Light-Induced Metal-Free Transformations of Unactivated Pyridotriazoles

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1. General Information

NMR spectra were recorded on Bruker Avance DRX-500 (500 MHz) or DPX-400 (400 MHz) instrument. \(^1\)H signals are referenced to residual CHCl\(_3\) at 7.26 ppm. \(^{13}\)C signals are referenced to CDCl\(_3\) at 77.16 ppm. GC/MS analysis was performed on a Hewlett Packard Model 6890 GC interfaced to a Hewlett Packard Model 5973 mass selective detector (15 m x 0.25 mm capillary column, HP-5MS). Column chromatography was carried out employing Silicycle Silica-P flash silica gel (40-63 \(\mu\)m). Precoated silica gel plates F-254 were used for thin-layer analytical chromatography. LRMS and HRMS analyses were performed on Micromass 70 VSE mass spectrometer. Anhydrous solvents purchased from Aldrich were additionally purified on PureSolv PS-400-4 by Innovative Technology, Inc. purification system and/or stored over calcium hydride. All starting materials were purchased from Strem Chemicals, Aldrich, Gelest Inc., TCI America, Oakwood Chemical, AK Sci. or Alfa Aesar, or synthesized via known literature procedures. The 34 W Blue LED lamp (Kessil KSH150B LED Grow Light, 450nm), 23W Philips Household CFL, and Vornado 133 Small Air Circulator fan were purchased from amazon.com. 40 W Kessil LED PR160-390nm was purchased from kessil.com. All manipulations with transition metal catalysts were conducted in oven-dried glassware under inert atmosphere using a combination of glovebox and standard Schlenk techniques.
2. UV-vis absorption spectra of pyridotriazoles

Absorption spectra of various pyridotriazoles are employed in this study.

Concentration: 0.1 M in PhMe

UV-vis absorption spectra of pyridotriazole 1e
UV-vis absorption spectra of pyridotriazole 1f

UV-vis absorption spectra of pyridotriazole 1g
UV-vis absorption spectra of pyridotriazole 1h

![UV-vis absorption spectra of pyridotriazole 1h](image)

UV-vis absorption spectra of pyridotriazole 1i

![UV-vis absorption spectra of pyridotriazole 1i](image)
UV-vis absorption spectra of pyridotriazole \textbf{1j}

![UV-vis absorption spectra of pyridotriazole 1j](image)

UV-vis absorption spectra of pyridotriazole \textbf{1k}

![UV-vis absorption spectra of pyridotriazole 1k](image)
UV-vis absorption spectra of pyridotriazole 11
3. Preparation of Pyridotriazoles

**General Procedure:** Pyridotriazoles\(^1\,^2\) (1a-1l) were prepared from 2-pyridylketone.

![Reaction Scheme]

To a solution of 2-pyridylketone in ethanol (1 mL/mmol), hydrazine monohydrate (3 equiv) was added. The reaction mixture was refluxed overnight, quenched with water, and extracted with EtOAc twice. The extract was washed with water and brine and dried over sodium sulfate. Removal of solvent afforded the crude hydrazone, which was dissolved in dichloromethane (1 mL/mmol), and PhI(OAc)\(_2\) (1 equiv) was added to this solution in small portions. A rapid reaction occurred and the reaction mixture was stirred for 30 min at room temperature. The solvent was removed, and the residue was purified via flash Silica chromatography to afford corresponding pyridotriazoles as crystalline solid.

Pyridotriazoles 1a-1l and 1k were prepared according to general procedure. Spectral data are in accordance with the reported data.\(^3\)

5-Chloro-3-phenyl-[1,2,3]triazolo[1,5-a]pyridine 1j

![Structural formula]

1j was prepared according to the general procedure. Yellow solid. R\(_f\) (hexanes/EtOAc = 2/1): 0.3.

\(^1\)H NMR (500 MHz, CDCl\(_3\)) \(\delta\) ppm 8.67 (dd, \(J = 7.4, 0.9\) Hz, 1H), 7.97 (d, \(J = 0.8\) Hz, 1H), 7.91 (d, \(J = 7.4\) Hz, 2H), 7.52 (t, \(J = 7.6\) Hz, 2H), 7.40 (dd, \(J = 10.8, 4.0\) Hz, 1H), 6.96 (dd, \(J = 7.4, 1.0\) Hz, 1H). \(^1^3\)C NMR (126 MHz, CDCl\(_3\)) \(\delta\) ppm 138.2, 132.5, 131.2, 130.9, 129.5, 128.6, 127.0, 126.5, 117.5, 117.3. HRMS (EI+) calcd. for C\(_{12}\)H\(_7\)N\(_3\)Cl [M+H]\(^+\): 230.0485, found: 230.0482.
5-Chloro-3-phenyl-[1,2,3]triazolo[1,5-a]pyridine 11

11 was prepared according to the general procedure. Orange solid. Rf (hexanes/EtOAc = 2/1): 0.2. 

$^1$H NMR (500 MHz, CDCl$_3$) δ ppm 9.54 (s, 1H), 8.65 (dd, $J = 4.8$, 1.4 Hz, 1H), 8.08 – 7.98 (m, 3H), 7.57 (t, $J = 6.9$ Hz, 2H), 7.48 (dd, $J = 11.1$, 3.7 Hz, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ ppm 145.8, 140.5, 131.7, 129.8, 129.2, 129.1, 127.0, 118.3. HRMS (EI+) calcd. for C$_{11}$H$_8$N$_4$ [M+H]$^+$: 197.0827, found: 197.0823.
4. Reaction Optimization

An oven dried 3 mL Wheaton V-vial containing a stirring bar was charged with pyridotriazoles 1a (0.05 mmol, 1 equiv), additive (0.15 mmol, 3 equiv), phenylboronic acid 2a (0.075 mmol, 1.5 equiv) and internal standard pentadecane (5 µL) in dry solvents (0.5 mL) under argon atmosphere (outside glovebox). After the reaction vessel was capped with a pressure screw cap in the glovebox. The vial was irradiated with 40 W Kessil LED PR160-390nm for 12-24 h (monitored by GC/MS), with cooling from a fan (vial temperature reached 37 °C). The vial distance from the lamp was about 2-3 cm. The reaction mixture was measured by GC/MS use pentadecane as an internal standard.

Table 1. Optimization studies of Arylation

| Entry | Additive | Solvent | LEDs  | Yields (%) |
|-------|----------|---------|-------|------------|
| 1     | K$_2$CO$_3$ | PhMe   | 390nm | 84         |
| 2     | Cs$_2$CO$_3$ | PhMe   | 390nm | 73         |
| 3     | Na$_2$CO$_3$ | PhMe   | 390nm | 73         |
| 4     | KOH      | PhMe   | 390nm | 8          |
| 5     | NaOH     | PhMe   | 390nm | 65         |
| 6     | NaOAc    | PhMe   | 390nm | 33         |
| 7     | K$_3$PO$_4$ | PhMe   | 390nm | 44         |
| 8     | NaF      | PhMe   | 390nm | 56         |
| 9     | CsF      | PhMe   | 390nm | 9          |
| 10    | Li$_2$CO$_3$ | PhMe   | 390nm | 44         |
| 11    | NaHCO$_3$ | PhMe   | 390nm | 53         |
| 12    | NaOtBu   | PhMe   | 390nm | 0          |
| 13    | NEt$_3$  | PhMe   | 390nm | 40         |
|   |   |   |   |   |
|---|---|---|---|---|
| 14 | KOrBu | PhMe | 390nm | 0 |
| 15 | iPr₂NH | PhMe | 390nm | 90 |
| 16 | - | PhMe | 390nm | 25 |
| 17 | K₂CO₃ | PhH | 390nm | 89 |
| 18 | K₂CO₃ | 1,4-dioxane | 390nm | 68 |
| 19 | K₂CO₃ | THF | 390nm | 0 |
| 20 | K₂CO₃ | MeCN | 390nm | 0 |
| 21 | K₂CO₃ | CHCl₃ | 390nm | 24 |
| 22 | K₂CO₃ | DCE | 390nm | 64 |
| 23 | K₂CO₃ | DMF | 390nm | 0 |
| 24 | K₂CO₃ | PhCF₃ | 390nm | 60 |
| 25 | K₂CO₃ | PhH | 427nm | 0 |
| 26 | K₂CO₃ | PhH | 455nm | 0 |
| 27 | K₂CO₃ | PhH | Dark | 0 |
| 28 | K₂CO₃ | PhH | - | 0[a] |

[a] Reaction temperatures are room temperature, 50°C, 100°C and 120°C.
5. General Procedures for Arylation, X–H insertions, Cyclopropanation of Pyridotriazoles

General procedure A for arylation of pyridotriazoles

An oven dried 3 mL Wheaton V-vial containing a stirring bar was charged with Pyridotriazoles 1 (0.2 mmol, 1 equiv), K₂CO₃ (83 mg, 0.6 mmol, 3 equiv) and aryl or alkenylboronic acid 2 (0.3 mmol, 1.5 equiv) in dry and degassed benzene (2 mL) under argon atmosphere (outside glovebox). After the reaction vessel was capped with a pressure screw cap in the glove box. The vial was irradiated with 40 W Kessil LED PR160-390nm for 12-24 h (monitored by GC/MS), with cooling from a fan (vial temperature reached 37 °C). The vial distance from the lamp was about 2-3 cm. The resulting mixture was passed through a pad of Celite, and concentrated under a reduced pressure. The residue was purified by column chromatography in hexanes/EtOAc to afford the corresponding triarylmethanes.

General procedure B for X–H insertion of pyridotriazoles

An oven dried 3 mL Wheaton V-vial containing a stirring bar was charged with Pyridotriazoles 1 (0.2 mmol, 1 equiv), in dry and degassed benzene (2 mL) under argon atmosphere (outside glovebox) and compounds 4 or 5 or 6 (0.8 mmol, 4 equiv) were added. After the reaction vessel was capped with a pressure screw cap in the glove box. The vial was irradiated with 40 W Kessil LED PR160-390nm for 12-16 h (monitored by GC/MS), with cooling from a fan (vial temperature reached 37 °C). The vial distance from the lamp was about 2-3 cm. The solvent was removed under a reduced pressure. The residue was purified by column chromatography in hexanes/EtOAc to afford the corresponding compounds.
General procedure C for cyclopropanation of pyridotriazoles

An oven dried 3 mL Wheaton V-vial containing a stirring bar was charged with Pyridotriazoles 1 (0.2 mmol, 1 equiv), in dry benzene (2 mL) under argon atmosphere (outside glovebox) and styrene 10 (0.6 mmol, 3 equiv) were added. After the reaction vessel was capped with a pressure screw cap in the glove box. The vial was irradiated with 40 W Kessil LED PR160-390nm for 12-16 h (monitored by GC/MS), with cooling from a fan (vial temperature reached 37 °C). The vial distance from the lamp was about 2-3 cm. The solvent was removed under a reduced pressure. The residue was purified by column chromatography in hexanes/EtOAc to afford the corresponding cyclopropanes 11.

Diphenyl-2-pyridylmethane 3aa

3aa was prepared according to the general procedure A in 89% yield (43.7 mg, 0.178 mmol) from 0.2 mmol of 1a. White solid. Rf (hexanes/EtOAc = 4/1): 0.3. $^1$H NMR (500 MHz, CDCl$_3$): δ ppm 8.61 (d, $J = 4.6$ Hz, 1H), 7.60 – 7.56 (m, 1H), 7.30 – 7.29 (m, 4H), 7.23 – 7.20 (m, 2H), 7.18 – 7.15 (m, 4H), 7.14 (d, 5.4 Hz, 1H), 7.09 – 7.04 (m, 1H), 5.71 (s, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$): δ ppm 163.3, 149.6, 142.8, 136.5, 129.5, 128.5, 126.6, 123.9, 121.5, 59.5. HRMS (EI+) calcd. for C$_{18}$H$_{15}$N [M+H]$^+$: 246.1283, found: 246.1280.
2-((4-((Tert-butyldimethylsilyl)oxy)phenyl)(phenyl)methyl)pyridine 3ab

\[
\begin{align*}
\text{OTBS} \\
\text{Ph} \\
\end{align*}
\]

3ab was prepared according to the general procedure A in 85% yield (64.0 mg, 0.17 mmol) from 0.2 mmol of 1a. Colorless liquid. R\text{f} (hexanes/EtOAc = 3/1): 0.29. \textsuperscript{1}H NMR (500 MHz, CDCl\textsubscript{3}): \delta ppm 8.59 (d, \textit{J} = 4.8 Hz, 1H), 7.59 – 7.55 (m, 1H), 7.29 – 7.24 (m, 2H), 7.22 – 7.20 (m, 1H), 7.14 – 7.10 (m, 3H), 7.06 (d, \textit{J} = 7.8 Hz, 1H), 7.01 – 7.00 (m, 2H), 6.77 – 6.75 (m, 2H), 5.64 (s, 1H), 0.97 (s, 9H), 0.18 (s, 6H). \textsuperscript{13}C NMR (126 MHz, CDCl\textsubscript{3}): \delta ppm 163.7, 154.3, 149.6, 143.2, 136.5, 135.5, 130.4, 129.4, 128.5, 126.5, 123.8, 121.4, 120.0, 58.7, 25.8, 18.3, -4.2. HRMS (EI\textsuperscript{+}) calcd. for C\textsubscript{24}H\textsubscript{29}NOSi [M+H]\textsuperscript{+}: 376.2097, found: 376.2092.

2-((4-((Tert-butyldimethylsilyl)oxy)phenyl)(phenyl)methyl)pyridine 3ac

\[
\begin{align*}
\text{O} \\
\text{Ph} \\
\text{OTBS} \\
\text{Ph} \\
\end{align*}
\]

3ac was prepared according to the general procedure A in 87% yield (76.0 mg, 0.174 mmol) from 0.2 mmol of 1a. Colorless liquid. R\text{f} (hexanes/EtOAc = 3/1): 0.29. \textsuperscript{1}H NMR (500 MHz, CDCl\textsubscript{3}): \delta ppm 8.62 (d, \textit{J} = 4.3 Hz, 1H), 7.62 – 7.58 (m, 1H), 7.46 – 7.43 (m, 2H), 7.33 – 7.30 (m, 2H), 7.25 – 7.23 (m, 3H), 7.21 – 7.19 (m, 2H), 7.17 – 7.13 (m, 5H), 5.74 (s, 1H), 4.78 (s, 2H), 3.42 – 3.37 (m, 2H), 1.24 (d, \textit{J} = 1.6 Hz, 6H), 1.23 (d, \textit{J} = 1.5 Hz, 6H). \textsuperscript{13}C NMR (126 MHz, CDCl\textsubscript{3}): \delta ppm 163.28, 153.1, 149.7, 142.7, 142.6, 142.07, 136.6, 136.0, 129.7, 129.5, 128.6, 127.7, 126.7, 124.8,
124.2, 123.9, 121.6, 76.3, 59.3, 26.6, 24.2. HRMS (EI+) calcd. for C$_{31}$H$_{33}$NO [M+H]$^+$: 436.2640, found: 436.2634.

2-((4-Methoxyphenyl)(phenyl)methyl)pyridine 3ad

![Chemical structure of 3ad](image)

3ad was prepared according to the general procedure A in 45% yield (25.0 mg, 0.0908 mmol) from 0.2 mmol of 1a, colorless liquid. R$_f$ (hexanes/EtOAc = 3/1): 0.29. $^1$H NMR (500 MHz, CDCl$_3$): $\delta$ ppm 8.60 (d, $J$ = 4.8 Hz, 1H), 7.60 – 7.55 (m, 1H), 7.29 – 7.24 (m, 2H), 7.22 – 7.18 (m, 1H), 7.16 – 7.15 (m, 2H), 7.14 – 7.11 (m, 1H), 7.08 – 7.04 (m, 3H), 6.85 – 6.83 (m, 2H), 5.65 (s, 1H), 3.78 (s, 3H). $^{13}$C NMR (126 MHz, CDCl$_3$): $\delta$ ppm 163.6, 158.3, 149.6, 143.2, 136.5, 135.0, 130.4, 129.4, 128.5, 126.5, 123.8, 121.4, 113.9, 58.7, 55.3. HRMS (EI+) calcd. for C$_{19}$H$_{17}$NO [M+H]$^+$: 276.1388, found: 276.1385.

