Supporting Information

Cobalt(III)-Catalysed C-H Allylation with Vinylaziridines

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I. General considerations

All reagents were obtained from commercial sources and used as received. Solvents (THF, DCM, toluene and Et₂O) were purified and dried over Braun solvent purification system (MB-SPS-800) or dried by standard procedures prior to use.¹ Analytical Thin Layer Chromatography (TLC) was carried out on Merck silica gel60 F₂₅₄. Products were revealed by ultraviolet light (254 or 366 nm) and stained with dyeing reagents solutions as 5% phosphomolybdic acid solution, potassium permanganate solution or p-anisaldehyde solution in ethanol followed by gentle heating. Flash chromatography was performed on Combiflash® Companion or with Merck silica gel 60 (230-400 mesh).¹ H, ¹³C and ³¹P NMR spectra were recorded in CDCl₃, DMSO-d₆ or acetone- d₆ at ambient temperature on Bruker Avance III 300 or 400 spectrometers operating at 300 and 400 MHz respectively for ¹H. ¹³C nucleus was observed with ¹H decoupling. Solvent residual signals were used as internal standard.² Chemical shifts (δ) and coupling constants (J) are given in ppm and Hz respectively. The peaks patterns are indicated as the following format multiplicity (s: singlet; d: doublet; t: triplet; q: quartet; sept: septuplet; m: multiplet; dd: doublet of doublet; dt: doublet of triplet; dm: doublet of multiplet, etc.). The prefix br. indicates a broadened signal. HRMS were recorded on SYNAPT G2 HDMS (Waters) or on QStar Elite (Applied Biosystems SGIEX) equipped with an Atmospheric Pressure Ionization (API) source. Mass spectra were obtained using a Time Of Flight (TOF) analyser.

II. Synthesis of aromatic substrates for C-H activation

1-(Pyridin-2-yl)-1H-indole 1g³

A mixture of indole (1.17 g, 10 mmol), 2-bromopyridine (1.88 g, 12 mmol, 1.2 equiv.) and KOH (1.4 g, 25 mmol, 2.5 equiv.) in DMSO (12 mL) was stirred at 120 °C for 2 days. After cooling to room temperature, water (20 mL) was added to the mixture. The aqueous phase was extracted with ethyl acetate (3 x 50 mL). The combined organic phases were washed with water (3 x 20 mL), dried over Na₂SO₄ and concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/EtOAc 10:1) to give a yellow oil: 1.8 g (92 % yield).

¹H NMR (300 MHz, CDCl₃): δ = 9.03 (ddd, J(H,H) = 4.9, 2.0 and 0.9 Hz, 1H, H°Ar), 8.67 (dt, J(H,H) = 8.3 and 0.9 Hz, 1H, H°Ar), 8.27 (ddd, J(H,H) = 8.3, 7.3 and 0.9 Hz, 1H, H°Ar), 8.18 (d, J(H,H) = 3.5 Hz, 1H, H°Ar), 8.12 (J(H,H) = 7.7 and 1.0 Hz, 1H, H°Ar), 7.95 (dd, J(H,H) = 8.2 and 0.9 Hz, 1H, H°Ar), 7.82-7.53 (m, 3H, H°Ar), 7.18 (dd, J(H,H) = 3.5 and 0.8 Hz, 1H, H°Ar). ¹³C NMR (75 MHz, CDCl₃): δ = 152.5 (C), 149.0 (CH), 138.5 (CH), 135.1 (C), 130.5 (C), 126.0 (CH), 123.2 (CH), 121.3 (CH), 121.2 (CH), 120.1 (CH), 114.6 (CH), 113.01 (CH), 105.6 (CH).

N-Phenylpivalamide 1k⁴
A solution of pivaloyl chloride (0.91 mL, 10 mmol, 1 equiv.) in dichloromethane (20 mL) was added to a solution of aniline (1.22 mL, 10 mmol) and triethylamine (2.76 mL, 20 mmol, 2 equiv.) in dichloromethane (20 mL) at 0 °C under argon atmosphere. The reaction was stirred at room temperature for 16 h. The mixture was washed with water (20 mL) and brine (20 mL), dried by MgSO₄, concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/EtOAc 4:1) to give a white solid: 1.1 g (65 % yield).

^1H NMR (400 MHz, CDCl₃): δ = 7.69-7.36 (m, 2H, HAr), 7.43-7.22 (m, 2H, HAr), 7.17-6.97 (m, 1H, HAr), 1.32 (s, 9H, C(CH₃)₃). ^13C NMR (75 MHz, CDCl₃): δ = 176.7 (C), 138.2 (C), 129.0 (CH), 124.3 (CH), 120.2 (CH), 39.7 (C), 27.7 (CH₃)

N-(2-Ethylphenyl)pivalamide 1l^4

A solution of pivaloyl chloride (0.61 mL, 4.96 mmol, 1 equiv.) in dichloromethane (10 mL) was added to a solution of 2-ethyl-aniline (0.62 mL, 4.96 mmol) and triethylamine (1.40 mL, 9.91 mmol, 2 equiv.) in dichloromethane (10 mL) at 0 °C under argon atmosphere. The reaction was stirred at room temperature for 16 h. The mixture was washed with water (20 mL) and brine (20 mL), dried over MgSO₄, concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/EtOAc 4:1) to afford a white solid: 1.00 g (99 % yield)

^1H NMR (400 MHz, CDCl₃): δ = 7.88 (d, J(H,H) = 7.9 and 1.3 Hz, 1H HAr), 7.51-7.17 (m, 2H, HAr), 7.10 (td, J(H,H) = 7.5 and 1.3 Hz, 1H, HAr), 2.60 (q, J(H,H) = 7.6 Hz, 2H, CH₂), 1.34 (s, 9H, C(CH₃)₃), 1.25 (t, J(H,H) = 7.6 Hz, 3H, CH₃). ^13C NMR (100 MHz, CDCl₃): δ = 176.6 (C), 135.4 (C), 134.67 (C), 128.6 (CH), 126.9 (CH), 125.3 (CH), 123.4 (CH), 39.9 (C), 27.8 (CH₃), 24.6 (CH₂), 14.0 (CH₃).

III. Vinylaziridine Synthesis
To a solution of \( p \)-toluenesulfonamide (3.42 g, 20 mmol) and potassium hydroxide (2.8 g, 50 mmol, 2.5 equiv.) in dry MeOH (80 mL), (diacetoxyiodo)benzene (6.44 g, 20 mmol, 1.0 equiv.) was added portionwise at 0 °C. The resulting reaction mixture was stirred at room temperature for 3 h. The reaction mixture was poured into water (200 mL) and aged in refrigerator overnight to precipitate a yellow solid, which was recrystallized to give a yellow solid: 2.6 g (35% yield).

\[ \text{H NMR (300 MHz, DMSO-d}_6\text{): } \delta = 7.71-7.67 \text{ (m, 2H, } H_{\text{Ar}}\text{), 7.48-7.40 \text{ (m, 3H, } H_{\text{Ar}}\text{), 7.29 (t, } J(H,H) = 7.7 \text{ Hz, 2H, } H_{\text{Ar}}\text{), 7.09 (t, } J(H,H) = 8.0 \text{ Hz, 2H, } H_{\text{Ar}}\text{), 2.27 (s, 3H, } \text{CH}_3\text{).} \]

\[ \text{13C NMR (75 MHz, DMSO-d}_6\text{): } \delta = 142.1 \text{ (C), 140.2 (C), 137.0 (C), 133.1 (CH), 130.4 (CH), 130.1 (CH), 128.6 (CH), 126.1 (CH), 20.7 (CH}_3\text{).} \]

**1-Tosyl-2-vinylaziridine 2a\(^6\)**

\( \text{PhI=NTs} \) (3.37 g, 10 mmol, 1 equiv.) was added to a solution of 1,3- butadiene (0.84 mL, 10 mmol) and \( \text{Cu(OTf)}_2 \) (0.36 g, 1 mmol, 0.1 equiv.) in dry MeCN (20 mL) at 0 °C under argon atmosphere. The reaction was stirred at room temperature for 3 h. The mixture was poured into 1M NaOH (200 mL) and extracted with diethyl ether (200 mL x 2). The combined organic layer was dried over Na\(_2\)SO\(_4\) and the solvent was removed under reduced pressure. The residue was purified by silica gel flash chromatography (petroleum ether/AcOEt 10:1) to afford a white solid: 900 mg (40% yield).

\[ \text{1H NMR (400 MHz, CDCl}_3\text{): } \delta = 7.83 \text{ (d, } J(H,H) = 8.3 \text{ Hz, 2H, } H_{\text{Ar}}\text{), 7.34 (d, } J(H,H) = 8.6 \text{ Hz, 2H, } H_{\text{Ar}}\text{), 5.52 (ddd, } J(H,H) = 17.0 \text{, 9.9 and 7.1 Hz, 1H, CH}_2=\text{CH}, 5.42 (dd, } J(H,H) = 17.2 \text{ and 1.6 Hz, 1H, CH}_2=\text{CH}, 5.30-5.14 \text{ (m, 1H, CH}_2=\text{CH}, 3.27 (td, } J(H,H) = 7.1 \text{ and 4.5 Hz, 1H, } CH, 2.78 \text{ (d, } J(H,H) = 7.1 \text{ Hz, 1H, } CH, 2.44 \text{ (s, 3H, } CH}_3\text{), 2.22 (d, } J(H,H) = 4.5 \text{ Hz, 1H, } CH, 13.4 \text{ (CH}, 41.1 \text{ (CH), 34.3 (CH}_3\text{), 21.8 (CH}_3\text{).} \]

**N-\( p \)-Methylphenylsulfonyl)imino|phenyliodinane\(^5\)**

To a solution of \( p \)-toluenesulfonamide (3.42 g, 20 mmol) and potassium hydroxide (2.8 g, 50 mmol, 2.5 equiv.) in dry MeOH (80 mL), (diacetoxyiodo)benzene (6.44 g, 20 mmol, 1.0 equiv.) was added portionwise at 0 °C. The resulting reaction mixture was stirred at room temperature for 3 h. The reaction mixture was poured into water (200 mL) and aged in refrigerator overnight to precipitate a yellow solid, which was recrystallized to give a yellow solid: 2.6 g (35% yield).

\[ \text{H NMR (300 MHz, DMSO-d}_6\text{): } \delta = 7.71-7.67 \text{ (m, 2H, } H_{\text{Ar}}\text{), 7.48-7.40 \text{ (m, 3H, } H_{\text{Ar}}\text{), 7.29 (t, } J(H,H) = 7.7 \text{ Hz, 2H, } H_{\text{Ar}}\text{), 7.09 (t, } J(H,H) = 8.0 \text{ Hz, 2H, } H_{\text{Ar}}\text{), 2.27 (s, 3H, } \text{CH}_3\text{).} \]

\[ \text{13C NMR (75 MHz, DMSO-d}_6\text{): } \delta = 142.1 \text{ (C), 140.2 (C), 137.0 (C), 133.1 (CH), 130.4 (CH), 130.1 (CH), 128.6 (CH), 126.1 (CH), 20.7 (CH}_3\text{).} \]
2-((Trimethylsilyl)oxy)but-3-enenitrile\(^7\)

A mixture of freshly distilled acrolein (3.3 mL, 50 mmol), TMSCN (6.3 mL, 50 mmol, 1.0 equiv.) and a minute amount of zinc iodide (30 mg) was heated for 2 h under reflux. The reaction was monitored by \(^1\)HNMR. The title product was obtained after distillation under reduced pressure (75 °C, 100 mbar) to give a colorless liquid: 5.23 g (67% yield).

\(^1\)H NMR (300 MHz, CDCl\(_3\)) \(\delta = 5.90\) (ddd, \(J_{(H,H)} = 16.9, 10.1\) and 5.2 Hz, 1H, CH\(_2=CH\)), 5.55 (dd, \(J_{(H,H)} = 16.9\) and 1.3 Hz, 1H, CH\(_2=CH\)), 5.38 (dd, \(J_{(H,H)} = 10.1\) and 1.3 Hz, 1H, CH\(_2=CH\)), 4.95 (dt, \(J_{(H,H)} = 5.2\) and 1.4 Hz, 1H, CH), 0.23 (s, 9H, Si(CH\(_3\)_3)).

\(^13\)C NMR (75 MHz, CDCl\(_3\)) \(\delta = 132.9\) (CH), 118.8 (CH\(_2\)), 118.2 (CH), 62.4 (CH), 0.17 (CH\(_3\)).

