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Transition-metal free C3-amidation of quinoxalin-2(1*H*)-ones using Selectfluor as a mild oxidant†

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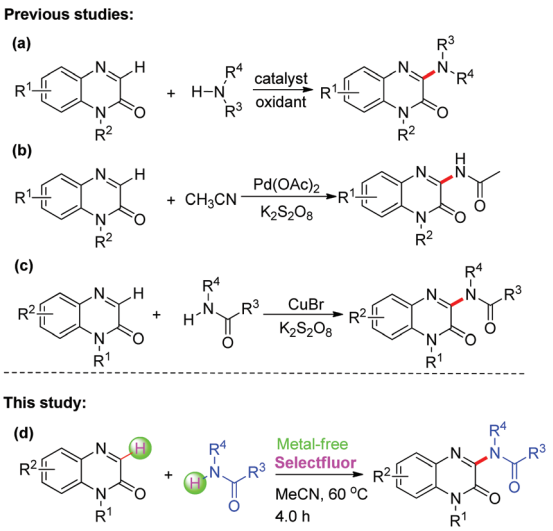
A practical and efficient synthetic route to construct a variety of 3-amidated quinoxalin-2(1*H*)-ones was developed *via* transition-metal free direct oxidative amidation of quinoxalin-2(1*H*)-ones with amidates using Selectfluor reagent as a mild oxidant. This protocol features mild reaction conditions, operational simplicity, broad substrate scope, and good to excellent yields.

Introduction

Quinoxalin-2(1*H*)-ones are important *N*-heterocyclic moieties found in natural products and pharmaceuticals,[1] and exhibit an amazingly wide spectrum of biological activities including protein kinase inhibitory, antimicrobial, anticancer, antithrombotic, and benzodiazepine receptor agonist activities.[2] Recently, the synthesis of C3-functionalized quinoxalin-2(1*H*)-one analogues has attracted considerable attention. Various 3-substituted quinoxalin-2(1*H*)-one derivatives have been synthesized.[3] Amide bond construction is one of the most fundamental reactions in organic chemistry, given the occurrence of this functional group in a vast array of natural and synthetic compounds.[4] Amide bonds attached to quinoxalin-2(1*H*)-ones have attracted interest due to the presence of 3-acylamino quinoxalin-2(1*H*)-ones in numerous biologically active molecules and functional materials.[5] Accordingly, the development of efficient methods for the construction of highly functionalized 3-acylamino quinoxalin-2(1*H*)-ones is highly desirable.

Traditionally, prefunctionalized substrates such as 3-amino quinoxalin-2(1*H*)-ones are employed for coupling with acylation reagents, or the addition of amide to 3-chloro quinoxalin-2(1*H*)-ones.[6] However, the classic coupling reactions suffer from drawbacks such as multi-step procedures, low tolerance for functional groups, high reaction temperatures, and moderate to low yields. In recent years, cross-dehydrogenation coupling (CDC) methods have received much attention in organic synthesis.[7] From the view point of step- and atom-economy, direct C–H/N–H cross-coupling of C–H bonds and non-activated amines and amides may prove to be a remarkably practical and sustainable approach for the synthesis of nitrogen-containing compounds.[8] Recently, the syntheses of 3-amino quinoxalin-2(1*H*)-ones have been realized *via* C–H/N–H cross-coupling reactions with primary and secondary alkyl amines as the coupling partners (Scheme 1a),[9] but this transformation was only used for alkyl amines. In 2019, a convenient palladium-catalyzed direct oxidative amidation of quinoxalin-2(1*H*)-ones with acetonitrile was developed by our group to synthesize 3-amidated quinoxalin-2(1*H*)-ones (Scheme 1b),[10] which was conducted by using stoichiometric amounts of the strong oxidant $K_2S_2O_8$, and transition-metal $Pd(OAc)_2$ as a catalyst under harsh reaction conditions. Very recently, our group



Scheme 1 Synthesis of 3-amidated quinoxalin-2(1*H*)-one derivatives.

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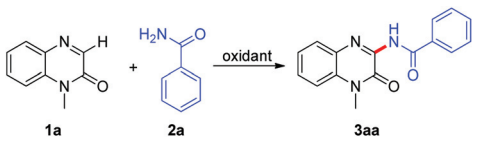
described a copper-catalyzed direct oxidative amidation of quinoxalin-2(1*H*)-ones with a variety of aromatic and aliphatic amides under microwave irradiation (Scheme 1c).[11] This well-developed approach may suffer from some disadvantages such as the requirement of transition-metal CuBr as a catalyst and the inevitable use of a strong oxidant and microwave irradiation, which could thereby limit its large scale applications. Although much progress has been made on the amidation of quinoxalin-2(1*H*)-ones, transition-metal free C3-amidation of quinoxalin-2(1*H*)-ones with amides as the coupling partners *via* C–H/N–H cross-coupling reactions has proved to be very challenging due to the low nucleophilicity of amides (electron-withdrawing carbonyl groups).

1-Chloromethyl-4-fluoro-1,4-diazoniabi cyclo[2.2.2]octane bis(tetrafluoroborate) (Selectfluor) is commercially available, exceptionally stable, and useful as a powerful fluorination regent and oxidant.[12] Synthesis of several functionalized heterocyclic molecules has been realized successfully using Selectfluor as a mild oxidant.[13] Recently, Lei's group described an oxidative α sp^3 C–H arylation of alcohols, ethers, and cyclanes with heterocycles promoted by Selectfluor under visible light irradiation.[14] Very recently, our group has also developed a practical synthetic route through a fluorination-triggered tandem cyclization of styrene-type carboxylic acids to construct a variety of 4-fluoro-3-aryl-3,4-dihydroisocoumarins and 3-arylisocoumarins using Selectfluor as an electrophilic reagent and oxidant.[15] Expanding the application of Selectfluor reagent for the cross-coupling reaction is still a challenging work. To the best of our knowledge, transition-metal free direct cross-dehydrogenative amidation of the unactivated quinoxalin-2(1*H*)-ones is still rarely investigated using amides as the coupling partners in the presence of Selectfluor reagent. Herein, we reported a practical and efficient transition-metal free approach for the direct amidation of quinoxalin-2(1*H*)-ones with easily available amides using Selectfluor as a mild oxidant (Scheme 1d). This protocol features good to excellent yields and does not require toxic metals, ligands, and bases, and could serve as an efficient approach for the functionalization of quinoxalin-2(1*H*)-ones under mild conditions.

Results and discussion

We initiated our investigation with 1-methylquinoxalin-2(1*H*)-one (**1a**) and benzamide (**2a**) as the model substrates to identify suitable reaction conditions (Table 1). Firstly, when different oxidants, including $K_2S_2O_8$, *tert*-butyl hydroperoxide (TBHP), *tert*-butyl peroxybenzoate (TBPB), and Selectfluor, were used for this coupling reaction in CH_3CN at 60 °C for 6.0 h, the results showed that direct C-3 amidation took place in the presence of Selectfluor (1.0 equiv.), affording product **3aa** in 75% yield in the absence of any metals (entry 4, Table 1), and the desired product was not observed in the presence of other oxidants such as $K_2S_2O_8$ and TBHP (entries 1–3, Table 1). Moreover, when *N*-fluorobenzenesulphonimide (NFSI) was employed, this transformation also could not proceed (entry 5, Table 1). These facts showed that Selectfluor reagent played a paramount role in this transformation. The effect of Selectfluor loading was also investigated. As a result, 1.5 equiv. was found to be the best choice and the yield could reach 85% (entries 4 and 6–9, Table 1). Subsequently, the effect of various solvents, such as CH_3CN, DMSO, H_2O, acetone, CH_3OH, DCE, dioxane, and DMF, and the co-solvent CH_3CN–H_2O (1 : 1, v : v) was studied, and CH_3CN was found to be best choice (entries 8 and 10–17, Table 1). The effect of temperature was also tested. On increasing the temperature from 20 °C to 60 °C, the yield increased from 60 to 85%. But upon increasing it further to 70 °C, the yield did not increase (entries 8 and 18–20, Table 1). Then, the molar ratio of **1a** and **2a** was investigated. When the ratio of **1a** and **2a** was 1 : 1.5, the best yield (85%) could be obtained (ESI, entries 1–5, Table S1†). The effect of reaction time was also tested. 4.0 h proved be the optimal time, and could provide a yield of 85% (entries 8 and 21–24, Table 1). When the reaction proceeded in the absence of Selectfluor reagent, no desired product **3aa** was detected (entry 25, Table 1), thus indicating that Selectfluor is