2-((4-Fluorophenyl)(phenyl)methyl)pyridine 3ae

![Chemical structure of 3ae](image)

3ae was prepared according to the general procedure A in 84% yield (44.0 mg, 0.167 mmol) from 0.2 mmol of 1a. Colorless liquid. R$_f$ (hexanes/EtOAc = 5/1): 0.30. $^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 8.64 – 8.58 (m, 1H), 7.61 – 7.58 (m, 1H), 7.31 – 7.27 (m, 2H), 7.24 – 7.20 (m, 1H), 7.15 – 7.11 (m, 5H), 7.08 (d, $J$ = 7.8 Hz, 1H), 6.99 – 6.95 (m, 2H), 5.69 (s, 1H).$^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ ppm 162.9, 162.5, 160.6, 149.6, 142.6, 138.5, 136.5, 130.8, 129.3, 128.5, 126.7, 123.7, 121.5, 115.3, 115.2, 58.5. HRMS (EI+) calcd. for C$_{18}$H$_{14}$NF [M+H]$^+$: 264.1189, found: 264.1190.
2-((4-Chlorophenyl)(phenyl)methyl)pyridine 3af

![Pyridine 3af structure]

3af was prepared according to the general procedure A in 70% yield (39.0 mg, 0.139 mmol) from 0.2 mmol of 1a. Light yellow liquid. Rf (hexanes/EtOAc = 5/1): 0.20. $^1$H NMR (400 MHz, CDCl$_3$) δ ppm 8.61 (d, $J = 3.7$ Hz, 1H), 7.61 – 7.58 (m, 1H), 7.29 – 7.26 (m, 5H), 7.19 – 7.01 (m, 6H), 5.67 (s, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ ppm 162.9, 162.5, 160.5, 149.6, 142.6, 138.5, 136.5, 130.8, 130.8, 129.2, 128.5, 126.7, 123.7, 121.5, 115.3, 115.1, 58.5. HRMS (EI+) calcd. for C$_{18}$H$_{14}$NCl [M+H]$^+$: 280.0893, found: 280.0887.

2-((4-Bromophenyl)(phenyl)methyl)pyridine 3ag

![Pyridine 3ag structure]

3ag was prepared according to the general procedure A in 50% yield (32.5 mg, 0.1 mmol) from 0.2 mmol of 1a. Colorless liquid. Rf (hexanes/EtOAc = 3/1): 0.29. $^1$H NMR (400 MHz, CDCl$_3$): δ ppm 8.59 – 8.58 (m, 1H), 7.65 – 7.60 (m, 1H), 7.42 – 7.40 (m, 2H), 7.30 – 7.28 (m, 1H), 7.26 – 7.23 (m, 1H), 7.20 – 7.16 (m, 1H), 7.14 – 7.12 (m, 2H), 7.09 – 7.06 (m, 1H), 7.04 – 7.03 (m, 2H), 6.63 – 6.60 (m, 1H), 5.67 (s, 1H). $^{13}$C NMR (101 MHz, CDCl$_3$): δ ppm 163.3, 149.7, 137.2, 132.7, 131.9, 131.5, 129.6, 128.9, 127.2, 124.2, 122.2, 121.0, 117.7, 58.9. HRMS (EI+) calcd. for C$_{18}$H$_{14}$NBr [M+H]$^+$: 324.0388, found: 324.0382.
1-(4-(Phenyl(pyridin-2-yl)methyl)phenyl)ethanone 3ah

![Chemical structure](image)

3ah was prepared according to the general procedure A in 75% yield (43.0 mg, 0.15 mmol) from 0.2 mmol of 1a. Colorless liquid. Rf (hexanes/EtOAc = 3/1): 0.34. 1H NMR (400 MHz, CDCl3): δ ppm 8.64 – 8.58 (m, 1H), 7.90 – 7.88 (m, 2H), 7.64 – 7.60 (m, 1H), 7.33 – 7.23 (m, 5H), 7.21 – 7.13 (m, 3H), 7.10 (d, J = 7.9 Hz, 1H), 5.75 (s, 1H), 2.57 (s, 3H). 13C NMR (101 MHz, CDCl3): δ ppm 198.0, 162.4, 149.6, 148.3, 141.8, 136.9, 135.63, 130.9, 129.7, 129.4, 128.7, 127.0, 124.0, 121.9, 59.2, 26.7. HRMS (EI+) calcd. for C20H17NO [M+H]+: 288.1388, found: 288.1388.

Methyl 4-(phenyl(pyridin-2-yl)methyl)benzoate 3ai

![Chemical structure](image)

3ai was prepared according to the general procedure A in 60% yield (36.5 mg, 0.12 mmol) from 0.2 mmol of 1a. Colorless liquid. Rf (hexanes/EtOAc = 3/1): 0.32. 1H NMR (400 MHz, CDCl3): δ ppm 8.60 (d, J = 4.0 Hz, 1H), 7.98 – 7.96 (m, 2H), 7.66 – 7.50 (m, 1H), 7.31 – 7.28 (m, 2H), 7.26 – 7.23 (m, 3H), 7.17 – 7.15 (m, 3H), 7.08 (d, J = 7.8 Hz, 1H), 5.74 (s, 1H), 3.89 (s, 3H). 13C NMR (101 MHz, CDCl3): δ ppm 167.1, 162.5, 149.7, 148.1, 142.0, 136.7, 129.8, 129.5, 129.4, 128.7, 128.6 126.9, 123.9, 121.83, 59.3, 52.17. HRMS (EI+) calcd. for C20H17NO2 [M+H]+: 304.1338, found: 304.1337.
2-(Phenyl(3-(trifluoromethyl)phenyl)methyl)pyridine 3aj

![Chemical Structure](image)

3aj was prepared according to the general procedure A in 72% yield (45.0 mg, 0.144 mmol) from 0.2 mmol of 1a. Colorless liquid. R_f (hexanes/EtOAc = 5/1): 0.20. ^1^H NMR (500 MHz, CDCl_3) δ ppm 8.62 (d, J = 2.8 Hz, 1H), 7.63 – 7.58 (m, 1H), 7.52 – 7.45 (m, 2H), 7.45 – 7.36 (m, 2H), 7.33 – 7.28 (m, 2H), 7.26 – 7.23 (m, 1H), 7.18 – 7.13 (m, 3H), 7.10 (d, J = 7.8 Hz, 1H), 5.75 (s, 1H). ^13^C NMR (126 MHz, CDCl_3) δ ppm 160.0, 147.5, 141.6, 139.7, 134.6, 130.7, 127.1, 126.7, 126.5, 124.8, 123.9, 121.6, 121.4, 119.6, 56.8. HRMS (EI+) calcd. for C_{19}H_{14}NF_3 [M-H]^+: 312.1000, found: 312.0993.

2-((3-Chlorophenyl)(phenyl)methyl)pyridine 3ak

![Chemical Structure](image)

3ak was prepared according to the general procedure A in 68% yield (38.0 mg, 0.136 mmol) from 0.2 mmol of 1a. Colorless liquid. R_f (hexanes/EtOAc = 5/1): 0.30. ^1^H NMR (400 MHz, CDCl_3) δ ppm 8.61 (d, J = 3.9 Hz, 1H), 7.62 – 7.58 (m, 1H), 7.35 – 7.28 (m, 2H), 7.28 – 7.19 (m, 3H), 7.16 – 7.11 (m, 4H), 7.08 – 7.05 (m, 2H), 5.67 (s, 1H). ^13^C NMR (101 MHz, CDCl_3) δ ppm 162.8, 150.10 145.2, 142.4, 137.0, 134.7, 130.0, 129.9, 129.7, 129.0, 128.0, 127.2, 127.2, 124.1, 122.1, 59.4. HRMS (EI+) calcd. for C_{18}H_{14}Cl [M+H]^+: 280.0893, found: 280.0884.
2-((3-Bromo-5-fluorophenyl)(phenyl)methyl)pyridine 3al

3al was prepared according to the general procedure A in 73% yield (50.0 mg, 0.146 mmol) from 0.2 mmol of 1a. Colorless liquid. R_f (hexanes/EtOAc = 3/1): 0.29. ^1H NMR (400 MHz, CDCl₃): δ ppm 8.61 (d, J = 3.9 Hz, 1H), 7.64 – 7.60 (m, 1H), 7.35 – 7.31 (m, 2H), 7.28 – 7.24 (m, 1H), 7.20 – 7.15 (m, 3H), 7.12 – 7.08 (m, 3H), 6.83 (d, J = 9.7 Hz, 1H), 5.62 (s, 1H). ^13C NMR (101 MHz, CDCl₃): δ ppm 163.9, 161.8, 161.5, 149.8, 146.9, 141.3, 136.9, 129.3, 128.8, 128.4, 127.2, 123.8, 122.6, 122.0, 117.5, 115.64, 58.7. HRMS (EI+) calcd. for C₁₈H₁₃NBrF [M+H]^+: 342.0294, found: 342.0289.

2-((3-Bromo-5-butoxyphenyl)(phenyl)methyl)pyridine 3am

3am was prepared according to the general procedure A in 77% yield (61.0 mg, 0.154 mmol) from 0.2 mmol of 1a. Colorless liquid. R_f (hexanes/EtOAc = 3/1): 0.29. ^1H NMR (500 MHz, CDCl₃): δ ppm 8.60 (d, J = 4.0 Hz, 1H), 7.64 – 7.60 (m, 1H), 7.32 – 7.29 (m, 2H), 7.25 – 7.22 (m, 1H), 7.17 – 7.14 (m, 3H), 7.08 (d, J = 7.9 Hz, 1H), 6.91 – 6.88 (m, 2H), 6.65 (s, 1H), 5.60 (s, 1H), 3.86 (t, J = 6.5 Hz, 2H), 1.71 – 1.68 (m, 2H), 1.43 (dd, J = 15.0, 7.5 Hz, 2H), 0.94 (t, J = 7.4 Hz, 3H). ^13C NMR (126 MHz, CDCl₃): δ ppm 162.5, 160.0, 149.7, 145.9, 141.9, 136.7, 129.4, 128.7, 126.9, 124.7, 123.8, 122.9, 121.8, 115.7, 115.3, 68.04, 59.1, 31.2, 19.2, 13.9. HRMS (EI+) calcd. for C₂₂H₂₂NOBr [M+H]^+: 396.0963, 396.0959.
2-(Phenyl(o-tolyl)methyl)pyridine 3an

![Chemical structure of 3an](image)

3an was prepared according to the general procedure A in 94% yield (49.0 mg, 0.189 mmol) from 0.2 mmol of 1a. Colorless liquid. Rf (hexanes/EtOAc = 5/1): 0.30. 1H NMR (500 MHz, CDCl3) δ ppm 8.63 (d, J = 4.5 Hz, 1H), 7.60 – 7.56 (m, 1H), 7.31 – 7.26 (m, 2H), 7.25 – 7.23 (m, 1H), 7.21 – 7.07 (m, 6H), 6.99 – 6.95 (m, 1H), 6.85 (d, J = 7.4 Hz, 1H), 5.89 (s, 1H), 2.25 (s, 3H). 13C NMR (126 MHz, CDCl3) δ ppm 163.2, 149.7, 142.3, 141.3, 136.9, 136.5, 130.7, 129.7, 129.3, 128.6, 126.7, 126.6, 125.9, 123.9, 121.4, 56.4, 20.1. HRMS (EI+) calcd. for C_{19}H_{17}N [M+H]^+: 260.1439, found: 260.1434.

2-(Naphthalen-1-yl(phenyl)methyl)pyridine 3ao

![Chemical structure of 3ao](image)

3ao was prepared according to the general procedure A in 63% yield (37.0 mg, 0.125 mmol) from 0.2 mmol of 1a. Colorless liquid. Rf (hexanes/EtOAc = 3/1): 0.29. 1H NMR (400 MHz, CDCl3): δ ppm 8.64 – 8.63 (m, 1H), 7.99 (d, J = 8.4 Hz, 1H), 7.86 – 7.84 (m, 1H), 7.76 (d, J = 8.2 Hz, 1H), 7.58 – 7.56 (m, 1H), 7.46 – 7.41 (m, 2H), 7.39 – 7.37 (m, 2H), 7.32 – 7.26 (m, 2H), 7.16 – 7.13 (m, 3H), 6.99 (m, 2H), 6.47 (s, 1H). 13C NMR (101 MHz, CDCl3): δ ppm 163.4, 149.8, 142.5, 139.0, 136.6, 134.1, 129.8, 128.8, 128.7, 127.7, 127.4, 126.7, 126.3, 125.6, 125.4, 124.4, 124.1, 121.6, 108.7, 56.0. HRMS (EI+) calcd. for C_{22}H_{17}N [M+H]^+: 296.1439, found: 296.1436.
2-(Cyclohex-1-en-1-yl(phenyl)methyl)pyridine 3ap

![Structure of 3ap](image)

3ap was prepared according to the general procedure A in 70% yield (35.0 mg, 0.140 mmol) from 0.2 mmol of 1a. Colorless liquid. Rf (hexanes/EtOAc = 4/1): 0.20. $^1$H NMR (500 MHz, CDCl₃) δ ppm 8.61 (s, 1H), 7.61 – 7.58 (m, 1H), 7.33 (m, 2H), 7.29 – 7.21 (m, 3H), 7.11 – 7.09 (m, 2H), 5.20 (s, 1H), 4.85 (s, 1H), 2.07 (s, 2H), 1.99 (s, 2H), 1.68 – 1.59 (m, 4H). $^{13}$C NMR (126 MHz, CDCl₃) δ ppm 162.5, 149.2, 141.4, 139.0, 135.9, 129.1, 128.1, 126.2, 125.4, 123.4, 121.0, 61.1, 28.8, 25.3, 22.9, 22.2. HRMS (EI+) calcd. for C₁₈H₁₈N [M+H]⁺: 250.1596, found: 250.1588.

2-(Phenyl(p-tolyl)methyl)pyridine 3ea

![Structure of 3ea](image)

3ea was prepared according to the general procedure A in 83% yield (43.0 mg, 0.166 mmol) from 0.2 mmol of 1e. Colorless liquid. Rf (hexanes/EtOAc = 4/1): 0.20. $^1$H NMR (500 MHz, CDCl₃) δ ppm 8.62 (s, 1H), 7.61 – 7.59 (m, 1H), 7.31 – 7.29 (m, 2H), 7.28 – 7.16 (m, 3H), 7.10 (m, 6H), 5.69 (s, 1H), 2.34 (s, 3H). $^{13}$C NMR (126 MHz, CDCl₃) δ ppm 163.4, 149.5, 142.9, 139.7, 136.4, 136.1, 129.3, 129.2, 129.1, 128.4, 126.4, 123.7, 121.3, 59.0, 21.0. HRMS (EI+) calcd. for C₁₉H₁₇N [M+H]⁺: 260.1439, found: 260.1432.

2-((4-Chlorophenyl)(phenyl)methyl)pyridine 3fa

![Structure of 3fa](image)
3fa was prepared according to the general procedure A in 72% yield (40.0 mg, 0.143 mmol) from 0.2 mmol of 1f. Colorless liquid. Rf (hexanes/EtOAc = 4/1): 0.20. 1H NMR (500 MHz, CDCl3) δ ppm 8.61 (s, 1H), 7.61 – 7.58 (m, 1H), 7.28 – 7.23 (m, 5H), 7.20 – 7.03 (m, 6H), 5.67 (s, 1H). 13C NMR (126 MHz, CDCl3) δ ppm 163.0, 150.0, 142.6, 141.6, 136.9, 132.7, 131.0, 129.6, 128.9, 127.1, 124.1, 121.9, 59.1. HRMS (EI+) calcd. for C18H14NCl [M+H]+: 280.0893, found: 280.0886.

2-((4-Bromophenyl)(phenyl)methyl)pyridine 3ga

3ga was prepared according to the general procedure A in 90% yield (58.0 mg, 0.179 mmol) from 0.2 mmol of 1g. Colorless liquid. Rf (hexanes/EtOAc = 4/1): 0.20. 1H NMR (500 MHz, CDCl3) δ ppm 8.61 (s, 1H), 7.61 – 7.58 (m, 1H), 7.44 – 7.42 (m, 2H), 7.31 – 7.28 (m, 2H), 7.27 – 7.20 (m, 1H), 7.16 – 7.11 (m, 3H), 7.07 – 7.04 (m, 3H), 5.65 (s, 1H). 13C NMR (126 MHz, CDCl3) δ ppm 162.9, 150.0, 142.5, 142.2, 136.9, 131.8, 131.5, 129.7, 129.6, 128.9, 128.8, 127.1, 124.1, 121.9, 120.9, 59.1. HRMS (EI+) calcd. for C18H14NBr [M+H]+: 324.0388, found: 324.0382.

