

Supporting Information

Synthesis of 4-ethenyl Quinazolines *via* Rhodium(III)-Catalyzed [5+1]

Annulation Reaction of *N*-arylamidines with Cyclopropenones

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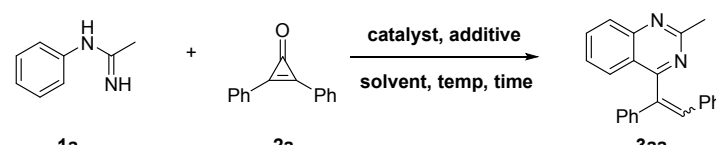
I. General Information

Unless otherwise noted, materials were purchased from commercial suppliers and used without further purification. Except for the specially mentioned dry solvent, all the solvents were treated according to general methods. All the reactions were monitored by thin-layer chromatography (TLC) and were visualized using UV light. The product purification was done using silica gel column chromatography. Thin-layer chromatography (TLC) characterization was performed with precoated silica gel GF254 (0.2 mm), while column chromatography characterization was performed with silica gel (100-200 mesh). ^1H NMR, ^{13}C NMR and ^{19}F NMR spectra were recorded with tetramethylsilane (TMS, $\delta = 0.00$ ppm) as the internal standard. ^1H NMR spectra were recorded at 400 or 600 MHz (Varian), ^{13}C NMR spectra were recorded at 100 or 150 MHz (Varian) and ^{19}F NMR spectra were recorded at 376 MHz (Varian). Chemical shifts are reported in ppm downfield from CDCl_3 ($\delta = 7.26$ ppm) or DMSO-d_6 ($\delta = 2.50$ ppm; H_2O signal was found at $\delta = 3.34$ ppm) for ^1H NMR and chemical shifts for ^{13}C NMR spectra are reported in ppm relative to the central CDCl_3 ($\delta = 77.0$ ppm) or DMSO-d_6 ($\delta = 39.6$ ppm). Coupling constants were given in Hz. The following notations were used: br-broad, s-singlet, d-doublet, t-triplet, q-quartet, m-multiplet, dd-doublet of doublet, dt-doublet of triplet, td-triplet of doublet, ddd-doublet of doublet of doublet. Melting points were measured with YRT-3 melting point apparatus (Shantou Keyi Instrument & Equipment Co., Ltd., Shantou, China).

II. Experimental Information

(a) Optimization of the reaction conditions

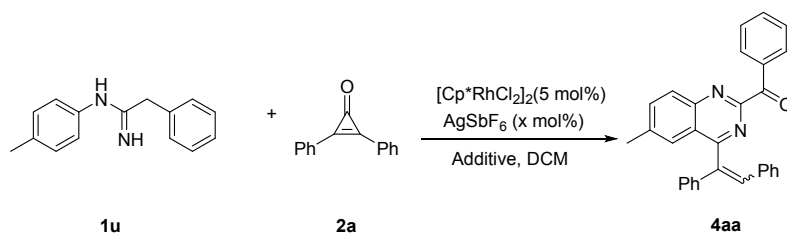
Table SI₁. Optimization of the Reaction Conditions^a



Entry	Catalyst System (mol%)	Additive	Solvent	Yield ^b (%)
1	$[\text{Cp}^*\text{RhCl}_2]_2$ (5) / AgSbF_6 (50)	HOAc	DCM	10
2	$[\text{Cp}^*\text{RhCl}_2]_2$ (5) / AgSbF_6 (50)	NaOAc	DCM	<5
3	$[\text{Cp}^*\text{RhCl}_2]_2$ (5) / AgSbF_6 (50)	$\text{Cu}(\text{OAc})_2$	DCM	<5
4	$[\text{Cp}^*\text{RhCl}_2]_2$ (5) / AgSbF_6 (50)	/	DCE	75
5	$[\text{Cp}^*\text{RhCl}_2]_2$ (5) / AgSbF_6 (50)	/	HFIP	56
6	$[\text{Cp}^*\text{RhCl}_2]_2$ (5) / AgSbF_6 (50)	/	DME	24
7	$[\text{Cp}^*\text{RhCl}_2]_2$ (5) / AgSbF_6 (50)	/	PhCl:PhMe = 1:1	65

^a Reactions conditions: **1a** (1.2 mmol), **2a** (1.0 mmol), $[\text{Cp}^*\text{RhCl}_2]_2$ (5 mol%), AgSbF_6 (50 mol%), additive (1.0 mmol), solvent (1.0 ml), 100 °C, 36 h, sealed tube under O_2 .^b Total isolated yield of the mixture after chromatography.

Table SI₂. Optimization of the Reaction Conditions^a



Entry	Catalyst System (mol%)	Additive	Solvent	Yield ^b (%)
1	[Cp*RhCl ₂] ₂ (5) / AgSbF ₆ (50)	/	DCM	30
2	[Cp*RhCl ₂] ₂ (5) / AgSbF ₆ (70)	/	DCM	45
3	[Cp*RhCl ₂] ₂ (5) / AgSbF ₆ (100)	/	DCM	40
4 ^c	[Cp*RhCl ₂] ₂ (5) / AgSbF ₆ (70)	/	DCM	44
5 ^d	[Cp*RhCl ₂] ₂ (5) / AgSbF ₆ (70)	/	DCM	47
6	[Cp*RhCl ₂] ₂ (5) / AgSbF ₆ (70)	NaOAc	DCM	33
7	[Cp*RhCl ₂] ₂ (5) / AgSbF ₆ (70)	t-BuOK	DCM	11
8	[Cp*RhCl ₂] ₂ (5) / AgSbF ₆ (70)	DBU	DCM	<5
9	[Cp*RhCl ₂] ₂ (5) / AgSbF ₆ (70)	HOAc	DCM	34
10	[Cp*RhCl ₂] ₂ (5) / AgSbF ₆ (70)	AdCOOH	DCM	<5
11	[Cp*RhCl ₂] ₂ (5) / AgSbF ₆ (70)	<i>m</i> -CPBA ^e	DCM	35
12	[Cp*RhCl ₂] ₂ (5) / AgSbF ₆ (70)	TBHP ^f	DCM	N.R. ^g
13	[Cp*RhCl ₂] ₂ (5) / AgSbF ₆ (70)	DMSO	DCM	<5
14	[Cp*RhCl ₂] ₂ (5) / AgSbF ₆ (70)	K ₂ S ₂ O ₈	DCM	10

^a Reactions conditions: **1u** (1.2 mmol), **2a** (1.0 mmol), [Cp*RhCl₂]₂ (5 mol%), AgSbF₆ (x mol%), additive (1.0 mmol), DCM (1.0 ml), sealed tube under O₂. ^b Total isolated yield of the mixture after chromatography. ^c Temp. = 120 °C. ^d Stirred for 40 h. ^e *m*-CPBA = 3-Chloroperbenzoic acid. ^f TBHP = tert-Butylhydroperoxide. ^g N.R. = No Reaction

(b) General procedure for the synthesis of imidamides **1** (**1a** as an example)¹

A mixture of aniline (1.0 equiv.), AlCl₃ (1.2 equiv.) and carbonitrile (2.0 equiv.) was stirred at 120 °C in a sealed reaction tube overnight. After completion of the reaction, a concentrated NaOH solution in a mixture of water and ice was added into the residual crude product and stirred for about 15 minutes. Then the mixture was extracted with DCM (25 mL × 3). The combined organic layers were washed with brine (30 mL × 3), dried over anhydrous Na₂SO₄ and evaporated under vacuum. The residue was purified by column chromatography on silica gel.

(c) General procedure for the synthesis of cyclopropenone **2** (**2a** as an example)²

Substrate **2a** was synthesized according to the reported procedure.

(d) General procedure for the synthesis of products **3** (**3aa** as an example).

N-phenylethanamide **1a** (0.12 mmol), cyclopropenone **2a** (0.10 mmol), [Cp*RhCl₂]₂ (0.05 mmol), and AgSbF₆ (0.5 mmol) were charged into a pressure tube,

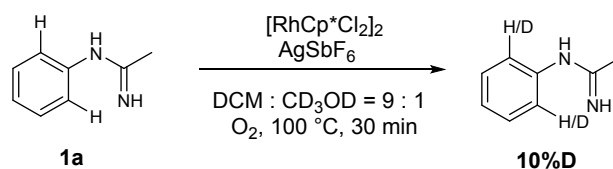
to which was added DCM (1.0 mL) under O₂ atmosphere. The reaction mixture was stirred at 100 °C for 36 h. After cooled to rt, the solvent was removed under reduced pressure and the residue was purified by silica gel chromatography using PE/EA to afford compound **3aa** as a yellow solid.

(e) General procedure for the synthesis of products 4 (4aa as an example).

N-arylamidine **1u** (0.12 mmol), cyclopropenone **2a** (0.10 mmol), [Cp**RhCl*₂]₂ (0.05 mmol), and AgSbF₆ (0.7 mmol) were charged into a pressure tube, to which was added DCM (1.0 mL) under O₂ atmosphere. The reaction mixture was stirred at 100 °C for 40 h. After cooled to rt, the solvent was removed under reduced pressure and the residue was purified by silica gel chromatography using PE/EA to afford compound **4aa** as a yellow solid.

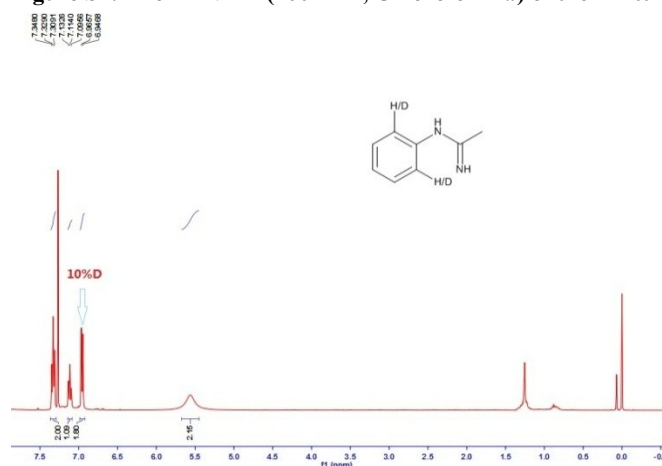
III. Mechanistic Studies

(a) Ortho deuteration experiment

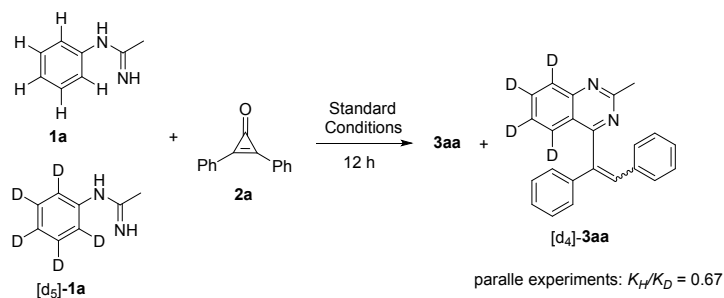


N-phenylethanamide **1a** (0.10 mmol) was dissolved in DCE (0.9 ml) / CD₃OD (0.1 mL) in the presence of [Cp**RhCl*₂]₂ (5 mol%), AgSbF₆ (50 mol%) under O₂ atmosphere, and was stirred at 100 °C for 30 min. After cooling down, the volatiles were removed and the mixture was purified by flash chromatography of silica gel. The deuterium incorporation was estimated to be 10% at *ortho*-position by ¹H NMR analysis.

