Supporting Information

Generation of Gold Carbenes in Water: Efficient Intermolecular Trapping of the α-Oxo Gold Carbenoids by Indoles and Anilines

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General Information. Ethyl acetate (ACS grade), hexanes (ACS grade) and anhydrous 1, 2-dichloroethane (ACS grade) were obtained commercially and used without further purification. Methylene chloride, tetrahydrofuran and diethyl ether were purified according to standard methods unless otherwise noted. Commercially available reagents were used without further purification. Reactions were monitored by thin layer chromatography (TLC) using silicycle pre-coated silica gel plates. Flash column chromatography was performed over silica gel (300-400 mesh). Infrared spectra were recorded on a Nicolet AVATER FTIR330 spectrometer as thin film and are reported in reciprocal centimeter (cm⁻¹). Mass spectra were recorded with Micromass QTOF2 Quadrupole/Time-of-Flight Tandem mass spectrometer using electron spray ionization.

¹H NMR spectra and ¹³C NMR spectra were recorded on a Bruker AV-400 spectrometer and a Bruker AV-500 spectrometer in chloroform-d₃. For ¹H NMR spectra, chemical shifts are reported in ppm with the internal TMS signal at 0.0 ppm as a standard. For ¹³C NMR spectra, chemical shifts are reported in ppm with the internal chloroform signal at 77.0 ppm as a standard.

Table 1. Effects of oxidant on the oxidative gold catalysis

<table>
<thead>
<tr>
<th>Entry</th>
<th>Oxidant</th>
<th>Yield [%]</th>
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<tr>
<td></td>
<td></td>
<td>3a</td>
</tr>
<tr>
<td>1</td>
<td></td>
<td>58</td>
</tr>
<tr>
<td>2</td>
<td></td>
<td>&lt;5</td>
</tr>
<tr>
<td>3</td>
<td></td>
<td>67</td>
</tr>
<tr>
<td>4</td>
<td></td>
<td>76</td>
</tr>
<tr>
<td>5</td>
<td></td>
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Table 2. Effects of solvent on the oxidative gold catalysis

<table>
<thead>
<tr>
<th>Entry</th>
<th>Solvent</th>
<th>Yield [%]</th>
<th>3a</th>
<th>3aa</th>
<th>3ab</th>
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<tbody>
<tr>
<td>1</td>
<td>DCE</td>
<td>26</td>
<td>35</td>
<td>&lt;2</td>
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<tr>
<td>2</td>
<td>toluene</td>
<td>23</td>
<td>52</td>
<td>&lt;2</td>
<td></td>
</tr>
<tr>
<td>3</td>
<td>CH₃CN</td>
<td>40</td>
<td>43</td>
<td>&lt;2</td>
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<tr>
<td>4</td>
<td>CH₃NO₂</td>
<td>42</td>
<td>35</td>
<td>&lt;2</td>
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<tr>
<td>5</td>
<td>DMF</td>
<td>60</td>
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<td>&lt;2</td>
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<td>&lt;2</td>
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<tr>
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<td>CH₃CH₂OH</td>
<td>28</td>
<td>14</td>
<td>&lt;2</td>
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<tr>
<td>8</td>
<td>CF₃CH₂OH</td>
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<td>16</td>
<td>&lt;2</td>
<td></td>
</tr>
<tr>
<td>9</td>
<td>H₂O</td>
<td>88</td>
<td>&lt;2</td>
<td>&lt;2</td>
<td></td>
</tr>
</tbody>
</table>

Kinetic Experiments:

Ynamide 2a (104.2 mg, 0.30 mmol), 2-bromopyridine N-oxide (104.4 mg, 0.60 mmol), and IPrAuNTf₂ (13.5 mg, 0.015 mmol) were added in this order to a mixture of the indole 1a (59.1 mg, 0.45 mmol) in a certain solvent (3.0 mL), and the reaction mixture was then stirred at 80 °C. The reaction was quenched with a drop of Et₃N at different time. The reaction diluted with DCM (30 mL) and washed with H₂O (2 × 15 mL). The resulting solution was extracted again with DCM (30 mL) and the combined organic layers were dried with MgSO₄. The mixture was then concentrated and the crude mixture was analyzed by ¹H NMR to determine the reaction yield (2a, 3a, 3aa) by using diethyl phthalate as the internal standard.
Figure 1 Kinetic study of the reaction in DCE

Figure 2 Kinetic study of the reaction in DCE/H₂O = 1/1

Figure 3 Kinetic study of the reaction in H₂O
Representative synthetic procedures for the preparation of \(N\)-methylindolines 1:

\[
\begin{align*}
\text{NaH (1.2 equiv), Mel (1.2 equiv) } & \quad \text{DMF, 0 °C - RT} \\
\text{5-bromo-1-methyl-1H-indole (1b)} & \\
\end{align*}
\]

This compound is known and the spectroscopic data match those reported.\(^2\) \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\) 7.72 (d, 1H, \(J = 2.0\) Hz), 7.26 (d, 1H, \(J = 2.0\) Hz), 7.16 (d, 1H, \(J = 8.4\) Hz), 7.02 (d, 1H, \(J = 3.2\) Hz), 6.40 (dd, 1H, \(J = 0.8\) Hz, \(J = 3.2\) Hz), 3.75 (s, 3H); \(^13\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\) 135.3, 130.1, 129.9, 124.2, 123.2, 112.6, 110.6, 100.5, 32.9.

6-bromo-1-methyl-1H-indole (1c)
1c

This compound is known and the spectroscopic data match those reported.\textsuperscript{5} \textsuperscript{1}H NMR (400 MHz, CDCl\textsubscript{3}) $\delta$ 7.46 – 7.42 (m, 2H), 7.17 (dd, 1H, $J$ = 1.6 Hz, $J$ = 8.4 Hz), 6.95 (d, 1H, $J$ = 3.2 Hz), 6.41 (dd, 1H, $J$ = 0.8 Hz, $J$ = 3.6 Hz), 3.66 (s, 3H); \textsuperscript{13}C NMR (100 MHz, CDCl\textsubscript{3}) $\delta$ 137.4, 129.3, 127.2, 122.4, 121.9, 115.0, 112.2, 101.1, 32.7.

5-chloro-1-methyl-1H-indole (1d)

![5-chloro-1-methyl-1H-indole (1d)]

This compound is known and the spectroscopic data match those reported.\textsuperscript{2} \textsuperscript{1}H NMR (400 MHz, CDCl\textsubscript{3}) $\delta$ 7.57 (s, 1H), 7.25 – 7.13 (m, 2H), 7.05 (s, 1H), 6.41 (s, 1H), 3.75 (s, 3H); \textsuperscript{13}C NMR (100 MHz, CDCl\textsubscript{3}) $\delta$ 135.1, 130.0, 129.4, 125.0, 121.7, 120.1, 110.1, 100.5, 32.9.

6-chloro-1-methyl-1H-indole (1e)

![6-chloro-1-methyl-1H-indole (1e)]

\textsuperscript{1}H NMR (400 MHz, CDCl\textsubscript{3}) $\delta$ 7.50 (d, 1H, $J$ = 0.4 Hz), 7.33 (d, 1H, $J$ = 0.8 Hz ), 7.10 (dd, 1H, $J$ = 0.4 Hz, $J$ = 8.4 Hz), 7.04 (d, 1H, $J$ = 3.2 Hz), 6.48 (d, 1H, $J$ = 3.2 Hz), 3.75 (s, 3H); \textsuperscript{13}C NMR (100 MHz, CDCl\textsubscript{3}) $\delta$ 137.0, 129.4, 127.5, 126.9, 121.6, 119.9, 109.2, 101.2, 32.7; IR (neat): 2922, 1706, 1512, 1476, 1440, 1420, 1330, 1277, 1240, 1198, 1172, 1145, 1081, 1062, 793, 777, 718; MS (ES\textsuperscript{+}) Calculated for [C\textsubscript{9}H\textsubscript{8}ClINa]\textsuperscript{+}: 188.0; Found: 188.0; HRMS (ES\textsuperscript{+}) Calculated for [C\textsubscript{9}H\textsubscript{8}ClINa]\textsuperscript{+}: 188.0243; Found: 188.0250.

5-fluoro-1-methyl-1H-indole (1f)
This compound is known and the spectroscopic data match those reported.\textsuperscript{4} \textsuperscript{1}H NMR (400 MHz, CDCl\textsubscript{3}) \(\delta\) 7.27 – 7.18 (m, 2H), 7.06 (s, 1H), 6.95 (s, 1H), 6.42 (s, 1H), 3.75 (s, 3H); \textsuperscript{13}C NMR (100 MHz, CDCl\textsubscript{3}) \(\delta\) 157.8 (d, \(J = 232.4\) Hz), 133.4, 130.3, 128.6 (d, \(J = 10.4\) Hz), 109.9, 109.7 (t, \(J = 4.8\) Hz), 105.4 (d, \(J = 23.2\) Hz), 100.8 (d, \(J = 4.7\) Hz), 33.0.

\textbf{methyl 1-methyl-1H-indole-6-carboxylate (1g)}

\textsuperscript{1}H NMR (400 MHz, CDCl\textsubscript{3}) \(\delta\) 8.10 (s, 1H), 7.79 (dd, 1H, \(J = 1.2\) Hz, \(J = 8.0\) Hz), 7.62 (d, 1H, \(J = 8.0\) Hz), 7.20 (d, 1H, \(J = 3.2\) Hz), 6.52 (dd, 1H, \(J = 0.4\) Hz, \(J = 2.8\) Hz), 3.94 (s, 3H), 3.85 (s, 3H); \textsuperscript{13}C NMR (100 MHz, CDCl\textsubscript{3}) \(\delta\) 168.1, 135.9, 132.0, 131.9, 123.0, 120.3, 120.2, 111.6, 101.2, 51.8, 32.8.

\textbf{1,5-dimethyl-1H-indole (1h)}

\textsuperscript{1}H NMR (400 MHz, CDCl\textsubscript{3}) \(\delta\) 7.41 – 7.38 (m, 1H), 7.18 (d, 1H, \(J = 8.4\) Hz), 7.02 (dd, 1H, \(J = 1.6\) Hz, \(J = 8.4\) Hz), 6.96 (d, 1H, \(J = 3.2\) Hz), 6.37 (dd, 1H, \(J = 0.8\) Hz, \(J = 3.2\) Hz), 3.70 (s, 3H), 2.43 (s, 3H); \textsuperscript{13}C NMR (100 MHz, CDCl\textsubscript{3}) \(\delta\) 135.1, 128.75, 128.71, 128.3, 123.0, 120.4, 108.7, 100.2, 32.7, 21.3.
1,7-dimethyl-1H-indole (1i)

![Structure of 1,7-dimethyl-1H-indole (1i)]

This compound is known and the spectroscopic data match those reported.\(^4\) \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\) 7.43 (d, 1H, \(J = 7.6\) Hz), 6.98 – 6.86 (m, 3H), 6.40 (d, 1H, \(J = 2.8\) Hz), 4.01 (s, 3H), 2.74 (s, 3H); \(^1^3\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\) 135.4, 130.3, 129.6, 124.1, 121.1, 119.5, 119.0, 100.8, 36.6, 19.6.

5-methoxy-1-methyl-1H-indole (1j)

![Structure of 5-methoxy-1-methyl-1H-indole (1j)]

This compound is known and the spectroscopic data match those reported.\(^4\) \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\) 7.17 (d, 1H, \(J = 9.2\) Hz), 7.07 (s, 1H, \(J = 2.4\) Hz), 6.97 (d, 1H, \(J = 3.2\) Hz), 6.87 (dd, 1H, \(J = 2.4\) Hz, \(J = 8.8\) Hz), 6.38 (dd, 1H, \(J = 0.4\) Hz, \(J = 3.2\) Hz), 3.82 (s, 3H), 3.70 (s, 3H); \(^1^3\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\) 153.9, 132.0, 129.2, 128.7, 111.7, 109.8, 102.4, 100.3, 55.8, 32.8.

1-benzyl-1H-indole (1k)

![Structure of 1-benzyl-1H-indole (1k)]

This compound is known and the spectroscopic data match those reported.\(^6\) \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\) 7.63 (d, 1H, \(J = 7.6\) Hz), 7.28 – 7.20 (m, 4H), 7.17 – 7.04 (m, 5H), 6.53 (dd, 1H, \(J = 0.4\) Hz, \(J = 3.2\) Hz), 5.25 (s, 2H); \(^1^3\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\) 137.5, 136.3, 128.7, 128.2, 127.5, 126.7, 121.6, 120.9, 119.5, 109.6, 101.6, 50.0.
1-allyl-1H-indole (1l)

This compound is known and the spectroscopic data match those reported.\textsuperscript{7} \textit{1H} NMR (400 MHz, CDCl\textsubscript{3}) \(\delta\) 7.62 (d, 1H, \(J = 7.6\) Hz), 7.31 (d, 1H, \(J = 8.0\) Hz), 7.24 – 7.16 (m, 1H), 7.13 – 7.05 (m, 2H), 6.51 (d, 1H, \(J = 2.4\) Hz), 6.04 – 5.92 (m, 1H), 5.18 (d, 1H, \(J = 10.0\) Hz), 5.08 (d, 1H, \(J = 17.2\) Hz), 4.71 (d, 2H, \(J = 5.2\) Hz); \textit{13C} NMR (100 MHz, CDCl\textsubscript{3}) \(\delta\) 136.0, 133.4, 128.6, 127.7, 121.4, 120.9, 119.3, 117.2, 109.5, 101.3, 48.8.

1,2-dimethyl-1H-indole (1m)

This compound is known and the spectroscopic data match those reported.\textsuperscript{2} \textit{1H} NMR (400 MHz, CDCl\textsubscript{3}) \(\delta\) 7.50 (d, 1H, \(J = 7.2\) Hz), 7.23 (d, 1H, \(J = 8.0\) Hz), 7.13 (t, 1H, \(J = 7.2\) Hz), 7.05 (t, 1H, \(J = 7.2\) Hz), 6.22 (s, 1H), 3.62 (s, 3H), 2.39 (s, 3H); \textit{13C} NMR (100 MHz, CDCl\textsubscript{3}) \(\delta\) 137.3, 136.7, 127.9, 120.3, 119.5, 119.2, 108.6, 99.5, 29.3, 12.6.

benzyl 1-methyl-1H-indole-6-carboxylate (1n)

This compound is known and the spectroscopic data match those reported.\textsuperscript{8} \textit{1H} NMR (400 MHz, CDCl\textsubscript{3}) \(\delta\) 8.13 (s, 1H), 7.84 (dd, 1H, \(J = 1.2\) Hz \(J = 8.4\) Hz), 7.62 (d, 1H, \(J = 8.4\) Hz), 7.50 – 7.46 (m, 2H), 7.41 – 7.34 (m, 3H), 7.19 (d, 1H, \(J = 3.2\) Hz), 6.51 (dd, 1H, \(J = 8.4\) Hz), 4.87 (d, 2H, \(J = 5.2\) Hz).
0.8 Hz, \( J = 2.8 \) Hz), 5.40 (s, 2H), 3.83 (s, 3H); \(^{13}\text{C} \) NMR (100 MHz, CDCl\(_3\)) \( \delta \) 167.6, 136.5, 136.0, 132.2, 132.1, 128.5, 128.1, 128.0, 123.0, 120.4, 120.3, 111.8, 101.3, 66.4, 33.0.

Representative synthetic procedures for the preparation of ynamides 2:\(^9\)

\[ \begin{align*}
R^1_1N^\text{Ts} & + Br\equiv R^2 \xrightarrow{\text{FeCl}_3 \cdot 6H_2O (0.1 \text{ equiv}), DMEDA (0.2 \text{ equiv})} \xrightarrow{\text{K}_2\text{CO}_3 (2 \text{ equiv}), \text{toluene}, 100^\circ\text{C}} R^1_1N\equiv R^2 \\
\end{align*} \]

4-methyl-N-phenyl-N-(phenylethynyl)benzenesulfonamide (2a)

\( 2a \)

This compound is known and the spectroscopic data match those reported.\(^9\) \(^1\)H NMR (400 MHz, CDCl\(_3\)) \( \delta \) 7.62 (d, 2H, \( J = 8.4 \) Hz), 7.41 – 7.23 (m, 12H), 2.43 (s, 3H); \(^{13}\text{C} \) NMR (100 MHz, CDCl\(_3\)) \( \delta \) 144.9, 138.9, 132.9, 131.4, 129.4, 129.0, 128.3, 128.2, 127.9, 126.2, 122.6, 82.9, 70.4, 21.6.

