

Supporting Information
for
Gold-catalyzed post-Ugi alkyne hydroarylation for the
synthesis of 2-quinolones

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Full experimental procedures and spectroscopic characterizations, as well
as the copies of ¹H and ¹³C NMR spectra of Ugi products **7** and final
2-quinolones **8**

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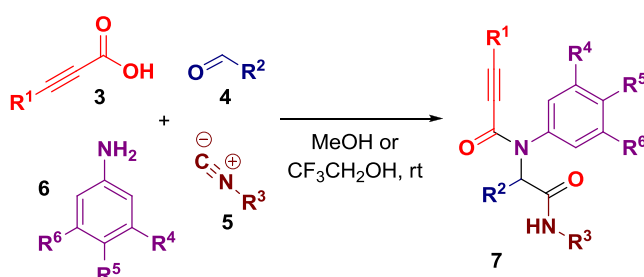
General remarks

Unless otherwise specified, the starting materials and solvents were purchased from commercial sources and used as received. Melting points were measured using INESA WRR apparatus. Infrared (FTIR) spectra were recorded neat on a Bruker Vertex 70. ^1H NMR (400 MHz) and ^{13}C NMR (100 MHz) spectra were recorded using a Bruker Avance III HD instrument. The ^1H NMR and ^{13}C NMR chemical shifts are reported relative to TMS using the residual CDCl_3 signal as internal reference. HRMS were performed on a Bruker micrOTF-Q III.

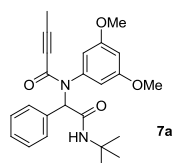
Synthesis of starting materials

1-Isocyano-4-methylbenzene (5c) was synthesized following the reported procedure [1].

General procedure for the Ugi reaction



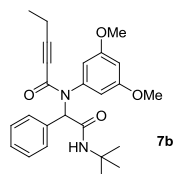
Aniline **6** (1.5 mmol) and 3-substituted propiolic acid **3** (1.5 mmol) were dissolved in MeOH (7.5 mL) followed by the addition of aldehyde **4** (1.5 mmol) and isocyanide **5** (1.5 mmol). The reaction mixture was sealed and stirred at room temperature for 24 h. The resulting mixture was diluted with EtOAc and concentrated with silica. Column chromatography with petroleum ether/EtOAc or petroleum ether/DCM (the ratio was adjusted according to TLC) as eluent delivered Ugi adduct **7**. For the synthesis of Ugi adducts **7c** and **7d**, $\text{CF}_3\text{CH}_2\text{OH}$ was used as the solvent instead of MeOH. For the synthesis of Ugi adducts **7m** and **7n**, the order of addition was as follows: isocyanide **5** (1.5 mmol) was dissolved in MeOH (7.5 mL) followed by the addition of aniline **6** (1.5 mmol), 3-substituted propiolic acid **3** (1.5 mmol) and aldehyde **4** (1.5 mmol).



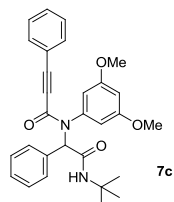
***N*-(2-(*tert*-Butylamino)-2-oxo-1-phenylethyl)-*N*-(3,5-dimethoxyphenyl)but-2-ynamide (7a)**. Yield: 67%; yellow solid; mp 188-189°C; ^1H NMR (400 MHz, CDCl_3): δ 7.26-7.14 (m, 5H), 6.32 (s, 3H), 5.85 (s, 1H), 5.65 (bs, 1H), 3.64 (s, 6H), 1.74 (s, 3H), 1.33 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3): δ

¹ Leifert, D.; Artiukhin, D. G.; Neugebauer, J.; Galstyan, A.; Strassert, C. A.; Studer, A. *Chem. Commun.* **2016**, 52, 5997–6000 (Supporting Information).

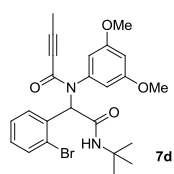
168.0, 160.3, 154.9, 141.5, 134.5, 130.3, 128.6, 128.5, 108.7, 101.1, 91.1, 74.1, 65.9, 55.6, 51.8, 28.7, 4.2; HRMS (ESI, $[M+Na]^+$) for $C_{24}H_{28}N_2O_4Na^+$ calcd 431.1941; found 431.1975.



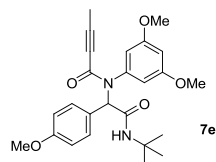
***N*-(2-(*tert*-Butylamino)-2-oxo-1-phenylethyl)-*N*-(3,5-dimethoxyphenyl)pent-2-ynamide (7b).** Yield: 52%; yellow solid; mp 183-184°C; 1H NMR (400 MHz, $CDCl_3$): δ 7.26-7.16 (m, 5H), 6.38-6.29 (m, 3H), 5.87 (s, 1H), 5.65 (bs, 1H), 3.64 (s, 6H), 2.08 (q, $J = 7.5$ Hz, 2H), 1.34 (s, 9H), 0.87 (t, $J = 7.3$ Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 168.0, 160.3, 155.0, 141.7, 134.5, 130.3, 128.6, 128.5, 108.8, 101.1, 96.2, 74.4, 65.8, 55.6, 51.8, 28.7, 12.64, 12.62; HRMS (ESI, $[M+H]^+$) for $C_{25}H_{31}N_2O_4^+$ calcd 423.2278; found 423.2294.



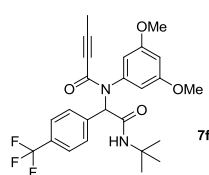
***N*-(2-(*tert*-Butylamino)-2-oxo-1-phenylethyl)-*N*-(3,5-dimethoxyphenyl)-3-phenylpropiolamide (7c).** Yield: 62%; yellow solid; mp 93-94°C; 1H NMR (400 MHz, $CDCl_3$): δ 7.38-7.19 (m, 8H), 7.17-7.09 (m, 2H), 6.48-6.34 (m, 3H), 5.93 (s, 1H), 5.65 (bs, 1H), 3.65 (s, 6H), 1.36 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 167.9, 160.4, 155.0, 141.5, 134.4, 132.7, 130.4, 130.1, 128.7, 128.6, 128.4, 120.6, 108.9, 101.4, 91.9, 82.6, 65.9, 55.6, 51.8, 28.7; HRMS (ESI, $[M+H]^+$) for $C_{29}H_{31}N_2O_4^+$ calcd 471.2278; found 471.2289.



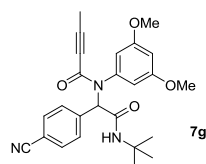
***N*-(1-(2-Bromophenyl)-2-(*tert*-butylamino)-2-oxoethyl)-*N*-(3,5-dimethoxyphenyl)but-2-ynamide (7d).** Yield: 67%; white solid; mp 159-160°C; 1H NMR (400 MHz, $CDCl_3$): δ 7.53-7.46 (m, 1H), 7.26-7.18 (m, 1H), 7.10-7.01 (m, 2H), 6.50 (bs, 2H), 6.25 (t, $J = 2.1$ Hz, 1H), 6.21 (s, 1H), 5.55 (s, 1H), 3.65 (s, 6H), 1.74 (s, 3H), 1.36 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.8, 160.2, 154.8, 140.7, 133.9, 132.8, 132.1, 130.2, 127.4, 126.4, 108.5, 101.3, 90.9, 74.0, 64.3, 55.6, 52.0, 28.7, 4.2; HRMS (ESI, $[M+H]^+$) for $C_{24}H_{28}BrN_2O_4^+$ calcd 487.1227; found 487.1236.



