Supporting Information

Flexible and Practical Synthesis of 3-Oxyindoles through Gold-Catalyzed Intermolecular Oxidation of o-Ethynylanilines

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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 were recorded on a Bruker AV-400 spectrometer and a Bruker AV-500 spectrometer in chloroform-d₃. Chemical shifts are reported in ppm with the internal TMS signal at 0.0 ppm as a standard. The data is being reported as (s = singlet, d = doublet, t = triplet, m = multiplet or unresolved, brs = broad singlet, coupling constant(s) in Hz, integration).

¹³C NMR spectra were recorded on a Bruker AV-400 spectrometer and a Bruker AV-500 spectrometer in chloroform-d₃. Chemical shifts are reported in ppm with the internal chloroform signal at 77.0 ppm as a standard.

**Table 1** Other reaction condition studies

<table>
<thead>
<tr>
<th>Entry</th>
<th>Gold catalyst</th>
<th>Oxidant (R)</th>
<th>Acid</th>
<th>Yield (%)&lt;sup&gt;b&lt;/sup&gt;</th>
<th>3a</th>
<th>2a</th>
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<tr>
<td>1</td>
<td>5 mol % BrettPhosAuCl 5 mol % AgNTf₂</td>
<td>5b (R&lt;sup&gt;'&lt;/sup&gt; = 'Pr)</td>
<td>1.1 equiv MsOH</td>
<td>&lt;1</td>
<td>87</td>
<td></td>
</tr>
<tr>
<td>2</td>
<td>5 mol % BrettPhosAuCl 5 mol % AgSbF₆</td>
<td>5b (R&lt;sup&gt;'&lt;/sup&gt; = 'Pr)</td>
<td>1.1 equiv MsOH</td>
<td>2</td>
<td>60</td>
<td></td>
</tr>
<tr>
<td>3</td>
<td>IPrAuNTf₂</td>
<td>5b (R&lt;sup&gt;'&lt;/sup&gt; = 'Pr)</td>
<td>1.1 equiv MsOH</td>
<td>70</td>
<td>20</td>
<td></td>
</tr>
<tr>
<td>4</td>
<td>XPhosAuNTf₂</td>
<td>5b (R&lt;sup&gt;'&lt;/sup&gt; = 'Pr)</td>
<td>1.1 equiv MsOH</td>
<td>68</td>
<td>21</td>
<td></td>
</tr>
</tbody>
</table>
Compounds 1a-1p were prepared according to the known procedures.\textsuperscript{1-3}

\[
\begin{align*}
\text{R} & \quad \text{NH}_2 \\
\text{I} & \quad \text{5 mol \% Pd(PPh}_3\text{)}_2\text{Cl}_2 \\
\equiv & \quad \text{5 mol \% Cu I} \\
\text{TMS (1.5 equiv)} & \quad \text{Et}_3\text{N, rt, 2 h} \\
\text{NH}_2 & \quad \text{K}_2\text{CO}_3 (1.5 \text{ equiv}) \\
\equiv & \quad \text{MeOH, rt, 2 h}
\end{align*}
\]

\[
\begin{align*}
\text{R} & \quad \text{NH}_2 \\
\equiv & \quad \text{TMS} \\
\text{N}(\text{2-ethynylphenyl})-4\text{-methylbenzenesulfonamide (1a)}
\end{align*}
\]

This compound is known and the spectroscopic data match those reported.\textsuperscript{4} \textsuperscript{1}H NMR (500 MHz, CDCl\textsubscript{3}) \(\delta\) 7.68 (d, 2H, \(J = 8.5\) Hz), 7.59 (dd, 1H, \(J = 0.5\) Hz, \(J = 8.5\) Hz), 7.32 (dd, 1H, \(J = 1.5\) Hz, \(J = 8.0\) Hz), 7.29 - 7.25 (m, 2H), 7.20 (d, 2H, \(J = 8.0\) Hz), 6.70 (td, 1H, \(J = 1.0\) Hz, \(J = 7.5\) Hz), 3.37 (s, 1H), 2.35 (s, 3H); \textsuperscript{13}C NMR (125 MHz, CDCl\textsubscript{3}) \(\delta\) 144.1, 138.4, 135.9, 132.4, 130.1, 129.6, 127.3, 124.1, 112.6, 84.4, 78.5, 78.1, 21.5. IR (neat): 3286 (bs), 3065, 2922, 2107, 1599, 1571, 1488, 1401, 1339, 1167, 1091, 914, 813, 759, 663, 578; MS (ES\textsuperscript{+}) Calculated for [C\textsubscript{15}H\textsubscript{13}NNaO\textsubscript{2}S]\textsuperscript{+}: 294.1; Found: 294.1; HRMS (ES\textsuperscript{+}) Calculated for [C\textsubscript{15}H\textsubscript{13}NNaO\textsubscript{2}S]\textsuperscript{+}: 294.0565; Found: 294.0569.

\[
\begin{align*}
\text{N}(\text{2-ethynyl-4-methylphenyl})-4\text{-methylbenzenesulfonamide (1b)}
\end{align*}
\]
This compound is known and the spectroscopic data match those reported.\(^1\)\(^1\) H NMR (400 MHz, CDCl\(_3\)) \(\delta\) 7.66 (d, 2H, \(J = 8.4\) Hz), 7.48 (d, 1H, \(J = 8.4\) Hz), 7.19 (d, 2H, \(J = 8.4\) Hz), 7.12 – 7.07 (m, 3H), 3.30 (s, 1H), 2.35 (s, 3H), 2.21 (s, 3H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\) 144.0, 136.0, 135.9, 134.2, 132.8, 131.0, 129.6, 127.4, 120.0, 113.0, 83.9, 78.8, 21.6, 20.5; IR (neat): 3285, 2926, 2104, 1598, 1495, 1337, 1166, 1090, 912, 813, 704, 667, 616, 551; MS (ES\(^{+}\)) Calculated for [C\(_{16}\)H\(_{15}\)NNaO\(_2\)S]\(^{+}\): 308.1; Found: 308.1; HRMS (ES\(^{+}\)) Calculated for [C\(_{16}\)H\(_{15}\)NNaO\(_2\)S]\(^{+}\): 308.0721; Found: 308.0723.

N-(2-ethynyl-4-isopropylphenyl)-4-methylbenzenesulfonamide (1c)

![](image)

1H NMR (400 MHz, CDCl\(_3\)) \(\delta\) 7.71 (d, 2H, \(J = 9.0\) Hz), 7.53 (d, 1H, \(J = 10.5\) Hz), 7.29 – 7.16 (m, 5H), 3.35 (s, 1H), 2.82 – 2.77 (m, 1H), 2.37 (s, 3H), 1.18 (d, 6H, \(J = 6.4\) Hz); \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\) 144.9, 143.9, 136.0, 130.2, 129.5, 128.4, 127.2, 119.6, 112.7, 83.7, 78.9, 33.1, 23.6, 21.4; IR (neat): 3285(bs), 2961, 2104, 1597, 1494, 1397, 1339, 1166, 1091, 908, 813, 666, 552; MS (ES\(^{+}\)) Calculated for [C\(_{18}\)H\(_{19}\)NNaO\(_2\)S]\(^{+}\): 336.1; Found: 336.1; HRMS (ES\(^{+}\)) Calculated for [C\(_{18}\)H\(_{19}\)NNaO\(_2\)S]\(^{+}\): 336.1034; Found: 336.1032.

