Supporting Information

Solvent switchable cycloaddition: a (one-pot) metal-free approach towards N-substituted benzo[e]or[f]isoindolones via C_{sp}2–H functionalization

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Experimental Section.

Materials:

All the starting materials, reagents and catalysts were purchased from Aldrich or Acros and used as such. For thin layer chromatography, analytical TLC plates (Alugram SIL G/UV254 and 70-230 mesh silica gel (E. M. Merck) were used). Column chromatography was performed using silica gel (Merck, 60-120 mesh size). Anhydrous solvents were purchased from Acros Organics and stored over molecular sieves. The chromatographic solvents used for isolation/purification of compounds were distilled prior to use. The chromatographic solvents are mentioned as volume:volume ratios. Reactions were typically run in oven-dried screw-cap vial.

Apparatus:

$^1$H and $^{13}$C NMR spectra were recorded on a 300 MHz instrument using CDCl$_3$ and DMSO-d$_6$ as a solvent. $^1$H and $^{13}$C NMR spectra were recorded and processed with 32768 data points and 65536 data points respectively. The $^1$H and $^{13}$C chemical shifts are reported in parts per million relative to tetramethylsilane using the residual solvent signal as the internal reference. The following abbreviations were used to designate chemical shift multiplicities: s = singlet, bs = broad singlet, d = doublet, dd = double doublet, t = triplet, dt = doublet of triplet, m = multiplet. The $^{13}$C NMR spectra are proton decoupled. The melting points were determined on a digital Barnsted Electrothermal 9200 apparatus and are uncorrected. Mass spectra were recorded by using a Kratos MS50TC and a Kratos Mach III system. The ion source temperature was 150-250 $^\circ$C, as required. High resolution EI-mass spectra were performed with a resolution of 10,000. The low-resolution spectra were obtained with a HP5989A MS instrument.
Table 1. Starting materials.\textsuperscript{1}

<table>
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<tr>
<th>Aldehyde (1a-g)</th>
<th>Amine (2a-b)</th>
<th>2-alkynoic acid (3a-d)</th>
<th>Isonitrile (4a-c)</th>
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\textsuperscript{1} Adapted from a previous study.
Procedure for the synthesis of Ugi-adduct 5a

To a solution of substituted p-tolualdehyde 1a (100mg, 1 equiv) in n-butanol (2 mL) were added successively propargylamine 2a (1.05 equiv), phenylpropionic acid 3a (1.05 equiv) and t-butylisonitrile 4a (1.05 equiv) in a 10 mL screw cap vial equipped with a magnetic stir bar. The reaction mixture was stirred at 50 °C for 10 h. After completion of the reaction, the mixture was diluted with EtOAc (100 mL) and was extracted with water (50 mL). Organic layer was washed with brine (50 mL), dried over magnesium sulfate and evaporated under reduced pressure to obtain residue which was subjected to silica gel column chromatography (30-50 % EtOAc in Heptane) to afford the desired Ugi-adduct 5a.

\[
\text{N-(2-tery-butylamino)-2-oxo-1-(p-tolyl)ethyl)-3-phenyl-N-}
\text{(prop-2-yn-1-yl)propionolamide 5a.}
\]

White solid, Yield 95 %, Melting point: 143-145 °C. \(^1\)H NMR (300 MHz, CDCl\(_3\)): \(\delta\) 7.63-7.54 (m, 2H), 7.48-7.15 (m, 7H), 6.28 (bs, 0.3H), 6.05-5.98 (m, 1H), 5.69 (bs, 0.6H), 4.52-4.27 (m, 1.7H), 3.69-3.59 (m, 0.3H), 2.37 (s, 3H), 2.18 (bs, 0.3H), 2.03 (bs, 0.6H), 1.44-1.33 (m, 9H). \(^{13}\)C NMR (75 MHz, CDCl\(_3\)): \(\delta\) 168.2, 168.1, 155.3, 138.9, 138.6, 132.8, 132.6, 131.2, 130.7, 130.6, 130.3, 129.8, 129.5(2), 128.6, 128.5, 120.2, 119.8, 92.6, 91.7, 81.4, 81.0, 79.6, 79.5, 77.5, 77.1, 76.7, 72.0, 71.4, 67.2, 60.7, 52.2, 51.8, 36.7, 32.4, 28.6, 21.2. HRMS: calculated for \(\text{C}_{25}\text{H}_{26}\text{N}_{2}\text{O}_{2}\ 386.1994\), found 386.1974
General procedure for synthesis of 2-subsituted-2,3-dihydro benzo[f]isoindolones 6a-n.

To a solution of substituted aldehydes 1a-g (100 mg, 1 equiv) in n-butanol (2 mL) were added successively amine 2a-b (1.05 equiv), alkynoic acid 3a-d (1.05 equiv) and isonitrile 4a-c (1.05 equiv) in a 10 mL screw cap vial equipped with a magnetic stir bar. The reaction mixture was stirred at 50 °C for 8-12 h. After confirming the complete conversion of starting materials into Ugi-adduct by TLC, reaction temperature was increased to 140 °C. The reaction mixture was stirred at 140 °C for 3-8 h. After completion of the reaction (confirmed by TLC), reaction mixture was evaporated under reduced pressure. Thus obtained solid was washed with n-pentane (2×10 mL) to afford pure 2-subsituted-2,3-dihydro benzo[f]isoindolones 6a-n.

Procedure for synthesis of 2-subsituted-2,3-dihydro benzo[f]isoindolones 6a’.

In a 10 mL screw cap vial charged with Ugi-adduct 5a (0.1 mmol, 1 equiv) was added dry toluene (1 mL) and CD$_3$OD (2 equiv). The reaction vial was evacuated and backfilled with nitrogen (5 cycles), sealed and subsequently heated at 140 °C for 8 h. After completion of the reaction (confirmed by TLC), reaction mixture was evaporated under reduced pressure. Thus obtained solid was washed with n-pentane (2×10 mL), dried and analyzed by $^1$H NMR.

\[
\text{N-(tert-butyl)-2-(1-oxo-1H-benzo[f]isoindol-2(3H)-yl)-2-phenylacetamide 6a’}.
\]

White solid, Yield 99 %, $^1$H NMR (300 MHz, CDCl$_3$): $\delta$ 8.38 (s, 0.13H), 8.03-7.96 (m, 1H), 7.89-7.83 (m, 1H), 7.75 (s, 0.2H), 7.60-7.46 (m, 2H), 7.36 (d, $J = 8.10$ Hz, 2H), 7.20 (d, $J = 7.92$, 2H), 6.09 (s, 1H), 5.06 (d, $J = 16.38$ Hz, 1H), 4.14 (d, $J = 8.10$ Hz, 2H), 3.17 (s, 1H), 1.22 (s, 9H).
16.38 (1H), 2.36 (s, 3H), 1.37 (s,9H). **HRMS:** calculated for C$_{25}$H$_{24}$D$_2$N$_2$O$_2$ 388.2120, found 388.2112.

