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Note

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Rhodium(III)-Catalyzed Direct Coupling of Quinoline-8-Carbaldehydes with (Het)Arylboronic Acids for the Synthesis of 8-Aryloylquinolines

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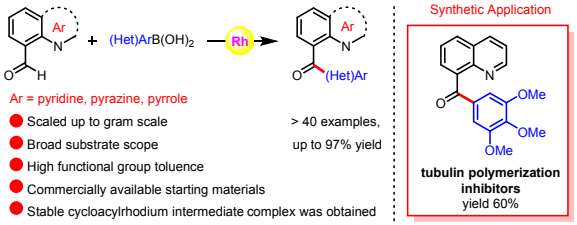
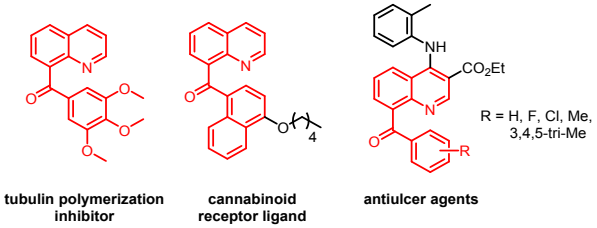


ABSTRACT: Herein, we describe a method for the synthesis of aryl-(het)aryl ketones by Rh(III)-catalyzed direct coupling between quinoline-8-carbaldehydes and (het)arylboronic acids. The method has broad substrate scope, a high functional group tolerance, uses commercially available starting materials. Scale-up of the reaction and subsequent synthesis of tubulin polymerization inhibitor demonstrated its utilities. A plausible mechanism was proposed on the basis of the fact that a stable cycloacylrhodium intermediate complex could be used as catalyst and the complex reacted stoichiometrically with (het)arylboronic acids.

Ketones are present in many pharmaceuticals, agrochemicals, and natural products.[1] Of particular interest is the 8-aryloylquinoline moiety, which is found in a variety of biologically active molecules; representative compounds include tubulin polymerization inhibitors,[2] cannabinoid receptor ligands,[3] and antiulcer agents[4] (Scheme 1). Duo to the prevalence of biologically active ketones, tremendous efforts have been devoted to the development of methods for ketones' synthesis[5,6]. Many of the classical methods relied on transition-metal-catalyzed coupling reaction of carboxylic acid derivatives with organometallic compounds such as organotin,[5a] organozinc,[5b] organobismuth,[5c] organomercury,[5d] organoboron,[5e,f] and Grignard reagents,[5g] or on direct coupling reaction of acyl chlorides with organoantimony reagents.[5h] Other methods included palladium-catalyzed carbonylative coupling reaction between aryl electrophiles and organotin,[6a] organofluorosilanes,[6b] and organoboron[6c] reagents.

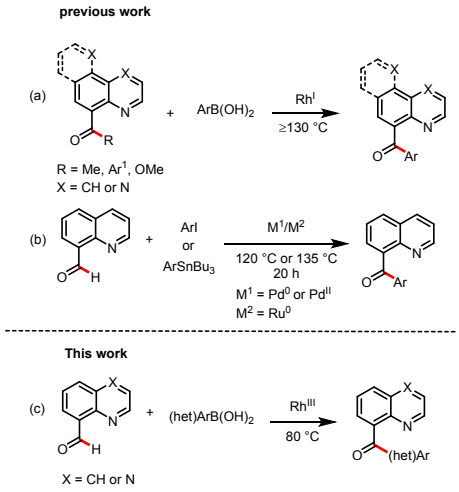
Scheme 1. Biologically active compounds containing an 8-aryloylquinoline moiety.



Recently, several researchers synthesized aryl ketones by means of mild, atom-economical transition-metal-catalyzed direct arylation of aldehydes *via* C(sp^2)–H bond activation.[7,8] For

example, Miura et al.[7a] reported a protocol for palladium-catalyzed coupling reaction of salicylaldehydes with aryl iodides to give the corresponding 2-aroylphenols. Inspired by this work, Peng and Tan and colleagues[7b] developed a method for palladium-catalyzed annulation between salicylaldehydes and 1,2-dibromoarenes to synthesize xanthones. Cui et al.[7c] achieved Rh(III)-catalyzed C(sp^2)–H arylation of salicylaldehydes, which used arylboronic acids as arylation reagents. Li et al. reported Rh(III)-catalyzed aldehydic C(sp^2)–H arylation of *N*-sulfonyl 2-aminobenzaldehydes, in which oxygenated allylic olefins served as coupling partners[7d], and they also obtained Ir(III)/Rh(III)-catalyzed formyl arylation products by using diaryliodonium salts as the aryl source[7e].Wang et al.[9a,b] and Johnson et al.[9c] independently reported the formation of ketones from unactivated esters by means of Rh(I)-catalyzed chelation-assisted C–O bond activation, but high temperature (≥130 °C) was required, and phenylboronic acids were the only applicable substrates (Scheme 2a). Despite the utilities of these reactions were described, the atom economy was relatively low, and more efficient methods have been developed. Genet et al.[10a] reported a method for direct access to ketones from aldehydes *via* Rh(I)-catalyzed cross-coupling reaction with organometallic reagents. In addition, Chang et al.[10b] reported a method, which cooperated Ru(0) and Pd(0 or II) catalysis for the direct coupling of quinoline-8-carbaldehydes with iodoarenes or organostannanes to form 8-aryloylquinolines, but the reaction also required high temperature (135 °C) (Scheme 2b). Herein, we report the first protocol for Rh(III)-catalyzed direct coupling of (het)arylboronic acids with quinoline-8-carbaldehydes to afford aryl-(het)aryl ketones from commercially available starting materials under relatively mild conditions (Scheme 2c).

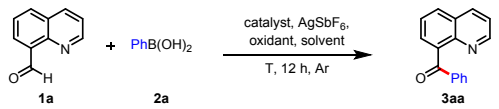
Scheme 2. Transition-metal-catalyzed heterocyclic *N*-directed synthesis of aryl-aryl ketones.



We performed our initial investigations with quinoline-8-carbaldehyde (**1a**) and phenylboronic acid (**2a,** 2 equiv) as substrates, $[Cp^*RhCl_2]_2$ (2.5 mol%) as the catalyst, $AgSbF_6$ (10 mol%) as an additive, and $Cu(OAc)_2$ (200 mol%) as an oxidant in 1,2-dichloroethane (DCE) (0.1 mmol/mL) at 80 °C for 12 h produced desired product **3aa** in an isolated yield of 90% (Table 1, entry 1), so we used them as starting point for further optimization. When the reaction was carried out in air without an added $Cu(OAc)_2$ (Table 1, entry 2), **3aa** was not obtained; and the yield in air was greatly reduced when the amount of $Cu(OAc)_2$ was decreased (Table 1, entries 3−4). When the reaction was carried out under argon, decreasing the amount of $Cu(OAc)_2$ to 150 or 100 mol% also decreased the yield of **3aa** (to 81% and 70%, respectively; Table 1, entries 5−6). In addition, the yield of **3aa** decreased when the reaction temperature was decreased to 60 °C

(Table 1, entry 7). Screening of various solvents (MeCN, MeOH, 1,4-dioxane, and DMF) revealed DCE to be the best solvent (Table 1, compare entry 1 with entries 8–11). The yield of **3aa** decreased to 76% in the absence of $AgSbF_6$ (Table 1, entry 12). Finally, we found that when the rhodium catalyst was replaced with a different transition metal catalyst, $[Cp^*IrCl_2]_2$ or $[(p\text{-cymene})RuCl_2]_2$, **3aa** was obtained in only 12% and 18% yields respectively (Table 1, entries 13−14); and catalytic $Pd(OAc)_2$ afforded only a trace of **3aa** (Table 1, entry 15). Taken together, these results indicated the optimal reaction conditions to be as follows: 2.5 mol% $[Cp^*RhCl_2]_2$, 10 mol% $AgSbF_6$, and 200 mol% $Cu(OAc)_2$ in DCE (0.1 mmol/mL) at 80 °C for 12 h under argon.

Table 1. Optimization of reaction conditions[a]



1a 2a 3aa

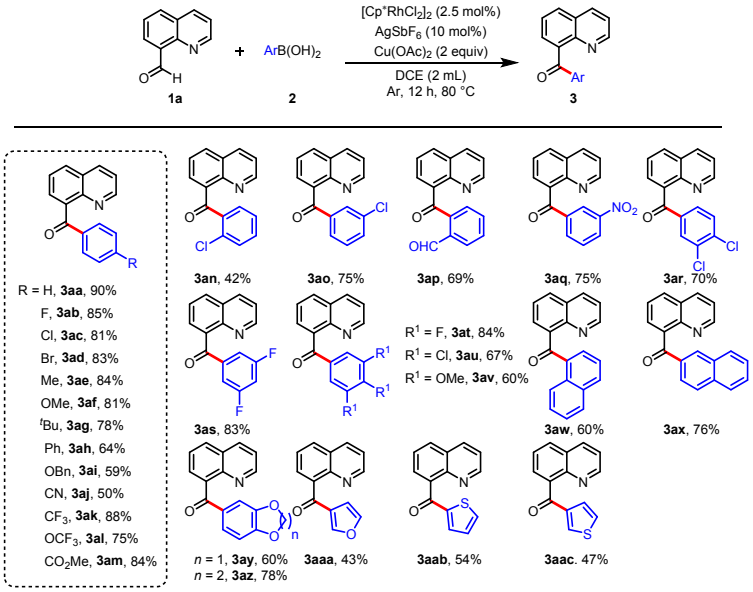
Entry	Catalyst	Oxidant (equiv)	Solvent	Yield (%)[b]
1	$[Cp^*RhCl_2]_2$	$Cu(OAc)_2$ (2.0)	DCE	90
2[c]	$[Cp^*RhCl_2]_2$	–	DCE	NR
3[c]	$[Cp^*RhCl_2]_2$	$Cu(OAc)_2$ (2.0)	DCE	73
4[c]	$[Cp^*RhCl_2]_2$	$Cu(OAc)_2$ (0.5)	DCE	41
5	$[Cp^*RhCl_2]_2$	$Cu(OAc)_2$ (1.5)	DCE	81
6	$[Cp^*RhCl_2]_2$	$Cu(OAc)_2$ (1.0)	DCE	70
7[d]	$[Cp^*RhCl_2]_2$	$Cu(OAc)_2$ (2.0)	DCE	75
8	$[Cp^*RhCl_2]_2$	$Cu(OAc)_2$ (2.0)	MeCN	NR
9	$[Cp^*RhCl_2]_2$	$Cu(OAc)_2$ (2.0)	MeOH	48
10	$[Cp^*RhCl_2]_2$	$Cu(OAc)_2$ (2.0)	1,4-dioxane	62
11	$[Cp^*RhCl_2]_2$	$Cu(OAc)_2$ (2.0)	DMF	30
12[e]	$[Cp^*RhCl_2]_2$	$Cu(OAc)_2$ (2.0)	DCE	76
13	$[Cp^*IrCl_2]_2$	$Cu(OAc)_2$ (2.0)	DCE	12
14	$[(p\text{-cymene})RuCl_2]_2$	$Cu(OAc)_2$ (2.0)	DCE	18
15	$Pd(OAc)_2$	$Cu(OAc)_2$ (2.0)	DCE	Trace

[a]Reaction conditions: quinoline-8-carbaldehyde (**1a**, 0.2 mmol), phenylboronic acid (**2a**, 0.4 mmol), $[Cp^*RhCl_2]_2$ (2.5 mol%), $AgSbF_6$ (10 mol%), and $Cu(OAc)_2$ in 2 mL of solvent were allowed to react at 80 °C for 12 h under argon. [b]Isolated yields are provided; NR = no reaction. [c]Under air. [d]T = 60 °C. [e]No $AgSbF_6$.