2-(Phenyl(4-(trifluoromethyl)phenyl)methyl)pyridine 3ha

3ha was prepared according to the general procedure A in 56% yield (35.0 mg, 0.112 mmol) from 0.2 mmol of 1h. Colorless liquid. Rf (hexanes/EtOAc = 4/1): 0.30. 1H NMR (500 MHz, CDCl3) δ ppm 8.62 (d, J = 4.1 Hz, 1H), 7.64 – 7.60 (m, 1H), 7.56 – 7.50 (m, 2H), 7.36 – 7.22 (m, 5H), 7.17 – 7.14 (m, 3H), 7.11 (d, J = 7.8 Hz, 1H), 5.74 (s, 1H). 13C NMR (126 MHz, CDCl3) δ ppm 162.4, 149.8, 147.0, 141.9, 136.8, 129.8, 129.4, 129.0, 128.7, 127.05, 125.5, 125.5, 123.9, 121.8, 59.2. HRMS (EI+) calcd. for C19H14NF3 [M+H]+: 314.1157, found: 314.1149.
2-(Phenyl(thiophen-3-yl)methyl)pyridine 3ia

3ia was prepared according to the general procedure A in 30% yield (15.0 mg, 0.059 mmol) from 0.2 mmol of 1i. Orange liquid. Rf (hexanes/EtOAc = 4/1): 0.30. ¹H NMR (500 MHz, CDCl₃) δ ppm 8.63 – 8.57 (m, 1H), 7.61 – 7.57 (m, 1H), 7.34 – 7.27 (m, 3H), 7.24 – 7.20 (m, 4H), 7.14 – 7.10 (m, 2H), 6.96 – 6.88 (m, 1H), 6.84 (s, 1H), 5.67 (s, 1H). ¹³C NMR (126 MHz, CDCl₃) δ ppm 163.1, 149.7, 143.6, 142.8, 136.7, 129.5, 129.1, 128.7, 128.6, 126.8, 125.7, 123.4, 122.9, 121.7, 55.3. HRMS (EI+) calcd. for C₁₆H₁₅NS [M+H]+: 252.0847, found: 252.0840.

2-Benzhydryl-4-chloropyridine 3ja

3ja was prepared according to the general procedure A in 90% yield (50.0 mg, 0.179 mmol) from 0.2 mmol of 1j. Colorless liquid. Rf (hexanes/EtOAc = 4/1): 0.20. ¹H NMR (500 MHz, CDCl₃) δ ppm 8.51 (d, J = 5.3 Hz, 1H), 7.33 – 7.29 (m, 4H), 7.26 – 7.20 (m, 2H), 7.18 – 7.14 (m, 5H), 7.13 (d, J = 1.7 Hz, 1H), 5.70 (s, 1H). ¹³C NMR (126 MHz, CDCl₃) δ ppm 165.3, 150.8, 144.9, 142.4, 129.7, 128.9, 127.2, 124.4, 122.2, 59.5. HRMS (EI+) calcd. for C₁₈H₁₄Cl [M+H]+: 280.0893, found: 280.0888.

2-Benzhydryl-4-chloropyridine 3ka
3ka was prepared according to the general procedure A in 77% yield (50.0 mg, 0.154 mmol) from 0.2 mmol of 1k. Colorless liquid. R_f (hexanes/EtOAc = 4/1): 0.20. ^1H NMR (500 MHz, CDCl_3) δ ppm 8.67 (d, J = 2.2 Hz, 1H), 7.73 – 7.68 (m, 1H), 7.33 – 7.29 (m, 4H), 7.28 – 7.20 (m, 2H), 7.17 – 7.13 (m, 4H), 7.01 (d, J = 8.3 Hz, 1H), 5.67 (s, 1H). ^13C NMR (126 MHz, CDCl_3) δ ppm 161.9, 150.6, 142.3, 139.0, 129.3, 129.3, 128.6, 128.6, 128.6, 128.6, 128.6, 126.8, 125.2, 118.6, 58.8. HRMS (EI+) calcd. for C_{18}H_{14}NBr [M+H]^+: 324.0388, found: 324.0378.

2-Benzhydrylpyrazine 3la

![2-Benzhydrylpyrazine 3la](image)

3la was prepared according to the general procedure A in 71% yield (35.0 mg, 0.142 mmol) from 0.2 mmol of 1l. Colorless liquid. R_f (hexanes/EtOAc = 4/1): 0.30. ^1H NMR (500 MHz, CDCl_3) δ ppm 8.62 – 8.55 (m, 1H), 8.51 – 8.41 (m, 2H), 7.33 – 7.29 (m, 4H), 7.27 – 7.23 (m, 2H), 7.24 – 7.18 (m, 4H), 5.69 (s, 1H). ^13C NMR (126 MHz, CDCl_3) δ ppm 158.5, 145.2, 144.1, 142.3, 141.4, 129.1, 128.5, 126.8, 56.7. HRMS (EI+) calcd. for C_{17}H_{14}N_{2} [M+H]^+: 247.1235, found: 247.1231.

2-((4-Fluorophenyl)(phenyl)methyl)pyrazine 3le

![2-((4-Fluorophenyl)(phenyl)methyl)pyrazine 3le](image)

3le was prepared according to the general procedure A in 76% yield (41.0 mg, 0.155 mmol) from 0.2 mmol of 1l. Colorless liquid. R_f (hexanes/EtOAc = 4/1): 0.20. ^1H NMR (500 MHz, CDCl_3) δ ppm 8.57 (d, J = 1.4 Hz, 1H), 8.46 – 8.40 (m, 2H), 7.33 – 7.28 (m, 2H), 7.26 (d, J = 7.3 Hz, 1H), 7.22 – 7.09 (m, 4H), 7.01 – 6.97 (m, 2H), 5.66 (s, 1H). ^13C NMR (126 MHz, CDCl_3) δ ppm 168.2, 166.2, 163.8, 150.7, 149.7, 148.1, 146.8, 142.7, 136.2, 136.2, 134.7, 134.5, 134.1, 134.1, 132.5, 132.4, 120.9, 120.8, 61.5. HRMS (EI+) calcd. for C_{17}H_{13}N_{2}F [M+H]^+: 265.1141, found: 265.1136.
2-((4-Chlorophenyl)(phenyl)methyl)pyrazine 3le

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

3lf was prepared according to the general procedure A in 75% yield (42.0 mg, 0.150 mmol) from 0.2 mmol of 1l. Colorless liquid. Rf (hexanes/EtOAc = 4/1): 0.20. \(^1H\) NMR (500 MHz, CDCl\textsubscript{3}) \(\delta\) ppm 8.57 (s, 1H), 8.46 – 8.40 (m, 2H), 7.37 – 7.22 (m, 6H), 7.22 – 7.07 (m, 4H), 5.63 (s, 1H). \(^{13}C\) NMR (126 MHz, CDCl\textsubscript{3}) \(\delta\) ppm 158.4, 145.5, 144.5, 143.0, 141.3, 140.3, 133.1, 130.8, 129.5, 129.3, 129.0, 128.9, 128.6, 127.4, 56.4. HRMS (EI+) calcd. for C\textsubscript{17}H\textsubscript{13}N\textsubscript{2}Cl [M+H]\(^+\): 281.0846, found: 281.0840.

2-(Phenoxy(phenyl)methyl)pyridine 7aa

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

7aa was prepared according to the general procedure B in 50% yield (26.0 mg, 0.1 mmol) from 0.2 mmol of 1a. Colorless liquid. Rf (hexanes/EtOAc = 4/1): 0.34. \(^1H\) NMR (500 MHz, CDCl\textsubscript{3}): \(\delta\) ppm 8.58 (d, \(J = 4.7\) Hz, 1H), 7.66 – 7.61 (m, 1H), 7.57 (d, \(J = 7.9\) Hz, 1H), 7.55 – 7.53 (m, 2H), 7.35 – 7.31 (m, 2H), 7.30 – 7.26 (m, 1H), 7.24 – 7.21 (m, 2H), 7.18 – 7.16 (m, 1H), 6.99 – 6.98 (m, 2H), 6.92 – 6.87 (m, 1H), 6.35 (s, 1H). \(^{13}C\) NMR (126 MHz, CDCl\textsubscript{3}): \(\delta\) ppm 160.9, 157.8, 149.3, 140.3, 137.2, 129.5, 128.7, 128.0, 126.9, 122.7, 121.2, 120.9, 116.0, 82.6. HRMS (EI+) calcd. for C\textsubscript{18}H\textsubscript{15}NO [M+H]\(^+\): 262.1232, found: 262.1227.
4-(Phenyl(pyridin-2-yl)methyl)phenol 7aa

7aa was prepared according to the general procedure B in 20% yield (10.5 mg, 0.04 mmol) from 0.2 mmol of 1a. Colorless semi-solid. Rf (hexanes/EtOAc = 3/1): 0.28. 1H NMR (500 MHz, CDCl3): δ ppm 8.55 (d, J = 4.1 Hz, 1H), 7.81 – 7.77 (m, 1H), 7.51 (d, J = 7.8 Hz, 1H), 7.31 – 7.27 (m, 2H), 7.25 – 7.21 (m, 3H), 7.19 – 7.17 (m, 1H), 7.00 – 6.98 (m, 1H), 6.98 – 6.96 (m, 2H), 6.89 – 6.86 (m, 1H), 5.32 (s, 1H). 13C NMR (126 MHz, CDCl3): δ ppm 162.6, 156.7, 148.2, 141.3, 138.6, 132.1, 129.5, 128.3, 127.7, 126.6, 124.7, 122.6, 119.8, 59.2. HRMS (EI+) calcd. for C18H15NO [M+H]+: 262.1232, found: 262.1230.

2-((4-(Tert-butyl)phenoxy)(phenyl)methyl)pyridine 7ab

7ab was prepared according to the general procedure B in 50% yield (32.0 mg, 0.1 mmol) from 0.2 mmol of 1a. Colorless liquid. Rf (hexanes/EtOAc = 4/1): 0.35. 1H NMR (500 MHz, CDCl3): δ 8.58 (d, J = 4.7 Hz, 1H), 7.66 – 7.61 (m, 1H), 7.59 – 7.56 (m, 1H), 7.55 – 7.53 (m, 2H), 7.35 – 7.31 (m, 2H), 7.30 – 7.26 (m, 1H), 7.26 – 7.23 (m, 2H), 7.18 – 7.15 (m, 1H), 6.93 – 6.91 (m, 2H), 6.33 (s, 1H), 1.27 (s, 9H). 13C NMR (126 MHz, CDCl3): δ ppm 161.1, 155.6, 149.2, 143.8, 140.5, 137.1, 128.6, 127.9, 126.9, 126.3, 122.6, 120.9, 115.4, 82.6, 34.1, 31.6. HRMS (EI+) calcd. for C22H23NO [M+H]+: 318.1858, found: 318.1852.
4-(Tert-butyl)-2-(phenyl(pyridin-2-yl)methyl)phenol 7ab' 

[Chemical structure image]

7ab' was prepared according to the general procedure B in 21% yield (13.5 mg, 0.042 mmol) from 0.2 mmol of 1a. Colorless liquid. Rf (hexanes/EtOAc = 4/1): 0.28. 1H NMR (500 MHz, CDCl3): δ 8.54 (d, J = 4.9 Hz, 1H), 7.82 – 7.79 (m, 1H), 7.54 (d, J = 7.7 Hz, 1H), 7.29 – 7.21 (m, 6H), 7.20 – 7.17 (m, 1H), 7.00 – 6.96 (m, 2H), 6.95–6.92 (m, 1H), 5.32 (s, 1H), 1.33 (s, 9H). 13C NMR (126 MHz, CDCl3): δ ppm 162.8, 154.1, 148.2, 142.4, 141.5, 138.5, 128.9, 128.3, 127.8, 126.8, 126.5, 126.3, 124.8, 122.5, 119.1, 59.8, 34.1, 31.7. HRMS (EI+) calcd. for C22H23NO [M+H]^+: 318.1858 found: 318.1857.

2-(Phenyl(3-(trifluoromethyl)phenoxy)methyl)pyridine 7ac 

[Chemical structure image]

7ac was prepared according to the general procedure B in 52% yield (36.0 mg, 0.1 mmol) from 0.2 mmol of 1a. Colorless liquid. Rf (hexanes/EtOAc = 4/1): 0.32. 1H NMR (400 MHz, CDCl3): δ ppm 8.59 (d, J = 4.9 Hz, 1H), 7.74 – 7.69 (m, 1H), 7.56 (d, J = 7.9 Hz, 1H), 7.55 – 7.48 (m, 2H), 7.37 – 7.33 (m, 2H), 7.31 – 7.27 (m, 3H), 7.24 – 7.22 (m, 1H), 7.18 – 7.16 (m, 1H), 7.13 – 7.10 (m, 1H), 6.39 (s, 1H). 13C NMR (101 MHz, CDCl3): δ ppm 160.1, 157.8, 149.2, 139.4, 137.6, 130.2, 130.1, 128.9, 128.3, 126.8, 123.1, 121.1, 118.8, 118.0, 117.0, 113.6, 113.6, 82.8. HRMS (EI+) calcd. for C19H14NOF3 [M+H]^+: 330.1106 , found: 330.1102.
2-((3-Chlorophenoxy)(phenyl)methyl)pyridine 7ad

![Chemical Structure Image]

**7ad** was prepared according to the general procedure B in 59% yield (35.0 mg, 0.118 mmol) from 0.2 mmol of 1a. Colorless liquid. \( R_f \) (hexanes/EtOAc = 4/1): 0.3. \(^1\)H NMR (500 MHz, CDCl\(_3\)): \( \delta \) ppm 8.58 (d, \( J = 4.2 \) Hz, 1H), 7.69 – 7.67 (m, 1H), 7.54 – 7.50 (m, 3H), 7.36 – 7.33 (m, 2H), 7.29 – 7.28 (m, 1H), 7.20 – 7.18 (m, 1H), 7.14 – 7.11 (m, 1H), 7.02 – 7.01 (m, 1H), 6.91 – 6.89 (m, 1H), 6.86 – 6.84 (m, 1H), 6.32 (s, 1H). \(^{13}\)C NMR (126 MHz, CDCl\(_3\)): \( \delta \) ppm 160.3, 158.5, 149.3, 139.7, 137.3, 134.9, 130.3, 128.8, 128.2, 126.8, 122.9, 121.5, 120.9, 116.8, 114.1, 82.9. HRMS (EI+) calcd. for C\(_{18}\)H\(_{15}\)NOCl [M+H]\(^+\): 296.0842, found: 296.0840.

2-((3-Ethylphenoxy)(phenyl)methyl)pyridine 7ae

![Chemical Structure Image]

**7ae** was prepared according to the general procedure B in 54% yield (31.2 mg, 0.108 mmol) from 0.2 mmol of 1a. Colorless liquid. \( R_f \) (hexanes/EtOAc = 4/1): 0.32. \(^1\)H NMR (500 MHz, CDCl\(_3\)): \( \delta \) ppm 8.57 (d, \( J = 4.8 \) Hz, 1H), 7.66 – 7.65 (m, 1H), 7.58 – 7.56 (m, 1H), 7.54 – 7.52 (m, 2H), 7.35 – 7.32 (m, 2H), 7.27 – 7.26 (m, 1H), 7.17 – 7.15 (m, 1H), 7.13 – 7.10 (m, 1H), 6.87 – 6.85 (m, 1H), 6.77 – 6.75 (m, 2H), 6.34 (s, 1H), 2.57 (q, \( J = 7.6 \) Hz, 2H), 1.16 (t, \( J = 7.6 \) Hz, 3H). \(^{13}\)C NMR (126 MHz, CDCl\(_3\)): \( \delta \) ppm 160.1, 157.9, 149.2, 146.0, 139.3, 137.2, 129.3, 128.7, 127.9, 126.9, 122.6, 120.9, 115.9, 112.9, 110.3, 82.5, 28.9, 15.4. HRMS (EI+) calcd. for C\(_{20}\)H\(_{20}\)NO [M+H]\(^+\): 290.1545, found: 290.1548.
3-(Phenyl(pyridin-2-yl)methoxy)benzonitrile 7af

![Chemical Structure Image]

**7af** was prepared according to the general procedure B in 51% yield (29.0 mg, 0.101 mmol) from 0.2 mmol of **1a**. Colorless liquid. Rf (hexanes/EtOAc = 7/3): 0.32. 1H NMR (500 MHz, CDCl3): δ ppm 8.59 (d, J = 4.6 Hz, 1H), 7.69 – 7.59 (m, 1H), 7.51 – 7.49 (m, 3H), 7.38 – 7.35 (m, 2H), 7.33 – 7.28 (m, 2H), 7.24 – 7.19 (m, 4H), 6.33 (s, 1H). 13C NMR (126 MHz, CDCl3): δ ppm 159.8, 157.9, 149.5, 139.2, 137.3, 130.5, 128.9, 128.4, 126.7, 125.1, 123.1, 120.9, 120.9, 119.4, 118.7, 113.4, 83.2. HRMS (EI+) calcd. for C19H16N2O [M+H]+: 287.1184, found: 287.1184.

