EurJOC
European Journal of Organic Chemistry

A Journal of
ChemPubSoc Europe

Accepted Article

Title: Palladium Catalyzed Direct Acylation of Iodo-acetanilides/Iodo-phenyl Acetates: Domino One-pot Synthesis of 2-Quinolinones

Authors: Suchand Basuli and Gedu Satyanarayana

To be cited as: *Eur. J. Org. Chem.* 10.1002/ejoc.201701250

Link to VoR: http://dx.doi.org/10.1002/ejoc.201701250

Supported by
ACES Asian Chemical Editorial Society

WILEY-VCH

10.1002/ejoc.201701250

WILEY-VCH

FULL PAPER

Palladium Catalyzed Direct Acylation of Iodo-acetanilides/Iodo-phenyl Acetates: Domino One-pot Synthesis of 2-Quinolinones

Scuhand Basuli, and Gedu Satyanarayana*[a]

Dedication ((optional))

Abstract: [Pd]-catalyzed direct acylation of iodoacetanilides/iodophenyl acetates with aldehydes, was presented. Simple bench-top aldehydes were used as the non-toxic acylating agents. This protocol comprises direct coupling with aldehydes without activating the carbonyl group and without the directing group assistance. The strategy was applied to a domino one-pot synthesis of 2-quinolinones via acylation and intramolecular aldol condensation. Significantly, the strategy was extended to the domino one-pot synthesis of drugs and bioactive compounds.

Introduction

ortho-Acylacetanilides are a very important class of chemical compounds in the domain of synthetic organic chemistry and useful synthons for the synthesis of natural products and biologically active compounds.[1] Their interesting properties, prompted the chemists to establish new synthetic methodologies towards their preparation. Remarkably, transition-metal catalysis has turned out to be extremely important tool in organic synthesis that facilitates the formation of C−C and C−heteroatom bonds quite feasibly by eliminating the need of stoichiometric organometallic reagents.[2] In this context, notable methods have been reported for the synthesis of *ortho*-acylacetanilides using transition-metal-catalyzed *ortho*-acylation of acetanilides via functional group assisting direct C−H activation,[3] in which various functional moieties have been used as acylating agents for this purpose. In addition, 2-quinolinones with protecting group free (NH)-lactam are ubiquitous structures that constitutes natural products, drugs, agrochemicals and electronic materials (Figure 1).[4,5]

Reasonable number of synthetic routes have been established for their synthesis.[6] Particularly, some notable transition-metal-catalyzed have been described (Scheme 1). For example, Larock

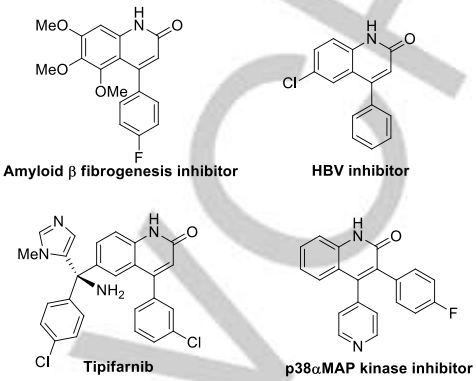


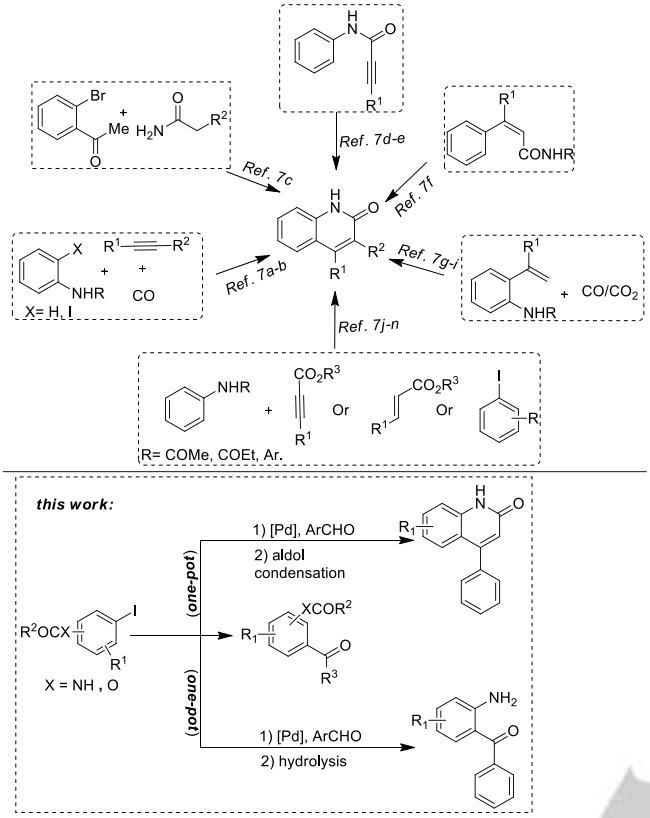
Figure 1: Examples of bioactive 4-aryl-2-quinolinones.

reported a [Pd]-catalyzed carbonylative annulation of *N*-substituted *ortho*-iodoanilines with internal alkynes and CO, for the synthesis of 2-quinolinones.[7b] Very recently, Ning Jiao and co-workers developed Rh-catalyzed *ortho*-C−H activation of anilines with CO and alkyne to afford quinolin-2(1*H*)-ones.[7a] The research group of Manley described synthesis of quinolinones through [Pd]-catalyzed Buchwald-Hartwig amidation of *ortho*-carbonyl-substituted haloarenes.[7c] 2-Quinolinones were achieved via hydroarylation of *N*-aryl alkynamides independently by the research groups of Yuzo Fujiwara and Paul A. Vadola.[7d-e] Imamoto et al. disclosed the preparation of 4-aryl-2-quinolinones via a key [Pd]-catalyzed intramolecular oxidative amidation of C(sp^2)−H bonds.[7f] Alper et al. developed [Pd]-catalyzed oxidative cyclocarbonylation of 2-vinylanilines, for the accomplishment of 2(1*H*)-quinolinones.[7g-i] Very recently, Da-Gang Yu and co-workers described a novel route to 2-quinolinones using CO_2 as the carbonylating agent promoted by base under transition-metal-free conditions.[7i] On the other hand, some interesting strategies have also been accomplished for the synthesis of 2-quinolinones under transition-metal-catalysis by the research groups of Tsuji, Jeganmohan, Yu, Liu and Maiti.[7j-n]

With this background, we envisioned that the synthesis of 2-quinolinones could be achieved in domino one-pot fashion, via transition-metal-catalyzed direct acylation and intramolecular aldol condensation. It was also presumed that benchtop aldehydes could be used as non-toxic acylation agents. In continuation of our research interests on transition-metal catalyzed domino transformations,[8] very recently, we described the synthesis of ketones under environmentally benign acylation conditions. In this [Pd]-catalysis, iodoarenes underwent direct coupling with inactivated aldehydes.[9]

[a] Department of Chemistry, Indian Institute of Technology Hyderabad, Kandi – 502 285, Sangareddy, Telangana, INDIA.
Phone: (040) 2301 6033; Fax: (040) 2301 6003 / 32
E-mail: gvsatya@iith.ac.in
Home page:
https://sites.google.com/site/gsresearchgrouphomepage/home

Supporting information for this article is given via a link at the end of the document.((Please delete this text if not appropriate))

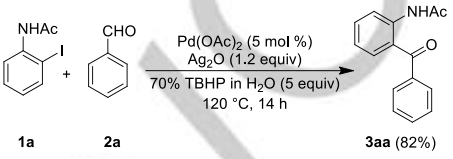


Scheme 1: Representative transformations vs present protocol.

Significantly, unlike earlier reports, the reaction was feasible without activating the aldehyde functionality. Consequently, reported a domino one-pot synthesis of indenones using [Pd]-catalyzed direct acylation and intramolecular aldol condensation sequence.[10] Inspired by these results, herein, we present a direct coupling of iodoacetanilides/iodophenyl acetates with aldehydes for the synthesis of acylacetanilides/acylphenyl acetates. In addition, we have demonstrated one-pot formation of *ortho*-acylanilines via in-situ hydrolysis of *ortho*-iodoacetanilides. Further, the strategy has been successfully applied to the domino one-pot synthesis of 2-quinolinones via [Pd]-catalyzed direct coupling of *ortho*-iodoacetanilides with aldehydes and intramolecular aldol condensation. Significantly, this strategy was also applied to the one-pot synthesis of biologically important lactams.

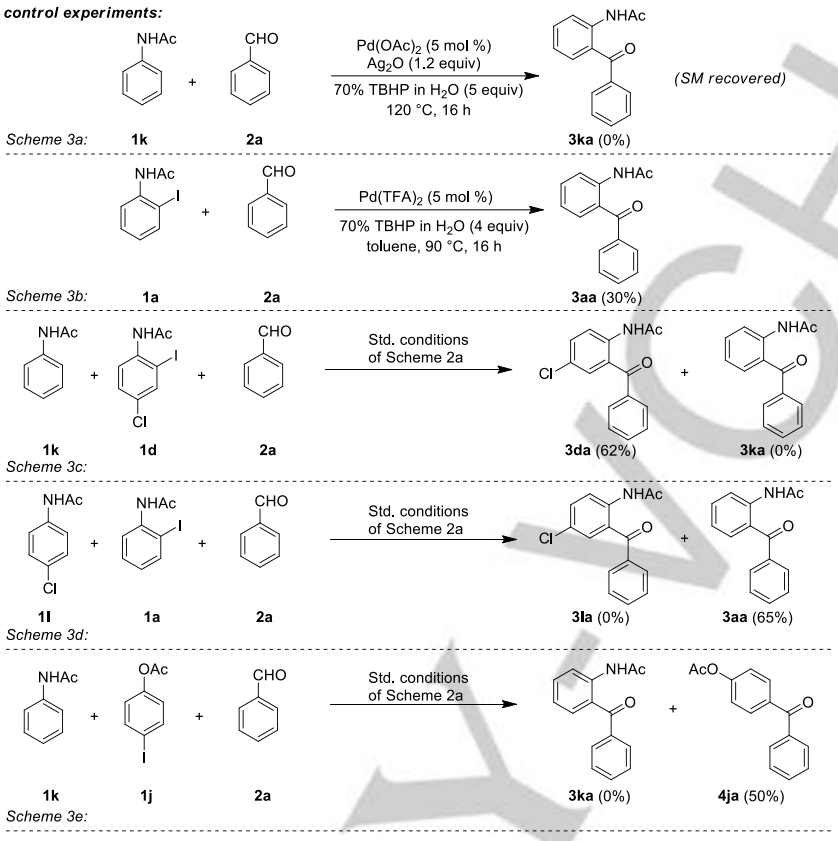
Results and Discussion

To initiate the synthetic study, *ortho*-iodoacetanilide **1a** was chosen as the model iodoarene to undergo acylation with benzaldehyde **2a**, under [Pd]-catalysis. The acylation reaction was conducted using established conditions [i.e. reported conditions with iodo-arenes and benzaldehydes {$Pd(OAc)_2$ (5 mol%), Ag_2O (1.2 equiv), TBHP in H_2O (5 equiv), 120 °C, 12 h}].[9] Gratifyingly, the reaction was amenable and gave the corresponding *ortho*-acylacetanilide **3aa**, in 82% yield (Scheme 2).



Scheme 2: Synthesis of **3aa** from **1a** and **2a**.