N-(2-ethynyl-4-methoxyphenyl)-4-methylbenzenesulfonamide (1d)

![](image)

1H NMR (400 MHz, CDCl\(_3\)) \(\delta\) 7.60 (d, 2H, \(J = 8.4\) Hz), 7.52 (d, 1H, \(J = 8.8\) Hz), 7.18 (d, 2H, \(J = 8.0\) Hz), 6.94 (s, 1H), 6.86 (dd, 1H, \(J = 2.8\) Hz, \(J = 12.0\) Hz), 6.81 (d, 1H, \(J = 2.8\) Hz), 3.72 (s, 3H), 3.24 (s, 1H), 2.36 (s, 1H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\) 156.4, 143.8,
N-(2-ethynyl-4-fluorophenyl)-4-methylbenzenesulfonamide (1e)

1H NMR (500 MHz, CDCl3) δ 7.65 (d, 2H, J = 8.5 Hz), 7.62 – 7.59 (m, 1H), 7.23 (d, 2H, J = 8.5 Hz), 7.10 (s, 1H), 7.06 – 7.02 (m, 2H), 3.37 (s, 1H), 2.39 (s, 3H); 13C NMR (125 MHz, CDCl3) δ 159.0 (d, J = 243.8 Hz), 144.2, 135.7, 134.7, 129.6, 127.3, 122.4 (d, J = 8.5 Hz), 118.8 (d, J = 24.5 Hz), 117.4 (d, J = 22.5 Hz), 115.1 (d, J = 9.8 Hz), 85.0, 77.6, 21.5; IR (neat): 3291(bs), 3071, 2920, 2110, 1598, 1492, 1394, 1338, 1277, 1165, 1090, 895, 813, 667, 553; MS (ES+) Calculated for [C16H15NNaO3S]+: 324.1; Found: 324.1; HRMS (ES+) Calculated for [C16H15NNaO3S]+: 324.0670; Found: 324.0678.

N-(4-chloro-2-ethynylphenyl)-4-methylbenzenesulfonamide (1f)

1H NMR (500 MHz, CDCl3) δ 7.67 (d, 2H, J = 8.5 Hz), 7.54 (d, 1H, J = 8.5 Hz), 7.29 (d, 1H, J = 1.0 Hz), 7.26 – 7.19 (m, 4H), 3.40 (s, 1H), 2.37 (s, 3H); 13C NMR (125 MHz, CDCl3) δ 144.3, 137.1, 135.7, 132.0, 130.3, 129.7, 129.5, 127.3, 120.8, 114.3, 85.4, 77.4, 21.5; IR (neat): 3287(bs), 2923, 2107, 1597, 1483, 1415, 1389, 1338, 1166, 1090, 858, 669, 549; MS (ES+) Calculated for [C15H12ClNNaO2S]+: 328.0; Found: 328.0; HRMS (ES+) Calculculated for [C15H12ClNNaO2S]+: 328.0175; Found: 328.0179.
N-(4-bromo-2-ethynylphenyl)-4-methylbenzenesulfonamide (1g)

\[
\begin{align*}
\text{1g} \\
\text{Br} &- \text{H} - \text{Ts} \\
\end{align*}
\]

\(^1 \text{H} \text{NMR (400 MHz, CDCl}_3\text{)} \delta 7.68 (d, 2H, \text{J} = 8.0 \text{ Hz}), 7.47 - 7.44 (m, 3H), 7.30 - 7.20 (m, 3H), 3.42 (s, 1H), 2.37 (s, 3H); ^{13} \text{C NMR (100 MHz, CDCl}_3\text{)} \delta 144.3, 137.5, 135.6, 134.8, 133.1, 129.7, 127.2, 120.8, 116.7, 114.5, 85.6, 77.1, 21.5; \text{IR (neat): 3286(bs), 2104, 1597, 1481, 1385, 1337, 1166, 10902, 843, 663, 601, 548; MS (ES\(^+\)) Calculated for [C}_{15}H_{12}BrNaO_2S\(^+:\) 372.0; Found: 372.0; HRMS (ES\(^+\)) Calculated for [C}_{15}H_{12}BrNaO_2S\(^+:\) 371.9670; Found: 371.9672.

N-(2-ethynyl-4-(trifluoromethyl)phenyl)-4-methylbenzenesulfonamide (1h)

\[
\begin{align*}
\text{1h} \\
\end{align*}
\]

This compound is known and the spectroscopic data match those reported. \(^1 \text{H} \text{NMR (500 MHz, CDCl}_3\text{)} \delta 7.75 (d, 2H, \text{J} = 8.0 \text{ Hz}), 7.68 (d, 1H, \text{J} = 8.5 \text{ Hz}), 7.61 (s, 1H), 7.50 (d, 2H, \text{J} = 9.5 \text{ Hz}), 7.27 (d, 2H, \text{J} = 8.0 \text{ Hz}), 3.51 (s, 1H), 2.39 (s, 3H); ^{13} \text{C NMR (125 MHz, CDCl}_3\text{)} \delta 144.7, 141.4, 135.7, 129.9, 129.7 (dd, \text{J} = 15.0 \text{ Hz}, \text{J} = 30.0 \text{ Hz}), 127.3, 127.0 (dd, \text{J} = 15.0 \text{ Hz}, \text{J} = 30.0 \text{ Hz}), 126.0 (d, \text{J} = 33.5 \text{ Hz}), 123.4 (d, \text{J} = 270.0 \text{ Hz}), 117.9, 112.2, 86.0, 77.3, 21.5; \text{IR (neat): 3294 (bs), 2926, 2113, 1614, 1503, 1432, 1401, 1330, 1292, 1167, 1112, 1090, 918, 867, 663, 548; MS (ES\(^+\)) Calculated for [C}_{16}H_{12}F_3NaO_2S\(^+:\) 362.0; Found: 362.0; HRMS (ES\(^+\)) Calculated for [C}_{16}H_{12}F_3NaO_2S\(^+:\) 362.0439; Found: 362.0439.

