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-Supporting Information-

Palladium Catalyzed 8-Aminoimidazo[1,2a]pyridine (AIP) Directed Selective β -C(sp²)-H Arylation

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Experimental

General Considerations:

Unless stated otherwise, all reagents such as various iodobenzene, carboxylic acids, 1-(3dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, imidazo[1,2-*a*]pyridine-8-amine and solvents were used as received from commercial suppliers. NMR spectra were recorded on 400 MHz spectrometer at 298 K with calibration done on the basis of solvent residual peak. Products were purified using Combiflash column chromatography on silica gel (230-400 mesh). Ethyl acetate and hexane were used as eluents. Progress of reaction was monitored using silica gel TLC.

Preparation of various 8-AIP substituted Amides (A)(1a-1i):

To the stirred mixture of carboxylic acid (2 mmol, 1 equiv) and 1-(3-dimethylaminopropyl)-3ethylcarbodiimide hydrochloride (3 mmol, 1.5 equiv) in pyridine (5 ml) at 25° C was added imidazo[1,2-*a*]pyridin-8-amine (2 mmol, 1 equiv) and mixture was stirred at 40° C for 15 h. The reaction mixture was concentrated under reduced pressure and the residue was taken up in ethyl acetate. The organic layer was washed with water, dried over anhydrous sodium sulphate, filtered and concentrated under reduced pressure. The crude product was then purified by Combiflash chromatography using silica gel column by using ethyl acetate/hexane as an eluent.

General procedure for the Pd (II) catalyzed arylation of acrylamide in Toluene (B) (3a-3s/3aa-3ah):

A screw cap vial was charged with an appropriate acrylamide (0.2 mmol, 1 equiv) in dry toluene (4 ml), iodo compound (0.4 mmol, 2 equiv) and K_2CO_3 (0.5 mmol, 2.5 equiv) at room temperature followed by the addition of Pd(OAc)₂ (10 mol %, 0.1 equiv) under aerobic condition. The resulting suspension was heated at 100° C in an oil bath for 18 h. After completion, the reaction mixture was cooled to room temperature and filtered through celite bed and the bed was washed with dichloromethane. The filtrate was concentrated under reduced pressure and residue was purified by Combiflash column chromatography (silica gel) using hexane/ethyl acetate mixture as an eluent to afford the corresponding arylated product. *N-(imidazo[1,2-a]pyridin-8-yl)-2-phenylacrylamide (1a):* Following the general procedure A, **1a** was obtained after purification by Combiflash column chromatography using silica gel column (20-30% ethyl acetate/hexane)



as a off white solid; melting point: $106-108^{\circ}$ C; yield = 87% (458.1 mg); $R_{f} = 0.4$ (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 5.92 (s, 1H), 6.09 (s, 1H), 6.90 (t, J = 7.1 Hz, 1H), 7.41-7.54 (m, 6H), 7.98 (d, J = 5.2 Hz, 2H), 8.31

(d, J = 6.6 Hz, 1H), 9.34 (s, 1H); ¹³C NMR (100 MHz, DMSO-d₆, ppm): δ 110.9, 112.1, 114.5, 121.6, 122.2, 126.5, 127.6, 128.5, 128.6, 131.8, 136.1, 138.7, 144.4, 166.2; HRMS (ESI) m/z [M+Na]⁺ calcd for C₁₆H₁₃N₃ONa 286.0956, found 286.0954.

N-(imidazo[1,2- a]pyridin-8-yl)acrylamides (1b): Following the general procedure A, **1b** was obtained after purification by Combiflash column chromatography using silica gel column (20-30% ethyl acetate/hexane) as a



grey solid; melting point: $101-103^{\circ}$ C; yield = 83% (311.0 mg); R_f = 0.35 (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 5.77 (dd, J = 10.2 Hz, 1.6 Hz, 1H), 6.29 (dd, J = 17.0 Hz, 1.6 Hz, 1H), 6.85-6.96 (m, 2H), 7.57 (s, 1H), 7.98 (s, 1H), 8.07 (d, J = 7.5 Hz, 1H), 8.29 (d, J = 6.7 Hz, 1H), 10.26 (s, 1H); ¹³C NMR

(100 MHz, DMSO-d₆, ppm): δ 111.2, 112.1, 114.4, 121.8, 127.2, 127.3, 128.1 131.5, 131.6, 164.2; HRMS (ESI) m/z [M+Na]⁺ calcd for C₁₀H₉N₃ONa 210.0643, found 210.0639.

N-(imidazo[1,2-a]pyridin-8-yl)methacrylamide (1c): Following the general procedure A, 1c was obtained after



purification by Combiflash column chromatography using silica gel column (20-30% ethyl acetate/hexane) as a off white solid; melting point: $105-107^{\circ}$ C; yield = 86% (346.1 mg); $R_f = 0.35$ (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 2.04 (s, 3H), 5.61 (s, 1H), 5.96 (s, 1H), 6.89 (t, *J* = 7.1 Hz, 1H), 7.56 (s, 1H),

7.88 (d, J = 7.4 Hz, 1H), 7.99 (s, 1H), 8.30 (d, J = 6.7 Hz, 1H), 9.26 (s, 1H); ¹³C NMR (100 MHz, DMSO-d₆, ppm): δ 18.2, 110.8, 112.1, 114.5, 121.3, 121.9, 126.6, 131.7, 138.9, 139.4, 166.2; HRMS (ESI) m/z [M+Na]⁺ calcd for C₁₁H₁₁N₃ONa 224.0800, found 224.0795.

(E)-N-(imidazo[1,2-a]pyridin-8-yl)but-2-enamide (1d): Following the general procedure A, 1d was obtained



after purification by Combiflash column chromatography using silica gel column (20-30% ethyl acetate/hexane) as a off white solid; melting point: 95–97° C; yield = 82% (330.0 mg); $R_f = 0.3$ (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 1.86-1.88 (m, 3H), 6.61 (dd, J = 15.2 Hz, 1.1 Hz, 1H), 6.80-6.89

(m, 2H), 7.56 (s, 1H), 7.97 (s, 1H), 8.04 (d, J = 7.5 Hz, 1H), 8.26 (d, J = 6.6 Hz, 1H), 9.97 (s, 1H); ¹³C NMR (100 MHz, DMSO-d₆, ppm): δ 17.4, 110.8, 112.1, 114.2, 121.3, 125.6, 127.3, 131.4, 138.5, 140.5, 164.4; HRMS (ESI) m/z [M+Na]⁺ calcd for C₁₁H₁₁N₃ONa 224.0800, found 224.0796.