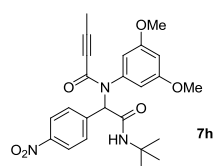
***N*-(2-(*tert*-Butylamino)-1-(4-methoxyphenyl)-2-oxoethyl)-*N*-(3,5-dimethoxyphenyl)but-2-ynamide (7e).** Yield: 83%; white solid; mp 163-164°C; ^1H NMR (400 MHz, CDCl_3): δ 7.09 (d, $J = 8.5$ Hz, 2H), 6.74 (d, $J = 8.7$ Hz, 2H), 6.37-6.27 (m, 3H), 5.82 (s, 1H), 5.63 (bs, 1H), 3.75 (s, 3H), 3.66 (s, 6H), 1.73 (s, 3H), 1.33 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3): δ 168.3, 160.3, 159.7, 154.9, 141.5, 131.7, 126.4, 113.8, 108.8, 101.1, 90.9, 74.2, 65.1, 55.6, 55.4, 51.7, 28.7, 4.2; HRMS (ESI, $[\text{M}+\text{H}]^+$) for $\text{C}_{25}\text{H}_{31}\text{N}_2\text{O}_5^+$ calcd 439.2227; found 439.2239.



***N*-(2-(*tert*-Butylamino)-2-oxo-1-(4-(trifluoromethyl)phenyl)ethyl)-*N*-(3,5-dimethoxyphenyl)but-2-ynamide (7f).** Yield: 32%; white solid; mp 199-200°C; ^1H NMR (400 MHz, CDCl_3): δ 7.49 (d, $J = 8.2$ Hz, 2H), 7.35 (d, $J = 8.1$ Hz, 2H), 6.35 (t, $J = 2.2$ Hz, 1H), 6.27 (bs, 2H), 5.95-5.85 (m, 2H), 3.66 (s, 6H), 1.76 (bs, 3H), 1.36 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3): δ 167.4, 160.6, 155.0, 141.0, 138.3, 130.63, 130.61 (q, $J = 32.4$ Hz), 125.26 (q, $J = 3.7$ Hz), 124.0 (q, $J = 272.2$ Hz), 108.5, 101.2, 91.8, 73.8, 65.2, 55.6, 51.9, 28.7, 4.2; HRMS (ESI, $[\text{M}+\text{H}]^+$) for $\text{C}_{25}\text{H}_{28}\text{F}_3\text{N}_2\text{O}_4^+$ calcd 477.1996; found 477.2009.

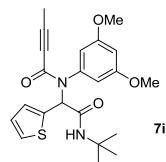


***N*-(2-(*tert*-Butylamino)-1-(4-cyanophenyl)-2-oxoethyl)-*N*-(3,5-dimethoxyphenyl)but-2-ynamide (7g).** Yield: 26%; yellow solid; mp 240-241°C; ^1H NMR (400 MHz, CDCl_3): δ 7.52 (d, $J = 8.3$ Hz, 2H), 7.36 (d, $J = 8.2$ Hz, 2H), 6.36 (t, $J = 2.0$ Hz, 1H), 6.30-6.25 (m, 2H), 5.98 (bs, 1H), 5.88 (s, 1H), 3.68 (s, 6H), 1.77 (bs, 3H), 1.36 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3) δ 167.2, 160.7, 155.0, 140.9, 139.5, 132.0, 130.9, 118.5, 112.4, 108.4, 101.0, 92.2, 73.7, 65.1, 55.6, 52.0, 28.7, 4.2; HRMS (ESI, $[\text{M}+\text{H}]^+$) for $\text{C}_{25}\text{H}_{28}\text{N}_3\text{O}_4^+$ calcd 434.2074; found 434.2084.



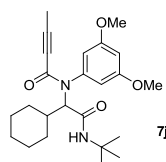
***N*-(2-(*tert*-Butylamino)-1-(4-nitrophenyl)-2-oxoethyl)-*N*-(3,5-dimethoxyphenyl)but-2-ynamide (7h).** Yield: 29%; white solid; mp 197-198°C; ^1H NMR (400 MHz, CDCl_3): δ 8.08 (d, $J = 8.8$ Hz, 2H),

7.43 (d, $J = 8.7$ Hz, 2H), 6.36 (t, $J = 2.1$ Hz, 1H), 6.32-6.27 (m, 2H), 6.03 (bs, 1H), 5.92 (s, 1H), 3.69 (s, 6H), 1.77 (bs, 3H), 1.37 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3): δ 167.1, 160.7, 155.1, 147.8, 141.4, 140.9, 131.2, 123.4, 108.4, 101.0, 92.4, 73.7, 64.9, 55.6, 52.1, 28.7, 4.2; HRMS (ESI, $[\text{M}+\text{H}]^+$) for $\text{C}_{24}\text{H}_{28}\text{N}_3\text{O}_6^+$ calcd 454.1973; found 454.1992.



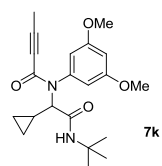
***N*-(2-(*tert*-Butylamino)-2-oxo-1-(thiophen-2-yl)ethyl)-*N*-(3,5-dimethoxyphenyl)but-2-ynamide (7i).**

Yield: 48%; yellow solid; mp 161-162°C; ^1H NMR (400 MHz, CDCl_3): δ 7.27-7.23 (m, 1H), 7.04-6.97 (m, 1H), 6.90 (dd, $J = 5.1, 3.6$ Hz, 1H), 6.38 (t, $J = 2.2$ Hz, 1H), 6.29 (d, $J = 2.0$ Hz, 2H), 6.14 (s, 1H), 6.09 (bs, 1H), 3.68 (s, 6H), 1.75 (s, 3H), 1.36 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3): δ 167.1, 160.5, 154.6, 141.1, 135.7, 129.8, 128.1, 126.2, 108.1, 101.4, 91.6, 73.9, 60.5, 55.6, 51.8, 28.7, 4.2; HRMS (ESI, $[\text{M}+\text{H}]^+$) for $\text{C}_{22}\text{H}_{27}\text{N}_2\text{O}_4\text{S}^+$ calcd 415.1686; found 415.1695.