![N-(tert-butyl)-2-(1-oxo-1H-benzo[f]isoindol-2(3H)-yl)-2-(p-tolyl)acetamide 6a.](image)

Off-white solid, Yield 99 %, Melting point: 250-252 °C. $^1$H NMR (300 MHz, CDCl$_3$): δ 8.39 (s, 1H), 7.99 (d, J = 8.07 Hz, 1H), 7.85 (d, J = 8.07 Hz, 1H), 7.75 (s, 1H), 7.57-7.48 (m, 2H), 7.35 (d, J = 8.07 Hz, 2H), 7.20 (d, J = 8.07, 2H), 6.08 (s, 1H), 5.71 (bs, 1H), 5.05 (d, J = 16.58 Hz, 1H), 4.14 (d, J = 16.58, 1H), 2.36 (s, 3H), 1.37 (s,9H). $^{13}$C NMR (75 MHz, CDCl$_3$): δ 168.72, 168.47, 138.49, 137.05, 135.20, 132.77, 132.42, 130.13, 129.71, 129.50, 128.84, 127.95, 127.54, 126.09, 124.08, 121.51, 58.66, 51.88, 47.87, 28.68, 21.15. **HRMS:** calculated for C$_{25}$H$_{26}$N$_2$O$_2$ 386.1994, found 386.1991.

![N-(tert-butyl)-2-(1-oxo-1H-benzo[f]isoindol-2(3H)-yl)-2-phenylacetamide 6b.](image)

White solid, Yield 94 %, Melting point: 275-277 °C. $^1$H NMR (300 MHz, CDCl$_3$): δ 8.40 (s, 1H), 8.00 (d, J = 7.7 Hz, 1H), 7.85 (d, J = 7.7 Hz, 1H), 7.77 (s, 1H), 7.57-7.45 (m, 4H), 7.42-7.38 (m, 3H), 6.12 (s 1H), 5.78 (bs, 1H), 5.07 (d, J = 16.3 Hz, 1H), 4.14 (d, J = 16.3 Hz, 1H), 1.38 (s, 9H). $^{13}$C NMR (75 MHz, CDCl$_3$): δ 168.53, 168.51, 136.98, 135.43, 135.21, 132.76, 129.99, 129.51, 129.05, 128.87, 128.59, 127.96, 127.60, 126.13, 124.14, 121.56, 58.88, 51.94, 47.88, 28.67. **HRMS:** calculated for C$_{24}$H$_{24}$N$_2$O$_2$ 372.1838, found 372.1835.

Light yellow solid. Yield 92 %, Melting point: 82-84 °C. ¹H NMR (300 MHz, CDCl₃):
δ 8.39 (s, 1H), 7.99 (d, J = 7.27 Hz, 1H), 7.86 (d, J = 7.27 Hz, 1H), 7.77 (s, 1H), 7.57-7.49 (m, 2H), 7.35 (d, J = 8.14 Hz, 2H), 7.19 (d, J = 8.14, 2H), 6.13 (s, 1H), 5.81 (d, J = 8.14 Hz, 1H), 5.03 (d, J = 16.57 Hz, 1H), 4.18 (d, J = 16.57 Hz, 1H), 3.83 (m, 1H), 2.35 (s, 3H), 1.93 (m, 2H), 1.66 (m, 2H), 1.33 (m, 3H), 1.14 (m, 3H).

¹³C NMR (75 MHz, CDCl₃): δ 168.49, 168.38, 138.42, 137.01, 135.19, 132.74, 132.35, 130.06, 129.65, 129.47, 128.78, 127.95, 127.56, 126.11, 124.04, 121.53, 58.39, 48.68, 47.94, 32.88, 32.82, 25.46, 24.81, 24.79, 22.33, 21.13, 14.06.
HRMS: calculated for C₂₇H₂₈N₂O₂ 412.2151, found 412.2161.

N-cyclohexyl-2-(4-nitrophenyl)-2-(1-oxo-1H-benzo[f]isoindol-2(3H)-yl)acetamide 6d.

Off-white solid. Yield 74 %, Melting point: 138-140 °C. ¹H NMR (300 MHz, CDCl₃):
δ 8.41 (s, 1H), 8.24 (d, J = 8.81 Hz, 2H), 8.01 (d, J = 7.63 Hz, 1H), 7.89 (d, J = 7.63 Hz, 1H), 7.83 (s, 1H), 7.66 (d, J = 8.22 Hz, 2H), 7.60-7.54 (m, 2H), 6.23 (s, 1H), 6.19 (d, J = 8.22, 1H), 5.00 (d, J = 16.45 Hz, 1H), 4.27 (d, J = 16.45 Hz, 1H), 3.85 (m, 1H), 1.94 (m, 2H), 1.69 (m, 2H), 1.37 (m, 3H), 1.16 (m, 3H). ¹³C NMR (75 MHz, CDCl₃): δ 168.83, 166.89, 147.86, 142.43, 136.30, 135.40, 132.80, 129.58, 129.53, 129.07, 128.04, 126.51, 124.48, 124.05, 121.90. HRMS: calculated for C₂₆H₂₅N₃O₄ 443.1845, found 443.1835.
N-butyl-2-(1-oxo-1H-benzo[f]isoindol-2(3H)-yl)-2-p-tolylacetamide 6e.