Figure S1: The ¹H NMR (400MHz, Chloroform-*d*) of the mixture

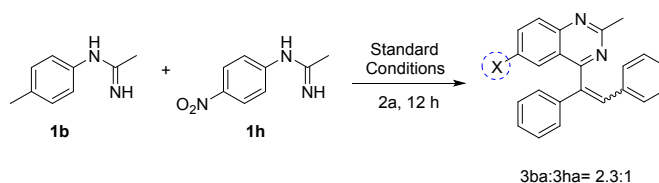


(b) Kinetic isotope effect experiment (KIE)



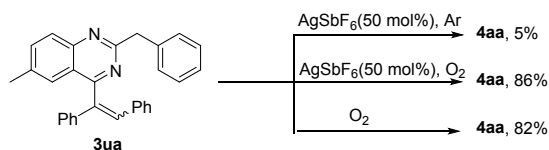
Two Schlenk tubes each was charged with N-arylamidine **1a** (0.12 mmol) or d₅-**1a** (0.12 mmol). Cyclopropenone **2a** (0.10 mmol), [Cp*RhCl₂]₂ (0.05 mmol), AgSbF₆ (0.5 mmol), DCM (1.0 mL) were added to each tube under O₂ atmosphere, and the two reactions were stirred side-by-side at 100 °C for 10 h. After rapidly evaporating the two mixtures separately, the residues were purified by flash column chromatography on silica gel using PE/EA. The KIE value was determined to be $k_H/k_D = 0.67$ on the yield ratio of **3aa** and d₄-**3aa**, which indicated that the cleavage of the C-H bond is likely not involved in the rate-limiting step.

(c) Competition experiments



A mixture of N-arylamidine **1b** (0.12 mmol), **1h** (0.12 mmol), cyclopropenone **2a** (0.10 mmol), [Cp*RhCl₂]₂ (0.05 mmol), AgSbF₆ (0.5 mmol) were dissolved in DCM (1.0 mL) in a pressure tube under O₂ atmosphere. The reaction mixture was stirred at 100 °C for 10 h. After that, the solvent was removed under reduced pressure and the residue was purified by silica gel chromatography using PE/EA to afford **3ba** and **3ha** in a ratio 2.3:1.

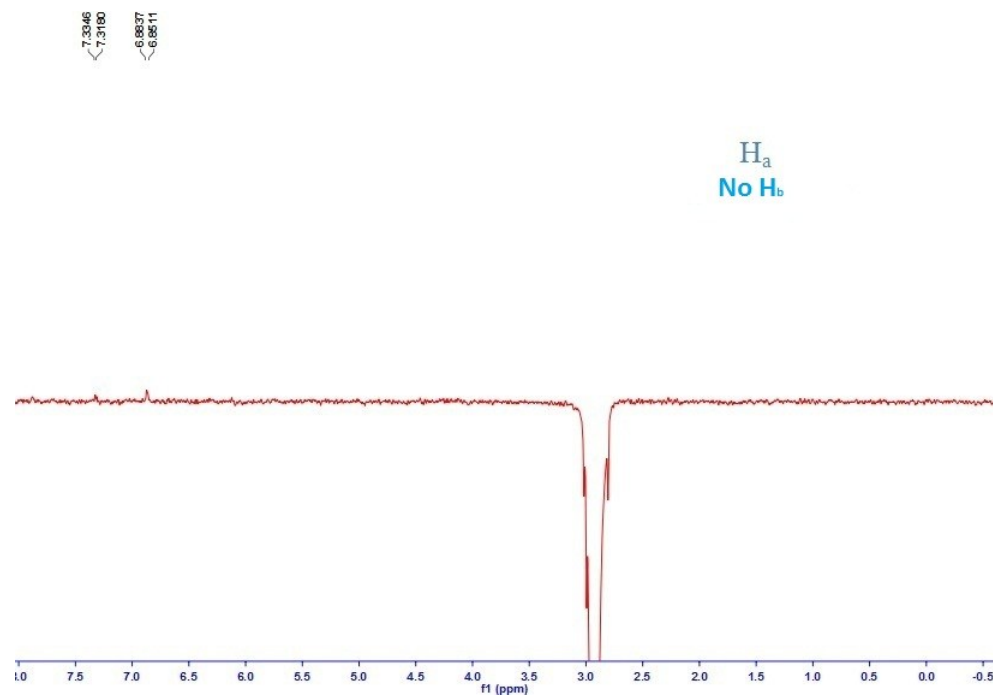
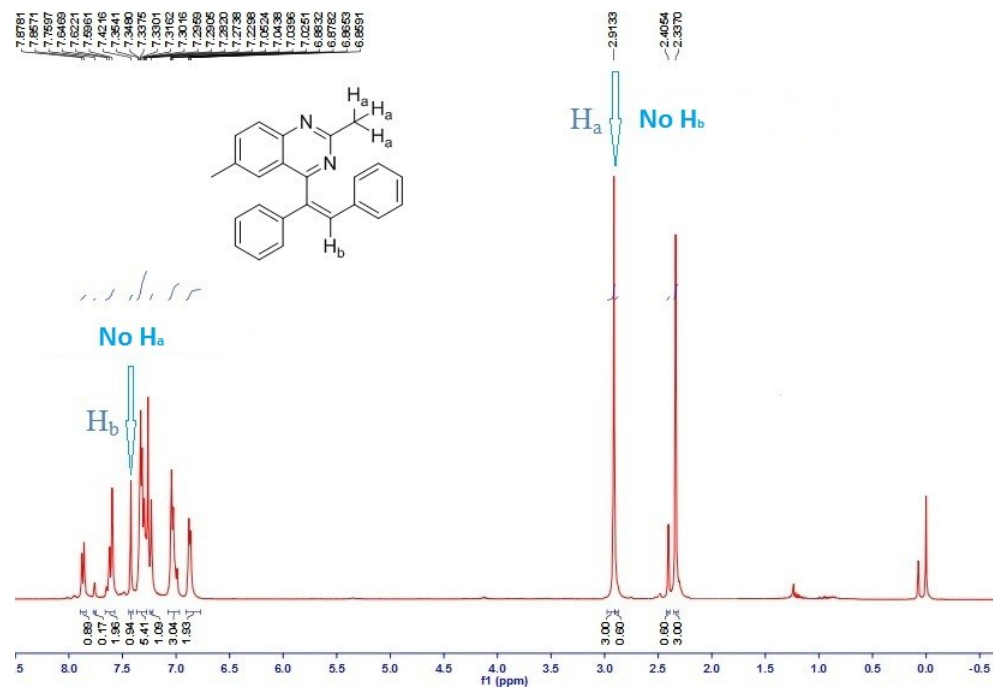
(d) Oxidation control experiments

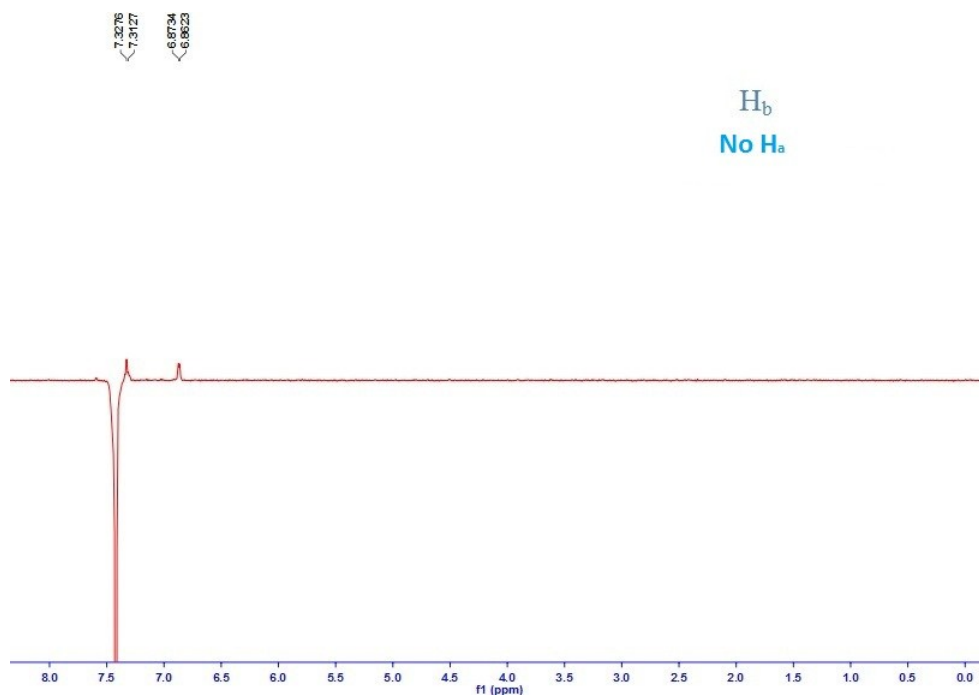


Three Schlenk tubes each was charged with the product **3ua** (0.10 mmol). The first one was added AgSbF₆ (0.5 mmol) under Ar atmosphere, the second one was added AgSbF₆ (0.5 mmol) under O₂ atmosphere, the last one was just under O₂ atmosphere without any additive. All of the reaction mixtures were dissolved in DCM (1.0 mL) and stirred at 100 °C for 24 h. After that, the solvents were removed under reduced pressure and the residues were purified by silica gel chromatography using PE/EA.

IV. Z/E Configuration

Take 3ba as an example

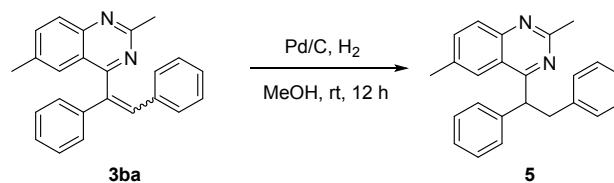




The characteristic of NOE signal of the product **3ba** showed that the *Z*-configuration product is favorable one.

