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Efficient synthesis of novel benzo[*b*][1,8]naphthyridin-4(1*H*)-ones and pyrido[2,3-*b*]quinoxalin-4(1*H*)-ones from alkynones and primary amines

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ABSTRACT

An efficient palladium-catalyzed cyclization of *o*-chlorohetaryl ynones with aliphatic and aromatic primary amines represents a simple access to a wide range of benzo[*b*][1,8]naphthyridin-4(1*H*)-one and pyrido[2,3-*b*]quinoxalin-4(1*H*)-one derivatives in good to excellent yields.

1. Introduction

Of the six isomeric pyridopyridines (diazanaphthalenes), 1,8-naphthyridines are the most studied subclass because their skeleton is present in many compounds, which have been isolated from natural substances and exhibit various biological activities. They represent important lead structures in medicinal chemistry (treatment of various human diseases) and agricultural chemistry (use as pesticides). Among 1,8-naphthyridine derivatives, 6-fluoro-1,8-naphthyridin-4-ones, which are azaanalogs of fluoroquinolones, have attracted the most attention in the last 30 years.[1] There are a number of drugs with 4-pyridone ring, such as enoxacin,[2] a fluoronaphthyridone antibacterial agent showing the most broad and potent in vitro antibacterial activity, an excellent in vivo efficacy on systemic infections, and a weak acute toxicity, and trovafloxacin,[3] which is a broad spectrum antibiotic and inhibits the uncoiling of supercoiled DNA in various bacteria by blocking the activity of DNA gyrase and topoisomerase IV (Fig. 1). Its spectrum of activity includes aerobic gram-positive and gram-negative organisms as well as anaerobic pathogens, however, it was withdrawn from the market due to the risk of hepatotoxicity.

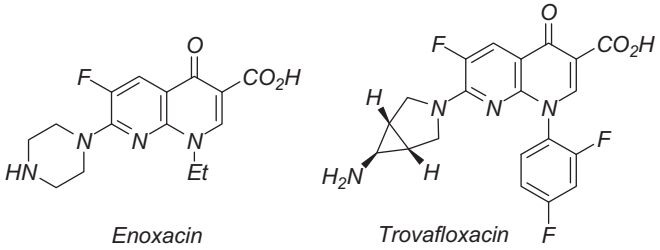

Fig. 1. Important 1,8-naphthyridin-4(1*H*)-ones.

Given the broad utility of 1,8-naphthyridines in medicinal chemistry[1] and continuing our research on the efficient synthesis of drug-like heteroannulated pyridines,[4] we were interested in developing novel approaches, which would allow access to these interesting heterocyclic compounds. In previous study dealing with the domino amination/conjugate addition reaction of 1-(2-chloropyridin-3-yl)prop-2-yn-1-ones with amines, Iaroshenko's

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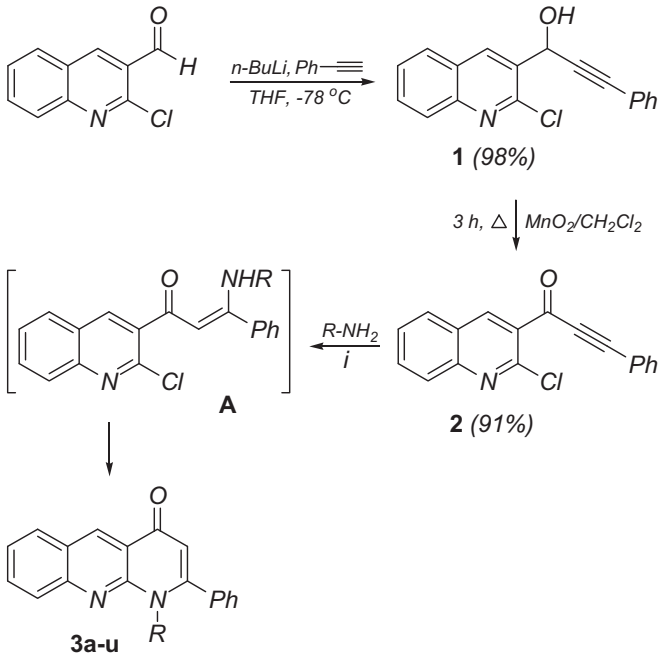
group described the synthesis of 1,8-naphthyridin-4(1*H*)-ones.[5] Though this method of preparation of 1,8-naphthyridine core seems to be satisfactory, new routes starting from different materials are desirable for efficient structure modifications of these molecules. In connection with this, we decided to prepare benzo[*b*][1,8]naphthyridin-4(1*H*)-one and pyrido[2,3-*b*]quinoxalin-4(1*H*)-one derivatives, commencing with quinoline and quinoxaline-based substrates. Earlier 2,5-diarylbenzo[*b*][1,8]naphthyridin-4(1*H*)-ones have been constructed from 3-acetyl-4-arylquinolin-2(1*H*)-ones via the intermediates 3-cinnamoyl-4-arylquinolin-2(1*H*)-ones,[6] while pyrido[2,3-*b*]quinoxalin-4(1*H*)-ones represent a novel heterocyclic system.

In this paper we report the successful realization of our goal with an efficient palladium-catalyzed tandem amination approach, which was developed in one pot to afford pyridoannulated quinolines and quinoxalines from easily accessible *o*-chlorohetaryl acetylenic ketones and primary amines.

2. Results and discussion

Based on previous experience of the Iaroshenko's group related to the development of new coupling reactions of pyridinyl alkynones[5] and alkynyl thiophenes,[7] we envisaged that 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one (**2**), which can be prepared by allowing a lithium acetylide to react with 2-chloro-3-formylquinoline, followed by oxidation of the resulting 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-ol (**1**)[8] is suitable substrate for the synthesis of benzo[*b*][1,8]naphthyridin-4(1*H*)-one derivatives **3** (Scheme 1). The requisite starting material, 2-chloro-3-formylquinoline, was obtained by treatment of acetanilide with the Vilsmeier reagent (DMF/$POCl_3$) according to the described procedure.[9]



Scheme 1. Reaction conditions: (i) $Pd(PPh_3)_4$, K_2CO_3, DMF, 170 °C, 3 h (for **3a**–**l**); $Pd(PPh_3)_4$, Cs_2CO_3, toluene, 90 °C, 6 h (for **3m**–**u**).

Then we examined the reactions of alkynone **2** with a range of commercially available aliphatic and aromatic amines. After the optimization of the reaction conditions with regard to the type of catalyst and solvent, we have found that the use of $Pd(PPh_3)_4$ (5 mol %), 2 equiv of K_2CO_3 as a base, and DMF as a solvent (170 °C, 3 h) was essential to get good yields (46–87%) of benzo[*b*][1,8]naphthyridin-4(1*H*)-ones **3a**–**l** from aliphatic amines. In the case of less nucleophilic aromatic amines, compounds **3m**–**u** were obtained with $Pd(PPh_3)_4$ (5 mol %), Cs_2CO_3 (1.2 equiv) in toluene (90 °C, 6 h) in variable yields (29–77%). When allylamine was used, product **3g** was obtained in 90% yield as a result of cleavage of the allyl group under the reaction conditions employed.

The progress of the reaction was monitored by TLC, and the results are summarized in Table 1. It is important that a wide range of aromatic and aliphatic amines can effectively participate in the reaction with acetylenic ketone **2**, providing a variety of benzofused 1,8-naphthyridines **3** with high purity after column chromatography. It can be observed that the process tolerates only electron-donating substituents (alkyl, alkoxy, diethylamino) on the aromatic amines. This is a palladium-catalyzed tandem reaction consisting of a sequential double C–N bond formation to give benzo[*b*][1,8]naphthyridin-4(1*H*)-ones **3** from quinolinyl acetylenic ketone **2** and primary amines via intermediates **A**[5,10] (Scheme 1). The structures of all products **3** were characterized by IR, ^1H, ^{13}C NMR spectral data as well as HRMS analysis. Furthermore, the structure of compound **3f** was established by X-ray crystallographic analysis (Fig. 2).[11]

Table 1
1-Substituted 2-phenylbenzo[*b*][1,8]naphthyridin-4(1*H*)-ones **3a**–**u**

3	R	Yield (%)
a	Cyclohexyl	72
b	Benzyl	85
c	*p*-Methoxybenzyl	64
d	Phenethyl	46
e	*n*-Heptyl	65
f	Cyclopropyl	57
g	H	90
h	3-(4-Morpholino)propyl	87
i	$HOCH_2CH_2$	72
j	3-Methoxybenzyl	52
k	2-Chlorobenzyl	86
l	*N*-(3-Propyl)imidazole	70
m	4-Methoxyphenyl	73
n	3,4-Dimethoxyphenyl	76
o	3,5-Dimethoxyphenyl	64
p	3,4,5-Trimethoxyphenyl	77
q	3,5-Dimethylphenyl	58
r	2,4-Dimethoxyphenyl	53
s	4-(Diethylamino)phenyl	77
t	4-Ethylphenyl	50
u	2-Fluorophenyl	29

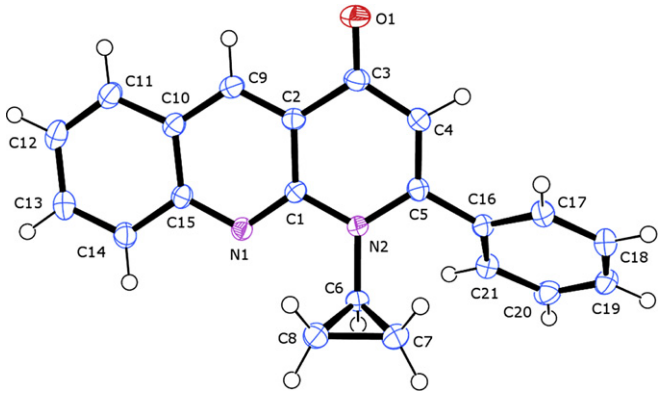
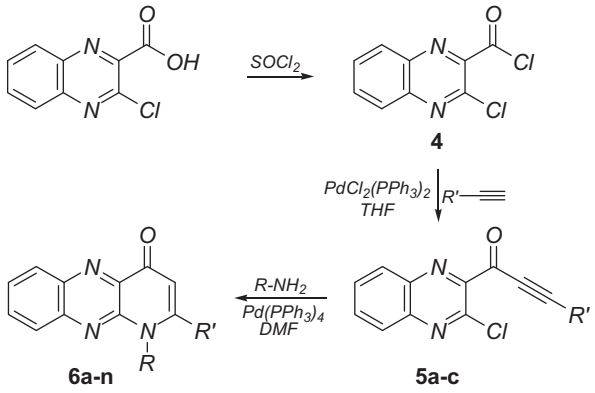


Fig. 2. Molecular structure of compound **3f**.

Next, we have obtained a series of 1-(3-chloroquinoxalin-2-yl) prop-2-yn-1-ones **5** by Sonogashira coupling of 3-chloroquinoxaline-2-carbonyl chloride (**4**), obtained from 3-chloroquinoxaline-2-carboxylic acid and thionyl chloride,[12] with terminal alkynes (R′=Ph, 4-*t*-BuC_6H_4, *n*-C_8H_{17}) using $PdCl_2(PPh_3)_2$ in THF to give ketones **5a**–**c** in 35–58% yield. Reactions of these compounds with

aliphatic and aromatic amines heated with or without $Pd(PPh_3)_4$ in the presence of K_2CO_3 in DMF produced pyrido[2,3-*b*]quinoxalin-4(1*H*)-ones **6a**–**n** (Scheme 2, Table 2). As illustrated in Table 2, alkynones **5a**–**c** readily reacted with various amines to give the corresponding products in moderate to high yields. While aromatic amines required the employment of a Pd catalyst (Methods B–D), reactions of aliphatic amines proceeded smoothly under catalyst free conditions (Method A). When $Pd(PPh_3)_4$ was used as catalyst and combined with *rac*-2,2′-bis(diphenylphosphino)-1,1′-binaphthyl (*rac*-BINAP) or 2-dicyclohexylphosphino-2′-(*N*,*N*-dimethylamino)biphenyl (DavePhos), the yields were improved slightly (compounds **6c**,**g**,**h**). The nature of the acetylene moiety has little effect on the yield of these reactions.



Scheme 2. Synthesis of pyrido[2,3-*b*]quinoxalin-4(1*H*)-ones **6a**–**n**.