N-(4-cyano-2-ethynylphenyl)-4-methylbenzenesulfonamide (1i)
1H NMR (400 MHz, CDCl₃) δ 7.76 (d, 2H, J = 2.4 Hz), 7.65 (d, 2H, J = 8.8 Hz), 7.54 (t, 2H, J = 13.6 Hz), 7.28 (d, 2H, J = 8.4 Hz), 3.57 (s, 1H), 2.40 (s, 3H); 13C NMR (100MHz, CDCl₃) δ 145.0, 142.2, 136.3, 135.4, 133.6, 130.0, 127.3, 117.7, 117.5, 112.4, 107.2, 86.9, 76.4, 21.6; IR (neat): 3282(bs), 2922, 2231, 1604, 1492, 1400, 1345, 1168, 1090, 913, 743, 662, 547; MS (ES⁺) Calculated for [C₁₆H₁₂N₂NaO₂S]⁺: 319.1; Found: 319.1; HRMS (ES⁺) Calculated for [C₁₆H₁₂N₂NaO₂S]⁺: 319.0517; Found: 319.0519.

N-(2-ethynyl-5-methylphenyl)-4-methylbenzenesulfonamide (1j)

1H NMR (500 MHz, CDCl₃) δ 7.68 (d, 2H, J = 8.5 Hz), 7.42 (s, 1H), 7.25 – 7.13 (m, 4H), 6.82 (d, 1H, J = 7.5 Hz), 3.31 (s, 1H), 2.37 (s, 3H), 2.32 (s, 3H); 13C NMR (125 MHz, CDCl₃) δ 144.0, 140.8, 138.3, 136.1, 132.2, 129.6, 127.3, 125.1, 120.1, 83.6, 78.8, 21.8, 21.5; IR (neat): 3292 (bs), 2924, 2849, 2113, 1609, 1577, 1471, 1438, 1246, 1085, 779; MS (ES⁺) Calculated for [C₁₆H₁₅NNaO₂S]⁺: 308.1; Found: 308.1; HRMS (ES⁺) Calculated for [C₁₆H₁₅NNaO₂S]⁺: 308.0721; Found: 308.0724.

N-(5-chloro-2-ethynylphenyl)-4-methylbenzenesulfonamide (1k)
$^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.72 (d, 2H, $J = 8.0$ Hz), 7.62 (d, 1H, $J = 1.6$ Hz), 7.28 – 7.23 (m, 4H), 6.98 (dd, 1H, $J = 1.6$ Hz, $J = 8.0$ Hz), 3.43 (s, 1H), 2.39 (s, 3H); $^{13}$C NMR (125 MHz, CDCl$_3$) $\delta$ 144.5, 139.5, 136.1, 135.6, 133.3, 129.8, 127.3, 124.3, 119.0, 110.7, 85.3, 77.7, 21.6; IR (neat): 3292(bs), 2923, 2104, 1596, 1562, 1488, 1394, 1338, 1165, 1091, 935, 813, 665, 548; MS (ES$^+$) Calculated for [C$_{15}$H$_{12}$ClNNaO$_2$S]$: 328.0; Found: 328.0; HRMS (ES$^+$) Calculated for [C$_{15}$H$_{12}$ClNNaO$_2$S]$: 328.0175; Found: 328.0177.

N-(2-ethynyl-4-fluoro-6-methylphenyl)-4-methylbenzenesulfonamide (1l)

\[
\begin{align*}
\text{F} &\quad \text{H} \\
\text{CH}_3 &\quad \text{H} \\
\text{N} &\quad \text{Ts} \\
\end{align*}
\]

$^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.52 (d, 2H, $J = 8.0$ Hz), 7.21 (d, 2H, $J = 8.4$ Hz), 6.99 (dd, 1H, $J = 2.8$ Hz, $J = 8.8$ Hz), 6.88 (d, 1H, $J = 2.8$ Hz, $J = 8.0$ Hz), 6.30 (s, 1H), 2.79 (s, 1H), 2.49 (s, 3H), 2.41 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 160.4 (d, $J = 246.0$ Hz), 143.9, 141.2 (d, $J = 9.0$ Hz), 136.4, 132.1 (d, $J = 3.0$ Hz), 129.4, 127.9, 122.2 (d, $J = 11.0$ Hz), 119.3 (d, $J = 22.0$ Hz), 116.9 (d, $J = 24.0$ Hz), 82.7, 78.4 (d, $J = 3.0$ Hz), 21.6, 19.7 (d, $J = 1.0$ Hz); IR (neat): 3270(bs), 2926, 2107, 1598, 1466, 1332, 1163, 1091, 867, 813, 672, 556; MS (ES$^+$) Calculated for [C$_{16}$H$_{14}$FNNaO$_2$S]$: 326.1; Found: 326.1; HRMS (ES$^+$) Calculated for [C$_{16}$H$_{14}$FNNaO$_2$S]$: 326.0627; Found: 326.0625.

N-(2-ethynyl-4,6-dimethylphenyl)-4-methylbenzenesulfonamide (1m)

\[
\begin{align*}
\text{H}_3 &\quad \text{N} \\
\text{CH}_3 &\quad \text{Ts} \\
\end{align*}
\]

$^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.51 (d, 2H, $J = 8.4$ Hz), 7.18 (d, 2H, $J = 8.0$ Hz), 7.08 (s, 1H), 6.98 (s, 1H), 6.28 (s, 1H), 2.71 (s, 1H), 2.45 (s, 3H), 2.40 (s, 3H), 2.25 (s, 3H); $^{13}$C
NMR (100 MHz, CDCl₃) δ 143.6, 138.1, 137.0, 136.6, 133.4, 133.2, 130.9, 129.3, 127.9, 120.3, 81.4, 79.6, 21.6, 20.7, 19.4; IR (neat): 3275(bs), 2924, 2104, 1598, 1470, 1389, 1331, 1164, 1091, 672, 589; MS (ES⁺) Calculated for [C₁₇H₁₇NNaO₂S]⁺: 322.1; Found: 322.1; HRMS (ES⁺) Calculated for [C₁₇H₁₇NNaO₂S]⁺: 322.0878; Found: 322.0878.

N-(2,4-dichloro-6-ethynylphenyl)-4-methylbenzenesulfonamide (1n)

\[
\begin{align*}
\text{1n} & \\
\text{1H NMR (400 MHz, CDCl₃)} & \delta 7.66 (d, 2H, J = 6.4 \text{ Hz}), 7.41 – 7.31 (m, 2H), 7.28 – 7.24 (m, 2H), 6.37 (s, 1H), 3.11 (s, 1H), 2.44 (s, 3H); \\
\text{13C NMR (100 MHz, CDCl₃)} & \delta 144.2, 137.2, 134.2, 133.8, 133.4, 131.9, 130.8, 129.6, 127.7, 124.6, 84.2, 78.2, 21.6; \\
\text{IR (neat):} & 3266(bs), 2923, 2115, 1596, 1551, 1441, 1334, 1159, 1090, 742, 666; \\
\text{MS (ES⁺)} & \text{Calculated for [C₁₅H₁₁Cl₂NNaO₂S]⁺: 362.0; Found: 362.0; HRMS (ES⁺) Calculated for [C₁₅H₁₁Cl₂NNaO₂S]⁺: 361.9785; Found: 361.9787.}
\end{align*}
\]