2-(Isopropoxy(phenyl)methyl)pyridine 7ag

![Chemical Structure Image]

**7ag** was prepared according to the general Method A in 70% yield (32.0 mg, 0.141 mmol) from 0.2 mmol of **1a**. Colorless liquid. Rf (hexanes/EtOAc = 4/1): 0.4. 1H NMR (400 MHz, CDCl3): δ 8.54 – 8.47 (m, 1H), 7.67 – 7.65 (m, 1H), 7.56 – 7.50 (m, 1H), 7.47 – 7.40 (m, 2H), 7.31 – 7.27 (m, 2H), 7.25 – 7.19 (m, 1H), 7.13 – 7.10 (m, 1H), 5.62 (s, 1H), 3.71 (dt, J = 12.2, 6.1 Hz, 1H), 1.25 (d, J = 6.1 Hz, 3H), 1.22 (d, J = 6.1 Hz, 3H). 13C NMR (101 MHz, CDCl3): δ ppm 162.8, 148.9, 142.0, 136.8, 128.4, 127.5, 127.0, 122.3, 120.8, 81.9, 69.7, 22.4, 22.3. HRMS (EI+) calcd. for C15H17NO [M+H]+: 228.1388, found: 228.1385.
2-((Isopentyloxy)(phenyl)methyl)pyridine 7ah

![Chemical structure of 7ah]

7ah was prepared according to the general procedure B in 60\% yield (31.0 mg, 0.121 mmol) from 0.2 mmol of 1a. Colorless liquid. Rf (hexanes/EtOAc = 4/1): 0.4. 1H NMR (400 MHz, CDCl3): δ 8.52 (d, J = 4.1, Hz, 1H), 7.68 – 7.66 (m, 1H), 7.53 – 7.49 (m, 1H), 7.50 – 7.38 (m, 2H), 7.36 – 7.29 (m, 2H), 7.24 – 7.20 (m, 1H), 7.14 – 7.11 (m, 1H), 5.46 (s, 1H), 3.62 – 3.45 (m, 2H), 1.83 – 1.74 (m, 1H), 1.56 (dt, J = 7.0, 4.0 Hz, 2H), 0.89 (d, J = 6.6 Hz, 6H). 13C NMR (101 MHz, CDCl3): δ ppm 162.3, 149.0, 141.6, 136.9, 128.5, 127.6, 127.0, 122.3, 120.7, 85.0, 67.9, 38.8, 25.2, 22.8, 22.7. HRMS (EI+) calcd. for C17H21NO [M+H]+: 256.1701, found: 256.1699.

2-(Cyclobutoxy(phenyl)methyl)pyridine 7ai

![Chemical structure of 7ai]

7ai was prepared according to the general procedure B in 67\% yield (32.0 mg, 0.134 mmol) from 0.2 mmol of 1a. Colorless liquid. Rf (hexanes/EtOAc = 4/1): 0.4. 1H NMR (400 MHz, CDCl3): δ ppm 8.54 – 8.49 (m, 1H), 7.68 – 7.66 (m, 1H), 7.54 – 7.50 (m, 1H), 7.42 (m, 2H), 7.33 – 7.29 (m, 2H), 7.26 – 7.21 (m, 1H), 7.16 – 7.10 (m, 1H), 5.47 (s, 1H), 4.09 – 3.96 (m, 1H), 2.20 – 2.11 (m, 2H), 2.02 (ddd, J = 9.4, 8.5, 4.6 Hz, 2H), 1.67 (dt, J = 19.3, 9.8 Hz, 1H), 1.49 – 1.37 (m, 1H). 13C NMR (101 MHz, CDCl3): δ ppm 162.2, 149.0, 141.5, 136.8, 128.4, 127.6, 127.1, 122.3, 121.0, 82.2, 71.9, 30.8, 30.7, 12.6. HRMS (EI+) calcd. for C16H17NO [M+H]+: 240.1388, found: 240.1385.
2-(Phenyl((4R)-1,7,7-trimethylbicyclo[2.2.1]heptan-2-yl)oxy)methyl)pyridine **7aj**

**7aj** was prepared according to the general procedure B in 65% yield (dr 1:1) (42.0 mg, 0.131 mmol) from 0.2 mmol of **1a**. Colorless liquid. R_f (hexanes/EtOAc = 4/1): 0.37. ^1^H NMR (500 MHz, CDCl_3): δ ppm 8.51 (d, J = 4.9 Hz, 1H), 8.47 (d, J = 4.8 Hz, 1H), 7.67 – 7.64 (m, 2H), 7.63 – 7.61 (m, 2H), 7.54 – 7.52 (m, 2H), 7.43 – 7.41 (m, 4H), 7.32 – 7.26 (m, 4H), 7.24 – 7.19 (m, 2H), 7.16 – 7.09 (m, 2H), 5.52 (s, 1H), 5.49 (s, 1H), 3.76 – 3.69 (m, 2H). ^1^H NMR contains small impurity of corresponding alcohol. ^13^C NMR (126 MHz, CDCl_3): δ ppm δ 163.4, 162.9, 148.7, 142.7, 141.9, 136.9, 128.3, 128.3, 127.5, 127.2, 126.7, 122.4, 122.1, 121.0, 121.8, 83.1, 82.9, 82.8, 82.5, 49.5, 48.1, 47.8, 45.2, 39.1, 36.2, 36.1, 29.8, 28.4, 27.1, 27.1, 26.0, 20.3, 19.9, 19.0, 18.8, 14.0, 13.4. HRMS (EI+) calcd. for C_{22}H_{27}NO \([M+H]^+\): 322.2171, found: 322.2165.

2-((4-(Methylthio)butoxy)(phenyl)methyl)pyridine **7ak**

**7ak** was prepared according to the general procedure B in 47% yield (27.0 mg, 0.0939 mmol) from 0.2 mmol of **1a**. Colorless liquid. R_f (hexanes/EtOAc = 4/1): 0.39. ^1^H NMR (400 MHz, CDCl_3): δ ppm 8.51 (d, J = 4.8 Hz, 1H), 7.67 – 7.65 (m, 1H), 7.52 – 7.40 (m, 1H), 7.43 – 7.41 (m, 2H), 7.32 – 7.28 (m, 2H), 7.26 – 7.21 (m, 1H), 7.16 – 7.11 (m, 1H), 5.46 (s, 1H), 3.56 – 3.49 (m, 2H), 2.51 (t, J = 7.0 Hz, 2H), 2.08 (s, 3H), 1.75 (ddd, J = 9.9, 6.3, 2.3 Hz, 4H). ^13^C NMR (101 MHz, CDCl_3): δ ppm δ 162.1, 149.0, 141.4, 136.9, 128.5, 127.7, 126.9, 122.4, 120.6, 84.9, 68.9, 34.1, 29.0, 26.0, 15.6. HRMS (EI+) calcd. for C_{17}H_{21}NOS \([M+H]^+\): 288.1422, found: 288.1418.
2-((Allyloxy)(phenyl)methyl)pyridine 7a

![Chemical structure of 7a](image)

7a was prepared according to the general procedure B in 58% yield (26.0 mg, 0.115 mmol) from 0.2 mmol of 1a. Colorless liquid. R_f (hexanes/EtOAc = 4/1): 0.4. 1H NMR (400 MHz, CDCl₃): δ ppm 8.53 (d, J = 4.9 Hz, 1H), 7.67 – 7.64 (m, 1H), 7.56 – 7.50 (m, 1H), 7.46 – 7.44 (m, 2H), 7.34 – 7.30 (m, 2H), 7.26 – 7.23 (m, 1H), 7.16 – 7.13 (m, 1H), 6.03 – 5.93 (m, 1H), 5.56 (s, 1H), 5.35 – 5.29 (m, 1H), 5.22 – 5.19 (m, 1H), 4.12 – 4.02 (m, 2H). 13C NMR (101 MHz, CDCl₃): δ ppm 161.9, 149.1, 141.1, 136.9, 134.6, 128.5, 127.8, 127.1, 122.4, 120.7, 117.2, 84.0, 70.0. HRMS (EI+) calcd. for C₁₅H₁₅NO [M+H]^+: 226.1232, found: 226.1229.

2-(((3,7-Dimethyloct-6-en-1-yl)oxy)(phenyl)methyl)pyridine 7a

![Chemical structure of 7a](image)

7a was prepared according to the general procedure B in 56% yield (36.0 mg, 0.111 mmol) from 0.2 mmol of 1a. Colorless liquid. R_f (hexanes/EtOAc = 4/1): 0.38. 1H NMR (400 MHz, CDCl₃): δ ppm 8.52 (d, J = 4.5 Hz, 1H), 7.67 – 7.65 (m, 1H), 7.53 (d, J = 7.9 Hz, 1H), 7.43 – 7.38 (m, 2H), 7.31 – 7.27 (m, 2H), 7.23 – 7.20 (m, 1H), 7.13 (dd, J = 6.7, 5.5 Hz, 1H), 5.46 (s, 1H), 5.09 (d, J = 6.9 Hz, 1H), 3.59 – 3.47 (m, 2H), 2.02-1.93 (m, 2H), 1.74 – 1.71 (m, 1H), 1.68 (s, 3H), 1.59 (s, 3H), 1.51-1.43 (m, 1H), 1.38 – 1.31 (m, 2H), 1.18 – 1.14 (m, 1H), 0.87 (d, J = 6.6 Hz, 3H). 13C NMR (101 MHz, CDCl₃): δ ppm 162.3, 149.0, 141.6, 136.9, 131.2, 128.5, 127.6, 127.0, 124.9, 122.3, 120.6, 85.0, 67.8, 36.9, 29.7, 25.8, 25.6, 19.7, 17.7. HRMS (EI+) calcd. for C₂₂H₂₉NO [M+H]^+: 324.2327, found: 324.2325.
2-((2-Chloroethoxy)(4-chlorophenyl)methyl)pyridine 7fn

![Chemical Structure](image)

**7fn** was prepared according to the general procedure B in 80% yield (45.0 mg, 0.161 mmol) from 0.2 mmol of **1f**. Colorless liquid. R_f (hexanes/EtOAc = 3/1): 0.3. ^1^H NMR (500 MHz, CDCl_3): δ ppm 8.53 (d, J = 4.7 Hz, 1H), 7.70 – 7.67 (m, 1H), 7.55 – 7.53 (m, 1H), 7.39 – 7.37 (m, 2H), 7.30 – 7.29 (m, 2H), 7.19 – 7.14 (m, 1H), 5.52 (s, 1H), 3.78 (dd, J = 10.2, 5.1 Hz, 2H), 3.70 (t, J = 5.3 Hz, 2H). ^13^C NMR (126 MHz, CDCl_3): δ ppm 160.9, 149.2, 139.2, 137.1, 133.7, 128.8, 128.4, 122.8, 120.7, 84.4, 69.4, 43.0. LRMS (EI+) calcd. for C_{14}H_{13}NOCl [M]: 282.0448.

N-(Phenyl(pyridin-2-yl)methyl)aniline 8aa

![Chemical Structure](image)

**8aa** was prepared according to the general procedure B in 10% yield (5.3 mg, 0.020 mmol) from 0.2 mmol of **1a**. Colorless liquid. R_f (hexanes/EtOAc = 4/1): 0.3. ^1^H NMR (400 MHz, CDCl_3): δ ppm 8.62 – 8.57 (m, 1H), 7.63 – 7.60 (m, 1H), 7.48 – 7.43 (m, 2H), 7.38 (d, J = 7.9 Hz, 1H), 7.35 – 7.29 (m, 2H), 7.25 – 7.22 (m, 1H), 7.17 – 7.15 (m, 1H), 7.14 – 7.10 (m, 2H), 6.67 – 6.66 (m, 1H), 6.65 – 6.61 (m, 2H), 5.58 (d, J = 4.4 Hz, 1H), 5.46 (s, 1H). ^13^C NMR (101 MHz, CDCl_3): δ ppm 161.0, 149.3, 147.1, 142.6, 136.9, 129.2, 128.9, 127.6, 127.5, 122.3, 122.0, 117.6, 113.7, 63.4. HRMS (EI+) calcd. for C_{18}H_{16}N_{2} [M+H]^+: 261.1392, found: 261.1388.
N-(Phenyl(pyridin-2-yl)methyl)benzenesulfonamide 8ab

8ab was prepared according to the general procedure B in 50% yield (32.6 mg, 0.1 mmol) from 0.2 mmol of 1a. White solid. Rf (hexanes/EtOAc = 2/1): 0.35. 1H NMR (500 MHz, CDCl3): δ ppm 8.46 (d, J = 4.7 Hz, 1H), 7.64 – 7.63 (m, 2H), 7.51 (d, J = 7.6 Hz, 1H), 7.38 – 7.35 (m, 1H), 7.27-7.24 (m, 2H), 7.18 – 7.14 (m, 5H), 7.13 – 7.10 (m, 1H), 7.01 – 6.98 (m, 2H), 5.57 (d, J = 6.1 Hz, 1H). 13C NMR (126 MHz, CDCl3): δ ppm 157.6, 148.6, 140.1, 136.9, 132.1, 129.2, 128.6, 127.8, 127.7, 127.1, 126.5, 122.6, 122.5, 61.0. HRMS (EI+) calcd. for C18H16N2O2S [M+H]+: 325.1011, found: 325.1003.

4-Methyl-N-(phenyl(pyridin-2-yl)methyl)benzenesulfonamide 8ac

8ac was prepared according to the general procedure B in 40% yield (27.2 mg, 0.08 mmol) from 0.2 mmol of 1a. White solid. Rf (hexanes/EtOAc = 2/1): 0.35. 1H NMR (500 MHz, CDCl3): δ ppm 8.47 (d, J = 4.6 Hz, 1H), 7.54 – 7.52 (m, 3H), 7.20 – 7.15 (m, 5H), 7.13 – 7.11 (m, 1H), 7.06 – 7.04 (m, 2H), 7.01 – 7.00 (m, 1H), 6.91 (d, J = 5.9 Hz, 1H), 5.53 (d, J = 6.1 Hz, 1H), 2.31 (s, 3H). 13C NMR (126 MHz, CDCl3): δ ppm 157.8, 148.6, 142.9, 140.5, 136.8, 129.8, 129.2, 128.6, 127.8, 127.2, 126.6, 122.5, 122.5, 61.0, 21.5. HRMS (EI+) calcd. for C19H18N2O2S [M+H]+: 339.1167, found: 339.1162.
N-Methyl-N-(phenyl(pyridin-2-yl)methyl)benzenesulfonamide 8ad

![Chemical structure of 8ad](image)

8ad was prepared according to the general procedure B in 74% yield (50 mg, 0.148 mmol) from 0.2 mmol of 1a. Colorless liquid Rf (hexanes/EtOAc = 3/1): 0.28. 1H NMR (400 MHz, CDCl3): δ ppm 8.47 – 8.39 (m, 1H), 7.72 – 7.70 (m, 2H), 7.62 – 7.58 (m, 1H), 7.49 – 7.47 (m, 1H), 7.40 – 7.36 (m, 2H), 7.25 – 7.24 (m, 4H), 7.16 – 7.13 (m, 1H), 7.05 – 6.98 (m, 2H), 6.45 (s, 1H), 2.85 (s, 3H). 13C NMR (101 MHz, CDCl3): δ ppm 158.4, 149.4, 139.7, 137.8, 136.5, 132.3, 129.2, 128.8, 128.5, 127.9, 127.3, 123.4, 122.5, 65.0, 32.0. HRMS (EI+) calcd. for C15H33NO2Si [M+H]+: 339.1167, found: 339.1165.

N,N-dimethyl-N-(phenyl(pyridin-2-yl)methyl)benzenesulfonamide 8ae

![Chemical structure of 8ae](image)

8ae was prepared according to the general procedure B in 64% yield (45 mg, 0.128 mmol) from 0.2 mmol of 1a. White solid Rf (hexanes/EtOAc = 3/1): 0.3. 1H NMR (400 MHz, CDCl3): δ ppm 8.45 (d, J = 4.1 Hz, 1H), 7.65 – 7.59 (m, 3H), 7.28 – 7.23 (m, 4H), 7.18 – 7.14 (m, 3H), 7.02 – 7.00 (m, 2H), 6.45 (s, 1H), 2.82 (s, 3H), 2.39 (s, 3H). 13C NMR (101 MHz, CDCl3): δ ppm 158.6, 149.4, 143.0, 137.9, 136.8, 136.5, 129.4, 129.2, 128.5, 127.8, 127.4, 123.4, 122.4, 65.0, 31.9, 21.6. HRMS (EI+) calcd. for C20H20N2O2S [M+H]+: 353.1324, found: 353.1322.
**N-(phenyl(pyridin-2-yl)methyl)methanesulfonamide 8af**

![Chemical structure of 8af](image)

8af was prepared according to the general procedure B in 61% yield (32 mg, 0.122 mmol) from 0.2 mmol of 1a. White solid Rf (hexanes/EtOAc = 1/1): 0.3. 1H NMR (400 MHz, CDCl3): δ ppm 8.56 (d, J = 4.4 Hz, 1H), 7.64 – 7.62 (m, 1H), 7.39 – 7.29 (m, 5H), 7.23 – 7.19 (m, 1H), 7.14 – 7.12 (m, 1H), 6.92 (d, J = 5.1 Hz, 1H), 5.73 (d, J = 5.4 Hz, 1H), 2.58 (s, 3H). 13C NMR (101 MHz, CDCl3): δ ppm 157.6, 148.6, 140.7, 137.2, 129.1, 128.3, 128.0, 122.9, 122.6, 60.9, 42.0. HRMS (EI+) calcd. for C13H14N2O2S [M+H]+: 263.0854, found: 263.0850.