N-(imidazo[1,2-a]pyridin-8-yl)cyclopent-1-ene-1-carboxamide (1e): Following the general procedure A, 1e



was obtained after purification by Combiflash column chromatography using silica gel column (20-30% ethyl acetate/hexane) as a light brown solid; melting point: $102-104^{\circ}$ C; yield = 84% (382.0 mg); R_f = 0.3 (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 1.93-2.00 (m, 2H), 2.45 (m, 1H), 2.53 (m, 1H),

2.63-2.65 (m, 2H), 6.81 (s, 1H), 6.88 (t, J = 7.1 Hz, 1H), 7.56 (s, 1H), 7.88 (d, J = 7.3 Hz, 1H), 7.99 (s, 1H), 8.29 (d, J = 6.6 Hz, 1H), 9.08 (s, 1H); ¹³C NMR (100 MHz, DMSO-d₆, ppm): δ 23.2, 31.4, 33.4, 110.1, 113.2, 113.6, 120.1, 127.4, 131.6, 139.1, 139.4, 140.0, 164.1; HRMS (ESI) m/z [M+Na]⁺ calcd for C₁₃H₁₃N₃ONa 250.0956, found 250.0954.

N-(imidazo[1,2-a]pyridin-8-yl)-2-(p-tolyl)acrylamides (1f): Following the general procedure A, **1f** was obtained after purification by Combiflash column chromatography using silica gel column (20-30% ethyl acetate/hexane)



as a off white solid; melting point: $114-116^{\circ}$ C; yield = 87% (482.5 mg); R_f = 0.4 (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 2.35 (s, 3H), 5.87 (s, 1H), 6.04 (s, 1H), 6.90 (t, *J* = 7.1 Hz, 1H), 7.26 (d, *J* = 7.8 Hz, 2H), 7.42 (d, *J* = 7.9 Hz, 2H), 7.50 (s, 1H), 7.98 (d, *J* = 8.4 Hz, 2H), 8.31 (d, *J* = 6.6 Hz, 1H),

9.28 (s, 1H); ¹³C NMR (100 MHz, CDCl₃, ppm) δ: 21.2, 110.3, 113.0, 113.6, 120.5, 121.7, 127.4, 127.9, 129.5, 132.1, 133.2, 138.7, 139.3, 145.1, 166.7; HRMS (ESI) m/z [M+Na]⁺ calcd for C₁₇H₁₅N₃ONa 300.1113, found 300.1111.

N-(imidazo[1,2-a]pyridin-8-yl)-2-(4-methoxyphenyl)acrylamides (1g): Following the general procedure A, **1g** was obtained after purification by Combiflash column chromatography using silica gel column (20-30% ethyl acetate/hexane) as a off white solid; melting point: $121-123^{\circ}$ C; yield = 81% (475.1 mg); $R_f = 0.3$ (ethyl



acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 3.80 (s, 3H), 5.83 (s, 1H), 5.98 (s, 1H), 6.91 (t, J = 7.1 Hz, 1H), 7.01 (d, J = 8.7 Hz, 2H), 7.47 (d, J = 8.7 Hz, 2H), 7.50 (s, 1H), 7.97 (s, 1H), 7.98 (d, J = 5.4 Hz, 1H), 8.31 (d, J = 6.8 Hz,

1H), 9.27 (s, 1H); ¹³C NMR (100 MHz, CDCl₃, ppm) δ: 55.3, 110.9, 113.2, 113.6, 114.1, 120.6, 120.8, 127.3, 128.5, 129.2, 131.5, 138.9, 144.5, 160.0, 167.2; HRMS (ESI) m/z [M+Na]⁺ calcd for C₁₇H₁₅N₃O₂Na 316.1062, found 316.1058.

2-(4-fluorophenyl)-N-(imidazo[1,2-a]pyridin-8-yl)acrylamide (1h): Following the general procedure A, 1h was



obtained after purification by Combiflash column chromatography using silica gel column (20-30% ethyl acetate/hexane) as a off white solid; melting point: $125-127^{\circ}$ C; yield = 77% (433.2 mg); R_f = 0.4 (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 5.93 (s, 1H), 6.07 (s, 1H), 6.90 (t, *J* = 7.0 Hz, 1H), 7.28

(t, J = 8.8 Hz, 2H), 7.52 (s, 1H), 7.57-7.60 (m, 2H), 7.95 (d, J = 7.5 Hz, 1H), 7.98 (s, 1H), 8.32 (d, J = 6.9 Hz, 1H), 9.41 (s, 1H); ¹³C NMR (100 MHz, CDCl₃, ppm) δ : 110.4, 113.0, 113.7, 115.8 (d, $J_{C-F} = 21.5$ Hz,), 120.6, 122.0, 127.3, 129.8 (d, $J_{C-F} = 8.3$ Hz), 132.2, (t, $J_{C-F} = 3.7$ Hz), 139.3, 144.3, 162.1, 164.5, 166.4; ¹⁹F NMR (100 MHz, CDCl₃, ppm) δ : -112.6; HRMS (ESI) m/z [M+Na]⁺ calcd for C₁₆H₁₂FN₃ONa 304.0862, found 304.0858.

2-(3,5-difluorophenyl)-N-(imidazo[1,2-a]pyridin-8-yl)acrylamide (1i): Following the general procedure A, 1i was obtained after purification by Combiflash column chromatography using silica gel column (20-30% ethyl



acetate/hexane) as a off white solid; melting point: $128-130^{\circ}$ C; yield = 79% (473.0 mg); R_f = 0.4 (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 6.14 (d, *J* = 3.2 Hz, 2H), 6.91 (t, *J* = 7.1 Hz, 1H), 7.29-7.33 (m, 3H), 7.55 (s, 1H),

7.89 (d, J = 7.3 Hz, 1H), 7.99 (s, 1H), 8.35 (d, J = 6.7 Hz, 1H), 9.67 (s, 1H); ¹³C NMR (100 MHz, DMSO-d₆, ppm) δ : 103.8 (t, $J_{C-F} = 25.8$ Hz), 110.8 (d, $J_{C-F} = 18.9$ Hz), 110.8 (d, $J_{C-F} = 18.9$ Hz), 112.0, 112.2, 114.5, 122.6, 122.9, 126.5, 131.9, 139.0, 139.6 (t, $J_{C-F} = 10.0$ Hz), 142.2, 162.3 (d, $J_{C-F} = 244.3$ Hz), 162.2 (d, $J_{C-F} = 244.5$ Hz), 165.8; ¹⁹F NMR (100 MHz, DMSO-d₆, ppm) δ : -109.6 (2F); HRMS (ESI) m/z [M+Na]⁺ calcd for C₁₆H₁₁F₂N₃ONa 322.0768, found 322.0766.

