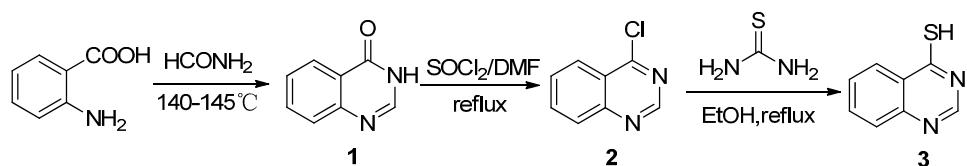


# Supplementary Materials

## General Remarks

<sup>1</sup>H-NMR and <sup>13</sup>C-NMR spectra were obtained at 500 MHz using a JEOL-ECX500 NMR spectrometer at room temperature using tetramethylsilane as an internal standard (solvent CDCl<sub>3</sub>). Elemental analysis was performed on an Elementar Vario-III CHN analyzer. The melting points of the products were determined under an XT-4 binocular microscope (Beijing Tech Instrument Co., Beijing, China) and left untouched. Analytical thin-layer chromatography (TLC) was conducted on a silica gel GF254 (400 mesh). Column chromatographic operations were performed on silica gel (200–300 mesh). Tobacco seeds were provided by the Guizhou Institute of Tobacco.

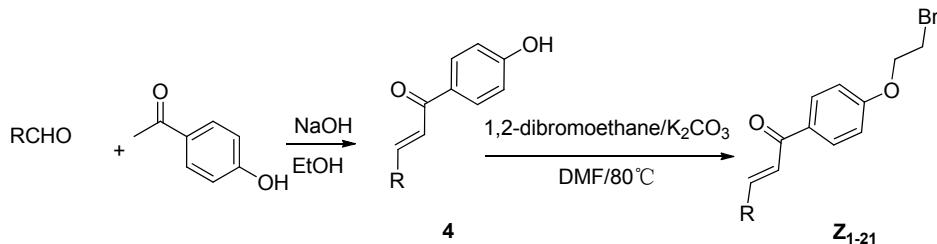
## General Procedure for Preparation of Intermediates 3



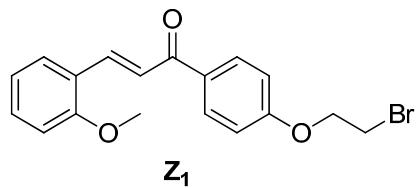
Quinazolin-4(3H)-one (**1**), and 4-chloroquinazoline (**2**) were prepared according to a previously described method [1]. 4-thioquinazoline (**3**) was gained by 4-chloroquinazoline with thiourea at reflux for 8 h.

The data for intermediate quinazolin-4(3H)-one (**1**) and 4-chloroquinazoline (**2**) can be found in the reference [1]. And 4-thioquinazoline (**3**) can be found in the reference [2].

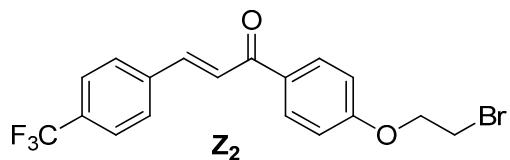
## General Procedure for Preparation of Intermediates Z<sub>1-21</sub>



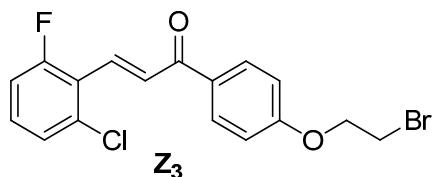
Intermediates **4<sub>a-u</sub>** were prepared according to a previously described method [3]. Intermediate **Z<sub>1-21</sub>** was synthesized by nucleophilic unimolecular with 1, 2-dibromoethane. A mixture of **4** (4.95 mmol) and K<sub>2</sub>CO<sub>3</sub> (9.99 mmol) in DMF (10 mL) was stirred at 80 °C for 1 h. Then 1,2-dibromoethane (14.84 mmol) was added dropwise. The mixture was stirred until TLC showing the reaction was finished. The reaction mixture was poured into water (20 mL). The mixture was extracted with EtOAc (3 × 10 mL), combined organic layers were dried over anhydrous Na<sub>2</sub>SO<sub>4</sub> and concentrated under reduced pressure. The crude product was purified by column chromatography over silicagel by using petroleum ether and ethyl acetate (v/v = 2:1) as eluent to give **Z<sub>1-21</sub>** as a solid.



