Supporting Information

Hydrophobically directed, Catalyst-free, multi-component synthesis of functionalized 3, 4-dihydroquinazolin-2(1H)-ones

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General methods

Analytical thin-layer chromatography was performed on silica gel 60 F-254 plates and visualized by UV light or ninhydrin stain. Flash column chromatography was performed using silica gel (60, particle size 40-63 nm). IR spectra were recorded on FT-IR spectrometer. 1H NMR spectra were recorded at 300, 400 and 500 MHz and 13C NMR spectra at 75, 100 and 125 MHz. The chemical shifts for 1H NMR and 13C NMR were referenced to TMS via residual solvent signals (1H, CDCl3 at 7.26 ppm; 13C, CDCl3 at 77.36 ppm; 1H, DMSO-d6 at 2.45 ppm; 13C, DMSO-d6 at 39.43 ppm, 1H). Accurate mass values were determined on a mass spectrometer equipped with an electro spray or electron impact ion source and 7-T hybrid ion trap (LTQ) or TOF detector, respectively. All reactions were performed in sealed Pyrex microwave-transparent process vials designed for 0.5–2 mL reaction volumes. Reagents were purchased at the highest commercial quality and were used without further purification. Solvents used for extraction and silica gel chromatography (EtOAc, hexanes, n-pentane and dichloromethane) were used without purification or removal of water.

General Experimental

Preparation of methyl (2-formylphenyl)carbamate (1a)

Prepared according to the literature procedure.20 Spectral data were in agreement with reported values.

Preparation of N-1 position of o-formyl carbamates

Prepared according to the literature procedure.15 Spectral data were in agreement with reported values.
General procedure for the preparation of compounds 4 or 6 or 8

A mixture of o-formyl carbamate 1 (0.55 mmol), amine 2 (0.60 mmol), and 1H-indole 3 (0.55 mmol) or 2-naphthol 6 (0.55 mmol) in 2 mL H₂O was heated to 130 °C for 8h in a closed vessel. After completion of the reaction (TLC), the solid was filtered off, washed with a small amount of Et-OH to yield the pure products 4 or 6 or 8. Among them, products 4a-4c, 4h-4i, 4r-4s, 6a-6j were purified by short column chromatography on a silica gel column using EtOAc–hexane mixture as the eluent.
**X-ray Crystallography data**

**Data Collection**

A white block crystal of C23H18FN3O having approximate dimensions of 0.350 x 0.330 x 0.310 mm was mounted on a glass fiber. All measurements were made on a Rigaku SCX mini diffractometer using graphite monochromated Mo-Kα radiation.

The crystal-to-detector distance was 52.00 mm. Cell constants and an orientation matrix for data collection corresponded to a primitive monoclinic cell with dimensions:

\[
\begin{align*}
    a &= 9.0737(6) \text{ Å} \\
    b &= 18.081(1) \text{ Å} \\
    b &= 111.185(4)^{\circ} \\
    c &= 12.2682(7) \text{ Å} \\
    V &= 1876.7(2) \text{ Å}^3
\end{align*}
\]

For \( Z = 4 \) and F.W. = 371.41, the calculated density is 1.314 g/cm³. The reflection conditions of:

\[
\begin{align*}
    h0l: & \quad l = 2n \\
    0k0: & \quad k = 2n
\end{align*}
\]

uniquely determine the space group to be:

P21/c (#14)
The data were collected at a temperature of $20 \pm 1^\circ$C to a maximum $2\theta$ value of 55.0$^\circ$. A total of 540 oscillation images were collected. A sweep of data was done using $\omega$ oscillations from -120.0 to 60.0$^\circ$ in 1.0$^\circ$ steps. The exposure rate was 10.0 [sec./$^\circ$]. The detector swing angle was -30.80$^\circ$. A second sweep was performed using $\omega$ oscillations from -120.0 to 60.0$^\circ$ in 1.0$^\circ$ steps. The exposure rate was 10.0 [sec./$^\circ$]. The detector swing angle was -30.80$^\circ$. Another sweep was performed using $\omega$ oscillations from -120.0 to 60.0$^\circ$ in 1.0$^\circ$ steps. The exposure rate was 10.0 [sec./$^\circ$]. The detector swing angle was -30.80$^\circ$. The crystal-to-detector distance was 52.00 mm. Readout was performed in the 0.146 mm pixel mode.

Data Reduction

Of the 18720 reflections that were collected, 4287 were unique ($R_{\text{int}} = 0.0517$); equivalent reflections were merged. Data were collected and processed using CrystalClear (Rigaku).

The linear absorption coefficient, $\mu$, for Mo-Ka radiation is 0.891 cm$^{-1}$. An empirical absorption correction was applied which resulted in transmission factors ranging from 0.579 to 0.973. The data were corrected for Lorentz and polarization effects.

Structure Solution and Refinement

The structure was solved by direct methods\textsuperscript{2} and expanded using Fourier techniques. The non-hydrogen atoms were refined anisotropically. Some hydrogen atoms were refined isotropically and the rest were refined using the riding model. The final cycle of full-matrix least-squares refinement\textsuperscript{3} on F$^2$ was based on 4287 observed reflections and 257 variable parameters and converged (largest parameter shift was 0.00 times its esd) with unweighted and weighted agreement factors of:
R1 = S ||Fo|-|Fc|| / S |Fo| = 0.0546

\[ wR2 = \left[ \frac{S \left( w (Fo^2 - Fc^2)^2 \right)}{S w(Fo^2)^2} \right]^{1/2} = 0.1792 \]

The standard deviation of an observation of unit weight\(^4\) was 1.06. Unit weights were used. The maximum and minimum peaks on the final difference Fourier map corresponded to 0.22 and -0.27 e-/Å\(^3\), respectively.

Neutral atom scattering factors were taken from Cromer and Waber\(^5\). Anomalous dispersion effects were included in Fcalc\(^6\); the values for Df' and Df'' were those of Creagh and McAuley\(^7\). The values for the mass attenuation coefficients are those of Creagh and Hubbell\(^8\). All calculations were performed using the CrystalStructure\(^9\) crystallographic software package except for refinement, which was performed using SHELXL-9710.

References


(3) Least Squares function minimized: (SHELXL97)

\[ Sw(Fo^2-Fc^2)^2 \quad \text{where } w = \text{Least Squares weights}. \]

(4) Standard deviation of an observation of unit weight:

\[ \left[ Sw(Fo^2-Fc^2)^2/(No-Nv) \right]^{1/2} \]

where: No = number of observations

Nv = number of variables


Fig 1. X-ray crystal structure of 4g
Spectral data of all compounds

3-benzyl-4-(1H-indol-3-yl)-3,4-dihydroquinazolin-2(1H)-one (4a)

Isolated as a white solid; m.p. 232-234 °C; IR (KBr) cm⁻¹: 3301, 3206, 3116, 3051, 2922, 1651, 1607, 1465, 745; ¹H NMR (300 MHz, CDCl₃+DMSO-d₆) δ: 10.26 (s, 1H), 8.87 (s, 1H), 7.55-7.46 (m, 2H), 7.41-7.36 (m, 1H), 7.32-7.24 (m, 4H), 7.20-6.96 (m, 4H), 6.91-6.82 (m, 2H), 6.77-6.70 (m, 1H), 5.66 (s, 1H), 5.39 (d, J = 15.2 Hz, 1H), 3.76 (d, J = 15.2 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃+DMSO-d₆) δ: 153.24, 136.75, 136.34, 127.79, 127.14, 127.03, 126.46, 126.14, 124.36, 122.80, 121.02, 120.69, 120.53, 118.69, 118.39, 115.33, 113.20, 111.09, 54.19, 45.90; HRMS (ESI) calc. For C₂₃H₂₀N₃O [M + H]+ 354.16107, found 368.16009.