4-bromo-N-(2-ethynylphenyl)benzenesulfonamide (1o)

\[
\begin{align*}
\text{1o} & \\
\text{1H NMR (400 MHz, CDCl₃)} & \delta 7.67 – 7.51 (m, 5H), 7.35 – 7.29 (m, 3H), 7.05 (t, 1H, J = 8.4 \text{ Hz}), 3.37 (s, 1H); \\
\text{13C NMR (100 MHz, CDCl₃)} & \delta 137.8, 137.7, 132.6, 132.2, 130.1, 128.7, 128.2, 124.7, 120.0, 113.2, 84.6, 78.3; \\
\text{IR (neat):} & 3453(bs), 3285, 1574, 1488, 1400, 1341, 1170, 1089, 1068, 915, 739, 607; \\
\text{MS (ES⁺)} & \text{Calculated for [C₁₄H₁₀BrNNaO₂S]⁺: 358.0; Found: 358.0; HRMS (ES⁺) Calculated for [C₁₄H₁₀BrNNaO₂S]⁺: 357.9513; Found: 357.9519.}
\end{align*}
\]
2-Nitro-N-(2-ethynylphenyl)benzenesulfonamide (1p)

![Image](image_url)

$^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.10 (s, 1H), 7.96 (dd, 1H, $J = 1.2$ Hz, $J = 7.6$ Hz), 7.89 (d, 1H, $J = 1.2$ Hz, $J = 8.0$ Hz), 7.74 – 7.70 (m, 2H), 7.66 – 7.62 (m, 1H), 7.38 – 7.34 (m, 2H), 7.12 – 7.05 (m, 1H), 3.34 (s, 1H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 137.7, 134.1, 133.0, 132.8, 132.7, 131.2, 130.4, 130.1, 125.6, 125.1, 120.9, 113.9, 84.6, 78.0; IR (neat): 3314, 3270, 1540, 1480, 1390, 1362, 1340, 1174, 854, 761, 730; MS (ES$^+$) Calculated for [C$_{14}$H$_{10}$N$_2$NaO$_4$S]$^+$: 325.0; Found: 325.0; HRMS (ES$^+$) Calculated for [C$_{14}$H$_{10}$N$_2$NaO$_4$S]$^+$: 325.0259; Found: 325.0258.

N-(2-ethynylphenyl)methanesulfonamide (1q)

![Image](image_url)

This compound is known and the spectroscopic data match those reported.$^5$ $^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 7.60 (dd, 1H, $J = 0.5$ Hz, $J = 8.0$ Hz), 7.54 (dd, 1H, $J = 1.5$ Hz, $J = 8.0$ Hz), 7.40 – 7.36 (m, 1H), 7.15 – 7.11 (m, 1H), 7.10 (s, 1H), 3.53 (s, 1H), 3.03 (s, 3H); $^{13}$C NMR (125 MHz, CDCl$_3$) $\delta$ 138.4, 132.7, 130.4, 124.5, 119.6, 113.0, 84.8, 78.5, 39.6; IR (neat): 3275, 2920, 2844, 2107, 1488, 1395, 1331, 1154, 967, 750; MS (ES$^+$) Calculated for [C$_9$H$_9$NNaO$_2$S]$^+$: 218.0; Found: 218.0; HRMS (ES$^+$) Calculated for [C$_9$H$_9$NNaO$_2$S]$^+$: 218.0252; Found: 218.0254.

4-methyl-N-(2-(oct-1-ynyl)phenyl)benzenesulfonamide (1r)
\[ \text{Ir} \]

\[^1\text{H NMR (400 MHz, CDCl}_3\text{) } \delta 7.66 (d, 2H, J = 8.5 \text{ Hz}), 7.55 (d, 1H, J = 9.0 \text{ Hz}), 7.24 – 7.17 (m, 5H), 7.00 – 6.95 (m, 1H), 2.40 (t, 2H, J = 7.0 \text{ Hz}), 2.35 (s, 3H), 1.61 – 1.55 (m, 2H), 1.46 – 1.41 (m, 2H), 1.36 – 1.30 (m, 4H), 0.92 (t, 3H, J = 7.0 \text{ Hz}); \]

\[^{13}\text{C NMR (125 MHz, CDCl}_3\text{) } \delta 143.8, 137.5, 136.2, 131.8, 129.5, 128.7, 127.2, 124.1, 119.3, 114.9, 97.9, 75.3, 31.3, 28.6, 28.5, 22.5, 21.4, 19.5, 14.0; \text{ IR (neat): } 2927, 2855, 1490, 1399, 1341, 1167, 1091, 754, 665; \text{ MS (ES}^+\text{) Calculated for [C}_{21}\text{H}_{25}\text{NaO}_2\text{S}^+: 378.2; Found: 378.2; \text{ HRMS (ES}^+\text{) Calculated for [C}_{21}\text{H}_{25}\text{NaO}_2\text{S}^+: 378.1504; Found: 378.1504.} \]

\(^4\text{-methyl-N-(2-(phenylethynyl)phenyl)benzenesulfonamide (1s)}\]

\[ \text{1s} \]

\[^1\text{H NMR (400 MHz, CDCl}_3\text{) } \delta 7.71 (d, 2H, J = 6.8 \text{ Hz}), 7.66 (d, 1H, J = 6.8 \text{ Hz}), 7.52 – 7.48 (m, 2H), 7.43 – 7.38 (m, 4H), 7.33 – 7.28 (m, 2H), 7.18 (d, 2H, J = 6.4 \text{ Hz}), 7.10 – 7.07 (m, 1H), 2.35 (s, 3H); \]

\[^{13}\text{C NMR (125 MHz, CDCl}_3\text{) } \delta 143.9, 137.5, 136.1, 131.9, 131.4, 131.5, 129.5, 129.0, 128.5, 127.2, 127.1, 124.5, 121.9, 120.3, 114.6, 96.1, 83.7, 21.4; \text{ IR (neat): } 3323, 3237, 3058, 2921, 1596, 1496, 1482, 1399, 1167, 1091, 915, 756, 690; \text{ MS (ES}^+\text{) Calculated for [C}_{21}\text{H}_{17}\text{NaO}_2\text{S}^+: 370.1; Found: 370.1; \text{ HRMS (ES}^+\text{) Calculated for [C}_{21}\text{H}_{17}\text{NaO}_2\text{S}^+: 370.0878; Found: 370.0880.} \]
General procedure:
8-Isopropylquinoline \( N \)-oxide (73.0 mg, 0.39 mmol), MsOH (3.0 mL, 0.11 M in DCE), and BrettPhosAuNTf\(_2\) (15.3 mg, 0.015 mmol) were added in this order to a solution of the \( o \)-ethynylanilines \( 1 \) (0.30 mmol) in DCE (3.0 mL) at room temperature. The reaction mixture was stirred at rt and the progress of the reaction was monitored by TLC. The reaction typically took 5 h. Upon completion, the reaction diluted with DCM (30 mL) and washed with saturated aqueous NaHCO\(_3\) (2 \( \times \) 15 mL). The resulting solution was extracted again with DCM (30 mL) and the combined organic layers were dried with MgSO\(_4\). The mixture was then concentrated and the residue was purified by chromatography on silica gel (eluent: hexanes/ethyl acetate) to afford the desired products \( 3 \).

