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Silver(I)/base-promoted propargyl alcohol-controlled regio- or stereoselective synthesis of furan-3-carboxamides and (*Z*)-enaminones†

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A novel and facile regioselective synthesis of furan-3-carboxamides by a silver(I)/base-promoted reaction of propargyl alcohol with 3-oxo amides has been demonstrated. This one-pot protocol provides a rapid synthetic approach to diverse trisubstituted furan-3-carboxamides *via* cascade nucleophilic addition, intramolecular cyclization, elimination, and isomerization reactions. Employing a substituted propargyl alcohol, (*Z*)-enaminones have been obtained with high stereoselectivities by a Ag_2CO_3-promoted reaction starting from 3-oxo amides *via* C–N bond cleavage.

Introduction

Furans are one of the most important and versatile heterocycles found in natural products, pharmaceuticals, agrochemicals, and functional materials.[1] In addition, they have been widely used as valuable building blocks in organic synthesis.[2] As a consequence, numerous methods for the synthesis of substituted furans have been developed.[3] However, synthetic approaches to substituted furans bearing amide groups have been less explored so far. Furans bearing amide groups have been recognized as important subunits in many biologically active molecules (Fig. 1).[4] For example, proximicin A (**I**), isolated from a marine actinomycete of the genus *Verrucosispora*,[5] displays potent antitumor activities against several carcinoma cell lines.[6] Compound **II** shows ~1000-fold more potent trypanocidal activity than nifurtimox, which is currently used for the treatment of human African trypanosomiasis.[7] Compound **III** exhibits potent inhibitory activity against *Schistosoma mansoni* NAD^+ catabolizing enzyme (*Sm*NACE),[8] and Compound **IV** is a potent inhibitor of lethal H5N1 influenza A viruses.[8] In addition, fenfuram (**V**), furcarbanil (**VI**), and methfuroxam (**VII**) are well-known compounds belonging to one of the most important class of agrochemical fungicides for the control of most plant diseases in agriculture.[9]

Owing to their importance and usefulness, several synthetic approaches for furan-carboxamides have been developed. Among these, a typical method for furan-3-carboxamides involves a 5-step procedure starting from β-keto esters (method a, Scheme 1).[10] Other useful approaches include $AgNO_3$-mediated cycloisomerizations of *N*-propargylamide (method b, Scheme 1)[11] and $PdCl_2$-catalyzed reactions of 3-alkyne-1,2-diols with isocyanate (method c, Scheme 1).[12] Although a variety of methods for the synthesis of furan-3-carboxamides have been developed, more facile and efficient synthetic strategies are still desirable.

The regioselective reaction of silver salts with alkynes is an important tool that has been widely used for the synthesis and functionalization of the heterocycles.[13] In particular, the use of combination of a silver salt with a base is a valuable method for the synthesis of many heterocycles, especially those containing oxygen and nitrogen atoms.[14] We have previously reported the silver-promoted cycloaddition of 1,3-dicarbonyls with alkenes for the synthesis of dihydrofurans

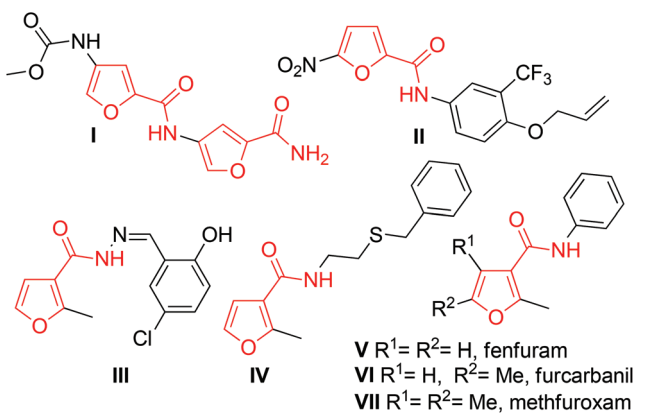

Fig. 1 Biologically active compounds containing furan-carboxamides.

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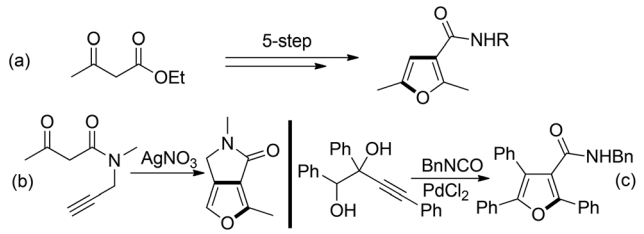
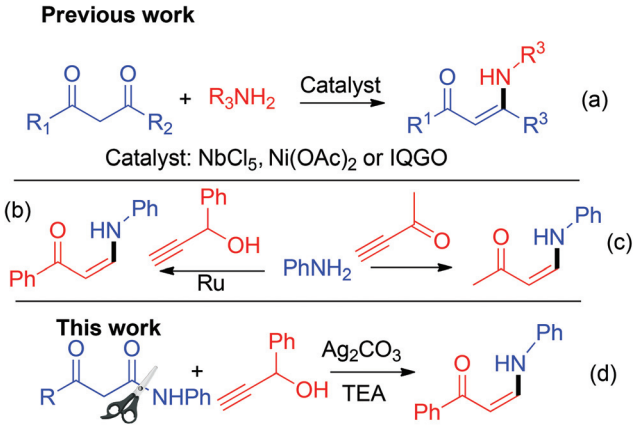


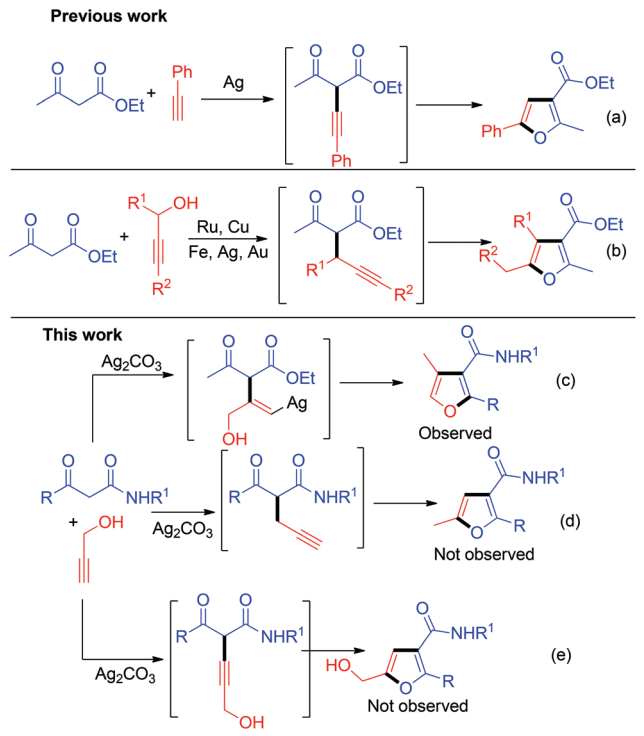
Scheme 1 Reported synthetic methods for furan-3-carboxamides.

and furans.[15] In addition in 2012, Lei's group reported the silver carbonate-mediated reaction of ketoester with phenylacetylene to provide furan rings *via* β-ketoalkyne intermediate (method a, Scheme 2).[16] More commonly, furan rings have been synthesized from the ketoester and propargyl alcohol *via* the formation of γ-ketoalkyne intermediate in the presence of metal catalysts *e.g.* Ru, Cu, Fe, Ag ($AgSbF_6$), and Au (method b, Scheme 2).[17] In contrast to these common reports, this paper describes silver/base-promoted regioselective synthesis of furan-3-carboxamides *via* β-ketoalkene intermediate starting from commercially available 3-oxo-*N*-alkylbutanamides or 3-oxo-*N*-arylbutanamides with propargyl alcohol (method c, Scheme 2). Importantly, there was no any isolation of other possible products *via* γ-ketoalkyne (method d, Scheme 2) or β-ketoalkyne intermediate (method e, Scheme 2).