4-(1H-indol-3-yl)-3-(4-methylbenzyl)-3,4-dihydroquinazolin-2(1H)-one (4b)

Isolated as a white solid; m.p. 224-226 °C; IR (KBr) cm⁻¹: 3437, 3189, 3050, 2913, 1656, 1605, 1465, 744; ¹H NMR (300 MHz, CDCl₃+DMSO-d₆) δ: 9.71 (s, 1H), 8.51 (s, 1H), 7.55 (d, J = 7.9 Hz, 1H), 7.37 (d, J = 8.1 Hz, 1H), 7.21-6.98 (m, 8H), 6.90-6.70 (m, 3H), 5.67 (s, 1H), 5.39 (d, J = 15.1 Hz, 1H), 3.71 (d, J = 15.2 Hz, 1H), 2.34 (s, 3H); ¹³C NMR (75 MHz, CDCl₃+DMSO-d₆) δ: 152.97, 136.75, 135.72, 135.19, 133.46, 128.26, 127.00, 126.78, 125.92, 124.18, 122.58, 120.78, 120.42, 118.45, 118.20, 115.20, 110.91, 53.81, 45.36, 20.18; HRMS (ESI) calc. For C₂₄H₂₂N₃O [M + H]+ 368.1766, found 368.1757.

4-(1H-indol-3-yl)-3-(4-methoxybenzyl)-3,4-dihydroquinazolin-2(1H)-one (4c)

Isolated as a white solid; m.p. 128-130 °C; ¹H NMR (300 MHz, CDCl₃+DMSO-d₆) δ: 10.34 (s, 1H), 8.55 (s, 1H), 7.54 (d, J = 7.9 Hz, 1H), 7.38 (d, J = 8.1 Hz, 1H), 7.23-6.97 (m, 6H), 6.89-6.79 (m, 5H), 5.65 (s, 1H), 5.33 (d, J = 14.9 Hz, 1H), 3.79 (s, 3H), 3.66 (d, J = 15.1 Hz, 1H), 2.34 (s, 3H); ¹³C NMR (125 MHz, CDCl₃+DMSO-d₆) δ: 157.35, 152.26, 135.75, 134.82, 128.07, 127.83, 126.30, 125.45, 123.60, 122.20, 122.13, 120.25, 119.86, 117.90,
117.72, 117.14, 114.70, 112.55, 110.56, 110.49, 53.79, 53.25, 44.98; HRMS (ESI) calc. For 
C_{24}H_{22}N_{3}O_{2} [M + H]^+ 384.1719, found 384.1706.

3-(2-chlorobenzyl)-4-(1H-indol-3-yl)-3,4-dihydroquinazolin-2(1H)-one (4d)
Isolated as a white solid; m.p. 220-222 °C; IR (KBr) cm⁻¹: 3450, 3192, 3118, 3052, 2913, 1658, 1603, 1467, 751; ¹H NMR (300 MHz, CDCl₃+DMSO-d₆) δ: 10.24 (s, 1H), 9.02 (s, 1H), 7.53 (d, J = 7.9 Hz, 1H), 7.40-7.30 (m, 3H), 7.23-6.88 (m, 8H), 6.81-6.73 (m, 1H), 5.70 (s, 1H), 5.21 (d, J = 16.4 Hz, 1H), 4.16 (d, J = 16.6 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃+DMSO-d₆) δ: 153.48, 136.46, 135.37, 134.27, 132.60, 128.81, 127.85, 127.64, 127.26, 126.35, 126.23, 124.38, 122.91, 121.15, 121.00, 118.87, 118.54, 115.51, 113.45, 111.15, 55.48, 44.36; HRMS (ESI) calc. For C_{23}H_{19}ClN_{3}O [M + H]^+ 388.1224, found 388.1211.

3-(2-bromobenzyl)-4-(1H-indol-3-yl)-3,4-dihydroquinazolin-2(1H)-one (4e)
Isolated as a white solid; m.p. 127-129 °C; IR (KBr) cm⁻¹: 3406, 3256, 3052, 2920, 1654, 1602, 1462, 745; ¹H NMR (300 MHz, CDCl₃+DMSO-d₆) δ: 10.37 (s, 1H), 9.02 (s, 1H), 7.59-7.44 (m, 2H), 7.41-7.35 (m, 2H), 7.23-6.85 (m, 8H), 6.80-6.70 (m, 1H), 5.66 (s, 1H), 5.26 (d, J = 15.4 Hz, 1H), 3.78 (d, J = 15.4 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃+DMSO-d₆) δ: 153.39, 139.60, 136.48, 135.20, 130.16, 129.61, 129.51, 127.31, 126.37, 125.98, 124.47, 123.01, 121.88, 121.28, 121.04, 120.50, 118.96, 118.49, 115.28, 113.40, 111.23, 54.82, 45.89; HRMS (ESI) calc. For C_{23}H_{19}BrN_{3}O [M + H]^+ 432.0793, found 432.0706.

3-(3-bromobenzyl)-4-(1H-indol-3-yl)-3,4-dihydroquinazolin-2(1H)-one (4f)
Isolated as a white solid; m.p. 99-101 °C; IR (KBr) cm⁻¹: 3216, 3053, 2918, 1658, 1602, 1463, 745; ¹H NMR (300 MHz, CDCl₃+DMSO-d₆) δ: 10.32 (s, 1H), 9.05 (s, 1H), 7.54-7.50 (m, 2H), 7.40-6.90 (m, 10H), 7.23-6.85 (m, 8H), 6.80-6.70 (m, 1H), 5.70 (s, 1H), 5.16 (d, J = 16.8 Hz, 1H), 4.12 (d, J = 16.6 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃+DMSO-d₆) δ: 153.48, 136.51, 135.81, 132.15, 127.98, 127.82, 127.34, 127.02, 126.28, 124.44, 123.02, 122.63,
121.20, 120.10, 120.64, 118.93, 118.60, 115.47, 113.50, 111.21, 55.53, 46.94; HRMS (ESI) calc. For C_{19}H_{17}N_{3}O [M + H]^+ 432.0726, found 432.0706.

3-(4-fluorobenzyl)-4-(1H-indol-3-yl)-3,4-dihydroquinazolin-2(1H)-one (4g)
Isolated as a white solid; m.p. 216-218 °C; IR (KBr) cm⁻¹: 3259, 3054, 2923, 1652, 1607, 1464, 741; ¹H NMR (300 MHz, CDCl₃+DMSO-d₆) δ: 10.40 (s, 1H), 9.07 (s, 1H), 7.51 (d, J = 7.9 Hz, 1H), 7.38 (d, J = 8.1 Hz, 1H), 7.28-6.93 (m, 8H), 6.92-6.88 (m, 1H), 6.77-6.69 (m, 1H), 5.64 (s, 1H), 5.29 (d, J = 15.2 Hz, 1H), 3.77 (d, J = 15.2 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃+DMSO-d₆) δ: 162.75, 159.51, 153.21, 136.34, 135.21, 132.61, 128.88, 128.78, 127.04, 126.12, 124.32, 122.75, 121.03, 120.73, 120.45, 118.70, 118.33, 115.24, 114.61, 114.32, 113.19, 111.07, 54.29, 45.35; HRMS (ESI) calc. For C_{23}H_{19}FN_{3}O [M + H]^+ 372.1516, found 372.1506.

4-(1H-indol-3-yl)-3-(4-(trifluoromethoxy)benzyl)-3,4-dihydroquinazolin-2(1H)-one (4h)
Isolated as a white solid; m.p. 185-187 °C; IR (KBr) cm⁻¹: 3312, 3199, 3053, 2921, 1658, 1607, 1464, 1259, 1164, 745; ¹H NMR (300 MHz, CDCl₃+DMSO-d₆) δ: 10.32 (s, 1H), 9.07 (s, 1H), 7.54-7.50 (m, 1H), 7.45-7.18 (m, 6H), 7.14-7.04 (m, 2H), 6.80-6.72 (m, 1H), 5.67 (s, 1H), 5.18 (d, J = 16.2 Hz, 1H), 4.13 (d, J = 16.2 Hz, 1H); ¹³C NMR (125 MHz, CDCl₃+DMSO-d₆) δ: 153.46, 146.83, 136.34, 135.21, 132.61, 128.88, 128.78, 127.36, 126.55, 126.37, 124.40, 123.02, 122.94, 121.30, 121.12, 120.56, 119.91, 118.97, 118.72, 188.14, 115.57, 113.50, 111.30, 111.22, 55.60, 41.28; HRMS (ESI) calc. For C_{24}H_{18}F_{3}N_{3}O_{2} [M + H]^+ 438.1428, found 438.1423.