N-(imidazo[1,2-a]pyridin-8-yl)-2,3-diphenylacrylamide (3a) : Following the general procedure B, **3a** was obtained after purification by Combiflash column chromatography using silica gel column (10-30% ethyl



acetate/hexane) as a off white solid; melting point: $133-135^{\circ}$ C; yield = 84% (57.0 mg); R_f = 0.5 (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 6.93 (t, J = 7.1 Hz, 1H), 7.18 (s, 1H), 7.23-7.26 (m, 1H), 7.31-7.38 (m, 3H), 7.41-7.47 (m, 3H), 7.58 (d, J = 7.68 Hz, 2H), 7.63 (d, J = 7.7 Hz, 2H), 7.96-7.99 (m, 2H), 8.34 (d, J = 6.7 Hz, 1H), 10.23 (s, 1H); ¹³C NMR (100 MHz, DMSO-d₆,

ppm): δ 112.0, 112.3, 114.3, 122.5, 125.9, 126.7, 127.9, 128.3, 128.4, 128.6, 131.8, 135.5, 137.1, 137.2, 138.8, 168.5; HRMS (ESI) m/z [M+Na]⁺ calcd for C₂₂H₁₇N₃ONa 362.1269, found 362.1263.

N-(imidazo[1,2-a]pyridin-8-yl)-2-phenyl-3-(p-tolyl)acrylamide (3b) : Following the general procedure B, 3b



was obtained after purification by Combiflash column chromatography using silica gel column (10-40% ethyl acetate/hexane) as a off white solid; melting point: 135–137° C; yield = 88% (62.2 mg); $R_f = 0.5$ (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 2.25 (s, 3H), 6.93 (t, J = 7.0 Hz, 1H), 7.13-7.14 (m, 3H), 7.34-7.36 (m, 1H), 7.40-7.47 (m, 5H), 7.61 (d, J = 7.5 Hz, 2H), 7.96 (s, 1H),

7.99 (d, J = 7.5 Hz, 1H), 8.34 (d, J = 6.6 Hz, 1H), 10.12 (s, 1H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ 21.2, 110.5, 113.0, 113.5, 120.6, 126.3, 127.5, 128.2, 128.5, 128.7, 129.3, 130.2, 131.9, 132.3, 136.7, 137.1, 138.4, 138.9, 169.0; HRMS (ESI) m/z [M+Na]⁺ calcd for C₂₃H₁₉N₃ONa 376.1426, found 376.1425.

N-(imidazo[1,2-a]pyridin-8-yl)-3-(4-methoxyphenyl)-2-phenylacrylamide (3c) : Following the general procedure B, **3c** was obtained after purification by Combiflash column chromatography using silica gel column



(20-40% ethyl acetate/hexane) as a off white solid; melting point: $138-140^{\circ}$ C; yield = 87% (64.3 mg); R_f = 0.4 (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 3.72 (s, 3H), 6.89-6.95 (m, 3H), 7.11 (s, 1H), 7.30-7.35 (m, 1H), 7.41 (t, *J* = 7.8 Hz, 2H), 7.48 (s, 1H), 7.53 (d, *J* = 8.7 Hz, 2H), 7.60 (d, *J* = 7.7 Hz,

2H), 7.97 (s, 1H), 8.01 (d, J = 7.4 Hz, 1H), 8.34 (d, J = 6.7 Hz, 1H), 10.09 (s, 1H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ 55.2, 110.4, 113.0, 113.6, 114.0, 120.6, 126.2, 127.5, 127.8, 128.1, 128.7, 129.8, 130.1, 130.3, 131.9, 135.7, 137.2, 138.9, 159.7, 169.1; HRMS (ESI) m/z [M+Na]⁺ calcd for C₂₃H₁₉N₃O₂Na 392.1375, found 392.1375.

N-(imidazo[1,2-a]pyridin-8-yl)-3-(4-(methylthio)phenyl)-2-phenylacrylamide (3d) : Following the general procedure B, **3d** was obtained after purification by Combiflash column chromatography using silica gel column



(20-40% ethyl acetate/hexane) as a off white solid; melting point: 145–147° C; yield = 82% (63.2 mg); $R_f = 0.6$ (ethyl acetate/hexane (50/50); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 2.43 (s, 3H), 6.93 (t, J = 7.1 Hz, 1H), 7.13 (s, 1H), 7.21 (d, J = 8.3 Hz, 2H), 7.34-7.36 (m, 1H), 7.42 (t, J = 7.6 Hz, 2H), 7.48 (s, 1H), 7.52 (d, J = 8.2 Hz, 2H), 7.62 (d, J = 7.6 Hz, 2H), 7.97-7.99 (m, 2H), 8.35 (d, J = 6.7

Hz, 1H), 10.22 (s, 1H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ 14.7, 109.9, 112.5, 113.1, 120.2, 125.6, 125.7, 126.9, 127.8, 128.2, 128.4, 128.9, 131.3, 131.4, 136.4, 136.5, 138.4, 138.7, 168.3; HRMS (ESI) m/z [M+H]⁺ calcd for C₂₃H₂₀N₃OS 386.1327, found 386.1328.

3-(4-fluorophenyl)-N-(imidazo[1,2-a]pyridin-8-yl)-2-phenylacrylamide (3e) : Following the general procedure B, **3e** was obtained after purification by Combiflash column chromatography using silica gel column (10-40%



ethyl acetate/hexane) as a off white solid; melting point: $128-130^{\circ}$ C; yield = 71% (50.8 mg); R_f = 0.6 (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 6.93 (t, *J* = 7.1 Hz, 1H), 7.18 (t, *J* = 8.7 Hz, 3H), 7.34-7.38 (m, 1H), 7.43 (t, *J* = 7.5 Hz, 2H), 7.49 (s, 1H), 7.62-7.64 (m, 4H), 7.94-7.97 (m, 2H), 8.35 (d, *J* = 6.7

Hz, 1H), 10.27 (s, 1H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ 110.1, 112.6, 113.1, 115.0, 115.2, 120.3, 125.8, 126.8, 128.0, 128.3, 128.4, 129.8, 129.8, 130.8, 130.9, 131.2, 136.2, 137.1, 138.2, 160.8, 163.2, 168.1; ¹⁹F NMR (100 MHz, DMSO-d₆, ppm): δ -112.5; HRMS (ESI) m/z [M+Na]⁺ calcd for C₂₂H₁₆FN₃ONa 380.1175, found 380.1171.

