Supporting Information

Rhodium(III)-Catalyzed Annulative Coupling between Arenes and Sulfoxonium Ylides via C-H Activation

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I. General consideration

All chemicals were obtained from commercial sources and were used as received unless otherwise noted. Sulfoxonium ylides, sulfoximines, benzamidines, oximes and 2-phenylimidazo[1,2-a]pyridine were prepared by following literature reports. All reactions were carried out using Schlenk techniques or in an N₂-filled glovebox. NMR Spectra were recorded on a 400 MHz NMR spectrometer in the solvent indicated. The chemical shifts (δ) are given in parts per million (ppm) relative to internal standard TMS (0 ppm for ¹H) and CDCl₃ (77.0 ppm for ¹³C). The following abbreviations were used to describe peak splitting patterns when appropriate: br = broad, s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, dd = double of doublet, dt = double of triplet, td = triple of doublet. HRMS data were obtained on a Thermo Scientific LTQ Orbitrap Discovery spectrometer (Germany). Column chromatography was performed on silica gel (300-400 mesh).

II. General procedures for annulative coupling between arenes and sulfoxonium ylides.

a) General procedures for the synthesis of 1,2-Benzothiazines and Isoquinolines.
Take synthesis of 3aa as the example: RhCp*(CH₃CN)₃(SbF₆)₂ (5 mol %), Zn(OTf)² (30 mol %), 1a (0.2 mmol), 2a (0.4 mmol) and DCE (2 mL) was added into a screw-cap pressure tube under N₂ atmosphere in a glove-box. The tube was then sealed with a screw-cap and the reaction mixture was stirred at 100 °C for 36 h. After the reaction finished, the solvent was evaporated under vacuum. The residue was purified by column chromatography (petroleum ether/ethyl ether 20:1 (v/v)) to give the corresponding product 3aa (54.5 mg, 86%).

b) General procedures for the synthesis of isoquinoline N-oxides.
Take synthesis of 5a as the example: [RhCp*(Cl)₂] (4 mol %), Zn(OTf)₂ (50 mol %), HOAc (2.0 equiv), 4a (0.2 mmol), 2a (0.4 mmol), and TFE (2.0 mL) was added into a screw-cap pressure tube under N₂ atmosphere in a glove-box. The tube was then sealed with a screw-cap and the reaction mixture was stirred at 100 °C for 12 h. After the reaction finished, the solvent was evaporated under vacuum. The residue was purified by column chromatography (PE/EtOAc/MeOH 5:1:1 (v/v)) to give the corresponding product 5a (39.8 mg, 85%).

c) General procedures for C-H functionalization/carboannulation.
Take synthesis of 7a as the example: [RhCp*(Cl)₂] (4 mol %), AgSbF₆ (16 mol %), HOAc (1.0 equiv), 6a (0.2 mmol), 2a (0.3 mmol), and DCE (2.0 mL) was added into a screw-cap pressure tube
tube under N₂ atmosphere in a glove-box. The tube was then sealed off with a screw-cap and the reaction mixture was stirred at 100 °C for 12 h. After the reaction finished, the solvent was evaporated under vacuum. The residue was purified by column chromatography (PE/EtOAc 5:1 (v/v)) to give the corresponding product 7a (52.0 mg, 88%).

III. Mechanistic Studies

a) H/D exchange

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\text{[RhCp*Cl}_2\text{]} \text{2 (4 mol %), Zn(OTf)}_2 \text{ (50 mol %), HOAc (2.0 equiv), } d_5-4a \text{ (0.2 mmol), } 2a \text{ (0.4 mmol), and TFE (2.0 mL) was added in to a screw-cap pressure tube under N}_2 \text{ atmosphere in a glove-box. The tube was then sealed with a screw-cap and the reaction mixture was stirred at 100 °C for 3 h. Then the solvent was evaporated under vacuum. The residue was purified by column chromatography (PE/EtOAc/MeOH 5:1:1 (v/v)) to give the corresponding product } d_{5a}. \text{ H/D ratio was identified by } ^1\text{H NMR analysis (68)% H.}
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b) Independent KIE studies.

A mixture 4a (0.1 mmol), sulfoxonium ylides 2a (0.2 mmol), [RhCp*Cl]_2 (4 mol %), Zn(OTf)_2 (50 mol %), HOAc (2.0 equiv), 4a-d_5 (0.2 mmol), 2a (0.4 mmol), and TFE (2.0 mL) was added in to a screw-cap pressure tube under N₂ atmosphere in a glove-box. The tube was then sealed with a screw-cap and the reaction mixture was stirred at 100 °C for 3 h. Then the solvent was evaporated under vacuum. The residue was purified by column chromatography (PE/EtOAc/MeOH 5:1:1 (v/v)) to give the corresponding product 5a-d_5. H/D ratio was identified by ^1H NMR analysis (68% H).
(50 mol %), HOAc (2.0 equiv), and TFE (1.0 mL), were charged into a pressure tube under N₂. To another tube were added 4a-d₅ (0.1 mmol), sulfoxonium ylides 2a (0.2 mmol), [RhCp*Cl₂]₂ (4 mol %), Zn(OTf)₂ (50 mol %), d₄-HOAc (2.0 equiv), and d₄-TFE (1.0 mL). These two reaction mixtures were stirred side-by-side in the same oil bath at 100 °C for 20 min. The reactions tubes were quenched at 0 °C and these two mixtures were rapidly combined, and all the volatiles were rapidly removed under a reduced pressure. The residue was purified by silica gel chromatography using (PE/ EtOAc/MeOH 5:1:1 (v/v)) to afford the mixed product. KIE value (kᵢ/kᵣ = 5.0) was determined on the basis of ¹H NMR analysis. (During the H/D exchange experiment, no H/D exchange observed for Hₐ, Hₗ, Hₕ, Hₔ. 2([D₄]+[H₅])=[H₅]=3.40, [H₅]= [H₅] = 1, [D₅] = 0.2, KIE = [H₅]/[D₅] = 5.0)

IV. Reference


(2) M. Zenzola, R. Doran, L. Degennaro, R. Luisi and J. A. Bull, Angew. Chem., Int. Ed. 2016, 55, 7203


(5) (a) Z. Qi, S. Yu and X. Li, J. Org. Chem. 2015, 80, 3471. (b) P. Li, X. Zhang and X. Fan, J. Org. Chem. 2015, 80, 7508.
V. Characterization Data

1,3-diphenylbenzo[e][1,2]thiazine 1-oxide 3aa

Yield: 86% (54.5 mg). Yellow oil, $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.02 – 7.96 (m, 4H), 7.62 – 7.59 (m, 1H), 7.57 – 7.53 (m, 2H), 7.48 – 7.38 (m, 4H), 7.37 – 7.31 (m, 2H), 7.24 – 7.19 (m, 1H), 6.81 (s, 1H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 147.1, 140.3, 138.7, 136.4, 133.3, 132.0, 129.3, 128.9, 128.7, 128.3, 126.8, 126.6, 126.2, 119.5, 98.1.

Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{20}$H$_{16}$NOS$^+$, ([M + H]$^+$), 318.0947, found 318.0963.

1-phenyl-3-(p-tolyl)benzo[e][1,2]thiazine 1-oxide 3ab

Yield: 84% (55.8 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.99 – 7.96 (m, 2H), 7.90 (d, $J = 8.0$ Hz, 2H), 7.63 – 7.59 (m, 1H), 7.59 – 7.52 (m, 2H), 7.48 – 7.40 (m, 2H), 7.32 (d, $J = 8.0$ Hz, 1H), 7.24 – 7.16 (m, 3H), 6.78 (s, 1H), 2.37 (s, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 147.2, 140.5, 138.8, 136.6, 135.9, 133.3, 132.0, 129.2, 129.0, 128.9, 126.7, 126.5, 126.0, 124.9, 119.4, 97.5, 21.3. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{21}$H$_{18}$NOS$^+$, ([M + H]$^+$), 332.1104, found 332.1116.

3-(4-chlorophenyl)-1-phenylbenzo[e][1,2]thiazine 1-oxide 3ac

Yield: 85% (60.4 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.00 – 7.97 (m, 2H), 7.94 (d, $J = 8.4$ Hz, 2H), 7.65 (t, $J = 7.2$ Hz, 1H), 7.58 (t, $J = 7.2$ Hz, 2H), 7.52 – 7.46 (m, 1H), 7.43 (d, $J = 7.6$ Hz, 1H), 7.37 (d, $J = 8.4$ Hz, 2H), 7.32 (d, $J = 8.0$ Hz, 1H), 7.25 – 7.22 (m, 1H), 6.79 (s, 1H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 145.8, 140.1, 137.2, 136.2, 134.6, 133.5, 132.0, 129.0, 128.5, 127.9, 126.9, 126.5, 124.9, 119.7, 98.3. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{20}$H$_{15}$ClNOS$^+$, ([M + H]$^+$), 352.0557, found 352.0555.

