 Hz, *C*F_3), 119.3 (C), 119.0 (C), 116.7 (CH); HRMS (ESI-TOF) m/z 434.1113 ($M + H^+$), calcd for $C_{24}H_{14}F_3N_3OH$ 434.1116.

3-(1-(4-Chlorophenyl)-5-phenyl-1*H*-1,2,3-triazol-4-yl)-2*H*-chromen-2-one (4af): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 3:7) and was isolated as a white solid; Yield: 84% (167.6 mg); Mp 220-222 °C; IR (Neat): ν_{max} 2968, 2923, 2853, 1715, 1607, 1495, 1366, 1228, 1216, 1092, 1019, 797, 760 and 700 cm^{-1}; ^1H NMR (500 MHz, $CDCl_3$) δ 8.18 (1H, s), 7.55 (2H, dt, *J* = 7.5, 1.5 Hz), 7.38 (3H, m), 7.35-7.32 (3H, m), 7.29 (3H, m), 7.20 (1H, q, *J* = 1.5 Hz), 7.19 (1H, t, *J* = 1.5 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 158.9 (C), 153.9 (C), 143.4 (CH), 139.8 (C), 136.4 (C), 135.2 (C), 134.8 (C), 132.1 (CH), 129.5 (CH), 129.4 (2 x CH), 129.2 (2 x CH), 128.8 (2 x CH), 128.2 (CH), 126.8 (C), 126.3 (2 x CH), 124.6 (CH), 119.2 (C), 118.9 (C), 116.6 (CH); HRMS (ESI-TOF) m/z 422.0672 ($M + Na^+$), calcd for $C_{23}H_{14}ClN_3O_2Na$ 422.0672.



4af

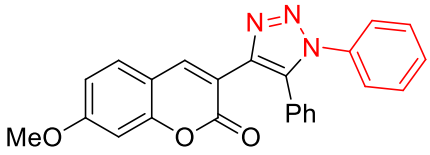
7-(Diethylamino)-3-(1,5-diphenyl-1*H*-1,2,3-triazol-4-yl)-2*H*-chromen-2-one (4ba): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 4:6) and isolated as a yellow solid; Yield: 85% (186 mg); Mp 212-214 °C; IR (Neat): ν_{max} 2984, 1736, 1592, 1445, 1371, 1231, 1096, 1042, 937, 846, 633 and 607 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 7.96 (1H, s), 7.41-7.39



4ba

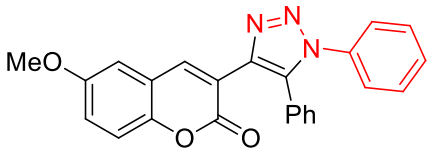
(3H, m), 7.34-7.30 (4H, m), 7.30-7.28 (2H, m), 7.20-7.19 (2H, m), 6.59 (1H, dd, *J* = 7.2, 2.0 Hz), 6.47 (1H, d, *J* = 2.5 Hz), 3.42 (4H, q, *J* = 5.6 Hz), 1.21 (6H, t, *J* = 5.6 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 160.3 (C), 156.8 (C), 150.9 (C), 144.0 (CH), 140.7 (C), 136.6 (C), 135.7 (C), 129.34 (2 x CH), 129.27 (CH), 129.1 (2 x CH), 129.02 (CH), 128.97 (CH), 128.5 (2 x CH), 127.5 (C), 125.3 (2 x CH), 111.6 (C), 108.9 (CH), 108.5 (C), 97.1 (CH), 44.8 (2 x CH_2), 12.4 (2 x CH_3); HRMS (ESI-TOF) m/z 459.1798 ($M + Na^+$), calcd for $C_{27}H_{24}N_4O_2Na$ 459.1797.

3-(1,5-Diphenyl-1*H*-1,2,3-triazol-4-yl)-7-methoxy-2*H*-chromen-2-one (4ca): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 3:7) and isolated as a light orange solid; Yield: 90% (178 mg); Mp 210-212 °C; IR (Neat): ν_{max} 2983, 1728, 1602, 1446, 1372, 1232, 1097, 1042, 937, 846, 633 and 607 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.09 (1H, s), 7.44-7.39 (4H, m), 7.34-7.27 (5H, m), 7.19 (2H, br d, *J* = 7.5 Hz), 6.86 (1H, br dd, *J* = 8.5, 2.5 Hz), 6.79 (1H, br s), 3.86 (3H, s, OC*H*$_3$); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 163.0 (C), 159.3 (C), 155.8 (C), 143.4 (CH), 139.9 (C), 136.4 (C), 136.1 (C), 129.24 (2 x CH), 129.18 (CH), 129.15 (3 x CH), 129.12 (CH), 128.6 (2 x CH), 127.2 (C), 125.2 (2 x CH), 115.8 (C), 112.8 (CH), 112.7 (C), 100.5 (CH), 55.8 (CH_3, O*C*H$_3$); HRMS (ESI-TOF) m/z 396.1348 (M + H), calcd for $C_{24}H_{17}N_3O_3H$ 396.1348.



4ca

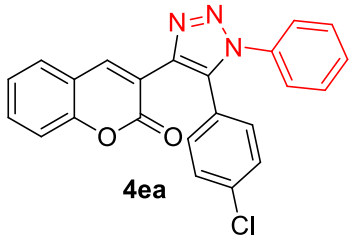
3-(1,5-Diphenyl-1*H*-1,2,3-triazol-4-yl)-6-methoxy-2*H*-chromen-2-one (4da): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 3:7) and isolated as a white solid; Yield: 84% (166 mg); Mp 238-240 °C; IR (Neat): ν_{max} 2984, 1736, 1446, 1372, 1233, 1043, 937, 846, 634 and 607 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.14 (1H, s), 7.43-7.38 (3H, m), 7.34-7.28 (5H, m), 7.25 (1H, d, *J* = 8.0 Hz), 7.20 (1H, q, *J* = 2.0 Hz), 7.17 (1H, t, *J* = 2.0 Hz), 7.13 (1H, dd, *J* = 8.8, 2.8 Hz), 6.97 (1H, d, *J* = 2.8 Hz), 3.86 (3H, s, OC*H*$_3$); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 159.1 (C), 156.2 (C), 148.4 (C), 143.1 (CH), 139.7 (C), 136.5 (C), 136.4 (C), 129.3 (3 x CH), 129.2 (3 x CH), 128.7 (2 x CH), 127.2 (C), 125.3 (2 x CH), 119.9 (C), 119.8 (CH),



4da

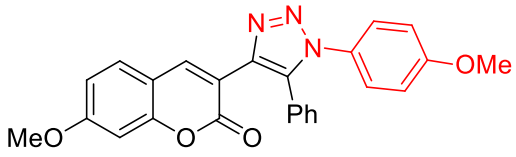
119.4 (C), 117.6 (CH), 110.1 (CH), 55.8 (CH_3, OCH_3); HRMS (ESI-TOF) m/z 396.1347 ($M + Na^+$), calcd for $C_{24}H_{17}N_3O_3H$ 396.1348.

3-(5-(4-Chlorophenyl)-1-phenyl-1*H*-1,2,3-triazol-4-yl)-2*H*-chromen-2-one (4ea): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 3:7) and was isolated as a yellow solid; Yield: 86% (173 mg); Mp 250-252 °C; IR (Neat): ν_{max}, 2983, 1722, 1607, 1446, 1372, 1232, 1097, 1042, 937, 846, 633 and 607 cm^{-1}; ^1H NMR (500 MHz, $CDCl_3$) δ 8.26 (1H, s), 7.58-7.53 (2H, m), 7.45-7.41 (3H, m), 7.33-7.31 (4H, m), 7.27 (2H, td, *J* = 9.0, 2.0 Hz), 7.13 (2H, td, *J* = 9.0, 2.0 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 158.8 (C), 153.8 (C), 143.4 (CH), 139.7 (C), 136.0 (C), 135.4 (C), 135.3 (C), 132.1 (CH), 130.5 (2 x CH), 129.4 (CH), 129.3 (2 x CH), 129.0 (2 x CH), 128.3 (CH), 125.7 (C), 125.2 (2 x CH), 124.6 (CH), 119.1 (C), 118.9 (C), 116.5 (CH); HRMS (ESI-TOF) m/z 400.0850 ($M + H^+$), calcd for $C_{23}H_{14}ClN_3O_2H$ 400.0853.



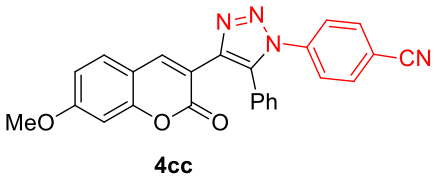
4ea

7-Methoxy-3-(1-(4-methoxyphenyl)-5-phenyl-1*H*-1,2,3-triazol-4-yl)-2*H*-chromen-2-one (4cb): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 4:6) and was isolated as a white solid; Yield: 92% (195 mg); Mp 162-164 °C; IR (Neat): ν_{max} 2984, 1737, 1614, 1514, 1442, 1353, 1228, 1015, 980, 937, 846, 835 and 727 cm^{-1}; ^1H NMR (500 MHz, $CDCl_3$) δ 8.09 (1H, s), 7.43 (1H, d, *J* = 8.5 Hz), 7.33-7.28 (3H, m), 7.25 (2H, td, *J* = 10.0, 2.0 Hz), 7.19 (1H, q, *J* = 1.5 Hz), 7.18 (1H, t, *J* = 1.5 Hz), 6.89 (2H, td, *J* = 10.0, 3.0 Hz), 6.86 (1H, dd, *J* = 7.2, 2.5 Hz), 6.79 (1H, d, *J* = 2.5 Hz), 3.87 (3H, s, OCH_3), 3.82 (3H, s, OCH_3); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 163.0 (C), 159.9 (C), 159.3 (C), 155.8 (C), 143.4 (CH), 139.7 (C), 136.1 (C), 129.4 (C), 129.25 (2 x CH), 129.17 (CH), 129.0 (CH), 128.5 (2 x CH), 127.4 (C), 126.6 (2 x CH), 115.9 (C), 114.3 (2 x CH), 112.8 (CH), 112.7 (C), 100.5 (CH), 55.8 (CH_3, OCH_3), 55.4 (CH_3, OCH_3); HRMS (ESI-TOF) m/z 426.1454 (M + H), calcd for $C_{25}H_{19}N_3O_4H$ 426.1454.