Pale yellow solid, Yield 89 %, Melting point: 151-153 °C. 1H NMR (300 MHz, CDCl₃): δ 8.34 (s, 1H), 7.97 (d, J = 7.82 Hz, 1H), 7.84 (d, J = 7.82 Hz, 1H), 7.76 (s, 1H), 7.57-7.48 (m, 2H), 7.36 (d, J = 7.82 Hz, 2H), 7.18 (d, J = 7.82 Hz, 2H), 6.37 (t, J = 6.90 Hz, 1H), 6.22 (s, 1H), 5.04 (d, J = 16.56, 1H), 4.20 (d, J = 16.56 Hz, 1H), 3.31 (m, 2H), 2.34 (s, 3H), 1.53-1.45 (m, 2H), 1.36-1.28 (m, 2H), 0.88 (t, J = 8.28, 3H). 13C NMR (75 MHz, CDCl₃): δ 169.29, 168.51, 138.51, 136.94, 135.20, 132.75, 132.16, 130.02, 129.66, 129.47, 128.85, 127.96, 127.59, 126.13, 124.04, 121.56, 58.48, 47.96, 39.49, 31.48, 21.13, 20.06, 13.70. HRMS: calculated for C₂₅H₂₆N₂O₂ 386.1994, found 386.1990.

N-tert-butyl-2-(6-methyl-1-oxo-1H-benzo[f]isoindol-2(3H)-yl)-2-(4-nitrophenyl)acetamide 6f.

Pale yellow solid, Yield 77 %, Melting point: 212-214 °C. 1H NMR (300 MHz, CDCl₃): δ 8.32 (s, 1H), 8.23 (d, J = 8.23 Hz, 2H), 7.89 (d, J = 8.23 Hz, 1H), 7.71 (s, 1H), 7.64 (d, J = 8.23 Hz, 3H), 7.38 (d, J = 8.23 Hz, 1H), 6.43 (s, 1H), 6.26 (s, 1H), 5.04 (d, J = 16.46 Hz, 1H), 4.24 (d, J = 16.46 Hz, 1H), 2.53 (s, 3H), 1.39 (s, 9H). 13C NMR (75 MHz, CDCl₃): δ 168.97, 167.33, 147.82, 142.68, 138.14, 136.53, 131.07, 129.60, 129.34, 129.27, 128.37, 126.97, 124.05, 121.09, 52.20, 34.12, 28.61, 22.33, 14.06. HRMS: calculated for C₂₅H₂₅N₃O₄ 431.1845, found 431.1848.
**N-tert-butyl-2-(6-methyl-1-oxo-1H-benzo[f]isoindol-2(3H)-yl)-2-o-tolylacetamide 6g.**

Off-white solid, Yield 99 %, Melting point: 260-262 °C. $^1$H NMR (300 MHz, CDCl₃): δ 8.32 (s, 1H), 7.87 (d, $J = 8.76$ Hz, 1H), 7.62 (d, $J = 8.76$ Hz, 2H), 7.55 (m, 1H), 7.36-7.28 (m, 3H), 7.22 (m, 1H), 6.25 (s, 1H), 5.74 (bs, 1H), 4.99 (d, $J = 16.34$ Hz, 1H), 3.88 (d, $J = 16.34$ Hz, 1H), 2.51 (s, 3H), 2.32 (s, 3H), 1.37 (s, 9H). $^{13}$C NMR (75 MHz, CDCl₃): δ 169.39, 168.35, 138.23, 137.55, 137.23, 135.47, 133.75, 131.07, 131.00, 129.23, 129.20, 128.77, 128.52, 128.48, 126.89, 126.34, 123.89, 120.77, 56.05, 51.87, 48.00, 28.66, 21.86, 19.41. HRMS: calculated for C$_{26}$H$_{28}$N$_2$O$_2$ 400.2151, found 400.2166.

**N-cyclohexyl-2-(6-methyl-1-oxo-1H-benzo[f]isoindol-2(3H)-yl)-2-o-tolylacetamide 6h.**

Off-white solid, Yield 99 %, Melting point: 264-266 °C. $^1$H NMR (300 MHz, CDCl₃): δ 8.33 (s, 1H), 7.88 (d, $J = 8.09$ Hz, 1H), 7.63 (d, $J = 11.89$ Hz, 2H), 7.52 (t, $J = 4.21$ Hz, 1H), 7.34 (d, $J = 8.09$ Hz, 1H), 7.29-7.20 (m, 3H), 6.29 (s, 1H), 5.77 (d, $J = 8.09$ Hz, 1H), 4.96 (d, $J = 16.65$ Hz, 1H), 3.92 (d, $J = 16.65$ Hz, 1H), 3.84 (m, 1H), 2.52 (s, 3H), 2.32 (s, 3H), 1.94 (bs, 2H), 1.58-1.56 (m, 2H), 1.33-1.16 (m, 3H), 1.12 (m, 3H). $^{13}$C NMR (75 MHz, CDCl₃): δ 168.89, 168.37, 138.17, 137.61, 137.12, 135.48, 131.02, 129.24, 129.12, 128.81, 128.65, 128.52, 126.89, 126.33, 123.90, 120.80, 55.88, 48.79, 47.92, 32.88, 32.85, 25.45, 24.84, 24.77, 21.87, 19.40. HRMS: calculated for C$_{28}$H$_{30}$N$_2$O$_2$ 426.2307, found 426.2309.
**N-tert-butyl-2-(2-chloro-4-fluorophenyl)-2-(6-methyl-1-oxo-1H-benzof[f]isoindol-2(3H)-yl)acetamide 6i.**

White solid, Yield 93 %, Melting point: 230-232 °C. $^1$H NMR (300 MHz, CDCl$_3$): $\delta$ 8.34 (s, 1H), 7.89 (d, $J = 8.45$ Hz, 1H), 7.71-7.67 (m, 2H), 7.62 (s, 1H), 7.36 (dd, $J = 8.45$ Hz, $J = 1.58$ Hz, 1H), 7.18 (dd, $J = 8.45$ Hz, $J = 2.64$ Hz, 1H), 7.07 (dt, $J = 8.98$ Hz, $J = 2.64$ Hz, 1H), 6.27 (s, 1H), 5.95 (bs, 1H), 4.90 (d, $J = 16.38$ Hz, 1H), 4.03 (d, $J = 16.38$ Hz, 1H), 2.53 (s, 3H), 1.37 (s, 9H). $^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ 168.37, 168.07, 137.77, 136.74, 136.00, 135.54, 131.31, 131.07, 129.28, 129.14, 128.85, 128.65, 126.90, 124.06, 120.88, 117.86, 117.53, 114.27, 56.16, 52.06, 48.12, 28.60, 21.89. HRMS: calculated for C$_{25}$H$_{24}$ClFN$_2$O$_2$ 438.1510, found 438.1495.