Enaminones show a versatile reactivity in organic synthesis and are important building blocks for the synthesis of biologically interesting heterocycles and aromatic compounds.[18] Over the past decade, a number of methods have been developed for the preparation of (*E*)- and (*Z*)-enaminones.[19] Typical methods for (*Z*)-enaminones included the reaction of aniline with β-ketoester in presence of metal catalyst Nb or Ni or metal free condition by using IQGO (method a, Scheme 3),[20] with propargyl alcohol in presence of Ru catalyst (method b, Scheme 3), or with but-3-yn-2-one under metal free condition (method c, Scheme 3).[21] However, despite the great advances in (*Z*)-enaminones, herein we describe a new methodology based on 3-oxo-*N*-arylbutanamides as a nitrogen source with 1-phenylprop-2-yn-1-ol in presence of silver carbonate (method d, Scheme 3).



Scheme 3 Stereoselective synthetic strategies for (*Z*)-enaminones.



Scheme 2 Regioselective synthetic strategies for substituted furan-3-carboxylates.

Results and discussion

To optimize the reaction conditions, the Ag(I)-catalyzed reaction of 3-oxo-*N*-phenylbutanamide (**1a**) with propargyl alcohol (**2a**) was first examined under different silver salts and bases in several solvents (Table 1). The initial attempt with Ag_2CO_3 (1 equiv.) in the presence of 2 equivalents of NaOAc in acetonitrile at room temperature for 24 h gave no product (entry 1). Increasing the temperature upto reflux condition provided the product **3a** in 67% yield (entry 2). Encouraged by this result, further reaction in other solvents such as toluene, 1,2-dichloroethane (DCE), DMF, and DMSO were screened. In toluene, product **3a** was formed in 40% yield (entry 3), whereas in other solvents of 1,2-dichloroethane, DMF and DMSO, no products were isolated (entries 4–6). Screening the reaction with other silver salts such as AgOAc (1 equiv.), AgOTf (1 equiv.) and $AgNO_3$ (1 equiv.) in the presence of 2 equivalents of NaOAc in acetonitrile at 80 °C for 12 h gave no products (entries 7–9). With Ag_2O (1 equiv.) and NaOAc (2 equiv.) in acetonitrile at 80 °C for 12 h, product **3a** was produced in 25% yield (entry 10). In an attempt to increase the yield of **3a**, other inorganic and organic bases such as Cs_2CO_3, K_2CO_3, DBU, and TEA were next screened. The reactions with 2 equivalents of Cs_2CO_3, K_2CO_3, and DBU did not produce **3a** (entries 11–13). Instead,

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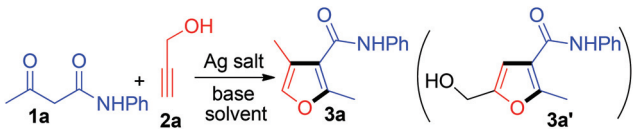
Table 1 Optimization of the reaction conditions for the synthesis of **3a** [a]



Entry	Ag salt	Base	Additive	Solvent	Temp.	Time (h)	Yield[b] (%) 3a	3a′
1	Ag_2CO_3 (1 eq.)	NaOAc	—	CH_3CN	rt	24	0	0
2	Ag_2CO_3 (1 eq.)	NaOAc	—	CH_3CN	80 °C	12	67	0
3	Ag_2CO_3 (1 eq.)	NaOAc	—	Toluene	80 °C	12	40	0
4	Ag_2CO_3 (1 eq.)	NaOAc	—	DCE	80 °C	12	0	0
5	Ag_2CO_3 (1 eq.)	NaOAc	—	DMF	80 °C	12	0	0
6	Ag_2CO_3 (1 eq.)	NaOAc	—	DMSO	80 °C	12	0	0
7	AgOAc (1 eq.)	NaOAc	—	CH_3CN	80 °C	12	0	0
8	AgOTf (1 eq.)	NaOAc	—	CH_3CN	80 °C	12	0	0
9	$AgNO_3$ (1 eq.)	NaOAc	—	CH_3CN	80 °C	12	0	0
10	Ag_2O (1 eq.)	NaOAc	—	CH_3CN	80 °C	12	0	0
11	Ag_2CO_3 (1 eq.)	NaOAc	—	CH_3CN	80 °C	12	25	0
12	Ag_2CO_3 (1 eq.)	Cs_2CO_3	—	CH_3CN	80 °C	12	0	0
13	Ag_2CO_3 (1 eq.)	K_2CO_3	—	CH_3CN	80 °C	12	0	0
14	Ag_2CO_3 (1 eq.)	DBU	—	CH_3CN	80 °C	12	71	0
15	Ag_2CO_3 (1 eq.)	TEA	MS	CH_3CN	80 °C	12	77	0
16	Ag_2CO_3 (0.5 eq.)	TEA	MS	CH_3CN	80 °C	12	52	0
17	Ag_2CO_3 (2 eq.)	TEA	MS	CH_3CN	80 °C	12	75	0

[a] Reaction conditions: **1a** (1.0 mmol), **2a** (5.0 mmol) in the presence of silver salt and base (2.0 eq.) in 5 mL solvent. [b] Isolated yield.

the combination of Ag_2CO_3 with TEA provided **3a** in 71% yield (entry 14). Notably, a further improvement of the yield of **3a** (77%) was accomplished by the addition of molecular sieve (4 Å) (entry 15). Decreasing (0.5 equiv.) or increasing (2.0 equiv.) the loading of Ag_2CO_3 did not improve the yield of **3a** (entries 16 and 17). Importantly, none of these conditions produced the product **3a′**. The compounds **3a** was isolated by column chromatography and characterized by spectroscopic analysis. The ^{1}H NMR spectrum of **3a** exhibits a broad singlet at δ = 7.26 ppm characteristic of an –NH peak and a singlet at δ = 7.08 ppm corresponding to the vinylic proton at C-5 of the furan ring. The regiochemistry was confirmed by X-ray crystal structure of **4a** (Fig. 2). The different regiochemistry of this protocol compared to Lei's work might be due to the presence of free-alcohol functional group.

With the optimized conditions in hand, the generality of this reaction was further explored by reacting **2a** with different 3-oxo-*N*-arylbutanamides **1b–1i** bearing electron-donating and/or withdrawing groups (Table 2). For example, reaction of **1b**, **1c**, **1d**, **1e** or **1f** bearing electron-donating groups such as 4-Me, 2-OMe, 4-OMe, 4-OEt, and 2,4-OMe on the benzene ring provided products **3b–3f** in 68–76% yields. Similarly, treatment of **1g** or **1h** bearing electron-withdrawing group on the benzene ring with **2a** afforded **3g** and **3h** in 70 and 72% yield, respectively. Notably, 3-oxo-*N*-arylbutanamide **1i** bearing both electron-donating and -withdrawing groups on the aromatic ring was also transformed to the desired product **3i** in 76% yield.

To demonstrate the versatility of this reaction, additional reactions of β-oxo amides (**1j–1r**) bearing various substituents at C-3 and *N*-alkyl or aryl groups were next examined (Table 3).

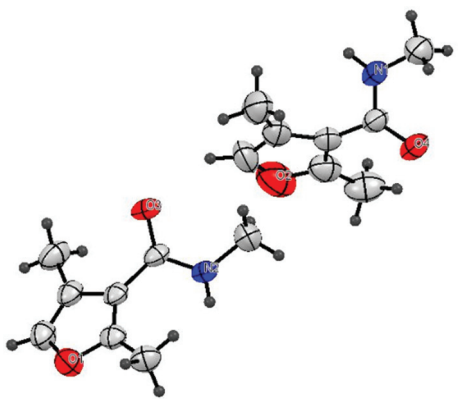


Fig. 2 X-ray crystal structure of **4a**.

