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Metal-Free Direct Oxidative C–N Bond Coupling of Quinoxalin-2(1*H*)-ones with Azoles under Mild Conditions

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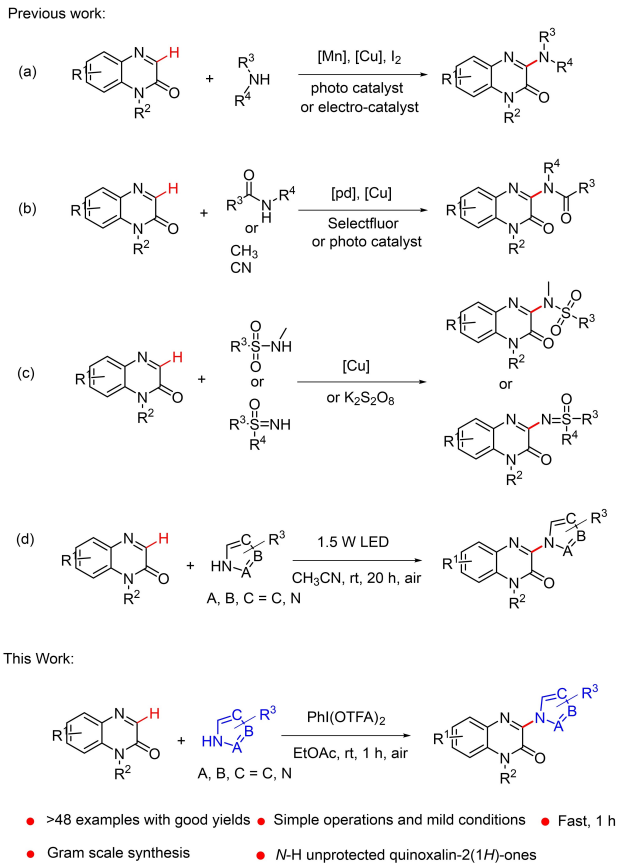
Direct C3–H amination of quinoxalin-2(1*H*)-ones with azoles under mild conditions promoted by PIFA has been achieved in good yield in a very fast manner. Mechanistic study revealed that the reaction proceeds through a radical process. In addition, this method could be applied to gram-scale reaction.

Introduction

Quinoxalin-2(1*H*)-ones are a crucial class of bioactive skeleton widely found in natural products and pharmaceuticals,[1] they exhibit a wide spectrum of biological properties including anticancer, antidiabetic, antimicrobial, and anti-inflammatory.[2] Recent years, numerous methods for the direct functionalisation of the C3–H bonds of quinoxalin-2(1*H*)-ones have been reported,[3] including alkylation,[4] arylation,[5] acylation,[6] alkoxylation[7] and phosphonation.[8] In particular, owing to the presence of 3-*N*-substituted quinoxalin-2(1*H*)-ones in numerous biologically active molecules, several approaches for their syntheses have been established. For instance, Gulevskaya, Cui, Phan and Jain group independently reported manganese, copper, copper-organic framework or I_2 catalytic systems for direct amination of quinoxalinones.[9] Wei and Zeng group subsequently demonstrated the direct amination of quinoxalinones using a visible-light-catalyzed or electrochemical method (Scheme 1a), respectively,[10] While the direct C–H amidation of Quinoxalin-2(1*H*)-ones have also been concurrently reported by several groups (Scheme 1b).[11] Other *N*-containing groups such as sulfoximines and sulfonamides were also introduced into C3 position of quinoxalin-2(1*H*)-ones by Yotphan and Zhao groups, respectively (Scheme 1c).[12] Although these direct approaches for the construction of 3-*N*-substituted quinoxalin-2(1*H*)-ones have been developed, we noted that the introduction of azoles at the C3 position of quinoxalin-2(1*H*)-ones through direct C3-H functionalization remains rare and challenging.

Azoles are an important class of compound that are widely found in pharmaceutical agents, natural products, and functional materials.[13] Hence, the development of new synthetic methods for the functionalization of azoles is of great interest in organic synthesis, especially for the direct oxidative coupling of C–H and *N*–H bonds.[14] We recently reported the NBS or NIS mediated direct *N*-sulfonylation of azoles with sodium sulfinates.[15] Very recently, Li and co-workers reported a visible-light-promoted C-*N* coupling of quinoxaline-2(1*H*)-ones with azoles (Scheme 1d).[16] However, long reaction time (20 h) were required and *N*H unprotected quinoxalin-2(1H)-ones were not tolerated for this reaction. As a continuation of our previous work, herein, we report a simple, efficient and convenient method for the direct amination of quinoxalinones at their C3 positions using PhI(OTFA)$_2$, which mediates oxidative C–N bond coupling between the quinoxalin-2(1*H*)-one and azole.



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

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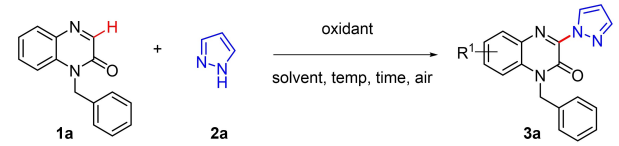
Results and Discussion

Initially, we chose *N*-benzyl-quinoxalin-2(1*H*)-one (**1a**) and pyrazole (**2a**) as the model compounds to optimize the reaction conditions (Table 1). To our delight, the desired product 3a was isolated in 34% yield in the presence of $K_2S_2O_4$ at 70 °C for 12 h (entry 1). Various oxidants, including $Na_2S_2O_8$, $(NH)_2S_2O_8$, I_2, *tert*-butyl hydroperoxide (TBHP), *N*-iodosuccinimide (NIS), (diacetoxyiodo)benzene (PIDA) and [bis(trifluoroacetoxy)iodo]benzene (PIFA) were tested, among which PIFA gave the best yield (35%) (entries 2–8). The effect of reaction temperature was also investigated, and we found that the reaction could proceed smoothly at room temperature (entries 9 and 10). Upon screening reactions in different solvents (entries 11–17), ethyl acetate provided the highest yield and the reaction essentially completed in 1 hour (entry 18). Finally, a survey of oxidant and azole loading revealed that 2.0 equiv. of PIFA and pyrazole (**2a**) was the most suitable (entry 19). Additionally, the result had no obvious difference if the reaction was performed in a nitrogen atmosphere (entry 20). In conclusion, the optimized conditions were summarized as **1a** (0.3 mmol), **2a** (2 equiv), and PIFA (2 equiv) in EtOAc at room temperature under air.

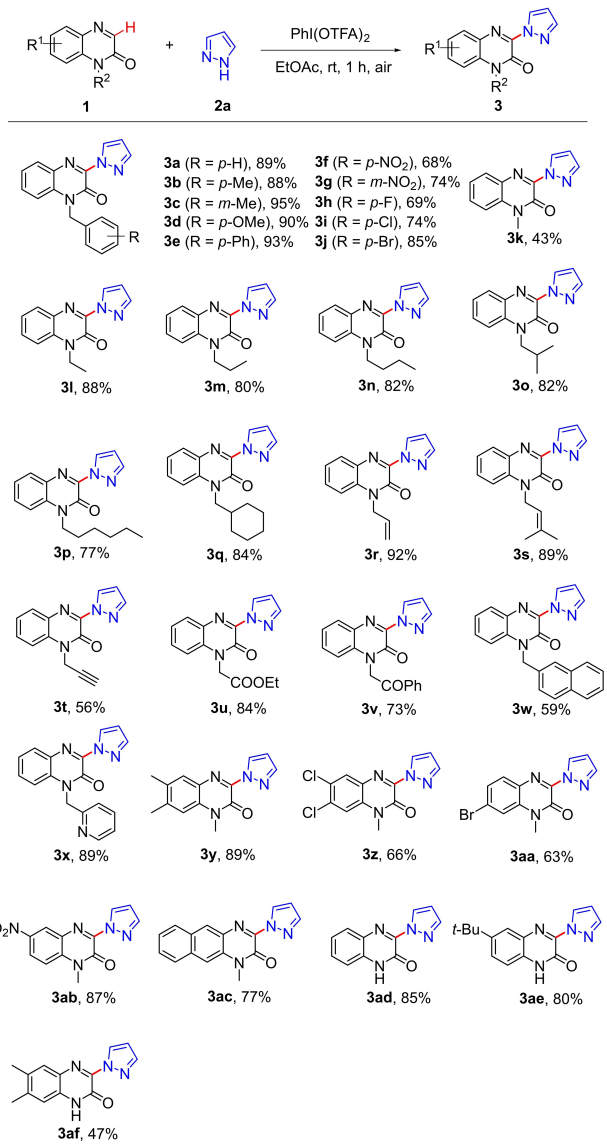
With the optimal reaction conditions in hand, the substrate scope of the C–N coupling was subsequently explored by employing various quinoxaline-2(1*H*)-ones (**1**) with pyrazole (**2a**). The results are summarized in Scheme 2. To our delight, *N*-benzyl functions with electron-rich groups (–CH_3, –Ph, –OCH_3) and electron-poor groups (–F, –Cl, –Br, and –NO_2) were compatible with the reaction with good yields (**3b**–**3j**). When