**N-tert-butyl-2-(6-methoxy-1-oxo-1H-benzo[f]isoindol-2(3H)-yl)-2-phenylacetamide 6j.**

Light green solid, Yield 94 %, Melting point: 263-265 °C. $^1$H NMR (300 MHz, CDCl$_3$): $\delta$ 8.30 (s, 1H), 7.87 (d, $J = 9.18$ Hz, 1H), 7.64 (s, 1H), 7.46 (dd, $J = 7.92$ Hz, $J = 1.89$ Hz, 2H), 7.37 (m, 3H), 7.17 (dd, $J = 8.84$ Hz, $J = 2.84$ Hz, 1H), 7.12 (d, $J = 2.52$ Hz, 1H), 6.11 (s, 1H), 5.81 (bs, 1H), 5.04 (d, $J = 16.43$ Hz, 1H), 4.12 (d, $J = 16.43$ Hz, 1H), 3.92 (s, 3H), 1.38 (s, 9H). $^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ 168.70, 158.96, 137.96, 136.79, 135.66, 130.89, 128.98, 128.83, 128.45, 128.23, 127.97, 123.88, 12.17, 119.28, 105.69, 58.74, 55.33, 51.85, 47.88, 28.67. HRMS: calculated for C$_{25}$H$_{26}$N$_2$O$_3$ 402.1943, found 402.1925.
N-cyclohexyl-2-(6-methoxy-1-oxo-1H-benzo[f]isoindol-2(3H)-yl)-2-o-tolylacetamide 6k.

Light green solid, Yield 91 %, Melting point: 153-155 °C. $^1$H NMR (300 MHz, CDCl$_3$): $\delta$ 8.28 (s, 1H), 7.86 (d, $J = 9.09$ Hz, 1H), 7.62 (s, 1H), 7.54-7.51 (m, 1H), 7.28 (m, 2H), 7.22 (d, $J = 3.63$ Hz, 1H), 7.17 (dd, $J = 8.92$ Hz, $J = 2.64$ Hz, 1H), 7.11 (d, $J = 2.31$ Hz, 1H), 6.29 (s, 1H), 5.80 (d, $J = 8.26$ Hz, 1H), 4.96 (d, $J = 16.53$ Hz, 1H), 3.93-3.83 (m, 5H), 2.32 (s, 3H), 1.94 (m, 2H), 1.65-1.56 (m, 4H), 1.37-1.31 (m, 2H), 1.12 (m, 3H). $^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ 169.05, 168.38, 158.95, 138.19, 137.96, 136.76, 133.67, 131.04, 130.89, 128.73, 128.60, 128.23, 127.92, 126.28, 123.90, 120.19, 119.28, 105.69, 55.80, 55.34, 48.75, 47.96, 32.82, 25.46, 24.84, 24.78, 19.42. HRMS: calculated for C$_{28}$H$_{30}$N$_2$O$_3$ 442.2256, found 442.2267.


Light yellow solid, Yield 93 %, Melting point: 228-230 °C. $^1$H NMR (300 MHz, CDCl$_3$): $\delta$ 8.66 (s, 1H), 7.77 (s 1H), 7.63 (d, $J = 8.36$ Hz, 1H), 7.47 (dt, $J = 15.98$ Hz, $J = 5.51$ Hz, 1H), 7.36 (d, $J = 8.26$ Hz, 2H), 7.22-7.14 (m, 3H), 6.09 (s, 1H), 5.74 (bs, 1H), 5.09 (d, $J = 16.80$ Hz, 1H), 4.14 (d, $J = 16.80$ Hz, 1H), 2.36 (s, 3H), 1.37 (s, 9H). $^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ 168.72, 168.01, 138.55, 138.16, 136.47, 136.42, 132.37, 130.52, 129.75, 128.81, 127.51, 127.39, 123.72, 123.66, 121.30, 121.26, 117.37, 117.29, 109.76, 109.50, 58.59, 51.91, 47.88, 28.67, 21.14. HRMS: calculated for C$_{25}$H$_{25}$FN$_2$O$_2$ 404.1900, found 404.1914.
N-tert-butyl-2-(2-methyl-1H-indol-3-yl)-2-(1-oxo-1H-benzo[f]isoindol-2(3H)-yl)acetamide 6m.

Off-white solid, Yield 84 %, Melting point: >300 °C. \(^1\)H NMR (300 MHz, CDCl\(_3\)): \(\delta\) 11.11 (s, 1H), 8.33 (s, 1H), 8.12 (d, \(J = 7.16\) Hz, 1H), 7.92 (d, \(J = 7.16\) Hz, 2H), 7.71 (s, 1H), 7.61-7.54 (m, 3H), 7.29 (d, \(J = 7.44\) Hz, 1H), 7.03-6.96 (m, 2H), 6.27 (s, 1H), 5.01 (d, \(J = 16.80\) Hz, 1H), 3.94 (d, \(J = 16.80\) Hz, 1H), 2.41 (s, 3H), 1.26 (s, 9H). \(^1^3\)C NMR (75 MHz, CDCl\(_3\)): \(\delta\) very low solubility even in DMSO. HRMS: calculated for C\(_{27}\)H\(_{27}\)N\(_3\)O\(_2\) 425.2103, found 425.2135.

N-tert-butyl-2-(1-oxo-1H-benzo[f]isoindol-2(3H)-yl)hexanamide 6n.

Off-white solid, Yield 37 %, Melting point: 195-197 °C. \(^1\)H NMR (300 MHz, CDCl\(_3\)): \(\delta\) 8.39 (s, 1H), 8.01 (d, \(J = 8.22\) Hz, 1H), 7.91 (d, \(J = 9.19\) Hz, 2H), 7.59-7.54 (m, 2H), 6.10 (s, 1H), 4.77-4.68 (m, 2H), 4.55 (d, \(J = 16.45\) Hz, 1H), 2.13-2.03 (m, 1H), 1.91-1.81 (m, 1H), 1.39-1.27 (m, 13H), 0.89 (t, \(J = 7.74\) Hz, 3H). \(^1^3\)C NMR (75 MHz, CDCl\(_3\)): \(\delta\) 169.32, 168.80, 136.40, 135.20, 132.85, 130.05, 129.51, 128.01, 127.76, 126.35, 124.03, 121.79, 56.01, 51.47, 46.88, 28.67, 28.53, 28.16, 22.42, 13.93. HRMS: calculated for C\(_{22}\)H\(_{28}\)N\(_2\)O\(_2\) 352.2151, found 352.2161.
General procedure for synthesis of Ugi-adduct 5a-f.

