Supporting Information

Diethyl phosphite promoted electrochemical oxidation of tetrahydroisoquinolines to 3,4-dihydroisoquinolin-1(2H)-ones

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General Consideration

All commercial reagents and solvents were used as received without additional purification. Dichloromethane was purchased from Tianjin Tiantai Fine Chemical Co., Ltd. Melting point was uncorrected. The instrument for electrolysis is dual display potentiostat (DJS-292) (made in China). The \(^1\)H and \(^{13}\)C NMR data were obtained on a 300 MHz NMR spectrometer with TMS as the internal standard and CDCl\(_3\) as solvent unless otherwise stated. Multiplicities are indicated as the following: s, singlet; d, doublet; t, triplet; q, quartet; m, multiplet; dd, doubled doublet; br, broad. Coupling constants (\(J\) values) where noted are quoted in Hertz. Compounds 1a-k and 1m have been reported in our previous literature.\(^1\)

Experimental Procedures and Compound Characterization

**General procedure for the synthesis of N-benzyl tetrahydroisoquinoline.**

\[
\text{NH}^+ \text{NaH (2 equiv)} \quad \begin{array}{c} \text{DMF, 50 }^\circ\text{C} \\ \end{array} \quad R^\text{NBr} \quad \begin{array}{c} \text{NaH (2 equiv)} \\ \text{DMF, 50 }^\circ\text{C} \end{array} \quad \text{R}^\text{N} \quad \begin{array}{c} \text{Br} \\ \text{DMF, 50 }^\circ\text{C} \\ \end{array} \]

To a stirred solution of 1,2,3,4-tetrahydroisoquinoline (0.625 mL, 5 mmol) in dry DMF (10 mL) was added NaH (60% w/w in oil, 400 mg, 10 mmol) followed by benzyl bromide (5.5 mmol). The resulting solution was stirred at 50 \(^\circ\)C. After complete consumption of the starting material 1,2,3,4-tetrahydroisoquinoline, as indicated by TLC, water (60 mL) was added. The resulting mixture was extracted with ethyl acetate (3 \(\times\) 60 mL). The combined organic layer was washed with water (3 \(\times\) 20 mL) followed by drying Na\(_2\)SO\(_4\) and concentrated in vacuo. Purification by flash column chromatography (petroleum ether : ethyl acetate = 60:1) afforded the desired products 1n-r.

**2-Benzyl-1,2,3,4-tetrahydroisoquinoline (1n)**

\[
\text{Colorless oil, 95 }\%\text{ yield.}
\]
$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ (ppm) 7.47–7.39 (m, 2H), 7.39–7.29 (m, 2H), 7.27 (d, $J = 7.5$ Hz, 1H), 7.17–7.05 (m, 3H), 7.04–6.92 (m, 1H), 3.71 (s, 2H), 3.66 (s, 2H), 2.92 (t, $J = 5.7$ Hz, 2H), 2.77 (t, $J = 6.0$ Hz, 2H).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 138.4, 134.9, 134.4, 129.1, 128.7, 128.3, 127.1, 126.6, 126.0, 125.5, 62.8, 56.1, 50.6, 29.1.

2-(3-Methoxybenzyl)-1,2,3,4-tetrahydroisoquinoline (1o)

Colorless oil, 88 % yield.

$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ (ppm) 7.24 (t, $J = 8.1$ Hz, 1H), 7.17–7.04 (m, 3H), 7.02–6.92 (m, 3H), 6.82 (dd, $J = 8.1$, 2.4 Hz, 1H), 3.81 (s, 3H), 3.65 (d, $J = 6.6$ Hz, 4H), 2.90 (t, $J = 6.0$ Hz, 2H), 2.74 (t, $J = 6.0$ Hz, 2H).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 159.7, 140.1, 134.9, 134.4, 129.2, 128.7, 126.6, 126.0, 125.5, 121.3, 114.3, 112.7, 62.7, 56.1, 55.2, 50.6, 29.2.

2-(2-Methylbenzyl)-1,2,3,4-tetrahydroisoquinoline (1p)

Colorless oil, 88 % yield.