**1,1,1-Trifluoro-N-(phenyl(pyridin-2-yl)methyl)methanesulfonamide 8ag**

![Chemical structure of 8ag](image)

8ag was prepared according to the general procedure B in 90% yield (57 mg, 0.18 mmol) from 0.2 mmol of 1a. White solid Rf (hexanes/EtOAc = 1/1): 0.25. 1H NMR (400 MHz, CDCl3): δ ppm 8.58 (d, J = 4.3 Hz, 1H), 7.70 – 7.66 (m, 1H), 7.39 – 7.28 (m, 6H), 7.28 – 7.25 (m, 1H), 7.18 – 7.16 (m, 1H), 5.80 (s, 1H). 13C NMR (101 MHz, CDCl3): δ ppm 156.4, 148.6, 140.1, 137.6, 129.0, 128.6, 127.4, 123.3, 122.4, 61.4. HRMS (EI+) calcd. for C13H11N2O2SF3 [M+H]+: 317.0572, found: 317.0571.

**2-(Phenyl(pyridin-2-yl)methyl)isoindoline-1,3-dione 8ah**

![Chemical structure of 8ah](image)
**8ah** was prepared according to the general procedure B in 50% yield (35 mg, 0.1 mmol) from 0.2 mmol of **1a**. White solid R\textsubscript{f} (hexanes/EtOAc = 1/1): 0.3. \textsuperscript{1}H NMR (500 MHz, CDCl\textsubscript{3}): δ ppm 8.55 (d, \(J = 4.4\) Hz, 1H), 7.84 – 7.83 (m, 2H), 7.71 – 7.70 (m, 2H), 7.64 – 7.63 (m, 1H), 7.53 – 7.51 (m, 2H), 7.40 – 7.33 (m, 3H), 7.21 – 7.17 (m, 2H), 6.73 (s, 1H). \textsuperscript{13}C NMR (126 MHz, CDCl\textsubscript{3}): δ ppm 168.2, 157.5, 149.3, 137.6, 136.4, 134.1, 132.2, 129.7, 128.8, 128.3, 123.5, 123.0, 122.4, 59.5. HRMS (EI\textsuperscript{+}) calcd. for C\textsubscript{20}H\textsubscript{14}N\textsubscript{2}O\textsubscript{2} [M+H\textsuperscript{+}]: 315.1134, found: 315.1128.

Phenyl(pyridin-2-yl)methyl pentanoate **9aa**

![Phenyl(pyridin-2-yl)methyl pentanoate](image)

**9aa** was prepared according to the general procedure B in 65% yield (35 mg, 0.13 mmol) from 0.2 mmol of **1a**. Colorless liquid R\textsubscript{f} (hexanes/EtOAc = 4/1): 0.3. \textsuperscript{1}H NMR (500 MHz, CDCl\textsubscript{3}): δ ppm 8.58 (d, \(J = 4.1\) Hz, 1H), 7.69 – 7.67 (m, 1H), 7.44 – 7.40 (m, 3H), 7.35 – 7.32 (m, 2H), 7.29 – 7.26 (m, 1H), 7.19 – 7.16 (m, 1H), 6.89 (s, 1H), 2.47 (t, \(J = 7.6\) Hz, 2H), 1.70 – 1.63 (m, 2H), 1.39 – 1.31 (m, 2H), 0.91 (t, \(J = 7.4\) Hz, 3H). \textsuperscript{13}C NMR (126 MHz, CDCl\textsubscript{3}): δ ppm 172.8, 159.5, 149.6, 139.3, 136.8, 128.6, 128.2, 127.4, 122.7, 120.9, 77.8, 34.3, 27.0, 22.3, 13.8. HRMS (EI\textsuperscript{+}) calcd. for C\textsubscript{17}H\textsubscript{19}NO\textsubscript{2} [M+H\textsuperscript{+}]: 270.1494, found: 270.1490.

Phenyl(pyridin-2-yl)methyl dodecanoate **9ab**

![Phenyl(pyridin-2-yl)methyl dodecanoate](image)

**9ab** was prepared according to the general procedure B in 63% yield (46 mg, 0.125 mmol) from 0.2 mmol of **1a**. Colorless liquid R\textsubscript{f} (hexanes/EtOAc = 4/1): 0.3. \textsuperscript{1}H NMR (500 MHz, CDCl\textsubscript{3}): δ ppm 8.57 (d, \(J = 4.2\) Hz, 1H), 7.71 – 7.67 (m, 1H), 7.43 – 7.42 (m, 3H), 7.35 – 7.32 (m, 2H), 7.29 – 7.27 (m, 1H), 7.20 – 7.17 (m, 1H), 6.89 (s, 1H), 2.46 (t, \(J = 7.5\) Hz, 2H), 1.69-1.65 (m, 2H), 1.28-
1.25 (m, 16H), 0.87 (d, *J* = 7.1 Hz, 3H). $^{13}$C NMR (126 MHz, CDCl$_3$): δ ppm 172.8, 159.5, 149.6, 139.3, 136.8, 128.6, 128.2, 127.4, 122.7, 120.9, 77.8, 34.6, 32.0, 30.0, 29.7, 29.5, 29.4, 29.3, 29.2, 25.0, 22.8, 14.2. HRMS (EI+) calcd. for C$_{24}$H$_{33}$NO$_2$ [M+H]$^+$: 368.2590, found: 368.2585.

(4-Methoxyphenyl)(pyridin-2-yl)methyl benzoate 9cc

![Structural formula of 9cc](image)

9cc was prepared according to the general procedure B in 60% yield (38.5 mg, 0.121 mmol) from 0.2 mmol of 1c. Colorless solid. R$_f$ (hexanes/EtOAc = 2/3): 0.3. $^1$H NMR (500 MHz, CDCl$_3$): δ ppm 8.64 (d, *J* = 4.7 Hz, 1H), 8.17 – 8.16 (m, 2H), 7.71 – 7.72 (m, 1H), 7.59 – 7.54 (m, 2H), 7.50 – 7.43 (m, 4H), 7.22 – 7.20 (m, 1H), 7.11 (s, 1H), 6.90 – 6.88 (m, 2H), 3.78 (s, 3H).

$^{13}$C NMR (126 MHz, CDCl$_3$): δ ppm 165.6, 159.6, 149.5, 137.1, 133.3, 130.1, 129.9, 129.0, 128.5, 128.4, 122.8, 120.7, 114.1, 78.1, 55.3. HRMS (EI+) calcd. for C$_{20}$H$_{17}$NO$_3$ [M+H]$^+$: 320.1287, found: 320.1278.

2-(1,2-Diphenylcyclopropyl)pyridine 11aa

![Structural formula of 11aa](image)

11aa was prepared according to the general procedure C in 77% yield, dr 1.33:1, (42 mg, 0.155 mmol) from 0.2 mmol of 1a. Colorless liquid. R$_f$ (hexanes/EtOAc = 4/1): 0.2. $^1$H NMR (500 MHz, CDCl$_3$): δ 8.60 (d, *J* = 4.1 Hz, 1H), 8.43 (d, *J* = 4.0 Hz, 1H), 7.49 – 7.47 (m, 1H), 7.43 – 7.24 (m, 4H), 7.24 – 7.15 (m, 3H), 7.15 – 7.01 (m, 7H), 6.98 – 6.96 (m, 2H), 6.94 – 6.92 (m, 1H), 6.85 – 6.83 (m, 2H), 6.77 (d, *J* = 7.9 Hz, 1H), 3.34 (t, *J* = 7.9 Hz, 1H), 3.02 (t, *J* = 7.8 Hz, 1H), 2.55 (t, *J* = 6.0 Hz, 1H), 2.25 (dd, *J* = 9.0, 4.5 Hz, 1H), 2.00 (dd, *J* = 6.7, 4.6 Hz, 1H), 1.75 (dd, *J* = 8.9, 5.2 Hz, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$): δ ppm 163.9, 159.4, 149.0, 148.5, 145.3, 138.8, 138.4,
138.1, 135.6, 135.5, 132.5, 128.7, 128.5, 128.3, 128.1, 127.9, 127.6, 127.5, 126.8, 126.6, 126.5, 126.0, 125.9, 125.6, 125.5, 121.8, 120.9, 120.2, 77.3, 77.0, 76.8, 41.0, 40.2, 34.2, 32.1, 22.9, 18.9.

HRMS (EI+) calcd. for C_{20}H_{17}N [M+H]^+: 272.1439, found: 272.1427.

2-(1-(4-Methoxyphenyl)-2-phenylcyclopropyl)pyridine 11ba

![Chemical structure of 11ba](image)

11ba was prepared according to the general procedure C in 72% yield, dr 1.25:1, (44 mg, 0.146 mmol) from 0.2 mmol of 1b. Colorless liquid. R_f(hexanes/EtOAc = 4/1): 0.2. Minor diastereomer

$^1$H NMR (500 MHz, CDCl$_3$) δ ppm 8.57 (d, $J = 4.2$ Hz, 1H), 7.41 (d, $J = 7.5$ Hz, 1H), 7.13 – 6.97 (m, 6H), 6.84 – 6.82 (m, 2H), 6.75 – 6.70 (m, 3H), 3.76 (s, 3H), 3.27 (d, $J = 7.0$ Hz, 1H), 2.21 (dd, $J = 8.9$, 4.4 Hz, 1H), 1.92 (dd, $J = 6.7$, 4.5 Hz, 1H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ ppm 164.7, 158.7, 149.4, 139.4, 136.0, 133.9, 130.7, 128.4, 127.9, 125.8, 122.2, 120.5, 113.9, 55.5, 34.7, 23.6.

Major diastereomer $^1$H NMR (500 MHz, CDCl$_3$) δ ppm 8.38 (d, $J = 3.8$ Hz, 1H), 7.42 – 7.40 (m, 2H), 7.31 – 7.24 (m, 1H), 7.07 – 7.00 (m, 2H), 7.02 (d, $J = 6.0$ Hz, 1H), 6.98 – 6.96 (m, 2H), 6.93 – 6.82 (m, 4H), 3.80 (s, 3H), 2.94 (s, 1H), 2.49 (t, $J = 5.9$ Hz, 1H), 1.68 (dd, $J = 8.9$, 5.2 Hz, 1H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ ppm 160.2, 148.8, 138.7, 138.0, 135.9, 130.4, 128.5, 128.0, 126.0, 125.9, 121.2, 114.2, 55.7, 32.3, 19.1. HRMS (EI+) calcd. for C_{21}H_{19}NO [M+H]^+: 302.1545, found: 302.1547.

2-(2-Phenyl-1-(p-tolyl)cyclopropyl)pyridine 11ea

![Chemical structure of 11ea](image)
**11ea** was prepared according to the general procedure C in 86% yield, dr 1.2:1, (49mg, 0.172 mmol) from 0.2 mmol of 1e. Colorless liquid. Rf (hexanes/EtOAc = 4/1): 0.2. \(^1\)H NMR (500 MHz, CDCl\(_3\)) \(\delta\) ppm 8.57 (d, \(J = 2.3\) Hz, 1H), 8.40 (d, \(J = 3.1\) Hz, 1H), 7.43 – 7.33 (m, 3H), 7.32 – 7.21 (m, 2H), 7.17 – 7.13 (m, 2H), 7.12 – 7.05 (m, 5H), 7.05 – 6.95 (m, 10H), 6.93 – 6.90 (m, 2H), 6.87 – 6.81 (m, 3H), 6.77 (d, \(J = 8.0\) Hz, 1H), 3.29 (t, \(J = 7.9\) Hz, 1H), 2.98 (t, \(J = 7.8\) Hz, 1H), 2.51 (t, \(J = 6.0\) Hz, 1H), 2.34 (s, 3H), 2.30 (s, 3H), 2.25 – 2.19 (m, 1H), 1.95 (dd, \(J = 6.7, 4.5\) Hz, 1H), 1.70 (dd, \(J = 8.9, 5.2\) Hz, 1H). \(^1\)C NMR (126 MHz, CDCl\(_3\)) \(\delta\) ppm 164.2, 159.6, 148.9, 148.4, 142.4, 139.0, 138.3, 136.3, 136.1, 135.6, 135.5, 135.1, 132.3, 129.2, 128.9, 128.7, 128.1, 128.0, 127.6, 127.5, 125.8, 125.6, 125.4, 121.8, 120.8, 120.2, 40.7, 39.8, 34.2, 31.9, 23.0, 21.2, 21.0, 18.8. HRMS (EI+) calcd. for C\(_{21}\)H\(_{19}\)N [M+H]\(^+\): 286.1596, found: 286.1595.

2-(1-(4-bromophenyl)-2-phenylcyclopropyl)pyridine **11ga**

![Chemical structure of 11ga](image)

**11ga** was prepared according to the general procedure C in 71% yield, dr 1.2:1, (50mg, 0.143 mmol) from 0.2 mmol of 1g. Colorless liquid. Rf (hexanes/EtOAc = 4/1): 0.2. Major diastereomer \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\) ppm 8.60 – 8.53 (m, 1H), 7.44 – 7.37 (m, 1H), 7.35 – 7.29 (m, 2H), 7.08 – 7.03 (m, 4H), 7.01 – 6.94 (m, 2H), 6.84 – 6.80 (m, 2H), 6.75 – 6.69 (m, 1H), 3.33 (dd, \(J = 9.0, 6.9\) Hz, 1H), 2.23 (dd, \(J = 9.0, 4.6\) Hz, 1H), 1.95 (dd, \(J = 6.9, 4.6\) Hz, 1H). \(^1\)C NMR (101 MHz, CDCl\(_3\)) \(\delta\) ppm 163.2, 149.1, 138.3, 137.6, 135.7, 134.1, 131.3, 127.9, 127.7, 125.7, 121.6, 120.9, 120.4, 77.3, 77.1, 76.7, 39.6, 34.1, 22.6. Minor diastereomer \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\) ppm 8.44 – 8.32 (m, 1H), 7.47 – 7.40 (m, 2H), 7.35 – 7.27 (m, 3H), 7.07 – 7.00 (m, 3H), 6.98 – 6.90 (m, 3H), 6.88 – 6.83 (m, 1H), 2.95 (t, \(J = 7.9\) Hz, 1H), 2.51 (t, \(J = 6.1\) Hz, 1H), 1.70 (dd, \(J = 9.0, 5.3\) Hz, 1H). \(^1\)C NMR (101 MHz, CDCl\(_3\)) \(\delta\) ppm 158.8, 148.7, 144.3, 137.7, 135.6, 132.5, 131.5, 130.4, 128.1, 127.7, 125.8, 125.6, 121.1, 120.3, 77.3, 77.0, 76.6, 40.5, 32.1, 18.9. HRMS (EI+) calcd. for C\(_{20}\)H\(_{16}\)BrN [M+H]\(^+\): 350.0544, found: 350.0528.
2-(2-Phenyl-1-(4-(trifluoromethyl)phenyl)cyclopropyl)pyridine 11ha

![Chemical structure of 11ha](image)

11ha was prepared according to the general procedure C in 80% yield, dr 1.1:1, (55 mg, 0.162 mmol) from 0.2 mmol of 1c. Colorless liquid. Rf (hexanes/EtOAc = 4/1): 0.2. 1H NMR (500 MHz, CDCl3) δ ppm 8.63 – 8.56 (m, 1H), 8.44 (d, J = 4.0 Hz, 1H), 7.56 – 7.50 (m, 4H), 7.44 – 7.40 (m, 4H), 7.35 – 7.33 (m, 1H), 7.25 – 7.20 (m, 3H), 7.09 – 7.00 (m, 8H), 6.98 – 6.94 (m, 3H), 6.91 (d, J = 7.8 Hz, 1H), 6.84 – 6.82 (m, 2H), 6.71 – 6.64 (m, 1H), 3.38 (t, J = 7.9 Hz, 1H), 3.02 (t, J = 5.6 Hz, 1H), 1.81 – 1.73 (m, 1H). 13C NMR (126 MHz, CDCl3) δ ppm 162.8, 158.5, 149.2, 148.8, 142.8, 138.0, 137.5, 135.8, 135.7, 132.7, 128.7, 128.1, 127.8, 127.7, 125.9, 125.8, 125.8, 125.4, 125.1, 121.6, 121.3, 120.6, 40.6, 39.9, 34.1, 32.4, 22.4, 19.3. HRMS (EI+) calcd. for C21H16NF3 [M+H]+: 340.1313, found: 340.1306.