4-(1H-indol-3-yl)-3,4-dihydroquinazolin-2(1H)-one (4i)
Isolated as a white solid; m.p. 252-254 °C; ¹H NMR (300 MHz, CDCl₃+DMSO-d₆) δ: 10.18 (s, 1H), 8.60 (s, 1H), 7.51 (d, J = 7.9 Hz, 1H), 7.40 (d, J = 8.3 Hz, 1H), 7.19 (d, J = 2.4 Hz, 1H), 7.17-7.08 (m, 2H), 7.03-6.96 (m, 1H), 6.91-6.84 (m, 2H), 6.82-6.75 (m, 1H), 5.97 (s, 1H), 5.64 (s, 1H); ¹³C NMR (75 MHz, CDCl₃+DMSO-d₆) δ: 154.89, 136.60, 136.17, 127.45,
126.16, 124.75, 123.06, 121.32, 121.26, 121.09, 118.95, 118.81, 116.32, 113.69, 112.25, 50.98; ESI-MS m/z 264 [M + H]+; HRMS (ESI) calc. For C_{16}H_{14}N_{3}O [M + H]+ 264.1138, found 264.1131.

4-(1H-indol-3-yl)-3-methyl-3,4-dihydroquinazolin-2(1H)-one (4j)

Isolated as a white solid; m.p. 234-236 °C; IR (KBr) cm⁻¹: 3202, 3111, 3039, 2917, 1644, 1605, 748; ¹H NMR (300 MHz, CDCl₃+DMSO-d₆) δ: 10.28 (s, 1H), 8.76 (s, 1H), 7.54 (d, J = 7.9 Hz, 1H), 7.37 (d, J = 8.1 Hz, 1H), 7.23 (d, J = 2.6 Hz, 1H), 7.14-6.93 (m, 4H), 7.03-6.96 (m, 1H), 6.84 (d, J = 7.9 Hz, 1H), 6.77 (t, J = 7.3 Hz, 1H), 5.97 (s, 1H), 5.75 (s, 1H), 2.90 (s, 3H); ¹³C NMR (75 MHz, CDCl₃+DMSO-d₆) δ: 152.12, 135.49, 134.92, 126.09, 125.10, 123.38, 121.91, 119.96, 119.86, 119.58, 117.46, 114.61, 112.21, 110.29, 56.66, 31.05; HRMS (ESI) calc. For C_{17}H_{16}N_{3}O [M + H]+ 278.1295, found 278.1287.

3-butyl-4-(1H-indol-3-yl)-3,4-dihydroquinazolin-2(1H)-one (4k)

Isolated as a green solid; m.p. 149-151 °C; IR (KBr) cm⁻¹: 3408, 3244, 3054, 2926, 1653, 1604, 1465, 744; ¹H NMR (300 MHz, CDCl₃+DMSO-d₆) δ: 10.29 (s, 1H), 8.70 (s, 1H), 7.56 (d, J = 7.9 Hz, 1H), 7.36 (d, J = 8.1 Hz, 1H), 7.23 (d, J = 2.4 Hz, 1H), 7.12-6.96 (m, 4H), 6.86-6.74 (m, 2H), 5.81 (s, 1H), 3.86-3.76 (m, 1H), 2.91-2.81 (m, 1H), 1.65-1.45 (m, 2H), 1.34-1.22(m, 2H), 0.86 (t, J = 7.2 Hz, 3H); ¹³C NMR (75 MHz, CDCl₃+DMSO-d₆) δ: 152.39, 135.79, 135.21, 126.37, 125.37, 123.80, 121.89, 120.36, 120.32, 119.86, 117.98, 117.84, 115.59, 112.51, 110.56, 54.69, 42.84, 28.12, 18.76, 12.68; HRMS (ESI) calc. For C_{20}H_{22}N_{3}O [M + H]+ 320.1759, found 320.1757.

4-(1H-indol-3-yl)-3-isopropyl-3,4-dihydroquinazolin-2(1H)-one (4l)

Isolated as a white solid; m.p. 198-200 °C; IR (KBr) cm⁻¹: 3281, 3047, 2967, 1645. 1605, 1453, 755; ¹H NMR (300 MHz, CDCl₃+DMSO-d₆) δ: 10.35 (s, 1H), 8.80 (s, 1H), 7.70 (d, J = 7.7 Hz, 1H), 7.34 (d, J = 7.9 Hz, 1H), 7.27 (d, J = 2.6 Hz, 1H), 7.13-6.98 (m, 4H), 6.87-6.74 (m, 2H), 5.84 (s, 1H), 4.47-4.34 (m, 1H), 1.23 (d, J = 6.7 Hz, 3H), 1.02 (d, J = 6.7 Hz, 3H);
$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$) δ: 153.20, 135.78, 135.19, 126.52, 124.77, 123.79, 122.05, 121.17, 120.56, 120.26, 118.31, 118.11, 118.00, 112.80, 110.82, 52.08, 47.02, 20.00 19.27; HRMS (ESI) calc. For C$_{19}$H$_{20}$N$_3$O [M + H]$^+$ 306.1606, found 306.1600.

3-cyclopropyl-4-(1H-indol-3-yl)-3,4-dihydroquinazolin-2(1H)-one (4m)

Isolated as a white solid; m.p. 226-228 °C; IR (KBr) cm$^{-1}$: 3225, 3054, 2916, 1653, 1602, 1443, 739; $^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$) δ: 10.25 (s, 1H), 8.95 (s, 1H), 7.69 (d, $J$ = 7.9 Hz, 1H), 7.35 (d, $J$ = 7.9 Hz, 1H), 7.14-6.99 (m, 5H), 6.90-6.79 (m, 2H), 5.78 (s, 1H), 2.47-2.37 (m, 1H), 1.04-0.65 (m, 4H); $^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$) δ: 155.01, 136.07, 135.38, 127.51, 125.51, 124.98, 122.49, 122.23, 121.02, 118.83, 118.27, 115.73, 113.38, 111.10, 56.26, 27.70, 9.97, 5.59; HRMS (ESI) calc. For C$_{19}$H$_{18}$N$_3$O [M + H]$^+$ 304.1450, found 304.1444.

3-cyclohexyl-4-(1H-indol-3-yl)-3,4-dihydroquinazolin-2(1H)-one (4n)

Isolated as a white solid; m.p. 228-230 °C; IR (KBr) cm$^{-1}$: 3436, 3193, 3057, 2923, 1662, 1601, 1451, 747; $^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$) δ: 10.11 (s, 1H), 8.53 (s, 1H), 7.73 (d, $J$ = 7.7 Hz, 1H), 7.34 (d, $J$ = 7.5 Hz, 1H), 7.25 (d, $J$ = 2.2 Hz, 1H), 7.19-6.99 (m, 4H), 6.84-6.76 (m, 2H), 5.85 (s, 1H), 4.18-4.05 (m, 1H), 1.90-1.49 (m, 7H), 1.08-0.81 (m, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$) δ: 136.08, 135.46, 126.95, 124.17, 122.83, 121.33, 121.02, 120.87, 118.78, 118.57, 118.26, 113.25, 111.18, 55.38, 52.17, 30.80, 29.95, 29.09, 25.61, 25.57, 24.94; HRMS (ESI) calc. For C$_{22}$H$_{24}$N$_3$O [M + H]$^+$ 346.1920, found 346.1913.

3-(furan-2-ylmethyl)-4-(1H-indol-3-yl)-3,4-dihydroquinazolin-2(1H)-one (4o)

Isolated as a white solid; m.p. 140-142 °C; IR (KBr) cm$^{-1}$: 3306, 3201, 3116, 3050, 2913, 1654, 1607, 1460, 746; $^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$) δ: 10.13 (s, 1H), 8.77 (s, 1H), 7.54 (d, $J$ = 7.7 Hz, 1H), 7.42-7.37 (m, 2H), 7.27 (d, $J$ = 2.4 Hz, 1H), 7.16-6.96 (m, 3H), 6.94-6.81 (m, 2H), 6.78-6.70 (m, 1H), 6.35-6.29 (m, 1H), 6.27-6.21 (m, 1H), 5.82 (s, 1H), 5.26 (d, $J$ = 15.4 Hz, 1H), 3.83 (d, $J$ = 15.6 Hz, 1H); $^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
δ: 150.72, 141.72, 136.61, 135.33, 127.36, 124.22, 13.33, 121.41, 121.15, 120.83, 119.10, 118.75, 115.45, 113.46, 111.29, 109.82, 108.09, 54.75, 39.48; HRMS (ESI) calc. For C_{21}H_{17}N_{3}O_{2} [M + H]^+ 344.13928, found 344.13935.

