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三氟甲硫基自由基引发涉及烯烃、$AgSCF_3$和喹喔啉酮的三组分反应

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摘要 提出了一种新的非活化烯烃、喹喔啉酮和 $AgSCF_3$ 的三组分级联自由基反应. 在氧化剂 $K_2S_2O_8$ 的作用下, 得到了 40 个含 SCF_3 的喹喔啉酮类衍生物, 其中产率最高至 78%. 该方法是一种在温和条件下制备含三氟甲硫基喹唑啉酮类化合物, 具有产率高、底物范围广、原子经济性高和环保高效等优势.
关键词 三氟甲烷硫醇银; 自由基; 三组分反应;

Three-Component Reaction Involving Alkenes, $AgSCF_3$ and Quinoxalinones Initiated by Trifluoromethylthio Radical

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Abstract A novel three-component cascade radical reaction involving non-activated olefins, quinoxalin-2(1*H*)-ones, and $AgSCF_3$ was proposed. Under the action of the oxidizing agent $K_2S_2O_8$, 40 quinoxaline derivatives containing SCF_3 were obtained with the highest yield of 78%. This method provides a mild and environmentally friendly approach to prepare trifluoromethylthio-substituted quinoxalines, offering advantages such as high yield, broad substrate scope, atom economy, and environmental efficiency.
Keywords (trifluoromethylthio) silver(I); radical; three-component reaction;

1 Introduction

C—S bond, as a common and characteristic chemical structure in many functional molecules, has made remarkable progress in the past decade.[1] Trifluoromethylthio (SCF_3) modified molecules, due to their unique characteristics in electronic properties, lipophilicity, and metabolic stability, have become an indispensable and crucial component in the fields of pharmaceuticals and agrochemicals.[2] Therefore, the development of a convenient method to introduce trifluoromethylthio groups into specific organic functional molecules has become an important challenge in organic synthesis. The general method for constructing organic molecules containing trifluoromethylthio groups is alkene radical difunctionalization via a two-component atom-transfer radical addition process.[3] In recent years, this method provides a promising approach for constructing functionalized heterocyclic structures, and remarkable progress has been made.[4] However, the research on three-component trifluoromethylthio radical-initiated reactions is still rare. Limited examples include an intermolecular trifluoromethylthiolation reaction of styrene using aryldiazonium salts and copper(I) trifluoromethanesulfonate reported by Xiao *et al.* (Scheme 1a).[5] Then, Jia *et al.*[6] described an iron-catalyzed intermolecular trifluoromethylthiolation reaction of olefins (Scheme 1b). Saravanan *et al.*[7] disclosed an efficient regioselective difunctionalization method for olefins using diaryl disulfides and $AgSCF_3$ in the presence of $BF_3{\bullet}OEt_2$ through trifluoromethylthiolation (Scheme 1c). Subsequently, He *et al.*[8] developed a method to add various olefins with electrophilic reagents and nucleophilic trifluoromethylsulfonic acid salts under CuI/Binap catalyst and blue LED irradiation to generate trifluoromethyl sulfides (Scheme 1d). Recently, Li *et al.*[9] proposed a transition-metal-free approach for the *ortho*-trifluoromethylthiolation of unactivated olefins under mild conditions through a radical reaction (Scheme 1e). Despite the ability of trifluoromethylthiolation reactions

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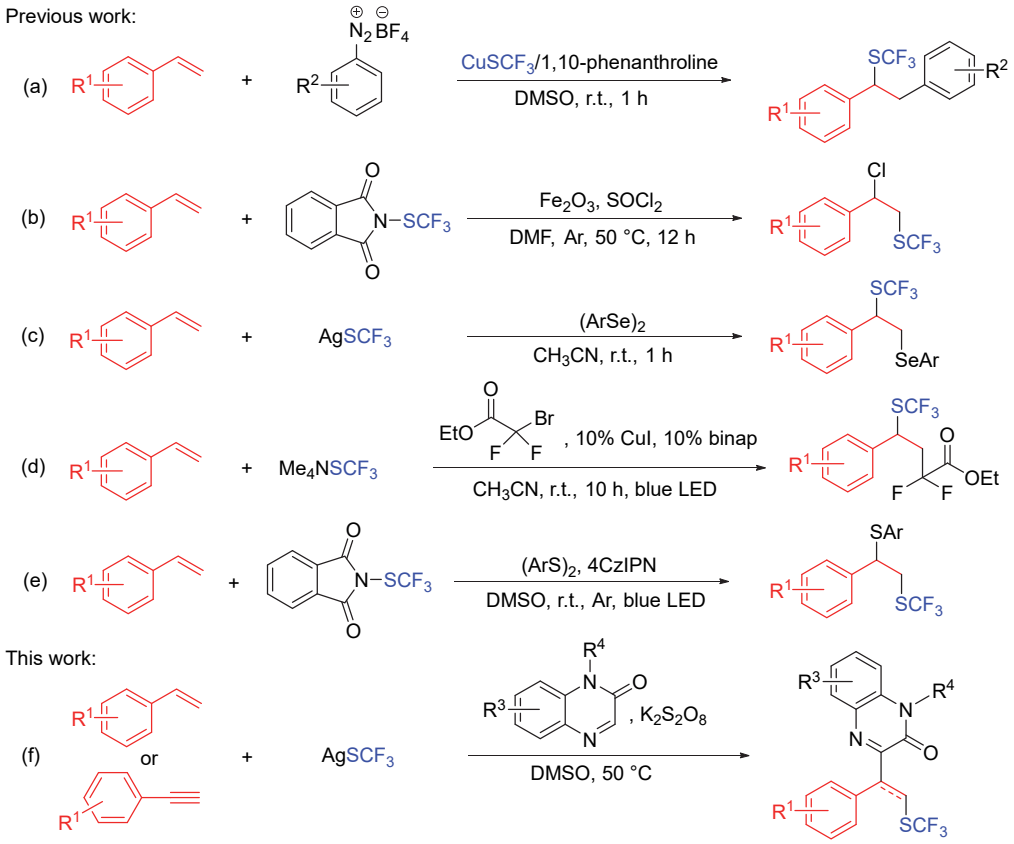
initiated by trifluoromethylthio radical to construct complex polyfluoroalkylthio structures, there remains an urgent demand for multicomponent reactions, particularly those involving late-stage modification of bioactive molecules.

Quinoxalin-2(1*H*)-ones are a class of compounds with significant biological activity and wide-ranging applications. They exhibit various bioactivities such as antifungal,[10] antimosquitocial,[11] and anticancer properties,[12] especially for remarkable pharmacological 3-benzylquinoxalin-2(1*H*)-ones[13] (Figure 1). In recent years, three-component reactions of 3-benzylquinoxalin-2(1*H*)-ones with styrene and various radical precursors have been developed by performing a difunctionalization reaction on alkenes. The key to this strategy lies in the functionalization of the C3—H bond in the quinoxalin-2(1*H*)-ones. Through this method, diverse structural modifications can be achieved by introducing substituents such as azide,[14] sulfonyl,[15] cyanoalkyl,[16] fluoroalkyl,[17] polychloromethyl,[18] and arylthiol[19] groups, thereby achieving structural diversity. However, trifluoromethylthio-containing 3-benzylquinolin-2(1*H*)-ones have not been reported to date. Based on our continued interest in developing novel bifunctional alkenyl compounds and synthesizing 3-substituted benzylquinolin-2(1*H*)-ones, we propose a concise



Scheme 1 Trifluoromethionyl radical-initiated three-component difunctionalization of alkenes

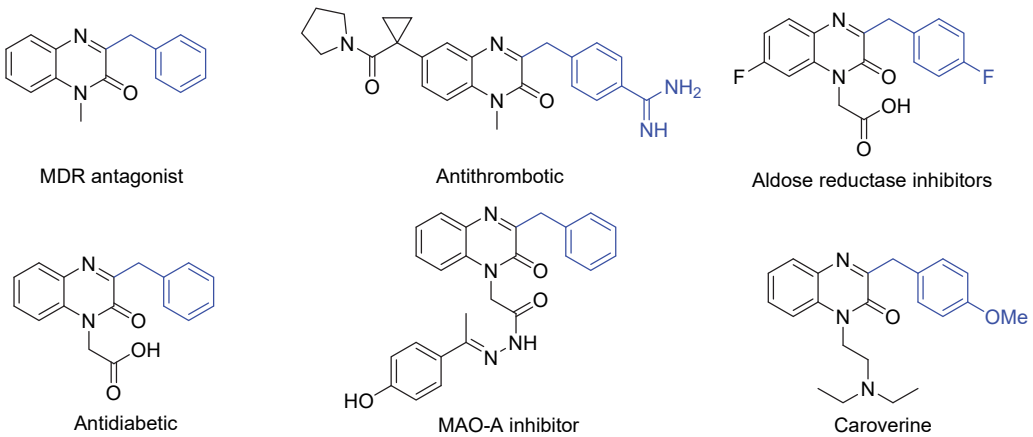


Figure 1 Some bioactive molecules bearing 3-benzyl-1-methylquinoxalin-2(1*H*)-one motif

and efficient three-component synthetic approach. This approach utilizes the oxidation of $K_2S_2O_8$, enabling a dual functionalization reaction by combining unactivated olefins with quinolin-2(1*H*)-ones and $AgSCF_3$ within the same system, successfully constructing various 3-trifluoromethylthio-substituted benzylquinolin-2(1*H*)-ones.