N-(imidazo[1,2-a]pyridin-8-yl)-2-phenyl-3-(4-(trifluoromethyl)phenyl)acrylamide (3f) : Following the general



procedure B, **3f** was obtained after purification by Combiflash column chromatography using silica gel column (10-40% ethyl acetate/hexane) as a off white solid; melting point: $122-124^{\circ}$ C; yield = 66% (53.8 mg); R_f = 0.6 (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 6.92 (t, *J* = 7.0 Hz, 1H), 7.27 (s, 1H), 7.39-7.52 (m, 4H), 7.66-7.72 (m, 4H), 7.79 (d, *J* = 8.0

Hz, 2H), 7.92 (d, J = 7.6 Hz, 1H), 7.97 (s, 1H), 8.36 (d, J = 6.6 Hz, 1H), 10.49 (s, 1H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ 110.4, 110.8, 113.1, 113.7, 121.0, 125.3, 125.6 (q, $J_{C-F} = 4.14$ Hz), 126.5, 127.1, 128.0, 128.4,

128.7, 128.8, 128.9, 128.9, 129.0, 129.7, 129.8, 130.1, 130.5, 131.9, 132.0, 136.3, 138.8 (d, $J_{C-F} = 8.6$ Hz), 139.9, 168.1; ¹⁹F NMR (100 MHz, CDCl₃, ppm): δ -62.7 (3F); HRMS (ESI) m/z [M+Na]⁺ calcd for $C_{23}H_{16}F_3N_3ONa$ 430.1143, found 430.1141.

3-(4-bromophenyl)-N-(imidazo[1,2-a]pyridin-8-yl)-2-phenylacrylamide (3g) : Following the general procedure



B, **3g** was obtained after purification by Combiflash column chromatography using silica gel column (10-40% ethyl acetate/hexane) as a light brown solid; melting point: $157-159^{\circ}$ C; yield = 54% (45.1 mg); R_f = 0.6 (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 6.92 (t, *J* = 7.1 Hz, 1H), 7.16 (s, 1H), 7.35-7.38 (m, 1H), 7.44 (t, *J* = 7.5 Hz, 2H), 7.49 (s, 1H), 7.54-7.56 (m, 4H), 7.64 (d, *J* =

7.6 Hz, 2H), 7.93 (d, J = 7.4 Hz, 1H), 7.97 (s, 1H), 8.35 (d, J = 6.7 Hz, 1H), 10.36 (s, 1H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ 110.6, 113.0, 113.7, 120.9, 122.5, 126.3, 127.2, 128.7, 128.7, 128.8, 130.0, 131.7, 131.9, 134.1, 136.5, 138.4, 138.8, 168.4; HRMS (ESI) m/z [M+Na]⁺ calcd for C₂₂H₁₆BrN₃ONa 440.0374, found 440.0372.

3-(4-cyanophenyl)-N-(imidazo[1,2-a]pyridin-8-yl)-2-phenylacrylamide (3h) : Following the general procedure B, **3h** was obtained after purification by Combiflash column chromatography using silica gel column (10-40%



ethyl acetate/hexane) as a off white solid; melting point: $162-164^{\circ}$ C; yield = 68% (49.6 mg); R_f = 0.5 (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 6.92 (t, *J* = 7.1Hz, 1H), 7.26 (s, 1H), 7.39-7.41 (m, 1H), 7.46 (t, *J* = 7.4 Hz, 2H), 7.50 (s, 1H), 7.67 (d, *J* = 7.5 Hz, 2H), 7.75 (d, *J* = 8.3 Hz, 2H), 7.81 (d, *J* = 8.24 Hz, 2H), 7.91 (d, *J* = 7.4 Hz, 1H), 7.97 (s, 1H), 8.36 (d, *J* = 6.6 Hz, 1H), 10.56

(s, 1H); ¹³C NMR (100 MHz, DMSO-d₆, ppm): δ 109.9, 111.9, 113.3, 114.3, 118.7, 122.9, 126.1, 126.2, 126.5, 128.6, 128.7, 129.0, 131.9, 132.3, 136.6, 139.1, 140.2, 140.4, 168.0; HRMS (ESI) m/z [M+Na]⁺ calcd for C₂₃H₁₆N₄ONa 387.1222, found 387.1220.

Ethyl (Z)-4-(3-(*imidazo*[1,2-*a*]*pyridin-8-ylamino*)-3-oxo-2-phenylprop-1-en-1-yl)benzoate (3*i*) : Following the general procedure B, 3*i* was obtained after purification by Combiflash column chromatography using silica gel column (10-40% ethyl acetate/hexane) as a off white solid; melting point: 130–132° C; yield = 73% (60.1 mg); $R_f = 0.5$ (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 1.26 (t, *J* = 7.5 Hz, 3H), 4.25 (q,



J = 7.1 Hz, 2H), 6.90 (t, J = 7.1 Hz, 1H), 7.23 (s, 1H), 7.34-7.37 (m, 1H), 7.40-7.45 (m, 3H), 7.64-7.70 (m, 4H), 7.88 (d, J = 8.3 Hz, 2H), 7.93 (d, J = 7.5 Hz, 1H), 7.94 (s, 1H), 8.33 (d, J = 6.6 Hz, 1H), 10.43 (s, 1H), ¹³C NMR (100 MHz, DMSO-d₆, ppm): δ 14.0, 60.6, 112.0, 113.1, 114.3, 122.8, 126.2, 126.6, 126.7, 128.4, 128.5, 128.6, 128.8, 129.1, 131.9, 136.7, 139.0, 139.4, 140.3, 165.2,

168.2; HRMS (ESI) m/z $[M+Na]^+$ calcd for $C_{25}H_{21}N_3O_3Na$ 434.1481, found 434.1477.

3-(4-formylphenyl)-N-(imidazo[1,2-a]pyridin-8-yl)-2-phenylacrylamide (3j) : Following the general procedure B, 3j was obtained after purification by Combiflash column chromatography using silica gel column (20-40%



ethyl acetate/hexane) as a off white solid; melting point: $132-134^{\circ}$ C; yield = 79% (58.0 mg); R_f = 0.4 (ethyl acetate/hexane (50/50); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 7.07 (s, 1H), 7.34 (s, 1H), 7.39-7.48 (m, 3H), 7.65-7.69 (m, 3H), 7.79 (d, *J* = 8.2 Hz, 2H), 7.87 (d, *J* = 8.2 Hz, 2H), 8.07 (s, 2H), 8.45 (d, *J* = 6.3 Hz, 1H), 9.94 (s, 1H), 10.64 (s, 1H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ 113.9, 114.8,

121.1, 126.7, 126.9, 128.8, 128.9, 129.0, 129.1, 129.9, 135.5, 136.3, 140.2, 141.6, 168.7, 191.6; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{23}H_{18}N_3O_2$ 368.1399, found 368.1398.

3-(4-acetylphenyl)-N-(*imidazo*[1,2-a]pyridin-8-yl)-2-phenylacrylamide (3k) : Following the general procedure B, 3k was obtained after purification by Combiflash column chromatography using silica gel column (20-40%



2H), 7.96-7.97 (m, 2H), 8.36 (d, J = 6.7 Hz, 1H), 10.47 (s, 1H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ 26.5, 110.6, 113.0, 113.7, 120.9, 126.5, 127.2, 128.6, 128.9, 128.9, 131.9, 136.4, 138.8, 139.8, 139.9, 168.2, 197.4; HRMS (ESI) m/z [M+H]⁺ calcd for C₂₄H₂₀N₃O₂ 382.1555, found 382.1559.