The reaction of *N*-methyl-3-oxobutanamide (**1j**) and *N*-benzyl-3-oxobutanamide (**1k**) with **2a** provided products **4a** and **4b** in 78 and 75% yield respectively. The reaction of **1l** and **1m** bearing alkyl substituents such as ethyl, and i-propyl at C-3 with **2a** provided products **4c** and **4d** in 68 and 75% yield, respectively. Similarly, treatment of **1n** bearing a long aliphatic chain led to the corresponding product **4e** in 74% yield. When 3-oxo-*N*,3-diphenylpropanamide (**1o**), 3-oxo-3-phenyl-*N*-(*p*-tolyl)propanamide (**1p**) or 3-(4-methoxyphenyl)-3-oxo-*N*-phenylpropanamide (**1q**) were reacted with **2a**, the corresponding products **4f**, **4g** and **4h** were isolated in 69, 75 and 77% yields, respectively. The reaction of *N*-(naphthalene-1-yl)-3-oxo-3-phenylpropanamide (**1r**) with **2a** afforded the product **4i** in 68%

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Table 2 Formation of diverse furan-3-carboxamides **3b–3i** by reaction of **1b–1i** with **2a**

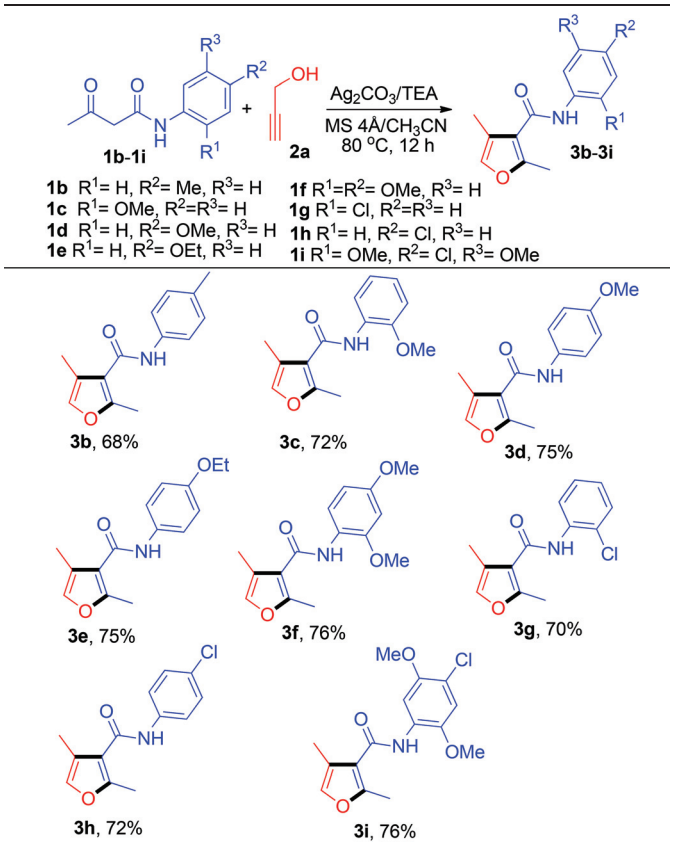
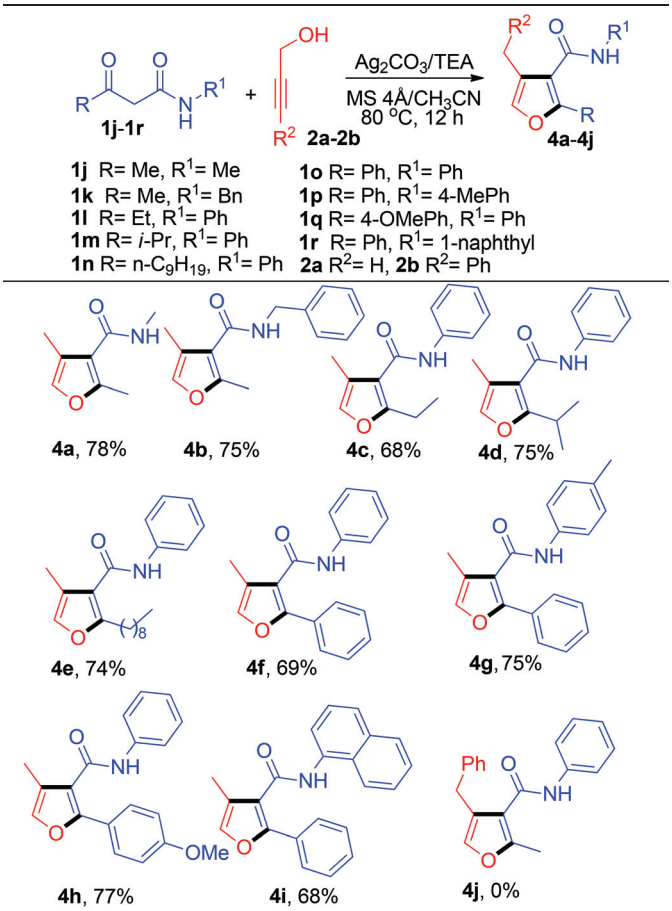


Table 3 Formation of diverse furan-3-carboxamides **4a–4j** by reaction of **1j–1r** and **2a**



yield. However, the treatment of **1a** with 3-phenyl-2-propyn-1-ol (**2b**) did not provide the desired furan product, instead, starting materials were recovered. On the other hand, the use of ethylacetoaceate instead of **1a** did not provide any desired product. In addition, reaction of **1a** with propargyl amine did not afford the desired product.

The versatility of this reaction for the synthesis of diverse furan-3-carboxamides, prompted us to examine the reaction with substituted propargyl alcohol, 1-phenylprop-2-yn-1-ol (**2c**). Surprisingly, treatment of **1a** with **2c** in dry acetonitrile at 80 °C for 12 h provided unexpected product **5a** in 57% yield. Importantly, addition of a drop of water in dry acetonitrile, the yield of **5a** increased to 66%. With this optimized result, the generalization of reaction was explored as shown in Table 4. Reactions of **1b**, **1s** or **1d** bearing electron-donating groups 4-Me, 4-i-Pr and 4-OMe respectively, on the *N*-phenyl ring afforded **5b–5d** in 65–68% yield; those of **1t**, **1h**, **1u**, or **1v** bearing electron-withdrawing groups such as 3-Cl, 4-Cl, 3-Br and 4-Br on the *N*-phenyl ring furnished **5e–5h** in 64–67% yields respectively. The reaction of *N*-(naphthalen-1-yl)-3-oxo-3-phenylpropanamide (**1r**) led to the desired product **5i** in 63% yield. However, when aliphatic substituted propargyl alcohol such as but-3-yn-2-ol was used instead of **2c**, the desired (*Z*)-enaminone was not produced. The (*Z*)-stereochemistry of the synthesized compounds was confirmed by spectroscopic analysis and comparison of their spectral data with those of the reported (*Z*)-and (*E*)-enaminones.[18–21] In the ^{1}H NMR of **5a**, the characteristic N–H proton peak at δ = 12.13 ppm is a broad doublet (J = 10.8 Hz) due to the formation of a strong intramolecular hydrogen bond between the N–H proton and the carbonyl oxygen in the (*Z*)-enaminone.