$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ (ppm) 7.41–7.29 (m, 1H), 7.23–7.13 (m, 3H), 7.14–7.05 (m, 3H), 7.04–6.92 (m, 1H), 3.64 (d, $J = 1.8$ Hz, 4H), 2.88 (t, $J = 5.7$ Hz, 2H), 2.74 (t, $J = 6.0$ Hz, 2H), 2.39 (s, 3H).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 137.5, 136.5, 135.1, 134.6, 130.3, 129.7, 128.6, 127.0, 126.5, 126.0, 125.5, 60.6, 56.2, 50.7, 29.3, 19.3.

2-(2-Chlorobenzyl)-1,2,3,4-tetrahydroisoquinoline (1q)

White solid, 96 % yield, mp: 34–35 °C, no lit. mp.
**General procedure for the synthesis of 2-butyl-1,2,3,4-tetrahydroisoquinoline.**

![Chemical structure](attachment:structure.png)

To a stirred solution of 1,2,3,4-tetrahydroisoquinoline (0.625 mL, 5 mmol) in dry DMF (10 mL) was added NaH (60 % w/w in oil, 400 mg, 10 mmol) followed by 1-bromobutane (5.5 mmol). The resulting solution was stirred at 50 °C. After complete consumption of the starting material 1,2,3,4-tetrahydroisoquinoline, as indicated by TLC, water (60 mL) was added. The resulting mixture was extracted with ethyl acetate (3 × 60 mL). The combined organic layer was washed with water (3 × 20 mL) followed by drying Na₂SO₄ and concentrated in vacuo. Purification by flash column chromatography (petroleum ether : ethyl acetate = 60:1) afforded the desired products 1s.

**2-Butyl-1,2,3,4-tetrahydroisoquinoline (1s)**

![Chemical structure](attachment:structure.png)

**1H NMR** (300 MHz, CDCl₃): $\delta$ (ppm) 7.58 (s, 1H), 7.37 (dd, $J = 7.5$, 1.8 Hz, 1H), 7.25–7.16 (m, 2H), 7.15–7.06 (m, 3H), 7.05–6.93 (m, 1H), 3.83 (s, 2H), 3.73 (s, 2H), 2.93 (d, $J = 5.4$ Hz, 2H), 2.84 (d, $J = 5.1$ Hz, 2H).

**13C NMR** (75 MHz, CDCl₃): $\delta$ (ppm) 144.4, 134.4, 134.1, 132.1, 129.4, 128.7, 126.3, 125.7, 118.9, 110.9, 62.1, 56.1, 50.8, 29.1.
Colorless oil, 60 % yield.

$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ (ppm) 7.14–7.06 (m, 3H), 7.06 – 6.98 (m, 1H), 3.63 (s, 2H), 2.91 (t, $J = 5.7$ Hz, 2H), 2.73 (t, $J = 6.0$ Hz, 2H), 2.54–2.45 (m, 2H), 1.59 (dd, $J = 14.7$, 8.4, 6.3 Hz, 2H), 1.45–1.32 (m, 2H), 0.95 (t, $J = 7.2$ Hz, 3H).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 135.0, 134.4, 128.6, 126.6, 126.0, 125.5, 58.3, 56.3, 51.0, 29.4, 29.1, 20.8, 14.1.

General procedure for the synthesis of 2-Allyl-1,2,3,4-tetrahydroisoquinoline.

The solution of allyl bromide (726 mg, 6 mmol) in diethyl ether (3 mL) was added slowly to a stirred solution of 1,2,3,4-tetrahydroisoquinoline (400 mg, 3 mmol) in diethyl ether (2 mL) at 5 °C. After addition was complete, the reaction mixture was allowed to stir at room temperature for overnight. The resulting mixture was diluted with diethyl ether (20 mL), and the white precipitate was removed by filtration. The organic layer was dried over Na$_2$SO$_4$ and concentrated in vacuo. Purification by flash column chromatography (petroleum ether : ethyl acetate = 20:1) afforded the desired products 1t.

2-Allyl-1,2,3,4-tetrahydroisoquinoline (1t)$^5$

Colorless oil, 35 % yield.