To a solution of substituted aldehydes 1a-g (1 mmol, 1 equiv) in n-butanol (2 mL) were added successively amine 2a (1.05 equiv), alkynoic acid 3a,c-d (1.05 equiv) and isonitrile 4b-c (1.05 equiv) in a 10 mL screw cap vial equipped with a magnetic stir bar. The reaction mixture was stirred at 50 °C for 8-12 h. After completion of the reaction, the mixture was diluted with EtOAc (100 mL) and was extracted with water (50 mL). Organic layer was washed with brine (50 mL), dried over magnesium sulfate and evaporated under reduced pressure to obtain residue which was subjected to silica gel column chromatography (30-50 % EtOAc in Heptane) to afford the desired Ugi-adduct 5a-f.

\[
\text{N-(2-tert-butylamino)-2-oxo-1-(p-tolyl)ethyl)-3-phenyl-} \\
\text{N-(prop-2-yn-1-yl)propiolamide 5a.}
\]

White solid, Yield 95 %, Melting point: 143-145 °C. \(^1\)H NMR (300 MHz, CDCl\(_3\)): \(\delta\) 7.63-7.54 (m, 2H), 7.48-7.15 (m, 7H), 6.28 (bs, 0.3H), 6.05-5.98 (m, 1H), 5.69 (bs, 0.6H), 4.52-4.27 (m, 1.7H), 3.69-3.59 (m, 0.3H), 2.37 (s, 3H), 2.18 (bs, 0.3H), 2.03 (bs, 0.6H), 1.44-1.33 (m, 9H). \(^{13}\)C NMR (75 MHz, CDCl\(_3\)): \(\delta\) 168.2, 168.1, 155.3, 138.9, 138.6, 132.8, 132.6, 131.2, 130.7, 130.6, 130.3, 129.8, 129.5(2), 128.6, 128.5, 120.2, 119.8, 92.6, 91.7, 81.4, 81.0, 79.6, 79.5, 77.5, 77.1, 76.7, 72.0, 71.4, 67.2, 60.7, 52.2, 51.8, 36.7, 32.4, 28.6, 21.2. HRMS: calculated for C\(_{25}\)H\(_{26}\)N\(_2\)O\(_3\) 386.1994, found 386.1974

\[
\text{N-(2-tert-butylamino)-2-oxo-1-phenylethyl)-3-(4-} \\
\text{methoxyphenyl)(prop-2-yn-1-yl)propiolamide 5b.}
\]
White solid, Yield 92 %, Melting point: 163-165 °C. $^1$H NMR (300 MHz, CDCl$_3$): δ 7.58-7.50 (m, 2H), 7.46-7.35 (m, 5H), 6.88 (d, $J = 8.98$ Hz, 2H), 6.38 (bs, 0.3H), 6.07 (s, 1H), 5.76 (bsm 0.6H), 4.50-4.31 (m, 1.7H), 3.83 (s, 3 H), 3.63-3.54 (m, 0.3H), 2.19 (t, $J = 2.53$, 2.34 Hz, 0.3H), 2.02 (t, $J = 2.53$, 2.34 Hz, 0.6H), 1.43-1.35 (m, 9H). $^{13}$C NMR (75 MHz, CDCl$_3$): δ 168.2, 168.0, 161.4, 161.2, 155.6, 155.3, 134.7, 134.4, 133.9, 129.5, 129.0, 128.9, 128.8, 128.6, 114.3, 114.2, 112.0, 111.5, 93.6, 92.6, 80.7, 80.4, 79.6, 79.5, 72.1, 71.3, 67.4, 60.7, 55.3, 52.2, 51.8, 36.7, 32.3, 28.5. HRMS: calculated for C$_{25}$H$_{26}$N$_2$O$_4$ 402.1943, found 402.1947

$N$-(2-$(\text{tert}$-butylamino)-2-oxo-1-phenylethyl)-3-phenyl-$N$-(prop-2-yn-1-yl)propiolamide 5c.

White solid, Yield 90 %, Melting point: 150-152 °C. $^1$H NMR (300 MHz, CDCl$_3$): δ 7.64-7.54 (m, 2H), 7.49-7.32 (m, 8H), 6.30 (bs, 0.3H), 6.08 (s, 1H), 5.73 (bs, 0.6H), 4.54-4.28 (m, 1.6H), 3.67 (d, $J = 17.6$ Hz, 0.3H), 2.18 (bs, 0.3H), 2.02 (bs, 0.6H), 1.45-1.34 (m, 9H). $^{13}$C NMR (75 MHz, CDCl$_3$): δ 168.0, 167.9, 155.4, 155.0, 134.2, 133.8, 132.8, 132.6, 130.6, 130.3, 129.6, 129.5, 129.1, 129.0, 128.8, 128.7, 128.6, 128.5, 120.2, 119.7, 92.8, 91.9, 81.3, 80.9, 79.4, 79.3, 72.1, 71.4, 67.4, 60.9, 52.3, 51.9, 36.8, 32.4, 28.6. HRMS: calculated for C$_{24}$H$_{24}$N$_2$O$_2$ 372.1838, found 372.1852

$N$-(2-$(\text{tert}$-butylamino)-2-oxo-1-($p$-tolyl)ethyl)-3-(2-fluorophenyl)-$N$-(prop-2-yn-1-yl)propiolamide 5d.
White solid, Yield 81 %, Melting point: 111-113 °C. $^1$H NMR (300 MHz, CDCl$_3$): $\delta$ 7.66-7.52 (m, 1H), 7.50-7.36 (m, 1H), 7.36-7.06 (m, 6H), 6.09 (s, 0.4H), 6.01-5.91 (m, 1H), 5.69 (bs, 0.5H), 4.53-3.96 (m, 2H), 2.37 (s, 3H), 2.07-1.99 (m, 1H), 1.37 (s, 9H). $^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ 168.0, 167.9, 165.1(2), 161.7, 154.9, 154.3, 138.9, 138.6, 134.5, 134.3, 132.6, 132.5, 132.3, 132.2, 131.0, 130.7, 129.7, 129.6, 129.5, 129.3, 124.5(2), 124.2(2), 115.9, 115.8, 115.6, 115.5, 109.2, 109.0, 86.0, 85.9, 85.0(2), 79.2, 71.6, 71.1, 66.1, 60.9, 52.1, 51.8, 36.8, 32.8, 28.6, 28.5, 21.2. HRMS: calculated for C$_{25}$H$_{25}$FN$_{2}$O$_2$ 404.1900, found 404.1881

\[
\text{N-(2-(cyclohexylamino)-2-oxo-1-((p-tolyl)ethyl)-3-phenyl-N-(prop-2-yn-1-yl)propiolamide 5e.}
\]