Having optimized the reaction conditions, we turned our attention to exploration of the reactions of a wide range of (het)arylboronic acids **2** with quinoline-8-carbaldehyde (**1a**) (Scheme 3). The reaction of **1a** with phenylboronic acids substituted with an electron-donating or -withdrawing group at the *para*- position (4-F, 4-Cl, 4-Br, 4-Me, 4-OMe, 4-^{t}Bu, 4-Ph, 4-OBn, 4-CN, 4-CF_3, 4-OCF_3, or 4-CO_2Me) afforded corresponding aryl ketones **3ab**–**3am** in moderate to good yields (50–88%); the structure of **3ah** was confirmed by X-ray crystallography (CCDC 1966228, for more details, see the Supporting Information). Interestingly, 4-CF_3-substituted substrate **2k** gave an 88% yield under the standard conditions. In addition, substrates with a Cl substituent at the *ortho*- or *meta*- position of the phenylboronic acid were also tolerated, affording **3an** (42%) and **3ao** (75%). Additionally, substrates with useful –CHO and –NO_2 functional groups were well tolerated, affording corresponding products **3ap** and **3aq** in 69% and 75% yields, respectively. Furthermore, *di*-substituted (**2r** and **2s**) and *tri*-substituted (**2t**, **2u, and 2v**) phenylboronic acids smoothly provided the desired products in 60–84% yields. Notably, 1-naphthyl- and 2-naphthyl-substituted boronic acids were also compatible with the reaction

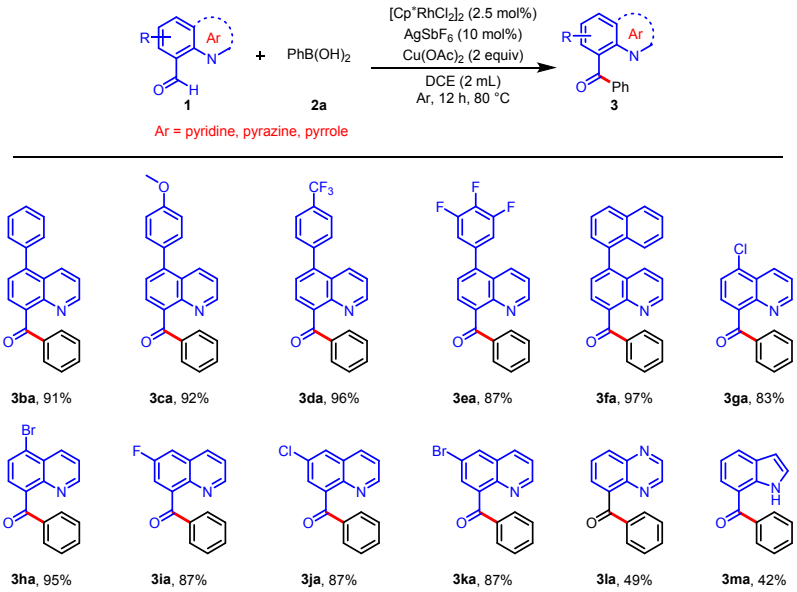
conditions, delivering **3aw** and **3ax** in 60% and 76% yields, respectively. Finally, piperonyl, 1,4-benzodioxyl, furyl, and thienyl boronic acids also coupled with **1a** to afford **3ay**, **3az**, and **3aaa**–**3aac** in moderate yields.

Scheme 3. Scope of the reaction with respect to the (het)arylboronic acids.



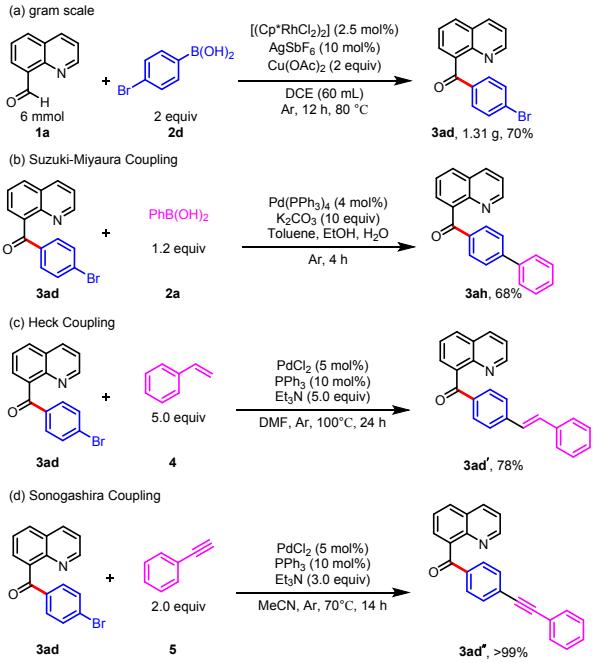
Next, we explored the scope of the reaction with respect to the aldehydes by carrying out reactions of phenylboronic acid **2a** with various aldehydes **1** (Scheme 4). The reactions of **2a** with 5-phenyl, 5-(*p*-OMe)-phenyl, and 5-(*p*-CF_3)-phenyl quinoline-8-carboxaldehyde gave **3ba**, **3ca**, and **3da** in excellent yields (91–96%); and when C5 of the quinoline-8-carboxaldehyde was substituted with a 3,4,5-trifluorophenyl group, **3ea** was obtained in 87% yield. Of all the tested aldehydes, 5-(2-naphthyl)quinoline-8-carboxaldehyde **1f** gave the best yield (97%). Substrates with a halogen atom at C5 or C6 also gave the corresponding products (**3ga**–**3ka**) in good to excellent yields. It is worth mentioning that in addition to quinoline-8-carbaldehydes, 5-quinoxalinecarboxaldehyde **1l** and indole-7-carboxaldehyde **1m** were also compatible with the reaction conditions, coupling with **2a** to afford **3la** and **3ma** in acceptable yields.

Scheme 4. Scope of the reaction with respect to aldehydes.



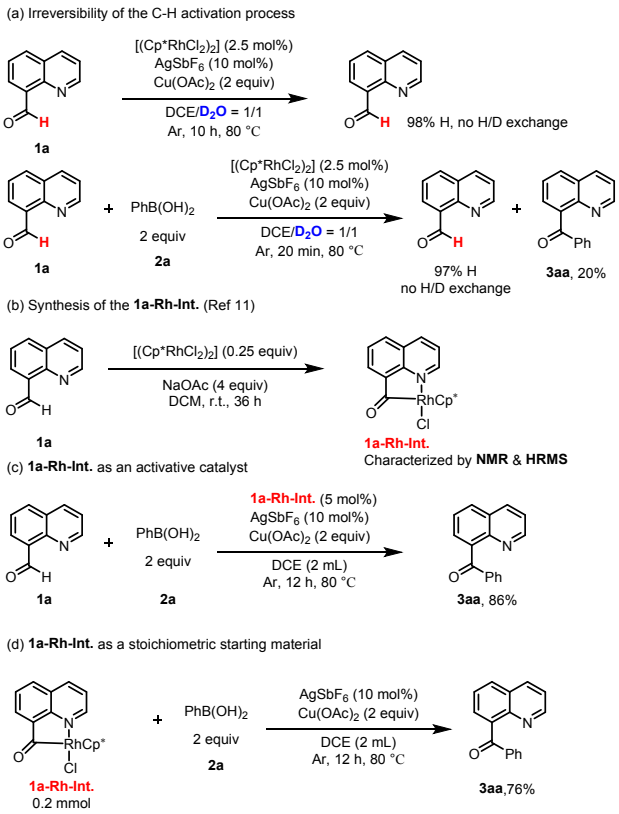
To test the utilities of the protocol, we synthesized **3ad** on a gram scale and carried out various subsequent transformations (Scheme 5). Under optimized conditions, reaction of **1a** and **2d** on a 6.0 mmol scale gave 1.31 g (70% yield) of **3ad** (Scheme 5a). Subjecting **3ad** to a Suzuki–Miyaura cross-coupling reaction with phenylboronic acid **2a** afforded **3ah** in 68% yield (Scheme 5b). **3ad** also could undergo a palladium-catalyzed Heck reaction with styrene and a Sonogashira cross-coupling reaction with phenylacetylene to generate conjugated compounds **3ad′** and **3ad″** in 78% and >99% yields, respectively (Scheme 5c,d).

Scheme 5. Gram-scale synthesis of **3ad** and subsequent transformations.



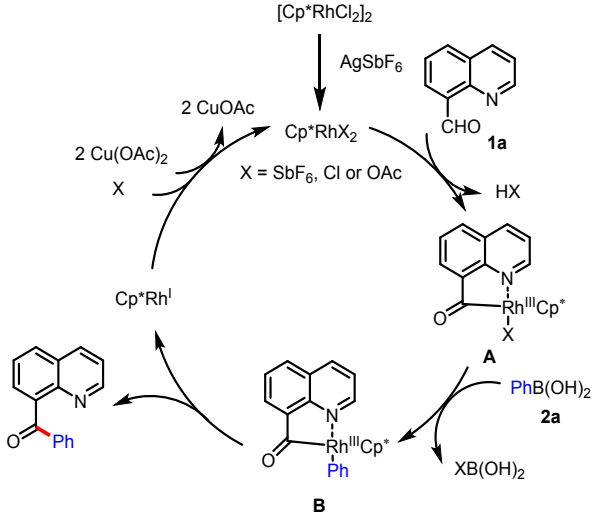
Finally, we conducted a series of mechanistic experiments (Scheme 6). To determine whether the C–H activation step was reversible, we subjected quinoline-8-carbaldehyde (**1a**) to the standard conditions in the absence of (het)arylboronic acid in 1:1 DCE/D_2O (Scheme 6a). ^1H NMR spectroscopy revealed that under these conditions, no H/D exchange occurred at the aldehydic C–H. Furthermore, when the arylation reaction of **1a** and **2a** was carried out in 1:1 DCE/D_2O, **1a** was recovered, and no H/D exchange was found (Scheme 6a). These results suggest that the C–H activation step was almost completely irreversible. To capture the metal intermediate involved in the reaction, we treated **1a** with a stoichiometric amount of $[Cp^*RhCl_2]_2$ in DCM at room temperature, which allowed us to isolate desired metal complex **1a-Rh-Int**[11] (Scheme 6b). This complex could replace $[Cp^*RhCl_2]_2$ as the catalyst in the reaction of **1a** and **2a**, giving **3aa** in 86% yield under otherwise standard conditions (Scheme 6c). Furthermore, stoichiometric **1a-Rh-Int** could directly react with **2a** in the absence of **1a** to afford **3aa** in 76% yield (Scheme 6d). These control experiments indicated that **1a-Rh-Int** may be the active catalytic species.