4cb

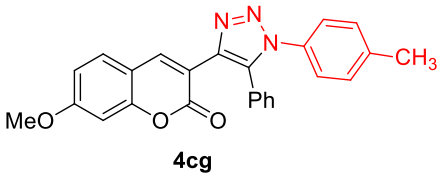
4-(4-(7-Methoxy-2-oxo-2*H*-chromen-3-yl)-5-phenyl-1*H*-1,2,3-triazol-1-yl)benzonitrile (4cc):



4cc

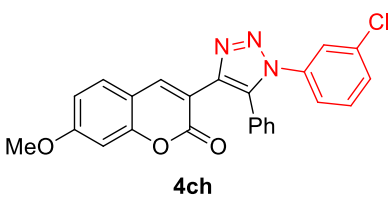
Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 4:6) and isolated as a white solid; Yield: 89% (186 mg); Mp 194-196 °C; IR (Neat): ν_{max} 2921, 2851, 2228, 1753, 1609, 1581, 1445, 1312, 1233, 1097, 1043, 937, 840, 778, 647 and 597 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.10 (1H, br s), 7.71 (2H, br s), 7.48-7.37 (6H, m), 7.20 (2H, br s), 6.88 (1H, br s), 6.81 (1H, br s), 3.88 (3H, s, OC*H*$_3$); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 163.3 (C), 159.2 (C), 156.0 (C), 143.8 (CH), 140.7 (C), 139.7 (C), 136.1 (C), 133.2 (2 x CH), 129.8 (CH), 129.30 (CH), 129.26 (2 x CH), 129.0 (2 x CH), 126.7 (C), 125.4 (2 x CH), 117.6 (C), 115.2 (C), 113.1 (CH), 112.9 (C), 112.6 (C), 100.6 (CH), 55.8 (CH_3, O*C*H$_3$); HRMS (ESI-TOF) m/z 421.1301 (M + H), calcd for $C_{25}H_{16}N_4O_3H$ 421.1301.

7-Methoxy-3-(5-phenyl-1-(*p*-tolyl)-*1H*-1,2,3-triazol-4-yl)-*2H*-chromen-2-one (4cg): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 4:6) and was isolated as a white solid; Yield: 90% (184 mg); Mp 200-202 °C; IR (Neat): ν_{max} 3040, 1716, 1610, 1510, 1231, 1159, 1135, 905, 777, 723, 696 and 646 cm^{-1}; ^1H NMR (500 MHz, $CDCl_3$) δ 8.09 (1H, s), 7.43 (1H, d, *J* = 8.5 Hz), 7.36-7.28 (3H, m), 7.23-7.17 (6H, m), 6.87 (1H, dd, *J* = 8.5, 2.5 Hz), 6.80 (1H, d, *J* = 2.5 Hz), 3.87 (3H, s, OC*H*$_3$), 2.38 (3H, s, Ar-C*H*$_3$); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 163.0 (C, O-*C*=O), 159.3 (C), 155.8 (C), 143.4 (CH), 139.8 (C), 139.3 (C), 136.1 (C), 133.9 (C), 129.7 (2 x CH), 129.25 (2 x CH), 129.18 (CH), 129.1 (CH), 128.6 (2 x CH), 127.4 (C), 125.0 (2 x CH), 115.9 (C), 112.8 (CH), 112.7 (C), 100.5 (CH), 55.8 (CH_3, O*C*H$_3$), 21.1 (CH_3, Ar-*C*H$_3$); HRMS (ESI-TOF) m/z 410.1505 (M + H^+), calcd for $C_{25}H_{19}N_3O_3H$ 410.1505.



4cg

3-(1-(3-Chlorophenyl)-5-phenyl-*1H*-1,2,3-triazol-4-yl)-7-methoxy-*2H*-chromen-2-one (4ch):

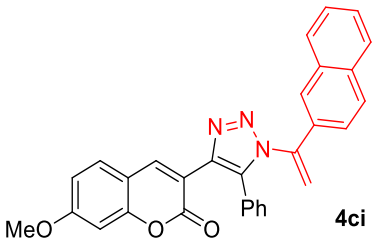


4ch

Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 4:6) and was isolated as a white solid; Yield: 89% (214 mg); Mp 180-182 °C; IR (Neat): ν_{max} 3057, 1730, 1611, 1593, 1506, 1486, 1280, 1232, 1160, 1136, 1026, 873, 836, 731 and 698 cm^{-1}; ^1H NMR (500 MHz, $CDCl_3$) δ 8.09 (1H, s),

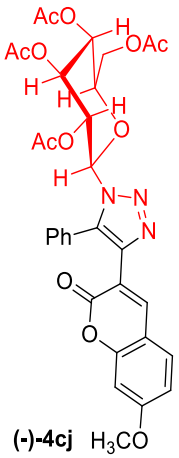
7.44-7.43 (2H, m), 7.40-7.29 (5H, m), 7.20 (2H, d, *J* = 7.0 Hz), 7.16 (1H, d, *J* = 8.0 Hz), 6.87 (1H, br d, *J* = 9.0 Hz), 6.80 (1H, br s), 3.87 (3H, s, OC*H*$_3$); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 163.2 (C, O-*C*=O), 159.2 (C), 155.9 (C), 143.6 (CH), 140.2 (C), 137.4 (C), 136.2 (C), 134.9 (C), 130.1 (CH), 129.5 (CH), 129.33 (CH), 129.30 (2 x CH), 129.2 (CH), 128.8 (2 x CH), 127.0 (C), 125.5 (CH), 123.3 (CH), 115.6 (C), 112.9 (CH), 112.7 (C), 100.6 (CH), 55.8 (CH_3, O*C*H$_3$); HRMS (ESI-TOF) m/z 430.0959 (M + H$^+$), calcd for $C_{24}H_{16}ClN_3O_3H$ 430.0958.

7-Methoxy-3-(1-(1-(naphthalen-2-yl)vinyl)-5-phenyl-*1H*-1,2,3-triazol-4-yl)-*2H*-chromen-2-one (4ci): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 4:6) and was isolated as a white solid; Yield: 86% (203 mg); Mp 158-160 °C; IR (Neat): ν_{max} 3046, 1734, 1612, 1445, 1362, 1140, 899, 832, 797, 782, 766, 529 and 470 cm^{-1}; ^{1}H NMR ($CDCl_3$, 500 MHz) δ 8.18 (1H, s), 7.78-7.73 (3H, m), 7.52 (1H, br d, *J* = 1.5 Hz), 7.47-7.44 (3H, m), 7.36 (1H, dd, *J* = 9.0, 1.5 Hz), 7.24-7.22 (2H, m), 7.19-7.15 (3H, m), 6.87 (1H, dd, *J* = 8.5, 2.0 Hz), 6.80 (1H, d, *J* = 2.5 Hz), 6.02 (1H, d, *J* = 1.5 Hz), 5.61 (1H, d, *J* = 1.0 Hz), 3.87 (3H, s, OC*H*$_3$); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 163.1 (C, O-*C*=O), 159.2 (C), 155.9 (C), 143.3 (CH), 142.7 (C), 139.5 (C), 137.0 (C), 133.5 (C), 132.9 (C), 132.2 (C), 129.2 (CH), 129.1 (CH), 128.8 (2 x CH), 128.52 (CH), 128.50 (CH), 128.3 (2 x CH), 127.5 (CH), 127.3 (C), 126.9 (CH), 126.6 (CH), 125.7 (CH), 122.9 (CH), 115.9 (C), 115.6 (CH_2), 112.9 (CH), 112.8 (C), 100.6 (CH), 55.8 (CH_3, O*C*H$_3$); HRMS (ESI-TOF) m/z 472.1663 (M + H$^+$), calcd for $C_{30}H_{21}N_3O_3H$ 472.1661.



4ci

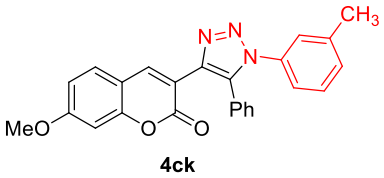
(*2R,3R,4S,5R,6R*)-2-(Acetoxymethyl)-6-(4-(7-methoxy-2-oxo-*2H*-chromen-3-yl)-5-phenyl-*1H*-1,2,3-triazol-1-yl)tetrahydro-*2H*-pyran-3,4,5-triyl triacetate [(-)-4cj]: Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 6:4) and was isolated as a semi solid; Yield: 80% (261 mg); $[\alpha]_D^{25}$ = -67.62 (*C* = 0.084, $CHCl_3$); IR (Neat): ν_{max} 1719, 1614, 1366, 1229, 1203, 1139, 1094, 1031, 912, 840, 700 and 597 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.12 (1H, s), 7.51-7.41 (6H, m), 6.86 (1H, dd, *J* = 8.8, 2.4 Hz), 6.77 (1H, d, *J* = 2.4 Hz), 6.02 (1H, t, *J* = 9.6 Hz), 5.52 (1H, d, *J* = 9.2 Hz), 5.29 (1H, t, *J* = 9.6 Hz), 5.21 (1H, t, *J* = 9.6 Hz), 4.24 (1H, dd, *J* = 12.8, 5.2 Hz), 4.17 (1H, dd, *J* = 12.8, 2.0 Hz), 3.87 (3H, s, OC*H*$_3$), 3.81 (1H, ddd, *J* = 10.0, 5.6, 2.4 Hz), 2.13 (3H, s, COC*H*$_3$), 2.04 (3H, s, COC*H*$_3$), 2.02 (3H, s, COC*H*$_3$), 1.90 (3H, s, COC*H*$_3$); ^{13}C



(-)-4cj

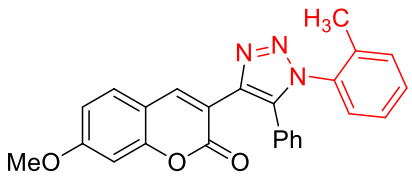
NMR ($CDCl_3$, DEPT-135) δ 170.4 (C, O-*C*=O), 170.3 (C, O-*C*=O), 169.1 (C, O-*C*=O), 168.3 (C, O-*C*=O), 163.1 (C, O-*C*=O), 158.9 (C), 155.8 (C), 143.3 (CH), 140.0 (C), 137.5 (C), 130.0 (CH), 129.4 (2 x CH), 129.2 (CH), 128.8 (2 x CH), 126.6 (C), 115.2 (C), 112.9 (CH), 112.6 (C), 100.5 (CH), 83.4 (CH), 74.7 (CH), 73.4 (CH), 69.4 (CH), 67.6 (CH), 61.8 (CH_2), 55.8 (CH_3, O*C*H_3), 20.7 (CH_3, CO*C*H_3), 20.5 (CH_3, CO*C*H_3), 20.4 (CH_3, CO*C*H_3), 20.3 (CH_3, CO*C*H_3); HRMS (ESI-TOF) m/z 650.1986 ($M + H^+$), calcd for $C_{32}H_{31}N_3O_{12}H$ 650.1986.