3-(4-bromophenyl)-1-phenylbenzo[e][1,2]thiazine 1-oxide 3ad

Yield: 78% (61.5 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.00 – 7.97 (m, 2H), 7.88 (d, $J = 8.4$ Hz, 2H), 7.65 (t, $J = 7.2$ Hz, 1H), 7.58 (t, $J = 7.2$ Hz, 2H), 7.52 (d, $J = 8.4$ Hz, 2H), 7.50 – 7.46 (m, 1H), 7.43 (d, $J = 7.6$ Hz, 1H), 7.32 (d, $J = 8.0$ Hz, 1H), 7.27 – 7.21 (m, 1H), 6.79 (s, 1H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 145.7, 140.1, 137.7, 136.2, 133.5, 132.2, 131.4, 129.3, 129.0, 128.1, 126.9, 126.5, 124.9, 122.9, 119.7, 98.3. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{20}$H$_{15}$BrNOS$^+$, ([M + H]$^+$), 396.0052, found 396.0052.
1-phenyl-3-(4-((trifluoromethyl)phenyl)benzo[e][1,2]thiazine 1-oxide 3ae

Yield: 76% (58.2 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.11 (d, $J$ = 8.4 Hz, 2H), 8.01 – 7.97 (m, 2H), 7.66 – 7.64 (m, 3H), 7.60 – 7.57 (m, 2H), 7.54 – 7.49 (m, 1H), 7.47 (d, $J$ = 7.2 Hz, 1H), 7.34 (d, $J$ = 8.0 Hz, 1H), 7.30 – 7.25 (m, 1H), 6.88 (s, 1H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 145.4, 142.2, 140.0, 135.9, 133.6, 132.3, 130.3 (q, $J$ = 32.3 Hz), 129.3, 129.1, 127.1, 1267.0, 126.8, 125.2 (q, $J$ = 3.8 Hz), 124.9, 124.2 (q, $J$ = 124.2 Hz), 120.1, 99.4. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{21}$H$_{15}$F$_3$NOS$^+$, ([M + H]$^+$), 386.0821, found 386.0821.

1-phenyl-3-(m-tolyl)benzo[e][1,2]thiazine 1-oxide 3af

Yield: 79% (52.2 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.92 – 7.90 (m, 2H), 7.76 (s, 1H), 7.71 (d, $J$ = 8.0 Hz, 1H), 7.57 – 7.52 (m, 1H), 7.51 – 7.46 (m, 2H), 7.41 – 7.34 (m, 2H), 7.25 – 7.20 (m, 2H), 7.16 – 7.10 (m, 2H), 6.72 (s, 1H), 2.32 (s, 3H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 147.3, 140.4, 138.7, 137.9, 136.5, 133.3, 132.0, 129.6, 129.3, 128.9, 128.2, 127.4, 126.8, 126.2, 124.9, 123.7, 119.5, 98.1, 21.5. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{21}$H$_{18}$NOS$^+$, ([M + H]$^+$), 332.1104, found 332.1109.

3-(3-bromophenyl)-1-phenylbenzo[e][1,2]thiazine 1-oxide 3ag

Yield: 79% (59.5 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.17 (t, $J$ = 1.6 Hz, 1H), 8.00 – 7.97 (m, 2H), 7.93 – 7.90 (m, 1H), 7.68 – 7.62 (m, 1H), 7.58 (t, $J$ = 7.6 Hz, 2H), 7.53 – 7.42 (m, 3H), 7.32 (d, $J$ = 8.0 Hz, 1H), 7.29 – 7.23 (m, 2H), 6.80 (s, 1H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 147.3, 140.4, 138.7, 137.9, 136.5, 133.3, 132.0, 129.6, 129.3, 129.0, 127.0, 126.7, 125.0, 124.9, 122.6, 119.9, 98.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{29}$H$_{18}$BrNOS$^+$, ([M + H]$^+$), 396.0052, found 396.0054.

3-(2-fluorophenyl)-1-phenylbenzo[e][1,2]thiazine 1-oxide 3ah

Yield: 79% (58.7 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.01 – 7.97 (m, 1H), 7.96 – 7.91 (m, 2H), 7.60 – 7.55 (m, 1H), 7.53 – 7.48 (m, 2H), 7.46 – 7.36 (m, 2H), 7.22 – 7.16 (m, 3H), 7.13 – 7.09 (m, 1H), 7.06 – 7.03 (m, 1H), 6.91 (s, 1H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 160.6 (d, $J$ = 249.5 Hz), 141.8 (d, $J$ = 3.7 Hz), 140.2, 136.1, 133.4, 132.1, 130.8 (d, $J$ = 2.5 Hz), 129.8 (d, $J$ = 8.8 Hz), 129.4, 129.0, 127.2, 126.8 (d, $J$ = 10.4 Hz), 124.8, 124.1 (d, $J$ = 3.5 Hz), 119.8, 116.0 (d, $J$ = 23.4 Hz), 103.3 (d, $J$ = 12.6 Hz). Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{29}$H$_{18}$FNO$^+$, ([M + H]$^+$), 336.0853, found 336.0867.

1-phenyl-3-(o-tolyl)benzo[e][1,2]thiazine 1-oxide 3ai

Yield: 64% (42.2 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.00 (d, $J$ = 7.2 Hz, 2H), 7.72 – 7.43 (m, 5H), 7.39 (d, $J$ = 8.0 Hz, 1H), 7.35 – 7.13 (m, 5H), 6.38 (s, 1H), 2.52 (s, 3H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 149.7,
140.0, 139.9, 136.4, 136.2, 133.4, 132.0, 129.4, 129.0, 128.9, 128.2, 126.5, 126.3, 125.6, 124.8, 118.8, 101.7, 20.4. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C_{21}H_{18}NOS^+, ([M + H]^+), 332.1104, found 332.1108.

3-(2-methoxyphenyl)-1-phenylbenzo[e][1,2]thiazine 1-oxide  3aj
Yield: 67% (46.4 mg). ^1H NMR (400 MHz, CDCl_3) δ 8.04 – 8.02 (m, 2H), 7.95 (dt, J = 7.7, 2.1 Hz, 1H), 7.64 – 7.55 (m, 3H), 7.49 – 7.41 (m, 2H), 7.35 – 7.28 (m, 2H), 7.25 – 7.19 (m, 1H), 6.69 – 6.98 (m, 3H), 3.94 (s, 3H). ^13C NMR (100 MHz, CDCl_3) δ 157.3, 144.5, 140.6, 136.4, 133.2, 131.8, 130.9, 129.44, 129.37, 128.9, 128.2, 127.1, 126.2, 124.8, 120.7, 119.4, 111.4, 103.0, 55.7. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C_{21}H_{18}NOS^+, ([M + H]^+), 332.1108.

3-methyl-1-phenylbenzo[e][1,2]thiazine 1-oxide  3ak
Yield: 95% (48.5 mg). ^1H NMR (400 MHz, CDCl_3) δ 7.95 – 7.91 (m, 2H), 7.61 – 7.59 (m, 1H), 7.58 – 7.52 (m, 2H), 7.45 – 7.40 (m, 1H), 7.28 – 7.22 (m, 2H), 7.17 – 7.12 (m, 1H), 6.61 (s, 1H), 2.32 (s, 3H). ^13C NMR (100 MHz, CDCl_3) δ 148.2, 140.2, 136.5, 133.3, 132.0, 129.0, 128.9, 125.6, 125.5, 124.8, 118.0, 99.1, 25.3. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C_{15}H_{14}NOS^+, ([M + H]^+), 256.0800.

3-ethyl-1-phenylbenzo[e][1,2]thiazine 1-oxide  3al
Yield: 96% (51.8 mg). ^1H NMR (400 MHz, CDCl_3) δ 7.98 – 7.90 (m, 2H), 7.67 – 7.59 (m, 1H), 7.58 – 7.53 (m, 2H), 7.45 – 7.41 (m, 1H), 7.27 (t, J = 7.5 Hz, 2H), 7.19 – 7.10 (m, 1H), 6.11 (s, 1H), 2.58 (q, J = 7.5 Hz, 2H), 1.30 (t, J = 7.5 Hz, 3H). ^13C NMR (100 MHz, CDCl_3) δ 153.6, 140.5, 136.7, 133.3, 132.0, 129.2, 129.0, 125.9, 125.5, 124.9, 118.6, 97.6, 32.1, 12.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C_{16}H_{16}NOS^+, ([M + H]^+), 270.0955.

1-phenyl-3-propylbenzo[e][1,2]thiazine 1-oxide  3am
Yield: 93% (52.5 mg). ^1H NMR (400 MHz, CDCl_3) δ 7.97 – 7.88 (m, 2H), 7.65 – 7.60 (m, 1H), 7.59 – 7.52 (m, 2H), 7.42 (ddd, J = 8.2, 7.1, 1.3 Hz, 1H), 7.26 (t, J = 8.0 Hz, 2H), 7.19 – 7.12 (m, 1H), 6.11 (s, 1H), 2.52 (td, J = 7.2, 1.8 Hz, 2H), 1.83 – 1.73 (m, 1H), 0.99 (t, J = 7.4 Hz, 3H). ^13C NMR (100 MHz, CDCl_3) δ 152.1, 140.5, 136.6, 133.3, 132.0, 129.2, 129.0, 125.9, 125.5, 124.9, 119.0, 98.8, 41.0, 21.6, 13.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C_{16}H_{16}NOS^+, ([M + H]^+), 284.1104, found 284.1115.