Scheme 6. Mechanistic studies.



On the basis of the above-described preliminary mechanistic results and previous literature, the *ortho* chelation assistance was involved in the reaction[7,11,12,13], and a plausible mechanism for the coupling of **1a** with **2a** is shown in Scheme 7. Firstly, with the assistance of $AgSbF_6$, the $[Cp^*RhCl_2]_2$ dimer was converted to a cationic specie, which activateed the aldehydic C(sp^2)–H bond *via* chelation with the nitrogen atom of **1a**, resulting in the formation of the key five-membered-ring cycloacylrhodium intermediate **A**[11,13]. Then, transmetalation of **A** with **2a** afforded intermediate **B**. Finally, a C–C bond reductive elimination of **B** occurred, which furnished desired coupling product **3aa** and a Rh(I) species. The Rh(I) specie underwent $Cu(OAc)_2$-mediated oxidation to regenerate Rh(III)[7c,14,15], completing the catalytic cycle.

Scheme 7. Proposed mechanism.



CONCLUSIONS: In summary, we have developed a protocol for Rh(III)-catalyzed direct

coupling reactions of quinoline-8-carbaldehydes with (het)arylboronic acids to synthesize 8-aryloylquinolines under relatively mild reaction conditions. Quinoline-8-carbaldehyde with various substituents reacted smoothly with the (het)arylboronic acids to give the corresponding products in moderate to excellent yields. The reaction could be carried out on a gram scale, and the products underwent subsequent useful transformations. We expect that this protocol will serve as a powerful tool for the synthesis of complex arylated molecules, particularly for medicinal chemistry and materials science research.

EXPERIMENTAL SECTION

General Procedure for Synthesis of 1h. A 100 mL round bottom flask was charged with 5-bromo-8-methylquinoline (300 mg, 1.35 mmol, 1.0 equiv), *N*-bromosuccinimide (721 mg, 4.05 mmol, 3.0 equiv), 2,2'-azobis(2-methylpropionitrile) (AIBN) (18.9 mg, 0.115 mmol, 0.085 equiv.) and 1,2-dicholoethane (5 mL). The mixture was allowed to heat to reflux in a heat block for 5 hours and then cool to room temperature. The mixture was diluted by DCM (20 mL) and neutralized by 1M NaOH (10 mL). The organic layer was separated, and washed by 1M NaOH, water and brine. The organic extracts were dried over Na_2SO_4 and concentrated under reduced pressure. The residue was then refluxed with 10 mL of water in a heat block for 5 hours under Ar. Upon done, the mixture was cooled to room temperature, neutralized by 1M NaOH (10 mL), extracted with diethyl ether and washed with water and brine. The combined organic extracts were dried over Na_2SO_4 and concentrated under reduced pressure. The residue was purified by flash column chromatography on silica gel to give the title compounds **1h** as a white solid (287 mg, 89%).

General Procedure for Synthesis of 1b, 1c, 1d, 1e, 1f. 5-bromoquinoline-8-carbaldehyde **1h** (1.0 equiv), arylboronic acid (1.5 equiv), $Pd(OAc)_2$ (4 mol%) and Cs_2CO_3 (6.4 equiv), TBAB(1.0 equiv) were charged into a 100 mL of Schlenk tube under air. The mixture was then evacuated and backfilled with Ar (3 times), toluene (7.0 mL/mmol), EtOH (3.2 mL/mmol) and H_2O (3.2 mL/mmol) were added subsequently with syringe. The resulting suspension was heated in a heat block under reflux for 4 h. After cooling, ethyl acetate (10 mL) and water (10 mL) were added and the organic phase was separated. The water phase was extracted with ethyl acetate (3×10 mL). The combined organic phases were washed with brine, dried over Na_2SO_4, filtered over a short plug of celite, and the solvent was removed under reduced pressure, the residue was purified by silica gel chromatography using PE/EA (10:1) to afford the title compound **1b, 1c, 1d, 1e, 1f.**

General Procedure for Synthesis of 1g, 1i, 1j, 1k. According to the procedure described by O'Murchu et al[15]. Glycerine (1.2 mmol) was added over a period of 0.5 h to a solution of substituted *o*-toluidine (1 mmol), NaI (0.013 mmol) and 80% H_2SO_4 (4.5 mmol) at 140 °C in a heat block. The reaction mixture was allowed to stir at the same temperature for 6 h. Next the mixture was neutralized with 25% aq. NaOH solution (6.83 mmol) and pH was adjusted to 9 10 and extracted with toluene (30 mL×3). The organic extracts were dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The crude product was purified by column chromatography on silica gel with hexane/ ethyl acetate as eluant. Pure 8-methylquinoline derivatives were obtained with 80-90% yield. Then by using the same synthetic method as 1h, 8-methylquinoline derivatives get the corresponding product **1g, 1i, 1j, 1k.**

General Procedure for Synthesis of 3. (take 8-formylquinoline as an example). 8-Formylquinoline (0.2 mmol), arylboronic acid (0.4 mmol), $[Cp^*RhCl_2]_2$ (2.5 mol%), $AgSbF_6$ (10 mol%) and $Cu(OAc)_2$ (0.4 mmol) were charged into a 25 mL of Schlenk tube under air. The

mixture was then evacuated and backfilled with Ar (3 times), 1,2-dichloroethane (2.0 mL) were added subsequently. The Schlenck tube was screw capped stirred at 80 °C in a heat block for 12 h. After the solvent was removed under reduced pressure, the residue was purified by silica gel chromatography using PE/EA (20:1– 5:1) to afford compound **3**.

Synthesis of the 1a-Rh-Int. According to the procedure described by Li et al.[11] 8-Formylquinoline (157 mg, 1 mmol), $[Cp^*RhCl_2]_2$ (155 mg, 0.25 mmol, 0.25 equiv) and NaOAc (328 mg, 4.00 mmol, 4 equiv) were weighed into a 25 mL Schlenk tube, to which DCM (6 mL) was added. The mixture was stirred at room temperature for 36 h. The mixture was filtered through a pad of Celite. All the volatiles were removed under reduced pressure and the solid residue was washed with diethyl ether to give a crude product, which was recrystallized in DCM/diethyl ether to give the dark brown solid.

Gram scale. 8-Formylquinoline (6.0 mmol), 4-bromophenylboronic acid (12.0 mmol), $[Cp^*RhCl_2]_2$ (2.5 mol%), $AgSbF_6$ (10 mol%) and $Cu(OAc)_2$ (12.0 mmol) were charged into a 100 mL of Schlenk tube under air. The mixture was then evacuated and backfilled with Ar (3 times), 1,2-dichloroethane (60 mL) were added subsequently. The Schlenck tube was screw capped stirred at 80 °C in a heat block for 12 h. After the solvent was removed under reduced pressure, the residue was purified by silica gel chromatography using PE/EA (15:1– 10:1) to afford the desired compound **3ad** with yield of 70%.

Suzuki-Miyaura Coupling. (4-Bromophenyl)(quinolin-8-yl)methanone (1.0 mmol), phenylboronic acid (1.2 mmol), $Pd(PPh_3)_4$ (4 mol%) and K_2CO_3 (10 equiv) were charged into a 100 mL of Schlenk tube under air. The mixture was then evacuated and backfilled with Ar (3 times), toluene (5.0 mL), EtOH (5.0 mL) and H_2O (5.0 mL) were added subsequently with syringe. The resulting suspension was heated under reflux in a heat block for 4 h. After cooling, ethyl acetate (10 mL) and water (10 mL) were added and the organic phase was separated. The water phase was extracted with ethyl acetate (2×10 mL). The combined organic phases were washed with brine, dried over Na_2SO_4, filtered over a short plug of celite, and the solvent was removed under reduced pressure, the residue was purified by silica gel chromatography using PE/EA (10:1) to afford compound **3ah** with 68% yield.

Heck Coupling. (4-Bromophenyl)(quinolin-8-yl)methanone (0.5 mmol), styrene (2.5 mmol), $PdCl_2$ (5 mol%) and PPh_3 (10 mol%) were charged into a 100 mL of Schlenk tube under air. The mixture was then evacuated and backfilled with Ar (3 times), DMF (10 mL) and Et_3N (347 μL) were added subsequently with syringe. The resulting suspension was heated to 100 ºC in a heat block for 24 h. After cooling, ethyl acetate (10 mL) and water (10 mL) were added and the organic phase was separated. The water phase was extracted with ethyl acetate (2×10 mL). The combined organic phases were washed with water (2×10 mL) and brine, dried over Na_2SO_4, filtered over a short plug of celite, and the solvent was removed under reduced pressure, the residue was purified by silica gel chromatography using PE/EA (10:1- 5:1) to afford compound **3ad'** with 78% yield.

Sonogashira Coupling. (4-Bromophenyl)(quinolin-8-yl)methanone (0.5 mmol), styrene (1.0 mmol), $PdCl_2$ (5 mol%) and PPh_3 (10 mol%) were charged into a 100 mL of Schlenk tube under air. The mixture was then evacuated and backfilled with Ar (3 times), MeCN (10 mL) and Et_3N (208 μL) were added subsequently with syringe. The resulting suspension was heated to 70ºC in a heat block for 14 h. Then the solvent was removed under reduced pressure, the residue was purified by silica gel chromatography using PE/EA (5:1) to afford compound **3ad''** with >99%

yield.

Characterization of starting materials:

5-Phenylquinoline-8-carbaldehyde **(1b)** White solid, m.p. 126-128 °C, yield 96%, R_f = 0.50 (hexanes/EtOAc 10:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.49 (s, 1H), 9.05 (dd, J = 4.0, 1.6 Hz, 1H), 8.36 (d, J = 7.6 Hz, 1H), 8.31 (dd, J = 8.8, 1.6 Hz, 1H), 7.64 (d, J = 7.6 Hz, 1H), 7.56 – 7.40 (m, 6H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 192.8, 151.1, 148.1, 147.1, 138.7, 134.9, 130.9, 129.9, 128.9, 128.8, 128.6, 127.1, 126.8, 121.8. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{16}H_{12}NO$ $[M+H]^+$ 234.0914 found, 234.0914.

5-(4-Methoxyphenyl)quinoline-8-carbaldehyde **(1c)** Light yellow solid, m.p. 152-154 °C, m.p. 159ºC. yield 73%, R_f = 0.50 (hexanes/EtOAc 5:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.48 (s, 1H), 9.04 (dd, J = 4.0, 1.6 Hz, 1H), 8.36 (d, J = 7.6 Hz, 2H), 7.62 (d, J = 7.2 Hz, 1H), 7.46 (dd, J = 8.8, 4.0 Hz, 1H), 7.41 (dt, J = 8.8, 2.8Hz, 2H), 7.07(dt, J = 8.8, 2.8Hz, 2H), 3.91 (s, 3H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 192.8, 160.0, 151.1, 148.3, 146.9, 135.0, 131.2, 131.0, 130.6, 129.0, 127.1, 127.0, 121.7, 114.3, 55.6. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{17}H_{14}NO_2$ $[M+H]^+$ 264.1019 found, 264.1022.