Table 2
1,2-Disubstituted pyrido[2,3-*b*]quinoxalin-4(1*H*)-ones **6a**–**n**

6	R′	R	Yield (%)
a	Ph	Cyclohexyl	91,[a] 90,[b] 90[c]
b	Ph	*n*-Heptyl	99,[a] 90,[b] 87[d]
c	Ph	$HOCH_2CH_2$	41,[a] 53,[b] 71[c]
d	Ph	Bn	70[a]
e	Ph	Phenethyl	77,[a] 84[b]
f	Ph	2-MeO–phenethyl	69,[a] 73[b]
g	Ph	Ph	26,[b] 83,[c] 80[d]
h	Ph	$3,4,5\text{-}(MeO)_3C_6H_2$	64,[b] 80[c]
i	4-*t*-BuC_6H_4	Cyclohexyl	79[a]
j	4-*t*-BuC_6H_4	*n*-Heptyl	83,[a] 82[b]
k	4-*t*-BuC_6H_4	Phenethyl	84,[a] 82[b]
l	Octyl	Cyclohexyl	69,[a] 61[b]
m	Octyl	Phenethyl	67,[a] 70[b]
n	Octyl	$3,4,5\text{-}(MeO)_3C_6H_2$	58,[a] 74[b]

[a] Method A: 2 equiv K_2CO_3, DMF, 160 °C.
[b] Method B: 2 equiv K_2CO_3, $Pd(PPh_3)_4$ (5 mol %), DMF, 110 °C.
[c] Method C: 2 equiv K_2CO_3, $Pd(PPh_3)_4$ (5 mol %), *rac*-BINAP (5 mol %), DMF, 110 °C.
[d] Method D: 2 equiv K_2CO_3, $Pd(PPh_3)_4$ (5 mol %), DavePhos (7 mol %), DMF, 110 °C.

These results clearly show that the present approach could be applicable to various types of hetaryl ynones, providing a simple and efficient route to the synthesis of a wide range of the fused naphthyridine and quinoxaline derivatives, which are of interest as biologically active compounds. The structures of all the compounds were deduced from their spectral studies (IR, ^1H, ^{13}C NMR, and MS); most of the mass spectra displayed molecular ion peaks at the appropriate *m*/*z* values. In addition, the structure of **6i** was confirmed by X-ray single crystal analysis (Fig. 3).[11]

3. Conclusion

In conclusion, we have developed an efficient synthesis of benzo[*b*][1,8]naphthyridin-4(1*H*)-one and pyrido[2,3-*b*]quinoxalin-4(1*H*)-one derivatives on the basis of readily available hetaryl alkynones. This palladium-catalyzed methodology is a valuable addition to heteroannulated pyridine synthesis and represents a general route to various nitrogen-containing heterocycles, which constitute an important structural subunit of a variety of biologically active compounds.

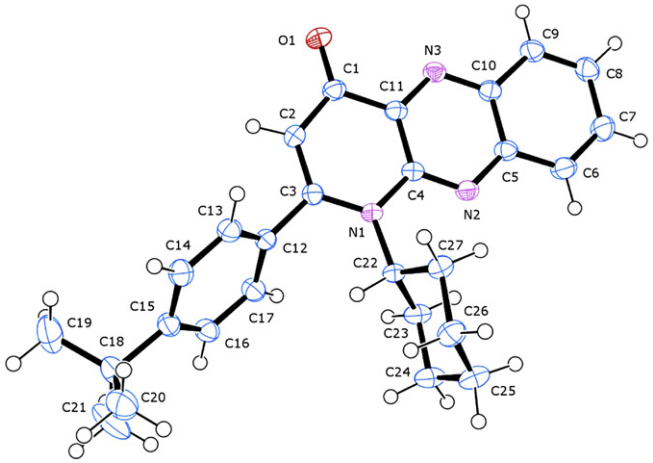


Fig. 3. Molecular structure of compound **6i**.

4. Experimental

4.1. General

NMR spectra were recorded on a Brucker AV 300 instruments. IR spectra were recorded on a Perkin Elmer FT IR 1600 spectrometer (ATR). Mass spectra were obtained on a Hewlett-Packard HPGC/MS 5890/5972 instrument (EI, 70 eV) by GC inlet or on an MX-1321 instrument (EI, 70 eV) by direct inlet. Column chromatography was performed on silica gel (63–200 mesh, Merck) and silica gel Merck $60F_{254}$ plates were used for TLC. All solvents were purified and dried by standard methods.

4.2. General procedures for the synthesis of 2-phenylbenzo[*b*][1,8]naphthyridin-4(1*H*)-ones (3a–u)

*4.2.1. Method A (for **3a**–**l**).* A mixture of **2** (0.6 mmol), amine (0.7 mmol), K_2CO_3 (1.2 mmol), and $Pd(PPh_3)_4$ (0.05 mmol) was heated in 10 ml DMF for 3 h at 170 °C. The residue was purified by column chromatography on silica gel to afford the product.

*4.2.2. Method B (for **3m**–**u**).* A mixture of **2** (0.6 mmol), amine (0.7 mmol), Cs_2CO_3 (235 mg, 0.72 mmol), $Pd(PPh_3)_4$ (5 mol %), and toluene (10 ml) was heated at 90 °C for 6 h. The residue was purified by column chromatography on silica gel to afford the product.

4.3. General procedures for the synthesis of pyrido[2,3-*b*]quinoxalin-4(1*H*)-ones (6a–n)

4.3.1. Method A. Alkylamine (2.0 equiv), K_2CO_3 (2.0 equiv), and 1.0 equiv of the corresponding 1-(2-chloropyridin-3-yl)prop-2-yn-1-one **5** are heated at 160 °C in dry DMF under argon atmosphere in a pressure tube. After 16 h, the solvent was removed in vacuo and the crude product was purified by column chromatography (eluent: *n*-heptane/ethylacetate).

4.3.2. Method B. Alkylamine (2.0 equiv), K_2CO_3 (2.0 equiv), $Pd(PPh_3)_4$ (5 mol %), and 1.0 equiv of the corresponding 1-(2-

chloropyridin-3-yl)prop-2-yn-1-one **5** are heated at 110 °C in dry DMF under argon atmosphere in a pressure tube. After approximately 16 h (controlled by TLC) the solvent was removed in vacuo and the crude product was purified by column chromatography (eluent: *n*-heptane–ethylacetate).

4.3.3. Method C. This method is similar to *Method A*, only the ligand *rac*-BINAP (5 mol %) was loaded.

4.3.4. Method D. This method is similar to *Method A*, only the ligand DavePhos (7 mol %) was loaded.

5. Analytical data

5.1. 1-(2-Chloroquinolin-3-yl)-3-phenylprop-2-yn-1-ol (1)

Starting with 2-chloroquinoline-3-carbaldehyde (400 mg, 2.1 mmol), phenylacetylene (257 mg, 2.52 mmol), and *n*-BuLi (1.016 ml, 2.52 mmol), **1** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=5:1) as a white solid (600 mg, 98%), mp 194–196 °C. ^{1}H NMR (300 MHz, DMSO-d_6): δ=5.95 (d, 1H, ^3J=5.6 Hz), 6.72 (d, 1H, ^3J=5.6 Hz), 7.43 (m, 5H), 7.69 (m, 1H), 7.90 (m, 1H), 8.10 (d, 1H, ^3J=7.4 Hz), 8.20 (d, 1H, ^3J=6.9 Hz), 8.75 (s, 1H); ^{13}C NMR (75 MHz, DMSO-d_6): δ=60.3, 84.6, 89.2, 121.8, 127.0, 127.5, 127.9, 128.3, 128.7, 128.8, 130.1, 130.9, 131.4, 133.5, 135.9, 136.5, 146.4, 148.3; IR (ATR, cm^{-1}): ν=3233 (w), 3065 (w), 2228 (w), 1591 (w), 1489 (m), 1329 (m), 1165 (w), 1068 (m), 929 (m), 857 (w), 779 (m), 747 (s); GC–MS (EI, 70 eV): *m*/*z* (%)=293 (M$^+$), 258 (100), 228 (24), 101 (10); HRMS (ESI-TOF): calcd for $C_{18}H_{12}NClO$ [M+H]$^+$ 294.06802, found 294.06773. Anal. Calcd for $C_{18}H_{12}ClNO$ (293.747): C, 73.60; H, 4.12; N, 4.77. Found: C, 73.63; H, 4.15; N, 4.77.

5.2. 1-(2-Chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one (2)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-ol **1** (400 mg, 1.36 mmol) and activated MnO_2 (299 mg, 3.44 mmol), **2** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=9:1) as a yellow solid (362 mg, 91%), mp 101–103 °C. ^{1}H NMR (300 MHz, DMSO-d_6): δ=7.60 (m, 3H), 7.82 (m, 3H), 8.03 (m, 2H), 8.36 (d, 1H, ^3J=8.1 Hz), 9.30 (s, 1H); ^{13}C NMR (75 MHz, DMSO-d_6): δ=87.5, 94.2, 118.7, 125.8, 127.7, 128.3, 129.0, 129.1, 129.2, 129.8, 131.8, 133.2, 133.3, 133.8, 144.1, 145.6, 147.7, 174.6; IR (ATR, cm^{-1}): ν=3062 (w), 2194 (m), 1630 (s), 1557 (m), 1485 (m), 1392 (w), 1319 (m), 1212 (w), 1096 (s), 1000 (w), 978 (m), 805 (w), 775 (m), 749 (s), 597 (m); GC–MS (EI, 70 eV): *m*/*z* (%)=291 (M$^+$, 63), 263 (95), 228 (32), 129 (100), 101 (22), 75 (27); HRMS (ESI-TOF): calcd for $C_{18}H_{11}ClNO$ [M+H]$^+$ 292.05237, found 292.05241. Anal. Calcd for $C_{18}H_{10}ClNO$ (291.731): C, 74.11; H, 3.46; N, 4.80. Found: C, 73.98; H, 3.56; N, 4.77.

5.3. 1-Cyclohexyl-2-phenylbenzo[*b*][1,8]naphthyridin-4(1*H*)-one (3a)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), cyclohexylamine (70 mg, 0.7 mmol), potassium carbonate (162 mg, 1.17 mmol), Pd(PPh$_3$)$_4$ (5 mol %), and DMF (10 ml) were refluxed at 170 °C for 3 h, **3a** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=2:1) as a yellow solid (150 mg, 72%), mp 280–282 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ=0.91–1.70 (m, 8H), 3.15 (m, 2H), 4.00 (m, 1H), 6.08 (s, 1H), 7.39 (m, 6H), 7.71 (m, 1H), 7.94 (dd, 2H, ^3J=8.3 Hz, ^4J=1.5 Hz), 9.19 (s, 1H); ^{13}C NMR (75 MHz, $CDCl_3$): δ=25.3, 26.5, 26.6, 30.5, 63.8, 111.4, 121.5, 125.1, 125.6, 127.4, 127.5, 128.1, 128.8, 129.2, 129.4, 131.9, 137.1, 137.2, 148.3, 150.1, 158.3, 178.6; IR (ATR, cm^{-1}): ν=3046 (w), 2940 (m), 1616 (m), 1578 (s), 1420 (m), 1164 (w), 1116 (m), 1041 (m), 893 (w), 976 (m), 844 (s), 796 (m), 758 (s), 617 (m), 537 (m); GC–MS (EI, 70 eV): *m*/*z* (%)=354 (M$^+$, 6), 273 (23), 272 (100), 244 (31); HRMS (ESI-TOF): calcd for $C_{24}H_{23}N_2O$ [M+H]$^+$ 355.18049, found 355.18054. Anal. Calcd for $C_{24}H_{22}N_2O$ (354.444): C, 81.33; H, 6.26; N, 7.90. Found: C, 80.98; H, 6.46; N, 7.75.

5.4. 1-Benzyl-2-phenylbenzo[*b*][1,8]naphthyridin-4(1*H*)-one (3b)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), benzylamine (75 mg, 0.7 mmol), potassium carbonate (162 mg, 1.17 mmol), Pd(PPh$_3$)$_4$ (5 mol %), and DMF (10 ml) were refluxed at 170 °C for 3 h, **3b** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=2:1) as a yellow solid (180 mg, 85%), mp 238 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=5.71 (s, 2H), 6.21 (s, 1H), 6.78–6.81 (m, 2H), 7.06–7.08 (m, 3H), 7.18–7.22 (m, 2H), 7.28–7.49 (m, 4H), 7.69–7.74 (m, 1H), 7.91 (d, 1H, ^3J=8.1 Hz), 7.98 (d, 1H, ^3J=8.1 Hz), 9.26 (s, 1H); ^{13}C NMR (75 MHz, $CDCl_3$): δ=49.4, 111.6, 120.6, 125.5, 125.7, 126.7, 127.1, 128.2, 128.3, 128.4, 128.5, 129.3, 129.6, 132.2, 135.6, 137.7, 137.9, 149.1, 149.6, 157.4, 178.8; IR (ATR, cm^{-1}): ν=3048 (w), 1616 (s), 1552 (m), 1494 (w), 1328 (m), 1184 (w), 1145 (m), 1072 (w), 1023 (m), 928 (w), 831 (s), 790 (m), 755 (s), 609 (m); GC–MS (EI, 70 eV): *m*/*z* (%)=362 (M$^+$, 63), 271 (100); HRMS (ESI-TOF): calcd for $C_{25}H_{18}N_2O$ [M+H]$^+$ 363.42322; found 363.42323. Anal. Calcd for $C_{25}H_{18}N_2O$ (362.42322): C, 82.85; H, 5.01; N, 7.73. Found: C, 82.98; H, 5.21; N, 7.86.