Further, to understand whether the present reaction proceeds through the direct acylation via the insertion of palladium species across Ar-I bond or through the functional group assisting *ortho*-C−H activation, it was planned to attempt some sort of control experiments using benzaldehyde **2a** as the acylating agent, as depicted in Scheme 3. Thus, the reaction was performed with simple acetanilide **1k** under standard conditions (Scheme 3a). However, no acylation product **3ka**, was obtained. Next, the acylation was also performed using one of the reported conditions of functional group assisting *ortho*-C−H activation (Scheme 3b),[11] and the product **3aa** was obtained, albeit in poor yield (30%). In addition, the reaction was carried out in the presence of simple acetanilide **1k** as well as *ortho*-iodo-4-chloroacetanilide **1d**, under standard conditions (Scheme 3c). Only *ortho*-iodo-4-chloroacetanilide **1d** was selectively transformed into the product **3da**. Similarly, the reaction with 4-chloroacetanilide **1l** and simple *ortho*-iodoacetanilide **1a**, also gave the product **3aa**, in which only iodoacetanilide **1a** was selectively involved in the product **3aa** formation (Scheme 3d). Also, the reaction together with simple acetanilide **1k** and *para*-iodophenyl acetate **1j**, furnished the product **4ja**, in which **1j** was selectively transformed into 4-acylphenyl acetate **4ja** (Scheme 3e). Thus, based on these control experiments, it was concluded that the present conditions are more suitable for direct acylation through the activation Ar−I bond by [Pd]-catalyst rather than by the assistance of functional group via *ortho*-C−H bond activation (Scheme 3).



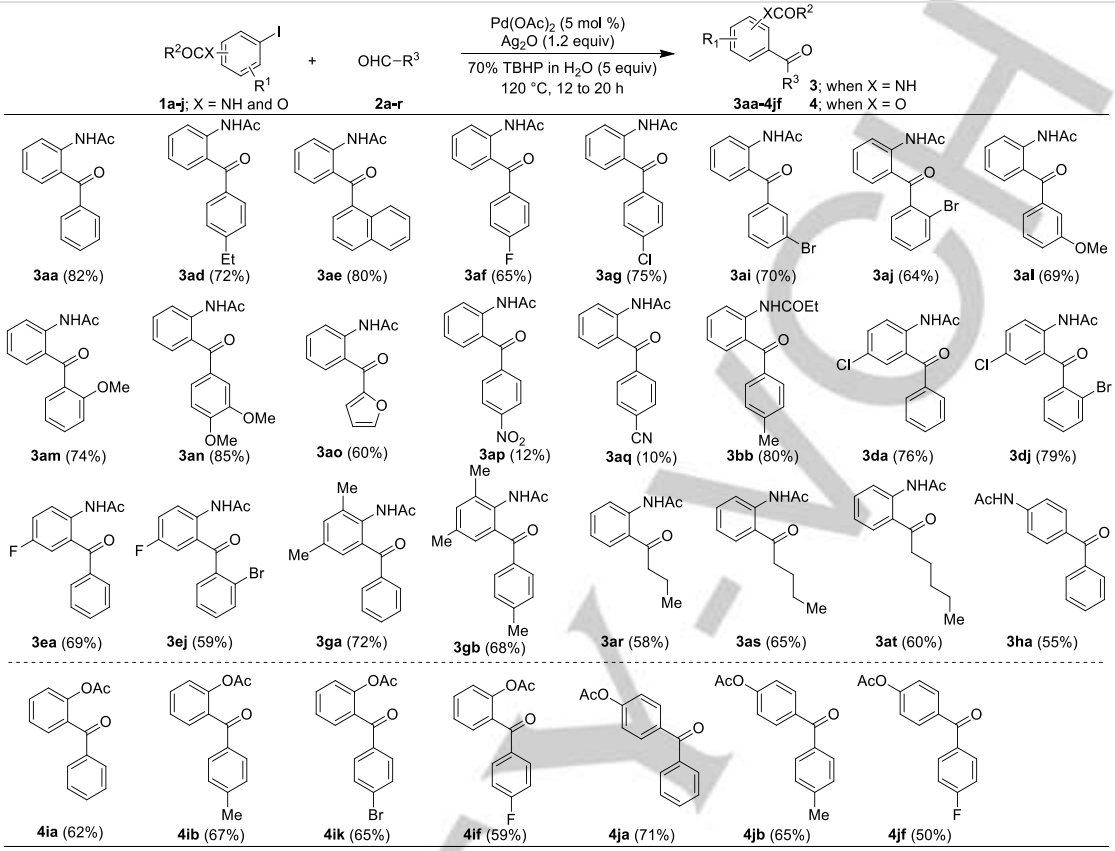
[a] all the reactions were carried out by using (0.4 mmol) of **1**, (1.6 mmol) of aldehyde **2**, $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol). [b] Isolated yields of chromatographically pure products.

Scheme 3: Control experiments.

With the above optimized condition [**1a** (1.0 equiv), **2a** (4.0 equiv), $Pd(OAc)_2$ (5 mol %)/Ag_2O (1.2 equiv), aqueous TBHP (5.0 equiv) at 120 °C for 14 h (Scheme 2)], to check the scope of the method, the reaction was performed between *ortho*-iodoacetanilide **1a-1j** and different benzaldehydes **2a-2r**, under established conditions. Gratifyingly, the reaction was quite amenable, showed good substrate scope, and furnished the corresponding *ortho*-acylation products **3aa-3ar**, in fair to very good yields (Table 1). Notably, the reaction was smooth with benzaldehyde **2a**, *para*-ethylbenzaldhyde **3d** and α-naphthaldehyde **2e** (Table 1, **3aa**-**3ae**). Interestingly, the reaction was also compatible with the aromatic aldehydes **2f-j** with F, Cl and Br substituents (Table 1, **3af**-**3aj**). Electron donating groups containing aromatic aldehydes **2l**-**n** were also suitable for acylation (Table 1, **3al-3an**). Significantly, heteroaromatic aldehyde, such as furfural **2o** was also served as the acylating agent (Table 1, **3ao**). It is worth mentioning that reaction with strong electron withdrawing benzaldehyde such as nitro and cyano (**2p** & **2q**), furnished the products, albeit in very poor yields (Table 1, **3ap** & **3aq**). Delightfully, the strategy was further extended to other *ortho*-acetanilides **1b-1g** (Table 1, **3bb-3gb**). Gratifyingly, the reaction was also amenable with the aliphatic aldehydes **2r-2t**, as the acylating agents (Table 1, **3ar-3at**). Significantly, the reaction with *para*-iodoacetanlide **1h**, afforded the corresponding product **3ah**. Thus, to further demonstrate the regioselective preference for direct acylation rather than functional group assisting *ortho*-C−H activation, the method was extended to various iodo-phenyl acetates. To our delight, the efficacy of method was further proven by successful transformation of *ortho*-iodophenyl acetates **1i** to the corresponding ketone **4ia-4if** (Table 1). Notably, the method was also amenable with *para*-iodophenyl acetates **1j** (Table 1, **4ja-4jf**).[12]

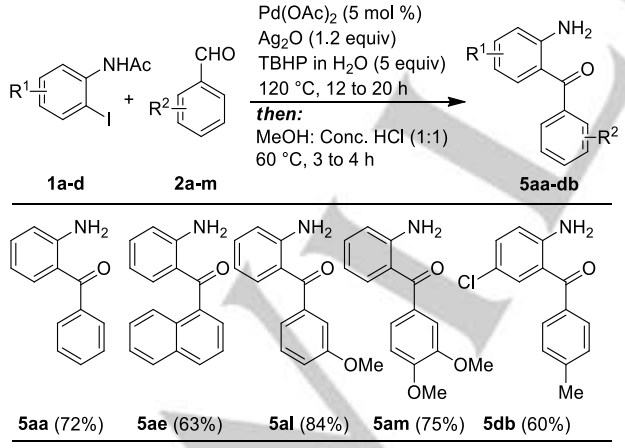
Further, to demonstrate the utility of the method, it was aimed at acylation followed by hydrolysis in one-pot, to afford deprotected acylanilines.[13] Therefore, after confirming the formation of acylation products from TLC, the reaction mixture was in-situ treated with a 1:1 ratio of MeOH and conc. HCl at 60 °C. To our delight, protecting group free acylanilines **5aa-db** were obtained in one-pot, in fair to very good yields (Table 2). Thus, reveals the efficiency of the present protocol.

Table 1: Scope and generality of formation of acylated products **3aa-4jf**.



[a] Unless otherwise mentioned, all the reactions were carried out by using (0.4 mmol) of **1**, (1.6 mmol) of aldehyde **2**, $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol). [b] Isolated yields of chromatographically pure products

Table 2: Scope and generality of formation of acylaniline derivatives **5aa-5db**.[a,b]

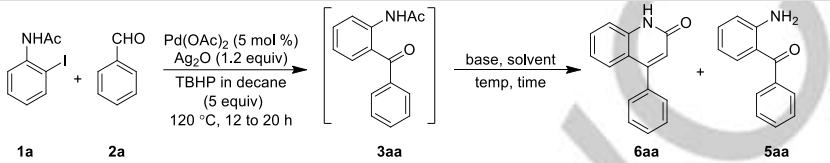


[a] Reactions were carried out by using (0.4 mmol) of **1**, (1.6 mmol) of aldehyde **2**, $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol), after the reaction completed (monitored by TLC), then add solvent MeOH: conc. HCL (1.5 ml: 1.5 ml) at room temperature then heated at 60 °C until the reaction was completed [b] Isolated yields of chromatographically pure products.

To further demonstrate the synthetic applicability of the present strategy, it was planned for the domino one-pot synthesis of 2-quinolinones. It was anticipated that in-situ treatment of the acylation products with a suitable base would trigger intramolecular aldol condensation and leads to the formation of 2-quinolinones. Thus, after monitoring the formation of acylation products using TLC, the reaction mixture was explored under different basic environments, to promote in-situ intramolecular aldol condensation. Initially, the reaction mixture containing acylation product **3aa** that was formed under standard conditions (i.e. with TBHP in H_2O), was reacted with bases K_2CO_3 (6 equiv) or NaH (6 equiv) in THF at 80 °C for 3 h. However, no progress was noted except the formation of minute amount of simple deprotected acylaniline **5aa**. This may be due to the presence of water in TBHP, which would retard the reaction. Since, it was established that TBHP in decane was also did the same job as that of TBHP in H_2O, it was planned to use TBHP in decane, under optimal conditions, in place of TBHP in H_2O, as depicted in Table 3. Thus, the reaction mixture of ketone **3aa** was in-situ treated with K_2CO_3 (6 equiv) in THF. The expected product **6aa** was formed, albeit in poor yield along with deprotected aniline **5aa** (Table 3, entry 1). Similarly, with K_3PO_4 (6 equiv), product was

formed in poor yield (Table 3, entry 2). While, NEt_3 was proved to be inferior (Table 3, entry 3). Notably, KOH in THF and Cs_2CO_3 in DMF, furnished the lactam **6aa**, in moderate yields (Table 3, entries 4 to 6). Interestingly, with the base NaOMe, lactam **6aa** was obtained in fair yield (Table 3, entry 7). Finally, NaH in THF as well as in DMF, afforded the lactam **6aa**, in 62% yield, at 80 °C and 100 °C, respectively (Table 3, entries 8 & 9). To our delight, the reaction with NaH in DMF, at elevated temperature (120 °C), furnished the product **6aa**, in 67% yield (Table 1, entry 10). It is noteworthy that the entire process is for two different transformations in one-pot operation, the overall yield of 67% is quite good, as each individual step is accounting for 82% yield.