5-(4-(tri-Fluoromethyl)phenyl)quinoline-8-carbaldehyde **(1d)** Light yellow solid, m.p. 92-94 °C, yield 94%, R_f = 0.40 (hexanes/EtOAc 10:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.49 (s, 1H), 9.07 (dd, J = 4.0, 1.6 Hz, 1H), 8.37 (d, J = 7.6 Hz, 1H), 8.22 (dd, J = 8.8, 1.6 Hz, 1H), 7.81 (d, J = 8.0 Hz, 2H), 7.62 (dd, J = 13.6, 7.6 Hz, 3H), 7.49 (dd, J = 8.8, 4.0 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 191.6, 150.3, 147.0, 144.1, 141.3, 133.3, 130.5, 129.7(q, J = 32.5 Hz) 129.2, 127.7, 126.2, 125.6, 124.8 (q, J = 3.5 Hz), 123.1 (q, J = 270.6 Hz), 121.1. **^{19}F{^{1}H} NMR** (376 MHz, $CDCl_3$) δ -63.09 (s, 3F). **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{17}H_{11}F_3NO$ $[M+H]^+$ 302.0787 found, 302.0790.

5-(3,4,5-tri-Fluorophenyl)quinoline-8-carbaldehyde **(1e)** White solid, m.p. 198-200 °C, yield 80%, R_f = 0.40 (hexanes/EtOAc 10:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.48 (s, 1H), 9.09 (dd, J = 4.0, 1.6 Hz, 1H), 8.35 (d, J = 7.2 Hz, 1H), 8.23 (dd, J = 8.8, 1.6 Hz, 1H), 7.61 (d, J = 7.2 Hz, 1H), 7.53 (dd, J = 8.4, 4.0 Hz, 1H), 7.17 – 7.07 (m, 2H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 192.5, 151.5, 151.4 (ddd, J = 250.7, 9.9, 4.1Hz), 148.0, 143.3, 138.8 (d, J = 15.0 Hz), 134.6 (td, J = 7.5, 4.8 Hz), 133.9, 131.8, 128.7, 127.3, 126.4, 122.3, 114.26 (dd, J = 15.7, 6.1 Hz). **^{19}F{^{1}H} NMR** (376 MHz, $CDCl_3$) δ -138.90 – -139.54 (m, 2F), -166.29 (s, 1F). **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{16}H_9F_3NO$ $[M+H]^+$288.0631 found, 288.0633.

5-(Naphthalen-1-yl)quinoline-8-carbaldehyde **(1f)** Yellow solid, m.p. 135-137 °C, yield 90%, R_f = 0.45 (hexanes/EtOAc 10:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.57 (s, 1H), 9.04 (dd, J = 4.0, 1.6 Hz, 1H), 8.44 (d, J = 7.2 Hz, 1H), 7.99 (dd, J = 14.4, 8.4 Hz, 2H), 7.82 (dd, J = 8.4, 1.6 Hz, 1H), 7.72 (d, J = 7.2 Hz, 1H), 7.65 – 7.58 (m, 1H), 7.51 (dd, J = 10.8, 4.0 Hz, 1H), 7.46 (d, J = 7.2 Hz, 1H), 7.37 – 7.27 (m, 3H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 192.8, 151.3, 147.7, 145.7, 136.2, 135.2, 133.6, 132.3, 131.4, 129.0, 128.9, 128.5, 128.2, 128.1, 127.9, 126.7, 126.3, 125.9, 125.4, 121.8. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{20}H_{14}NO$ $[M+H]^+$284.1070 found, 284.1069.

5-Chloroquinoline-8-carbaldehyde **(1g)** White solid, m.p. 129-131 °C, yield 80%, R_f = 0.30 (hexanes/EtOAc 15:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.40 (s, 1H), 9.10 (dd, J = 4.0, 1.6 Hz, 1H), 8.66 (dd, J = 8.8, 1.6 Hz, 1H), 8.26 (d, J = 8.0 Hz, 1H), 7.77 (d, J = 8.0 Hz, 1H), 7.63 (dd, J = 8.4, 4.0 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 192.1, 152.0, 148.2, 138.1, 133.3, 130.9, 129.3, 126.8, 126.5, 122.7. **Mass Spectrometry: HRMS - ESI** (m/z)

calcd for $C_{10}H_7ClNO$ $[M+H]^+$ 192.0211 found, 192.0210.

5-Bromoquinoline-8-carbaldehyde **(1h)** White solid, m.p. 128-130 °C, yield 89% (287 mg), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.42 (s, 1H), 9.07 (d, J = 3.6 Hz, 1H), 8.63 (d, J = 8.4 Hz, 1H), 8.17 (d, J = 8.0 Hz, 1H), 7.99 (d, J = 7.6 Hz, 1H), 7.62 (dd, J = 8.8, 4.0 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 192.3, 152.0, 148.1, 136.0, 131.5, 130.5, 129.5, 129.3, 127.9, 123.0. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{10}H_7BrNO$ $[M+H]^+$ 235.9706 found, 235.9707, 236.9737, 237.9688 and 238.9720. The compound is new compound.

6-Fluoroquinoline-8-carbaldehyde **(1i)** White solid, m.p. 98-100 °C, yield 43%, R_f = 0.30 (hexanes/EtOAc 15:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.39 (d, J = 3.2 Hz, 1H), 9.01 (dd, J = 4.0, 1.6 Hz, 1H), 8.19 (dd, J = 8.4, 1.6 Hz, 1H), 8.05 (dd, J = 8.4, 2.8 Hz, 1H), 7.70 (dd, J = 8.4, 2.8 Hz, 1H), 7.53 (dd, J = 8.4, 4.0 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 191.6, 160.2 (d, J = 249.0 Hz), 150.7 (d, J = 2.6 Hz), 144.8, 135.7 (d, J = 5.3 Hz), 134.0 (d, J = 7.1 Hz), 129.8 (d, J = 8.9 Hz), 122.7, 118.8 (d, J = 26.1 Hz), 117.2(d, J = 21.8 Hz). **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{10}H_7FNO$ $[M+H]^+$176.0506 found, 176.0507.

6-Chloroquinoline-8-carbaldehyde **(1j)** White solid, m.p. 159-161 °C, yield 86%, R_f = 0.30 (hexanes/EtOAc 15:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.35 (s, 1H), 9.02 (dd, J = 4.4, 1.6 Hz, 1H), 8.20 (d, J = 2.4 Hz, 1H), 8.15 (dd, J = 8.4, 1.6 Hz, 1H), 8.02 (d, J = 2.4 Hz, 1H), 7.52 (dd, J = 8.4, 4.0 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 191.5, 151.6, 145.9, 135.5, 133.0, 132.8, 132.4, 129.9, 129.5, 122.8. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{10}H_7ClNO$ $[M+H]^+$ 192.0210, found, 192.0212.

6-Bromoquinoline-8-carbaldehyde **(1k)** Light yellow solid, m.p. 156-158 °C, yield 90%, R_f = 0.25 (hexanes/EtOAc 15:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.38 (s, 1H), 9.06 (dd, J = 4.4, 2.0 Hz, 1H), 8.37 (d, J = 2.0 Hz, 1H), 8.24 (d, J = 2.0 Hz, 1H), 8.17 (dd, J = 8.4, 1.6 Hz, 1H), 7.54 (dd, J = 8.4, 4.4 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 191.4, 151.7, 146.2, 135.8, 135.4, 133.1, 132.6, 130.0, 122.8, 120.7. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{10}H_7BrNO$ $[M+H]^+$ 235.9706 found, 235.9705, 236.9733, 237.9686, 238.9712.

Characterization of products

Phenyl(quinolin-8-yl)methanone **(3aa)** White solid, m.p. 92-94 °C, yield 90% (42.0 mg), R_f = 0.15 (hexanes/EtOAc 15:1 (v/v)). **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.83 (dd, J = 4.0, 1.6 Hz, 1H), 8.21 (dd, J = 8.4, 1.6 Hz, 1H), 7.96 (dd, J = 8.4, 1.2 Hz, 1H), 7.89 – 7.80 (m, 2H), 7.74 (dd, J = 7.2, 1.2 Hz, 1H), 7.67 – 7.60 (m, 1H), 7.55 (t, J = 7.2 Hz, 1H), 7.45 – 7.36 (m, 3H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 198.1, 151.0, 146.2, 139.4, 137.8, 136.1, 133.4, 130.3, 129.8, 128.5, 128.33, 128.31, 126.0, 121.8. **Mass Spectrometry: HRMS - ESI** (m/z): calcd for $C_{16}H_{12}NO$ $[M+H]^+$ 234.0913, found, 234.0917. The compound data is in agreement with the literature.[10b]

(4-Fluorophenyl)(quinolin-8-yl)methanone **(3ab)** White solid, m.p. 115-117 °C, yield 85% (43.0 mg), R_f = 0.20 (hexanes/EtOAc 20:1 (v/v)). **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.84 (dd, J = 4.0, 1.6 Hz, 1H), 8.22 (dd, J = 8.4, 1.6 Hz, 1H), 7.97 (dd, J = 8.4, 1.2 Hz, 1H), 7.91 – 7.80 (m, 2H), 7.74 (dd, J = 7.2, 1.2 Hz, 1H), 7.64 (dd, J = 8.0, 7.2 Hz, 1H), 7.43 (dd, J = 8.0, 4.0 Hz, 1H), 7.12 – 7.03 (m, 2H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 196.5, 166.0 (d, J = 253.6 Hz), 151.0, 146.1, 139.1, 136.2, 134.4 (d, J = 2.7 Hz), 133.0 (d, J = 9.5 Hz), 130.0, 128.39, 128.35, 126.1, 121.9, 115.6 (d, J = 21.8 Hz). **^{19}F{^{1}H} NMR** (376 MHz, $CDCl_3$) δ -104.81 (s, 1F). **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{16}H_{11}FNO$ $[M+H]^+$ 252.0819, found, 252.0824. The compound is new compound.

(4-Chlorophenyl)(quinolin-8-yl)methanone **(3ac)** White solid, m.p. 152-153 °C, yield 81% (43.3 mg), R_f = 0.25 (hexanes/EtOAc 20:1 (v/v)). **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.83 (dd, J = 4.0, 1.6 Hz, 1H), 8.22 (dd, J = 8.4, 1.6 Hz, 1H), 7.98 (dd, J = 8.4, 1.2 Hz, 1H), 7.78 – 7.73 (m, 3H), 7.64 (dd, J = 8.0, 7.2 Hz, 1H), 7.43 (dd, J = 8.4, 4.0 Hz, 1H), 7.41 – 7.33 (m, 2H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 196.9, 151.0, 146.2, 139.8, 138.9, 136.4, 136.2, 131.7, 130.2, 128.8, 128.5, 128.3, 126.1, 121.9. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{16}H_{11}ClNO$ $[M+H]^+$ 268.0524, found, 268.0527. The compound is new compound.