7-Methoxy-3-(5-phenyl-1-(*m*-tolyl)-*1H*-1,2,3-triazol-4-yl)-*2H*-chromen-2-one (4ck): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 4:6) and was isolated as a white solid; Yield: 89% (182 mg); Mp 186-188 °C; IR (Neat): ν_{max} 2979, 1728, 1609, 1492, 1444, 1372, 1277, 1235, 1133, 1041, 980, 779, 732, 696 and 527 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.09 (1H, s), 7.43 (1H, d, *J* = 8.8 Hz), 7.34-7.27 (3H, m), 7.25-7.18 (5H, m), 7.02 (1H, tt, *J* = 6.8, 1.6 Hz), 6.87 (1H, dd, *J* = 8.4, 2.4 Hz), 6.80 (1H, d, *J* = 2.4 Hz), 3.87 (3H, s, OC*H*$_3$), 2.34 (3H, s, Ar-C*H*$_3$); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 163.0 (C, O-*C*=O), 159.3 (C), 155.8 (C), 143.4 (CH), 139.8 (C), 139.4 (C), 136.3 (C), 136.1 (C), 129.9 (CH), 129.22 (2 x CH), 129.16 (CH), 129.1 (CH), 128.8 (CH), 128.5 (2 x CH), 127.3 (C), 125.9 (CH), 122.2 (CH), 115.8 (C), 112.8 (CH), 112.7 (C), 100.5 (CH), 55.7 (CH_3, O*C*H_3), 21.2 (CH_3, Ar-*C*H_3); HRMS (ESI-TOF) m/z 410.1501 ($M + H^+$), calcd for $C_{25}H_{19}N_3O_3H$ 410.1505.



4ck

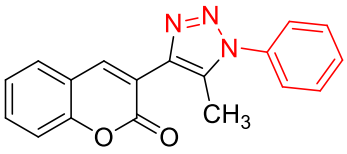
7-Methoxy-3-(5-phenyl-1-(o-tolyl)-*1H*-1,2,3-triazol-4-yl)-*2H*-chromen-2-one (4cl): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 4:6) and was isolated as a semi solid; Yield: 40% (82 mg); IR (Neat): ν_{max} 3052, 2918, 1728, 1610, 1492, 1278, 1236, 1135, 733 and 697 cm^{-1}; ^1H NMR (500 MHz, $CDCl_3$) δ 8.20 (1H, s), 7.47 (1H, d, *J* = 9.0 Hz), 7.39-7.36 (1H, m), 7.30-7.28 (4H, m), 7.23 (2H, tt, *J* = 8.5, 2.0 Hz), 7.12 (2H, td, *J* = 7.0, 2.0 Hz), 6.89 (1H, dd, *J* = 8.5, 2.5 Hz), 6.82 (1H, d, *J* = 2.5 Hz), 3.89 (3H, s, OC*H*$_3$), 2.00 (3H, s, Ar-C*H*$_3$); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 163.1 (C, O-*C*=O), 159.1 (C), 155.9 (C), 143.2 (CH), 139.2 (C), 137.2 (C), 135.6 (C), 135.3 (C), 131.1 (CH), 130.1 (CH), 129.2 (CH), 129.0 (CH), 128.7 (2 x CH), 128.5 (2 x CH), 127.9 (CH), 127.3 (C), 126.7 (CH), 116.1 (C), 112.94



4cl

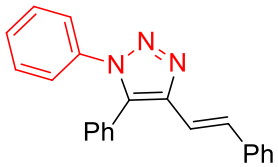
(CH), 112.89 (C), 100.6 (CH), 55.8 (CH_3, OCH_3), 17.6 (CH_3, Ar-CH_3); HRMS (ESI-TOF) m/z 410.1504 ($M + H^+$), calcd for $C_{25}H_{19}N_3O_3H$ 410.1505.

3-(5-Methyl-1-phenyl-*1H*-1,2,3-triazol-4-yl)-*2H*-chromen-2-one (4fa): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 3:7) and was isolated as a white solid; Yield: 93% (141 mg); Mp 158-160 °C; IR (Neat): ν_{max} 3057, 1718, 1679, 1608, 1502, 1453, 1421, 1384, 1252, 1186, 951, 920, 743, 702, 626 and 601 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.36 (1H, s), 7.64-7.52 (7H, m), 7.41 (1H, t, J = 7.2 Hz), 7.35 (1H, t, J = 7.2 Hz), 2.47 (3H, s, CH_3); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 159.6 (C, O-*C*=O), 153.8 (C), 142.3 (CH), 139.5 (C), 136.1 (C), 133.1 (C), 131.8 (CH), 129.6 (CH), 129.5 (2 x CH), 128.3 (CH), 125.3 (2 x CH), 124.7 (CH), 119.7 (C), 119.3 (C), 116.5 (CH), 10.8 (CH_3); HRMS (ESI-TOF) m/z 326.0903 ($M + Na^+$), calcd for $C_{18}H_{13}N_3O_2Na$ 326.0905.



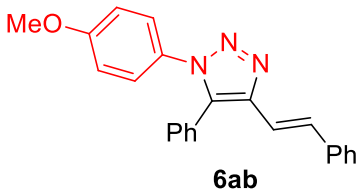
4fa

(*E*)-1,5-Diphenyl-4-styryl-1*H*-1,2,3-triazole (6aa): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.3:9.7 to 1:9) and isolated as a white solid; Yield: 88% (142 mg); Mp 168-170 °C; IR (Neat): ν_{max} 3056, 1596, 1496, 1447, 1241, 1098, 1016, 759, 692 and 562 cm^{-1}; ^1H NMR ($CDCl_3$, 500 MHz) δ 7.68 (1H, d, J = 16.5 Hz), 7.48-7.46 (2H, m), 7.43-7.40 (3H, m), 7.38-7.36 (3H, m), 7.34-7.30 (4H, m), 7.26-7.23 (3H, m), 6.95 (1H, d, J = 16.0 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 143.3 (C), 136.9 (C), 136.4 (C), 133.9 (C), 131.2 (CH), 129.8 (2 x CH), 129.24 (CH), 129.16 (2 x CH), 128.93 (2 x CH), 128.87 (CH), 128.6 (2 x CH), 127.8 (CH), 126.9 (C), 126.5 (2 x CH), 124.8 (2 x CH), 115.3 (CH); HRMS (ESI-TOF) m/z 324.1501 ($M + H^+$), calcd for $C_{22}H_{17}N_3H$ 324.1501.



6aa

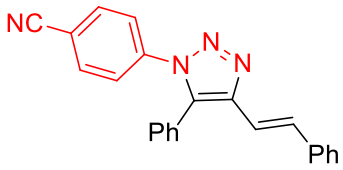
(*E*)-1-(4-Methoxyphenyl)-5-phenyl-4-styryl-1*H*-1,2,3-triazole (6ab): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.3:9.7 to 1:9) and was isolated as a red solid; Yield: 82% (145 mg). Mp 172-174 °C; IR (Neat): ν_{max} 2983, 1596, 1513, 1446, 1372, 1232, 1042, 846, 692 and 587 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 7.66 (1H, d, J = 16.0 Hz), 7.46 (2H, br d, J = 5.6 Hz), 7.42-7.40 (3H, m),



6ab

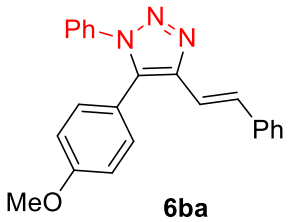
7.34-7.30 (2H, m), 7.25-7.21 (5H, m), 6.94 (1H, d, J = 16.0 Hz), 6.87 (2H, td, J = 10.0, 2.4 Hz), 3.78 (3H, s, OCH_3); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 159.7 (C), 143.1 (C), 136.9 (C), 134.0 (C), 131.0 (CH), 129.8 (2 x CH), 129.4 (C), 129.1 (CH), 128.9 (2 x CH), 128.5 (2 x CH), 127.7 (CH), 127.0 (C), 126.5 (2 x CH), 126.2 (2 x CH), 115.5 (CH), 114.3 (2 x CH), 55.4 (CH_3, OCH_3); HRMS (ESI-TOF) m/z 354.1605 (M + H^+), calcd for $C_{23}H_{19}N_3OH$ 354.1606.

(*E*)-4-(5-Phenyl-4-styryl-1*H*-1,2,3-triazol-1-yl)benzonitrile (6ac): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.3:9.7 to 1:9) and isolated as a white solid; Yield: 88% (153.1 mg); Mp 184-186 °C; IR (Neat): ν_{max} 3055, 2229, 1605, 1508, 1309, 1264, 1016, 990, 840, 733 and 692 cm^{-1}; ^{1}H NMR ($CDCl_3$, 500 MHz) δ 7.68-7.65 (3H, m), 7.49-7.44 (7H, m), 7.32 (2H, t, J = 7.5 Hz), 7.26-7.24 (3H, m), 6.89 (1H, d, J = 16.5 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 144.0 (C), 139.6 (C), 136.6 (C), 133.5 (C), 133.2 (2 x CH), 132.0 (CH), 129.8 (CH), 129.7 (2 x CH), 129.3 (2 x CH), 128.6 (2 x CH), 128.0 (CH), 126.6 (2 x CH), 126.3 (C), 124.7 (2 x CH), 117.6 (C), 114.6 (CH), 112.5 (C); HRMS (ESI-TOF) m/z 371.1275 (M + H^+), calcd for $C_{23}H_{16}N_4Na$ 371.1273.