Table 1 Optimization of the reaction conditions[a]



1a **2a** **3aa**

Entry	Oxidant (equiv.)	Solvent	Temp. (°C)	Time (h)	Yield[b] (%)
1	$K_2S_2O_8$ (1.0)	CH_3CN	60	6.0	Trace
2[c]	TBHP (3.0)	CH_3CN	60	6.0	0
3	TBPB (3.0)	CH_3CN	60	6.0	0
4	Selectfluor (1.0)	CH_3CN	60	6.0	75
5	NFSI (1.0)	CH_3CN	60	6.0	0
6	Selectfluor (0.5)	CH_3CN	60	6.0	55
7	Selectfluor (1.3)	CH_3CN	60	6.0	80
8	Selectfluor (1.5)	CH_3CN	60	6.0	85
9	Selectfluor (2.0)	CH_3CN	60	6.0	85
10	Selectfluor (1.5)	DMSO	60	6.0	0
11	Selectfluor (1.5)	H_2O	60	6.0	Trace
12	Selectfluor (1.5)	Acetone	60	6.0	0
13	Selectfluor (1.5)	CH_3OH	60	6.0	45
14	Selectfluor (1.5)	DCE	60	6.0	0
15	Selectfluor (1.5)	Dioxane	60	6.0	15
16	Selectfluor (1.5)	DMF	60	6.0	25
17	Selectfluor (1.5)	CH_3CN : H_2O = 1 : 1	60	6.0	Trace
18	Selectfluor (1.5)	CH_3CN	20	6.0	60
19	Selectfluor (1.5)	CH_3CN	40	6.0	70
20	Selectfluor (1.5)	CH_3CN	70	6.0	85
21	Selectfluor (1.5)	CH_3CN	60	1.0	65
22	Selectfluor (1.5)	CH_3CN	60	2.0	75
23	Selectfluor (1.5)	CH_3CN	60	3.0	80
24	Selectfluor (1.5)	CH_3CN	60	4.0	85
25[d]	—	CH_3CN	60	4.0	0
26[e]	Selectfluor (1.5)	CH_3CN	60	4.0	84

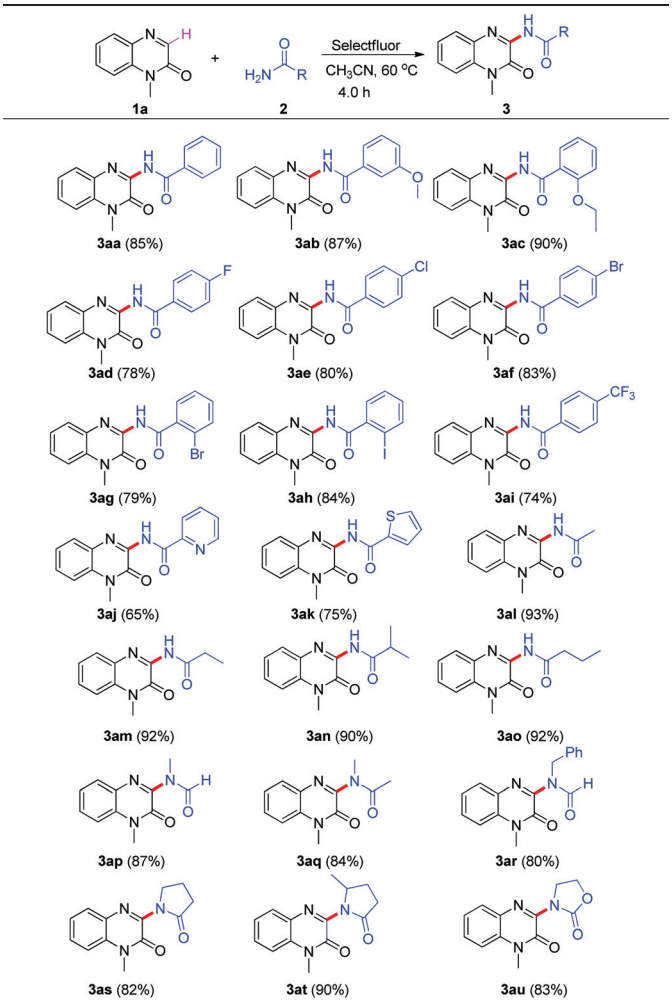
[a] Reaction conditions: 1-methylquinoxalin-2(1*H*)-one **1a** (0.2 mmol, 32.0 mg), benzamide **2a** (0.4 mmol, 48.4 mg), oxidant, and solvent (2.0 mL) at 60 °C. [b] Isolated yield. [c] TBHP (70% in water). [d] No Selectfluor was added. [e] Under a N_2 atmosphere.

crucial for the reaction to occur. Furthermore, when the reaction was protected under nitrogen, the desired product **3aa** was obtained with a slight decrease in yield (entry 26, Table 1), which indicated that the oxygen molecule from air was tolerated in this transformation. After surveying the reaction conditions, the optimal conditions were identified as follows: the molar ratio of **1a** and **2a** being 1 : 1.5, 1.5 equiv. Selectfluor as the oxidant, and 2.0 mL CH_3CN at 60 °C for 4.0 h. This approach provides a practical and facile functionalization of quinoxalin-2(1*H*)-ones with amides without any need for toxic metals, and additives, and could find numerous applications in pharmaceutics and industry.

With the optimized reaction conditions in hand, we assessed the scope and generality of the approach by using a variety of quinoxalin-2(1*H*)-one derivatives (Table 2). First, the variation in the amides part of the reaction was examined. A variety of aryl primary amides bearing electron-rich and electron-poor substituents reacted smoothly affording the corresponding products (**3aa–3ai**) in good to excellent yields (74–90%). Generally, aryl amides with electron-donating groups (–OMe, –OEt) gave higher yields in comparison with those with electron-withdrawing groups (–F, –Cl, –Br, –I). Moreover, good yields could be obtained for halogen-bearing substrates (**3ad–3ah**). The survival of a halogen substituent offered a great opportunity to further functionalize the products. To our delight, when an aryl amide with a strong electron-withdrawing $-CF_3$ group **2i** was employed, the coupling reaction tolerated the reaction conditions and provided **3ai** in 74% yield. Fortunately, heterocyclic amides, pyridine-2-carboxamide and thiophene-2-carboxamide, were suitable substrates, and the corresponding products **3aj** and **3ak** were obtained in good yields. It is disappointing that *N*-methylbenzamide could not undergo this reaction. Gratifyingly, when aliphatic primary and secondary amides were employed, the coupling reactions proceeded smoothly to afford the corresponding desired products (**3al–3ar**) in excellent yields (80–93%). Compared with aliphatic secondary amides, aliphatic primary amides could afford higher yields. It is noteworthy that formamides were well tolerated to provide the formylated products **3ap** and **3ar** in excellent yields under our present conditions. Because *N*-arylated lactams and oxazolidinones show important biological activities, various lactams and oxazolidinones were employed for this reaction. Fortunately, the corresponding desired products (**3as–3au**) were obtained in excellent yields (82–90%). When 2-ethoxybenzamide (**2c**), 2-bromobenzamide (**2g**), 2-iodobenzamide (**2h**), and second aliphatic amides (**2p–2t**) were employed, the reactions provided good yields (79–90%), which indicated that the steric factor did not obstruct the progress of this reaction.