3-isopropyl-1-phenylbenzo[e][1,2]thiazine 1-oxide  3an
Yield: 92% (51.2 mg). ^1H NMR (400 MHz, CDCl_3) δ 7.92 (dd, J = 7.2, 1.6 Hz, 2H), 7.64 – 7.59 (m, 1H), 7.58 – 7.53 (m, 2H), 7.44 – 7.39 (m, 1H), 7.30 – 7.25 (m, 2H), 7.17 – 7.12 (m, 1H), 6.11 (s, 1H), 2.79 – 2.72 (m, 1H), 1.31 (s, 3H), 1.29 (s, 3H). ^13C NMR (100 MHz, CDCl_3) δ 157.5, 140.7,
136.6, 133.1, 131.8, 129.1, 126.1, 125.5, 124.8, 118.8, 96.1, 36.6, 21.4, 21.3. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C₁₇H₁₈NOS⁺ ([M + H]⁺), 284.1104, found 284.1106.

3-cyclobutyl-1-phenylbenzo[e][1,2]thiazine 1-oxide 3ao
Yield: 78% (45.8 mg). ¹H NMR (400 MHz, CDCl₃) δ 7.89 – 7.83 (m, 2H), 7.54 (t, J = 7.2 Hz, 1H), 7.48 (t, J = 7.6 Hz, 2H), 7.34 (t, J = 7.6 Hz, 1H), 7.21 – 7.17 (m, 2H), 7.07 (t, J = 7.6 Hz, 1H), 6.02 (s, 1H), 3.39 – 3.30 (m, 1H), 2.35 – 2.23 (m, 2H), 2.21 – 2.13 (m, 2H), 1.96 – 1.83 (m, 1H), 1.81 – 1.70 (m, 1H). ¹³C NMR (100 MHz, CDCl₃) δ 154.6, 140.7, 136.5, 133.2, 131.9, 129.1, 128.9, 126.0, 125.5, 124.9, 118.7, 96.8, 42.6, 27.2, 27.1, 18.0. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C₁₇H₁₈NOS⁺ ([M + H]⁺), 284.1106.

3-(tert-butyl)-1-phenylbenzo[e][1,2]thiazine 1-oxide 3ap
Yield: 91% (53.8 mg). ¹H NMR (400 MHz, CDCl₃) δ 7.97 – 7.88 (m, 2H), 7.64 – 7.50 (m, 3H), 7.43 – 7.38 (m, 1H), 7.33 – 7.24 (m, 2H), 7.19 – 7.12 (m, 1H), 6.19 (s, 1H), 1.34 (s, 9H). ¹³C NMR (100 MHz, CDCl₃) δ 159.8, 141.1, 136.7, 133.1, 131.8, 129.1, 128.9, 126.6, 125.7, 124.8, 118.7, 95.1, 37.6, 29.0. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C₁₈H₂₀NOS⁺ ([M + H]⁺), 298.1260, found 298.1268.

3-(adamantan-1-yl)-1-phenylbenzo[e][1,2]thiazine 1-oxide 3aq
Yield: 95% (71.2 mg). ¹H NMR (400 MHz, CDCl₃) δ 7.93 – 7.88 (m, 2H), 7.63 – 7.52 (m, 3H), 7.42 – 7.36 (m, 1H), 7.30 – 7.25 (m, 2H), 7.14 (t, J = 7.6 Hz, 1H), 6.10 (s, 1H), 2.07 – 1.97 (m, 9H), 1.75 (bs, 6H). ¹³C NMR (100 MHz, CDCl₃) δ 159.7, 141.2, 136.7, 133.0, 131.6, 129.0, 128.8, 126.5, 125.5, 124.7, 118.8, 94.8, 40.7, 39.0, 36.9, 28.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C₂₄H₂₆NOS⁺ ([M + H]⁺), 376.1730, found 376.1734.

3-(tert-butyl)-6-chloro-1-(4-chlorophenyl)benzo[e][1,2]thiazine 1-oxide 3bp
Yield: 75% (54.8 mg). ¹H NMR (400 MHz, CDCl₃) δ 7.78 – 7.70 (m, 2H), 7.49 – 7.43 (m, 2H), 7.23 (d, J = 2.0 Hz, 1H), 7.12 (d, J = 8.4 Hz, 1H), 7.04 (dd, J = 8.4, 2.0 Hz, 1H), 6.05 (s, 1H), 1.24 (s, 9H). ¹³C NMR (100 MHz, CDCl₃) δ 161.4, 140.2, 139.4, 138.22, 138.15, 130.3, 129.3, 126.3, 126.2, 125.7, 116.3, 94.6, 37.7, 28.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C₁₈H₁₆Cl₂NOS⁺ ([M + H]⁺), 366.0481, found 366.0476.

3-(tert-butyl)-6-methyl-1-(p-tolyl)benzo[e][1,2]thiazine 1-oxide 3cp
Yield: 98% (63.8 mg). ¹H NMR (400 MHz, CDCl₃) δ 7.77 (d, J = 8.4 Hz, 2H), 7.33 (d, J = 8.0 Hz, 2H), 7.17 (d, J = 8.0 Hz, 1H), 7.09 (s, 1H), 6.96 (dd, J = 8.0, 2.0 Hz, 1H), 6.11 (s, 1H), 2.42 (s, 3H), 2.34 (s, 3H), 1.32 (s, 9H). ¹³C NMR (100 MHz, CDCl₃) δ 159.8, 143.8, 142.0,
3-(tert-butyl)-6-methoxy-1-(4-methoxyphenyl)benzo[e][1,2]thiazine 1-oxide  3dp

Yield: 92% (65.7 mg). \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta 7.81 – 7.77\) (m, 2H), 7.21 (d, \(J = 8.4\) Hz, 1H), 6.99 (d, \(J = 8.4\) Hz, 2H), 6.73 (dd, \(J = 8.4, 2.0\) Hz, 1H), 6.67 (d, \(J = 2.0\) Hz, 1H), 6.10 (s, 1H), 3.86 (s, 3H), 3.82 (s, 3H), 1.33 (s, 9H).

\(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta 163.1, 161.7, 160.4, 138.9, 133.3, 130.8, 126.6, 115.2, 114.0, 112.3, 106.9, 94.7, 55.6, 55.5, 37.5, 28.9.

Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C\(_{20}\)H\(_{24}\)NOS\(^+\), ([M + H]\(^+\)), 326.1573, found 326.1582.

3ep, Yield: 91% (61.6 mg). The product can not be separated by chromat, so characterized by mixture. The ratio of isomer was determined by \(^1\)H NMR analysis.

\(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta 8.30\) (d, \(J = 8.9\) Hz, 0.64H), 8.14 (d, \(J = 2.2\) Hz, 1H), 7.99 (d, \(J = 8.9\) Hz, 0.71H), 7.67 – 7.59 (m, 1H), 7.57 – 7.53 (m, 2H), 7.44 – 7.36 (m, 0.36H), 7.29 – 7.24 (m, 1.65H), 7.17 – 7.10 (m, 0.32H), 6.32 (s, 1H), 6.13 (s, 0.33H), 1.28 (s, 9H), 1.25 (s, 3H).

\(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta 162.8, 159.8, 150.3, 149.3, 147.3, 139.3, 137.4, 137.3, 134.0, 132.6, 129.9, 129.5, 129.3, 127.0, 126.5, 126.3, 124.8, 124.1, 122.1, 121.5, 119.2, 117.3, 95.0, 95.5, 38.0, 37.6, 28.9.

Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C\(_{18}\)H\(_{19}\)NO\(_2\)S\(^+\), ([M + H]\(^+\)), 341.1111, found 343.1120.

2-(tert-butyl)phenoxythiino[1,10-ef][1,2]thiazine 12-oxide  3fp

Yield: 98% (63.8 mg). \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta 8.06\) (dd, \(J = 8.3, 1.6\) Hz, 1H), 7.52 – 7.43 (m, 1H), 7.40 (t, \(J = 8.1\) Hz, 1H), 7.30 – 7.26 (m, 2H), 7.02 (dd, \(J = 8.1, 1.3\) Hz, 2H), 6.23 (s, 1H), 1.27 (s, 9H). \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta 161.3, 151.9, 150.6, 135.9, 133.5, 132.7, 126.2, 124.9, 121.6, 120.2, 119.8, 112.2, 105.1, 97.2, 38.0, 29.0.

Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C\(_{18}\)H\(_{18}\)NO\(_2\)S\(^+\), ([M + H]\(^+\)), 312.1053, found 312.1049.