2-(2-Phenyl-1-(thiophen-3-yl)cyclopropyl)pyridine 11ia

![Chemical structure of 11ia](image)

11ia was prepared according to the general procedure C in 38% yield, dr 2.5:1, (21.0 mg, 0.075 mmol) from 0.2 mmol of 1i. Colorless liquid. Rf (hexanes/EtOAc = 4/1): 0.2. Major diastereomer 1H NMR (500 MHz, CDCl3) δ ppm 8.47 – 8.41 (m, 1H), 7.38 – 7.36 (m, 1H), 7.28 – 7.25 (m, 1H), 7.08 – 6.95 (m, 6H), 6.90 – 6.88 (m, 2H), 2.97 – 2.90 (m, 1H), 2.49 – 2.44 (m, 1H), 1.76 (dd, J = 8.8, 5.5 Hz, 1H). 13C NMR δ ppm (126 MHz, CDCl3) δ 158.9, 148.7, 146.7, 137.9, 135.6, 127.9, 127.6, 127.0, 126.1, 125.7, 121.3, 120.6, 77.2, 77.0, 76.7, 37.2, 33.2, 19.7. Minor diastereomer 1H NMR (500 MHz, CDCl3) δ ppm 8.60 – 8.53 (m, 1H), 7.46 – 7.44 (m, 1H), 7.09 – 7.00 (m, 5H),
6.96 (s, 1H), 6.89 – 6.80 (m, 3H), 6.71 – 6.64 (m, 1H), 3.27 (t, J = 7.9 Hz, 1H), 2.21 – 2.19 (m, 1H), 1.98 – 1.96 (m, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ ppm 163.3, 149.0, 139.5, 138.6, 135.7, 130.5, 127.8, 127.5, 125.6, 125.0, 121.6, 120.4, 77.2, 77.0, 76.7, 35.2, 34.1, 23.0. HRMS (EI+) calcd. for C$_{18}$H$_{15}$NS [M+H]$^+$: 278.1003, found: 278.0993.

2-(1-(Naphthalen-1-yl)-2-phenylcyclopropyl)pyridine 11na

![Structure of 2-(1-(Naphthalen-1-yl)-2-phenylcyclopropyl)pyridine](image)

11na was prepared according to the general procedure C in 75% yield, dr >20:1, (48.0 mg, 0.149 mmol) from 0.2 mmol of 1n. Colorless liquid. R$_f$ (hexanes/EtOAc = 4/1): 0.3. Major diastereomer

$^1$H NMR (500 MHz, CDCl$_3$) δ ppm 8.48 (d, J = 7.5 Hz, 1H), 8.43 – 8.36 (m, 1H), 7.98 (d, J = 6.7 Hz, 1H), 7.86 – 7.79 (m, 2H), 7.59 – 7.57 (m, 1H), 7.51 – 7.45 (m, 2H), 7.23 – 7.21 (m, 2H), 7.19 – 7.10 (m, 3H), 6.98 (d, J = 7.3 Hz, 1H), 6.86 – 6.71 (m, 2H), 3.24 (t, J = 7.7 Hz, 1H), 3.11 (d, J = 4.9 Hz, 1H), 1.69 (dd, J = 6.8, 5.1 Hz, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ ppm 159.3, 149.1, 148.1, 141.5, 137.9, 135.7, 135.4, 134.1, 132.8, 128.8, 128.5, 127.9, 127.9, 127.6, 126.1, 125.8, 125.7, 125.5, 125.1, 120.6, 120.3, 77.3, 77.0, 76.8, 39.3, 32.7, 18.1. HRMS (EI+) calcd. for C$_{24}$H$_{19}$N [M+H]$^+$: 322.1596, found: 322.1582.

2-(1,2-Diphenylcyclopropyl)quinoline 11ma

![Structure of 2-(1,2-Diphenylcyclopropyl)quinoline](image)

11ma was prepared according to the general procedure C in 31% yield, dr 1.7:1, (20.0 mg, 0.062 mmol) from 0.2 mmol of 1m. Colorless liquid. R$_f$ (hexanes/EtOAc = 4/1): 0.2. $^1$H NMR (500 MHz, CDCl$_3$) δ ppm 8.10 – 8.07 (m, 1H), 7.86 (d, J = 8.6 Hz, 1H), 7.68 – 7.60 (m, 3H), 7.46 – 7.40 (m, 3H), 7.35 – 7.31(m, 1H), 7.22 – 7.18 (m, 5H), 7.13 – 6.92 (m, 7H), 6.89 – 6.87 (m, 2H),
3.58 (t, $J = 7.8$ Hz, 1H), 3.13 (t, $J = 7.8$ Hz, 1H), 2.87 (t, $J = 5.6$ Hz, 1H), 2.43 (dd, $J = 8.5$, 3.8 Hz, 1H), 2.12 – 2.04 (m, 1H), 1.80 (dd, $J = 8.1$, 5.1 Hz, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ ppm 163.8, 159.5, 147.8, 138.9, 138.5, 137.8, 135.4, 135.4, 132.5, 129.3, 129.2, 129.1, 128.9, 128.6, 128.5, 128.2, 128.1, 127.6, 127.5, 127.4, 127.3, 126.8, 126.6, 126.4, 125.9, 125.7, 125.5, 125.5, 123.9, 120.5, 77.3, 77.0, 76.7, 41.9, 41.0, 34.7, 32.3, 23.3, 19.4. HRMS (EI+) calcd. for C$_{24}$H$_{19}$N $[M+H]^+$: 322.1596, found: 322.1583.

2-Chloro-6-(1,2-diphenylcyclopropyl)pyridine 11oa

![Diagram of 2-Chloro-6-(1,2-diphenylcyclopropyl)pyridine 11oa]

11oa was prepared according to the general procedure C in 95% yield, dr 1.2:1, (58.0 mg, 0.190 mmol) from 0.2 mmol of 1o. Colorless liquid. R$_f$ (hexanes/EtOAc = 4/1): 0.2. $^1$H NMR (500 MHz, CDCl$_3$) δ ppm 7.51 (d, $J = 7.9$ Hz, 2H), 7.42 – 7.25 (m, 5H), 7.23 – 7.20 (m, 4H), 7.18 – 7.13 (m, 2H), 7.13 – 6.99 (m, 9H), 6.93 (d, $J = 7.8$ Hz, 1H), 6.87 – 6.76 (m, 3H), 6.66 (d, $J = 7.7$ Hz, 1H), 3.34 (dd, $J = 9.0$, 7.0 Hz, 1H), 3.07 (t, $J = 8.0$ Hz, 1H), 2.69 (dd, $J = 7.0$, 5.3 Hz, 1H), 2.30 (dd, $J = 9.1$, 4.6 Hz, 1H), 2.03 (dd, $J = 6.9$, 4.6 Hz, 1H), 1.73 (dd, $J = 9.0$, 5.3 Hz, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ ppm 165.3, 160.7, 150.8, 149.8, 144.5, 138.4, 138.2, 138.0, 137.6, 137.3, 135.9, 135.7, 132.5, 129.3, 129.0, 128.9, 128.6, 128.6, 128.3, 128.1, 128.0, 127.7, 127.6, 127.3, 127.2, 127.0, 126.8, 126.7, 126.5, 125.9, 125.7, 125.6, 124.0, 121.1, 120.6, 120.2, 77.3, 77.1, 76.8, 40.4, 40.0, 34.9, 32.8, 23.3, 18.9. HRMS (EI+) calcd. for C$_{20}$H$_{16}$ClN $[M+H]^+$: 306.1050, found: 306.1037.

2-(2-(3-Methoxyphenyl)-1-phenylcyclopropyl)pyridine 11ab

![Diagram of 2-(2-(3-Methoxyphenyl)-1-phenylcyclopropyl)pyridine 11ab]
**11ab** was prepared according to the general procedure C in 96% yield, dr 1.1:1, (58.0 mg, 0.191 mmol) from 0.2 mmol of **1a**. Colorless liquid. *R*<sub>f</sub> (hexanes/EtOAc = 3/1): 0.3. Major diastereomer

1H NMR (500 MHz, CDCl<sub>3</sub>) δ ppm 8.58 (d, *J* = 3.9 Hz, 1H), 7.40 – 7.35 (m, 1H), 7.27 – 7.11 (m, 5H), 7.06 – 6.95 (m, 2H), 6.78 (d, *J* = 8.0 Hz, 1H), 6.63 – 6.56 (m, 1H), 6.49 (d, *J* = 7.5 Hz, 1H), 3.57 (s, 3H), 3.30 (dd, *J* = 8.8, 7.0 Hz, 1H), 2.24 (dd, *J* = 9.0, 4.6 Hz, 1H), 1.96 (dd, *J* = 6.7, 4.6 Hz, 1H). 13C NMR (126 MHz, CDCl<sub>3</sub>) δ ppm 163.8, 158.9, 149.1, 140.6, 138.3, 135.6, 132.4, 128.4, 128.3, 126.8, 121.9, 120.7, 120.3, 113.0, 111.7, 77.3, 77.0, 76.8, 54.9, 40.3, 34.2, 23.3.

Minor diastereomer

1H NMR (500 MHz, CDCl<sub>3</sub>) δ ppm 8.46 – 8.41 (m, 1H), 7.51 – 7.42 (m, 2H), 7.33 – 7.28 (m, 3H), 7.24 – 7.20 (m, 1H), 7.02 – 7.00 (m, 1H), 6.97 – 6.92 (m, 2H), 6.65 – 6.54 (m, 2H), 6.46 (d, *J* = 1.7 Hz, 1H), 3.64 (s, 3H), 2.97 (dd, *J* = 8.8, 6.9 Hz, 1H), 2.50 (dd, *J* = 6.7, 5.4 Hz, 1H), 1.74 (dd, *J* = 8.9, 5.3 Hz, 1H). 13C NMR (126 MHz, CDCl<sub>3</sub>) δ ppm 159.4, 159.1, 148.6, 145.3, 139.9, 135.6, 128.6, 128.5, 128.5, 126.5, 126.0, 121.0, 120.8, 113.2, 111.6, 77.2, 77.0, 76.8, 55.0, 41.1, 32.0, 19.2. HRMS (EI+) calcd. for C<sub>21</sub>H<sub>19</sub>N [M+H]<sup>+</sup>: 302.1531, found: 302.1531.

2-(2-Methyl-1,2-diphenylcyclopropyl)pyridine **11ac**

![Diagram of 2-(2-Methyl-1,2-diphenylcyclopropyl)pyridine](image)

**11ac** was prepared according to the general procedure C in 96% yield, dr 1.6:1, (58.0 mg, 0.191 mmol) from 0.2 mmol of **1a**. Colorless liquid. *R*<sub>f</sub> (hexanes/EtOAc = 4/1): 0.3. 1H NMR (500 MHz, CDCl<sub>3</sub>) δ ppm 8.67 (d, *J* = 5.0 Hz, 1H), 8.22 (d, *J* = 5.0 Hz, 1H), 7.68 – 7.63 (m, 2H), 7.60 – 7.55 (m, 1H), 7.49 (d, *J* = 7.8 Hz, 1H), 7.42 – 7.38 (m, 2H), 7.32 – 7.30 (m, 1H), 7.28 – 7.19 (m, 8H), 7.19 – 7.00 (m, 11H), 6.99 – 6.93 (m, 1H), 6.78 – 6.72 (m, 1H), 2.81 (d, *J* = 4.8 Hz, 1H), 2.27 (d, *J* = 5.4 Hz, 1H), 1.96 (d, *J* = 5.4 Hz, 1H), 1.56 (d, *J* = 4.9 Hz, 1H), 1.40 (s, 3H), 1.39 (s, 3H). 13C NMR (126 MHz, CDCl<sub>3</sub>) δ ppm 162.0, 160.8, 149.1, 148.1, 143.2, 142.8, 141.9, 141.5, 136.1, 135.2, 131.3, 130.4, 128.8, 128.3, 128.2, 127.6, 127.6, 127.5, 126.6, 125.8, 125.6, 125.4, 124.8, 123.9, 121.3, 120.1, 77.3, 77.1, 76.8, 44.4, 43.8, 34.7, 32.8, 26.7, 24.5, 24.2, 23.6. HRMS (EI+) calcd. for C<sub>21</sub>H<sub>19</sub>N [M+H]<sup>+</sup>: 286.1596, found: 286.1586.
2-(2-(4-Chlorophenyl)-1-phenylcyclopropyl)pyridine **11ad**

![Chemical structure of 11ad](image)

**11ad** was prepared according to the general procedure **C** in 99% yield, dr 1.5:1, (61.0 mg, 0.195 mmol) from 0.20 mmol of **1a**. Colorless liquid. R<sub>r</sub> (hexanes/EtOAc = 4/1): 0.3. <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ ppm 8.58 (d, <i>J</i> = 4.0 Hz, 1H), 8.41 (d, <i>J</i> = 4.2 Hz, 1H), 7.46 – 7.40 (m, 2H), 7.36 – 7.30 (m, 4H), 7.29 – 7.18 (m, 5H), 7.16 – 7.09 (m, 3H), 7.05 – 7.00 (m, 5H), 6.93 – 6.89 (m, 4H), 6.75 – 6.70 (m, 3H), 3.36 – 3.30 (m, 1H), 3.01 – 2.94 (m, 1H), 2.54 (t, <i>J</i> = 5.9 Hz, 1H), 2.24 (dd, <i>J</i> = 8.8, 4.5 Hz, 1H), 1.97 – 1.91 (m, 1H), 1.74 (dd, <i>J</i> = 8.8, 5.2 Hz, 1H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>) δ ppm 163.5, 159.1, 149.0, 148.6, 145.0, 137.9, 137.6, 136.8, 135.7, 132.4, 131.4, 131.2, 129.6, 129.1, 128.9, 128.8, 128.7 128.6, 128.5, 128.7, 127.4, 127.7, 127.6, 127.2, 126.8, 126.6, 125.7, 121.8, 121.1, 120.4, 77.3, 77.0, 76.8, 41.0, 40.9, 33.4, 31.5, 23.1, 19.1. HRMS (EI+) calcd. for C<sub>20</sub>H<sub>16</sub>ClN [M+H]<sup>+</sup>: 306.1050, found: 306.1037.

2-(1-Phenyl-2-(o-tolyl)cyclopropyl)pyridine **11ae**

![Chemical structure of 11ae](image)

**11ae** was prepared according to the general procedure **C** in 84% yield, dr 1.1:1, (48.0 mg, 0.168 mmol) from 0.20 mmol of **1a**. Colorless liquid. R<sub>r</sub> (hexanes/EtOAc = 4/1): 0.3. <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ ppm 8.64 – 8.57 (m, 1H), 8.37 – 8.31 (m, 1H), 7.57 – 7.49 (m, 2H), 7.42 – 7.38 (m, 1H), 7.37 – 7.33 (m, 2H), 7.28 – 7.24 (m, 2H), 7.18 – 7.10 (m, 4H), 7.07 – 7.02 (m, 4H), 7.01 – 6.95 (m, 2H), 6.95 – 6.78 (m, 6H), 6.52 (d, <i>J</i> = 7.7 Hz, 1H), 3.50 – 3.40 (m, 1H), 3.07 (dd, <i>J</i> = 8.6, 7.3 Hz, 1H), 2.73 (dd, <i>J</i> = 6.8, 5.1 Hz, 1H), 2.52 (s, 3H), 2.44 (s, 3H), 2.18 (dd, <i>J</i> = 7.1, 4.6 Hz, 1H), 2.14 (dd, <i>J</i> = 8.9, 4.5 Hz, 1H), 1.66 (dd, <i>J</i> = 8.9, 5.0 Hz, 1H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)
δ ppm 164.1, 159.7, 149.1, 148.3, 145.3, 138.8, 137.7, 136.8, 135.9, 135.6, 135.3, 131.7, 130.2, 129.4, 129.3, 128.6, 128.5, 128.0, 127.5, 126.6, 126.4, 125.9, 125.6, 125.1, 124.8, 121.7, 120.7, 120.2, 77.3, 77.1, 76.8, 39.9, 39.4, 31.7, 30.0, 21.1, 20.7, 20.3, 18.6.

HRMS (EI+) calcd. for C\textsubscript{21}H\textsubscript{19}N [M+H]\textsuperscript{+}: 286.1572, found: 286.1582.