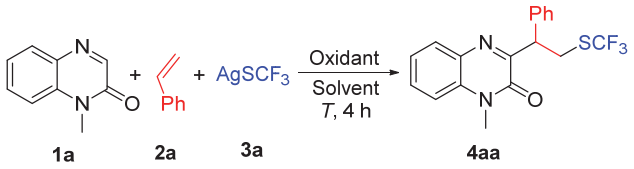
2 Results and discussion

Initially, 1-methylquinolin-2(1*H*)-one (**1a**), styrene (**2a**) and $AgSCF_3$ **(3a)** were employed as model substrates for the optimization of reaction conditions in a three-component sequential radical trifluoromethylthiolation reaction. The model reaction was conducted at 60 °C in DMSO using $K_2S_2O_8$ as an oxidant, affording the desired product **4aa** in a yield of 30%. To our delight, the addition of water to the reaction system had significantly improved the reaction efficiency. The optimal ratio of *V*(DMSO)/*V*(H_2O) was 6∶1 (Table 1, Entry 3) and further increasing the water proportion resulted in relatively lower yields (Table 1, Entries 5～8). When the reaction was carried out in water, the yield of product **4aa** was only trace (Table 1, Entry 9). Subsequently, various mixed solvents were screened, and the results indicated that the yield of the reaction model in the CH_3CN/H_2O mixed solvent was relatively low with only 52% (Table 1, Entry 12). When the model reaction was conducted in DMF/H_2O, CH_2Cl_2/H_2O, 1,4-dioxane/H_2O, and THF/H_2O, only trace amount of **4aa** was detected (Table 1, Entries 10～11 and 13～14). In addition, a series of oxidants were investigated in a mixed solvent of DMSO and water (*V*∶*V*=6∶1). $(NH_4)_2S_2O_8$, $Na_2S_2O_8$ and TBHP (*tert*-butyl hydroperoxide) exhibited the capacity to generate moderate yields of **4aa** (Table 1, Entries 15～16 and 18). However, when using other oxidants such as TBPB (*tert*-butyl peroxybenzoate) and DTBP (di-*tert*-butyl peroxide), the desired product **4aa** was not detected (Table 1, Entries 17 and 19). Reducing the amount of $K_2S_2O_8$ resulted in a decrease in reaction efficiency, whereas increasing the amount of $K_2S_2O_8$ to 4 equiv. led to a yield of 73% for product **4aa**, same as the result from using 3 equiv. (Table 1, Entries 20 and 21). Finally, the reaction temperature was screened. At 50 °C, the reaction yield reached its highest point of 75%. Both an increase and a decrease in temperature would lead to a decrease in the yield.

Under the optimal conditions, we conducted the radical cascade reaction of various styrene derivatives **2** with 1-methylquinoxalin-2(1*H*)-one and $AgSCF_3$. As shown in Table 2, both styrene derivatives bearing electron-deficient substituents (such as fluorine, chlorine, bromine and methyl formate) and those with electron-rich substituents (such as methyl and tertiary butyl) yielded products (**4ab**～**4ae** and **4aj**～**4ak**) in moderate to high yields (60%～78%). The reactions of *meta*-substituted styrene derivatives exhibited moderate to high product yields (**4af**～**4ah**). However, steric hindrance in *ortho*-substituted styrene had a significant impact on reaction yield, resulting in relatively lower yields of reaction product **4ai**. Furthermore, 4-vinylbiphenyl proved to be a suitable substrate, providing **4al** in a yield of 73%. Other olefins such as 4-methoxystyrene, 4-nitrostyrene, cyclohexene, and 1-hexene failed to deliver the corresponding products. It is worth mentioning that substituting phenylacetylene (**2**) for styrene and reacting it with 1-methylquinoxalin-2(1*H*)-one (**1a**) and $AgSCF_3$ (**3a**) under the optimal reaction conditions, the desired *trans*-tricomponent product **4an** is obtained with a yield of 54% (Table 2), and steric hindrance and electronic effects (**4an**～**4ar**) have relatively minor impacts on this reaction system.

Subsequently, the substrate scope of quinoxalinones was studied, as shown in Table 3. Quinoxalinones with different *N*-protecting groups such as ethyl, propyl, prop-2-ynyl, cyanoethyl and 2-oxo-2-phenylethyl all smoothly reacted

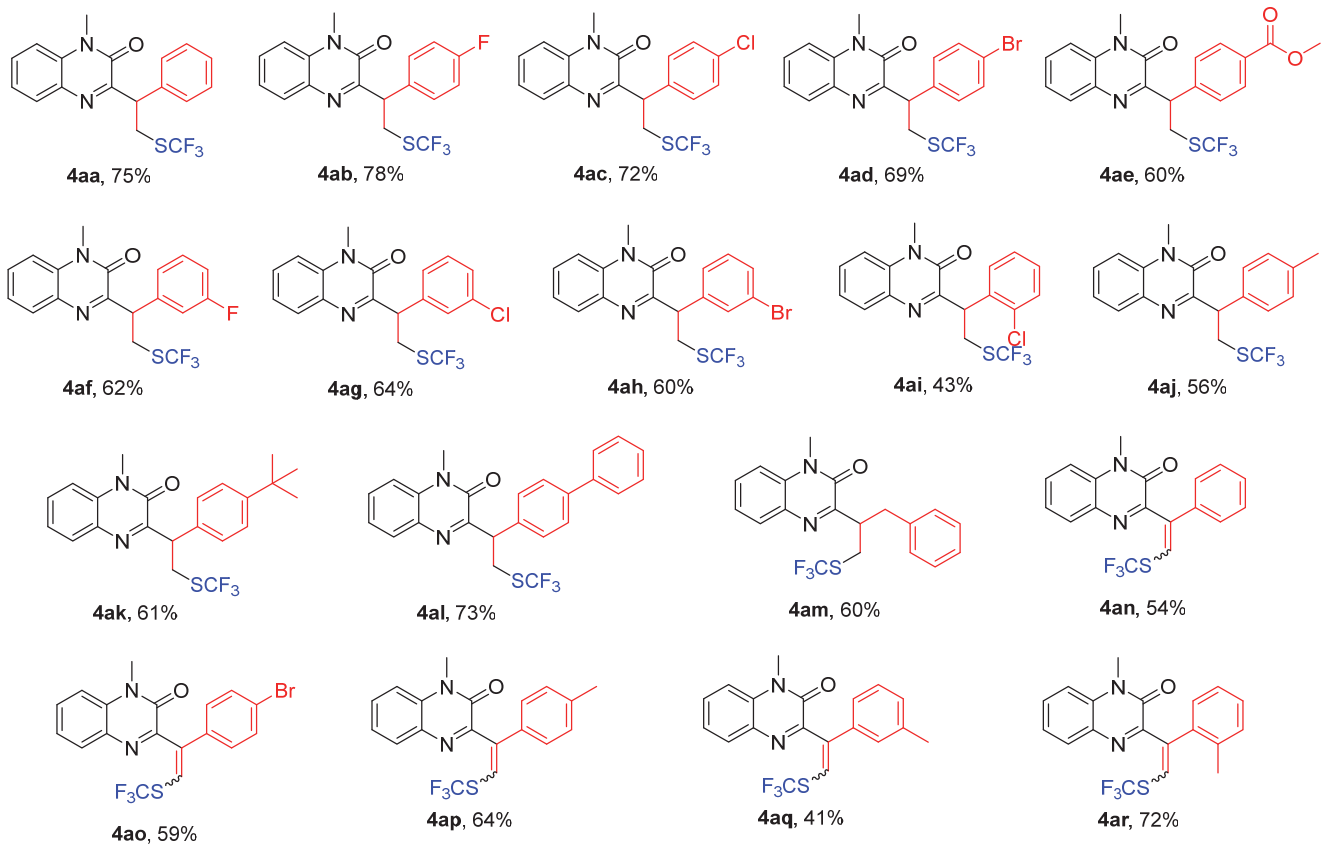
Table 1 Optimization of reaction conditions[a]



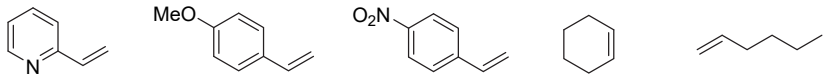
Enter	Oxidant	Temp./°C	Solvent	Yield[b]/%
1	$K_2S_2O_8$	60	DMSO	30
2	$K_2S_2O_8$	60	DMSO/H_2O (*V*∶*V*=10∶1)	63
3	$K_2S_2O_8$	60	DMSO/H_2O (*V*∶*V*=8∶1)	68
4	$K_2S_2O_8$	60	DMSO/H_2O (*V*∶*V*=6∶1)	71
5	$K_2S_2O_8$	60	DMSO/H_2O (*V*∶*V*=4∶1)	45
6	$K_2S_2O_8$	60	DMSO/H_2O (*V*∶*V*=3∶1)	30
7	$K_2S_2O_8$	60	DMSO/H_2O (*V*∶*V*=2∶1)	21
8	$K_2S_2O_8$	60	DMSO/H_2O (*V*∶*V*=1∶1)	Trace
9	$K_2S_2O_8$	60	H_2O	Trace
10	$K_2S_2O_8$	60	CH_2Cl_2/H_2O (*V*∶*V*=6∶1)	Trace
11	$K_2S_2O_8$	60	1,4-Dioxane/H_2O (*V*∶*V*=6∶1)	Trace
12	$K_2S_2O_8$	60	CH_3CN/H_2O (*V*∶*V*=6∶1)	52
13	$K_2S_2O_8$	60	DMF/H_2O (*V*∶*V*=6∶1)	Trace
14	$K_2S_2O_8$	60	THF/H_2O (*V*∶*V*=6∶1)	Trace
15	$Na_2S_2O_8$	60	DMSO/H_2O (*V*∶*V*=6∶1)	33
16	$(NH_4)_2S_2O_8$	60	DMSO/H_2O (*V*∶*V*=6∶1)	48
17	TBPB	60	DMSO/H_2O (*V*∶*V*=6∶1)	Trace
18	TBHP	60	DMSO/H_2O (*V*∶*V*=6∶1)	41
19	DTBP	60	DMSO/H_2O (*V*∶*V*=6∶1)	Trace
20[c]	$K_2S_2O_8$	60	DMSO/H_2O (*V*∶*V*=6∶1)	56
21[d]	$K_2S_2O_8$	60	DMSO/H_2O (*V*∶*V*=6∶1)	73
22	$K_2S_2O_8$	50	DMSO/H_2O (*V*∶*V*=6∶1)	75
23	$K_2S_2O_8$	40	DMSO/H_2O (*V*∶*V*=6∶1)	66
24	$K_2S_2O_8$	70	DMSO/H_2O (*V*∶*V*=6∶1)	63
25[e]	$K_2S_2O_8$	50	DMSO/H_2O (*V*∶*V*=6∶1)	42
26		50	DMSO/H_2O (*V*∶*V*=6∶1)	Trace
20[c]	$K_2S_2O_8$	60	DMSO/H_2O (*V*∶*V*=6∶1)	56

[a] Reaction conditions: **1a** (0.2 mmol), styrene (0.4 mmol), $AgSCF_3$ (0.4 mmol), oxidant (0.6 mmo), solvent (2.0 mL), 60 °C, under N_2, 4 h. [b] Isolated yields. [c] Oxidant (2.0 equiv). [d] Oxidant (4.0 equiv). [e] Under air.