Light yellow solid, Yield 88 %, Melting point: 66-67 °C. $^1$H NMR (300 MHz, CDCl$_3$): $\delta$ 7.58 (d, $J = 7.57$ Hz, 2H), 7.48-7.16 (m, 7H), 3.37 (d, $J = 8.07$ Hz, 0.3H), 6.15 (s, 0.4H), 6.08 (s, 0.6H), 5.79 (d, $J = 8.07$ Hz, 0.6H), 4.43-4.28 (m, 1.6H), 3.99-3.77 (m, 1H), 3.68-3.59 (m, 0.4) 2.36 (s, 3H), 2.21 (bs, 0.3H), 2.08 (bs, 0.6H), 2.03-1.88 (m, 2H), 1.77-1.54 (m, 3H), 1.45-1.04 (m, 5H). $^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ 167.8, 167.7, 155.3, 155.0, 139.0, 138.6, 132.8, 132.6, 131.0, 130.6(2),130.3, 129.8, 129.5(2), 129.4, 128.6, 128.5, 120.2, 119.7, 92.7, 91.8, 81.3, 80.8, 79.5, 79.4, 72.2, 71.6, 66.8, 60.6, 48.9, 48.7, 36.8, 32.9, 32.8(2), 32.7, 32.4, 25.4(2), 24.7(2), 24.6, 21.2. HRMS: calculated for C$_{27}$H$_{28}$N$_2$O$_2$ 412.2151, found 412.2131
N-(2-(cyclohexylamino)-1-(4-nitrophenyl)-2-oxoethyl)-3-
phenyl-\(N\)-(prop-2-yn-1-yl)propiolamide 5f.

Light yellow solid, Yield 87 %, Melting point: 64-66 °C. \(^1\)H NMR (300 MHz, CDCl\(_3\)): \(\delta\) 8.31-8.18 (m, 2H), 7.69-7.52 (m, 4H), 7.50-7.34 (m, 3H), 6.40 (d, \(J = 7.98\) Hz, 0.2H), 6.27-6.12 (m, 1.8H), 4.62-4.29 (m, 1.8H), 3.98-3.76 (m, 1.2H), 2.25-2.10 (m, 1H), 2.03-1.89 (m, 2H), 1.78-1.53 (m, 3H), 1.46-1.29 (m, 2H), 1.28-1.09 (m, 3H). \(^{13}\)C NMR (75 MHz, CDCl\(_3\)): \(\delta\) 166.5, 155.5, 147.9, 141.5, 132.7(2), 130.7, 130.5, 130.2, 128.7, 128.6, 124.0, 123.8, 119.7, 93.1, 80.7, 78.6, 73.0, 72.6, 59.9, 48.9, 37.3, 32.8, 32.7, 32.6, 25.3, 24.7, 24.6. HRMS: calculated for C\(_{26}\)H\(_{25}\)N\(_3\)O\(_4\) 443.1845, found 443.1853

**General procedure for synthesis of 2-subsituted-2,3-dihydro benzo[e]isoindolones 7a-f.**

In a 10 mL screw cap vial charged with Ugi-adduct 5 (0.25 mmol, 1 equiv) was added dry toluene (2 mL). The reaction vial was evacuated and backfilled with nitrogen (5 cycles), sealed and subsequently heated at 150 °C for 8-15 h. After completion of the reaction (confirmed by TLC), reaction mixture was evaporated under reduced pressure. Thus obtained crude solid was purified by silicagel column chromatography using ethylacetate and heptane to afford pure 2-subsituted-2,3-dihydro benzo[e]isoindolones 7a-f.

\[N\text{-}tert\text{-}butyl\text{-}2\text{-}(1\text{-}oxo\text{-}1H\text{-}benzo[e]isoindol}\text{-}2(3H\text{-}yl)\text{-}2\text{-}
phenylacetamide 7a.\]
White solid, Yield 67 %, Melting point: 194-196 °C. $^1$H NMR (300 MHz, CDCl$_3$): $\delta$ 9.21 (d, $J = 8.35$ Hz, 1H), 7.96 (d, $J = 8.35$ Hz, 1H), 7.90 (d, $J = 8.35$ Hz, 1H), 7.66 (d, $J = 8.35$ Hz, 1H), 7.58 (d, $J = 6.83$ Hz, 1H), 7.47-7.38 (m, 6H), 6.13 (s, 1H), 5.81 (bs, 1H), 5.03 (d, $J = 18.23$ Hz, 1H), 4.08 (d, $J = 18.23$ Hz, 1H), 1.38 (s, 9H). $^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ 169.73, 168.91, 145.55, 143.21, 135.97, 132.95, 132.39, 129.48, 128.97, 128.79, 128.42, 128.12, 127.74, 126.31, 125.69, 124.24, 123.68, 120.16, 58.51, 51.84, 48.22, 28.65, 24.47, 24.00. HRMS: calculated for C$_{24}$H$_{24}$N$_2$O$_3$ 372.1838, found 372.1838.

\[
N\text{-}\text{tert-butyl-2-(1-oxo-1H-benzo[e]isoindol-2(3H)-yl)-2-p-tolylacetamide 7b.}
\]

Off-white solid, Yield 60 %, Melting point: 207-209 °C. $^1$H NMR (300 MHz, CDCl$_3$): $\delta$ 9.21 (d, $J = 8.03$ Hz, 1H), 7.95 (d, $J = 8.03$ Hz, 1H), 7.89 (d, $J = 8.03$ Hz, 1H), 7.66 (t, $J = 8.03$ Hz, 1H), 7.55 (t, $J = 8.03$ Hz, 1H), 7.42-7.35 (m, 3H), 7.20 (d, $J = 8.03$ Hz, 1H), 6.07 (s, 1H), 5.70 (bs, 1H), 5.00 (d, $J = 17.85$ Hz, 1H), 4.06 (d, $J = 17.85$ Hz, 1H), 2.35 (s, 3H), 1.37 (s, 9H). $^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ 169.69, 169.00, 143.17, 138.37, 132.97, 132.85, 132.34, 129.69, 129.53, 128.77, 128.11, 127.76, 126.32, 125.81, 123.77, 120.16, 58.31, 51.87, 48.14, 28.68, 21.14. HRMS: calculated for C$_{25}$H$_{26}$N$_2$O$_2$ 386.1994, found 386.1994.