3-(tert-butyl)-8-fluoro-1-methylbenzo[e][1,2]thiazine 1-oxide  3gp

Yield: 94% (47.5 mg). \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta 7.47 – 7.42\) (m, 1H), 7.08 (d, \(J = 8.0\) Hz, 1H), 7.00 – 6.95 (m, 1H), 6.13 (d, \(J = 4.0\) Hz, 1H), 3.64 (d, \(J = 4.0\) Hz, 3H), 1.27 (s, 9H). \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta 160.4\) (d, \(J = 0.7\) Hz ), 157.7 (d, \(J = 248.5\) Hz ), 139.2 (d, \(J = 1.7\) Hz ), 132.9 (d, \(J = 9.4\) Hz ), 122.5 (d, \(J = 3.2\) Hz ), 110.8 (d, \(J = 20.8\) Hz ), 107.0 (d, \(J = 18.0\) Hz ), 94.8 (d, \(J = 3.3\) Hz ), 47.4
(d, J = 5.5 Hz), 37.3, 28.7. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C_{13}H_{17}FNOS^+, ([M + H]^+), 254.1009, found 254.1008.

3-(tert-butyl)-8-chloro-1-methylbenzo[e][1,2]thiazine 1-oxide 3hp
Yield: 92% (49.3 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.39 (t, J = 8.0 Hz, 1H), 7.30 (dd, J = 8.0, 4.0 Hz, 1H), 7.21 (dd, J = 8.0, 4.0 Hz, 1H), 6.05 (s, 1H), 3.72 (s, 3H), 1.27 (s, 9H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 159.8, 139.8, 132.2, 123.0, 127.0, 126.2, 116.2, 95.0, 49.5, 37.1, 28.7. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{13}$H$_{17}$ClNOS$^+$, ([M + H]$^+$), 270.0714, found 270.0711.

3-(tert-butyl)-7-chloro-1-methylbenzo[e][1,2]thiazine 1-oxide 3ip
Yield: 87% (47.0 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.68 (d, J = 1.9 Hz, 1H), 7.44 (dd, J = 8.6, 2.1 Hz, 1H), 7.24 (d, J = 8.6 Hz, 1H), 6.05 (s, 1H), 3.49 (s, 3H), 1.26 (s, 9H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 160.2, 135.4, 132.7, 130.4, 128.4, 122.7, 118.2, 94.8, 45.0, 37.4, 28.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{13}$H$_{17}$ClNOS$^+$, ([M + H]$^+$), 270.0714, found 270.0708.

3-(tert-butyl)-1-methylbenzo[e][1,2]thiazine 1-oxide 3jp
Yield: 96% (45.2 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.71 (d, J = 8.0 Hz, 1H), 7.50 – 7.46 (m, 1H), 7.36 – 7.23 (m, 2H), 6.06 (s, 1H), 3.46 (s, 3H), 1.27 (s, 9H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 159.6, 142.9, 137.3, 127.1, 126.5, 123.2, 115.4, 94.9, 45.3, 37.2, 28.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{14}$H$_{20}$NOS$^+$, ([M + H]$^+$), 236.1104, found 236.1113.

3-(tert-butyl)-1,6-dimethylbenzo[e][1,2]thiazine 1-oxide 3kp
Yield: 94% (46.7 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.60 (d, J = 8.0 Hz, 1H), 7.15 – 7.12 (m, 1H), 7.07 (s, 1H), 5.98 (s, 1H), 3.43 (s, 3H), 2.39 (s, 3H), 1.26 (s, 9H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 159.8, 142.9, 137.3, 127.1, 126.5, 123.2, 115.4, 94.9, 45.3, 37.3, 28.9, 21.7. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{14}$H$_{20}$NOS$^+$, ([M + H]$^+$), 250.1260, found 250.1267.

3-(tert-butyl)-6-fluoro-1-methylbenzo[e][1,2]thiazine 1-oxide 3lp
Yield: 89% (45.2 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.72 (dd, J = 8.8, 5.4 Hz, 1H), 7.02 (td, J = 8.5, 2.5 Hz, 1H), 6.91 (dd, J = 10.0, 2.5 Hz, 1H), 5.99 (s, 1H), 3.44 (s, 3H), 1.26 (s, 9H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 164.7 (d, J = 252.5 Hz), 161.4, 140.1 (d, J = 10.4 Hz), 126.4 (d, J = 10.3 Hz), 114.2 (d, J = 24.6 Hz), 113.9 (d, J = 2.1 Hz), 111.5 (d, J = 21.9 Hz), 95.0 (d, J = 2.6 Hz), 45.5, 37.4, 28.9. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{13}$H$_{17}$FNOS$^+$, ([M + H]$^+$), 254.1109, found 254.1015.
3-(tert-butyl)-6-chloro-1-methylbenzo[e][1,2]thiazine 1-oxide 3mp
Yield: 95% (56.0 mg). 1H NMR (400 MHz, CDCl3) δ 7.64 (d, J = 8.0 Hz, 1H), 7.26 (d, J = 8.4 Hz, 2H), 5.99 (s, 1H), 3.46 (s, 3H), 1.26 (s, 9H). 13C NMR (100 MHz, CDCl3) δ 161.5, 138.6, 138.5, 126.0, 125.9, 124.9, 115.6, 94.6, 45.2, 37.5, 28.9. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C13H17ClNOS+, [M + H]+, 270.0714, found 270.0726.

3-(tert-butyl)-1-methylbenzo[e][1,2]thiazine-6-carbonitrile 1-oxide 3np
Yield: 84% (43.7 mg). 1H NMR (400 MHz, CDCl3) δ 7.78 (d, J = 8.2 Hz, 1H), 7.62 (d, J = 1.3 Hz, 1H), 7.51 (dd, J = 8.2, 1.5 Hz, 1H), 6.12 (s, 1H), 3.53 (s, 3H), 1.27 (s, 9H). 13C NMR (100 MHz, CDCl3) δ 162.5, 137.1, 131.6, 127.2, 124.2, 119.3, 117.7, 115.9, 95.0, 44.7, 37.6, 28.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C14H17N2O+, [M + H]+, 261.1056, found 261.1061.

3-(tert-butyl)-1-methyl-6-nitrobenzo[e][1,2]thiazine 1-oxide 3op
Yield: 81% (45.2 mg). 1H NMR (400 MHz, CDCl3) δ 8.18 (d, J = 2.2 Hz, 1H), 8.06 (dd, J = 8.7, 2.2 Hz, 1H), 7.86 (d, J = 8.7 Hz, 1H), 6.25 (s, 1H), 3.58 (s, 3H), 1.29 (m, 9H). 13C NMR (100 MHz, CDCl3) δ 162.8, 149.7, 137.9, 124.9, 122.3, 120.3, 119.2, 96.0, 44.8, 37.7, 28.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C13H17N2O3S+, [M + H]+, 281.0954, found 281.0947.

3-(tert-butyl)-N-phenylisoquinolin-1-amine 3pp
Yield: 78% (42.8 mg). 1H NMR (400 MHz, CDCl3) δ 7.89 (t, J = 8.4 Hz, 3H), 7.74 (d, J = 8.0 Hz, 1H), 7.64 – 7.58 (m, 1H), 7.53 – 7.45 (m, 1H), 7.42 – 7.38 (m, 2H), 7.18 (s, 1H), 7.13 (s, 1H), 7.08 – 7.04 (m, 1H), 1.48 (s, 9H). 13C NMR (100 MHz, CDCl3) δ 161.1, 150.6, 140.9, 138.2, 129.5, 128.7, 127.6, 125.6, 121.7, 120.9, 119.3, 116.9, 107.0, 37.3, 30.1. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C19H21N2+, [M + H]+, 277.1699, found 277.1706.

3-(tert-butyl)-N-(p-tolyl)isoquinolin-1-amine 3qp
Yield: 81% (47.1 mg). 1H NMR (400 MHz, CDCl3) δ 7.83 (d, J = 8.3 Hz, 1H), 7.74 (d, J = 8.3 Hz, 2H), 7.69 (d, J = 8.1 Hz, 1H), 7.56 (t, J = 7.2 Hz, 1H), 7.45 – 7.42 (m, 1H), 7.16 (d, J = 8.3 Hz, 2H), 7.08 – 7.06 (m, 2H), 2.34 (s, 3H), 1.42 (s, 9H). 13C NMR (100 MHz, CDCl3) δ 161.3, 150.6, 138.5, 138.3, 131.2, 129.4, 129.2, 127.6, 125.5, 121.0, 119.5, 116.9, 106.7, 37.3, 30.2, 20.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C20H23N2+, [M + H]+, 291.1856, found 291.1856.
N-(4-bromophenyl)-3-(tert-butyl)isoquinolin-1-amine 3p
Yield: 71% (50.1 mg). \( ^1 \text{H NMR (400 MHz, } \text{CDCl}_3 \) \( \delta \) 7.76 (d, \( J = 8.0 \) Hz, 1H), 7.70 (d, \( J = 7.6 \) Hz, 2H), 7.64 (d, \( J = 8.0 \) Hz, 1H), 7.52 (t, \( J = 7.4 \) Hz, 1H), 7.43 – 7.34 (m, 3H), 7.13 – 6.97 (m, 2H), 1.34 (s, 9H). \( ^{13} \text{C NMR (100 MHz, } \text{CDCl}_3 \) \( \delta \) 161.1, 150.3, 140.0, 138.2, 131.6, 129.6, 127.7, 125.8, 121.0, 120.8, 116.9, 113.8, 107.4, 37.3, 30.1. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C_{19}H_{20}N_{2}Br^+, ([M + H]^+), 355.0804, found 355.0793.