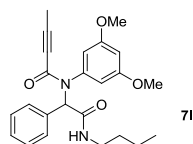
***N*-(2-(*tert*-Butylamino)-1-cyclohexyl-2-oxoethyl)-*N*-(3,5-dimethoxyphenyl)but-2-ynamide (7j).**

Yield: 48%; yellow solid; mp 122-123°C; ^1H NMR (400 MHz, CDCl_3): δ 6.82 (bs, 1H), 6.47 (d, $J = 2.1$ Hz, 2H), 6.43 (t, $J = 2.2$ Hz, 1H), 4.12 (d, $J = 9.8$ Hz, 1H), 3.78 (s, 6H), 2.20-2.06 (m, 1H), 1.94-1.85 (m, 1H), 1.82-1.58 (m, 7H), 1.35 (s, 9H), 1.31-1.07 (m, 3H), 1.02-1.84 (m, 2H); ^{13}C NMR (100 MHz, CDCl_3): δ 169.1, 160.6, 155.7, 142.7 (bs), 107.3, 100.7, 91.6, 74.3, 70.5 (bs), 55.6, 51.2, 36.0, 30.4, 30.0, 28.8, 26.5, 25.7, 25.6, 4.2; HRMS (ESI, $[\text{M}+\text{H}]^+$) for $\text{C}_{24}\text{H}_{35}\text{N}_2\text{O}_4^+$ calcd 415.2591; found 415.2599.

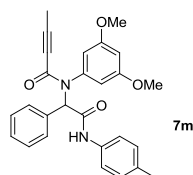


***N*-(2-(*tert*-Butylamino)-1-cyclopropyl-2-oxoethyl)-*N*-(3,5-dimethoxyphenyl)but-2-ynamide (7k).**

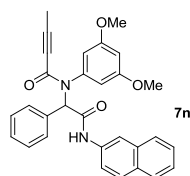
Yield: 54%; white solid; mp 163-164°C; ^1H NMR (400 MHz, CDCl_3): δ 6.52 (d, $J = 2.0$ Hz, 2H), 6.46 (t, $J = 1.9$ Hz, 1H), 6.35 (bs, 1H), 4.01 (d, $J = 10.6$ Hz, 1H), 3.78 (s, 6H), 1.77 (s, 3H), 1.38 (s, 9H), 1.10-0.96 (m, 1H), 0.76-0.65 (m, 1H), 0.55-0.44 (m, 1H), 0.43-0.27 (m, 2H); ^{13}C NMR (100 MHz, CDCl_3): δ 168.9, 160.6, 155.2, 141.0, 108.1, 101.1, 91.6, 73.9, 65.6, 55.6, 51.4, 28.8, 10.4, 5.9, 4.2; HRMS (ESI, $[\text{M}+\text{H}]^+$) for $\text{C}_{21}\text{H}_{29}\text{N}_2\text{O}_4^+$ calcd 373.2122; found 373.2134.



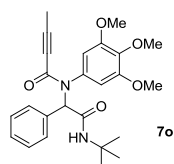
***N*-(2-(Butylamino)-2-oxo-1-phenylethyl)-*N*-(3,5-dimethoxyphenyl)but-2-ynamide (7l).** Yield: 56%; white solid; mp 125-126°C; ¹H NMR (400 MHz, CDCl₃): δ 7.27-7.16 (m, 5H), 6.36 (bs, 2H), 6.33 (t, *J* = 2.2 Hz, 1H), 5.89 (s, 1H), 5.75 (bt, *J* = 5.3 Hz, 1H), 3.66 (s, 6H), 3.32-3.24 (m, 2H), 1.74 (s, 3H), 1.51-1.40 (m, 2H), 1.35-1.22 (m, 2H), 0.88 (t, *J* = 7.3 Hz, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 168.9, 160.3, 154.9, 141.6, 134.3, 130.2, 128.7, 128.6, 108.6, 101.2, 91.1, 74.1, 65.7, 55.6, 39.8, 31.6, 20.1, 13.8, 4.2; HRMS (ESI, [M+H]⁺) for C₂₄H₂₉N₂O₄⁺ calcd 409.2122; found 409.2132.



***N*-(3,5-Dimethoxyphenyl)-*N*-(2-oxo-1-phenyl-2-(*p*-tolylamino)ethyl)but-2-ynamide (7m).** Yield: 77%; yellow solid; mp 202-203°C; ¹H NMR (400 MHz, CDCl₃): δ 7.67 (bs, 1H), 7.36 (d, *J* = 8.3 Hz, 2H), 7.30-7.24 (m, 5H), 7.10 (d, *J* = 8.3 Hz, 2H), 6.40-6.31 (m, 3H), 6.10 (s, 1H), 3.65 (s, 6H), 2.30 (s, 3H), 1.76 (s, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 167.2, 160.4, 155.2, 141.2, 135.3, 134.1, 133.7, 130.3, 129.5, 128.9, 128.7, 120.2, 108.8, 101.3, 91.6, 74.0, 66.1, 55.6, 21.0, 4.2; HRMS (ESI, [M+H]⁺) for C₂₇H₂₇N₂O₄⁺ calcd 443.1965; found 443.1974.

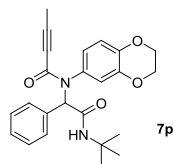


***N*-(3,5-Dimethoxyphenyl)-*N*-(2-(naphthalen-2-ylamino)-2-oxo-1-phenylethyl)but-2-ynamide (7n).** Yield: 57%; yellow solid; mp 96-97°C; ¹H NMR (400 MHz, CDCl₃): δ 8.25-8.20 (m, 1H), 8.02 (bs, 1H), 7.80-7.71 (m, 3H), 7.47-7.35 (m, 3H), 7.34-7.26 (m, 5H), 6.38 (bs, 2H), 6.35 (t, *J* = 2.1 Hz, 1H), 6.17 (s, 1H), 3.66 (s, 6H), 1.77 (s, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 167.6, 160.4, 155.3, 141.1, 135.4, 133.9, 133.5, 130.7, 130.4, 128.9, 128.7, 128.6, 127.8, 127.6, 126.4, 125.0, 120.1, 116.8, 108.9, 101.3, 91.8, 74.1, 66.2, 55.6, 4.2; HRMS (ESI, [M+H]⁺) for C₃₀H₂₇N₂O₄⁺ calcd 479.1965; found 479.1959.

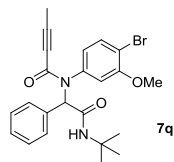


***N*-(2-(*tert*-Butylamino)-2-oxo-1-phenylethyl)-*N*-(3,4,5-trimethoxyphenyl)but-2-ynamide (7o).** Yield: 96%; white solid; mp 149-150°C; ¹H NMR (400 MHz, CDCl₃): δ 7.26-7.18 (m, 3H), 7.18-7.11 (m, 2H), 6.35 (bs, 2H), 5.95 (s, 1H), 5.57 (bs, 1H), 3.79 (s, 3H), 3.66 (s, 6H), 1.74 (s, 3H), 1.33 (s, 9H);

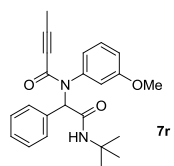
^{13}C NMR (100 MHz, CDCl_3) δ 168.0, 155.0, 152.5, 137.9, 135.1, 134.5, 130.5, 128.6, 128.5, 108.4, 91.1, 74.1, 65.3, 61.0, 56.2, 51.7, 28.7, 4.1; HRMS (ESI, $[\text{M}+\text{H}]^+$) for $\text{C}_{25}\text{H}_{31}\text{N}_2\text{O}_5^+$ calcd 439.2227; found 439.2238.