To elucidate the mechanism of the reaction, various control experiments were performed (Scheme 4). The reaction of **1a** with silver acetylide **2a′** which was prepared from the reported procedure,[22] in basic conditions provided **3a** in 64% yield (eqn (1), Scheme 4). The formation of **2a′** was confirmed by IR spectroscopy through the absence of C–H stretching absorption at 3287 cm^{-1} for terminal alkyne proton of **2a** (see ESI, Fig. S4 & S5†). This result indicates that silver acetylide might be an intermediate of the reaction. On the other hand, the treatment of **1a** with Ag_2CO_3/TEA in wet acetonitrile at 80 °C for 12 h provided aniline (**1w**), which was detected by GC/MS (see ESI, Fig. S2 and S3†) (eqn (2), Scheme 4). In addition, the reaction of aniline (**1w**) with 1-phenylprop-2-yn-1-ol (**2c**) in the presence of Ag_2CO_3 (1.0 equiv.)/TEA (2.0 equiv.) in acetonitrile provided **5a** in 80% yield (eqn (3), Scheme 4). Treatment of **1w** with **2c** in the presence of Ag_2CO_3 (1.0 equiv.) without TEA provided **5a**

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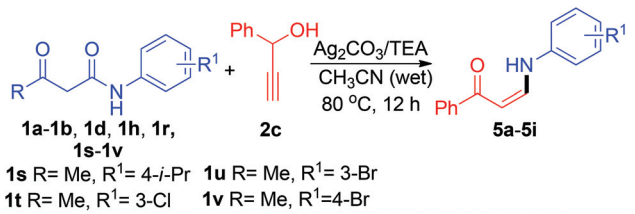
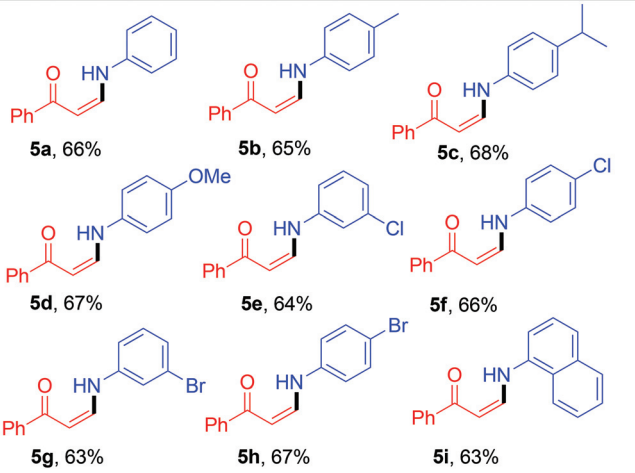
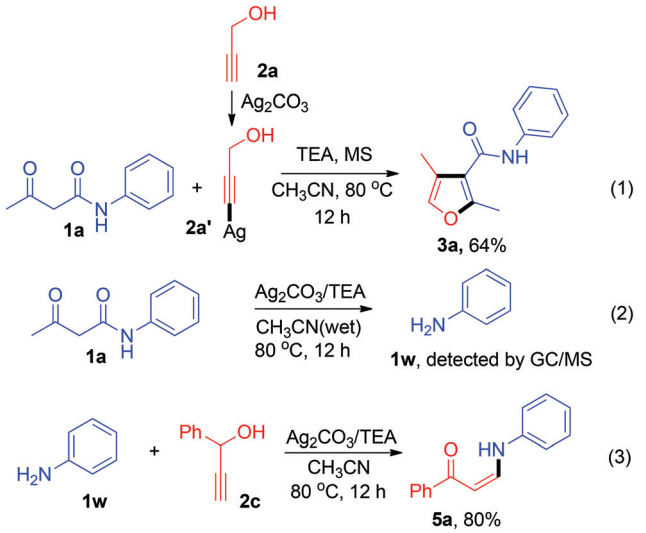
Table 4 Formation of diverse (*Z*)-enaminones **5a–5i** by reaction of **1a–1b**, **1d**, **1h**, **1r**, **1s–1v** and **2c**



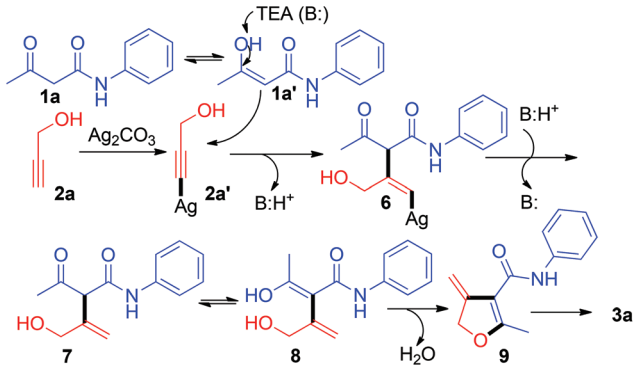




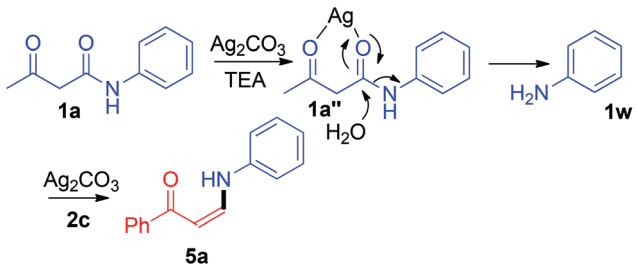
Scheme 4 Control experiments.

in 72% yield. However, when the reaction was carried out in presence of 2 equivalents of TEA without Ag_2CO_3, the desired product **5a** was not isolated. Further reaction in the presence of a catalytic amount of Ag_2CO_3 (20 mol%)/TEA (2.0 equiv.) provided **5a** in lower yield (36%).

Based on control experiments and observed products, a plausible mechanism that accounts for the regioselective synthesis of **3a** is depicted in Scheme 5. Initially, silver acetylide **2a′** is formed by the reaction of propargyl alcohol (**2a**) with



Scheme 5 Plausible mechanism for regioselective formation of **3a**.



Scheme 6 Plausible mechanism for stereoselective formation of **5a**.

Ag_2CO_3. Under basic conditions, the nucleophilic addition of enolate of **1a′** to **2a′** gives intermediate **6**, which undergoes proton exchange with $B:H^+$ to furnish intermediate **7**. Subsequent intramolecular cyclization of intermediate **8** with loss of water leads to the intermediate **9** followed by isomerization to give the final product **3a**.

The plausible reaction pathway for the stereoselective formation of **5a** is outlined in Scheme 6. The coordination of **1a** with Ag_2CO_3 gives **1a″** in which the carbonyl group undergoes a subsequent nucleophilic attack by water to afford **1w**. A similar Cu(I)-mediated synthesis of aniline starting from β-arylacetoacetamide has been previously described.[23] Conjugated addition of **1w** with **2c** in the presence of Ag_2CO_3 finally provide the product **5a**.

Conclusions

In conclusion, we have developed a silver carbonate-promoted one-pot protocol for the regioselective construction of diverse furan-3-carboxamides starting from commercially available β-oxo amides and propargyl alcohol. This methodology provides a rapid synthetic route to various substituted furan-3-carboxamides bearing *N*-aryl, *N*-alkyl, and *N*-polyaromatic substituents. Moreover, a novel approach for the stereoselective preparation of (*Z*)-enaminones has been accomplished by the Ag_2CO_3-promoted reaction of β-oxo amides and a substituted propargyl alcohol through the C–N bond cleavage of amides.

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Experimental

General remarks

All experiments were carried out in open air. Merck-precoated TLC silica gel plates (Art. 5554) with a fluorescent indicator were used for TLC analysis. Column chromatography was performed using silica gel (Merck, Art. 9385). Melting points are uncorrected and were determined using micro-cover glasses on a Fisher-Johns apparatus. ^{1}H NMR spectra were recorded on a Varian-VNS (600 MHz) or Bruker (300 MHz) spectrometer, and the residual solvent peak (δ = 7.24 ppm for $CDCl_3$) or TMS (δ = 0.00 ppm) was used as reference. ^{13}C NMR spectra were recorded on a Varian-VNS (150 MHz) or Bruker (75 MHz) spectrometer using the residual solvent peak (δ = 77.0 ppm for $CDCl_3$) as reference. Chemical shifts (δ) are expressed in ppm and J values are given in Hz. Multiplicities are abbreviated as follows; s = singlet, d = doublet, t = triplet, q = quartet, br s = broad singlet, dd = doublet of doublets, td = triplet of doublets, quint = quintet, sept = septet, and m = multiplet. IR spectra were recorded on a FTIR (BIO-RAD) spectrometer, and high-resolution mass spectra were obtained with a JEOL JMS-700 spectrometer at the Korea Basic Science Institute.