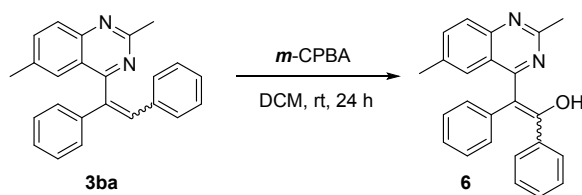
V. Product Transformations

4-(1,2-Diphenylethyl)-2,6-dimethylquinazoline (**5**)



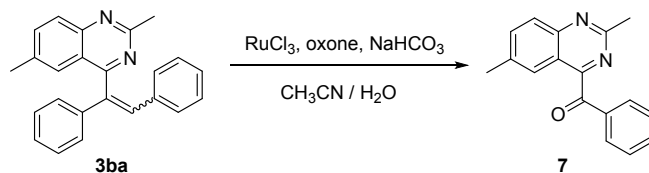
A vial equipped with a stir bar was charged with **3ba** (33.6 mg, 0.1 mmol, *Z/E* = 5:1), Pd/C (10 mg), and MeOH (2.0 mL) under a balloon of H₂ (1 atm), the reaction mixture was stirred for 12 h at room temperature. After the indicated time, the reaction mixture was filtered, the organic layers were combined and concentrated.³ Purification by chromatography on silica gel afforded the title product **5** as a white solid (30.4 mg, 90%), mp: 98-100 °C. *R_f* = 0.6 (PE/EA 5:1). **¹H NMR (400 MHz, Chloroform-*d*)** δ 7.82-7.76 (m, 2H), 7.55 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.36-7.31 (m, 2H), 7.24-7.20 (m, 2H), 7.19-7.12 (m, 3H), 7.11-7.05 (m, 3H), 5.05 (t, *J* = 7.2 Hz, 1H), 3.87-3.80 (m, 1H), 3.44-3.37 (m, 1H), 2.95 (s, 3H), 2.44 (s, 3H). **¹³C NMR (100 MHz, Chloroform-*d*)** δ 170.0, 162.6, 148.8, 142.1, 140.4, 136.5, 135.4, 129.3, 128.5, 128.2, 128.0, 127.8, 126.8, 125.9, 123.1, 121.7, 50.5, 41.5, 26.5, 21.9. **HRMS (ESI):** [M+H]⁺ calculated for C₂₄H₂₂N₂: 339.1856, found: 339.1857.

2-(2,6-Dimethylquinazolin-4-yl)-1,2-diphenylethen-1-ol (**6**)



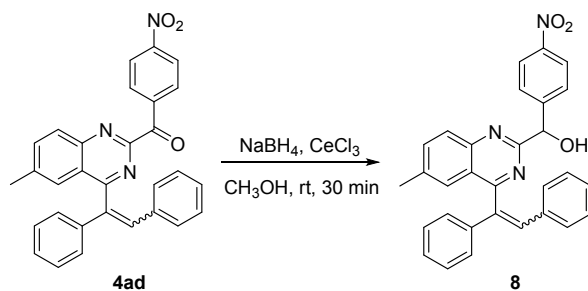
An oven-dried vial equipped with a stir bar was charged with **3ba** (33.6 mg, 0.1 mmol, *Z/E* = 5:1), *m*-chloroperbenzoic acid (34.5 mg, 0.2 mmol), and DCM (2.0 mL) under Ar. The reaction mixture was stirred for 24 h at room temperature. After the indicated time, the reaction mixture was quenched with NaHCO₃ (5 mL), and extracted with diethyl ether (10 × 3 mL). The organic layers were combined, washed with NaOH (1 N, 5 mL), and brine (5 mL), dried over anhydrous Na₂SO₄ and concentrated.⁴ Purification by chromatography on silica gel afforded the title product **6** as a yellow oil in a ratio 5:1 (25.3 mg, 72%). *R*_f = 0.3 (PE/EA 2:1). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.58 (d, *J* = 8.8 Hz, 1H), 7.67 (dd, *J* = 8.8, 1.6 Hz, 1H), 7.58 (s, 1H), 7.44 (s, 1H), 7.35-7.28 (m, 6H), 7.22 (s, 1H), 7.08-7.02 (m, 3H), 6.93-6.88 (m, 2H), 2.94 (s, 3H, *Z/E*-CH₃C₄N₂), 2.35 (s, 3H, *Z/E*-CH₃C₆H₃). ¹³C NMR (100 MHz, Chloroform-*d*) δ 155.1, 153.0, 142.1, 140.5, 139.3, 136.6, 136.5, 135.7, 132.4, 129.8, 129.7, 128.8, 128.8, 128.7, 128.3, 128.2, 128.0, 127.8, 126.6, 125.7, 124.1, 118.7, 21.5, 20.3. HRMS (ESI): [M+H]⁺ calculated for C₂₄H₂₀N₂O: 353.1648, found: 353.1647.

(2,6-Dimethylquinazolin-4-yl)(phenyl)methanone (**7**)



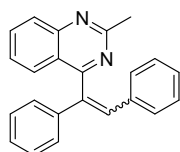
To a 10 mL vial was added RuCl₃ (0.82 mg, 4.0 mol%), Oxone (104.5 mg, 0.17 mmol), sodium bicarbonate (45.3 mg, 0.54 mmol), acetonitrile (1.5 mL), and water (1.0 mL) and **3ba** (33.6 mg, 0.1 mmol, *Z/E* = 5:1). The reaction was stirred at room temperature for 1 h. After the indicated time, the reaction mixture was poured into a separatory funnel along with EtOAc (30 mL) and water (10 mL). The organic layer was separated and washed with water (10 mL) and brine (10 mL). The organic layer was dried over anhydrous Na₂SO₄, filtered and concentrated.⁵ Purification by chromatography on silica gel afforded the title product **7** as a yellow solid^{1b} (23.3 mg, 89%), mp: 140-141 °C. *R*_f = 0.6 (PE/EA 5:1).

(4-(1,2-Diphenylvinyl)-6-methylquinazolin-2-yl)(4-nitrophenyl)methanol (**8**)



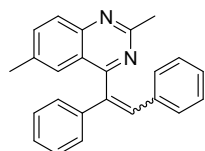
To a solution of **4ad** (47.1 mg, 0.1 mmol, *Z/E* = 1:1) and CeCl₃ (32.0 mg, 0.13 mmol) in MeOH (2 mL) was added NaBH₄ (4.5 mg, 0.12 mmol), and the mixture was stirred for 30 min at room temperature. After the indicated time, the mixture was quenched with water and extracted with EtOAc (20 × 3). The organic phase was dried with Na₂SO₄, filtered and concentrated under reduced pressure.⁶ The residue was purified by silica gel chromatography using PE/EA to afford compound **8** as a yellow oil (41.2 mg, 87%), *Z/E* = 4:1. *R_f* = 0.3 (PE/EA 5:1). **¹H NMR (400 MHz, Chloroform-*d*)** δ 8.02 (d, *J* = 8.8 Hz, 2H), 7.95 (d, *J* = 8.8 Hz, 1H), 7.78-7.72 (m, 1H), 7.68-7.63 (m, 2H), 7.59 (s, 1H), 7.42 (s, 1H), 7.34-7.27 (m, 4H), 7.25-7.21 (m, 3H), 7.01-6.94 (m, 1H), 6.87 (t, *J* = 7.6 Hz, 2H), 6.69 (d, *J* = 7.6 Hz, 2H), 6.13 (s, 1H), 2.36 (s, 3H, *Z/E*-CH₃C₆H₃). **¹³C NMR (100 MHz, Chloroform-*d*)** δ 169.8, 168.8, 163.5, 156.7, 149.9, 149.4, 149.3, 148.3, 147.2, 140.9, 140.5, 140.1, 139.9, 138.6, 137.7, 137.4, 137.2, 137.1, 136.1, 135.6, 132.8, 132.3, 132.0, 129.9, 129.7, 129.6, 128.9, 128.8, 128.7, 128.6, 128.5, 128.2, 128.1, 127.9, 127.8, 127.6, 127.4, 126.6, 126.4, 125.4, 125.3, 123.3, 123.1, 74.4, 21.8. **HRMS (ESI):** [M+H]⁺ calculated for C₃₀H₂₃N₃O₃: 474.1812, found: 474.1810.

VI. Characterization Date of Products



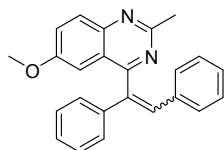
4-(1,2-Diphenylvinyl)-2-methylquinazoline (**3aa**)

Yellow solid (26.7 mg, 83%), mp: 147-150 °C, *Z/E* = 3:1. *R_f* = 0.5 (PE/EA 5:1). **¹H NMR (400 MHz, Chloroform-*d*)** δ 7.99 (d, *J* = 8.0 Hz, 2H), 7.85 (d, *J* = 8.0 Hz, 1H), 7.79 (t, *J* = 8.0 Hz, 2H), 7.43 (s, 1H), 7.40-7.27 (m, 7H), 7.23-7.17 (m, 2H), 7.07-6.97 (m, 3H), 6.87 (d, *J* = 6.0 Hz, 2H), 2.94 (s, 3H, *Z/E*-CH₃C₄N₂). **¹³C NMR (100 MHz, Chloroform-*d*)** δ 168.8, 163.5, 149.9, 139.4, 137.5, 137.4, 136.1, 134.9, 134.8, 133.1, 132.7, 130.7, 128.8, 128.6, 127.9, 127.7, 127.6, 127.2, 127.1, 127.0, 126.9, 126.6, 126.1, 125.8, 125.6, 125.4, 120.9, 120.4, 25.6. **HRMS (ESI):** [M+H]⁺ calculated for C₂₃H₁₈N₂: 323.1543, found: 323.1540.



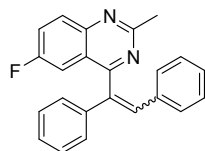
4-(1,2-Diphenylvinyl)-2,6-dimethylquinazoline (3ba)

Yellow solid (27.6 mg, 82%), mp: 112-115 °C, Z/E = 5:1. R_f = 0.5 (PE/EA 5:1). $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.87 (d, J = 8.4 Hz, 1H), 7.65-7.57 (m, 2H), 7.42 (s, 1H), 7.36-7.27 (m, 6H), 7.24-7.19 (m, 1H), 7.07-6.98 (m, 3H), 6.87 (dd, J = 7.4, 2.2 Hz, 2H), 2.91 (s, 3H, Z/E-CH₃C₄N₂), 2.34 (s, 3H, Z/E-CH₃C₆H₅). $^{13}\text{C NMR}$ (100 MHz, Chloroform-*d*) δ 168.8, 163.7, 149.5, 140.4, 137.2, 137.1, 136.4, 135.9, 131.4, 128.9, 128.6, 128.2, 128.0, 127.6, 127.6, 126.6, 125.0, 121.9, 26.7, 21.7. **HRMS (ESI):** $[\text{M}+\text{H}]^+$ calculated for C₂₄H₂₀N₂: 337.1699, found: 337.1695.