1-tosylindolin-3-one (3a)

\( \text{Ts} \)

Compound 3a was prepared in 91\% yield according to the general procedure. \(^1\)H NMR (400 MHz, CDCl\(_3\)) \( \delta \) 8.04 (d, 1H, \( J = 8.4 \) Hz), 7.71 (d, 2H, \( J = 8.4 \) Hz), 7.66 (dd, 2H, \( J = 7.6 \) Hz, \( J = 12.8 \) Hz), 7.27 (d, 2H, \( J = 7.2 \) Hz), 7.18 (t, 1H, \( J = 7.6 \) Hz), 4.13 (s, 2H), 2.38 (s, 3H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \( \delta \) 194.7, 153.5, 145.1, 137.2, 133.4, 130.1, 127.1, 124.9, 124.3, 124.0, 115.9, 56.0, 21.5. IR (neat): 2914, 1721(s), 1604, 1461, 1364, 1169, 1112, 1090, 913, 748, 663; MS (ES\(^+\)) Calculated for \([\text{C}_{15}\text{H}_{13}\text{NNaO}_3\text{S}]^+\): 310.1; Found: 310.1; HRMS (ES\(^+\)) Calculated for \([\text{C}_{15}\text{H}_{13}\text{NNaO}_3\text{S}]^+\): 310.0514; Found: 310.0518.
5-methyl-1-tosylindolin-3-one (3b)

Compound 3b was prepared in 87% yield according to the general procedure. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.85 (s, 1H), 7.71 (d, 2H, $J$ = 8.4 Hz), 7.52 (d, 1H, $J$ = 8.4 Hz), 7.27 (d, 2H, $J$ = 8.4 Hz), 6.99 (d, 1H, $J$ = 8.0 Hz), 4.10 (s, 2H), 2.50 (s, 3H), 2.39 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 194.1, 153.9, 149.3, 145.1, 133.6, 130.1, 127.1, 125.5, 124.0, 122.8, 115.9, 56.3, 22.7, 21.5. IR (neat): 2925, 1712 (s), 1619, 1587, 1489, 1363, 1166, 1089, 913, 814, 735, 667, 583; MS (ES$^+$) Calculated for [C$_{16}$H$_{15}$NNaO$_3$S]$^+$: 324.1; Found: 324.1; HRMS (ES$^+$) Calculated for [C$_{16}$H$_{15}$NNaO$_3$S]$^+$: 324.0670; Found: 324.0676.

5-isopropyl-1-tosylindolin-3-one (3c)

Compound 3c was prepared in 84% yield according to the general procedure. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.95 (d, 1H, $J$ = 8.8 Hz), 7.71 (d, 2H, $J$ = 8.4 Hz), 7.54 (d, 1H, $J$ = 8.8 Hz), 7.49 (s, 1H), 7.26 (d, 2H, $J$ = 5.6 Hz), 4.12 (s, 2H), 2.98 – 2.88 (m, 1H), 2.38 (s, 3H), 1.23 (d, 6H, $J$ = 7.2 Hz); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 194.9, 151.9, 145.1, 145.0, 136.4, 133.5, 130.0, 127.1, 125.1, 121.2, 115.8, 56.3, 33.3, 23.7, 21.5; IR (neat): 2962, 1720 (s), 1615, 1485, 1167, 1091, 913, 747, 662, 587, 545; MS (ES$^+$) Calculated for [C$_{18}$H$_{19}$NNaO$_3$S]$^+$: 352.1; Found: 352.1; HRMS (ES$^+$) Calculated for [C$_{18}$H$_{19}$NNaO$_3$S]$^+$: 352.0983; Found: 352.0985.

5-methoxy-1-tosylindolin-3-one (3d)
Compound 3d was prepared in 85% yield according to the general procedure. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.98 (d, 1H, $J = 8.8$ Hz), 7.66 (d, 2H, $J = 8.4$ Hz), 7.27 – 7.24 (m, 3H), 7.03 (d, 1H, $J = 3.5$ Hz), 4.11 (s, 2H), 3.79 (s, 3H), 3.37 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 194.8, 156.8, 148.3, 145.0, 132.9, 130.0, 127.2, 126.5, 126.0, 117.6, 104.8, 56.7, 55.7, 21.5; IR (neat): 2928, 1716 (s), 1600, 1488, 1445, 1361, 1281, 1165, 1090, 1028, 913, 744, 662, 587, 545; MS (ES$^+$) Calculated for [C$_{16}$H$_{15}$NNaO$_4$S]$^+: 340.1; Found: 340.1; HRMS (ES$^+$) Calculated for [C$_{16}$H$_{15}$NNaO$_4$S]$^+: 340.0619; Found: 340.0621.

5-fluoro-1-tosylindolin-3-one (3e)

Compound 3e was prepared in 92% yield according to the general procedure. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.04 (dd, 1H, $J = 4.0$ Hz, $J = 12.0$ Hz), 7.68 (d, 2H, $J = 8.0$ Hz), 7.42 – 7.29 (m, 1H), 7.28 – 7.26 (m, 3H), 4.16 (s, 2H), 2.39 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 193.9, 159.3 (d, $J = 246.0$ Hz), 158.2, 149.9, 145.4, 133.1, 130.2, 127.3, 124.8 (d, $J = 23.0$ Hz), 117.7 (d, $J = 7.6$ Hz), 110.0 (d, $J = 23.3$ Hz), 56.7, 21.6; IR (neat): 2925, 1712 (s), 1597, 1482, 1365, 1169, 1088, 817, 666, 585; MS (ES$^+$) Calculated for [C$_{15}$H$_{12}$FNNaO$_3$S]$^+: 328.0; Found: 328.0; HRMS (ES$^+$) Calculated for [C$_{15}$H$_{12}$FNNaO$_3$S]$^+: 328.0420; Found: 328.0420.

5-chloro-1-tosylindolin-3-one (3f)
Compound 3f was prepared in 93% yield according to the general procedure. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.99 (d, 1H, $J = 8.0$ Hz), 7.70 (d, 2H, $J = 8.0$ Hz), 7.59 – 7.57 (m, 2H), 7.29 (d, 2H, $J = 8.4$ Hz), 4.15 (s, 2H), 2.39 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 193.3, 152.0, 145.4, 137.0, 133.4, 130.2, 127.1, 126.3, 123.9, 117.2, 56.5, 21.5; IR (neat): 3288, 2923, 1726(s), 1598, 1463, 1330, 1128, 1090, 913, 813, 736, 667, 584, 543; MS (ES$^+$) Calculated for [C$_{15}$H$_{12}$ClNNaO$_3$S]$^+$: 344.0; Found: 344.0; HRMS (ES$^+$) Calculated for [C$_{15}$H$_{12}$ClNNaO$_3$S]$^+$: 344.0124; Found: 344.0124.