Table 1. Optimization of Reaction Conditions.[a]



Entry	Oxidant	*T* [°C]	Time	Solvent	Yield [%][b]
1	$K_2S_2O_4$	70	12 h	MeCN	34
2	$Na_2S_2O_4$	70	12 h	MeCN	21
3	$(NH_4)_2S_2O_8$	70	12 h	MeCN	18
4	I_2	70	12 h	MeCN	17
5	TBHP	70	12 h	MeCN	11
6	NIS	70	12 h	MeCN	13
7	PIDA	70	12 h	MeCN	30
8	PIFA	70	12 h	MeCN	35
9	PIFA	50	12 h	MeCN	38
10	PIFA	r.t.	12 h	MeCN	44
11	PIFA	r.t.	3 h	MeCN	45
12	PIFA	r.t.	3 h	DCM	51
13	PIFA	r.t.	3 h	DCE	34
14	PIFA	r.t.	3 h	toluene	29
15	PIFA	r.t.	3 h	THF	47
16	PIFA	r.t.	3 h	1,4-dioxane	22
17	PIFA	r.t.	3 h	EtOAc	78
18	**PIFA**	**r.t.**	**1 h**	**EtOAc**	**89**
19[c]	PIFA	r.t.	1 h	EtOAc	83
20[d]	PIFA	r.t.	1 h	EtOAc	88

[a] Reaction conditions: **1a** (0.3 mmol), **2a** (0.6 mmol), oxidant (0.6 mmol), solvent (1.5 mL), 1 h. [b] Yields of isolated product. [c] **2a** (0.45 mmol), PIFA (0.45 mmol). [d] Under a N_2 atmosphere.



Scheme 2. Substrate scope of quinoxalinones with pyrazole. Reaction conditions: **1** (0.3 mmol), **2a** (0.6 mmol), PIFA (0.6 mmol) in EtOAc (1.5 mL) at room temperature for 1 h.

we carried out the reaction of *N*-methyl quinoxalin-2(1*H*)-one with pyrazole (**2a**), the corresponding product **3k** was obtained in 43% yield. However, other *N*-alkyl quinoxalin-2(1*H*)-ones showed very good reactivity with pyrazole (**2a**) under the optimal conditions to give the corresponding products (**3l**–**3q**) in 77–88% yields. It is noteworthy that quinoxalin-2(1*H*)-ones bearing the sensitive groups, including alkenyl and alkynyl groups were well tolerated to provide the desired products in moderate to excellent yields (**3r**, **3s** and **3t**). Other important functional-groups including ester, ketone, naphthalene and pyridine groups were also well tolerated (**3u**–**3x**). Afterward, C6- or C7-substituted quinoxalin-2(1*H*)-ones were investigated. All the substituted substrates, whether the substituents are electron-rich or electron-deficient, underwent smoothly to provide the corresponding products in good yields (**3y**, **3z**,

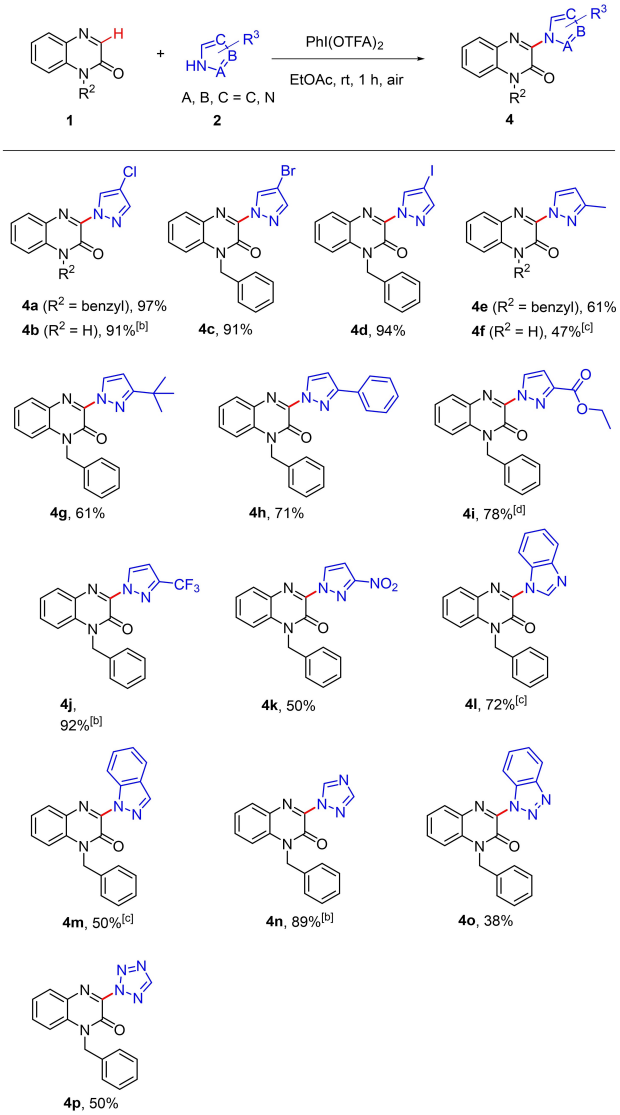
3aa–**3ac**). Notably, *N*-unprotected quinoxalin-2(1*H*)-ones were well compatible with present reaction conditions, furnishing the desired products in satisfactory yields (**3ad**–**3af**).

After exploring the scope of quinoxaline-2(1*H*)-ones, we expanded the range of azoles derivatives (Scheme 3). Both *N*-benzyl quinoxaline-2(1*H*)-one and *N*–H free quinoxaline-2(1*H*)-one showed a tolerance toward many azole coupling partners, and the oxidative C–N bond coupling reactions can be achieved without any difficulties (**4a**, **4b**, **4e** and **4f**). The reaction of *N*-benzyl quinoxaline-2(1*H*)-one and 3-halogen-substituted pyrazoles proceeded smoothly, affording the desired products in excellent yields (**4a**, **4c** and **4d**). Pyrazoles with different 3-substituents including *tert*-butyl, phenyl, ester, trifluoromethyl and nitro groups on their rings could furnish the expected products in moderate to good yields (**4g**–**4k**). Benzimidazole and indazole were also effective substrates for this direct C–H and N–H oxidative coupling reaction (**4l** and **4m**). 1,2,4-Triazole was very effective for this reaction and gave the corresponding product **4n** in 89% yield. Moreover, benzotriazole and tetrazole were also suitable for this reaction, afforded the desired products **4o** and **4p** in 38% and 50% yields, respectively.

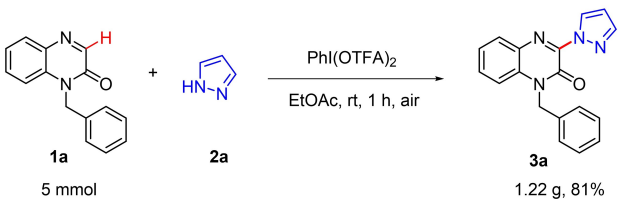
Furthermore, a scale-up reaction was performed to demonstrate the practicability of the developed protocol (5 mmol scale). To our satisfaction, the reaction proceeded smoothly under the optimized conditions to provide product **3a** in 81% yield (Scheme 4).