$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ (ppm) 7.15 – 7.05 (m, 3H), 7.02 (d, $J = 3.6$ Hz, 1H), 5.96 (ddt, $J = 16.8$, 10.2, 6.6 Hz, 1H), 5.34 – 5.15 (m, 2H), 3.63 (s, 2H), 3.18 (dt, $J = 6.6$, 1.2 Hz, 2H), 2.92 (t, $J = 6.0$ Hz, 2H), 2.75 (t, $J = 6.0$ Hz, 2H).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 135.3, 134.8, 134.2, 128.6, 126.5, 126.0, 125.5, 117.7, 61.4, 55.9, 50.5, 29.0.

General procedure for the synthesis of ethyl 2-(3,4-dihydroisoquinolin-2(1H)-yl)acetate.

To a stirred solution of 1,2,3,4-tetrahydroisoquinoline (6 mmol) in THF (20 mL) was added ethyl bromoacetate (6.6 mmol) and K$_2$CO$_3$ (12 mmol). The resulting
mixture was stirred vigorously for 24 h, and extracted with ethyl acetate (3 × 15 mL). The combined organic layer was washed with brine (20 mL) followed by drying Na₂SO₄ and concentrated in vacuo. Purification by flash column chromatography (petroleum ether : ethyl acetate = 15:1) afforded the desired products 1u.

**Ethyl 2-(3,4-dihydroisoquinolin-2(1H)-yl)acetate (1u)**

Colorless oil, 65 % yield.

**¹H NMR (300 MHz, CDCl₃):** δ (ppm) 7.20–7.03 (m, 3H), 7.03–6.90 (m, 1H), 4.22 (q, J = 7.2 Hz, 2H), 3.81 (s, 2H), 3.42 (s, 2H), 3.08–2.67 (m, 4H), 1.30 (t, J = 6.9 Hz, 3H).

**¹³C NMR (75 MHz, CDCl₃):** δ (ppm) 170.4, 134.2, 133.8, 128.6, 126.4, 126.1, 125.6, 60.6, 59.0, 55.3, 50.6, 28.8, 14.2.

**General procedure for the synthesis of 2-(3,4-dihydroisoquinolin-2(1H)-yl)ethan-1-ol.**

![General procedure for the synthesis of 2-(3,4-dihydroisoquinolin-2(1H)-yl)ethan-1-ol.](image)

The solution of compound 1u (657 mg, 3 mmol) in diethyl ether (3 mL) was added dropwise to a stirred suspension of 75 % LiAlH₄ (228 mg, 6 mmol) in diethyl ether (2 mL), and the mixture was refluxed for 3 h. After decomposition with 4 % NaOH (5 mL), the resulting mixture was filtered and washed with diethyl ether. The combined organic layer dried over Na₂SO₄ and concentrated in vacuo. Purification by flash column chromatography (petroleum ether/ethyl acetate = 10:1) afforded the desired products 1v.

**2-(3,4-Dihydroisoquinolin-2(1H)-yl)ethan-1-ol (1v)**

Colorless oil, 68 % yield.

**¹H NMR (300 MHz, CDCl₃):** δ (ppm) 7.17–7.08 (m, 3H), 7.06–6.99 (m, 1H), 3.71 (t, J = 5.4 Hz, 4H), 2.92 (t, J = 6.0 Hz, 2H), 2.81 (dd, J = 8.7, 3.0 Hz, 2H), 2.76–2.68 (m, 2H).

**¹³C NMR (75 MHz, CDCl₃):** δ (ppm) 134.4, 134.1, 128.6, 126.5, 126.2, 125.6, 59.1, 58.0, 55.7, 50.6, 29.0.

**General procedure for the Diethyl phosphite promoted electrochemical oxidation**
of tetrahydroisoquinolines to 3,4-dihydroisoquinolin-1(2\textit{H})-ones.

\[
\begin{array}{c}
\text{1} \quad \text{1} \\
\text{N} \quad \text{N} \\
\text{R}^1 \quad \text{R}^1 \\
\end{array}
\xleftarrow{\text{C} \quad \text{Pt} \quad \text{Et}_4\text{NOS } (0.1 \text{ M}) \quad \text{HPO(OEt)}_2 (1.2 \text{ equiv.})}
\]

\[
\begin{array}{c}
\text{4} \quad \text{4} \\
\text{N} \quad \text{N} \\
\text{R}^1 \quad \text{R}^1 \\
\end{array}
\]