Table 2 Substrate scope for substituted quinazolinones[a]



Failed alkenes:



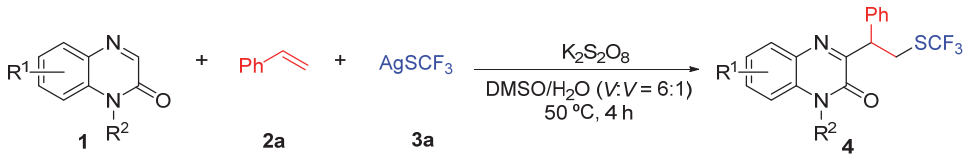
[a] Reaction conditions: **1a** (0.2 mmol), alkene (0.4 mmol), $AgSCF_3$ (0.4 mmol), $K_2S_2O_8$ (0.6 mmol), DMSO/H_2O (V∶V=6∶1, 2.0 mL), 50 °C, under N_2, 4 h. [b] Isolated yields.

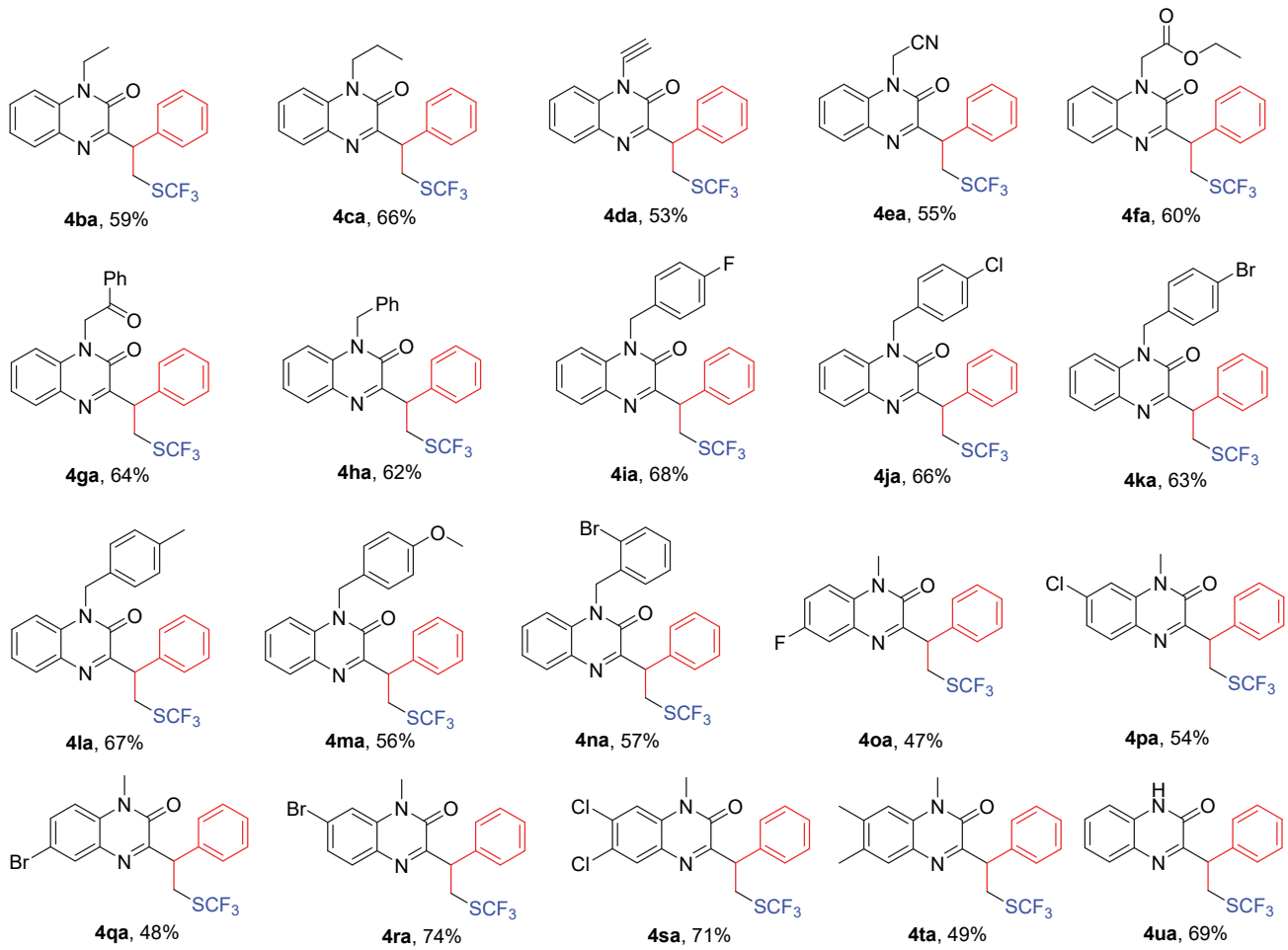
with styrene and $AgCF_3$, resulting in 55%～66% yields. *N*-Benzyl quinoxalinones proved to be a suitable substrate for this three-component reaction, yielding moderate yields of trifluoromethylthiolation products regardless of the substituents on the phenyl group (**4ha**～**4na**). Quinoxalinones with substituted aromatic rings, whether they were electron-rich or electron-poor substrates, were well-tolerated during the transformation, resulting in the desired products (**4oa**～**4ta**) with yields ranging from 47% to 74%. It is worth noting that when quinoxalinones undergoes a cascade reaction with styrene (**2a**) and $AgSCF_3$ (**3**) without N-protection, the corresponding product (**4ua**) is isolated in a yield of 69%.

Three control experiments were conducted to investigate possible mechanisms (Scheme 2). First, the reaction was carried out in an oxygen atmosphere, and chromatographic separation yielded only a 21% yield of product **4aa**, indicating that a nitrogen atmosphere is necessary for this transformation (Scheme 2a). By adding 3.0 equiv. of 2,2,6,6-tetramethyl-1-piperidinyloxy (TEMPO) radical to the reaction system, complete inhibition of the model reaction was observed (Scheme 2b). Furthermore, under standard conditions, liquid chromatography-mass spectrometry (LC-MS) analysis detected the corresponding Heck-type product **5** generated by a radical capture reaction between vinyl-1,1-dibromo-2-phenylethane and $AgSCF_3$ (Scheme 2c). These results further support the possibility of an oxidant-triggered cascade reaction mechanism occurring through a radical-based mechanism.

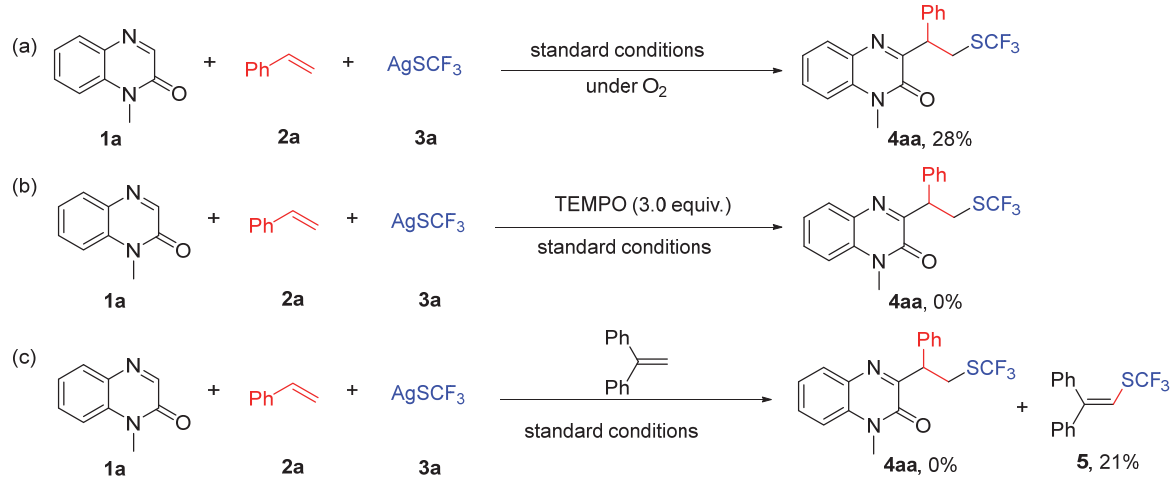
Based on the experimental results described above and previous reports, a rational radical cascade reaction mechanism is proposed as shown in Scheme 3. Firstly, in the

Table 3 Substrate scope for substituted quinazolinones[a]

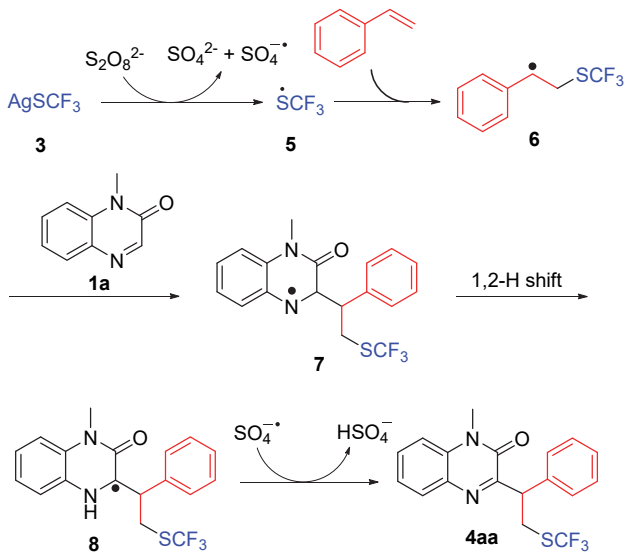




[a] Reaction conditions: **1a** (0.2 mmol), alkene (0.4 mmol), $AgSCF_3$ (0.4 mmol), $K_2S_2O_8$ (0.6 mmol), DMSO/H_2O (V∶V=6∶1, 2.0 mL), 50 °C, under N_2, 4 h. [b] Isolated yields.