N-(imidazo[1,2-a]pyridin-8-yl)-2-phenyl-3-(m-tolyl)acrylamide (3m) : Following the general procedure B, **3m** was obtained after purification by Combiflash column chromatography using silica gel column (10-40% ethyl acetate/hexane) as a off white solid; melting point: $132-134^{\circ}$ C; yield = 83% (58.7 mg); $R_{f} = 0.5$ (ethyl



acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 2.21 (s, 3H), 6.93 (t, J = 7.2 Hz, 1H), 7.06 (d, J = 7.6 Hz, 1H), 7.13 (s, 1H), 7.20 (t, J = 7.6 Hz, 1H), 7.35-7.38 (m, 2H), 7.41-7.45 (m, 3H), 7.48 (s, 1H), 7.63 (d, J = 7.4 Hz, 2H), 7.93-7.97 (m, 2H), 8.34 (d, J = 6.7 Hz, 1H), 10.18 (s, 1H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ 21.3, 110.5, 113.0, 113.5, 120.7, 125.5, 126.3, 127.5,

128.3, 128.4, 128.7, 129.1, 129.5, 130.3, 131.9, 135.1, 136.9, 137.5, 138.0, 138.9, 168.9; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{23}H_{20}N_3O$ 354.1606, found 354.1609.

3-(3-chloro-4-fluorophenyl)-N-(imidazo[1,2-a]pyridin-8-yl)-2-phenylacrylamide (3n) : Following the general procedure B, **3n** was obtained after purification by Combiflash column chromatography using silica gel column (10-40% ethyl acetate/hexane) as a off white solid; melting point: $126-128^{\circ}$ C; yield = 73% (57.2 mg); $R_f = 0.6$



(ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 6.93 (t, J = 7.1 Hz, 1H), 7.18 (s, 1H), 7.37-7.40 (m, 2H), 7.42-7.46 (m, 2H), 7.50 (s, 1H), 7.57-7.60 (m, 1H), 7.64 (d, J = 7.3 Hz, 2H), 7.77-7.78 (m, 1H), 7.88 (d, J = 7.4 Hz, 1H), 7.97 (s, 1H), 8.36 (d, J = 6.7 Hz, 1H), 10.47 (s, 1H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ 110.8, 113.0, 113.7, 116.8 (d, $J_{CF} =$ 21.4 Hz), 120.9, 121.2

(d, J_{C-F} = 18.0 Hz), 126.4, 127.1, 127.5, 128.0, 128.2 (d, J_{C-F} = 7.2 Hz), 128.8, 128.9, 130.9, 131.9, 132.5 (d, J_{C-F} = 4.3 Hz), 136.3, 138.9 (d, J_{C-F} = 11.5 Hz), 157.8 (d, J_{C-F} = 249.7 Hz), 168.1; ¹⁹F NMR (100 MHz, DMSO-d₆, ppm): δ -119.9; HRMS (ESI) m/z [M+Na]⁺ calcd for C₂₂H₁₅ClFN₃ONa 414.0785, found 414.0781.

N-(imidazo[1,2-a]pyridin-8-yl)-3-(1-methyl-1H-pyrazol-4-yl)-2-phenylacrylamide (30) : Following the general



procedure B, **30** was obtained after purification by Combiflash column chromatography using silica gel column (10-50% ethyl acetate/hexane) as a light yellow solid; melting point: 186–188° C; yield = 66% (45.3 mg); $R_f = 0.3$ (ethyl acetate/hexane (40/60);); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 3.79 (s, 3H), 6.98 (m, 1H), 7.04 (s, 1H), 7.31-7.32 (m, 1H), 7.40 (t, *J* = 7.5 Hz, 2H),

7.55 (d, J = 6.8 Hz, 4H), 7.99-8.01 (m, 3H), 8.39 (d, J = 6.6 Hz, 1H), 10.05 (s, 1H); ¹³C NMR (100 MHz, DMSO-d₆, ppm): δ 38.5, 112.3, 113.1, 114.4, 117.2, 118.9, 122.8, 125.3, 126.7, 127.3, 128.6, 130.8, 131.5, 133.2, 136.9, 138.3, 138.9, 168.8; HRMS (ESI) m/z [M+Na]⁺ calcd for C₂₀H₁₇N₅ONa 366.1331, found 366.1325.

N-(imidazo[1,2-a]pyridin-8-yl)-2-phenyl-3-(thiophen-3-yl)acrylamide (3p) : Following the general procedure B, **3p** was obtained after purification by Combiflash column chromatography using silica gel column (10-40%



ethyl acetate/hexane) as a grey solid; melting point: $168-170^{\circ}$ C; yield = 71% (49.0 mg); R_f = 0.6 (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 6.95 (t, *J* = 7.0 Hz, 1H), 7.21 (s, 1H), 7.28 (d, *J* = 4.8 Hz, 1H), 7.32-7.35 (m, 1H), 7.42 (t, *J* = 7.7 Hz, 2H), 7.50-7.52 (m, 2H), 7.60 (d, *J* = 7.4 Hz, 2H), 7.72 (s, 1H),

7.98 (s, 1H), 8.04 (d, J = 7.4 Hz, 1H), 8.36 (d, J = 6.6 Hz, 1H), 10.29 (s, 1H); ¹³C NMR (100 MHz, DMSO-d₆, ppm): δ 112.1, 112.4, 114.4, 121.8, 122.6, 125.6, 126.3, 126.7, 126.8, 127.8, 128.6, 131.8, 135.3, 136.8, 137.1, 138.9, 168.8; HRMS (ESI) m/z [M+Na]⁺ calcd for C₂₀H₁₅N₃OSNa 368.0834, found 368.0829.

3-(benzo[d][1,3]dioxol-5-yl)-N-(imidazo[1,2-a]pyridin-8-yl)-2-phenylacrylamide (3q) : Following the general



procedure B, **3q** was obtained after purification by Combiflash column chromatography using silica gel column (10-40% ethyl acetate/hexane) as a off white solid; melting point: $162-164^{\circ}$ C; yield = 73% (56.0 mg); R_f = 0.3 (ethyl acetate/hexane (40/60);); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 5.98 (s, 2H), 6.89-6.95 (m, 2H), 7.09-7.12 (m, 3H), 7.33-7.35 (m, 1H), 7.41 (t, *J* = 7.5 Hz, 2H), 7.49 (s, 1H), 7.59 (d, *J* = 7.7 Hz, 2H), 7.97 (s, 1H), 7.98 (d, *J* = 6.9 Hz, 1H), 8.35 (d,

J = 6.7 Hz, 1H), 10.18 (s, 1H); ¹³C NMR (100 MHz, DMSO-d₆, ppm): δ 101.1, 107.5, 108.3, 112.1, 112.2, 114.3, 122.6, 123.5, 125.7, 126.7, 127.6, 127.7, 128.6, 129.6, 131.8, 135.5, 137.2, 138.8, 147.1, 147.4, 168.7; HRMS (ESI) m/z [M+Na]⁺ calcd for C₂₃H₁₇N₃O₃Na 406.1168, found 406.1162.