4-(1H-indol-3-yl)-3-(pyridin-2-ylmethyl)-3,4-dihydroquinazolin-2(1H)-one (4p)
Isolated as a white solid; m.p. 152-154 °C; IR (KBr) cm\(^{-1}\): 3306, 3203, 3117, 3051, 2918, 1655, 1608, 1464, 746; \(^1\)H NMR (300 MHz, CDCl\(_3\)+DMSO-d\(_6\)) \(\delta\): 10.24 (s, 1H), 8.97 (s, 1H), 8.51 (d, \(J = 4.3\) Hz, 1H), 7.62-7.51 (m, 2H), 7.31-7.26 (m, 2H), 7.21-7.04 (m, 4H), 6.99-6.87 (m, 3H), 6.79-6.72 (m, 1H), 5.85 (s, 1H), 5.24 (d, \(J = 16.2\) Hz, 1H), 4.14 (d, \(J = 16.0\) Hz, 1H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)+DMSO-d\(_6\)) \(\delta\): 156.60, 152.32, 147.72, 135.81, 135.27, 135.00 126.42, 125.56, 123.66, 122.63, 120.72, 120.26, 120.13, 199.99, 119.92, 117.93, 117.84, 114.56, 112.62, 110.49, 54.96, 47.61; HRMS (ESI) calc. For C_{22}H_{19}N_{4}O \([M + H]^+\) 355.15489, found 355.15534.

3-benzyl-4-(2-methyl-1H-indol-3-yl)-3,4-dihydroquinazolin-2(1H)-one (4q)
Isolated as a white solid; m.p. 168-170 °C; IR (KBr) cm\(^{-1}\): 3316, 3201, 3050, 2917, 1654, 1606, 1466, 753; \(^1\)H NMR (500 MHz, CDCl\(_3\)+DMSO-d\(_6\)) \(\delta\): 9.61 (s, 1H), 8.45 (s, 1H), 7.42-7.38 (m, 1H), 7.30-7.18 (m, 6H), 7.08–7.01 (m, 2H), 6.96–6.92 (m, 1H), 6.82 (d, \(J = 8.5\) Hz, 1H), 6.71-6.64 (m, 2H), 5.76 (s, 1H), 5.38 (d, \(J = 15.4\) Hz, 1H), 3.63 (d, \(J = 15.4\) Hz, 1H), 2.20 (s, 3H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)+DMSO-d\(_6\)) \(\delta\): 152.62, 136.45, 135.04, 134.68, 132.50, 127.97, 126.66, 126.55, 125.98, 125.83, 125.31, 120.18, 119.94, 119.67, 118.00, 117.26, 112.62, 110.37, 109.67, 52.55, 45.27, 10.37; HRMS (ESI) calc. For C_{24}H_{21}N_{3}O \([M + H]^+\) 339.1492, found 339.1480.

3-benzyl-4-(5-methyl-1H-indol-3-yl)-3,4-dihydroquinazolin-2(1H)-one (4r)
Isolated as a white solid; m.p. 191-193 °C; IR (KBr) cm\(^{-1}\): 3286, 3035, 2921, 1642, 1607, 1464, 748; \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\): 8.12 (s, 1H), 7.34-7.23 (m, 7H), 7.13-7.06 (m, 2H), 7.03-7.00 (m, 1H), 6.91-6.87 (m, 1H), 6.82-6.75 (m, 2H), 5.69 (s, 1H), 5.45 (d, \(J = 15.4\) Hz, 1H), 2.41 (s, 3H); HRMS (ESI) calc. For C_{24}H_{23}N_{3}O \([M + H]^+\) 363.1680, found 363.1677.
Hz, 1H), 3.79 (d, J = 15.5 Hz, 1H), 2.39 (s, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$) δ: 152.67, 136.32, 134.81, 134.11, 127.28, 126.82, 126.54, 125.96, 125.50, 124.07, 122.44, 122.09, 120.17, 117.26, 114.16, 112.72, 110.39, 53.63, 45.38, 20.43; HRMS (ESI) calc. For C$_{24}$H$_{22}$N$_3$O [M + H]$^+$ 368.17645, found 368.17574.

3-benzyl-4-(5-methoxy-1H-indol-3-yl)-3,4-dihydroquinazolin-2(1H)-one (4s)
Isolated as a white solid; m.p. 138-140 °C; IR (KBr) cm$^{-1}$: 3218, 3052, 2923, 1656, 1603, 1459, 752; $^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$) δ: 10.19 (s, 1H), 9.12 (s, 1H), 7.37-7.23 (m, 6H), 7.20-7.15 (m, 1H), 7.12-7.02 (m, 1H), 7.00-6.95 (m, 1H), 6.93-6.83 (m, 2H), 6.82-6.73 (m, 2H), 5.61 (s, 1H), 5.38 (d, J = 15.4 Hz, 1H), 3.89-3.66 (m, 4H); $^{13}$C NMR (100 MHz, CDCl$_3$) δ: 154.45, 154.28, 137.10, 135.37, 134.44, 131.62, 129.72, 128.96, 128.56, 128.04, 127.96, 127.31, 126.93, 125.73, 123.63, 122.10, 121.14, 116.56, 113.75, 112.07, 100.95, 55.63, 54.54, 46.94; HRMS (ESI) calc. For C$_{24}$H$_{21}$N$_3$O$_2$ [M + H]$^+$ 384.17108, found 384.18323.

3-benzyl-4-(5-bromo-1H-indol-3-yl)-3,4-dihydroquinazolin-2(1H)-one (4t)
Isolated as a white solid; m.p. 236-238 °C; IR (KBr) cm$^{-1}$: 3226, 3036, 2894, 1639, 1605, 1465, 748; $^1$H NMR (500 MHz, CDCl$_3$+DMSO-d$_6$) δ: 10.54 (s, 1H), 8.95 (s, 1H), 7.58 (s, 1H), 7.33-7.16 (m, 8H), 7.08 (t, J = 7.6 Hz, 1H), 6.90 (d, J = 8.0 Hz, 1H), 6.83 (d, J = 7.7 Hz, 1H), 6.75 (t, J = 7.4 Hz, 1H), 5.60 (s, 1H), 5.37 (d, J = 15.4 Hz, 1H), 3.73 (d, J = 15.2 Hz, 1H); $^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$) δ: 152.76, 136.37, 135.12, 134.82, 127.73, 127.52, 126.94, 126.83, 126.23, 125.81, 125.76, 124.03, 123.44, 120.47, 119.87, 114.83, 113.04, 112.55, 111.49, 53.75, 45.67; HRMS (ESI) calc. For C$_{23}$H$_{18}$BrN$_3$O [M + H]$^+$ 339.1492, found 339.1480.

3-benzyl-4-(5-nitro-1H-indol-3-yl)-3,4-dihydroquinazolin-2(1H)-one (4u)
Isolated as a yellow solid; m.p. 265-267 °C; IR (KBr) cm$^{-1}$: 3393, 3201, 3125, 3064, 2920, 1661, 1602, 1331, 745; $^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$) δ: 11.25 (s, 1H), 9.23 (s,
1H), 8.01 (dd, J = 9.0, 2.0 Hz, 1H), 7.51-7.41 (m, 2H), 7.36-7.23 (m, 6H), 7.15-7.06 (m, 1H), 7.01-6.93 (m, 1H), 6.89-6.73 (m, 2H), 5.67 (s, 1H), 5.38 (d, J = 15.4 Hz, 1H), 3.76 (d, J = 15.4 Hz, 1H); 13C NMR (75 MHz, CDCl₃+DMSO-d₆) δ: 152.35, 139.93, 139.03, 136.04, 134.96, 127.25, 126.84, 126.49, 125.97, 125.89, 125.47, 122.95, 120.25, 119.27, 117.51, 115.84, 115.07, 112.92, 110.84, 53.34, 45.60; HRMS (ESI) calc. For C₂₃H₁₈N₄O₃ [M + H]+ 399.14925, found 399.14802.