5.5. 1-(4-Methoxybenzyl)-2-phenylbenzo[*b*][1,8]naphthyridin-4(1*H*)-one (3c)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), *p*-methoxybenzylamine (96 mg, 0.7 mmol), potassium carbonate (162 mg, 1.17 mmol), Pd(PPh$_3$)$_4$ (5 mol %), and DMF (10 ml) were refluxed at 170 °C for 3 h, **3c** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=3:1) as a yellow solid (146 mg, 64%), mp 174–176 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ=3.64 (s, 3H), 5.65 (s, 2H), 6.59 (d, 2H, ^3J=9.7 Hz), 6.74 (d, 2H, ^3J=9.6 Hz), 7.21 (m, 3H), 7.41 (m, 4H), 7.73 (m, 1H), 7.96 (dd, 2H, ^3J=9.7 Hz, ^4J=3.1 Hz), 9.25 (s, 1H); ^{13}C NMR (75 MHz, $CDCl_3$): δ=48.8, 55.2, 111.7, 113.6, 120.7, 125.5, 125.7, 128.2, 128.3, 128.4, 128.5, 129.3, 129.5, 129.9, 132.2, 135.7, 137.5, 137.6, 149.6, 157.4, 158.7, 178.8; IR (ATR, cm^{-1}): ν=2958 (w), 1580 (s), 1511 (m), 1478 (s), 1282 (m), 1245 (s), 1145 (m), 845 (m), 828 (s), 787 (m), 568 (m); GC–MS (EI, 70 eV): *m*/*z* (%)=391 (M$^+$, 63), 263 (95), 228 (32), 129 (100), 101 (22), 75 (27); HRMS (ESI-TOF): calcd for $C_{26}H_{21}N_2O_2$ [M+H]$^+$ 393.15975, found 393.16055. Anal. Calcd for $C_{26}H_{20}N_2O_2$ (392.449): C, 79.57; H, 5.14; N, 7.14. Found: C, 79.69; H, 5.26; N, 7.04.

5.6. 1-Phenethyl-2-phenylbenzo[*b*][1,8]naphthyridin-4(1*H*)-one (3d)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), 2-phenylethanamine (85 mg, 0.7 mmol), potassium carbonate (162 mg, 1.17 mmol), Pd(PPh$_3$)$_4$ (5 mol %), and DMF (10 ml) were refluxed at 170 °C for 3 h, **3d** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=3:1) as a yellow solid (97 mg, 46%), mp 232 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ=2.81 (t, 2H, ^3J=8.1 Hz), 4.42 (t, 2H, ^3J=8.1 Hz), 6.01 (s, 1H), 6.71 (d, 2H, ^3J=6.7 Hz), 6.98–7.04 (m, 3H), 7.09–7.12 (m, 2H), 7.28–7.39 (m, 4H), 7.63–7.68 (m, 1H), 7.90 (d, 2H, ^3J=9.3, 8.1 Hz), 9.13 (s, 1H); ^{13}C NMR (75 MHz, $CDCl_3$): δ=35.4, 48.4, 110.9, 125.5, 125.8, 126.6, 128.1, 128.1, 128.3, 128.3, 128.6, 128.6, 128.7, 128.7, 128.8, 129.4, 129.6, 132.4, 135.6, 137.7, 138.2, 148.2, 148.5, 149.1, 149.3, 177.4; IR (ATR, cm^{-1}): ν=3044 (w), 1582 (s), 1552 (m), 1514 (w), 1477 (s), 1445 (m), 1329 (m), 1146 (m), 976 (m), 829 (s),

573 (s); GC–MS (EI, 70 eV): *m/z* (%)=377 (17), 376 (M^+, 56), 375 (100), 180 (28), 105 (28); HRMS (ESI-TOF): calcd for $C_{26}H_{21}N_2O$ $[M+H]^+$ 377.16484, found 377.16543. Anal. Calcd for $C_{26}H_{20}N_2O$ (376.450): C, 82.95; H, 5.35; N, 7.44. Found: C, 82.73; H, 5.26; N, 7.40.

5.7. 1-Heptyl-2-phenylbenzo[*b*][1,8]naphthyridin-4(1*H*)-one (3e)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), *n*-heptylamine (81 mg, 0.7 mmol), potassium carbonate (162 mg, 1.17 mmol), $Pd(PPh_3)_4$ (5 mol %), and DMF (10 ml) were refluxed at 170 °C for 3 h, **3e** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=2:1) as a yellow solid (140 mg, 65%), mp 315 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ=0.76 (t, 3H, ^3J=7.5 Hz), 1.13 (m, 8H), 1.62 (t, 2H, ^3J=7.5 Hz), 4.34 (t, 2H, ^3J=8.1 Hz), 6.14 (s, 1H), 7.44 (m, 6H), 7.75 (m, 1H), 7.98 (d, 2H, ^3J=8.5 Hz), 9.24 (s, 1H); ^{13}C NMR ($CDCl_3$, 75 MHz): δ=14.1, 22.5, 26.5, 28.5, 28.9, 31.3, 46.7, 111.0, 120.7, 125.3, 125.5, 127.8, 128.1, 128.1, 128.5, 128.6, 129.2, 129.4, 132.0, 135.9, 137.3, 148.9, 149.1, 157.1, 178.5; IR (ATR, cm^{-1}): ν=3048 (w), 2918 (m), 1632 (s), 1411 (m), 1332 (m), 1126 (w), 1074 (w), 976 (m), 774 (m), 753 (s), 719 (m), 611 (m); GC–MS (EI, 70 eV): *m/z* (%)=370 (M^+, 27), 313 (12), 285 (40), 272 (100), 244 (25), 128 (11); HRMS (ESI-TOF): calcd for $C_{25}H_{27}N_2O$ $[M+H]^+$ 371.21179, found 371.21180. Anal. Calcd for $C_{25}H_{26}N_2O$ (370.487): C, 81.05; H, 7.07; N, 7.56. Found: C, 80.98; H, 7.08, N, 7.49.

5.8. 1-Cyclopropyl-2-phenylbenzo[*b*][1,8]naphthyridin-4-(1*H*)-one (3f)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), cyclopropylamine (40 mg, 0.7 mmol), potassium carbonate (162 mg, 1.17 mmol), $Pd(PPh_3)_4$ (5 mol %), and DMF (10 ml) were refluxed at 170 °C for 3 h, **3f** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=2:1) as a yellow solid (61 mg, 57%), mp 193 °C. ^{1}H NMR (250 MHz, $CDCl_3$): δ=0.94 (m, 2H), 1.46 (m, 2H), 3.45 (m, 1H), 6.24 (s, 1H), 7.50 (m, 6H), 7.78 (m, 1H), 7.99 (d, 1H, ^3J=9.7 Hz), 8.10 (d, 1H, ^3J=9.7 Hz), 9.20 (s, 1H); ^{13}C NMR ($CDCl_3$, 75 MHz): δ=12.7, 32.2, 111.6, 120.8, 125.4, 125.6, 128.2, 128.4, 128.5, 129.3, 129.4, 132.1, 136.8, 137.1, 148.9, 151.7, 158.2, 179.3; IR (KBr, cm^{-1}): ν=3060 (w), 1633 (s), 1616 (m), 1350 (w), 1263 (m), 1143 (w), 1031 (m), 931 (w), 885 (w), 791 (m), 773 (s), 744 (s), 605 (m); GC–MS (EI, 70 eV): *m/z* (%)=312 (M^+, 25), 311 (100), 283 (21); HRMS (ESI-TOF): calcd for $C_{21}H_{17}N_2O$ $[M+H]^+$ 313.13354, found 313.13355. Anal. Calcd for $C_{21}H_{16}N_2O$ (312.126): C, 80.75; H, 5.16; N, 8.97. Found: C, 80.98; H, 5.06; N, 8.97.

5.9. 2-Phenylbenzo[*b*][1,8]naphthyridin-4(1*H*)-one (3g)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), allylamine (40 mg, 0.7 mmol), potassium carbonate (162 mg, 1.17 mmol), $Pd(PPh_3)_4$ (5 mol %), and DMF (10 ml) were refluxed at 170 °C for 3 h, **3g** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=2:1) as a yellow solid (141 mg, 90%), mp 286–288 °C. ^{1}H NMR (250 MHz, $CDCl_3$): δ=6.37 (s, 1H), 7.58 (m, 5H), 7.90 (m, 3H), 7.99 (d, 1H, ^3J=8.0 Hz), 8.23 (d, 1H, ^3J=8.6 Hz), 9.20 (s, 1H), 12.33 (s, 1H, NH); ^{13}C NMR ($CDCl_3$, 75 MHz): δ=106.2, 119.3, 125.2, 126.7, 127.7, 127.7, 128.7, 128.8, 129.8, 130.8, 132.5, 133.6, 136.6, 148.7, 149.0, 150.2, 153.1, 178.2; IR (ATR, cm^{-1}): ν=1650 (w), 1540 (m), 1454 (m), 1227 (w), 1266 (m), 1154 (w), 958 (w), 855 (m), 791 (m), 740 (s), 690 (s), 613 (m), 547 (s); GC–MS (EI, 70 eV): *m/z* (%)=273 (18), 272 (M^+, 100), 271 (13), 245 (18), 244 (78), 243 (14), 242 (11), 122 (11); HRMS (ESI-TOF): calcd for $C_{18}H_{13}N_2O$ $[M+H]^+$ 273.10224, found 273.10223. Anal. Calcd for $C_{18}H_{12}N_2O$ (272.095): C, 79.39; H, 4.44; N, 10.29. Found: C, 79.19; H, 4.46; N, 10.26.

5.10. 1-(3-Morpholinopropyl)-2-phenylbenzo[*b*][1,8]naphthyridin-4(1*H*)-one (3h)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), 3-(4-morpholino)propylamine (101 mg, 0.7 mmol), potassium carbonate (162 mg, 1.17 mmol), $Pd(PPh_3)_4$ (5 mol %), and DMF (10 ml) were reflux at 170 °C for 3 h, **3h** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=2:1) as a solid (200 mg, 87%), mp 188–189 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=1.76 (m, 2H), 2.17 (m, 6H), 3.49 (t, 4H, ^3J=10.2 Hz), 4.43 (dd, 2H, ^3J=8.1 Hz, ^4J=2.7 Hz), 6.11 (s, 1H), 7.43 (m, 6H), 7.74 (m, 1H), 7.94 (dd, 2H, ^3J=12.5 Hz, ^4J=3.2 Hz), 9.22 (s, 1H); ^{13}C NMR (75 MHz, $CDCl_3$): δ=25.8, 45.1, 53.4, 53.4, 55.9, 66.8, 66.9, 111.2, 120.9, 125.4, 125.6, 128.1, 128.2, 128.2, 128.7, 128.7, 129.4, 129.5, 132.2, 135.8, 137.6, 149.1, 149.3, 157.0, 178.6; IR (ATR, cm^{-1}): ν=2914 (w), 2814 (w), 1633 (s), 1551 (m), 1474 (m), 1353 (w), 1264 (m), 1114 (s), 1036 (m), 995 (w), 937 (m), 835 (s); GC–MS (EI, 70 eV): *m/z* (%)=398 (M^+, 73), 313 (20), 299 (23), 285 (100), 273 (66), 244 (13), 128 (18), 100 (26); HRMS (ESI-TOF): calcd for $C_{25}H_{26}N_3O_2$ $[M+H]^+$ 400.20195, found 400.20292. Anal. Calcd for $C_{25}H_{25}N_3O_2$ (399.195): C, 75.16; H, 6.31; N, 10.52. Found: C, 74.98; H, 6.56; N, 10.54.