(Z)-N-(imidazo[1,2-a]pyridine-8-yl)-2-phenyl-3-(pyridine-3-yl)acrylamide (3r) : Following the general



procedure B, **3r** was obtained after purification by Combiflash column chromatography using silica gel column (40-50% ethyl acetate/hexane) as yellow solid; melting point: 77–79 °C; yield = 63% (42.8 mg); $R_f = 0.5$ (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 6.93 (t, *J* = 7.1Hz, 1H), 7.22 (s, 1H), 7.34-7.40 (m, 2H), 7.41-7.49 (m, 3H), 7.65-7.67 (m, 2H), 7.95-7.97 (m, 3H), 8.35-8.37 (m, 1H), 8.42 (d, *J* = 4.1Hz,

1H), 8.75 (s, 1H), 10.52 (s, 1H); ¹³C NMR (100 MHz, DMSO-d₆, ppm): δ 112.0, 113.0, 114.3, 122.8, 123.4, 124.5, 126.1, 126.5, 128.3, 128.6, 131.5, 131.8, 134.7, 136.7, 138.9, 139.2, 148.5, 149.5, 168.2; HRMS (ESI) m/z [M+Na]⁺ calcd for C₂₁H₁₆N₄ONa 363.1221, found 363.1216.

(Z)-3-(5-formyl-1H-pyrrol-3-yl)N-(imidazo[1,2-a]pyridine-8-yl)-2-phenylacrylamide (3s) : Following the



general procedure B, **3s** was obtained after purification by Combiflash column chromatography using silica gel column (50-60% ethyl acetate/hexane) as light brown solid; melting point: $255-257^{\circ}$ C; yield = 52% (37.0 mg); R_f = 0.5 (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 6.96 (t, J = 7.1Hz, 1H), 7.09 (s, 1H), 7.16 (s, 1H), 7.30 (t, J = 7.2Hz, 1H), 7.40 (t

8.0Hz, 2H), 7.46 (s, 1H), 7.50 (s, 1H), 7.54-7.56 (m, 2H), 7.98 (s, 1H), 8.05 (d, J = 7.4Hz, 1H), 8.36 (d, J = 6.7Hz, 1H), 9.41 (s, 1H), 10.06 (s, 1H), 12.26 (s, 1H); ¹³C NMR (100 MHz, DMSO-d₆, ppm): δ 112.2, 112.3, 114.4, 118.8, 121.0, 121.4, 122.6, 125.3, 126.8, 127.3, 128.0, 128.6, 131.7, 133.2, 137.0, 138.9, 168.9, 179.6; HRMS (ESI) m/z [M+Na]⁺ calcd for C₂₁H₁₆N₄O₂Na 379.1170, found 379.1169.

N-(imidazo[1,2-a]pyridin-8-yl)-3-phenylacrylamide (3aa) : Following the general procedure B, 3aa was obtained after purification by Combiflash column chromatography using silica gel



column (20-40% ethyl acetate/hexane) as a off white solid; melting point: $104-106^{\circ}$ C; yield = 72% (37.9 mg); R_f = 0.5 (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 6.55 (d, J = 12.8 Hz, 1H), 6.86 (d, J = 7.1 Hz, 1H), 6.91 (d, J = 12.7 Hz, 1H), 7.29-7.37 (m, 3H), 7.57 (s, 1H), 7.72 (d, J = 7.0 Hz, 2H), 7.98-8.01 (m,

2H), 8.29 (d, J = 6.6 Hz, 1H), 10.11 (s, 1H); ¹³C NMR (100 MHz, DMSO-d₆, ppm): δ 110.8, 113.2, 113.6, 120.4, 122.9, 127.4, 128.2, 128.9, 129.5, 131.5, 134.7, 138.9, 140.4, 165.3; HRMS (ESI) m/z [M+Na]⁺ calcd for C₁₆H₁₃N₃ONa 286.0956, found 286.0955.

N-(imidazo[1,2-a]pyridin-8-yl)-2-methyl-3-phenylacrylamide (3ab) : Following the general procedure B, **3ab** was obtained after purification by Combiflash column chromatography using silica gel column (20-40% ethyl



acetate/hexane) as a grey white solid; melting point: $107-109^{\circ}$ C; yield = 69% (38.3 mg); R_f = 0.5 (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 2.14 (s, 3H), 6.58 (s, 1H), 6.87 (t, *J* = 6.9 Hz, 1H), 7.16 (t, *J* = 7.2 Hz, 1H), 7.24 (t, *J* = 7.5 Hz, 2H), 7.34 (d, J = 7.3 Hz, 2H), 7.45 (s, 1H), 7.93 (s, 2H), 8.27 (d, J = 6.6 Hz, 1H), 9.52 (s, 1H); ¹³C NMR (100 MHz, DMSO-d₆, ppm): δ 21.9, 110.0, 112.1, 114.3, 122.0, 126.7, 127.4, 127.7 (2C), 128.3 (2C), 128.6, 131.7, 134.2, 135.6, 138.5, 169.7; HRMS (ESI) m/z [M+Na]⁺ calcd for C₁₇H₁₅N₃ONa 300.1113, found 300.1111.

N-(imidazo[1,2-a]pyridin-8-yl)-3-phenylbut-2-enamide (3ac) : Following the general procedure B, **3ac** was obtained after purification by Combiflash column chromatography using silica gel column (20-40% ethyl



acetate/hexane) as a off white solid; melting point: $111-113^{\circ}$ C; yield = 59% (32.7 mg); R_f = 0.5 (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 2.16 (s, 3H), 6.52 (s, 1H), 6.76 (t, J = 7.2 Hz, 1H), 7.24-7.33 (m, 5H), 7.52 (s, 1H), 7.83 (d, J = 7.5 Hz, 1H), 7.94 (s, 1H), 8.20 (d, J = 6.4 Hz, 1H), 9.60 (s, 1H); ¹³C NMR

(100 MHz, DMSO-d₆, ppm): δ 26.9, 110.1, 113.1, 113.4, 120.0, 121.0, 127.1, 127.5 128.1, 128.3, 131.7, 139.1, 140.1, 151.9, 165.0; HRMS (ESI) m/z [M+Na]⁺ calcd for C₁₇H₁₅N₃ONa 300.1113, found 300.1111.