5-bromo-1-tosylindolin-3-one (3g)

Compound 3g was prepared in 91% yield according to the general procedure. $^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 7.95 (d, 1H, $J = 8.0$ Hz), 7.76 – 7.70 (m, 4H), 7.30 (d, 2H, $J = 8.0$ Hz), 4.16 (s, 2H), 2.41 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 193.2, 152.3, 145.5, 139.8, 133.2, 130.2, 127.1, 127.0, 126.6, 117.5, 117.3, 56.3, 21.6; IR (neat): 2920, 1724(s), 1597, 1460, 1364, 1168, 1091, 666, 583, 543; MS (ES$^+$) Calculated for [C$_{15}$H$_{12}$BrNNaO$_3$S]$^+$: 388.0; Found: 388.0; HRMS (ES$^+$) Calculated for [C$_{15}$H$_{12}$BrNNaO$_3$S]$^+$: 387.9619; Found: 387.9620.

1-tosyl-5-(trifluoromethyl)indolin-3-one (3h)
 Compound **3h** was prepared in 81% yield according to the general procedure. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.14 (d, 1H, $J = 8.8$ Hz), 7.91 – 7.88 (m, 2H), 7.74 (d, 2H, $J = 8.0$ Hz), 7.31 (d, 2H, $J = 8.0$ Hz), 4.21 (s, 2H), 2.41 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 193.3, 155.4, 145.7, 133.8 (dd, $J = 3.2$ Hz, $J = 6.5$ Hz), 133.5, 130.4, 127.1, 126.5, 126.2, 124.9, 122.1 (dd, $J = 4.2$ Hz, $J = 8.0$ Hz), 116.1, 56.5, 21.6; IR (neat): 2924, 1744 (s), 1626, 1596, 1497, 1329, 1173, 1130, 1088, 913, 748, 669, 582; MS (ES$^+$) Calculated for [C$_{16}$H$_{12}$F$_3$NNaO$_3$S]$^+$: 378.0; Found: 378.0; HRMS (ES$^+$) Calculated for [C$_{16}$H$_{12}$F$_3$NNaO$_3$S]$^+$: 378.0388; Found: 378.0386.

**3-oxy-1-tosylindoline-5-carbonitrile (3i)**

 Compound **3i** was prepared in 65% yield according to the general procedure.$^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.13 (d, 1H, $J = 8.8$ Hz), 7.93 (s, 1H), 7.89 (d, 1H, $J = 11.6$ Hz), 7.74 (d, 2H, $J = 8.4$ Hz), 7.33 (d, 2H, $J = 8.0$ Hz), 4.22 (s, 2H), 2.42 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 192.5, 155.5, 146.0, 139.9, 133.4, 130.5, 129.1, 127.1, 125.1, 117.5, 116.3, 107.5, 56.3, 21.6; IR (neat): 2925, 2231, 1744 (s), 1615, 1494, 1480, 1366, 1169, 1089, 907, 664, 584; MS (ES$^+$) Calculated for [C$_{16}$H$_{12}$N$_2$NaO$_3$S]$^+$: 335.0; Found: 335.0; HRMS (ES$^+$) Calculated for [C$_{16}$H$_{12}$N$_2$NaO$_3$S]$^+$: 335.0466; Found: 335.0469.

**1-tosyl-1H-indole-5-carbonitrile (2i)**
Compound 2i was isolated in 34% yield according to the general procedure. $^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 8.07 (d, 1H, $J$ = 8.5 Hz), 7.86 (d, 1H, $J$ = 1.0 Hz), 7.77 (d, 2H, $J$ = 8.5 Hz), 7.69 (d, 1H, $J$ = 4.0 Hz), 7.55 (dd, 1H, $J$ = 1.5 Hz, $J$ = 8.5 Hz), 7.26 (d, 2H, $J$ = 8.5 Hz), 6.71 (dd, 1H, $J$ = 0.5 Hz, $J$ = 3.5 Hz), 2.36 (s, 3 H); $^{13}$C NMR (125 MHz, CDCl$_3$) $\delta$ 145.7, 136.4, 134.7, 130.6, 130.1, 128.4, 127.4, 126.8, 126.3, 119.2, 114.2, 108.4, 106.8, 21.5; IR (neat): 3147, 3114, 2920, 2853, 2227, 1596, 1496, 1455, 1376, 1322, 1222, 1189, 1171, 1138, 1092, 813, 670, 591; MS (ES$^+$) Calculated for [C$_{16}$H$_{12}$N$_2$NaO$_2$S]$^+$: 319.1; Found: 319.1; HRMS (ES$^+$) Calculated for [C$_{16}$H$_{12}$N$_2$NaO$_2$S]$^+$: 319.0517; Found: 319.0517.

6-methyl-1-tosylindolin-3-one (3j)

Compound 3j was prepared in 93% yield according to the general procedure. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.85 (s, 1H), 7.71 (d, 2H, $J$ = 8.4 Hz), 7.52 (d, 1H, $J$ = 8.0 Hz), 7.27 (d, 2H, $J$ = 8.4 Hz), 6.99 (d, 1H, $J$ = 8.0 Hz), 4.10 (s, 2H), 2.50 (s, 3H), 2.39 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 194.1, 154.0, 149.3, 145.1, 133.6, 130.1, 127.1, 125.5, 124.0, 122.8, 116.0, 56.3, 22.7, 21.5; IR (neat): 2924, 1716(s), 1607, 1431, 1362, 1170, 1120, 1091, 974, 813, 663, 580; MS (ES$^+$) Calculated for [C$_{16}$H$_{15}$NNaO$_3$S]$^+$: 324.1; Found: 324.1; HRMS (ES$^+$) Calculated for [C$_{16}$H$_{15}$NNaO$_3$S]$^+$: 324.0670; Found: 324.0676.

6-chloro-1-tosylindolin-3-one (3k)
Compound 3k was prepared in 90% yield according to the general procedure. $^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 8.06 (s, 1H), 7.73 (d, 2H, $J$ = 8.8 Hz), 7.56 (d, 1H, $J$ = 8.0 Hz), 7.31 (d, 2H, $J$ = 8.8 Hz), 7.14 (d, 1H, $J$ = 8.0 Hz), 4.14 (s, 2H), 2.41 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 193.2, 154.1, 145.5, 144.0, 133.4, 130.3, 127.1, 125.3, 124.8, 123.4, 116.0, 56.3, 21.6; IR (neat): 3439 (bs), 2923, 1716 (s), 1601, 1578, 1433, 1362, 1164, 974, 663; MS (ES$^+$) Calculated for [C$_{15}$H$_{12}$ClNNaO$_3$S]$^+$: 344.0; Found: 344.0; HRMS (ES$^+$) Calculated for [C$_{15}$H$_{12}$ClNNaO$_3$S]$^+$: 344.0124; Found: 344.0125.