5.11. 1-(2-Hydroxyethyl)-2-phenylbenzo[*b*][1,8]naphthyridin-4(1*H*)-one (3i)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), ethanolamine (32 mg, 0.7 mmol), potassium carbonate (162 mg, 1.17 mmol), $Pd(PPh_3)_4$ (5 mol %), and DMF (10 ml) were refluxed at 170 °C for 3 h, **3i** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=2:1) as a yellow solid (150 mg, 72%), mp 204 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=4.01 (dd, 2H, ^3J=9.3 Hz, ^4J=3.1 Hz), 4.50 (dd, 2H, ^3J=8.4 Hz, ^4J=2.9 Hz), 4.86 (t, 1H, ^3J=12.1 Hz), 6.18 (s, 1H), 7.50 (m, 6H), 7.82 (m, 1H), 7.92 (d, 1H, ^3J=7.8 Hz), 8.03 (d, 1H, ^3J=7.9 Hz), 9.10 (s, 1H); ^{13}C NMR ($CDCl_3$, 75 MHz): δ=50.5, 62.5, 111.6, 120.7, 125.4, 125.9, 127.5, 128.5, 128.8, 129.3, 129.7, 132.7, 135.8, 138.1, 148.5, 150.2, 157.8, 178.4; IR (ATR, cm^{-1}): ν=3206 (w), 1614 (s), 1480 (s), 1338 (m), 1293 (m), 1176 (w), 1156 (m), 1066 (m), 959 (w), 825 (s), 612 (m), 559 (m); GC–MS (EI, 70 eV): *m/z* (%)=316 (M^+, 12), 297 (19), 285 (67), 284 (16), 273 (20), 272 (100), 255 (11), 128 (22); HRMS (ESI-TOF): calcd for $C_{20}H_{17}N_2O_2$ $[M+H]^+$ 317.12845, found 317.12854. Anal. Calcd for $C_{20}H_{16}N_2O_2$ (316.353): C, 75.93; H, 5.10; N, 8.86. Found: C, 75.90; H, 5.08; N, 8.86.

5.12. 1-(3-Methoxybenzyl)-2-phenylbenzo[*b*][1,8]naphthyridin-4(1*H*)-one (3j)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), 3-methoxybenzylamine (96 mg, 0.7 mmol), potassium carbonate (162 mg, 1.17 mmol), $Pd(PPh_3)_4$ (5 mol %), and DMF (10 ml) were refluxed at 170 °C for 3 h, **3j** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=2:1) as a solid (120 mg, 52%), mp 114–116 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ=3.67 (s, 3H), 6.29 (s, 1H), 6.48–6.51 (m, 2H), 6.72 (d, 1H, ^3J=5.3 Hz), 7.07 (t, 1H, ^3J=8.1 Hz), 7.28–7.59 (m, 7H), 7.79–7.85 (m, 1H), 8.02 (d, 1H, ^3J=8.1 Hz), 8.09 (d, 1H, ^3J=8.1 Hz), 9.36 (s, 1H); ^{13}C NMR ($CDCl_3$, 75 MHz): δ=49.3, 55.1, 111.7, 112.3, 112.7, 119.1, 120.6, 125.5, 125.7, 128.2, 128.3, 128.5, 129.3, 129.3, 129.6, 132.3, 135.7, 137.7, 139.6, 149.2, 149.6, 157.5, 159.6, 178.9; IR (ATR, cm^{-1}): ν=2921 (w), 1660 (m), 1631 (m), 1582 (s), 1486 (w), 1349 (m), 1274 (m), 1158 (m), 1092 (m), 976 (m), 847 (m), 698 (s); GC–MS (EI, 70 eV): *m/z* (%)=393 (11), 392 (M^+, 54), 391 (100), 283 (10), 121 (17); HRMS (EI): calcd for $C_{26}H_{19}N_2O_2$ $[M]^+$ 391.14410, found 391.14442. Anal. Calcd for $C_{20}H_{19}N_2O_2$ (392.449): C, 74.11; H, 3.46; N, 4.8. Found: C, 73.98; H, 3.56; N, 4.77.

5.13. 1-(2-Chlorobenzyl)-2-phenylbenzo[*b*][1,8]naphthyridin-4(1*H*)-one (3k)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), 2-chlorobenzylamine (99 mg, 0.7 mmol), potassium carbonate (162 mg, 1.17 mmol), $Pd(PPh_3)_4$ (5 mol %), and DMF (10 ml) were refluxed at 170 °C for 3 h, **3k** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=2:1) as a yellow solid (200 mg, 86%), mp 238 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=5.81 (s, 2H), 6.33 (s, 1H), 6.76 (d, 1H, ^3J=8.6 Hz), 7.17 (m, 1H), 7.21 (m, 3H), 7.47 (m, 4H), 7.79 (m, 1H), 7.95 (d, 1H, ^3J=8.7 Hz), 8.07 (d, 1H, ^3J=8.7 Hz), 9.38 (s, 1H); ^{13}C NMR ($CDCl_3$, 75 MHz): δ=47.6, 111.7, 120.5, 125.6, 125.8, 126.8, 127.2, 127.8, 127.9, 128.1, 128.4, 128.5, 128.6, 129.2, 129.3, 129.7, 132.1, 132.3, 135.2, 135.6, 137.6, 149.1, 149.5, 157.4, 178.7; IR (ATR, cm^{-1}): ν=2964 (w), 1616 (s), 1552 (m), 1499 (w), 1410 (m), 1328 (m), 1200 (w), 1147 (m), 1088 (w), 850 (w), 832 (s), 611 (m); GC–MS (EI, 70 eV): *m*/*z* (%)=397 (43), 396 (M^+, 51), 395 (100), 361 (19), 283 (15), 125 (18); HRMS (ESI-TOF): calcd for $C_{25}H_{17}ClN_2O$ $[M+H]^+$ 397.11022, found 397.11104. Anal. Calcd for $C_{25}H_{16}ClN_2O$ (396.868): C, 75.66; H, 4.32; N, 7.06. Found: C, 75.98, H, 4.46; N, 7.06.

5.14. 1-(3-(1*H*-Imidazol-1-yl)propyl)-2-phenylbenzo[*b*][1,8]naphthyridin-4-(1*H*)-one (3l)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), *N*-(3-aminopropyl)imidazole (88 mg, 0.7 mmol), potassium carbonate (162 mg, 1.17 mmol), $Pd(PPh_3)_4$ (5 mol %), and DMF (10 ml) were refluxed at 170 °C for 3 h, **3l** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=2:1) as a yellow solid (135 mg, 70%), mp 229 °C. ^{1}H NMR ($CDCl_3$, 250 MHz): δ=2.19 (m, 2H), 3.90 (dd, 2H, ^3J=6.3 Hz, ^4J=2.4 Hz), 4.41 (dd, 2H, ^3J=7.5 Hz, ^4J=3.1 Hz), 6.18 (s, 1H), 6.76 (s, 1H), 7.02 (s, 1H), 7.41 (m, 3H), 7.54 (m, 4H), 7.84 (m, 1H), 8.01 (dd, 2H, ^3J=7.3 Hz, ^4J=3.2 Hz), 9.28 (s, 1H); ^{13}C NMR ($CDCl_3$, 75 MHz): δ=30.2, 43.8, 44.5, 111.4, 120.6, 125.4, 125.8, 127.9, 127.9, 128.0, 129.0, 129.1, 129.3, 129.9, 132.5, 135.4, 137.7, 137.8, 137.9, 149.0, 149.1, 156.5, 156.5, 178.5; IR (KBr, cm^{-1}): ν=2921 (w), 1660 (m), 1631 (m), 1582 (s), 1486 (w), 1349 (m), 1274 (m), 1158 (m), 1092 (m), 976 (m), 847 (m), 698 (s); GC–MS (EI, 70 eV): *m*/*z* (%)=380 (M^+, 28), 299 (13), 285 (100), 273 (18), 272 (17), 207 (25); HRMS (ESI-TOF): calcd for $C_{24}H_{21}N_4O$ $[M+H]^+$ 381.17099, found 381.17188. Anal. Calcd for $C_{24}H_{20}N_4O$ (380.442): C, 75.77; H, 5.30; N, 14.73. Found: C, 75.98; H, 5.46; N, 14.66.

5.15. 1-(4-Methoxyphenyl)-2-phenylbenzo[*b*][1,8]naphthyridin-4(1*H*)-one (3m)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), *p*-methoxyaniline (76 mg, 0.62 mmol), Cs_2CO_3 (235 mg, 0.72 mmol), $Pd(PPh_3)_4$ (5 mol %), and toluene (10 ml) were refluxed at 90 °C for 6 h, **3m** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=1:1) as a yellow solid (142 mg, 73%), mp 290 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=3.81 (s, 3H), 6.43 (s, 1H), 6.84 (d, 2H, ^3J=9.0 Hz), 7.12 (d, 2H, ^3J=9.0 Hz), 7.26 (m, 5H), 7.51 (t, 1H, ^3J=8.9 Hz), 7.72 (t, 1H, ^3J=8.9 Hz), 7.82 (d, 1H, ^3J=6.2 Hz), 8.04 (d, 1H, ^3J=9.0 Hz), 9.38 (s, 1H); ^{13}C NMR ($CDCl_3$, 75 MHz): δ=55.4, 111.3, 113.6, 113.7, 120.2, 125.6, 125.7, 128.0, 128.6, 128.7, 129.0, 129.0, 129.1, 131.3, 131.3, 131.9, 131.9, 136.1, 137.3, 149.1, 150.9, 157.1, 158.8, 179.2; IR (ATR, cm^{-1}): ν=2921 (w), 1660 (m), 1631 (m), 1582 (s), 1486 (w), 1349 (m), 1274 (m), 1158 (m), 1092 (m), 976 (m), 847 (m), 698 (s); GC–MS (EI, 70 eV): *m*/*z* (%)=379 (14), 378 (M^+, 65), 377 (100); HRMS (ESI-TOF): calcd for $C_{25}H_{19}N_2O_2$ $[M+H]^+$ 379.14410, found 379.14384. Anal. Calcd for $C_{25}H_{18}N_2O_2$ (378.423): C, 79.35; H, 4.79; N, 7.40. Found: C, 78.98; H, 4.69; N, 7.40.

5.16. 1-(3,4-Dimethoxyphenyl)-2-phenylbenzo[*b*][1,8]naphthyridin-4(1*H*)-one (3n)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), 3,4-dimethoxyaniline (95 mg, 0.62 mmol), Cs_2CO_3 (235 mg, 0.72 mmol), $Pd(PPh_3)_4$ (5 mol %), and toluene (10 ml) were refluxed at 90 °C for 6 h, **3n** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=1:1) as a yellow solid (150 mg, 76%), mp 235 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=3.66 (s, 3H), 3.81 (s, 3H), 6.35 (s, 1H), 6.66 (s, 1H), 6.71 (s, 2H), 7.19 (m, 5H), 7.43 (t, 1H, ^3J=7.5 Hz), 7.65 (t, 1H, ^3J=8.3 Hz), 7.75 (d, 1H, ^3J=9.0 Hz), 7.95 (d, 1H, ^3J=8.8 Hz), 9.25 (s, 1H); ^{13}C NMR ($CDCl_3$, 75 MHz): δ=55.9, 56.1, 110.3, 111.4, 114.0, 120.2, 122.9, 125.6, 125.7, 128.0, 128.2, 128.2, 128.6, 128.7, 128.8, 129.0, 129.1, 131.9, 136.2, 137.3, 148.4, 148.4, 148.7, 149.1, 150.8, 157.1, 179.1; IR (ATR, cm^{-1}): ν=2833 (w), 1633 (m), 1509 (m), 1471 (m), 1398 (s), 1255 (s), 1208 (m), 1132 (m), 1026 (s), 841 (m), 759 (s), 705 (s); GC–MS (EI, 70 eV): *m*/*z* (%)=409 (19), 408 (M^+, 78), 407 (100), 391 (12), 321 (14); HRMS (ESI-TOF): calcd for $C_{26}H_{21}N_2O_3$ $[M+H]^+$ 409.15467, found 409.15504. Anal. Calcd for $C_{26}H_{20}N_2O_3$ (408.449): C, 76.45; H, 4.94; N, 6.86. Found: C, 75.97; H, 4.91; N, 6.84.