N-((4-fluorophenyl)ethynyl)-4-methyl-N-phenylbenzenesulfonamide (2b)

\( 2b \)

\(^1\)H NMR (400 MHz, CDCl\(_3\)) \( \delta \) 7.60 (d, 2H, \( J = 8.4 \) Hz), 7.38 – 7.26 (m, 9H), 6.99 – 6.94 (m, 2H), 2.41 (s, 3H); \(^{13}\text{C} \) NMR (100 MHz, CDCl\(_3\)) \( \delta \) 163.5, 161.0, 145.0, 138.7, 133.4 (d, \( J = 33.2 \) Hz), 129.4, 129.0, 128.1 (d, \( J = 34.4 \) Hz), 126.1, 118.5 (d, \( J = 14.0 \) Hz), 115.5, 115.3, 82.5, 69.3, 21.5; IR (neat): 3069, 2920, 2242, 1888, 1598, 1508, 1489, 1374, 1230, 1175, 1090, 836, 768, 691, 668, 580, 550, 529; MS (ES\(^+\)) Calculated for \([\text{C}_{21}\text{H}_{16}\text{FNNaO}_2\text{S}]^+\): 388.1; Found: 388.1; HRMS (ES\(^+\)) Calculated for \([\text{C}_{21}\text{H}_{16}\text{FNNaO}_2\text{S}]^+\): 388.0783; Found: 388.0783.
4-methyl-N-phenyl-N-\((p\text{-tolylethynyl})\)benzenesulfonamide (2c)

\[
\begin{array}{c}
\text{Ts} \\
\text{N} \\
\equiv \\
\text{Ph}
\end{array}
\]

This compound is known and the spectroscopic data match those reported.\(^1\)\(^\text{H}\) NMR (400 MHz, CDCl\(_3\)) \(\delta\) 7.65 (d, 2H, \(J = 8.4\) Hz), 7.36 – 7.28 (m, 9H), 7.13 (d, 2H, \(J = 8.0\) Hz), 2.46 (s, 3H), 2.37 (s, 3H); \(^{13}\text{C}\) NMR (100 MHz, CDCl\(_3\)) \(\delta\) 144.8, 139.1, 138.1, 133.0, 131.5, 129.4, 129.0, 128.2, 128.1, 126.2, 119.4, 82.2, 70.5, 21.6, 21.4.

\(^{(E)}\)4-methyl-N-phenyl-N-(4-phenylbut-3-en-1-yn-1-yl)benzenesulfonamide (2d)

\[
\begin{array}{c}
\text{Ts} \\
\text{N} \\
\equiv \\
\equiv \\
\text{Ph}
\end{array}
\]

\(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\) 7.64 (d, 2H, \(J = 8.0\) Hz), 7.41 – 7.28 (m, 12H), 6.89 (d, 1H, \(J = 16.0\) Hz), 6.29 (d, 1H, \(J = 16.0\) Hz), 2.47 (s, 3H); \(^{13}\text{C}\) NMR (100 MHz, CDCl\(_3\)) \(\delta\) 144.9, 139.9, 138.9, 136.3, 133.1, 129.5, 129.0, 128.7, 128.4, 128.2, 126.2, 126.1, 107.3, 84.9, 70.1, 21.6; IR (neat): 2923, 2221, 2092, 1596, 1488, 1371, 1187, 1174, 1088, 689, 578; MS (ES\(^+\)) Calculated for \([C_{23}H_{19}NaO_2S]\): 396.1; Found: 396.1; HRMS (ES\(^+\)) Calculated for \([C_{23}H_{19}NaO_2S]\): 396.1034; Found: 396.1029.

4-methyl-N-(phenylethynyl)-N-(p-tolyl)benzenesulfonamide (2e)

\[
\begin{array}{c}
\text{Ts} \\
\text{N} \\
\equiv \\
\text{Ph}
\end{array}
\]
\[ ^1 \text{H NMR (400 MHz, CDCl}_3 \] \( \delta \) 7.62 (d, 2H, \( J = 8.0 \text{ Hz} \)), 7.40 – 7.34 (m, 2H), 7.32 – 7.24 (m, 5H), 7.20 – 7.10 (m, 4H), 2.43 (s, 3H), 2.34 (s, 3H); \[ ^{13} \text{C NMR (100 MHz, CDCl}_3 \] \( \delta \) 144.8, 138.4, 136.4, 133.1, 131.3, 129.6, 129.4, 128.3, 128.2, 127.8, 126.2, 122.7, 83.3, 70.2, 21.6, 21.1; IR (neat): 2920, 2237, 1600, 1506, 1372, 1186, 1171, 1089, 912, 750, 691, 669, 571, 550; MS (ES\(^+\)) Calculated for \([\text{C}_{22}\text{H}_{19}\text{NaN}_{2}\text{O}_{2}\text{S}]^+\): 384.1; Found: 384.1; HRMS (ES\(^+\)) Calculated for \([\text{C}_{22}\text{H}_{19}\text{NaN}_{2}\text{O}_{2}\text{S}]^+\): 384.1034; Found: 384.1029.

\[ \text{N-(4-chlorophenyl)-4-methyl-N-(phenylethynyl)benzenesulfonamide (2f)} \]

\[
\text{N} \begin{array}{c}
\text{Ts} \\
\text{Cl}
\end{array}
- \equiv - \text{Ph}
\]

\[ ^1 \text{H NMR (400 MHz, CDCl}_3 \] \( \delta \) 7.67 (d, 2H, \( J = 8.0 \text{ Hz} \)), 7.45 – 7.41 (m, 2H), 7.40 – 7.27 (m, 9H), 2.48 (s, 3H); \[ ^{13} \text{C NMR (100 MHz, CDCl}_3 \] \( \delta \) 145.2, 137.4, 133.9, 132.5, 131.3, 129.5, 129.1, 128.2, 128.1, 128.0, 127.3, 122.2, 82.4, 70.9, 21.6; IR (neat): 2923, 2239, 2096, 1597, 1485, 1372, 1275, 1259, 1173, 1088, 749, 664, 560; MS (ES\(^+\)) Calculated for \([\text{C}_{21}\text{H}_{16}\text{ClNa}_{2}\text{O}_{2}\text{S}]^+\): 404.0; Found: 404.0; HRMS (ES\(^+\)) Calculated for \([\text{C}_{21}\text{H}_{16}\text{ClNa}_{2}\text{O}_{2}\text{S}]^+\): 404.0488; Found: 404.0492.

\[ \text{4-methyl-N-(phenylethynyl)-N-propylbenzenesulfonamide (2g)} \]

\[
\text{N} \begin{array}{c}
\text{Ts} \\
\text{2} \\
\text{N} \equiv - \text{Ph}
\end{array}
\]

This compound is known and the spectroscopic data match those reported. \(^9\) \[ ^1 \text{H NMR (400 MHz, CDCl}_3 \] \( \delta \) 7.83 (d, 2H, \( J = 8.4 \text{ Hz} \)), 7.38 – 7.32 (m, 4H), 7.31 – 7.24 (m, 3H), 3.39 (t, 2H, \( J = 6.8 \text{ Hz} \)), 2.43 (s, 3H), 1.73 – 1.64 (m, 2H), 1.43 – 1.35 (m, 2H), 0.92 (t, 3H, \( J = 7.2 \text{ Hz} \)); \[ ^{13} \text{C NMR (100 MHz, CDCl}_3 \] \( \delta \) 144.4, 134.6, 131.2, 129.6, 128.2, 127.6, 122.9, 82.4, 70.5, 51.3, 29.9, 21.5, 19.4, 13.5.
N,4-dimethyl-N-(phenylethynyl)benzenesulfonamide (2g’)

\[
\begin{array}{c}
\text{Ts} \\
\text{Ph}
\end{array}
\]

This compound is known and the spectroscopic data match those reported.\textsuperscript{11} \textsuperscript{1}H NMR (400 MHz, CDCl\textsubscript{3}) \(\delta\) 7.82 (d, 2H, \(J = 8.0\) Hz), 7.37 – 7.33 (m, 4H), 7.28 – 7.24 (m, 3H), 3.12 (s, 3H), 2.42 (s, 3H); \textsuperscript{13}C NMR (100 MHz, CDCl\textsubscript{3}) \(\delta\) 144.7, 132.9, 131.2, 129.7, 128.1, 127.7, 127.6, 122.4, 83.8, 68.8, 39.1, 21.4.

N-benzyl-4-methyl-N-(phenylethynyl)benzenesulfonamide (2h)

\[
\begin{array}{c}
\text{Ts} \\
\text{Br}
\end{array}
\]

This compound is known and the spectroscopic data match those reported.\textsuperscript{11} \textsuperscript{1}H NMR (400 MHz, CDCl\textsubscript{3}) \(\delta\) 7.82 (d, 2H, \(J = 8.4\) Hz), 7.38 – 7.32 (m, 7H), 7.29 – 7.25 (m, 5H), 4.61 (s, 2H), 2.47 (s, 3H); \textsuperscript{13}C NMR (100 MHz, CDCl\textsubscript{3}) \(\delta\) 144.6, 134.7, 134.4, 131.1, 129.7, 128.8, 128.5, 128.3, 128.2, 127.7, 127.6, 122.8, 82.7, 71.3, 55.7, 21.6.

N-phenyl-N-(phenylethynyl)methanesulfonamide (2i)

\[
\begin{array}{c}
\text{Ms} \\
\text{Ph}
\end{array}
\]

This compound is known and the spectroscopic data match those reported.\textsuperscript{12} \textsuperscript{1}H NMR (400 MHz, CDCl\textsubscript{3}) \(\delta\) 7.60 – 7.56 (m, 2H), 7.46 – 7.41 (m, 4H), 7.38 – 7.34 (m, 1H), 7.32 – 7.29 (m, 3H), 3.15 (s, 3H); \textsuperscript{13}C NMR (100 MHz, CDCl\textsubscript{3}) \(\delta\) 138.7, 131.5, 129.5, 128.3, 128.2, 125.5, 122.3, 82.0, 71.0, 36.8.
4-bromo-N-phenyl-N-(phenylethynyl)benzenesulfonamide (2j)

![2j]

$^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.67 – 7.57 (m, 4H), 7.40 – 7.28 (m, 10H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 138.6, 134.7, 132.2, 131.5, 129.6, 129.2, 128.5, 128.3, 128.2, 126.2, 122.2, 82.4, 70.8; IR (neat): 3065, 2925, 2240, 1885, 1592, 1504, 1483, 1374, 1229, 1160, 1070, 835, 768, 693, 665, 580; MS (ES$^+$) Calculated for [C$_{20}$H$_{14}$BrNNaO$_2$S]$^+$: 434.0; Found: 433.9; HRMS (ES$^+$) Calculated for [C$_{20}$H$_{14}$BrNNaO$_2$S]$^+$: 433.9826; Found: 433.9832.

2-diazo-N,2-diphenyl-N-tosylacetamide (2l)

![2l]

Compound 2l was prepared according to the known procedure.$^{13}$ $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.66 (d, 2H, $J = 8.4$ Hz), 7.36 – 7.24 (m, 9H), 7.20 – 7.16 (m, 1H), 7.14 – 7.09 (m, 2H), 2.42 (m, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 166.1, 144.5, 136.0, 134.9, 129.3, 129.2, 129.1(5), 129.0, 128.9, 127.2, 125.7, 124.9, 21.6; IR (neat): 3066, 2920, 2853, 2086(s), 1672(s), 1597, 1496, 1486, 1365, 1328, 1243, 1186, 1172, 1134, 1088, 756, 704, 694, 586, 561; MS (ES$^+$) Calculated for [C$_{21}$H$_{17}$N$_3$NaO$_3$S]$^+$: 414.1; Found: 414.1; HRMS (ES$^+$) Calculated for [C$_{21}$H$_{17}$N$_3$NaO$_3$S]$^+$: 414.0888; Found: 414.0889.

4-methyl-N-(oct-1-yn-1-yl)-N-phenylbenzenesulfonamide (2m)

![2m]
$^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.58 (d, 2H, $J = 8.4$ Hz), 7.33 – 7.27 (m, 7H), 2.44 (s, 3H), 2.31 (t, 2H, $J = 7.2$ Hz), 1.54 – 1.51 (m, 2H), 1.40 – 1.30 (m, 6H), 0.91 (t, 3H, $J = 7.2$ Hz); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 144.5, 139.4, 133.1, 129.3, 128.8, 128.2, 127.8, 126.0, 73.8, 70.4, 31.3, 28.8, 28.4, 22.5, 21.6, 18.4, 14.0; IR (neat): 3405, 2921, 2851, 1630, 1594, 1487, 1370, 1174, 921, 811, 704, 579; MS (ES$^+$) Calculated for [C$_{21}$H$_{25}$NNaO$_2$S]$^+$: 378.2; Found: 378.1; HRMS (ES$^+$) Calculated for [C$_{21}$H$_{25}$NNaO$_2$S]$^+$: 378.1504; Found: 378.1497.

(E)-N-phenyl-N-tosyloct-2-enamide (2m$'$)

\[ \text{Ts} \overset{\text{O}}{\text{N}} \underset{\text{Ph}}{\text{C}} \]

2m$'$

$^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.92 (d, 2H, $J = 8.4$ Hz), 7.48 – 7.46 (m, 3H), 7.32 (d, 2H, $J = 8.4$ Hz), 7.33 – 7.26 (m, 2H), 6.98 – 6.91 (m, 1H), 5.45 (d, 1H, $J = 15.2$ Hz), 2.43 (s, 3H), 2.00 – 1.95 (m, 2H), 1.28 – 1.11 (m, 8H), 0.80 (t, 3H, $J = 7.2$ Hz); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 165.2, 151.0, 144.6, 136.2, 140.0, 130.2, 129.5, 129.2, 129.1, 121.1, 32.1, 30.9, 27.4, 22.1, 21.5, 13.7; IR (neat): 3408, 2921, 2851, 1691, 1658, 1631, 1484, 1451, 1124, 1089, 749, 549; MS (ES$^+$) Calculated for [C$_{21}$H$_{25}$NNaO$_3$S]$^+$: 394.1; Found: 394.1; HRMS (ES$^+$) Calculated for [C$_{21}$H$_{25}$NNaO$_3$S]$^+$: 394.1453; Found: 394.1456.

2-hydroxy-N,2-diphenyl-N-tosylacetamide (3aa$'$)

\[ \text{Ph} \overset{\text{OAc}}{\text{OAc}} \overset{\text{OH}}{\text{Ts}} \]

Compound 3aa$'$ was prepared according to the known procedure.$^{14}$ $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.91 (d, 2H, $J = 9.6$ Hz), 7.43 (t, 1H, $J = 7.6$ Hz), 7.40 (d, 2H, $J = 8$ Hz), 7.33 – 7.20 (m, 3H), 7.17 (t, 2H, $J = 7.2$ Hz), 6.83 (s, 2H), 6.73 (d, 2H, $J = 7.2$ Hz), 4.78 (s, 1H), 2.49 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 173.0, 145.4, 137.1, 135.4, 133.9, 130.7,
The above water-insoluble \( N \)-oxide was prepared according to the known procedure.\textsuperscript{15} \textsuperscript{1}H NMR (400 MHz, CDCl\textsubscript{3}) \( \delta \) 8.17 (d, 1H, \( J = 6.4 \) Hz), 7.19 – 7.09 (m, 2H), 7.08 – 7.02 (m, 1H), 2.84 (t, 2H, \( J = 7.6 \) Hz), 1.71 – 1.58 (m, 2H), 1.41 – 1.11 (m, 10H), 0.79 (t, 3H, \( J = 6.8 \) Hz); \textsuperscript{13}C NMR (100 MHz, CDCl\textsubscript{3}) \( \delta \) 152.6, 139.5, 125.4, 125.1, 123.1, 31.7, 30.3, 29.3, 29.2, 29.0, 25.9, 22.5, 13.9; IR (neat): 2594, 2924, 2853, 1488, 1437, 1245, 1178, 1119, 850, 765; MS (ES\textsuperscript{+}) Calculated for \([C_{13}H_{21}NNaO]^{+}\): 230.2; Found: 230.1; HRMS (ES\textsuperscript{+}) Calculated for \([C_{13}H_{21}NNaO]^{+}\): 230.1521; Found: 230.1515.

2-tetradecylpyridine 1-oxide
The above water-insoluble N-oxide was prepared according to the known procedure.\textsuperscript{15} \textsuperscript{1}H NMR (400 MHz, CDCl\textsubscript{3}) \(\delta\) 8.18 (d, 1H, \(J = 6.4\) Hz), 7.21 – 7.10 (m, 2H), 7.09 – 7.02 (m, 1H), 2.84 (t, 2H, \(J = 7.6\) Hz), 1.73 – 1.51 (m, 2H), 1.42 – 1.07 (m, 22H), 0.80 (t, 3H, \(J = 6.8\) Hz); \textsuperscript{13}C NMR (100 MHz, CDCl\textsubscript{3}) \(\delta\) 152.5, 139.4, 125.3, 125.1, 123.0, 31.7, 30.3, 29.6, 29.5, 29.4, 29.3, 29.2, 29.1, 29.0, 25.8, 22.5, 13.9; IR (neat): 2923, 2852, 1464, 1439, 1275, 1260, 1179, 1075, 750; MS (ES\textsuperscript{+}) Calculated for [C\textsubscript{19}H\textsubscript{33}NNaO]\textsuperscript{+}: 314.2; Found: 314.2; HRMS (ES\textsuperscript{+}) Calculated for [C\textsubscript{19}H\textsubscript{33}NNaO]\textsuperscript{+}: 314.2460; Found: 314.2458.