After studying the scope of amides, we turned our attention toward substituted quinoxalin-2(1*H*)-ones (Table 3). Generally, *N*-substituted quinoxalin-2(1*H*)-ones were well compatible with the reaction. It was observed that the presence of *N*-alkyl and *N*-benzyl groups did not restrict the formation of the desired products (**3be–3de**, **3he**). It is noteworthy that quinoxalin-2(1*H*)-ones bearing *N*-allyl, *N*-propargyl, and *N*-esteryl groups

Table 2 Scope of amides in the amidation of 1-methylquinoxalin-2(1*H*)-ones[a,b]

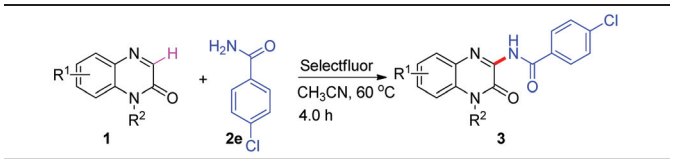


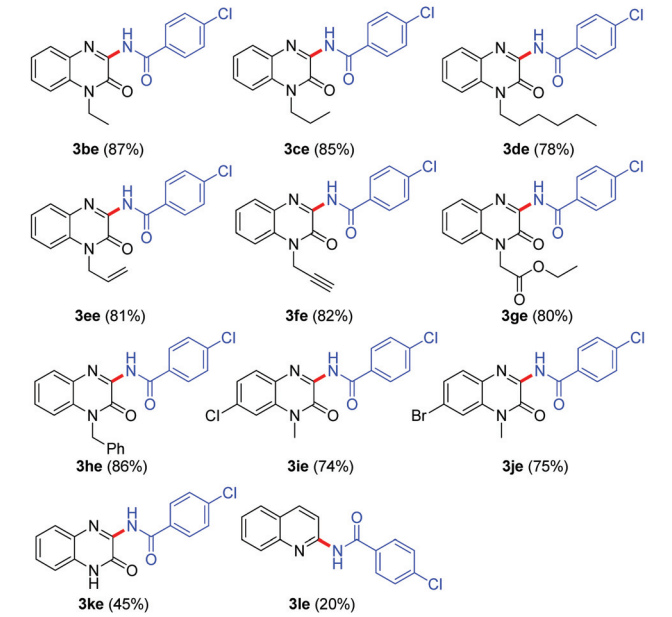
[a] Reaction conditions: 1-methylquinoxalin-2(1*H*)-one **1a** (0.2 mmol, 32.0 mg), amides **2** (0.3 mmol), Selectfluor agent (0.3 mmol, 106.2 mg) in CH_3CN (2.0 mL) at 60 °C for 4.0 h. [b] Isolated yield.

were well tolerated to provide the desired products (**3ee**, **3fe**, and **3ge**) in excellent yields (80–82%). Fortunately, when we carried out the reaction of *N*-unprotected quinoxalin-2(1*H*)-one with 4-chlorobenzamide, the corresponding desired product **3ke** was obtained in 45% yield. The result indicated that the oxidant Selectfluor had a weak effect on the reactive hydrogen of quinoxalin-2(1*H*)-one. Notably, quinoxalin-2(1*H*)-ones containing halogen moieties were tolerated well, and it hardly affected this reaction (**3ie** and **3je**). Unfortunately, quinoxalin-2(1*H*)-one bearing a strong electron-withdrawing $-NO_2$ group could not undergo this reaction to yield the desired product under the present conditions. To our delight, the amidation reaction of 1-methylquinolin-2(1*H*)-one with 4-chlorobenzamide was also successful, albeit in low yield (**3le**, 20%).

Additionally, to verify the utility and robustness of this protocol, gram-scale reactions were conducted using substrate **1a** (7 mmol, 1.12 g) with benzamide in a 100 mL round-bottom

Table 3 Scope of quinoxalin-2(1*H*)-ones for the amidation[a,b]

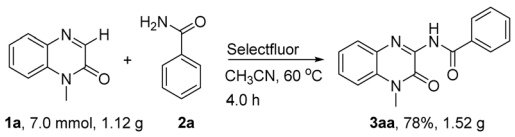




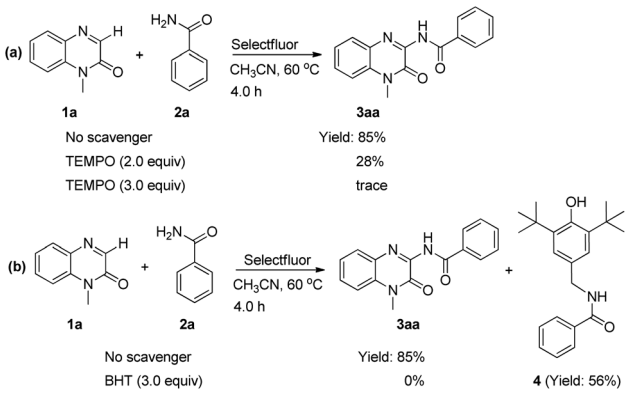
[a] Reaction conditions: quinoxalin-2(1*H*)-one **1a** (0.2 mmol), 4-chlorobenzamides **2e** (0.3 mmol, 46.5 mg), Selectfluor agent (0.3 mmol, 106.2 mg) in CH_3CN (2.0 mL) at 60 °C for 4.0 h. [b] Isolated yield.

flask under the standard conditions. As expected, the reaction proceeded well to produce *N*-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)benzamide **3aa** in 78% yield (Scheme 2), which has promising applications in preparative syntheses.

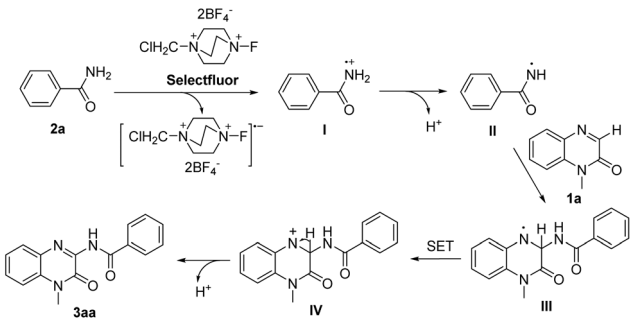
To further understand the mechanism of this reaction, some control experiments were carried out. While 2.0 equiv. of 2,2,6,6-tetramethyl-1-piperidinyloxyl (TEMPO) as the radical-trapping reagent were subjected to the standard reaction conditions, the yield of **3aa** decreased obviously and only 28% **3aa** was obtained. When 3.0 equiv. of TEMPO were added to the reaction system, this transformation was completely suppressed (Scheme 3a). The amidation reaction was totally shut off when 3.0 equiv. of 2,6-di-*tert*-butyl-4-methylphenol (BHT) were added. In contrast, a coupling product of benzamide with BHT, *N*-(2,6-di-*tert*-butyl-4-hydroxybenzyl)benzamide (**4**) was obtained in 56% yield (Scheme 3b). These results reveal that the transformation may proceed *via* a radical course.



Scheme 2 Gram-scale synthesis experiment.



Scheme 3 Control experiments.



Scheme 4 Proposed reaction mechanism.

On the basis of the above-mentioned results and literature reports,[14,15] a plausible catalytic cycle was proposed and is depicted in Scheme 4. Initially, the reaction between benzamide **2a** and Selectfluor by single electron transfer (SET) gives intermediate **I** together with a Selectfluor radical anion.[12m,13g] Next, the generated N radical cation **I** produces the corresponding benzamido radical **II** by losing a proton. Subsequently, the electron-deficient heteroarene, 1-methylquinoxalin-2(1*H*)-one **1a**, can capture the nucleophilic radical **II** and generate the radical adduct **III**. Intermediate **III** would furnish the corresponding nitrogen cation **IV** *via* single-electron transfer (SET). Finally, the expected coupling product **3aa** is obtained by the deprotonation of **IV**.

Conclusions

In conclusion, we have developed an intriguing and efficient approach for oxidative C(sp^2)–H amidation of quinoxalin-2(1*H*)-ones with various amides, which was mediated by Selectfluor under relatively mild conditions. This reaction has a broad scope of substrates and provides a convenient method for the preparation of 3-amidated quinoxalin-2(1*H*)-ones. Control experiments reveal that the transformation proceeds *via* a radical pathway. Not having to use a transition-metal catalyst and the direct coupling of the quinoxalin-2(1*H*)-one without further activation procedures make this protocol

greener and practical for the synthesis of substituted quinoxalin-2(1*H*)-ones.

Experimental

General information

All chemicals were commercially available and used as received without further purification. Column chromatography was performed using 300–400 mesh silica. Nuclear magnetic resonance spectra were recorded on a Bruker Avance 400 MHz spectrometer. Chemical shifts for ^{1}H NMR spectra are recorded in parts per million using tetramethylsilane. Data were reported as follows: chemical shift, multiplicity (s = singlet, d = doublet, t = triplet, m = multiplet and br = broad), coupling constant in Hz and integration. Chemical shifts for ^{13}C NMR spectra were recorded in parts per million using tetramethylsilane. Chemical shifts for ^{19}F NMR spectra were recorded in parts per million with fluorobenzene as the external standard. High resolution mass spectra (HR MS) were obtained on a Thermo Scientific LTQ Orbitrap XL instrument using the ESI technique. IR spectra were recorded on a Shimadazu IR-408 Fourier transform infrared spectrophotometer using a thin film supported on KBr pellets. Melting points were measured on XT4A microscopic apparatus and are uncorrected.