1-Aminobut-3-en-2-ol\(^7\)

A solution of 2-((trimethylsilyl)oxy)but-3-enenitrile (5.2 g, 33mmol) in anhydrous diethyl ether (40 mL) was added dropwise to a suspension of LiAlH\(_4\) (2.6 g, 70 mmol, 2.1 equiv.) in anhydrous diethyl ether (80 mL). After refluxing during 75 min., the reaction mixture was cooled to room temperature. Triethanolamine (10.8 g, 72.6 mmol, 2.2 equiv.) was then added under stirring over 20 min. followed by water (2.6 mL, 144 mmol, 4.4 equiv.) over 10 min.. A greyish mass was formed and the stirring was continued for 24 h. The mixture was then filtered and the solid was washed with diethyl ether (30 mL x 3). The combined organic phases were dried over Na\(_2\)SO\(_4\) and the solvent was removed under reduced pressure. The residue was distilled under reduced pressure (85 °C, 35 mbar) to give a colorless liquid: 1.17 g (40% yield).

\(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta = 5.82\) (ddd, \(J_{(H,H)} = 17.2, 10.5\) and 5.5 Hz, 1H, CH\(_2=CH\)), 5.31 (dt, \(J_{(H,H)} = 17.2\) and 1.6 Hz, 1H, CH\(_2=CH\)), 5.17 (dt, \(J_{(H,H)} = 10.5\) and 1.6 Hz, 1H, CH\(_2=CH\)), 4.07 (dddt, \(J_{(H,H)} = 7.1, 5.6, 4.1\) and 1.4 Hz, 1H, CH), 2.84 (dd, \(J_{(H,H)} = 12.8\) and 4.2 Hz, 1H, CH\(_2\)), 2.65 (dd, \(J_{(H,H)} = 12.8\) and 7.1 Hz, 1H, CH\(_2\)), 1.87 (br, 3H, NH\(_2\) and OH).

\(^13\)C NMR (75 MHz, CDCl\(_3\)) \(\delta = 139.1\) (CH), 115.6 (CH\(_2\)), 73.1 (CH), 47.1 (CH\(_2\)).

\(N\)-(2-Hydroxybut-3-en-1-yl)methanesulfonamide

A solution of methanesulfonyl chloride (262 mg, 2.3 mmol, 1.0 equiv.) in dichloromethane (10 mL) was added dropwise to a solution of 1-aminobut-3-en-2-ol (200 mg, 2.3 mmol) and Et\(_3\)N (0.63 mL, 4.6 mmol, 2.0 equiv.) in dichloromethane (15 mL) at 0 °C. The reaction mixture was stirred at room temperature for 12 h before adding water (100 mL). The resulting mixture was extracted with dichloromethane (3 x 30 mL) and the combined organic layers were washed with brine (2 x 20 mL), dried over MgSO\(_4\), filtered and...
concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/AcOEt 1:2) to give a colorless oil: 250 mg (72% yield).

$^1$H NMR (400 MHz, CDCl$_3$): $\delta$ = 5.86 (ddd, $J$(H,H) = 17.2, 10.5 and 5.6 Hz, 1H, CH$_2$=CH), 5.38 (dt, $J$(H,H) = 17.2 and 1.4 Hz, 1H, CH$_2$=CH), 5.26 (dt, $J$(H,H) = 10.5 and 1.4 Hz, 1H, CH$_2$=CH), 4.96 (s, 1H, NH), 4.33 (ddt, $J$(H,H) = 5.4, 3.5 and 1.8 Hz, 1H, CH), 3.32 (ddd, $J$(H,H) = 13.3, 7.4 and 3.5 Hz, 1H, CH), 3.18-3.07 (m, 1H, CH), 3.00 (s, 3H, CH$_3$), 2.43 (d, 1H, O).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ = 137.2 (CH$_2$), 117.0 (CH), 71.6 (CH), 48.5 (CH$_2$), 40.4 (CH$_3$).

HRMS (ESI): $m/z$: calcd for C$_{5}$H$_{11}$NO$_{3}$SNa$^+$: 188.0352 [M+Na$^+$]: found 138.0348.

1-(Methylsulfonyl)-2-vinylaziridine 2b

A solution of diisopropyl azodicarboxylate (347 mg, 1.3 mmol, 1.0 equiv.) in anhydrous THF (2 mL) was added dropwise to a solution of N-(2-hydroxybut-3-en-1-yl)methanesulfonamide (200 mg, 1.3 mmol) and PPh$_3$ (267 mg, 1.3 mmol, 1.0 equiv.) in anhydrous THF (20 mL) at 0°C under argon. The reaction mixture was stirred at 0°C for 1h and concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/AcOEt 2:1) to give a yellow oil: 147 mg (77% yield).

$^1$H NMR (400 MHz, CDCl$_3$): $\delta$ = 5.64-5.54 (m, 1H, CH$_2$=CH), 5.54-5.48 (m, 1H, CH), 5.37-5.29 (m, 1H, CH), 3.21 (td, $J$(H,H) = 6.9 and 4.5 Hz, 1H, CH), 3.06 (s, 3H, CH$_3$), 2.77 (d, $J$(H,H) = 7.1 Hz, 1H, CH), 2.26 (d, $J$(H,H) = 4.5 Hz, 1H, CH$_2$).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ = 133.0 (CH), 120.5 (CH$_2$), 40.6 (CH$_3$), 39.8 (CH), 33.6 (CH$_2$).

N-(2-Hydroxybut-3-en-1-yl)-4-nitrobenzenesulfonamide

A solution of 4-nitrobenzenesulfonyl chloride (505 mg, 2.3 mmol, 1.0 equiv.) in dichloromethane (10 mL) was added dropwise to a solution of 1-aminobut-3-en-2-ol (200 mg, 2.3 mmol) and Et$_3$N (0.63 mL, 4.6 mmol, 2.0 equiv.) in dichloromethane (15 mL) at 0°C. The reaction mixture was stirred at room temperature for 12 h before adding water (100 mL). The resulting mixture was extracted with dichloromethane (3 x 30 mL) and the combined organic layers were washed with brine (2 x 20 mL), dried over MgSO$_4$, filtered and concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/AcOEt 1:1) to give a yellow solid: 510 mg (82% yield).

$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ = 8.37 (d, $J$(H,H) = 8.9 Hz, 2H, H$_{\text{aro}}$), 8.06 (d, $J$(H,H) = 8.9 Hz, 2H, H$_{\text{aro}}$), 5.78 (ddd, $J$(H,H) = 17.2, 10.5, and 5.7 Hz, 1H, CH$_2$=CH), 5.32 (dt, $J$(H,H) = 17.2 and 1.3 Hz, 1H, CH$_2$=CH), 5.27 (br, 1H, NH), 5.23 (dt, $J$(H,H) = 10.5 and 1.3Hz, 1H, CH$_2$=CH), 4.27 (dt, $J$(H,H) = 7.5 and 4.3 Hz, 1H, CH), 3.23 (dd, $J$(H,H) = 12.9 and 3.6 Hz, 1H, CH$_2$), 2.94 (dd, $J$(H,H) = 12.9 and 7.8 Hz, 1H, CH$_2$), 2.13 (br, 1H, O).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ = 150.2 (C), 145.8 (C), 136.7 (CH), 128.5(CH), 124.6 (CH), 117.8 (CH$_2$), 71.5 (CH), 48.2 (CH$_2$).

1-((4-Nitrophenyl)sulfonyl)-2-vinylaziridine 2c

A solution of diisopropyl azodicarboxylate (212 mg, 0.74 mmol, 1.0 equiv.) in anhydrous THF (2 mL) was added dropwise to a solution of N-(2-hydroxybut-3-en-1-yl)benzenesulfonamide (200 mg, 0.74 mmol) and PPh$_3$ (163 mg, 0.74 mmol, 1.0 equiv.) in anhydrous THF (20 mL) at 0 °C under argon. The reaction mixture was stirred at 0 °C for 1 h and concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/Et$_2$O 5:1) to give a yellow solid: 60 mg (32% yield).

$^1$H NMR (400 MHz, CDCl$_3$): $\delta$ = 8.40 (d, $J(H,H) = 8.9$ Hz, 2H, $H_{Ar}$), 8.16 (d, $J(H,H) = 8.9$ Hz, 2H, $H_{Ar}$), 5.57-5.48 (m, 1H, CH$_2$=C$H$), 5.48-5.43 (m, 1H, CH$_2$=CH), 5.34-5.26 (m, 1H, CH$_2$=CH), 3.40 (td, $J(H,H) = 6.8$ and 4.7 Hz, 1H, C$H$), 2.91 (d, $J(H,H) = 7.2$ Hz, 1H, CH$_2$), 2.33 (d, $J(H,H) = 4.7$ Hz, 1H, CH$_2$).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ = 150.8 (C), 144.2 (C), 132.4 (CH), 129.2 (CH), 124.5 (CH), 121.3 (CH$_2$), 42.0 (CH), 34.9 (CH$_2$).

N-(2-Hydroxybut-3-en-1-yl)benzenesulfonamide

A solution of benzenesulfonyl chloride (402 mg, 2.3 mmol, 1.0 equiv.) in dichloromethane (10 mL) was added dropwise to a solution of 1-aminobut-3-en-2-ol (200 mg, 2.3 mmol) and Et$_3$N (0.63 mL, 4.6 mmol, 2.0 equiv.) in dichloromethane (15 mL) at 0 °C. The reaction mixture was stirred at room temperature for 12 h before adding water (100 mL). The resulting mixture was extracted with dichloromethane (3 x 30 mL) and the combined organic layers were washed with brine (2 x 20 mL), dried over MgSO$_4$, filtered and concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/AcOEt 1:1) to give a colorless oil: 440 mg (77% yield).

$^1$H NMR (400 MHz, CDCl$_3$): $\delta$ = 7.95-7.76 (m, 2H, $H_{Ar}$), 7.63-7.45 (m, 3H, $H_{Ar}$), 5.77 (dddd, $J(H,H) = 17.2$, 10.5, 5.7 and 0.8 Hz, 1H, CH$_2$=CH), 5.30 (dt, $J(H,H) = 17.2$ and 1.3 Hz, 1H, CH$_2$=CH), 5.20 (dt, $J(H,H) = 10.5$ and 1.3Hz, 1H, CH$_2$=CH), 4.99 (br, 1H, NH), 4.31-4.16 (m, 1H, CH$_2$), 3.28-3.07 (m, 1H, CH$_2$), 2.98-2.72 (m, 1H, CH$_2$), 2.20 (br, 1H, OH).

$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ = 139.7 (C), 137.1 (CH), 132.9 (CH), 129.3 (CH), 127.1 (CH$_2$), 71.4 (CH), 48.4 (CH$_2$). HRMS (ESI): m/z: calcd for C$_{10}$H$_{13}$NO$_3$SNa$: 250.0508 [M+Na]$^+$: found 250.0510.

1-(Phenylsulfonyl)-2-vinylaziridine 2d

A solution of diisopropyl azodicarboxylate (196 mg, 0.88 mmol, 1.0 equiv.) in anhydrous THF (2 mL) was added dropwise to a solution of N-(2-hydroxybut-3-en-1-
yl)benzenesulfonamide (200 mg, 0.88 mmol) and PPh$_3$ (254 mg, 0.88 mmol, 1.0 equiv.) in anhydrous THF (20 mL) at 0 °C under argon. The reaction mixture was stirred at 0 °C for 1 h and concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/Et$_2$O 10:1) to give a colorless oil: 140 mg (76% yield).

$^1$H NMR (400 MHz, CDCl$_3$): δ = 7.95 (dd, J(H,H) = 8.4 and 1.4 Hz, 2H, H$_{Ar}$), 7.70-7.63 (m, 1H, H$_{Ar}$), 7.61-7.54 (m, 2H, H$_{Ar}$), 5.52 (ddd, J(H,H) = 16.9, 9.7 and 7.1 Hz, 1H, CH=CH), 5.46-5.38 (m, 1H, C$_2$H=CH), 5.25 (dd, J(H,H) = 10.1 and 1.5 Hz, 1H, C$_2$H=CH), 3.34 (m, 1H, C$_2$H$_2$), 2.82 (d, J(H,H) = 7.1 Hz, 1H, C$_2$H), 2.24 (d, J(H,H) = 4.5 Hz, 1H, C$_2$H).

$^{13}$C NMR (75 MHz, CDCl$_3$): δ = 138.2 (C), 133.7 (C$_2$H), 132.9 (C$_2$H), 129.2 (C$_2$H), 127.9 (C$_2$H), 120.6 (C$_2$H), 41.2 (C$_2$H), 34.4 (C$_2$H$_2$).