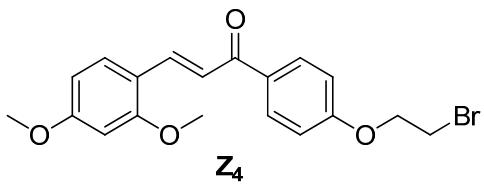
*(E)-1-(4-(2-bromoethoxy)phenyl)-3-(2-methoxyphenyl)prop-2-en-1-one (Z<sub>1</sub>)*. Yellow solid; m.p. 97.7–99.2 °C; yield, 57.6%; <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.12 (d, *J* = 14.89 Hz, 1H, Ar–CH), 8.04 (d, *J* = 7.45 Hz, 2H, CO–Ph-2,6-H), 7.64–7.60 (m, 2H, Ar-6-H, Ph–CO=CH), 7.38 (t, *J*<sub>1</sub> = 7.45 Hz, *J*<sub>2</sub> = 8.02 Hz, 1H, Ar-4-H), 7.01–6.98 (m, 3H, Ar-5-H, CO–Ph-3,5-H), 6.95 (d, *J* = 8.02 Hz, 1H, Ar-3-H), 4.38 (t, *J*<sub>1</sub> = 6.30 Hz, *J*<sub>2</sub> = 4.58 Hz, 2H, –OCH<sub>2</sub>–), 3.92 (s, 3H, –OCH<sub>3</sub>), 3.68 (t, *J*<sub>1</sub> = 4.58 Hz, *J*<sub>2</sub> = 6.30 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 189.41, 161.72, 158.84, 139.87, 132.10, 131.70, 130.96, 129.29, 124.13, 122.69, 120.81, 114.43, 111.30, 67.92, 55.64, 28.77.



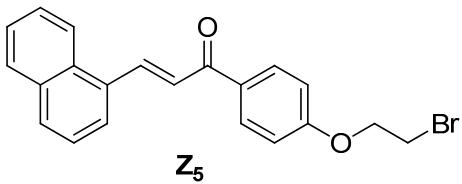
*(E)-1-(4-(2-bromoethoxy)phenyl)-3-(4-(trifluoromethyl)phenyl)prop-2-en-1-one (Z<sub>2</sub>)*. Yellow solid; m.p. 113.5–115.2 °C; yield, 56.7%; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.06 (d, *J* = 8.59 Hz, 2H, CO–Ph-2,6-H), 7.81 (d, *J* = 16.04 Hz, 1H, Ar–CH), 7.74 (d, *J* = 8.02 Hz, 2H, Ar-2,6-H), 7.68 (d, *J* = 8.59 Hz, 2H, Ar-3,5-H), 7.61 (d, *J* = 16.04 Hz, 1H, Ph–CO=CH), 7.02 (d, *J* = 8.59 Hz, 2H, CO–Ph-3,5-H), 4.38 (t, *J*<sub>1</sub> = 5.73 Hz, *J*<sub>2</sub> = 6.30 Hz, 2H, –OCH<sub>2</sub>–), 3.68 (t, *J*<sub>1</sub> = 6.30 Hz, *J*<sub>2</sub> = 5.73 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 188.24, 162.19, 142.22, 138.48, 131.70, 131.37, 131.08, 128.54, 126.00, 125.97, 124.03, 114.63, 67.97, 28.69.



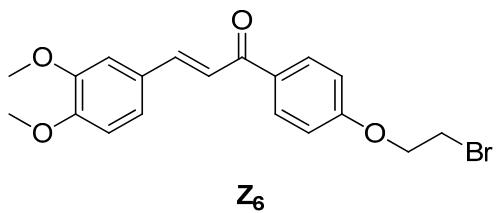
*(E)-1-(4-(2-bromoethoxy)phenyl)-3-(2-chloro-6-fluorophenyl)prop-2-en-1-one (Z<sub>3</sub>)*. Yellow solid; m.p. 132.1–133.6 °C; yield, 59.2%; <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.05 (d, *J* = 9.16 Hz, 2H, CO–Ph-2,6-H), 8.05 (d, *J* = 15.46 Hz, 1H, Ar–CH), 7.82 (d, *J* = 16.04 Hz, 1H, Ph–CO=CH), 7.29–7.27 (m, 2H, Ar-3,4-H), 7.10–7.06 (m, 1H, Ar-5-H), 7.01 (d, *J* = 8.59 Hz, 2H, CO–Ph-3,5-H), 4.38 (t, *J*<sub>1</sub> = *J*<sub>2</sub> = 6.30 Hz, 2H, –OCH<sub>2</sub>–), 3.67 (t, *J*<sub>1</sub> = 6.01 Hz, *J*<sub>2</sub> = 6.59 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 188.73, 162.11, 136.61, 133.97, 131.45, 131.60, 130.75, 128.61, 122.49, 115.05, 114.86, 114.58, 67.95, 28.67.