A 10 mL distillation flask equipped with a magnetic stir bar was charged with diethyl phosphite (0.3 mmol), wet CH$_2$Cl$_2$ (5.0 mL), compound 1 (0.25 mmol) and Et$_4$NOS (0.5 mmol). The resulting suspension was stirred until complete dissolution was achieved. The flask equipped with graphite rod anode ($d = 5$ mm) and Pt plate cathode (0.5 cm$\times$0.5 cm). The reaction mixture was stirred and electrolyzed at a constant current of 5 mA under room temperature until the complete consumption of the starting material as judged by TLC. When the reaction was finished, the reaction mixture was diluted with CH$_2$Cl$_2$. The resulting solution was washed with water and brine, dried over Na$_2$SO$_4$, and concentrated in vacuo. Purification by flash column chromatography (petroleum ether/ethyl acetate = 20:1) afforded the desired product 4.

\textbf{2-Phenyl-3,4-dihydroisoquinolin-1(2\textit{H})-one (4a)$^8$}

\[
\begin{array}{c}
\text{4a} \\
\end{array}
\]

White solid, 86 % yield, mp: 119–120 °C, lit.: 119–123 °C.

$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ (ppm) 8.19–8.14 (m, 1H), 7.51 – 7.34 (m, 6H), 7.29 – 7.21 (m, 2H), 4.04–3.97 (m, 2H), 3.15 (t, $J = 6.6$ Hz, 2H).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 162.8, 143.1, 138.3, 132.0, 129.7, 128.9, 128.7, 127.1, 126.9, 126.2, 125.3, 49.4, 28.6.

\textbf{2-(4-Methoxyphenyl)-3,4-dihydroisoquinolin-1(2\textit{H})-one (4b)$^8$}

\[
\begin{array}{c}
\text{4b} \\
\end{array}
\]

White solid, 76 % yield, mp: 118–119 °C, lit.: 120–121 °C.
$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ (ppm) 8.17 (dd, $J = 7.8, 1.5$ Hz, 1H), 7.48 (td, $J = 7.5$, 1.5 Hz, 1H), 7.39 (t, $J = 6.3$ Hz, 1H), 7.34–7.28 (m, 2H), 7.25(d, $J = 7.8$ Hz, 1H), 7.01–6.89 (m, 2H), 3.88–3.79 (m, 2H), 3.84 (s, 3H), 3.16 (t, $J = 6.3$ Hz, 2H).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 164.1, 157.7, 138.2, 136.1, 131.9, 129.7, 128.6, 127.1, 126.9, 126.6, 114.2, 55.5, 49.6, 28.6.

2-(3-Methoxyphenyl)-3,4-dihydroisoquinolin-1(2H)-one (4c)$^9$

White solid, 59 % yield, mp: 105–106 °C, lit.: 113–115 °C.

$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ (ppm) 8.20 (d, $J = 7.5$ Hz, 1H), 7.43 (ddd, $J = 26.1$, 15.9, 7.8 Hz, 4H), 7.00 (d, $J = 6.9$ Hz, 2H), 6.85 (d, $J = 7.8$ Hz, 1H), 4.03 (t, $J = 6.3$ Hz, 2H), 3.85 (s, 3H), 3.18 (t, $J = 6.0$ Hz, 2H).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 164.1, 159.9, 144.2, 138.2, 132.0, 129.7, 129.5, 128.7, 127.1, 126.9, 117.4, 112.1, 111.3, 55.3, 49.4, 28.6.

2-(2-Methoxyphenyl)-3,4-dihydroisoquinolin-1(2H)-one (4d)$^8$

Colorless oil, 59 % yield.

$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ (ppm) 8.15 (dd, $J = 7.5, 1.5$ Hz, 1H), 7.44 (dd, $J = 7.5, 1.5$ Hz, 1H), 7.36 (d, $J = 1.2$ Hz, 1H), 7.34–7.28 (m, 2H), 7.23 (dd, $J = 7.5, 0.6$ Hz, 1H), 7.04–6.95 (m, 2H), 3.84-3.81 (m, 5H), 3.13 (t, $J = 6.0$ Hz, 2H).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 162.6, 154.1, 138.7, 131.7, 131.4, 139.7, 129.0, 128.6, 126.6, 126.8, 120.8, 112.0, 55.6, 49.0, 28.6.