3-benzyl-4-(7-methyl-1H-indol-3-yl)-3,4-dihydroquinazolin-2(1H)-one (4v)
Isolated as a white solid; m.p. 222-224 °C; IR (KBr) cm⁻¹: 3419, 3192, 3052, 2915, 1661, 1603, 1463, 756; 1H NMR (300 MHz, CDCl₃+DMSO-d₆) δ: 10.27 (s, 1H), 8.98 (s, 1H), 7.30-7.10 (m, 7H), 7.03-6.92 (m, 1H), 6.89-6.72 (m, 4H), 6.71-6.58 (m, 1H), 5.56 (s, 1H), 5.28 (d, J = 15.2 Hz, 1H), 3.66 (d, J = 15.2 Hz, 1H), 2.40 (s, 3H); 13C NMR (75 MHz, CDCl₃+DMSO-d₆) δ: 152.04, 136.10, 135.02, 134.60, 126.98, 126.16, 125.62, 125.25, 123.05, 121.89, 120.61, 119.72, 119.60, 117.95, 115.01, 114.83, 112.35, 53.52, 44.96, 15.39; HRMS (ESI) calc. For C₂₄H₂₁N₃O [M + Na]+ 390.15815, found 390.15768.

3-benzyl-4-(1H-indol-3-yl)-1-methyl-3,4-dihydroquinazolin-2(1H)-one (4w)
Isolated as a white solid; m.p. 188-190 °C; IR (KBr) cm⁻¹: 3286, 3029, 2923, 1635, 1598, 1458, 757; 1H NMR (400 MHz, CDCl₃) δ: 8.20 (s, 1H), 7.48-7.45 (m, 1H), 7.36-7.15 (m, 8H), 7.10-7.05 (m, 2H), 7.01-6.92 (m, 2H), 6.86 (td, J = 7.4, 0.8 Hz, 1H), 5.66 (s, 1H), 5.45 (d, J = 15.4 Hz, 1H), 3.88 (d, J = 15.4 Hz, 1H), 3.53 (s, 3H); 13C NMR (75 MHz, CDCl₃+DMSO-d₆) δ: 152.28, 136.48, 135.60, 127.13, 126.68, 126.25, 125.80, 125.26, 123.57, 122.09, 121.98, 120.39, 120.20, 119.95, 117.18, 113.95, 111.53, 110.53, 110.61, 53.06, 46.80, 29.01; HRMS (ESI) calc. For C₂₄H₂₁N₃O [M + Na]+ 390.15828, found 390.15768.

1-allyl-3-benzyl-4-(1H-indol-3-yl)-3,4-dihydroquinazolin-2(1H)-one (4x)
Isolated as a white solid; m.p. 198-200 °C; $^1$H NMR (500 MHz, CDCl$_3$) δ: 8.31 (s, 1H), 7.49 (d, J = 7.9 Hz, 1H), 7.35-7.23 (m, 6H), 7.21-7.13 (m, 3H), 7.10-7.04 (m, 2H), 7.01-6.97 (m, 1H), 6.94-6.91 (m, 1H), 6.83 (td, J = 7.3, 0.7 Hz, 1H), 6.07-5.98 (m, 1H), 5.66 (s, 1H), 5.48 (d, J = 15.4 Hz, 1H), 5.33-5.24 (m, 2H), 4.82-4.66 (m, 2H), 3.86 (d, J = 15.4 Hz, 1H); $^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$) δ: 152.79, 136.36, 135.86, 135.72, 132.36, 127.41, 126.66, 126.53, 126.09, 125.75, 123.91, 122.25, 122.20, 120.69, 120.53, 118.19, 117.53, 115.25, 114.37, 112.57, 110.84, 53.27, 47.04, 44.31; HRMS (ESI) calc. For C$_{26}$H$_{23}$N$_3$O [M + H]$^+$ 394.17641, found 394.17574.

1,3-dibenzyl-4-(1H-indol-3-yl)-3,4-dihydroquinazolin-2(1H)-one (4y)

Isolated as a white solid; m.p. 101-103 °C; IR (KBr) cm$^{-1}$: 3408, 3268, 3028, 2922, 1637, 1600, 1451, 745; $^1$H NMR (400 MHz, CDCl$_3$) δ: 8.19 (s, 1H), 7.54-7.45 (m, 1H), 7.42-7.23 (m, 11H), 7.22-7.16 (m, 1H), 7.12-6.95 (m, 4H), 6.88-6.77 (m, 2H), 5.72 (s, 1H), 5.53 (d, J = 15.4 Hz, 1H), 5.46-5.25 (m, 2H), 3.91 (d, J = 15.2 Hz, 1H); $^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$) δ: 152.86, 136.62, 136.26, 135.74, 135.59, 127.27, 126.49, 126.30, 125.91, 125.74, 125.61, 125.31, 123.69, 122.20, 121.91, 120.49, 120.34, 118.01, 117.40, 114.20, 112.39, 110.62, 53.28, 46.99, 45.28; HRMS (ESI) calc. For C$_{30}$H$_{25}$N$_3$O [M + Na]$^+$ 466.18990, found 466.18998.

3-benzyl-4-(1-methyl-1H-indol-3-yl)-3,4-dihydroquinazolin-2(1H)-one (4z)

Isolated as a white solid; m.p. 157-159 °C; $^1$H NMR (400 MHz, CDCl$_3$) δ: 7.99 (s, 1H), 7.57 (d, J = 7.9 Hz, 1H), 7.35-7.28 (m, 5H), 7.12-7.04 (m, 1H), 7.02 (s, 1H), 6.94-6.89 (m, 1H), 6.82-6.75 (m, 2H), 5.72 (s, 1H), 5.44 (d, J = 15.4 Hz, 1H), 3.85 (d, J = 15.4 Hz, 1H), 3.74 (s, 3H); $^{13}$C NMR (125 MHz, CDCl$_3$) δ: 154.49, 137.26, 137.22, 135.37, 128.54, 127.94, 127.33, 127.23, 126.73, 125.88, 121.99, 121.96, 121.57, 119.74, 119.26, 115.45, 113.90, 109.45, 54.44, 47.10, 32.79; HRMS (ESI) calc. For C$_{24}$H$_{21}$N$_3$O [M + Na]$^+$ 390.15841, found 390.15768.
3-(4-methoxybenzyl)-4-(1-methyl-1H-indol-3-yl)-3,4-dihydroquinazolin-2(1H)-one (4za)

Isolated as a white solid; m.p. 202-204 °C; IR (KBr) cm⁻¹: 3198, 3053, 2918, 1661, 1605, 1462, 1243, 745; ¹H NMR (400 MHz, CDCl₃) δ: 7.60-7.53 (m, 2H), 7.30-7.28 (m, 1H), 7.24-7.19 (m, 3H), 7.09 (t, J = 7.4 Hz, 2H), 7.02 (s, 1H), 6.92 (d, J = 7.3 Hz, 1H), 6.88-6.83 (m, 2H), 6.81-6.73 (m, 2H), 5.67 (s, 1H), 5.37 (d, J = 15.1 Hz, 1H), 3.81-3.73 (m, 7H); ¹³C NMR (75 MHz, CDCl₃+DMSO-d₆) δ: 158.27, 153.42, 136.77, 135.34, 128.94, 128.81, 128.72, 127.20, 126.77, 126.13, 125.17, 123.35, 120.87, 119.00, 118.79, 115.07, 113.35, 108.97, 54.68, 53.67, 45.62, 32.24; HRMS (ESI) calc. For C₂₅H₂₃N₃O₂ [M + H]⁺ 398.18738, found 398.18630.