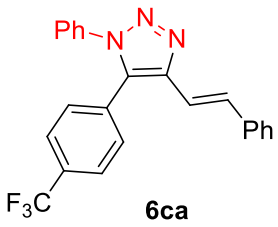
6ac

(*E*)-5-(4-Methoxyphenyl)-1-phenyl-4-styryl-1*H*-1,2,3-triazole (6ba): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.3:9.7 to 1:9) and was isolated as a white solid; Yield: 82% (144.5 mg); Mp 172-174 °C; IR (Neat): ν_{max} 3054, 2926, 2857, 1610, 1597, 1500, 1252, 1177, 1033, 992, 967, 836, 760 and 562 cm^{-1}; ^{1}H NMR ($CDCl_3$, 500 MHz) δ 7.66 (1H, d, J = 16.5 Hz), 7.48 (2H, d, J = 7.5 Hz), 7.38 (3H, br s), 7.33 (4H, m), 7.25-7.23 (1H, m), 7.16 (2H, br d, J = 8.5 Hz), 6.94 (3H, m), 3.84 (3H, s, OCH_3); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 160.2 (C), 143.1 (C), 137.0 (C), 136.5 (C), 133.9 (C), 131.1 (2 x CH), 130.9 (CH), 129.2 (2 x CH), 128.8 (CH), 128.6 (2 x CH), 127.7 (CH), 126.5 (2 x CH), 124.8 (2 x CH), 118.9 (C), 115.6 (CH), 114.5 (2 x CH), 55.3 (CH_3, OCH_3); HRMS (ESI-TOF) m/z 354.1606 (M + H^+), calcd for $C_{23}H_{19}N_3OH$ 354.1606.



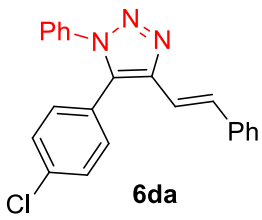
6ba

(*E*)-1-Phenyl-4-styryl-5-(4-(trifluoromethyl)phenyl)-1*H*-1,2,3-triazole (6ca): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.3:9.7 to 1:9) and was isolated as a semi solid; Yield: 85% (166 mg); IR (Neat): ν_{max} 3059, 2923, 1595, 1494, 1372, 1232, 1042, 937 and 690 cm^{-1}; ^1H NMR ($CDCl_3$, 500 MHz) δ 7.71 (1H, d, *J* = 16.0 Hz), 7.67 (2H, d, *J* = 8.0 Hz), 7.46 (2H, d, *J* = 7.5 Hz), 7.42-7.39 (3H, m), 7.37 (2H, br d, *J* = 8.5 Hz), 7.34-7.27 (4H, m), 7.25 (1H, tt, *J* = 7.0, 2.0 Hz), 6.89 (1H, d, *J* = 16.0 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 143.8 (C), 136.7 (C), 136.1 (C), 132.4 (C), 132.3 (CH), 131.22 (C, q, *J* = 32.5 Hz), 130.8 (C), 130.2 (2 x CH), 129.5 (2 x CH), 129.3 (CH), 128.7 (2 x CH), 128.1 (CH), 126.7 (2 x CH), 126.0 (2 x CH, q, *J* = 3.75 Hz), 124.7 (2 x CH), 123.7 (C, q, *J* = 271.25 Hz), 114.6 (CH); HRMS (ESI-TOF) m/z 392.1375 ($M + H^+$), calcd for $C_{23}H_{16}F_3N_3H$ 392.1375.



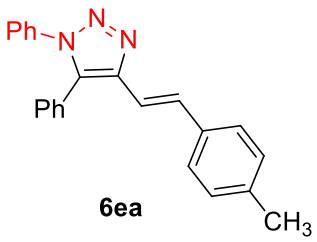
6ca

(*E*)-5-(4-Chlorophenyl)-1-phenyl-4-styryl-1*H*-1,2,3-triazole (6da): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.3:9.7 to 1:9) and was isolated as a white solid; Yield: 88% (156.6 mg); Mp 144-146 °C; IR (Neat): ν_{max} 3056, 1596, 1499, 1369, 1241, 1093, 1043, 917, 835 and 561 cm^{-1}; ^1H NMR ($CDCl_3$, 500 MHz) δ 7.69 (1H, d, *J* = 16.0 Hz), 7.48 (2H, d, *J* = 7.5 Hz), 7.42-7.39 (5H, m), 7.36-7.30 (4H, m), 7.28-7.25 (1H, m), 7.18 (2H, td, *J* = 9.0, 2.5 Hz), 6.90 (1H, d, *J* = 16.5 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 143.5 (C), 136.8 (C), 136.2 (C), 135.6 (C), 132.7 (C), 131.8 (CH), 131.1 (2 x CH), 129.4 (4 x CH), 129.1 (CH), 128.6 (2 x CH), 128.0 (CH), 126.6 (2 x CH), 125.4 (C), 124.9 (2 x CH), 114.9 (CH); HRMS (ESI-TOF) m/z 358.1111 ($M + H^+$), calcd for $C_{22}H_{16}N_3ClH$ 358.1111.



6da

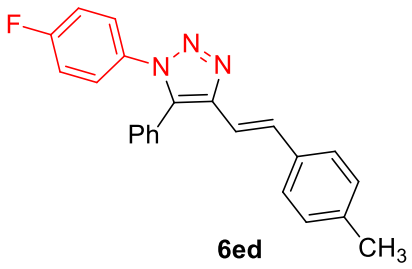
(*E*)-4-(4-Methylstyryl)-1,5-diphenyl-1*H*-1,2,3-triazole (6ea): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.3:9.7 to 1:9) and was isolated as a white solid; Yield: 85% (144 mg); Mp 168-170 °C; IR (Neat) ν_{max} 3051, 2916, 1593, 1494, 1371, 1232, 1042, 847, 738, and 693 cm^{-1}; ^1H NMR ($CDCl_3$, 500 MHz) δ 7.65 (1H, d, *J* = 16.5 Hz), 7.43-7.40 (3H, m), 7.39-7.36 (5H, m), 7.32-7.30 (2H, m), 7.25-7.23 (2H, m), 7.14 (2H, d, *J* = 8.0 Hz), 6.90 (1H, d, *J* = 16.5 Hz), 2.34 (3H, s, ArCH_3); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 143.5 (C), 137.8 (C), 136.5 (C), 134.2 (C), 133.7 (C),



6ea

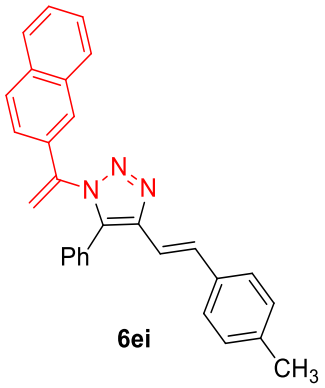
131.3 (CH), 129.8 (2 x CH), 129.3 (2 x CH), 129.20 (CH), 129.18 (2 x CH), 128.94 (2 x CH), 128.87 (CH), 127.1 (C), 126.5 (2 x CH), 124.8 (2 x CH), 114.4 (CH), 21.2 (CH_3); HRMS (ESI-TOF) m/z 338.1658 ($M + H^+$), calcd for $C_{23}H_{19}N_3H$ 338.1658.

(*E*)-1-(4-Fluorophenyl)-4-(4-methylstyryl)-5-phenyl-1*H*-1,2,3-triazole (6ed): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.3:9.7 to 1:9) and was isolated as a white solid; Yield: 80% (142 mg); Mp 150-152 °C; IR (Neat): ν_{max} 3018, 2914, 1511, 1362, 1218, 837 and 633 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 7.63 (1H, d, *J* = 16.4 Hz), 7.45-7.41 (3H, m), 7.36 (2H, br d, *J* = 8.0 Hz), 7.33-7.27 (2H, m), 7.24-7.22 (2H, m), 7.13 (2H, br d, *J* = 8.0 Hz), 7.07 (2H, br tt, *J* = 8.0, 2.4 Hz), 6.88 (1H, d, *J* = 16.3 Hz), 2.34 (3H, s, ArC*H*$_3$); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 162.44 (C, d, *J* = 248 Hz, *C*-F), 143.6 (C), 137.9 (C), 134.1 (C), 133.7 (C), 132.6 (C, d, *J* = 3.0 Hz), 131.5 (CH), 129.8 (2 x CH), 129.4 (CH), 129.3 (2 x CH), 129.0 (2 x CH), 126.8 (C), 126.7 (2 x CH, d, *J* = 9.0 Hz), 126.5 (2 x CH), 116.23 (2 x CH, d, *J* = 23.0 Hz), 114.2 (CH), 21.2 (CH_3); HRMS (ESI-TOF) m/z 356.1561 ($M + H^+$), calcd for $C_{23}H_{18}FN_3H$ 356.1563.



6ed

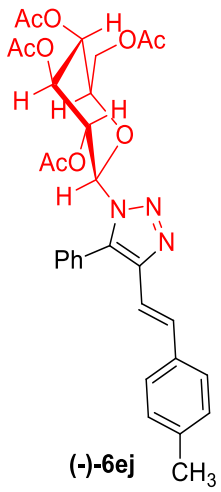
(*E*)-4-(4-Methylstyryl)-1-(1-(naphthalen-2-yl)vinyl)-5-phenyl-*1H*-1,2,3-triazole (6ei): Prepared following the procedure A and purified by column chromatography using EtOAc/hexane (0.3:9.7 to 1:9) and was isolated as a white solid; Yield: 85% (176 mg); Mp 175-177 °C; IR (Neat): ν_{max} 3051, 2920, 1636, 1510, 1451, 1372, 1263, 1181, 967, 859, 806, 784, 733 and 699 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 7.76-7.67 (4H, m), 7.48-7.47 (1H, m), 7.44 (2H, m), 7.38 (2H, br d, *J* = 8.4 Hz), 7.34 (1H, dd, *J* = 8.8, 2.0 Hz), 7.28-7.24 (5H, m), 7.13 (2H, d, *J* = 8.0 Hz), 6.92 (1H, d, *J* = 16.4 Hz), 5.96 (1H, d, *J* = 1.2 Hz), 5.57 (1H, d, *J* = 1.2 Hz), 2.34 (3H, s, Ar-C*H*$_3$); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 142.9 (C), 142.5 (C), 137.8 (C), 134.7 (C), 134.2 (C), 133.4 (C), 132.8 (C), 132.2 (C), 131.3 (CH), 129.3 (2 x CH), 129.2 (2 x CH), 129.1 (CH), 128.6 (2 x CH), 128.45 (CH), 128.41 (CH), 127.5 (CH), 126.9



6ei

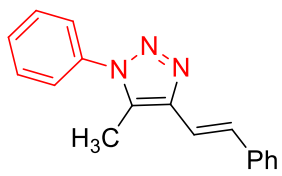
(CH), 126.8 (C), 126.6 (CH), 126.5 (2 x CH), 125.7 (CH), 122.9 (CH), 114.9 (CH_2), 114.4 (CH), 21.2 (CH_3, Ar-CH_3); HRMS (ESI-TOF) m/z 414.1972 ($M + H^+$), calcd for $C_{29}H_{23}N_3H$ 414.1970.