**General procedure for the synthesis of 3:**

Ynamide \textbf{2} (0.30 mmol), 2-bromopyridine N-oxide (104.4 mg, 0.60 mmol), and IPrAuNTf\textsubscript{2} (13.5 mg, 0.015 mmol) were added in this order to a suspension of the indole \textbf{1} (0.45 mmol) in H\textsubscript{2}O (3.0 mL) at room temperature. The reaction mixture was stirred at 80 °C and the progress of the reaction was monitored by TLC. The reaction typically took 1h. Upon completion, the reaction diluted with DCM (30 mL) and washed with H\textsubscript{2}O (2 \times 15 mL). The resulting solution was extracted again with DCM (30 mL) and the combined organic layers were dried with MgSO\textsubscript{4}. The mixture was then concentrated and the residue was purified by chromatography on silica gel (eluent: hexanes/ethyl acetate) to afford the desired product \textbf{3}.
2-(1-methyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3a)

![Chemical Structure](image)

Compound 3a was prepared in 86% yield by the reaction of indole 1a with ynamide 2a according to the general procedure (Table 2, entry 1). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.93 (d, 2H, $J = 8.4$ Hz), 7.46 (t, 1H, $J = 7.6$ Hz), 7.36 (t, 2H, $J = 7.6$ Hz), 7.29 (d, 2H, $J = 8.0$ Hz), 7.23 – 7.08 (m, 7H), 7.01 – 6.97 (m, 2H), 6.91 – 6.89 (m, 2H), 6.68 (s, 1H), 4.92 (s, 1H), 3.64 (s, 3H), 2.44 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 171.9, 144.7, 137.8, 136.8, 136.1, 136.0, 130.3, 130.0, 129.5, 129.3, 129.2, 128.5, 128.4, 128.3, 127.2, 126.6, 121.7, 119.1, 118.3, 111.6, 109.2, 48.5, 32.7, 21.6; IR (neat): 2923, 2250, 1701 (s), 1594, 1488, 1361, 1172, 1144, 1087, 741, 695, 563; MS (ES$^+$) Calculated for [C$_{30}$H$_{26}$N$_2$NaO$_3$S]$^+$: 517.1; Found: 517.1; HRMS (ES$^+$) Calculated for [C$_{30}$H$_{26}$N$_2$NaO$_3$S]$^+$: 517.1562; Found: 517.1564.

oxo-N,2-diphenyl-N-tosylacetamide (3aa)

![Chemical Structure](image)

This compound is known and the spectroscopic data match those reported.$^{16}$ $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.94 – 7.91 (m, 2H), 7.75 (d, 2H, $J = 8.0$ Hz), 7.66 – 7.63 (m, 1H), 7.54 – 7.50 (m, 2H), 7.45 – 7.33 (m, 5H), 7.13 (d, 2H, $J = 6.8$ Hz), 2.47 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 187.6, 166.6, 145.9, 134.6, 134.1, 133.5, 132.7, 130.6, 130.1, 129.8, 129.6, 129.5, 129.1, 128.9, 21.7.
(E)-4-methyl-N-(1-(1-methyl-1H-indol-3-yl)-2-phenylvinyl)-N-phenylbenzenesulfonamide (3ab)

\[
\begin{align*}
\text{Ph} & \quad \text{Ts} \\
\text{Ph} & \quad \text{N} & \quad \text{Ph}
\end{align*}
\]

1H NMR (400 MHz, CDCl₃) δ 7.60 (d, 2H, J = 8.0 Hz), 7.41 (d, 2H, J = 8.0 Hz), 7.27 - 7.17 (m, 8H), 7.12 - 7.05 (m, 6H), 6.85 – 6.80 (m, 1H), 6.54 (s, 1H), 3.67 (s, 3H), 2.41 (s, 3H); 13C NMR (100 MHz, CDCl₃) δ 143.2, 140.1, 138.4, 136.8, 136.0, 133.8, 131.3, 129.3, 128.8, 128.6, 127.9, 127.8, 127.8, 126.9, 126.8, 125.9, 121.5, 121.2, 119.6, 111.5, 109.0, 32.9, 21.5; IR: 2958, 2921, 2849, 1596, 1491, 1472, 1351, 1163, 1090, 742, 695, 667; MS (ES⁺) Calculated for [C₃₀H₂₆N₂NaO₂S]⁺: 501.2; Found: 501.1; HRMS (ES⁺) Calculated for [C₃₀H₂₆N₂NaO₂S]⁺: 501.1613; Found: 501.1615.

2-(5-bromo-1-methyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3b)

\[
\begin{align*}
\text{Br} & \quad \text{Ts} \\
\text{Ph} & \quad \text{N} & \quad \text{O} & \quad \text{Ph}
\end{align*}
\]

Compound 3b was prepared in 83% yield by the reaction of indole 1b with ynamide 2a according to the general procedure (Table 2, entry 2). 1H NMR (400 MHz, CDCl₃) δ 7.96 (d, 2H, J = 8.0 Hz), 7.54 (t, 1H, J = 7.2 Hz), 7.43 (t, 2H, J = 8.0 Hz), 7.35 (d, 2H, J = 8.0 Hz), 7.26 – 7.20 (m, 4H), 7.14 – 7.09 (m, 3H), 7.08 – 7.00 (m, 3H), 6.77 (s, 1H), 4.90 (s, 1H), 3.66 (s, 3H), 2.48 (s, 3H); 13C NMR (100 MHz, CDCl₃) δ 171.6, 144.8, 137.4, 135.9, 135.8, 135.4, 130.3, 130.1, 129.6, 129.5, 129.3, 129.2, 128.5, 128.4, 128.2, 127.3, 124.6, 120.8, 112.6, 111.2, 110.8, 48.3, 32.9, 21.7; IR (neat): 3063, 3027, 2928, 2253, 1702(s), 1543, 1488, 1363, 1173, 1149, 1126, 1087, 910, 800, 732, 696, 652, 567; MS (ES⁺)
2-(6-bromo-1-methyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3c)

Compound 3c was prepared in 92% yield by the reaction of indole 1c with ynamide 2a according to the general procedure (Table 2, entry 3). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.91 (d, 2H, $J = 8.0$ Hz), 7.45 (t, 1H, $J = 7.6$ Hz), 7.38 – 7.33 (m, 3H), 7.28 (d, 2H, $J = 8.0$ Hz), 7.18 – 7.14 (m, 3H), 7.11 – 7.06 (m, 2H), 6.99 – 6.94 (m, 3H), 6.75 (d, 1H, $J = 8.4$ Hz), 6.63 (s, 1H), 4.87 (s, 1H), 3.57 (s, 3H), 2.43 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 171.6, 144.8, 137.6, 137.5, 135.9, 135.8, 130.3, 130.0, 129.5, 129.3, 128.9, 128.4, 128.3, 127.3, 125.4, 122.4, 119.6, 115.4, 112.3, 112.0, 48.4, 32.7, 21.6; IR (neat): 2923, 2250, 1702(s), 1594, 1475, 1360, 1172, 1143, 1087, 912, 764, 747, 695, 563; MS (ES$^+$) Calculated for [C$_{30}$H$_{25}$BrN$_2$NaO$_3$S]$^+$: 595.1; Found: 595.2; HRMS (ES$^+$) Calculated for [C$_{30}$H$_{25}$BrN$_2$NaO$_3$S]$^+$: 595.0667; Found: 595.0672.

2-(5-chloro-1-methyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3d)

Compound 3d was prepared in 82% yield by the reaction of indole 1d with ynamide 2a according to the general procedure (Table 2, entry 4). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.92 (d, 2H, $J = 8.4$ Hz), 7.50 – 7.47 (m, 1H), 7.41 – 7.36 (m, 2H), 7.30 (d, 2H, $J = 8.0$ Hz),
7.20 – 7.17 (m, 3H), 7.13 – 7.05 (m, 4H), 7.00 – 6.96 (m, 2H), 6.83 (d, 1H, J = 1.2 Hz), 6.73 (s, 1H), 4.85 (s, 1H), 3.63 (s, 3H), 2.44 (s, 3H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\) 171.6, 144.8, 137.5, 136.0, 135.2, 130.4, 130.1, 129.7, 129.6, 129.3, 129.2, 128.5, 128.4, 127.5, 127.4, 125.1, 122.1, 117.8, 111.4, 110.4, 48.4, 32.9, 21.7; IR (neat): 2926, 2253, 1702 (s), 1488, 1477, 1361, 1187, 1172, 1147, 1087, 795, 695, 652, 564; MS (ES\(^+\)) Calculated for \([\text{C}_{30}\text{H}_{25}\text{ClN}_2\text{NaO}_3\text{S}]^+\): 551.1; Found: 551.1; HRMS (ES\(^+\)) Calculated for \([\text{C}_{30}\text{H}_{25}\text{ClN}_2\text{NaO}_3\text{S}]^+\): 551.1172; Found: 551.1170.

2-(6-chloro-1-methyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3e)

\(\text{Cl} \quad \text{Ph} \quad \text{N} \quad \text{Ts} \quad \text{Ph} \quad \text{O} \quad \text{CO} \quad \text{Ph} \quad \text{N} \quad \text{3e} \)

Compound 3e was prepared in 84% yield by the reaction of indole 1e with ynamide 2a according to the general procedure (Table 2, entry 5). \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\) 7.91 (d, 2H, \(J = 8.4\) Hz), 7.47 – 7.44 (m, 1H), 7.36 (t, 2H, \(J = 7.6\) Hz), 7.29 (d, 2H, \(J = 8.0\) Hz), 7.20 – 7.16 (m, 4H), 7.10 – 7.06 (m, 2H), 6.98 – 6.95 (m, 2H), 6.87 – 6.83 (m, 1H), 6.81 – 6.78 (m, 1H), 6.65 (s, 1H), 4.87 (s, 1H), 3.59 (s, 3H), 2.44 (s, 3H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\) 171.6, 144.8, 137.6, 137.2, 136.0, 135.9, 130.3, 130.0, 129.6, 129.3, 129.2, 129.0, 128.5, 128.4, 127.8, 127.3, 125.1, 119.8, 119.2, 112.0, 109.3, 48.5, 32.8, 21.6; IR (neat): 2923, 1703 (s), 1597, 1488, 1453, 1361, 1327, 1172, 1148, 1087, 747, 696, 568; MS (ES\(^+\)) Calculated for \([\text{C}_{30}\text{H}_{25}\text{ClN}_2\text{NaO}_3\text{S}]^+\): 551.1; Found: 551.1; HRMS (ES\(^+\)) Calculated for \([\text{C}_{30}\text{H}_{25}\text{ClN}_2\text{NaO}_3\text{S}]^+\): 551.1172; Found: 551.1181.

2-(5-fluoro-1-methyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3f)
Compound 3f was prepared in 79% yield by the reaction of indole 1f with ynamide 2a according to the general procedure except that using 1.0 equiv of indole 1f and 1.5 equiv of ynamide 2a (2a was added in two portions, 1 equiv at the beginning and the residue in 1 h later) (Table 2, entry 6). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.92 (d, 2H, $J$ = 8.4 Hz), 7.50 – 7.44 (m, 1H), 7.40 – 7.34 (m, 2H), 7.29 (d, 2H, $J$ = 8.0 Hz), 7.21 – 7.16 (m, 3H), 7.12 – 7.06 (m, 3H), 7.02 – 6.94 (m, 2H), 6.86 (td, 1H, $J$ = 2.4 Hz, $J$ = 8.8 Hz), 6.71 (s, 1H), 6.51 (dd, 1H, $J$ = 2.4 Hz, $J$ = 9.6 Hz), 4.83 (s, 1H), 3.62 (s, 3H), 2.43 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 171.6, 157.6 (d, $J$ = 233.4 Hz), 144.8, 137.5, 136.0 (d, $J$ = 6.5 Hz), 133.4, 130.3, 130.0, 129.9, 129.5, 129.3, 129.2, 128.5, 128.4, 127.3, 111.6, 110.1 (d, $J$ = 21.5 Hz), 110.0, 109.9, 103.2 (d, $J$ = 23.6 Hz), 48.5, 32.9, 21.6; IR (neat): 3060, 3029, 2923, 2850, 2250, 1702(s), 1594, 1489, 1360, 1172, 1145, 1087, 910, 695; MS (ES$^+$) Calculated for [C$_{30}$H$_{25}$FN$_2$NaO$_3$S]$^+$: 535.1; Found: 535.1; HRMS (ES$^+$) Calculated for [C$_{30}$H$_{25}$FN$_2$NaO$_3$S]$^+$: 535.1468; Found: 535.1472.

**methyl 1-methyl-3-(2-(4-methyl-N-phenylphenylsulfonamido)-2-oxo-1-phenylethyl)-1H-indole-6-carboxylate (3g)**

Compound 3g was prepared in 75% yield by the reaction of indole 1g with ynamide 2a according to the general procedure except that using 1.0 equiv of indole 1g and 1.5 equiv of ynamide 2a (2a was added in two portions, 1 equiv at the beginning and the residue in 1 h later) (Table 2, entry 7). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.99 (s, 1H), 7.92 (d, 2H, $J$ =
8.4 Hz), 7.59 (dd, 1H, $J = 1.2$ Hz, $J = 8.4$ Hz), 7.47 (t, 1H, $J = 7.2$ Hz), 7.37 (t, 2H, $J = 8.0$ Hz), 7.29 (d, 2H, $J = 8.0$ Hz), 7.21 – 7.16 (m, 3H), 7.08 (d, 2H, $J = 7.6$ Hz), 7.01 – 6.96 (m, 2H), 6.90 (d, 1H, $J = 8.4$ Hz), 6.85 (s, 1H), 4.93 (s, 1H), 3.90 (s, 3H), 3.70 (s, 3H), 2.44 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 171.6, 167.9, 144.8, 137.4, 136.1, 135.9, 135.8, 131.6, 130.3, 130.0, 129.9, 129.6, 129.3, 128.5, 128.4, 127.3, 123.4, 120.2, 117.8, 112.2, 111.7, 99.9, 51.8, 48.4, 32.9, 21.6; IR (neat): 2923, 2253, 1709(s), 1596, 1488, 1361, 1268, 1173, 1148, 1087, 768, 745, 696, 568; MS (ES$^+$) Calculated for [C$_{32}$H$_{28}$N$_2$NaO$_5$S]$^+$: 575.2; Found: 575.2; HRMS (ES$^+$) Calculated for [C$_{32}$H$_{28}$N$_2$NaO$_5$S]$^+$: 575.1617; Found: 575.1620.

2-(1,5-dimethyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3h)

![3h diagram]

Compound 3h was prepared in 92% yield by the reaction of indole 1h with ynamide 2a according to the general procedure (Table 2, entry 8). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.91 (d, 2H, $J = 8.4$ Hz), 7.44 (t, 1H, $J = 7.6$ Hz), 7.33 (t, 2H, $J = 7.6$ Hz), 7.27 (d, 2H, $J = 8.4$ Hz), 7.17 – 7.14 (m, 3H), 7.10 – 7.05 (m, 3H), 7.01 – 6.97 (m, 2H), 6.95 – 6.92 (dd, 1H, $J = 1.2$ Hz, $J = 8.0$ Hz), 6.65 (s, 1H), 6.60 (s, 1H), 6.49 (s, 1H), 6.37 (s, 3H), 2.40 (s, 3H), 2.26 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 171.9, 144.6, 137.9, 136.1, 136.0, 135.2, 130.3, 129.8, 129.4, 129.2, 129.1, 128.5, 128.4, 128.3, 127.1, 126.8, 123.3, 117.8, 110.8, 108.9, 48.4, 32.7, 21.5, 21.3; IR (neat): 3060, 3024, 2917, 2856, 2253, 1702(s), 1591, 1489, 1454, 1360, 1172, 1143, 1087, 912, 742, 694, 564; MS (ES$^+$) Calculated for [C$_{31}$H$_{28}$NaO$_3$S]$^+$: 531.2; Found: 531.2; HRMS (ES$^+$) Calculated for [C$_{31}$H$_{28}$NaO$_3$S]$^+$: 531.1718; Found: 531.1720.

2-(1,7-dimethyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3i)
Compound 3i was prepared in 85% yield by the reaction of indole 1i with ynamide 2a according to the general procedure except with 1.05 equiv of indole 1i (Table 2, entry 9). 