***N*-(2-(*tert*-Butylamino)-2-oxo-1-phenylethyl)-*N*-(2,3-dihydrobenzo[*b*][1,4]dioxin-6-yl)but-2-ynamide (7p).** Yield: 92%; white solid; mp 170-172°C; ^1H NMR (400 MHz, CDCl_3): δ 7.26-7.12 (m, 5H), 6.78-6.54 (m, 3H), 5.83 (s, 1H), 5.66 (bs, 1H), 4.26-4.13 (m, 4H), 1.74 (s, 3H), 1.33 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3): δ 168.1, 155.3, 143.4, 142.9, 134.4, 133.2, 130.3, 128.50, 128.46, 123.9, 119.5, 116.6, 91.3, 74.2, 65.8, 64.4, 64.2, 51.7, 28.7, 4.2; HRMS (ESI, $[\text{M}+\text{H}]^+$) for $\text{C}_{24}\text{H}_{27}\text{N}_2\text{O}_4^+$ calcd 407.1965; found 407.1973.

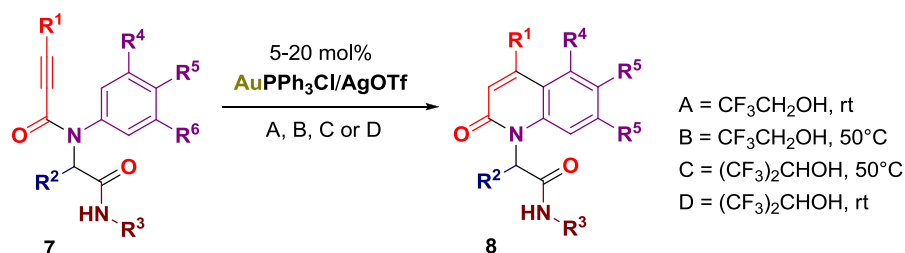


***N*-(4-Bromo-3-methoxyphenyl)-*N*-(2-(*tert*-butylamino)-2-oxo-1-phenylethyl)but-2-ynamide (7q).** Yield: 66%; white solid; mp 172-173°C; ^1H NMR (400 MHz, CDCl_3): δ 7.32 (d, $J = 8.4$ Hz, 1H), 7.26-7.18 (m, 3H), 7.16-7.10 (m, 2H), 6.74-6.63 (m, 2H), 5.98 (s, 1H), 5.48 (bs, 1H), 3.70 (s, 3H), 1.72 (s, 3H), 1.33 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3): δ 168.0, 155.5, 154.8, 139.9, 134.3, 132.5, 130.4, 128.8, 128.7, 124.6, 114.9, 111.5, 91.6, 74.0, 64.9, 56.4, 51.9, 28.7, 4.1; HRMS (ESI, $[\text{M}+\text{H}]^+$) for $\text{C}_{23}\text{H}_{26}\text{BrN}_2\text{O}_3^+$ calcd 457.1121; found 457.1127.

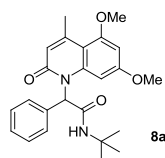


***N*-(2-(*tert*-Butylamino)-2-oxo-1-phenylethyl)-*N*-(3-methoxyphenyl)but-2-ynamide (7r).** Yield: 84%; white solid; ^1H NMR (400 MHz, CDCl_3): δ 7.26-7.13 (m, 5H), 7.08 (t, $J = 8.1$ Hz, 1H), 6.82-6.62 (m, 3H), 5.89 (s, 1H), 5.64 (bs, 1H), 3.66 (s, 3H), 1.71 (bs, 3H), 1.34 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3): δ 168.0, 159.4, 154.9, 140.8, 134.3, 130.2, 128.9, 128.42, 128.36, 123.0, 115.5, 114.5, 91.1, 74.1, 65.6, 55.3, 51.6, 28.6, 3.9; HRMS (ESI, $[\text{M}+\text{H}]^+$) for $\text{C}_{23}\text{H}_{27}\text{N}_2\text{O}_3^+$ calcd 379.2016; found 379.2010.

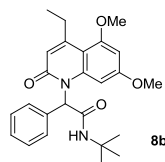
General procedure for the gold-catalyzed synthesis of 2-quinolones **8**



The Ugi adduct **7** (0.5 mmol) was dissolved in TFE (5 mL) followed by the addition of AuPPh₃Cl (12.4 mg, 0.025 mmol) and AgOTf (6.4 mg, 0.025 mmol). The reaction mixture was sealed and stirred at room temperature for 12 h. The resulting mixture was diluted with EtOAc and concentrated with silica. Column chromatography with petroleum ether/EtOAc (the ratio was adjusted according to TLC) as eluent delivered 2-quinolone **8**. Products **8m** and **8n** were washed by pentane after the column chromatography. For the substrates **7c–e,j,o**, the reactions were conducted at 50 °C. For the substrate **7p**, the reaction was conducted on 0.3 mmol scale for 20 h at 50 °C using HFIP (3 mL) as the solvent. For the substrate **7q**, the reaction was conducted on 0.4 mmol scale for 30 h at 50 °C using AuPPh₃Cl (39.7 mg, 0.08 mmol), AgOTf (20.6 mg, 0.08 mmol) and HFIP (4 mL). For the substrate **7r**, the reaction was conducted on 0.4 mmol scale for 12 h at room temperature using HFIP (4 mL) as the solvent.

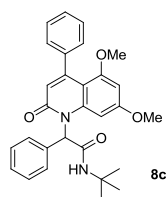


***N*-(*tert*-Butyl)-2-(5,7-dimethoxy-4-methyl-2-oxoquinolin-1(2*H*)-yl)-2-phenylacetamide (**8a**).** Yield: 96%; white solid; mp 180-181°C; ¹H NMR (400 MHz, CDCl₃): δ 7.29-7.17 (m, 5H), 7.08 (bs, 1H), 6.59 (d, *J* = 2.0 Hz, 1H), 6.38 (s, 1H), 6.21 (d, *J* = 2.0 Hz, 1H), 6.12 (bs, 1H), 3.83 (s, 3H), 3.54 (s, 3H), 2.64 (s, 3H), 1.29 (s, 9H); ¹³C NMR (100 MHz, CDCl₃): δ 167.9, 163.0, 161.4, 159.8, 150.0, 141.9, 134.4, 128.3, 127.6, 127.3, 117.5, 107.5, 94.7, 94.5, 59.7 (bs), 55.60, 55.57, 51.7, 28.7, 25.2; IR (thin film, cm⁻¹): 3282, 2962, 2924, 2851, 1686, 1636, 1606, 1577, 1545, 1457, 1362, 1264, 1205, 1173, 1152, 1101, 1033, 817, 721; HRMS (ESI, [M+Na]⁺) for C₂₄H₂₈N₂O₄Na⁺ calcd 431.1941; found 431.1951.