\[
N\text{-}\text{tert-butyl-2-(6-methoxy-1-oxo-1H-benzo[e]isoindol-2(3H)-yl)-2-phenylacetamide 7c.}
\]

Off-white solid, Yield 58 %, Melting point: 241-243 °C. $^1$H NMR (300 MHz, CDCl$_3$): $\delta$ 8.79 (d, $J = 8.03$ Hz, 1H), 8.42 (d, $J = 8.03$ Hz, 1H), 7.57 (t, $J = 8.83$ Hz, 1H),
7.47 (d, \( J = 7.23 \) Hz, 2H), 7.41-7.37 (m, 4H), 6.90 (d, \( J = 7.23 \) Hz, 1H), 6.10 (s, 1H), 5.75 (bs, 1H), 4.99 (d, \( J = 18.47 \) Hz, 1H), 4.06 (d, \( J = 18.47 \) Hz, 1H), 4.01 (s, 3H), 1.37 (s, 9H). 13C NMR (75 MHz, CDCl3): δ 169.83, 168.85, 155.45, 143.60, 135.91, 130.59, 128.99, 128.82, 128.44, 128.19, 126.50, 125.29, 124.99, 119.29, 115.97, 104.56, 58.55, 55.49, 51.89, 48.01, 28.67. HRMS: calculated for C25H26N2O3 402.1943, found 402.1946.

\[
\text{N-tert-butyl-2-(8-fluoro-1-oxo-1H-benzo[e]isoindol-2(3H)-yl)-2-p-tolylacetamide 7d.}
\]

White solid, Yield 78 %, Melting point: 219-221 °C. 1H NMR (300 MHz, CDCl3): δ 8.84 (d, \( J = 10.48 \) Hz, 1H), 7.91 (t, \( J = 11.98 \) Hz, 2H), 7.35-7.19 (m, 6H), 6.06 (s, 1H), 5.69 (s, 1H), 5.02 (d, \( J = 17.97 \) Hz, 1H), 4.06 (d, \( J = 17.97 \) Hz, 1H), 2.36 (s, 3H), 1.37 (s, 9H). 13C NMR (75 MHz, CDCl3): δ 169.33, 168.99, 163.57, 160.28, 144.10, 138.44, 132.75, 132.10, 130.49, 129.72, 128.76, 125.59, 125.51, 119.46, 119.43, 116.82, 116.48, 107.98, 107.68, 58.29, 51.89, 48.17, 28.67, 21.14. HRMS: calculated for C25H25FN2O2 404.1900, found 404.1910.

\[
\text{N-cyclohexyl-2-(1-oxo-1H-benzo[e]isoindol-2(3H)-yl)-2-p-tolylacetamide 7e.}
\]

White solid, Yield 57 %, Melting point: 202-204 °C. 1H NMR (300 MHz, CDCl3): δ 9.20 (d, \( J = 8.10 \) Hz, 1H), 7.96 (d, \( J = 8.10 \) Hz, 1H), 7.89 (d, \( J = 8.10 \) Hz, 1H), 7.65 (t, \( J = 8.10 \) Hz, 1H), 7.55 (t, \( J = 8.10 \) Hz, 1H), 7.42 (d, \( J = 8.10 \) Hz, 1H), 7.36 (d, \( J = 8.10 \) Hz, 2H), 7.18 (d, \( J = 8.10 \) Hz, 2H), 6.14 (s, 1H), 5.91 (d, \( J = 8.10 \) Hz, 1H), 4.06 (d, \( J = 17.97 \) Hz, 1H), 2.36 (s, 3H), 1.37 (s, 9H). 13C NMR (75 MHz, CDCl3): δ 169.33, 168.99, 163.57, 160.28, 144.10, 138.44, 132.75, 132.10, 130.49, 129.72, 128.76, 125.59, 125.51, 119.46, 119.43, 116.82, 116.48, 107.98, 107.68, 58.29, 51.89, 48.17, 28.67, 21.14. HRMS: calculated for C25H25FN2O2 404.1900, found 404.1910.
4.97 (d, $J = 18.40$ Hz, 1H), 4.11 (d, $J = 18.40$ Hz, 1H), 3.83 (m, 1H), 2.34 (s, 3H), 1.93 (m, 2H), 1.68-1.56 (m, 2H), 1.31-1.26 (m, 3H), 1.13 (m, 3H). $^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ 169.7, 168.6, 143.1, 138.3, 132.9, 132.6, 132.3, 129.6, 129.5, 128.7, 128.1, 127.7, 126.3, 125.7, 123.7, 120.1, 58.1, 48.7, 48.1, 32.9, 32.8, 25.4, 24.8, 24.7, 21.1. HRMS: calculated for C$_{27}$H$_{28}$N$_2$O$_4$ 412.2151, found 412.2134.

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ 169.7, 168.6, 143.1, 138.3, 132.9, 132.6, 132.3, 129.6, 129.5, 128.7, 128.1, 127.7, 126.3, 125.7, 123.7, 120.1, 58.1, 48.7, 48.1, 32.9, 32.8, 25.4, 24.8, 24.7, 21.1. HRMS: calculated for C$_{27}$H$_{28}$N$_2$O$_4$ 412.2151, found 412.2134.

Off-white solid, Yield 52 %, Melting point: 122-124 °C. $^1$H NMR (300 MHz, CDCl$_3$): $\delta$ 9.10 (d, $J = 8.47$ Hz, 1H), 8.20 (d, $J = 8.47$ Hz, 2H), 8.00 (d, $J = 8.47$ Hz, 1H), 7.92 (d, $J = 8.47$ Hz, 1H), 7.67-7.57 (m, 4H), 7.46 (d, $J = 8.47$ Hz, 1H), 6.65 (d, $J = 8.47$ Hz, 1H), 6.36 (s, 1H), 4.99 (d, $J = 17.80$ Hz, 1H), 4.22 (d, $J = 17.80$ Hz, 1H), 3.84 (m, 1H), 1.99-1.87 (m, 2H), 1.67-1.55 (m, 3H), 1.37-1.05 (m, 5H). $^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ 170.04, 167.25, 147.76, 143.06, 143.00, 133.10, 133.08, 129.49, 129.35, 128.35, 128.08, 126.68, 125.06, 124.01, 123.47, 120.13, 57.75, 48.94, 48.29, 32.88, 32.76, 25.32, 24.76. HRMS: calculated for C$_{26}$H$_{25}$N$_3$O$_4$ 443.1845, found 443.1847.
Crystallography.