N-(imidazo[1,2-a]pyridin-8-yl)-2-phenylcyclopent-1-ene-1-carboxamide (3ad) : Following the general procedure B, **3ad** was obtained after purification by Combiflash column chromatography using silica gel column



(20-40% ethyl acetate/hexane) as a off white solid; melting point: $132-134^{\circ}$ C; yield = 41% (24.8 mg); R_f = 0.5 (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 1.99-2.02 (m, 2H), 2.88 (t, *J* = 7.48 Hz, 4H), 6.83 (t, *J* = 7.1 Hz, 1H), 7.22-7.32 (m, 3H), 7.40-7.42 (m, 3H), 7.91 (s, 2H), 8.23 (d, *J* = 6.7 Hz, 1H), 9.14 (s, 1H); ¹³C

NMR (100 MHz, DMSO-d₆, ppm): δ 21.5, 35.8, 37.9, 110.0, 112.1, 114.3, 121.6, 126.7, 127.2, 127.8, 128.2, 131.5, 133.1, 135.7, 138.3, 144.7, 166.4; HRMS (ESI) m/z [M+Na]⁺ calcd for C₁₉H₁₇N₃ONa 326.1269, found 326.1265.

N-(imidazo[1,2-a]pyridin-8-yl)-3-phenyl-2-(p-tolyl)acrylamide (3ae) : Following the general procedure B, **3ae** was obtained after purification by Combiflash column chromatography using silica gel column (20-40% ethyl



acetate/hexane) as a off white solid; melting point: $136-138^{\circ}$ C; yield = 68% (48.1 mg); $R_f = 0.5$ (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 2.33 (s, 3H), 6.92 (t, J = 7.1 Hz, 1H), 7.13 (s, 1H), 7.21-7.25 (m, 3H), 7.32 (t, J = 7.6 Hz, 2H), 7.47 (s, 1H), 7.52 (d, J = 8.1 Hz, 2H), 7.56 (d, J = 7.6 Hz, 2H), 7.96 (s, 1H),

7.97 (d, J = 8.4 Hz, 1H), 8.33 (d, J = 6.7 Hz, 1H), 10.09 (s, 1H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ 21.2,

110.4, 113.0, 113.6, 120.6, 126.2, 127.5, 128.2, 128.4, 128.5, 129.2, 129.5, 131.9, 134.1, 135.3, 137.6, 138.4, 138.9, 168.9; HRMS (ESI) m/z [M+Na]⁺ calcd for C₂₃H₁₉N₃ONa 376.1426, found 376.1427.

N-(imidazo[1,2-a]pyridin-8-yl)-2-(4-methoxyphenyl)-3-phenylacrylamide (3af) : Following the general procedure B, **3af** was obtained after purification by Combiflash column chromatography using silica gel column (20-40% ethyl acetate/hexane) as a off white solid; melting point: $177-179^{\circ}$ C; yield = 71% (52.4 mg); R_f = 0.5



(ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 3.78 (s, 3H),
6.92 (t, J = 7.1 Hz, 1H), 7.00 (d, J = 8.8 Hz, 2H), 7.07 (s, 1H), 7.20-7.24 (m, 1H),
7.31 (t, J = 7.6 Hz, 2H), 7.47 (s, 1H), 7.56 (t, J = 7.30 Hz, 4H), 7.95-7.97 (m, 2H),
8.33 (d, J = 6.6 Hz, 1H), 10.05 (s, 1H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ 55.3,

110.8, 113.1, 113.6, 114.2, 120.7, 127.5, 127.7, 128.0, 128.3, 128.4, 128.5, 129.5, 131.7, 135.5, 137.3, 138.9, 159.9, 169.1; HRMS (ESI) m/z [M+Na]⁺ calcd for C₂₃H₁₉N₃O₂Na 392.1375, found 392.1375.

2-(4-fluorophenyl)-N-(imidazo[1,2-a]pyridin-8-yl)-3-phenylacrylamide (3ag) : Following the general procedure B, 3ag was obtained after purification by Combiflash column chromatography using silica gel column



(20-40% ethyl acetate/hexane) as a off white solid; melting point: $131-133^{\circ}$ C; yield = 76% (54.3 mg); R_f = 0.5 (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 7.27-7.36 (m, 7H), 7.56 (d, J = 7.2 Hz, 2H), 7.67-7.71 (m, 2H), 7.90 (s, 1H), 8.22-8.26 (m, 2H), 8.56-8.57 (m, 1H), 10.70 (s, 1H); ¹³C NMR (100

MHz, CDCl₃, ppm): δ 114.1, 115.6 (d, J_{C-F} = 41.48 Hz), 116.6, 116.9, 121.2, 125.1, 128.1, 128.4, 128.6, 128.7 (d, J_{C-F} = 8.1 Hz), 130.5, 131.3, 133.2 (d, J_{C-F} = 3.06 Hz), 134.9, 135.6, 136.4, 162.8 (d, J_{C-F} = 246.35 Hz), 169.7; ¹⁹F NMR (100 MHz, CDCl₃, ppm): δ -113.5; HRMS (ESI) m/z [M+Na]⁺ calcd for C₂₂H₁₆FN₃ONa 380.1175, found 380.1169.

2-(3,5-difluorophenyl)-N-(imidazo[1,2-a]pyridin-8-yl)-3-phenylacrylamide (3ah) : Following the general procedure B, 3ah was obtained after purification by Combiflash column chromatography using silica gel column



= 64% (48.0 mg); $R_f = 0.5$ (ethyl acetate/hexane (40/60); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 6.93 (t, J = 7.1 Hz, 1H), 7.25-7.30 (m, 2H), 7.34-7.39 (m, 5H), 7.50 (s, 1H), 7.60 (d, J = 7.5 Hz, 2H), 7.88 (d, J = 7.4 Hz, 1H), 7.98 (s, 1H), 8.37 (d

(20-40% ethyl acetate/hexane) as a off white solid; melting point: 136–138° C; yield

(d, J = 6.7 Hz, 1H), 10.55 (s, 1H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ 103.7 (t, $J_{C-F} = 25.3$ Hz), 109.2 (d, $J_{C-F} = 7.5$ Hz) 109.4 (d, $J_{C-F} = 7.5$ Hz), 110.7, 113.0, 113.6, 121.0, 127.1, 128.7 (d, $J_{C-F} = 3.6$ Hz), 129.0, 132.0, 134.3, 135.6, 138.8, 140.1 (t, $J_{C-F} = 9.6$ Hz), 163.1 (d, $J_{C-F} = 247.0$ Hz), 163.3 (d, $J_{C-F} = 247.0$ Hz), 167.6; ¹⁹F NMR (100 MHz, CDCl₃, ppm): δ -109.07 (2F); HRMS (ESI) m/z [M+Na]⁺ calcd for C₂₂H₁₅F₂N₃ONa 398.1081, found 398.1083.