(4-Bromophenyl)(quinolin-8-yl)methanone **(3ad)** White solid, m.p. 155-157 °C, yield 83% (51.9 mg), R_f = 0.25 (hexanes/EtOAc 20:1 (v/v)). **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.82 (dd, J = 4.0, 1.6 Hz, 1H), 8.22 (dd, J = 8.4, 1.6 Hz, 1H), 7.97 (dd, J = 8.4, 1.2 Hz, 1H), 7.74 (dd, J = 6.8, 1.2 Hz, 1H), 7.72 – 7.57 (m, 3H), 7.61 – 7.51 (m, 2H), 7.42 (dd, J = 8.4, 4.0 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 197.1, 151.0, 146.1, 138.9, 136.8, 136.2, 131.8, 131.7, 130.2, 128.62, 128.56, 128.3, 126.1, 121.9. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{16}H_{11}BrNO$ $[M+H]^+$ 312.0019, found, 312.0024, 313.0049, 314.0003 and 315.0033. The compound is new compound.

Quinolin-8-yl(p-tolyl)methanone **(3ae)** White solid, m.p. 110-112 °C, mp 112ºC, yield 84% (41.8 mg), R_f = 0.15 (hexanes/EtOAc 20:1 (v/v)). **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.84 (dd, J = 4.0, 1.6 Hz, 1H), 8.21 (dd, J = 8.4, 1.6 Hz, 1H), 7.95 (dd, J = 8.0, 0.8 Hz, 1H), 7.75-7.71 (m, 3H), 7.64 – 7.60 (m, 1H), 7.41 (dd, J = 8.4, 4.0 Hz, 1H), 7.21 (d, J = 8.0 Hz, 2H), 2.39 (s, 3H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 197.7, 151.0, 146.2, 144.3, 139.7, 136.1, 135.4, 130.5, 129.7, 129.2, 128.3, 128.2, 126.0, 121.7, 21.9. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{17}H_{14}NO$ $[M+H]^+$ 248.1070 found, 248.1074. The compound data is in agreement with the literature.[10b]

(4-Methoxyphenyl)(quinolin-8-yl)methanone **(3af)** White solid, m.p. 121-123 °C,, yield 81% (42.5 mg), R_f = 0.05 (hexanes/EtOAc 15:1 (v/v)). **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.85 (dd, J = 4.0, 1.6 Hz, 1H), 8.20 (dd, J = 8.0, 1.6 Hz, 1H), 7.93 (dd, J = 8.4, 1.2 Hz, 1H), 7.83-7.80 (m, 2H), 7.71 (dd, J = 7.2, 1.2 Hz, 1H), 7.63 – 7.60 (m, 1H), 7.41 (dd, J = 8.4, 4.4 Hz, 1H), 6.88 (d, J = 8.8 Hz, 2H), 3.84 (s, 3H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 196.6, 163.9, 151.0, 146.2, 139.8, 136.1, 132.7, 131.0, 129.5, 128.3, 128.1, 126.0, 121.7, 113.7, 55.6. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{17}H_{14}NO_2$ $[M+H]^+$ 264.1019 found, 264.1023. The compound data is in agreement with the literature. [10b]

(4-(tert-Butyl)phenyl)(quinolin-8-yl)methanone **(3ag)** White solid, m.p. 105-107 °C, yield 78% (45.1 mg), R_f = 0.20 (hexanes/EtOAc 20:1 (v/v)). **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.86 (dd, J = 4.0, 1.6 Hz, 1H), 8.21 (dd, J = 8.4, 1.6 Hz, 1H), 7.95 (dd, J = 8.0, 1.2 Hz, 1H), 7.80 – 7.77 (m, 2H), 7.71 (dd, J = 7.2, 1.6 Hz, 1H), 7.62 (dd, J = 8.4, 7.6 Hz, 1H), 7.44 – 7.40 (m, 3H), 1.32 (s, 9H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 197.7, 157.2, 151.0, 146.2, 139.8, 136.2, 135.2, 130.4, 129.6, 128.3, 128.1, 126.0, 125.5, 121.7, 35.3, 31.2. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{20}H_{20}NO$ $[M+H]^+$ 290.1539 found, 290.1536. The compound is new compound.

[1,1'-Biphenyl]-4-yl(quinolin-8-yl)methanone **(3ah)** White solid, m.p. 177-179 °C, yield 64% (39.6 mg), R_f = 0.05 (hexanes/EtOAc 15:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.87 (s, 1H), 8.23 (d, J = 8.4 Hz, 1H), 7.98 (d, J = 8.0 Hz, 1H), 7.92 (d, J = 8.0 Hz, 2H), 7.78 (d, J = 6.8 Hz, 1H), 7.64 (dd, J = 17.2, 8.8 Hz, 5H), 7.47-7.36 (m, 4H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 197.7, 151.0, 146.2, 146.0, 140.1, 139.5, 136.6, 136.2, 130.9, 129.8, 129.0, 128.34,

128.28, 127.4, 127.2, 126.0, 121.8. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{22}H_{16}NO$ $[M+H]^+$ 310.1226 found, 310.1223. It was recrystallized in DCM to give analytically pure **3ah**. The compound is new compound.

(4-(Benzyloxy)phenyl)(quinolin-8-yl)methanone **(3ai)** Colorless oil, yield 59% (40.3 mg), R_f = 0.10 (hexanes/EtOAc 10:1 (v/v)). **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.86 (dd, J = 3.6, 1.2 Hz, 1H), 8.21 (dd, J = 8.0, 1.6 Hz, 1H), 7.94 (dd, J = 8.0, 0.8 Hz, 1H), 7.82 (d, J = 9.2 Hz, 2H), 7.71 (dd, J = 7.6, 1.6 Hz, 1H), 7.63 – 7.60 (m, 1H), 7.43 – 7.33 (m, 6H), 6.96 (d, J = 9.2 Hz, 2H), 5.11 (s, 2H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 196.5, 162.9, 150.9, 146.1, 139.7, 136.2, 136.1, 132.7, 131.1, 129.4, 128.7, 128.2, 128.0, 127.5, 125.9, 121.6, 114.5, 70.2. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{23}H_{18}NO_2$ $[M+H]^+$ 340.1332 found, 340.1335. The compound is new compound.

4-(Quinoline-8-carbonyl)benzonitrile **(3aj)** White solid, m.p. 171-173 °C, yield 50% (25.7 mg), R_f = 0.05 (hexanes/EtOAc 15:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.76 (dd, J = 4.0, 1.2 Hz, 1H), 8.23 (dd, J = 8.4, 1.2 Hz, 1H), 8.01 (d, J = 8.4 Hz, 1H), 7.87 (d, J = 8.4 Hz, 2H), 7.80 (dd, J = 6.8, 0.8 Hz, 1H), 7.67 (dd, J = 14.8, 8.4 Hz, 3H), 7.43 (dd, J = 8.0, 4.0 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 196.9, 151.0, 146.1, 141.3, 138.1, 136.3, 132.3, 130.8, 130.3, 129.1, 128.3, 126.2, 122.0, 118.3, 116.2. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{17}H_{11}N_2O$ $[M+H]^+$ 259.0866 found, 259.0869. The compound is new compound.

Quinolin-8-yl(4-(trifluoromethyl)phenyl)methanone **(3ak)** White solid, m.p. 103-105 °C, yield 88% (52.9 mg), R_f = 0.25 (hexanes/EtOAc 20:1 (v/v)). **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.80 (dd, J = 4.0, 1.6 Hz, 1H), 8.23 (dd, J = 8.4, 1.6 Hz, 1H), 8.01 (dd, J = 8.4, 1.2 Hz, 1H), 7.91 (d, J = 8.4 Hz, 2H), 7.79 (dd, J = 6.8, 1.2 Hz, 1H), 7.66 (dt, J = 8.0, 3.6 Hz, 3H), 7.43 (dd, J = 8.4, 4.0 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 197.1, 150.9, 146.0, 140.7, 138.5, 136.1, 134.2 (q, J = 32.1 Hz), 130.4, 130.3, 128.8, 128.2, 126.1, 125.4 (q, J = 3.6 Hz), 123.7(q, J = 271.1 Hz), 121.8. **^{19}F{^{1}H} NMR** (376 MHz, $CDCl_3$) δ -63.04 (s, 3F). **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{17}H_{11}F_3NO$ $[M+H]^+$ 302.0787 found, 302.0793. The compound is new compound.

Quinolin-8-yl(4-(trifluoromethoxy)phenyl)methanone **(3al)** White solid, m.p. 65-67 °C, yield 75% (47.7mg), R_f = 0.25 (hexanes/EtOAc 15:1 (v/v)). **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.83 (dd, J = 4.4, 1.6 Hz, 1H), 8.23 (dd, J = 8.4, 2.0 Hz, 1H), 7.98 (dd, J = 8.0, 1.2 Hz, 1H), 7.90 – 7.86 (m, 2H), 7.75 (dd, J = 7.2, 1.6 Hz, 1H), 7.66 – 7.62 (m, 1H), 7.43 (dd, J = 8.4, 4.4 Hz, 1H), 7.23 (d, J = 8.4 Hz, 2H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 196.5, 152.7, 150.9, 146.0, 138.8, 136.12, 136.08, 132.2, 130.1, 128.4, 128.2, 126.0, 121.8, 120.3(q, J = 257.2 Hz), 120.1. **^{19}F{^{1}H} NMR** (376 MHz, $CDCl_3$) δ -57.54 (s, 3F). **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{17}H_{11}F_3NO_2$ $[M+H]^+$ 318.0736 found, 318.0738. The compound is new compound.

Methyl 4-(quinoline-8-carbonyl)benzoate **(3am)** White solid, m.p. 115-117 °C, yield 84% (49.2 mg), R_f = 0.10 (hexanes/EtOAc 15:1 (v/v)). **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.78 (dd, J = 4.0, 1.6 Hz, 1H), 8.22 (dd, J = 8.4, 2.0 Hz, 1H), 8.08 – 8.03 (m, 2H), 7.99 (dd, J = 8.4, 1.6 Hz, 1H), 7.88 – 7.84 (m, 2H), 7.78 (dd, J = 6.8, 1.2 Hz, 1H), 7.65 (dd, J = 8.0, 7.2 Hz, 1H), 7.42 (dd, J = 8.4, 4.4 Hz, 1H), 3.92 (s, 3H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 197.6, 166.5, 151.0, 146.1, 141.3, 138.8, 136.2, 133.9, 130.4, 130.0, 129.7, 128.8, 128.3, 126.1, 121.9, 52.6. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{18}H_{14}NO_3$ $[M+H]^+$ 292.0968 found, 292.0972. The compound is new compound.