General procedure for the preparation of furan-3-carboxamides (3a–3i & 4a–4i)

To a solution of β-oxo amides (**1**) (1.0 mmol) and propargyl alcohol (**2a**) (5.0 mmol, 5.0 equiv.) in dry acetonitrile (5 mL) was added silver carbonate (1.0 mmol, 1 equiv.), triethylamine (2.0 mmol, 2 equiv.), and molecular sieves 4 Å (1.0 g). The resulting mixture was stirred under a N_2 atmosphere at 80 °C for 12 h. The progress of the reaction was monitored by TLC with ethyl acetate and hexane (EtOAc/hexane 1 : 4) as eluents. After completion, the reaction mixture was filtered through a plug of silica gel (Et_2O was used as eluent). The solvent was removed *in vacuo* and the resulting crude material was subjected to silica gel chromatography to give the desired products (**3a–3i** & **4a–4i**).

General procedure for the preparation of (*Z*)-enaminones (5a–5i)

To a solution of β-oxo amides (**1**) (1.0 mmol) and 1-phenylprop-2-yn-1-ol (**2c**) (1.0 mmol) in a drop of water and acetonitrile (5 mL) was added silver carbonate (1.0 mmol, 1 equiv.) and triethylamine (2 equiv.). The resulting mixture was stirred at 80 °C for 12 h. The progress of the reaction was monitored by TLC with ethyl acetate and hexane (EtOAc/hexane 1 : 9) as eluents. After completion, the reaction mixture was filtered through a plug of silica gel (Et_2O was used as eluent). The solvent was removed *in vacuo* and the resulting crude material was subjected to silica gel chromatography to give the desired products (**5a–5i**) as yellow solids.

Characterization data of synthesized compounds

2,4-Dimethyl-*N*-phenylfuran-3-carboxamide (3a). The title compound (**3a**) was prepared according to the general procedure. The product was obtained as a white solid, mp 140–142 °C. Yield: 77% (166 mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.54 (2H, d, J = 7.8 Hz), 7.33 (2H, t, J = 7.8 Hz), 7.26 (1H, brs), 7.11 (1H, t, J = 7.2 Hz), 7.08 (1H, s), 2.53 (3H, s), 2.20 (3H, s); ^{13}C NMR (150 MHz, $CDCl_3$) δ 162.9, 156.1, 137.9, 137.8, 128.9, 124.2, 119.9, 118.4, 117.5, 13.7, 9.6; IR (ATR) 3293, 1642, 1504, 1413, 1228, 1109, 1046, 919, 810, 746 cm^{-1}; HRMS m/z (M^+) calcd for $C_{13}H_{13}NO_2$: 215.0946. Found: 215.0944.

2,4-Dimethyl-*N*-(*p*-tolyl)furan-3-carboxamide (3b). The title compound (**3b**) was prepared according to the general procedure. The product was obtained as a white solid, mp 123–125 °C. Yield: 68% (156 mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.42 (2H, d, J = 8.4 Hz), 7.20 (1H, brs), 7.13 (2H, d, J = 7.8 Hz), 7.07 (1H, s), 2.52 (3H, s), 2.31 (3H, s), 2.19 (3H, s); ^{13}C NMR (150 MHz, $CDCl_3$) δ 162.8, 156.2, 137.9, 135.3, 133.9, 129.5, 120.1, 118.4, 117.5, 20.8, 13.8, 9.8; IR (ATR) 3296, 1642, 1503, 1411, 1230, 1107, 1036, 915, 811, 743 cm^{-1}; HRMS m/z (M^+) calcd for $C_{14}H_{15}NO_2$: 229.1103. Found: 229.1101.

***N*-(2-Methoxyphenyl)-2,4-dimethylfuran-3-carboxamide (3c).** The title compound (**3c**) was prepared according to the general procedure. The product was obtained as a gummy liquid. Yield: 72% (176 mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.48 (1H, dd, J = 7.8, 1.2 Hz), 8.10 (1H, brs), 7.06 (1H, s), 7.01 (1H, td, J = 7.2, 1.8 Hz), 6.96 (1H, td, J = 7.8, 1.2 Hz), 6.86 (1H, dd, J = 7.8, 1.2 Hz), 3.86 (3H, s), 2.56 (3H, s), 2.23 (3H, s); ^{13}C NMR (150 MHz, $CDCl_3$) δ 162.4, 156.9, 147.8, 137.9, 127.9, 123.4, 121.1, 119.5, 118.4, 117.5, 109.8, 55.7, 13.9, 9.8; IR (ATR) 3296, 1642, 1508, 1415, 1230, 1102, 1046, 918, 812, 745 cm^{-1}; HRMS m/z (M^+) calcd for $C_{14}H_{15}NO_3$: 245.1052. Found: 245.1049.

***N*-(4-Methoxyphenyl)-2,4-dimethylfuran-3-carboxamide (3d).** The title compound (**3d**) was prepared according to the general procedure. The product was obtained as a white solid, mp 153–154 °C. Yield: 75% (184 mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.43 (2H, d, J = 9.0 Hz), 7.28 (1H, s), 7.04 (1H, s), 6.84 (2H, d, J = 9.0 Hz), 3.77 (3H, s), 2.48 (3H, s), 2.16 (3H, s); ^{13}C NMR (150 MHz, $CDCl_3$) δ 162.8, 156.5, 156.1, 137.9, 130.9, 121.9, 118.4, 117.4, 114.1, 55.5, 13.8, 9.8; IR (ATR) 3299, 1646, 1506, 1415, 1231, 1106, 1041, 917, 812, 745 cm^{-1}; HRMS m/z (M^+) calcd for $C_{14}H_{15}NO_3$: 245.1052. Found: 245.1049.

***N*-(4-Ethoxyphenyl)-2,4-dimethylfuran-3-carboxamide (3e).** The title compound (**3e**) was prepared according to the general procedure. The product was obtained as a white solid, mp 150–154 °C. Yield: 75% (194 mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.42 (2H, d, J = 8.4 Hz), 7.16 (1H, brs), 7.06 (1H, s), 6.86 (2H, d, J = 8.4 Hz), 4.01 (2H, q, J = 7.2 Hz), 2.51 (3H, s), 2.19 (3H, s), 1.38 (3H, t, J = 7.2 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 162.7, 156.3, 155.9, 138.0, 130.7, 121.9, 118.3, 117.4, 114.8, 63.7, 14.8, 13.9, 9.9; IR (ATR) 3298, 1640, 1502, 1411, 1236, 1108, 1040, 912, 816, 742 cm^{-1}; HRMS m/z (M^+) calcd for $C_{15}H_{17}NO_3$: 259.1208. Found: 259.1207.

***N*-(2,4-Dimethoxyphenyl)-2,4-dimethylfuran-3-carboxamide (3f).** The title compound (**3f**) was prepared according to the general procedure. The product was obtained as a white solid, mp 161 °C. Yield: 76% (209 mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.34 (1H, d, J = 9.6 Hz), 7.86 (1H, brs), 7.05 (1H, s), 6.48–6.47 (2H, m), 3.84 (3H, s), 3.78 (3H, s), 2.52 (3H, s), 2.21 (3H, s); ^{13}C NMR (150 MHz, $CDCl_3$) δ 162.4, 156.6, 156.2, 149.2, 137.9,

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121.5, 120.5, 118.5, 117.6, 103.8, 98.6, 55.8, 55.5, 13.9, 9.8; IR (ATR) 3296, 1641, 1502, 1413, 1234, 1105, 1046, 917, 818, 749 cm^{-1}; HRMS *m*/*z* (M^+) calcd for $C_{15}H_{17}NO_4$: 275.1158. Found: 275.1159.