**N-(2-Hydroxybut-3-en-1-yl)-2,4,6-triisopropylbenzenesulfonamide**

A solution of 2,4,6-triisopropylbenzenesulfonyl chloride (694 mg, 2.3 mmol, 1.0 equiv.) in dichloromethane (10 mL) was added dropwise to a solution of 1-aminobut-3-en-2-ol (200 mg, 2.3 mmol) and Et$_3$N (0.63 mL, 4.6 mmol, 2.0 equiv.) in dichloromethane (15 mL) at 0 °C. The reaction mixture was stirred at room temperature for 12 h before adding water (100 mL). The resulting mixture was extracted with dichloromethane (3 x 30 mL) and the combined organic layers were washed with brine (2 x 20 mL), dried over MgSO$_4$, filtered and concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/AcOEt 3:1) to give a white solid: 350 mg (43% yield).

$^1$H NMR (400 MHz, CDCl$_3$): δ = 7.17 (s, 2H, H$_{Ar}$), 5.80 (ddd, J(H,H) = 17.2, 10.5 and 5.7 Hz, 1H, CH$_2$=CH), 5.32 (dt, J(H,H) = 17.2 and 1.4 Hz, 1H, CH$_2$=CH), 5.21 (dt, J(H,H) = 10.5 and 1.4 Hz, 1H, CH$_2$=CH), 4.80 (dd, J(H,H) = 17.2, and 1.4 Hz, 1H, NH), 4.29 (ddt, J(H,H) = 5.3, 3.9 and 1.9 Hz, 1H, CH=OH), 4.17-4.11 (m, j(H,H) = 17.2 and 1.4 Hz, 2H, CH(CH$_3$)$_2$), 3.19-3.14 (m, 1H, CH(CH$_3$)$_2$), 2.94-2.87 (m, 2H, CH$_2$), 1.99 (d, J(H,H) = 4.3 Hz, 1H, OH), 1.28-1.25 (m, 18H, CH(CH$_3$)$_3$)). $^{13}$C NMR (75 MHz, CDCl$_3$): δ = 138.2 (C), 150.4 (C), 137.4 (CH), 132.3 (C), 124.0 (CH), 117.3 (CH$_2$), 71.4 (CH), 48.1 (CH$_2$), 34.3 (CH), 29.8 (CH), 25.0 (CH$_3$), 25.7 (CH$_3$). HRMS (ESI): m/z: calcd for C$_{19}$H$_{32}$NO$_3$S$: 354.2097 [M+H]$^+$; found 354.2099.

**1-((2,4,6-Triisopropylphenyl)sulfonyl)-2-vinylaziridine 2e**

A solution of diethyl azodicarboxylate (224 mg, 1.29 mmol, 1.3 equiv.) in anhydrous THF (2 mL) was added dropwise to a solution of N-(2-hydroxybut-3-en-1-yl)-2,4,6-triisopropylbenzenesulfonamide (350 mg, 0.99 mmol) and PPh$_3$ (311 mg, 1.18 mmol, 1.2
equiv.) in anhydrous THF (20 mL) at 0 °C under argon. The reaction mixture was stirred at 0 °C for 1h and concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/EtO 50:1) to give a white solid: 190 mg (57% yield).

1H NMR (300 MHz, CDCl3): δ = 7.18 (s, 2H, HAr), 5.53 (ddd, J(H,H) = 17.3, 10.1 and 7.3 Hz, 1H, CH=CH2), 5.39 (dd, J(H,H) = 17.3 and 1.3 Hz, 1H, CH=CH2), 5.22 (dd, J(H,H) = 10.1 and 1.3 Hz, 1H, CH=CH2), 4.33 (hept, J(H,H) = 6.7 Hz, 2H, CH(CH3)2), 3.33 (td, J(H,H) = 7.1 and 4.4 Hz, 1H, CH2), 2.90-2.82 (m, 1H, CH2), 2.83 (d, J(H,H) = 7.1 Hz, 1H, CH2), 2.19 (d, J(H,H) = 4.4 Hz, 1H, N-CH2), 1.31-1.20 (dd, J(H,H) = 6.8 and 1.3 Hz, 18H, CH(CH3)2).

13C NMR (75 MHz, CDCl3): δ = 153.5 (C), 151.2 (C), 133.7 (C), 131.7 (C), 123.9 (C), 119.8 (C), 40.6 (CH), 34.4 (CH), 34.3 (CH2), 29.9 (CH), 25.0 (CH3), 23.7 (CH3).


N-(2-Hydroxybut-3-en-1-yl)-P,P-diphenylphosphinic amide

A solution of diphenylphosphinic chloride (542 mg, 2.3 mmol, 1.0 equiv.) in dichloromethane (10 mL) was added dropwise to a solution of 1-aminobut-3-en-2-ol (200 mg, 2.3 mmol) and Et3N (0.63 mL, 4.6 mmol, 2.0 equiv.) in dichloromethane (15 mL) at 0 °C. The reaction mixture was stirred at room temperature for 12 h before adding water (100 mL). The resulting mixture was extracted with dichloromethane (3 x 30 mL) and the combined organic layers were washed with brine (2 x 20 mL), dried over MgSO4, filtered and concentrated. The residue was purified by silica gel flash chromatography (dichloromethane/methanol10:1) to give a white solid: 550 mg (83% yield).

1H NMR (400 MHz, CDCl3): δ = 7.99-7.80 (m, 4H, HAr), 7.57-7.38 (m, 6H, HAr), 5.80 (ddd, J(H,H) = 17.2, 10.5 and 5.0 Hz, 1H, CH2=CH), 5.38 (dt, J(H,H) = 17.2 and 1.6 Hz, 1H, CH2=CH), 4.29-4.24 (m, 1H, CH), 3.36-3.33 (m, 1H, NH), 3.20-3.10 (m, 1H, CH2), 3.05-2.94 (m, 1H, CH2).

13C NMR (75 MHz, CDCl3): δ = 138.2 (C), 132.4 (d, J(C,P) = 9.6 Hz, CH), 132.2 (d, J(C,P) = 9.3 Hz, CH), 132.0 (d, J(C,P) = 130.3 Hz, C), 131.7 (d, J(C,P) = 130.7 Hz, C), 131.1 (d, J(C,P) = 3.3 Hz, CH), 128.8 (d, J(C,P) = 1.4 Hz, CH), 128.7 (d, J(C,P) = 1.4 Hz, CH), 116.3 (CH2), 72.5 (d, J(C,P) = 3.8 Hz, CH), 47.7 (d, J(C,P) = 2.0 Hz, CH2).

31P NMR (121 MHz, CDCl3): δ = 26.7 (s).


Diphenyl(2-vinylaziridin-1-yl)phosphine oxide 2f

A solution of diisopropyl azodicarboxylate (200 mg, 1.00 mmol, 1.0 equiv.) in anhydrous THF (2 mL) was added dropwise to a solution of t N-(2-Hydroxybut-3-en-1-yl)-P,P-diphenylphosphinic amide (280 mg, 1.00 mmol) and PPh3 (260 mg, 1.00 mmol, 1.0 equiv.) in anhydrous THF (20 mL) at 0 °C under argon. The reaction mixture was stirred at 0 °C
for 1 h and concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/EtOAc 1:4) to give a white solid: 180 mg (67% yield).

\[^1\text{H NMR (400 MHz, CDCl}_3\text{): }\delta = 8.00-7.77 (m, 4H, \text{H}^\text{Ar}), 7.59-7.34 (m, 6H, \text{H}^\text{Ar}), 5.66 (\text{ddd, } J(\text{H,H}) = 17.2, 10.3 \text{ and } 7.8 \text{ Hz, 1H, CH}_2=\text{CH}), 5.38 (\text{dd, } J(\text{H,H}) = 17.2 \text{ and } 1.3 \text{ Hz, 1H, CH}_2=\text{CH}), 5.20 (\text{dd, } J(\text{H,H}) = 10.3 \text{ and } 1.3 \text{ Hz, 1H, CH}_2=\text{CH}), 5.00-3.03 (m, 1H, \text{H}), 2.72 (\text{ddd, } J(\text{H,H}) = 17.3, 6.0 \text{ and } 1.4 \text{ Hz, 1H, CH}_2=\text{CH}).\]

\[^{13}\text{C NMR (100 MHz, CDCl}_3\text{): }\delta = 136.0 (\text{d, } J(\text{C,P}) = 5.0 \text{ Hz, C}), 133.0 (\text{d, } J(\text{C,P}) = 127.1 \text{ Hz, C}), 132.8 (\text{d, } J(\text{C,P}) = 128.3 \text{ Hz, C}), 131.9 (\text{d, } J(\text{C,P}) = 2.6 \text{ Hz, C}), 131.0 (\text{d, } J(\text{C,P}) = 3.0 \text{ Hz, C}), 128.6 (\text{d, } J(\text{C,P}) = 3.8 \text{ Hz, C}), 128.5 (\text{d, } J(\text{C,P}) = 3.8 \text{ Hz, C}), 118.8 (\text{CH}_2), 37.0 (\text{d, } J(\text{C,P}) = 5.4 \text{ Hz, C}), 30.4 (\text{d, } J(\text{C,P}) = 6.9 \text{ Hz, C}).\]

\[^{31}\text{P NMR (162 MHz, CDCl}_3\text{): }\delta = 32.3 (\text{s}).\]

HRMS (ESI): m/z: calcd for C\textsubscript{16}H\textsubscript{17}NOP\textsuperscript{+}: 270.1042 [M+H]\textsuperscript{+}: found 270.1043.

2-(2-Vinylaziridin-1-yl)isoindoline-1,3-dione 2g\textsuperscript{11}

![Image of 2-(2-Vinylaziridin-1-yl)isoindoline-1,3-dione 2g](image)

Butadiene (1.62 mL, 18.5 mmol, 3.0 equiv.) was added to a solution of 2-aminoisoindoline-1,3-dione (1 g, 6.17 mmol) and (diacetoxyiodo)benzene (1.41 g, 4.63 mmol, 0.75 equiv.) in anhydrous dichloromethane (30 mL) at -10 °C. The reaction temperature was slowly increased to room temperature and the reaction mixture was stirred at room temperature for 12 h. The transparent solution was then diluted with dichloromethane (30 mL) and the mixture was washed with water (3 x 30 mL), dried over MgSO\textsubscript{4}, filtered and concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/dichloromethane 1:1) to give a yellow solid: 450 mg (45% yield).

\[^1\text{H NMR (300 MHz, CDCl}_3\text{): }\delta = 7.81-7.74 (m, 2H, \text{H}^\text{Ar}), 7.72-7.65 (m, 2H, \text{H}^\text{Ar}), 5.78 (\text{ddd, } J(\text{H,H}) = 17.3, 10.3 \text{ and } 7.0 \text{ Hz, 1H, CH}_2=\text{CH}), 5.54 (\text{dd, } J(\text{H,H}) = 10.3 \text{ and } 1.4 \text{ Hz, 1H, CH}_2=\text{CH}), 5.36 (\text{dd, } J(\text{H,H}) = 10.3 \text{ and } 1.4 \text{ Hz, 1H, CH}_2=\text{CH}), 3.16-3.04 (m, 1H, CH), 2.73 (\text{dd, } J(\text{H,H}) = 7.8 \text{ and } 2.3 \text{ Hz, 1H, CH}_2), 2.51 (\text{dd, } J(\text{H,H}) = 5.8 \text{ and } 2.3 \text{ Hz, 1H, CH}_2).\]

\[^{13}\text{C NMR (75 MHz, CDCl}_3\text{): }\delta = 165.1 (\text{C}), 134.3 (\text{CH}), 134.1 (\text{CH}), 130.5 (\text{C}), 123.2 (\text{CH}), 119.7 (\text{CH}_2), 44.1 (\text{CH}_2), 38.8 (\text{CH}).\]

N-(2-Hydroxybut-3-en-1-yl)benzamide\textsuperscript{12}

![Image of N-(2-Hydroxybut-3-en-1-yl)benzamide](image)

A solution of benzoyl chloride (322 mg, 2.3 mmol, 1.0 equiv.) in dichloromethane (10 mL) was added dropwise to a solution of 1-aminobut-3-en-2-ol (200 mg, 2.3 mmol) and Et\textsubscript{3}N (0.63 mL, 4.6 mmol, 2.0 equiv.) in dichloromethane (15 mL) at 0 °C. The reaction mixture
was stirred at room temperature for 12 h before adding water (100 mL). The resulting mixture was extracted with dichloromethane (3 x 30 mL) and the combined organic layers were washed with brine (2 x 20 mL), dried over MgSO₄, filtered and concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/AcOEt 1:2) to give a yellow oil: 300 mg (68% yield).