(*2R,3R,4S,5R,6R*)-2-(Acetoxymethyl)-6-(4-(*E*)-4-methylstyryl)-5-phenyl-*1H*-1,2,3-triazol-1-yl)tetrahydro-*2H*-pyran-3,4,5-triyl triacetate (6ej): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 6:4) and was isolated as a semi solid; Yield: 80% (237 mg); $[\alpha]_D^{25}$ = -54.86 (*C* = 0.140, $CHCl_3$); IR (Neat): ν_{max} 2946, 1748, 1730, 1366, 1235, 1211, 1079, 1066, 1033, 917, 773, 730, 707 and 521 cm^{-1}; ^1H NMR (500 MHz, $CDCl_3$) δ 7.58-7.55 (4H, m), 7.51-7.49 (2H, m), 7.32 (2H, d, *J* = 8.0 Hz), 7.12 (2H, d, *J* = 8.0 Hz), 6.77 (1H, d, *J* = 16 Hz), 5.93 (1H, t, *J* = 9.5 Hz), 5.53 (1H, d, *J* = 9.5 Hz), 5.27 (1H, t, *J* = 9.0 Hz), 5.17 (1H, t, *J* = 9.5 Hz), 4.24 (1H, dd, *J* = 12.0, 6.0 Hz), 4.17 (1H, dd, *J* = 12.5, 2.5 Hz), 3.83 (1H, ddd, *J* = 10.0, 5.6, 2.4 Hz), 2.33 (3H, s, Ar-C*H*$_3$), 2.13 (3H, s, COC*H*$_3$), 2.04 (3H, s, COC*H*$_3$), 2.01 (3H, s, COC*H*$_3$), 1.86 (3H, s, COC*H*$_3$); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 170.4 (C, O-*C*=O), 170.3 (C, O-*C*=O), 169.1 (C, O-*C*=O), 168.3 (C, O-*C*=O), 143.7 (C), 137.9 (C), 134.9 (C), 134.0 (C), 131.7 (CH), 130.1 (CH), 130.0 (2 x CH), 129.3 (2 x CH), 129.1 (2 x CH), 126.5 (2 x CH), 126.1 (C), 113.8 (CH), 83.6 (CH), 74.6 (CH), 73.4 (CH), 69.3 (CH), 67.6 (CH), 61.9 (CH_2), 21.2 (CH_3, Ar-*C*H_3), 20.7 (CH_3, CO*C*H_3), 20.53 (CH_3, CO*C*H_3), 20.50 (CH_3, CO*C*H_3), 20.3 (CH_3, CO*C*H_3); HRMS (ESI-TOF) m/z 592.2296 ($M + H^+$), calcd for $C_{31}H_{33}N_3O_9H$ 592.2295.



(-)-6ej

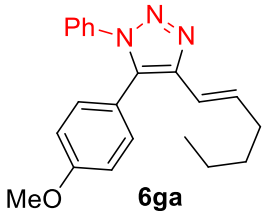
(*E*)-5-Methyl-1-phenyl-4-styryl-*1H*-1,2,3-triazole (6fa): Prepared following the procedure A and purified by column chromatography using EtOAc/hexane (0.3:9.7 to 1:9) and isolated as a white solid; Yield: 90% (117 mg); Mp 108-110 °C; IR (Neat): ν_{max} 3062, 3039, 1596, 1502, 1430, 1366, 1260, 963, 800, 755, 692 and 556 cm^{-1}; ^1H NMR ($CDCl_3$, 500 MHz) δ 7.55-7.51 (5H, m), 7.49-7.48 (1H, m), 7.47-7.45 (2H, m), 7.36 (2H, t, *J* = 8.0 Hz), 7.26 (1H, t, *J* = 7.0 Hz), 7.02 (1H, d, *J* = 16.0 Hz), 2.39 (3H, s, C*H*$_3$); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 142.9 (C), 137.0 (C), 136.2 (C), 130.05 (CH), 129.99 (C), 129.4 (2 x CH), 129.3 (CH), 128.6 (2



6fa

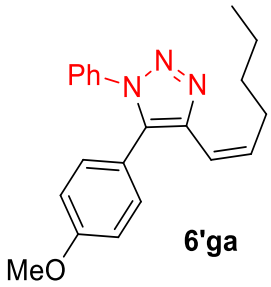
x CH), 127.7 (CH), 126.4 (2 x CH), 124.9 (2 x CH), 115.9 (CH), 9.2 (CH_3); HRMS (ESI-TOF) m/z 262.1344 ($M + H^+$), calcd for $C_{17}H_{15}N_3H$ 262.1344.

(*E*)-4-(Hex-1-en-1-yl)-5-(4-methoxyphenyl)-1-phenyl-1*H*-1,2,3-triazole (6ga): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.3:9.7 to 1:9) and was isolated as a yellow solid; Yield: 56% (93.5 mg). Mp 130-132 °C; IR (Neat): ν_{max} 3027, 2957, 1611, 1498, 1366, 1228, 1216, and 763 cm^{-1}; ^1H NMR ($CDCl_3$, 500 MHz) δ 7.38-7.35 (3H, m), 7.30-7.28 (2H, m), 7.10 (2H, td, *J* = 9.5, 2.5 Hz), 6.90 (2H, td, *J* = 9.5, 2.0 Hz), 6.73 (1H, td, *J* = 15.5, 7.0 Hz), 6.25 (1H, td, *J* = 16.0, 1.5 Hz), 3.82 (3H, s), 2.20 (2H, dq, *J* = 7.0, 1.5 Hz), 1.48-1.42 (2H, m), 1.40-1.32 (2H, m), 0.91 (3H, t, *J* = 7.0 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 160.0 (C), 143.3 (C), 136.7 (C), 134.2 (CH), 132.5 (C), 131.1 (2 x CH), 129.1 (2 x CH), 128.6 (CH), 124.8 (2 x CH), 119.2 (C), 117.0 (CH), 114.3 (2 x CH), 55.3 (CH_3), 32.9 (CH_2), 31.3 (CH_2), 22.3 (CH_2), 13.9 (CH_3); HRMS (ESI-TOF) m/z 334.1917 ($M + H^+$), calcd for $C_{21}H_{23}N_3OH$ 334.1919.



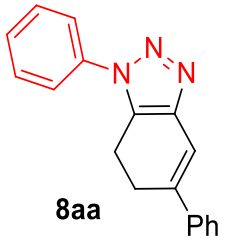
6ga

(*Z*)-4-(Hex-1-en-1-yl)-5-(4-methoxyphenyl)-1-phenyl-1*H*-1,2,3-triazole (6'ga): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.3:9.7 to 1:9) and was isolated as an orange solid; Yield: 24% (40 mg); Mp 126-128 °C; IR (Neat): ν_{max} 2956, 2926, 2855, 1675, 1597, 1498, 1293, 1174, 834, 763 and 693 cm^{-1}; ^1H NMR ($CDCl_3$, 500 MHz) δ 7.39-7.36 (3H, m), 7.33-7.30 (2H, m), 7.10 (2H, td, *J* = 9.5, 2.5 Hz), 6.88 (2H, td, *J* = 9.5, 3.0 Hz), 6.13 (1H, td, *J* = 11.5, 1.5 Hz), 5.80 (1H, td, *J* = 11.5, 7.5 Hz), 3.81 (3H, s), 2.76 (2H, dq, *J* = 7.5, 1.5 Hz), 1.51-1.44 (2H, m), 1.43-1.38 (2H, m), 0.92 (3H, t, *J* = 7.5 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 160.0 (C), 143.3 (C), 136.7 (C), 136.2 (CH), 134.2 (C), 131.2 (2 x CH), 129.1 (2 x CH), 128.6 (CH), 124.8 (2 x CH), 119.3 (C), 115.4 (CH), 114.2 (2 x CH), 55.2 (CH_3), 31.8 (CH_2), 29.3 (CH_2), 22.5 (CH_2), 14.0 (CH_3); HRMS (ESI-TOF) m/z 334.1921 ($M + H^+$), calcd for $C_{21}H_{23}N_3OH$ 334.1919.



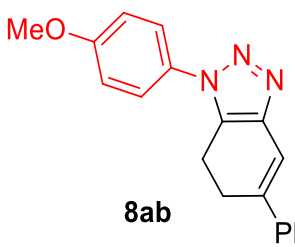
6'ga

1,5-Diphenyl-6,7-dihydro-1*H*-benzo[*d*][1,2,3]triazole (8aa): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.5:9.5 to 2:8) and was isolated as a white solid; Yield: 92% (126 mg); Mp 152-154 °C ; IR (Neat): ν_{max} 3049, 2983, 1593, 1493, 1444, 1232, 1042, 937, 765, 746 and 690 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 7.60-7.48 (7H, m), 7.39 (2H, br dt, *J* = 7.2, 2.0 Hz), 7.31 (1H, br dt, *J* = 7.2, 2.0 Hz), 7.08 (1H, m), 3.15 (2H, m), 3.00 (2H, m); ^{13}C NMR ($CDCl_3$ DEPT-135) δ 145.1 (C), 140.2 (C), 136.4 (2 x C), 130.9 (C), 129.6 (2 x CH), 128.9 (CH), 128.6 (2 x CH), 127.7 (CH), 125.4 (2 x CH), 122.9 (2 x CH), 115.6 (CH), 27.3 (CH_2), 20.1 (CH_2); HRMS (ESI-TOF) m/z 274.1345 ($M + H^+$), calcd for $C_{18}H_{15}N_3H$ 274.1344.



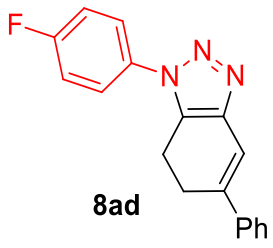
8aa

1-(4-Methoxyphenyl)-5-phenyl-6,7-dihydro-1*H*-benzo[*d*][1,2,3]triazole (8ab): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.5:9.5 to 2:8) and was isolated as a colorless liquid; Yield: 84% (127 mg); IR (Neat): ν_{max} 3034, 2983, 1591, 1513, 1440, 1245, 1222, 1076, 937, 846, 786, 749 and 690 cm^{-1}; ^1H NMR ($CDCl_3$, 500 MHz) δ 7.51 (2H, br d, *J* = 7.5 Hz), 7.47 (2H, br td, *J* = 9.0, 2.0 Hz), 7.38 (2H, br t, *J* = 7.5 Hz), 7.30 (1H, br tt, *J* = 7.5, 1.5 Hz), 7.06 (1H, br t, *J* = 2.0 Hz), 7.03 (2H, br td, *J* = 9.0, 2.0 Hz), 3.88 (3H, s, O*CH*$_3$), 3.09 (2H, br t, *J* = 9.5 Hz), 2.98 (2H, br t, *J* = 9.5 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 159.9 (C), 144.8 (C), 140.3 (C), 136.2 (C), 131.0 (C), 129.6 (C), 128.5 (2 x CH), 127.6 (CH), 125.3 (2 x CH), 124.4 (2 x CH), 115.7 (CH), 114.7 (2 x CH), 55.6 (CH_3, O*C*H$_3$), 27.3 (CH_2), 19.9 (CH_2); HRMS (ESI-TOF) m/z 304.1452 ($M + H^+$), calcd for $C_{19}H_{17}N_3H$ 304.1450.