Scheme 2 Control experiments



Scheme 3 Proposed mechanism

presence of $K_2S_2O_8$, $AgSCF_3$ undergoes a single-electron transfer (SET) reaction, producing an SCF_3 radical. Next, the SCF_3 radical attacks the double bond of styrene, generating a carbon-centered radical **6**, which is captured by 1-methylquinolin-2(1*H*)-1 (**1a**), resulting in the formation of a nitrogen radical intermediate **7**. Intermediate **7** then undergoes a 1,2-hydrogen atom transfer (HAT) process to form a new carbon-based intermediate **8**. Finally, in the presence of the sulfate anion (SO_4^{-}), intermediate **8** undergoes oxidative dehydrogenation reaction, yielding the desired product **4aa**.

3 Conclusions

In summary, we have developed a cascade reaction triggered by SCF_3 radical using $K_2S_2O_8$ as the oxidant. This method utilizes highly reactive $AgSCF_3$ as a radical precursor and allows for the synthesis of a variety of biologically valuable quinoxalinone derivatives containing trifluoromethylthio groups under mild conditions with moderate yields. This approach provides an economical, practical, and efficient method for obtaining 1-methyl-3-(1-phenyl-2-((trifluoromethyl)thio)ethyl)quinoxalin-2(1*H*)-one derivative without the need for transition metal catalysts. It holds promising potential applications in the field of medicinal chemistry.

4 Experimental section

4.1 General information

Unless stated otherwise, all materials were acquired from commercial suppliers and utilized without further purification. Product purification was accomplished through silica gel column chromatography. ^{1}H NMR and ^{13}C NMR spectra were recorded on a Bruker Avance-III 400 spectrometer instrument (400 MHz for ^{1}H NMR, 126 MHz for ^{13}C NMR) with chloroform-*d* serving as the solvent. Chemical shifts for ^{1}H NMR and ^{13}C NMR were referenced to internal Me_4Si at δ 0. Melting points were measured using a WRS-1B melting point apparatus (Shanghai Shen Guang Instrument Co., Ltd., Shanghai, China).

4.2 General procedures for the synthesis of desired products **4**

In a nitrogen environment, 1-methylquinoxalin-2(1*H*)-one (40.0 mg, 0.25 mmol), styrene (52.0 mg, 0.50 mmol), $AgSCF_3$ (105.0 mg, 0.50 mmol), $K_2S_2O_8$ (202.5 mg, 0.75 mmol) and DMSO/H_2O (V∶V=6∶1, 2.0 mL) were added to a 25 mL three-neck flask. The reaction mixture was stirred at 50 ℃ for 4 h. After complete consumption of starting material **1a** as indicated by TLC, the solvent was evaporated. The residue was purified by flash column chromatography on silica gel, using a mixture of petroleum ether and ethyl acetate (petroleum ether/ethyl acetate, V∶V=6∶1) as the eluent, resulting in the corresponding product 1-methyl-3-(1-phenyl-2-((trifluoromethyl)thio)ethyl)quinoxalin-2(1*H*)-one (**4aa**) with a yield of 75% (54.6 mg). White solid, m.p. 116～118 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 7.97 (dd, J=8.0, 1.6 Hz, 1H), 7.62～7.56 (m, 1H), 7.44～7.39 (m, 3H), 7.35～7.30 (m, 3H), 7.26 (q, J=4.5, 3.5 Hz, 1H), 5.02 (dd, J=9.8, 6.0 Hz, 1H), 3.91 (dd, J=13.7, 9.8 Hz, 1H), 3.66 (s, 3H), 3.45 (dd, J=13.7, 6.1 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 158.4, 154.2, 139.0, 133.2, 132.3, 131.3 (d, J_{C-F}=307.0 Hz), 130.4, 130.3, 128.8, 128.4, 127.7, 123.7, 113.7, 48.3, 33.0 (d, J=2.0 Hz), 29.2; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −41.38. HRMS (ESI) calcd for $C_{18}H_{16}F_3N_2OS$ [M+H$^+$] 365.0930, found 365.0934.

3-(1-(4-Fluorophenyl)-2-((trifluoromethyl)thio)ethyl)-1-methylquinoxalin-2(1*H*)-one (**4ab**): 78% yield (59.6 mg). White solid, m.p. 112～114 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 7.96 (dd, J=8.0, 1.5 Hz, 1H), 7.64～7.57 (m, 1H), 7.45～7.37 (m, 3H), 7.33 (dd, J=8.4, 1.2 Hz, 1H), 7.06～6.98 (m, 2H), 5.00 (dd, J=9.5, 6.3 Hz, 1H), 3.87 (dd, J=13.7, 9.4 Hz, 1H), 3.67 (s, 3H), 3.44 (dd, J=13.7, 6.3 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 163.5, 161.0, 158.2, 154.1, 134.7, 134.6, 133.2, 132.3, 131.3 (d, J_{C-F}=314.0 Hz), 130.5, 130.3, 130.1 (d, J=8.1 Hz), 123.8, 115.8, 115.6, 113.8, 47.4, 33.1, 29.2; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −41.39, −114.62. HRMS (ESI) calcd for $C_{18}H_{15}F_4N_2OS$ [M+H$^+$] 383.0836, found 383.0838.

3-(1-(4-Chlorophenyl)-2-((trifluoromethyl)thio)ethyl)-1-methylquinoxalin-2(1*H*)-one (**4ac**): 72% yield (57.3 mg). White solid, m.p. 116～118 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 7.98～7.94 (m, 1H), 7.64～7.59 (m, 1H), 7.42 (t, J=7.6 Hz, 1H), 7.37～7.30 (m, 4H), 7.23 (q, J=2.9 Hz, 1H), 4.98 (dd, J=9.4, 6.3 Hz, 1H), 3.86 (dd, J=13.7, 9.4 Hz, 1H), 3.67 (s, 3H), 3.43 (dd, J=13.7, 6.4 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 156.0, 154.1, 137.4, 133.6, 132.2, 130.8 (d, J_{C-F}=294.9 Hz), 130.6, 130.3, 129.8, 129.6, 129.1, 129.0, 123.9, 123.8, 113.8, 113.7, 47.6, 32.9, 29.2; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −41.39. HRMS

(ESI) calcd for $C_{18}H_{15}ClF_3N_2OS$ [M＋H$^+$] 399.0540, found 399.0535.

3-(1-(4-Bromophenyl)-2-((trifluoromethyl)thio)ethyl)-1-methylquinoxalin-2(1*H*)-one (**4ad**): 69% yield (61.0 mg). White solid, m.p. 122～124 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) *δ*: 7.96 (dd, *J*＝8.0, 1.5 Hz, 1H), 7.64～7.58 (m, 1H), 7.48～7.42 (m, 3H), 7.36～7.29 (m, 3H), 4.96 (dd, *J*＝9.5, 6.3 Hz, 1H), 3.86 (dd, *J*＝13.7, 9.4 Hz, 1H), 3.67 (s, 3H), 3.43 (dd, *J*＝13.8, 6.4 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) *δ*: 158.3, 154.2, 140.7, 140.6, 138.0, 133.3, 132.3, 131.3 (d, J_{C-F}＝304.0 Hz), 130.4, 130.3, 128.8 (d, *J*＝6.3 Hz), 127.6, 127.3, 127.1, 123.8, 113.7, 48.0, 33.0, 29.2; ^{19}F NMR (471 MHz, $CDCl_3$) *δ*: －41.39. HRMS (ESI) calcd for $C_{18}H_{15}BrF_3N_2OS$ [M＋H$^+$] 443.0035, found 443.0030.

Methyl 4-(1-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-((trifluoromethyl)thio)ethyl)ben-zoate (**4ae**): 60% yield (50.7 mg). Colourless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) *δ*: 8.01 (d, *J*＝1.9 Hz, 1H), 8.00～7.95 (m, 2H), 7.64～7.59 (m, 1H), 7.53～7.47 (m, 2H), 7.46～7.41 (m, 1H), 7.34 (dd, *J*＝8.4, 1.2 Hz, 1H), 5.06 (dd, *J*＝9.5, 6.2 Hz, 1H), 3.95～3.85 (m, 4H), 3.66 (s, 3H), 3.45 (dd, *J*＝13.8, 6.3 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) *δ*: 166.7, 157.8, 154.1, 144.1, 133.3, 131.1 (d, J_{C-F}＝286.0 Hz), 130.7, 130.3, 130.1, 129.5, 128.5, 123.9, 113.8, 52.1, 48.3, 32.8, 29.2; ^{19}F NMR (471 MHz, $CDCl_3$) *δ*: －41.36. HRMS (ESI) calcd for $C_{20}H_{18}F_3N_2O_3S$ [M＋H$^+$] 423.0985, found 423.0983.

3-(1-(3-Fluorophenyl)-2-((trifluoromethyl)thio)ethyl)-1-methylquinoxalin-2(1*H*)-one (**4af**): 42% yield (32.1 mg). White solid, m.p. 110～113 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) *δ*: ^{1}H NMR (400 MHz, Chloroform-*d*) *δ*: 7.97 (dd, *J*＝8.0, 1.5 Hz, 1H), 7.64～7.59 (m, 1H), 7.45～7.40 (m, 1H), 7.34 (dd, *J*＝8.4, 1.3 Hz, 1H), 7.30～7.28 (m, 1H), 7.25～7.21 (m, 1H), 7.13～7.08 (m, 1H), 6.99～6.93 (m, 1H), 5.01 (dd, *J*＝9.6, 6.2 Hz, 1H), 3.92～3.83 (m, 1H), 3.68 (s, 3H), 3.44 (dd, *J*＝13.8, 6.2 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) *δ*: 163.9, 162.0, 157.9, 154.1, 141.4 (d, *J*＝7.6 Hz), 133.3, 131.1 (d, J_{C-F}＝282.2 Hz), 130.5 (d, *J*＝35.3 Hz), 130.3 (d, *J*＝7.6 Hz), 124.4 (d, *J*＝2.5 Hz), 123.9, 115.2 (d, *J*＝21.4 Hz), 114.7 (d, *J*＝20.2 Hz), 113.8, 47.9, 32.9, 29.2; ^{19}F NMR (376 MHz, $CDCl_3$) *δ*: －41.41, －112.31. HRMS (ESI) calcd for $C_{18}H_{15}F_4N_2OS$ [M＋H$^+$] 383.0836, found 383.0833.