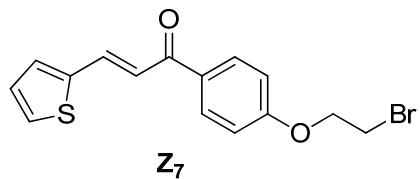
*(E)-1-(4-(2-bromoethoxy)phenyl)-3-(2,4-dimethoxyphenyl)prop-2-en-1-one (Z<sub>4</sub>)*. Yellow solid; m.p. 130.5–131.8 °C; yield, 54.2%; <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.05–8.01 (m, CO–Ph-2,6-H, Ar–CH), 7.58 (d, *J* = 9.16 Hz, 1H, Ar-6-H), 7.56 (d, *J* = 16.04 Hz, 1H, Ph–CO=CH), 6.99 (d, *J* = 9.16 Hz, 2H, CO–Ph-3,5-H), 6.54 (d, *J* = 8.59 Hz, 1H, Ar-5-H), 6.48 (s, 1H, Ar-3-H); 4.38 (t, *J*<sub>1</sub> = *J*<sub>2</sub> = 6.30 Hz, 2H, –OCH<sub>2</sub>–), 3.90 (s, 3H, –OCH<sub>3</sub>); 3.85 (s, 3H, –OCH<sub>3</sub>); 3.67 (t, *J*<sub>1</sub> = *J*<sub>2</sub> = 6.30 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 189.50, 162.98, 161.54, 160.43, 140.01, 132.40, 130.98, 130.83, 120.24, 117.33, 114.38, 105.44, 98.54, 67.92, 55.65, 55.59, 28.78.



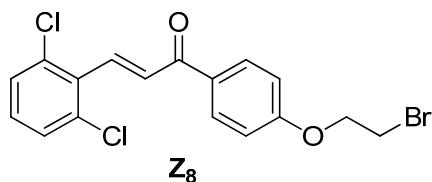
*(E)-1-(4-(2-bromoethoxy)phenyl)-3-(naphthalen-1-yl)prop-2-en-1-one (Z<sub>5</sub>)*. Yellow solid; m.p. 112.7–114.1 °C; yield, 67.8%; <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.05 (d, *J* = 14.89 Hz, 1H, Ar–CH), 8.27 (d, *J* = 8.59 Hz, 1H, naphthalene-5-H), 8.09 (d, *J* = 9.16 Hz, 2H, CO–Ph-2,6-H), 7.93–7.89 (m, 3H, naphthalene-2,6,8-H), 7.64 (d, *J* = 15.46 Hz, 1H, Ph–CO=CH), 7.59–7.51 (m, 3H, naphthalene-3,4,7-H), 7.02 (d, *J* = 9.16 Hz, 2H, CO–Ph-3,5-H), 4.38 (t, *J*<sub>1</sub> = *J*<sub>2</sub> = 6.30 Hz, 2H, –OCH<sub>2</sub>–), 3.68 (t, *J*<sub>1</sub> = 6.30 Hz, *J*<sub>2</sub> = 6.73 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 188.58, 161.99, 141.26, 133.83, 132.65, 131.86, 131.77, 131.06, 130.75, 128.83, 127.03, 126.39, 125.53, 125.10, 124.58, 123.66, 114.58, 67.96, 28.69.



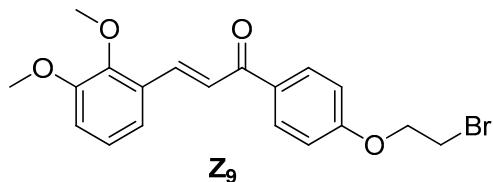
*(E)-1-(4-(2-bromoethoxy)phenyl)-3-(3,4-dimethoxyphenyl)prop-2-en-1-one (Z<sub>6</sub>)*. Yellow solid; m.p. 114.7–116.5 °C; yield, 71.2%; <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.04 (d, *J* = 8.02 Hz, 2H, CO–Ph-2,6-H), 7.77 (d, *J* = 15.46 Hz, 1H, Ar–CH), 7.41 (d, *J* = 15.46 Hz, 1H, Ph–CO=CH), 7.24 (d, *J* = 8.02 Hz, 2H, Ar-6-H), 7.16 (s, 1H, Ar-2-H), 7.00 (d, *J* = 8.59 Hz, 2H, CO–Ph-3,5-H), 6.91 (d, *J* = 8.59 Hz, 1H, Ar-5-H), 4.37 (t, *J*<sub>1</sub> = 5.73 Hz, *J*<sub>2</sub> = 6.30 Hz, 2H, –OCH<sub>2</sub>–), 3.96 (s, 3H, –OCH<sub>3</sub>), 3.93 (s, 3H, –OCH<sub>3</sub>), 3.67 (t, *J*<sub>1</sub> = 6.59 Hz, *J*<sub>2</sub> = 6.01 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 188.83, 162.77, 151.39, 149.30, 144.48, 132.00, 130.88, 128.06, 123.13, 119.78, 114.47, 111.18, 110.12, 67.94, 56.10, 56.06, 28.75.