8ab

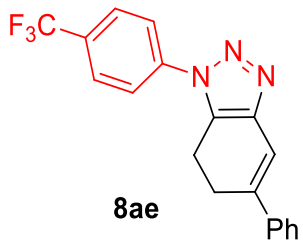
1-(4-Fluorophenyl)-5-phenyl-6,7-dihydro-1*H*-benzo[*d*][1,2,3]triazole (8ad): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.5:9.5 to 2:8) and was isolated as an orange solid; Yield: 86% (124.5 mg); Mp 172-174 °C; IR (Neat): ν_{max} 3034, 2983, 1601, 1518, 1491, 1237, 1219, 1077, 1042, 937, 846, 694 and 632 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 7.56-7.53 (2H, m), 7.50 (2H, br d, *J* = 7.2 Hz), 7.37 (2H, t, *J* = 7.2 Hz), 7.30 (1H, t, *J* = 7.2 Hz), 7.23 (2H, br t, *J* = 8.4 Hz), 7.04 (1H, br s), 3.10 (2H, br t, *J* = 8.0 Hz), 2.98 (2H, br t, *J* = 8.4 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 162.4 (C, d, *J* = 248.0 Hz, *C*-F), 145.0 (C), 140.1 (C), 136.5 (C), 132.5 (C), 130.9 (C),



8ad

128.5 (2 x CH), 127.7 (CH), 125.3 (2 x CH), 124.7 (2 x CH, d, J = 9.0 Hz), 116.5 (2 x CH, d, J = 23.0 Hz), 115.4 (CH), 27.1 (CH_2), 19.9 (CH_2); HRMS (ESI-TOF) m/z 292.1253 ($M + H^+$), calcd for $C_{18}H_{14}FN_3H$ 292.1250.

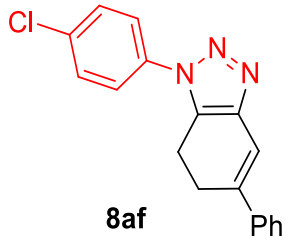
5-Phenyl-1-(4-(trifluoromethyl)phenyl)-6,7-dihydro-1*H*-benzo[*d*][1,2,3]triazole (8ae):



8ae

Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.5:9.5 to 2:8) and was isolated as a yellow solid; Yield: 91% (155 mg); Mp 210-212 °C; IR (Neat): ν_{max} 3033, 2983, 1611, 1387, 1320, 1232, 1112, 1067, 842, 756, 694 and 598 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 7.81 (2H, d, J = 8.5 Hz), 7.73 (2H, d, J = 8.5 Hz), 7.50 (2H, br d, J = 7.0 Hz), 7.38 (2H, br t, J = 8.0 Hz), 7.31 (1H, br t, J = 8.0 Hz), 7.03 (1H, br s), 3.18 (2H, br t, J = 8.5 Hz), 3.01 (2H, br t, J = 8.5 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 145.5 (C), 140.0 (C), 139.2 (C), 136.8 (C), 130.8 (C), 130.7 (C, q, J = 33.75 Hz), 128.6 (2 x CH), 127.8 (CH), 126.8 (2 x CH, q, J = 3.75 Hz), 125.3 (2 x CH), 123.5 (C, q, J = 270 Hz, CF_3), 122.7 (2 x CH), 115.1 (CH), 27.2 (CH_2), 20.2 (CH_2); HRMS (ESI-TOF) m/z 342.1220 ($M + H^+$), calcd for $C_{19}H_{14}F_3N_3H$ 342.1218.

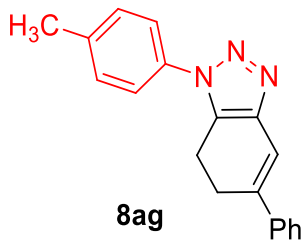
1-(4-Chlorophenyl)-5-phenyl-6,7-dihydro-1*H*-benzo[*d*][1,2,3]triazole (8af):



8af

Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.5:9.5 to 2:8) and was isolated as a white solid; Yield: 89% (137 mg); Mp 196-198 °C; IR (Neat): ν_{max} 3020, 2983, 1592, 1494, 1232, 1097, 1043, 1001, 827, 742 and 686 cm^{-1}; ^1H NMR ($CDCl_3$, 500 MHz) δ 7.53-7.50 (6H, m), 7.39 (2H, br t, J = 8.0 Hz), 7.31 (1H, br t, J = 8.0 Hz), 7.05 (1H, br s), 3.14 (2H, br t, J = 8.5 Hz), 3.00 (2H, br t, J = 8.5 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 145.3 (C), 140.1 (C), 136.6 (C), 135.0 (C), 134.8 (C), 130.8 (C), 129.8 (2 x CH), 128.6 (2 x CH), 127.8 (CH), 125.3 (2 x CH), 124.0 (2 x CH), 115.4 (CH), 27.2 (CH_2), 20.1 (CH_2); HRMS (ESI-TOF) m/z 308.0955 ($M + H^+$), calcd for $C_{18}H_{14}ClN_3H$ 308.0955.

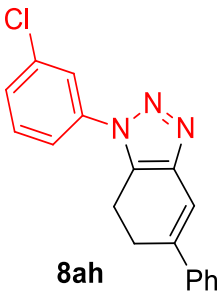
5-Phenyl-1-(*p*-tolyl)-6,7-dihydro-1*H*-benzo[*d*][1,2,3]triazole (8ag): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.5:9.5 to 2:8) and was isolated as a white solid; Yield: 88% (126 mg); Mp. 158-160 °C; IR (Neat): ν_{max} 3031, 2983, 1595, 1515, 1492, 1236, 1095, 1042, 817, 754 and 694 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 7.50 (2H, td, J = 7.2, 2.0 Hz), 7.44 (2H, td, J =



8ag

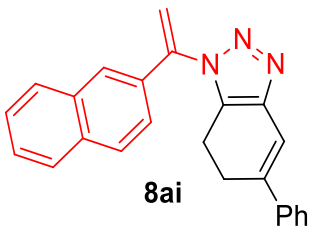
8.8, 2.0 Hz), 7.39-7.32 (4H, m), 7.29 (1H, br tt, J = 8.4, 1.2 Hz), 7.06 (1H, br t, J = 1.2 Hz), 3.10 (2H, br dt, J = 8.8, 1.6 Hz), 2.97 (2H, br tt, J = 8.8, 1.6 Hz), 2.43 (3H, s, ArCH_3); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 145.0 (C), 140.3 (C), 139.1 (C), 136.3 (C), 134.1 (C), 131.0 (C), 130.2 (2 x CH), 128.6 (2 x CH), 127.7 (CH), 125.4 (2 x CH), 122.8 (2 x CH), 115.7 (CH), 27.3 (CH_2), 21.2 (CH_3), 20.1 (CH_2); HRMS (ESI-TOF) m/z 288.1501 (M + H^+), calcd for $C_{19}H_{17}N_3H$ 288.1501.

1-(3-Chlorophenyl)-5-phenyl-6,7-dihydro-1*H*-benzo[*d*][1,2,3]triazole (8ah): Prepared following the procedure **A** and purified by chromatography using EtOAc/hexane (0.5:9.5 to 2:8) and was isolated as a white solid; Yield: 87% (134 mg); Mp 164-166 °C; IR (Neat): ν_{max} 3050, 2983, 1590, 1490, 1381, 1224, 1097, 1043, 916, 846, 751, 711 and 684 cm^{-1}; ^{1}H NMR ($CDCl_3$, 500 MHz) δ 7.63 (1H, br s), 7.52-7.46 (5H, m), 7.39 (2H, br t, J = 7.5 Hz), 7.31 (1H, br t, J = 7.5 Hz), 7.06 (1H, br s), 3.17 (2H, br t, J = 8.5 Hz), 3.01 (2H, br t, J = 8.5 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 145.3 (C), 140.1 (C), 137.5 (C), 136.7 (C), 135.4 (C), 130.9 (C), 130.6 (CH), 129.0 (CH), 128.6 (2 x CH), 127.8 (CH), 125.4 (2 x CH), 123.1 (CH), 120.8 (CH), 115.4 (CH), 27.2 (CH_2), 20.2 (CH_2); HRMS (ESI-TOF) m/z 308.0956 (M + H^+), calcd for $C_{18}H_{14}ClN_3H$ 308.0955.



8ah

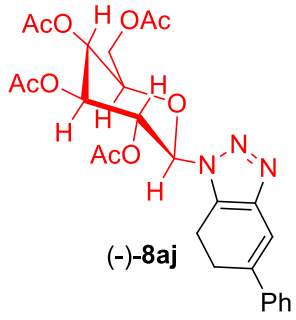
1-(1-(Naphthalen-2-yl)vinyl)-5-phenyl-6,7-dihydro-1*H*-benzo[*d*][1,2,3]triazole (8ai): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.5:9.5 to 2:8) and was isolated as a yellow solid; Yield: 80% (140 mg); Mp 171-173 °C; IR (Neat): ν_{max} 3053, 2983, 1596, 1477, 1372, 1232, 1097, 1042, 937, 846, 739 and 689 cm^{-1}; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.86-7.79 (3H, m), 7.68 (1H, d, J = 1.2 Hz), 7.54-7.48 (2H, m), 7.45 (2H, td, J = 7.2, 1.6 Hz), 7.41 (1H, dd, J = 8.4, 2.0 Hz), 7.34 (2H, td, J = 6.4, 1.2 Hz), 7.27 (1H, tt, J = 8.4, 1.2 Hz), 7.06 (1H, t, J = 1.2 Hz), 5.88 (1H, d, J = 0.8 Hz), 5.73 (1H, d, J = 1.2 Hz), 2.81 (2H, br t, J = 8.8 Hz), 2.62 (2H, t, J = 8.8 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 144.6 (C), 142.2 (C), 140.2 (C), 136.4 (C), 133.6 (C), 133.0 (C), 132.1 (C), 131.8 (C), 128.7 (CH), 128.5 (2 x CH), 128.4 (CH), 127.7 (CH), 127.6 (CH), 127.1 (CH), 126.8 (CH), 126.1 (CH), 125.3 (2 x CH), 123.4 (CH), 115.4 (CH), 112.4



8ai

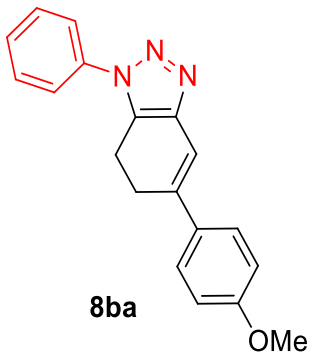
(CH_2), 27.0 (CH_2), 19.8 (CH_2); HRMS (ESI-TOF) m/z 350.1661 ($M + H^+$), calcd for $C_{24}H_{19}N_3H$ 350.1657.