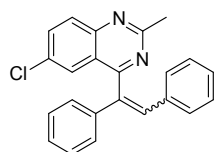
4-(1,2-Diphenylvinyl)-6-methoxy-2-methylquinazoline (3ca)

Yellow solid (29.8 mg, 85%), mp: 121-123 °C, Z/E = 3.8:1. R_f = 0.6 (PE/EA 5:1). $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.87 (d, J = 9.2 Hz, 1H), 7.44-7.38 (m, 2H), 7.35-7.27 (m, 6H), 7.23-7.17 (m, 2H), 7.09-6.98 (m, 4H), 6.92-6.87 (m, 2H), 3.63 (s, 3H, Z/E-OCH₃), 2.89 (s, 3H, Z/E-CH₃C₄N₂). $^{13}\text{C NMR}$ (100 MHz, Chloroform-*d*) δ 162.4, 157.9, 140.6, 137.3, 136.0, 131.6, 130.0, 129.8, 129.4, 128.8, 128.7, 128.2, 128.0, 127.8, 127.1, 126.8, 122.6, 103.3, 55.5, 26.3. **HRMS (ESI):** $[\text{M}+\text{H}]^+$ calculated for C₂₄H₂₀N₂O: 353.1648, found: 353.1650.



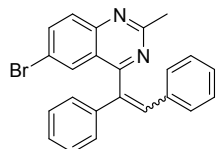
4-(1,2-Diphenylvinyl)-6-fluoro-2-methylquinazoline (3da)

Yellow oil (18.4 mg, 54%), Z/E = 2.3:1. R_f = 0.4 (PE/EA 5:1). $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.98 (dd, J = 9.2, 5.2 Hz, 1H), 7.58-7.51 (m, 2H), 7.44 (s, 1H), 7.38-7.27 (m, 8H), 7.23 (s, 3H), 7.07-7.03 (m, 3H), 6.90-6.85 (m, 2H), 2.93 (s, 3H, Z/E-CH₃C₄N₂). $^{13}\text{C NMR}$ (100 MHz, Chloroform-*d*) δ 169.7 (d, J = 6.0 Hz), 169.2 (d, J = 6.0 Hz), 164.1 (d, J = 2.5 Hz), 163.4 (d, J = 2.5 Hz), 160.2 (d, J = 249.1 Hz), 159.7 (d, J = 249.1 Hz), 148.6, 148.2, 140.1, 138.3, 138.2, 136.8, 135.8, 135.7, 135.1, 131.9, 130.9, 130.8, 130.7, 130.6, 129.8, 129.6, 128.8, 128.7, 128.3, 128.2, 128.1, 128.1, 127.8, 126.6, 124.4 (d, J = 26.0 Hz), 123.8 (d, J = 26.0 Hz), 122.4 (d, J = 9.1 Hz), 121.9 (d, J = 9.1 Hz), 110.1 (d, J = 23.0 Hz), 109.6 (d, J = 23.0 Hz), 26.5, 26.4. $^{19}\text{F NMR}$ (376 MHz, Chloroform-*d*) δ -110.73, -111.05. **HRMS (ESI):** $[\text{M}+\text{H}]^+$ calculated for C₂₃H₁₇FN₂: 341.1449, found: 341.1499.



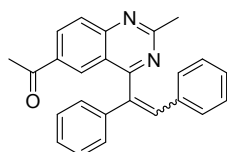
6-Chloro-4-(1,2-diphenylvinyl)-2-methylquinazoline (3ea)

Yellow solid (25.2 mg, 71%), mp: 110-113 °C, Z/E = 4:1. $R_f = 0.4$ (PE/EA 5:1). ^1H NMR (400 MHz, Chloroform-*d*) δ 7.91 (d, $J = 9.2$ Hz, 1H), 7.80 (d, $J = 2.4$ Hz, 1H), 7.69 (dd, $J = 9.2, 2.4$ Hz, 1H), 7.45 (s, 1H), 7.35-7.31 (m, 7H), 7.23 (s, 2H), 7.08-7.02 (m, 3H), 6.89-6.85 (m, 2H), 2.93 (s, 3H, Z/E-CH₃C₄N₂). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 169.2, 165.0, 149.5, 140.2, 136.8, 135.8, 135.2, 132.9, 132.2, 129.9, 129.0, 128.9, 128.4, 128.4, 128.0, 126.8, 125.3, 122.6, 26.7. HRMS (ESI): [M+H]⁺ calculated for C₂₃H₁₇ClN₂: 357.1153, found: 357.1157.



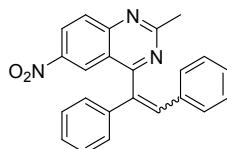
6-Bromo-4-(1,2-diphenylvinyl)-2-methylquinazoline (3fa)

Yellow solid (27.2 mg, 68%), mp: 111-113 °C, Z/E = 5.5:1. $R_f = 0.5$ (PE/EA 5:1). ^1H NMR (400 MHz, Chloroform-*d*) δ 7.97 (s, 1H), 7.85-7.78 (m, 2H), 7.46 (s, 1H), 7.37-7.28 (m, 6H), 7.23 (s, 1H), 7.01-7.00 (m, 3H), 6.92-6.83 (m, 2H), 2.92 (s, 3H, Z/E-CH₃C₄N₂). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.9, 165.0, 149.7, 140.0, 137.6, 136.6, 135.7, 132.1, 129.9, 128.8, 128.7, 128.5, 128.3, 128.2, 127.9, 126.6, 122.9, 120.7, 26.7. HRMS (ESI): [M+H]⁺ calculated for C₂₃H₁₇BrN₂: 401.0648, found: 401.0646.



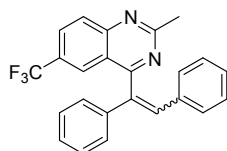
1-(4-(1,2-Diphenylvinyl)-2-methylquinazolin-6-yl)ethan-1-one (3ga)

Yellow solid (26.5 mg, 73%), mp: 127-129 °C, Z/E = 10:1. $R_f = 0.6$ (PE/EA 5:1). ^1H NMR (400 MHz, Chloroform-*d*) δ 8.40 (d, $J = 2.0$ Hz, 1H), 8.30 (dd, $J = 8.8, 2.0$ Hz, 1H), 7.99 (d, $J = 8.8$ Hz, 1H), 7.52 (s, 1H), 7.38-7.28 (m, 6H), 7.06-7.00 (m, 3H), 6.93-6.87 (m, 2H), 2.96 (s, 3H, Z/E-CH₃C₄N₂), 2.46 (s, 3H, Z/E-CH₃CO). ^{13}C NMR (150 MHz, Chloroform-*d*) δ 192.4, 167.3, 162.8, 136.5, 132.7, 131.6, 131.0, 128.5, 128.0, 124.8, 124.7, 124.6, 124.5, 124.3, 124.3, 123.9, 122.8, 116.9, 22.8, 22.4. HRMS (ESI): [M+H]⁺ calculated for C₂₅H₂₀N₂O: 365.1648, found: 365.1650.



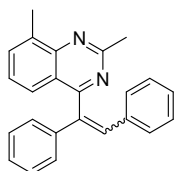
4-(1,2-Diphenylvinyl)-2-methyl-6-nitroquinazoline (3ha)

Yellow solid (17.2 mg, 47%), mp: 163-166 °C, Z/E = 3.6:1. $R_f = 0.4$ (PE/EA 5:1). ^1H NMR (400 MHz, Chloroform-*d*) δ 8.74 (d, $J = 2.0$ Hz, 1H), 8.49 (dd, $J = 8.8, 2.0$ Hz, 1H), 8.05 (d, $J = 8.8$ Hz, 1H), 7.56 (s, 1H), 7.38-7.30 (m, 7H), 7.24 (s, 1H), 7.05-7.01 (m, 3H), 7.05-6.99 (m, 2H), 2.99 (s, 3H, Z/E-CH₃C₄N₂). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 172.2, 168.1, 153.3, 145.5, 140.0, 136.4, 135.5, 133.1, 130.1, 128.9, 128.8, 128.5, 128.4, 128.1, 127.3, 126.8, 123.5, 120.7, 27.0. HRMS (ESI): [M+H]⁺ calculated for C₂₃H₁₇N₃O₂: 368.1394, found: 368.1395.



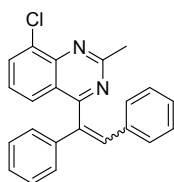
4-(1,2-Diphenylvinyl)-2-methyl-6-(trifluoromethyl)quinazoline (3ia)

Yellow solid (17.1 mg, 44%), mp: 87-90 °C, Z/E = 2.3:1. $R_f = 0.5$ (PE/EA 5:1). $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.14-8.01 (m, 2H), 7.95-7.88 (m, 1H), 7.52 (s, 1H), 7.36-7.30 (m, 5H), 7.24 (s, 2H), 7.05-6.99 (m, 2H), 6.88-6.85 (m, 1H), 2.97 (s, 3H, Z/E-CH₃C₄N₂). $^{13}\text{C NMR}$ (150 MHz, Chloroform-*d*) δ 170.9, 170.9, 166.6, 165.9, 152.6, 152.1, 141.0, 140.1, 138.3, 138.1, 136.5, 136.0, 135.6, 135.5, 132.7, 130.8, 130.2, 129.9, 129.7, 129.6, 129.5, 129.5, 129.4, 129.3, 129.0, 129.0, 128.9, 128.7, 128.7, 128.7 (q, $J = 33.0$ Hz), 128.3, 128.3, 128.2, 128.1, 127.8, 126.7, 124.8 (q, $J = 4.4$ Hz), 124.5 (q, $J = 4.4$ Hz), 123.3 (q, $J = 271.9$ Hz), 120.8, 120.4, 26.8, 26.7. $^{19}\text{F NMR}$ (376 MHz, Chloroform-*d*) δ -62.77. **HRMS (ESI):** [M+H]⁺ calculated for C₂₄H₁₇F₃N₂: 391.1417, found: 391.1418.



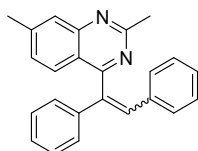
4-(1,2-Diphenylvinyl)-2,8-dimethylquinazoline (3ja)

Yellow oil (26.2 mg, 78%), Z/E = 6.8:1. $R_f = 0.7$ (PE/EA 5:1). $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.70 (d, $J = 8.4$ Hz, 1H), 7.61 (d, $J = 7.2$ Hz, 1H), 7.40 (s, 1H), 7.35-7.26 (m, 6H), 7.25-7.20 (m, 2H), 7.05-7.00 (m, 3H), 6.90-6.85 (m, 2H), 2.94 (s, 3H, Z/E-CH₃C₄N₂), 2.78 (s, 3H, Z/E-CH₃C₆H₃). $^{13}\text{C NMR}$ (100 MHz, Chloroform-*d*) δ 169.5, 163.5, 150.1, 140.5, 137.4, 136.3, 135.8, 133.9, 131.3, 128.9, 128.6, 128.2, 127.9, 127.5, 126.6, 124.1, 121.7, 26.8, 17.3. **HRMS (ESI):** [M+H]⁺ calculated for C₂₄H₂₀N₂: 337.1699, found: 337.1697.