To gain insight into the mechanism, several control experiments were performed (Scheme 5). When 2.0 equiv. of BHT (2,6-Di-tert-butyl-4-methylphenol) was added into the reaction system under the standard conditions, only 20% yield of product **3a** was observed, and **5a** was detected by HRMS (Scheme 5a). When 2.0 equiv. of hydroquinone was added to the reaction, the yield of **3a** was obtained in less than 5%, and **6a** was detected by HRMS (Scheme 5b) (see the Supporting Information). These findings suggest the possible involvement of a radical process in this transformation.

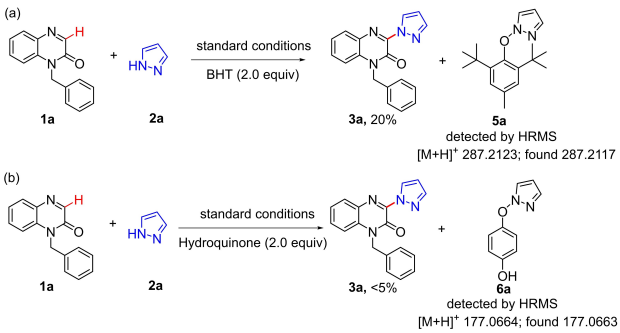
Based on the above-mentioned results and previous reports,[7b,d,17] we proposed a possible reaction mechanism as shown in Scheme 6. Initially, pyrazole (**2a**) is oxidized by PhI(OTFA)$_2$ to furnish to form the corresponding *N*-centered radical **A**. Then, the obtained radical then attacked substrate **1a** to produce nitrogen radical intermediate **B**. Next, intermediate **B** underwent the 1,2-hydrogen shift to form carbon radical **C**. Carbon radical **C** is further oxidized by PhI(OTFA)$_2$ to form the carbon cation intermediate **D** through a single electron transfer (SET) process. Finally, the target product 3a was obtained through a deprotonation process of carbon cation intermediate **D**.



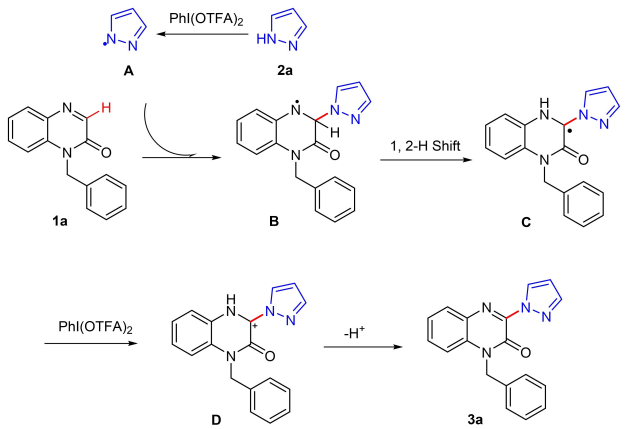
Scheme 3. Substrate scope of azoles. [a] Reaction conditions: **1** (0.3 mmol), **2** (0.6 mmol), PIFA (0.6 mmol) in EtOAc (1.5 mL) at room temperature for 1 h. [b] Reaction for 3 h. [c] Stirred at 40 °C, 12 h. [d] Stirred at 40 °C, 3 h.



Scheme 4. Gram-Scale Reaction.



Scheme 5. Controlled experiments for mechanism study.



Scheme 6. Plausible mechanism.

Conclusion

In conclusion, we have developed a rapid, simple, convenient and mild method for C3-amination of quinoxaline-2(1*H*)-ones with azoles. Under the standard condition, a variety of versatile functional groups were tolerated in this reaction to give the desired products in good to excellent yields. In addition, this method could be applied to gram-scale reaction. This methodology will exhibit promising potential for applications in synthetic and medicinal chemistry.

Experimental Section

General methods and materials

^{1}H and ^{13}C NMR spectra were recorded on a Bruker 500 NMR spectrometers (500 MHz for ^{1}H, 126 MHz for ^{13}C) in $CDCl_3$ (with TMS as internal standard). All chemical shifts were reported in δ units with references to the residual solvent resonances of the deuterated solvents for proton and carbon chemical shifts. Coupling constants, J, are reported in hertz. Mass data were measured with QTOF6600 mass spectrometer. Melting points (m.p.) were determined on a capillary melting point apparatus and were uncorrected. The crude products were purified by flash column chromatography on silica gel and the reported yields are the actual isolated yields of pure products. Thin-layer chromatography (TLC) was performed using silica gel plates with fluorescence F254, and visualized with short-wavelength UV light (254 nm). All other chemicals were purchased from Chemical Co. and used as received unless otherwise specified.

General procedure for the amination of quinoxalin-2(1*H*)-ones with azoles.

An overdried 15 mL pressure tube equipped with a magnetic stir bar was charged with quinoxaline-2(1*H*)- one (0.3 mmol), pyrazoles (0.6 mmol), PIFA (0.6 mmol), and EtOAc (1.5 mL). The mixture was stirred at room temperature for 1 h under air. After completion of the reaction, diluted with 10 mL of H_2O, and extracted with EtOAc (2×10 mL). The combined organic extracts were dried over Na_2SO_4, filtered, and concentrated under vacuum. The residue was purified by column chromatography on silica gel to give the product **3** or **4** using ethyl acetate/petroleum ether as an eluant.

Benzyl-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 a)

White solid (81 mg, 89% yield); M. p. = 133.4–135.9 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.05 (d, *J* = 2.7 Hz, 1H), 7.97 (dd, *J* = 8.0, 1.3 Hz, 1H), 7.84 (d, *J* = 1.3 Hz, 1H), 7.40–7.35 (m, 1H), 7.28 (t, *J* = 7.7 Hz, 1H), 7.25–7.17 (m, 6H), 6.44 (dd, *J* = 2.6, 1.7 Hz, 1H), 5.53 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.8, 143.49, 142.69, 134.69, 133.31, 131.98, 131.56, 130.32, 130.11, 129.07, 127.94, 126.80, 124.66, 114.45, 108.35, 46.69. This is a known structure. These data are similar to the reported one.[16]

1-(4-Methylbenzyl)-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 b)

White solid (84 mg, 88% yield); M. p. = 146.0–148.7 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.13 (d, *J* = 2.6 Hz, 1H), 8.04 (d, *J* = 8.0 Hz, 1H), 7.92 (s, 1H), 7.45 (t, *J* = 7.8 Hz, 1H), 7.35 (dd, *J* = 13.6, 7.9 Hz, 2H), 7.14 (dd, *J* = 19.4, 8.0 Hz, 4H), 6.52 (d, *J* = 1.6 Hz, 1H), 5.57 (s, 2H), 2.30 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.84, 143.46, 142.69, 137.73, 133.34, 132.02, 131.68, 131.56, 130.31, 130.09, 129.72, 126.83, 124.61, 114.48, 108.32, 46.50, 21.09. HRMS (ESI): Calculated for $C_{19}H_{17}N_4O$ $[M+H]^+$: 317.1402, Found: 317.1400.

1-(3-Methylbenzyl)-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 c)

White solid (90 mg, 95% yield); M. p. = 116.2–117.0 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.12 (d, *J* = 2.7 Hz, 1H), 8.02 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.90 (d, *J* = 1.4 Hz, 1H), 7.42 (ddd, *J* = 8.5, 7.4, 1.5 Hz, 1H), 7.35–7.31 (m, 1H), 7.28 (dd, *J* = 8.4, 0.8 Hz, 1H), 7.18 (t, *J* = 7.9 Hz, 1H), 7.05 (d, *J* = 7.7 Hz, 1H), 7.02 (d, *J* = 6.0 Hz, 2H), 6.50 (dd, *J* = 2.7, 1.6 Hz, 1H), 5.54 (s, 2H), 2.26 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.82, 143.46, 142.66, 138.88, 134.62, 133.34, 132.02, 131.52, 130.22, 130.11, 128.91, 128.70, 127.30, 124.61, 123.82, 114.52, 108.33, 46.67, 21.43. HRMS (ESI): Calculated for $C_{19}H_{17}N_4O$ $[M+H]^+$: 317.1402, Found: 317.1394.