(*2R,3R,4S,5R,6R*)-2-(Acetoxymethyl)-6-(5-phenyl-6,7-dihydro-1*H*-benzo[*d*][1,2,3]triazol-1-yl)tetrahydro-2*H*-pyran-3,4,5-triyl triacetate (8aj): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (1:9 to 5:5) and was isolated as a semi solid; Yield: 81% (214 mg); $[\alpha]_D^{25}$ = -32.67 (*C* = 0.06, $CHCl_3$); IR (Neat): ν_{max} 3058, 2983, 1724, 1607, 1489, 1372, 1232, 1097, 1042, 937, 846, 786, 759 and 691 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 7.40 (2H, td, *J* = 7.2, 1.6 Hz), 7.30 (2H, dt, *J* = 6.4, 1.6 Hz), 7.20 (1H, tt, *J* = 7.2, 1.6 Hz), 6.85 (1H, t, *J* = 1.6 Hz), 5.81 (1H, d, *J* = 9.2 Hz), 5.39 (2H, m), 5.17 (1H, t, *J* = 9.6 Hz), 4.23 (1H, dd, *J* = 12.4, 5.2 Hz), 4.13 (1H, dd, *J* = 12.4, 2.0 Hz), 3.94 (1H, ddd, *J* = 10.4, 5.2, 2.4 Hz), 3.22-3.05 (2H, m), 2.93 (2H, br t, *J* = 8.8 Hz), 2.02 (3H, s), 2.01 (3H, s), 1.97 (3H, s), 1.82 (3H, s); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 170.4 (C), 169.8 (C), 169.4 (C), 169.0 (C), 145.6 (C), 140.2 (C), 136.9 (C), 131.4 (C), 128.5 (2 x CH), 127.7 (CH), 125.3 (2 x CH), 114.9 (CH), 86.0 (CH), 75.0 (CH), 72.4 (CH), 69.3 (CH), 67.8 (CH), 61.5 (CH_2), 26.8 (CH_2), 20.6 (CH_3), 20.5 (CH_3), 20.47 (CH_3), 20.1 (CH_3), 19.6 (CH_2); HRMS (ESI-TOF) m/z 528.1984 ($M + H^+$), calcd for $C_{26}H_{29}N_3O_9H$ 528.1984.



(-)-8aj

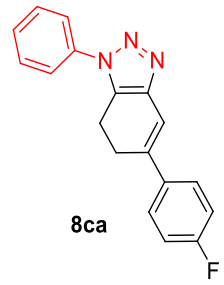
5-(4-Methoxyphenyl)-1-phenyl-6,7-dihydro-1*H*-benzo[*d*][1,2,3]triazole (8ba): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.5:9.5 to 2:8) and isolated as a semi solid; Yield: 88% (133.5 mg); IR (Neat): ν_{max} 3053, 2984, 1592, 1493, 1372, 1264, 1097, 1045, 770, 742 and 689 cm^{-1}; ^1H NMR ($CDCl_3$, 500 MHz) δ 7.59-7.53 (4H, m), 7.48 (1H, tt, *J* = 7.5, 2.0 Hz), 7.45 (2H, td, *J* = 9.0, 2.0 Hz), 6.99 (1H, t, *J* = 1.5 Hz), 6.92 (2H, td, *J* = 9.5, 2.0 Hz), 3.83 (3H, s, OC*H*$_3$), 3.13 (2H, t, *J* = 8.0 Hz), 2.96 (2H, t, *J* = 8.5 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 159.3 (C), 145.3 (C), 136.5 (C), 136.0 (C), 132.8 (C), 130.5 (C), 129.6 (2 x CH), 128.8 (CH), 126.6 (2 x CH), 122.9 (2 x CH), 114.0 (2 x CH), 113.9 (CH), 55.3



8ba

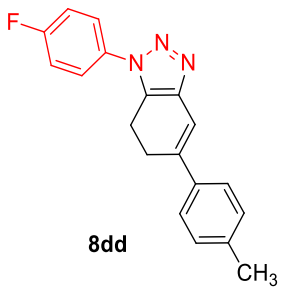
(CH_3, OCH_3), 27.3 (CH_2), 20.2 (CH_2); HRMS (ESI-TOF) m/z 304.1452 (M + H^+), calcd for $C_{19}H_{17}N_3OH$ 304.1450.

5-(4-Fluorophenyl)-1-phenyl-6,7-dihydro-1*H*-benzo[*d*][1,2,3]triazole (8ca): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.5:9.5 to 2:8) and was isolated as a white solid; Yield: 90% (131.5 mg); Mp 161-163 °C; IR (Neat): ν_{max} 2983, 1593, 1502, 1220, 1097, 1042, 937, 846, and 633 cm^{-1}; ^1H NMR ($CDCl_3$, 500 MHz) δ 7.59-7.52 (4H, m), 7.49-7.45 (3H, m), 7.06 (2H, br tt, *J* = 8.5, 1.5 Hz), 6.99 (1H, br s), 3.14 (2H, br t, *J* = 8.5 Hz), 2.95 (2H, br t, *J* = 8.5 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 162.3 (C, d, *J* = 246.2 Hz, *C*-F), 144.9 (C), 136.43 (C), 136.36 (C, d, *J* = 3.75 Hz), 135.3 (C), 130.8 (C), 129.6 (2 x CH), 128.9 (CH), 127.0 (2 x CH, d, *J* = 7.5 Hz), 122.8 (2 x CH), 115.45 (CH), 115.41 (2 x CH, d, *J* = 21.25 Hz), 27.4 (CH_2), 20.1 (CH_2); HRMS (ESI-TOF) m/z 292.1253 (M + H^+), calcd for $C_{18}H_{14}FN_3H$ 292.1250.



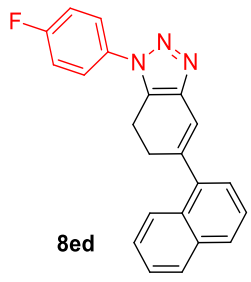
8ca

1-(4-Fluorophenyl)-5-(p-tolyl)-6,7-dihydro-1H-benzo[*d*][1,2,3]triazole (8dd): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.5:9.5 to 2:8) and was isolated as a white solid; Yield: 88% (134 mg); Mp 176-178 °C; IR (Neat): ν_{max} 2972, 2864, 1517, 1515, 1360, 1221, 1045, 838 and 813 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 7.54-7.52 (2H, m), 7.38 (2H, d, *J* = 6.4 Hz), 7.22 (2H, br t, *J* = 6.8 Hz), 7.17 (2H, br d, *J* = 6.4 Hz), 7.00 (1H, s), 3.07 (2H, br t, *J* = 6.8 Hz), 2.94 (2H, br t, *J* = 6.8 Hz), 2.35 (3H, s, Ar*CH*$_3$); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 162.4 (C, d, *J* = 248.75 Hz, *C*-F), 145.1 (C), 137.5 (C), 137.1 (C), 136.4 (C), 132.5 (C), 130.7 (C), 129.2 (2 x CH), 125.1 (2 x CH), 124.7 (2 x CH, d, *J* = 8.75 Hz), 116.5 (2 x CH, d, *J* = 23.75 Hz), 114.4 (CH), 27.1 (CH_2), 21.0 (CH_2), 19.9 (CH_3); HRMS (ESI-TOF) m/z 306.1408 (M + H^+), calcd for $C_{19}H_{16}FN_3H$ 306.1407.



8dd

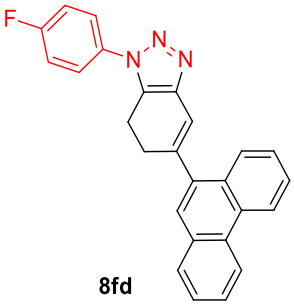
1-(4-Fluorophenyl)-5-(naphthalen-1-yl)-6,7-dihydro-1*H*-benzo[*d*][1,2,3]triazole (8ed): Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.5:9.5 to 2:8) and was isolated as a semi solid; Yield: 90% (154 mg). IR (Neat): ν_{max} 3044, 2983, 1513, 1429, 1396, 1232, 1097, 1042, 937, 838, 771 and 630 cm^{-1}; ^1H NMR ($CDCl_3$, 500 MHz) δ 8.08-8.06 (1H, m), 7.87-7.86 (1H, m), 7.79 (1H, d, *J*



8ed

= 8.0 Hz), 7.58-7.55 (2H, m), 7.49-7.43 (3H, m), 7.37 (1H, d, *J* = 6.5 Hz), 7.24-7.21 (2H, m), 6.86 (1H, s), 3.17 (2H, br t, *J* = 8.5 Hz), 2.93 (2H, br t, *J* = 8.5 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 162.4 (C, d, *J* = 248.75 Hz, *C*-F), 144.7 (C), 139.8 (C), 137.3 (C), 133.7 (C), 132.5 (C), 130.94 (C), 130.87 (C), 128.4 (CH), 127.8 (CH), 126.0 (CH), 125.8 (CH), 125.3 (CH), 125.24 (CH), 125.21 (CH), 124.8 (2 x CH, d, *J* = 8.75 Hz), 119.1 (CH), 116.53 (2 x CH, d, *J* = 22.5 Hz), 30.4 (CH_2), 20.2 (CH_2); HRMS (ESI-TOF) m/z 342.1408 (M + H^+), calcd for $C_{22}H_{16}FN_3H$ 342.1408.