2-(p-tolyl)-3,4-Dihydroisoquinolin-1(2H)-one (4e)$^8$

White solid, 79 % yield, mp: 102–103 °C, lit.: 112–115 °C.
$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ (ppm) 8.17 (d, $J = 6.0$ Hz, 1H), 7.47 (dt, $J = 7.5$, 3.6 Hz, 1H), 7.38 (t, $J = 6.9$ Hz, 1H), 7.30–7.25 (m, 3H), 7.22 (d, $J = 8.4$ Hz, 2H), 3.98 (m, 2H), 3.15 (t, $J = 6.6$ Hz, 2H), 2.36 (s, 3H).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 164.9, 140.5, 138.3, 136.0, 131.9, 129.7, 129.5, 128.6, 127.1, 126.9, 125.1, 49.5, 28.6, 21.0.

2-(4-Fluorophenyl)-3,4-dihydroisoquinolin-1(2$H$)-one (4f)

White solid, 62 % yield, mp: 123–124 °C, lit.: 119–123 °C.

$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ (ppm) 8.16 (d, $J = 7.8$ Hz, 1H), 7.47 (dd, $J = 7.5$, 1.5 Hz, 1H), 7.37 (dd, $J = 8.1$, 7.2, 5.4 Hz, 3H), 7.24 (s, 1H), 7.15–7.05 (m, 2H), 4.00–3.94 (m, 2H), 3.16 (t, $J = 6.3$ Hz, 2H).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 164.2, 160.6 (d, $J = 244.0$ Hz), 139.0 (d, $J = 4.5$ Hz), 138.2, 132.1, 129.4, 128.7, 127.2, 127.1, 126.9 (d, $J = 2.2$ Hz), 115.7 (d, $J = 22.6$ Hz), 49.5, 28.5.

2-(3-Fluorophenyl)-3,4-dihydroisoquinolin-1(2$H$)-one (4g)

White solid, 68 % yield, mp: 60–62 °C, lit.: 55.5–60.8 °C.

$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ (ppm) 8.16 (dd, $J = 7.8$, 1.2 Hz, 1H), 7.48 (td, $J = 7.5$, 1.5 Hz, 1H), 7.38 (dd, $J = 14.7$, 5.1, 3.6 Hz, 3H), 7.24–7.08 (m, 2H), 7.03–6.78 (m, 1H), 4.05–3.94 (m, 2H), 3.16 (t, $J = 6.3$ Hz, 2H).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 164.3, 162.7 (d, $J = 232.5$ Hz), 144.4 (d, $J = 11.2$ Hz), 138.2, 132.2,129.8 (d, $J = 9.1$ Hz), 129.3, 128.8, 127.2, 127.0, 120.6 (d, $J = 3.2$ Hz), 113.0 (d, $J = 18.9$ Hz), 112.7 (d, $J = 21.6$ Hz), 49.2, 28.5.

2-(2-Fluorophenyl)-3,4-dihydroisoquinolin-1(2$H$)-one (4h)

S9
White solid, 55 % yield, mp: 59–60 °C, lit.: 70–72 °C.

1H NMR (300 MHz, CDCl₃): δ (ppm) 8.16 (dd, \(J = 7.8, 1.2\) Hz, 1H), 7.47 (dd, \(J = 7.5, 1.5\) Hz, 1H), 7.43–7.35 (m, 2H), 7.33–7.26 (m, 2H), 7.25–7.14 (m, 2H), 4.01–3.87 (m, 2H), 3.17 (t, \(J = 6.3\) Hz, 2H).

13C NMR (75 MHz, CDCl₃): δ (ppm) 164.0, 157.6 (d, \(J = 248.0\) Hz), 138.5, 132.1, 130.4 (d, \(J = 14.0\) Hz), 129.2, 128.79, 128.78, 128.74, 128.67 (d, \(J = 7.9\) Hz), 127.1 (d, \(J = 8.7\) Hz), 124.4 (d, \(J = 3.6\) Hz), 116.6 (d, \(J = 20.1\) Hz), 49.3 (d, \(J = 2.2\) Hz), 28.6.