$^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.92 (d, 2H, $J = 8.4$ Hz), 7.46 (t, 1H, $J = 7.2$ Hz), 7.36 (t, 2H, $J = 8.0$ Hz), 7.29 (d, 2H, $J = 8.0$ Hz), 7.18 – 7.14 (m, 3H), 7.10 (d, 2H, $J = 7.6$ Hz), 6.99 – 6.96 (m, 2H), 6.80 (d, 1H, $J = 6.8$ Hz), 6.76 – 6.67 (m, 2H), 6.57 (s, 1H), 4.87 (s, 1H), 3.90 (s, 3H), 2.67 (s, 3H), 2.44 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 171.8, 144.6, 137.8, 136.1, 136.0, 135.5, 130.3, 129.9, 129.5, 129.3, 129.2, 128.4, 128.3, 127.6, 127.1, 124.4, 121.2, 119.3, 116.3, 111.3, 48.4, 36.7, 21.6, 19.5; IR (neat): 2920, 1703(s), 1597, 1488, 1454, 1360, 1275, 1259, 1172, 1147, 1124, 1087, 763, 748, 695, 564; MS (ES$^+$) Calculated for [C$_{31}$H$_{28}$N$_2$NaO$_3$S]$^+$: 531.2; Found: 531.2; HRMS (ES$^+$) Calculated for [C$_{31}$H$_{28}$N$_2$NaO$_3$S]$^+$: 531.1718; Found: 531.1722.

2-(5-methoxy-1-methyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3j)

Compound 3j was prepared in 94% yield by the reaction of indole 1j with ynamide 2a according to the general procedure except using H$_2$O/DCE = 10/1 as the solvent (Table 2, entry 10). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.90 (d, 2H, $J = 8.4$ Hz), 7.43 (t, 1H, $J = 7.2$ Hz), 7.33 (t, 2H, $J = 7.6$ Hz), 7.25 (d, 2H, $J = 8.0$ Hz), 7.19 – 7.14 (m, 3H), 7.11 – 7.06 (m, 3H), 7.02 – 6.99 (m, 2H), 6.78 (dd, 1H, $J = 2.0$ Hz, $J = 8.8$ Hz), 6.60 (s, 1H), 6.37 (d, 1H, $J = 2.0$ Hz), 4.86 (s, 1H), 3.64 (s, 3H), 3.56 (s, 3H), 2.39 (s, 3H); $^{13}$C NMR (100 MHz,
2-(4-fluorophenyl)-2-(1-methyl-1H-indol-3-yl)-N-phenyl-N-tosylacetamide (3k)

Compound 3k was prepared in 84% yield by the reaction of indole 1a with ynamide 2b according to the general procedure except with 1.05 equiv of indole 1a (Table 2, entry 11). $^1$H NMR (400 MHz, CDCl$_3$) δ 7.93 – 7.90 (m, 2H), 7.48 – 7.44 (m, 1H), 7.36 (t, 2H, J = 7.5 Hz), 7.31 – 7.28 (m, 2H), 7.23 – 7.20 (m, 1H), 7.16 – 7.12 (m, 1H), 7.10 – 7.07 (m, 2H), 6.99 – 6.95 (m, 2H), 6.91 – 6.83 (m, 4H), 6.67 (s, 1H), 4.90 (s, 1H), 3.65 (s, 3H), 2.44 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) δ 171.8, 162.1 (d, J = 244.5 Hz), 144.8, 136.9, 136.1 (d, J = 8.4 Hz), 133.7, 130.3, 130.2 (d, J = 8.1 Hz), 130.1, 129.6, 129.4 129.3, 128.2, 126.5, 121.9, 119.3, 118.3, 115.2 (d, J = 21.3 Hz), 111.5, 109.4, 99.9, 47.8, 32.8, 21.7; IR (neat): 3055, 2923, 2850, 1704(s), 1597, 1507, 1488, 1361, 1225, 1173, 1145, 1087, 742, 694, 565; MS (ES$^+$) Calculated for [C$_{31}$H$_{28}$N$_2$NaO$_4$S]$^+$: 547.2; Found: 547.1; HRMS (ES$^+$) Calculated for [C$_{31}$H$_{28}$N$_2$NaO$_4$S]$^+$: 547.1667; Found: 547.1663.

2-(1-methyl-1H-indol-3-yl)-N-phenyl-2-(p-tolyl)-N-tosylacetamide (3l)

2-(1-methyl-1H-indol-3-yl)-N-phenyl-2-(p-tolyl)-N-tosylacetamide (3l)
Compound 3l was prepared in 79% yield by the reaction of indole 1a with ynamide 2c according to the general procedure except with 1.05 equiv of indole 1a (Table 2, entry 12). $^1$H NMR (400 MHz, CDCl$_3$) δ 7.92 (d, 2H, $J = 8.4$ Hz), 7.46 (t, 1H, $J = 7.2$ Hz), 7.36 (t, 2H, $J = 8.0$ Hz), 7.29 (d, 2H, $J = 8.4$ Hz), 7.22 – 7.19 (m, 1H), 7.15 – 7.07 (m, 3H), 6.98 (d, 2H, $J = 8.0$ Hz), 6.91 – 6.86 (m, 4H), 6.68 (s, 1H), 4.88 (s, 1H), 3.65 (s, 3H), 2.44 (s, 3H), 2.26 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) δ 172.0, 144.6, 136.8, 136.2, 136.1, 134.9, 130.4, 129.9, 129.5, 129.3, 129.2, 129.1, 128.4, 128.3, 126.6, 121.7, 119.1, 118.3, 111.9, 109.2, 48.2, 32.7, 21.6, 21.0; IR (neat): 2920, 2253, 1704(s), 1591, 1488, 1361, 1172, 1143, 1118, 1087, 917, 740, 694, 565; MS (ES$^+$) Calculated for [C$_{31}$H$_{28}$N$_2$NaO$_3$S]$^+$: 531.2; Found: 531.2; HRMS (ES$^+$) Calculated for [C$_{31}$H$_{28}$N$_2$NaO$_3$S]$^+$: 531.1718; Found: 531.1716.

(E)-4-(1-methyl-1H-indol-3-yl)-N,4-diphenyl-N-tosylbut-2-enamide (3m)

Compound 3m was prepared in 88% yield by the reaction of indole 1a with ynamide 2d according to the general procedure (Table 2, entry 13). $^1$H NMR (400 MHz, CDCl$_3$) δ 7.88 (d, 2H, $J = 8.0$ Hz), 7.42 – 7.29 (m, 6H), 7.25 – 7.13 (m, 7H), 7.12 – 7.03 (m, 3H), 6.96 – 6.92 (m, 1H), 6.58 (s, 1H), 5.44 (dd, 1H, $J = 1.2$ Hz $J = 15.2$ Hz), 4.83 (d, 1H, $J = 7.6$ Hz), 3.66 (s, 3H), 2.42 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) δ 165.3, 150.8, 144.7, 140.9, 137.2, 136.2, 135.9, 130.2, 129.6, 129.5, 129.3, 129.2, 128.4, 128.1, 127.1, 126.7, 122.0, 121.7, 119.2, 119.0, 114.6, 109.2, 45.4, 32.6, 21.6; IR (neat): 3057, 3024, 2926, 2856, 2253, 1691(s), 1633, 1597, 1488, 1363, 1329, 1260, 1187, 1173, 1162, 1088, 908, 737, 696, 575; MS (ES$^+$) Calculated for [C$_{32}$H$_{28}$N$_2$NaO$_3$S]$^+$: 543.2; Found: 543.2; HRMS (ES$^+$) Calculated for [C$_{32}$H$_{28}$N$_2$NaO$_3$S]$^+$: 543.1718; Found: 543.1718.
2-(1-methyl-1H-indol-3-yl)-2-phenyl-N-(p-tolyl)-N-tosylacetamide (3n)

\[
\text{\begin{align*}
\text{O} \\
\text{N} \\
\text{Ts} \\
\text{Ph} \\
\text{H}_3\text{C-C}_6\text{H}_4
\end{align*}}
\]

Compound 3n was prepared in 88% yield by the reaction of indole 1a with ynamide 2e according to the general procedure (Table 2, entry 14). \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\) 7.91 (d, 2H, \(J = 8.0\) Hz), 7.27 (d, 2H, \(J = 8.0\) Hz), 7.22 – 7.11 (m, 7H), 7.04 – 6.95 (m, 4H), 6.94 – 6.87 (s, 2H), 6.68 (s, 1H), 4.96 (s, 1H), 3.62 (s, 3H), 2.42 (s, 3H), 2.39 (s, 3H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\) 171.9, 144.5, 140.2, 137.9, 136.8, 136.1, 133.4, 130.2, 129.9, 129.3, 129.2, 128.5, 128.3, 127.1, 126.6, 121.7, 118.9, 118.3, 111.7, 109.2, 48.4, 32.6, 21.6, 21.2; IR (neat): 3054, 2920, 2844, 1702(s), 1596, 1360, 1331, 1171, 1143, 1087, 898, 764, 746, 698, 669, 561; MS (ES\(^+\)) Calculated for [C\(_{31}\)H\(_{28}\)N\(_2\)NaO\(_3\)S]\(^+\): 531.2; Found: 531.2; HRMS (ES\(^+\)) Calculated for [C\(_{31}\)H\(_{28}\)N\(_2\)NaO\(_3\)S]\(^+\): 531.1718; Found: 531.1716.

N-(4-chlorophenyl)-2-(1-methyl-1H-indol-3-yl)-2-phenyl-N-tosylacetamide (3o)

\[
\text{\begin{align*}
\text{O} \\
\text{N} \\
\text{Ts} \\
\text{Ph} \\
\text{Cl-C}_6\text{H}_4
\end{align*}}
\]

Compound 3o was prepared in 97% yield by the reaction of indole 1a with ynamide 2f according to the general procedure (Table 2, entry 15). \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\) 7.89 (d, 2H, \(J = 8.4\) Hz), 7.34 – 7.27 (m, 4H), 7.21 – 7.12 (m, 5H), 7.03 – 6.97 (m, 4H), 6.94 – 6.91 (m, 2H), 6.67 (s, 1H), 4.92 (s, 1H), 3.64 (s, 3H), 2.44 (s, 3H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\) 171.5, 144.9, 137.6, 136.8, 136.2, 135.7, 134.5, 131.6, 129.7, 129.3,
2-(1-methyl-1H-indol-3-yl)-2-phenyl-N-propyl-N-tosylacetamide (3p)

Compound 3p was prepared in 93% yield by the reaction of indole 1a with ynamide 2g according to the general procedure (Table 2, entry 16). \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\) 7.64 (d, 2H, \(J = 8.4\) Hz), 7.28 – 7.14 (m, 8H), 7.09 (d, 2H, \(J = 8.4\) Hz), 7.01 – 6.95 (m, 1H), 6.57 (s, 1H), 5.83 (s, 1H), 3.92 – 3.75 (m, 2H), 3.62 (s, 3H), 2.32 (s, 3H), 1.81 – 1.71 (m, 2H), 1.40 – 1.32 (m, 2H), 0.92 (t, 3H, \(J = 7.6\) Hz); \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\) 172.3, 144.2, 138.2, 136.9, 136.6, 129.2, 128.6, 128.4, 128.1, 127.8, 127.1, 126.7, 121.8, 119.2, 118.7, 111.6, 109.2, 48.1, 47.0, 32.6, 32.5, 21.4, 20.0, 13.6; IR (neat): 3060, 2926, 2853, 1701(s), 1597, 1488, 1466, 1453, 1360, 1187, 1172, 1145, 1087, 736, 695, 652, 563; MS (ES\(^+\)) Calculated for [C\(_{30}\)H\(_{25}\)ClN\(_2\)NaO\(_3\)S]\(^+\): 551.1; Found: 551.1; HRMS (ES\(^+\)) Calculated for [C\(_{30}\)H\(_{25}\)ClN\(_2\)NaO\(_3\)S]\(^+\): 551.1172; Found: 551.1180.

N-benzyl-2-(1-methyl-1H-indol-3-yl)-2-phenyl-N-tosylacetamide (3q)

\(\text{3q}\)
Compound 3q was prepared in 87% yield by the reaction of indole 1a with ynamide 2h according to the general procedure (Table 2, entry 17). ¹H NMR (400 MHz, CDCl₃) δ 7.69 (d, 2H, J = 8.0 Hz), 7.40 – 7.32 (m, 5H), 7.21 – 7.12 (m, 7H), 7.00 (d, 1H, J = 8.0 Hz), 6.95 – 6.90 (m, 3H), 6.41 (s, 1H), 5.57 (s, 1H), 5.16 (d, 1H, J = 16.8 Hz), 4.99 (d, 1H, J = 16.8 Hz), 3.56 (s, 3H), 2.35 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 172.4, 144.4, 137.7, 137.0, 136.9, 136.1, 129.2, 128.9, 128.5, 128.4, 128.3, 127.9, 127.8, 127.1, 127.0, 126.6, 121.9, 119.2, 118.5, 110.9, 109.2, 49.7, 48.2, 32.6, 21.5; IR (neat): 3063, 3027, 2926, 2850, 2250, 1702(s), 1597, 1495, 1454, 1351, 1167, 1113, 912, 814, 742, 700, 664, 545; MS (ES⁺) Calculated for [C₃₁H₂₈N₂NaO₃S]⁺: 531.2; Found: 531.2; HRMS (ES⁺) Calculated for [C₃₁H₂₈N₂NaO₃S]⁺: 531.1718; Found: 531.1718.

2-(1-methyl-1H-indol-3-yl)-N-(methylsulfonyl)-N,2-diphenylacetamide (3r)

Compound 3r was prepared in 70% yield by the reaction of indole 1a with ynamide 2i according to the general procedure (Table 2, entry 18). ¹H NMR (400 MHz, CDCl₃) δ 7.49 (t, 1H, J = 7.6 Hz), 7.40 (t, 2H, J = 7.6 Hz), 7.23 – 7.25 (m, 4H), 7.23 – 7.07 (m, 6H), 7.06 – 6.97 (m, 2H), 5.06 (s, 1H), 3.75 (s, 3H), 2.47 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 173.5, 137.7, 136.8, 135.2, 130.1, 129.6, 128.5, 128.4, 128.3, 127.4, 126.5, 121.8, 119.2, 118.2, 111.3, 109.3, 48.3, 42.2, 32.8; IR (neat): 2958, 2923, 2870, 1696, 1596, 1494, 1467, 1450, 1290, 1080, 908, 811, 739, 698, 580; MS (ES⁺) Calculated for [C₂₄H₂₂N₂NaO₃S]⁺: 441.1; Found: 441.1; HRMS (ES⁺) Calculated for [C₂₄H₂₂N₂NaO₃S]⁺: 441.1249; Found: 441.1253.

N-((4-bromophenyl)sulfonyl)-2-(1-methyl-1H-indol-3-yl)-N,2-diphenylacetamide (3s)
Compound 3s was prepared in 90% yield by the reaction of indole 1a with ynamide 2j according to the general procedure (Table 2, entry 19). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.93 (d, 2H, $J = 8.4$ Hz), 7.67 (d, 2H, $J = 8.4$ Hz), 7.51 (t, 1H, $J = 7.6$ Hz), 7.40 (t, 2H, $J = 7.6$ Hz), 7.29 – 7.15 (m, 5H), 7.10 (d, 2H, $J = 8.4$ Hz), 7.06 – 6.98 (m, 2H), 6.97 – 6.88 (m, 2H), 6.68 (s, 1H), 4.94 (s, 1H), 3.68 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 172.0, 137.7, 137.5, 136.7, 135.6, 131.9, 130.8, 130.2, 129.6, 128.9, 128.4, 128.3, 128.2, 127.3, 126.4, 121.2, 119.2, 118.1, 111.3, 109.3, 48.5, 32.7; IR (neat): 3063, 2926, 2254, 1703, 1598, 1530, 1489, 1470, 1360, 1326, 1280, 1050, 1030, 931, 839, 625; MS (ES$^+$) Calculated for [C$_{29}$H$_{23}$BrN$_2$NaO$_3$S]$^+$: 581.1; Found: 581.0; HRMS (ES$^+$) Calculated for [C$_{29}$H$_{23}$BrN$_2$NaO$_3$S]$^+$: 581.0510; Found: 581.0512.