General experimental procedure for the synthesis of 3-amidated quinoxalin-2(1*H*)-one derivatives (3)

Quinoxalin-2(1*H*)-ones **1** (0.2 mmol), amides **2** (0.3 mmol), Selectfluor agent (0.3 mmol, 106.2 mg), and acetonitrile (2.0 mL) were added to a 10 mL reaction tube. The mixture was stirred at 60 °C for 4.0 h. After completion of the reaction, the solvent was distilled under vacuum. Then, the resulting mixture was dissolved with ethyl acetate (10 mL) and washed with saturated sodium chloride solution (10 mL × 2). The organic phase was dried over anhydrous Na_2SO_4 and concentrated under vacuum. The residue was purified by silica gel column chromatography to give 3-amidated quinoxalin-2(1*H*)-ones **3** using ethyl acetate/dichloromethane as the eluant.

N-(4-Methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)benzamide (3aa)

Colorless crystal, mp 176–177 °C (lit.[11] 175–176 °C); IR (KBr) ν(cm^{-1}): 3372, 2924, 1698, 1647, 1597, 1502, 1481, 1354, 1271, 1201; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 10.25 (s, 1H), 7.96 (d, J_{H-H} = 7.2 Hz, 2H), 7.69–7.63 (m, 2H), 7.59–7.55 (m, 4H), 7.41–7.37 (m, 1H), 3.69 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 164.7 (C═O), 151.4, 145.7, 134.1, 133.0 (CH), 132.0, 131.7, 129.3 (CH), 129.0 (CH), 128.4 (CH), 128.1 (CH), 124.5 (CH), 115.3 (CH), 30.1 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{16}H_{14}N_3O_2$ $[M + H]^+$ 280.1081, found 280.1082.

3-Methoxy-*N*-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl) benzamide (3ab)

Colorless crystal, mp 109–110 °C (lit.[11] 108–109 °C); IR (KBr) ν(cm^{-1}): 3368, 2919, 1703, 1641, 1595, 1503, 1482, 1469, 1354, 1276, 1204; ^{1}H NMR (400 MHz, DMSO-d_6) δ: 10.24 (s, 1H), 7.69 (d, J_{H-H} = 7.9 Hz, 1H), 7.56–7.51 (m, 3H), 7.50–7.46 (m, 2H), 7.41–7.38 (m, 1H), 7.23–7.20 (m, 1H), 3.84 (s, 3H), 3.69 (s, 3H); ^{13}C NMR (100 MHz, DMSO-d_6) δ: 164.5 (C═O), 159.8, 151.4, 145.7, 135.6, 132.1, 131.7, 130.4 (CH), 129.1 (CH), 128.4 (CH), 124.5 (CH), 120.1 (CH), 118.8 (CH), 115.3 (CH), 113.3 (CH), 55.8 (CH_3), 30.1 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{17}H_{16}N_3O_3$ $[M + H]^+$ 310.1186, found 310.1187.

2-Ethoxy-*N*-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl) benzamide (3ac)

Colorless solid, mp 189–190 °C (lit.[11] 188–189 °C); IR (KBr) ν(cm^{-1}): 3249, 2927, 1656, 1598, 1501, 1485, 1448, 1354, 1287, 1242, 1140; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 11.69 (s, 1H), 8.34 (dd, J_{H-H} = 7.8 Hz, J_{H-H} = 1.8 Hz, 1H), 7.94 (dd, J_{H-H} = 8.0 Hz, J_{H-H} = 1.4 Hz, 1H), 7.49 (td, J_{H-H} = 7.8 Hz, J_{H-H} = 1.8 Hz, 1H), 7.44 (td, J_{H-H} = 7.8 Hz, J_{H-H} = 1.4 Hz, 1H), 7.34 (td, J_{H-H} = 7.6 Hz, J_{H-H} = 1.2 Hz, 1H), 7.27 (dd, J_{H-H} = 8.2 Hz, J_{H-H} = 0.8 Hz, 1H), 7.10 (td, J_{H-H} = 7.6 Hz, J_{H-H} = 0.6 Hz, 1H), 7.03 (d, J_{H-H} = 8.3 Hz, 1H), 4.34 (q, J_{H-H} = 7.0 Hz, 2H), 3.76 (s, 3H), 1.69 (t, J_{H-H} = 7.0 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.9, 157.0, 151.4, 145.2, 133.9 (CH), 133.1 (CH), 132.2, 130.9, 129.3 (CH), 127.9 (CH), 124.3 (CH), 121.4, 121.2 (CH), 113.4 (CH), 112.3 (CH), 65.3 (CH_2), 29.7 (CH_3), 14.7 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{18}H_{18}N_3O_3$ $[M + H]^+$ 324.1343, found 324.1345.

4-Fluoro-*N*-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl) benzamide (3ad)

Colorless crystal, mp 209–210 °C (lit.[11] 212–214 °C); IR (KBr) ν(cm^{-1}): 3360, 2922, 1650, 1594, 1514, 1488, 1474, 1413, 1360, 1234, 1200; ^{1}H NMR (400 MHz, DMSO-d_6) δ: 10.33 (s, 1H), 8.05–8.02 (m, 2H), 7.68 (d, J_{H-H} = 7.8 Hz, 1H), 7.56 (d, J_{H-H} = 3.9 Hz, 2H), 7.41–7.37 (m, 3H), 3.69 (s, 3H); ^{13}C NMR (100 MHz, DMSO-d_6) δ: 165.5 (d, J_{F-C} = 252.5 Hz), 163.1, 151.4, 144.2, 132.1, 130.8, 130.1 (d, J_{F-C} = 9.5 Hz, CH), 129.5 (CH), 128.5 (CH), 124.7 (CH), 116.0 (d, J_{F-C} = 21.2 Hz, CH), 113.6 (CH), 29.9 (CH_3); ^{19}F NMR (376 MHz, DMSO-d_6) δ: −107.3; HR MS (ESI) *m*/*z*: calcd for $C_{16}H_{13}FN_3O_2$ $[M + H]^+$ 298.0986, found 298.0988.

4-Chloro-*N*-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl) benzamide (3ae)

Light yellow crystal, mp 203–205 °C (lit.[11] 201–202 °C); IR (KBr) ν(cm^{-1}): 3368, 2930, 1698, 1649, 1586, 1491, 1467, 1411, 1357, 1274, 1201; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 9.87 (s, 1H), 7.94–7.92 (m, 3H), 7.52–7.48 (m, 3H), 7.39 (td, J_{H-H} = 7.6 Hz, J_{H-H} = 1.2 Hz, 1H), 7.33 (dd, J_{H-H} = 8.3 Hz, J_{H-H} = 0.9 Hz, 1H), 3.79 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 163.1 (C═O), 151.3, 144.1 (CH), 139.1, 132.2, 132.0, 130.8, 129.5 (CH), 129.2 (CH), 129.0 (CH), 128.5 (CH), 124.7 (CH), 113.7 (CH), 29.9 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{16}H_{13}ClN_3O_2$ $[M + H]^+$ 314.0691, found 314.0692.

4-Bromo-*N*-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl) benzamide (3af)

Colorless solid, mp 180–181 °C (lit.[11] 176–178 °C); IR (KBr) ν(cm^{-1}): 3322, 1691, 1636, 1605, 1590, 1507, 1479, 1273, 1216; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 9.87 (s, 1H), 7.94 (dd, J_{H-H} = 8.0 Hz,

J_{H-H} = 1.0 Hz, 1H), 7.86 (d, J_{H-H} = 8.5 Hz, 2H), 7.66 (d, J_{H-H} = 8.5 Hz, 2H), 7.50 (td, J_{H-H} = 7.8 Hz, J_{H-H} = 1.3 Hz, 1H), 7.39 (td, J_{H-H} = 7.6 Hz, J_{H-H} = 0.9 Hz, 1H), 7.33 (d, J_{H-H} = 8.3 Hz, 1H), 3.80 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 163.3, 151.3, 144.1, 132.7, 132.2 (CH), 130.8, 129.5 (CH), 129.1 (CH), 128.6 (CH), 127.7, 124.8 (CH), 113.7 (CH), 29.9 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{16}H_{13}BrN_3O_2$ $[M + H]^+$ 358.0186, found 358.0188.