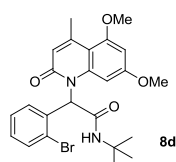


***N*-(*tert*-Butyl)-2-(4-ethyl-5,7-dimethoxy-2-oxoquinolin-1(2*H*)-yl)-2-phenylacetamide (**8b**).** Yield: 97%; yellow solid; mp 168-169°C; ¹H NMR (400 MHz, CDCl₃): δ 7.30-7.17 (m, 5H), 7.08 (bs, 1H), 6.62 (d, *J* = 2.1 Hz, 1H), 6.43 (s, 1H), 6.23 (d, *J* = 2.1 Hz, 1H), 6.13 (bs, 1H), 3.86 (s, 3H), 3.54 (s, 3H), 3.15-2.97 (m, 2H), 1.29 (s, 9H), 1.28 (t, *J* = 7.4 Hz, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 167.9, 163.3, 161.2, 159.4, 155.4, 142.2, 134.5, 128.3, 127.6, 127.3, 115.9, 106.9, 94.8, 94.7, 59.7, 55.62, 55.56, 51.7,

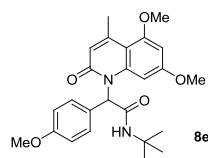
30.3, 28.7, 14.5; IR (thin film, cm^{-1}): 3251, 3053, 2966, 2924, 2852, 1681, 1644, 1605, 1590, 1580, 1547, 1457, 1424, 1391, 1353, 1276, 1256, 1203, 1176, 1149, 1109, 826, 748, 692; HRMS (ESI, $[\text{M}+\text{Na}]^+$) for $\text{C}_{25}\text{H}_{30}\text{N}_2\text{O}_4\text{Na}^+$ calcd 445.2098; found 445.2104.



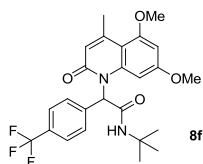
***N*-(*tert*-Butyl)-2-(5,7-dimethoxy-2-oxo-4-phenylquinolin-1(2*H*)-yl)-2-phenylacetamide (8c).** Yield: 83%; white solid; mp 153-154°C; ^1H NMR (400 MHz, CDCl_3): δ 7.41-7.21 (m, 10H), 7.05 (bs, 1H), 6.68 (d, $J = 1.9$ Hz, 1H), 6.41 (s, 1H), 6.19 (bs, 1H), 6.14 (d, $J = 2.0$ Hz, 1H), 3.58 (s, 3H), 3.36 (s, 3H), 1.33 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3): δ 167.7, 162.8, 162.0, 158.7, 151.6, 142.2, 142.0, 134.6, 128.5, 127.7, 127.5, 127.3, 127.2, 118.5, 105.9, 95.0, 94.5, 60.4 (bs), 55.6, 55.3, 51.8, 28.7; IR (thin film, cm^{-1}): 3258, 3058, 2974, 1683, 1636, 1598, 1556, 1460, 1390, 1361, 1258, 1207, 1167, 826, 696; HRMS (ESI, $[\text{M}+\text{Na}]^+$) for $\text{C}_{29}\text{H}_{30}\text{N}_2\text{O}_4\text{Na}^+$ calcd 493.2098; found 493.2107.



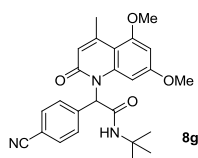
2-(2-Bromophenyl)-*N*-(*tert*-butyl)-2-(5,7-dimethoxy-4-methyl-2-oxoquinolin-1(2*H*)-yl)acetamide (8d). Yield: 93%; white solid; mp 233-234°C; ^1H NMR (400 MHz, CDCl_3): δ 7.67-7.59 (m, 1H), 7.47-7.39 (m, 1H), 7.28-7.14 (m, 2H), 6.51-6.37 (m, 2H), 6.33 (s, 1H), 6.28-6.22 (m, 1H), 5.54 (s, 1H), 3.84 (s, 3H), 3.72 (s, 3H), 2.60 (s, 3H), 1.30 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3): δ 166.0, 162.7, 161.9, 160.0, 149.6, 143.4, 134.3, 133.3, 130.0, 129.9, 128.1, 124.8, 118.5, 107.5, 94.6, 92.0, 64.7, 55.70, 55.66, 51.7, 28.6, 25.2; IR (thin film, cm^{-1}): 3326, 2965, 1685, 1622, 1590, 1542, 1472, 1457, 1394, 1341, 1201, 1154, 1043, 813, 734, 699; HRMS (ESI, $[\text{M}+\text{Na}]^+$) for $\text{C}_{24}\text{H}_{27}\text{BrN}_2\text{O}_4\text{Na}^+$ calcd 509.1046; found 509.1048.



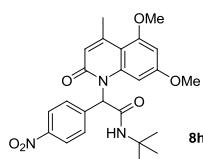
***N*-(*tert*-Butyl)-2-(5,7-dimethoxy-4-methyl-2-oxoquinolin-1(2*H*)-yl)-2-(4-methoxyphenyl)acetamide (8e).** Yield: 96%; white solid; mp 166-167°C; ^1H NMR (400 MHz, CDCl_3): δ 7.23 (d, $J = 8.8$ Hz, 2H), 6.98 (bs, 1H), 6.80 (d, $J = 8.9$ Hz, 2H), 6.59 (d, $J = 2.2$ Hz, 1H), 6.37 (q, $J = 0.7$ Hz, 1H), 6.21 (d, $J = 2.2$ Hz, 1H), 6.03 (bs, 1H), 3.83 (s, 3H), 3.76 (s, 3H), 3.59 (s, 3H), 2.63 (d, $J = 0.7$ Hz, 3H), 1.28 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3): δ 168.1, 162.9, 161.4, 159.8, 158.7, 149.8, 142.0, 129.0, 126.5, 117.6, 113.8, 107.5, 94.6, 94.3, 59.4, 55.6, 55.3, 51.6, 28.7, 25.2; IR (thin film, cm^{-1}): 3219, 3047, 2966, 1681, 1636, 1607, 1589, 1558, 1514, 1455, 1389, 1273, 1252, 1203, 1181, 1150, 1102, 1032, 832; HRMS (ESI, $[\text{M}+\text{Na}]^+$) for $\text{C}_{25}\text{H}_{30}\text{N}_2\text{O}_5\text{Na}^+$ calcd 461.2047; found 461.2052.



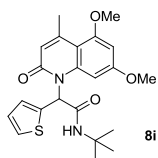
***N*-(*tert*-Butyl)-2-(5,7-dimethoxy-4-methyl-2-oxoquinolin-1(2*H*)-yl)-2-(4-(trifluoromethyl)phenyl)acetamide (8f).** Yield: 93%; yellow solid; mp 147-148°C; ^1H NMR (400 MHz, CDCl_3): δ 7.51 (d, $J = 8.3$ Hz, 2H), 7.37 (d, $J = 8.2$ Hz, 2H), 7.24 (bs, 1H), 6.49 (d, $J = 1.9$ Hz, 1H), 6.39 (s, 1H), 6.24 (d, $J = 2.0$ Hz, 1H), 6.14 (bs, 1H), 3.85 (s, 3H), 3.53 (s, 3H), 2.66 (s, 3H), 1.28 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3): δ 167.4, 162.8, 161.7, 159.9, 150.5, 141.5, 138.4, 129.4 (q, $J = 32.5$ Hz), 128.0, 125.1 (q, $J = 3.7$ Hz), 124.2 (q, $J = 272.0$ Hz), 117.2, 107.4, 95.0, 94.2, 58.6 (bs), 55.7, 55.6, 51.9, 28.6, 25.3; IR (thin film, cm^{-1}): 3309, 2963, 2933, 1678, 1641, 1609, 1586, 1543, 1456, 1392, 1321, 1210, 1172, 1144, 1128, 1117, 1101, 1069, 835; HRMS (ESI, $[\text{M}+\text{Na}]^+$) for $\text{C}_{25}\text{H}_{27}\text{F}_3\text{N}_2\text{O}_4\text{Na}^+$ calcd 499.1815; found 499.1827.