Table 3. Optimization of reaction conditions.[a]



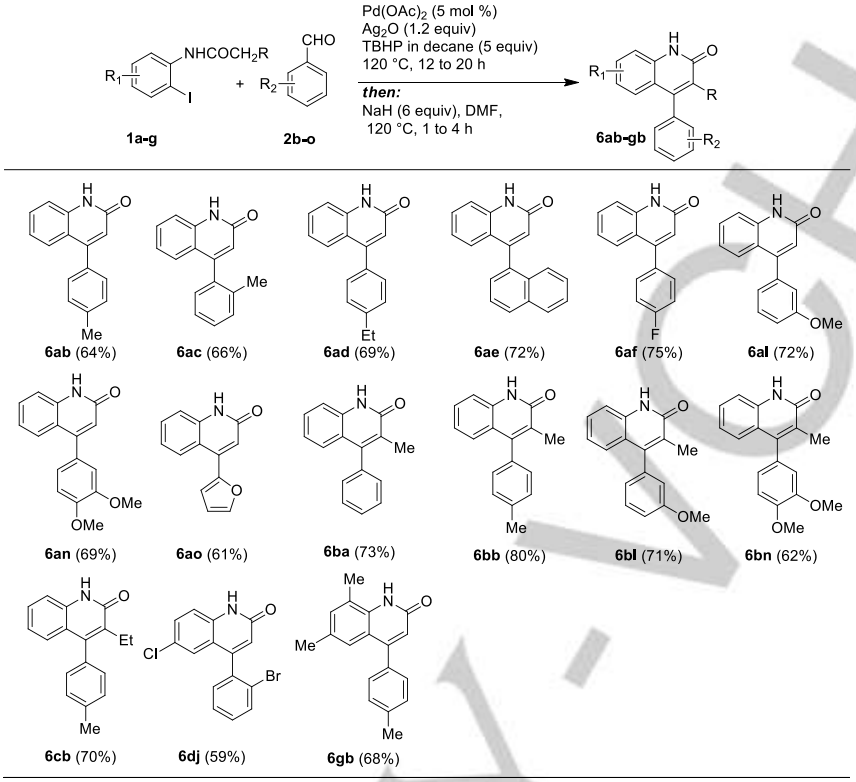
1a 2a 3aa 6aa 5aa

Entry	Base (equiv)	Solvent (1.5 mL)	Temp (°C)	Time (h)	Yield (**6aa**%)[b]	Yield (**5aa**%)[b]
1	K_2CO_3 (6.0)	THF	80	3	15	30
2	K_3PO_4 (6.0)	THF	80	3	20	trace
3	NEt_3 (6.0)	THF	80	5	-	trace
4	KOH (6.0)	THF	80	3	52	15
5	Cs_2CO_3 (3.0)	DMF	100	3	46	10
6	Cs_2CO_3 (6.0)	DMF	100	3	50	11
7	NaOMe (6.0)	DMF	100	3	60	15
8	NaH (6.0)	THF	80	2.5	62	trace
9	NaH (6.0)	DMF	100	2	62	trace
10	NaH (6.0)	DMF	120	2	67	-

[a] Unless otherwise mentioned, all the reactions were carried out by using (0.4 mmol) of **1**, (1.6 mmol) of aldehyde **2**, $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol), after the reaction completed (monitored by TLC), then add solvent DMF(1.5 ml) and base (6.0 equiv.) until the reaction was completed [b] Isolated yields of chromatographically pure products.

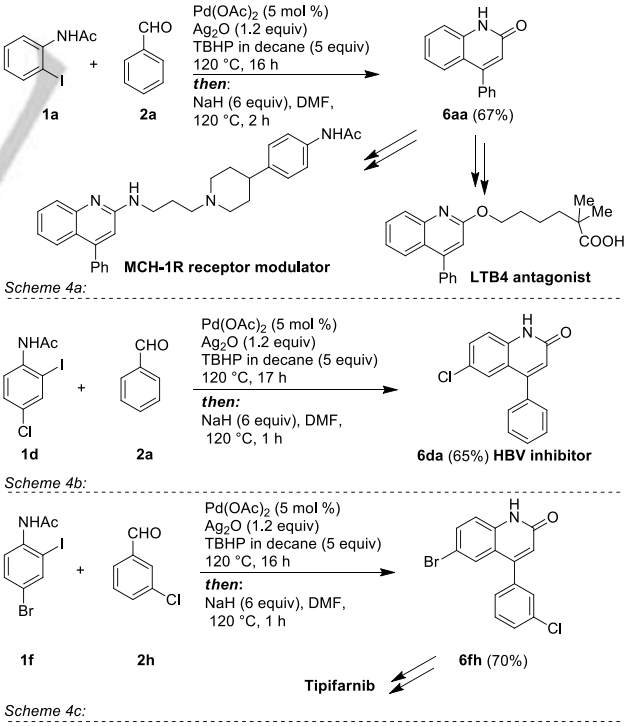
With these optimized condition in hand (Table 3, entry 10), for the domino one-pot preparation of 2-quinolines, next, explored the reaction with *ortho*-idodacetanilides **1a-1g** and benzaldehydes **2b-2o** and the results are as summarized in Table 4. To our delight, as anticipated, the one-pot domino reaction was successful and afforded 2-quinolinones **6ab-6gb** (Table 4). The reaction was amenable with broad range of functional groups on both the aromatic rings. For example, the reaction was smooth with simple to electron rich aromatic rings of benzaldehydes. Also, compatible with electron deactivating groups on both aromatic rings (F, Cl & Br). Notably, successful with furfural **2o** and furnished the corresponding lactam **6ao**, in 61% yield (Table 4, **6ao**). It is worth noting that the protocol was also successfully demonstrated to the accomplishment 3,4-disubstituted-2-quinolinones (Table 4, **6ba-6cb**).

Table 4: Scope and generality of formation of quinolinones **6ab-gb**.[a,b]



[a] Unless otherwise mentioned, all the reactions were carried out by using (0.4 mmol) of **1**, (1.6 mmol) of aldehyde **2**, $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol), after the reaction completed (monitored by TLC), then add solvent DMF(1.5 ml) and base NaH (6.0 equiv.) until the reaction was completed [b] Isolated yields of chromatographically pure products.

Most importantly, the lactam **6aa** that was obtained one-pot is an established synthetic precursor for the accomplishment of drug molecules, such as MCH-1R receptor modulator and LTB4 antagonist (Scheme 4a). Delightfully, the strategy has been applied to the one-pot synthesis of HBV inhibitor **6da** (Scheme 4b). Also, the present strategy enabled the one-pot rapid access to the 4-aryl-2-quinolinone **6fh**, a key intermediate for the synthesis of Tipifarnib (Scheme 4c). Thus, demonstrates versatility of present protocol, for the rapid synthesis of drugs and biologically important compounds of this sort.[14]



Scheme 4: One-pot synthesis of HBV inhibitor and formal synthesis of Tipifarnib and other bioactive molecules.

Conclusions

In conclusion, we have developed [Pd]-catalyzed direct acylation of iodoacetanilides/iodophenyl acetates with aldehydes. Simple and bench-top aldehydes were employed as the non-toxic acylating agents. Moreover, this method comprises direct coupling with aldehydes without the activation of carbonyl and without the need of directing group assistance. The strategy has been applied to domino one-pot synthesis of acyl-anilines and 2-quinolinones. Importantly, this process provides efficient and rapid access to 2-quinolinones via acylation and intramolecular aldol condensation in one-pot. Significantly, the strategy enabled the domino one-pot synthesis of drugs and bioactive compounds.

Experimental Section

IR spectra were recorded on a FTIR spectrophotometer. ^{1}H NMR spectra were recorded on 400 MHz spectrometer at 295 K in $CDCl_3$; chemical shifts (δ ppm) and coupling constants (Hz) are reported in standard fashion with reference to either internal standard tetramethylsilane (TMS) (δ_H =0.00 ppm) or $CHCl_3$ (δ_H = 7.25 ppm). ^{13}C NMR spectra were recorded on 100 MHz spectrometer at RT in $CDCl_3$; chemical shifts (δ ppm) are reported relative to $CHCl_3$ [δ_C = 77.00 ppm (central line of triplet)]. In the ^{13}C NMR, the nature of carbons (C, CH, CH_2 and CH_3) was determined by recording the DEPT-135 spectra. In the ^{1}H-NMR, the following abbreviations were used throughout: s = singlet, d = doublet, t = triplet, q = quartet, qui =quintet, sept = septet, dd = doublet of doublet, m = multiplet and br. s = broad singlet. High-resolution mass spectra (HR-MS) were recorded on Q-TOF electron spray ionization (ESI) mode and atmospheric pressure chemical ionization (APCI) modes. Melting points were determined on an electrothermal melting point apparatus and are uncorrected.

All small scale reactions were carried out using Schlenk tube. Reactions were monitored by TLC on silica gel using a combination of hexane and ethyl acetate as eluents. Reactions were generally run under argon or a nitrogen atmosphere. Solvents were distilled prior to use; petroleum ether with a boiling range of 60 to 80 °C was used. Acme's silica-gel (60–120 mesh) was used for column chromatography (approximately 20 g per one gram of crude material).

The aldehydes **2a-t** which have been used are commercially available.

The iodo acetanilides and iodophenyl acetates **1a-j**, were synthesized by reports in literature[16] from the corresponding iodo anilines and iodo phenols which are commercially available.

GP-1 [General procedure for preparation of 3/4:

GP-1 involves the reaction with iodo **1a-j** (104-135 mg, 0.40 mmol**)** and aldehyde **2a-t** (115.2-296.0 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 12-20 h. Progress of the products **3aa-4jf** formation was monitored by TLC till the reaction was completed. Then reaction mixture was removed from oil bath and allow to reach room temperature and the reaction mixture was quenched by the addition of aqueous $NaHCO_3$ solution and then extracted with ethyl acetate (3 × 15 mL). The organic layer was washed with saturated NaCl solution, dried over Na_2SO_4 and filtered. Evaporation of the solvent under reduced pressure and purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate) furnished the products **3/4** (51.6-110.6 mg, 50-85 %) as viscous liquid/solid. The products **3aa, 3ae, 3af, 3ag, 3ai, 3aj, 3al, 3am, 3ap, 3ar, 3as, 3at, 3bb, 3da, 3ea, 3ga, 3ha, 4ia, 4ib, 4if, 4ik, 4ja, 4jb, 4jf** are reported in literature.[12a-f, 15]

GP-2 [General procedure for preparation of 5:

GP-2 involves the reaction with *ortho*-iodoacetanilide **1a-d** (104-118 mg, 0.40 mmol**)** and aldehyde **2a-n (**169.6-249.6 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 12-20 h. . Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1a-d**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added MeOH : conc. HCl (1.5 : 1.5 ml) and allowed the reaction mixture to stir at 60 °C for 3-4 h. Progress of the products **5aa-db**, formation was monitored by TLC till the reaction was completed. The reaction mixture was quenched by the addition of aqueous $NaHCO_3$ solution and then extracted with ethyl acetate (3 × 15 mL). The organic layers were washed with saturated NaCl solution, dried (Na_2SO_4) and filtered. Evaporation of the solvent under reduced pressure and purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate) furnished the products **5** (56.7-77.2 mg, 60-84 %) as viscous liquid.The products **5aa-5db** are reported in literature.[13a-c]

GP-3 [General procedure for preparation of 6:

GP-3 involves the reaction with *ortho*-iodoacetanilide **1a-g** (104.4-339.9 mg, 0.40 mmol**)** and aldehyde **2a-o** (169.6-249.6 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP in decane (180. 0 mg, (0.36 ml), 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 12-20 h. . Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1a-g**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added DMF (1.5 ml) and NaH (96.0 mg, 2.4 mmol) then allowed the reaction mixture to stir at 120 °C for 1-4 h. Progress of the products **6aa-gb**, formation was monitored by TLC till the reaction was completed. The reaction mixture was quenched by the addition of aqueous $NaHCO_3$ solution and then extracted with ethyl acetate (3 × 15 mL). The organic layers were washed with saturated NaCl solution, dried (Na_2SO_4) and filtered. Evaporation of the solvent under reduced pressure and

purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate) furnished the products **6** (51.0-96.0 mg, 53-80 %) as viscous liquid/solid. The products **6aa, 6ab, 6ac, 6af, 6al, 6an, 6ao, 6ba, 6bb, 6da, 6fh, 6gb** are reported in literature.[7e-j]

N-(2-benzoylphenyl)acetamide (3aa): GP-1 was carried out with *ortho*-iodoacetanilide **1a** (104.4mg, 0.40 mmol**)** and aldehyde **2a** (169.6 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3aa** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 90:10 to 85:15) furnished the product **3aa** (78.3 mg, 82%) as a yellow viscous liquid. [TLC control (petroleum ether/ethyl acetate 85:15), R_f(**1a**)=0.50, R_f(**3aa**)=0.55, UV detection].