(2-Chlorophenyl)(quinolin-8-yl)methanone **(3an)** White solid, m.p. 95-98 °C, yield 42% (22.4 mg), R_f = 0.30 (hexanes/EtOAc 15:1 (v/v)). **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.79 (dd, J = 4.4, 2.0 Hz, 1H), 8.18 (dd, J = 8.4, 1.6 Hz, 1H), 7.98 (dd, J = 8.4, 1.6 Hz, 1H), 7.92 (dd, J = 7.2, 1.2 Hz, 1H), 7.62 (ddd, J = 12.0, 6.4, 4.4 Hz, 2H), 7.42 – 7.36 (m, 3H), 7.33 – 7.30 (m, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 196.9, 151.0, 146.2, 139.7, 138.9, 136.1, 132.6, 132.0, 131.7, 131.2, 130.6, 128.3, 126.7, 126.1, 121.7. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{16}H_{11}ClNO$ $[M+H]^+$ 268.0524 found, 268.0528. The compound is new compound.

(3-Chlorophenyl)(quinolin-8-yl)methanone **(3ao)** White solid, m.p. 96-98 °C, yield 75% (40.0 mg), R_f = 0.30 (hexanes/EtOAc 15:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.82 (dd, J = 4.0, 1.6 Hz, 1H), 8.22 (dd, J = 8.4, 1.6 Hz, 1H), 7.98 (dd, J = 8.4, 1.2 Hz, 1H), 7.82 (t, J = 1.6 Hz, 1H), 7.74 (dd, J = 6.8, 1.2 Hz, 1H), 7.64 (dd, J = 14.8, 6.8 Hz, 2H), 7.52 – 7.50 (m, 1H), 7.42 (dd, J = 8.4, 4.4 Hz, 1H), 7.33 (t, J = 8.0 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 196.8, 151.0, 146.1, 139.8, 138.6, 136.2, 134.7, 133.2, 130.3, 130.0, 129.8, 128.6, 128.5, 128.3, 126.1, 121.9. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{16}H_{11}ClNO$ $[M+H]^+$ 268.0524 found, 268.0530. The compound is new compound.

2-(Quinoline-8-carbonyl)benzaldehyde **(3ap)** White solid, m.p. 133-135 °C, yield 69% (36.1 mg), R_f = 0.05 (hexanes/EtOAc 15:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.50 (s, 1H), 8.73 (dd, J = 4.0, 1.6 Hz, 1H), 8.20 (dd, J = 8.4, 1.6 Hz, 1H), 8.01 (d, J = 8.0 Hz, 2H), 7.94 (dd, J = 6.8, 1.2 Hz, 1H), 7.65 (dd, J = 8.0, 7.6 Hz, 1H), 7.59 (t, J = 7.6 Hz, 1H), 7.45 (td, J = 7.6, 1.2 Hz, 1H), 7.39 (dd, J = 8.4, 4.4 Hz, 1H), 7.34 (dd, J = 7.6, 0.4 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 198.9, 192.5, 151.0, 146.1, 142.6, 138.3, 136.6, 136.2, 132.5, 131.7, 130.6, 130.3, 128.41, 128.37, 126.1, 121.8. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{17}H_{12}NO_2$ $[M+H]^+$ 262.0863 found, 262.0868. The compound is new compound.

(3-Nitrophenyl)(quinolin-8-yl)methanone **(3aq)** White solid, m.p. 145-146 °C, yield 75% (42.0 mg), R_f = 0.10 (hexanes/EtOAc 15:1 (v/v)). **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.76 (d, J = 2.8 Hz, 1H), 8.56 (s, 1H), 8.39 (d, J = 7.6 Hz, 1H), 8.25 (d, J = 8.0 Hz, 1H), 8.14 (d, J = 7.6 Hz, 1H), 8.04 (d, J = 8.0 Hz, 1H), 7.83 (d, J = 7.2 Hz, 1H), 7.68 (t, J = 7.6 Hz, 1H), 7.61 (t, J = 7.6 Hz, 1H), 7.44 (dd, J = 8.4, 4.4 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 196.0, 151.0, 148.4, 146.0, 139.6, 137.8, 136.4, 135.5, 131.0, 129.6, 129.3, 128.4, 127.4, 126.3, 124.9, 122.1. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{16}H_{11}N_2O_3$ $[M+H]^+$ 279.0764 found, 279.0770. The compound is new compound.

(3,4-di-Chlorophenyl)(quinolin-8-yl)methanone **(3ar)** White solid, m.p. 138-139 °C, yield 70% (42.4 mg), R_f = 0.50 (hexanes/EtOAc 10:1 (v/v)). **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.82 (dd, J = 4.0, 1.6 Hz, 1H), 8.23 (dd, J = 8.4, 2.0 Hz, 1H), 8.00 (dd, J = 8.4, 1.6 Hz, 1H), 7.90 (d, J = 2.0 Hz, 1H), 7.76 (dd, J = 7.2, 1.6 Hz, 1H), 7.65 (dd, J = 8.4, 7.6 Hz, 1H), 7.60 (dd, J = 8.4, 2.0 Hz, 1H), 7.47 (d, J = 8.4 Hz, 1H), 7.44 (dd, J = 8.4, 4.4 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 195.9, 151.0, 146.0, 138.2, 137.7, 137.6, 136.2, 133.0, 131.8, 130.5, 130.4, 129.2, 128.7, 128.2, 126.1, 121.9. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{16}H_{10}Cl_2NO$ $[M+H]^+$ 302.0134 found, 302.0140. The compound is new compound.

(3,5-di-Fluorophenyl)(quinolin-8-yl)methanone **(3as)** White solid, m.p. 122-124 °C, yield 83% (44.5 mg), R_f = 0.55 (hexanes/EtOAc 10:1 (v/v)). **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.82 (dd, J = 4.0, 1.6 Hz, 1H), 8.23 (dd, J = 8.4, 2.0 Hz, 1H), 8.00 (dd, J = 8.4, 1.2 Hz, 1H), 7.76 (dd, J = 6.8, 1.2 Hz, 1H), 7.65 (dd, J = 8.0, 7.2 Hz, 1H), 7.44 (dd, J = 8.0, 4.0 Hz, 1H), 7.34 – 7.28 (m, 2H), 7.00 (tt, J = 8.4, 2.4 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 195.7 (t, J

= 2.5 Hz), 162.9 (dd, *J* = 249.0, 11.7 Hz), 151.1, 146.1, 141.1 (t, *J* = 7.7 Hz), 138.1, 136.3, 130.6, 128.8, 128.4, 126.1, 122.0, 113.0(dd, *J* = 18.8, 7.1 Hz), 108.5 (t, *J* = 25.3 Hz). **^{19}F{^{1}H} NMR** (376 MHz, $CDCl_3$) δ -108.73 (s, 2F). **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{16}H_{10}F_2NO$ $[M+H]^+$ 270.0725 found, 270.0725. The compound is new compound.

***Quinolin-8-yl(3,4,5-trifluorophenyl)methanone* (3at)** White solid, m.p. 137-139 °C, yield 84% (48.3 mg), R_f = 0.30 (hexanes/EtOAc 15:1 (v/v)). **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.82 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.24 (dd, *J* = 8.4, 1.6 Hz, 1H), 8.01 (dd, *J* = 8.0, 0.8 Hz, 1H), 7.76 (dd, *J* = 7.2, 1.2 Hz, 1H), 7.66 (t, *J* = 7.6 Hz 1H), 7.47 – 7.42 (m, 3H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 194.8, 151.2 (ddd, *J* = 250.8, 10.5, 3.2 Hz), 151.1, 146.0, 143.3 (dt, *J* = 258.4, 15.9 Hz), 137.7, 136.3, 133.8 (dd, *J* = 9.9, 5.5 Hz), 130.8, 128.8, 128.4, 126.2, 122.1, 114.5 (dd, *J* = 15.9, 5.9 Hz). **^{19}F{^{1}H} NMR** (376 MHz, $CDCl_3$) δ -139.89 – -140.27 (m, 2F), -159.62 (ddd, *J* = 27.3, 20.7, 6.3 Hz, 1F). **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{16}H_9F_3NO$ $[M+H]^+$ 288.0631 found, 288.0633. The compound is new compound.

***Quinolin-8-yl(3,4,5-trichlorophenyl)methanone* (3au)** White solid, m.p. 213-215 °C, yield 67% (45.2 mg), R_f = 0.40 (hexanes/EtOAc 15:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.81 (dd, *J* = 4.4, 1.6 Hz, 1H), 8.24 (dd, *J* = 8.4, 1.6 Hz, 1H), 8.02 (d, *J* = 8.0 Hz, 1H), 7.79 – 7.76 (m, 3H), 7.69 – 7.65 (m, 1H), 7.45 (dd, *J* = 8.4, 4.4 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 195.1, 151.1, 146.0, 137.6, 137.5, 136.44, 136.36, 134.7, 130.9, 129.8, 129.1, 128.4, 126.2, 122.1. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{16}H_9Cl_3NO$ $[M+H]^+$ 335.9744 found, 335.9748, 336.9789, 337.9717, 338.9746, 339.9696 and 340.9712. The compound is new compound.

***Quinolin-8-yl(3,4,5-trimethoxyphenyl)methanone* (3av)** White solid, m.p. 160-162 °C, yield 60% (38.7 mg), R_f = 0.20 (hexanes/EtOAc 3:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.89 (d, *J* = 3.6 Hz, 1H), 8.23 (d, *J* = 8.0 Hz, 1H), 7.97 (d, *J* = 8.4 Hz, 1H), 7.74 (d, *J* = 6.8 Hz, 1H), 7.64 (t, *J* = 7.6 Hz, 1H), 7.44 (dd, *J* = 8.4, 4.4 Hz, 1H), 7.13 (s, 2H), 3.91 (s, 3H), 3.75 (s, 6H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 196.7, 153.1, 151.1, 146.3, 143.1, 139.3, 136.2, 133.0, 129.8, 128.4, 128.2, 125.9, 121.8, 108.1, 61.1, 56.4. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{19}H_{18}NO_4$ $[M+H]^+$ 324.1230, found, 324.1226. The compound is new compound.

***Naphthalen-1-yl(quinolin-8-yl)methanone* (3aw)** Yellow solid, m.p. 135-137 °C, yield 60% (34.3 mg), R_f = 0.40 (hexanes/EtOAc 10:1 (v/v)). **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.15 (d, *J* = 8.4 Hz, 1H), 8.80 (s, 1H), 8.20 (d, *J* = 8.0 Hz, 1H), 7.98 (t, *J* = 8.8 Hz, 2H), 7.92 (d, *J* = 8 Hz, 1H), 7.82 (d, *J* = 6.8 Hz, 1H), 7.68 (t, *J* = 6.8 Hz, 1H), 7.63 – 7.56 (m, 3H), 7.41 – 7.39 (m, 1H), 7.32 (t, *J* = 7.2 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 199.9, 151.1, 146.4, 140.9, 136.1, 135.6, 134.1, 133.6, 132.8, 131.2, 130.3, 129.2, 128.5, 128.4, 126.7, 126.6, 125.9, 124.3, 121.7. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{21}H_{14}NO$ $[M+H]^+$ 284.1070 found, 284.1077. The compound is new compound.