1-(4-Methoxybenzyl)-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 d)

White solid (90 mg, 90% yield); M. p. = 132.6–133.6 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.11 (d, *J* = 2.4 Hz, 1H), 8.01 (d, *J* = 8.2 Hz, 1H), 7.89 (s, 1H), 7.44 (t, *J* = 7.8 Hz, 1H), 7.35 (d, *J* = 0.9 Hz, 1H), 7.34–7.31 (m, 1H), 7.20 (d, *J* = 7.7 Hz, 2H), 6.8–6.78 (m, 2H), 6.50 (d, *J* = 1.3 Hz, 1H), 5.51 (s, 2H), 3.72 (d, *J* = 1.8 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 158.23, 149.77, 142.40, 141.67, 132.26, 130.94, 130.52, 129.25, 129.02, 127.32, 125.70, 123.54, 113.39, 107.26, 54.23, 45.13. HRMS (ESI): Calculated for $C_{19}H_{17}N_4O_2$ $[M+H]^+$: 333.1352, Found: 333.1352.

1-([1,1′-Biphenyl]-4-ylmethyl)-3-(1*H*-pyrazol-1-yl) quinoxalin-2(1*H*)-one (3 e)

White solid (106 mg, 93% yield); M. p. = 157.0–158.2 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.15 (d, *J* = 2.7 Hz, 1H), 8.06 (d, *J* = 8.0 Hz, 1H), 7.93 (s, 1H), 7.54 (t, *J* = 8.7 Hz, 4H), 7.50–7.46 (m, 1H), 7.38 (ddd, *J* = 10.5, 8.6, 6.2 Hz, 4H), 7.33 (t, *J* = 8.3 Hz, 3H), 6.56–6.51 (m, 1H), 5.64 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.86, 143.53, 142.71, 140.96, 140.35, 133.67, 133.34, 132.01, 131.60, 130.37, 130.18, 128.83, 127.78, 127.52, 127.31, 127.04, 124.72, 114.46, 108.40, 46.47. HRMS (ESI): Calculated for $C_{24}H_{19}N_4O$ $[M+H]^+$: 379.1559, Found: 379.1559.

1-(4-Nitrobenzyl)-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 f)

White solid (71 mg, 68% yield); M. p. = 177.8–178.9 °C. ^{1}H NMR (500 MHz, CDCl3) δ 9.05 (d, *J* = 2.6 Hz, 1H), 8.16 (d, *J* = 8.7 Hz, 2H), 8.04 (d, *J* = 8.0 Hz, 1H), 7.90 (s, 1H), 7.48–7.37 (m, 4H), 7.18 (d, *J* = 8.4 Hz, 1H), 6.55–6.48 (m, 1H), 5.68 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 149.68, 146.62, 142.68, 141.53, 140.99, 132.14, 130.54, 130.51, 129.56, 129.35, 126.70, 124.08, 123.29, 112.83, 107.57, 45.10. HRMS (ESI): Calculated for $C_{18}H_{14}N_5O_3$ $[M+H]^+$: 348.1097, Found: 348.1097.

1-(3-Nitrobenzyl)-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 g)

White solid (77 mg, 74% yield); M. p. = 165.1–167.0 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.05 (d, *J* = 2.4 Hz, 1H), 8.20–8.09 (m, 2H), 8.04 (d, *J* = 7.9 Hz, 1H), 7.90 (s, 1H), 7.56 (d, *J* = 7.6 Hz, 1H), 7.52–7.44 (m, 2H), 7.38 (t, *J* = 7.6 Hz, 1H), 7.23 (d, *J* = 8.3 Hz, 1H), 6.51 (s, 1H), 5.66 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.75, 148.63, 143.68, 142.58, 136.92, 133.18, 132.90, 131.57, 131.54, 130.59, 130.39, 130.24, 125.07, 123.13, 122.10, 113.81, 108.55, 46.02. HRMS (ESI): Calculated for $C_{18}H_{14}N_5O_3$ $[M+H]^+$: 348.1097, Found: 348.1096.

1-(4-Fluorobenzyl)-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 h)

White solid (66 mg, 69% yield); M. p. = 162.2–163.9 °C. ^{1}H NMR (500 MHz, CDCl3) δ 9.11 (d, *J* = 2.7 Hz, 1H), 8.06 (dd, *J* = 8.0, 1.3 Hz, 1H), 7.93 (d, *J* = 1.0 Hz, 1H), 7.52–7.47 (m, 1H), 7.42–7.37 (m, 1H), 7.34–7.26 (m, 3H), 7.05–7.00 (m, 2H), 6.57–6.50 (m, 1H), 5.59 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 162.33 (d, *J* = 248.22 Hz), 150.78, 143.53, 142.67, 133.23, 131.81, 131.57, 130.47 (d, *J* = 3.78 Hz), 130.29 (d, *J* = 31.5 Hz), 128.74 (d, *J* = 8.82 Hz), 124.76, 116.12, 115.95, 114.20, 108.40, 46.02. ^{19}F NMR (376 MHz, $CDCl_3$) δ −113.839. HRMS (ESI): Calculated for $C_{18}H_{14}FN_4O$ $[M+H]^+$: 321.1152, Found: 321.1163.

1-(4-Chlorobenzyl)-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 i)

White solid (75 mg, 74% yield); M. p. = 164.8–166.6 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.05 (d, *J* = 2.7 Hz, 1H), 8.00 (dd, *J* = 8.0, 1.3 Hz, 1H), 7.88 (s, 1H), 7.45–7.39 (m, 1H), 7.33 (dd, *J* = 10.9, 4.4 Hz, 1H), 7.23 (dd, *J* = 9.4, 6.2 Hz, 3H), 7.17 (d, *J* = 8.4 Hz, 2H), 6.48 (dd, *J* = 2.6, 1.6 Hz, 1H), 5.52 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.75, 143.56, 142.63, 133.88, 133.22, 133.23, 131.76, 131.55, 130.42, 130.18, 129.25, 128.31, 124.81, 114.17, 108.43, 46.07. HRMS (ESI): Calculated for $C_{18}H_{14}ClN_4O$ $[M+H]^+$: 337.0856, Found: 337.0856.

1-(4-Bromobenzyl)-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 j)

White solid (97 mg, 85% yield); M. p. = 166.2–167.6 °C. ^{1}H NMR (500 MHz, CDCl3) δ 9.09 (d, *J* = 2.7 Hz, 1H), 8.04 (dd, *J* = 8.0, 1.3 Hz, 1H), 7.91 (d, *J* = 1.2 Hz, 1H), 7.48–7.43 (m, 3H), 7.39–7.35 (m, 1H), 7.24 (s, 1H), 7.14 (d, *J* = 8.4 Hz, 2H), 6.52 (dd, 1H), 5.54 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 149.73, 142.54, 141.60, 132.72, 132.20, 131.18, 130.72, 130.53, 129.41, 129.16, 127.59, 123.79, 120.91, 113.14, 107.41, 45.10. HRMS (ESI): Calculated for $C_{18}H_{14}BrN_4O$ $[M+H]^+$: 381.0351, Found: 381.0352.

1-Methyl-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 k)

White solid (29 mg, 43% yield); M. p. = 150.2–151.8 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.10 (d, *J* = 2.7 Hz, 1H), 8.04 (dd, *J* = 8.0, 1.3 Hz, 1H), 7.90 (d, *J* = 1.2 Hz, 1H), 7.61–7.56 (m, 1H), 7.43–7.39 (m, 1H), 7.37 (d, *J* = 8.4 Hz, 1H), 6.52 (dd, *J* = 2.6, 1.7 Hz, 1H), 3.83 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.70, 143.39, 142.62, 133.20, 132.59, 131.32, 130.25, 130.10, 124.61, 113.65, 108.27, 29.92. This is a known structure. These data are similar to the reported one.[16]

1-Ethyl-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 l)

White solid (63 mg, 88% yield). M. p. = 105.5–107.8 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.08 (d, *J* = 2.7 Hz, 1H), 8.02 (d, *J* = 8.1 Hz, 1H), 7.87 (d, *J* = 0.9 Hz, 1H), 7.55 (dd, *J* = 11.6, 4.1 Hz, 1H), 7.36 (t, *J* = 8.5 Hz, 2H), 6.51–6.47 (m, 1H), 4.41 (q, *J* = 7.2 Hz, 2H), 1.41 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.14, 143.31, 142.58, 133.18, 131.60, 131.50, 130.46, 130.07, 124.39, 113.48, 108.20, 38.29, 12.39. This is a known structure. These data are similar to the reported one.[16]

1-Propyl-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 m)

White solid (61 mg, 80% yield); M. p. = 84.5–86.5 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.08 (d, *J* = 2.7 Hz, 1H), 8.02 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.87 (d, *J* = 1.2 Hz, 1H), 7.56–7.51 (m, 1H), 7.36 (dd, *J* = 11.2, 4.1 Hz, 1H), 7.33 (d, *J* = 8.5 Hz, 1H), 4.33–4.28 (m, 2H), 1.83 (dt, *J* = 15.0, 7.5 Hz, 2H), 1.06 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.40, 143.31, 142.60, 133.19, 131.77, 131.55, 130.43, 130.00, 124.37, 113.67, 108.19, 44.67, 20.66, 11.35. HRMS (ESI): Calculated for $C_{14}H_{15}N_4O$ $[M+H]^+$: 255.1246, Found: 255.1248.