2-Bromo-*N*-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl) benzamide (3ag)

Light yellow crystal, mp 162–163 °C (lit.[11] 168–169 °C); IR (KBr) ν(cm^{-1}): 3342, 1721, 1647, 1612, 1584, 1498, 1465, 1427, 1355, 1267, 1199; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 9.71 (s, 1H), 7.64 (dd, J_{H-H} = 7.6 Hz, J_{H-H} = 1.6 Hz, 1H), 7.60 (dd, J_{H-H} = 8.0 Hz, J_{H-H} = 0.8 Hz, 1H), 7.46 (td, J_{H-H} = 8.6 Hz, J_{H-H} = 1.4 Hz, 1H), 7.39 (td, J_{H-H} = 7.6 Hz, J_{H-H} = 1.1 Hz, 1H), 7.35–7.27 (m, 3H), 3.71 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 165.0 (C═O), 151.0, 143.8 (CH), 137.2, 133.4 (CH), 131.8, 131.7 (CH), 130.9, 129.8 (CH), 129.2 (CH), 128.6 (CH), 127.6 (CH), 124.5 (CH), 119.2, 113.7 (CH), 29.8 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{16}H_{13}BrN_3O_2$ $[M + H]^+$ 358.0186, found 358.0189.

2-Iodo-*N*-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl) benzamide (3ah)

Light yellow crystal, mp 197–198 °C (lit.[11] 195–196 °C); IR (KBr) ν(cm^{-1}): 3343, 2919, 2850, 1716, 1641, 1498, 1476, 1418, 1319, 1265; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 9.46 (s, 1H), 7.92 (dd, J_{H-H} = 7.9 Hz, J_{H-H} = 0.8 Hz, 1H), 7.81 (d, J_{H-H} = 7.8 Hz, 1H), 7.54 (dd, J_{H-H} = 7.6 Hz, J_{H-H} = 1.6 Hz, 1H), 7.51–7.47 (m, 1H), 7.44 (td, J_{H-H} = 7.6 Hz, J_{H-H} = 1.0 Hz, 1H), 7.36 (td, J_{H-H} = 7.6 Hz, J_{H-H} = 1.2 Hz, 1H), 7.31 (dd, J_{H-H} = 8.3 Hz, J_{H-H} = 0.9 Hz, 1H), 7.18 (td, J_{H-H} = 7.8 Hz, J_{H-H} = 1.7 Hz, 1H), 3.77 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 166.6 (C═O), 151.1, 143.8, 141.3, 140.0, 131.8, 131.7, 129.5, 128.7, 128.6, 128.3, 124.6, 113.6, 92.1, 29.9 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{16}H_{13}IN_3O_2$ $[M + H]^+$ 406.0047, found 406.0049.

N-(4-Methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-4-(trifluoromethyl)benzamide (3ai)

Colorless crystal, mp 152–153 °C; IR (KBr) ν(cm^{-1}): 3365, 1700, 1647, 1612, 1515, 1504, 1489, 1473, 1324, 1276, 1111; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 9.90 (s, 1H), 8.08 (d, J_{H-H} = 8.1 Hz, 2H), 7.87 (dd, J_{H-H} = 8.0 Hz, J_{H-H} = 1.3 Hz, 1H), 7.76 (d, J_{H-H} = 8.2 Hz, 2H), 7.48–7.43 (m, 1H), 7.35 (td, J_{H-H} = 7.6 Hz, J_{H-H} = 1.2 Hz, 1H), 7.29 (d, J_{H-H} = 0.9 Hz, 1H), 3.74 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.9 (C═O), 151.1, 144.0 (CH), 137.0, 134.0 (q, J_{H-H} = 32.7 Hz), 131.8, 130.8, 129.3 (CH), 128.6 (CH), 128.0 (CH), 125.9 (q, J_{H-H} = 3.6 Hz, CH), 124.7 (CH), 123.5 (q, J_{H-H} = 271.1 Hz), 113.7 (CH), 29.9 (CH_3); ^{19}F NMR (376 MHz, $CDCl_3$) δ: −63.0; HR MS (ESI) *m*/*z*: calcd for $C_{17}H_{13}F_3N_3O_2$ $[M + H]^+$ 348.0954, found 348.0954.

N-(4-Methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)picolinamide (3aj)

Colorless solid, mp 232–233 °C; IR (KBr) ν(cm^{-1}): 3302, 2921, 1715, 1647, 1588, 1502, 1491, 1466, 1434, 1353; ^{1}H NMR (400 MHz, DMSO-d_6) δ: 11.60 (s, 1H), 8.78 (d, J_{H-H} = 4.6 Hz, 1H), 8.21 (d, J_{H-H} = 7.8 Hz, 1H), 8.13 (td, J_{H-H} = 7.6 Hz, J_{H-H} = 1.4 Hz, 1H), 7.77–7.74 (m, 1H), 7.70 (d, J_{H-H} = 8.0 Hz, 1H), 7.57–7.51 (m, 2H), 7.39 (td, J_{H-H} = 7.3 Hz, J_{H-H} = 1.9 Hz, 1H), 3.71 (s, 3H); ^{13}C NMR (100 MHz, DMSO-d_6) δ: 160.8 (C═O), 151.3, 149.3 (CH), 149.0, 144.4, 139.1 (CH), 131.9, 131.7, 128.6 (CH), 128.3 (CH), 124.6 (CH), 122.9 (CH), 115.3 (CH), 30.2 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{15}H_{13}N_4O_2$ $[M + H]^+$ 281.1033, found 281.1031.

N-(4-Methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)thiophene-2-carboxamide (3ak)

Colorless solid, mp 178–180 °C (lit.[11] 184–185 °C); IR (KBr) ν(cm^{-1}): 3484, 3096, 2920, 1688, 1651, 1622, 1592, 1505, 1490, 1472, 1414, 1274, 1204; ^{1}H NMR (400 MHz, DMSO-d_6) δ: 10.32 (s, 1H), 8.02 (dd, J_{H-H} = 3.7 Hz, J_{H-H} = 0.9 Hz, 1H), 7.96 (dd, J_{H-H} = 5.0 Hz, J_{H-H} = 1.0 Hz, 1H), 7.69 (d, J_{H-H} = 7.9 Hz, 1H), 7.57 (d, J_{H-H} = 3.7 Hz, 1H), 7.41–7.37 (m, 1H), 7.25 (dd, J_{H-H} = 4.9 Hz, J_{H-H} = 3.8 Hz, 1H), 3.70 (s, 3H); ^{13}C NMR (100 MHz, DMSO-d_6) δ: 159.4 (C═O), 151.3, 145.6, 139.1, 133.8, 132.2, 131.6, 131.0, 129.1, 128.9, 128.4, 124.5, 115.3, 30.1 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{14}H_{12}N_3O_2S$ $[M + H]^+$ 286.0645, found 286.0647.

N-(4-Methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)acetamide (3al)

Colorless crystal, mp 192–194 °C (lit.[11] 209–210 °C); IR (KBr) ν(cm^{-1}): 3246, 2931, 1686, 1655, 1603, 1506, 1470, 1366, 1285, 1203; ^{1}H NMR (400 MHz, DMSO-d_6) δ: 9.73 (s, 1H), 7.61 (d, J_{H-H} = 7.9 Hz, 1H), 7.51–7.33 (m, 2H), 7.37–7.33 (m, 1H), 3.66 (s, 3H), 2.35 (s, 3H); ^{13}C NMR (100 MHz, DMSO-d_6) δ: 169.7, 151.0, 145.0, 131.7, 131.6, 128.4 (CH), 128.0 (CH), 124.4 (CH), 115.0 (CH), 30.1 (CH_3), 25.4 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{11}H_{12}N_3O_2$ $[M + H]^+$ 218.0924, found 218.0924.

N-(4-Methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)propionamide (3am)

Colorless solid, mp 161–162 °C (lit.[11] 161–162 °C); IR (KBr) ν(cm^{-1}): 3256, 2922, 1682, 1659, 1608, 1507, 1472, 1370, 1216; ^{1}H NMR (400 MHz, DMSO-d_6) δ: 9.71 (s, 1H), 7.61 (d, J_{H-H} = 8.0 Hz, 1H), 7.53–7.47 (m, 2H), 7.35 (td, J_{H-H} = 7.0 Hz, J_{H-H} = 2.1 Hz, 1H), 3.66 (s, 3H), 2.71 (q, J_{H-H} = 7.4 Hz, 2H), 1.07 (t, J_{H-H} = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, DMSO-d_6) δ: 173.1, 151.0, 145.0, 131.7, 131.6, 128.3 (CH), 128.0 (CH), 124.4 (CH), 115.1 (CH), 30.4 (CH_3), 30.1 (CH_2), 9.39 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{12}H_{14}N_3O_2$ $[M + H]^+$ 232.1081, found 232.1083.