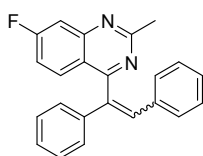
8-Chloro-4-(1,2-diphenylvinyl)-2-methylquinazoline (3ka)

Yellow solid (27.0 mg, 76%), mp: 59-61 °C, Z/E = 1.6:1. $R_f = 0.7$ (PE/EA 5:1). $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.90-7.84 (m, 2H), 7.79 (dd, $J = 8.4, 1.2$ Hz, 1H), 7.44 (s, 1H), 7.32-7.26 (m, 9H), 7.24-7.18 (m, 4H), 7.08-7.00 (m, 4H), 6.87-6.84 (m, 2H), 3.00 (s, 3H, Z/E-CH₃C₄N₂). $^{13}\text{C NMR}$ (100 MHz, Chloroform-*d*) δ 170.7, 170.2, 165.6, 164.8, 148.1, 147.7, 140.2, 138.3, 138.2, 136.8, 135.7, 135.5, 135.2, 133.8, 133.3, 132.5, 132.4, 131.9, 129.8, 129.5, 128.9, 128.8, 128.7, 128.3, 128.2, 128.1, 128.1, 128.0, 127.8, 126.9, 126.6, 126.1, 125.8, 125.4, 123.1, 122.7, 27.0, 27.0. **HRMS (ESI):** [M+H]⁺ calculated for C₂₃H₁₇ClN₂: 357.1153, found: 357.1153.



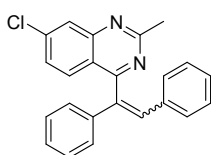
4-(1,2-Diphenylvinyl)-2,7-dimethylquinazoline (3la)

Yellow solid (29.2 mg, 87%), mp: 96-98 °C, Z/E = 2.7:1. R_f = 0.5 (PE/EA 5:1). ^1H NMR (400 MHz, Chloroform-*d*) δ 7.76-7.69 (m, 2H), 7.41 (s, 1H), 7.35-7.26 (m, 6H), 7.24-7.20 (m, 3H), 7.18 (d, J = 8.4 Hz, 1H), 7.07-6.99 (m, 3H), 6.91-6.85 (m, 2H), 2.91 (s, 3H, Z/E-CH₃C₄N₂), 2.52 (s, 1H, Z/E-CH₃C₆H₃). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 169.1, 164.6, 151.2, 145.2, 140.5, 138.7, 138.6, 137.2, 136.0, 135.8, 131.4, 129.8, 129.6, 129.5, 128.9, 128.7, 128.6, 128.2, 128.1, 128.0, 127.9, 127.6, 126.9, 126.6, 126.5, 126.1, 120.1, 119.6, 26.7, 22.2, 22.1. HRMS (ESI): [M+H]⁺ calculated for C₂₄H₂₀N₂: 337.1699, found: 337.1670.



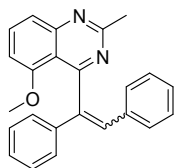
4-(1,2-Diphenylvinyl)-7-fluoro-2-methylquinazoline (3ma)

White solid (27.2 mg, 80%), mp: 162-165 °C, Z/E = 3.8:1. R_f = 0.5 (PE/EA 5:1). ^1H NMR (400 MHz, Chloroform-*d*) δ 7.84-7.72 (m, 3H), 7.39-7.36 (m, 6H), 7.24-7.18 (m, 2H), 7.07-7.03 (m, 4H), 6.88-6.84 (m, 2H), 2.91 (s, 3H, Z/E-CH₃C₄N₂). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 166.0 (d, J = 3.9 Hz), 165.1 (d, J = 1.7 Hz), 157.8 (d, J = 262.8 Hz), 152.3, 140.1 (d, J = 1.1 Hz), 139.9 (d, J = 2.2 Hz), 136.2, 136.0, 134.0 (d, J = 9.7 Hz), 133.8 (d, J = 10.1 Hz), 131.8 (d, J = 3.6 Hz), 130.1 (d, J = 3.6 Hz), 129.8, 129.6, 128.8, 128.5, 128.2, 128.1, 128.0, 127.8, 127.7, 127.6, 127.3, 126.6, 124.3 (d, J = 4.5 Hz), 113.8 (d, J = 12.3 Hz), 112.1 (d, J = 21.2 Hz), 26.5. ^{19}F NMR (376 MHz, Chloroform-*d*) δ -104.58, -108.21. HRMS (ESI): [M+H]⁺ calculated for C₂₃H₁₇FN₂: 341.1449, found: 341.1498.



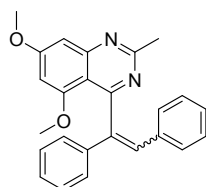
7-Chloro-4-(1,2-diphenylvinyl)-2-methylquinazoline (3na)

Yellow oil (22.4 mg, 63%), Z/E = 2.4:1. R_f = 0.7 (PE/EA 5:1). ^1H NMR (400 MHz, Chloroform-*d*) δ 7.96 (d, J = 2.0 Hz, 1H), 7.78 (d, J = 8.8 Hz, 1H), 7.43 (s, 1H), 7.35-7.27 (m, 8H), 7.24-7.15 (m, 2H), 7.08-7.01 (m, 3H), 6.89-6.84 (m, 2H), 2.92 (s, 3H, Z/E-CH₃C₄N₂). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 170.3, 169.7, 165.7, 164.9, 151.5, 140.3, 140.2, 138.3, 138.2, 136.7, 135.7, 135.6, 131.9, 129.9, 129.6, 128.9, 128.7, 128.3, 128.3, 128.2, 128.1, 128.1, 127.9, 127.8, 127.7, 127.1, 126.6, 120.3, 119.8, 26.7, 26.6. HRMS (ESI): [M+H]⁺ calculated for C₂₃H₁₇ClN₂: 357.1153, found: 357.1155.



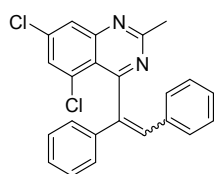
4-(1,2-Diphenylvinyl)-5-methoxy-2-methylquinazoline (3oa)

Yellow oil (24.9 mg, 71%), Z/E = 2.6:1. $R_f = 0.4$ (PE/EA 5:1). **$^1\text{H NMR}$ (400 MHz, Chloroform-*d*)** δ 7.73 (t, $J = 8.0$ Hz, 1H), 7.57 (d, $J = 8.0$ Hz, 1H), 7.29-7.26 (m, 3H), 7.25-7.21 (m, 2H), 7.21-7.16 (m, 2H), 7.10 (s, 1H), 7.07-7.01 (m, 3H), 6.90-6.82 (m, 2H), 6.74 (d, $J = 8.0$ Hz, 1H), 3.64 (s, 3H, Z/E-OCH₃), 2.83 (s, 3H, Z/E-CH₃C₄N₂). **$^{13}\text{C NMR}$ (100 MHz, Chloroform-*d*)** δ 168.7, 166.8, 164.5, 163.7, 156.4, 156.3, 153.0, 152.7, 142.4, 142.4, 140.8, 138.0, 136.8, 136.6, 134.4, 134.1, 129.7, 129.5, 129.4, 128.9, 128.2, 128.1, 128.0, 127.8, 127.3, 127.1, 126.9, 126.4, 120.0, 119.9, 115.5, 114.0, 106.1, 106.0, 55.6, 55.5, 26.5, 26.4. **HRMS (ESI):** $[\text{M}+\text{H}]^+$ calculated for C₂₄H₂₀N₂O: 353.1648, found: 353.1649.



4-(1,2-Diphenylvinyl)-5,7-dimethoxy-2-methylquinazoline (3pa)

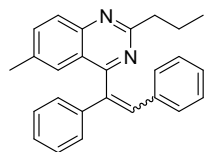
Yellow solid (31.7 mg, 83%), mp: 69-71 °C, Z/E = 1.2:1. $R_f = 0.3$ (PE/EA 5:1). **$^1\text{H NMR}$ (400 MHz, Chloroform-*d*)** δ 7.29-7.26 (m, 3H), 7.25-7.21 (m, 5H), 7.20-7.14 (m, 6H), 7.08-7.02 (m, 3H), 6.94-6.84 (m, 3H), 6.66 (s, 1H), 6.38 (d, $J = 1.6$ Hz, 1H), 6.33 (d, $J = 1.6$ Hz, 1H), 3.93 (s, 3H, Z/E-OCH₃), 3.93 (s, 3H, Z/E-OCH₃), 2.87 (s, 3H, Z/E-CH₃C₄N₂). **$^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*)** δ 167.6, 165.7, 165.1, 164.8, 164.7, 164.3, 157.6, 157.5, 155.0, 154.7, 142.3, 141.0, 138.1, 136.9, 136.7, 129.7, 129.5, 129.4, 128.8, 128.2, 128.0, 127.9, 127.8, 127.8, 127.2, 127.1, 126.9, 126.4, 111.7, 110.2, 99.2, 99.1, 98.8, 98.6, 55.8, 55.6, 55.5, 26.4, 26.3. **HRMS (ESI):** $[\text{M}+\text{H}]^+$ calculated for C₂₅H₂₂N₂O₂: 383.1754, found: 383.1754.



5,7-Dichloro-4-(1,2-diphenylvinyl)-2-methylquinazoline (3qa)

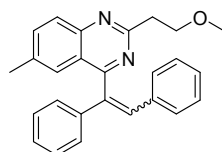
Yellow solid (31.2 mg, 80%), mp: 51-53 °C, Z/E = 1.9:1. $R_f = 0.6$ (PE/EA 5:1). **$^1\text{H NMR}$ (400 MHz, Chloroform-*d*)** δ 7.93 (d, $J = 2.0$ Hz, 1H), 7.46 (d, $J = 2.0$ Hz, 1H), 7.37-7.33 (m, 1H), 7.32-7.26 (m, 5H), 7.24-7.19 (m, 5H), 7.09-7.03 (m, 3H), 6.87-6.81 (m, 2H), 6.56 (s, 1H), 2.87 (s, 3H, Z/E-CH₃C₄N₂). **$^{13}\text{C NMR}$ (100 MHz, Chloroform-*d*)** δ 169.6, 167.7, 165.5, 164.6, 153.6, 153.2, 140.0, 139.8, 139.2, 139.1, 138.9, 137.4, 136.3, 136.1, 133.4, 132.5, 132.2, 130.5, 130.2, 130.0, 129.9, 129.4, 128.8, 128.5, 128.2, 128.2, 127.9, 127.8, 127.7, 127.4, 127.0, 126.9, 126.7, 119.9, 118.6, 26.3, 26.2. **HRMS (ESI):** $[\text{M}+\text{H}]^+$ calculated for C₂₃H₁₆Cl₂N₂: 391.0763,

found: 391.0761.