2-(4-Chlorophenyl)-3,4-dihydroisoquinolin-1(2H)-one (4i)

White solid, 70 % yield, mp: 149–150 °C, lit.: 150–151.5 °C.

1H NMR (300 MHz, CDCl₃): δ (ppm) 8.18 (dd, \(J = 7.5, 1.2\) Hz, 1H), 7.51 (td, \(J = 7.5, 1.5\) Hz, 1H), 7.47–7.33 (m, 5H), 7.28 (dd, \(J = 7.2, 0.3\) Hz, 1H), 4.05–3.95 (m, 2H), 3.19 (t, \(J = 6.3\) Hz, 2H).

13C NMR (75 MHz, CDCl₃): δ (ppm) 164.0, 141.5, 138.2, 132.2, 131.5, 129.3, 128.9, 128.7, 127.2, 126.9, 126.5, 49.2, 28.5.

2-(4-(Trifluoromethyl)phenyl)-3,4-dihydroisoquinolin-1(2H)-one (4j)

White solid, 65 % yield, mp: 169–170 °C, lit.: 169–171 °C.

1H NMR (300 MHz, CDCl₃): δ (ppm) 8.14 (dd, \(J = 7.8, 1.5\) Hz, 1H), 7.65 (d, \(J = 8.4\) Hz, 2H), 7.52 (d, \(J = 8.1\) Hz, 2H), 7.47 (dd, \(J = 7.5, 1.5\) Hz, 1H), 7.38 (t, \(J = 6.3\) Hz, 1H), 7.26 (d, \(J = 5.4\) Hz, 1H), 4.15–3.86 (m, 2H), 3.15 (t, \(J = 6.3\) Hz, 2H).
$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 164.1, 146.0, 138.2, 132.4, 129.2, 128.8, 127.8, 127.3, 127.0, 125.9 (q, $J$ = 3.8 Hz), 125.0, 123.9 (q, $J$ = 253.0 Hz), 49.0, 28.4.

2-(4-Acetylphenyl)-3,4-dihydroisoquinolin-1(2$H$)-one (4k)$^{10}$

White solid, 40 % yield, mp: 163–164 °C, lit.: 162.8–164.4 °C.

$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ (ppm) 8.19 (dd, $J$ = 6.3, 5.4 Hz, 1H), 8.06–8.01 (m, 2H), 7.57–7.49 (m, 3H), 7.42 (t, $J$ = 7.5 Hz, 1H), 7.28 (d, $J$ = 7.5 Hz, 1H), 4.07 (t, $J$ = 6.9 Hz, 2H), 3.19 (t, $J$ = 6.6 Hz, 2H), 2.63 (s, 3H).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 196.8, 164.1, 147.2, 138.2, 134.2, 132.4, 129.3, 129.0, 128.8, 127.4, 127.3, 124.5, 48.9, 28.4, 26.6.

2-(Naphthalen-1-yl)-3,4-dihydroisoquinolin-1(2$H$)-one (4m)$^8$

White solid, 72 % yield, mp: 164–165 °C, lit.: 164–165 °C.

$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ (ppm) 8.25–8.13 (m, 1H), 7.98–7.79 (m, 3H), 7.56–7.49 (m, 3H), 7.48 (d, $J$ = 1.2 Hz, 1H), 7.45 (d, $J$ = 1.2 Hz, 1H), 7.41 (d, $J$ = 6.3 Hz, 1H), 7.32 (d, $J$ = 7.5 Hz, 1H), 4.14–4.04 (m, 1H), 4.00–3.85 (m, 1H), 3.38 (dd, $J$ = 10.2, 5.1 Hz, 1H), 3.17 (dt, $J$ = 16.2, 5.4 Hz, 1H).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 164.7, 140.1, 138.5, 134.6, 132.1, 129.7, 129.6, 128.8, 128.5, 128.1, 127.2, 127.1, 126.8, 126.2, 125.8, 124.5, 122.8, 50.1, 28.8.