N-(4-Methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)isobutyramide (3an)

Colorless solid, mp 126–128 °C (lit.[11] 116–117 °C); IR (KBr) ν(cm^{-1}): 3254, 2966, 2931, 2873, 1675, 1652, 1606, 1500, 1484, 1468, 1382, 1358, 1223, 1158; ^{1}H NMR (400 MHz, DMSO-d_6) δ: 9.77 (s, 1H), 7.59 (d, J_{H-H} = 7.9 Hz, 1H), 7.48 (d, J_{H-H} = 3.8 Hz, 2H), 7.35–7.31 (m, 1H), 3.64 (s, 3H), 3.17–3.10 (m, 1H), 1.11 (d, J_{H-H} = 6.8 Hz, 6H); ^{13}C NMR (100 MHz, DMSO-d_6) δ: 175.8, 151.0, 145.0, 131.7, 131.6, 128.3 (CH), 128.0 (CH), 124.3 (CH), 115.0 (CH), 35.0 (CH_3), 30.0 (CH_2), 19.6 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{13}H_{16}N_3O_2$ $[M + H]^+$ 246.1237, found 246.1235.

3-Methoxy-*N*-(3-oxo-4-(prop-2-yn-1-yl)-3,4-dihydroquinoxalin-2-yl)benzamide (3ao)

Colorless crystal, mp 138–140 °C; IR (KBr) ν(cm^{-1}): 3246, 2960, 2871, 1657, 1606, 1504, 1471, 1376, 1208; ^{1}H NMR (400 MHz, DMSO-d_6) δ: 9.72 (s, 1H), 7.61 (d, $J_{H–H}$ = 8.4 Hz, 1H), 7.53–7.48 (m, 2H), 7.37–7.33 (m, 1H), 3.67 (s, 3H), 2.66 (t, $J_{H–H}$ = 7.3 Hz, 2H), 1.66–1.57 (m, 2H), 0.93 (t, $J_{H–H}$ = 7.3 Hz, 3H); ^{13}C NMR (100 MHz, DMSO-d_6) δ: 172.2, 151.0, 144.9, 131.7, 131.6, 128.3 (CH), 128.0 (CH), 124.4 (CH), 115.1 (CH), 38.9 (CH_2), 30.1 (CH_3), 18.4 (CH_2), 14.0 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{13}H_{16}N_3O_2$ $[M + H]^+$ 246.1237, found 246.1236.

***N*-Methyl-*N*-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl) formamide (3ap)**

Light yellow crystal, mp 149–150 °C (lit.[11] 154–155 °C); IR (KBr) ν(cm^{-1}): 3379, 2930, 1649, 1597, 1584, 1548, 1474, 1413, 1331, 1300, 1246; ^{1}H NMR (400 MHz, DMSO-d_6) δ: 9.43 (s, 1H), 7.66 (d, $J_{H–H}$ = 7.6 Hz, 1H), 7.55–7.50 (m, 2H), 7.37–7.34 (m, 1H), 3.62 (s, 3H), 3.28 (s, 3H); ^{13}C NMR (100 MHz, DMSO-d_6) δ: 164.3 (C═O), 152.0, 147.9, 132.1, 131.1, 129.4 (CH), 128.4 (CH), 124.3 (CH), 115.0 (CH), 30.2 (CH_3), 29.7 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{11}H_{12}N_3O_2$ $[M + H]^+$ 218.0924, found 218.0924.

***N*-Methyl-*N*-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl) acetamide (3aq)**

Colorless crystal, mp 183–184 °C (lit.[11] 193–195 °C); IR (KBr) ν(cm^{-1}): 3052, 2945, 1671, 1644, 1599, 1584, 1465, 1363, 1340, 1310, 1257; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.80 (dd, $J_{H–H}$ = 8.0 Hz, $J_{H–H}$ = 1.2 Hz, 1H), 7.62 (td, $J_{H–H}$ = 7.8 Hz, $J_{H–H}$ = 1.4 Hz, 1H), 7.42–7.36 (m, 2H), 3.77 (s, 3H), 3.35 (s, 3H), 2.15 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 171.2, 152.4, 151.0, 133.3, 131.2, 130.7, 129.8, 124.3, 113.8 (CH), 34.3 (CH_3), 29.9 (CH_3), 23.0 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{12}H_{14}N_3O_2$ $[M + H]^+$ 232.1081, found 232.1082.

***N*-Benzyl-*N*-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl) formamide (3ar)**

Colorless crystal, mp 133–135 °C; IR (KBr) ν(cm^{-1}): 2922, 1675, 1655, 1583, 1549, 1468, 1353, 1304, 1184; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 9.76 (s, 1H), 7.71 (dd, $J_{H–H}$ = 8.0 Hz, $J_{H–H}$ = 1.4 Hz, 1H), 7.48–7.44 (m, 1H), 7.38 (d, $J_{H–H}$ = 7.4 Hz, 2H), 7.31 (td, $J_{H–H}$ = 7.6 Hz, $J_{H–H}$ = 1.2 Hz, 1H), 7.25–7.20 (m, 3H), 7.17–7.14 (m, 1H), 5.38 (s, 2H), 3.66 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 163.8 (C═O), 151.9, 146.2, 137.3, 131.7, 131.3, 129.4 (CH), 129.0 (CH), 128.4 (CH), 128.3 (CH), 127.2 (CH), 124.3 (CH), 113.6 (CH), 45.0 (CH_2), 29.9 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{17}H_{16}N_3O_2$ $[M + H]^+$ 294.1237, found 294.1236.

1-Methyl-3-(2-oxopyrrolidin-1-yl)quinoxalin-2(1*H*)-one (3as)

Light yellow crystal, mp 141–142 °C (lit.[11] 145–146 °C); IR (KBr) ν(cm^{-1}): 2955, 2915, 2850, 1704, 1653, 1596, 1460, 1383, 1303, 1253, 1221; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.79 (dd, $J_{H–H}$ = 8.0 Hz, $J_{H–H}$ = 1.4 Hz, 1H), 7.55 (m, 1H), 7.37–7.30 (m, 2H), 4.04 (t, $J_{H–H}$ = 7.0 Hz, 2H), 3.74 (s, 3H), 2.64 (d, $J_{H–H}$ = 7.8 Hz, 2H), 2.29–2.21 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 175.1, 151.6, 147.7, 133.1, 131.4, 130.1 (CH), 129.5 (CH), 123.9 (CH), 113.6 (CH), 48.3 (CH_2), 31.8 (CH_2), 29.7 (CH_3), 19.1 (CH_2); HR MS (ESI) *m*/*z*: calcd for $C_{13}H_{14}N_3O_2$ $[M + H]^+$ 244.1081, found 244.1082.

1-Methyl-3-(2-methyl-5-oxopyrrolidin-1-yl)quinoxalin-2(1*H*)-one (3at)

Light yellow crystal, mp 149–151 °C (lit.[11] 153–154 °C); IR (KBr) ν(cm^{-1}): 2955, 2922, 2852, 1710, 1646, 1595, 1583, 1467, 1374, 1291, 1245, 1209; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.83 (dd, $J_{H–H}$ = 8.0 Hz, $J_{H–H}$ = 1.4 Hz, 1H), 7.57 (td, $J_{H–H}$ = 7.8 Hz, $J_{H–H}$ = 1.5 Hz, 1H), 7.38–7.31 (m, 2H), 4.68–4.60 (m, 1H), 3.74 (s, 3H), 2.72–2.53 (m, 2H), 2.46–2.39 (m, 1H), 1.90–1.81 (m, 1H), 1.28 (d, $J_{H–H}$ = 6.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 175.3, 152.0, 147.4, 133.2, 131.6, 130.4 (CH), 129.8 (CH), 123.9 (CH), 113.7 (CH), 55.6 (CH_3), 31.2 (CH_2), 29.7 (CH_3), 27.8 (CH_2), 20.3 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{14}H_{16}N_3O_2$ $[M + H]^+$ 258.1237, found 258.1235.