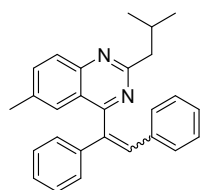
4-(1,2-Diphenylvinyl)-6-methyl-2-propylquinazoline (3ra)

Pale yellow solid (21.1 mg, 58%), mp: 80-82 °C, Z/E = 2.2:1. R_f = 0.6 (PE/EA 5:1). **¹H NMR (400 MHz, Chloroform-*d*)** δ 7.89 (d, J = 8.4 Hz, 1H), 7.76 (s, 1H), 7.66-7.55 (m, 2H), 7.37-7.27 (m, 6H), 7.24-7.20 (m, 6H), 7.08-6.95 (m, 3H), 6.90-6.85 (m, 1H), 3.10 (t, J = 7.6 Hz, 2H, Z/E-CH₂CH₂CH₃), 2.40 (s, 3H, Z/E-CH₃C₆H₃), 1.94 (m, 2H, Z/E-CH₂CH₃), 1.03 (t, J = 7.2 Hz, 3H, Z/E-CH₃CH₂). **¹³C NMR (100 MHz, Chloroform-*d*)** δ 169.5, 168.6, 166.9, 166.2, 149.5, 140.2, 138.7, 138.5, 137.5, 137.1, 136.5, 136.2, 136.0, 135.9, 135.7, 134.3, 131.3, 129.8, 129.6, 128.9, 128.6, 128.1, 127.9, 127.8, 127.5, 126.5, 125.4, 124.9, 122.1, 121.5, 41.8, 41.8, 22.6, 22.4, 21.8, 21.7, 14.0, 13.8. **HRMS (ESI):** [M+H]⁺ calculated for C₂₆H₂₄N₂: 365.2012, found: 365.2014.



4-(1,2-Diphenylvinyl)-2-(2-methoxyethyl)-6-methylquinazoline (3sa)

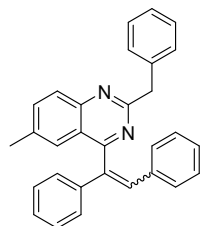
Yellow oil (22.8 mg, 60%), Z/E = 1.6:1. R_f = 0.4 (PE/EA 5:1). **¹H NMR (400 MHz, Chloroform-*d*)** δ 7.91 (d, J = 8.4 Hz, 2H), 7.62-7.59 (m, 1H), 7.58 (s, 1H), 7.43 (s, 1H), 7.38-7.30 (m, 5H), 7.30-7.27 (m, 3H), 7.23 (m, 3H), 7.08-6.97 (m, 4H), 6.91-6.84 (m, 2H), 3.91 (t, J = 6.8 Hz, 2H, Z/E-CH₂OCH₃), 3.41 (t, J = 6.8 Hz, 2H, Z/E-CH₂CH₂OCH₃), 3.30 (s, 3H, Z/E-CH₃OCH₂), 2.34 (s, 3H, Z/E-CH₃C₆H₃). **¹³C NMR (100 MHz, Chloroform-*d*)** δ 169.5, 168.7, 164.2, 163.3, 149.6, 140.3, 138.6, 137.3, 137.3, 136.7, 136.2, 136.0, 136.0, 135.7, 134.5, 131.3, 129.8, 129.6, 128.9, 128.6, 128.6, 128.2, 128.1, 128.1, 127.9, 127.8, 127.8, 127.5, 126.5, 125.4, 124.9, 122.2, 121.7, 71.3, 71.1, 58.7, 40.1, 39.8, 21.8, 21.7. **HRMS (ESI):** [M+H]⁺ calculated for C₂₆H₂₄N₂O: 381.1961, found: 381.1961.



4-(1,2-Diphenylvinyl)-2-isobutyl-6-methylquinazoline (3ta)

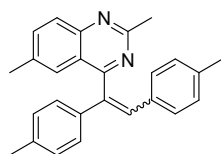
Yellow oil (31.0 mg, 82%), Z/E = 1.9:1. R_f = 0.7 (PE/EA 5:1). **¹H NMR (400 MHz, Chloroform-*d*)** δ 7.90 (d, J = 8.4 Hz, 1H), 7.60 (m, 2H), 7.44 (s, 1H), 7.38-7.26 (m, 7H), 7.24-7.19 (m, 3H), 7.07-6.96 (m, 4H), 6.92-6.85 (m, 2H), 3.01 (d, J = 7.2 Hz, 2H, Z/E-CH₂CH(CH₃)₂), 2.34 (s, 3H, Z/E-CH₃C₆H₃), 2.29 (m, 1H, Z/E-CH(CH₃)₂), 0.92 (d, J = 6.8 Hz, 6H, Z/E-(CH₃)₂CH). **¹³C NMR (100 MHz, Chloroform-*d*)** δ 169.5, 168.6, 166.4, 165.6, 149.8, 149.4, 140.2, 138.8, 138.6, 137.6, 137.1, 136.5, 136.2, 136.0, 136.0, 135.7, 134.2, 131.3, 129.8, 129.6, 128.9, 128.6, 128.6, 128.1, 128.1,

128.0, 127.9, 127.8, 127.5, 126.5, 125.4, 124.9, 122.1, 121.5, 48.8, 48.6, 29.0, 28.7, 22.5, 22.4, 21.8, 21.7. **HRMS (ESI):** $[M+H]^+$ calculated for $C_{27}H_{26}N_2$: 379.2169, found: 379.2172.



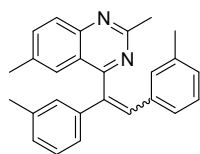
2-Benzyl-4-(1,2-diphenylvinyl)-6-methylquinazoline (3ua)

Yellow solid (30.9 mg, 75%), mp: 116-118 °C, Z/E = 6:1. $R_f = 0.6$ (PE/EA 5:1). **1H NMR (400 MHz, Chloroform-*d*)** δ 7.88 (d, $J = 8.4$ Hz, 1H), 7.61-7.52 (m, 2H), 7.42 (s, 1H), 7.38-7.26 (m, 8H), 7.23-7.10 (m, 5H), 7.04-6.99 (m, 1H), 6.94 (t, $J = 7.6$ Hz, 2H), 6.84 (d, $J = 7.6$ Hz, 2H), 4.48 (s, 2H, Z/E- $CH_2C_6H_5$), 2.32 (s, 3H, Z/E- $CH_3C_6H_3$). **^{13}C NMR (150 MHz, Chloroform-*d*)** δ 164.9, 161.1, 145.7, 136.1, 134.8, 133.3, 133.3, 132.2, 131.9, 127.2, 125.0, 124.8, 124.6, 124.3, 124.1, 123.9, 123.5, 122.5, 122.1, 120.8, 118.0, 42.1, 17.6. **HRMS (ESI):** $[M+H]^+$ calculated for $C_{30}H_{24}N_2$: 413.2012, found: 413.2010.



4-(1,2-Di-*p*-tolylvinyl)-2,6-dimethylquinazoline (3bb)

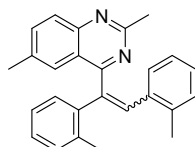
Yellow solid (30.9 mg, 85%), mp: 126-128 °C, Z/E = 6:1. $R_f = 0.4$ (PE/EA 5:1). **1H NMR (400 MHz, Chloroform-*d*)** δ 7.90-7.83 (m, 1H), 7.64-7.55 (m, 2H), 7.35 (s, 1H), 7.21 (d, $J = 8.0$ Hz, 2H), 7.10 (d, $J = 8.0$ Hz, 2H), 6.83 (d, $J = 8.0$ Hz, 2H), 6.73 (d, $J = 8.0$ Hz, 2H), 2.91 (s, 3H, Z/E- $CH_3C_4N_2$), 2.33 (s, 3H, Z/E- $CH_3C_6H_4$), 2.32 (s, 3H, Z/E- $CH_3C_6H_4$), 2.17 (s, 3H, Z/E- $CH_3C_6H_3$). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 169.3, 163.7, 149.5, 137.7, 137.4, 137.1, 136.4, 136.0, 130.4, 129.3, 128.9, 128.8, 127.6, 126.4, 125.1, 122.0, 26.6, 21.7, 21.2, 21.1. **HRMS (ESI):** $[M+H]^+$ calculated for $C_{26}H_{24}N_2$: 365.2012, found: 365.2010.



4-(1,2-Di-*m*-tolylvinyl)-2,6-dimethylquinazoline (3bc)

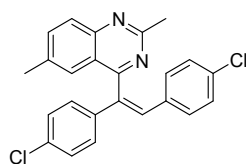
Yellow oil (29.1 mg, 80%), Z/E = 2:1. $R_f = 0.4$ (PE/EA 5:1). **1H NMR (400 MHz, Chloroform-*d*)** δ 7.91-7.78 (m, 2H), 7.68-7.56 (m, 2H), 7.37 (s, 1H), 7.23-7.13 (m, 3H), 7.13-6.98 (m, 4H), 6.92-6.81 (m, 2H), 6.78 (s, 1H), 6.59-6.51 (m, 1H), 2.92 (s, 3H, Z/E- $CH_3C_4N_2$), 2.34 (s, 3H, Z/E- $CH_3C_6H_4$), 2.31 (s, 3H, Z/E- $CH_3C_6H_4$), 2.10 (s, 3H, Z/E- $CH_3C_6H_3$). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 168.9, 168.0, 162.6, 162.1, 148.9, 148.5, 139.4, 137.4, 137.3, 137.2, 137.2, 136.6, 136.1, 136.0, 135.5, 135.3, 134.9, 134.8, 134.8, 133.2, 130.3, 129.6, 129.1, 129.0, 127.7, 127.6, 127.5, 127.5, 127.4, 127.3, 127.0, 126.9, 126.6, 126.5, 126.1, 125.7, 125.6, 124.6, 124.0.

122.8, 120.9, 120.4, 25.5, 20.8, 20.7, 20.5, 20.3, 20.3, 20.2. **HRMS (ESI):** $[M+H]^+$ calculated for $C_{26}H_{24}N_2$: 365.2012, found: 365.2011.