N-(2-(4-ethylbenzoyl)phenyl)acetamide (3ad): GP-1 was carried out with *ortho*-iodoacetanilide **1a (**104.4mg, 0.40 mmol**)** and aldehyde **2d (**214.4 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3ad** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 90:10 to 85:15) furnished the product **3ad** (76.8 mg, 72%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 85:15), R_f(**1a**)=0.50, R_f(**3ad**)=0.55, UV detection]. IR (MIR-ATR, 4000–600 cm^{-1}): ν_{max}=3098, 2980, 1712, 1677, 1590, 1456, 1218, 1089, 940, 720 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz): δ=10.72 (br.s, 1H), 8.58 (d, 1H, *J*=8.3 Hz), 7.63 (d, 2H, *J*=8.3 Hz), 7.58-7.53 (m, 2H), 7.30 (d, 2H, *J*=8.3 Hz), 7.09-7.05 (m, 1H), 2.73 (q, 2H, *J*=7.8 Hz), 2.20 (s, 3H), 1.27 (t, 3H, *J*=7.8 Hz) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz): δ=199.3 (C_q), 169.1 (C_q), 149.7 (C_q), 140.2 (C_q), 136.0 (C_q), 133.9 (CH), 133.3 (CH),), 130.3 (2×CH), 127.8 (2×CH), 123.6 (C_q), 122.0 (CH), 121.5 (CH), 28.9 (CH_2), 25.2 (CH_3), 15.2 (CH_3) ppm. HR-MS (ESI^+) m/z calculated for $[C_{17}H_{17}NNaO_2]^+$=$[M+Na]^+$: 290.1151; found 290.1156.

N-(2-(1-naphthoyl)phenyl)acetamide (3ae): GP-1 was carried out with *ortho*-iodoacetanilide **1a** (104.4mg, 0.40 mmol**)** and aldehyde **2e** (249.6 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3ae** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 90:10 to 85:15) furnished the product **3ae** (92.4 mg, 80%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 85:15), R_f(**1a**)=0.50, R_f(**3ae**)=0.55, UV detection].

N-(2-(4-fluorobenzoyl)phenyl)acetamide (3af): GP-1 was carried out with *ortho*-iodoacetanilide **1a** (104.4mg, 0.40 mmol**)** and aldehyde **2f** (198.4 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3af** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 80:10 to 85:15) furnished the product **3af** (66.8 mg, 65%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 85:15), R_f(**1a**)=0.50, R_f(**3af**)=0.55, UV detection].

N-(2-(4-chlorobenzoyl)phenyl)acetamide (3ag): GP-1 was carried out with *ortho*-iodoacetanilide **1a** (104.4mg, 0.40 mmol**)** and aldehyde **2g** (224 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3ag** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 90:10 to 85:15) furnished the product **3ag** (81.9 mg, 75%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 85:15), R_f(**1a**)=0.50, R_f(**3xx**)=0.55, UV detection].

N-(2-(3-bromobenzoyl)phenyl)acetamide (3ai): GP-1 was carried out with *ortho*-iodoacetanilide **1a (**104.4mg, 0.40 mmol**)** and aldehyde **2i (**296 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3ai** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 90:10 to 85:15) furnished the product **3ai** (89.0 mg, 70%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 85:15), R_f(**1a**)=0.50, R_f(**3ai**)=0.55, UV detection].

N-(2-(2-bromobenzoyl)phenyl)acetamide (3aj): GP-1 was carried out with *ortho*-iodoacetanilide **1a** (104.4mg, 0.40 mmol**)** and aldehyde **2j** (296 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3aj** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 90:10 to 85:15) furnished the product **3aj** (81.4 mg, 64%) as a viscous liquid. [TLC control (petroleum ether/ethyl 85:15), R_f(**1a**)=0.50, R_f(**3aj**)=0.55, UV detection].

N-(2-(3-methoxybenzoyl)phenyl)acetamide (3al): GP-1 was carried out with *ortho*-iodoacetanilide **1a** (104.4mg, 0.40 mmol**)** and aldehyde **2l** (217.6 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3al** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 85:15 to 80:20) furnished the product **3al** (74.2 mg, 69%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 85:15), R_f(**1a**)=0.60, R_f(**3al**)=0.50, UV detection].

N-(2-(2-methoxybenzoyl)phenyl)acetamide (3am): GP-1 was carried out with *ortho*-iodoacetanilide **1a** (104.4mg, 0.40 mmol**)** and aldehyde **2m** (217 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C

for 14 h for the product **3am** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 85:15 to 80:20) furnished the product **3am** (79.6 mg, 74%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 80:20), R_f(**1a**)=0.60, R_f(**3am**)=0.50, UV detection].

N-(2-(3,4-dimethoxybenzoyl)phenyl)acetamide (3an): GP-1 was carried out with *ortho*-iodoacetanilide **1a (**104.4mg, 0.40 mmol**)** and aldehyde **2n** (265.6 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3an** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 80:20 to 70:30) furnished the product **3an** (101.6 mg, 85%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 80:20), R_f(**1a**)=0.60, R_f(**3an**)=0.40, UV detection]. IR (MIR-ATR, 4000–600 cm^{-1}): ν_{max}=3107, 2970, 1698, 1677, 1599, 1456, 1288, 1088, 944, 720 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz): δ=10.40 (br.s, 1H), 8.52 (d, 1H, *J*=8.8 Hz), 7.55-7.52 (m, 2H), 7.36 (d, 1H, *J*=1.9 Hz), 7.30 (dd, 1H, *J*=8.3 and *J*=1.9 Hz), 7.08 (t, 1H, *J*=7.5 Hz), 6.89 (d, 1H, *J*=8.8 Hz), 3.95 (s, 3H), 3.92 (s, 3H), 2.18 (s, 3H) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz): δ=197.8 (C_q), 169.0 (C_q), 153.2 (C_q), 148.9 (C_q), 139.6 (C_q), 133.5 (CH), 132.6 (CH), 130.9 (C_q), 125.5 (CH), 124.4 (C_q), 122.0 (CH), 121.8 (CH), 112.2 (CH), 109.8 (CH), 56.1 (CH_3), 56.0 (CH_3), 25.1 (CH_3) ppm. HR-MS (ESI^+) m/z calculated for $[C_{17}H_{17}KNO_4]^+$=$[M+K]^+$: 338.0789; found 338.0788.

N-(2-(furan-2-carbonyl)phenyl)acetamide (3ao): GP-1 was carried out with *ortho*-iodoacetanilide **1a** (104.4mg, 0.40 mmol**)** and aldehyde **2o** (153.6 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3ao** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 90:10 to 85:15) furnished the product **3ao** (54.9 mg, 60%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 90:10), R_f(**1a**)=0.50, R_f(**3ao**)=0.55, UV detection]. IR (MIR-ATR, 4000–600 cm^{-1}): ν_{max}=3301, 2967, 1690, 1677, 1599, 1456, 1288, 1088, 944, 720 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz): δ=10.43 (br.s, 1H), 8.50 (d, 1H, *J*=8.8 Hz), 7.86 (d, 1H, *J*=7.8 Hz), 7.70 (s, 1H), 7.52 (t, 1H, *J*=7.8 Hz), 7.14-7.09 (m, 2H), 6.158-6.56 (m, 1H), 2.15 (s, 3H) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz): δ=184.0 (C_q), 168.9 (C_q), 151.8 (C_q), 147.8 (CH), 139.5 (C_q), 133.8 (CH), 131.1 (CH), 123.3 (C_q), 122.4 (CH), 122.0 (CH), 121.6 (CH), 112.4 (CH), 25.0 (CH_3) ppm. HR-MS (ESI^+) m/z calculated for $[C_{13}H_{11}NNaO_3]^+$=$[M+Na]^+$: 352.0631; found 352.0628.

N-(2-(4-nitrobenzoyl)phenyl)acetamide (3ap): GP-1 was carried out with *ortho*-iodoacetanilide **1a** (104.4mg, 0.40 mmol**)** and aldehyde **2p** (241.6 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3ap** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 90:10 to 85:15) furnished the product **3ap** (14.0 mg, 12%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 80:20), R_f(**1a**)=0.50, R_f(**3ap**)=0.40, UV detection].

N-(2-(4-cyanobenzoyl)phenyl)acetamide (**3aq)**: GP-1 was carried out with *ortho*-iodoacetanilide **1a** (104.4mg, 0.40 mmol**)** and aldehyde **2q** (209.6 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3aq** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 90:10 to 85:15) furnished the product **3aq** (11.0 mg, 10%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 80:20), R_f(**1a**)=0.50, R_f(**3aq**)=0.40, UV detection]. IR (MIR-ATR, 4000–600 cm^{-1}): ν_{max}=3103, 2968, 2309, 1695, 1670, 1589, 1466, 1285, 1088, 940, 727 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz): δ=10.80 (br.s, 1H), 8.65 (d, 1H, *J*=7.8 Hz), 7.80-7.75 (m, 4H), 7.63-7.58 (m, 1H), 7.43 (dd, 1H, *J*=7.8 and *J*=1.4 Hz), 7.11-7.06 (m, 1H), 2.23 (s, 3H) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz): δ=198.0 (C_q), 169.3 (C_q), 142.3 (C_q), 140.9 (C_q), 135.3 (CH), 133.4 (CH), 132.1 (2×CH), 130.0 (2×CH), 122.3 (CH), 122.0 (C_q), 121.7 (CH), 117.8 (C_q), 115.6 (C_q), 25.3 (CH_3) ppm. HR-MS (ESI^+) m/z calculated for $[C_{16}H_{13}N_2O_2]^+$=$[M+H]^+$: 265.0972; found 265.0969.

N-(2-butyrylphenyl)acetamide (3ar): GP-1 was carried out with *ortho*-iodoacetanilide **1a** (104.4mg, 0.40 mmol**)** and aldehyde **2r** (115.2 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3ar** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 95:05 to 90:10) furnished the product **3ar** (47.5 mg, 58%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 85:15), R_f(**1a**)=0.50, R_f(**3ar**)=0.60, UV detection].