3-benzyl-4-(2-hydroxynaphthalen-1-yl)-3,4-dihydroquinazolin-2(1H)-one (6a)

Isolated as a white solid; m.p. 133-135 °C; IR (KBr) cm⁻¹: 3597, 3327, 3061, 2922, 1647, 1605, 1473, 749; ¹H NMR (300 MHz, CDCl₃+DMSO-d₆) δ: 9.37 (s, 1H), 8.74-8.57 (m, 1H), 8.00-7.62 (m, 3H), 7.32-6.48 (m, 12H), 5.42-5.00 (m, 1H), 3.61-3.41 (m, 1H); ¹³C NMR (75 MHz, CDCl₃+DMSO-d₆) δ: 152.75, 136.67, 129.05, 128.18, 127.69, 127.07, 126.92, 126.55, 125.70, 125.49, 125.33, 122.04, 121.42, 120.31, 119.79, 117.01, 116.74, 112.52, 52.31, 45.93; HRMS (ESI) calc. For C₂₅H₂₀N₂O₂Na [M + Na]⁺ 403.1410, found 403.1417.

4-(2-hydroxynaphthalen-1-yl)-3-(4-methylbenzyl)-3,4-dihydroquinazolin-2(1H)-one (6b)

Isolated as a white solid; m.p. 122-124 °C; IR (KBr) cm⁻¹: 3215, 3052, 2920, 1651, 1604, 1466, 748; ¹H NMR (400 MHz, CDCl₃+DMSO-d₆) δ: 8.90 (s, 1H), 8.07-7.60 (m, 4H), 7.50-7.28 (m, 2H), 7.23-6.90 (m, 6H), 6.77-6.48 (m, 3H), 5.35 (d, J = 14.7 Hz, 1H), 3.45 (d, J = 15.0 Hz, 1H), 2.34 (s, 3H); ¹³C NMR (75 MHz, CDCl₃+DMSO-d₆) δ: 153.22, 135.60, 134.14, 129.63, 129.12, 128.84, 128.43, 128.15, 127.85, 127.08, 126.22, 125.99, 122.73, 122.04, 121.00, 120.53, 120.32, 118.81, 117.25, 112.98, 52.91, 46.31, 45.98, 20.54; HRMS (ESI) calc. For C₂₆H₂₃N₂O₂ [M + H]⁺ 395.1598, found 395.1597.
3-(4-(tert-butyl)benzyl)-4-(2-hydroxynaphthalen-1-yl)-3,4-dihydroquinazolin-2(1H)-one (6c)

Isolated as a white solid; m.p. 196-198 °C; IR (KBr) cm⁻¹: 3216, 2957, 1638, 1604, 1496, 1469, 1286, 748; ¹H NMR (300 MHz, CDCl₃+DMSO-d₆) δ: 9.51-9.31 (m, 1H), 8.78-8.64 (m, 1H), 8.00-7.61 (m, 3H), 7.43-6.47 (m, 12H), 5.38-4.84 (m, 1H), 3.70-3.38 (m, 1H), 1.33-1.24 (m, 9H); ¹³C NMR (75 MHz, CDCl₃+DMSO-d₆) δ: 153.18, 148.84, 135.42, 134.24, 133.98, 131.80, 129.67, 129.24, 127.55, 127.11, 126.85, 126.24, 125.97, 125.54, 124.64, 124.24, 122.84, 122.03, 121.93, 121.01, 120.54, 120.35, 118.82, 117.92, 117.24, 113.02, 112.66, 53.26, 46.58, 46.12, 33.80, 30.85, 21.73, 13.54; HRMS (ESI) calc. For C₂₉H₂₉N₂O₂ [M + H]⁺ 437.2211, found 437.2223.

4-(2-hydroxynaphthalen-1-yl)-3-(4-methoxybenzyl)-3,4-dihydroquinazolin-2(1H)-one (6d)

Isolated as a white solid; m.p. 136-138 °C; IR (KBr) cm⁻¹: 3217, 3056, 2925, 1653, 1604, 1511, 1465, 1244, 748; ¹H NMR (500 MHz, CDCl₃) δ: 8.75 (s, 1H), 8.00-7.63 (m, 4H), 7.46-7.32 (m, 1H), 7.20-6.92 (m, 4H), 6.86-6.63 (m, 5H), 6.50 (s, 1H), 5.35 (d, J = 15.1 Hz, 1H), 3.79 (s, 3H), 3.45 (d, J = 14.9 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃+DMSO-d₆) δ: 157.95, 157.65, 152.99, 135.29, 131.53, 1129.35, 128.98, 128.75, 128.66, 128.54, 127.96, 126.82, 126.61, 126.53, 125.88, 125.66, 122.48, 121.76, 120.63, 120.24, 119.94, 117.33, 117.04, 113.20, 113.02, 112.85, 112.75, 112.57, 112.35, 54.37, 52.48, 45.72; HRMS (ESI) calc. For C₂₆H₂₄N₂O₃ [M + H]⁺ 411.1700, found 411.1703.

4-(2-hydroxynaphthalen-1-yl)-3-(3,4,5-trimethoxybenzyl)-3,4-dihydroquinazolin-2(1H)-one (6e)

Isolated as a white solid; m.p. 142-144 °C; ¹H NMR (500 MHz, CDCl₃) δ: 8.71 (s, 1H), 8.00-7.65 (m, 4H), 7.49-7.31 (m, 2H), 7.11-6.97 (m, 2H), 6.89-6.84 (m, 3H), 6.50-6.18 (m, 2H), 5.27 (d, J = 13.8 Hz, 1H), 3.86-3.54 (m, 10H); ¹³C NMR (100 MHz, CDCl₃) δ: 154.70,
152.97, 137.02, 135.40, 132.97, 132.33, 130.15, 128.84, 128.41, 127.79, 126.97, 126.15, 122.78, 122.00, 121.16, 120.43, 119.08, 118.16, 113.62, 106.59, 105.62, 60.73, 56.10, 55.79, 53.93, 48.14; HRMS (ESI) calc. For C_{28}H_{27}N_{2}O_{5} [M + H]^+ 471.1907, found 471.1914.

3-(2-bromobenzyl)-4-(2-hydroxynaphthalen-1-yl)-3,4-dihydroquinazolin-2(1H)-one (6f)
Isolated as a white solid; m.p. 162-164 °C; IR (KBr) cm\(^{-1}\): 3220, 3056, 2920, 1653, 1603, 1466, 1436, 743; \(^1\)H NMR (300 MHz, CDCl\(_3\)) \(\delta\): 8.19-7.86 (m, 1H), 7.80-7.52 (m, 3H), 7.47-6.94 (m, 9H), 6.88-6.52 (m, 4H), 5.36-5.18 (m, 1H), 3.82 (d, \(J = 15.1\) Hz, 1H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)+DMSO-d\(_6\)) \(\delta\): 152.98, 135.11, 135.03, 131.15, 130.93, 129.06, 127.71, 127.34, 126.89, 126.61, 126.26, 125.48, 125.29, 121.95, 121.60, 121.34, 120.43, 119.61, 116.66, 116.54, 112.68, 52.67, 46.17; HRMS (ESI) calc. For C_{25}H_{20}N_{2}O_{2}BrNa [M + Na]^+ 481.0511, found 481.0522.

3-(4-fluorobenzyl)-4-(2-hydroxynaphthalen-1-yl)-3,4-dihydroquinazolin-2(1H)-one (6g)
Isolated as a white solid; m.p. 223-225 °C; IR (KBr) cm\(^{-1}\): 3218, 3055, 2921, 1651, 1603, 1510, 1466, 747; \(^1\)H NMR (300 MHz, CDCl\(_3\)+DMSO-d\(_6\)) \(\delta\): 9.60-9.49 (m, 1H), 9.20-8.56 (m, 1H), 7.93-7.63 (m, 3H), 7.46-6.45 (m, 12H), 5.27-4.85 (m, 1H), 3.66-3.45 (m, 1H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)+DMSO-d\(_6\)) \(\delta\): 153.31, 135.41, 133.02, 131.77, 129.72, 129.61, 129.50, 129.38, 128.78, 128.18, 127.13, 126.12, 125.93, 122.77, 122.04, 121.04, 120.50, 117.17, 114.55, 114.11, 113.83, 112.95, 114.31, 52.94, 46.12; HRMS (ESI) calc. For C_{25}H_{20}N_{2}O_{2}F [M + H]^+ 399.1504, found 399.1504.