***N*-(2-Chlorophenyl)-2,4-dimethylfuran-3-carboxamide (3g).** The title compound (**3g**) was prepared according to the general procedure. The product was obtained as a white solid, mp 160–162 °C. Yield: 70% (174 mg). ^1H NMR (600 MHz, $CDCl_3$) δ 8.54 (1H, d, J = 8.4 Hz), 7.92 (1H, brs), 7.37 (1H, d, J = 7.8 Hz), 7.28 (1H, t, J = 7.8 Hz), 7.08 (1H, s), 7.02 (1H, t, J = 7.2 Hz), 2.58 (3H, s), 2.27 (3H, s); ^{13}C NMR (150 MHz, $CDCl_3$) δ 162.6, 157.7, 138.1, 134.9, 128.9, 127.8, 124.3, 122.4, 121.4, 118.3, 117.1, 14.2, 10.2; IR (ATR) 3299, 1648, 1507, 1416, 1235, 1104, 1043, 912, 811, 740 cm^{-1}; HRMS *m*/*z* (M^+) calcd for $C_{13}H_{12}ClNO_2$: 249.0557. Found: 249.0558.

***N*-(4-Chlorophenyl)-2,4-dimethylfuran-3-carboxamide (3h).** The title compound (**3h**) was prepared according to the general procedure. The product was obtained as a white solid, mp 163–165 °C. Yield: 72% (179 mg). ^1H NMR (600 MHz, $CDCl_3$) δ 7.49 (2H, d, J = 8.4 Hz), 7.30 (1H, brs), 7.28 (2H, d, J = 9.0 Hz), 7.07 (1H, d, J = 1.2 Hz), 2.51 (3H, s), 2.18 (3H, s); ^{13}C NMR (150 MHz, $CDCl_3$) δ 162.8, 156.8, 138.2, 136.4, 129.3, 129.1, 121.2, 118.1, 117.2, 13.9, 9.9; IR (ATR) 3298, 1649, 1507, 1413, 1230, 1104, 1049, 912, 816, 742 cm^{-1}; HRMS *m*/*z* (M^+) calcd for $C_{13}H_{12}ClNO_2$: 249.0557. Found: 249.0560.

***N*-(4-Chloro-2,5-dimethoxyphenyl)-2,4-dimethylfuran-3-carboxamide (3i).** The title compound (**3i**) was prepared according to the general procedure. The product was obtained as a white solid, mp 155–156 °C. Yield: 76% (235 mg). ^1H NMR (600 MHz, $CDCl_3$) δ 8.34 (1H, s), 8.07 (1H, brs), 7.06 (1H, s), 6.88 (1H, s), 3.89 (3H, s), 3.83 (3H, s), 2.55 (3H, s), 2.22 (3H, s); ^{13}C NMR (150 MHz, $CDCl_3$) δ 162.5, 157.3, 149.2, 141.8, 138.1, 127.3, 118.2, 117.2, 115.3, 112.2, 104.7, 56.7, 56.5, 14.0, 9.9; IR (ATR) 3292, 1642, 1509, 1411, 1238, 1103, 1040, 916, 819, 748 cm^{-1}; HRMS *m*/*z* (M^+) calcd for $C_{15}H_{16}ClNO_4$: 309.0768. Found: 309.0764.

***N*,2,4-Trimethylfuran-3-carboxamide (4a).** The title compound (**4a**) was prepared according to the general procedure. The product was obtained as white crystals, mp 130–133 °C. Yield: 78% (119 mg). ^1H NMR (600 MHz, $CDCl_3$) δ 6.97 (1H, s), 5.74 (1H, brs), 2.88 (3H, d, J = 4.8 Hz), 2.41 (3H, s), 2.06 (3H, s); ^{13}C NMR (150 MHz, $CDCl_3$) δ 165.5, 155.4, 137.7, 118.4, 117.0, 26.2, 13.6, 9.7; IR (ATR) 3285, 1645, 1518, 1433, 1203, 1142, 1072, 917, 826, 758 cm^{-1}; HRMS *m*/*z* (M^+) calcd for $C_8H_{11}NO_2$: 153.0790. Found: 153.0788.

X-Ray crystallographic data of compound 4a

Empirical formula – $C_8H_{11}NO_2$, M = 153.18, space group $P21$, a = 5.0234(15) Å, b = 12.137(4) Å, c = 13.751(4) Å, V = 826.2(4) $Å^3$, Z = 4, T = 296 K, ρ_{calcd} = 1.232 g cm^{-3}, $2\Theta_{max.}$ = 25.048°, refinement of 213 parameters on 2772 independent reflections with wR_2 = 0.1007 and S = 1.011. The crystal structure has been deposited at the Cambridge Crystallographic Data Centre (CCDC 1850643†).

***N*-Benzyl-2,4-dimethylfuran-3-carboxamide (4b).** The title compound (**4b**) was prepared according to the general procedure. The product was obtained as a white solid, mp 149–151 °C. Yield: 75% (165 mg). ^1H NMR (600 MHz, $CDCl_3$) δ 7.34–7.30 (4H, m), 7.28–7.25 (1H, m), 7.01 (1H, s), 5.85 (1H, brs), 4.58 (2H, d, J = 10.8 Hz), 2.47 (3H, s), 2.09 (3H, s); ^{13}C NMR (150 MHz, $CDCl_3$) δ 164.6, 156.0, 138.4, 137.8, 128.8, 127.7, 127.5, 118.4, 116.8, 43.5, 13.8, 9.9; IR (ATR) 3023, 1646, 1583, 1447, 1401, 1305, 1242, 1209, 1016, 977, 786 cm^{-1}; HRMS *m*/*z* (M^+) calcd for $C_{14}H_{15}NO_2$: 229.1103. Found: 229.1104.

2-Ethyl-4-methyl-*N*-phenylfuran-3-carboxamide (4c). The title compound (**4c**) was prepared according to the general procedure. The product was obtained as a white solid, mp 112–115 °C. Yield: 68% (155 mg). ^1H NMR (600 MHz, $CDCl_3$) δ 7.54 (2H, d, J = 8.4 Hz), 7.33 (2H, t, J = 7.8 Hz), 7.29 (1H, brs), 7.11 (1H, t, J = 7.2 Hz), 7.08 (1H, s), 2.92 (2H, q, J = 7.8 Hz), 2.19 (3H, s), 1.25 (3H, t, J = 7.8 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 162.8, 161.2, 138.2, 137.9, 129.1, 124.4, 119.9, 118.2, 116.7, 21.3, 12.4, 9.8; IR (ATR) 3298, 1645, 1514, 1412, 1229, 1129, 1046, 910, 811, 746 cm^{-1}; HRMS *m*/*z* (M^+) calcd for $C_{14}H_{15}NO_2$: 229.1103. Found: 229.1104.

2-Isopropyl-4-methyl-*N*-phenylfuran-3-carboxamide (4d). The title compound (**4d**) was prepared according to the general procedure. The product was obtained as a gummy liquid. Yield: 75% (182 mg). ^1H NMR (600 MHz, $CDCl_3$) δ 7.55 (2H, d, J = 8.4 Hz), 7.34 (1H, brs), 7.32 (2H, t, J = 7.8 Hz), 7.10 (1H, t, J = 7.8 Hz), 7.08 (1H, d, J = 1.2 Hz), 3.55 (1H, sept, J = 6.6 Hz), 2.17 (3H, s), 1.26 (6H, d, J = 7.2 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 164.1, 162.9, 137.9, 137.8, 129.0, 124.3, 119.9, 117.9, 115.8, 27.3, 20.9, 9.7; IR (ATR) 3292, 1606, 1500, 1411, 1228, 1109, 1039, 918, 812, 740 cm^{-1}; HRMS *m*/*z* (M^+) calcd for $C_{15}H_{17}NO_2$: 243.1259. Found: 243.1256.