N-(2-pentanoylphenyl)acetamide (3as): GP-1 was carried out with *ortho*-iodoacetanilide **1a** (104.4mg, 0.40 mmol**)** and aldehyde **2s** (131.2 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3as** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 95:05 to 90:10) furnished the product **3as** (56.9 mg, 65%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 85:15), R_f(**1a**)=0.50, R_f(**3as**)=0.60, UV detection].

N-(2-hexanoylphenyl)acetamide (3at): GP-1 was carried out with *ortho*-iodoacetanilide **1a** (104.4mg, 0.40 mmol**)** and aldehyde **2t** (160 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the

product **3at** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 95:05 to 90:10) furnished the product **3at** (55.9 mg, 60%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 85:15), R_f(**1a**)=0.50, R_f(**3at**)=0.60, UV detection].

N-(2-(4-methylbenzoyl)phenyl)propionamide (3bb): GP-1 was carried out with *ortho*-iodoacetanilide **1b** (110.0mg, 0.40 mmol**)** and aldehyde **2b** (192.0 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3bb** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 90:10 to 85:15) furnished the product **3bb** (85.4 mg, 80%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 85:15), R_f(**1b**)=0.50, R_f(**3bb**)=0.55, UV detection].

N-(2-benzoyl-4-chlorophenyl)acetamide (3da): GP-1 was carried out with *ortho*-iodoacetanilide **1d** (118.0 mg, 0.40 mmol**)** and aldehyde **2a** (169.6 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3da** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 85:15 to 80:20) furnished the product **3da** (82.9 mg, 76%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 85:15), R_f(**1d**)=0.50, R_f(**3da**)=0.40, UV detection].

N-(2-(2-bromobenzoyl)-4-chlorophenyl)acetamide (3dj): GP-1 was carried out with *ortho*-iodoacetanilide **1d** (118.0 mg, 0.40 mmol**)** and aldehyde **2j** (296 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3dj** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 85:15 to 80:20) furnished the product **3dj** (110.6 mg, 79%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 85:15), R_f(**1d**)=0.50, R_f(**3dj**)=0.40, UV detection]. IR (MIR-ATR, 4000–600 cm^{-1}): ν_{max}=3190, 2960, 1709, 1677, 1599, 1456, 1288, 1088, 944, 720 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz): δ=11.39 (br.s, 1H), 8.78 (d, 1H, *J*=8.8 Hz), 7.67 (dd, 1H, *J*=7.8 and *J*=1.4 Hz), 7.51 (dd, 1H, *J*=9.2 and *J*=1.4 Hz), 7.46-7.36 (m, 2H), 7.29-7.26 (m, 2H), 2.27 (s, 3H) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz): δ=198.8 (C_q), 169.5 (C_q), 140.4 (C_q), 139.9 (C_q), 135.6 (CH), 133.5 (CH), 133.4 (CH), 131.6 (CH), 128.6 (CH), 127.4 (CH), 127.2 (C_q), 122.2 (CH), 122.1 (C_q), 119.2 (CH), 25.5 (CH_3) ppm. HR-MS (ESI^+) m/z calculated for $[C_{15}H_{11}BrClNNaO_2]^+$=$[M+Na]^+$: 373.9554; found 373.9550.

N-(2-benzoyl-4-fluorophenyl)acetamide (3ea): GP-1 was carried out with *ortho*-iodoacetanilide **1e** (111.6mg, 0.40 mmol**)** and aldehyde **2a** (169.6 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3ea** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 85:15 to 80:20) furnished the product **3ea** (70.9 mg, 69%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 85:15), R_f(**1e**)=0.50, R_f(**3ea**)=0.55, UV detection].

N-(2-(2-bromobenzoyl)-4-fluorophenyl)acetamide (3ej): GP-1 was carried out with *ortho*-iodoacetanilide **1e** (111.6mg, 0.40 mmol**)** and aldehyde **2j** (296 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3ej** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 90:10 to 85:15) furnished the product **3ej** (79.2 mg, 59%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 85:15), R_f(**1e**)=0.50, R_f(**3ej**)=0.55, UV detection]. IR (MIR-ATR, 4000–600 cm^{-1}): ν_{max}=3102, 2960, 1710, 1677, 1599, 1456, 1288, 1088, 944, 720 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz): δ=11.30 (br.s, 1H), 8.79 (dd, 1H, *J*=9.2 and *J*=5.3 Hz), 7.65 (dd, 1H, *J*=7.8 and *J*=0.9 Hz), 7.45-7.35 (m, 2H), 7.31-7.26 (m, 2H), 6.99 (dd, 1H, *J*=7.8 and *J*=0.9 Hz), 2.26 (s, 3H) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz): δ=198.7 (C_q), 169.3 (C_q), 158.0 (C_q), 155.6 (C_q), 140.0 (C_q), 138.2 (C_q), 133.3 (CH), 131.6 (CH), 128.5 (CH), 127.4 (CH), 123.0 (CH), 122.7 (CH), 122.6 (CH), 122.5 (CH), 122.0 (CH), 122.0 (CH), 120.0 (C_q), 119.7 (C_q), 119.1 (C_q), 25.4 (CH_3) ppm. HR-MS (ESI^+) m/z calculated for $[C_{15}H_{11}BrFNNaO_2]^+$=$[M+Na]^+$: 357.9849; found 357.9841.

N-(2-benzoyl-4,6-dimethylphenyl)acetamide (3ga): GP-1 was carried out with *ortho*-iodoacetanilide **1g** (115.6mg, 0.40 mmol**)** and aldehyde **2a** (169.6 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3ga** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 85:15 to 80:20) furnished the product **3ga** (76.8 mg, 72%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 85:15), R_f(**1g**)=0.50, R_f(**3ga**)=0.40, UV detection].

N-(2,4-dimethyl-6-(4-methylbenzoyl)phenyl)acetamide (3gb): GP-1 was carried out with *ortho*-iodoacetanilide **1g** (115.6mg, 0.40 mmol**)** and aldehyde **2b** (192.0 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 15 h for the product **3gb** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 85:15 to 80:20) furnished the product **3gb** (76.4 mg, 68%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 85:15), R_f(**1g**)=0.50, R_f(**3gb**)=0.40, UV detection]. IR (MIR-ATR, 4000–600 cm^{-1}): ν_{max}=3210, 2960, 1702, 1677, 1599, 1456, 1288, 1088, 944, 720 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz): δ=8.48 (br.s, 1H), 7.72 (d, 2H, *J*=8.3 Hz), 7.24 (d, 2H, *J*=7.8 Hz), 7.16 (s, 1H), 7.00 (s, 1H), 2.41 (s, 3H), 2.28 (s, 3H), 2.21 (s, 3H), 1.97 (s, 3H) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz):

δ=197.6 (C_q), 168.7 (C_q), 144.0 (C_q), 135.9 (C_q), 135.1 (C_q), 134.7 (C_q), 134.4 (CH), 134.1 (C_q), 131.8 (C_q), 130.6 (2×CH), 128.9 (2×CH), 128.2 (CH), 23.3 (CH_3), 21.6 (CH_3), 20.8 (CH_3), 18.5 (CH_3) ppm. HR-MS (ESI^+) m/z calculated for $[C_{18}H_{20}NO_2]^+$=$[M+H]^+$: 282.1489; found 282.1491.

N-(4-benzoylphenyl)acetamide (3ha): GP-1 was carried out with *ortho*-iodoacetanilide **1h** (104.4mg, 0.40 mmol**)** and aldehyde **2a** (169.6 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **3ha** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 85:15 to 80:20) furnished the product **3ha** (52.5 mg, 55%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 85:15), R_f(**1h**)=0.50, R_f(**3ha**)=0.30, UV detection].

2-benzoylphenyl acetate (4ia): GP-1 was carried out with *ortho*-iodophenylacetate **1i** (104.4mg, 0.40 mmol**)** and aldehyde **2a** (169.6 mg, 1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 12 h for the product **4ia** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 97:03 to 95:05) furnished the product **4ia** (59.5 mg, 62%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 96:04), R_f(**1i**)=0.50, R_f(**4ia**)=0.30, UV detection].

2-(4-methylbenzoyl)phenyl acetate (4ib): GP-1 was carried out with *ortho*-iodophenylacetate **1i** (104.4mg, 0.40 mmol**)** and aldehyde **2b** (192.0 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 13 h for the product **4ib** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 97:03 to 95:05) furnished the product **4ib** (68.0 mg, 67%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 96:04), R_f(**1i**)=0.50, R_f(**4ib**)=0.30, UV detection].

2-(4-fluorobenzoyl)phenyl acetate (4if): GP-1 was carried out with *ortho*-iodophenylacetate **1i** (104.4mg, 0.40 mmol**)** and aldehyde **2f** (198.4 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **4if** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 97:03 to 95:05) furnished the product **4if** (60.8 mg, 59%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 96:04), R_f(**1i**)=0.50, R_f(**4if**)=0.30, UV detection].

2-(4-bromobenzoyl)phenyl acetate (4ik): GP-1 was carried out with *ortho*-iodophenylacetate **1i (**104.4mg, 0.40 mmol**)** and aldehyde **2k** (296.0 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **4ik** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 97:03 to 95:05) furnished the product **4ik** (82.9 mg, 65%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 96:04), R_f(**1i**)=0.50, R_f(**4ik**)=0.30, UV detection].

4-benzoylphenyl acetate (4ja): GP-1 was carried out with *para*-iodophenylacetate **1j** (104.4mg, 0.40 mmol**)** and aldehyde **2a** (169.6 mg, 1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 12 h for the product **4ja** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 97:03 to 95:05) furnished the product **4ja** (68.0 mg, 71%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 96:04), R_f(**1j**)=0.40, R_f(**4ja**)=0.20, UV detection].

4-(4-methylbenzoyl)phenyl acetate (4jb): GP-1 was carried out with *para*-iodophenylacetate **1j** (104.4mg, 0.40 mmol**)** and aldehyde **2b** (192.0 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **4jb** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 97:03 to 95:05) furnished the product **4jb** (66.0 mg, 65%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 96:04), R_f(**1j**)=0.40, R_f(**4jb**)=0.30, UV detection].

4-(4-fluorobenzoyl)phenyl acetate (4jf): GP-1 was carried out with *para*-iodophenylacetate **1j** (104.4mg, 0.40 mmol**)** and aldehyde **2f** (198.4 mg,1.6 mmol) in presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h for the product **4jf** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 97:03 to 95:05) furnished the product **4jf** (51.6 mg, 50%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 96:04), R_f(**1j**)=0.50, R_f(**4jf**)=0.30, UV detection].

(2-aminophenyl)(phenyl)methanone (5aa): GP-2 was carried out with *ortho*-iodoacetanilide **1a** (104.4 mg, 0.40 mmol**)** and aldehyde **2a** (169.6 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 14 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1a**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added MeOH : conc. HCl (1.5 : 1.5 ml) and allowed the reaction mixture to stir at 60 °C for 3 h for the product **5aa** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 97:03 to 95:05) furnished the product **5aa** (56.7 mg, 72%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 96:04), R_f(**5aa**)=0.80, UV detection].

(2-aminophenyl)(naphthalen-1-yl)methanone (5ae): GP-2 was carried out with *ortho*-iodoacetanilide **1a** (104.4 mg, 0.40 mmol**)**

and aldehyde **2e** (249.6 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 15 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1a**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added MeOH : conc. HCl (1.5 : 1.5 ml) and allowed the reaction mixture to stir at 60 °C for 4 h for the product **5ae** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 97:03 to 95:05) furnished the product **5ae** (62.2 mg, 63%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 96:04), R_f(**5ae**)=0.80, UV detection].