**¹H NMR (400 MHz, CDCl₃):** δ = 7.85-7.69 (m, 2H, H Ar), 7.56-7.47 (m, 1H, H Ar), 7.46-7.37 (m, 2H, H Ar), 6.6 (s, 1H, NH), 5.92 (ddd, J(H,H) = 17.1, 10.5 and 5.5 Hz, 1H, CH₂=CH₂), 5.39 (dt, J(H,H) = 17.2 and 1.5 Hz, 1H, CH₂=CH), 5.20 (dt, J(H,H) = 10.5 and 1.5Hz, 1H, CH₂=CH), 4.46-4.30 (m, 1H, CH), 3.77 (ddd, J(H,H) = 13.9, 6.5 and 3.4 Hz, 1H, CH₂), 3.40 (ddd, J(H,H) = 13.9, 7.3 and 5.1 Hz, 1H, CH₂), 2.78 (d, J(H,H) = 4.0 Hz, 1H, OCH₃).

**¹³C NMR (75 MHz, CDCl₃):** δ = 168.7 (C), 138.0 (C), 134.1 (C), 131.7 (C), 128.6 (C), 127.1 (C), 116.3 (C), 72.0 (C), 45.8 (C).

**Phenyl(2-vinylaziridin-1-yl)methanone 2h**

A solution of diisopropyl azodicarboxylate (259 mg, 1.42 mmol, 1.0 equiv.) in anhydrous THF (2 mL) was added dropwise to a solution of N-(2-hydroxybut-3-en-1-yl)benzamide (220 mg, 1.42 mmol) and PPh₃ (329 mg, 1.42 mmol, 1.0 equiv.) in anhydrous THF (20 mL) at 0 °C under argon. The reaction mixture was stirred at 0 °C for 1h and concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/EtOAc 50:1) to give a colorless oil: 55 mg (27% yield).

**¹H NMR (400 MHz, CDCl₃):** δ = 8.09-7.94 (m, 2H, H Ar), 7.63-7.50 (m, 1H, H Ar), 7.50-7.38 (m, 2H, H Ar), 5.64 (ddd, J(H,H) = 17.1, 10.2 and 7.8 Hz, 1H, CH₂=CH₂), 5.32 (ddd, J(H,H) = 10.1 and 1.3 Hz, 1H, CH₂=CH), 3.02 (ddd, J(H,H) = 7.8, 5.9 and 3.5 Hz, 1H, CH₂), 2.76 (d, J(H,H) = 5.9 Hz, 1H, CH₂), 2.24 (d, J(H,H) = 3.5 Hz, 1H, CH₂). **¹³C NMR (75 MHz, CDCl₃):** δ = 178.8 (C), 135.5 (C), 133.0 (C), 132.9 (C), 129.4 (C), 128.5 (C), 119.6 (CH₂), 40.7 (C), 32.5 (CH₂).

**Phenyl(2-vinylaziridin-1-yl)methanone 2h**

A solution of diisopropyl azodicarboxylate (259 mg, 1.42 mmol, 1.0 equiv.) in anhydrous THF (2 mL) was added dropwise to a solution of N-(2-hydroxybut-3-en-1-yl)benzamide (220 mg, 1.42 mmol) and PPh₃ (329 mg, 1.42 mmol, 1.0 equiv.) in anhydrous THF (20 mL) at 0 °C under argon. The reaction mixture was stirred at 0 °C for 1h and concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/EtOAc 50:1) to give a colorless oil: 55 mg (27% yield).

**¹H NMR (400 MHz, CDCl₃):** δ = 8.09-7.94 (m, 2H, H Ar), 7.63-7.50 (m, 1H, H Ar), 7.50-7.38 (m, 2H, H Ar), 5.64 (ddd, J(H,H) = 17.1, 10.2 and 7.8 Hz, 1H, CH₂=CH₂), 5.32 (ddd, J(H,H) = 10.1 and 1.3 Hz, 1H, CH₂=CH), 3.02 (ddd, J(H,H) = 7.8, 5.9 and 3.5 Hz, 1H, CH₂), 2.76 (d, J(H,H) = 5.9 Hz, 1H, CH₂), 2.24 (d, J(H,H) = 3.5 Hz, 1H, CH₂). **¹³C NMR (75 MHz, CDCl₃):** δ = 178.8 (C), 135.5 (C), 133.0 (C), 132.9 (C), 129.4 (C), 128.5 (C), 119.6 (CH₂), 40.7 (C), 32.5 (CH₂).

**Phenyl(2-vinylaziridin-1-yl)methanone 2h**

A solution of diisopropyl azodicarboxylate (259 mg, 1.42 mmol, 1.0 equiv.) in anhydrous THF (2 mL) was added dropwise to a solution of N-(2-hydroxybut-3-en-1-yl)benzamide (220 mg, 1.42 mmol) and PPh₃ (329 mg, 1.42 mmol, 1.0 equiv.) in anhydrous THF (20 mL) at 0 °C under argon. The reaction mixture was stirred at 0 °C for 1h and concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/EtOAc 50:1) to give a colorless oil: 55 mg (27% yield).

**¹H NMR (400 MHz, CDCl₃):** δ = 6.07-5.85 (m, 1H, CH₃-CH=CH), 5.55 (ddq, J(H,H) = 15.2, 6.3 Hz and 1.7 Hz, 1H, CH₃-CH=CH), 4.88 (dt, J(H,H) = 6.1 and 1.1 Hz, 1H, CH₃), 1.76 (ddd, J(H,H) = 6.6, 1.8 Hz and 1.0 Hz, 3H, CH₃) 0.21 (s, 9H, Si(CH₃)₃). **¹³C NMR (100 MHz, CDCl₃):** δ = 131.4 (CH), 126.3 (CH), 118.9 (C), 62.2 (CH), 17.5 (CH₃), 0.2 (CH₃).
(E)-1-Aminopent-3-en-2-ol\textsuperscript{15}

A solution of (E)-2-((trimethylsilyl)oxy)pent-3-enenitrile (4.23 g, 25 mmol) in anhydrous diethyl ether (40 mL) was added dropwise to a suspension of LiAlH$_4$ (1.99 g, 52 mmol, 2.1 equiv.) in anhydrous diethyl ether (80 mL). After refluxing during 75 min., the reaction mixture was cooled to room temperature. Triethanolamine (8.11 g, 52.4 mmol, 2.2 equiv.) was then added under stirring over 20 min. followed by water (1.87 mL, 110 mmol, 4.4 equiv.) over 10 min. A greyish mass was formed and stirring was continued for 24 h. The mixture was then filtered and the solid was washed with diethyl ether (30 mL x 3). The combined organic phases were dried over Na$_2$SO$_4$ and the solvent was removed under reduced pressure. The residue was distilled under reduced pressure (90 °C, 35 mbar) to give a colorless liquid: 1.50 g (59% yield).

$^1$H NMR (400 MHz, CDCl$_3$): $\delta$ = 5.88-5.59 (m, 1H, CH$_3$-CH=C), 5.43 (ddq, $J$(H,H) = 15.3 Hz, 6.6 Hz and 1.6 Hz, 1H, CH$_3$-CH=C), 3.99 (m, 1H, CH), 2.77 (dd, $J$(H,H) = 12.7 and 4.3 Hz, 1H, CH$_2$), 2.65 (dd, $J$(H,H) = 12.7 and 7.4 Hz, 1H, CH$_2$), 2.00 (br, 3H, NH$_2$ and OH), 1.69 (ddd, $J$(H,H) = 6.5 Hz, 1.7 Hz and 0.9 Hz, 3H, CH$_3$).

$^{13}$C NMR (100 MHz, CDCl$_3$): $\delta$ = 131.8 (CH), 127.9 (CH), 73.2 (CH), 47.6 (CH$_2$), 17.9 (CH$_3$).

(E)-N-(2-Hydroxypent-3-en-1-yl)-4-methylbenzenesulfonamide\textsuperscript{16}

A solution of 4-methylbenzenesulfonyl chloride (1.05 mg, 5.5 mmol, 1.1 equiv.) in dichloromethane (15 mL) was added dropwise to a solution of (E)-1-aminopent-3-en-2-ol (506 mg, 5 mmol) and Et$_3$N (1.35 mL, 10 mmol, 2.0 equiv.) in dichloromethane (15 mL) at 0 °C. The reaction mixture was stirred at room temperature for 12 h before adding water (100 mL). The resulting mixture was extracted with dichloromethane (3 x 30 mL) and the combined organic layers were washed with brine (2 x 20 mL), dried over MgSO$_4$, filtered and concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/AcOEt 1:2) to give a yellow oil: 578 mg (45% yield).

$^1$H NMR (400 MHz, CDCl$_3$): $\delta$ = 7.74 (d, $J$(H,H) = 8.3 Hz, 2H, $H^{Ha}$), 7.30 (d, $J$(H,H) = 8.0 Hz, 2H, $H^{Ha}$), 5.80-5.63 (m, 1H, CH$_3$-CH=CH), 5.37 (ddd, $J$(H,H) = 15.4, 6.8 and 1.7 Hz, 1H, CH$_3$-CH=CH), 5.04 (dd, $J$(H,H) = 7.5 and 5.1 Hz, 1H, $H^{Ha}$), 4.14 (m, 1H, CH), 3.06 (ddd, $J$(H,H) = 12.8, 7.5 and 3.8 Hz, 1H, CH$_2$), 2.92-2.76 (m, 1H, CH$_2$), 2.42 (s, 3H, Ar-CH$_3$), 1.97 (br, 1H, NH), 1.66 (dd, $J$(H,H) = 6.8 and 1.8 Hz, 3H, CH-CH$_3$). $^{13}$C NMR (100 MHz, CDCl$_3$): 143.6 (C), 136.9 (C), 130.2 (CH), 129.9 (CH), 129.5 (CH), 127.2 (CH), 71.3 (CH), 48.6 (CH$_2$), 21.7 (CH$_3$), 17.8 (CH$_3$).

(E)-2-(Prop-1-en-1-yl)-1-tosylaziridine \textsuperscript{2i}\textsuperscript{17}
A solution of diisopropyl azodicarboxylate (485 mg, 2.4 mmol, 1.2 equiv.) in anhydrous THF (2 mL) was added dropwise to a solution of (E)-N-(2-hydroxypent-3-en-1-yl)-4-methylbenzenesulfonamide (510 mg, 2 mmol) and PPh₃ (629 mg, 2.4 mmol, 1.2 equiv.) in anhydrous THF (20 mL) at 0 °C under argon. The reaction mixture was stirred at 0 °C for 1 h and concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/EtOAc 9:1) to give a colorless oil: 347 mg (73% yield).

**1H NMR (400 MHz, CDCl₃):** δ = 7.82 (d, J(H,H) = 8.3 Hz, 2H, HAr), 7.3 (d, J(H,H) = 8.1 Hz, 2H, HAr), 5.88 (dq, J(H,H) = 15.5 and 6.6 Hz, 1H, CH₃-CH=CH), 5.15 (ddd, J(H,H) = 15.4, 7.8 and 1.7 Hz, 1H, CH₃-CH=CH), 3.27 (td, J(H,H) = 7.2 and 4.6 Hz, 1H, CH), 2.73 (d, J(H,H) = 7.1 Hz, 1H, CH₂), 2.44 (s, 3H, Ar-CH₃), 2.18 (d, J(H,H) = 4.6 Hz, 1H, CH₂), 1.68 (dd, J(H,H) = 6.6 and 1.7 Hz, 3H, CH-CH₃). **13C NMR (100 MHz, CDCl₃):** 144.6 (C), 135.4 (C), 132.4 (C), 129.8 (CH), 127.9 (CH), 126.1 (CH), 41.1 (CH), 34.4 (C₂H), 21.7 (CH₃), 17.9 (CH₃).

**3-Methyl-2-((trimethylsilyl)oxy)but-3-enenitrile**

A mixture of freshly distilled methacrylaldehyde (500 mg, 7.1 mmol), TMSCN (700 mg, 7.1 mmol, 1.0 equiv.) and zinc iodide (15 mg) was heated for 1 h under reflux. The title product was obtained after distillation under reduced pressure (65°C, 35 mbar) to give a colorless liquid: 1.05 g (83% yield).

**1H NMR (400 MHz, CDCl₃):** δ = 5.24 (q, J(H,H) = 1.0 Hz, 1H, CH₂=C), 5.06 (dt, J(H,H) = 1.6 and 0.8 Hz, 1H, CH₂=C), 4.81 (t, J(H,H) = 1.0 Hz, 1H, CH), 1.86 (t, J(H,H) = 1.2 Hz, 3H, CH₃), 0.22 (s, 9H, Si(CH₃)₃). **13C NMR (75 MHz, CDCl₃):** δ = 140.1 (C), 118.5 (C), 114.9 (CH₂), 65.6 (CH), 17.6 (CH₃), 0.3 (CH₃).