4-(2-hydroxynaphthalen-1-yl)-3,4-dihydroquinazolin-2(1H)-one (6h)
Isolated as a white solid; m.p. 193-195 °C; IR (KBr) cm\(^{-1}\): 3769, 3413, 2923, 2856, 1658, 1389, 1254, 1094, 742; \(^1\)H NMR (300 MHz, CDCl\(_3\)+DMSO-d\(_6\)) \(\delta\): 9.51 (s, 1H), 8.77 (s, 1H), 7.95 (s, 1H), 7.76-7.66 (m, 2H), 7.28-6.57 (m, 8H), 5.65 (s, 1H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)+DMSO-d\(_6\)) \(\delta\): 152.80, 135.98, 131.29, 128.82, 127.51, 126.48, 124.73, 121.30,
120.56, 120.37, 117.27, 112.85, 48.48; HRMS (ESI) calc. For C$_{18}$H$_{13}$N$_{2}$O$_{2}$ [M + H]$^+$ 289.0963, found 289.0971.

4-(2-hydroxynaphthalen-1-yl)-3-methyl-3,4-dihydroquinazolin-2(1H)-one (6i)
Isolated as a white solid; m.p. 222-224 °C; $^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$) δ: 9.62-9.35 (m, 1H), 8.84-8.61 (m, 1H), 7.89-7.54 (m, 3H), 7.37-6.97 (m, 4H), 6.89-6.60 (m, 4H), 2.70 (s, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$) δ: 153.24, 136.52, 131.54, 129.50, 128.68, 128.09, 127.06, 125.83, 122.59, 121.97, 120.87, 120.48, 117.21, 116.95, 112.91, 55.07, 31.12; HRMS (ESI) calc. For C$_{19}$H$_{15}$N$_{2}$O$_{2}$ [M + H]$^+$ 303.1125, found 303.1128.

3-ethyl-4-(2-hydroxynaphthalen-1-yl)-3,4-dihydroquinazolin-2(1H)-one (6j)
Isolated as a white solid; m.p. 174-176 °C; IR (KBr) cm$^{-1}$: 3218, 2955, 2926, 2868, 1642, 1603, 1466, 745; $^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$) δ: 9.61-9.20 (m, 1H), 8.57-8.40 (m, 1H), 7.96-7.77 (m, 1H), 7.72-7.55 (m, 2H), 7.38-7.10 (m, 3H), 7.07-6.89 (m, 2H), 6.82-6.61 (m, 3H), 3.73-3.56 (m, 1H), 2.78-2.68 (m, 1H), 1.01 (t, $J = 6.9$ Hz, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$) δ: 152.57, 151.69, 135.22, 131.01, 128.67, 127.90, 127.41, 126.25, 125.09, 124.87, 122.20, 121.17, 119.85, 116.80, 116.55, 112.09, 51.60, 37.61, 10.78; HRMS (ESI) calc. For C$_{20}$H$_{16}$N$_{2}$O$_{2}$Na [M + Na]$^+$ 339.1098, found 339.1104.

3-butyl-4-(2-hydroxynaphthalen-1-yl)-3,4-dihydroquinazolin-2(1H)-one (6k)
Isolated as a yellow solid; m.p. 156-158 °C; IR (KBr) cm$^{-1}$: 3216, 2955, 2926, 2868, 1642, 1603, 1466, 745; $^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$) δ: 9.61-9.20 (m, 1H), 8.67-8.35 (m, 1H), 7.95-7.55 (m, 3H), 7.41-6.93 (m, 5H), 6.83-6.59 (m, 3H), 3.77-3.51 (m, 1H), 2.65-2.54 (m, 1H), 1.64-1.50 (m, 1H), 1.32-1.12 (m, 3H), 0.77 (t, $J = 7.2$ Hz, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$) δ: 153.34, 153.15, 135.64, 129.64, 128.86, 128.21, 127.14, 126.17, 125.95, 123.10, 122.12, 121.01, 120.79, 117.61, 117.26, 112.89, 52.95, 43.59, 28.10, 19.53, 13.34; HRMS (ESI) calc. For C$_{23}$H$_{21}$N$_{2}$O$_{2}$ [M + H]$^+$ 345.1593, found 345.1597.

3-cyclopropyl-4-(2-hydroxynaphthalen-1-yl)-3,4-dihydroquinazolin-2(1H)-one (6l)
Isolated as a white solid; m.p. 152-154 °C; IR (KBr) cm$^{-1}$: 3240, 2926, 2853, 1645, 1603, 1461, 746; $^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$) δ: 9.60 (s, 1H), 9.11-8.76 (m, 1H), 8.49-7.49 (m, 3H), 7.37-6.60 (m, 7H), 2.15-1.86 (m, 1H), 0.92-0.62 (m, 3H), 0.40-0.17 (m, 1H); $^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$) δ: 155.01, 154.26, 135.81, 132.49, 128.84, 128.14, 127.60, 126.60, 126.24, 125.19, 121.69, 120.80, 120.44, 120.22, 118.71, 118.42, 117.40, 112.71, 55.28, 26.96, 9.55, 8.90, 5.26, 4.96; HRMS (ESI) calc. For C$_{21}$H$_{19}$N$_2$O$_2$ [M + H]$^+$ 331.1436, found 331.1441.

3-cyclohexyl-4-(2-hydroxynaphthalen-1-yl)-3,4-dihydroquinazolin-2(1H)-one (6m)
Isolated as a yellow solid; m.p. 155-157 °C; IR (KBr) cm$^{-1}$: 3240, 2926, 2853, 1645, 1603, 1490, 1461, 1377, 1289, 746; $^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$) δ: 9.54-9.32 (m, 1H), 8.40-8.29 (m, 1H), 8.11-7.52 (m, 3H), 7.39-6.58 (m, 7H), 3.85-3.39 (m, 1H), 2.15-0.67 (m, 10H); $^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$) δ: 154.69, 152.59, 151.69, 135.07, 131.93, 129.13, 128.78, 128.62, 128.34, 128.07, 126.80, 126.58, 125.85, 125.05, 124.97, 123.01, 121.88, 121.64, 121.12, 121.03, 120.65, 120.32, 120.04, 118.70, 117.21, 112.52, 112.27, 57.22, 52.54, 29.79, 29.61, 29.07, 28.96, 25.29, 24.85; HRMS (ESI) calc. For C$_{24}$H$_{23}$N$_2$O$_2$ [M + H]$^+$ 371.1753, found 371.1754.

4-(2-hydroxynaphthalen-1-yl)-1-methyl-3,4-dihydroquinazolin-2(1H)-one (6n)
Isolated as a white solid; m.p. 212-214 °C; $^1$H NMR (400 MHz, CDCl$_3$+DMSO-d$_6$) δ: 9.86 (s, 1H), 7.98-7.67 (m, 3H), 7.37-7.14 (m, 4H), 7.02-6.91 (m, 1H), 6.88-6.68 (m, 2H), 6.64-6.44 (m, 2H), 3.40 (s, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$) δ: 153.37, 152.69, 137.56, 130.91, 128.51, 127.14, 126.35, 124.15, 120.89, 120.10, 116.82, 112.22, 47.18, 27.99; HRMS (ESI) calc. For C$_{19}$H$_{17}$N$_2$O$_2$ [M + H]$^+$ 305.1276, found 305.1284.

1-hexyl-4-(2-hydroxynaphthalen-1-yl)-3,4-dihydroquinazolin-2(1H)-one (6o)
Isolated as a white solid; m.p. 223-225 °C; IR (KBr) cm$^{-1}$: 3331, 2956, 2928, 2849, 1662, 1467, 746; $^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$) δ: 9.48 (s, 1H), 7.95 (s, 1H), 7.77-7.66
(m, 2H), 7.38-7.13 (m, 4H), 6.99-6.90 (m, 1H), 6.84-6.69 (m, 2H), 5.69 (s, 1H), 4.11-3.88 (m, 2H), 1.84-1.70 (m, 2H), 1.49-1.33 (m, 6H), 0.92 (t, \(J = 6.8\) Hz, 3H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)+DMSO-d\(_6\)) \(\delta\): 153.72, 137.39, 131.88, 129.80, 128.13, 127.34, 125.77, 122.07, 121.23, 112.44, 41.59, 31.11, 29.09, 26.87, 26.10, 22.11, 13.57; HRMS (ESI) calc. For C\(_{24}\)H\(_{27}\)N\(_2\)O\(_2\) [M + H]\(^{+}\) 375.2057, found 375.2067.