(2-aminophenyl)(3-methoxyphenyl)methanone (5al): GP-2 was carried out with *ortho*-iodoacetanilide **1a** (104.4 mg, 0.40 mmol**)** and aldehyde **2l** (217.6 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 16 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1a**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added MeOH : conc. HCl (1.5 : 1.5 ml) and allowed the reaction mixture to stir at 60 °C for 4 h for the product **5al** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 90:10 to 95:05) furnished the product **5al** (76.3 mg, 84%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 95:05), R_f(**5al**)=0.30, UV detection].

(2-aminophenyl)(3,4-dimethoxyphenyl)methanone (5am): GP-2 was carried out with *ortho*-iodoacetanilide **1a** (104.4 mg, 0.40 mmol**)** and aldehyde **2m** (217 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 15 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1a**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added MeOH: conc. HCl (1.5: 1.5 ml) and allowed the reaction mixture to stir at 60 °C for 3 h for the product **5am** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 85:15 to 90:10) furnished the product **5am** (77.1 mg, 75%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 90:10), R_f(**5am**)=0.40, UV detection].

(2-amino-5-chlorophenyl)(p-tolyl)methanone (5db): GP-2 was carried out with *ortho*-iodoacetanilide **1d** (118.0 mg, 0.40 mmol**)** and aldehyde **2b** (192.0 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP (257.1 mg, 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 18 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1d**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added MeOH : conc. HCl (1.5 : 1.5 ml) and allowed the reaction mixture to stir at 60 °C for 3 h for the product **5db** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 97:03 to 95:05) furnished the product **5db** (58.8 mg, 60%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 96:04), R_f(**5db**)=0.80, UV detection].

4-phenylquinolin-2(1H)-one (6aa): GP-3 was carried out with *ortho*-iodoacetanilide **1a** (104.4 mg, 0.40 mmol**)** and aldehyde **2a** (169.6 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP in decane (180. 0 mg, (0.36 ml), 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 16 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1a**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added DMF (1.5 ml) and NaH (96.0 mg, 2.4 mmol) then allowed the reaction mixture to stir at 120 °C for 2 h for the product **6aa** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 70:30 to 75:25) furnished the product **6aa** (59.2 mg, 67%) as a white solid. [TLC control (petroleum ether/ethyl acetate 70:30), R_f(**6aa**)=0.40, UV detection].

4-(p-tolyl)quinolin-2(1H)-one (6ab): GP-3 was carried out with *ortho*-iodoacetanilide **1a** (104.4 mg, 0.40 mmol**)** and aldehyde **2b** (192.0mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP in decane (180. 0 mg, (0.36 ml), 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 16 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1a**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added DMF (1.5 ml) and NaH (96.0 mg, 2.4 mmol) then allowed the reaction mixture to stir at 120 °C for 2 h for the product **6ab** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 70:30 to 75:25) furnished the product **6ab** (60.1 mg, 64%) as a white solid. [TLC control (petroleum ether/ethyl acetate 70:30), R_f(**6ab**)=0.40, UV detection].

4-(o-tolyl)quinolin-2(1H)-one (6ac): GP-3 was carried out with *ortho*-iodoacetanilide **1a** (104.4 mg, 0.40 mmol**)** and aldehyde **2c** (192.0 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP in decane (180. 0 mg, (0.36 ml), 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 15 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1a**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added DMF (1.5 ml) and NaH (96.0 mg, 2.4 mmol) then allowed the reaction mixture to stir at 120 °C for 2.5 h for the product **6ac** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 70:30 to 75:25) furnished the product **6ac** (62.0 mg, 66%) as a white solid. [TLC control (petroleum ether/ethyl acetate 70:30), R_f(**6ac**)=0.40, UV detection].

4-(4-ethylphenyl)quinolin-2(1H)-one (6ad): GP-3 was carried out with *ortho*-iodoacetanilide **1a** (104.4 mg, 0.40 mmol**)** and aldehyde **2d (**214.4 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP in

decane (180. 0 mg, (0.36 ml), 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 16 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1a**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added DMF (1.5 ml) and NaH (96.0 mg, 2.4 mmol) then allowed the reaction mixture to stir at 120 °C for 2.5 h for the product **6ad** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 70:30 to 75:25) furnished the product **6ad** (68.7 mg, 69%) as a solid. [TLC control (petroleum ether/ethyl acetate 70:30), R_f(**6ad**)=0.40, UV detection]. IR (MIR-ATR, 4000–600 cm^{-1}): ν_{max}=3110, 2960, 1722, 1677, 1599, 1456, 1288, 1088, 944, 720 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz): δ=12.93 (br.s, 1H), 7.60 (d, 1H, *J*=7.3 Hz), 7.56-7.49 (m, 2H), 7.41-7.38 (m, 2H), 7.34 (d, 1H, *J*=8.3 Hz), 7.18-7.14 (m, 2H), 6.69 (s, 1H), 2.75 (q, 2H, *J*=7.6 Hz), 1.32 (t, 3H, *J*=7.6 Hz) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz): δ=164.4 (C_q), 153.5 (C_q), 145.0 (C_q), 138.9 (C_q), 134.4 (C_q), 130.6 (CH), 128.9 (2×CH), 128.1 (2×CH), 126.8 (CH), 122.4 (CH), 120.5 (CH), 119.7 (C_q), 116.7 (CH), 28.6 (CH_2), 15.4 (CH_3) ppm. HR-MS (ESI^+) m/z calculated for $[C_{17}H_{16}NO]^+$=$[M+H]^+$: 250.1226; found 250.1226.

4-(naphthalen-1-yl)quinolin-2(1H)-one (6ae): GP-3 was carried out with *ortho*-iodoacetanilide **1a** (104.4 mg, 0.40 mmol**)** and aldehyde **2e** (249.6 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP in decane (180. 0 mg, (0.36 ml), 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 18 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1a**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added DMF (1.5 ml) and NaH (96.0 mg, 2.4 mmol) then allowed the reaction mixture to stir at 120 °C for 3 h for the product **6ae** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 70:30 to 75:25) furnished the product **6ae** (78.0 mg, 72%) as a white solid. [TLC control (petroleum ether/ethyl acetate 70:30), R_f(**6ae**)=0.40, UV detection]. IR (MIR-ATR, 4000–600 cm^{-1}): ν_{max}=3301, 2960, 1722, 1677, 1599, 1456, 1288, 1088, 944, 720 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz): δ=12.90 (br.s, 1H), 7.98 (dd, 2H, *J*=8.3 and 1.2 Hz), 7.68-7.58 (m, 3H), 7.54-7.47 (m, 3H), 7.41-7.37 (m, 1H), 7.08-6.99 (m, 2H), 6.83 (s, 1H) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz): δ=164.0 (C_q), 152.3 (C_q), 138.1 (C_q), 134.3 (C_q), 133.1 (C_q), 131.0 (C_q), 130.5 (CH), 128.7 (CH), 128.0 (CH), 126.9 (CH), 126.4 (CH), 126.3 (CH), 126.0 (CH), 125.5 (CH), 125.0 (CH), 122.3 (CH), 121.8 (CH), 120.4 (C_q), 116.2 (CH) ppm. HR-MS (ESI^+) m/z calculated for $[C_{19}H_{14}NO]^+$=$[M+H]^+$: 272.1070; found 272.1060.

4-(4-fluorophenyl)quinolin-2(1H)-one (6af): GP-3 was carried out with *ortho*-iodoacetanilide **1a** (104.4 mg, 0.40 mmol**)** and aldehyde **2f** (198.4 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP in decane (180. 0 mg, (0.36 ml), 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 17 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1a**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added DMF (1.5 ml) and NaH (96.0 mg, 2.4 mmol) then allowed the reaction mixture to stir at 120 °C for 2 h for the product **6af** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 70:30 to 75:25) furnished the product **6af** (71.7 mg, 75%) as a white solid. [TLC control (petroleum ether/ethyl acetate 70:30), R_f(**6af**)=0.40, UV detection].

4-(3-methoxyphenyl)quinolin-2(1H)-one (6al): GP-3 was carried out with *ortho*-iodoacetanilide **1a** (104.4 mg, 0.40 mmol**)** and aldehyde **2l** (217.6 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP in decane (180. 0 mg, (0.36 ml), 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 17 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1a**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added DMF (1.5 ml) and NaH (96.0 mg, 2.4 mmol) then allowed the reaction mixture to stir at 120 °C for 3 h for the product **6al** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 70:30 to 75:25) furnished the product **6al** (72.2 mg, 72%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 70:30), R_f(**6al**)=0.35, UV detection].

4-(3,4-dimethoxyphenyl)quinolin-2(1H)-one (6an): GP-3 was carried out with *ortho*-iodoacetanilide **1a** (104.4 mg, 0.40 mmol**)** and aldehyde **2n** (265.6 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP in decane (180. 0 mg, (0.36 ml), 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 18 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1a**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added DMF (1.5 ml) and NaH (96.0 mg, 2.4 mmol) then allowed the reaction mixture to stir at 120 °C for 3 h for the product **6an** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 70:30 to 75:25) furnished the product **6an** (77.5 mg, 69%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 70:30), R_f(**6an**)=0.3, UV detection].

4-(furan-2-yl)quinolin-2(1H)-one (6ao): GP-3 was carried out with *ortho*-iodoacetanilide **1a** (104.4 mg, 0.40 mmol**)** and aldehyde **2o** (153.6 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP in decane (180. 0 mg, (0.36 ml), 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 12 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1a**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added DMF (1.5 ml) and NaH (96.0 mg, 2.4 mmol) then allowed the reaction mixture to stir at 120 °C for 1 h for the product **6ao** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 70:30 to 75:25) furnished the product **6ao** (51.4 mg, 61%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate70:30), R_f(**6ao**)=0.40, UV detection].

3-methyl-4-phenylquinolin-2(1H)-one (6ba): GP-3 was carried out with *ortho*-iodoacetanilide **1b** (110.0 mg, 0.40 mmol**)** and aldehyde **2a** (169.6 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP in decane (180. 0 mg, (0.36 ml), 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 18 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1b**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added DMF (1.5 ml) and NaH (96.0 mg, 2.4 mmol) then allowed the reaction mixture to stir at 120 °C for 2 h for the product **6ba** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 70:30 to 75:25) furnished the product **6ba** (68.6 mg, 73%) as a white solid. [TLC control (petroleum ether/ethyl acetate 70:30), R_f(**6ba**)=0.40, UV detection].

3-methyl-4-(p-tolyl)quinolin-2(1H)-one (6bb): GP-3 was carried out with *ortho*-iodoacetanilide **1b** (110.0 mg, 0.40 mmol**)** and aldehyde **2b** (192.0 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP in decane (180. 0 mg, (0.36 ml), 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 16 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1b**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added DMF (1.5 ml) and NaH (96.0 mg, 2.4 mmol) then allowed the reaction mixture to stir at 120 °C for 2.5 h for the product **6bb** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 70:30 to 75:25) furnished the product **6bb** (79.6 mg, 80%) as a white solid. [TLC control (petroleum ether/ethyl acetate 70:30), R_f(**6bb**)=0.40, UV detection].