3-(tert-butyl)-N-(4-(trifluoromethoxy)phenyl)isoquinolin-1-amine 3sp
Yield: 64% (45.9 mg). \( ^1 \text{H NMR (400 MHz, } \text{CDCl}_3 \) \( \delta \) 7.95 – 7.83 (m, 3H), 7.74 (d, \( J = 7.6 \) Hz, 1H), 7.61 (t, \( J = 7.2 \) Hz, 1H), 7.49 (t, \( J = 7.2 \) Hz, 1H), 7.23 (d, \( J = 8.0 \) Hz, 2H), 7.19 – 7.11 (m, 2H), 1.34 (s, 9H). \( ^{13} \text{C NMR (100 MHz, } \text{CDCl}_3 \) \( \delta \) 161.1, 150.3, 143.4, 139.7, 138.3, 129.7, 127.8, 125.8, 121.5, 120.8, 120.7 (q, \( J = 254.6 \) Hz), 120.0, 116.9, 107.5, 37.3, 30.1. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C_{20}H_{20}F_{3}N_{2}O^+, ([M + H]^+), 361.1522, found 361.1528.

3-(tert-butyl)-N-(4-(methoxy)phenyl)isoquinolin-1-amine 3tp
Yield: 88% (54.2 mg). \( ^1 \text{H NMR (400 MHz, } \text{CDCl}_3 \) \( \delta \) 7.84 (d, \( J = 8.3 \) Hz, 1H), 7.77 (d, \( J = 8.4 \) Hz, 2H), 7.71 (d, \( J = 8.0 \) Hz, 1H), 7.58 (t, \( J = 7.6 \) Hz, 1H), 7.46 (t, \( J = 7.6 \) Hz, 1H), 7.15 – 7.04 (m, 2H), 6.94 (d, \( J = 8.4 \) Hz, 2H), 3.84 (s, 3H), 1.43 (s, 9H). \( ^{13} \text{C NMR (100 MHz, } \text{CDCl}_3 \) \( \delta \) 161.2, 154.8, 151.0, 138.3, 129.4, 127.6, 125.5, 121.2, 120.9, 116.8, 113.9, 106.4, 55.6, 37.3, 30.1. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C_{20}H_{23}N_{2}O^+, ([M + H]^+), 307.1805, found 307.1814.

N,3-di-tert-butylisoquinolin-1-amine 3up
Yield: 84% (43.1 mg). \( ^1 \text{H NMR (400 MHz, } \text{CDCl}_3 \) \( \delta \) 7.63 (t, \( J = 8.6 \) Hz, 2H), 7.56 – 7.46 (m, 1H), 7.38 – 7.34 (m, 1H), 6.87 (s, 1H), 5.07 (s, 1H), 1.62 (s, 9H), 1.42 (s, 9H). \( ^{13} \text{C NMR (100 MHz, } \text{CDCl}_3 \) \( \delta \) 161.1, 153.4, 137.9, 128.9, 127.4, 124.7, 121.1, 116.8, 103.6, 51.5, 37.2, 30.1, 29.3. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C_{17}H_{25}N_{2}^+, ([M + H]^+), 257.2012, found 257.2011.

6-bromo-3-(tert-butyl)-N-phenylisoquinolin-1-amine 3vp
Yield: 73% (51.6 mg). \( ^1 \text{H NMR (400 MHz, } \text{CDCl}_3 \) \( \delta \) 7.81 – 7.65 (m, 3H), 7.60 (d, \( J = 8.4 \) Hz, 1H), 7.44 (dd, \( J = 8.4, 4.0 \) Hz, 1H), 7.34 (t, \( J = 8.0 \) Hz, 2H), 7.03 (d, \( J = 7.2 \) Hz, 1H), 7.00 (s, 1H), 6.95 (s,
3-(tert-butyl)-6-chloro-N-phenylisoquinolin-1-amine 3wp
Yield: 76% (47.6 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.83 (d, $J = 8.0$ Hz, 2H), 7.78 – 7.75 (m, 1H), 7.69 (d, $J = 2.0$ Hz, 1H), 7.41 – 7.37 (m, 3H), 7.08 (t, $J = 6.8$ Hz, 2H), 7.02 (s, 1H), 1.45 (s, 9H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 158.9, 137.6, 130.2, 129.4, 128.6, 124.0, 122.7, 122.0, 119.4, 115.3, 106.1, 37.4, 30.0. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for $\text{C}_{19}\text{H}_{20}\text{BrN}_2$$^+$, ([M + H]$^+$), 355.0804, found 355.0826.

3-(tert-butyl)-7-methyl-N-phenylisoquinolin-1-amine 3xp
Yield: 80% (46.5 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.30 – 7.33 (m, 4H), 7.21 – 7.17 (m, 2H), 7.07 – 7.05 (m, 2H), 7.01 – 6.99 (m, 1H), 6.86 (s, 1H), 2.27 (s, 3H), 1.39 (s, 9H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 145.9, 138.8, 137.9, 129.7, 129.2, 128.9, 127.8, 127.5, 126.0, 125.7, 116.3, 31.8, 30.2, 21.3. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for $\text{C}_{19}\text{H}_{22}\text{N}_2$$^+$, ([M + H]$^+$), 291.1856, found 291.1848.

N,3-di-tert-butyl-8-chloroisoquinolin-1-amine 3yp
Yield: 77% (44.6 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.43 (dd, $J = 7.0$, 2.2 Hz, 1H), 7.30 – 7.19 (m, 3H), 6.74 (s, 1H), 1.58 (s, 9H), 1.37 (s, 9H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 161.8, 153.1, 141.6, 129.4, 128.5, 127.3, 127.0, 114.2, 103.4, 52.0, 37.1, 29.9, 29.2. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for $\text{C}_{20}\text{H}_{24}\text{N}_2$$^+$, ([M + H]$^+$), 291.1623, found 291.1628.

3-(tert-butyl)-8-chloro-N-phenylisoquinolin-1-amine 3y’p
Yield: 42% (26.3 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 9.28 (s, 1H), 7.87 (d, $J = 7.8$ Hz, 2H), 7.57 (dd, $J = 7.8$, 1.2 Hz, 1H), 7.43 – 7.33 (m, 4H), 7.05 (t, $J = 7.4$ Hz, 1H), 7.00 (s, 1H), 1.40 (s, 9H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 161.8, 150.3, 141.6, 140.5, 129.0, 128.7, 128.6, 128.1, 127.3, 122.1, 120.2, 114.1, 106.7, 37.2, 29.9. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for $\text{C}_{20}\text{H}_{25}\text{N}_2$$^+$, ([M + H]$^+$), 311.1310, found 311.1307.

3-(tert-butyl)-1-phenylisoquinoline 3z
Yield: 54% (28.4 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.99 (d, $J = 8.4$ Hz, 1H), 7.72 (d, $J = 8.4$ Hz, 1H), 7.68 – 7.66 (m, 2H), 7.54 – 7.48 (m, 2H), 7.46 – 7.31 (m, 4H), 1.40 (s, 9H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 162.1, 158.9, 137.6, 130.2, 129.4, 128.2, 128.1, 127.2, 127.1, 126.1, 124.7, 113.4, 37.2, 30.2. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for $\text{C}_{19}\text{H}_{23}\text{N}_2$$^+$, ([M + H]$^+$), 262.1590, found 262.1587.
(1S,4R)-4,7,7-trimethyl-1-(1-phenylamino)isoquinolin-3-yl)-2-oxygenobicycle[2.2.1]heptan-3-one 3pr

Yield: 75% (56.0 mg). ¹H NMR (400 MHz, CDCl₃) δ 7.94 (d, J = 8.4 Hz, 1H), 7.80 – 7.72 (m, 3H), 7.70 – 7.61 (m, 1H), 7.58 – 7.54 (m, 1H), 7.43 (s, 1H), 7.37 – 7.73 (m, 2H), 7.23 (s, 1H), 7.07 (t, J = 7.2 Hz, 1H), 3.00 – 2.86 (m, 1H), 2.09 – 1.95 (m, 2H), 1.86 – 1.73 (m, 1H), 1.17 (s, 3H), 1.10 (s, 3H), 0.78 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 180.4, 151.2, 146.6, 144.0, 137.6, 130.1, 128.7, 128.1, 126.7, 122.5, 121.1, 120.2, 117.8, 110.5, 95.6, 55.8, 54.2, 32.0, 29.6, 17.38, 16.8, 10.2. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Caled for C₅₁H₄₃N₄O₂⁺ ([M + H]⁺), 373.1911, found 373.1919.