3-(1-(3-Chlorophenyl)-2-((trifluoromethyl)thio)ethyl)-1-methylquinoxalin-2(1*H*)-one (**4ag**): 44% yield (35.0 mg). White solid, m.p. 114～116 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) *δ*: 7.97 (dd, *J*＝7.9, 1.5 Hz, 1H), 7.64～7.59 (m, 1H), 7.46～7.41 (m, 1H), 7.37～7.31 (m, 3H), 7.28～7.23 (m, 2H), 4.98 (dd, *J*＝9.6, 6.1 Hz, 1H), 3.87 (dd, *J*＝13.8, 9.6 Hz, 1H), 3.67 (s, 3H), 3.42 (dd, *J*＝13.8, 6.1 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) *δ*: 157.7, 154.1, 141.0, 134.6, 133.3, 132.2, 131.2 (d, J_{C-F}＝308.1 Hz), 130.7, 130.4, 130.1, 128.2, 127.9, 127.0, 123.9, 113.8, 48.0, 32.9 (d, *J*＝2.0 Hz), 29.2; ^{19}F NMR (471 MHz, $CDCl_3$) *δ*: －41.39. HRMS (ESI) calcd for $C_{18}H_{15}ClF_3N_2OS$ [M＋H$^+$] 399.0540, found 399.0537.

3-(1-(3-Bromophenyl)-2-((trifluoromethyl)thio)ethyl)-1-methylquinoxalin-2(1*H*)-one (**4ah**): 60% yield (53.1 mg). White solid, m.p. 118～120 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) *δ*: 7.97 (dd, *J*＝8.0, 1.5 Hz, 1H), 7.64～7.59 (m, 1H), 7.51 (t, *J*＝1.8 Hz, 1H), 7.45～7.42 (m, 1H), 7.42～7.38 (m, 2H), 7.34 (dd, *J*＝8.4, 1.2 Hz, 1H), 7.31～7.26 (m, 1H), 7.21 (t, *J*＝7.8 Hz, 1H), 4.96 (dd, *J*＝9.7, 6.1 Hz, 1H), 3.87 (dd, *J*＝13.8, 9.7 Hz, 1H), 3.68 (s, 3H), 3.42 (dd, *J*＝13.8, 6.1 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) *δ*: 160.0, 153.6, 138.3, 134.6, 132.6, 131.3, 131.2 (d, J_{C-F}＝306.0 Hz)131.0, 128.9, 128.4, 127.9, 127.6, 115.2, 48.3, 32.8, 29.5; ^{19}F NMR (471 MHz, $CDCl_3$) *δ*: －41.40. HRMS (ESI) calcd for $C_{18}H_{15}ClF_3N_2OS$ [M＋H$^+$] 443.0035, found 443.0038.

3-(1-(2-Chlorophenyl)-2-((trifluoromethyl)thio)ethyl)-1-methylquinoxalin-2(1*H*)-one (**4ai**): 73% yield (53.1 mg). White solid, m.p. 115～117 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) *δ*: 7.99 (dd, *J*＝8.0, 1.5 Hz, 1H), 7.65～7.60 (m, 1H), 7.49 (dd, *J*＝8.0, 1.3 Hz, 1H), 7.46～7.42 (m, 1H), 7.36 (dd, *J*＝8.4, 1.2 Hz, 1H), 7.20 (dd, *J*＝7.9, 1.8 Hz, 1H), 7.14～7.11 (m, 1H), 7.06 (dd, *J*＝7.7, 1.8 Hz, 1H), 5.55 (dd, *J*＝10.3, 4.8 Hz, 1H), 3.78～3.71 (m, 1H), 3.67 (s, 3H), 3.38 (dd, *J*＝13.8, 4.9 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) *δ*: 158.5, 154.2, 137.4, 135.9, 133.2, 132.3, 131.3 (d, J_{C-F}＝308.0 Hz), 130.3, 130.2, 129.5, 128.3, 123.7, 113.7, 47.9, 33.1 (d, *J*＝3.0 Hz), 29.2, 21.1; ^{19}F NMR (471 MHz, $CDCl_3$) *δ*: －41.54. HRMS (ESI) calcd for $C_{18}H_{15}ClF_3N_2OS$ [M＋H$^+$] 399.0540, found 399.0538.

1-Methyl-3-(1-(*p*-tolyl)-2-((trifluoromethyl)thio)ethyl)-quinoxalin-2(1*H*)-one (**4aj**): 56% yield (42.3 mg). White solid, m.p. 118～121 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) *δ*: 7.96 (dd, *J*＝8.0, 1.6 Hz, 1H), 7.61～7.56 (m, 1H), 7.43～7.39 (m, 1H), 7.32 (d, *J*＝1.2 Hz, 1H), 7.31～7.29 (m, 2H), 7.13 (d, *J*＝7.8 Hz, 2H), 4.97 (dd, *J*＝9.7, 6.1 Hz, 1H), 3.93～3.85 (m, 1H), 3.65 (s, 3H), 3.44 (dd, *J*＝13.6, 6.2 Hz, 1H), 2.32 (s, 3H); ^{13}C NMR (101 MHz, CDCl3) *δ*: 158.5, 154.2, 137.4, 135.9, 133.2, 132.3, 131.4 (d, J_{C-F}＝307.0 Hz), 130.3, 130.2, 129.5, 128.3, 123.7, 113.7, 47.9, 33.1 (d, *J*＝3.0 Hz), 29.2, 21.1; ^{19}F NMR (471 MHz, $CDCl_3$) *δ*: －41.38. HRMS (ESI) calcd for $C_{19}H_{18}F_3N_2OS$ [M＋H$^+$] 379.1086, found 379.1088.

3-(1-(4-(*tert*-Butyl)phenyl)-2-((trifluoromethyl)thio)ethyl)-1-methylquinoxalin-2(1*H*)-one (**4ak**): 61% yield (51.2 mg). White solid, m.p. 124～126 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) *δ*: 7.96 (dd, *J*＝8.0, 1.5 Hz, 1H), 7.61～7.56 (m, 1H), 7.43～7.39 (m, 1H), 7.35～7.34 (m, 3H), 7.32～7.27 (m, 2H), 5.01 (dd, *J*＝10.2, 5.7 Hz, 1H), 3.92 (dd, *J*＝13.6, 10.2 Hz, 1H), 3.66 (s, 3H), 3.43 (dd, *J*＝13.6, 5.7 Hz, 1H), 1.29 (s, 9H); ^{13}C NMR (101 MHz, $CDCl_3$) *δ*: 158.5, 154.2, 150.4, 135.9, 133.2, 132.3, 131.4 (d, J_{C-F}＝

307.0 Hz), 130.3, 130.2, 128.0, 125.7, 123.7, 113.7, 47.8, 34.5, 33.0, 31.3, 29.2; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −41.38. HRMS (ESI) calcd for $C_{22}H_{24}F_3N_2OS$ [M+H$^+$] 421.1556, found 421.1554.

3-(1-([1,1'-Biphenyl]-4-yl)-2-((trifluoromethyl)thio)ethyl)-1-methylquinoxalin-2(1*H*)-one (**4al**): 73% yield (64.2 mg). Colourless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 7.99 (dd, *J*=8.0, 1.5 Hz, 1H), 7.63～7.59 (m, 1H), 7.58～7.54 (m, 4H), 7.52～7.48 (m, 2H), 7.46～7.41 (m, 3H), 7.37～7.32 (m, 2H), 5.07 (dd, *J*=9.8, 6.0 Hz, 1H), 3.95 (dd, *J*=13.7, 9.8 Hz, 1H), 3.68 (s, 3H), 3.49 (dd, *J*=13.6, 6.0 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ: 157.9, 154.1, 137.9, 133.2, 132.2, 132.1, 131.9, 131.8, 131.6 (d, *J*=6.3 Hz), 131.1 (d, J_{C-F}=285.0 Hz), 130.6 (d, *J*=6.3 Hz), 130.3, 130.2, 130.1, 129.7, 129.3, 123.9, 121.8, 113.8, 47.7, 32.8 (d, J=2.5 Hz), 29.2; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −41.41. HRMS (ESI) calcd for $C_{24}H_{20}F_3N_2OS$ [M+H$^+$] 441.1243, found 441.1247.

1-Methyl-3-(1-phenyl-3-((trifluoromethyl)thio)propan-2-yl)quinoxalin-2(1*H*)-one (**4am**): 60% yield (45.5 mg). White solid, m.p. 56～58 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (500 MHz, Chloroform-*d*) δ: 7.89 (dd, *J*=8.1, 1.5 Hz, 1H), 7.62～7.57 (m, 1H), 7.42～7.37 (m, 1H), 7.35 (d, *J*=8.3 Hz, 1H), 7.31 (d, *J*=7.7 Hz, 2H), 7.27 (d, *J*=6.1 Hz, 2H), 7.25～7.21 (m, 1H), 4.20～4.14 (m, 1H), 3.74 (s, 3H), 3.48 (dd, *J*=13.2, 9.1 Hz, 1H), 3.35 (dd, *J*=13.8, 5.7 Hz, 1H), 3.19 (dd, *J*=13.3, 4.8 Hz, 1H), 2.90 (dd, *J*=13.8, 8.6 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 159.6, 154.4, 138.7, 133.1, 132.4, 131.1 (d, J_{C-F}=307.0 Hz), 130.4, 130.1, 129.2, 128.5, 128.5, 126.5, 123.8, 113.7, 43.9, 38.5, 30.8 (d, *J*=2.0 Hz), 29.2; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −41.58. HRMS (ESI) calcd for $C_{19}H_{18}F_3N_2OS$ [M+H$^+$] 379.1086, found 379.1082.