5.17. 1-(3,5-Dimethoxyphenyl)-2-phenylbenzo[*b*][1,8]naphthyridin-4(1*H*)-one (3o)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), 3,5-dimethoxyaniline (95 mg, 0.62 mmol), Cs_2CO_3 (235 mg, 0.72 mmol), $Pd(PPh_3)_4$ (5 mol %), and toluene (10 ml) were refluxed at 90 °C for 6 h, **3o** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=1:1) as a yellow solid (135 mg, 64%), mp 239 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=3.58 (s, 6H), 6.30 (m, 4H), 7.19 (m, 5H), 7.41 (t, 1H, ^3J=7.6 Hz), 7.63 (t, 1H, ^3J=7.8 Hz), 7.76 (d, 1H, ^3J=8.7 Hz), 7.93 (d, 1H, ^3J=7.3 Hz), 9.22 (s, 1H); ^{13}C NMR ($CDCl_3$, 75 MHz): δ=55.5, 55.6, 100.4, 109.3, 109.4, 111.4, 120.0, 120.2, 125.6, 125.7, 127.9, 128.0, 128.7, 128.9, 128.9, 129.1, 131.9, 136.1, 137.3, 140.5, 149.0, 149.1, 150.4, 156.6, 160.4, 179.1; IR (ATR, cm^{-1}): ν=3053 (w), 1637 (m), 1582 (s), 1403 (m), 1316 (m), 1150 (s), 1060 (m), 950 (w), 826 (m), 773 (m), 705 (m), 613 (w); GC–MS (EI, 70 eV): *m*/*z* (%)=409 (15), 408 (M^+, 66), 407 (100), 153 (10); HRMS (ESI-TOF): calcd for $C_{26}H_{21}N_2O_3$ $[M+H]^+$ 409.15467, found 409.15580. Anal. Calcd for $C_{26}H_{20}N_2O_3$ (408.449): C, 76.45; H, 4.94; N, 6.86. Found: C, 76.37; H, 4.89; N, 6.85.

5.18. 2-Phenyl-1-(3,4,5-trimethoxyphenyl)benzo[*b*][1,8]naphthyridin-4(1*H*)-one (3p)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), 3,4,5-trimethoxyaniline (113 mg, 0.62 mmol), Cs_2CO_3 (235 mg, 0.72 mmol), $Pd(PPh_3)_4$ (5 mol %), and toluene (10 ml) were refluxed at 90 °C for 6 h, **3p** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=1:1) as a yellow solid (173 mg, 77%), mp 253 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=3.62 (s, 6H), 3.78 (s, 3H), 6.35 (s, 1H), 6.39 (s, 2H), 7.22 (m, 5H), 7.44 (t, 1H, ^3J=7.6 Hz), 7.67 (t, 1H, ^3J=7.6 Hz), 7.80 (d, 1H, ^3J=9.1 Hz), 7.96 (d, 1H, ^3J=9.1 Hz), 9.26 (s, 1H); ^{13}C NMR ($CDCl_3$, 75 MHz): δ=56.3, 61.1, 108.6, 111.4, 120.1, 125.6, 125.7, 125.8, 128.3, 128.5, 128.6, 128.9, 129.0, 129.2, 129.4, 132.1, 134.4, 136.2, 137.4, 137.7, 149.1, 150.5, 152.9, 156.8, 179.1; IR (ATR, cm^{-1}): ν=2964 (w), 1637 (m), 1556 (w), 1495 (m), 1404 (m), 1227 (s), 949 (w), 854 (w), 825 (m), 712 (m), 643 (m); GC–MS (EI, 70 eV): *m*/*z* (%)=439 (28), 438 (M^+, 100), 437 (63), 423 (21), 392 (13), 391 (20); HRMS (ESI-TOF): calcd for $C_{27}H_{23}N_2O_4$ $[M+H]^+$ 439.16523, found 439.16492. Anal. Calcd for $C_{27}H_{22}N_2O_4$ (438.475): C, 73.96; H, 5.06; N, 6.39. Found: C, 73.37; H, 4.99; N, 6.35.

5.19. 2-Phenyl-1-(3,5-dimethylphenyl)benzo[*b*][1,8]naphthyridin-4(1*H*)-one (3q)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), 3,5-dimethylaniline (75 mg, 0.62 mmol), Cs_2CO_3 (235 mg, 0.72 mmol), $Pd(PPh_3)_4$ (5 mol %), and toluene (10 ml) were refluxed at 90 °C for 6 h, **3q** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=2:1) as a yellow solid (128 mg, 58%), mp 255 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=2.27 (s, 6H), 6.45 (s, 1H), 6.85 (s, 2H), 6.91 (s, 1H), 7.27 (s, 5H), 7.53 (t, 1H, ^3J=6.7 Hz), 7.74 (t, 1H, ^3J=6.8 Hz), 7.85 (d, 1H, ^3J=9.3 Hz), 8.10 (d, 1H, ^3J=9.3 Hz), 9.37 (s, 1H); ^{13}C NMR ($CDCl_3$, 75 MHz): δ=21.1, 111.2, 120.1, 125.5, 125.6, 127.8, 128.0, 128.1, 128.6, 128.7, 128.7, 128.8, 128.9, 129.1, 129.5, 131.9, 136.1, 137.3, 138.0, 138.1, 138.8, 149.1, 150.7, 157.0, 179.9; IR (ATR, cm^{-1}): ν=3057 (w), 1628 (m), 1550 (m), 1403 (s), 1335 (m), 138 (s), 1438 (m), 1148 (m), 971 (m), 840 (m), 756 (s), 588 (m); GC–MS (EI, 70 eV): *m*/*z* (%)=377 (17), 376 (M^+, 73), 375 (100), 347 (10); HRMS (ESI-TOF): calcd for $C_{26}H_{21}N_2O$ $[M+H]^+$ 377.16484, found 377.16412. Anal. Calcd for $C_{26}H_{20}N_2O$ (376.450): C, 82.95; H, 5.35; N, 7.44. Found: C, 82.87; H, 5.29; N, 7.44.

5.20. 2-Phenyl-1-(2,4-dimethoxyphenyl)benzo[*b*][1,8]naphthyridin-4(1*H*)-one (3r)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), 3,5-dimethoxyaniline (126 mg, 0.62 mmol), Cs_2CO_3 (235 mg, 0.72 mmol), $Pd(PPh_3)_4$ (5 mol %), and toluene (10 ml) were refluxed at 90 °C for 6 h, **3r** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=2:1) as a yellow solid (126 mg, 53%), mp 275 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=3.52 (s, 3H), 3.75 (s, 3H), 6.35 (m, 3H), 7.03 (d, 1H, ^3J=7.3 Hz), 7.20 (m, 5H), 7.64 (t, 1H, ^3J=7.3 Hz), 7.43 (t, 1H, ^3J=6.4 Hz), 7.74 (d, 1H, ^3J=8.3 Hz), 7.97 (d, 1H, ^3J=8.3 Hz), 9.27 (s, 1H); ^{13}C NMR ($CDCl_3$, 75 MHz): δ=55.4, 55.5, 99.0, 104.1, 110.8, 120.3, 121.6, 125.4, 125.6, 127.6, 127.7, 128.4, 128.5, 128.6, 128.8, 129.1, 131.7, 131.8, 136.1, 137.1, 149.3, 150.6, 156.2, 157.8, 160.7, 179.5; IR (ATR, cm^{-1}): ν=2921 (w), 1660 (m), 1631 (m), 1582 (s), 1486 (w), 1349 (m), 1274 (m), 1158 (m), 1092 (m), 976 (m), 847 (m), 698 (s); GC–MS (EI, 70 eV): *m*/*z* (%)=408 (M^+, 3), 378 (28), 377 (100); HRMS (ESI-TOF): calcd for $C_{26}H_{21}N_2O_3$ $[M+H]^+$ 409.15467, found 409.15496. Anal. Calcd for $C_{26}H_{20}N_2O_3$ (408.449): C, 76.45; H, 4.94; N, 6.86. Found: C, 76.63; H, 5.05; N, 6.98.

5.21. 1-(4-(Diethylamino)phenyl)-2-phenylbenzo[*b*][1,8]naphthyridin-4(1*H*)-one (3s)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), *N*,*N*-dimethylbenzene-1,4-diamine (112 mg, 0.62 mmol), Cs_2CO_3 (235 mg, 0.72 mmol), $Pd(PPh_3)_4$ (5 mol %), and toluene (10 ml) were refluxed at 90 °C for 6 h, **3s** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=3:1) as a yellow solid (167 mg, 77%), mp 320 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=1.31 (t, 6H, ^3J=7.6 Hz), 2.49 (q, 4H, ^3J=7.6 Hz), 5.59 (s, 1H), 5.71 (d, 2H, ^3J=8.0 Hz), 6.14 (d, 2H, ^3J=8.7 Hz), 6.42 (s, 5H), 6.66 (t, 1H, ^3J=7.7 Hz), 6.87 (t, 1H, ^3J=7.7 Hz), 7.04 (d, 1H, ^3J=8.0 Hz), 7.19 (d, 1H, ^3J=7.2 Hz), 8.5 (s, 1H); ^{13}C NMR ($CDCl_3$, 75 MHz): δ=12.4, 44.4, 111.1, 111.2, 120.3, 125.5, 127.1, 127.8, 127.9, 128.5, 128.7, 129.0, 129.1, 129.2, 130.7, 130.8, 131.7, 136.5, 136.6, 137.2, 147.1, 149.2, 151.2, 157.7, 179.2; IR (ATR, cm^{-1}): ν=2968 (w), 1634 (m), 1515 (s), 1473 (m), 1262 (m), 1195 (m), 1009 (w), 827 (m), 741 (s), 701 (m), 605 (m); GC–MS (EI, 70 eV): *m*/*z* (%)=420 (22), 419 (M^+, 72), 418 (18), 405 (30), 404 (100), 374 (24), 159 (10); HRMS (ESI-TOF): calcd for $C_{28}H_{26}N_3O$ $[M+H]^+$ 420.20704, found 420.20700. Anal. Calcd for $C_{28}H_{25}N_3O$ (419.518): C, 80.16; H, 6.01; N, 10.02. Found: C, 80.21; H, 6.06; N, 10.02.

5.22. 1-(4-Ethylphenyl)-2-phenylbenzo[*b*][1,8]naphthyridin-4(1*H*)-one (3t)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), *p*-ethylaniline (75 mg, 0.62 mmol), Cs_2CO_3 (235 mg, 0.72 mmol), $Pd(PPh_3)_4$ (5 mol %), and toluene (10 ml) were refluxed at 90 °C for 6 h, **3t** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=3:1) as a yellow solid (96 mg, 50%), mp 229 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=1.31 (t, 3H, ^3J=7.8 Hz), 2.55 (m, 2H), 6.34 (s, 1H), 7.02 (q, 4H, ^3J=9.5 Hz), 7.14 (s, 5H), 7.4 (t, 1H, ^3J=7.5 Hz), 7.61 (t, 1H, ^3J=6.8 Hz), 7.72 (d, 1H, ^3J=8.5 Hz), 7.94 (d, 1H, ^3J=8.3 Hz), 9.24 (s, 1H); ^{13}C NMR ($CDCl_3$, 75 MHz): δ=15.2, 28.4, 111.3, 120.1, 125.6, 125.7, 127.7, 127.8, 128.6, 128.7, 128.8, 129.0, 129.1, 129.2, 130.2, 130.3, 131.9, 131.9, 136.1, 136.7, 137.3, 143.9, 149.1, 150.8, 157.0, 179.3; IR (ATR, cm^{-1}): ν=3029 (w), 3004 (w), 1637 (m), 1473 (m), 1184 (w), 1062 (w), 828 (s), 778 (m), 739 (m), 560 (m), 545 (w); GC–MS (EI, 70 eV): *m*/*z* (%)=377 (12), 376 (M^+, 54), 375 (100); HRMS (ESI-TOF): calcd for $C_{26}H_{21}N_2O$ $[M+H]^+$ 377.16484, found 377.16561. Anal. Calcd for $C_{26}H_{20}N_2O$ (376.450): C, 82.95; H, 5.35; N, 7.44. Found: C, 82.92; H, 5.26; N, 7.43.