1-methyl-3-phenylisoquinoline 2-oxide 5a

Yield: 85% (39.8 mg). ¹H NMR (400 MHz, CDCl₃) δ 7.95 (d, J = 8.4 Hz, 1H), 7.77 (dd, J = 8.0, 2.0 Hz, 3H), 7.67 (s, 1H), 7.63–7.59 (m, 1H), 7.55 (t, J = 7.6 Hz, 1H), 7.51 – 7.43 (m, 3H), 2.94 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 146.7, 146.0, 133.7, 129.8, 129.0, 128.7, 128.6, 128.2, 128.1, 128.0, 127.3, 123.8, 122.5, 13.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Caled for C₁₆H₁₄NO⁺ ([M + H]⁺), 236.1070, found 236.1077.

3-(4-methoxyphenyl)-1-methylisoquinoline 2-oxide 5b

Yield: 77% (40.9 mg). ¹H NMR (400 MHz, CDCl₃) δ 7.93 (d, J = 8.4 Hz, 1H), 7.81 – 7.71 (m, 3H), 7.65 (s, 1H), 7.62 – 7.50 (m, 2H), 7.05 – 6.94 (m, 2H), 3.86 (s, 3H), 2.93 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 160.2, 146.4, 146.1, 131.2, 128.8, 128.3, 128.1, 128.0, 127.2, 126.0, 123.8, 122.1, 113.4, 55.3, 13.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Caled for C₁₇H₁₆NO₂⁺ ([M + H]⁺), 266.1176, found 266.1189.

3-(4-chlorophenyl)-1-methylisoquinoline 2-oxide 5c

Yield: 76% (40.8 mg). ¹H NMR (400 MHz, CDCl₃) δ 7.95 (d, J = 8.4 Hz, 1H), 7.81 – 7.71 (m, 3H), 7.69 – 7.53 (m, 3H), 7.49 – 7.41 (m, 2H), 2.93 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 146.2, 145.5, 135.2, 132.1, 131.2, 128.9, 128.6, 128.40, 128.36, 128.3, 127.4, 123.9, 122.6, 13.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Caled for C₁₆H₁₃ClNO⁺ ([M + H]⁺), 270.0680, found 270.0672

3-(4-fluorophenyl)-1-methyiloquinoline 2-oxide 5d

Yield: 86% (43.3 mg). ¹H NMR (400 MHz, CDCl₃) δ 7.95 (d, J = 8.5 Hz, 1H), 7.82 – 7.72 (m, 3H), 7.67 (s, 1H), 7.66 – 7.61 (m, 1H), 7.60 – 7.54 (m, 1H), 7.18 – 7.11 (m, 2H), 2.93 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 163.2 (d, J = 249.1 Hz), 146.3, 145.3, 131.9 (d, J = 8.4 Hz), 129.7 (d, J = 3.5 Hz), 128.8, 128.7, 128.4, 128.3, 127.4, 123.9, 122.5, 115.1 (d, J = 21.7 Hz, 2H), 13.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Caled for C₁₆H₁₃FNO⁺ ([M + H]⁺), 254.0976, found 254.0979.
1-methyl-3-(4-(trifluoromethyl)phenyl)isoquinoline 2-oxide 5e
Yield: 75% (45.7 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.96 (d, J = 8.4 Hz, 1H), 7.91 (d, J = 8.0 Hz, 2H), 7.79 (d, J = 7.9 Hz, 1H), 7.75 – 7.68 (m, 3H), 7.68 – 7.62 (m, 1H), 7.58 (t, J = 7.5 Hz, 1H), 2.93 (s, 3H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 146.2, 145.1, 137.2, 130.8 (q, J = 32.6 Hz), 130.2, 129.1, 128.5, 128.44, 128.40, 127.5, 124.9 (q, J = 3.8 Hz), 124.0 (q, J = 270.6 Hz), 123.9, 122.9, 13.5. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{17}$H$_{13}$F$_3$NO, ([M + H]$^+$), 304.0944, found 304.0963.

3-(2-fluorophenyl)-1-methylisoquinoline 2-oxide 5f
Yield: 87% (44.1 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.96 (d, J = 8.5 Hz, 1H), 7.77 (d, J = 8.0 Hz, 1H), 7.69 (s, 1H), 7.67 – 7.60 (m, 1H), 7.56 (td, J = 7.7, 1.3 Hz, 2H), 7.48 – 7.42 (m, 1H), 7.31 – 7.16 (m, 2H), 2.94 (s, 3H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 160.52 (d, J = 249.5 Hz), 145.9, 142.3, 131.7 (d, J = 2.7 Hz), 131.1 (d, J = 8.4 Hz), 129.0, 128.7, 128.2, 127.5, 124.0 (d, J = 3.6 Hz), 123.9, 123.6, 122.0 (d, J = 15.0 Hz), 115.7 (d, J = 21.7 Hz), 13.5. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{16}$H$_{13}$FNO, ([M + H]$^+$), 254.0976, found 254.0990.

1-methyl-3-(m-tolyl)isoquinoline 2-oxide 5g
Yield: 71% (35.5 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.93 (d, J = 8.0 Hz, 1H), 7.75 (d, J = 8.0 Hz, 1H), 7.65 (s, 1H), 7.63 – 7.58 (m, 2H), 7.56 – 7.51 (m, 2H), 7.36 (t, J = 7.6 Hz, 1H), 7.28 – 7.24 (m, 1H), 2.93 (s, 3H), 2.42 (s, 3H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 146.9, 146.0, 137.6, 133.7, 130.4, 129.9, 128.7, 128.6, 128.3, 128.1, 128.0, 127.4, 126.9, 123.8, 122.5, 21.5, 13.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{17}$H$_{16}$NO, ([M + H]$^+$), 250.1226, found 250.1217.

3-(3-bromophenyl)-1-methylisoquinoline 2-oxide 5h
Yield: 79% (48.1 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.88 – 7.86 (m, 2H), 7.69 (d, J = 7.8 Hz, 1H), 7.66 – 7.62 (m, 1H), 7.60 – 7.52 (m, 2H), 7.52 – 7.46 (m, 2H), 7.26 (t, J = 7.9 Hz, 1H), 2.85 (s, 3H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 146.2, 145.2, 135.6, 132.7, 132.1, 129.6, 129.0, 128.5, 128.5, 128.4, 127.5, 123.9, 122.8, 122.0, 13.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{16}$H$_{13}$BrNO, ([M + H]$^+$), 304.0944, found 304.0961.

6-(tert-butyl)-1-methyl-3-phenylisoquinoline 2-oxide 5i
Yield: 75% (43.6 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.91 (d, J = 8.8 Hz, 1H), 7.77 (dd, J = 7.9, 1.6 Hz, 2H), 7.72 – 7.65 (m, 3H), 7.51 – 7.40 (m, 3H), 2.94 (s, 3H), 1.42 (s, 9H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 151.7, 146.6, 146.0, 133.9, 129.9, 129.1, 129.0, 128.0, 127.6, 126.5, 124.9. 124.0, 123.9, 122.9, 13.5.

515
123.9, 122.8, 122.6, 35.1, 31.0, 13.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C_{20}H_{22}NO^+, ([M + H]^+), 292.1696, found. 292.1709.

**6-chloro-1-methyl-3-phenylisoquinoline 2-oxide 5j**

Yield: 71% (41.1 mg). ^1^H NMR (400 MHz, CDCl_3) δ 7.88 (d, J = 8.8 Hz, 1H), 7.79 – 7.72 (m, 3H), 7.59 (s, 1H), 7.55 (dd, J = 8.8, 2.1 Hz, 1H), 7.51 – 7.44 (m, 3H), 2.91 (s, 3H). ^1^C NMR (100 MHz, CDCl_3) δ 151.7, 146.6, 146.0, 133.9, 129.9, 129.1, 129.0, 128.0, 127.6, 126.5, 123.9, 122.8, 122.6, 35.1, 31.0, 13.6. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C_{16}H_{13}NO^+, ([M + H]^+), 270.0680, found. 270.0689.

**6-(methoxycarbonyl)-1-methyl-3-(o-tolyl)isoquinoline 2-oxide 5k**

Yield: 72% (42.3 mg). ^1^H NMR (400 MHz, CDCl_3) δ 8.50 (d, J = 1.2 Hz, 1H), 8.18 (dd, J = 8.4, 1.6 Hz, 1H), 7.99 (d, J = 8.4 Hz, 1H), 7.80 – 7.74 (m, 3H), 7.54 – 7.46 (m, 3H), 4.00 (s, 3H), 2.94 (s, 3H). ^1^C NMR (100 MHz, CDCl_3) δ 166.1, 147.7, 146.2, 133.2, 130.2, 129.9, 129.7, 129.4, 129.3, 128.1, 128.1, 127.7, 124.0, 123.3, 52.5, 13.7. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C_{18}H_{16}NO_3^+, ([M + H]^+), 294.1125, found. 294.1130.