***N*-(*tert*-Butyl)-2-(4-cyanophenyl)-2-(5,7-dimethoxy-4-methyl-2-oxoquinolin-1(2*H*)-yl)acetamide (8g).** Yield: 92%; white solid; mp 162-163°C; ^1H NMR (400 MHz, CDCl_3): δ 7.55 (d, $J = 8.4$ Hz, 2H), 7.36 (d, $J = 8.2$ Hz, 2H), 7.27 (bs, 1H), 6.42 (d, $J = 1.9$ Hz, 1H), 6.39 (s, 1H), 6.25 (d, $J = 2.1$ Hz, 1H), 6.13 (bs, 1H), 3.86 (s, 3H), 3.52 (s, 3H), 2.67 (s, 3H), 1.27 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3): δ 167.1, 162.7, 161.8, 160.0, 150.7, 141.3, 139.7, 131.8, 128.5, 118.8, 117.0, 111.0, 107.4, 95.1, 94.1, 58.3 (bs), 55.7, 55.6, 51.9, 28.6, 25.3; IR (thin film, cm^{-1}): 3233, 2924, 2852, 1641, 1608, 1589, 1540, 1506, 1457, 1424, 1389, 1272, 1203, 1172, 1152, 1099, 824; HRMS (ESI, $[\text{M}+\text{Na}]^+$) for $\text{C}_{25}\text{H}_{27}\text{N}_3\text{O}_4\text{Na}^+$ calcd 456.1894; found 456.1896.

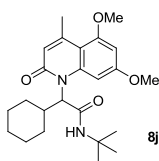


***N*-(*tert*-Butyl)-2-(5,7-dimethoxy-4-methyl-2-oxoquinolin-1(2*H*)-yl)-2-(4-nitrophenyl)acetamide (8h).** Yield: 90%; white solid; mp 153-154°C; ^1H NMR (400 MHz, CDCl_3): δ 8.11 (d, $J = 8.9$ Hz, 2H), 7.43 (d, $J = 8.9$ Hz, 2H), 7.31 (bs, 1H), 6.43 (d, $J = 2.0$ Hz, 1H), 6.40 (s, 1H), 6.25 (d, $J = 2.1$ Hz, 1H), 6.15 (bs, 1H), 3.87 (s, 3H), 3.53 (s, 3H), 2.68 (d, $J = 0.8$ Hz, 3H), 1.28 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3): δ 167.0, 162.7, 161.9, 160.1, 150.8, 146.9, 141.8, 141.3, 128.7, 123.2, 117.0, 107.4, 95.1, 94.1, 58.2 (bs), 55.7, 55.6, 52.0, 28.6, 25.3; IR (thin film, cm^{-1}): 3233, 2961, 2932, 1644, 1609, 1590, 1519, 1456, 1389, 1349, 1272, 1205, 1153, 1100, 822, 741; HRMS (ESI, $[\text{M}+\text{H}]^+$) for $\text{C}_{24}\text{H}_{28}\text{N}_3\text{O}_6^+$ calcd 454.1973; found 454.1952.



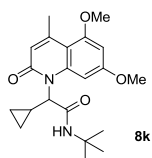
***N*-(*tert*-Butyl)-2-(5,7-dimethoxy-4-methyl-2-oxoquinolin-1(2*H*)-yl)-2-(thiophen-2-yl)acetamide (8i).**

Yield: 94%; yellow solid; mp 186-187°C; ¹H NMR (400 MHz, CDCl₃): δ 7.49 (bs, 1H), 7.25-7.21 (m, 1H), 6.86 (dd, *J* = 5.0, 3.7 Hz, 1H), 6.84-6.79 (m, 1H), 6.50 (d, *J* = 2.1 Hz, 1H), 6.38 (q, *J* = 0.9 Hz, 1H), 6.21 (d, *J* = 2.2 Hz, 1H), 5.77 (bs, 1H), 3.83 (s, 3H), 3.66 (s, 3H), 2.63 (d, *J* = 0.8 Hz, 3H), 1.27 (s, 9H); ¹³C NMR (100 MHz, CDCl₃): δ 167.6, 162.4, 161.6, 159.8, 150.3, 141.0, 136.3, 126.7, 126.4, 126.0, 117.0, 107.5, 94.9, 93.3, 55.7, 55.6, 55.4 (bs), 51.8, 28.6, 25.3; IR (thin film, cm⁻¹): 3255, 3056, 2957, 2924, 2852, 1674, 1645, 1590, 1546, 1455, 1387, 1260, 1207, 1191, 1148, 1100, 1034, 816, 696; HRMS (ESI, [M+Na]⁺) for C₂₂H₂₆N₂O₄SNa⁺ calcd 437.1505; found 437.1508.



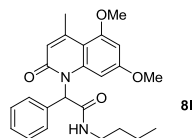
***N*-(*tert*-Butyl)-2-cyclohexyl-2-(5,7-dimethoxy-4-methyl-2-oxoquinolin-1(2*H*)-yl)acetamide (8j).**

Yield: 94%; yellow solid; mp 158-159°C; in CDCl₃ observed as ~ 1:8 mixture of rotamers; ¹H NMR (400 MHz, CDCl₃, major rotamer): δ 7.04 (d, *J* = 1.9 Hz, 1H), 6.33-6.23 (m, 2H), 5.84 (bs, 1H), 5.80 (d, *J* = 10.6 Hz, 1H), 3.86 (s, 3H), 3.83 (s, 3H), 2.61-2.48 (m, 4H), 2.23-2.11 (m, 1H), 1.77-1.66 (m, 1H), 1.63-1.43 (m, 2H), 1.40-1.24 (m, 1H), 1.21 (s, 9H), 1.16-0.93 (m, 3H), 0.88-0.63 (m, 2H); ¹³C NMR (100 MHz, CDCl₃, major rotamer): δ 169.5, 163.5, 161.9, 159.8, 149.3, 142.3, 117.5, 107.0, 94.9, 92.3, 60.5, 55.9, 55.6, 51.4, 35.1, 32.6, 28.7, 27.4, 26.3, 26.0, 25.9, 25.1; IR (thin film, cm⁻¹): 3274, 2925, 2852, 1668, 1640, 1609, 1588, 1549, 1457, 1397, 1270, 1205, 1156, 1095, 826; HRMS (ESI, [M+Na]⁺) for C₂₄H₃₄N₂O₄Na⁺ calcd 437.2411; found 437.2405.