5.23. 1-(2-Fluorophenyl)-2-phenylbenzo[*b*][1,8]naphthyridin-4(1*H*)-one (3u)

Starting with 1-(2-chloroquinolin-3-yl)-3-phenylprop-2-yn-1-one **2** (170 mg, 0.58 mmol), *p*-methoxyaniline (76 mg, 0.62 mmol), Cs_2CO_3 (235 mg, 0.72 mmol), $Pd(PPh_3)_4$ (5 mol %), and toluene (10 ml) were refluxed at 90 °C for 6 h, **3u** was isolated after column chromatography (silica gel, *n*-heptane/EtOAc=1:1) as a yellow solid (62 mg, 29%), mp 243 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=6.36 (s, 1H), 7.04 (m, 3H), 7.18 (m, 7H), 7.45 (m, 1H), 7.68 (m, 2H), 7.97 (d, 1H, ^3J=8.4 Hz), 9.26 (s, 1H); ^{19}F NMR ($CDCl_3$, 282 MHz): δ=−118.4; ^{13}C NMR (75 MHz, $CDCl_3$): δ=111.3, 115.7, 116.1, 120.0, 124.1, 124.2, 126.1 (d, *J*=16 Hz), 126.3 (d, *J*=230 Hz), 128.1, 128.4, 128.5, 129.1, 129.2, 129.3, 129.4, 130.2, 131.7, 131.8, 133.5 (d, *J*=10 Hz), 135.1 (d, *J*=10 Hz), 136.3 (d, *J*=40 Hz), 137.4, 137.5, 149.2, 179.4; IR (ATR, cm^{-1}): ν=2919 (w), 1581 (s), 1554 (m), 1209 (m), 1156 (w), 1031 (m), 950 (m), 851 (w), 774 (s), 562 (m); GC–MS (EI, 70 eV): *m*/*z* (%)=367 (13), 366 (M^+, 52), 365 (16), 348 (26), 347 (100), 346 (7); HRMS (ESI-TOF): calcd for $C_{24}H_{16}FN_2O$ $[M+H]^+$ 367.12412, found 367.12471. Anal. Calcd for $C_{24}H_{15}FN_2O$ (366.387): C, 78.68; H, 4.13; N, 7.65. Found: C, 78.38; H, 4.10; N, 7.62.

5.24. 1-(3-Chloroquinoxalin-2-yl)-3-phenylprop-2-yn-1-one (5a)

Yield 3.10 g (53%), pale green crystals, mp 81–83 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=7.34–7.48 (m, 3H), 7.63–7.66 (m, 2H), 7.79–7.90 (m, 2H), 8.03–8.06 (m, 1H), 8.19–8.23 (m, 1H); ^{13}C NMR ($CDCl_3$, 63 MHz): δ=88.3, 97.0, 119.7, 128.3, 128.7, 130.1, 131.1, 131.3, 133.4, 133.5, 139.8, 142.5, 144.0, 146.4, 175.3; IR (ATR, cm^{-1}): ν=3290 (w), 3105 (w), 3068 (w), 3045 (w), 2992 (w), 2956 (w), 2926 (w), 2872 (w), 2855 (w), 2191 (s), 1725 (w), 1652 (s), 1592 (m), 1572 (w), 1557 (m), 1536 (m), 1505 (w), 1485 (m), 1461 (m), 1441 (m), 1418 (w), 1382 (w), 1347 (m), 1321 (m), 1305 (m), 1286 (m), 1260 (m), 1228 (w), 1212 (w), 1178 (w), 1147 (m), 1132 (m), 1080 (s), 1025 (m), 1002 (w); UV (CH_2Cl_2, nm): λ_{max} (log ε)=235 (5.44), 248 (5.40), 309 (5.09); MS (EI, 70 eV): *m*/*z* (%)=294 (M^+, ^{37}Cl, 10), 292 (M^+, ^{35}Cl, 29), 264 (22), 229 (31), 129 (100), 102 (14), 75 (21), 51 (8); HRMS (ESI): calcd for $C_{17}H_{10}^{35}ClN_2O$ $[M+H]^+$ 293.04762, found 293.04727; calcd for $C_{17}H_{10}^{37}ClN_2O$ $[M+H]^+$ 295.04519, found 295.04518. Anal. Calcd for $C_{17}H_9ClN_2O$ (292.719): C, 69.75; H, 3.10; N, 9.57. Found: C, 69.62; H, 3.09; N, 9.56.

5.25. 3-(4-*tert*-Butylphenyl)-1-(3-chloroquinoxalin-2-yl) prop-2-yn-1-one (5b)

Yield 0.32 g (58%), yellow solid, mp 110–112 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=1.26 (s, 9H), 7.36–7.39 (m, 2H), 7.55–7.58 (m, 2H), 7.79–7.88 (m, 2H), 7.99–8.03 (m, 1H), 8.16–8.19 (m, 1H); ^{13}C NMR ($CDCl_3$, 63 MHz): δ=31.0, 35.2, 88.3, 97.9, 116.6, 125.8, 128.3, 130.1, 131.0, 133.2, 133.5, 139.8, 142.4, 143.9, 146.7, 155.3, 175.4; IR (ATR, cm^{-1}): ν=3282 (w), 3068 (w), 2960 (m), 2902 (w), 2864 (w), 2181 (s), 1939 (w), 1646 (s), 1598 (m), 1558 (m), 1539 (w), 1504 (m), 1481 (w), 1460 (m), 1402 (w), 1386 (w), 1361 (m), 1347 (w), 1328 (m), 1299 (w), 1282 (w), 1266 (m), 1210 (w), 1202 (w), 1188 (w), 1144 (m), 1129 (m), 1121 (w), 1108 (w), 1073 (s), 1022 (w), 1013 (m); UV (CH_2Cl_2, nm): λ_{max} (log ε)=228 (5.78), 254 (5.58), 297 (5.40); MS (EI): *m*/*z* (%)=350 (M^+, ^{37}Cl, 10), 348 (M^+, ^{35}Cl, 30), 333 (19), 305 (21), 277 (6), 185 (100), 170 (22), 155 (27), 115 (8), 102 (19), 51 (3); HRMS (ESI): calcd for $C_{21}H_{18}ClN_2O$ ($[M+H]^+$, ^{35}Cl) 349.11022, found 349.11068; calcd for $C_{21}H_{18}ClN_2O$ ($[M+H]^+$, ^{37}Cl) 351.10803, found 351.10852.

5.26. 1-(3-Chloroquinoxalin-2-yl)undec-2-yn-1-one (5c)

Yield 0.19 g (35%), yellow oil. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=0.77–0.82 (m, 3H), 1.20–1.24 (m, 8H), 1.37–1.46 (m, 2H), 1.56–1.65 (m, 2H), 2.46 (t, 2H, ^3J=7.0 Hz), 7.76–7.87 (m, 2H), 7.99–8.02 (m, 1H), 8.13–8.16 (m, 1H); ^{13}C NMR (DMSO-d_6, 63 MHz): δ=14.0, 19.6, 22.6, 27.5, 28.9, 29.0, 29.1, 31.8, 81.0, 101.6, 128.3, 129.9, 131.0, 133.1, 139.7, 142.4, 143.8, 146.7, 175.5; IR (ATR, cm^{-1}): ν=3302 (w), 3066 (w), 2956 (w), 2918 (s), 2852 (m), 2284 (w), 2205 (m), 1661 (s), 1607 (w), 1574 (w), 1553 (w), 1531 (m), 1504 (w), 1479 (w), 1466 (m), 1458 (m), 1427 (w), 1415 (w), 1380 (w), 1349 (m), 1324 (w), 1315 (w), 1290 (m), 1279 (m), 1243 (w), 1230 (w), 1200 (w), 1162 (s), 1129 (m), 1118 (m), 1108 (m), 1062 (w), 1045 (s), 1028 (m); UV (CH_2Cl_2, nm): λ_{max} (log ε)=238 (5.27), 248 (5.29), 310 (4.93); MS (EI, 70 eV): *m*/*z* (%)=328 (M^+, 85), 243 (71), 191 (44), 163 (100), 129 (14), 102 (19), 65 (9), 41 (8); HRMS (ESI): calcd for $C_{19}H_{22}ClN_2O$ ($[M+H]^+$, ^{35}Cl) 329.14152, found 329.14231, ($[M+Na]^+$, ^{37}Cl) 353.12115, found 353.12139. Anal. Calcd for $C_{19}H_{21}ClN_2O$ (328.84): C, 69.40; H, 6.44; N, 8.52. Found: C, 69.62; H, 6.71; N, 8.89.

5.27. 1-Cyclohexyl-2-phenylpyrido[2,3-*b*]quinoxalin-4(1*H*)-one (6a)

Yellow solid, mp 238–240 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=0.91–1.78 (m, 8H), 2.97–3.11 (m, 2H), 3.99–4.09 (m, 1H), 6.35 (s, 1H), 7.37–7.49 (m, 5H), 7.70–7.85 (m, 2H), 8.00–8.03 (m, 1H), 8.37–8.40 (m, 1H); ^{13}C NMR ($CDCl_3$, 63 MHz): δ=25.3, 26.5, 30.6, 64.3, 114.0, 127.4, 127.8, 128.9, 129.5, 129.8, 130.8, 132.6, 136.3, 137.6, 140.5, 141.8, 146.5, 157.8, 177.8; IR (ATR, cm^{-1}): ν=3057 (w), 3026 (w), 2992 (w), 2950 (w), 2928 (w), 2914 (m), 2854 (m), 1631 (s), 1578 (m), 1545 (s), 1538 (m), 1504 (w), 1489 (m), 1476 (s), 1453 (m), 1442 (m), 1427 (s), 1417 (m), 1393 (m), 1352 (s), 1340 (s), 1304 (m), 1268 (s), 1242 (m), 1230 (m), 1192 (w), 1178 (w), 1165 (w), 1154 (w), 1139 (m), 1122 (s), 1098 (m), 1072 (m), 1047 (m), 1029 (m), 1020 (m); UV (CH_2Cl_2, nm): λ_{max} (log ε)=237 (5.37), 247 (5.38), 311 (5.01), 434 (4.09); MS (EI, 70 eV): *m*/*z* (%)=355 (M^+, 13), 298 (2), 273 (100), 245 (45), 205 (5), 129 (5), 102 (11), 55 (6), 41 (6); HRMS (ESI): calcd for $C_{23}H_{22}N_3O$ $[M+H]^+$ 356.17574, found 356.17642. Anal. Calcd for $C_{23}H_{21}N_3O$ (355.432): C, 77.72; H, 5.96; N, 11.82. Found: C, 77.79; H, 5.92; N, 11.82.

5.28. 1-Heptyl-2-phenylpyrido[2,3-*b*]quinoxalin-4(1*H*)-one (6b)

Yellow solid, mp 136–138 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=0.77 (t, 3H, ^3J=6.9 Hz), 1.09–1.18 (m, 8H), 1.56–1.63 (m, 2H), 4.30–4.35 (m, 2H), 6.39 (s, 1H), 7.40–7.49 (m, 5H), 7.71–7.86 (m, 2H), 8.00–8.03 (m, 1H), 8.39–8.43 (m, 1H); ^{13}C NMR ($CDCl_3$, 63 MHz): δ=14.0, 22.4, 26.4, 28.4, 28.8, 31.4, 46.8, 113.8, 128.0, 128.1, 128.8, 129.4, 129.8, 130.9, 132.7, 135.2, 137.2, 140.9, 142.7, 145.5, 156.8, 177.8; IR (ATR, cm^{-1}): ν=3055 (w), 2999 (w), 2951 (m), 2923 (m), 2852 (m), 1637 (s), 1633 (s), 1570 (m), 1544 (s), 1489 (m), 1475 (s), 1455 (m), 1443 (s), 1427 (m), 1403 (m), 1380 (w), 1353 (s), 1300 (m), 1286 (m), 1273 (m), 1260 (m), 1236 (m), 1223 (m), 1181 (w), 1164 (m), 1132 (m), 1085 (w), 1074 (w), 1037 (w), 1003 (w); UV (CH_2Cl_2, nm): λ_{max} (log ε)=228 (5.71), 250 (5.55), 295 (5.35), 432 (4.04); MS (EI, 70 eV): *m*/*z* (%)=371 (M^+, 52), 342 (10), 314 (13), 286 (51), 273 (100), 245 (42), 129 (25), 102 (15), 41 (8); HRMS (ESI): calcd for $C_{24}H_{26}N_3O$ $[M+H]^+$ 372.20704, found 372.20713. Anal. Calcd for $C_{24}H_{25}N_3O$ (371.475): C, 77.60; H, 6.78; N, 11.31. Found: C, 77.73; H, 6.79; N, 11.42.