4-Methyl-*N*-phenyl-2-undecylfuran-3-carboxamide (4e). The title compound (**4e**) was prepared according to the general procedure. The product was obtained as a gummy liquid. Yield: 74% (241 mg). ^1H NMR (600 MHz, $CDCl_3$) δ 7.54 (2H, d, J = 7.8 Hz), 7.38 (1H, brs), 7.32 (2H, td, J = 7.2, 7.2 Hz), 7.11–7.08 (1H, m), 7.07 (1H, d, J = 1.8 Hz), 2.87 (2H, t, J = 7.8 Hz), 2.17 (3H, s), 1.66 (2H, quint, J = 7.8 Hz), 1.33–1.23 (12H, m), 0.85 (3H, t, J = 6.6 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 162.8, 160.1, 138.1, 137.9, 128.9, 124.3, 119.9, 118.2, 117.3, 31.8, 29.9, 29.3, 29.25, 29.21, 28.1, 22.6, 14.0, 9.7; IR (ATR) 3285, 1646, 1515, 1435, 1305, 1243, 1063, 916, 877, 751 cm^{-1}; HRMS *m*/*z* (M^+) calcd for $C_{21}H_{29}NO_2$: 327.2198. Found: 327.2197.

4-Methyl-*N*,2-diphenylfuran-3-carboxamide (4f). The title compound (**4f**) was prepared according to the general procedure. The product was obtained as a white solid, mp 130–132 °C. Yield: 69% (191 mg). ^1H NMR (600 MHz, $CDCl_3$) δ 7.66 (2H, d, J = 7.2 Hz), 7.41–7.38 (4H, m), 7.36–7.34 (2H, m), 7.29 (2H, t, J = 7.8 Hz), 7.25 (1H, s), 7.09 (1H, t, J = 7.2 Hz), 2.19 (3H, s); ^{13}C NMR (150 MHz, $CDCl_3$) δ 162.7, 152.5, 139.3, 137.7, 129.7, 129.0, 128.9, 127.1, 124.4, 122.1, 119.7, 118.6, 8.9; IR (ATR) 3285, 1646, 1515, 1435, 1305, 1243, 1063, 877, 751 cm^{-1}; HRMS *m*/*z* (M^+) calcd for $C_{18}H_{15}NO_2$: 277.1103; found: 277.1100.

4-Methyl-2-phenyl-*N*-(*p*-tolyl)furan-3-carboxamide (4g). The title compound (**4g**) was prepared according to the general

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procedure. The product was obtained as a white solid, mp 133–134 °C. Yield: 75% (218 mg). ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.65 (2H, dd, J = 8.1, 1.5 Hz), 7.42–7.31 (6H, m), 7.24 (1H, s), 7.09 (2H, d, J = 8.4 Hz), 2.29 (3H, s), 2.18 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$) δ 162.6, 152.3, 139.3, 135.1, 134.1, 129.7, 129.5, 128.9, 128.8, 127.0, 122.1, 119.7, 118.7, 20.9, 8.9; IR (ATR) 3287, 1647, 1514, 1432, 1325, 1245, 1163, 875, 756 cm^{-1}; HRMS m/z (M^+) calcd for $C_{19}H_{17}NO_2$: 291.1259. Found: 291.1258.

2-(4-Methoxyphenyl)-4-methyl-*N*-phenylfuran-3-carboxamide (4h). The title compound (**4h**) was prepared according to the general procedure. The product was obtained as a white solid, mp 155–156 °C. Yield: 77% (236 mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.59 (2H, d, J = 9.0 Hz), 7.41 (2H, d, J = 7.8 Hz), 7.35 (1H, brs), 7.28 (2H, t, J = 7.8 Hz), 7.21 (1H, s), 7.08 (1H, t, J = 7.2 Hz), 6.92 (2H, d, J = 9.0 Hz), 3.81 (3H, s), 2.19 (3H, s); ^{13}C NMR (150 MHz, $CDCl_3$) δ 162.8, 160.2, 152.9, 138.8, 137.8, 129.0, 128.9, 124.3, 122.3, 122.0, 119.6, 117.3, 114.3, 55.3, 9.1; IR (ATR) 3287, 1642, 1545, 1430, 1315, 1240, 1163, 878, 756 cm^{-1}; HRMS m/z (M^+) calcd for $C_{19}H_{17}NO_3$: 307.1208. Found: 307.1205.

4-Methyl-*N*-(naphthalen-1-yl)-2-phenylfuran-3-carboxamide (4i). The title compound (**4i**) was prepared according to the general procedure. The product was obtained as a white solid, mp 130–133 °C. Yield: 68% (222 mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.74 (1H, s), 7.84 (1H, d, J = 6.6 Hz), 7.71 (1H, d, J = 7.8 Hz), 7.55 (1H, d, J = 8.4 Hz), 7.47 (2H, d, J = 7.2 Hz), 7.36–7.24 (7H, m), 7.19–7.17 (1H, m), 2.16 (3H, s); ^{13}C NMR (150 MHz, $CDCl_3$) δ 171.2, 159.6., 149.7, 136.6, 135.7, 133.9, 130.9, 130.2, 129.1, 128.7, 126.5, 126.4, 126.3, 126.1, 125.7, 125.5, 120.2, 120.0, 104.6, 10.3; IR (ATR) 3285, 1648, 1518, 1436, 1304, 1242, 1073, 917, 870, 758 cm^{-1}; HRMS m/z (M^+) calcd for $C_{22}H_{17}NO_2$: 327.1259. Found: 327.1257.

(*Z*)-1-Phenyl-3-(phenylamino)prop-2-en-1-one (5a). The title compound (**5a**) was prepared according to the general procedure. The product was obtained as a yellow solid, mp 130–132 °C. Yield: 66% (147 mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 12.13 (1H, d, J = 10.8 Hz), 7.92 (2H, d, J = 7.8 Hz), 7.53–7.47 (2H, m), 7.45–7.42 (2H, m), 7.33 (2H, t, J = 7.8 Hz), 7.09 (2H, d, J = 8.4 Hz), 7.06 (1H, t, J = 7.2 Hz), 6.01 (1H, d, J = 7.8 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 191.0, 144.9, 140.2, 139.2, 131.6, 129.7, 128.4, 127.3, 123.7, 116.3, 93.7; IR (ATR) 3237, 3057, 2997, 1657, 1602, 1545, 1471, 1260, 961 cm^{-1}; HRMS m/z (M^+) calcd for $C_{15}H_{13}NO$: 223.0997. Found: 223.0996.

(*Z*)-1-Phenyl-3-(*p*-tolylamino)prop-2-en-1-one (5b). The title compound (**5b**) was prepared according to the general procedure. The product was obtained as a yellow solid, mp 120–122 °C. Yield: 65% (154 mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 12.13 (1H, d, J = 12.0 Hz), 7.92 (2H, d, J = 7.2 Hz), 7.49–7.41 (4H, m), 7.13 (2H, d, J = 7.8 Hz), 6.99 (2H, d, J = 7.8 Hz), 5.98 (1H, d, J = 7.8 Hz), 2.30 (3H, s); ^{13}C NMR (150 MHz, $CDCl_3$) δ 190.8, 145.3, 139.3, 137.8, 133.4, 131.4, 130.2, 128.4, 127.2, 116.4, 93.2, 20.7; IR (ATR) 3235, 3050, 2990, 1648, 1600, 1540, 1473, 1258, 961, 816, 759 cm^{-1}; HRMS m/z (M^+) calcd for $C_{16}H_{15}NO$: 237.1154. Found: 237.1151.