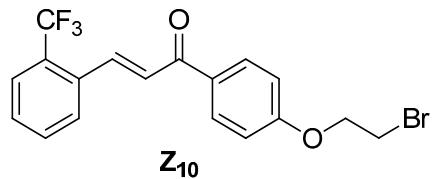
*(E)-1-(4-(2-bromoethoxy)phenyl)-3-(thiophen-2-yl)prop-2-en-1-one (Z<sub>7</sub>)*. Yellow solid; m.p. 74.7–75.9 °C; yield, 65.8%; <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.03 (d, *J* = 9.16 Hz, 2H, CO-Ph-2,6-H), 7.95 (d, *J* = 14.89 Hz, 1H, Ar-CH), 7.45 (d, *J* = 5.15 Hz, 1H, thiophen-5-H), 7.35 (d, *J* = 3.14 Hz, 1H, thiophen-3-H), 7.34 (d, *J* = 15.46 Hz, 1H, Ph-CO=CH), 7.08 (t, *J*<sub>1</sub> = 4.01 Hz, *J*<sub>2</sub> = 5.15 Hz, 2H, -OCH<sub>2</sub>-), 6.99 (d, *J* = 9.16 Hz, 2H, CO-Ph-3,5-H), 4.37 (t, *J*<sub>1</sub> = 5.73 Hz, *J*<sub>2</sub> = 6.30 Hz, 2H, -OCH<sub>2</sub>-), 3.67 (t, *J*<sub>1</sub> = 6.01 Hz, *J*<sub>2</sub> = 6.59 Hz, 2H, -SCH<sub>2</sub>-); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 188.13, 161.92, 140.60, 136.73, 131.99, 131.69, 130.87, 128.66, 128.42, 120.59, 114.52, 67.94, 28.73.



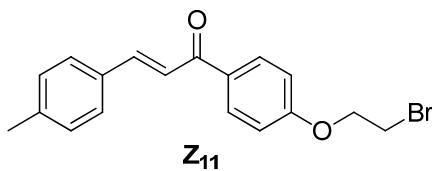
*(E)-1-(4-(2-bromoethoxy)phenyl)-3-(2,6-dichlorophenyl)prop-2-en-1-one (Z<sub>8</sub>)*. Yellow solid; m.p. 127.7–129.2 °C; yield, 67.3%; <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.05 (d, *J* = 8.59 Hz, 2H, CO-Ph-2,6-H), 7.86 (d, *J* = 16.04 Hz, 1H, Ar-CH), 7.68 (d, *J* = 16.04 Hz, 1H, Ph-CO=CH), 7.43 (d, *J* = 8.02 Hz, 2H, Ar-3,5-H), 7.21 (t, *J* = 8.02 Hz, 1H, Ar-4-H), 7.01 (d, *J* = 8.59 Hz, 2H, CO-Ph-3,5-H), 4.38 (t, *J*<sub>1</sub> = 6.01 Hz, *J*<sub>2</sub> = 6.59 Hz, 2H, -OCH<sub>2</sub>-), 3.68 (t, *J*<sub>1</sub> = 6.30 Hz, *J*<sub>2</sub> = 5.73 Hz, 2H, -SCH<sub>2</sub>-); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 188.47, 162.16, 137.31, 135.25, 132.85, 131.31, 131.24, 130.40, 129.84, 128.93, 114.62, 67.96, 28.68.



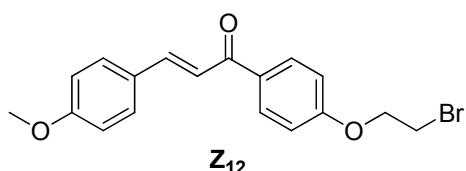
*(E)-1-(4-(2-bromoethoxy)phenyl)-3-(2,3-dimethoxyphenyl)prop-2-en-1-one (Z<sub>9</sub>)*. Yellow solid; m.p. 104.7–106.1 °C; yield, 62.6%; <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.10 (d, *J* = 15.46 Hz, 1H, Ar-CH), 8.05 (d, *J* = 9.16 Hz, 2H, CO-Ph-2,6-H), 7.62 (d, *J* = 15.46 Hz, 1H, Ph-CO=CH), 7.28 (d, *J* = 8.02 Hz, 1H, Ar-6-H), 7.10 (t, *J*<sub>1</sub> = *J*<sub>2</sub> = 8.02 Hz, 1H, Ar-5-H), 7.00 (d, *J* = 8.59 Hz, 2H, CO-Ph-3,5-H), 6.98 (d, *J* = 8.59 Hz, 1H, Ar-4-H), 4.37 (t, *J*<sub>1</sub> = 6.30 Hz, *J*<sub>2</sub> = 5.73 Hz, 2H, -OCH<sub>2</sub>-), 3.89 (s, 3H, -OCH<sub>3</sub>), 3.88 (s, 3H, -OCH<sub>3</sub>), 3.67 (t, *J*<sub>1</sub> = *J*<sub>2</sub> = 6.30 Hz, 2H, -SCH<sub>2</sub>-); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 189.15, 161.86, 153.32, 148.95, 139.13, 131.89, 131.00, 129.33, 124.30, 123.47, 119.68, 114.48, 114.07, 67.93, 61.44, 55.98, 28.67.