1-allyl-4-(2-hydroxynaphthalen-1-yl)-3,4-dihydroquinazolin-2(1H)-one (6p)
Isolated as a white solid; m.p. 215-217 °C; IR (KBr) cm\(^{-1}\): 3222, 2924, 1660, 1600, 1469, 1437, 1293, 751; \(^1\)H NMR (300 MHz, CDCl\(_3\)+DMSO-d\(_6\)) \(\delta\): 9.47 (s, 1H), 8.05-7.89 (m, 1H), 7.78-7.67 (m, 3H), 7.35-7.10 (m, 4H), 6.98-6.58 (m, 4H), 6.09-5.92 (m, 1H), 5.76 (s, 1H), 5.43-5.19 (m, 2H), 4.80-4.53 (m, 2H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)+DMSO-d\(_6\)) \(\delta\): 153.23, 153.03, 136.83, 132.57, 131.25, 128.87, 127.50, 126.44, 124.85, 121.28, 120.46, 117.26, 114.88, 112.37, 47.76, 43.16; HRMS (ESI) calc. For C\(_{21}\)H\(_{19}\)N\(_2\)O\(_2\) [M + H]\(^{+}\) 331.1430, found 331.1441.

1-benzyl-4-(2-hydroxynaphthalen-1-yl)-3,4-dihydroquinazolin-2(1H)-one (6q)
Isolated as a white solid; m.p. 112-114 °C; \(^1\)H NMR (300 MHz, CDCl\(_3\)+DMSO-d\(_6\)) \(\delta\): 9.54 (s, 1H), 8.05-7.67 (m, 3H), 7.48-7.19 (m, 7H), 7.08-6.62 (m, 4H), 5.86 (s, 1H), 5.27 (dd, \(J = 41.1, 25.1\) Hz, 2H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)+DMSO-d\(_6\)) \(\delta\): 153.60, 137.35, 131.87, 129.52, 128.11, 127.08, 126.35, 126.06, 125.60, 123.42, 121.93, 121.18, 117.93, 113.06, 48.48, 44.91; HRMS (ESI) calc. For C\(_{25}\)H\(_{19}\)N\(_2\)O\(_2\) [M + H]\(^{+}\) 379.1439, found 379.1441.

3-benzyl-4-(1H-pyrrol-2-yl)-3,4-dihydroquinazolin-2(1H)-one (8)
Isolated as a white solid; m.p. 113-115 °C; IR (KBr) cm\(^{-1}\): 3251, 3119, 3056, 2921, 2852, 1654, 1604, 1468; \(^1\)H NMR (500 MHz, CDCl\(_3\)) \(\delta\): 8.58-8.43 (m, 2H), 7.35-7.23 (m, 5H), 7.12-7.04 (m, 1H), 6.91-6.81 (m, 2H), 6.77-6.72 (m, 1H), 6.64-6.58 (m, 1H), 6.18-6.13 (m, 1H), 6.11-6.07 (m, 1H), 5.42 (s, 1H), 5.26 (d, \(J = 15.2\) Hz, 1H), 3.82 (d, \(J = 15.4\) Hz, 1H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\): 154.48, 136.64, 135.20, 130.80, 128.59, 128.32, 127.70, 127.32,
127.06, 122.17, 119.82, 119.49, 114.29, 108.20, 107.48, 55.65, 46.88; HRMS (ESI) calc. For C$_{25}$H$_{19}$N$_{2}$O$_{2}$ [M + H]$^+$ 379.1439, found 379.1441; HRMS (ESI) calc. For C$_{10}$H$_{17}$N$_{3}$O [M + H]$^+$ 304.14458, found 304.14444.
$^{1}\text{H}$ & $^{13}\text{C}$ NMR spectra of 4a

$^{1}\text{H}$ NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}\text{C}$ NMR (100 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 4b

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 4c

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (125 MHz, CDCl$_3$+DMSO-d$_6$)
^1H & ^13C NMR spectra of 4d

^1H NMR (300 MHz, CDCl\textsubscript{3}+DMSO-d\textsubscript{6})

^13C NMR (75 MHz, CDCl\textsubscript{3}+DMSO-d\textsubscript{6})
$^1$H & $^{13}$C NMR spectra of 4e

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 4f

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 4g

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 4h

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (125 MHz, CDCl$_3$+DMSO-d$_6$)
$^{1}H$ & $^{13}C$ NMR spectra of 4i

$^{1}H$ NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}C$ NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^{1}H$ & $^{13}C$ NMR spectra of 4j

$^{1}H$ NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}C$ NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 4k

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 4l

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 4m

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 4n

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 4o

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^{1}$H & $^{13}$C NMR spectra of 4p

$^{1}$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1\text{H}$ & $^{13}\text{C}$ NMR spectra of 4q

$^1\text{H}$ NMR (500 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}\text{C}$ NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 4r

$^1$H NMR (400 MHz, CDCl$_3$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 4s

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (100 MHz, CDCl$_3$)
$^1$H & $^{13}$C NMR spectra of 4t

$^1$H NMR (500 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 4u

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 4v

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 4w

$^1$H NMR (400 MHz, CDCl$_3$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^{1}H$ & $^{13}C$ NMR spectra of 4x

$^{1}H$ NMR (500 MHz, CDCl$_3$)

$^{13}C$ NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 4y

$^1$H NMR (400 MHz, CDCl$_3$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 4z

$^1$H NMR (400 MHz, CDCl$_3$)

$^{13}$C NMR (125 MHz, CDCl$_3$)
$^{1}$H & $^{13}$C NMR spectra of 4za

$^{1}$H NMR (400 MHz, CDCl$_3$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 6a

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-$d_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-$d_6$)
$^1$H & $^{13}$C NMR spectra of 6b

$^1$H NMR (400 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 6c

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 6d

$^1$H NMR (500 MHz, CDCl$_3$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 6e

$^1$H NMR (500 MHz, CDCl$_3$)

$^{13}$C NMR (100 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 6f

$^1$H NMR (300 MHz, CDCl$_3$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
\( ^{1}H \) & \( ^{13}C \) NMR spectra of 6g

\( ^{1}H \) NMR (300 MHz, CDCl\(_3\)+DMSO-d\(_6\))

\( ^{13}C \) NMR (75 MHz, CDCl\(_3\)+DMSO-d\(_6\))
$^1$H & $^{13}$C NMR spectra of 6h

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1\text{H} \text{ & } ^{13}\text{C} \text{ NMR spectra of 6i}$

$^1\text{H} \text{ NMR (300 MHz, CDCl}_3{+\text{DMSO-d}_6})$

$^{13}\text{C} \text{ NMR (75 MHz, CDCl}_3{+\text{DMSO-d}_6})$
$^1$H & $^{13}$C NMR spectra of 6j

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 6k

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)
$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)

$^1$H & $^{13}$C NMR spectra of 6l

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
\(^1\)H & \(^{13}\)C NMR spectra of 6m

\(^1\)H NMR (300 MHz, CDCl\(_3\)+DMSO-d\(_6\))

\(^{13}\)C NMR (75 MHz, CDCl\(_3\)+DMSO-d\(_6\))
$^1$H & $^{13}$C NMR spectra of 6n

$^1$H NMR (400 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 60

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 6p

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 6q

$^1$H NMR (300 MHz, CDCl$_3$+DMSO-d$_6$)

$^{13}$C NMR (75 MHz, CDCl$_3$+DMSO-d$_6$)
$^1$H & $^{13}$C NMR spectra of 8

$^1$H NMR (500 MHz, CDCl$_3$)

$^{13}$C NMR (100 MHz, CDCl$_3$)