2-(1-benzyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3t)

Compound 3t was prepared in 86% yield by the reaction of indole 1k with ynamide 2a according to the general procedure (Table 2, entry 20). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.90 (d, 2H, $J = 8.4$ Hz), 7.43 (t, 1H, $J = 7.6$ Hz), 7.33 (t, 2H, $J = 7.2$ Hz), 7.29 – 7.20 (m, 5H), 7.19 – 7.13 (m, 4H), 7.11 – 7.05 (m, 3H), 7.03 – 6.99 (m, 4H), 6.93 – 6.85 (m, 2H), 6.76 (s, 1H), 5.17 (s, 2H), 4.95 (s, 1H), 2.39 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 171.7, 144.6, 137.7, 137.4, 136.5, 136.1, 136.0, 130.3, 129.9, 129.5, 129.3, 129.2, 128.7, 128.6, 128.4, 127.8, 127.6, 127.2, 126.8, 126.5, 121.9, 119.4, 118.6, 112.2, 109.8, 50.0,
48.6, 21.6; IR (neat): 3060, 3027, 2923, 2853, 1702(s), 1596, 1488, 1466, 1453, 1360, 1305, 1264, 1187, 1172, 1145, 1087, 812, 738, 695, 652, 563; MS (ES⁺) Calculated for [C₃₆H₃₀N₂NaO₃S]⁺: 593.2; Found: 593.2; HRMS (ES⁺) Calculated for [C₃₆H₃₀N₂NaO₃S]⁺: 593.1875; Found: 593.1877.

2-(1-allyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3u)

![Structure of compound 3u]

Compound 3u was prepared in 82% yield by the reaction of indole 1l with ynamide 2a according to the general procedure (Table 2, entry 21). ¹H NMR (400 MHz, CDCl₃) δ 7.92 (d, 2H, J = 8.0 Hz), 7.44 (t, 1H, J = 7.6 Hz), 7.34 (t, 2H, J = 7.6 Hz), 7.27 (d, 2H, J = 8.0 Hz), 7.21 – 7.14 (m, 4H), 7.11 – 7.07 (m, 3H), 7.02 – 6.98 (m, 2H), 6.91 – 6.86 (m, 2H), 6.71 (s, 1H), 5.94 – 5.83 (m, 1H), 5.15 – 5.11 (m, 1H), 5.02 – 4.97 (m, 1H), 4.93 (s, 1H), 4.56 (d, 2H, J = 5.2 Hz), 2.42 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 171.7, 144.6, 137.7, 136.2, 136.1, 136.0, 133.2, 130.3, 129.9, 129.5, 129.3, 129.2, 128.5, 128.3, 127.3, 127.2, 126.8, 121.7, 119.2, 118.4, 117.2, 111.9, 109.6, 48.7, 48.5, 21.9; IR (neat): 3027, 3060, 2923, 2853, 2253, 1706(s), 1597, 1487, 1471, 1363, 1167, 1145, 1086, 912, 738, 691; MS (ES⁺) Calculated for [C₃₂H₂₈N₂NaO₃S]⁺: 543.2; Found: 543.1; HRMS (ES⁺) Calculated for [C₃₂H₂₈N₂NaO₃S]⁺: 543.1718; Found: 543.1718.

2-(1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3v)

![Structure of compound 3v]
Compound 3v was prepared in 70% yield by the reaction of 1H-indole with ynamide 2a according to the general procedure except with 1.05 equiv of 1H-indole (Table 2, entry 22). $^1$H NMR (400 MHz, DMSO-$d_6$) δ 10.9 (s, 1H), 7.84 (d, 2H, $J = 8.0$ Hz), 7.54 – 7.38 (m, 5H), 7.30 (d, 1H, $J = 8.0$ Hz), 7.23 – 7.10 (m, 5H), 7.05 – 6.91 (m, 3H), 6.79 (s, 2H), 6.70 (s, 1H), 4.88 (s, 1H), 2.44 (s, 3H); $^{13}$C NMR (100 MHz, DMSO-$d_6$) δ 171.2, 145.0, 137.9, 136.1, 135.7, 135.4, 130.2, 130.1, 129.6, 129.5, 128.7, 128.4, 128.3, 127.1, 125.7, 123.9, 121.4, 118.8, 117.8, 111.6, 111.3, 48.1, 21.2; IR (neat): 3354(bs), 2918, 2849, 1686, 1658, 1632, 1486, 1469, 1454, 1423, 1369, 1259, 1173, 1162, 1085, 763, 747, 691, 564; MS (ES$^+$) Calculated for [C$_{29}$H$_{24}$N$_2$NaO$_3$S]$^+$: 503.1; Found: 503.1; HRMS (ES$^+$) Calculated for [C$_{29}$H$_{24}$N$_2$NaO$_3$S]$^+$: 503.1405; Found: 503.1401.

2-(1,2-dimethyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3w)

![Image of 3w](image)

Compounds 3w was prepared in 76% yield by the reaction of indole 1m with ynamide 2a according to the general procedure (eq 1). $^1$H NMR (400 MHz, CDCl$_3$) δ 7.85 (d, 2H, $J = 8.4$ Hz), 7.40 – 7.35 (m, 1H), 7.27 – 7.12 (m, 8H), 7.10 – 7.05 (m, 3H), 7.00 (d, 1H, $J = 8.0$ Hz), 6.95 – 6.74 (m, 3H), 4.94 (s, 1H), 3.50 (s, 3H), 2.43 (s, 3H), 1.65 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) δ 172.2, 144.6, 138.5, 136.3, 136.1, 135.9, 135.1, 130.3, 129.6, 129.4, 129.2, 128.9, 128.7, 128.1, 126.8, 120.5, 119.3, 118.6, 108.4, 106.1, 49.2, 29.4, 21.6, 9.7; IR (neat): 3060, 3029, 2917, 2853, 1701(s), 1594, 1487, 1471, 1365, 1187, 1173, 1149, 1087, 740, 696, 562; MS (ES$^+$) Calculated for [C$_{31}$H$_{28}$N$_2$NaO$_3$S]$^+$: 531.2; Found: 531.2; HRMS (ES$^+$) Calculated for [C$_{31}$H$_{28}$N$_2$NaO$_3$S]$^+$: 531.1718; Found: 531.1719.
N-(benzo[d][1,3]dioxol-5-ylethynyl)-N-benzyl-2-methoxy-4-methylbenzenesulfonamide (2k)

Compound 2k was prepared in 68% yield (2 steps) according to the known procedure.\(^{17}\) 
\(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\) 7.85 (d, 1H, \(J = 8.0\) Hz), 7.35 – 7.26 (m, 5H), 6.85 (d, 1H, \(J = 8.0\) Hz), 6.79 (s, 1H), 6.73 – 6.60 (m, 3H), 5.87 (s, 2H), 4.70 (s, 2H), 3.85 (s, 3H), 2.40 (s, 3H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\) 156.9, 147.2, 147.8, 146.8, 135.4, 131.7, 128.6, 128.3, 127.9, 125.7, 123.0, 120.9, 116.2, 112.9, 111.4, 108.1, 101.0, 81.0, 70.9, 55.9, 55.7, 21.9; IR (neat): 2922, 2853, 2253, 1704 (s), 1600, 1487, 1345, 1285, 1250, 1174, 1160, 1144, 1036, 932, 747; MS (ES\(^+\)) Calculated for [C\(_{24}\)H\(_{21}\)NNaO\(_5\)S]\(^+\): 458.1; Found: 458.1; HRMS (ES\(^+\)) Calculated for [C\(_{24}\)H\(_{21}\)NNaO\(_5\)S]\(^+\): 458.1038; Found: 458.1040.

benzyl 3-(1-(benzo[d][1,3]dioxol-5-yl)-2-(N-benzyl-2-methoxy-4-methylphenylsulfonamido)-2-oxoethyl)-1-methyl-1H-indole-6-carboxylate (3x)

Compound 3x was prepared in 65% yield by the reaction of indole 1n with ynamide 2k according to the general procedure except that using 1.0 equiv of indole 1n and 1.5 equiv of ynamide 2k (2k was added in two portions, 1 equiv at the beginning and the residue in 1 h later) (Scheme 2). \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\) 8.00 (s, 1H), 7.96 (d, 1H, \(J = 8.0\) Hz), 7.76 (d, 1H, \(J = 8.0\) Hz), 7.35 (m, 5H), 6.79 (d, 1H, \(J = 8.0\) Hz), 6.73 (m, 3H), 5.87 (s, 2H), 4.70 (s, 2H), 3.85 (s, 3H), 2.40 (s, 3H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\) 156.9, 147.2, 147.8, 146.8, 135.4, 131.7, 128.6, 128.3, 127.9, 125.7, 123.0, 120.9, 116.2, 112.9, 111.4, 108.1, 101.0, 81.0, 70.9, 55.9, 55.7, 21.9; IR (neat): 2922, 2853, 2253, 1704 (s), 1600, 1487, 1345, 1285, 1250, 1174, 1160, 1144, 1036, 932, 747; MS (ES\(^+\)) Calculated for [C\(_{30}\)H\(_{28}\)NNaO\(_5\)S]\(^+\): 510.1; Found: 510.1; HRMS (ES\(^+\)) Calculated for [C\(_{30}\)H\(_{28}\)NNaO\(_5\)S]\(^+\): 510.1034; Found: 510.1037.
Hz), 7.67 (dd, 1H, J = 1.2 Hz, J = 8.4 Hz), 7.47 – 7.27 (m, 10H), 7.11 – 7.02 (m, 1H), 6.81 (d, 1H, J = 7.6 Hz), 6.69 (s, 1H), 6.67 – 6.55 (m, 2H), 6.44 (s, 2H), 5.85 (dd, 2H, J = 1.2 Hz, J = 5.2 Hz), 5.38 (s, 2H), 5.04 (s, 2H), 3.67 (s, 3H), 3.55 (s, 3H), 2.33 (s, 3H); 13C NMR (100 MHz, CDCl3) δ 172.4, 167.4, 158.2, 156.5, 147.6, 147.1, 146.6, 137.4, 136.4, 136.2, 131.3, 128.5, 128.1, 128.0, 121.6, 121.4, 120.4, 112.4, 111.7, 109.1, 107.9, 100.9, 66.4, 55.7, 50.3, 47.7, 32.9, 21.9; IR (neat): 3357, 2920, 2850, 1704(s), 1600, 1487, 1345, 1239, 1204, 1036, 929, 746; MS (ES+) Calculated for [C41H36N2NaO8S]+: 739.2; Found: 739.2; HRMS (ES+) Calculated for [C41H36N2NaO8S]+: 739.2097.

3-(1-(benzo[d][1,3]dioxol-5-yl)-2-(2-methoxy-4-methylphenylsulfonamido)-2-oxoethyl)-1-methyl-1H-indole-6-carboxylic acid (3y)

\[
\text{MeO} \quad \text{MeO} \\
\text{O} \quad \text{O} \\
\text{S} \quad \text{S} \\
\text{O} \quad \text{O} \\
\text{MeO} \quad \text{MeO} \\
\text{N} \quad \text{N} \\
\text{BnO}_2\text{C} \quad \text{BnO}_2\text{C} \\
\text{Me} \quad \text{Me} \\
\text{3x} \quad \text{3y}
\]

\[
\text{H}_2 (4.5 \text{ MPa}), 100 \text{ wt. % Pd(OH)}_2/\text{C} \\
\text{MeOH/ EtOAc} = 10/1, 40 \degree \text{C, 3 d}
\]

Compound 3y was prepared in 95% yield according to the known procedure\textsuperscript{18} except that catalyst (Pd(OH)\textsubscript{2}/C) was added in two portions, 50 wt. % at the beginning and another 50 wt. % in 2 d later. This compound is known and the spectroscopic data match those reported.\textsuperscript{8} \textsuperscript{1}H NMR (400 MHz, CD\textsubscript{3}OD) δ 7.98 (s, 1H), 7.80 (s, 1H), 7.68 (d, 1H, J = 8.0 Hz), 7.51 (d, 1H, J = 8.4 Hz), 7.18 (d, 1H, J = 8.4 Hz), 6.87 (s, 1H ), 6.78 (d, 1H, J = 8.4 Hz), 6.67 (s, 1H), 6.61 (s, 2H), 6.56 (s, 1H), 5.80 (d, 2H, J = 5.2 Hz), 5.02 (s, 1H), 3.68 (s, 3H), 3.39 (s, 3H), 2.29 (s, 3H); 13C NMR (100 MHz, DMSO) δ 171.2, 170.0, 169.0, 157.3, 148.1, 147.2, 136.6, 132.9, 132.4, 131.8, 130.5, 124.5, 124.2, 122.4, 121.4, 120.6, 118.9, 114.0, 112.7, 112.5, 109.3, 108.9, 101.8, 56.6, 48.5, 33.4, 22.2; IR (neat): 2917, 2849, 1722, 1667, 1597, 1435, 1404, 1330, 1276, 1102, 1079, 756; MS (ES\textsuperscript{+}) Calculated for [C\textsubscript{41}H\textsubscript{36}N\textsubscript{2}NaO\textsubscript{8}S\textsuperscript{+}]: 559.1; Found: 559.1; HRMS (ES\textsuperscript{+}) Calculated for [C\textsubscript{41}H\textsubscript{36}N\textsubscript{2}NaO\textsubscript{8}S\textsuperscript{+}]: 559.1151; Found: 559.1151.
General procedure for the synthesis of 5:
Ynamide 2 (0.30 mmol), 2-bromopyridine N-oxide (104.4 mg, 0.60 mmol), and IPrAuNTf₂ (13.5 mg, 0.015 mmol) were added in this order to a suspension of the tertiary aniline 4 (0.90 mmol) in H₂O (3.0 mL) at room temperature. The reaction mixture was stirred at 80 °C and the progress of the reaction was monitored by TLC. The reaction typically took 2 h. Upon completion, the reaction diluted with DCM (30 mL) and washed with H₂O (2 × 15 mL). The resulting solution was extracted again with DCM (30 mL) and the combined organic layers were dried with MgSO₄. The mixture was then concentrated and the residue was purified by chromatography on silica gel (eluent: hexanes/ethyl acetate) to afford the desired product 5.

2-(4-(dimethylamino)phenyl)-N,2-diphenyl-N-tosylacetamide (5a)

Compound 5a was prepared in 89% yield by the reaction of aniline 4a with ynamide 2a according to the general procedure. ¹H NMR (400 MHz, CDCl₃) δ 7.92 (d, 2H, J = 8.4 Hz), 7.47 (t, 1H, J = 7.6 Hz), 7.39 (t, 2H, J = 7.6 Hz), 7.31 (d, 2H, J = 4 Hz), 7.23 – 7.11 (m, 3H), 7.04 (d, 2H, J = 7.6 Hz), 6.97 – 6.88 (m, 2H), 6.77 (d, 2H, J = 8.8 Hz), 6.55 (d, 2H, J = 8.8 Hz), 4.60 (s, 1H), 2.89 (s, 6H), 2.46 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 172.0, 149.7, 144.6, 138.6, 136.1, 136.0, 130.5, 129.8, 129.4, 129.3, 129.2, 129.1, 128.6,
N,2-diphenyl-N-tosylacetamide (3ac)

\[
\text{Ts} \quad \overset{\text{N}}{\text{O}} \quad \text{Ph} \\
\text{Ph}
\]

\(^1\)H NMR (400 MHz, CDCl\_3) \(\delta 7.91 (d, 2H, J = 8.4 \text{ Hz}), 7.51 - 7.41 (m, 3H), 7.32 (d, 2H, J = 8.0 \text{ Hz}), 7.20 - 7.16 (m, 5H), 6.90 - 6.87 (m, 2H), 3.38 (s, 2H), 2.44 (s, 3H); \(^13\)C NMR (100 MHz, CDCl\_3) \(\delta 170.1, 144.9, 136.1, 136.0, 132.9, 130.3, 130.0, 129.7, 129.3, 129.2, 129.1, 128.4, 127.1, 43.4, 21.6.\)

2-(4-(dimethylamino)-2-methylphenyl)-N,2-diphenyl-N-tosylacetamide (5b)

\[
\text{Ts} \quad \overset{\text{N}}{\text{O}} \quad \text{Ph} \\
\text{Ph} \quad \text{Ph}
\]

Compound 5b was prepared in 90% yield by the reaction of aniline 4b with ynamide 2a according to the general procedure (Table 3, entry 1). \(^1\)H NMR (400 MHz, CDCl\_3) \(\delta 7.96 (d, 2H, J = 8.4 \text{ Hz}), 7.46 (t, 1H, J = 7.6 \text{ Hz}), 7.42 - 7.31 (m, 4H), 7.24 - 7.15 (m, 3H), 7.05 - 6.91 (m, 4H), 6.85 (d, 1H, J = 8.4 \text{ Hz}), 6.46 (dd, 1H, J = 8.4 \text{ Hz}, J = 2.4 \text{ Hz}), 6.39 (d, 1H, J = 2.4 \text{ Hz}), 4.73 (s, 1H), 2.91 (s, 6H), 2.46 (s, 3H), 1.52 (s, 3H); \(^13\)C NMR (100 MHz, CDCl\_3) \(\delta 172.2, 149.7, 144.5, 137.3, 136.8, 136.0, 135.8, 130.3, 129.6, 129.2, 129.1, 128.6, 128.1, 126.9, 123.5, 114.3, 110.0, 53.2, 40.3, 21.5, 19.3; \) IR (neat): 3063(bs), 2928, 2850, 2253, 1703, 1610, 1511, 1488, 1359, 1187, 1086, 808, 731, 695, 584; MS...
(ES⁺) Calculated for \([\text{C}_{30}\text{H}_{30}\text{N}_2\text{NaO}_3\text{S}]^+\): 521.2; Found: 521.2; HRMS (ES⁺) Calculated for \([\text{C}_{30}\text{H}_{30}\text{N}_2\text{NaO}_3\text{S}]^+\): 521.1875; Found: 521.1871.