3-(4-Methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)oxazolidin-2-one (3au)

Light yellow crystal, mp 181–182 °C (lit.[11] 181–182 °C); IR (KBr) ν(cm^{-1}): 3337, 2921, 2849, 1765, 1663, 1532, 1511, 1371, 1246; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.76 (dd, $J_{H–H}$ = 8.0 Hz, $J_{H–H}$ = 1.1 Hz, 1H), 7.54 (td, $J_{H–H}$ = 7.8 Hz, $J_{H–H}$ = 1.1 Hz, 1H), 7.36–7.28 (m, 2H), 4.53 (t, $J_{H–H}$ = 7.8 Hz, 2H), 4.30 (t, $J_{H–H}$ = 7.8 Hz, 2H), 3.73 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 154.6, 151.3, 145.9, 132.9, 131.2, 130.0 (CH), 129.3 (CH), 124.0 (CH), 113.7 (CH), 63.0 (CH_3), 45.8 (CH_2), 29.7 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{13}H_{13}N_2O_3$ $[M + H]^+$ 246.0873, found 246.0875.

4-Chloro-*N*-(4-ethyl-3-oxo-3,4-dihydroquinoxalin-2-yl) benzamide (3be)

Colorless solid, mp 162–163 °C; IR (KBr) ν(cm^{-1}): 3357, 3053, 2978, 1702, 1633, 1606, 1591, 1505, 1481, 1379, 1204; ^{1}H NMR (400 MHz, DMSO-d_6) δ: 10.39 (s, 1H), 7.98 (dd, $J_{H–H}$ = 6.7 Hz, $J_{H–H}$ = 1.9 Hz, 2H), 7.70 (dd, $J_{H–H}$ = 8.0 Hz, $J_{H–H}$ = 1.3 Hz, 1H), 7.65–7.62 (m, 3H), 7.57 (td, $J_{H–H}$ = 7.8 Hz, $J_{H–H}$ = 1.4 Hz, 1H), 7.39 (td, $J_{H–H}$ = 7.6 Hz, $J_{H–H}$ = 1.0 Hz, 1H), 4.33 (q, $J_{H–H}$ = 7.0 Hz, 2H), 1.27 (t, $J_{H–H}$ = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, DMSO-d_6) δ: 164.1, 150.9, 145.8, 137.7, 132.9, 131.9, 130.9, 130.2 (CH), 129.3 (CH), 129.2 (CH), 128.8 (CH), 124.4 (CH), 115.0 (CH), 38.0 (CH_2), 12.7 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{17}H_{15}ClN_3O_2$ $[M + H]^+$ 328.0847, found 328.0846.

4-Chloro-*N*-(3-oxo-4-propyl-3,4-dihydroquinoxalin-2-yl) benzamide (3ce)

Colorless crystal, mp 145–146 °C; IR (KBr) ν(cm^{-1}): 3371, 2962, 1702, 1645, 1614, 1585, 1503, 1469, 1371, 1272, 1196; ^{1}H NMR (400 MHz, DMSO-d_6) δ: 10.35 (s, 1H), 7.97–7.95 (m, 2H), 7.68 (dd, $J_{H–H}$ = 7.9 Hz, $J_{H–H}$ = 1.2 Hz, 1H), 7.61 (d, $J_{H–H}$ = 8.5 Hz, 3H), 7.56 (td, $J_{H–H}$ = 7.8 Hz, $J_{H–H}$ = 1.2 Hz, 1H), 7.36 (td, $J_{H–H}$ = 7.5 Hz, $J_{H–H}$ = 0.8 Hz, 1H), 4.23 (t, $J_{H–H}$ = 7.7 Hz, 2H), 1.74–1.64 (m, 2H), 0.95 (t, $J_{H–H}$ = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, DMSO-d_6) δ: 164.0, 151.1, 145.7, 137.7, 132.9, 131.9, 131.1,

130.2 (CH), 129.2 (CH), 128.8 (CH), 124.4 (CH), 115.1 (CH), 44.2 (CH_2), 20.7 (CH_2), 11.5 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{18}H_{17}ClN_3O_2$ $[M + H]^+$ 342.1004, found 342.1002.

4-Chloro-*N*-(4-hexyl-3-oxo-3,4-dihydroquinoxalin-2-yl) benzamide (3de)

Colorless solid, mp 117–118 °C; IR (KBr) ν(cm^{-1}): 3388, 2957, 2930, 2854, 1707, 1641, 1609, 1589, 1503, 1466, 1276, 1201; ^1H NMR (400 MHz, $CDCl_3$) δ: 9.89 (s, 1H), 7.94–7.92 (m, 3H), 7.50–7.46 (m, 3H), 7.37 (td, $J_{H–H}$ = 7.6 Hz, $J_{H–H}$ = 1.0 Hz, 1H), 7.32 (d, $J_{H–H}$ = 8.3 Hz, 1H), 4.30 (t, $J_{H–H}$ = 7.9 Hz, 2H), 1.83–1.75 (m, 2H), 1.50–1.43 (m, 2H), 1.38–1.31 (m, 4H), 0.90 (t, $J_{H–H}$ = 7.0 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 163.1, 151.0, 144.1, 139.0, 132.3, 132.2, 129.9, 129.7 (CH), 129.2 (CH), 129.0 (CH), 128.4 (CH), 124.5 (CH), 113.6 (CH), 43.2 (CH_2), 31.4 (CH_2), 27.2 (CH_2), 26.6 (CH_2), 22.5 (CH_2), 14.0 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{21}H_{23}ClN_3O_2$ $[M + H]^+$ 384.1473, found 384.1472.

N-(4-Allyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-4-chlorobenzamide (3ee)

Colorless solid, mp 147–148 °C; IR (KBr) ν(cm^{-1}): 3388, 2918, 2850, 1705, 1650, 1593, 1515, 1480, 1358, 1276, 1198; ^1H NMR (400 MHz, $CDCl_3$) δ: 10.42 (s, 1H), 7.98 (dd, $J_{H–H}$ = 6.7 Hz, $J_{H–H}$ = 1.9 Hz, 2H), 7.70 (dd, $J_{H–H}$ = 8.9 Hz, $J_{H–H}$ = 1.1 Hz, 1H), 7.63 (dd, $J_{H–H}$ = 6.7 Hz, $J_{H–H}$ = 1.8 Hz, 2H), 7.54–7.49 (m, 2H), 7.38 (td, $J_{H–H}$ = 7.3 Hz, $J_{H–H}$ = 1.6 Hz, 1H), 6.01–5.93 (m, 1H), 5.20 (dd, $J_{H–H}$ = 10.5 Hz, $J_{H–H}$ = 1.1 Hz, 1H), 5.11 (dd, $J_{H–H}$ = 8.6 Hz, $J_{H–H}$ = 1.1 Hz, 1H), 4.95 (d, $J_{H–H}$ = 4.7 Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 164.2, 151.1, 145.9, 137.7, 132.9, 131.8, 131.7 (CH), 131.2, 130.2 (CH), 129.2 (CH), 129.1, 128.6 (CH), 124.5 (CH), 117.8 (CH), 115.6 (CH), 44.9 (CH_2); HR MS (ESI) *m*/*z*: calcd for $C_{18}H_{15}ClN_3O_2$ $[M + H]^+$ 340.0847, found 340.0846.

4-Chloro-*N*-(3-oxo-4-(prop-2-yn-1-yl)-3,4-dihydroquinoxalin-2-yl)benzamide (3fe)

Colorless solid, mp 195–196 °C; IR (KBr) ν(cm^{-1}): 3388, 3251, 2982, 2922, 1704, 1651, 1593, 1516, 1480, 1358, 1275, 1198; ^1H NMR (400 MHz, DMSO-d_6) δ: 10.49 (s, 1H), 8.00–7.97 (m, 2H), 7.72 (d, $J_{H–H}$ = 7.6 Hz, 1H), 7.64–7.61 (m, 4H), 7.44–7.40 (m, 1H), 5.15 (d, $J_{H–H}$ = 2.3 Hz, 2H), 3.40 (t, $J_{H–H}$ = 2.4 Hz, 1H); ^{13}C NMR (100 MHz, DMSO-d_6) δ: 164.4, 150.6, 145.9, 137.8, 132.8, 131.8, 130.6, 130.3 (CH), 129.4 (CH), 129.2 (CH), 128.7 (CH), 124.9 (CH), 115.5 (CH), 78.1, 76.0, 32.4 (CH_2); HR MS (ESI) *m*/*z*: calcd for $C_{18}H_{13}ClN_3O_2$ $[M + H]^+$ 338.0691, found 338.0690.