5-fluoro-7-methyl-1-tosylindolin-3-one (3l)

Compound 3l was prepared in 79% yield according to the general procedure. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.31 – 7.26 (m, 3H), 7.17 (d, 2H, $J$ = 8.0 Hz), 7.02 (dd, 1H, $J$ = 2.4 Hz, $J$ = 6.0 Hz), 4.18 (s, 2H), 2.70 (s, 3H), 2.37 (s, 3H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 196.6 (d, $J$ = 2.9 Hz), 161.3 (d, $J$ = 248.9 Hz), 149.9 (d, $J$ = 18.0 Hz), 145.2, 136.3 (d, $J$ = 7.9 Hz), 131.3 (d, $J$ = 8.4 Hz), 130.8, 129.8, 128.1, 126.0 (d, $J$ = 23.8 Hz), 107.3 (d, $J$ = 23.3 Hz), 59.6, 21.6, 20.0; IR (neat): 2930, 1737 (s), 1724, 1597, 1482, 1364, 1306, 1172, 1087, 913, 748, 670; MS (ES$^+$) Calculated for [C$_{16}$H$_{14}$FNNaO$_3$S]$^+$: 342.1; Found: 342.1; HRMS (ES$^+$) Calculated for [C$_{16}$H$_{14}$FNNaO$_3$S]$^+$: 342.0576; Found: 342.0578.

5,7-dimethyl-1-tosylindolin-3-one (3m)
Compound 3m was prepared in 74% yield according to the general procedure. \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\) 7.31 (m, 1H), 7.20 (t, 2H, \(J = 8.4 \text{ Hz}\)), 7.08 (t, 3H, \(J = 8.0 \text{ Hz}\)), 4.07 (s, 2H), 2.57 (s, 3H), 2.28 (s, 6H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\) 197.4, 151.6, 144.8, 140.5, 136.9, 132.9, 131.3, 129.7, 129.6, 127.9, 121.4, 59.1, 21.5, 20.7, 19.8; IR (neat): 2927, 1726 (s), 1596, 1482, 1362, 1306, 1170, 1089, 913, 736, 670, 593; MS (ES\(^+\)) Calculated for \([\text{C}_{17}\text{H}_{17}\text{NNaO}_3\text{S}]^+\): 338.1; Found: 338.1; HRMS (ES\(^+\)) Calculated for \([\text{C}_{17}\text{H}_{17}\text{NNaO}_3\text{S}]^+\): 338.0827; Found: 338.0827.

5,7-dichloro-1-tosylindolin-3-one (3n)

Compound 3n was prepared in 88% yield according to the general procedure. \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\) 7.46 (d, 1H, \(J = 2.4 \text{ Hz}\)), 7.62 (d, 2H, \(J = 8.4 \text{ Hz}\)), 7.52 (d, 1H, \(J = 2.0 \text{ Hz}\)), 7.29 (d, 2H, \(J = 8.0 \text{ Hz}\)), 4.45 (s, 2H), 2.43 (s, 3H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\) 194.5, 149.9, 145.1, 137.9, 34.4, 132.3, 131.4, 129.9, 127.6, 127.0, 122.4, 59.3, 21.7; IR (neat): 3063, 1703(s), 1634, 1503, 1323, 1289, 1019, 881; MS (ES\(^+\)) Calculated for \([\text{C}_{15}\text{H}_{11}\text{Cl}_2\text{NNaO}_3\text{S}]^+\): 378.0; Found: 378.0; HRMS (ES\(^+\)) Calculated for \([\text{C}_{15}\text{H}_{11}\text{Cl}_2\text{NNaO}_3\text{S}]^+\): 377.9734; Found: 377.9739.

1-(4-bromophenylsulfonyl)indolin-3-one (3o)
Compound 3o was prepared in 85% yield according to the general procedure. $^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 8.00 (d, 1H, $J = 8.5$ Hz), 7.71 – 7.66 (m, 4H), 7.63 (d, 2H, $J = 8.5$ Hz), 7.21 (t, 1H, $J = 7.5$ Hz), 4.13 (s, 2 H); $^{13}$C NMR (125 MHz, CDCl$_3$) $\delta$ 194.1, 153.1, 137.3, 135.5, 132.8, 129.4, 128.5, 125.1, 124.6, 124.4, 115.7, 56.0; IR (neat): 3097, 2926, 1721(s), 1604, 1544, 1461, 1373, 1324, 1199, 1173, 1127, 1084, 969, 765, 744, 597; MS (ES$^+$) Calculated for [C$_{14}$H$_{10}$BrNaO$_3$S]$^+$: 373.9; Found: 373.9; HRMS (ES$^+$) Calculated for [C$_{14}$H$_{10}$BrNaO$_3$S]$^+$: 373.9462; Found: 373.9464.

1-(2-nitrophenylsulfonyl)indolin-3-one (3p)

Compound 3p was prepared in 88% yield according to the general procedure. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.10 (d, 1H, $J = 7.2$ Hz), 7.85 – 7.67 (m, 6H), 7.25 (t, 1H, $J = 7.6$ Hz), 4.37 (s, 2 H); $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 193.8, 152.6, 148.2, 137.2, 134.9, 132.1, 131.0, 130.4, 124.7, 124.6, 124.5, 124.4, 115.6, 56.0; IR (neat): 2921, 2853, 1716(s), 1604, 1574, 1461, 1362, 1155, 1114, 1085, 1010, 961, 762, 745; MS (ES$^+$) Calculated for [C$_{14}$H$_{10}$N$_2$NaO$_5$S]$^+$: 341.0; Found: 341.0; HRMS (ES$^+$) Calculated for [C$_{14}$H$_{10}$N$_2$NaO$_5$S]$^+$: 341.0208; Found: 341.0209.

1-(methylsulfonyl)indolin-3-one (3q)
Compound 3q was prepared in 90% yield according to the general procedure. \(^1\)H NMR (500 MHz, CDCl\(_3\)) \(\delta\) 7.80 – 7.75 (m, 2H), 7.71 – 7.66 (m, 1H), 7.28 – 7.22 (m, 2H), 4.25 (s, 2H), 3.03 (s, 3 H); \(^{13}\)C NMR (125 MHz, CDCl\(_3\)) \(\delta\) 194.4, 153.1, 137.5, 124.8, 124.7, 124.1, 114.9, 56.3, 37.0; IR (neat): 2927, 2840, 1699 (s), 1602, 1460, 1348, 1199, 1112, 1077, 981, 764; MS (ES\(^+\)) Calculated for [C\(_9\)H\(_9\)NNaO\(_3\)S]\(^+\): 234.0; Found: 234.0; HRMS (ES\(^+\)) Calculated for [C\(_9\)H\(_9\)NNaO\(_3\)S]\(^+\): 234.0201; Found: 234.0203.