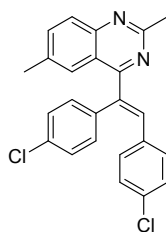
4-(1,2-Di-*o*-tolylvinyl)-2,6-dimethylquinazoline (3bd)

Yellow oil (16.3 mg, 45%), $Z/E = 1:1$. $R_f = 0.4$ (PE/EA 5:1). **1H NMR (400 MHz, Chloroform-*d*)** δ 7.87 (d, $J = 8.4$ Hz, 1H), 7.77 (s, 1H), 7.72 (d, $J = 8.4$ Hz, 1H), 7.63 (dd, $J = 8.4, 2.0$ Hz, 1H), 7.57 (s, 1H), 7.47 (dd, $J = 8.4, 2.0$ Hz, 1H), 7.38 (d, $J = 7.2$ Hz, 1H), 7.33 (s, 1H), 7.25-7.21 (m, 4H), 7.19-7.16 (m, 3H), 7.14-7.11 (m, 2H), 7.10-7.05 (m, 2H), 6.93-6.85 (m, 3H), 6.63-6.56 (m, 2H), 2.80 (s, 3H, Z/E - $CH_3C_4H_9$), 2.45 (s, 3H, Z/E - $CH_3C_6H_3$), 2.35 (s, 3H, Z/E - $CH_3C_6H_4$), 2.27 (s, 3H, Z/E - $CH_3C_6H_4$). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 169.3, 168.9, 163.4, 163.1, 150.3, 149.5, 141.9, 139.1, 138.8, 138.7, 137.1, 136.6, 136.4, 136.3, 136.3, 136.0, 135.9, 135.8, 135.7, 135.7, 134.9, 131.0, 130.9, 130.81, 130.2, 130.1, 129.8, 129.3, 129.0, 128.0, 127.9, 127.9, 127.8, 127.6, 126.0, 125.9, 125.5, 125.3, 125.2, 121.4, 121.2, 26.6, 26.5, 21.9, 21.6, 21.3, 20.3, 20.3, 20.3. **HRMS (ESI):** $[M+H]^+$ calculated for $C_{26}H_{24}N_2$: 365.2012, found: 365.2010.



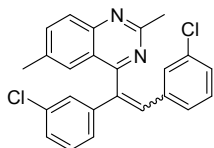
(*Z*)-4-(1,2-Bis(4-chlorophenyl)vinyl)-2,6-dimethylquinazoline (3be)

Yellow solid (14.9 mg, 37%), mp: 140-142 °C. $R_f = 0.5$ (PE/EA 5:1). **1H NMR (400 MHz, Chloroform-*d*)** δ 7.89 (d, $J = 8.8$ Hz, 1H), 7.64 (dd, $J = 8.8, 1.6$ Hz, 1H), 7.51 (s, 1H), 7.33 (s, 1H), 7.30-7.26 (m, 2H), 7.25-7.22 (m, 2H), 7.00 (d, $J = 8.4$ Hz, 2H), 6.78 (d, $J = 8.4$ Hz, 2H), 2.91 (s, 3H), 2.36 (s, 3H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 167.9, 163.7, 149.6, 138.5, 137.6, 136.7, 136.6, 134.1, 134.0, 133.6, 130.3, 130.0, 128.9, 128.5, 127.9, 127.8, 124.4, 121.6, 26.5, 21.7. **HRMS (ESI):** $[M+H]^+$ calculated for $C_{24}H_{18}Cl_2N_2$: 405.0920, found: 405.0920.



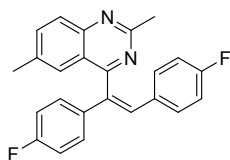
(*E*)-4-(1,2-Bis(4-chlorophenyl)vinyl)-2,6-dimethylquinazoline (3be')

Yellow solid (17.8 mg, 44%), mp: 131-134 °C. $R_f = 0.4$ (PE/EA 5:1). **1H NMR (400 MHz, Chloroform-*d*)** δ 7.88 (d, $J = 8.4$ Hz, 1H), 7.68-7.62 (m, 2H), 7.26-7.21 (m, 6H), 7.17-7.13 (m, 2H), 6.95 (s, 1H), 2.90 (s, 3H), 2.42 (s, 3H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 168.8, 162.9, 150.0, 138.0, 136.9, 136.6, 136.1, 134.1, 133.9, 133.6, 131.0, 130.8, 129.1, 128.5, 127.8, 125.0, 121.1, 26.4, 21.9. **HRMS (ESI):** $[M+H]^+$ calculated for $C_{24}H_{18}Cl_2N_2$: 405.0920, found: 405.0922.



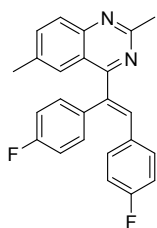
4-(1,2-Bis(3-chlorophenyl)vinyl)-2,6-dimethylquinazoline (3bf)

Yellow oil (28.7 mg, 71%), $Z/E = 1.7:1$. $R_f = 0.5$ (PE/EA 5:1). $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.89 (d, $J = 8.4$ Hz, 1H), 7.64 (dd, $J = 8.4, 2.0$ Hz, 1H), 7.51 (s, 1H), 7.36 (t, $J = 1.6$ Hz, 1H), 7.34 (s, 1H), 7.28-7.27 (m, 2H), 7.22-7.22 (m, 1H), 7.18-7.17 (m, 1H), 7.00 (t, $J = 1.6$ Hz, 1H), 6.93 (s, 1H), 6.63 (d, $J = 8.0$ Hz, 1H), 2.92 (s, 3H, $Z/E\text{-CH}_3\text{C}_4\text{N}_2$), 2.45 (s, 2H, $Z/E\text{-CH}_3\text{C}_6\text{H}_3$). $^{13}\text{C NMR}$ (100 MHz, Chloroform-*d*) δ 167.4, 163.6, 162.9, 149.6, 141.7, 139.6, 138.4, 137.6, 137.4, 137.3, 137.2, 137.1, 136.7, 136.2, 134.7, 134.6, 134.2, 134.1, 133.7, 130.8, 130.0, 129.9, 129.7, 129.5, 129.4, 129.3, 129.3, 128.4, 128.4, 128.2, 127.9, 127.8, 127.8, 127.7, 126.6, 126.5, 124.9, 124.4, 121.5, 121.1, 26.5, 26.4, 21.9, 21.7. **HRMS (ESI):** $[\text{M}+\text{H}]^+$ calculated for $\text{C}_{24}\text{H}_{18}\text{Cl}_2\text{N}_2$: 405.0920, found: 405.0921.



(Z)-4-(1,2-Bis(4-fluorophenyl)vinyl)-2,6-dimethylquinazoline (3bg)

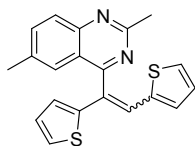
Yellow solid (17.1 mg, 46%), mp: 119-120 °C. $R_f = 0.4$ (PE/EA 5:1). $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.88 (d, $J = 8.8$ Hz, 1H), 7.64 (dd, $J = 8.8, 1.6$ Hz, 1H), 7.54 (s, 1H), 7.32-7.27 (m, 3H), 7.01 (t, $J = 8.8$ Hz, 2H), 6.86-6.81 (m, 2H), 6.72 (t, $J = 8.8$ Hz, 2H), 2.91 (s, 3H), 2.36 (s, 3H). $^{13}\text{C NMR}$ (100 MHz, Chloroform-*d*) δ 168.3, 163.8, 162.6 (d, $J = 248.4$ Hz), 161.9 (d, $J = 248.7$ Hz), 149.6, 137.5, 136.6, 136.4 (d, $J = 3.3$ Hz), 136.0 (d, $J = 1.4$ Hz), 131.9 (d, $J = 3.5$ Hz), 130.5 (d, $J = 8.1$ Hz), 130.0, 128.3 (d, $J = 8.1$ Hz), 127.8, 124.6, 121.6, 115.6 (d, $J = 21.7$ Hz), 115.3 (d, $J = 21.6$ Hz), 26.5, 21.7. $^{19}\text{F NMR}$ (376 MHz, Chloroform-*d*) δ -113.06, -113.62. **HRMS (ESI):** $[\text{M}+\text{H}]^+$ calculated for $\text{C}_{24}\text{H}_{18}\text{F}_2\text{N}_2$: 373.1511, found: 373.1512.



(E)-4-(1,2-Bis(4-fluorophenyl)vinyl)-2,6-dimethylquinazoline (3bg')

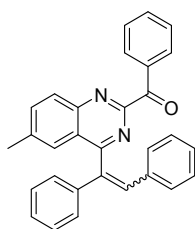
Yellow oil (14.1 mg, 38%), $R_f = 0.3$ (PE/EA 5:1). $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.88 (d, $J = 8.4$ Hz, 1H), 7.69 (s, 1H), 7.65 (dd, $J = 8.4, 2.0$ Hz, 1H), 7.32-7.28 (m, 2H), 7.22-7.16 (m, 2H), 7.01-6.92 (m, 5H), 2.90 (s, 3H), 2.42 (s, 3H). $^{13}\text{C NMR}$ (100 MHz, Chloroform-*d*) δ 169.1, 162.6, 162.3 (d, $J = 248.4$ Hz), 162.2 (d, $J = 248.8$ Hz), 150.0 (d, $J = 2.3$ Hz), 137.5, 137.4, 136.7, 135.9, 134.2 (d, $J = 3.6$ Hz), 131.8 (d, $J = 3.4$ Hz), 131.5 (d, $J = 8.0$ Hz), 131.4 (d, $J = 8.0$ Hz), 127.8, 125.2, 121.2, 115.9 (d, $J = 21.5$ Hz), 115.3 (d, $J = 21.5$ Hz). 26.5, 21.8. $^{19}\text{F NMR}$ (376 MHz, Chloroform-*d*) δ -112.73, -113.10. **HRMS (ESI):** $[\text{M}+\text{H}]^+$ calculated for $\text{C}_{24}\text{H}_{18}\text{F}_2\text{N}_2$:

373.1511, found: 373.1511.



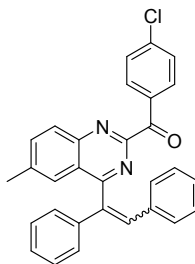
4-(1,2-Di(thiophen-2-yl)vinyl)-2,6-dimethylquinazoline (3bh)

Yellow oil (18.0 mg, 52%), Z/E = 15:1. R_f = 0.6 (PE/EA 5:1). $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.32 (s, 1H), 7.66 (d, J = 5.2 Hz, 1H), 7.40-7.30 (m, 3H), 7.28-7.27 (m, 1H), 7.14 (d, J = 3.2 Hz, 1H), 7.10 (d, J = 8.0 Hz, 2H), 7.01-6.96 (m, 1H), 2.30 (s, 3H), 1.26 (s, 3H). $^{13}\text{C NMR}$ (150 MHz, Chloroform-*d*) δ 164.2, 138.9, 135.5, 135.5, 135.0, 134.4, 134.2, 131.4, 130.5, 130.0, 129.9, 129.7, 129.0, 127.1, 123.8, 120.3, 30.0, 21.2. **HRMS (ESI):** $[M+H]^+$ calculated for $\text{C}_{20}\text{H}_{16}\text{N}_2\text{S}$: 349.0828, found: 349.0823.