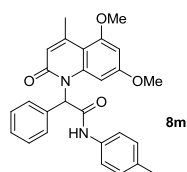


***N*-(*tert*-Butyl)-2-cyclopropyl-2-(5,7-dimethoxy-4-methyl-2-oxoquinolin-1(2*H*)-yl)acetamide (8k).**

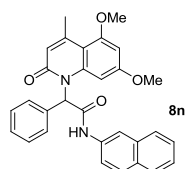
Yield: 99%; yellow solid; mp 160-161°C; ¹H NMR (400 MHz, CDCl₃) δ 6.78 (bs, 1H), 6.31-6.26 (m, 2H), 5.74 (bs, 1H), 5.48 (bd, *J* = 7.3 Hz, 1H), 3.86 (s, 6H), 2.60 (d, *J* = 0.6 Hz, 3H), 1.95-1.76 (m, 1H), 1.27 (s, 9H), 0.91-0.80 (s, 1H), 0.63-0.53 (m, 1H), 0.41-0.19 (m, 2H); ¹³C NMR (100 MHz, CDCl₃): δ 169.3, 162.9, 161.7, 160.0, 149.4, 141.6 (bs), 117.5, 107.5, 94.5, 92.9, 61.1 (bs), 55.7, 55.6, 51.5, 28.7, 25.1, 10.5 (bs), 7.4 (bs), 2.7 (bs); IR (thin film, cm⁻¹): 3337, 3005, 2970, 2933, 1686, 1640, 1607, 1580, 1529, 1457, 1389, 1207, 1171, 1148, 1095, 841, 816; HRMS (ESI, [M+Na]⁺) for C₂₁H₂₈N₂O₄ Na⁺ calcd 395.1941; found 395.1948.



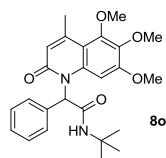
***N*-Butyl-2-(5,7-dimethoxy-4-methyl-2-oxoquinolin-1(2*H*)-yl)-2-phenylacetamide (8l).** Yield: 97%; yellow solid; mp 159-160°C; ¹H NMR (400 MHz, CDCl₃): δ 7.35-7.19 (m, 5H), 7.12 (bs, 1H), 6.61 (d, *J* = 2.1 Hz, 1H), 6.45-6.34 (m, 2H), 6.20 (d, *J* = 2.1 Hz, 1H), 3.83 (s, 3H), 3.53 (s, 3H), 3.33-3.18 (m, 2H), 2.63 (d, *J* = 0.7 Hz, 3H), 1.49-1.36 (m, 2H), 1.30-1.14 (m, 2H), 0.84 (t, *J* = 7.3 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃): δ 168.5, 163.1, 161.4, 159.8, 150.0, 141.8, 134.6, 128.5, 127.5, 127.4, 117.5, 107.5, 94.68, 94.66, 59.2 (bs), 55.6, 55.5, 39.6, 31.5, 25.2, 20.1, 13.8; IR (thin film, cm⁻¹): 3299, 3242, 2954, 2926, 2851, 1676, 1650, 1610, 1590, 1541, 1460, 1391, 1354, 1273, 1209, 1151, 1101, 832; HRMS (ESI, [M+Na]⁺) for C₂₄H₂₈N₂O₄Na⁺ calcd 431.1941; found 431.1947.



2-(5,7-Dimethoxy-4-methyl-2-oxoquinolin-1(2*H*)-yl)-2-phenyl-*N*-(*p*-tolyl)acetamide (8m). Yield: 89%; white solid; ¹H NMR (400 MHz, CDCl₃): δ 8.60 (bs, 1H), 7.39 (d, *J* = 8.3 Hz, 2H), 7.36-7.20 (m, 6H), 7.09 (d, *J* = 8.2 Hz, 2H), 6.70 (d, *J* = 2.0 Hz, 1H), 6.40 (s, 1H), 6.21 (d, *J* = 2.0 Hz, 1H), 3.83 (s, 3H), 3.56 (s, 3H), 2.64 (s, 3H), 2.29 (s, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 166.7, 163.4, 161.6, 159.8, 150.4, 142.0, 135.3, 134.2, 129.5, 128.6, 127.6, 127.3, 120.3, 117.4, 107.7, 94.9, 94.6, 60.3 (bs), 55.7, 55.6, 25.2, 21.0; IR (thin film, cm⁻¹): 3048, 3002, 1687, 1640, 1605, 1590, 1513, 1457, 1393, 1273, 1204, 1150, 1102, 825; HRMS (ESI, [M+Na]⁺) for C₂₇H₂₆N₂O₄Na⁺ calcd 465.1785; found 465.1769.

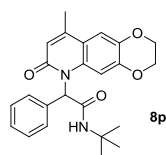


2-(5,7-Dimethoxy-4-methyl-2-oxoquinolin-1(2*H*)-yl)-*N*-(naphthalen-2-yl)-2-phenylacetamide (8n). Yield: 83%; yellow solid; mp 238-239°C; ¹H NMR (400 MHz, CDCl₃): δ 8.96 (bs, 1H), 8.25 (d, *J* = 1.4 Hz, 1H), 7.79-7.72 (m, 3H), 7.48-7.24 (m, 9H), 6.73 (d, *J* = 2.0 Hz, 1H), 6.42 (s, 1H), 6.22 (d, *J* = 1.9 Hz, 1H), 3.83 (s, 3H), 3.59 (s, 3H), 2.64 (s, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 167.1, 163.5, 161.6, 159.9, 150.5, 142.0, 135.4, 134.2, 133.9, 130.8, 128.72, 128.66, 127.8, 127.7, 127.6, 127.3, 126.5, 125.1, 120.2, 117.4, 117.0, 107.7, 94.9, 94.5, 60.8 (bs), 55.6, 25.2; IR (thin film, cm⁻¹): 3085, 2936, 2842, 1699, 1634, 1606, 1572, 1498, 1355, 1264, 1146, 856, 815, 741; HRMS (ESI, [M+Na]⁺) for C₃₀H₂₆N₂O₄Na⁺ calcd 501.1785; found 501.1795.



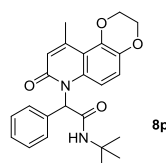
***N*-(*tert*-Butyl)-2-phenyl-2-(5,6,7-trimethoxy-4-methyl-2-oxoquinolin-1(*2H*)-yl)acetamide (8o).**

Yield: 89%; white solid; mp 147-148°C; ¹H NMR (400 MHz, CDCl₃): δ 7.33-7.19 (m, 5H), 7.11 (bs, 1H), 6.85 (s, 1H), 6.48-6.43 (m, 1H), 6.21 (bs, 1H), 3.94 (s, 3H), 3.81 (s, 3H), 3.56 (s, 3H), 2.66 (s, 3H), 1.30 (s, 9H); ¹³C NMR (100 MHz, CDCl₃): δ 167.8, 162.8, 154.8, 152.0, 148.9, 138.3, 136.2, 134.5, 128.4, 127.5, 127.4, 119.0, 110.9, 98.3, 61.4, 60.9, 59.4 (bs), 56.0, 51.8, 28.7, 23.9; IR (thin film, cm⁻¹): 3301, 3065, 2963, 2933, 1636, 1545, 1456, 1398, 1278, 1123, 1099, 1015, 826, 699, 627; HRMS (ESI, [M+Na]⁺) for C₂₅H₃₀N₂O₅Na⁺ calcd 461.2047; found 461.2051.