**7-chloro-1-methyl-3-phenylisoquinoline 2-oxide 5l**

Yield: 74% (42.8 mg). ^1^H NMR (400 MHz, CDCl_3) δ 7.90 (d, J = 1.6 Hz, 1H), 7.77 – 7.73 (m, 2H), 7.70 (d, J = 8.7 Hz, 1H), 7.67 (d, J = 8.9 Hz, 0.54H), 7.60 (s, 0.53H), 7.54 – 7.40 (m, 6.53H), 7.21 (dd, J = 8.9, 2.4 Hz, 0.51H), 7.14 (d, J = 2.2 Hz, 0.5 H), 6.89 (dd, J = 6.8, 1.6 Hz, 1H), 3.98 (s, 3H), 3.97 (s, 1.5H), 2.91 (s, 3H), 2.90 (s, 1.5H). ^1^C NMR (100 MHz, CDCl_3) δ 159.7, 155.2, 145.9, 145.7, 144.8, 144.6, 134.1, 133.9, 129.9, 129.8, 129.7, 129.4, 129.2, 129.0, 128.90, 128.84, 128.01, 127.97, 124.2, 122.3, 120.9, 120.7, 117.3, 115.9, 106.3, 102.5, 55.8, 55.6, 13.9, 13.7. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C_{16}H_{13}ClNO^+, ([M + H]^+), 270.0680, found. 270.0668.

**5m.** Yield: 81% (42.7 mg). The product can not be seperated by chlumn, so characterized by mixture. The ratio of isoer was determined by ^1^H NMR analysis.

^1^H NMR (400 MHz, CDCl_3) δ 8.09 (s, 1H), 7.82 – 7.72 (m, 3H), 7.67 (d, J = 8.9 Hz, 0.54H), 7.60 (s, 0.53H), 7.54 – 7.40 (m, 6.53H), 7.21 (dd, J = 8.9, 2.4 Hz, 0.51H), 7.14 (d, J = 2.2 Hz, 0.5 H), 6.89 (dd, J = 6.8, 1.6 Hz, 1H), 3.98 (s, 3H), 3.97 (s, 1.5H), 2.91 (s, 3H), 2.90 (s, 1.5H). ^1^C NMR (100 MHz, CDCl_3) δ 159.7, 155.2, 145.9, 145.7, 144.8, 144.6, 134.1, 133.9, 129.9, 129.8, 129.7, 129.4, 129.2, 129.0, 128.90, 128.84, 128.01, 127.97, 124.2, 122.3, 120.9, 120.7, 117.3, 115.9, 106.3, 102.5, 55.8, 55.6, 13.9, 13.7. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C_{17}H_{16}NO_2^+, ([M + H]^+), 266.1176, found. 266.1189.
1,6,7-trimethyl-3-phenylisoquinoline 2-oxide 5n

Yield: 82% (43.0 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.76 (dd, $J = 8.0, 2.0$ Hz, 2H), 7.68 (s, 1H), 7.55 (s, 1H), 7.50 (s, 1H), 7.48 – 7.41 (m, 3H), 2.91 (s, 3H), 2.47 (s, 3H), 2.42 (s, 3H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 145.7, 145.3, 138.7, 138.6, 134.0, 129.8, 128.7, 127.9, 127.7, 127.0, 126.9, 123.5, 121.7, 20.6, 20.1, 13.5. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{17}$H$_{18}$NO$^+$, (M + H)$^+$, 264.1383, found. 264.1390.

1-methyl-3-phenyl-10H-indeno[1,2-g]isoquinoline 2-oxide 5o

Yield: 71% (45.5 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.06 (s, 2H), 7.92 – 7.88 (m, 1H), 7.80 (dd, $J = 8.0, 2.0$ Hz, 2H), 7.74 (s, 1H), 7.60 (d, $J = 6.8$ Hz, 1H), 7.51 – 7.40 (m, 5H), 4.12 (s, 2H), 2.99 (s, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 146.3, 146.0, 144.2, 143.9, 143.0, 139.8, 133.9, 129.8, 129.0, 128.8, 128.7, 128.0, 127.4, 125.4, 122.7, 121.1, 119.6, 117.1, 36.8, 13.9. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{23}$H$_{18}$NO$^+$, (M + H)$^+$, 324.1383, found. 324.1387.

1-ethyl-3-phenylisoquinoline 2-oxide 5p

Yield: 84% (41.6 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.93 (d, $J = 8.5$ Hz, 1H), 7.80 – 7.76 (m, 3H), 7.67 (s, 1H), 7.62 (t, $J = 7.2$ Hz, 1H), 7.54 (t, $J = 7.4$ Hz, 1H), 7.51 – 7.40 (m, 3H), 3.50 (q, $J = 7.5$ Hz, 2H), 1.39 (t, $J = 7.5$ Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 150.4, 146.8, 133.8, 129.9, 129.1, 128.9, 128.0, 127.7, 127.5, 123.5, 122.7, 20.4, 10.8. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{16}$H$_{14}$NO$^+$, (M + H)$^+$, 250.1226, found. 250.1238.

6-phenylnaphtho[1',2':4,5]imidazo[1,2-a]pyridine 7a

Yield: 88% (52.0 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.90 (d, $J = 8.2$ Hz, 1H), 7.98 (d, $J = 8.0$ Hz, 1H), 7.86 (d, $J = 8.4$ Hz, 2H), 7.78 – 7.67 (m, 1H), 7.66 – 7.60 (m, 1H), 7.59 – 7.50 (m, 6H), 7.38 – 7.31 (m, 1H), 6.60 (t, $J = 7.2$ Hz, 1H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 147.8, 141.7, 138.2, 131.5, 129.4, 128.9, 128.3, 128.2, 127.3, 126.6, 126.43, 126.39, 126.1, 123.6, 123.0, 122.3, 118.0, 110.7. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{21}$H$_{15}$N$_2^+$, (M + H)$^+$, 295.1230, found. 295.1247.

3-methyl-6-phenylnaphtho[1',2':4,5]imidazo[1,2-a]pyridine 7b

Yield: 91% (56.1 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.78 (d, $J = 8.3$ Hz, 1H), 7.89 – 7.80 (m, 2H), 7.75 (s, 1H), 7.61 – 7.51 (m, 6H), 7.47 (s, 1H), 7.31 (t, $J = 7.6$ Hz, 1H), 6.57 (t, $J = 7.2$ Hz, 1H), 2.58 (s, 3H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 147.8, 141.9, 138.4, 136.1,
131.8, 129.4, 128.9, 128.5, 128.3, 128.2, 127.5, 127.1, 126.5, 124.1, 123.2, 122.9, 121.9, 117.9, 110.5, 21.9. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C_{22}H_{17}N_{5}^+, ([M + H]^+), 309.1386, found 309.1392.

3-methoxy-6-phenylnaphtho[1',2':4,5]imidazo[1,2-a]pyridine  7c
Yield: 96% (61.1 mg). ^1H NMR (400 MHz, CDCl₃) δ 8.78 (d, J = 8.8 Hz, 1H), 7.90 – 7.78 (m, 2H), 7.63 – 7.51 (m, 5H), 7.46 (s, 1H), 7.40 – 7.28 (m, 3H), 6.58 (t, J = 7.6, 1H), 3.96 (s, 3H). ^13C NMR (100 MHz, CDCl₃) δ 158.3, 147.9, 142.0, 138.3, 132.9, 129.4, 128.9, 128.7, 128.3, 127.2, 126.5, 124.6, 122.8, 121.3, 120.8, 117.8, 117.7, 110.5, 107.6, 55.4.

Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C_{22}H_{17}N_{5}O^+, ([M + H]^+), 309.1392.

6-phenyl-3-(trifluoromethyl)naphtho[1',2':4,5]imidazo[1,2-a]pyridine  7d
Yield: 85% (61.2 mg). ^1H NMR (400 MHz, CDCl₃) δ 8.97 (d, J = 8.6 Hz, 1H), 8.26 (s, 1H), 7.91 – 7.79 (m, 3H), 7.63 – 7.54 (m, 6H), 7.37 (dd, J = 9.1, 6.6, 1.1 Hz, 1H), 6.73 – 6.55 (m, 1H). ^13C NMR (100 MHz, CDCl₃) δ 148.2, 141.3, 137.6, 130.4, 129.7, 129.3, 129.1, 128.7, 128.1 (q, J = 32.0 Hz), 128.0, 126.7, 125.7 (q, J = 4.7 Hz), 124.6 (q, J = 270.5 Hz), 124.0, 123.7, 122.0 (q, J = 3.1 Hz), 118.1, 111.1. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C_{22}H_{14}F₃N_{2}^+, ([M + H]^+), 363.1104, found 363.1114.