4-(1,2-Diphenylvinyl)-6-methylquinazolin-2-yl(phenyl)methanone (4aa)

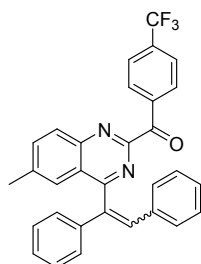
Yellow solid (20.0 mg, 47%), mp: 85-87 °C, Z/E = 3.3:1. R_f = 0.4 (PE/EA 5:1). $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.14 (d, J = 8.4 Hz, 1H), 7.84-7.79 (m, 1H), 7.79-7.71 (m, 2H), 7.53-7.48 (m, 2H), 7.47 (s, 1H), 7.44-7.38 (m, 1H), 7.37-7.27 (m, 8H), 7.23 (s, 2H), 7.15-7.06 (m, 3H), 6.93 (d, J = 6.8 Hz, 2H), 2.43 (s, 3H, Z/E- $\text{CH}_3\text{C}_6\text{H}_3$). $^{13}\text{C NMR}$ (100 MHz, Chloroform-*d*) δ 191.9, 191.7, 169.7, 168.6, 158.5, 157.4, 149.7, 149.3, 140.1, 140.0, 139.4, 138.6, 138.0, 137.7, 137.6, 137.0, 136.5, 136.1, 135.7, 135.3, 134.6, 133.2, 133.2, 132.4, 131.2, 131.1, 131.0, 130.8, 130.5, 129.8, 129.7, 129.6, 129.5, 129.4, 129.2, 128.9, 128.8, 128.7, 128.4, 128.2, 128.2, 128.1, 128.1, 127.9, 127.7, 126.7, 125.5, 125.2, 124.1, 22.1, 22.0. **HRMS (ESI):** $[M+H]^+$ calculated for $\text{C}_{30}\text{H}_{22}\text{N}_2\text{O}$: 427.1805, found: 427.1806.



(4-Chlorophenyl)(4-(1,2-diphenylvinyl)-6-methylquinazolin-2-yl)methanone(4ab)

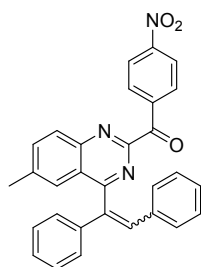
Yellow solid (25.7 mg, 56%), mp: 60-62 °C, Z/E = 2.4:1. R_f = 0.4 (PE/EA 5:1). $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.15 (d, J = 8.8 Hz, 1H), 8.04 (d, J = 8.8 Hz, 1H), 7.77-7.71 (m, 4H), 7.48 (s, 1H), 7.35-7.31 (m, 7H), 7.25-7.21 (m, 4H), 7.14-7.08 (m, 3H), 6.91 (d, J = 7.2 Hz, 2H), 2.44 (s, 3H, Z/E- $\text{CH}_3\text{C}_6\text{H}_3$). $^{13}\text{C NMR}$ (100 MHz, Chloroform-*d*) δ 190.5, 190.3, 169.8, 168.6, 157.9, 156.8, 149.8, 149.3, 140.3, 140.1, 139.7, 139.7, 139.6, 138.7, 137.9, 137.7, 137.2, 136.6, 136.1, 136.0, 135.6, 134.1,

133.8, 132.7, 132.5, 132.4, 130.5, 129.9, 129.7, 129.6, 129.6, 129.4, 129.2, 128.9, 128.8, 128.7, 128.4, 128.4, 128.4, 128.2, 128.2, 128.0, 127.8, 126.7, 125.5, 125.2, 124.3, 123.3, 22.1, 22.0. **HRMS (ESI):** $[M+H]^+$ calculated for $C_{30}H_{21}ClN_2O$: 461.1415, found: 461.1415.



(4-(1,2-Diphenylvinyl)-6-methylquinazolin-2-yl)(4-(trifluoromethyl)phenyl)methanone (4ac)

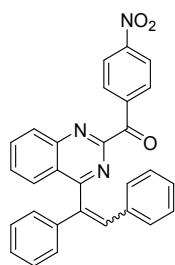
Yellow solid (38.0 mg, 77%), mp: 55-57 °C, Z/E = 3:1. R_f = 0.5 (PE/EA 5:1). **1H NMR (400 MHz, Chloroform-*d*)** δ 8.20-8.15 (m, 2H), 7.93-7.89 (m, 2H), 7.77 (dd, J = 8.8, 2.0 Hz, 1H), 7.74-7.71 (m, 1H), 7.68-7.62 (m, 2H), 7.52 (d, J = 8.8 Hz, 3H), 7.49-7.45 (m, 1H), 7.34-7.31 (m, 6H), 7.23 (s, 1H), 7.14-7.11 (m, 3H), 6.94-6.90 (m, 2H), 2.44 (s, 3H, Z/E- $CH_3C_6H_5$). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 190.7, 190.6, 171.3, 171.1, 169.9, 168.8, 158.8, 157.3, 156.2, 149.8, 149.3, 140.6, 140.1, 140.0, 138.7, 138.7, 138.4, 138.4, 137.9, 137.7, 137.3, 136.7, 136.2, 136.1, 135.6, 134.1 (q, J = 32.6 Hz), 132.7, 131.5, 131.3, 130.5, 129.9, 129.8, 129.7, 129.4, 129.2, 129.07, 128.9, 128.8, 128.7, 128.6, 128.4, 128.3, 128.3, 128.2, 128.2, 128.0, 127.8, 126.6, 126.1 (q, J = 3.9 Hz), 125.9, 125.5, 125.3, 125.0 (q, J = 3.9 Hz), 124.9, 124.4, 123.6 (q, J = 271.0 Hz), 116.3, 22.2, 22.0. **^{19}F NMR (376 MHz, Chloroform-*d*)** δ -63.21. **HRMS (ESI):** $[M+H]^+$ calculated for $C_{31}H_{21}F_3N_2O$: 495.1679, found: 495.1677.



(4-(1,2-Diphenylvinyl)-6-methylquinazolin-2-yl)(4-nitrophenyl)methanone (4ad)

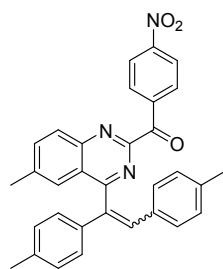
Yellow solid (40.0 mg, 85%), mp: 63-65 °C, Z/E = 1:1. R_f = 0.4 (PE/EA 5:1). **1H NMR (400 MHz, Chloroform-*d*)** δ 8.24-8.20 (m, 3H), 8.17 (t, J = 8.0 Hz, 2H), 8.11-8.04 (m, 3H), 7.96-7.90 (m, 2H), 7.83 (dd, J = 8.8, 2.0 Hz, 1H), 7.80 (dd, J = 8.8, 2.0 Hz, 1H), 7.74 (s, 1H), 7.49 (s, 1H), 7.39-7.28 (m, 11H), 7.25-7.21 (m, 5H), 7.20-7.12 (m, 4H), 6.94-6.89 (m, 2H), 2.46 (s, 3H, Z/E- $CH_3C_6H_5$). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 190.1, 189.9, 170.0, 168.8, 156.8, 155.7, 150.0, 149.9, 149.3, 140.9, 140.8, 140.5, 140.4, 140.1, 138.8, 137.8, 137.7, 137.4, 136.9, 136.48, 136.14, 135.50, 132.84, 132.20, 132.00, 129.91, 129.84, 129.78, 128.93, 128.9, 128.8, 128.5, 128.3, 128.3, 128.2, 128.1, 127.9, 126.6, 125.5, 125.3, 124.5, 123.5, 123.4, 123.1, 123.0, 22.2, 22.1. **HRMS (ESI):** $[M+H]^+$ calculated for $C_{30}H_{21}N_3O_3$: 472.1656, found:

472.1657.



(4-(1,2-Diphenylvinyl)quinazolin-2-yl)(4-nitrophenyl)methanone (4ae)

Yellow solid (33.3 mg, 73%), mp: 60-64 °C, Z/E = 1.3:1. $R_f = 0.4$ (PE/EA 5:1). $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.30-8.27 (m, 2H), 8.11-8.07 (m, 2H), 8.12-8.08 (m, 2H), 8.03-7.96 (m, 3H), 7.95-7.91 (m, 2H), 7.70 (dd, $J = 8.4, 6.8$, Hz, 1H), 7.62 (dd, $J = 8.4, 6.8$, Hz, 1H), 7.50 (s, 1H), 7.38-7.31 (m, 7H), 7.30-7.27 (m, 3H), 7.24-7.22 (m, 3H), 7.19-7.12 (m, 4H), 6.91 (d, $J = 7.2$ Hz, 2H). $^{13}\text{C NMR}$ (100 MHz, Chloroform-*d*) δ 190.0, 189.9, 171.0, 169.9, 157.5, 156.4, 151.3, 150.7, 150.1, 150.0, 140.7, 140.3, 140.1, 138.7, 137.7, 137.6, 136.9, 136.0, 135.4, 135.0, 134.6, 133.1, 132.2, 131.9, 130.1, 130.1, 129.9, 129.8, 129.6, 128.9, 128.8, 128.5, 128.5, 128.4, 128.3, 128.2, 127.9, 126.9, 126.8, 126.7, 124.5, 123.4, 123.2, 123.1. **HRMS (ESI):** $[\text{M}+\text{H}]^+$ calculated for $\text{C}_{29}\text{H}_{19}\text{N}_3\text{O}_3$: 458.1499, found: 458.1497.



(4-(1,2-Di-*p*-tolylvinyl)-6-methylquinazolin-2-yl)(4-nitrophenyl)methanone (4af)

Yellow oil (40.4 mg, 81%), Z/E = 4.4:1. $R_f = 0.5$ (PE/EA 5:1). $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.21-8.15 (m, 2H), 8.08 (d, $J = 8.8$ Hz, 2H), 7.94 (d, $J = 8.8$ Hz, 2H), 7.79 (dd, $J = 8.8, 2.0$ Hz, 1H), 7.74 (s, 1H), 7.41 (s, 1H), 7.17-7.10 (m, 6H), 6.94 (d, $J = 8.0$ Hz, 2H), 6.78 (d, $J = 8.0$ Hz, 2H), 2.45 (s, 3H, Z/E- $\text{CH}_3\text{C}_6\text{H}_3$), 2.34 (s, 3H, Z/E- $\text{CH}_3\text{C}_6\text{H}_4$), 2.27 (s, 3H, Z/E- $\text{CH}_3\text{C}_6\text{H}_4$). $^{13}\text{C NMR}$ (150 MHz, Chloroform-*d*) δ 190.5, 169.6, 157.2, 150.3, 149.6, 141.1, 140.8, 138.5, 138.1, 137.8, 137.6, 137.0, 136.6, 133.7, 132.5, 132.4, 132.2, 130.2, 130.0, 130.0, 129.9, 129.8, 129.5, 129.3, 129.2, 126.7, 125.8, 124.9, 123.4, 123.3, 22.4, 21.5, 21.5. **HRMS (ESI):** $[\text{M}+\text{H}]^+$ calculated for $\text{C}_{32}\text{H}_{25}\text{N}_3\text{O}_3$: 500.1969, found: 500.1968.

VII. References

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VIII. NMR Spectra of Coupled Products