4-(3-methoxyphenyl)-3-methylquinolin-2(1H)-one (6bl): GP-3 was carried out with *ortho*-iodoacetanilide **1b** (110.0 mg, 0.40 mmol**)** and aldehyde **2l** (217.6 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP in decane (180. 0 mg, (0.36 ml), 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 18 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1b**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added DMF (1.5 ml) and NaH (96.0 mg, 2.4 mmol) then allowed the reaction mixture to stir at 120 °C for 3 h for the product **6bl** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 70:30 to 75:25) furnished the product **6bl** (75.2 mg, 71%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 70:30), R_f(**6bl**)=0.30, UV detection]. IR (MIR-ATR, 4000–600 cm^{-1}): ν_{max}=3100, 2960, 1722, 1677, 1599, 1456, 1288, 1088, 944, 720 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz): δ=12.67 (br.s, 1H), 7.51 (d, 1H, *J*=7.3 Hz), 7.45-7.41 (m, 2H), 7.12-7.04 (m, 2H), 7.00 (dd, 1H, *J*=7.3 and *J*=2.4 Hz), 6.84-6.68 (m, 2H), 3.84 (s, 3H), 2.10 (s, 3H) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz): δ=164.6 (C_q), 159.7 (C_q), 148.7 (C_q), 138.3 (C_q), 136.9 (C_q), 129.7 (CH), 129.3 (CH), 127.2 (C_q), 126.7 (CH), 122.2 (CH), 121.0 (CH), 120.9 (CH), 115.9 (CH), 114.3 (CH), 113.4 (CH), 55.3 (CH_3), 14.2 (CH_3) ppm. HR-MS (ESI^+) m/z calculated for $[C_{17}H_{16}NO_2]^+$=$[M+H]^+$: 266.1176; found 266.1168.

4-(3,4-dimethoxyphenyl)-3-methylquinolin-2(1H)-one (6bn): GP-3 was carried out with *ortho*-iodoacetanilide **1b** (110.0 mg, 0.40 mmol**)** and aldehyde **2n** (265.6 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP in decane (180. 0 mg, (0.36 ml), 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 20 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1b**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added DMF (1.5 ml) and NaH (96.0 mg, 2.4 mmol) then allowed the reaction mixture to stir at 120 °C for 3 h for the product **6bn** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 70:30 to 75:25) furnished the product **6bn** (73.1 mg, 62%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 70:30), R_f(**6bn**)=0.250, UV detection]. IR (MIR-ATR, 4000–600 cm^{-1}): ν_{max}=3230, 2960, 1722, 1677, 1599, 1456, 1288, 1088, 944, 720 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz): δ=12.00 (br.s, 1H), 7.44 (d, 2H, *J*=3.4 Hz), 7.16-7.14 (m, 1H), 7.09-7.05 (m, 1H), 7.01 (d, 1H, *J*=7.8 Hz), 6.80 (dd, 1H, *J*=8.3 and *J*=1.9 Hz), 6.75 (d, 1H, *J*=1.9 Hz), 3.97 (s, 3H), 3.87 (s, 3H), 2.10 (s, 3H) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz): δ=164.3 (C_q), 149.1 (C_q), 148.6 (C_q), 136.9 (C_q), 129.4 (C_q), 129.2 (CH), 127.8 (2×C_q), 126.8 (CH), 122.2 (CH), 121.3 (C_q), 121.2 (CH), 115.7 (CH), 111.9 (CH), 111.3 (CH), 56.0 (CH_3), 55.9 (CH_3), 14.4 (CH_3) ppm. HR-MS (ESI^+) m/z calculated for $[C_{18}H_{18}NO_3]^+$=$[M+H]^+$: 296.1281; found 296.1278.

3-ethyl-4-(p-tolyl)quinolin-2(1H)-one (6cb): GP-3 was carried out with *ortho*-iodoacetanilide **1c** (115.6 mg, 0.40 mmol**)** and aldehyde **2b** (192.0 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP in decane (180. 0 mg, (0.36 ml), 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 16 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1c**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added DMF (1.5 ml) and NaH (96.0 mg, 2.4 mmol) then allowed the reaction mixture to stir at 120 °C for 2 h for the product **6cb** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate70:30 to 75:25) furnished the product **6cb** (73.6 mg, 70%) as a solid. [TLC control (petroleum ether/ethyl acetate 70:30), R_f(**6cb**)=0.40, UV detection]. IR (MIR-ATR, 4000–600 cm^{-1}): ν_{max}= 31 34, 2960, 1722, 1677, 1599, 1456, 1288, 1088, 944, 720 cm^{-1}. ^{1}H NMR ($CDCl_3$, 400 MHz): δ=12.67 (br.s, 1H), 7.50-7.48 (m, 1H), 7.44-7.40 (m, 1H), 7.32 (d, 2H, *J*=7.3 Hz), 7.15 (d, 2H, *J*=7.8 Hz), 7.04 (d, 2H, *J*=3.9 Hz), 2.54 (q, 2H, *J*=7.6 Hz), 2.47 (s, 3H), 1.13 (t, 3H, *J*=7.6 Hz) ppm. ^{13}C NMR ($CDCl_3$, 100 MHz): δ=164.2 (C_q), 148.6 (C_q), 137.5 (C_q), 137.1 (C_q), 133.7 (C_q), 133.2 (C_q), 129.2 (3×CH), 128.6 (2×CH), 126.9 (CH), 122.0 (CH), 121.5 (C_q), 115.8 (CH), 21.6 (CH_2), 21.3 (CH_3), 14.0 (CH_3) ppm. HR-MS (ESI^+) m/z calculated for $[C_{18}H_{18}NO]^+$=$[M+H]^+$: 264.1383; found 264.1380.

6-chloro-4-phenylquinolin-2(1H)-one (6da): GP-3 was carried out with *ortho*-iodoacetanilide **1d** (118.0 mg, 0.40 mmol**)** and aldehyde **2a** (169.6 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP in decane (180. 0 mg, (0.36 ml), 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 17 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1d**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added DMF (1.5 ml) and NaH (96.0 mg, 2.4 mmol) then allowed the reaction mixture to stir at 120 °C for 1 h for the product **6da** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 70:30 to 75:25) furnished the product **6da** (66.3 mg, 65%) as a white solid. [TLC control (petroleum ether/ethyl acetate 70:30), R_f(**6da**)=0.40, UV detection].

4-(2-bromophenyl)-6-chloroquinolin-2(1H)-one (6dj): GP-3 was carried out with *ortho*-iodoacetanilide **1d** (118.0 mg, 0.40 mmol**)** and aldehyde **2j** (296.0 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP in decane (180. 0 mg, (0.36 ml), 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 18 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1d**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added DMF (1.5 ml) and NaH (96.0 mg, 2.4 mmol) then allowed the reaction mixture to stir at 120 °C for 1 h for the product **6dj** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 70:30 to 75:25) furnished the product **6dj** (78.8 mg, 59%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 70:30), R_f(**6dj**)=0.40, UV detection]. IR (MIR-ATR, 4000–600 cm^{-1}): ν_{max}= 3233, 2960, 1722, 1677, 1599, 1456, 1288, 1088, 944, 720 cm^{-1}. ^{1}H NMR (DMSO-d_6, 400 MHz): δ=12.13 (br.s, 1H), 7.81 (d, 1H, *J*=7.8 Hz), 7.55 (t, 2H, *J*=8.0 Hz), 7.48-7.40 (m, 3H), 6.81 (s, 1H), 6.44 (s, 1H) ppm. ^{13}C NMR (DMSO-d_6, 100 MHz): δ=161.2 (C_q), 149.7 (C_q), 137.7 (C_q), 136.7 (C_q), 132.9 (CH), 131.1 (CH), 130.9 (CH), 130.8 (CH), 128.4 (CH), 126.0 (C_q), 124.7 (CH), 123.2 (CH), 121.8 (C_q), 119.6 (C_q), 117.9 (CH), ppm. HR-MS (ESI$^+$) m/z calculated for $[C_{15}H_{10}BrClNO]^+$=$[M+H]^+$: 333.9629; found 333.9621.

6-bromo-4-(3-chlorophenyl)quinolin-2(1H)-one (6fh): GP-3 was carried out with *ortho*-iodoacetanilide **1f** (135.6 mg, 0.40 mmol**)** and aldehyde **2h** (224.0 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP in decane (180. 0 mg, (0.36 ml), 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 16 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1f**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added DMF (1.5 ml) and NaH (96.0 mg, 2.4 mmol) then allowed the reaction mixture to stir at 120 °C for 1 h for the product **6fh** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 70:30 to 75:25) furnished the product **6fh** (96.3 mg, 70%) as a viscous liquid. [TLC control (petroleum ether/ethyl acetate 70:30), R_f(**6fh**)=0.30, UV detection].

6,8-dimethyl-4-(p-tolyl)quinolin-2(1H)-one (6gb): GP-3 was carried out with *ortho*-iodoacetanilide **1g** (115.6 mg, 0.40 mmol**)** and aldehyde **2b** (192.0 mg, 1.6 mmol) in the presence of $Pd(OAc)_2$ (5.0 mg, 5 mol %), Ag_2O (111.3 mg, 0.48 mmol) and TBHP in decane (180. 0 mg, (0.36 ml), 2.0 mmol) and allowed the reaction mixture to stir at 120 °C for 18 h. Progress of the reaction was monitored by TLC till the *ortho*-iodoacetanilide **1g**, was completed. Then the reaction mixture was removed from oil bath and allow to reach room temperature and then added DMF (1.5 ml) and NaH (96.0 mg, 2.4 mmol) then allowed the reaction mixture to stir at 120 °C for 4 h for the product **6gb** formation**.** Purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate, 70:30 to 75:25) furnished the product **6gb** (71.5 mg, 68%) as a solid. [TLC control (petroleum ether/ethyl acetate 70:30), R_f(**6gb**)=0.30, UV detection].

Acknowledgements ((optional))

We are grateful to the Council of Scientific and Industrial Research [(CSIR), No. 02(0262)/16/EMR-II], New Delhi, for financial support. S.B. thanks MHRD, New Delhi, for the award of a research fellowship.

Keywords: One-pot reaction • Acylation • Quinolinones • HBV-inhibitor • Tipifarnib

Reference:

1. (a) R. M. Forbis, K. L. Jr. Rinehart, *J. Am. Chem. Soc*. **1973**, *95*, 5003-5013; (b) H. M. Hassanin, S. M. El-edfawy, Heterocycles **2012**, *85*, 2421-2436; (c) Z.-J. Ni, P. Barsanti, N. Brammeier, A. Diebes, D. J. Poon, S. Ng, S. Pecchi, K. Pfister, P. A. Renhowe, S. Ramurthy, A. S. Wagman, D. E. Bussiere, V. Le, Y. Zhou, J. M. Jansen, S. Ma, T. G. Gesner, *Bioorg. Med. Chem. Lett*. **2006**, *16*, 3121-3124.
2. (a) Q. Liu, H. Zhang, A. Lei, *Angew. Chem., Int. Ed*. **2011**, *50*, 10788-10799; (b) X.-F. Wu, H. Neumann, M. Beller, *Chem. Rev*. **2013**, *113*, 1-35.
3. (a) B. Tang, R. Song, C. Wu, Y. Liu, M. Zhou, W. Wei, G. Deng, *J. Am. Chem. Soc.* **2010**, *132*, 8900–8902; (b) Q. Y. Toh, A. McNally, S. Vera, N. Erdmann, M. J. Gaunt, *J. Am. Chem. Soc.* **2013**, *135*, 3772–3775; (c) Z. Yin, P. Sun, *J. Org. Chem.* **2012**, *77*, 11339–11344; (d) X. Jia, S. Zhang, W. Wang, F. Luo, J. Cheng, *Org. Lett.* **2009**, *11*, 3120–3123; (e) M. Li, H. Ge, *Org. Lett.* **2010**, *12*, 3464–3467; (f) T. Satoh, T. Itaya, M. Miura, M. Nomura, *Chemistry Letters*. **1996**, 823–824; (g) S. Sharma, M. Kim, J. Park, M. Kim, J. H. Kwak, Y. H. Jung, J. S. Oh, Y. Lee, I. S. Kim, *European J. Org.*

Chem. **2013**, 6656–6665; (h) J. Park, E. Park, A. Kim, Y. Lee, K. W. Chi, J. H. Kwak, Y. H. Jung, I. S. Kim, *Org. Lett.* **2011**, *13*, 4390–4393; (i) H. Wang, L. N. Guo, X. H. Duan, *Org. Lett.* **2012**, *14*, 4358–4361; (j) W. Zhou, H. Li, L. Wang, *Org. Lett.* **2012**, *14*, 4594–4597; (k) F. Xiong, C. Qian, D. Lin, W. Zeng, X. Lu, *Org. Lett.* **2013**, *15*, 5444–5447.