2-(4-(dimethylamino)-2-methoxyphenyl)-N,2-diphenyl-N-tosylacetamide (5c)

![](image)

Compound 5c was prepared in 88% yield by the reaction of aniline 4c with ynamide 2a according to the general procedure (Table 3, entry 2). \(^1\)H NMR (400 MHz, CDCl₃) \(\delta\) 7.97 (d, 2H, \(J = 8.4\) Hz), 7.49 – 7.29 (m, 5H), 7.25 – 7.16 (m, 3H), 7.14 – 6.80 (m, 4H), 6.47 (d, 1H, \(J = 8.4\) Hz), 6.18 – 6.05 (m, 2H), 4.87 (s, 1H), 3.57 (s, 3H), 2.89 (s, 6H), 2.47 (s, 3H); \(^13\)C NMR (100 MHz, CDCl₃) \(\delta\) 172.4, 156.9, 151.2, 144.3, 136.3, 136.0, 130.4, 129.5, 129.4, 129.2, 129.0, 128.9, 128.7, 127.0, 115.5, 104.3, 95.5, 54.7, 50.5, 40.5, 21.5; IR (neat): 3448 (bs), 2918, 2849, 1704, 1614, 1448, 1452, 1275, 1260, 1143, 1088, 1031, 764, 695, 572; MS (ES⁺) Calculated for \([\text{C}_{30}\text{H}_{30}\text{N}_2\text{NaO}_4\text{S}]^+\): 537.2; Found: 537.2; HRMS (ES⁺) Calculated for \([\text{C}_{30}\text{H}_{30}\text{N}_2\text{NaO}_4\text{S}]^+\): 537.1824; Found: 537.1825.

2-(4-(dimethylamino)-2-fluorophenyl)-N,2-diphenyl-N-tosylacetamide (5d)

![](image)

Compound 5d was prepared in 75% yield by the reaction of aniline 4d with ynamide 2a according to the general procedure (Table 3, entry 3). \(^1\)H NMR (400 MHz, CDCl₃) \(\delta\) 7.95 (d, 2H, \(J = 8.4\) Hz), 7.47 (t, 1H, \(J = 7.6\) Hz), 7.42 – 7.29 (m, 4H), 7.27 – 7.17 (m, 3H), 7.13 – 6.97 (m, 2H), 6.95 – 6.88 (m, 2H), 6.75 (t, 1H, \(J = 8.8\) Hz), 6.31 (dd, 1H, \(J = 8.8\) Hz, \(J = 2.4\) Hz), 6.25 (dd, 1H, \(J = 8.8\) Hz, \(J = 2.4\) Hz), 4.90 (s, 1H), 2.87 (s, 6H), 2.46 (s,
2-(2-chloro-4-(dimethylamino)phenyl)-N,2-diphenyl-N-tosylacetamide (5e)

Compound 5e was prepared in 65% yield by the reaction of aniline 4e with ynamide 2a according to the general procedure (Table 3, entry 4). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.95 (d, 2H, $J = 8.4$ Hz), 7.44 (t, 1H, $J = 7.6$ Hz), 7.41–7.30 (m, 4H), 7.26–7.15 (m, 4H), 6.98–6.81 (m, 3H), 6.75 (d, 1H, $J = 8.4$ Hz), 6.56 (d, 1H, $J = 2.8$ Hz), 6.43 (dd, 1H, $J = 8.8$ Hz, $J = 2.4$ Hz), 5.01 (s, 1H), 2.87 (s, 6H), 2.47 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 171.4, 150.3, 144.5, 136.5, 136.1, 135.5, 134.4, 130.5, 130.3, 129.8, 129.3, 129.2, 129.0, 128.4, 122.8, 127.3, 112.4, 110.7, 53.6, 40.1, 21.6; IR (neat): 2918(bs), 2849, 1704, 1607, 1511, 1488, 1452, 1358, 1196, 1172, 1145, 1086, 694, 565, 549; MS (ES$^+$) Calculated for [C$_{29}$H$_{27}$ClN$_2$NaO$_3$S]$^+$: 541.1; Found: 541.1; HRMS (ES$^+$) Calculated for [C$_{29}$H$_{27}$ClN$_2$NaO$_3$S]$^+$: 541.1329; Found: 541.1327.

2-(2-bromo-4-(dimethylamino)phenyl)-N,2-diphenyl-N-tosylacetamide (5f)

$^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 171.5, 160.8 (d, $J = 241.4$ Hz), 151.2 (d, $J = 10.8$ Hz), 144.5, 136.3, 136.0, 135.7, 130.2, 130.1 (d, $J = 5.5$ Hz), 129.8, 129.2, 129.1, 129.0, 128.8, 128.4, 127.4, 112.1 (d, $J = 15.4$ Hz), 107.7 (d, $J = 2.0$ Hz), 98.6 (d, $J = 26.4$ Hz), 49.2 (d, $J = 2.6$ Hz), 40.1, 21.5; IR (neat): 3340(bs), 2918, 2849, 1705, 1630, 1590, 1521, 1480, 1450, 1368, 1187, 1145, 1030, 978, 659, 570; MS (ES$^+$) Calculated for [C$_{29}$H$_{27}$FN$_2$NaO$_3$S]$^+$: 525.2; Found: 525.1; HRMS (ES$^+$) Calculated for [C$_{29}$H$_{27}$FN$_2$NaO$_3$S]$^+$: 525.1628; Found: 525.1624.
**5f**

Compound 5f was prepared in 63% yield by the reaction of aniline 4f with ynamide 2a according to the general procedure (Table 3, entry 5). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.95 (d, 2H, $J = 8.4$ Hz), 7.44 (t, 1H, $J = 7.6$ Hz), 7.41–7.27 (m, 4H), 7.25 – 7.14 (m, 3H), 7.11 – 6.83 (m, 4H), 6.82 – 6.72 (m, 2H), 6.49 (dd, 1H, $J = 8.8$ Hz, $J = 2.4$ Hz), 5.00 (s, 1H), 2.87 (s, 6H), 2.47 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 171.4, 150.3, 144.6, 136.7, 136.0, 135.5, 130.7, 130.4, 129.8, 129.3, 129.2, 129.0, 128.4, 122.4, 127.2, 125.6, 124.3, 115.6, 111.2, 56.1, 40.1, 21.6; IR (neat): 2918(bs), 2851, 1714, 1617, 1508, 1468, 1450, 1356, 1186, 1152, 1145, 1066, 698, 564, 546; MS (ES$^+$) Calculated for [C$_{29}$H$_{27}$BrN$_2$NaO$_3$S]$^+$: 585.1; Found: 585.1; HRMS (ES$^+$) Calculated for [C$_{29}$H$_{27}$BrN$_2$NaO$_3$S]$^+$: 585.0823; Found: 585.0825.

2-(4-(dimethylamino)phenyl)-2-(4-fluorophenyl)-N-phenyl-N-tosylacetamide (5g)

![5g](image)

Compound 5g was prepared in 87% yield by the reaction of aniline 4a with ynamide 2b according to the general procedure (Table 3, entry 6). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.93 (d, 2H, $J = 8.4$ Hz), 7.48 (t, 1H, $J = 7.6$ Hz), 7.40 (t, 2H, $J = 7.6$ Hz), 7.33 (d, 2H, $J = 8.0$ Hz), 7.06 (d, 2H, $J = 7.2$ Hz), 6.99 – 6.82 (m, 4H), 6.75 (d, 2H, $J = 8.4$ Hz), 6.56 (d, 2H, $J = 8.8$ Hz), 4.60 (s, 1H), 2.91 (s, 6H), 2.46 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 171.9, 161.7 (d, $J = 244.2$ Hz), 149.7, 144.6, 135.9 (d, $J = 6$ Hz), 134.4 (d, $J = 3.1$ Hz), 130.3, 130.2, 130.1, 129.9, 129.3, 129.2, 129.1, 129.0, 124.7, 115.1 (d, $J = 21.3$ Hz), 112.3, 55.3, 40.3, 21.6; IR (neat): 2918, 2849, 1703, 1611, 1521, 1489, 1452, 1355, 1169, 1142, 1087, 694, 566, 541; MS (ES$^+$) Calculated for [C$_{29}$H$_{27}$F$_2$NaO$_3$S]$^+$: 525.2; Found: 525.1; HRMS (ES$^+$) Calculated for [C$_{29}$H$_{27}$F$_2$NaO$_3$S]$^+$: 525.1624; Found: 525.1625.
2-(4-(dimethylamino)phenyl)-N-phenyl-2-(p-tolyl)-N-tosylacetamide (5h)

Compound 5h was prepared in 80% yield by the reaction of aniline 4a with ynamide 2c according to the general procedure (Table 3, entry 7). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.94 (d, 2H, $J$ = 8.4 Hz), 7.48 (t, 1H, $J$ = 7.6 Hz), 7.40 (t, 2H, $J$ = 7.6 Hz), 7.32 (d, 2H, $J$ = 8.0 Hz), 7.08 (d, 2H, $J$ = 7.6 Hz), 7.01 (d, 2H, $J$ = 8.0 Hz), 6.84 (d, 2H, $J$ = 8.0 Hz), 6.79 (d, 2H, $J$ = 8.4 Hz), 6.57 (d, 2H, $J$ = 8.8 Hz), 4.60 (s, 1H), 2.90 (s, 6H), 2.46 (s, 3H), 2.28 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 172.2, 149.7, 144.5, 137.3, 136.8, 136.0, 135.8, 130.3, 129.6, 129.2, 129.1, 128.6, 128.1, 126.9, 123.5, 114.3, 110.0, 53.2, 40.3, 21.5, 19.3; IR (neat): 3448, 2917, 2849, 1709, 1611, 1520, 1488, 1451, 1359, 1127, 1115, 1087, 813, 694, 578, 563(bs), 3048, 3020, 2920, 2101, 1513, 1481, 1446, 801, 757, 652, 604; MS (ES$^+$) Calculated for [C$_{30}$H$_{30}$N$_2$NaO$_3$S]$^+$: 521.2; Found: 521.2; HRMS (ES$^+$) Calculated for [C$_{30}$H$_{30}$N$_2$NaO$_3$S]$^+$: 521.1875; Found: 521.1877.

(E)-4-(4-(dimethylamino)phenyl)-N,4-diphenyl-N-tosylbut-2-enamide (5i)

Compound 5i was prepared in 86% yield by the reaction of aniline 4a with ynamide 2d according to the general procedure (Table 3, entry 8). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.91 (d, 2H, $J$ = 8.4 Hz), 7.51 – 7.39 (m, 3H), 7.38 - 7.28 (m, 3H), 7.24 – 7.10 (m, 5H), 6.97
(dd, 2H, J = 6.4 Hz, J = 2.4 Hz), 6.83 (d, 2H, J = 8.8 Hz), 6.58 (d, 2H, J = 8.8 Hz), 5.39 (dd, 1H, J = 14.8 Hz, J = 1.2 Hz), 4.53 (d, 1H, J = 7.6 Hz), 2.89 (s, 6H), 2.44 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) δ 165.1, 151.4, 149.3, 144.7, 141.8, 136.1, 135.9, 130.2, 129.6, 129.5, 129.3, 129.1, 128.8, 128.7, 128.3, 128.1, 126.5, 122.2, 112.5, 52.5, 40.5, 21.6; IR (neat): 3026(bs), 2919, 2849, 1690, 1632, 1519, 1488, 1358, 1223, 1187, 1159, 1088, 1029, 973, 813, 696, 578, 514; MS (ES$^+$) Calculated for [C$_{31}$H$_{30}$N$_2$NaO$_3$S]$^+$: 533.2; Found: 533.2; HRMS (ES$^+$) Calculated for [C$_{31}$H$_{30}$N$_2$NaO$_3$S]$^+$: 533.1875; Found: 533.1875.

2-(4-(dimethylamino)phenyl)-N-methyl-2-phenyl-N-tosylacetamide (5j)

![Structure 5j]

Compound 5j was prepared in 78% yield by the reaction of aniline 4a with ynamide 2g' according to the general procedure (Table 3, entry 9). $^1$H NMR (400 MHz, CDCl$_3$) δ 7.62 (d, 2H, J = 8.4 Hz), 7.31 – 7.15 (m, 5H), 7.09 (d, 2H, J = 8.0 Hz), 6.96 (d, 2H, J = 8.4 Hz), 6.61 (d, 2H, J = 8.8 Hz), 5.63 (s, 1H), 3.31 (s, 3H), 2.92 (s, 6H), 2.41 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) δ 172.7, 149.6, 144.4, 138.8, 135.9, 129.5, 129.4, 128.7, 128.3, 127.8, 126.9, 125.3, 112.4, 55.8, 40.4, 33.3, 21.5; IR (neat): 3032(bs), 2923, 2802, 2252, 1698, 1615, 1521, 1494, 1353, 1200, 1186, 1166, 1071, 911, 811, 695, 590, 547; MS (ES$^+$) Calculated for [C$_{24}$H$_{26}$N$_2$NaO$_3$S]$^+$: 445.2; Found: 445.1; HRMS (ES$^+$) Calculated for [C$_{24}$H$_{26}$N$_2$NaO$_3$S]$^+$: 445.1562; Found: 445.1569.

N-butyl-2-(4-(dimethylamino)phenyl)-2-phenyl-N-tosylacetamide (5k)

![Structure 5k]
Compound 5k was prepared in 82% yield by the reaction of aniline 4a with ynamide 2g according to the general procedure (Table 3, entry 10). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.68 (d, 2H, $J = 8.4$ Hz), 7.28 – 7.18 (m, 5H), 7.07 (d, 2H, $J = 8.0$ Hz), 6.93 (d, 2H, $J = 8.4$ Hz), 6.61 (d, 2H, $J = 8.8$ Hz), 5.55 (s, 1H), 3.87 (td, 2H, $J = 3.6$ Hz, $J = 7.4$ Hz), 2.93 (s, 6H), 2.42 (s, 3H), 1.85 – 1.69 (m, 2H), 1.44 - 1.33 (m, 2H), 0.96 (t, 3H, $J = 7.6$ Hz); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 172.3, 149.6, 144.2, 138.9, 136.6, 129.4, 129.3, 128.6, 128.2, 127.8, 126.8, 125.3, 112.4, 55.6, 46.7, 40.3, 32.3, 21.4, 19.9, 13.5; IR (neat): 3463(bs), 2958, 2918, 1703, 1611, 1520, 1494, 1453, 1352, 1166, 1087, 763, 698, 588; MS (ES$^+$) Calculated for [C$_{27}$H$_{32}$N$_2$NaO$_3$S]$^+$: 487.2; Found: 487.2; HRMS (ES$^+$) Calculated for [C$_{27}$H$_{32}$N$_2$NaO$_3$S]$^+$: 487.2031; Found: 487.2031.

N-benzyl-2-(4-(dimethylamino)phenyl)-2-phenyl-N-tosylacetamide (5l)

![N-benzyl-2-(4-(dimethylamino)phenyl)-2-phenyl-N-tosylacetamide (5l)](image)

Compound 5l was prepared in 96% yield by the reaction of aniline 4a with ynamide 2h according to the general procedure (Table 3, entry 11). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.73 (d, 2H, $J = 8.0$ Hz), 7.46 – 7.31 (m, 5H), 7.28 – 7.22 (m, 2H), 7.20 – 7.12 (m, 3H), 6.89 – 6.81 (m, 2H), 6.75 (d, 2H, $J = 8.4$ Hz), 6.56 (d, 2H, $J = 8.8$ Hz), 5.25 – 4.96 (m, 3H), 2.91 (s, 6H), 2.46 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 172.6, 149.7, 144.5, 138.4, 137.1, 136.3, 129.3, 129.2, 128.9, 128.6, 128.5, 128.3, 127.7, 126.9, 126.7, 124.7, 112.4, 55.8, 49.5, 40.4, 21.6; IR (neat): 3440(bs), 2917, 2849, 1703, 1611, 1520, 1494, 1453, 1352, 1166, 1087, 1030, 763, 698, 546; MS (ES$^+$) Calculated for [C$_{30}$H$_{30}$N$_2$NaO$_3$S]$^+$: 521.2; Found: 521.2; HRMS (ES$^+$) Calculated for [C$_{30}$H$_{30}$N$_2$NaO$_3$S]$^+$: 521.1875; Found: 521.1877.