5.29. 1-(2-Hydroxyethyl)-2-phenylpyrido[2,3-*b*]quinoxalin-4(1*H*)-one (6c)

Yellow solid, mp 243–245 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=4.04 (t, ^3J=4.9 Hz, 2H), 4.57 (t, 2H, ^3J=4.9 Hz), 6.21 (s, 1H), 7.46–7.72 (m, 7H), 7.86–7.89 (m, 1H), 8.04–8.07 (m, 1H); ^{13}C NMR ($CDCl_3$, 63 MHz): δ=48.3, 58.0, 113.0, 127.7, 128.5, 129.5, 129.6, 130.0, 133.1, 135.2, 137.2, 139.8, 141.5, 145.7, 157.0, 176.3; IR (ATR, cm^{-1}): ν=3335 (w), 3050 (w), 2955 (w), 2924 (w), 2877 (w), 2730 (w), 1622 (s), 1615 (s), 1581 (w), 1565 (w), 1546 (m), 1538 (m), 1494 (m), 1474 (s), 1449 (m), 1427 (m), 1405 (m), 1377 (w), 1353 (s), 1338 (m), 1295 (w), 1282 (m), 1262 (m), 1230 (m), 1188 (w), 1168 (w), 1147 (m), 1116 (w), 1071 (m), 1053 (m), 1010 (w); UV (CH_2Cl_2, nm): λ_{max} (log ε)=228 (5.71), 247 (5.55), 295 (5.33), 431 (3.99); MS (EI, 70 eV): *m*/*z* (%)=317 (M^+, 22), 298 (11), 286 (74), 273 (100), 257 (13), 245 (33), 207 (14), 129 (32), 102 (17); HRMS (ESI): calcd for $C_{19}H_{16}N_3O_2$ $[M+H]^+$ 318.12370, found 318.12453.

5.30. 1-Benzyl-2-phenylpyrido[2,3-*b*]quinoxalin-4(1*H*)-one (6d)

Pale orange solid, mp 205–208 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=5.65 (s, 2H), 6.44 (s, 1H), 6.79–6.82 (m, 2H), 7.08–7.09 (m, 3H), 7.20–7.41 (m, 5H), 7.68–7.78 (m, 2H), 7.89–7.93 (m, 1H), 8.37–8.41 (m, 1H); ^{13}C NMR ($CDCl_3$, 63 MHz): δ=49.6, 114.2, 126.6, 127.4, 128.0, 128.3, 128.5, 128.6, 129.6, 129.9, 130.9, 132.9, 134.8, 137.0, 137.0, 141.1, 142.7, 145.8, 157.1, 177.9; IR (ATR, cm^{-1}): ν=3110 (w), 3058 (w), 3044 (w), 3023 (w), 2999 (w), 2960 (w), 1641 (s), 1622 (m), 1574 (m), 1548 (m), 1495 (w), 1485 (w), 1476 (s), 1453 (m), 1444 (s), 1410 (m), 1401 (m), 1359 (s), 1336 (m), 1330 (m), 1293 (w), 1275 (s), 1253 (m), 1231 (m), 1198 (w), 1188 (w), 1159 (w), 1138 (m), 1103 (w), 1078 (w), 1039 (w), 1023 (w); UV (CH_2Cl_2, nm): λ_{max} (log ε)=228 (5.79), 254 (5.64), 296 (5.45), 428 (4.20); MS (EI, 70 eV): *m*/*z* (%)=363 (M^+, 57), 334 (3), 273 (15), 245 (12), 129 (5), 91 (100), 69 (13), 57 (12), 44 (44); HRMS (ESI): calcd for $C_{24}H_{18}N_3O$ $[M+H]^+$ 364.14444, found 364.14515. Anal. Calcd for $C_{24}H_{17}N_3O$ (363.411): C, 79.32; H, 4.72; N, 11.56. Found: C, 79.34; H, 4.75; N, 11.57.

5.31. 1-Phenethyl-2-phenylpyrido[2,3-*b*]quinoxalin-4(1*H*)-one (6e)

Yellow solid, mp 295–297 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=2.92 (t, 2H, ^3J=7.6 Hz), 4.55 (t, 2H, ^3J=7.6 Hz), 6.36 (s, 1H), 6.79–6.83 (m, 2H), 7.11–7.14 (m, 3H), 7.25–7.29 (m, 2H), 7.45–7.48 (m, 3H), 7.73–7.90 (m, 2H), 8.05–8.09 (m, 1H), 8.41–8.44 (m, 1H); ^{13}C NMR ($CDCl_3$, 63 MHz): δ=35.2, 48.3, 113.8, 126.7, 128.0, 128.1, 128.6, 128.7, 128.8, 129.6, 129.9, 131.0, 132.9, 135.0, 137.2, 137.7, 140.9, 142.7, 145.5, 156.8, 177.8; IR (ATR, cm^{-1}): ν=3059 (w), 3021 (w), 2992 (w), 2953 (w), 1651 (w), 1629 (s), 1579 (m), 1574 (m), 1551 (m), 1545 (m), 1495 (m), 1475 (m), 1448 (m), 1441 (m), 1425 (m), 1407 (m), 1373

(m), 1254 (m), 1333 (m), 1300 (w), 1288 (m), 1269 (m), 1258 (m), 1236 (m), 1226 (m), 1216 (m), 1176 (w), 1164 (m), 1142 (m), 1105 (w), 1075 (w), 1039 (w), 1028 (w), 1001 (m); UV (CH_2Cl_2, nm): λ_{max} (log ε)=228 (5.72), 247 (5.59), 295 (5.39), 428 (4.22); MS (EI, 70 eV): m/z (%)=377 (M^+, 9), 286 (77), 273 (100), 245 (14), 129 (26), 102 (10), 69 (9), 44 (2); HRMS (ESI): calcd for $C_{25}H_{20}N_3O$ $[M+H]^+$ 378.16009, found 378.15962.

5.32. 1-(2-Methoxyphenethyl)-2-phenylpyrido[2,3-*b*]quinoxalin-4(1*H*)-one (6f)

Yellow solid, mp 200–202 °C. ^1H NMR ($CDCl_3$, 300 MHz): δ=2.90 (t, 2H, ^3J=6.7 Hz), 3.32 (s, 3H), 4.64 (t, 2H, ^3J=6.6 Hz), 6.25 (s, 1H), 6.55–6.58 (m, 1H), 6.66–6.67 (m, 2H), 7.02–7.05 (m, 3H), 7.31–7.41 (m, 3H), 7.72–7.87 (m, 1H), 8.03–8.06 (m, 1H), 8.40–8.43 (m, 2H); ^{13}C NMR ($CDCl_3$, 63 MHz): δ=29.6, 47.0, 54.7, 110.0, 113.7, 120.5, 126.1, 128.0, 128.1, 128.2, 128.5, 129.4, 129.4, 130.7, 130.9, 132.7, 135.2, 137.4, 140.8, 142.6, 145.8, 157.3, 157.5, 177.8; IR (ATR, cm^{-1}): ν=3055 (w), 3019 (w), 2938 (w), 2834 (w), 1627 (s), 1601 (w), 1579 (m), 1545 (m), 1492 (m), 1473 (s), 1462 (m), 1451 (m), 1441 (m), 1423 (m), 1399 (m), 1370 (w), 1353 (s), 1334 (m), 1290 (m), 1268 (m), 1244 (s), 1215 (m), 1175 (w), 1160 (m), 1139 (m), 1093 (m), 1074 (w), 1039 (m), 1030 (m), 1001 (m); UV (CH_2Cl_2, nm): λ_{max} (log ε)=228 (5.70), 247 (5.56), 295 (5.36), 432 (4.04); MS (EI, 70 eV): m/z (%)=407 (M^+, 44), 286 (52), 273 (100), 245 (21), 129 (32), 91 (15), 77 (6); HRMS (ESI): calcd for $C_{26}H_{22}N_3O_2$ $[M+H]^+$ 408.17065, found 408.17072. Anal. Calcd for $C_{26}H_{21}N_3O_2$ (407.464): C, 76.64; H, 5.19; N, 10.13. Found: C, 76.77; H, 5.31; N, 10.31.

5.33. 1,2-Diphenylpyrido[2,3-*b*]quinoxalin-4(1*H*)-one (6g)

Yellow crystals, mp 305–307 °C. ^1H NMR ($CDCl_3$, 300 MHz): δ=6.63 (s, 1H), 7.13–7.18 (m, 6H), 7.27–7.32 (m, 4H), 7.68–7.78 (m, 3H), 8.38–8.41 (m, 1H); ^{13}C NMR ($CDCl_3$, 63 MHz): δ=112.9, 127.1, 127.3, 127.4, 127.8, 128.0, 128.2, 128.6, 129.2, 129.8, 131.7, 134.2, 135.4, 137.3, 140.1, 141.7, 145.9, 155.5, 177.2; IR (ATR, cm^{-1}): ν=3054 (w), 3043 (w), 1634 (s), 1595 (w), 1572 (w), 1550 (m), 1540 (m), 1496 (m), 1476 (s), 1455 (w), 1443 (w), 1421 (w), 1401 (m), 1358 (m), 1337 (w), 1316 (w), 1299 (w), 1273 (m), 1250 (w), 1231 (w), 1211 (w), 1188 (w), 1164 (w), 1148 (w), 1137 (w), 1112 (w), 1075 (w), 1033 (w), 1003 (w); UV (CH_2Cl_2, nm): λ_{max} (log ε)=236 (5.37), 248 (5.37), 311 (5.00), 428 (4.08); MS (EI, 70 eV): m/z (%)=349 (M^+, 95), 320 (30), 218 (10), 129 (6), 102 (4), 77 (7); HRMS (ESI): calcd for $C_{23}H_{16}N_3O$ $[M+H]^+$ 350.12879, found 350.12812. Anal. Calcd for $C_{23}H_{15}N_3O$ (349.385): C, 79.07; H, 4.33; N, 12.03. Found: C, 79.16; H, 4.41; N, 12.05.

5.34. 2-Phenyl-1-(3,4,5-trimethoxyphenyl)pyrido[2,3-*b*]quinoxalin-4(1*H*)-one (6h)

Yellow solid, mp 281–283 °C. ^1H NMR ($CDCl_3$, 300 MHz): δ=3.63 (s, 6H), 3.79 (s, 3H), 6.38 (s, 2H), 6.59 (s, 1H), 7.20–7.21 (s, 5H), 7.69–7.85 (m, 3H), 8.36–8.40 (m, 1H); ^{13}C NMR ($CDCl_3$, 63 MHz): δ=56.3, 61.0, 108.2, 113.9, 128.1, 128.3, 128.6, 129.3, 129.7, 130.8, 132.7, 133.5, 135.4, 136.3, 138.0, 141.1, 142.7, 146.8, 153.0, 156.4, 178.2; IR (ATR, cm^{-1}): ν=3060 (w), 3011 (w), 2985 (w), 2967 (w), 2935 (w), 2841 (w), 2823 (w), 1636 (m), 1598 (m), 1574 (m), 1552 (m), 1538 (w), 1495 (m), 1475 (m), 1464 (m), 1453 (m), 1416 (m), 1398 (m), 1365 (m), 1335 (m), 1308 (m), 1288 (w), 1265 (m), 1232 (s), 1168 (w), 1149 (m), 1108 (s), 1098 (s), 1038 (w), 1030 (w), 1004 (s); UV (CH_2Cl_2, nm): λ_{max} (log ε)=229 (5.71), 254 (5.57), 295 (5.37), 431 (4.07); MS (EI, 70 eV): m/z (%)=439 (M^+, 100), 424 (30), 392 (10), 251 (7), 141 (5), 102 (5); HRMS (ESI): calcd for $C_{26}H_{22}N_3O_4$ $[M+H]^+$ 440.16048, found 440.16076. Anal. Calcd for $C_{26}H_{21}N_3O_4$ (439.463): C, 71.06; H, 4.82; N, 9.56. Found: C, 71.11; H, 4.75; N, 9.90.