4. Y. Kobayashi, T. Harayama, *Org. Lett*. **2009**, *11*, 1603-1606.
5. (a) J. M. Kraus, H. B. Tatipaka, S. A. McGuffin, N. K. Chennamaneni, M. Karimi, J. Arif, C. L. M. J. Verlinde, F. S. Buckner, M. H. Gelb, *J. Med. Chem*. **2010**, *53*, 3887-3898; (b) P. Cheng, Q. Zhang, Y.-B. Ma, Z.-Y. Jiang, X.-M. Zhang, F.-X. Zhang, J.-J. Chen, *Bioorg. Med. Chem. Lett.* **2008**, *18*, 3787-3789; (c) C. Peifer, R. Urich, V. Schattel, M. Abadleh, M. Röttig, O. Kohlbacher, S. Laufer, S. *Bioorg. Med. Chem. Lett*. **2008**, *18*, 1431-1435.
6. (a) T. Murayama, M. Shibuya, Y. Yamamoto, Y. *J. Org. Chem.* **2016**, *81*, 11940-11949; (b) R. Zeng, G. Dong, *J. Am. Chem. Soc.* **2015**, *137,* 1408-1411; (c) K. K. Park, J. J. Lee *Tetrahedron* **2004**, *60*, 2993–2999; (d) X. Chen, X. Cui, Y. Wu, *Org. Lett.* **2016**, *18*, 2411-2414; (e) K. Michalak, J. Wicha, *Tetrahedron Lett.* **2017**, *58*, 1917-1920; (f) C. Qi, T. Guo, W. Xiong, L. Wang, H. Jiang, *ChemistrySelect* **2017**, *2*, 4691-4695; (g) T. N. Glasnov, W. Stadlbauer, C. O. Kappe, *J. Org. Chem.* **2005**, *70*, 3864-3870. (h) A. C. Tadd, A. Matsuno, M. R. Fielding, M. C. Willis, *Org. Lett.* **2009**, *11*, 583-586.
7. a) X. Li, X. Li, N. Jiao, *J. Am. Chem. Soc.* **2015**, *137*, 9246-9249; (b) D. V. Kadnikov, R. C. Larock, *J. Org. Chem.* **2004**, *69*, 6772-6780; (c) P. J. Manley, M. T. Bilodeau, W. Point, V. Pennsyl, *Org. Lett.* **2004**, *6*, 2433-2435; (d) T. Vacala, L. P. Bejcek, C. G. Williams, A. C. Williamson, P. A. Vadola, *J. Org. Chem.* **2017**, *82*, 2558-2569; (e) C. Jia, D. Piao, T. Kitamura, Y. Fujiwara, *J. Org. Chem.* **2000**, *65*, 7516-7522; (f) K. Inamoto, T. Saito, K. Hiroya, T. Doi, *J. Org. Chem.* **2010**, *75*, 3900-3903; (g) H. Alper, J. Guson, F. Zeng, N. Alwis, *Org. Lett.* **2013**, *15*, 1998-2001; (h) S. Song Sun, H. Wei-Ming, N. Gu, J. Cheng, *Chem. - A Eur. J.* **2016**, *22*, 18729-18732; (i) Z. Zhang, L. L. Liao, S. S. Yan, L. Wang, Y. Q. He, J. H. Ye, J. Li, Y. G. Zhi, D. G. Yu, *Angew. Chemie - Int. Ed.* **2016**, *55*, 7068-7072; (j) R. Manikandan, M. Jeganmohan, *Org. Lett.* **2014**, *16*, 3568-3571; (k) R. Kancherla, T. Naveen, D. Maiti, *Chem. - A Eur. J.* **2015**, *21*, 8360-8364; (l) Y. Deng, W. Gong, J. He, J. Q. Yu, *Angew. Chemie - Int. Ed.* **2014**, *53*, 6692-6695; (m) T. Iwai, T. Fujihara, J. Terao, Y. Tsuji, *J. Am. Chem. Soc.* **2010**, *132*, 9602-9603; (n) J. Wu, S. Xiang, J. Zeng, M. Leow, X. W. Liu, *Org. Lett.* **2015**, *17*, 222-225.
8. (a) L. Mahendar, J. Krishna, A. G. K. Reddy, B. V. Ramulu, G. Satyanarayana, *Org. Lett.* **2012**, *14*, 628–631; b) L. Mahendar, G. Satyanarayana, *J. Org. Chem.* **2014**, *79*, 2059–2074; c) L. Mahendar, G. Satyanarayana, *J. Org. Chem.* **2015**, *80*, 7089–7098; (d) D. R. Kumar, G. Satyanarayana, *Org. Lett.* **2015**, *17*, 5894–5897; (e) J. Krishna, A. G. K. Reddy, G. Satyanarayana, *Adv. Synth. Catal*. **2015**, *357*, 3597–3610; (f) L. Mahendar, G. Satyanarayana, *J. Org*. *Chem*. **2016**, *81*, 76857691; (g) A. G. K. Reddy, G. Satyanarayana, *J. Org*. *Chem*. **2016**, *81*, 12212-12222; (h) K. Ramesh, G. Satyanarayana, *J. Org*. *Chem*. **2017**, *82*, 4254-4264; (i) B. Suchand, G. Satyanarayana, *Eur. J. Org. Chem.* **2017**, *26*, 3886–3895.
9. B. Suchand, G. Satyanarayana, *J. Org*. *Chem*. **2016**, *81*, 6409-6423.
10. B. Suchand, G. Satyanarayana, *J. Org*. *Chem*. **2017**, *82*, 372-381.
11. Y. Wu, B. Li, F. Mao, X. Li, Y. F. Kwong, *Org. Lett.* **2011**, *13*, 3258-3261.
12. a) F. Szabó, B. Pethő, Z. Gonda, Z. Novák, *RSC Adv.* **2013**, *3*, 4903–4908. b) D. Evans, M. E. Cracknell, J. C. Saunders, C. E. Smith, W. R. Williamson, W. Dawson, W. J. Sweatman, *J. Med. Chem.* **1987**, *30*, 1321–1327. c) L. Meng, J. Su, Z. Zha, L. Zhang, Z. Zhang, Z. Wang, *Chem. - A Eur. J.* **2013**, *19*, 5542–5545. d) Z. D. Yang, Z. W. Song, J. Ren, M. J. Yang, S. Li, *Phytochem. Anal.* **2011**, *22*, 509–515. e) B. Zhao, X. Lu, *Org. Lett.* **2006**, *8*, 5987–5990. f) Y. Ji, X. Yang, W. Mao, *Appl. Organomet. Chem.* **2014**, *28*, 678–680.
13. a) J. Chen, L. Ye, W. Su, *Org. Biomol. Chem.* **2014**, *12*, 8204–8211. b) M. Aidene, F. Belkessam, J. F. Soulé, H. Doucet, *ChemCatChem* **2016**, *8*, 1583–1590. c) E. Barile, S. K. De, Y. Feng, V. Chen, L. Yang, Z. Ronai, M. Pellecchia*, Chem. Biol. Drug Des*. **2013**, *82*, 520–533.
14. (a) R. Labaudinihre, W. Hendel, B. Terlain, F. Cavy, O. Marquis, N. Dereu, *J. Med. Chem.* **1992**, *35*, 4306–4314. b) D. S. Ryabukhin, A. V. Vasilyev, *Tetrahedron Lett.* **2015**, *56*, 2200–2202. c) Y. N. Huang, Y. L. Li, J. Li, J. Deng, *J. Org. Chem.* **2016**, *81*, 4645–4653. d) J. M. Kraus, C. L. M. J. Verlinde, M. Karimi, G. I. Lepesheva, H. Gelb, F. S. Buckner, *J. Med. Chem.* **2009**, *52*, 1639–1647.
15. (a) F. Szabo, D. Simko, Z. Novak, *RSC Adv*. **2014**, *4*, 3883-3886. (b) C. Li, L. Lei Wang, P. Li, W. Zhou, W. *Chem. - A Eur. J*. **2011**, *11*, 10208-10212.
16. A. Pialat, B. Liegault, M. Taillefer, *Org. Lett.* **2013**, *15*, 1764-1767.

10.1002/ejoc.201701250

WILEY-VCH

FULL PAPER

WILEY-VCH

FULL PAPER

Entry for the Table of Contents (Please choose one layout)

Layout 1:

FULL PAPER

Text for Table of Contents

((Insert TOC Graphic here: max. width: 5.5 cm; max. height: 5.0 cm; NOTE: the final letter height should not be less than 2 mm.))

Key Topic*

*Author(s), Corresponding Author(s)**

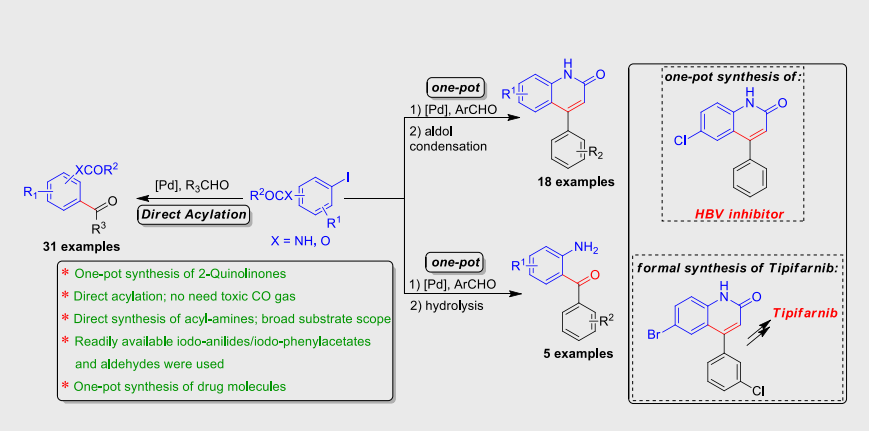
Page No. – Page No.

Title

*one or two words that highlight the emphasis of the paper or the field of the study

Layout 2:

FULL PAPER



C-H Activation*

*Scuhand Basuli, and Gedu Satyanarayana**

Page No. – Page No.

Palladium Catalyzed Direct Acylation of Iodo-acetanilides/Iodo-phenyl Acetates: Domino One-pot Synthesis of 2-Quinolinones