Experimental Procedure for Synthesis of *N*-(imidazo[1,2-*a*]pyridin-8-yl)-2,3-diphenylacrylamide (3a) in gram scale: An oven dried 250 ml round bottomed flask fitted with teflon coated magnetic stir bar, reflux condenser, rubber septum with nitrogen balloon was charged with N-(imidazo[1,2-*a*]pyridin-8-yl)-2-phenylacrylamide (1a) (1 g, 3.8 mmol, 1 equiv) in dry toluene (75 ml), iodobenzene (1.55 g, 0.85 ml, 7.6 mmol, 2 equiv) and K_2CO_3 (1.31 g, 9.5 mmol, 2.5 equiv) at room temperature followed by the addition of Pd(OAc)₂ (85 mg, 0.38 mmol, 0.1 equiv). The resulting suspension was heated at 100° C in an oil bath for 18 h under air. After completion, the reaction mixture was cooled to room temperature and filtered through celite bed and bed was washed with dichloromethane. The filtrate was concentrated under reduced pressure and residue was purified by Combiflash column chromatography using 40 gm RediSep normal phase silica flash column and 10-30% ethyl acetate/hexane as eluent to afford *N*-(imidazo[1,2-*a*]pyridin-8-yl)-2,3-diphenylacrylamide (**3a**, 993 mg, 77%) as off white solid.

Experimental Procedure for Removal of Directing Group (8-AIP): To the stirred suspension of arylated product **3a** (0.5 mmol, 1 equiv) in ethanol (3 ml) and water (3 ml) was added lithium hydroxide monohydrate (1.5 mmol, 3 equiv) and the reaction mixture was heated at 100° C for 24 h. After completion, reaction mixture



was concentrated under reduced pressure and 10 ml water was added to the residue. This was extracted with ethyl acetate (3x10 ml). This organic layer was dried under reduced pressure to obtain imidazo[1,2-*a*]pyridin-8-amine in 78% yield. Aqueous layer was acidified with 2(N) HCl and extracted with ethyl acetate (3x10 ml). This

combined organic layer was concentrated under reduced pressure to obtain 2,3-diphenylacrylic acid in 89% yield.

2,3-diphenyl acrylic acid: Yield = 100 mg; ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 7.03-7.05 (m, 2H), 7.16-7.25 (m, 5H), 7.35-7.38 (m, 3H), 7.75 (s, 1H), 12.69 (s, 1H); ¹³C NMR (100 MHz, DMSO-d₆, ppm): δ 127.5, 128.2, 128.4, 128.9, 129.3, 130.1, 133.2, 134.3, 136.2, 138.9, 168.2.

Experimental procedure for the preparation of N-(imidazo[1,2-*a*]pyridine-8-yl)-*N*-methyl-2phenylacrylamide (4):

In 25 ml round bottomed flask, **1a** (1 mmol, 263 mg) was dissolved in dry DMF (5 ml) and 1.5 equiv of NaH was added into the reaction mixture. Afterwards, 2.5 equiv of MeI was added dropwise into the reaction mixture at 0° C and then stirred at room temperature for 2 hr. After the completion of the reaction via TLC chromatography, 20 ml water was added and the product was extracted with ethyl acetate (4 X 10 ml). Organic layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The crude product was then purified using column chromatography using 50% ethylacetate/hexane as eluent.

N-(imidazo[1,2-*a*]pyridine-8-yl)-*N*-methyl-2-phenylacrylamide (6) :



Grey solid; melting point: 88–90° C; yield = 80% (221.6 mg); ¹H NMR (400 MHz, DMSO-d₆, ppm): δ 3.37 (s, 3H), 5.27 (s, 1H), 5.48 (s, 1H), 6.73 (s, 1H), 6.99 (s, 1H), 7.22-7.33 (m, 5H), 7.56 (s, 1H), 7.92 (s, 1H), 8.42 (d, *J* = 6.6 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ 35.3, 110.9, 112.7, 117.3, 122.6,

124.8, 125.7, 127.3, 127.6, 132.2, 132.9, 135.8, 141.4, 145.1, 170.2.

Experimental Procedure for Competition experiment:



A screw cap vial was charged with **1a** (0.2 mmol, 1 equiv) in dry toluene (4 ml), 4-iodoanisole and 4-iodofluoro benzene compound (0.4 mmol, 2 equiv) and K_2CO_3 (0.5 mmol, 2.5 equiv) at room temperature followed by the addition of Pd(OAc)₂ (10 mol %, 0.1 equiv). The resulting suspension was heated at 100° C in an oil bath for 18 h under aerobic condition. After completion, the reaction mixture was cooled to room temperature and filtered through celite bed and bed was washed with dichloromethane. The filtrate was concentrated under reduced pressure and residue was purified by Combiflash column chromatography (silica gel) using hexane/ethyl acetate mixture as an eluent to afford the corresponding **3c** (62%, 45.8 mg) and **3e** (36%, 25.7 mg) arylated products.

Experimental Procedure for Radical quenching experiment:

A screw cap vial was charged with **1a** (0.2 mmol, 1 equiv) in dry toluene (4 ml), iodobenzene compound (0.4 mmol, 2 equiv) K_2CO_3 (0.5 mmol, 2.5 equiv) and radical scavengers (BHT/Ph₂C=CH₂) at room temperature followed by the addition of Pd(OAc)₂ (10 mol %, 0.1 equiv). The resulting suspension was heated at 100° C in an oil bath for 18 h under aerobic condition. After completion, the reaction mixture was cooled to room temperature and filtered through celite bed and bed was washed with dichloromethane. The filtrate was concentrated under reduced pressure and residue was purified by Combiflash column chromatography (silica gel) using hexane/ethyl acetate mixture as an eluent to afford the corresponding **3a** in (76%, 51.7 mg) and (74%, 50.3 mg) yields respectively.



¹H NMR of **1a**









 1 H NMR of 1c

21





¹H NMR of **1d**



¹³C NMR of **1d**









 ^{13}C NMR of 1f



 1 H NMR of **1**g





 1 H NMR of **1h**



¹³C NMR of **1h**

1	-40	-50	-60	-70	-80	-90	-100	-110	-120	-130	-140	-150	-160	-170	ppm
														17	33






			F F 1								
 0	-20	-40	-60	-80	-100	-120	-140	-160	-180	- 200	ppm

-109.5816 I



¹H NMR of **3a**



¹³C NMR of **3a**



23 39









¹H NMR of 3c









¹H NMR of **3e**

















¹³C NMR of **3**g

³⁸ 54







¹H NMR of **3i**



¹³C NMR of **3i**



¹H NMR of **3**j





¹H NMR of **3k**





¹H NMR of **3m**

















¹³C NMR of **3p**


¹H NMR of **3**q



















¹³C NMR of **3ab**



¹H NMR of **3ac**















¹³C NMR of **3af**



¹H NMR of **3ag**



		F	O A B B B B B B B B B B B B B B B B B B							
 _20	-40	-60	-80	-100	-120	-140	-160	-180	-200	ppm

 19 F NMR of **3ag**



¹H NMR of **3ah**