1- Butyl-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 n)

Yellow oil (66 mg, 82% yield); ^{1}H NMR (500 MHz, CDCl3) δ 9.09 (d, *J* = 2.7 Hz, 1H), 8.04 (dd, *J* = 8.0, 1.3 Hz, 1H), 7.89 (d, *J* = 1.3 Hz, 1H), 7.58–7.55 (m, 1H), 7.41–7.34 (m, 2H), 6.50 (dd, *J* = 2.7, 1.6 Hz, 1H), 4.42–4.32 (m, 2H), 1.83–1.77 (m, 2H), 1.56–1.48 (m, 2H), 1.02 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.39, 143.33, 142.60, 133.20, 131.79, 131.60, 130.47, 130.02, 124.39, 113.65, 108.20, 43.06, 29.31, 20.29, 13.76. HRMS (ESI): Calculated for $C_{15}H_{17}N_4O$ $[M+H]^+$: 269.1402, Found: 269.1402.

1-Isobutyl-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 o)

Yellow oil (66 mg, 82% yield); ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.07 (d, *J* = 2.7 Hz, 1H), 8.02 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.88 (d, *J* = 1.3 Hz, 1H), 7.54–7.51 (m, 1H), 7.3–7.32 (m, 2H), 6.49 (dd, *J* = 2.6, 1.7 Hz, 1H), 4.24 (d, *J* = 7.5 Hz, 2H), 2.35–2.26 (m, 1H), 1.02 (d, *J* = 6.7 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.83, 143.32, 142.67, 133.25, 132.08, 131.52, 130.46, 129.86, 124.36, 114.08, 108.17, 49.77, 27.32, 20.19. HRMS (ESI): Calculated for $C_{15}H_{17}N_4O$ $[M+H]^+$: 269.1402, Found: 269.1424

1-Hexyl-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 p)

Yellow oil (68 mg, 77% yield); ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.08 (d, *J* = 2.7 Hz, 1H), 8.02 (d, *J* = 8.0 Hz, 1H), 7.88 (s, 1H), 7.55 (dd, *J* = 11.5, 4.2 Hz, 1H), 7.37 (t, *J* = 7.7 Hz, 1H), 7.34 (d, *J* = 8.5 Hz, 1H), 6.49 (dd, *J* = 2.5, 1.6 Hz, 1H), 4.38–4.31 (m, 2H), 1.83–1.76 (m, 2H), 1.48 (dd, *J* = 14.6, 7.4 Hz, 2H), 1.39–1.30 (m, 4H), 0.89 (t, *J* = 6.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.35, 143.31, 142.60, 133.19, 131.77, 131.58, 130.44, 130.01, 124.36, 113.64, 108.18, 43.29, 31.42, 27.24,

26.66, 22.52, 13.97. HRMS (ESI): Calculated for $C_{17}H_{21}N_4O$ $[M+H]^+$: 297.1715, Found: 297.1715.

1-(Cyclohexylmethyl)-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 q)

White solid (78 mg, 84% yield); M. p. = 125.2–127.9 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.09 (d, *J* = 2.7 Hz, 1H), 8.04 (dd, *J* = 8.0, 1.3 Hz, 1H), 7.89 (d, *J* = 1.3 Hz, 1H), 7.58–7.53 (m, 1H), 7.41–7.35 (m, 2H), 6.51 (dd, *J* = 2.6, 1.7 Hz, 1H), 4.26 (d, *J* = 7.1 Hz, 2H), 1.96 (dd, *J* = 10.1, 7.2 Hz, 1H), 1.76–1.69 (m, 4H), 1.32–1.12 (m, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.84, 143.30, 142.65, 133.25, 132.21, 131.53, 130.45, 129.87, 124.33, 114.14, 108.15, 48.87, 36.64, 30.92, 26.11, 25.76. HRMS (ESI): Calculated for $C_{18}H_{21}N_4O$ $[M+H]^+$: 309.1715, Found: 309.1715.

1-Allyl-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 r)

Yellow solid (70 mg, 92% yield); M. p. = 93.0–94.3 °C. ^{1}H NMR (500 MHz, CDCl3) δ 9.07 (d, *J* = 2.7 Hz, 1H), 8.01 (dd, *J* = 8.0, 1.3 Hz, 1H), 7.87 (d, *J* = 1.2 Hz, 1H), 7.53–7.48 (m, 1H), 7.38–7.34 (m, 1H), 7.30 (d, *J* = 8.3 Hz, 1H), 6.48 (dd, *J* = 2.6, 1.7 Hz, 1H), 5.98–5.91 (m, 1H), 5.28 (d, *J* = 10.4 Hz, 1H), 5.17 (d, *J* = 17.2 Hz, 1H), 4.99 (dd, *J* = 3.4, 1.7 Hz, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.26, 143.39, 142.56, 133.23, 131.79, 131.43, 130.26, 130.08,130.02, 124.56, 118.49, 114.18, 108.28, 45.27. This is a known structure. These data are similar to the reported one.[16]

1-(3-Methylbut-2-en-1-yl)-3-(1*H*-pyrazol-1-yl) quinoxalin-2(1*H*)-one (3 s)

White solid (75 mg, 89% yield); M. p. = 126.1–127.6 °C. ^{1}H NMR (500 MHz, CDCl3) δ 9.07 (d, J = 2.7 Hz, 1H), 8.00 (dd, J = 8.0, 1.2 Hz, 1H), 7.86 (d, J = 1.2 Hz, 1H), 7.55–7.46 (m, 1H), 7.35 (t, J = 7.6 Hz, 1H), 7.30 (d, J = 8.4 Hz, 1H), 6.47 (dd, J = 2.5, 1.7 Hz, 1H), 5.20–5.12 (m, 1H), 4.96 (d, J = 6.1 Hz, 2H), 1.90 (s, 3H), 1.72 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 149.26, 142.24, 141.59, 136.90, 132.19, 130.85, 130.47, 129.22, 128.93, 123.34, 116.39, 113.03, 107.11, 40.54, 24.62, 17.45. HRMS (ESI): Calculated for $C_{16}H_{17}N_4O$ $[M+H]^+$: 281.1402, Found: 281.1402.

1-(Prop-2-yn-1-yl)-3-(1*H*-pyrazol-1-yl)quinoxalin- 2(1*H*)-one (3 t)

White solid (42 mg, 56% yield); M. p. = 185.5–188.2 °C. ^{1}H NMR (500 MHz, CDCl3) δ 9.07 (d, *J* = 2.7 Hz, 1H), 8.04 (dd, *J* = 8.1, 1.3 Hz, 1H), 7.89 (d, *J* = 1.3 Hz, 1H), 7.62–7.59 (m, 1H), 7.55–7.48 (m, 1H), 7.46–7.38 (m, 1H), 6.51 (dd, *J* = 2.7, 1.6 Hz, 1H), 5.16 (d, *J* = 2.5 Hz, 2H), 2.33 (t, *J* = 2.5 Hz, 1H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 148.87, 142.53, 141.35, 132.19, 130.46, 130.08, 129.32, 129.19, 123.95, 113.09, 107.43, 75.25, 72.68, 31.31. This is a known structure. These data are similar to the reported one.[16]

Ethyl 2-(2-oxo-3-(1*H*-pyrazol-1-yl)quinoxalin-1(2*H*)- yl)acetate (3 u)