X-ray intensity data were collected at 100K on an Agilent Supernova diffractometer, equipped with an Atlas CCD detector, using Mo Kα radiation (λ = 0.71073 Å). The images were interpreted and integrated with the CrysAlisPro software from Agilent Technologies. Using Olex2, the structures were solved with the ShelxS structure solution program using Direct Methods and refined with the ShelxL refinement package using full-matrix least squares minimization on \( F^2 \). Non hydrogen atoms were anisotropically refined and the hydrogen atoms in the riding mode with isotropic temperature factors were fixed at 1.2 times \( U_{eq} \) of the parent atoms (1.5 for methyl groups).

Single crystals of 7a, suitable for X-ray diffraction were obtained by slow evaporation from a methanol solution at room temperature. Methanol is disordered over two positions (population parameters 0.5:0.5).

Single crystals of 7b, suitable for X-ray diffraction were obtained by slow evaporation from a dichloromethane solution at room temperature.

Single crystals of 7d, suitable for X-ray diffraction were obtained by slow evaporation from a dichloromethane solution at room temperature. Dichloromethane is disordered over two positions (population parameters 0.5:0.5).

Single crystals of 6a, suitable for X-ray diffraction were obtained by slow evaporation from a dichloromethane solution at room temperature. The asymmetric unit contains two molecules.

CCDC 1009249-1009252 contain the supplementary crystallographic data for this paper and can be obtained free of charge via www.ccdc.cam.ac.uk/conts/retrieving.html (or from the Cambridge
Table 1. Crystallographic data of 7a, 7b, 7d and 6a

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<th>7a</th>
<th>7b</th>
<th>7d</th>
<th>6a</th>
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<td>0.2 x 0.2 x 0.2</td>
<td>0.2 x 0.2 x 0.2</td>
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<td>reflections measured</td>
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<td>9553</td>
<td>16637</td>
</tr>
<tr>
<td>----------------------</td>
<td>------</td>
<td>------</td>
<td>------</td>
<td>-------</td>
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<tr>
<td>Unique reflections</td>
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<td>4256</td>
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<td>8666</td>
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<tr>
<td>$R$(int)</td>
<td>0.0179</td>
<td>0.0172</td>
<td>0.0255</td>
<td>0.0213</td>
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<tr>
<td>$wR^2$(all data)</td>
<td>0.1125</td>
<td>0.1052</td>
<td>0.1392</td>
<td>0.1131</td>
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<tr>
<td>$R_1$ (&gt;2sigma(I))</td>
<td>0.0461</td>
<td>0.0429</td>
<td>0.0553</td>
<td>0.0465</td>
</tr>
</tbody>
</table>

**Fig. 1** Crystal structure of compound 7a. Thermal ellipsoids set at 50% probability.
Fig 2. Crystal structure of compound 7b. Thermal ellipsoids set at 50% probability.

Fig 3. Crystal structure of compound 7d. Thermal ellipsoids set at 50% probability.
Fig 4. Crystal structure of compound 6a. Thermal ellipsoids set at 50% probability.

References

5. $^1$H and $^{13}$C NMR spectra of compound 5a (300 MHz, CDCl$_3$).
1H and 13C NMR spectra of compound 6a (300 MHz, CDCl₃).
$^1$H NMR spectra of compound 6a' (300 MHz, CDCl$_3$).
$^1$H and $^{13}$C NMR spectra of compound 6b (300 MHz, CDCl$_3$).
$^1$H and $^{13}$C NMR spectra of compound 6c (300 MHz, CDCl$_3$).
$^1$H and $^{13}$C NMR spectra of compound 6d (300 MHz, CDCl$_3$).
$^1$H and $^{13}$C NMR spectra of compound 6e (300 MHz, CDCl$_3$).
$^1$H and $^{13}$C NMR spectra of compound 6f (300 MHz, CDCl₃).
$^1$H and $^{13}$C NMR spectra of compound 6g (300 MHz, CDCl$_3$).
$^1$H and $^{13}$C NMR spectra of compound 6h (300 MHz, CDCl$_3$).
$^1$H and $^{13}$C NMR spectra of compound 6i (300 MHz, CDCl$_3$).
$^1$H and $^{13}$C NMR spectra of compound 6j (300 MHz, CDCl$_3$).
$^1$H and $^{13}$C NMR spectra of compound 6k (300 MHz, CDCl$_3$).
$^1$H and $^{13}$C NMR spectra of compound 61 (300 MHz, CDCl$_3$).
$^1$H and $^{13}$C NMR spectra of compound 6m (300 MHz, CDCl$_3$).
$^1$H and $^{13}$C NMR spectra of compound 6n (300 MHz, CDCl$_3$).
\(^1\)H and \(^{13}\)C NMR spectra of compound 5b (300 MHz, CDCl\(_3\)).
$^1$H and $^{13}$C NMR spectra of compound 5c (300 MHz, CDCl$_3$).
$^1$H and $^{13}$C NMR spectra of compound 5d (300 MHz, CDCl$_3$).
$^1$H and $^{13}$C NMR spectra of compound 5e (300 MHz, CDCl$_3$).
$^1$H and $^{13}$C NMR spectra of compound 5f (300 MHz, CDCl$_3$).
$^1$H and $^{13}$C NMR spectra of compound 7a (300 MHz, CDCl$_3$).
$^1$H and $^{13}$C NMR spectra of compound 7b (300 MHz, CDCl$_3$).
$^{1}$H and $^{13}$C NMR spectra of compound 7c (300 MHz, CDCl$_3$).
$^1$H and $^{13}$C NMR spectra of compound 7d (300 MHz, CDCl$_3$).
\(^1\)H and \(^{13}\)C NMR spectra of compound 7e (300 MHz, CDCl\(_3\)). AP-182
$^1$H and $^{13}$C NMR spectra of compound 7f (300 MHz, CDCl$_3$).