2-(4-(dimethylamino)phenyl)-N-(methylsulfonyl)-N,2-diphenylacetamide (5m)
Compound 5m was prepared in 97% yield by the reaction of aniline 4a with ynamide 2i according to the general procedure (Table 3, entry 12). $^1$H NMR (400 MHz, CDCl$_3$) δ 7.47 – 7.31 (m, 3H), 7.28 – 7.16 (m, 3H), 7.12 – 7.01 (m, 4H), 6.93 (d, 2H, $J = 8.8$ Hz), 6.62 (d, 2H, $J = 8.8$ Hz), 4.75 (s, 1H), 3.45 (s, 3H), 2.94 (s, 6H); $^{13}$C NMR (100 MHz, CDCl$_3$) δ 173.5, 149.6, 138.3, 135.1, 130.1, 129.9, 129.4, 129.3, 128.5, 128.4, 127.1, 124.8, 112.5, 56.0, 42.0, 40.3; IR (neat): 3447(bs), 2917, 2849, 1703, 1161, 1520, 1489, 1353, 1275, 1260, 1141, 693, 754, 539; MS (ES$^+$) Calculated for [C$_{23}$H$_{24}$N$_2$NaO$_3$S]$^+$: 431.1; Found: 431.1; HRMS (ES$^+$) Calculated for [C$_{23}$H$_{24}$N$_2$NaO$_3$S]$^+$: 431.1405; Found: 431.1408.

N-((4-bromophenyl)sulfonyl)-2-(4-(dimethylamino)phenyl)-N,2-diphenylacetamide (5n)

Compound 5n was prepared in 90% yield by the reaction of aniline 4a with ynamide 2j according to the general procedure (Table 3, entry 13). $^1$H NMR (500 MHz, CDCl$_3$) δ 7.93 (d, 2H, $J = 8.4$ Hz), 7.67 (d, 2H, $J = 8.8$ Hz), 7.50 (t, 1H, $J = 7.6$ Hz), 7.42 (t, 2H, $J = 7.6$ Hz), 7.30 – 7.16 (m, 3H), 7.06 (d, 2H, $J = 7.6$ Hz), 6.97 (dd, 2H, $J = 6.4$ Hz, $J = 2.4$ Hz), 6.79 (d, 2H, $J = 8.8$ Hz), 6.58 (d, 2H, $J = 8.8$ Hz), 4.64 (s, 1H), 2.92 (s, 6H); $^{13}$C NMR (100 MHz, CDCl$_3$) δ 172.2, 149.6, 138.2, 137.8, 135.5, 131.8, 130.7, 130.3, 130.0, 129.4, 129.2, 128.8, 128.4, 128.3, 127.0, 124.5, 112.3, 56.1, 40.3; IR (neat): 3456(bs), 2914, 2847, 1711, 1612, 1521, 1487, 1389, 1362, 1172, 1141, 1085, 1067, 815, 744, 563; MS (ES$^+$) Calculated for [C$_{28}$H$_{25}$BrN$_2$NaO$_3$S]$^+$: 571.1; Found: 571.1; HRMS (ES$^+$) Calculated for [C$_{28}$H$_{25}$BrN$_2$NaO$_3$S]$^+$: 571.0667; Found: 571.0665.
2-(4-(benzyl(methyl)amino)phenyl)-N,2-diphenyl-N-tosylacetamide (5o)

Compound 5o was prepared in 94% yield by the reaction of aniline 4g with ynamide 2a according to the general procedure (Table 3, entry 14). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.93 (d, 2H, $J = 8.4$ Hz), 7.47 (t, 1H, $J = 7.6$ Hz), 7.43 – 7.27 (m, 7H), 7.24 – 7.16 (m, 5H), 7.09 – 7.01 (m, 2H), 6.99 – 6.90 (m, 2H), 6.75 (d, 2H, $J = 8.8$ Hz), 6.57 (d, 2H, $J = 8.8$ Hz), 4.61 (s, 1H), 4.49 (s, 2H), 2.99 (s, 3H), 2.45 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 172.0, 148.7, 144.6, 138.7, 138.4, 135.9, 130.4, 129.8, 129.4, 129.3, 129.2, 128.5, 128.4, 128.3, 126.9, 126.8, 126.5, 125.0, 112.1, 56.4, 56.1, 38.5, 21.6; IR (neat): 3063(bs), 2920, 2847, 1703, 1616, 1520, 1489, 1356, 1172, 1142, 1087, 812, 695, 550; MS (ES$^+$) Calculated for [C$_{35}$H$_{32}$N$_2$NaO$_3$S]$^+$: 583.2; Found: 583.2; HRMS (ES$^+$) Calculated for [C$_{35}$H$_{32}$N$_2$NaO$_3$S]$^+$: 583.2031; Found: 583.2033.

2-(4-(dibenzylamino)phenyl)-N,2-diphenyl-N-tosylacetamide (5p)

Compound 5p was prepared in 70% yield by the reaction of aniline 4h with ynamide 2a according to the general procedure (Table 3, entry 15). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.91 (d, 2H, $J = 8.4$ Hz), 7.46 (t, 1H, $J = 7.6$ Hz), 7.42 – 7.18 (m, 17H), 7.02 (d, 2H, $J = 7.6$ Hz), 6.97 – 6.85 (m, 2H), 6.68 (d, 2H, $J = 8.8$ Hz), 6.55 (d, 2H, $J = 8.8$ Hz), 4.61 (s, 4H), 4.58 (s, 1H), 2.43 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 172.0, 148.2, 144.6, 138.4, 138.1, 135.9, 130.4, 129.8, 129.5, 129.3, 129.2, 129.1, 128.6, 128.5, 128.3, 127.0, 126.8, 126.5, 125.4, 112.3, 56.1, 54.2, 21.6; IR (neat): 3063(bs), 2917, 2847, 1703, 1616, 1519, 1493, 1361, 1187, 1172, 1144, 1088, 911, 812, 732, 695; MS (ES$^+$) Calculated for
[C_{41}H_{36}N_{2}NaO_{3}S]^+: 659.2; Found: 659.2; HRMS (ES^+) Calculated for [C_{41}H_{36}N_{2}NaO_{3}S]^+: 659.2344; Found: 659.2350.

General procedure for the synthesis of 7:
Ynamide 2 (0.30 mmol), 2-bromopyridine N-oxide (104.4 mg, 0.60 mmol), and IPrAuNTf₂ (13.5 mg, 0.015 mmol) were added in this order to a suspension of the secondary or primary aniline 6 (0.60 mmol) in DCE/H₂O (3.0 mL) at room temperature. The reaction mixture was stirred at 80 °C and the progress of the reaction was monitored by TLC. The reaction typically took 2 h. Upon completion, the reaction diluted with DCM (30 mL) and washed with H₂O (2 × 15 mL). The resulting solution was extracted again with DCM (30 mL) and the combined organic layers were dried with MgSO₄. The mixture was then concentrated and the residue was purified by chromatography on silica gel (eluent: hexanes/ethyl acetate) to afford the desired product 7.

2-(methyl(phenyl)amino)-N,2-diphenyl-N-tosylacetamide (7a)

Compound 7a was prepared in 81% yield by the reaction of aniline 6a with ynamide 2a according to the general procedure. ^1H NMR (400 MHz, CDCl₃) δ 7.82 (d, 2H, J = 8.4 Hz), 7.29 – 7.12 (m, 8H), 7.05 – 6.90 (m, 2H), 6.89 – 6.86 (m, 3H), 6.62 (t, 1H, J = 7.6
Hz), 6.40 (d, 2H, $J = 8.0$ Hz), 5.17 (s, 1H), 2.62 (s, 3H), 2.35 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 171.4, 149.1, 144.9, 135.8, 134.9, 134.7, 129.4, 129.3, 129.1, 129.0, 128.7, 128.6, 117.8, 113.0, 65.3, 34.0, 21.6. IR (neat): 3063, 3029, 2924, 2254, 1705(s), 1610, 1521, 1453, 1359, 1171, 1087, 910, 732, 695, 570; MS (ES$^+$) Calculated for [C$_{28}$H$_{26}$N$_2$NaO$_3$S]$^+$: 493.2; Found: 493.2; HRMS (ES$^+$) Calculated for [C$_{28}$H$_{26}$N$_2$NaO$_3$S]$^+$: 493.1562; Found: 493.1569.

(E)-4-methyl-N-(1-(methyl(phenyl)amino)-2-phenylvinyl)-N-phenylbenzenesulfonamide (3ad)

![Structure of 3ad](image)

$^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.56 (d, 2H, $J = 8.0$ Hz), 7.26 – 7.17 (m, 12H), 7.00 – 6.97 (m, 2H), 6.88 – 6.81 (m, 3H), 6.13 (s, 1H), 2.69 (s, 3H), 2.43 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 144.9, 143.7, 140.3, 138.4, 137.2, 134.9, 129.7, 129.3, 128.7, 128.6, 128.3, 128.1, 127.5, 120.0, 116.4, 114.8, 38.7, 21.6; IR: 2950, 2920, 2839, 1597, 1492, 14752, 1352, 1165, 1090, 740, 695, 661; MS (ES$^+$) Calculated for [C$_{28}$H$_{26}$N$_2$NaO$_3$S]$^+$: 477.2; Found: 477.1; HRMS (ES$^+$) Calculated for [C$_{28}$H$_{26}$N$_2$NaO$_3$S]$^+$: 477.1613; Found: 477.1610.

2-(methyl(p-tolyl)amino)-N,N-di(2-phenyl)-N-tosylacetamide (7b)

![Structure of 7b](image)

Compound 7b was prepared in 88% yield by the reaction of aniline 6b with ynamide 2a according to the general procedure (Table 4, entry 1). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.42 – 7.40 (d, 2H, $J = 7.2$ Hz), 7.39 – 7.27 (m, 8H), 7.04 – 6.96 (m, 6H), 6.48 (d, 2H, $J = 8.8$ Hz), 2.68 – 2.58 (m, 3H), 2.14 – 2.05 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 162.4, 149.4, 135.5, 135.0, 134.9, 129.8, 129.3, 128.7, 128.6, 113.0, 65.3, 34.0, 21.6. IR (neat): 3063, 3027, 2924, 2254, 1705(s), 1610, 1521, 1453, 1359, 1171, 1087, 910, 732, 695, 570; MS (ES$^+$) Calculated for [C$_{28}$H$_{26}$N$_2$NaO$_3$S]$^+$: 493.2; Found: 493.2; HRMS (ES$^+$) Calculated for [C$_{28}$H$_{26}$N$_2$NaO$_3$S]$^+$: 493.1562; Found: 493.1569.
Hz), 5.27 (s, 1H), 2.73 (s, 3H), 2.51 (s, 3H), 2.78 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) δ 171.5, 147.1, 144.9, 135.9, 135.0, 134.8, 129.9, 129.5, 129.3, 129.2, 128.8, 128.5, 113.6, 65.8, 34.2, 21.6, 20.2. IR (neat): 3029, 2922, 2253, 1708 (s), 1617, 1596, 1518, 1488, 1364, 1173, 912, 740, 694; MS (ES$^+$) Calculated for [C$_{29}$H$_{28}$N$_2$NaO$_3$S]$^+$: 507.2; Found: 507.2; HRMS (ES$^+$) Calculated for [C$_{29}$H$_{28}$N$_2$NaO$_3$S]$^+$: 507.1718; Found: 507.1718.

2-((4-methoxyphenyl)(methyl)amino)-N,2-diphenyl-N-tosylacetamide (7c)

![Image of 7c]

Compound 7c was prepared in 81% yield by the reaction of aniline 6c with ynamide 2a according to the general procedure (Table 4, entry 2). $^1$H NMR (400 MHz, CDCl$_3$) δ 7.92 (d, 2H, $J = 8.0$ Hz), 7.39 – 7.24 (m, 8H), 7.00 – 6.92 (m, 4H), 6.72 (d, 2H, $J = 8.8$ Hz), 6.52 (d, 2H, $J = 8.8$ Hz), 5.13 (s, 1H), 3.75 (s, 3H), 2.67 (s, 3H), 2.48 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) δ 171.4, 152.7, 144.8, 143.8, 135.0, 134.8, 130.0, 129.9, 129.3, 129.2, 129.1, 128.8, 128.5, 128.2, 116.1, 114.4, 66.9, 55.6, 34.7, 21.6. IR (neat): 3066, 3029, 2923, 2833, 2253, 1708 (s), 1596, 1511, 1488, 1363, 1247, 1173, 1036, 814, 696; MS (ES$^+$) Calculated for [C$_{29}$H$_{28}$N$_2$NaO$_4$S]$^+$: 523.2; Found: 523.2; HRMS (ES$^+$) Calculated for [C$_{29}$H$_{28}$N$_2$NaO$_4$S]$^+$: 523.1667; Found: 523.1670.

2-((3-methoxyphenyl)(methyl)amino)-N,2-diphenyl-N-tosylacetamide (7d)

![Image of 7d]
Compound 7d was prepared in 88% yield by the reaction of aniline 6d with ynamide 2a according to the general procedure (Table 4, entry 3). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.93 (d, 2H, $J = 8.4$ Hz), 7.36 – 7.26 (m, 8H ), 7.07 – 6.98 (m, 5H), 6.32 – 6.30 (m, 1H), 6.14 – 6.08 (m, 2H), 5.28 (s, 1H), 3.73 (s, 3H), 2.71 (s, 3H), 2.48 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 171.3, 160.6, 150.5, 144.9, 135.0, 134.7, 130.0, 129.7, 129.4, 129.3, 129.1, 128.7, 128.6, 128.3, 105.9, 102.8, 99.7, 65.4, 55.0, 34.2, 21.6; IR (neat): 2957, 2926, 2256, 1708 (s), 1608, 1599, 1509, 1488, 1364, 1231, 1188, 1173, 1105, 1088, 911, 814, 734, 694; MS (ES$^+$) Calculated for [C$_{29}$H$_{28}$N$_2$NaO$_4$S]$^+$: 523.2; Found: 523.2; HRMS (ES$^+$) Calculated for [C$_{29}$H$_{28}$N$_2$NaO$_4$S]$^+$: 523.1667; Found: 523.1669.

2-((4-fluorophenyl)(methyl)amino)-N,2-diphenyl-N-tosylacetamide (7e)

![Image of 7e]

Compound 7e was prepared in 86% yield by the reaction of aniline 6e with ynamide 2a according to the general procedure (Table 4, entry 4). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.92 (d, 2H, $J = 8.4$ Hz), 7.36 – 7.27 (m, 8H), 6.99 – 6.81 (m, 6H), 6.49 – 6.45 (m, 2H), 5.17 (s, 1H), 2.95 (s, 3H), 2.48 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 171.2, 156.1 (d, $J = 235.6$ Hz), 145.0, 145.0, 135.8, 134.9, 134.5, 130.0, 129.9, 129.3, 129.1, 128.7, 128.6, 128.3, 115.3 (d, $J = 22.0$ Hz), 115.0 (d, $J = 7.3$ Hz), 66.4, 34.6, 21.6; IR (neat): 3066, 3032, 2920, 2253, 1708(s), 1596, 1509, 1488, 1364, 1231, 1188, 1173, 1105, 1088, 911, 814, 734, 694; MS (ES$^+$) Calculated for [C$_{28}$H$_{25}$FN$_2$NaO$_3$S]$^+$: 511.1; Found: 511.1; HRMS (ES$^+$) Calculated for [C$_{28}$H$_{25}$FN$_2$NaO$_3$S]$^+$: 511.1468; Found: 511.1470.

2-((4-chlorophenyl)(methyl)amino)-N,2-diphenyl-N-tosylacetamide (7f)

2-((4-fluorophenyl)(methyl)amino)-N,2-diphenyl-N-tosylacetamide (7e)

![Diagram of 7e]
Compound 7f was prepared in 95% yield by the reaction of aniline 6f with ynamide 2a according to the general procedure (Table 4, entry 5). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.91 (d, 2H, $J = 8.4$ Hz), 7.40–7.26 (m, 8H), 7.08–6.96 (m, 6H), 6.42 (d, 2H, $J = 10.8$ Hz), 5.22 (s, 1H), 2.69 (s, 3H), 2.48 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 171.1, 147.8, 145.1, 134.9, 134.3, 130.1, 129.4, 129.1, 128.7, 128.6, 128.4, 122.7, 114.3, 65.7, 34.3, 21.7; IR (neat): 3063, 3032, 2917, 2258, 1709 (s), 1596, 1497, 1452, 1364, 1307, 1173, 1107, 1087, 912, 810, 735, 697; MS (ES$^+$) Calculated for [C$_{28}$H$_{25}$ClN$_2$NaO$_3$S]$^+$: 527.1; Found: 527.1; HRMS (ES$^+$) Calculated for [C$_{28}$H$_{25}$ClN$_2$NaO$_3$S]$^+$: 527.1172; Found: 527.1172.