**N-(2-Hydroxy-3-methylbut-3-en-1-yl)-4-methylbenzenesulfonamide**

A solution of 3-methyl-2-((trimethylsilyl)oxy)but-3-enenitrile (1 g, 5.9 mmol) in anhydrous diethyl ether (10 mL) was added dropwise by dropping funnel to a suspension of LiAlH₄ (0.47 g, 14.9 mmol, 2.1 equiv.) in anhydrous diethyl ether (20 mL). After refluxing during 75 min., the reaction mixture was cooled to room temperature. Triethanolamine (1.93 g, 13 mmol, 2.2 equiv.) was then added under stirring over 20 min. followed by water (0.5 mL, 26 mmol, 4.4 equiv.) over 10 min. A greyish mass was formed and stirring was continued for 24 h. The mixture was then filtered and the solid was washed with diethyl ether (3 x 30 mL). The combined organic phase was dried over Na₂SO₄ and the solvent was removed under reduced pressure. The residue and Et₃N (0.36 mL, 2.80 mmol, 2 equiv.) was dissolved in dichloromethane (10 mL), followed by a
solution of 4-methylbenzenesulfonyl chloride (260 mg, 1.34 mmol, 1 equiv.) in dichloromethane (5 mL). The reaction mixture was stirred at room temperature for 12 h before adding water (100 mL). The resulting mixture was extracted with dichloromethane (3 x 30 mL) and the combined organic layers were washed with brine (2 x 20 mL), dried over MgSO$_4$, filtered and concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/EtOAc 1:1) to give a colorless oil: 300 mg (30% yield).

$^1$H NMR (400 MHz, CDCl$_3$): $\delta$ = 7.75 (d, $J$(H,H) = 8.3 Hz, 2H, $H^\alpha$), 7.36-7.29 (m, 2H, $H^\alpha$), 5.00 (q, $J$(H,H) = 1.1 Hz, 1H, $CH_2$=C), 4.92 (dt, $J$(H,H) = 2.2 and 1.1 Hz, 1H, $CH_2$=C), 4.80-4.70 (m, 1H, $CH_2$), 4.00-3.90 (m, 2H, $CH_2$), 2.89 (ddd, $J$(H,H) = 12.6, 7.9 and 4.5 Hz, 1H, $CH_2$), 2.43 (s, 3H, Ar-$CH_3$), 1.97 (br, 1H, N$H$), 1.66 (d, $J$(H,H) = 1.1 Hz, 3H, $CH$-$CH_3$).

$^{13}$C NMR (75 MHz, CDCl$_3$): 144.3 (C), 143.7 (C), 137.0 (C), 129.9 (C), 127.3 (C), 112.7 (C), 73.8 (C), 47.2 (C), 21.7 (C), 18.5 (C). HRMS (ESI): $m/z$: calcd for C$_{12}$H$_{18}$NO$_3$S$: 256.1002 [M+H]$^+$; found 256.1003.

2-(Prop-1-en-2-yl)-1-tosylaziridine 2j

A solution of diisopropyl azodicarboxylate (178 mg, 0.76 mmol, 1.3 equiv.) in anhydrous THF (2 mL) was added dropwise to a solution of N-(2-hydroxy-3-methylbut-3-en-1-yl)-4-methylbenzenesulfonamide (150 mg, 0.59 mmol) and PPh$_3$ (200 mg, 0.76 mmol, 1.3 equiv.) in anhydrous THF (20 mL) at 0 $^\circ$C under argon. The reaction mixture was stirred at 0 $^\circ$C for 1h and concentrated. The residue was purified by silica gel flash chromatography (petroleum ether/EtOAc 10:1) to give a colorless oil: 90 mg (65% yield).

$^1$H NMR (400 MHz, CDCl$_3$): $\delta$ = 7.83 (d, $J$(H,H) = 8.3 Hz, 2H, $H^\alpha$), 7.39-7.28 (m, 2H, $H^\alpha$), 5.06 (dt, $J$(H,H) = 1.6 and 0.9 Hz, 1H, $CH_2$=C), 4.95 (t, $J$(H,H) = 1.5 Hz, 1H, $CH_2$=C), 3.26 (ddd, $J$(H,H) = 7.2, 4.6 and 0.9 Hz, 1H, $CH$), 2.72 (d, $J$(H,H) = 7.2 Hz, 1H, $CH_2$), 2.45 (s, 3H, Ar-$CH_3$), 2.30 (d, $J$(H,H) = 4.6 Hz, 1H, $CH_2$), 1.58 (t, $J$(H,H) = 1.2 Hz, 3H, CH-$CH_3$). $^{13}$C NMR (75 MHz, CDCl$_3$): 144.3 (C), 139.0 (C), 135.3 (C), 129.8 (C), 128.0 (C), 115.6 (C), 43.5 (C), 32.6 (C), 21.8 (C), 17.9 (C). HRMS (ESI): $m/z$: calcd for C$_{12}$H$_{15}$NO$_3$SNa$: 256.0716 [M+Na]$^+$; found 260.0716.

IV. Cobalt-mediated catalysis

General Procedure: Cobalt(III)-Catalysed C−H Allylation of Arene

In a dry Schlenk tube under argon atmosphere were introduced in turn Cp*Co(CO)$_2$I$_2$ (6.0 mg, 0.0125 mmol, 0.05 equiv.), AgSbF$_6$ (8.6 mg, 0.025 mmol, 0.1 equiv.), pivalic acid (2.4 mg, 0.025 mmol, 0.1 equiv.) and anhydrous 1,4-dioxane (0.5 mL). The mixture was stirred for 5 min. at room temperature before to add arene partner 1 (0.25 mmol) and vinylaziridine 2 (0.25 mmol, 1.0 equiv). The reaction was stirred at 40 $^\circ$C for 2 h. Volatiles were removed under vacuum and the residue was purified by silica gel flash
chromatography to give the expected product as an inseparable mixture of E- and Z-isomers.

4-Methyl-N-(4-(2-(pyridin-2-yl)phenyl)but-2-en-1-yl)benzenesulfonamide 3aa

According to the general procedure, the product was isolated after silica gel flash chromatography (petroleum ether/EtOAc 1:1) as a colorless oil (E/Z = 1:1.3): 71 mg (75% yield).

\[ \text{H NMR (300 MHz, CDCl}_3\text{): } \delta = 8.71-8.60 \text{ (m, 1H, } H_{\text{Ar}}\text{), } 7.81-7.63 \text{ (m, 3H, } H_{\text{Ar}}\text{), } 7.44-7.00 \text{ (m, 8H, } H_{\text{Ar}}\text{), } 5.67-5.48 \text{ (m, 1H, Ar-CH}_2\text{-CH=CH), } 5.35-5.07 \text{ (m, 1H, Ar-CH}_2\text{-CH=CH), } 4.62 \text{ (t, } J(H,H) = 6.0 \text{ Hz, } 0.56H, \text{ N, Z-isomer), } 4.12 \text{ (t, } J(H,H) = 6.0 \text{ Hz, } 0.47H, \text{ N, E-isomer), } 3.65-2.92 \text{ (m, 4H, Ar-C}_2\text{H}_2\text{-CH=CH-C}_2\text{H}_2\text{), } 2.42 \text{ (s, } 1.71H, \text{ CH}_3\text{), } 2.41 \text{ (s, } 1.29H, \text{ CH}_3\text{).} \]

\[ \text{C NMR (75 MHz, CDCl}_3\text{): } 159.9 \text{ (C), } 159.8 \text{ (C), } 149.2 \text{ (CH), } 149.1 \text{ (CH), } 143.3 \text{ (C), } 143.3 \text{ (C), } 140.0 \text{ (C), } 137.9 \text{ (C), } 137.4 \text{ (C), } 137.3 \text{ (C), } 137.2 \text{ (C), } 136.6 \text{ (CH), } 136.4 \text{ (CH), } 133.4 \text{ (CH), } 132.8 \text{ (CH), } 130.1 \text{ (CH), } 130.0 \text{ (CH), } 128.8 \text{ (CH), } 129.7 \text{ (CH), } 128.7 \text{ (CH), } 128.6 \text{ (CH), } 127.2 \text{ (CH), } 126.5 \text{ (CH), } 126.48 \text{ (CH), } 127.76 \text{ (CH), } 124.75 \text{ (CH), } 124.3 \text{ (CH), } 124.2 \text{ (CH), } 122.0 \text{ (CH), } 121.9 \text{ (CH), } 45.1 \text{ (CH), } 39.9 \text{ (CH), } 36.0 \text{ (CH), } 31.2 \text{ (CH), } 21.6 \text{ (CH}_3\text{).} \]

\[ \text{HRMS (ESI): } m/z: \text{ calcd for C}_{22}\text{H}_{23}\text{N}_2\text{O}_2\text{S}^+: 379.1475 \text{ [M+H]^+: found 379.1475.} \]

\[ \text{N-(4-(2-(Pyridin-2-yl)phenyl)but-2-en-1-yl)methanesulfonamide 3ab} \]

According to the general procedure, the expected product was isolated as after silica gel flash chromatography (petroleum ether/EtOAc 1:1) as a colorless oil (E/Z = 1:1.2): 55 mg (72% yield).

\[ \text{H NMR (400 MHz, CDCl}_3\text{): } 8.62-8.54 \text{ (m, 1H, } H_{\text{Ar}}\text{), } 7.73 \text{ (m, 1H, } H_{\text{Ar}}\text{), } 7.41-7.15 \text{ (m, 6H, } H_{\text{Ar}}\text{), } 5.72-5.59 \text{ (m, 1H, Ar-CH}_2\text{-CH=CH), } 5.45-5.34 \text{ (m, 0.55H, Ar-CH}_2\text{-CH=CH, Z-isomer), } 5.24-5.14 \text{ (m, 0.45H, Ar-CH}_2\text{-CH=CH, E-isomer), } 4.93 \text{ (br, } 0.51H, \text{ N, Z-isomer), } 4.29 \text{ (br, } 0.44H, \text{ N, E-isomer), } 3.60-3.37 \text{ (m, 4H, Ar-CH}_2\text{-CH=CH-CH}_2\text{), } 2.80 \text{ (s, } 1.33H, \text{ CH}_3\text{), } 2.77 \text{ (s, } 1.67H, \text{ CH}_3\text{).} \]

\[ \text{C NMR (75 MHz, CDCl}_3\text{): } 159.8 \text{ (C), } 159.6 \text{ (C), } 149.93 \text{ (CH), } 148.93 \text{ (CH), } 148.87 \text{ (CH), } 140.0 \text{ (C), } 138.0 \text{ (C), } 137.5 \text{ (C), } 137.1 \text{ (CH), } 136.9 \text{ (CH), } 133.5 \text{ (CH), } 133.1 \text{ (CH), } 130.2 \text{ (CH), } 130.1 \text{ (CH), } 129.9 \text{ (CH), } 129.0 \text{ (CH), } 128.9 \text{ (CH), } 126.7 \text{ (CH), } 126.6 \text{ (CH), } 126.3 \text{ (CH), } 125.4 \text{ (CH), } 124.5 \text{ (CH), } 124.4 \text{ (CH), } 122.2 \text{ (CH), } 45.1 \text{ (CH}_2\text{, E), } 40.8 \text{ (CH}_3\text{), } 40.0 \text{ (CH}_2\text{, E), } 36.0 \text{ (CH}_2\text{, Z), } 31.2 \text{ (CH}_2\text,, Z).} \]

\[ \text{HRMS (ESI): } m/z: \text{ calcd for C}_{16}\text{H}_{19}\text{N}_2\text{O}_2\text{S}^+: 303.1162 \text{ [M+H]^+: found 303.1161.} \]
4-Nitro-N-(4-(2-(pyridin-2-yl)phenyl)but-2-en-1-yl)benzenesulfonamide 3ac

According to the general procedure, the expected product was isolated as a colorless oil (E/Z = 1:1.2): 40 mg (61% yield).

\[ \text{HRMS (ESI): m/z: calcd for C}_{21}\text{H}_{20}\text{N}_{3}\text{O}_{4}\text{S}: 410.1169 [M+H]^+: found 410.1169.} \]

\[ N-(4-(2-(Pyridin-2-yl)phenyl)but-2-en-1-yl)benzenesulfonamide 3ad \]

According to the general procedure, the expected product was isolated as a colorless oil (E/Z = 1:1.2): 80 mg (88% yield).

2,4,6-Triisopropyl-N-(4-(2-(pyridin-2-yl)phenyl)but-2-en-1-yl)benzenesulfonamide 3ae

According to the general procedure, the expected product was isolated as after silica gel flash chromatography (petroleum ether/EtOAc 4:1) as a colorless oil (E/Z = 1:1.5): 70 mg (55% yield).