2-Benzy-3,4-dihydroisoquinolin-1(2$H$)-one (4n)$^2$

Colorless oil, 58 % yield.
$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ (ppm) 8.15 (dd, $J = 7.8$, 1.5 Hz, 1H), 7.42 (d, $J = 1.5$ Hz, 1H), 7.41–7.32 (m, 5H), 7.31–7.26 (m, 1H), 7.19–7.13 (m, 1H), 4.80 (s, 2H), 3.49 (t, $J = 6.9$ Hz, 2H), 2.94 (t, $J = 6.6$ Hz, 2H).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 164.5, 138.0, 137.4, 131.6, 129.3, 128.6, 128.4, 128.0, 127.4, 127.0, 126.8, 50.4, 45.3, 28.0.

2-(3-Methoxybenzyl)-3,4-dihydroisoquinolin-1(2H)-one (4o)

$$
\text{White solid, 43 % yield, mp: 82–83 \degree C.}
$$

$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ (ppm) 8.15 (dd, $J = 7.8$, 1.5 Hz, 1H), 7.43–7.36 (m, 2H), 7.20 (dd, $J = 20.7$, 7.2 Hz, 2H), 6.90 (t, $J = 7.8$ Hz, 2H), 6.82 (dd, $J = 8.1$, 2.4 Hz, 1H), 4.78 (s, 2H), 3.79 (s, 3H), 3.55–3.43 (m, 2H), 2.94 (t, $J = 6.6$ Hz, 2H).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 164.6, 159.8, 139.0, 138.0, 131.7, 129.6, 129.3, 128.4, 127.0, 126.9, 120.3, 113.5, 112.9, 55.2, 50.3, 45.2, 28.1.

2-(2-Methylbenzyl)-3,4-dihydroisoquinolin-1(2H)-one (4p)

$$
\text{White solid, 54 % yield, mp: 88–89 \degree C.}
$$

$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ (ppm) 8.16 (dd, $J = 7.5$, 1.5 Hz, 1H), 7.46–7.32 (m, 2H), 7.23–7.13 (m, 5H), 4.82 (s, 2H), 3.44 (t, $J = 6.9$ Hz, 2H), 2.93 (t, $J = 6.6$ Hz, 2H), 2.34 (s, 3H).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 162.1, 144.0, 138.0, 136.8, 134.8, 131.7, 130.5, 129.3, 128.4, 127.5, 127.0, 126.9, 126.0, 48.1, 44.8, 28.0, 19.2.

2-(2-Chlorobenzyl)-3,4-dihydroisoquinolin-1(2H)-one (4q)
White solid, 52 % yield, mp: 73–74 °C.

$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ (ppm) 8.15 (dd, $J = 7.5$, 1.2 Hz, 1H), 7.47–7.43 (m, 1H), 7.42–7.33 (m, 3H), 7.25–7.16 (m, 3H), 4.93 (s, 2H), 3.61–3.50 (m, 2H), 2.99 (t, $J = 6.6$ Hz, 2H).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 164.3, 138.1, 134.7, 133.5, 131.8, 129.5, 129.4, 129.2, 128.6, 128.4, 127.1, 127.0, 126.9, 48.0, 45.9, 28.1.

**4-((1-Oxo-3,4-dihydroisoquinolin-2(1$H$)-yl)methyl)benzonitrile (4r)**

\[
\text{\includegraphics[width=0.2\textwidth]{4r.png}}
\]

White solid, 73 % yield, mp: 84–85 °C.

$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ (ppm) 8.14 (dd, $J = 7.8$, 1.5 Hz, 1H), 7.66–7.60 (m, 2H), 7.49–7.42 (m, 3H), 7.39 (dd, $J = 7.5$, 1.2 Hz, 1H), 7.19 (d, $J = 7.5$ Hz, 1H), 4.84 (s, 2H), 3.52 (t, $J = 6.9$ Hz, 2H), 2.99 (t, $J = 6.6$ Hz, 2H).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 163.2, 143.0, 137.9, 132.4, 132.0, 128.8, 128.5, 128.4, 127.2, 127.0, 118.7, 111.3, 50.5, 45.9, 28.0.