White solid (75 mg, 84% yield); M. p. = 167.7–168.9 °C. ^{1}H NMR (500 MHz, CDCl3) δ 9.04 (d, *J* = 2.7 Hz, 1H), 8.05 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.90 (d, *J* = 1.1 Hz, 1H), 7.55–7.51 (m, 1H), 7.42–7.39 (m, 1H), 7.13 (d, *J* = 8.4 Hz, 1H), 6.51 (dd, *J* = 2.5, 1.6 Hz, 1H), 5.12 (s, 2H), 4.26 (q, *J* = 7.1 Hz, 2H), 1.27 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 166.63, 150.45, 143.52, 142.40, 133.15, 131.77, 131.36, 130.46, 130.24, 124.86, 113.08, 108.40, 62.29, 44.24, 14.09. This is a known structure. These data are similar to the reported one.[16]

1-(2-Oxo-2-phenylethyl)-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 v)

White solid (72 mg, 73% yield); M. p. = 215.2–216.2 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.02 (d, *J* = 2.7 Hz, 1H), 8.10–8.03 (m, 3H), 7.90 (s, 1H), 7.68 (t, *J* = 7.5 Hz, 1H), 7.55 (t, *J* = 7.7 Hz, 2H), 7.45 (dd, *J* = 11.4, 4.2 Hz, 1H), 7.37 (t, *J* = 7.6 Hz, 1H), 6.99 (d, *J* = 8.3 Hz, 1H), 6.52–6.47 (m, 1H), 5.82 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 190.47, 150.55, 143.47, 142.38, 134.53, 134.37, 133.19, 132.04, 131.45, 130.40, 130.16, 129.15, 128.19, 124.72, 113.47, 108.34, 49.17. This is a known structure. These data are similar to the reported one.[16]

1-(Naphthalen-2-ylmethyl)-3-(1*H*-pyrazol-1-yl) quinoxalin-2(1*H*)-one (3 w)

White solid (62 mg, 59% yield); M. p. = 209.7–210.5 °C. ^{1}H NMR (500 MHz, CDCl3) δ 9.15 (d, *J* = 2.6 Hz, 1H), 8.06 (d, *J* = 8.0 Hz, 1H), 7.94 (d, *J* = 0.9 Hz, 1H), 7.81 (d, *J* = 8.5 Hz, 1H), 7.79-7.78 (m, 1H), 7.73–7.71 (m, 1H), 7.62 (s, 1H), 7.45–7.42 (m, 2H), 7.42–7.37 (m, 2H), 7.34 (t, *J* = 7.8 Hz, 2H), 6.55–6.51 (m, 1H), 5.74 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 149.85, 142.49, 141.66, 132.31, 132.26, 131.80, 131.06, 130.95, 130.54, 129.27, 129.11, 128.04, 126.70, 126.68, 125.52, 125.23, 124.49, 123.66, 123.48, 113.48, 107.35, 45.82. HRMS (ESI): Calculated for $C_{22}H_{17}N_4O$ $[M+H]^+$: 353.1402, Found: 353.1402.

3-(1*H*-Pyrazol-1-yl)-1-(pyridin-2-ylmethyl) quinoxalin-2(1*H*)-one (3 x)

White solid (81 mg, 89% yield); M. p. = 159.5–160.9 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.11 (d, *J* = 2.7 Hz, 1H), 8.59–8.54 (m, 1H), 8.02 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.90 (d, *J* = 1.3 Hz, 1H), 7.62 (td, *J* = 7.7, 1.7 Hz, 1H), 7.52–7.44 (m, 2H), 7.38–7.34 (m, 1H), 7.25 (d, *J* = 7.9 Hz, 1H), 7.20 (dd, *J* = 7.1, 5.2 Hz, 1H), 6.52 (dd, *J* = 2.6, 1.7 Hz, 1H), 5.72 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 153.77, 149.84, 148.56, 142.45, 141.65, 136.24, 132.19, 131.10, 130.50, 129.15, 129.16, 123.70, 121.98, 120.96, 113.86, 107.31, 47.57. HRMS (ESI): Calculated for $C_{17}H_{14}N_5O$ $[M+H]^+$: 304.1198, Found: 304.1198.

1,6,7-Trimethyl-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)- one (3 y)

Yellow solid (68 mg, 89% yield); M. p. = 205.4–206.1 °C. ^{1}H NMR (500 MHz, CDCl3) δ 9.03 (d, *J* = 2.6 Hz, 1H), 7.84 (d, *J* = 0.8 Hz, 1H), 7.70 (s, 1H), 7.02 (s, 1H), 6.48–6.44 (m, 1H), 3.72 (s, 3H), 2.31 (d, *J* = 22.9 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ149.52, 141.93, 140.76, 139.51, 132.59, 131.97, 129.53, 129.03, 128.40, 113.15, 106.8, 28.72, 19.51, 18.25. This is a known structure. These data are similar to the reported one.[16]

6,7-Dichloro-1-methyl-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 z)

White solid (58 mg, 66% yield); M. p. = 212.1–213.0 °C.. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.02 (s, 1H), 8.02 (s, 1H), 7.86 (s, 1H), 7.38 (s, 1H), 6.48 (s, 1H), 3.72 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.03, 143.94, 143.10, 134.06, 133.35, 131.75, 130.60, 130.33, 128.48, 115.17, 108.81, 30.18. This is a known structure. These data are similar to the reported one.[16]

7-Bromo-1-methyl-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 aa)

Yellow solid (58 mg, 63% yield); M. p. = 182.4–184.6 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.06 (d, *J* = 2.6 Hz, 1H), 7.89–7.82 (m, 2H), 7.52–7.46 (m, 2H), 6.50 (s, 1H), 3.77 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ

149.32, 142.65, 141.57, 132.43, 132.24, 130.25, 129.11, 126.92, 123.07, 115.74, 107.54, 29.03. HRMS (ESI): Calculated for $C_{12}H_{10}BrN_4O$ $[M+H]^+$: 305.0038, Found: 305.0038.

1-Methyl-6-nitro-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 ab)

White solid (71 mg, 87% yield); M. p. = 236.6–238.5 °C. ^{1}H NMR (500 MHz, DMSO) δ 8.91 (d, *J* = 2.7 Hz, 1H), 8.54 (d, *J* = 2.6 Hz, 1H), 8.43 (dd, *J* = 9.2, 2.6 Hz, 1H), 7.95 (d, *J* = 1.0 Hz, 1H), 7.84 (d, *J* = 9.3 Hz, 1H), 6.65–6.63 (m, 1H), 3.77 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.36, 144.39, 144.14, 143.96, 136.83, 133.41, 130.73, 125.58, 124.30, 114.37, 109.22, 30.57. HRMS (ESI): Calculated for $C_{12}H_{10}N_5O_3$ $[M+H]^+$: 272.0784, Found: 272.0784.

1-Methyl-3-(1*H*-pyrazol-1-yl)benzo[g]quinoxalin-2(1*H*)-one (3 ac)

Yellow solid (64 mg, 77% yield); M. p. = 194.3–196.6 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.02 (s, 1H), 8.40 (s, 1H), 7.89 (s, 1H), 7.87 (d, *J* = 8.1 Hz, 1H), 7.79 (d, *J* = 8.1 Hz, 1H), 7.50–7.44 (m, 2H), 7.41 (t, *J* = 7.4 Hz, 1H), 6.49 (s, 1H), 3.72 (d, *J* = 5.0 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 149.68, 142.51, 141.46, 132.34, 132.31, 129.83, 129.30, 129.12, 128.16, 127.39, 126.89, 126.17, 124.57, 109.15, 107.42, 28.77. HRMS (ESI): Calculated for $C_{16}H_{13}N_4O$ $[M+H]^+$: 277.1089, Found: 277.1089.

3-(1*H*-Pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 ad)

White solid (54 mg, 85% yield); M. p. = 234.3–237.4 °C. ^{1}H NMR (500 MHz, CDCl3) δ 12.90 (s, 1H), 8.87 (d, *J* = 2.5 Hz, 1H), 7.83 (d, *J* = 1.2 Hz, 1H), 7.74 (dd, *J* = 8.0, 0.7 Hz, 1H), 7.51 (t, *J* = 7.7 Hz, 1H), 7.35–7.35 (m, 2H), 6.53 (dd, *J* = 2.6, 1.7 Hz, 1H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.74, 143.72, 142.28, 132.81, 131.57, 130.38, 129.81, 128.05, 123.91, 115.21, 107.67. HRMS (ESI): Calculated for $C_{11}H_9N_4O$ $[M+H]^+$: 213.0776, Found: 213.0776.