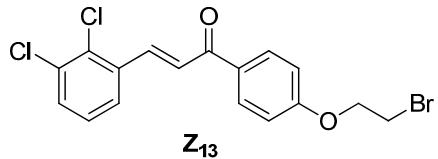
*(E)-1-(4-(2-bromoethoxy)phenyl)-3-(2-(trifluoromethyl)phenyl)prop-2-en-1-one (Z<sub>10</sub>)*. Yellow solid; m.p. 144.3–146.1 °C; yield, 61.2%; <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.13 (d, *J* = 15.46 Hz, 1H, Ar-CH), 8.03 (d, *J* = 9.16 Hz, 2H, CO-Ph-2,6-H), 7.83 (d, *J* = 8.02 Hz, 1H, Ar-3-H), 7.73 (d, *J* = 7.45 Hz, 1H, Ar-6-H), 7.60 (t, *J*<sub>1</sub> = 8.02 Hz, *J*<sub>2</sub> = 7.45 Hz, 1H, Ar-5-H), 7.50 (t, *J*<sub>1</sub> = 8.02 Hz, *J*<sub>2</sub> = 7.45 Hz, 1H, Ar-4-H), 7.43 (d, *J* = 15.46 Hz, 1H, Ph-CO=CH), 7.01 (d, *J* = 9.16 Hz, 2H, CO-Ph-3,5-H), 4.38 (t, *J*<sub>1</sub> = *J*<sub>2</sub> = 6.30 Hz, 2H, -OCH<sub>2</sub>-), 3.67 (t, *J*<sub>1</sub> = 6.30 Hz, *J*<sub>2</sub> = 5.73 Hz, 2H, -SCH<sub>2</sub>-); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 188.59, 162.12, 139.64, 132.17, 131.25, 131.19, 129.65, 128.04, 126.47, 126.36, 126.32, 125.15, 122.96, 114.59, 67.96, 28.69.



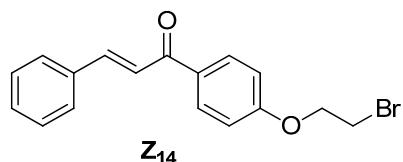
*(E)-1-(4-(2-bromoethoxy)phenyl)-3-(p-tolyl)prop-2-en-1-one (Z<sub>11</sub>)* Yellow solid; m.p. 135.2–136.9 °C; yield, 67.3%; <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.04 (d, *J* = 9.16 Hz, 2H, CO-Ph-2,6-H), 7.80 (d, *J* = 16.04 Hz, 1H, Ar-CH), 7.55 (d, *J* = 8.02 Hz, 2H, Ar-2,6-H), 7.23 (d, *J* = 8.02 Hz, 2H, Ar-3,5-H), 7.51 (d, *J* = 15.46 Hz, 1H, Ph-CO=CH), 6.99 (d, *J* = 8.59 Hz, 2H, CO-Ph-3,5-H), 4.36 (t, *J*<sub>1</sub> = *J*<sub>2</sub> = 6.30 Hz, 2H, -OCH<sub>2</sub>-), 3.67 (t, *J*<sub>1</sub> = 6.30 Hz, *J*<sub>2</sub> = 5.73 Hz, 2H, -SCH<sub>2</sub>-), 2.39 (s, 3H, -CH<sub>3</sub>); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 188.86, 161.85, 144.39, 141.03, 132.34, 131.91, 130.93, 129.78, 128.52, 120.82, 114.48, 67.94, 28.77, 21.65.



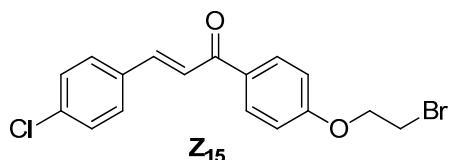
*(E)-1-(4-(2-bromoethoxy)phenyl)-3-(4-methoxyphenyl)prop-2-en-1-one (Z<sub>12</sub>)* Yellow solid; m.p. 97.6–99.2 °C; yield, 71.3%; <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.04 (d, *J* = 9.16 Hz, 2H, CO-Ph-2,6-H), 7.80 (d, *J* = 15.46 Hz, 1H, Ar-CH), 7.61 (d, *J* = 8.59 Hz, 2H, Ar-2,6-H), 7.43 (d, *J* = 15.46 Hz, 1H, Ph-CO=CH), 6.99 (d, *J* = 9.16 Hz, 2H, CO-Ph-3,5-H), 6.94 (d, *J* = 8.59 Hz, 2H, Ar-3,5-H), 4.37 (t, *J*<sub>1</sub> = 6.30 Hz, *J*<sub>2</sub> = 5.73 Hz, 2H, -OCH<sub>2</sub>-), 3.85 (s, 3H, -OCH<sub>3</sub>), 3.67 (t, *J*<sub>1</sub> = *J*<sub>2</sub> = 6.01 Hz, 2H, -SCH<sub>2</sub>-); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 186.86, 159.80, 159.69, 142.20, 130.09, 128.90, 128.30, 125.86, 117.54, 112.53, 112.51, 65.97, 53.56, 26.83.