***Naphthalen-2-yl(quinolin-8-yl)methanone* (3ax)** White solid, m.p. 146-147 °C, yield 76% (42.9 mg), R_f = 0.35 (hexanes/EtOAc 10:1 (v/v)). **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.82 (d, *J* = 2.4 Hz, 1H), 8.23 (dd, *J* = 8.4, 1.2 Hz, 1H), 8.20 (s, 1H), 8.08 (dd, *J* = 8.4, 1.2 Hz, 1H), 8.00 (d, *J* = 8.0 Hz, 1H), 7.90 – 7.85 (m, 2H), 7.79 (dd, *J* = 12.4, 6.4 Hz, 2H), 7.67 (t, *J* = 7.6 Hz, 1H), 7.57 (t, *J* = 7.2 Hz, 1H), 7.47 (t, *J* = 7.6 Hz, 1H), 7.41 (dd, *J* = 8.0, 4.0 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 198.1, 151.0, 146.4, 139.5, 136.2, 135.9, 135.4, 133.0, 132.5, 129.9, 129.8, 128.7, 128.5, 128.39, 128.36, 127.9, 126.7, 126.0, 125.2, 121.8. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{20}H_{14}NO$ $[M+H]^+$ 284.1070 found, 284.1070. The compound is

new compound.

Benzo[d][1,3]dioxol-5-yl(quinolin-8-yl)methanone **(3ay)** Yellow oil, yield 60% (33.5 mg), R_f = 0.05 (hexanes/EtOAc 15:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.85 (dd, *J* = 4.0, 1.2 Hz, 1H), 8.19 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.92 (dd, *J* = 8.0, 0.8 Hz, 1H), 7.69 (dd, *J* = 7.2, 1.2 Hz, 1H), 7.62 – 7.57 (m, 1H), 7.44 (d, *J* = 1.2 Hz, 1H), 7.40 (dd, *J* = 8.4, 4.4 Hz, 1H), 7.29 (dd, *J* = 8.4, 1.6 Hz, 1H), 6.74 (d, *J* = 8.0 Hz, 1H) 6.01 (s, 2H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) *δ* 196.2, 152.2, 151.0, 148.1, 146.1, 139.5, 136.2, 132.8, 129.6, 128.3, 128.0, 127.7, 125.9, 121.7, 109.4, 107.9, 102.0. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{17}H_{12}NO_3$ $[M+H]^+$ 278.0812 found, 278.0818. The compound is new compound.

(2,3-di-Hydrobenzo[b][1,4]dioxin-6-yl)(quinolin-8-yl)methanone **(3az)** White solid, m.p. 164-166 °C, yield 78% (45.6 mg), R_f = 0.25 (hexanes/EtOAc 3:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) *δ* 8.85 (d, *J* = 3.6 Hz, 1H), 8.19 (d, *J* = 8.4 Hz, 1H), 7.92 (d, *J* = 8.4 Hz, 1H), 7.68 (d, *J* = 6.8 Hz, 1H), 7.59 (t, *J* = 7.6 Hz, 1H), 7.38 (m, 3H), 6.85 (d, *J* = 8.4 Hz, 1H), 4.28 (t, *J* = 3.6 Hz, 2H), 4.22 (t, *J* = 4.4 Hz, 2H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) *δ* 196.5, 151.0, 148.4, 146.2, 143.3, 139.6, 136.1, 131.7, 129.5, 128.3, 128.0, 125.9, 124.7, 121.7, 119.8, 117.2, 64.8, 64.1. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{18}H_{14}NO_3$ $[M+H]^+$ 292.0968, found, 292.0962. The compound is new compound.

Furan-3-yl(quinolin-8-yl)methanone **(3aaa)** White solid, m.p. 104-106 °C, yield 43% (19.4 mg), R_f = 0.05 (hexanes/EtOAc 15:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) *δ* 8.93 – 8.91 (m, 1H), 8.20 (d, *J* = 8.0 Hz, 1H), 7.94 (d, *J* = 8.4 Hz, 1H), 7.78 (d, *J* = 6.8 Hz, 1H), 7.61 (dd, *J* = 13.6, 5.6 Hz, 2H), 7.46 – 7.42 (m, 2H), 6.92 (s, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) *δ* 191.1, 151.2, 150.4, 145.7, 144.2, 139.7, 136.2, 130.2, 129.1, 128.5, 128.1, 125.9, 121.9, 109.6. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{14}H_{10}NO_2$ $[M+H]^+$ 224.0706 found, 224.0710. The compound is new compound.

Quinolin-8-yl(thiophen-2-yl)methanone **(3aab)** Yellow oil, yield 54% (25.7 mg), R_f = 0.05 (hexanes/EtOAc 15:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) *δ* 8.92 (s, 1H), 8.21 (d, *J* = 8.0 Hz, 1H), 7.96 (d, *J* = 8.0 Hz, 1H), 7.81 (d, *J* = 6.8 Hz, 1H), 7.71 (d, *J* = 4.8 Hz, 1H), 7.61 (t, *J* = 7.6 Hz, 1H), 7.43 (dd, *J* = 8.4, 4.4 Hz, 1H), 7.36 (d, *J* = 3.6 Hz, 1H), 7.06 (t, *J* = 4.4 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) *δ* 189.7, 151.2, 145.9, 145.4, 139.0, 136.2, 135.7, 135.0, 130.1, 128.5, 128.4, 128.2, 125.8, 121.9. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{14}H_{10}NOS$ $[M+H]^+$ 240.0478 found, 240.0483. The compound data is in agreement with the literature. [10b]

Quinolin-8-yl(thiophen-3-yl)methanone **(3aac)** Yellow oil, yield 47% (22.3 mg), R_f = 0.05 (hexanes/EtOAc 15:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.89 (d, *J* = 2.4 Hz, 1H), 8.20 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.94 (d, *J* = 8.0 Hz, 1H), 7.76 (d, *J* = 10.0 Hz, 2H), 7.60 (dd, *J* = 12.4, 7.6 Hz, 2H), 7.42 (dd, *J* = 8.4, 4.0 Hz, 1H), 7.30 (dd, *J* = 4.8, 2.8 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) *δ* 191.3, 151.1 145.9, 143.4, 139.8, 136.2, 135.7, 129.9, 128.4, 128.4, 128.11, 128.06, 126.2, 125.9, 121.8. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{14}H_{10}NOS$ $[M+H]^+$ 240.0478 found, 240.0478. The compound is new compound.

Phenyl(5-phenylquinolin-8-yl)methanone **(3ba)** Yellow oil, yield 91% (56.3 mg), R_f = 0.30 (hexanes/EtOAc 10:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) *δ* 8.85 (s, 1H), 8.30 (d, *J* = 8.0 Hz, 1H), 7.92 (d, *J* = 7.2 Hz, 2H), 7.79 (d, *J* = 7.2 Hz, 1H), 7.67 – 7.29 (m, 10H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) *δ* 198.1, 158.9, 150.6, 142.6, 138.9, 138.7, 134.5, 133.4, 130.4, 130.1, 128.7, 128.5, 128.2, 127.8, 126.6, 121.7. **Mass Spectrometry: HRMS - ESI** (m/z) calcd

for $C_{22}H_{16}NO$ $[M+H]^+$ 310.1226 found, 310.1227. The compound is new compound.

(5-(4-Methoxyphenyl)quinolin-8-yl)(phenyl)methanone **(3ca)** Light yellow oil, yield 92% (62.4 mg), R_f = 0.20 (hexanes/EtOAc 10:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.83 (s, 1H), 8.31 (d, J = 8.4 Hz, 1H), 7.90 (d, J = 7.6 Hz, 2H), 7.76 (d, J = 7.2 Hz, 1H), 7.56 (dd, J = 7.2, 3.2 Hz, 2H), 7.43 (t, J = 8.4 Hz, 4H), 7.35 (dd, J = 8.8, 3.6 Hz, 1H), 7.08 (d, J = 8.4 Hz, 2H), 3.91 (s, 3H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 198.1, 159.6, 150.6, 146.7, 142.3, 138.3, 138.0, 134.5, 133.3, 131.2, 130.4, 128.4, 127.9, 126.9, 126.5, 121.5, 114.2, 55.52. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{23}H_{18}NO_2$ $[M+H]^+$ 340.1332 found, 340.1332. The compound is new compound.

Phenyl(5-(4-(trifluoromethyl)phenyl)quinolin-8-yl)methanone **(3da)** White solid, m.p. 151-154 °C, yield 96% (72.5 mg), R_f = 0.30 (hexanes/EtOAc 10:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.85 (s, 1H), 8.20 (d, J = 8.4 Hz, 1H), 7.90 (d, J = 7.6 Hz, 2H), 7.81 (t, J = 8.4 Hz, 3H), 7.64 (d, J = 7.6 Hz, 2H), 7.61 – 7.54 (m, 2H), 7.48 – 7.36 (m, 3H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 197.8, 150.9, 146.5, 142.6, 140.8, 139.6, 137.8, 133.9, 133.5, 130.45, 130.38 (q, J = 32.4 Hz) 130.2, 128.5, 127.7, 126.8, 126.5, 125.7 (q, J = 3.7 Hz), 124.2 (q, J = 270.6 Hz), 122.0. **^{19}F{^{1}H} NMR** (376 MHz, $CDCl_3$) δ -63.13 (s, 3F). **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{23}H_{15}F_3NO$ $[M+H]^+$378.1100 found, 378.1098. The compound is new compound.

Phenyl(5-(3,4,5-trifluorophenyl)quinolin-8-yl)methanone **(3ea)** White solid, m.p. 190-192 °C, yield 87% (63.2 mg), R_f = 0.35 (hexanes/EtOAc 10:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.86 (dd, J = 4.0, 1.6 Hz, 1H), 8.21 (dd, J = 8.4, 1.6 Hz, 1H), 7.92 – 7.84 (m, 2H), 7.77 (d, J = 7.2 Hz, 1H), 7.63 – 7.53 (m, 2H), 7.48 – 7.39 (m, 3H), 7.15 (dd, J = 7.6, 6.4 Hz, 2H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 197.6, 151.3 (ddd, J = 250.1, 10.1, 4.0 Hz), 151.1, 146.5, 141.1, 140.0, 139.0, 138.5, 137.7, 134.8 (td, J = 8.1, 5.6 Hz), 133.5 (d, J = 5.7 Hz), 130.3, 128.5, 127.6, 126.8, 126.3, 122.2, 114.4 (dd, J = 15.7, 6.1 Hz) **^{19}F{^{1}H} NMR** (376 MHz, $CDCl_3$) δ -136.95 – -139.03 (m, 2F), -165.43 (dd, J = 40.9, 20.2 Hz, 1F). **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{22}H_{13}F_3NO$ $[M+H]^+$364.0944 found, 364.0944. The compound is new compound.

(5-(Naphthalen-1-yl)quinolin-8-yl)(phenyl)methanone **(3fa)** Light yellow solid, m.p. 82-84 °C, yield 97% (69.7 mg), R_f = 0.35 (hexanes/EtOAc 10:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.82 (dd, J = 4.0, 1.6 Hz, 1H), 8.04 – 7.94 (m, 4H), 7.84 (d, J = 7.2 Hz, 1H), 7.77 (dd, J = 8.4, 1.6 Hz, 1H), 7.65 (d, J = 7.6 Hz, 1H), 7.63 – 7.56 (m, 2H), 7.54 – 7.49 (m, 2H), 7.47 (t, J = 8.0 Hz, 2H), 7.37 (m, 2H), 7.21 (dd, J = 8.8, 4.0 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 198.2, 150.9, 146.3, 140.9, 139.1, 137.9, 136.4, 134.9, 133.7, 133.5, 132.6, 130.5, 128.8, 128.53, 128.49, 128.13, 128.07, 127.8, 127.7, 126.6, 126.3, 126.2, 125.5, 121.7. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{26}H_{17}NO$ $[M+H]^+$360.1383 found, 360.1383. The compound is new compound.