1-Methyl-3-(1-phenyl-2-((trifluoromethyl)thio)vinyl)-quinoxalin-2(1*H*)-one (**4an**): 54% yield (39.2 mg). Yellow oil. ^{1}H NMR (500 MHz, Chloroform-*d*) δ: 8.51 (s, 1H), 7.75～7.72 (m, 1H), 7.60～7.54 (m, 1H), 7.48～7.44 (m, 2H), 7.44～7.40 (m, 1H), 7.34～7.30 (m, 3H), 7.30～7.28 (m, 1H), 3.75 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ: 154.5, 151.4, 139.8, 137.1, 132.9, 132.7, 130.8, 130.7, 129.4 (d, J_{C-F}=310.0 Hz), 129.1, 128.5, 128.3, 127.1 (d, *J*=3.8 Hz), 123.8, 113.5, 29.3; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −42.24. HRMS (ESI) calcd for $C_{18}H_{14}F_3N_2OS$ [M+H$^+$] 363.0773, found 363.0775.

3-(1-(4-Bromophenyl)-2-((trifluoromethyl)thio)vinyl)-1-methylquinoxalin-2(1*H*)-one (**4ao**): 59% yield (52.0 mg). Yellow oil. ^{1}H NMR (500 MHz, Chloroform-*d*) δ: 8.59 (s, 1H), 7.73 (dd, *J*=8.3, 1.5 Hz, 1H), 7.61～7.57 (m, 3H), 7.36～7.32 (m, 2H), 7.19～7.16 (m, 2H), 3.76 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ: 154.4, 150.7, 138.7, 136.0, 132.8, 132.6, 131.8, 130.9, 130.8, 129.2 (d, J_{C-F}=309.0 Hz), 127.8 (d, *J*=2.5 Hz), 123.9, 122.5, 113.6, 29.3; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −42.25. HRMS (ESI) calcd for $C_{18}H_{13}BrF_3N_2OS$ [M+H$^+$] 440.9879, found 440.9882.

1-Methyl-3-(1-(*p*-tolyl)-2-((trifluoromethyl)thio)vinyl)quinoxalin-2(1*H*)-one (**4ap**): 64% yield (48.3 mg). Yellow oil. ^{1}H NMR (400 MHz, Chloroform-d) δ: 8.38 (s, 1H), 7.77 (dd, *J*=8.3, 1.5 Hz, 1H), 7.60～7.55 (m, 1H), 7.36～7.31 (m, 2H), 7.27 (d, *J*=8.0 Hz, 2H), 7.20 (d, *J*=8.1 Hz, 2H), 3.75 (s, 3H), 2.43 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 154.4, 151.7, 139.8, 138.2, 134.1, 132.9, 132.7, 130.8, 130.7, 129.4 (d, J_{C-F}=309.0 Hz), 129.3, 128.9, 126.6, 126.5, 123.8, 113.5, 29.3, 21.5; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −42.24. HRMS (ESI) calcd for $C_{19}H_{16}F_3N_2OS$ [M+H$^+$] 377.0930, found 377.0928.

1-Methyl-3-(1-(*m*-tolyl)-2-((trifluoromethyl)thio)vinyl)-quinoxalin-2(1*H*)-one (**4aq**): 41% yield (30.9 mg). Yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 8.40 (s, 1H), 7.77 (dd, *J*=8.3, 1.5 Hz, 1H), 7.59～7.55 (m, 1H), 7.36～7.32 (m, 3H), 7.23 (d, *J*=7.6 Hz, 1H), 7.12～7.09 (m, 2H), 3.75 (s, 3H), 2.41 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 154.4, 151.7, 139.9, 138.2, 137.0, 132.9, 132.7, 130.8, 130.7, 129.5, 129.4 (d, J_{C-F}=310.0 Hz), 129.1, 128.4, 126.1, 123.8, 113.5, 29.3, 21.5; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −42.25. HRMS (ESI) calcd for $C_{19}H_{16}F_3N_2OS$ [M+H$^+$] 377.0930, found 377.0926.

1-Methyl-3-(1-(*o*-tolyl)-2-((trifluoromethyl)thio)vinyl)-quinoxalin-2(1*H*)-one (**4ar**): 72% yield (54.3 mg). Yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 8.91 (s, 1H), 7.66 (dd, *J*=8.1, 1.5 Hz, 1H), 7.58～7.53 (m, 1H), 7.33 (d, *J*=2.7 Hz, 2H), 7.32～7.27 (m, 3H), 7.14 (dd, *J*=7.4, 1.4 Hz, 1H), 3.79 (s, 3H), 2.19 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 154.5, 149.6, 139.4, 137.0, 136.4, 132.8, 132.6, 130.9, 130.6, 130.3, 129.4 (d, J_{C-F}=309.0 Hz), 129.3, 128.5, 126.1, 123.7, 113.5, 29.3, 19.5; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −42.40. HRMS (ESI) calcd for $C_{19}H_{16}F_3N_2OS$ [M+H$^+$] 377.0930, found 377.0932.

1-Ethyl-3-(1-phenyl-2-((trifluoromethyl)thio)ethyl)quinoxalin-2(1*H*)-one (**4ba**): 59% yield (44.6 mg). White solid, m.p. 94～96 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 7.98 (dd, *J*=8.0, 1.6 Hz, 1H), 7.61～7.56 (m, 1H), 7.44～7.39 (m, 3H), 7.36～7.31 (m, 3H), 7.29～7.23 (m, 1H), 5.02 (dd, *J*=9.6, 6.2 Hz, 1H), 4.37～4.29 (m, 1H), 4.25～4.17 (m, 1H), 3.90 (dd, *J*=13.6, 9.6 Hz, 1H), 3.47 (dd, *J*=13.6, 6.2 Hz, 1H), 1.35 (t, *J*=7.2 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 158.4, 153.7, 139.0, 132.6, 132.2, 131.3 (d, J_{C-F}=307.0 Hz), 130.5, 130.3, 128.8, 128.4, 127.6, 123.5, 113.5, 48.2, 37.5, 33.1 (d, *J*=4.0 Hz), 12.4; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −41.41. HRMS (ESI) calcd for $C_{19}H_{18}F_3N_2OS$ [M+H$^+$] 379.1086, found 379.1085.

3-(1-Phenyl-2-((trifluoromethyl)thio)ethyl)-1-propylquinoxalin-2(1*H*)-one (**4ca**): 66% yield (51.7 mg). Colourless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 7.97 (dd, *J*=8.0, 1.6 Hz, 1H), 7.60～7.55 (m, 1H), 7.45～7.39 (m, 3H), 7.36～7.31 (m, 3H), 7.29～7.25 (m, 1H), 5.01 (dd, *J*=9.7, 6.1 Hz, 1H), 4.26～4.18 (m, 1H), 4.14～4.07 (m, 1H), 3.95～3.88 (m, 1H), 3.47 (dd, *J*=13.6, 6.2 Hz, 1H), 1.83～1.70 (m, 2H), 1.01 (t, *J*=7.4 Hz, 3H); ^{13}C NMR (101 MHz, CDCl3) δ: 158.4, 153. 9, 139.1, 132.6, 132.4, 131.3 (d, J_{C-F}=308.0 Hz), 130.5, 130.3, 128.8, 128.4, 128.4, 127.6, 123.5, 113.7, 48.2, 44.0, 33.1 (d, *J*=2.0 Hz), 20.6, 11.3; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −41.42.

HRMS (ESI) calcd for $C_{20}H_{20}F_3N_2OS$ [M+H$^+$] 393.1243, found 393.1240.

1-Ethynyl-3-(1-phenyl-2-((trifluoromethyl)thio)ethyl)-quinoxalin-2(1*H*)-one (**4da**): 53% yield (41.1 mg). Colourless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 7.98 (dd, J=8.0, 1.5 Hz, 1H), 7.65～7.60 (m, 1H), 7.49 (dd, J=8.5, 1.2 Hz, 1H), 7.47～7.42 (m, 2H), 7.41 (t, J=1.3 Hz, 1H), 7.36～7.32 (m, 2H), 7.28～7.25 (m, 1H), 5.09 (dd, J=17.4, 2.6 Hz, 1H), 5.00 (dd, J=9.6, 6.1 Hz, 1H), 4.91 (dd, J=17.4, 2.6 Hz, 1H), 3.93～3.87 (m, 1H), 3.46 (dd, J=13.7, 6.2 Hz, 1H), 2.29 (t, J=2.5 Hz, 1H), 2.07 (s, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 158.4, 153.2, 138.7, 132.5, 131.7, 131.3 (d, J_{C-F}=307.0 Hz), 130.5, 130.4, 128.9, 128.4, 127.8, 124.2, 114.3, 73.5, 48.3, 33.1 (d, J=3.0 Hz), 31.6; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −41.41. HRMS (ESI) calcd for $C_{20}H_{16}F_3N_2OS$ [M+H$^+$] 389.0930, found 389.0932.

2-(2-Oxo-3-(1-phenyl-2-((trifluoromethyl)thio)ethyl)-quinoxalin-1(2*H*)-yl)acetonitrile (**4ea**): 55% yield (42.8 mg). Colourless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 7.97 (dd, J=8.0, 1.6 Hz, 1H), 7.61～7.56 (m, 1H), 7.43～7.37 (m, 3H), 7.32 (d, J=7.9 Hz, 3H), 7.15～7.06 (m, 1H), 5.00 (dd, J=9.7, 6.1 Hz, 1H), 4.26～4.18 (m, 1H), 4.14～4.06 (m, 1H), 3.90 (dd, J=13.7, 9.6 Hz, 1H), 3.46 (dd, J=13.6, 6.2 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 158.1, 152.9, 138.3, 132.4, 131.3 (d, J_{C-F}=308.0 Hz), 131.2, 131.0, 129.0, 128.4, 128.0, 125.0, 113.5, 113.1, 48.5, 32.9 (d, J=2.0 Hz), 29.4; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −41.36. HRMS (ESI) calcd for $C_{19}H_{15}F_3N_3OS$ [M+H$^+$] 390.0882, found 390.0883.