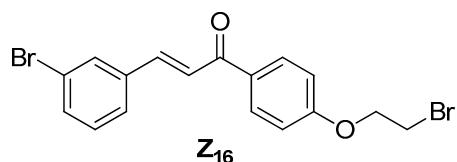
*(E)-1-(4-(2-bromoethoxy)phenyl)-3-(2,3-dichlorophenyl)prop-2-en-1-one (Z<sub>13</sub>)*. Yellow solid; m.p. 124.7–126.2 °C; yield, 67.8%; <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.15 (d, *J* = 15.46 Hz, 1H, Ar–CH), 8.03 (d, *J* = 8.59 Hz, 2H, CO–Ph-2,6-H), 7.64 (d, *J* = 7.45 Hz, 1H, Ar-4-H), 7.50 (d, *J* = 8.02 Hz, 1H, Ar-6-H), 7.47 (d, *J* = 16.04 Hz, 1H, Ph–CO=CH), 7.27–7.24 (m, 1H, Ar-5-H), 7.00 (d, *J* = 8.59 Hz, 2H, CO–Ph-3,5-H), 4.37 (t, *J*<sub>1</sub> = 6.30 Hz, *J*<sub>2</sub> = 5.73 Hz, 2H, –OCH<sub>2</sub>–), 3.67 (t, *J*<sub>1</sub> = *J*<sub>2</sub> = 6.30 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 188.36, 162.16, 139.96, 135.88, 134.15, 131.58, 131.28, 131.15, 127.46, 125.98, 125.77, 116.46, 114.61, 67.97, 28.70.



*(E)-1-(4-(2-bromoethoxy)phenyl)-3-phenylprop-2-en-1-one (Z<sub>14</sub>)* Yellow solid; m.p. 92.5–93.8 °C; yield, 78.8%; <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.05 (d, *J* = 9.16 Hz, 2H, CO–Ph-2,6-H), 7.82 (d, *J* = 15.46 Hz, 1H, Ar–CH), 7.66–7.62 (m, 2H, Ar-2,6-H), 7.55 (d, *J* = 15.46 Hz, 1H, Ph–CO=CH), 7.44–7.40 (m, 3H, Ar-3,4,5-H), 7.00 (d, *J* = 8.59 Hz, 2H, CO–Ph-3,5-H), 4.36 (t, *J*<sub>1</sub> = *J*<sub>2</sub> = 6.30 Hz, 2H, –OCH<sub>2</sub>–), 3.67 (t, *J*<sub>1</sub> = 6.01 Hz, *J*<sub>2</sub> = 6.59 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 188.77, 161.93, 144.30, 135.09, 131.79, 130.97, 130.88, 129.04, 128.48, 121.87, 114.53, 67.95, 28.72.

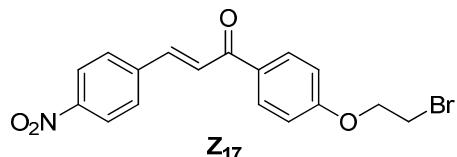


*(E)-1-(4-(2-bromoethoxy)phenyl)-3-(4-chlorophenyl)prop-2-en-1-one (Z<sub>15</sub>)*. Yellow solid; m.p. 114.7–116.5 °C; yield, 53.2%; <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.03 (d, *J* = 8.59 Hz, 2H, CO–Ph-2,6-H), 7.76 (d, *J* = 15.46 Hz, 1H, Ar–CH), 7.58 (d, *J* = 8.59 Hz, 1H, Ar-2,6-H), 7.52 (d, *J* = 16.04 Hz, 1H, Ph–CO=CH), 7.39 (d, *J* = 8.59 Hz, 2H, Ar-3,5-H), 7.00 (d, *J* = 8.59 Hz, 2H, CO–Ph-3,5-H), 4.37 (t, *J*<sub>1</sub> = 6.30 Hz, *J*<sub>2</sub> = 6.73 Hz, 2H, –OCH<sub>2</sub>–), 3.67 (t, *J*<sub>1</sub> = *J*<sub>2</sub> = 6.30 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 188.43, 162.03, 136.35, 133.59, 131.60, 130.98, 129.62, 128.48, 122.25, 114.57, 67.96, 28.69.