1-tosyl-1\(H\)-indole (2a)

\[\text{2a} \]

This compound is known and the spectroscopic data match those reported.\(^6\) \(^1\)H NMR (500 MHz, CDCl\(_3\)) \(\delta\) 7.98 (d, 1H, \(J = 8.5\) Hz), 7.74 (d, 2H, \(J = 8.5\) Hz), 7.55 (d, 1H, \(J = 3.5\) Hz), 7.50 (d, 1H, \(J = 8.0\) Hz), 7.29 (t, 1H, \(J = 8.0\) Hz), 7.23 – 7.16 (m, 3H), 6.63 (d, 1H, \(J = 3.5\) Hz), 2.29 (s, 3H); \(^{13}\)C NMR (125 MHz, CDCl\(_3\)) \(\delta\) 144.8, 135.3, 134.8, 130.7, 129.8, 126.7, 126.3, 124.5, 123.2, 121.3, 113.5, 108.9, 21.4.

2-hexyl-1-tosyl-1\(H\)-indole (2r)

\[\text{2r} \]

Compound 2r was prepared in 72% yield according to the general procedure. \(^1\)H NMR (500 MHz, CDCl\(_3\)) \(\delta\) 8.22 (d, 1H, \(J = 8.0\) Hz), 7.66 (d, 2H, \(J = 7.5\) Hz), 7.44 (d, 1H, \(J =\)
8.0 Hz), 7.31 – 7.18 (m, 4H), 6.42 (s, 1H), 3.03 (t, 2H, \( J = 7.6 \) Hz), 2.34 (s, 3H), 1.82 – 1.74 (m, 2H), 1.50 – 1.33 (m, 6H), 0.95 (t, 3H, \( J = 7.2 \) Hz); \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \( \delta 144.5, 142.5, 137.2, 136.3, 129.8, 129.7, 126.2, 123.7, 123.4, 120.0, 114.8, 108.5, 31.6, 29.0, 28.9, 22.5, 21.4, 14.0; IR (neat): 2920, 2850, 1657, 1626, 1472, 1390, 1186, 1150, 1091, 913, 744; MS (ES\(^+\)) Calculated for [C\(_{21}\)H\(_{25}\)NNaO\(_2\)S\(^+\)]: 378.2; Found: 378.2; HRMS (ES\(^+\)) Calculated for [C\(_{21}\)H\(_{25}\)NNaO\(_2\)S\(^+\)]: 378.1504; Found: 378.1506.

2-phenyl-1-tosyl-1H-indole (2s)

\[
\text{N} \quad \text{Ts} \\
\text{Ph} \quad 2s
\]

Compound 2s was prepared in 80% yield according to the general procedure except at 80 °C. \(^1\)H NMR (400 MHz, CDCl\(_3\)) \( \delta 8.30 (d, 1H, \( J = 9.2 \) Hz), 7.51 – 7.46 (m, 2H), 7.43 – 7.38 (m, 4H), 7.36 – 7.31 (m, 1H), 7.27 – 7.24 (m, 3H), 7.00 (d, 2H, \( J = 8.0 \) Hz), 6.52 (s, 1H), 2.25 (s, 3H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \( \delta 144.5, 142.1, 138.2, 134.6, 132.4, 130.5, 130.3, 129.1, 128.6, 127.4, 124.7, 124.2, 120.6, 116.6, 113.6, 21.4; IR (neat): 3360, 3066, 2920, 2850, 1597, 1491, 1449, 1372, 1187, 1177, 1090, 762, 695; MS (ES\(^+\)) Calculated for [C\(_{21}\)H\(_{17}\)NNaO\(_2\)S\(^+\)]: 370.1; Found: 370.1; HRMS (ES\(^+\)) Calculated for [C\(_{21}\)H\(_{17}\)NNaO\(_2\)S\(^+\)]: 370.0878; Found: 370.0878.

1-(2-nitrophenylsulfonyl)indolin-3-one

\[
\text{Ns} \quad \text{O} \\
\text{Ph} \quad \text{Ns-Ph}
\]

The above compound was prepared in 45% yield according to the known procedure.\(^7\) \(^1\)H NMR (500 MHz, CDCl\(_3\)) \( \delta 7.97 (d, 1H, \( J = 8.5 \) Hz), 7.92 – 7.89 (m, 2H), 7.82 – 7.79 (m, 2H), 7.74 (d, 1H, \( J = 7.5 \) Hz), 7.70 – 7.66 (m, 2H), 7.56 – 7.53 (m, 2H), 7.45 – 7.41 (m, 3H), 7.32 (t, 1H, \( J = 7.5 \) Hz); \(^{13}\)C NMR (125 MHz, CDCl\(_3\)) \( \delta 182.1, 147.6, 136.1, 135.0, 134.6, 132.4, 130.1, 129.1, 128.6, 127.4, 126.7, 124.7, 124.2, 120.6, 116.6, 113.6, 21.4; IR (neat): 3360, 3066, 2920, 2850, 1597, 1491, 1449, 1372, 1187, 1177, 1090, 762, 695; MS (ES\(^+\)) Calculated for [C\(_{21}\)H\(_{17}\)NNaO\(_2\)S\(^+\)]: 370.1; Found: 370.1; HRMS (ES\(^+\)) Calculated for [C\(_{21}\)H\(_{17}\)NNaO\(_2\)S\(^+\)]: 370.0878; Found: 370.0878.
132.9, 132.5, 131.9, 131.4, 131.3, 130.8, 130.7, 130.1, 128.1, 128.0, 125.9, 125.8, 124.5, 124.4, 118.1.

(Z)-2-benzylideneindolin-3-one (6)

![Chemical structure of (Z)-2-benzylideneindolin-3-one (6)]

Compound 6 was prepared in 90% yield according to the known procedure. This compound is known and the spectroscopic data match those reported.\(^9\) \(^1\)H NMR (500 MHz, CDCl\(_3\)) \(\delta 7.72 (d, 1 \text{ H}, J = 8.0 \text{ Hz})\), 7.54 (d, 2 H, \(J = 8.0 \text{ Hz}\)), 7.47 – 7.41 (m, 3 H), 7.31 (t, 1 H, \(J = 8.0 \text{ Hz}\)), 7.05 (s, 1 H), 7.00 (d, 1 H, \(J = 8.0 \text{ Hz}\)), 6.95 (t, 1H, \(J = 8.0 \text{ Hz}\)), 6.85 (s, 1 H); \(^13\)C NMR (125 MHz, CDCl\(_3\)) \(\delta 186.6, 153.3, 136.1, 135.4, 134.7, 129.5, 129.2, 128.5, 124.9, 121.7, 120.6, 112.0, 111.6.

Reference:
\[ \text{NHTs} \]

\[ \text{Ph} \]

1s
3h
3p
3q
$2r$