Ethyl 2-(2-oxo-3-(1-phenyl-2-((trifluoromethyl)thio)ethyl)quinoxalin-1(2*H*)-yl)acetate (**4fa**): 60% yield (42.8 mg). White solid, m.p. 139～141 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 7.99 (dd, J=8.0, 1.6 Hz, 1H), 7.58～7.53 (m, 1H), 7.44～7.38 (m, 3H), 7.35～7.30 (m, 2H), 7.28～7.23 (m, 1H), 7.09 (dd, J=8.4, 1.2 Hz, 1H), 5.03 (d, J=17.4 Hz, 1H), 5.00～4.96 (m, 1H), 4.90 (d, J=17.3 Hz, 1H), 4.24～4.18 (m, 2H), 3.89 (dd, J=13.7, 9.4 Hz, 1H), 3.48 (dd, J=13.7, 6.4 Hz, 1H), 1.23 (t, J=7.1 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 166.9, 158.3, 153.8, 138.8, 132.4, 132.4, 131.3 (d, J_{C-F}=308.0 Hz), 130.6, 130.6, 128.8, 128.4, 127.7, 124.1, 113.2, 62.1, 48.3, 43.6, 33.1 (d, J=2.0 Hz), 14.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ: −41.42. HRMS (ESI) calcd for $C_{21}H_{20}F_3N_2O_3S$ [M+H$^+$] 437.1141, found 437.1145.

1-(2-Oxo-2-phenylethyl)-3-(1-phenyl-2-((trifluoromethyl)thio)ethyl)quinoxalin-2(1*H*)-one (**4ga**): 64% yield (59.9 mg). White solid, m.p. 124～126 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 7.98 (dd, J=8.0, 1.6 Hz, 1H), 7.50～7.46 (m, 1H), 7.44～7.40 (m, 4H), 7.39～7.36 (m, 1H), 7.36～7.32 (m, 2H), 7.31～7.29 (m, 1H), 7.20 (dd, J=8.4, 1.3 Hz, 1H), 7.07～7.03 (m, 2H), 5.45 (d, J=15.8 Hz, 1H), 5.32 (d, J=3.5 Hz, 1H), 5.05 (dd, J=9.6, 6.2 Hz, 1H), 3.96～3.89 (m, 1H), 3.49 (dd, J=13.6, 6.2 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 191.1, 158.1, 153.9, 138.9, 134.4, 134.3, 132.7, 132.5, 131.4 (d, J_{C-F}=307.0 Hz), 130.5, 130.5, 129.0, 129.0, 128.9, 128.4, 128.1, 127.7, 123.9, 113.7, 48.6, 48.4, 33.2 (d, J=2.0 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ: −41.39. HRMS (ESI) calcd for $C_{25}H_{20}F_3N_2O_2S$ [M+H$^+$] 469.1192, found 469.1190.

1-Benzyl-3-(1-phenyl-2-((trifluoromethyl)thio)ethyl)-quinoxalin-2(1*H*)-one (**4ha**): 62% yield (54.6 mg). White solid, m.p. 117～120 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 7.97 (dd, J=8.0, 1.6 Hz, 1H), 7.47～7.42 (m, 3H), 7.39～7.33 (m, 3H), 7.32～7.29 (m, 2H), 7.28～7.24 (m, 3H), 7.19～7.14 (m, 2H), 5.53 (d, J=15.6 Hz, 1H), 5.35 (d, J=15.7 Hz, 1H), 5.07 (dd, J=9.5, 6.2 Hz, 1H), 3.93 (dd, J=13.6, 9.6 Hz, 1H), 3.50 (dd, J=13.6, 6.2 Hz, 1H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ: 158.5, 154.2, 138.9, 135.0, 132.5, 132.5, 131.3 (d, J_{C-F}=308.0 Hz), 131.3, 130.3, 130.3, 128.9, 128.8, 128.3, 127.7, 126.8, 123.7, 114.5, 48.3, 46.0, 33.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ: −41.39. HRMS (ESI) calcd for $C_{24}H_{20}F_3N_2OS$ [M+H$^+$] 441.1243, found 441.1248.

1-(4-Fluorobenzyl)-3-(1-phenyl-2-((trifluoromethyl)-thio)ethyl)quinoxalin-2(1*H*)-one (**4ia**): 68% yield (62.3 mg). Colourless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 7.98 (dd, J=8.0, 1.6 Hz, 1H), 7.51～7.42 (m, 3H), 7.40～7.33 (m, 3H), 7.29～7.23 (m, 2H), 7.19～7.14 (m, 2H), 7.01～6.96 (m, 2H), 5.48 (d, J=15.6 Hz, 1H), 5.31 (d, J=15.5 Hz, 1H), 5.06 (dd, J=9.6, 6.2 Hz, 1H), 3.92 (dd, J=13.6, 9.6 Hz, 1H), 3.49 (dd, J=13.6, 6.2 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ: 160.0, 159.7, 157.8, 153.8, 138.6, 132.9, 132.8, 131.3 (d, J_{C-F}=307.0 Hz), 129.9, 128.9, 128.4, 127.8, 118.2, 118.0, 115.8, 115.6, 114.8 (d, J=8.8 Hz), 48.3, 32.9, 29.4; ^{19}F NMR (376 MHz, $CDCl_3$) δ: −41.39, −114.30. HRMS (ESI) calcd for $C_{24}H_{19}F_4N_2$-OS [M+H$^+$] 459.1149, found 459.1145.

1-(4-Chlorobenzyl)-3-(1-phenyl-2-((trifluoromethyl)-thio)ethyl)quinoxalin-2(1*H*)-one (**4ja**): 66% yield (62.6 mg). Colourless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 7.98 (dd, J=7.9, 1.6 Hz, 1H), 7.51～7.45 (m, 1H), 7.45～7.40 (m, 2H), 7.39～7.32 (m, 3H), 7.31～7.29 (m, 1H), 7.28～7.24 (m, 2H), 7.21 (dd, J=8.4, 1.3 Hz, 1H), 7.13～7.09 (m, 2H), 5.47 (d, J=15.7 Hz, 1H), 5.31 (d, J=15.8 Hz, 1H), 5.05 (dd, J=9.6, 6.2 Hz, 1H), 3.92 (dd, J=13.6, 9.6 Hz, 1H), 3.49 (dd, J=13.6, 6.2 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 158.6, 154.2, 138.9, 133.6, 133.6, 132.6, 132.4, 131.4 (d, J_{C-F}=308.0 Hz), 130.5, 130.5, 129.1, 128.9, 128.4, 128.3, 127.8, 124.0, 114.2, 48.4, 45.4, 33.2 (d, J=2.0 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ: −41.40. HRMS (ESI) calcd for $C_{24}H_{19}ClF_3N_2OS$ [M+H$^+$] 475.0853, found 475.0852.

1-(4-Bromobenzyl)-3-(1-phenyl-2-((trifluoromethyl)-thio)ethyl)quinoxalin-2(1*H*)-one (**4ka**): 63% yield (65.4 mg). Colourless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 7.98 (dd, J=7.9, 1.6 Hz, 1H), 7.51～7.45 (m, 1H), 7.45～7.40 (m, 2H), 7.39～7.32 (m, 3H), 7.31～7.29 (m, 1H), 7.28～7.24 (m, 2H), 7.21 (dd, J=8.4, 1.3 Hz, 1H), 7.13～7.09 (m, 2H), 5.47 (d, J=15.7 Hz, 1H), 5.31 (d, J=15.8 Hz, 1H), 5.05 (dd, J=9.6, 6.2 Hz, 1H), 3.92 (dd, J=13.6,

9.6 Hz, 1H), 3.49 (dd, J=13.6, 6.2 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 158.6, 154.2, 138.9, 134.1, 132.6, 132.3, 132.1, 131.4 (d, J_{C-F}=307.0 Hz), 130.5, 130.5, 128.9, 128.6, 128.4, 127.8, 124.0, 121.7, 114.2, 48.4, 45.4, 33.1 (d, J=2.0 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ: −41.39. HRMS (ESI) calcd for $C_{24}H_{19}BrF_3N_2OS$ [M+H$^+$] 519.0348, found 519.0352.

1-(4-Methylbenzyl)-3-(1-phenyl-2-((trifluoromethyl)-thio)ethyl)quinoxalin-2(1*H*)-one (**4la**): 67% yield (60.8 mg). White solid, m.p. 124～126 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 7.96 (dd, J=7.9, 1.6 Hz, 1H), 7.48～7.42 (m, 3H), 7.38～7.33 (m, 3H), 7.31～7.28 (m, 2H), 7.11～7.06 (m, 4H), 5.49 (d, J=15.5 Hz, 1H), 5.30 (d, J=15.5 Hz, 1H), 5.07 (dd, J=9.6, 6.2 Hz, 1H), 3.97～3.88 (m, 1H), 3.49 (dd, J=13.6, 6.3 Hz, 1H), 2.31 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 158.6, 154.3, 139.1, 137.5, 132.6, 132.6, 132.1, 131.4 (d, J_{C-F}=308.0 Hz), 130.4, 130.4, 129.6, 128.9, 128.4, 127.7, 126.9, 123.8, 114.5, 48.4, 45.8, 33.2 (d, J=2.0 Hz), 21.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ: −41.41. HRMS (ESI) calcd for $C_{25}H_{22}F_3N_2OS$ [M+H$^+$] 455.1399, found 455.1396.