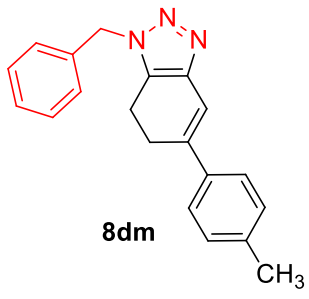
1-(4-Fluorophenyl)-5-(phenanthren-9-yl)-6,7-dihydro-1*H*-benzo[*d*][1,2,3]triazole (8fd):



8fd

Prepared following the procedure **A** and purified by column chromatography using EtOAc/hexane (0.5:9.5 to 2:8) and was isolated as orange solid; Yield: 91% (180 mg); Mp 172-174 °C;.IR IR (Neat): ν_{max} 3061, 2983, 1514, 1447, 1213, 1097, 1042, 937, 846, 723 and 600 cm^{-1}; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.70 (1H, d, *J* = 8.4 Hz), 8.63 (1H, d, *J* = 8.4 Hz), 8.08 (1H, d, *J* = 8.0 Hz), 7.83 (1H, d, *J* = 7.6 Hz), 7.66-7.54 (7H, m), 7.21 (2H, t, *J* = 8.8 Hz), 6.93 (1H, s), 3.16 (2H, t, *J* = 8.4 Hz), 2.92 (2H, t, *J* = 8.4 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 162.4 (C, d, *J* = 248 Hz, *C*-F), 144.7 (C), 138.4 (C), 137.7 (C), 132.5 (C, d, *J* = 3.0 Hz), 131.3 (C), 131.0 (C), 130.6 (C), 130.1 (C), 129.9 (C), 128.5 (CH), 126.8 (CH), 126.7 (CH), 126.5 (2 x CH), 126.0 (CH), 125.9 (CH), 124.8 (2 x CH, d, *J* = 9.0 Hz), 123.1 (CH), 122.4 (CH) 119.0 (CH), 116.5 (2 x CH, d, *J* = 28.75 Hz), 30.2 (CH_2), 20.2 (CH_2); HRMS (ESI-TOF) m/z 392.1564 (M + H^+), calcd for $C_{26}H_{18}FN_3H$ 392.1563.

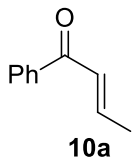
1-Benzyl-5-(*p*-tolyl)-6,7-dihydro-*1H*-benzo[*d*][1,2,3]triazole (8dm): Prepared following the procedure **A** (under the KO^{t}Bu-catalysis) and purified by column chromatography using EtOAc/hexane (0.5:9.5 to 2:8) and was isolated as a semi solid; Yield: 80% (120 mg); IR (Neat): ν_{max} 3028, 2919, 1513, 1497, 1454, 1197, 1019, 861, 831, 771, 723, 582, 522 and 473 cm^{-1}; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.37-7.31 (5H, m), 7.22 (2H, dd, *J* = 8.0, 1.2 Hz), 7.15 (2H, br d, *J* = 8.4 Hz), 6.94 (1H, t, *J* = 1.2 Hz), 5.48 (2H, s, NC*H*$_2$Ph), 2.85 (2H, tt, *J* = 8.0, 1.2 Hz), 2.77 (2H, dt, *J* = 8.0, 1.2 Hz), 2.34 (3H, s, Ar-C*H*$_3$); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 145.0 (C), 137.5 (C), 137.4 (C), 135.8 (C),



8dm

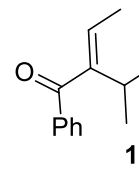
134.6 (C), 131.1 (C), 129.2 (2 x CH), 129.0 (2 x CH), 128.4 (CH), 127.5 (2 x CH), 125.2 (2 x CH), 114.8 (CH), 52.1 (CH_2, N*C*H_2Ph), 26.8 (CH_2), 21.1 (CH_3, Ar-*C*H_3), 18.8 (CH_2); HRMS (ESI-TOF) m/z 302.1656 ($M + H^+$), calcd for $C_{20}H_{19}N_3H$ 302.1657.

***(E)*-1-Phenylbut-2-en-1-one (10a):** Prepared following the procedure **E** and purified by column chromatography using EtOAc/hexane (0.2:9.8 to 1:9) and was isolated as a colourless liquid; Yield: 57% (42 mg); IR (Neat): ν_{max} 3058, 2926, 1720, 1670, 1622, 1447, 1295, 759 and 668 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 7.92 (2H, br td, *J* = 7.2, 1.6 Hz), 7.54 (1H, br tt, *J* = 6.4, 1.2 Hz), 7.46 (2H, br tt, *J* = 8.0, 1.6 Hz), 7.07 (1H, qd, *J* = 15.6, 6.8 Hz), 6.90 (1H, qd, *J* = 15.6, 1.6 Hz), 1.99 (3H, dd, *J* = 6.8, 1.6 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 190.7 (C, *C*=O), 144.9 (CH), 137.9 (C), 132.5 (CH), 128.4 (4 x CH), 127.5 (CH), 18.5 (CH_3); HRMS (ESI-TOF) m/z 147.0807 ($M + H^+$), calcd for $C_{10}H_{10}OH$ 147.0810.



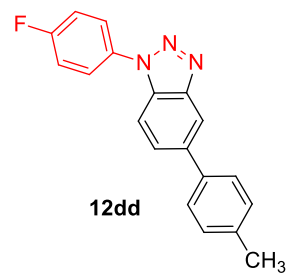
10a

(*E*)-2-Ethylidene-3-methyl-1,5-diphenylpentane-1,5-dione (11aa): Prepared following the procedure **F** and purified by column chromatography using EtOAc/hexane (0.2:9.8 to 1:9) and was isolated colorless liquid; Yield: 45% (66 mg); IR (Neat): ν_{max} 3058, 2963, 1682, 1642, 1445, 1267, 728 and 691 cm^{-1}; ^1H NMR ($CDCl_3$, 500 MHz) δ 7.97 (2H, td, *J* = 8.0, 2.0 Hz), 7.63 (2H, td, *J* = 8.0, 2.0 Hz), 7.52 (1H, tt, *J* = 7.0, 1.5 Hz), 7.47 (1H, tt, *J* = 7.0, 1.5 Hz), 7.42 (2H, tt, *J* = 7.0, 1.5 Hz), 7.37 (2H, tt, *J* = 7.0, 1.5 Hz), 6.18 (1H, q, *J* = 7.0 Hz), 3.63-3.52 (2H, m), 3.34 (1H, dd, *J* = 16.5, 6.0 Hz), 1.94 (3H, d, *J* = 7.0 Hz, C*H*$_3$), 1.34 (3H, d, *J* = 7.0 Hz, C*H*$_3$); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 200.0 (C), 199.4 (C), 144.5 (C), 139.8 (CH), 139.4 (C), 137.3 (C), 132.9 (CH), 131.6 (CH), 129.5 (2 x CH), 128.4 (2 x CH), 128.1 (2 x CH), 127.9 (2 x CH), 43.3 (CH_2), 29.2 (CH), 19.4 (CH_3), 14.0 (CH_3); HRMS (ESI-TOF) m/z 293.1541 ($M + H^+$), calcd for $C_{20}H_{20}O_2H$ 293.1542.



11aa

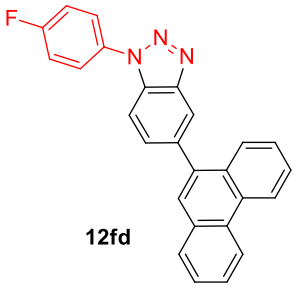
1-(4-Fluorophenyl)-5-(p-tolyl)-1*H*-benzo[*d*][1,2,3]triazole (12dd): Prepared following the procedure **B** and purified by column chromatography using EtOAc/hexane (0.5:9.5 to 2:8) and was isolated as a white solid; Yield: 70% (106 mg); Mp 180-182 °C; IR (KBr): ν_{max} 2987, 2920, 1508, 1221, 1054, 837, 799 and 610 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 8.27 (1H, s), 7.79-7.75 (3H, m), 7.70 (1H, d, *J* = 8.8 Hz), 7.55 (2H, d, *J* = 8.0 Hz), 7.33-7.28 (4H, m), 2.42 (3H, s); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 162.3



12dd

(C, d, J = 247 Hz, *C*-F), 147.3 (C), 138.2 (C), 137.5 (C), 137.3 (C), 133.1 (C, d, J = 3.0 Hz), 131.6 (C), 129.7 (2 x CH), 128.4 (CH), 127.3 (2 x CH), 124.6 (2 x CH, d, J = 9.0 Hz), 117.7 (CH), 116.8 (2 x CH, d, J = 23.0 Hz), 110.1 (CH), 21.1 (CH_3); HRMS (ESI-TOF) m/z 304.1250 ($M + H^+$), calcd for $C_{19}H_{14}FN_3H$ 304.1250.

1-(4-Fluorophenyl)-5-(phenanthren-9-yl)-1*H*-benzo[*d*][1,2,3]triazole (12fd): Prepared following the procedure **C** and purified by column chromatography using EtOAc/hexane (0.5:9.5 to 2:8) and was isolated as a brown solid; Yield: 60% (116.8 mg); Mp 190-192 °C; IR (Neat): ν_{max} 2922, 2851, 1461, 1372, 1264, 739 and 704 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 8.82 (1H, d, J = 8.0 Hz), 8.76 (1H, d, J = 8.0 Hz), 8.32 (1H, q, J = 0.8 Hz), 7.93 (1H, dd, J = 8.0, 0.8 Hz), 7.87-7.80 (4H, m), 7.77-7.76 (2H, m), 7.74-7.68 (2H, m), 7.67-7.63 (1H, m), 7.56-7.52 (1H, m), 7.36 (2H, tt, J = 8.0, 3.6 Hz); ^{13}C NMR ($CDCl_3$, DEPT-135) δ 162.4 (C, d, J = 248 Hz, *C*-F), 146.8 (C), 137.6 (C), 137.5 (C), 133.1 (C, d, J = 3.0 Hz), 131.8 (C), 131.3 (C), 131.2 (CH), 131.0 (C), 130.7 (C), 130.1 (C), 128.7 (CH), 128.2 (CH), 127.0 (CH), 126.9 (CH), 126.7 (CH), 126.69 (CH), 126.6 (CH), 124.4 (2 x CH, d, J = 8.0 Hz), 123.1 (CH), 122.6 (CH), 121.1 (CH), 116.9 (2 x CH, d, J = 23.0 Hz), 109.7 (CH); HRMS (ESI-TOF) m/z 390.1408 ($M + H^+$), calcd for $C_{26}H_{16}FN_3H$ 390.1407.



12fd