7-(tert-Butyl)-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 ae)

Yellow solid (64 mg, 80% yield); M. p. = 215.7–217.9 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 12.71 (s, 1H), 9.16 (d, *J* = 2.1 Hz, 1H), 7.97–7.95 (m, 1H), 7.92 (s, 1H), 7.58–7.55 (m, 1H), 7.36 (d, *J* = 8.5 Hz, 1H), 6.54 (d, *J* = 0.6 Hz, 1H), 1.33–1.31 (m, 9H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 151.98, 148.76, 143.34, 142.52, 132.82, 131.30, 128.24, 125.53, 115.06, 108.38, 34.78, 31.29. HRMS (ESI): Calculated for $C_{15}H_{17}N_4O$ $[M+H]^+$: 269.1402, Found: 269.1402.

6,7-Dimethyl-3-(1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (3 af)

Yellow solid (34 mg, 47% yield); M. p. = 243.6–245.1 °C. ^{1}H NMR (500 MHz, DMSO) δ 12.80 (s, 1H), 8.85 (d, *J* = 2.3 Hz, 1H), 7.83 (s, 1H), 7.53 (s, 1H), 7.11 (s, 1H), 6.54 (s, 1H), 2.30 (d, *J* = 11.2 Hz, 6H). ^{13}C NMR (126 MHz, DMSO) δ 150.70, 142.98, 141.97, 139.55, 132.71,132.59, 129.62, 128.73, 127.87, 115.20, 107.42, 19.74, 18.96. HRMS (ESI): Calculated for $C_{15}H_{17}N_4O$ $[M+H]^+$: 241.1089, Found: 241.1089.

1-Benzyl-3-(4-chloro-1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (4 a)

White solid (98 mg, 97% yield); M. p. = 150.5–153.1 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.11 (s, 1H), 8.00 (d, *J* = 7.9 Hz, 1H), 7.80 (s, 1H), 7.47–7.43 (m, 1H), 7.37–7.28 (m, 4H), 7.23 (t, *J* = 6.6 Hz, 3H), 5.57 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.59, 142.04, 141.88, 134.53, 132.12, 131.32, 130.95, 130.54, 130.38, 129.10, 128.04, 126.84, 124.84, 114.53, 113.15, 46.76. HRMS (ESI): Calculated for $C_{18}H_{14}ClN_4O$ $[M+H]^+$: 337.0856, Found: 337.0856.

3-(4-Chloro-1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (4 b)

White solid (67 mg, 91% yield); M. p. = 273.2–274.0 °C. ^{1}H NMR (500 MHz, DMSO) δ 13.02 (s, 1H), 9.00 (s, 1H), 8.02 (s, 1H), 7.79 (d, *J* = 8.0 Hz, 1H), 7.58 (t, *J* = 7.6 Hz, 1H), 7.41–7.35 (m, 2H). ^{13}C NMR (126 MHz, DMSO) δ 150.58, 143.11, 140.58, 131.77, 130.51, 130.22, 130.16, 128.19, 124.01, 115.31, 110.66. HRMS (ESI): Calculated for $C_{11}H_8ClN_4O$ $[M+H]^+$: 247.0387, Found: 247.0387.

1-Benzyl-3-(4-bromo-1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (4 c)

White solid (104 mg, 91% yield); M. p. = 156.0–158.9 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.20 (s, 1H), 8.04 (d, *J* = 8.0 Hz, 1H), 7.86 (s, 1H), 7.49 (t, *J* = 7.8 Hz, 1H), 7.41–7.26 (m, 7H), 5.61 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.61, 143.92, 141.81, 134.53, 133.16, 132.12, 131.32, 130.57, 130.42, 129.12, 128.05, 126.85, 124.86, 114.54, 96.70, 46.78. HRMS (ESI): Calculated for $C_{18}H_{14}BrN_4O$ $[M+H]^+$: 381.0351, Found: 381.0349.

1-Benzyl-3-(4-iodo-1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (4 d)

White solid (121 mg, 94% yield); M. p. = 171.9–173.9 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.20 (s, 1H), 8.00 (dd, *J* = 8.0, 0.9 Hz, 1H), 7.86 (s, 1H), 7.47–7.43 (m, 1H), 7.36–7.28 (m, 4H), 7.23 (t, *J* = 6.1 Hz, 3H), 5.57 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.63, 147.97, 141.67, 137.46, 134.54, 132.10, 131.28, 130.58, 130.41, 129.11, 128.05, 126.85, 124.86, 114.53, 60.53, 46.78. HRMS (ESI): Calculated for $C_{18}H_{14}IN_4O$ $[M+H]^+$: 429.0212, Found: 429.0208.

1-Benzyl-3-(3-methyl-1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (4 e)

White solid (58 mg, 61% yield); M. p. = 132.1–133.9 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.04 (s, 1H), 8.03 (d, *J* = 7.9 Hz, 1H), 7.38 (dd, *J* = 11.0, 4.4 Hz, 1H), 7.34–7.27 (m, 3H), 7.26–7.18 (m, 4H), 6.30 (s, 1H), 5.57 (s, 2H), 2.45 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 153.50, 150.85, 142.46, 134.75, 134.26, 131.72, 131.63, 130.21, 129.65, 129.03, 127.87, 126.75, 124.49, 114.35, 109.08, 46.62, 14.15. HRMS (ESI): Calculated for $C_{19}H_{17}N_4O$ $[M+H]^+$: 317.1402, Found: 317.1392.

3-(3-Methyl-1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (4 f)

White solid (32 mg, 47% yield); M. p. = 252.2–253.9 °C. ^{1}H NMR (500 MHz, DMSO) δ 12.84 (s, 1H), 8.83 (d, *J* = 2.5 Hz, 1H), 7.73 (d, *J* = 8.0 Hz, 1H), 7.50–7.47 (m, 1H), 7.33–7.29 (m, 2H), 6.34 (d, *J* = 2.5 Hz, 1H), 2.28 (s, 3H). ^{13}C NMR (126 MHz, DMSO) δ 151.33, 150.69, 143.35, 133.59, 131.28, 130.53, 129.38, 127.85, 123.86, 115.13, 108.14, 13.50. HRMS (ESI): Calculated for $C_{12}H_{11}N_4O$ $[M+H]^+$: 227.0933, Found: 227.0933.

1-Benzyl-3-(4-(tert-butyl)-1*H*-pyrazol-1-yl) quinoxalin-2(1*H*)-one (4 g)

White solid (66 mg, 61% yield); M. p. = 161.7–163.8 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.99 (d, *J* = 2.8 Hz, 1H), 8.04 (dd, *J* = 7.9, 1.1 Hz, 1H), 7.44–7.41 (m, 1H), 7.39–7.26 (m, 6H), 7.25 (s, 1H), 6.45 (d, *J* = 2.8 Hz, 1H), 5.62 (s, 2H), 1.46 (s, 9H). ^{13}C NMR (126 MHz, $CDCl_3$) δ

166.08, 151.07, 142.90, 134.79, 133.97, 131.79, 131.74, 130.43, 129.64, 129.03, 127.86, 126.74, 124.35, 114.30, 105.98, 46.60, 32.70, 30.18. HRMS (ESI): Calculated for $C_{22}H_{23}N_4O$ $[M+H]^+$: 359.1872, Found: 359.1874.

1-Benzyl-3-(3-phenyl-1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (4h)

White solid (81 mg, 71% yield); M. p. = 180.5–182.5 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.13 (d, *J* = 2.5 Hz, 1H), 8.02 (dd, *J* = 24.8, 7.7 Hz, 3H), 7.42 (t, *J* = 7.5 Hz, 3H), 7.35 (dd, *J* = 12.7, 7.0 Hz, 2H), 7.29 (dd, *J* = 13.4, 6.9 Hz, 3H), 7.23 (d, *J* = 7.4 Hz, 3H), 6.83 (d, *J* = 2.5 Hz, 1H), 5.60 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 155.18, 150.97, 142.65, 134.86, 134.73, 132.20, 131.95, 131.70, 130.48, 130.01, 129.09, 128.86, 128.60, 127.94, 126.79, 126.75, 124.58, 114.43, 106.31, 46.71. HRMS (ESI): Calculated for $C_{28}H_{15}N_4O$ $[M+H]^+$: 379.1559, Found: 379.1560.