$^1$H NMR (300 MHz, CDCl$_3$): 8.68-8.62 (m, 1H, $H^a$), 8.65 (tt, $J$(H,H) = 7.7 and 2.2 Hz, 1H, $H^a$), 7.49-7.06 (m, 8H, $H$), 5.71-5.38 (m, 1H, Ar-CH$_2$-CH=CH), 5.35-5.14 (m, 1H, Ar-CH$_2$-CH=CH), 4.41 (t, $J$(H,H) = 6.1 Hz, 0.66 H, N,H, Z-isomer), 4.24-3.99 (m, 2H, CH(CH$_3$)$_2$), 3.55-3.37 (m, 4H, Ar-CH$_2$-CH=CH), 2.98-2.79 (m, 1H, CH(CH$_3$)$_2$), 1.32-1.10 (m, 18H, CH(CH$_3$)$_3$).

$^13$C NMR (75 MHz, CDCl$_3$): 160.0 (C), 152.8 (C), 150.5 (C), 150.4 (C), 149.2 (CH), 140.5 (C), 140.3 (C), 137.9 (C), 137.4 (C), 136.6 (C), 136.4 (CH), 133.8 (CH), 133.1 (CH), 132.6 (CH), 130.2 (CH), 130.1 (CH), 130.0 (CH), 129.82 (CH), 128.76 (CH), 128.62 (CH), 126.61 (CH), 125.9 (CH), 124.8 (CH), 124.3 (CH), 124.2 (CH), 123.9 (CH), 122.00 (CH), 121.95 (CH), 45.0 (CH$_2$), 36.1 (CH$_2$), 34.3 (CH), 31.3 (CH$_2$), 29.72 (CH), 29.68 (CH), 24.99 (CH$_3$), 24.97 (CH$_3$), 23.7 (CH$_3$).

HRMS (ESI): m/z: calcd for C$_{30}$H$_{39}$N$_2$O$_2$S$: 491.2727 [M+H]$^+$: found 491.2730.

$P,P$-Diphenyl-N-(4-(2-(pyridin-2-yl)phenyl)but-2-en-1-yl)phosphinic amide 3af

According to the general procedure, the expected product was isolated as after silica gel flash chromatography (dichloromethane/methanol 20:1) as a colorless oil (E/Z = 1:1.9): 44 mg (41% yield).

$^1$H NMR (300 MHz, CDCl$_3$): 8.56 (dd, $J$(H,H) = 4.9 and 1.7 Hz, 0.28H, $H^a$), 8.51 (dd, $J$(H,H) = 5.0 and 1.7 Hz, 0.62H, $H^a$), 7.89-7.67 (m, 4H, $H^a$), 7.65-7.52 (m, 1H, $H^a$), 7.89-7.67 (m, 12H, $H^a$), 5.64-5.50 (m, 0.34H, Ar-CH$_2$-CH=CH, E-isomer), 5.48-5.35 (m, 1.32H, Ar-CH$_2$-CH=CH, Z-isomer), 5.38-5.17 (m, 0.34H, Ar-CH$_2$-CH=CH, E-isomer), 3.45-3.30 (m, 4H, Ar-CH$_2$-CH=CH, 2.80-2.60 (m, 1H, NH).

$^{31}$C NMR (75 MHz, CDCl$_3$): 160.0 (C), 149.2 (C), 140.5 (C), 140.4 (C), 138.3 (C), 137.9 (C), 136.4 (CH), 136.3 (CH), 132.5 (d, $^3$(C,P) = 130.3 Hz, C), 133.3 (d, $^2$(C,P) = 9.4 Hz, CH), 133.2 (d, $^2$(C,P) = 9.4 Hz, CH), 131.97 (CH), 131.94 (CH), 131.7 (CH), 131.5 (CH), 131.3 (CH), 130.2 (CH), 130.1 (CH), 130.0 (CH), 129.8 (CH), 129.1 (CH), 129.0 (CH), 128.7 (d, $^4$(C,P) = 1.8 Hz, CH), 128.6 (d, $^3$(C,P) = 3.1 Hz, CH), 128.5 (d, $^4$(C,P) = 1.8 Hz, CH), 128.1 (CH), 128.0 (CH), 126.5 (CH), 126.4 (CH), 124.3 (CH), 124.2 (CH), 121.89 (CH), 121.86 (CH), 42.6 (CH$_2$), 37.6 (CH$_2$), 36.2 (CH$_2$), 31.2 (CH$_2$).
(121 MHz, CDCl₃): 23.74 (s). **HRMS (ESI):** m/z: calcd for C₂₇H₂₆N₂OP⁺: 425.1777 [M+H]⁺; found 425.1779.

2-((4-(2-(Pyridin-2-yl)phenyl)but-2-en-1-yl)amino)isoindoline-1,3-dione 3ag

![Structure of 3ag](image)

According to the general procedure, the expected product was isolated as after silica gel flash chromatography (petroleum ether/EtOAc 2:1) as a colorless oil (E/Z = 1: 1.9): 60 mg (65% yield).

**1H NMR (300 MHz, CDCl₃):** 8.67-8.62 (m, 1H, H_{Ar}), 7.86-7.17 (m, 5H, H_{Ar}), 7.39-7.05 (m, 6H, H_{Ar}), 5.87-5.23 (m, 2H, Ar-CH₂-C=CH₂), 3.81-3.15 (m, 4H, Ar-CH₂-CH=CH₂).

**13C NMR (100 MHz, CDCl₃):** 160.6 (C), 159.84 (C), 159.75 (C), 149.2 (CH), 149.1 (CH), 140.2 (C), 138.0 (C), 137.5 (CH), 136.3 (CH), 136.2 (C), 134.2 (CH), 133.8 (CH), 130.3 (C), 130.2 (C), 129.9 (CH), 129.84 (CH), 129.80 (CH), 129.51 (CH), 128.46 (CH), 128.4 (CH), 126.3 (CH), 126.2 (CH), 125.8 (CH), 124.6 (CH), 124.1 (CH), 124.0 (CH), 123.37 (CH), 121.7 (CH), 53.2 (CH₂), 47.9 (CH₂), 36.0 (CH₂), 31.3 (CH₂).

**HRMS (ESI):** m/z: calcd for C₂₃H₂₀N₃O₂⁺: 370.1550 [M+H]⁺; found 370.1549.

4-Methyl-N-(4-(5-methyl-2-(pyridin-2-yl)phenyl)but-2-en-1-yl)benzenesulfonamide 3ba

![Structure of 3ba](image)

According to the general procedure, the expected product was isolated as after silica gel flash chromatography (petroleum ether/EtOAc 2:1) as a colorless oil (E/Z = 1:1.0): 72 mg (73% yield).

**1H NMR (400 MHz, CDCl₃):** δ = 8.63 (dd, J_{H,H} = 13.6 and 4.9 Hz, 1H, H^{Ar}), 7.78-7.55 (m, 3H, H^{Ar}), 7.09 (dd, J_{H,H} = 10.4 and 8.2 Hz, 1H, H^{Ar}), 7.01 (d, J_{H,H} = 5.5 Hz, 1H, H^{Ar}), 5.62-5.46 (m, 1H, Ar-CH₂-C=CH), 5.32-5.20 (m, 0.50H, Ar-CH₂-CH=CH, Z-isomer), 5.14 (dt, J_{H,H} = 15.7 and 6.5 Hz, 0.50H, E-isomer), 4.77 (t, J_{H,H} = 6.1 Hz, 0.50H, NH, Z-isomer), 4.21 (t, J_{H,H} = 6.1 Hz, 0.50H, NH, E-isomer), 3.50-3.35 (m, 4H, Ar-CH₂-CH=CH₂), 2.42 (s, 1.5H, Ar-CH₃), 2.42 (s, 1.5H, Ar-CH₃), 2.36 (s, 3H, Ar-CH₃). **13C NMR (100 MHz, CDCl₃):** 159.9 (C), 159.7 (C), 149.1 (CH), 149.0 (CH), 143.23 (C), 143.19 (C), 138.4 (C), 138.3 (C), 137.6 (CH), 137.5 (CH), 137.31 (C), 137.27 (C), 137.17 (C), 137.11 (C), 136.6 (CH), 136.3 (C), 133.4 (CH), 132.8 (CH), 130.7 (CH), 130.5 (CH), 130.0 (CH), 129.9 (CH), 129.6 (CH), 127.20 (CH), 127.15 (CH), 127.11 (CH), 125.6 (CH), 124.6 (CH), 124.3 (CH), 124.2 (CH), 121.8 (CH), 121.7 (CH), 45.1 (CH₂), 39.9 (CH₂), 35.9 (CH₂), 31.1
N-(4-(5-Formyl-2-(pyridin-2-yl)phenyl)but-2-en-1-yl)-4-methylbenzenesulfonamide 3ca

According to the general procedure, the expected product was isolated as after silica gel flash chromatography (petroleum ether/EtOAc 1:1) as a colorless oil ($E/Z = 1:1.0$): 70 mg (69% yield).

$^1$H NMR (400 MHz, CDCl$_3$): $\delta =$ 10.04 (s, 0.5H, $C=O$), 10.03 (s, 0.5H, $C=O$), 8.69 (dd, $J(H,H) = 12.3$ and $4.9$ Hz, 1H, $H^a$), 7.88-7.64 (m, 5H, $H^b$), 7.51 (dd, $J(H,H) = 17.0$ and $7.8$ Hz, 1H, $H^a$), 7.41-7.21 (m, 5H, $H^c$), 5.68-5.45 (m, 1H, Ar-CH$_2$-CH$_2$-C$_{2}$H$_5$), 5.35-5.25 (m, 0.50H, Ar-CH$_2$-CH$_2$-CH=CH, Z-isomer), 5.19 (dt, $J(H,H) = 14.2$ and $6.3$ Hz, 0.50H, $E$-isomer), 4.62 (t, $J(H,H) = 6.1$ Hz, 0.50H, NH, Z-isomer), 4.25 (t, $J(H,H) = 6.1$ Hz, 0.50H, NH, $E$-isomer), 3.55-3.40 (m, 4H, Ar-CH$_2$-CH$_2$-CH=CH-C$_{2}$H$_5$), 2.42 (s, 1.5H, $C_H_3$), 2.41 (s, 1.5H, $C_H_3$).

$^{13}$C NMR (100 MHz, CDCl$_3$): 192.2 ($C$), 192.1 ($C$), 158.4 ($C$), 158.2 ($C$), 149.3 ($C$), 145.9 ($C$), 145.8 ($C$), 143.42 ($C$), 143.40 ($C$), 139.1 ($C$), 138.7 ($C$), 137.1 ($C$), 137.0 ($CH$), 136.8 ($CH$), 136.3 ($C$), 136.2 ($C$), 132.1 ($CH$), 131.5 ($CH$), 131.4 ($CH$), 131.0 ($CH$), 130.83 ($CH$), 130.75 ($CH$), 129.72 ($CH$), 129.69 ($CH$), 127.79 ($CH$), 127.76 ($CH$), 127.1 ($CH$), 126.7 ($CH$), 125.7 ($CH$), 124.23 ($CH$), 124.17 ($CH$), 122.8 ($CH$), 45.0 ($CH$_3$), 40.0 ($CH$_2$), 35.8 ($CH$_2$), 31.0 ($CH$_2$), 21.55 ($CH$_3$), 21.53 ($CH$_3$). HRMS (ESI): $m/z$: calcd for C$_{23}$H$_{25}$N$_2$O$_2$S:$^+$: 407.1424 [M+H]$^+$: found 407.1425.

$N$-(4-(5-Methoxy-2-(pyridin-2-yl)phenyl)but-2-en-1-yl)-4-methylbenzenesulfonamide 3da

According to the general procedure, the expected product was isolated as after silica gel flash chromatography (petroleum ether/EtOAc 1:1) as a colorless oil ($E/Z = 1:1.0$): 60 mg (59% yield).

$^1$H NMR (400 MHz, CDCl$_3$): $\delta =$ 8.69-8.55 (m, 1H, $H^a$), 7.78-7.65 (m, 3H, $H^b$), 7.36-7.18 (m, 5H, $H^c$), 6.89-6.67 (m, 2H, $H^d$), 5.70-5.47 (m, 1H, Ar-CH$_2$-CH=CH), 5.35-5.07 (m, 1H, Ar-CH$_2$-CH=CH), 4.69 (t, $J(H,H) = 6.0$ Hz, 0.50H, $NH$, $Z$-isomer), 4.11 (t, $J(H,H) = 6.0$ Hz, 0.50H, $NH$, $E$-isomer), 3.83 (s, 3H, $CH$_3$), 3.56-3.32 (m, 4H, Ar-CH$_2$-CH=CH-CH$_2$), 2.42 (s,
According to the general procedure, the expected product was isolated as after silica gel flash chromatography (petroleum ether/EtOAc 2:1) as a colorless oil ($E/Z = 1:1.1$): 103 mg (90% yield).