5.35. 2-(4-*tert*-Butylphenyl)-1-cyclohexylpyrido[2,3-*b*]quinoxalin-4(1*H*)-one (6i)

Yellow solid, mp 254–256 °C. ^1H NMR ($CDCl_3$, 300 MHz): δ=0.94–1.03 (m, 2H), 1.18–1.26 (m, 1H), 1.32 (s, 9H), 1.53–1.58 (m, 1H), 1.71–1.78 (m, 4H), 2.96–3.10 (m, 2H), 4.03–4.13 (m, 1H), 6.35 (s, 1H), 7.29–7.32 (m, 2H), 7.45–7.48 (m, 2H), 7.68–7.73 (m, 1H), 7.77–7.83 (m, 1H), 7.99–8.02 (m, 1H), 8.35–8.38 (m, 1H); ^{13}C NMR ($CDCl_3$, 63 MHz): δ=25.3, 26.6, 30.6, 31.2, 34.9, 64.2, 114.1, 125.8, 127.3, 127.8, 129.4, 130.8, 132.5, 133.3, 137.6, 140.4, 141.8, 146.5, 153.2, 158.2, 177.8; IR (ATR, cm^{-1}): ν=3060 (w), 3045 (w), 2961 (m), 2929 (m), 2850 (m), 1643 (s), 1615 (w), 1577 (m), 1548 (m), 1520 (w), 1505 (m), 1488 (m), 1475 (m), 1454 (m), 1424 (m), 1412 (w), 1397 (m), 1353 (s), 1335 (m), 1301 (m), 1267 (s), 1247 (m), 1232 (m), 1201 (w), 1165 (w), 1154 (w), 1134 (m), 1121 (m), 1094 (m), 1047 (m), 1020 (m), 1003 (w); UV (CH_2Cl_2, nm): λ_{max} (log ε)=228 (5.69), 248 (5.57), 291 (5.37), 426 (4.19); MS (EI, 70 eV): m/z (%)=411 (M^+, 10), 329 (100), 314 (44), 286 (22), 272 (11), 129 (9), 55 (7), 41 (8); HRMS (ESI): calcd for $C_{27}H_{30}N_3O$ $[M+H]^+$ 412.23834, found 412.23900. Anal. Calcd for $C_{27}H_{29}N_3O$ (411.539): C, 78.80; H, 7.10; N, 10.21. Found: C, 78.39; H, 7.19; N, 10.52.

5.36. 2-(4-*tert*-Butylphenyl)-1-heptylpyrido[2,3-*b*]quinoxalin-4(1*H*)-one (6j)

Yellow solid, mp 167–169 °C. ^1H NMR ($CDCl_3$, 300 MHz): δ=0.76 (t, 3H, ^3J=6.8 Hz), 1.07–1.12 (m, 8H), 1.33 (s, 9H), 1.58–1.63 (m, 2H), 4.34 (t, 2H, ^3J=7.6 Hz), 6.40 (s, 1H), 7.32–7.49 (m, 4H), 7.71–7.85 (m, 2H), 7.99–8.02 (m, 1H), 8.39–8.42 (m, 1H); ^{13}C NMR ($CDCl_3$, 63 MHz): δ=13.9, 22.4, 26.3, 28.3, 28.7, 31.2, 31.4, 34.8, 46.7, 113.8, 125.6, 127.8, 127.9, 129.3, 130.9, 132.2, 132.6, 137.2, 140.8, 142.7, 145.5, 153.1, 157.1, 177.7; IR (ATR, cm^{-1}): ν=3062 (w), 3046 (w), 3011 (w), 2957 (m), 2923 (m), 2912 (m), 2859 (m), 1637 (s), 1573 (m), 1547 (s), 1510 (m), 1489 (s), 1476 (s), 1465 (s), 1451 (s), 1431 (m), 1404 (m), 1381 (w), 1360 (s), 1352 (s), 1335 (m), 1301 (w), 1285 (m), 1266 (s), 1255 (s), 1230 (m), 1216 (w), 1201 (m), 1161 (m), 1145 (w), 1134 (m), 1125 (m), 1110 (w), 1084 (w), 1028 (w), 1003 (w); UV (CH_2Cl_2, nm): λ_{max} (log ε)=228 (5.72), 247 (5.59), 293 (5.39), 428 (4.19); MS (EI, 70 eV): m/z (%)=427 (M^+, 60), 398 (9), 370 (16), 342 (20), 329 (100), 314 (31), 286 (36), 272 (10), 129 (18), 57 (6), 41 (4); HRMS (ESI): calcd for $C_{28}H_{34}N_3O$ $[M+H]^+$ 428.26964, found 428.26997.

5.37. 2-(4-*tert*-Butylphenyl)-1-phenethylpyrido[2,3-*b*]quinoxalin-4(1*H*)-one (6k)

Yellow solid, mp 281–283 °C. ^1H NMR ($CDCl_3$, 300 MHz): δ=1.36 (s, 9H), 2.89 (t, 2H, ^3J=7.7 Hz), 4.57 (t, 2H, ^3J=7.7 Hz), 6.39 (s, 1H), 6.77–6.80 (m, 2H), 7.10–7.12 (m, 3H), 7.23–7.25 (m, 2H), 7.46–7.49 (m, 2H), 7.73–7.89 (m, 2H), 8.05–8.08 (m, 1H), 8.41–8.44 (m, 1H); ^{13}C NMR ($CDCl_3$, 63 MHz): δ=31.3, 34.9, 35.2, 48.1, 113.8, 125.7, 126.7, 127.9, 127.9, 128.5, 128.7, 129.5, 130.9, 132.0, 132.8, 137.2, 137.8, 140.8, 142.7, 145.5, 153.2, 156.9, 177.8; IR (ATR, cm^{-1}): ν=3054 (w), 3021 (w), 2952 (w), 2901 (w), 2867 (w), 1632 (s), 1579 (m), 1546 (m), 1505 (w), 1490 (m), 1475 (m), 1463 (m), 1448 (m), 1424 (m), 1404 (m), 1373 (w), 1354 (m), 1332 (m), 1287 (m), 1267 (m), 1234 (m), 1213 (m), 1201 (w), 1191 (w), 1178 (w), 1160 (m), 1136 (m), 1119 (w), 1101 (w), 1073 (w), 1027 (w), 1015 (w); UV (CH_2Cl_2, nm): λ_{max} (log ε)=228 (5.67), 247 (5.53), 293 (5.33), 434 (4.04); MS (EI, 70 eV): m/z (%)=433 (M^+, 10), 329 (100), 314 (17), 286 (87), 272 (6), 129 (28), 102 (8), 57 (22), 41 (5); HRMS (ESI): calcd for $C_{29}H_{28}N_3O$ $[M+H]^+$ 434.22269, found 434.22380. Anal. Calcd for $C_{29}H_{27}N_3O$ (433.544): C, 80.34; H, 6.28; N, 9.69. Found: C, 80.29; H, 6.61; N, 9.63.

5.38. 1-Cyclohexyl-2-octylpyrido[2,3-*b*]quinoxalin-4(1*H*)-one (6l)

Yellow solid, mp 115–117 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=0.69–0.73 (m, 3H), 1.12–1.30 (m, 7H), 1.55–1.67 (m, 5H), 1.83–1.86 (m, 2H), 2.45–2.46 (m, 6H), 2.61–2.66 (m, 2H), 3.07–3.10 (m, 2H), 4.13–4.18 (m, 1H), 6.23 (s, 1H), 7.58–7.63 (m, 1H), 7.68–7.73 (m, 1H), 7.88–7.91 (m, 1H), 8.19–8.22 (m, 1H); ^{13}C NMR ($CDCl_3$, 63 MHz): δ=13.7, 22.2, 25.1, 26.6, 28.6, 28.7, 28.8, 28.9, 30.2, 31.3, 35.4, 61.4, 112.3, 127.5, 129.1, 130.2, 132.1, 136.8, 139.8, 141.1, 146.0, 158.0, 177.6; IR (ATR, cm^{-1}): ν=3058 (w), 3046 (w), 2923 (m), 2851 (w), 1639 (s), 1576 (w), 1549 (m), 1543 (m), 1476 (m), 1466 (m), 1423 (w), 1391 (w), 1348 (m), 1321 (w), 1295 (m), 1278 (m), 1230 (m), 1188 (w), 1159 (w), 1139 (w), 1118 (w), 1070 (w), 1053 (w), 1030 (w), 1017 (w), 1002 (w), 893 (w), 870 (w), 833 (s), 775 (s), 765 (s), 724 (m), 685 (w), 677 (w), 661 (w), 610 (w); UV (CH_2Cl_2, nm): λ_{max} (log ε)=237 (5.37), 248 (5.37), 310 (5.02), 421 (3.98); MS (EI, 70 eV): *m*/*z* (%)=391 (M^+, 66), 310 (23), 281 (29), 224 (52), 211 (100), 183 (22), 129 (4); HRMS (ESI): calcd for $C_{25}H_{34}N_3O$ $[M+H]^+$ 392.26964, found 392.27078.

5.39. 2-Octyl-1-phenethylpyrido[2,3-*b*]quinoxalin-4(1*H*)-one (6m)

Yellow solid, mp 144–146 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=0.80–0.84 (m, 3H), 1.22–1.35 (m, 9H), 1.61–1.66 (m, 3H), 2.56 (t, 2H, ^3J=7.7 Hz), 3.08 (t, 2H, ^3J=7.6 Hz), 4.70 (t, 2H, ^3J=7.6 Hz), 6.35 (s, 1H), 7.17–7.27 (m, 5H), 7.72–7.84 (m, 1H), 7.81–7.84 (m, 1H), 8.03–8.06 (m, 1H), 8.37–8.40 (m, 1H); ^{13}C NMR ($CDCl_3$, 63 MHz): δ=14.0, 22.6, 28.5, 29.1, 29.2, 29.3, 31.7, 33.7, 35.4, 46.2, 111.7, 127.0, 128.0, 128.8, 128.8, 129.4, 130.9, 132.7, 137.0, 137.9, 140.7, 142.5, 145.4, 157.6, 177.9; IR (ATR, cm^{-1}): ν=3048 (w), 3024 (w), 2982 (w), 2948 (w), 2929 (m), 2856 (m), 1634 (s), 1612 (m), 1583 (m), 1548 (m), 1541 (m), 1489 (m), 1475 (m), 1466 (m), 1435 (m), 1418 (m), 1399 (m), 1370 (m), 1353 (s), 1331 (m), 1322 (w), 1299 (m), 1292 (m), 1279 (m), 1271 (m), 1234 (m), 1224 (m), 1208 (w), 1169 (m), 1156 (m), 1134 (m), 1121 (m), 1092 (w), 1074 (w), 1030 (m), 1013 (w); UV (CH_2Cl_2, nm): λ_{max} (log ε)=228 (5.73), 254 (5.60), 296 (5.40), 428 (4.21); MS (EI, 70 eV): *m*/*z* (%)=413 (M^+, 45), 322 (100), 238 (16), 224 (30), 211 (73), 183 (14), 129 (13), 105 (9); HRMS (ESI): calcd for $C_{27}H_{32}N_3O$ $[M+H]^+$ 414.25399, found 414.25453. Anal. Calcd for $C_{27}H_{31}N_3O$ (413.555): C, 78.42; H, 7.56; N, 10.16. Found: C, 78.39; H, 7.59; N, 10.22.

5.40. 2-Octyl-1-(3,4,5-trimethoxyphenyl)pyrido[2,3-*b*]quinoxalin-4(1*H*)-one (6n)

Yellow solid, mp 189–191 °C. ^{1}H NMR ($CDCl_3$, 300 MHz): δ=0.77–0.81 (m, 3H), 1.15–1.20 (m, 10H), 1.52–1.59 (m, 2H), 2.48 (t, 2H, ^3J=7.7 Hz), 3.79 (s, 6H), 3.94 (s, 3H), 6.46 (s, 2H), 6.47 (s, 1H), 7.64–7.79 (m, 3H), 8.32–8.35 (m, 1H); ^{13}C NMR ($CDCl_3$, 63 MHz): δ=14.0, 22.5, 28.7, 29.0, 29.1, 29.2, 31.7, 34.0, 56.4, 61.1, 106.8, 111.1, 128.3, 129.4, 130.7, 132.4, 133.2, 136.2, 138.6, 140.9, 142.7, 147.2, 153.9, 158.3, 178.2; IR (ATR, cm^{-1}): ν=3078 (w), 3061 (w), 2922 (m), 2846 (w), 1640 (s), 1596 (m), 1581 (m), 1545 (m), 1500 (m), 1480 (m), 1467 (m), 1455, (m), 1419 (m), 1400 (m), 1361 (m), 1341 (m), 1313 (m), 1274 (m), 1232 (s), 1206 (m), 1170 (w), 1144 (w), 1117 (s), 1070 (m), 1024 (w), 1005 (m); UV (CH_2Cl_2, nm): λ (log ε)=227 (5.76), 254 (5.62), 296 (5.43), 428 (4.22); MS (EI): *m*/*z* (%)=475 (M^+, 60), 460 (8), 390 (48), 377 (100), 362 (19), 334 (10), 181 (8); HRMS (ESI): calcd for $C_{28}H_{34}N_3O_4$ $[M+H]^+$ 476.25438, found 476.25329. Anal. Calcd for $C_{28}H_{33}N_3O_4$ (475.579): C, 70.71; H, 6.99; N, 8.84. Found: C, 70.78; H, 6.93; N, 8.70.

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