Ethyl 1-(4-benzyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-1*H*-pyrazole-3--carboxylate (4i)

White solid (88 mg, 78% yield); M. p. = 120.5-122.3 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.99 (d, *J* = 2.7 Hz, 1H), 8.04 (d, *J* = 8.0 Hz, 1H), 7.51–7.46 (m, 1H), 7.37 (t, *J* = 7.7 Hz, 1H), 7.34–7.29 (m, 3H), 7.25 (dd, *J* = 13.4, 7.7 Hz, 3H), 7.01 (d, *J* = 2.7 Hz, 1H), 5.60 (s, 2H), 4.45 (q, *J* = 7.1 Hz, 2H), 1.42 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 162.04, 150.78, 146.97, 142.47, 134.51, 134.5, 132.41, 131.29, 130.99, 130.86, 129.10, 128.02, 126.81, 124.78, 114.57, 110.09, 61.46, 46.77, 14.34. HRMS (ESI): Calculated for $C_{21}H_{19}N_4O_3$ $[M+H]^+$: 375.1457, Found: 375.1454.

1-Benzyl-3-(3-(trifluoromethyl)-1*H*-pyrazol-1-yl) quinoxalin-2(1*H*)-one (4j)

White solid (102 mg, 92% yield); M. p. = 148.2–150.1 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.08 (dd, *J* = 1.7, 0.7 Hz, 1H), 8.08 (d, *J* = 8.0 Hz, 1H), 7.54–7.51 (m, 1H), 7.41 (t, *J* = 7.6 Hz, 1H), 7.35 (dd, *J* = 15.1, 8.0 Hz, 3H), 7.30 (t, *J* = 4.9 Hz, 1H), 7.27 (d, *J* = 4.0 Hz, 2H), 6.77 (d, *J* = 2.7 Hz, 1H), 5.63 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.71, 145.91 (q, *J* = 41.58 Hz), 142.23, 134.87, 134.41, 132.43, 131.19, 130.89, 129.14, 128.08, 126.81, 124.86, 118.83 (q, *J* = 276.36 Hz), 114.59, 106.02, 106.01 (q, *J* = 5.04 Hz), 46.85. ^{19}F NMR (376 MHz, $CDCl_3$) δ −137.80 (s, 3F). HRMS (ESI): Calculated for $C_{19}H_{14}F_3N_4O$ $[M+H]^+$: 371.1120, Found: 371.1118.

1-Benzyl-3-(3-nitro-1*H*-pyrazol-1-yl)quinoxalin-2(1*H*)-one (4k)

Yellow solid (52 mg, 50% yield); M. p. = 190.3–193.0 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.09–8.98 (m, 1H), 8.05 (d, *J* = 8.0 Hz, 1H), 7.56 (t, *J* = 7.8 Hz, 1H), 7.41 (dd, *J* = 17.6, 8.3 Hz, 2H), 7.35–7.32 (m, 2H), 7.29 (d, *J* = 6.4 Hz, 1H), 7.27 (s, 1H), 7.26 (s, 1H), 7.07 (d, *J* = 2.6 Hz, 1H), 5.62 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 158.12, 150.59, 141.63, 136.04, 134.25, 132.70, 131.89, 131.05, 131.00, 129.18, 128.18, 126.85, 125.14, 114.78, 103.75, 46.92. HRMS (ESI): Calculated for $C_{18}H_{14}N_5O_3$ $[M+H]^+$: 348.1097, Found: 348.1097.

3-(1*H*-Benzo[d]imidazol-1-yl)-1-benzylquinoxalin-2(1*H*)-one (4l)

White solid (76 mg, 72% yield); M. p. = 158.8–160.2 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.51 (s, 1H), 8.51 (d, *J* = 7.8 Hz, 1H), 7.80 (d, *J* = 7.9 Hz, 1H), 7.74 (d, *J* = 7.8 Hz, 1H), 7.36–7.27 (m, 3H), 7.24–7.20 (m, 7H), 5.48 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 151.23, 143.61, 143.40, 143.04, 134.63, 132.61, 131.49, 131.35, 130.04, 129.41, 129.11, 128.04, 126.88, 124.72, 124.64, 124.40, 120.34, 116.03, 114.64, 46.82. HRMS (ESI): Calculated for $C_{22}H_{17}N_4O$ $[M+H]^+$: 353.1402, Found: 353.1400.

1-Benzyl-3-(1*H*-indazol-1-yl)quinoxalin-2(1*H*)-one (4m)

White solid (53 mg, 50% yield); M. p. = 137.5–138.2 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.37 (s, 1H), 8.23 (d, *J* = 8.5 Hz, 1H), 7.91 (d, *J* = 7.9 Hz, 1H), 7.81 (d, *J* = 8.0 Hz, 1H), 7.53 (t, *J* = 7.7 Hz, 1H), 7.45 (t, *J* = 7.7 Hz, 1H), 7.38–7.30 (m, 7H), 7.28 (d, *J* = 7.1 Hz, 1H), 5.63 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 151.37, 145.34, 140.35, 138.62, 135.13, 132.49, 131.43, 130.02, 129.65, 128.98, 127.94, 127.86, 127.27, 125.70, 124.28, 123.12, 121.08, 114.60, 114.25, 46.85. HRMS (ESI): Calculated for $C_{22}H_{17}N_4O$ $[M+H]^+$: 353.1402, Found: 353.1398.

1-Benzyl-3-(1*H*-1,2,4-triazol-1-yl)quinoxalin-2(1*H*)-one (4n)

White solid (81 mg, 89% yield); M. p. = 164.2–165.3 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.74 (s, 1H), 8.13 (s, 1H), 7.98 (d, *J* = 8.0 Hz, 1H), 7.45 (t, *J* = 7.8 Hz, 1H), 7.36–7.27 (m, 2H), 7.25–7.13 (m, 5H), 5.54 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 152.71, 150.39, 146.77, 141.18, 134.26, 132.07, 131.32, 131.16, 130.70, 129.15, 128.13, 126.79, 125.08, 114.69, 46.85. HRMS (ESI): Calculated for $C_{17}H_{14}N_5O$ $[M+H]^+$: 304.1198, Found: 304.1198.

3-(1*H*-Benzo[d][1,2,3] triazol-1-yl)-1-benzylquinoxalin-2(1*H*)-one (4o)

White solid (40 mg, 38% yield); M. p. = 177.5–180.3 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.18 (d, *J* = 8.2 Hz, 1H), 8.05 (d, *J* = 8.3 Hz, 1H), 7.98 (d, *J* = 7.9 Hz, 1H), 7.62 (t, *J* = 7.6 Hz, 1H), 7.56 (t, *J* = 7.8 Hz, 1H), 7.47 (t, *J* = 7.6 Hz, 1H), 7.44–7.28 (m, 7H), 5.66 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 150.96, 145.85, 143.67, 134.66, 132.95, 132.83, 131.59, 131.24, 130.53, 129.09, 128.86, 128.07, 127.18, 125.00, 124.73, 120.22, 114.85, 113.38, 46.99. HRMS (ESI): Calculated for $C_{21}H_{16}N_5O$ $[M+H]^+$: 354.1355, Found: 354.1355.

1-Benzyl-3-(2*H*-tetrazol-2-yl)quinoxalin-2(1*H*)-one (4p)

White solid (46 mg, 50% yield); M. p. = 258.3–259.5 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.80 (s, 1H), 8.02 (dd, *J* = 8.0, 1.1 Hz, 1H), 7.66–7.62 (m, 1H), 7.47–7.43 (m, 2H), 7.34–7.28 (m, 5H), 5.63 (s, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 152.96, 150.36, 142.33, 134.25, 133.93, 133.26, 131.52, 130.67, 129.16, 128.23, 127.18, 125.09, 115.02, 47.16. HRMS (ESI): Calculated for $C_{16}H_{13}N_6O$ $[M+H]^+$: 305.1151, Found: 305.1146.

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Conflict of Interest

The authors declare no conflict of interest.

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