**2-Butyl-3,4-dihydroisoquinolin-1(2$H$)-one (4s)**

\[
\text{\includegraphics[width=0.2\textwidth]{4s.png}}
\]

Colorless oil, 72 % yield.

$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ (ppm) 8.08 (dd, $J = 7.5$, 1.5 Hz, 1H), 7.51–7.27 (m, 2H), 7.17 (d, $J = 7.5$ Hz, 1H), 3.70–3.44 (m, 4H), 2.98 (t, $J = 6.6$ Hz, 2H), 1.82–1.51 (m, 2H), 1.43–1.35 (m, 2H), 0.96 (t, $J = 7.2$ Hz, 3H).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ (ppm) 164.1, 137.9, 131.3, 129.7, 128.2, 126.9, 126.6, 47.1, 46.0, 29.8, 28.2, 20.1, 13.8.

**2-Allyl-3,4-dihydroisoquinolin-1(2$H$)-one (4t)**

\[
\text{\includegraphics[width=0.2\textwidth]{4t.png}}
\]


Colorless oil, 32 % yield.

$^1$H NMR (300 MHz, CDCl₃): $\delta$ (ppm) 8.13 – 8.05 (m, 1H), 7.46 – 7.28 (m, 2H), 7.21 – 7.14 (m, 1H), 5.86 (ddt, $J = 17.1, 10.2, 6.0$ Hz, 1H), 5.30 – 5.17 (m, 2H), 4.21 (dt, $J = 6.0, 1.5$ Hz, 2H), 3.52 (dd, $J = 6.9, 6.0$ Hz, 2H), 2.98 (t, $J = 6.0$ Hz, 2H).

$^{13}$C NMR (75 MHz, CDCl₃): $\delta$ (ppm) 164.2, 138.0, 133.2, 131.5, 129.5, 128.3, 127.0, 126.8, 117.4, 49.6, 45.3, 28.1.

**Ethyl 2-(1-oxo-3,4-dihydroisoquinolin-2(1$H$)-yl)acetate (4u)**

![Structure of ethyl 2-(1-oxo-3,4-dihydroisoquinolin-2(1$H$)-yl)acetate (4u)](structure_image)

Colorless oil, 47 % yield.

$^1$H NMR (300 MHz, CDCl₃): $\delta$ (ppm) 8.09 (dd, $J = 7.8, 1.2$ Hz, 1H), 7.42 (dd, $J = 7.5, 1.5$ Hz, 1H), 7.39–7.29 (m, 1H), 7.24–7.14 (m, 1H), 4.34 (s, 2H), 4.22 (q, $J = 7.2$ Hz, 2H), 3.67 (dd, $J = 6.9, 6.0$ Hz, 2H), 3.07 (t, $J = 6.6$ Hz, 2H), 1.32–1.25 (m, 3H).

$^{13}$C NMR (75 MHz, CDCl₃): $\delta$ (ppm) 169.2, 164.9, 138.4, 131.9, 128.8, 128.4, 127.0, 126.9, 61.2, 49.1, 47.3, 28.0, 14.1.

**2-(2-Hydroxyethyl)-3,4-dihydroisoquinolin-1(2$H$)-one (2v)**

![Structure of 2-(2-Hydroxyethyl)-3,4-dihydroisoquinolin-1(2$H$)-one (2v)](structure_image)

Colorless oil, 38 % yield.

$^1$H NMR (300 MHz, CDCl₃): $\delta$ (ppm) 8.06 (dd, $J = 7.5, 1.5$ Hz, 1H), 7.43 (td, $J = 7.5, 1.5$ Hz, 1H), 7.34 (td, $J = 7.5, 1.2$ Hz, 1H), 7.19 (dd, $J = 7.5, 0.6$ Hz, 1H), 3.91 – 3.84 (m, 2H), 3.83 – 3.76 (m, 2H), 3.76 – 3.68 (m, 2H), 3.03 (t, $J = 6.6$ Hz, 2H).

$^{13}$C NMR (75 MHz, CDCl₃): $\delta$ (ppm) 164.7, 138.2, 131.8, 129.1, 128.1, 127.0, 126.9, 50.2, 48.3, 42.4, 28.2.

**Reference**