2-((4-bromophenyl)(methyl)amino)-N,2-diphenyl-N-tosylacetamide (7g)

Compound 7g was prepared in 99% yield by the reaction of aniline 6g with ynamide 2a according to the general procedure (Table 4, entry 6). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.89 (d, 2H, $J = 8.4$ Hz), 7.34–7.23 (m, 8H), 7.17 (d, 2H, $J = 8.8$ Hz), 6.95 (d, 4H, $J = 6.8$ Hz), 6.36–6.33 (m, 2H), 5.19 (s, 1H), 2.66 (s, 3H), 2.46 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 171.0, 148.1, 145.1, 135.7, 134.9, 134.2, 131.6, 130.1, 129.9, 129.4, 129.1, 128.7, 128.6, 128.5, 114.7, 109.8, 65.5, 34.3, 21.7; IR (neat): 3066, 3032, 2926, 2253, 1709 (s), 1592, 1494, 1452, 1364, 1307, 1173, 1106, 1087, 911, 809, 733, 696, 571; MS (ES$^+$) Calculated for [C$_{28}$H$_{25}$BrN$_2$NaO$_3$S]$^+$: 571.1; Found: 571.1; HRMS (ES$^+$) Calculated for [C$_{28}$H$_{25}$BrN$_2$NaO$_3$S]$^+$: 571.0667; Found: 571.0669.
2-((3-fluorophenyl)(methyl)amino)-N,2-diphenyl-N-tosylacetamide (7h)

Compound 7h was prepared in 89% yield by the reaction of aniline 6h with ynamide 2a according to the general procedure (Table 4, entry 7). \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\) 7.94 (d, 2H, \(J = 8.0\) Hz), 7.41 – 7.26 (m, 8H), 7.06 – 6.97 (m, 5H), 6.44 – 6.39 (m, 1H), 6.28 – 6.16 (m, 2H), 5.24 (s, 1H), 2.71 (s, 3H), 2.48 (s, 3H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\) 171.1, 163.8 (d, \(J = 241.2\) Hz), 150.8 (d, \(J = 10.3\) Hz), 145.1, 135.8, 134.9, 134.3, 130.2, 130.1, 130.0, 129.5, 129.4, 129.1, 128.8, 128.7, 128.5, 108.2, 104.2 (d, \(J = 21.3\) Hz), 99.9 (d, \(J = 26.0\) Hz), 65.2, 34.2, 21.6; IR (neat): 3063, 3032, 2923, 2258, 1708 (s), 1616, 1597, 1497, 1452, 1365, 1172, 1106, 1088, 1005, 910, 733, 695; MS (ES\(^+\)) Calculated for [\(\text{C}_{28}\text{H}_{25}\text{FN}_2\text{NaO}_3\text{S}\)]\(^+\): 511.1; Found: 511.1; HRMS (ES\(^+\)) Calculated for [\(\text{C}_{28}\text{H}_{25}\text{FN}_2\text{NaO}_3\text{S}\)]\(^+\): 511.1468; Found: 511.1470.

2-((3-chlorophenyl)(methyl)amino)-N,2-diphenyl-N-tosylacetamide (7i)

Compound 7i was prepared in 93% yield by the reaction of aniline 6i with ynamide 2a according to the general procedure (Table 4, entry 8). \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\) 7.93 (d, 2H, \(J = 8.4\) Hz), 7.42 – 7.26 (m, 9H), 7.05 – 6.97 (m, 4H), 6.70 (d, 1H, \(J = 1.2\) Hz), 6.46 – 6.39 (m, 2H), 5.21 (s, 1H), 2.70 (s, 3H), 2.48 (s, 3H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\) 171.0, 150.1, 145.1, 134.9, 130.2, 130.0, 129.5, 129.4, 129.1, 128.8, 128.7, 128.6, 117.7, 112.7, 111.0, 65.1, 34.2, 21.7; IR (neat): 3066, 3035, 2923, 2256, 1707 (s), 1594, 1563,
N-methyl-2-(methyl(phenyl)amino)-2-phenyl-N-tosylacetamide (7j)

\[ \text{Ts} - \text{N} \stackrel{O}{\text{\textbullet}} \text{Ph} \]

7j

Compound 7j was prepared in 76% yield by the reaction of aniline 6a with ynamide 2g according to the general procedure (Table 4, entry 9). \(^{1}H\) NMR (400 MHz, CDCl\(_3\)) \(\delta\) 7.52 – 7.49 (d, 2H, \(J = 8.4\) Hz), 7.35 – 7.24 (m, 3H), 7.25 – 7.11 (m, 6H), 6.81 – 6.75 (m, 3H), 6.38 (s, 1H), 3.24 (s, 3H), 2.74 (s, 3H), 2.39 (s, 3H); \(^{13}C\) NMR (100 MHz, CDCl\(_3\)) \(\delta\) 172.3, 149.4, 144.8, 135.2, 134.9, 129.5, 129.3, 129.0, 128.9, 128.3, 127.8, 117.9, 113.0, 65.5, 34.4, 33.0, 21.6; IR (neat): 3405 (bs), 3066, 3029, 2926, 1708 (s), 1597, 1503, 1488, 1367, 1160, 1085, 911, 745, 696, 600, 566; MS (ES\(^+\)) Calculated for [C\(_{23}H_{24}N_{2}NaO_{3}S\)]\(^+\): 431.1; Found: 431.1; HRMS (ES\(^+\)) Calculated for [C\(_{23}H_{24}N_{2}NaO_{3}S\)]\(^+\): 431.1405; Found: 431.1408.

N-benzyl-2-(methyl(phenyl)amino)-2-phenyl-N-tosylacetamide (7k)

\[ \text{Ts} - \text{N} \stackrel{O}{\text{\textbullet}} \text{Ph} \]

7k

Compound 7k was prepared in 85% yield by the reaction of aniline 6a with ynamide 2h according to the general procedure (Table 4, entry 10). \(^{1}H\) NMR (400 MHz, CDCl\(_3\)) \(\delta\) 7.44 (d, 2H, \(J = 5.6\) Hz), 7.42 – 7.28 (m, 10H), 7.10 – 6.96 (m, 4H), 6.74 (t, 1H, \(J = 7.2\) Hz), 6.39 (d, 2H, \(J = 7.6\) Hz), 5.90 (s, 1H), 5.27 (d, 1H, \(J = 16.8\) Hz), 4.64 (d, 1H, \(J = 7.2\) Hz), 2.74 (s, 3H), 2.39 (s, 3H); IR (neat): 3405 (bs), 3066, 3029, 2926, 1708 (s), 1597, 1503, 1488, 1367, 1160, 1085, 911, 745, 696, 600, 566; MS (ES\(^+\)) Calculated for [C\(_{23}H_{24}N_{2}NaO_{3}S\)]\(^+\): 431.1; Found: 431.1; HRMS (ES\(^+\)) Calculated for [C\(_{23}H_{24}N_{2}NaO_{3}S\)]\(^+\): 431.1405; Found: 431.1408.
16.8 Hz), 2.66 (s, 3H), 2.49 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) δ 171.2, 149.1, 144.9, 136.3, 135.8, 134.7, 129.4, 129.1, 129.0, 128.9, 128.6, 117.7, 113.0, 65.6, 49.3, 34.1, 21.6; IR (neat): 3066, 3029, 2917, 2258, 1708(s), 1597, 1503, 1453, 1353, 1167, 1103, 1088, 911, 749, 729, 589; MS (ES$^+$) Calculated for [C$_{29}$H$_{28}$N$_2$NaO$_3$S]: 507.2; Found: 507.2; HRMS (ES$^+$) Calculated for [C$_{29}$H$_{28}$N$_2$NaO$_3$S]$^+$: 507.1718; Found: 507.1718.

2-(4-fluorophenyl)-2-(methyl(phenyl)amino)-N-phenyl-N-tosylacetamide (7l)

Compound 7l was prepared in 80% yield by the reaction of aniline 6a with ynamide 2b according to the general procedure (Table 4, entry 11). $^1$H NMR (400 MHz, CDCl$_3$) δ 7.90 (d, 2H, $J = 8.4$ Hz), 7.41 – 7.20 (m, 5H), 7.16 – 7.06 (m, 2H), 7.05 – 6.87 (m, 6H), 6.71(t, 1H, $J = 7.6$ Hz), 6.46 (d, 2H, $J = 8.4$ Hz), 5.22 (s, 1H), 2.70 (s, 3H), 2.46 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) δ 171.2, 162.5 (d, $J = 246.5$ Hz), 148.9, 145.1, 135.8, 134.9, 130.6 (d, $J = 3.2$ Hz), 130.5, 130.4, 130.1, 129.9, 129.4, 129.2, 129.0, 118.1, 115.6 (d, $J = 21.5$ Hz), 113.2, 64.8, 34.1, 21.7; IR (neat): 3436, 2918, 2849, 1706(s), 1596, 1362, 1292, 1226, 1152, 1086, 991, 692; MS (ES$^+$) Calculated for [C$_{28}$H$_{25}$FN$_2$NaO$_3$S]$^+$: 511.1; Found: 511.1; HRMS (ES$^+$) Calculated for [C$_{28}$H$_{25}$FN$_2$NaO$_3$S]$^+$: 511.1468; Found: 511.1470.

2-(methyl(phenyl)amino)-N-phenyl-2-(p-tolyl)-N-tosylacetamide (7m)

Compound 7m was prepared in 83% yield by the reaction of aniline 6a with ynamide 2c according to the general procedure (Table 4, entry 12). $^1$H NMR (400 MHz, CDCl$_3$) δ 7.90 (d, 2H, $J = 8.4$ Hz), 7.41 – 7.20 (m, 5H), 7.17 – 6.90 (m, 6H), 6.84 (d, 2H, $J = 8.4$ Hz), 6.64 (d, 2H, $J = 8.4$ Hz), 5.20 (s, 1H), 2.70 (s, 3H), 2.46 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) δ 171.2, 162.5 (d, $J = 246.5$ Hz), 148.9, 145.1, 135.8, 134.9, 130.6 (d, $J = 3.2$ Hz), 130.5, 130.4, 130.1, 129.9, 129.4, 129.2, 129.0, 118.1, 115.6 (d, $J = 21.5$ Hz), 113.2, 64.8, 34.1, 21.7; IR (neat): 3436, 2918, 2849, 1706(s), 1596, 1362, 1292, 1226, 1152, 1086, 991, 692; MS (ES$^+$) Calculated for [C$_{28}$H$_{25}$FN$_2$NaO$_3$S]$^+$: 511.1; Found: 511.1; HRMS (ES$^+$) Calculated for [C$_{28}$H$_{25}$FN$_2$NaO$_3$S]$^+$: 511.1468; Found: 511.1470.
Hz), 6.69 (t, 1H, \( J = 7.6 \) Hz), 6.48 (d, 2H, \( J = 8.0 \) Hz), 5.20 (s, 1H), 2.69 (s, 3H), 2.45 (s, 3H), 2.33 (s, 3H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \( \delta \) 171.6, 149.1, 144.8, 138.1, 135.9, 135.0, 131.6, 129.9, 129.3, 129.1, 128.9, 128.7, 117.7, 112.9, 65.1, 34.0, 21.6, 21.1; IR (neat): 3057, 2923, 1709(s), 1597, 1503, 1452, 1365, 1174, 1106, 1088, 1033, 964, 892, 736, 695; MS (ES\(^+\)) Calculated for [C\(_{29}\)H\(_{28}\)N\(_2\)NaO\(_3\)S]\(^+\): 507.2; Found: 507.2; HRMS (ES\(^+\)) Calculated for [C\(_{29}\)H\(_{28}\)N\(_2\)NaO\(_3\)S]\(^+\): 507.1718; Found: 507.1720.

2-(methyl(phenyl)amino)-N-(methylsulfonyl)-N,2-diphenylacetamide (7n)

![Structure of 7n]

Compound 7n was prepared in 82% yield by the reaction of aniline 6a with ynamide 2i according to the general procedure (Table 4, entry 13). \(^1\)H NMR (400 MHz, CDCl\(_3\)) \( \delta \) 7.41 – 7.36 (m, 4H), 7.33 – 7.23 (m, 4H), 7.19 – 7.16 (m, 2H), 7.10 – 6.80 (m, 3H), 6.68 (d, 2H, \( J = 8.4 \) Hz), 5.40 (s, 1H), 3.45 (s, 3H), 2.84 (s, 3H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \( \delta \) 173.0, 149.2, 134.4, 134.1, 130.2, 129.4, 129.2, 128.9, 128.7, 128.5, 118.2, 113.2, 65.5, 42.3, 34.1; IR (neat): 3060, 3027, 2931, 2256, 1708(s), 1597, 1503, 1453, 1356, 1317, 1153, 1107, 964, 911, 736, 695, 539; MS (ES\(^+\)) Calculated for [C\(_{22}\)H\(_{22}\)N\(_2\)NaO\(_3\)S]\(^+\): 417.1; Found: 417.1; HRMS (ES\(^+\)) Calculated for [C\(_{22}\)H\(_{22}\)N\(_2\)NaO\(_3\)S]\(^+\): 417.1249; Found: 417.1245.

N-((4-bromophenyl)sulfonyl)-2-(methyl(phenyl)amino)-N,2-diphenylacetamide (7o)

![Structure of 7o]
Compound 7o was prepared in 81% yield by the reaction of aniline 6a with ynamide 2j according to the general procedure (Table 4, entry 14). $^1$H NMR (400 MHz, CDCl$_3$) δ 7.90 – 7.86 (m, 2H), 7.68 – 7.64 (m, 2H), 7.40 – 7.35 (m, 1H), 7.33 – 7.25 (m, 5H), 7.15 – 7.09 (m, 2H), 7.00 – 6.96 (m, 4H), 6.75 – 6.71 (m, 1H), 6.49 (d, 2H), 5.25 (s, 1H), 2.71 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) δ 171.6, 149.1, 137.8, 134.6, 134.5, 132.1, 130.6, 130.2, 130.0, 129.5, 129.2, 129.1, 128.7, 128.6, 128.4, 118.1, 113.2, 65.6, 34.1; IR (neat): 3063, 2920, 2853, 1709 (s), 1597, 1573, 1503, 1488, 1368, 1153, 1106, 1068, 745, 696, 600, 564; MS (ES$^+$) Calculated for [C$_{27}$H$_{23}$BrN$_2$NaO$_3$S]$^+$: 557.1; Found: 557.0; HRMS (ES$^+$) Calculated for [C$_{27}$H$_{23}$BrN$_2$NaO$_3$S]$^+$: 557.0510; Found: 557.0512.

N,2-diphenyl-2-(phenylamino)-N-tosylacetamide (7p)

![Structure of 7p](image)

Compound 7p was prepared in 78% yield by the reaction of aniline 6j with ynamide 2a according to the general procedure (Table 4, entry 15). $^1$H NMR (400 MHz, CDCl$_3$) δ 7.87 (d, 2H, $J = 8.4$ Hz), 7.51 (t, 1H, $J = 7.6$ Hz), 7.40 (t, 2H, $J = 7.6$ Hz), 7.31 (d, 2H, $J = 8.4$ Hz), 7.27 – 7.15 (m, 3H), 7.06 – 6.96 (m, 4H), 6.86 (d, 2H, $J = 7.2$ Hz), 6.65 (t, 1H, $J = 7.2$ Hz), 6.37 (d, 2H, $J = 7.6$ Hz), 4.82 (d, 1H, $J = 7.2$ Hz), 4.66 (d, 1H, $J = 7.2$ Hz), 2.45 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) δ 171.2, 145.6, 145.1, 136.1, 135.6, 134.7, 130.7, 130.3, 129.6, 129.4, 129.14, 129.11, 128.8, 128.5, 127.9, 118.4, 113.5, 60.6, 21.7; IR (neat): 3387 (bs), 3061, 3029, 2920, 2862, 1703 (s), 1616, 1596, 1519, 1488, 1364, 1305, 1173, 1087, 929, 696, 569; MS (ES$^+$) Calculated for [C$_{27}$H$_{24}$N$_2$NaO$_3$S]$^+$: 479.1; Found: 479.1; HRMS (ES$^+$) Calculated for [C$_{27}$H$_{24}$N$_2$NaO$_3$S]$^+$: 479.1405; Found: 479.1405.

Reference:


Figure 1. X-ray crystal structure of compound 3b.
Figure 2. X-ray crystal structure of compound 5f.
2.07, 5.11, 2.64, 3.06
1.07, 0.08
9.6, 7.0, 0.0
0.01 ~ 3.003