2-(1-Phenyl-2-(o-tolyl)cyclopropyl)pyridine 11af

![Diagram of 11af](image)

11af was prepared according to the general procedure C in 35% yield, dr 5:1, (20.0 mg, 0.070 mmol) from 0.20 mmol of 1a. Colorless liquid. R\textsubscript{f} (hexanes/EtOAc = 4/1): 0.3. \(^1\)H NMR (500 MHz, CDCl\textsubscript{3}) δ ppm 8.64 – 8.57 (m, 1H), 8.37 – 8.31 (m, 1H), 7.57 – 7.49 (m, 2H), 7.42 – 7.38 (m, 1H), 7.37 – 7.34 (m, 2H), 7.29 – 7.25 (m, 2H), 7.18 – 7.10 (m, 4H), 7.06 – 7.02 (m, 4H), 7.01 – 6.95 (m, 2H), 6.95 – 6.78 (m, 6H), 6.52 (d, J = 7.7 Hz, 1H), 3.50 – 3.40 (m, 1H), 3.07 (dd, J = 8.6, 7.3 Hz, 1H), 2.73 (dd, J = 6.8, 5.1 Hz, 1H), 2.52 (s, 3H), 2.44 (s, 3H), 2.18 (dd, J = 7.1, 4.6 Hz, 1H), 2.14 (dd, J = 8.9, 4.5 Hz, 1H), 1.66 (dd, J = 8.9, 5.0 Hz, 1H). \(^{13}\)C NMR (126 MHz, CDCl\textsubscript{3}) δ ppm 160.7, 148.4, 148.1, 141.8, 138.6, 135.8, 135.5, 131.2, 130.5, 128.4, 128.1, 128.0, 127.6, 127.5, 126.6, 126.3, 126.1, 125.4, 125.3, 121.3, 120.8, 120.7, 77.3, 77.1, 76.8, 46.4, 37.6, 35.2, 26.1, 22.4, 15.4, 13.8. HRMS (EI+) calcd. for C\textsubscript{21}H\textsubscript{19}N [M+H]\textsuperscript{+}: 286.1596, found: 286.1585.

2,2’-(1-Phenylcyclopropane-1,2-diyl)dipyridine 11ag

![Diagram of 11ag](image)

11ag was prepared according to the general procedure C in 97% yield, dr 1.8:1, (53.0 mg, 0.194 mmol) from 0.20 mmol of 1a. Colorless liquid. R\textsubscript{f} (hexanes/EtOAc = 3/1): 0.2. Major diastereomer \(^1\)H NMR (500 MHz, CDCl\textsubscript{3}) δ ppm 8.59 – 8.51 (m, 1H), 8.27 – 8.23 (m, 1H), 7.41 – 7.32 (m, 2H),
7.17 – 7.06 (m, 5H), 7.02 – 6.95 (m, 1H), 6.92 – 6.87 (m, 1H), 6.86 (d, J = 7.9 Hz, 1H), 6.78 – 6.73 (m, 1H), 3.54 (dd, J = 8.6, 6.8 Hz, 1H), 2.36 – 2.34 (m, 1H), 2.24 – 2.21 (m, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ ppm 163.4, 158.3, 148.9, 148.5, 138.4, 135.6, 135.2, 132.1, 128.5, 126.6, 122.7, 121.9, 120.4, 120.4, 77.3, 77.0, 76.7, 40.8, 35.6, 21.7. Minor diastereomer $^1$H NMR (500 MHz, CDCl$_3$) δ ppm 8.36 (d, J = 3.4 Hz, 1H), 8.28 (d, J = 3.6 Hz, 1H), 7.48 – 7.42 (m, 2H), 7.36 – 7.30 (m, 1H), 7.34 – 7.26 (m, 3H), 7.21 – 7.17 (m, 1H), 7.01 (d, J = 7.8 Hz, 1H), 6.96 – 6.90 (m, 1H), 6.91 – 6.87 (m, 2H), 3.20 – 3.12 (m, 1H), 2.72 – 2.66 (m, 1H), 1.80 (dd, J = 8.6, 5.1 Hz, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ ppm 159.4, 158.1, 148.5, 148.5, 144.8, 135.6, 135.6, 128.5, 128.3, 126.5, 125.8, 122.6, 120.9, 120.5, 77.2, 77.0, 76.7, 41.1, 33.6, 19.1. HRMS (EI+) calcd. for C$_{19}$H$_{16}$N$_2$ [M+H]$^+$: 273.1392, found: 273.1380.

2-(2-Ethoxy-1-phenycyclopropyl)pyridine 11ah

11ah was prepared according to the general procedure C in 42% yield, dr 1.1:1, (20.0 mg, 0.083 mmol) from 0.20 mmol of 1a. Colorless liquid. R$_f$(hexanes/EtOAc = 3/1): 0.2. Major diastereomer $^1$H NMR (500 MHz, CDCl$_3$) δ ppm 8.52 – 8.45 (m, 1H), 7.39 – 7.34 (m, 5H), 7.31 – 7.26 (m, 1H), 7.04 – 6.97 (m, 1H), 6.82 (d, J = 8.0 Hz, 1H), 4.12 (dd, J = 6.7, 4.1 Hz, 1H), 3.63 (q, J = 7.0 Hz, 2H), 1.80 (dd, J = 6.6, 5.4 Hz, 1H), 1.65 – 1.62 (m, 1H), 1.08 (t, J = 7.0 Hz, 3H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ ppm 163.5, 148.8, 138.4, 135.6, 131.6, 128.2, 126.8, 122.0, 120.2, 77.2, 77.0, 76.7, 66.2, 65.1, 37.0, 23.0, 15.0. Minor diastereomer $^1$H NMR (500 MHz, CDCl$_3$) δ ppm 8.57 (d, J = 4.0, 1H), 7.52 – 7.47 (m, 1H), 7.37 – 7.33 (m, 2H), 7.32 – 7.28 (m, 2H), 7.25 – 7.19 (m, 2H), 7.08 – 7.00 (m, 1H), 3.89 (dd, J = 7.0, 4.2 Hz, 1H), 3.58 (dt, J = 14.1, 7.0 Hz, 1H), 3.47 – 3.40 (m, 1H), 2.29 (dd, J = 5.9, 4.2 Hz, 1H), 1.41 (dd, J = 6.9, 6.1 Hz, 1H), 0.98 (t, J = 7.0 Hz, 3H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ ppm 159.3, 148.5, 143.4, 135.6, 129.6, 128.6, 126.6, 124.4, 120.8, 77.2, 77.0, 76.7, 66.3, 64.5, 38.5, 19.9, 14.8. HRMS (EI+) calcd. for C$_{16}$H$_{17}$NO [M+H]$^+$: 240.1388, found: 240.1381.
2-Phenyl-2-(pyridin-2-yl)cyclopropanecarbonitrile 11ai

![Image](attachment:image.png)

11ai was prepared according to the general procedure C in 68% yield, dr 1.5:1, (30.0 mg, 0.136 mmol) from 0.2 mmol of 1a. Colorless semi-solid. R\textsubscript{f} (hexanes/EtOAc = 4/1): 0.3. \textsuperscript{1}H NMR (400 MHz, CDCl\textsubscript{3}) (NMR reported only for major diastereomer) δ ppm 8.48 (d, \(J = 3.9\) Hz, 1H), 7.50 – 7.46 (m, 4H), 7.46 – 7.36 (m, 2H), 7.11 – 7.08 (m, 1H), 6.78 (d, \(J = 8.0\) Hz, 1H), 2.89 – 2.85 (m, 1H), 2.10 (dd, \(J = 9.2, 4.3\) Hz, 1H), 1.94 (dd, \(J = 6.0, 4.3\) Hz, 1H). \textsuperscript{13}C NMR (101 MHz, CDCl\textsubscript{3}) δ ppm 159.7, 149.2, 136.8, 136.3, 131.3, 129.2, 128.6, 122.5, 121.7, 119.8, 38.0, 23.4, 13.8. HRMS (EI\textsuperscript{+}) calcd. for \(\text{C}_{15}\text{H}_{13}\text{N}_{2}\) [M+H]\textsuperscript{+}: 221.1079, found: 221.1072.

1-(2-Phenyl-2-(pyridin-2-yl)cyclopropyl)ethanone 11aj

![Image](attachment:image.png)

11aj was prepared according to the general procedure C in 70% yield, dr 4:1, (33.3 mg, 0.14 mmol) from 0.2 mmol of 1a. Colorless liquid. R\textsubscript{f} (hexanes/EtOAc = 7/3): 0.32. \textsuperscript{1}H NMR (500 MHz, CDCl\textsubscript{3}) (NMR reported only for major diastereomer) δ ppm 8.52 (d, \(J = 4.6\) Hz, 1H), 7.44 – 7.41 (m, 1H), 7.37 – 7.35 (m, 2H), 7.31 – 7.30 (m, 1H), 7.28 – 7.26 (m, 2H), 7.08 – 7.06 (m, 1H), 6.77 – 6.76 (m, 1H), 3.40 – 3.37 (m, 1H), 2.26 – 2.24 (m, 1H), 2.18 (s, 3H), 1.89 (dd, \(J = 7.9, 3.8\) Hz, 1H). \textsuperscript{13}C NMR (126 MHz, CDCl\textsubscript{3}) δ ppm 204.3, 162.1, 149.1, 137.8, 136.0, 131.2, 128.7, 127.6, 122.7, 121.1, 43.0, 38.3, 31.8, 22.9. HRMS (EI\textsuperscript{+}) calcd. for \(\text{C}_{16}\text{H}_{16}\text{NO}\) [M+H]\textsuperscript{+}: 238.1232, found: 238.1227.
Ethyl 2-phenyl-2-(pyridin-2-yl)cyclopropanecarboxylate **11ak**

![Chemical structure of 11ak]

**11ak** was prepared according to the general procedure C in 90% yield, dr 9:1, (48.3 mg, 0.181 mmol) from 0.2 mmol of **1a**. Colorless semi-solid. R$_f$(hexanes/EtOAc = 7/3): 0.32. $^1$H NMR (400 MHz, CDCl$_3$) (NMR reported only for major diastereomer) δ ppm 8.53 – 8.48 (m, 1H), 7.41 – 7.34 (m, 3H), 7.33 – 7.29 (m, 1H), 7.06 – 7.02 (m, 1H), 6.78 (d, J = 8.0 Hz, 1H), 3.98 – 3.83 (m, 2H), 3.06 – 3.02 (dd, J = 8.1, 6.3 Hz, 1H), 2.15 (dd, J = 6.2, 4.0 Hz, 1H), 1.95 (dd, J = 8.2, 4.0 Hz, 1H), 1.00 (t, J = 7.1 Hz, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ ppm 170.7, 162.0, 149.1, 138.5, 135.9, 131.1, 128.6, 127.6, 122.5, 121.0, 60.4, 39.9, 31.0, 21.9, 14.1. HRMS (EI+) calcd. for C$_{17}$H$_{18}$NO$_2$ [M+H]$^+$: 268.1338, found: 268.1335.

1-Phenyl-1-(pyridin-2-yl)-1,6b-dihydrocyclopropa[b]indol-2(1aH)-yl)ethanone **11al**

![Chemical structure of 11al]

**11al** was prepared according to the general procedure C in 30% yield, dr 1:1, (19.6 mg, 0.06 mmol) from 0.2 mmol of **1a**. Colorless liquid. R$_f$(hexanes/EtOAc = 7/3): 0.3. $^1$H NMR (400 MHz, CDCl$_3$) (NMR reported only for major diastereomer) δ ppm 8.56 (d, J = 4.3 Hz, 1H), 7.80 (d, J = 7.4 Hz, 1H), 7.40 – 7.36 (m, 3H), 7.15 – 7.11 (m, 2H), 7.09 – 7.06 (m, 1H), 7.02 – 6.99 (m, 2H), 6.96 – 6.68 (m, 2H), 6.67 (d, J = 8.0 Hz, 1H), 4.95 (d, J = 6.9 Hz, 1H), 3.79 (d, J = 6.8 Hz, 1H), 2.50 (s, 3H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ ppm 169.2, 162.4, 149.2, 143.3, 135.8, 133.1, 132.4, 131.6, 128.5, 127.4, 125.0, 123.5, 122.3, 120.7, 116.9, 52.9, 37.8, 35.3, 24.7. HRMS (EI+) calcd. for HRMS (EI+) calcd. for C$_{22}$H$_{19}$N$_2$O [M+H]$^+$: 327.1497, found: 327.1487.
6. Synthesis of Biologically Active Molecules

Synthesis of 4,4'-((pyridin-2-yl)methylene)diphenol 12

\[
\begin{align*}
\text{1c} & \quad \begin{array}{c}
\text{OMe} \\
\end{array} \\
\text{+} & \quad \begin{array}{c}
\text{B(OH)}_2 \\
\text{TBS} \\
\end{array} \\
\xrightarrow{\text{K}_2\text{CO}_3 (3 \text{ equiv})} & \quad \begin{array}{c}
\text{Ph-H (0.1M)} \\
390 \text{ nm, rt, 10 h} \\
\text{then} \\
\text{HBr:AcOH (1:1)} \\
\text{reflux, ovn} \\
\text{12; 80\%} \\
& \quad \text{Desacetyl bisacodyl}
\end{array}
\end{align*}
\]

An oven dried 3 mL Wheaton V-vial containing a stirring bar was charged with Pyridotriazoles 1c (45 mg, 0.2 mmol, 1 equiv), K₂CO₃ (83 mg, 0.6 mmol, 3 equiv) and TBS protected aryl boronic acid 2b (76 mg, 0.3 mmol, 1.5 equiv) in dry and degassed benzene (2 mL) under argon atmosphere (outside glovebox). After the reaction vessel was capped with a pressure screw cap in the glove box. The vial was irradiated with 40 W Kessil LED PR160-390 nm for 10 h (monitored by GC/MS), with cooling from a fan (vial temperature reached 37 °C). The vial distance from the lamp was about 2-3 cm. The resulting mixture was passed through a short pad of Celite, and concentrated under a reduced pressure. To the crude reaction mixture HBr (1.5 mL), AcOH (1.5 mL) were added and the reaction mixture was refluxed for overnight (monitored by TLC) complete conversation of the starting material. The cooled reaction mixture was diluted with H₂O (5 mL) and neutralized using a 10 M NaOH(aq) solution, extracted with EtOAc (5 mL) combined organic layers were dried over MgSO₄, filtered, and the solvent removed in vacuo and purified by column chromatography in hexanes/EtOAc to afford the corresponding desacetyl bisacodyl 12 in 81% yield (50.0 mg, 0.162 mmol) brownish solid. Rₚ (hexanes/EtOAc = 1/2): 0.3. ¹H NMR (500 MHz, MeOD₄): δ ppm 8.44 (d, J = 4.4 Hz, 1H), 7.75 – 7.72 (m, 1H), 7.26 – 7.22 (m, 1H), 7.14 – 7.12 (m, 1H), 6.91 – 6.89 (m, 4H), 6.72 – 6.70 (m, 4H), 5.51 (s, 1H). ¹³C NMR (126 MHz, MeOD₄): δ ppm 165.4, 157.1, 149.5, 138.6, 135.1, 131.3, 125.4, 122.9, 116.1, 58.6. HRMS (EI⁺) calcd. for C₁₈H₁₅NO₂ [M+H]⁺: 278.1181, found: 278.1173.
Synthesis of (pyridin-2-ylmethylene)bis(4,1-phenylene) diacetate 13

An oven dried 3 mL Wheaton V-vial containing a stirring bar was charged with Pyridotriazoles 1c (45 mg, 0.2 mmol, 1 equiv), K$_2$CO$_3$ (83 mg, 0.6 mmol, 3 equiv) and TBS protected aryl boronic acid 2b (76 mg, 0.3 mmol, 1.5 equiv) in dry and degassed benzene (2 mL) under argon atmosphere (outside glovebox). After the reaction vessel was capped with a pressure screw cap in the glovebox. The vial was irradiated with 40 W Kessil LED PR160-390 nm for 10 h (monitored by GC/MS), with cooling from a fan (vial temperature reached 37 °C). The vial distance from the lamp was about 2-3 cm. The resulting mixture was passed through a short pad of Celite, and concentrated under a reduced pressure. To the crude reaction mixture HBr (1.5 mL), AcOH (1.5 mL) were added and the reaction mixture was refluxed for overnight (monitored by TLC) complete conversion of the starting material. The cooled reaction mixture was diluted with H$_2$O (5 mL) and neutralized using a 10 M NaOH(aq) solution, extracted with EtOAc (5 mL) combined organic layers were dried over Na$_2$SO$_4$, filtered, the solvent removed in vacuo. Crude compound was dissolved CH$_2$Cl$_2$ (4 mL). Et$_3$N (141 μL, 1 mmol, 5 equiv), Ac$_2$O (94.5 μL, 1 mmol, 5 equiv) were added to the reaction mixture and stirred at room temperature for 12 h monitored by TLC evaporated the solvent and purified by column chromatography in hexanes/EtOAc to afford the corresponding Biscodyl 13 in 74% yield (53.5 mg, 0.148 mmol). Colorless liquid. R$_f$ (hexanes/EtOAc = 3/1): 0.32. $^1$H NMR (500 MHz, CDCl$_3$): δ ppm 8.59 (d, J = 4.2 Hz, 1H), 7.63 –7.59 (m, 1H), 7.18 – 7.16 (m, 4H), 7.15 – 7.14 (m, 1H), 7.11 – 7.09 (m, 1H), 7.02 – 7.00 (m, 4H), 5.65 (s, 1H), 2.28 (s, 6H). $^{13}$C NMR (126 MHz, CDCl$_3$): δ ppm 169.5, 162.7, 149.7, 149.4, 140.1,
136.7, 130.4, 123.9, 121.7, 121.6, 58.2, 21.2. HRMS (EI+) calcd. for C_{22}H_{19}NO_{4} [M+H]^{+}: 362.1392, found: 362.1386.