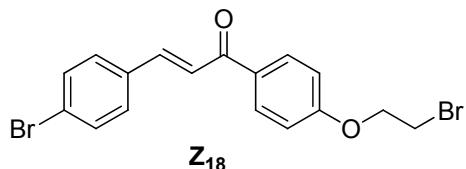


*(E)-1-(4-(2-bromoethoxy)phenyl)-3-(3-bromophenyl)prop-2-en-1-one (Z<sub>16</sub>)*. Yellow solid; m.p. 121.1–122.8 °C; yield, 58.2%; <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.05 (d, *J* = 7.45 Hz, 2H, CO–Ph-2,6-H), 7.79 (s, 1H, Ar-2-H), 7.73 (d, *J* = 15.46 Hz, 1H, Ar–CH), 7.29 (t, *J*<sub>1</sub> = 6.87 Hz, *J*<sub>2</sub> = 7.45 Hz, 1H, Ar-5-H),

7.55–7.51 (m, 3H, Ph–CO=CH, Ar-4,6-H), 7.01 (d,  $J = 7.45$  Hz, 2H, CO–Ph-3,5-H), 4.38 (t,  $J_1 = J_2 = 5.44$  Hz, 2H, –OCH<sub>2</sub>–), 3.67 (t,  $J_1 = J_2 = 6.30$  Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 188.23, 162.11, 142.45, 137.21, 133.22, 131.46, 131.05, 130.80, 130.55, 127.36, 123.16, 123.02, 114.59, 67.96, 28.71.



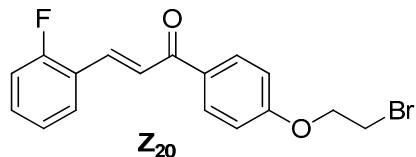
*(E)-1-(4-(2-bromoethoxy)phenyl)-3-(4-nitrophenyl)prop-2-en-1-one (Z<sub>17</sub>)*. Yellow solid; m.p. 151.2–152.7 °C; yield, 62.8%; <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.28 (d,  $J = 9.16$  Hz, 2H, Ar-3,5-H), 8.06 (d,  $J = 8.59$  Hz, 2H, CO–Ph-2,6-H), 7.82–7.77 (m, 3H, Ar–CH, Ar-2,6-H), 7.66 (d,  $J = 16.04$  Hz, 1H, Ph–CO=CH), 7.03 (d,  $J = 9.16$  Hz, 2H, CO–Ph-3,5-H), 4.38 (t,  $J_1 = 6.30$  Hz,  $J_2 = 5.73$  Hz, 2H, –OCH<sub>2</sub>–), 3.67 (t,  $J_1 = J_2 = 6.30$  Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 187.83, 162.37, 148.54, 141.29, 141.02, 131.15, 128.97, 125.58, 124.31, 114.70, 68.00, 28.68.



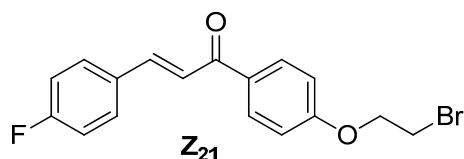
*(E)-1-(4-(2-bromoethoxy)phenyl)-3-(4-bromophenyl)prop-2-en-1-one (Z<sub>18</sub>)*. Yellow solid; m.p. 149.1–150.5 °C; yield, 61.3%; <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.04 (d,  $J = 8.59$  Hz, 2H, CO–Ph-2,6-H), 7.74 (d,  $J = 15.46$  Hz, 1H, Ar–CH), 7.56–7.49 (m, 5H, Ar-2,6-H, Ph–CO=CH, Ar-3,5-H), 7.00 (d,  $J = 9.16$  Hz, 2H, CO–Ph-3,5-H), 4.38 (t,  $J_1 = 6.30$  Hz,  $J_2 = 5.73$  Hz, 2H, –OCH<sub>2</sub>–), 3.68 (t,  $J_1 = J_2 = 6.30$  Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 188.43, 162.04, 142.84, 132.27, 131.58, 130.99, 129.84, 124.73, 122.32, 114.57, 67.95, 28.70.



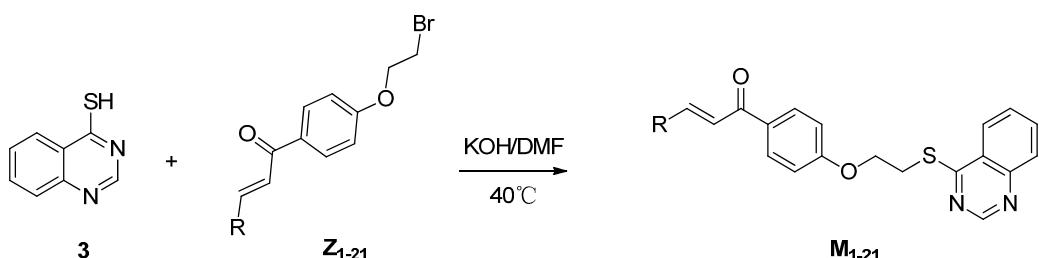
*(E)-1-(4-(2-bromoethoxy)phenyl)-3-(3,4-dichlorophenyl)prop-2-en-1-one (Z<sub>19</sub>)*. Yellow solid; m.p. 144.2–145.5 °C; yield, 52.8%; <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.05 (d,  $J = 8.59$  Hz, 2H, CO–Ph-2,6-H), 7.73 (d,  $J = 8.59$  Hz, 1H, Ar-6-H), 7.70 (d,  $J = 15.46$  Hz, 1H, Ar–CH), 7.53 (d,  $J = 16.04$  Hz, 1H, Ph–CO=CH), 7.48 (s, 1H, Ar-2-H), 7.46 (d,  $J = 8.02$  Hz, 1H, Ar-5-H), 7.01 (d,  $J = 8.59$  Hz, 2H, CO–Ph-3,5-H), 4.38 (t,  $J_1 = 5.73$  Hz,  $J_2 = 6.30$  Hz, 2H, –OCH<sub>2</sub>–), 3.68 (t,  $J_1 = 6.30$  Hz,  $J_2 = 5.73$  Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 188.04, 162.17, 141.37, 134.31, 133.36, 131.48, 131.36, 131.23, 131.05, 127.61, 123.31, 114.62, 67.97, 28.68.