Ethyl 2-(3-(4-chlorobenzamido)-2-oxoquinoxalin-1(2*H*)-yl) acetate (3ge)

Colorless crystal, mp 158–159 °C; IR (KBr) ν(cm^{-1}): 3363, 3988, 3954, 1730, 1712, 1666, 1595, 1518, 1483, 1471, 1357, 1271, 1199; ^1H NMR (400 MHz, $CDCl_3$) δ: 10.52 (s, 1H), 7.98 (d, $J_{H–H}$ = 8.6 Hz, 2H), 7.73 (d, $J_{H–H}$ = 7.6 Hz, 1H), 7.63 (dd, $J_{H–H}$ = 6.8 Hz, $J_{H–H}$ = 1.8 Hz, 2H), 7.56–7.54 (m, 2H), 7.43–7.40 (m, 1H), 5.18 (s, 2H), 4.18 (q, $J_{H–H}$ = 7.1 Hz, 2H), 1.22 (t, $J_{H–H}$ = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 167.7, 164.5, 151.3, 145.8, 137.8, 132.8, 131.6, 130.4 (CH), 129.5 (CH), 129.2 (CH), 128.8 (CH), 124.8 (CH), 115.1 (CH), 61.9 (CH_2), 44.7 (CH_2), 14.4 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{19}H_{17}ClN_3O_4$ $[M + H]^+$ 386.0902, found 386.0903.

N-(4-Benzyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-4-chlorobenzamide (3he)

Colorless crystal, mp 118–119 °C; IR (KBr) ν(cm^{-1}): 3345, 2922, 1703, 1640, 1594, 1517, 1505, 1477, 1453, 1342, 1271, 1206; ^1H NMR (400 MHz, DMSO-d_6) δ: 10.48 (s, 1H), 8.00 (d, $J_{H–H}$ = 8.6 Hz, 2H), 7.71 (d, $J_{H–H}$ = 7.8 Hz, 1H), 7.63 (d, $J_{H–H}$ = 8.6 Hz, 2H), 7.45 (d, $J_{H–H}$ = 3.9 Hz, 2H), 7.37–7.24 (m, 6H), 5.57 (s, 2H); ^{13}C NMR (100 MHz, DMSO-d_6) δ: 164.2 (C═O), 151.7, 146.1, 137.8, 136.0, 132.9, 132.0, 131.3, 130.3 (CH), 129.3 (CH), 129.1 (CH), 128.7 (CH), 127.9 (CH), 127.3 (CH), 124.6 (CH), 115.6 (CH), 46.0 (CH_2); HR MS (ESI) *m*/*z*: calcd for $C_{22}H_{17}ClN_3O_2$ $[M + H]^+$ 390.1004, found 390.1005.

4-Chloro-*N*-(6-chloro-4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)benzamide (3ie)

Colorless crystal, mp 240–241 °C; IR (KBr) ν(cm^{-1}): 3369, 3073, 1703, 1656, 1586, 1505, 1481, 1463, 1353, 1277, 1251, 1205; ^1H NMR (400 MHz, $CDCl_3$) δ: 9.83 (s, 1H), 7.90 (d, $J_{H–H}$ = 8.5 Hz, 2H), 7.86 (d, $J_{H–H}$ = 2.2 Hz, 1H), 7.48 (d, $J_{H–H}$ = 8.5 Hz, 2H), 7.40 (dd, $J_{H–H}$ = 8.8 Hz, $J_{H–H}$ = 2.2 Hz, 1H), 7.21 (d, $J_{H–H}$ = 8.9 Hz, 1H), 3.75 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.9 (C═O), 151.0, 144.9, 139.3, 132.7, 131.9, 130.0, 129.5, 129.2 (CH), 129.0 (CH), 128.6 (CH), 128.3 (CH), 114.8 (CH), 30.1 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{16}H_{12}Cl_2N_3O_2$ $[M + H]^+$ 348.0301, found 348.0301.

N-(6-Bromo-4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-4-chlorobenzamide (3je)

Colorless crystal, mp 236–237 °C; IR (KBr) ν(cm^{-1}): 3369, 2921, 1703, 1657, 1587, 1504, 1479, 1463, 1351, 1288, 1249; ^1H NMR (400 MHz, $CDCl_3$) δ: 9.86 (s, 1H), 8.10 (d, $J_{H–H}$ = 2.2 Hz, 1H), 7.93 (d, $J_{H–H}$ = 8.6 Hz, 2H), 7.59 (dd, $J_{H–H}$ = 8.9 Hz, $J_{H–H}$ = 2.2 Hz, 1H), 7.51 (dd, $J_{H–H}$ = 6.7 Hz, $J_{H–H}$ = 1.8 Hz, 2H), 7.20 (d, $J_{H–H}$ = 8.9 Hz, 1H), 3.78 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 163.0 (C═O), 151.1, 144.9, 139.3, 133.0, 132.0, 131.8 (CH), 131.2 (CH), 130.0, 129.3 (CH), 129.0 (CH), 117.5, 115.0 (CH), 30.1 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{16}H_{12}BrClN_3O_2$ $[M + H]^+$ 391.9796, found 391.9795.

4-Chloro-*N*-(3-oxo-3,4-dihydroquinoxalin-2-yl)benzamide (3ke)

Light yellow crystal, mp 281–282 °C (lit.[16] 281 °C); IR (KBr) ν(cm^{-1}): 3382, 3055, 2916, 1670, 1588, 1505, 1470, 1389, 1254; ^1H NMR (400 MHz, DMSO-d_6) δ: 12.76 (s, 1H), 10.34 (s, 1H), 8.00–7.97 (m, 2H), 7.66–7.63 (m, 3H), 7.46 (td, $J_{H–H}$ = 7.6 Hz, $J_{H–H}$ = 1.2 Hz, 1H), 7.33–7.29 (m, 2H); ^{13}C NMR (100 MHz, DMSO-d_6) δ: 164.0 (C═O), 151.7, 146.9, 137.7, 132.9, 131.4, 130.9, 130.2 (CH), 129.2 (CH), 128.9 (CH), 127.7 (CH), 124.2 (CH), 115.8 (CH); HR MS (ESI) *m*/*z*: calcd for $C_{15}H_{11}ClN_3O_2$ $[M + H]^+$ 300.0534, found 300.0533.

4-Chloro-*N*-(quinolin-2-yl)benzamide (3le)

Colorless crystal, mp 139–140 °C (lit.[17] 138–139 °C); IR (KBr) ν(cm^{-1}): 3330, 1665, 1598, 1574, 1524, 1498, 1455, 1425, 1319, 1263; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.85 (s, 1H), 8.53 (d, J_{H-H} = 8.4 Hz, 1H), 8.22 (d, J_{H-H} = 9.0 Hz, 1H), 7.92 (d, J_{H-H} = 8.4 Hz, 1H), 7.83–7.79 (m, 2H), 7.69–7.65 (m, 1H), 7.49–7.45 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 164.9 (C═O), 150.9, 146.5, 138.8, 132.5, 130.1, 129.1, 128.7, 127.6, 127.2, 126.4, 125.3, 114.3, 99.9 (CH); HR MS (ESI) *m*/*z*: calcd for $C_{16}H_{12}ClN_2O$ $[M + H]^+$ 283.0633, found 283.0632.

***N*-(2,6-Di-*tert*-butyl-4-hydroxybenzyl)benzamide (4)**

Colorless solid, mp 201–203 °C (lit.[11] 196–197 °C); IR (KBr) ν(cm^{-1}): 3627, 3338, 2960, 1634, 1538, 1431, 1297, 1210, 1144; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.78 (d, J_{H-H} = 7.2 Hz, 2H), 7.49–7.45 (m, 1H), 7.40 (t, J_{H-H} = 7.1 Hz, 2H), 7.16 (s, 2H), 5.23 (s, 1H), 4.54 (d, J_{H-H} = 5.3 Hz, 2H), 1.43 (s, 18H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 167.2 (C═O), 153.4, 136.3, 134.7, 131.4 (CH), 128.6, 128.5 (CH), 126.9 (CH), 125.1 (CH), 44.6 (CH_2), 34.3, 30.2 (CH_3); HR MS (ESI) *m*/*z*: calcd for $C_{22}H_{30}NO_2$ $[M + H]^+$ 340.2271, found 340.2273.

Conflicts of interest

There are no conflicts to declare.

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