Synthesis of 2-((4-chlorophenyl)(piperidin-4-yloxy)methyl)pyridine 14

An oven dried 3 mL Wheaton V-vial containing a stirring bar was charged with Pyridotriazoles 1d (46 mg, 0.2 mmol, 1 equiv), in dry and degassed benzene (2 mL) under argon atmosphere (outside glovebox) and 1-Boc-4-hydroxypiperidine 4n were added (161 mg 0.8 mmol 4 equiv). After the reaction vessel was capped with a pressure screw cap in the glove box. The vial was irradiated with 40 W Kessil LED PR160-390nm for 12 h (monitored by GC/MS), with cooling from a fan (vial temperature reached 37 °C). The vial distance from the lamp was about 2-3 cm. To the reaction mixture TFA (0.5 mL) was added and stirred for 1 h reaction mixture was diluted with H_{2}O (5 mL) and neutralized using a 2 M NaOH(aq) solution, extracted with EtOAc (5 mL) combined organic layers were dried over Na_{2}SO_{4}, filtered, the solvent removed in vacuo. afford the title compound 14 without further purification in 71% yield (43.0 mg, 0.141 mmol). Colorless liquid. \(^1\)H NMR (500 MHz, CDCl\(_3\)): δ ppm 8.50 (d, \(J = 4.7\) Hz, 1H), 7.69 –7.66 (m, 1H), 7.54 (d, \(J = 7.9\) Hz, 1H), 7.37 – 7.36 (m, 2H), 7.28 – 7.26 (m, 2H), 7.18 – 7.13 (m, 1H), 5.63 (s, 1H), 3.54 – 3.47 (m, 1H), 3.08 (dd, \(J = 11.4, 6.1\) Hz, 2H), 2.61 – 2.54 (m, 2H), 1.98 – 1.86 (m, 2H), 1.59 – 1.52 (m, 2H). \(^{13}\)C NMR (126 MHz, CDCl\(_3\)): δ ppm 162.2, 149.0, 140.5, 137.0, 133.3, 128.6, 128.3, 122.5, 120.7, 80.8, 74.0, 44.4, 33.2. HRMS (EI+) calcd. for C_{17}H_{19}N_{2}O_{1}Cl [M+H]^{+}: 303.1264, found: 303.1260.
7. Determination of Stereochemistry of Cyclopropanes

The geometry of cyclopropane 11na was established on the basis of analysis of the chemical shifts and NOESY. From HMBC, cyclopropane quaternary carbon 2 has long range couplings with protons \( \text{H}^a \) and \( \text{H}^c \), while pyridyl quaternary carbon 1 only has long range couplings signal with \( \text{H}^c \). Thus, it indicates that \( \text{H}^c \) is on the pyridyl group and \( \text{H}^a \) is on the naphthyl group, respectively. Cyclopropane \( \text{H}^d \) proton showed NOESY correlations with naphthyl group \( \text{H}^a \) proton, which means that \( \text{H}^d \) is cis to the naphthyl group. Thus, pyridyl group is cis to phenyl group in cyclopropane 11na.

HMBC of 11na
The geometry of cyclopropane 11af was established on the analysis of the chemical shifts, coupling constants of cyclopropane ring protons and NOESY. Based on NOESY correlations of benzylic proton H^d δ 2.72 ppm ($J = 6.0$ Hz) and H^e, the two protons are in relation *trans* to each other in the major diastereomer. H^d and methyl group proton H^e both has NOESY correlations with H^a, which means that α-phenyl group A is *cis* to both
methyl group and H^d. Thus, pyridyl group is \textit{cis} to \(\alpha\)-phenyl group B and \textit{trans} to methyl group in cyclopropane 11af.

**NOSEY of 11af**

The geometry of cyclopropane 11aj was established on the basis of analysis of the chemical shifts, COSY and NOESY. H^i has NOESY correlations with \(\alpha\)-phenyl group proton H^c, which means that \(\alpha\)-phenyl group is \textit{cis} to H^i. Cyclopropane proton H^j has NOESY correlations with H^b, which means that they are \textit{cis} to each other. Thus, pyridyl group is \textit{trans} to acetyl group in cyclopropane 11aj.
$^1$H NMR of 11aj (expansion)
COSY of 11aj
NOESY of 11aj
The geometry of cyclopropane 11ak was established on the basis of analysis of the chemical shifts, COSY and NOESY. H\textsuperscript{j} has NOESY signals with α-phenyl group proton H\textsuperscript{c}, which means that α-phenyl group is \textit{cis} to H\textsuperscript{j}. Cyclopropane proton H\textsuperscript{k} has NOESY correlations with H\textsuperscript{i}, which means that they are \textit{cis} to each other. Thus, pyridyl group is \textit{trans} to carboxethoxy group in cyclopropane 11ak.

\textsuperscript{1}H NMR of 11ak (expansion)
COSY 11ak
In order to get additional evidence for stereochemistry of 11ak, it was reduced to alcohol 11ak’.

Preparation of 11ak’ from 11ak

To a stirred solution of LiAlH₄ (9.0 mg, 0.24 mmol, 1.2 equiv) in Et₂O (4.0 mL) at 0 °C, compound 11ak (53.0 mg, 0.2 mmol, 1.0 equiv) in Et₂O (2.0 mL) was added under Ar atmosphere. After being stirred for 3 h, the reaction mixture was quenched with saturated Na₂SO₄. The aqueous layer was extracted with two portions of ethyl acetate. The combined extract was dried over MgSO₄ and
concentrated under reduced pressure. The residue was purified by column chromatography on silica gel with hexanes : ethyl acetate = 70 : 30 to give reduced compound (11ak’) in 80% yield (36.0 mg, 0.16 mmol). Colorless oil. Rf (hexanes/EtOAc = 4/1): 0.27. \(^1\)H NMR (600 MHz, CDCl\(_3\)) \(\delta\) ppm 8.48 (d, \(J = 3.0\) Hz, 1H), 7.44 – 7.41 (m, 3H), 7.39 – 7.36 (m, 2H), 7.32 – 7.30 (m, 1H), 7.01 – 6.99 (m, 1H), 6.81 (d, \(J = 8.0\) Hz, 1H), 3.54 -3.36 (m, 2H), 2.46 - 2.39 (m, 1H), 1.84 (s, 1H), 1.64 (dd, \(J = 8.8, 4.1\) Hz, 1H), 1.39-1.33 (m, 1H). \(^{13}\)C NMR (151 MHz, CDCl\(_3\)) \(\delta\) Ppm 164.0, 148.9, 139.4, 135.9, 131.4, 128.8, 127.3, 122.3, 120.5, 63.7, 36.6, 29.8, 20.3.

The geometry of cyclopropane 11ak’ was established on the basis of analysis of the chemical shifts, COSY and NOESY. \(H^i\) has NOESY correlations with cyclopropane proton \(H^i\), which means that they are *cis* to each other. \(\alpha\)-phenyl group proton \(H^b\) has NOESY correlations with CH\(_2\), which means that they are *cis* to each other. Thus, pyridyl group is *trans* to hydroxymethyl group.

\(^1\)H NMR of 11ak’ (expansion)
COSY 11ak'
NOESY 11ak'
7. NMR Spectral Data

1H NMR of 1j

13C NMR of 1j
$^1$H NMR of 1l

$^{13}$C NMR of 1l
$^1$H NMR of 3aa

![](image1)

$^{13}$C NMR of 3aa

![](image2)
$^1\text{H NMR of 3ab}$

$^{13}\text{C NMR of 3ab}$
$^1$H NMR of 3ac

$^{13}$C NMR of 3ac
$^1$H NMR of 3ad

$^{13}$C NMR of 3ad
$^1$H NMR of 3ae

$^{13}$C NMR of 3ae
$^1$H NMR of 3af

$^{13}$C NMR of 3af
$^{1}$H NMR of 3ag

$^{13}$C NMR of 3ag
$^{1}H$ NMR of 3ah

![NMR spectrum of 3ah](image)

$^{13}C$ NMR of 3ah

![NMR spectrum of 3ah](image)
$^1$H NMR of 3ai

$^{13}$C NMR of 3ai
$^1$H NMR of 3aj

$^{13}$C NMR of 3aj
$^1$H NMR of 3ak

$^{13}$C NMR of 3ak
$^1$H NMR of 3al

![H NMR spectrum of 3al](image)

$^{13}$C NMR of 3al

![C NMR spectrum of 3al](image)
$^{1}$H NMR of 3am

$\text{H}_{\text{NMR}}$ of $3am$

$^{13}$C NMR of 3am

$\text{C}_{\text{NMR}}$ of $3am$
$^1$H NMR of 3an

$^{13}$C NMR of 3an
$^1\text{H NMR of 3ao}$

![H NMR spectrum of 3ao](image)

$^{13}\text{C NMR of 3ao}$

![C NMR spectrum of 3ao](image)
$^1$H NMR of 3ap

$^{13}$C NMR of 3ap
$^1$H NMR of 3ea

$^{13}$C NMR of 3ea
$^1$H NMR of 3fa

$^{13}$C NMR of 3fa
$^1$H NMR of 3ga

![1H NMR spectrum of 3ga](image)

$^{13}$C NMR of 3ga

![13C NMR spectrum of 3ga](image)
$^1$H NMR of 3ha

![NMR spectrum of 3ha](image1)

$^{13}$C NMR of 3ha

![NMR spectrum of 3ha](image2)
$^1$H NMR of 3ia

3ia

$^{13}$C NMR of 3ia

3ia
$^1$H NMR of 3ja

$^{13}$C NMR of 3ja
$^1$H NMR of 3ka

$^{13}$C NMR of 3ka
$^1$H NMR of 3la

![H NMR spectrum of 3la](image)

$^{13}$C NMR of 3la

![C NMR spectrum of 3la](image)
$^1$H NMR of 3le

$^{13}$C NMR of 3le
\textbf{\textsuperscript{1}H NMR of 3lf}

\begin{figure}
\centering
\includegraphics[width=\textwidth]{hnmr}
\end{figure}

\textbf{\textsuperscript{13}C NMR of 3lf}

\begin{figure}
\centering
\includegraphics[width=\textwidth]{cnmr}
\end{figure}
$^1$H NMR of 7aa

![H NMR spectrum of 7aa](image)

$^{13}$C NMR of 7aa

![C NMR spectrum of 7aa](image)
$^1$H NMR of 7aa'

![$^1$H NMR spectrum of 7aa'](image)

$^{13}$C NMR of 7aa'

![$^{13}$C NMR spectrum of 7aa'](image)
$^1$H NMR of 7ab

\[ \text{Diagram} \]

$^{13}$C NMR of 7ab

\[ \text{Diagram} \]
$^1$H NMR of 7ab$^\prime$

$^{13}$C NMR of 7ab$^\prime$
$^1$H NMR of $7\text{ac}$

![H NMR spectrum of $7\text{ac}$](image)

$^{13}$C NMR of $7\text{ac}$

![C NMR spectrum of $7\text{ac}$](image)
$^1$H NMR of 7ad

$^{13}$C NMR of 7ad
\(^1\)H NMR of 7ae

\[ \text{Diagram of } 7ae \]

\(^{13}\)C NMR of 7ae

\[ \text{Diagram of } 7ae \]
$^1$H NMR of 7af

13C NMR of 7af
$^1$H NMR of 7ag

\[
\text{\begin{center}
\hspace{0.5cm}
\includegraphics[width=0.5\textwidth]{hnmr_7ag.png}
\end{center}}
\]

$^{13}$C NMR of 7ag

\[
\text{\begin{center}
\hspace{0.5cm}
\includegraphics[width=0.5\textwidth]{cmr_7ag.png}
\end{center}}
\]
$^1$H NMR of 7ah

![1H NMR spectrum of 7ah](image)

$^{13}$C NMR of 7ah

![13C NMR spectrum of 7ah](image)
$^1$H NMR of 7ai

$^{13}$C NMR of 7ai
$^1$H NMR of 7aj

$^{13}$C NMR of 7aj
$^1$H NMR of 7ak

$^{13}$C NMR of 7ak
$^1$H NMR of 7al

$^{13}$C NMR of 7al
$^1$H NMR of 7am

\[
\begin{align*}
\text{Py} & \quad \text{O} \\
\text{7am} & \\
\end{align*}
\]

$^{13}$C NMR of 7am

\[
\begin{align*}
\text{Py} & \quad \text{O} \\
\text{7am} & \\
\end{align*}
\]
**$^1$H NMR of 7fn**

![H NMR spectrum of 7fn](image)

**$^{13}$C NMR of 7fn**

![C NMR spectrum of 7fn](image)
$^1$H NMR of 8aa

$^1$C NMR of 8aa
$^{1}H$ NMR of 8ab

$^{13}C$ NMR of 8ab
$^1$H NMR of $8_{ac}$

$^{13}$C NMR of $8_{ac}$
$^1$H NMR of $8_{ad}$

$13$C NMR of $8_{ad}$

$^1$H NMR of $8_{ae}$
$\text{13}^\text{C NMR of 8ae}$
$^1$H NMR of 8af

$^{13}$C NMR of 8af
$^1$H NMR of 8ag

13C NMR of 8ag
$^1$H NMR of 8ah

![NMR spectrum of 8ah](image)

$^{13}$C NMR of 8ah

![NMR spectrum of 8ah](image)
$^1$H NMR of 9aa

$^{13}$C NMR of 9aa
$^1$H NMR of 9ab

$^{13}$C NMR of 9ab
$^1$H NMR of 9cc

$^{13}$C NMR of 9cc
$^1$H NMR of 11aa

$^{13}$C NMR of 11aa
$^{1}$H NMR of 11ba

11ba minor diastereomer

11ba major diastereomer
$^{13}$C NMR of 11ba

11ba minor diastereomer

11ba major diastereomer
$^1$H NMR of 11ea

$^1$C NMR of 11ea
$^{1}\text{H NMR of 11ga}$

11ga, major diastereomer

11ga, minor diastereomer
$^{13}\text{C}$ NMR of 11ga

11ga, major diastereomer

11ga, minor diastereomer
$^1$H NMR of 11ha

11ha dr 1:1

$^{13}$C NMR of 11ha

11ha dr 1:1
$^1$H NMR of 11ia

11ia, major diastereomer

11ia, minor diastereomer
$^{13}$C NMR of 11ia

11ia, major diastereomer

11ia, minor diastereomer
$^1$H NMR of 11na

$^{13}$C NMR of 11na
$^1$H NMR of 11ma

11ma

$^{13}$C NMR of 11ma

11ma
$^1$H NMR of 11oa

$^{13}$C NMR of 11oa
$^1$H NMR of 11ab

11ab, major diastereomer

11ab, minor diastereomer
$^{13}$C NMR of 11ab

11ab, major diastereomer

11ab, minor diastereomer
$^1$H NMR of 11ac

$^{13}$C NMR of 11ac
$^1$H NMR of 11ad

![H NMR spectrum of 11ad](image)

$^{13}$C NMR of 11ad

![C NMR spectrum of 11ad](image)
\(^1\)H NMR of 11ae

\(^{13}\)C NMR of 11ae
$^1$H NMR of 11af

![NMR spectrum of 11af](image)

$^{13}$C NMR of 11af

![NMR spectrum of 11af](image)
\(^1\)H NMR of 11ag

11ag, major diastereomer

11ag, minor diastereomer
$^{13}$C NMR of 11ag

11ag, major diastereomer

11ag, minor diastereomer
$^1$H NMR of 11ah

11ah, major diastereomer

11ah, minor diastereomer
$^{13}$C NMR of 11ah

11ah, major diastereomer

11ah, minor diastereomer
$^1$H NMR of 11ai

![1H NMR spectrum of 11ai](image)

$^{13}$C NMR of 11ai

![13C NMR spectrum of 11ai](image)
$^1$H NMR of 11aj

$^{13}$C NMR of 11aj
$^1$H NMR of 11ak

$^{13}$C NMR of 11ak
$^1$H NMR of 11al

$^{13}$C NMR of 11al
$^1$H NMR of 12 (Solvent-MeOD$_4$)

$^{13}$C NMR of 12 (Solvent-MeOD$_4$)
$^1$H NMR of 13

13C NMR of 13
$\text{H NMR of 14}$

\[ \text{Py} - \text{O} - \text{Cl} \]

\[ \text{14} \]

$\text{C NMR of 14}$

\[ \text{Py} - \text{O} - \text{Cl} \]

\[ \text{14} \]
$^1\text{H NMR of 11ak'}$

$^{13}\text{C NMR of 11ak'}$
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