(*Z*)-3-((4-Isopropylphenyl)amino)-1-phenylprop-2-en-1-one (5c). The title compound (**5c**) was prepared according to the general procedure. The product was obtained as a yellow solid, mp 132–133 °C. Yield: 68% (180 mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 12.14 (1H, d, J = 11.4 Hz), 7.92 (2H, d, J = 7.2 Hz), 7.50–7.46 (2H, m), 7.43 (2H, t, J = 7.2 Hz), 7.19 (2H, d, J = 8.4 Hz), 7.03 (2H, d, J = 7.8 Hz), 5.98 (1H, d, J = 7.8 Hz), 2.87 (1H, sept, J = 6.6 Hz), 1.23 (6H, d, J = 7.2 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 190.7, 145.3, 144.6, 139.3, 138.0, 131.4, 128.4, 127.6, 127.2, 116.4, 93.2, 33.5, 23.9; IR (ATR) 3237, 2923, 1639, 1606, 1545, 1471, 1260, 1023, 961, 815, 735 cm^{-1}; HRMS m/z (M^+) calcd for $C_{18}H_{19}NO$: 265.1467. Found: 265.1464.

(*Z*)-3-((4-Methoxyphenyl)amino)-1-phenylprop-2-en-1-one (5d). The title compound (**5d**) was prepared according to the general procedure. The product was obtained as a yellow solid, mp 135–136 °C. Yield: 67% (169 mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 12.17 (1H, d, J = 12.6 Hz), 7.91 (2H, d, J = 7.2 Hz), 7.48–7.46 (1H, m), 7.45–7.39 (3H, m), 7.03 (2H, d, J = 8.4 Hz), 6.88 (2H, d, J = 7.2 Hz), 5.95 (1H, d, J = 7.8 Hz), 3.78 (3H, s); ^{13}C NMR (150 MHz, $CDCl_3$) δ 190.6, 156.4, 145.9, 139.3, 133.8, 131.3, 128.4, 127.2, 117.9, 114.9, 92.8, 55.5; IR (ATR) 2923, 1621, 1509, 1469, 1283, 1227, 1023, 815, 735 cm^{-1}; HRMS m/z (M^+) calcd for $C_{16}H_{15}NO_2$: 253.1103. Found: 253.1103.

(*Z*)-3-((3-Chlorophenyl)amino)-1-phenylprop-2-en-1-one (5e). The title compound (**5e**) was prepared according to the general procedure. The product was obtained as a yellow solid, mp 135–136 °C. Yield: 64% (164 mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 12.07 (1H, d, J = 11.4 Hz), 7.91 (2H, d, J = 7.8 Hz), 7.50–7.48 (1H, m), 7.45–7.42 (3H, m), 7.24 (1H, t, J = 7.8 Hz), 7.09–7.08 (1H, m), 7.02 (1H, dd, J = 7.8, 1.8 Hz), 6.95 (1H, dd, J = 7.2, 1.8 Hz), 6.04 (1H, d, J = 7.8 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 191.4, 144.1, 141.5, 138.9, 135.6, 131.8, 130.8, 128.5, 127.4, 123.5, 116.1, 114.6, 94.6; IR (ATR) 3249, 1644, 1531, 1455, 1250, 1032, 971, 811, 755 cm^{-1}; HRMS m/z (M^+) calcd for $C_{15}H_{12}ClNO$: 257.0607. Found: 257.0605.

(*Z*)-3-((4-Chlorophenyl)amino)-1-phenylprop-2-en-1-one (5f). The title compound (**5f**) was prepared according to the general procedure. The product was obtained as a yellow solid, mp 162–163 °C. Yield: 66% (169 mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 12.11 (1H, d, J = 12.0 Hz), 7.91 (2H, d, J = 7.2 Hz), 7.50–7.47 (1H, m), 7.44–7.39 (3H, m), 7.27 (2H, d, J = 9.0 Hz), 7.00 (2H, d, J = 9.0 Hz), 6.02 (1H, d, J = 7.8 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 191.2, 144.5, 138.9, 138.8, 131.7, 129.7, 128.6, 128.4, 127.3, 117.4, 94.2; IR (ATR) 3250, 1640, 1504, 1448, 1235, 1016, 970, 811, 756 cm^{-1}; HRMS m/z (M^+) calcd for $C_{15}H_{12}ClNO$: 257.0607. Found: 257.0604.

(*Z*)-3-((3-Bromophenyl)amino)-1-phenylprop-2-en-1-one (5g). The title compound (**5g**) was prepared according to the general procedure. The product was obtained as a yellow solid, mp 162–164 °C. Yield: 63% (189 mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 12.07 (1H, d, J = 12.0 Hz), 7.90 (2H, dd, J = 7.8, 1.8 Hz), 7.50–7.48 (1H, m), 7.45–7.42 (3H, m), 7.25 (1H, s), 7.19–7.16 (2H, m), 7.00–6.98 (1H, m), 6.04 (1H, d, J = 7.8 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 191.4, 144.1, 141.7, 138.9, 131.8, 131.0, 128.5, 127.4, 126.4, 123.5, 119.0, 115.1 94.6; IR (ATR) 3256, 1640, 1538, 1457, 1252, 1033, 970, 808, 762 cm^{-1}; HRMS m/z (M^+) calcd for $C_{15}H_{12}BrNO$: 301.0102. Found: 301.0099.

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(*Z*)-3-((4-Bromophenyl)amino)-1-phenylprop-2-en-1-one (5h). The title compound (**5h**) was prepared according to the general procedure. The product was obtained as a yellow solid, mp 172–173 °C. Yield: 67% (201 mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 12.10 (1H, d, J = 10.8 Hz), 7.90 (2H, d, J = 8.4 Hz), 7.50–7.47 (1H, m), 7.44–7.39 (5H, m), 6.95 (2H, d, J = 7.8 Hz), 6.02 (1H, d, J = 7.8 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 191.2, 144.3, 139.3, 138.9, 132.6, 131.7, 128.4, 127.3, 117.7, 116.1, 94.3; IR (ATR) 3258, 1648, 1534, 1458, 1255, 1036, 972, 811, 758 cm^{-1}; HRMS m/z (M^+) calcd for $C_{15}H_{12}BrNO$: 301.0102. Found: 301.0099.

(*Z*)-3-(Naphthalen-1-ylamino)-1-phenylprop-2-en-1-one (5i). The title compound (**5i**) was prepared according to the general procedure. The product was obtained as a yellow solid, mp 130–133 °C. Yield: 63% (171 mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 13.06 (1H, d, J = 11.4 Hz), 8.24 (1H, d, J = 8.4 Hz), 7.99 (2H, d, J = 8.4 Hz), 7.85 (1H, d, J = 7.8 Hz), 7.71–7.67 (1H, m), 7.62–7.59 (2H, m), 7.53 (1H, t, J = 7.2 Hz), 7.50 (1H, d, J = 6.6 Hz), 7.47–7.42 (3H, m), 7.26 (1H, d, J = 7.2 Hz), 6.14 (1H, d, J = 7.8 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 191.4, 146.1, 139.2, 136.4, 134.3, 131.6, 128.4, 127.4, 126.7, 126.6, 125.8, 124.8, 124.1, 121.0, 110.9, 94.7; IR (ATR) 3248, 1641, 1564, 1468, 1305, 1225, 1026, 971, 811, 767 cm^{-1}; HRMS m/z (M^+) calcd for $C_{19}H_{15}NO$: 273.1154. Found: 273.1153.

Conflicts of interest

There are no conflicts to declare.

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