$^1$H NMR (300 MHz, CDCl3): $\delta = 8.67-8.63$ (m, 1H, $H^a$), 7.89-7.53 (m, 3H, $H^b$), 7.51-6.95 (m, 7H, $H^c$), 5.66-5.43 (m, 1H, Ar-CH$_2$-CH=CH), 5.36-5.10 (m, 1H, Ar-CH$_2$-CH=CH), 4.71 (t, $J(H,H) = 6.0$ Hz, 0.50H, NH, Z-isomer), 4.24 (t, $J(H,H) = 6.3$ Hz, 0.47H, NH, E-isomer), 3.51-3.35 (m, 4H, Ar-CH$_2$-CH=CH-CH$_2$), 2.43 (s, 1.52H, CH$_3$), 2.41 (s, 1.38H, CH$_3$). $^{13}$C NMR (75 MHz, CDCl3): 158.6 (C), 158.5 (C), 149.3 (CH), 149.2 (CH), 143.3 (C), 140.2 (C), 139.8 (C), 139.3 (C), 137.24 (CH), 137.18 (CH), 136.8 (CH), 136.6 (CH), 132.8 (CH), 132.5 (CH), 132.2 (CH), 131.6 (CH), 131.51 (CH), 131.50 (CH), 129.7 (CH), 129.6 (CH), 129.53 (CH), 129.49 (CH), 127.1 (CH), 126.6 (CH), 125.6 (CH), 124.2 (CH), 124.1 (CH), 122.7 (C), 122.5 (C), 122.3 (CH), 122.2 (CH), 45.0 (CH$_2$), 39.9 (CH$_2$), 35.7 (CH$_2$), 30.9 (CH$_2$), 25.55 (CH$_3$), 25.53 (CH$_3$). HRMS (ESI): $m/z$: calcd for C$_{22}$H$_{22}$BrN$_2$O$_2$S$: 457.0580 [M+H]$: found 457.0583.

$N$-(4-(5-Bromo-2-(pyridin-2-yl)phenyl)but-2-en-1-yl)-4-methylbenzenesulfonamide 3ea

According to the general procedure, the expected product was isolated as after silica gel flash chromatography (petroleum ether/EtOAc 2:1) as a colorless oil ($E/Z = 1:1.1$): 103 mg (90% yield).

$^1$H NMR (300 MHz, CDCl3): $\delta = 8.67-8.63$ (m, 1H, $H^a$), 7.89-7.53 (m, 3H, $H^b$), 7.51-6.95 (m, 7H, $H^c$), 5.66-5.43 (m, 1H, Ar-CH$_2$-CH=CH), 5.36-5.10 (m, 1H, Ar-CH$_2$-CH=CH), 4.71 (t, $J(H,H) = 6.0$ Hz, 0.50H, NH, Z-isomer), 4.24 (t, $J(H,H) = 6.3$ Hz, 0.47H, NH, E-isomer), 3.51-3.35 (m, 4H, Ar-CH$_2$-CH=CH-CH$_2$), 2.43 (s, 1.52H, CH$_3$), 2.41 (s, 1.38H, CH$_3$). $^{13}$C NMR (75 MHz, CDCl3): 158.6 (C), 158.5 (C), 149.3 (CH), 149.2 (CH), 143.3 (C), 140.2 (C), 139.8 (C), 139.3 (C), 137.24 (CH), 137.18 (CH), 136.8 (CH), 136.6 (CH), 132.8 (CH), 132.5 (CH), 132.2 (CH), 131.6 (CH), 131.51 (CH), 131.50 (CH), 129.7 (CH), 129.6 (CH), 129.53 (CH), 129.49 (CH), 127.1 (CH), 126.6 (CH), 125.6 (CH), 124.2 (CH), 124.1 (CH), 122.7 (C), 122.5 (C), 122.3 (CH), 122.2 (CH), 45.0 (CH$_2$), 39.9 (CH$_2$), 35.7 (CH$_2$), 30.9 (CH$_2$), 25.55 (CH$_3$), 25.53 (CH$_3$). HRMS (ESI): $m/z$: calcd for C$_{22}$H$_{22}$BrN$_2$O$_2$S$: 457.0580 [M+H]$: found 457.0583.

$N$-(4-(Benzo[h]quinolin-10-yl)but-2-en-1-yl)-4-methylbenzenesulfonamide 3fa

According to the general procedure, the expected product was isolated as after silica gel flash chromatography (petroleum ether/EtOAc 2:1) as a colorless oil ($E/Z = 1:1.0$): 51 mg (52% yield).

$^1$H NMR (300 MHz, CDCl3): $\delta = 8.96$ (dd, $J(H,H) = 4.3$ and 1.9 Hz, 0.50H, $H^a$), 8.93 (dd, $J(H,H) = 4.3$ and 1.9 Hz, 0.50H, $H^a$) 8.20-8.13 (m, 1H, $H^a$), 7.84-7.74 (m, 3H, $H^b$), 7.69-7.42 (m, 5H, $H^a$), 7.31-7.18 (m, 2H, $H^a$), 6.11 (dd, $J(H,H) = 15.2$, 6.6, 5.8 and 1.4, 0.5H,
Ar-CH=CH, E-isomer), 6.01-5.86 (m, 0.5H, Ar-CH2-CH=CH, Z-isomer), 5.52-5.28 (m, 1H, Ar-CH2-CH=CH), 4.69-4.57 (m, 2H, CH2-NH), 4.37 (t, J(H,H) = 6.0 Hz, 0.5H, NH, Z-isomer), 4.14 (t, J(H,H) = 6.2 Hz, 1H, Ar-CH2-CH), 3.50 (d, J(H,H) = 6.2 Hz, 1H, Ar-CH2-CH), 2.39 (s, 1.5H, CH3), 2.38 (s, 1.5H, CH3).

13C NMR (75 MHz, CDCl3): 148.23 (C), 148.19 (C), 147.3 (CH), 143.4 (C), 143.28 (C), 140.1 (C), 140.0 (C), 137.2 (C), 136.0 (CH), 135.7 (CH), 135.6 (CH), 135.4 (CH), 130.9 (CH), 130.5 (CH), 129.8 (CH), 129.7 (CH), 129.2 (CH), 129.0 (CH), 128.9 (CH), 127.67 (CH), 127.65 (CH), 127.62 (CH), 127.6 (CH), 127.3 (CH), 127.2 (CH), 125.74 (CH), 125.68 (CH), 124.3 (CH), 123.5 (CH), 121.0 (CH), 120.9(CH), 45.7 (CH2), 40.9 (CH2), 40.8 (CH2), 36.1 (CH2), 21.56 (CH3), 21.54 (CH3). HRMS (ESI): m/z: calcd for C24H23N2O2S+: 403.1475 [M+H]+: found 403.1479.

4-Methyl-N-(4-(1-(pyridin-2-yl)-1H-indol-2-yl)but-2-en-1-yl)benzenesulfonamide 3ga

According to the general procedure, the expected product was isolated as after silica gel flash chromatography (petroleum ether/EtOAc 2:1) as a colorless oil (E/Z = 2.7:1): 60 mg (58% yield).

1H NMR (300 MHz, CDCl3): 8.78-8.50 (m, 1H, HAr), 7.97-7.73 (m, 1H, HAr), 7.68 (dd, J(H,H) = 8.2 and 6.0 Hz, 2H, HAr), 7.61-7.47 (m, 1H, HAr), 7.47-6.97 (m, 7H, HAr), 6.43-6.24 (m, 1H, HAr), 5.68-5.53 (m, 1H, Ar-CH2-CH=CH), 5.44-5.12 (m, 1H, Ar-CH2-CH=CH), 4.49 (t, J(H,H) = 6.1 Hz, 0.26H, NH, Z-isomer), 4.23 (t, J(H,H) = 6.2 Hz, 0.70H, NH, E-isomer), 3.62-3.36 (m, 4H, Ar-C=CH2), 2.39 (s, 3H, CH3). 13C NMR (75 MHz, CDCl3): 151.4 (C), 151.3 (C), 149.8 (CH), 149.7 (CH), 143.5 (C), 143.4 (C), 138.7 (C), 138.6 (C), 138.5 (C), 137.5 (C), 137.1 (C), 137.1 (C), 130.8 (CH), 130.0 (CH), 129.8(CH), 129.7(CH), 128.5(CH), 127.2(CH), 126.9(CH), 126.2(CH), 122.33(CH), 122.30(CH), 122.2(CH), 122.1(CH), 121.2(CH), 121.1(CH), 120.9(CH), 120.24(CH), 120.21(CH), 110.24(CH), 110.18(CH), 103.4(CH), 103.1(CH), 45(CH2), 40.0(CH2), 30.6(CH2), 26.1(CH2), 21.6(CH3). HRMS (ESI): m/z: calcd for C24H23N3O2S+: 418.1584 [M+H]+: found 418.1581.

4-Methyl-N-(4-(2-(pyridin-2-yl)thiophen-3-yl)but-2-en-1-yl)benzenesulfonamide 3ha

According to the general procedure, the expected product was isolated as a colorless oil (E/Z = 1:1.5): 50 mg (52% yield).

\textbf{1H NMR (300 MHz, CDCl$_3$):} $\delta = 8.65-8.60$ (m, 1H, H$^\alpha$), 7.76-7.63 (m, 3H, H$^\beta$), 7.51-7.40 (m, 1H, H$^\gamma$), 7.34-7.14 (m, 4H, H$^\delta$), 6.87 (dd, J(H,H) = 11.9 and 5.1 Hz, 1H, H$^\epsilon$), 5.92-5.62 (m, 1H, Ar-CH$_2$-CH=CH), 5.51-5.29 (m, 1H, Ar-CH$_2$-CH=CH), 4.96 (t, J(H,H) = 6.0 Hz, 0.57H, NH, Z-isomer), 4.14 (t, J(H,H) = 6.0 Hz, 0.38H, NH, E-isomer), 3.79-3.37 (m, 4H, Ar-C), 1.59 (m, 2H, CH$_2$-CH), 2.40 (s, 1.05H, C$_N$).

\textbf{13C NMR (75 MHz, CDCl$_3$):} 153.3 (C), 153.1 (C), 149.7 (CH), 149.6 (CH), 143.5 (C), 143.4 (C), 137.9 (C), 137.6 (C), 137.4 (C), 137.2 (C), 137.1 (C), 136.8 (CH), 136.6 (CH), 132.58 (CH), 132.55 (CH), 130.9 (CH), 130.5 (CH), 129.8 (CH), 129.7 (CH), 127.2 (CH), 126.2 (CH), 125.9 (CH), 125.8 (CH), 125.1 (CH), 122.5 (CH), 121.9 (CH), 121.8 (CH), 121.7 (CH), 45.2 (CH$_2$), 40.1 (CH$_2$), 32.3 (CH$_2$), 27.7 (CH$_2$), 21.6 (CH$_3$). \textbf{HRMS (ESI):} m/z: calcd for C$_{20}$H$_{21}$N$_2$O$_2$S$^+$: 385.1039 [M+H$^+$]: found 385.1043.

\textbf{N-(4-((1H-Pyrazol-1-yl)phenyl)but-2-en-1-yl)-4-methylbenzenesulfonamide 3ia}

\begin{center}
\includegraphics[width=0.1\textwidth]{n}| Newtown
\end{center}

According to the general procedure, the expected product was isolated as a colorless oil (E/Z = 1.6:1): 67 mg (73% yield).

\textbf{1H NMR (300 MHz, CDCl$_3$):} 7.75-7.60 (m, 3H, H$^\alpha$), 7.55 (dd, J(H,H) = 4.2 and 2.4 Hz, 1H, H$^\beta$), 7.39-7.14 (m, 6H, H$^\gamma$), 6.40 (t, J(H,H) = 2.1 Hz, 1H, H$^\delta$), 5.59-5.36 (m, 1H, Ar-CH$_2$-CH=CH), 5.36-5.07 (Ar-CH$_2$-CH=CH), 4.57 (t, J(H,H) = 6.1 Hz, 0.36H, NH, Z-isomer), 4.35 (t, J(H,H) = 6.1 Hz, 0.56H, NH, E-isomer), 3.52-3.38 (m, 2H, CH$_2$-NH), 3.31-3.19 (m, 2H, Ar-CH$_2$-CH), 2.41 (s, 1.15H, CH$_3$), 2.39 (s, 1.85H, CH$_3$).