1-(4-Methoxybenzyl)-3-(1-phenyl-2-((trifluoromethyl)-thio)ethyl)quinoxalin-2(1*H*)-one (**4ma**): 56% yield (52.6 mg). White solid, m.p. 128～130 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 7.96 (dd, J=8.0, 1.6 Hz, 1H), 7.48～7.42 (m, 3H), 7.39～7.30 (m, 5H), 7.16～7.12 (m, 2H), 6.84～6.80 (m, 2H), 5.46 (d, J=15.4 Hz, 1H), 5.27 (d, J=15.4 Hz, 1H), 5.07 (dd, J=9.6, 6.2 Hz, 1H), 3.92 (dd, J=13.5, 9.5 Hz, 1H), 3.77 (s, 3H), 3.49 (dd, J=13.6, 6.2 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 159.1, 158.6, 154.3, 139.0, 132.6, 131.4 (d, J_{C-F}=307.0 Hz), 130.4, 130.3, 128.9, 128.4, 128.4, 127.7, 127.2, 123.8, 114.5, 114.3, 55.3, 48.4, 45.5, 33.2 (d, J=2.0 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ: −41.38. HRMS (ESI) calcd for $C_{25}H_{22}F_3N_2O_2S$ [M+H$^+$] 471.1349, found 471.1346.

1-(2-Bromobenzyl)-3-(1-phenyl-2-((trifluoromethyl)-thio)ethyl)quinoxalin-2(1*H*)-one (**4na**): 57% yield (59.2 mg). White solid, m.p. 124～126 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 8.00 (dd, J=7.9, 1.6 Hz, 1H), 7.65～7.61 (m, 1H), 7.49～7.42 (m, 3H), 7.42～7.30 (m, 4H), 7.15～7.10 (m, 2H), 7.04 (dd, J=8.3, 1.3 Hz, 1H), 6.61～6.55 (m, 1H), 5.56 (d, J=16.8 Hz, 1H), 5.42 (d, J=16.8 Hz, 1H), 5.07 (dd, J=9.7, 6.1 Hz, 1H), 3.95 (dd, J=13.6, 9.6 Hz, 1H), 3.50 (dd, J=13.6, 6.1 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 158.6, 154.2, 138.9, 134.1, 132.6, 132.3, 132.1, 131.4 (d, J_{C-F}=307.0 Hz), 130.5, 130.5, 128.9, 128.6, 128.4, 127.8, 124.0, 121.7, 114.2, 48.4, 45.4, 33.1 (d, J=2.0 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ: −41.37. HRMS (ESI) calcd for $C_{24}H_{19}BrF_3N_2OS$ [M+H$^+$] 519.0348, found 519.0346.

6-Fluoro-1-methyl-3-(1-phenyl-2-((trifluoromethyl)-thio)ethyl)quinoxalin-2(1*H*)-one (**4oa**): 47% yield (35.9 mg). White solid, m.p. 126～128 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 7.67 (dd, J=8.6, 2.9 Hz, 1H), 7.43～7.39 (m, 2H), 7.36～7.31 (m, 3H), 7.29 (d, J=1.8 Hz, 1H), 7.27 (d, J=4.8 Hz, 1H), 5.02 (dd, J=9.8, 6.0 Hz, 1H), 3.88 (dd, J=13.7, 9.9 Hz, 1H), 3.65 (s, 3H), 3.44 (dd, J=13.7, 6.0 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ: 158.6, 154.2, 138.3, 132.6, 132.4, 131.3 (d, J_{C-F}=308.0 Hz), 130.8 (d, J=2.5 Hz), 130.5 (d, J=35.8 Hz), 128.86, 128.7 (d, J=7.6 Hz), 128.4, 127.7, 123.9, 116.0, 115.8, 114.3, 48.4, 45.3, 33.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ: −41.37, −118.73. HRMS (ESI) calcd for $C_{18}H_{15}F_4N_2OS$ [M+H$^+$] 383.0836, found 383.0841.

7-Chloro-1-methyl-3-(1-phenyl-2-((trifluoromethyl)-thio)ethyl)quinoxalin-2(1*H*)-one (**4pa**): 54% yield (43.0 mg). White solid, m.p. 132～134 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 7.97 (d, J=2.4 Hz, 1H), 7.55 (dd, J=8.9, 2.4 Hz, 1H), 7.43～7.39 (m, 2H), 7.36～7.31 (m, 2H), 7.29～7.23 (m, 2H), 5.01 (dd, J=9.9, 5.9 Hz, 1H), 3.91～3.84 (m, 1H), 3.64 (s, 3H), 3.44 (dd, J=13.7, 6.0 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ: 158.8, 153.3, 138.6, 134.3, 131.4, 131.3 (d, J_{C-F}=306.0 Hz), 131.1, 128.9, 128.4, 127.8, 127.0, 124.5, 116.8, 48.3, 32.9, 29.3; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −41.36. HRMS (ESI) calcd for $C_{18}H_{15}ClF_3N_2OS$ [M+H$^+$] 399.0540, found 399.0536.

6-Bromo-1-methyl-3-(1-phenyl-2-((trifluoromethyl)thio) ethyl)quinoxalin-2(1*H*)-one (**4qa**): 48% yield (42.5 mg). White solid, m.p. 135～137 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 7.81 (d, J=8.4 Hz, 1H), 7.52 (dd, J=8.5, 2.0 Hz, 1H), 7.47 (d, J=2.0 Hz, 1H), 7.42～7.38 (m, 2H), 7.36～7.30 (m, 2H), 7.28～7.25 (m, 1H), 4.98 (dd, J=9.8, 6.0 Hz, 1H), 3.87 (dd, J=13.7, 9.8 Hz, 1H), 3.62 (s, 3H), 3.44 (dd, J=13.7, 6.0 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 159.8, 153.8, 138.5, 133.1, 133.1, 132.6, 132.4, 131.2 (d, J_{C-F}=307.0 Hz), 128.9, 128.4, 127.8, 116.3, 115.2, 48.3, 32.9, 29.3; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −41.35. HRMS (ESI) calcd for $C_{18}H_{15}BrF_3N_2OS$ [M+H$^+$] 443.0035, found 443.0037.

7-Bromo-1-methyl-3-(1-phenyl-2-((trifluoromethyl)thio) ethyl)quinoxalin-2(1*H*)-one (4ra): 74% yield (65.4 mg). White solid, m.p. 134～136 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 8.13 (d, J=2.3 Hz, 1H), 7.68 (dd, J=8.9, 2.3 Hz, 1H), 7.42～7.38 (m, 2H), 7.35～7.31 (m, 2H), 7.29 (d, J=1.5 Hz, 1H), 7.19 (d, J=8.9 Hz, 1H), 5.01 (dd, J=9.9, 5.9 Hz, 1H), 3.92～3.83 (m, 1H), 3.63 (s, 3H), 3.43 (dd, J=13.7, 5.9 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ: 159.9, 153.8, 138.6, 132.8, 131.4 (d, J_{C-F}=306.0 Hz), 132.0, 130.4, 129.6, 129.1, 128.9, 128.4, 127.8, 114.9, 48.3, 32.9, 29.4; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −41.36. HRMS (ESI) calcd for $C_{18}H_{15}BrF_3N_2OS$ [M + H$^+$] 443.0035, found 443.0034.

6,7-Dichloro-1-methyl-3-(1-phenyl-2-((trifluoromethyl)-thio)ethyl)quinoxalin-2(1*H*)-one (**4sa**): 71% yield (61.3 mg). White solid, m.p. 147～149 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 8.06 (s, 1H), 7.42～7.37 (m, 3H), 7.36～

7.32 (m, 2H), 7.30～7.28 (m, 1H), 4.99 (dd, J=9.9, 5.9 Hz, 1H), 3.90～3.82 (m, 1H), 3.61 (s, 3H), 3.43 (dd, J=13.8, 5.9 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ: 157.7, 154.1, 141.2, 133.3, 132.3, 131.3 (d, J_{C-F}=307.0 Hz), 131.1, 130.9, 130.7, 130.4 (d, J=3.8 Hz), 127.5, 123.9, 122.8, 113.8, 47.9, 32.9, 29.2; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −41.34. HRMS (ESI) calcd for $C_{18}H_{14}Cl_2F_3N_2OS$ [M+H$^+$] 433.0151, found 433.0152.

1,6,7-Trimethyl-3-(1-phenyl-2-((trifluoromethyl)thio)-ethyl)quinoxalin-2(1*H*)-one (**4ta**): 49% yield (38.4 mg). White solid, m.p. 133～136 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 7.72 (s, 1H), 7.43～7.38 (m, 2H), 7.34～7.29 (m, 2H), 7.28～7.23 (m, 1H), 7.08 (s, 1H), 4.99 (dd, J=9.8, 6.1 Hz, 1H), 3.92～3.88 (m, 1H), 3.63 (s, 3H), 3.43 (dd, J=13.6, 6.1 Hz, 1H), 2.45 (s, 3H), 2.41 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ: 157.1, 154.3, 140.3, 139.3, 132.7, 131.3, 131.2 (d, J_{C-F}=307.0 Hz)130.7, 130.3, 128.8, 128.4, 127.6, 114.3, 48.2, 33.1, 29.1, 20.6, 19.2; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −41.43. HRMS (ESI) calcd for $C_{20}H_{20}F_3N_2OS$ [M+H$^+$] 393.1243, found 393.1244.

3-(1-Phenyl-2-((trifluoromethyl)thio)ethyl)quinoxalin-2-(1*H*)-one (**4ua**): 69% yield (48.3 mg). White solid, m.p. 185～187 °C (recrystallized by ethyl acetate and petroleum ether); ^{1}H NMR (400 MHz, Chloroform-*d*) δ: 12.04 (s, 1H), 7.95～7.91 (m, 1H), 7.57～7.50 (m, 1H), 7.45～7.38 (m, 3H), 7.34～7.30 (m, 2H), 7.28～7.25 (m, 1H), 7.20～7.16 (m, 1H), 5.06～4.98 (m, 1H), 3.96～3.88 (m, 1H), 3.44～3.52 (m, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ: 158.9, 155.7, 138.8, 132.4, 131.9 (d, J_{C-F}=305.0 Hz), 131.0, 130.4, 129.3, 128.8, 128.5, 127.7, 124.3, 115.7, 47.7, 33.0; ^{19}F NMR (471 MHz, $CDCl_3$) δ: −41.37. HRMS (ESI) calcd for $C_{17}H_{14}F_3N_2OS$ [M+H$^+$] 351.0773, found 351.0768.

Supporting Information NMR spectra of products **4aa**～**4ua**. The Supporting Information is available free of charge via the Internet at http://sioc-journal.cn/.

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