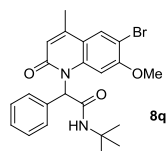
***N*-(*tert*-Butyl)-2-(9-methyl-7-oxo-2,3-dihydro-[1,4]dioxino[2,3-*g*]quinolin-6(*7H*)-yl)-2-phenylacetamide (8p).**

Yield: 58%; white solid; mp 202-203°C; ¹H NMR (400 MHz, CDCl₃): δ 7.35-7.23 (m, 5H), 7.15 (s, 1H), 6.92 (s, 1H), 6.66 (bs, 1H), 6.55-6.49 (m, 1H), 5.96 (bs, 1H), 4.23 (s, 4H), 2.41 (s, 3H), 1.30 (s, 9H); ¹³C NMR (100 MHz, CDCl₃): δ 167.4, 162.7, 147.3, 146.0, 139.6, 134.9, 134.5, 128.8, 127.94, 127.86, 119.0, 116.8, 112.4, 105.4, 64.8, 64.3, 61.6 (bs), 51.8, 28.7, 19.4; IR (thin film, cm⁻¹): 3288, 3056, 2929, 2873, 1683, 1644, 1580, 1543, 1439, 1403, 1321, 1289, 1260, 1069, 894, 872, 726; HRMS (ESI, [M+Na]⁺) for C₂₄H₂₆N₂O₄Na⁺ calcd 429.1785; found 429.1792.



***N*-(*tert*-Butyl)-2-(10-methyl-8-oxo-2,3-dihydro-[1,4]dioxino[2,3-*f*]quinolin-7(*8H*)-yl)-2-phenylacetamide (8p').**

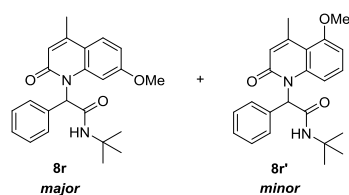
Yield: 15%; ¹H NMR (400 MHz, CDCl₃): δ 7.33-7.20 (m, 5H), 6.90 (d, *J* = 9.4 Hz, 1H), 6.87 (d, *J* = 9.4 Hz, 1H), 6.85 (bs, 1H), 6.51 (q, *J* = 1.0 Hz, 1H), 6.01 (bs, 1H), 4.37-4.30 (m, 2H), 4.29-4.22 (m, 2H), 2.67 (d, *J* = 1.0 Hz, 3H), 1.28 (s, 9H); ¹³C NMR (100 MHz, CDCl₃): δ 167.7, 162.2, 148.6, 141.6, 138.5, 134.7, 134.6, 128.6, 127.8, 127.6, 121.3, 119.9, 113.4, 110.5, 64.4, 63.7, 61.0 (bs), 51.7, 28.7, 25.0; HRMS (ESI, [M+Na]⁺) for C₂₄H₂₆N₂O₄Na⁺ calcd 429.1785; found 429.1766.



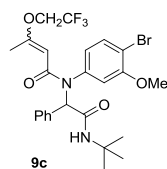
2-(6-Bromo-7-methoxy-4-methyl-2-oxoquinolin-1(*2H*)-yl)-*N*-(*tert*-butyl)-2-phenylacetamide (8q).

Yield: 75%; white solid; mp 166-167°C; ¹H NMR (400 MHz, CDCl₃): δ 7.81 (s, 1H), 7.31-7.20 (m, 5H), 7.14 (bs, 1H), 7.08 (m, 1H), 6.57 (q, *J* = 0.9 Hz, 1H), 6.19 (bs, 1H), 3.57 (s, 3H), 2.47 (d, *J* = 0.9 Hz, 3H), 1.31 (s, 9H); ¹³C NMR (100 MHz, CDCl₃): δ 167.5, 163.1, 155.7, 147.4, 139.0, 134.3, 129.2,

128.6, 127.6, 127.5, 118.2, 117.0, 106.4, 102.5, 58.9 (bs), 56.6, 51.9, 28.7, 19.3; IR (thin film, cm^{-1}): 3327, 2964, 2922, 1687, 1609, 1582, 1541 1385, 1362, 1212, 1027, 697; HRMS (ESI, $[\text{M} + \text{Na}]^+$) for $\text{C}_{23}\text{H}_{25}\text{BrN}_2\text{O}_3\text{Na}^+$ calcd 479.0941; found 479.0951.



***N*-tert-butyl-2-(7-methoxy-4-methyl-2-oxoquinolin-1(2*H*)-yl)-2-phenylacetamide (8r).** Obtained as a mixture with *N*-tert-butyl-2-(5-methoxy-4-methyl-2-oxoquinolin-1(2*H*)-yl)-2-phenylacetamide (8r'). Combined yield: 80%; **8r:8r'** = 6.5:1; ^1H NMR (400 MHz, CDCl_3): δ 7.62-7.55 (m, 1H), 7.36-7.18 (m, 5H), 7.08-6.90 (m, 2H), 6.81-6.73 (m, 1H), 6.53 (s, 1H), 6.07 (bs, 1H), 3.59 (s, 3H), 2.48 (s, 3H), 1.30 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3): δ 167.7, 163.3, 161.1, 148.2, 140.3, 134.6, 128.5, 127.7, 127.5, 126.4, 117.5, 115.9, 111.6, 101.6, 59.8, 55.6, 51.8, 28.7, 19.4; HRMS (ESI, $[\text{M} + \text{H}]^+$) for $\text{C}_{23}\text{H}_{27}\text{N}_2\text{O}_3^+$ calcd 379.2016; found 379.2011.



***N*-(4-bromo-3-methoxyphenyl)-*N*-(2-(tert-butylamino)-2-oxo-1-phenylethyl)-3-(2,2,2-trifluoroethoxy)but-2-enamide (9c).** Obtained as a byproduct during the synthesis of 2-quinolone **8q**. Yield: 18%; ^1H NMR (400 MHz, CDCl_3): δ 7.32 (d, $J = 8.4$ Hz, 1H), 7.25-7.17 (m, 3H), 7.16-7.10 (m, 2H), 6.62 (bs, 2H), 5.91 (s, 1H), 5.50 (bs, 1H), 4.82 (s, 1H), 4.53 (dq, $J = 12.8, 8.6$ Hz, 1H), 4.41 (dq, $J = 12.8, 8.5$ Hz, 1H), 3.67 (bs, 3H), 1.79 (s, 3H), 1.32 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3): δ 168.9, 165.6, 163.5, 155.8, 140.7, 134.8, 133.0, 130.42, 130.36, 128.6, 124.3, 123.4 (q, $J = 278.4$ Hz), 114.6, 111.2, 100.3, 68.8 (q, $J = 35.2$ Hz), 65.4, 56.4, 51.7, 28.6, 22.0; HRMS (ESI, $[\text{M} + \text{H}]^+$) for $\text{C}_{25}\text{H}_{29}\text{BrF}_3\text{N}_2\text{O}^{4+}$ calcd 557.1257; found 557.1193.