\textbf{13C NMR (75 MHz, CDCl$_3$):} 143.4 (C), 140.6 (CH), 140.4 (CH), 139.7 (C), 139.5 (C), 137.3 (C), 137.2 (C), 136.0 (C), 135.6 (C), 132.1 (CH), 131.5 (CH), 130.93 (CH), 130.85 (CH), 130.7 (CH), 130.4 (CH), 129.74 (CH), 129.70 (CH), 129.0 (CH), 128.8 (CH), 127.3 (CH), 127.22 (CH), 127.20 (CH), 127.17 (CH), 126.66 (CH), 126.63 (CH), 126.3 (CH), 125.5 (CH), 106.5 (CH), 106.4 (CH), 45.1 (CH$_2$), 40.0 (CH$_2$), 34.3 (CH$_2$), 29.5 (CH$_2$), 21.6 (CH$_3$). \textbf{HRMS (ESI):} m/z: calcd for C$_{20}$H$_{22}$N$_2$O$_2$S$^+$: 368.1427 [M+H$^+$]: found 368.1428.

\textbf{N-(2-(4-((4-Methylphenyl)sulfonamido)but-2-en-1-yl)phenyl)pivalamide 3ka}

\begin{center}
\includegraphics[width=0.1\textwidth]{n}| Newtown
\end{center}

According to the general procedure, the expected product was isolated as a colorless oil (E/Z = 0.8:1): 38 mg (38% yield).
**N-(2-Ethyl-6-((4-methylphenyl)sulfonamido)but-2-en-1-yl)phenyl)pivalamide 3la**

According to the general procedure, the expected product was isolated as after silica gel flash chromatography (petroleum ether/EtOAc 1:1) as a colorless oil (E/Z = 0.5:1): 38 mg (38% yield).

**1H NMR (300 MHz, CDCl₃):** 7.71 (m, 3H, H₂Ar), 7.36-7.05 (m, 3H, H⁺Ar), 7.03-6.81 (m, 2H, H³Ar and NH), 5.81-5.48 (m, 1H, Ar-CH₂-CH=CH), 5.46-5.16 (m, 1H, Ar-CH₂-CH=CH), 4.68 (t, J(H,H) = 5.8 Hz, 0.3H, NH, Z-isomer), 4.41 (t, J(H,H) = 6.7 Hz, 0.6H, NH, E-isomer), 3.64-3.42 (m, 2H, CH₂-NH), 3.34-3.10 (m, 2H, Ar-CH₂-NH), 2.55 (m, J(H,H) = 7.6 and 3.8 Hz, 2H, CH₂-CH₃), 2.42 (s, 2H, CH₃), 2.41 (s, 1H, CH₃), 1.34 (s, 6H, C(CH₃)₃), 1.33 (s, 3H, C(CH₃)₃), 1.25-1.07 (m, 3H, CH₂-CH₃). **¹³C NMR (75 MHz, CDCl₃):** 177.2 (C), 176.5 (C), 143.4 (C), 143.3 (C), 142.1 (C), 137.4 (C), 137.1 (C), 136.9 (C), 136.4 (C), 135.1 (C), 133.5 (CH), 133.4 (C), 132.7 (CH), 129.7 (CH), 129.6 (CH), 128.7 (CH), 127.7 (CH), 127.6 (CH), 127.15 (CH), 127.12 (CH), 127.07 (CH), 126.7 (CH), 126.1 (CH), 125.8 (CH), 123.8 (CH), 45.2 (CH₂), 45.1 (CH₂), 39.6 (CH₂), 38.1 (C), 34.9 (CH₂), 27.73 (CH₃), 27.68 (CH₃), 27.66 (CH₃), 24.8 (CH₂), 24.5 (CH₂), 24.5 (CH₃), 14.4 (CH₃), 14.0 (CH₃). **HRMS (ESI):** m/z: calcd for C₂₂H₂₉N₂O₃S⁺: 401.1893 [M+H⁺]: found 401.1893.

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**2-Phenyl-5-vinylxazoline**

According to the general procedure using vinylaziridine 2h, the expected allylated product was not observed, but only the 2-phenyl-5-vinylxazoline was isolated (in addition to unreactive starting materials) as a colorless oil: 30 mg (55% yield).

**1H NMR (400 MHz, CDCl₃):** δ = 7.98-7.94 (m, 2H, H⁺Ar), 7.50-7.38 (m, 3H, H⁺Ar), 5.95 (ddd, J(H,H) = 17.2, 10.4 and 6.9 Hz, 1H, CH₂=CH), 5.38 (dt, J(H,H) = 17.1 and 1.2 Hz, CH₂=CH),...
5.26 (dt, J(H,H) = 10.4 and 1.1 Hz, 1H, CH\textsubscript{2}=CH), 5.12 (dddt, J(H,H) = 10.0, 8.0, 7.0 and 1.0 Hz, 1H, CH), 4.21 (dd, J(H,H) = 14.6 and 9.9 Hz, 1H, CH\textsubscript{2}), 3.78 (dd, J(H,H) = 14.6 and 7.9 Hz, 1H, CH\textsubscript{2}).

V. Iodocyclization

6-(1-iodo-2-(4-methylphenylsulfonamido)ethyl)-6,7-dihydropyrido[2,1-a]isoquinolin-5-ium hexafluorophosphate 4

Iodine (80 mg, 0.32 mmol, 2 equiv.) was added to a solution of 4-methyl-N-(4-(2-(pyridin-2-yl)phenyl)but-2-en-1-yl)benzenesulfonamide 3aa (60 mg, 0.16 mmol) in toluene (2 mL) at room temperature under argon atmosphere. The reaction was stirred at room temperature for 30 minutes. The solvent was removed under vacuum and the residue was dissolved in dichloromethane (15 mL). An aqueous solution of KPF\textsubscript{6} (58.4 mg, 0.32 mmol, 2 equiv.) in water (10 mL) was added in the mixture. After the reaction was stirred at room temperature for 1 hour, the mixture was extracted by dichloromethane (2 x 10 mL). The combined organic phase was dried by Na\textsubscript{2}SO\textsubscript{4} and the solvent was removed under vacuum to give a white solid: 92 mg (syn/anti = 0.8:1, 89% yield).

6-(1-iodo-2-(4-methylphenylsulfonamido)ethyl)-6,7-dihydropyrido[2,1-a]isoquinolin-5-ium iodine 4

Iodine (80 mg, 0.32 mmol, 2 equiv.) was added to a solution of 4-methyl-N-(4-(2-(pyridin-2-yl)phenyl)but-2-en-1-yl)benzenesulfonamide 3aa (60 mg, 0.16 mmol) in toluene (2 mL) at room temperature under argon atmosphere. The reaction was stirred at room temperature for 30 minutes. The solvent was removed under vacuum. The two diastereomers were partially separated by silica gel flash chromatography (dichloromethane/methanol = 20:1) to afford yellow solids: diastereomer anti-4: 19 mg (11% yield), diastereomer syn-4 36 mg (36% yield). For accurate analyses, anti-4 and syn-4 were converted into PF\textsubscript{6} salts.

(R*,S*)-6-(1-iodo-2-(4-methylphenylsulfonamido)ethyl)-6,7-dihydropyrido[2,1-a]isoquinolin-5-ium hexafluorophosphate anti-4
\( ^1H \text{NMR (400 MHz, CDCl}_3\): 8.97 (d, J(H,H) = 6.0 Hz, 1H, \( H^{Ar} \)), 8.56-8.54 (m, 1H, \( H^{Ar} \)), 8.36 (dd, J(H,H) = 8.3 Hz and 1.3 Hz, 1H, \( H^{Ar} \)), 8.08 (dd, J(H,H) = 7.9 Hz and 1.2 Hz, 1H, \( H^{Ar} \)), 7.96 (ddd, J(H,H) = 7.8 Hz, 6.2 Hz and 1.4 Hz, 1H, \( H^{Ar} \)), 7.75-7.55 (m, 4H, \( H^{Ar} \)), 7.45 (m, 1H, \( H^{Ar} \)), 7.27 (d, J(H,H) = 8.0 Hz, 2H, \( H^{Ar} \)), 5.26 (dt, J(H,H) = 9.9 and 3.6 Hz, 1H, CH-N^+), 5.02 (t, J(H,H) = 9.8 Hz, 7.5 Hz and 4.2 Hz, 1H, CH-I), 4.38 (ddd, J(H,H) = 9.8 Hz, 7.5 Hz and 4.2 Hz, 1H, CH-I), 3.78 (d, J(H,H) = 3.5 Hz, 2H, CH2), 3.40-3.20 (m, 2H, CH2-NH), 2.38 (s, 3H, CH3). \(^{13}C \text{NMR (75 MHz, CD}_3\text{COCD}_3\): 149.2 (C), 147.8 (CH), 146.7 (CH), 144.4 (C), 137.1 (C), 134.9 (CH), 133.0 (C), 130.5 (CH), 130.4 (CH), 129.8 (CH), 128.0 (CH), 127.5 (CH), 126.9 (CH), 126.6 (C), 126.2 (CH), 68.4 (CH), 49.4 (CH2), 33.4 (CH2), 26.7 (CH), 21.1 (CH3). \(^{31}P \text{NMR (121 MHz, CDCl}_3\): 148.38 (sept, J(P,F) = 710.3 Hz). \(^{19}F \text{NMR (282 MHz, CDCl}_3\): -76.08 (d, J(P,F) = 713.7 Hz). HRMS (ESI): m/z: calcd for C\(_{23}\)H\(_{20}\)N\(_3\)O\(_2\)^+: 370.1550 [M+H]^+: found 370.1549.}

\((R^*,R^*)-6-(1\text{-iodo-2-(4-methylphenylsulfonamido)ethyl})-6,7\text{-dihydropyrido[2,1-a]isoquinolin-5-ium hexafluorophosphate syn-4}

\( ^1H \text{NMR (400 MHz, CDCl}_3\): 8.78 (d, J(H,H) = 6.1 Hz, 1H, \( H^{Ar} \)), 8.54-8.48 (m, 1H, \( H^{Ar} \)), 8.32 (dd, J(H,H) = 8.2 Hz, 1H, \( H^{Ar} \)), 8.00-7.90 (m, 2H, \( H^{Ar} \)), 7.72-7.66 (m, 3H, \( H^{Ar} \)), 7.59-7.49 (m, 2H, \( H^{Ar} \)), 7.31 (d, J(H,H) = 8.1 Hz, 2H, \( H^{Ar} \)), 5.43 (t, J(H,H) = 6.4 Hz, 1H, NH), 5.33 (dd, J(H,H) = 9.7 Hz and 5.3 Hz, 1H, CH-I), 4.33 (dt, J(H,H) = 8.7 Hz and 5.9 Hz, 1H, CH-N^+), 3.78 (dd, J(H,H) = 17.3 Hz and 5.1 Hz, 1H, CH2), 3.64 (d, J(H,H) = 17.3 Hz, 1H, CH2 CH2-NH), 3.36 (t, J(H,H) = 6.2 Hz, 2H, CH2-NH), 2.42 (s, 3H, CH3). \(^{13}C \text{NMR (75 MHz, CD}_3\text{COCD}_3\): 148.9 (C), 148.1 (CH), 147.6 (CH), 144.3 (C), 138.1 (C), 135.2 (CH), 133.2 (C), 130.5 (CH), 130.4 (CH), 129.9 (CH), 127.8 (CH), 127.5 (CH), 126.5 (C), 126.1 (CH), 125.9 (CH), 68.9 (CH), 48.8 (CH2), 31.3 (CH2), 29.7 (CH), 21.1 (CH3). \(^{31}P \text{NMR (121 MHz, CDCl}_3\): 148.38 (sept, J(P,F) = 710.3 Hz). \(^{19}F \text{NMR (282 MHz, CDCl}_3\): -76.08 (d, J(P,F) = 713.7 Hz). HRMS (ESI): m/z: calcd for C\(_{23}\)H\(_{20}\)N\(_3\)O\(_2\)^+: 370.1550 [M+H]^+: found 370.1549.}

Mechanistic and diastereoselective considerations of the iodocycloisomerisation
VI. References


VIII. NMR Spectra of the new compounds

[Chemical structures and NMR spectra are shown, depicting the 1H NMR and 13C NMR spectra of the new compounds.]
$^{1}$H NMR 300 MHz
CDCl$_3$

$^{13}$C NMR 75 MHz
CDCl$_3$
$^1$H NMR 400 MHz
CDCl$_3$

$^{13}$C NMR 75 MHz
CDCl$_3$
$^1$H NMR 300 MHz
CDCl$_3$

$^{13}$C NMR 75 MHz
CDCl$_3$
$^{13}$C NMR 75 MHz
CDCl$_3$

$^1$H NMR 300 MHz
CDCl$_3$
$^{13}$C NMR 75 MHz
CDCl$_3$

$^1$H NMR 300 MHz
CDCl$_3$