6-fluoro-6-phenylnaphtho[1',2':4,5]imidazo[1,2-a]pyridine  7e
Yield: 82% (51.2 mg). ^1H NMR (400 MHz, CDCl₃) δ 8.87 (dd, J = 8.9, 5.7 Hz, 1H), 7.84 (dd, J = 5.5, 4.4 Hz, 2H), 7.63 – 7.53 (m, 6H), 7.48 – 7.43 (m, 2H), 7.41 – 7.31 (m, 1H), 6.62 (t, J = 6.8 Hz, 1H). ^13C NMR (100 MHz, CDCl₃) δ 161.3 (d, J = 245.1 Hz), 148.0, 141.8, 137.9, 132.5 (d, J = 9.1 Hz), 129.5, 129.3, 129.0, 128.5, 127.6, 126.6, 125.4 (d, J = 9.1 Hz), 122.8, 122.6 (d, J = 4.3 Hz), 117.9, 115.9 (d, J = 24.5 Hz), 111.8 (d, J = 20.9 Hz). Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C_{21}H_{14}FN_{2}^+, ([M + H]^+), 313.1136, found 313.1347.

3-chloro-6-phenylnaphtho[1',2':4,5]imidazo[1,2-a]pyridine  7f
Yield: 87% (57.2 mg). ^1H NMR (400 MHz, CDCl₃) δ 8.82 (d, J = 8.7 Hz, 1H), 7.94 (d, J = 2.0 Hz, 1H), 7.85 (dd, J = 5.6, 4.4 Hz, 2H), 7.64 (dd, J = 8.7, 2.0 Hz, 1H), 7.63 – 7.50 (m, 5H), 7.45 (s, 1H), 7.39 – 7.34 (m, 1H), 6.63 (t, J = 7.6 Hz, 1H). ^13C NMR (100 MHz, CDCl₃) δ 148.0, 141.5, 137.8, 132.3, 132.2, 129.5, 129.3, 129.0, 128.6, 127.8, 127.1, 127.0, 126.6, 124.7, 124.2, 122.5, 117.9, 111.0. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C_{21}H_{14}ClN_{2}^+, ([M + H]^+), 329.0840, found 329.0839.

3,6-diphenylnaphtho[1',2':4,5]imidazo[1,2-a]pyridine  7g

518
Yield: 86% (63.4 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.96 (d, $J = 8.5$ Hz, 1H), 8.18 (d, $J = 1.6$ Hz, 1H), 7.98 (dd, $J = 8.5$, 1.8 Hz, 1H), 7.86 (dd, $J = 8.4$, 1.1 Hz, 2H), 7.81 – 7.74 (m, 2H), 7.62 – 7.54 (m, 6H), 7.50 (t, $J = 8.0$ Hz, 2H), 7.41 – 7.30 (m, 2H), 6.59 (td, $J = 6.8$, 1.2 Hz, 1H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 148.0, 141.7, 141.2, 139.1, 138.2, 129.4, 129.0, 128.9, 128.6, 128.4, 127.5, 127.4, 126.6, 126.3, 126.0, 125.2, 123.9, 123.6, 122.4, 118.0, 110.7. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{27}$H$_{19}$N$_2$, ([M + H]$^+$), 371.1543, found 371.1537.

2-methyl-6-phenylnaphtho[1',2':4,5]imidazo[1,2-a]pyridine 7h

Yield: 75% (46.4 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.69 (s, 1H), 7.93 – 7.80 (m, 3H), 7.58 – 7.51 (m, 6H), 7.45 (dd, $J = 8.2$, 1.5 Hz, 1H), 7.32 (ddd, $J = 9.3$, 6.6, 1.0 Hz, 1H), 6.63 – 6.53 (m, 1H), 2.65 (s, 3H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 147.7, 141.4, 138.4, 136.5, 129.5, 129.5, 128.9, 128.4, 128.2, 128.1, 128.0, 126.2, 124.7, 122.4, 119.0, 117.8, 110.6, 21.9. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{22}$H$_{17}$N$_2$, ([M + H]$^+$), 309.1386, found 309.1378.

5-phenylthieno[3'',2'':5',6']benzo[1',2':4,5]imidazo[1,2-a]pyridine 7i

Yield: 78% (46.6 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.85 – 7.77 (m, 2H), 7.68 – 7.46 (m, 8H), 7.35 (dd, $J = 8.5$, 7.3 Hz, 1H), 6.57 (t, $J = 7.2$ Hz, 1H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 148.6, 138.3, 137.7, 129.6, 129.2, 128.9, 128.3, 128.3, 127.1, 126.3, 126.2, 124.7, 122.4, 119.0, 117.8, 110.5. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{19}$H$_{13}$NS, ([M + H]$^+$), 301.0794, found 301.0790.

(S)-ethyl-1-(3-(tert-butyl)isoquinolin-1-yl)-2-methyl-1H-indole-3-carboxylate 8

Yield: 88% (68.2 mg). $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.51 (t, $J = 7.6$ Hz, 2H), 7.45 – 7.34 (m, 2H), 7.24 – 7.17 (m, 2H), 7.10 (d, $J = 7.8$ Hz, 1H), 7.00 (d, $J = 7.6$ Hz, 1H), 6.73 (s, 1H), 4.40 (q, $J = 7.1$ Hz, 2H), 1.98 (s, 3H), 1.41 (t, $J = 17.6$ Hz, 3H), 1.02 (s, 9H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$ 168.3, 162.5, 152.6, 142.9, 140.1, 139.4, 132.4, 131.3, 129.6, 129.5, 128.0, 119.3, 118.9, 113.5, 109.8, 105.8, 61.0, 36.8, 29.5, 19.7, 14.3. Mass Spectrometry: HRMS (ESI-TOF) (m/z): Calcd for C$_{25}$H$_{27}$N$_2$O$_2$, ([M + H]$^+$), 387.2067, found 387.2076.
VI. NMR Spectra of Products

$^1$H NMR (400 MHz, CDCl$_3$) spectrum for 3aa.

$^{13}$C NMR (100 MHz, CDCl$_3$) spectrum for 3aa.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3ab.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3ab.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3ac.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3ac.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3ad.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3ad.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3ae.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3e.
$^{1}$H NMR (400MHz, CDCl$_3$) spectrum for 3af.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3af.
$^{1}H$ NMR (400MHz, CDCl$_3$) spectrum for 3ag.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3ag.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3ah.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3ah.
$^{1}H$ NMR (400MHz, CDCl$_3$) spectrum for 3ai.

$^{13}C$ NMR (100MHz, CDCl$_3$) spectrum for 3ai.
$^{1}$H NMR (400MHz, CDCl$_3$) spectrum for 3aj.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3aj.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3ak.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3ak.
$^{1}$H NMR (400MHz, CDCl$_3$) spectrum for 3al.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3al.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3am.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3am.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3an.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3an.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3ao.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3ao.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3ap.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3ap.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3aq.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3aq.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3bp.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3bp.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3cp.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3cp.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3dp.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3dp.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3ep.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3ep.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3fp.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3fp.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3gp.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3gp.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3hp.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3hp.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3ip.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3ip.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3jp.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3jp.
$^1\text{H NMR (400MHz, CDCl}_3\text{)}$ spectrum for 3kp.

$^{13}\text{C NMR (100MHz, CDCl}_3\text{)}$ spectrum for 3kp.
$^1$H NMR (400MHz, CDCl₃) spectrum for 3lp.

$^{13}$C NMR (100MHz, CDCl₃) spectrum for 3lp.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3mp.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3mp.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3np.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3np.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3op.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3op.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3pp.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3pp.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3qp.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3qp.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3rp.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3rp.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3sp.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3sp.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3tp.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3tp.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3up.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3up.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3vp.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3vp.
$\text{^1H NMR (400MHz, CDCl}_3\text{) spectrum for 3wp.}$

$\text{^13C NMR (100MHz, CDCl}_3\text{) spectrum for 3wp.}$
$^1$H NMR (400MHz, CDCl₃) spectrum for 3xp.

$^{13}$C NMR (100MHz, CDCl₃) spectrum for 3xp.
$^1$H NMR (400 MHz, CDCl$_3$) spectrum for 3yp.

$^{13}$C NMR (100 MHz, CDCl$_3$) spectrum for 3yp.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3y’p.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3y’p.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3zp.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3zp.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 3pr.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 3pr.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 5a.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 5a.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 5b.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 5b.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 5c.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 5c.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 5d.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 5d.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 5e.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 5e.
\( ^1H \) NMR (400 MHz, CDCl\(_3\)) spectrum for 5f.

\( ^{13}C \) NMR (100 MHz, CDCl\(_3\)) spectrum for 5f.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 5g.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 5g.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 5h.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 5h.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 5i.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 5i.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 5j.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 5j.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 5k.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 5k.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 5l.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 5l.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 5m.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 5m.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 5n.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 5n.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for $5o$.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for $5o$. 
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 5p.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 5p.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 7a.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 7a.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 7b.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 7b
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 7c.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 7c.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 7d

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 7d.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 7e.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 7e.
H NMR (400MHz, CDCl₃) spectrum for 7f.

13C NMR (100MHz, CDCl₃) spectrum for 7f.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 7g.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 7g.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 7h.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 7h.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 7i.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 7i.
$^1$H NMR (400MHz, CDCl$_3$) spectrum for 8.

$^{13}$C NMR (100MHz, CDCl$_3$) spectrum for 8.