(5-Chloroquinolin-8-yl)(phenyl)methanone **(3ga)** White solid, m.p. 111-113 °C, yield 83% (44.5 mg), R_f = 0.30 (hexanes/EtOAc 10:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.87 (d, J = 3.6 Hz, 1H), 8.64 (d, J = 8.8 Hz, 1H), 7.81 (d, J = 8.0 Hz, 2H), 7.72 (d, J = 7.6 Hz, 1H), 7.67 (d, J = 7.6 Hz, 1H), 7.53 (m, 2H), 7.41 (t, J = 7.2 Hz, 2H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 197.1, 151.5, 146.9, 138.7, 137.7, 133.6, 133.3, 133.0, 130.3, 128.5, 128.1, 126.4, 126.2, 122.5. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{16}H_{11}ClNO$ $[M+H]^+$ 268.0523 found, 268.0528. The compound is new compound.

(5-Bromoquinolin-8-yl)(phenyl)methanone **(3ha)** White solid, m.p. 146-148 °C, yield 95% (58.9

mg), R_f = 0.20 (hexanes/EtOAc 10:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.84 (dd, J = 4.0, 1.6 Hz, 1H), 8.60 (dd, J = 8.4, 1.6 Hz, 1H), 7.93 (d, J = 7.6 Hz, 1H), 7.83 – 7.80 (m, 2H), 7.60 (d, J =8.0 Hz, 1H), 7.59 – 7.50 (m, 2H), 7.44 –7.39 (m, 2H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 197.1, 151.5, 146.9, 139.4, 137.7, 135.6, 133.6, 130.3, 129.9, 128.5, 128.4, 127.8, 123.9, 122.9. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{16}H_{11}BrNO$ $[M+H]^+$ 312.0019 found, 312.0020, 313.0052, 314.0001 and 315.0032.The compound is new compound.

***(6-Fluoroquinolin-8-yl)(phenyl)methanone* (3ia)** White solid, m.p. 154-156 °C, yield 87% (43.6 mg), R_f = 0.15 (hexanes/EtOAc 10:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.79 (dd, J = 4.0, 1.6 Hz, 1H), 8.16 (dd, J = 8.4, 1.6 Hz, 1H), 7.87 – 7.79 (m, 2H), 7.60 – 7.54 (m, 2H), 7.52 (dd, J = 8.0, 2.4 Hz, 1H), 7.45 – 7.40 (m, 3H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 196.4, 159.6 (d, J = 248.9 Hz), 150.2 (d, J = 2.5 Hz), 143.4, 142.0 (d, J = 7.6 Hz), 137.3, 135.5 (d, J = 5.3 Hz), 133.7, 130.3, 129.2 (d, J = 9.7 Hz), 128.6, 122.5, 118.5 (d, J = 26.9Hz) 112.6 (d, J = 21.1 Hz). **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{16}H_{11}FNO$ $[M+H]^+$ 252.0819 found, 252.0819. The compound is new compound.

***(6-Chloroquinolin -8-yl)(phenyl)methanone* (3ja)** White solid, m.p. 115-117 °C, yield 87% (46.8 mg), R_f = 0.15 (hexanes/EtOAc 10:1 (v/v)), **NMR Spectroscopy:^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.82 (d, J = 2.8 Hz, 1H), 8.14 (dd, J = 8.4, 1.6 Hz, 1H), 7.94 (d, J = 2.4 Hz, 1H), 7.87 – 7.79 (m, 2H), 7.68 (d, J = 2.4 Hz, 1H), 7.62 – 7.54 (m, 1H), 7.49 – 7.38 (m, 3H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 196.3, 151.1, 144.7, 141.2, 137.4, 135.3, 133.8, 132.0, 130.3, 129.1, 128.9, 128.6, 128.2, 122.6. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{16}H_{11}ClNO$ $[M+H]^+$ 268.0524 found, 298.0525. The compound is new compound.

***(6-Bromoquinolin-8-yl)(phenyl)methanone* (3ka)** White solid, m.p. 132-134 °C, yield 87% (53.9 mg), R_f = 0.20 (hexanes/EtOAc 10:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.89 – 8.76 (m, 1H), 8.12 (m, 2H), 7.91 – 7.74 (m, 3H), 7.57 (t, J = 7.2 Hz, 1H), 7.48 – 7.37 (m, 3H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 196.2, 151.2, 144.9, 141.3, 137.3, 135.2, 133.7, 131.6, 131.4, 130.3, 129.5, 128.6, 122.6, 119.9. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{16}H_{11}BrNO$ $[M+H]^+$ 312.0019 found, 312.0023, 314.0004, 315.0035. The compound is new compound.

***Phenyl(quinoxalin-5-yl)methanone* (3la)** White solid, m.p. 124-126 °C, yield 49% (23.1 mg), R_f = 0.10 (hexanes/EtOAc 10:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.87 (s, 1H), 8.78 (s, 1H), 8.27 (dd, J = 8.0, 1.2 Hz, 1H), 7.92 – 7.78 (m, 4H), 7.58 (t, J = 7.2 Hz, 1H), 7.43 (t, J = 7.6 Hz, 2H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 196.7, 145.7, 145.4, 142.7, 141.3, 139.6, 137.7, 133.7, 131.7, 130.3, 129.5, 129.2, 128.6. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{15}H_{11}N_2O$ $[M+H]^+$ 235.0865, found, 235.0869. The compound is new compound.

***(1H-indol-7-yl)(phenyl)methanone* (3ma)** Colorless oil, yield 42% (18.7 mg), R_f = 0.60 (hexanes/EtOAc 10:1 (v/v)), **NMR Spectroscopy:^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.79 (s, 1H), 7.90 (d, J = 7.6 Hz, 1H), 7.80 (d, J = 7.2 Hz, 1H), 7.53 – 7.39 (m, 5H), 7.25 – 7.21 (m, 2H), 6.74 (d, J = 3.2 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 189.0, 142.4, 136.1, 131.8, 131.4, 130.2, 128.7, 127.8, 126.3, 124.8, 122.2, 120.2, 104.1. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{15}H_{12}NO$ $[M+H]^+$ 222.0913 found, 222.0916. The compound is new compound.

***(E)-quinolin-8-yl(4-styrylphenyl)methanone* (3ad')** White solid, m.p. 163-165 °C, yield 78%, R_f = 0.10 (hexanes/EtOAc 10:1 (v/v)), **NMR Spectroscopy: ^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.85 (dd, J = 4.0, 1.6 Hz, 1H), 8.22 (dd, J = 8.4, 1.6 Hz, 1H), 7.97 (dd, J = 8.4, 1.2 Hz, 1H), 7.83 (d, J = 8.4 Hz, 2H), 7.76 (dd, J = 6.8, 1.2 Hz, 1H), 7.67 – 7.62 (m, 1H), 7.53 (dd, J = 8.4, 4.4 Hz, 4H), 7.42

(dd, *J* = 8.4, 4.0 Hz, 1H), 7.37 (t, *J* = 7.2 Hz, 2H), 7.31 – 7.25 (m, 1H), 7.16 (dd, *J* = 38.0, 16.0 Hz, 2H). **$^{13}C\{^1H\}$ NMR** (100 MHz, $CDCl_3$) *δ* 197.4, 151.0, 146.3, 142.3, 139.6, 136.9, 136.8, 136.2, 131.6, 130.9, 129.8, 128.9, 128.41, 128.38, 128.35, 127.7, 127.0, 126.5, 126.1, 121.8. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{24}H_{18}NO$ $[M+H]^+$ 336.1383 found, 336.1387. The compound is new compound.

(4-(Phenylethynyl)phenyl)(quinolin-8-yl)methanone **(3ad'')** Yellow solid, m.p. 121-123 °C, yield 100%, R_f = 0.40 (hexanes/EtOAc 5:1 (v/v)), **NMR Spectroscopy: ^1H NMR** (400 MHz, $CDCl_3$) *δ* 8.84 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.22 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.98 (dd, *J* = 8.4, 1. 6 Hz, 1H), 7.86 – 7.79 (m, 2H), 7.77 (dd, *J* = 6.8, 1.2 Hz, 1H), 7.65 (dd, *J* = 8.0, 7.2 Hz, 1H), 7.57 – 7.52 (m, 4H), 7.43 (dd, *J* = 8.4, 4.4 Hz, 1H), 7.38 – 7.33 (m, 3H). **$^{13}C\{^1H\}$ NMR** (100 MHz, $CDCl_3$) *δ* 197.3, 151.0, 146.2, 139.2, 137.1, 136.2, 131.9, 131.6, 130.2, 130.1, 128.9, 128.5, 128.4, 128.3, 126.1, 122.8, 121.8, 92.9, 89.0. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $C_{24}H_{16}NO$ $[M+H]^+$ 334.1226 found, 334.1229. The compound is new compound.

1a-Rh-Int. Brown solid, **NMR Spectroscopy: ^1H NMR** (400 MHz, $CDCl_3$) *δ* 9.17 (d, *J* = 4.8 Hz, 1H), 8.35 (d, *J* = 8.4 Hz, 1H), 8.09 (d, *J* = 7.2 Hz, 1H), 7.94 (d, *J* = 8.0 Hz, 1H), 7.66 (t, *J* = 7.6 Hz, 1H), 7.56 (dd, *J* = 8.0, 4.8 Hz, 1H), 1.67 (s, 15H). **$^{13}C\{^1H\}$ NMR** (100 MHz, $CDCl_3$) *δ* 237.8 (d, *J* = 30.7 Hz), 152.7, 151.3, 144.6, 137.1, 130.4, 129.1, 128.6, 124.0, 123.1, 98.7 (d, *J* = 5.9 Hz), 9.3. **Mass Spectrometry: HRMS - ESI** (m/z) calcd for $[C_{20}H_{21}NORh]^+$ 394.0678 found, 394.0673. The compound data is in agreement with the literature.[11]

ASSOCIATED CONTENT

Supporting Information

The Supporting Information is available free of charge via the internet at http://pubs.acs.org.

Copies of ^1H NMR and ^{13}C NMR spectra for all new compounds;

Copies of ^1H NMR and ^{13}C NMR spectra for compounds **1d, 1e, 3ab, 3ak, 3al, 3as, 3at, 3da** and **3ea**;

X-ray crystal structures and crystal data of compounds **3ah** (PDF);

X-ray crystallographic data of **3ah** (CIF).

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Notes

The authors declare no competing financial interest.

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15. $Cu(OAc)_2$ might play two roles in this reaction: (a) serve as an oxidant to regenerate Rh(III); (b) served as a base to promote the transmetalation of arylboronic acids.