*(E)-1-(4-(2-bromoethoxy)phenyl)-3-(2-fluorophenyl)prop-2-en-1-one (Z<sub>20</sub>)*. Yellow solid; m.p. 114.7–116.5 °C; yield, 63.8%; <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.05 (d, *J* = 9.16 Hz, 2H, CO-Ph-2,6-H), 7.90 (d, *J* = 16.04 Hz, 1H, Ar-CH), 7.66–7.63 (m, 2H, Ph-CO=CH, Ar-4-H), 7.38 (d, *J* = 8.02 Hz, 1H, Ar-6-H), 7.19 (t, *J*<sub>1</sub> = 7.45 Hz, *J*<sub>2</sub> = 8.02 Hz, 1H, Ar-3-H), 7.13 (t, *J*<sub>1</sub> = 10.88 Hz, *J*<sub>2</sub> = 8.02 Hz, 1H, Ar-5-H), 7.00 (d, *J* = 8.59 Hz, 2H, CO-Ph-3,5-H), 4.37 (t, *J*<sub>1</sub> = *J*<sub>2</sub> = 6.30 Hz, 2H, –OCH<sub>2</sub>–), 3.67 (t, *J*<sub>1</sub> = 6.59 Hz, *J*<sub>2</sub> = 6.01 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 188.73, 162.11, 136.61, 133.97, 131.45, 131.60, 130.75, 128.61, 122.49, 115.05, 114.86, 114.58, 67.95, 28.67.

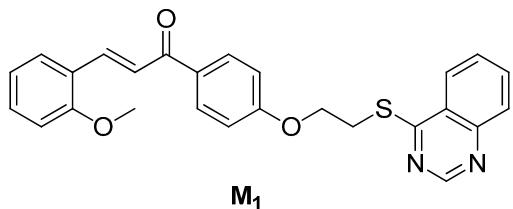


*(E)-1-(4-(2-bromoethoxy)phenyl)-3-(4-fluorophenyl)prop-2-en-1-one (Z<sub>21</sub>)*. Yellow solid; m.p. 113.3–114.8 °C; yield, 62.5%; <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 8.04 (d, *J* = 8.59 Hz, 2H, CO-Ph-2,6-H), 7.78 (d, *J* = 15.46 Hz, 1H, Ar-CH), 7.62 (t, *J*<sub>1</sub> = 8.59 Hz, *J*<sub>2</sub> = 5.15 Hz, 2H, Ar-2,6-H), 7.47 (d, *J* = 15.46 Hz, 1H, Ph-CO=CH), 7.10 (t, *J*<sub>1</sub> = *J*<sub>2</sub> = 8.59 Hz, 2H, Ar-3,5-H), 7.00 (d, *J* = 8.59 Hz, 2H, CO-Ph-3,5-H), 4.37 (t, *J*<sub>1</sub> = 6.30 Hz, *J*<sub>2</sub> = 5.73 Hz, 2H, –OCH<sub>2</sub>–), 3.67 (t, *J*<sub>1</sub> = 6.30 Hz, *J*<sub>2</sub> = 5.73 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 188.51, 161.96, 142.95, 131.70, 130.95, 130.38, 130.32, 121.54, 116.28, 116.10, 114.55, 67.96, 28.70.

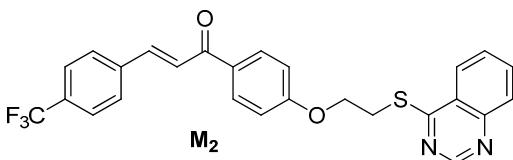


#### General Procedure for Preparation of Title Compounds (**M<sub>1</sub>–M<sub>21</sub>**)

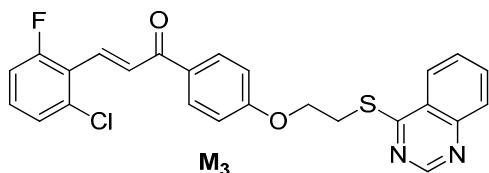
The target compounds **M<sub>1</sub>–M<sub>21</sub>** were synthesized as schematized in Figure 2. A 25 mL round-bottomed flask equipped with a magnetic stirrer was charged with intermediates **3** (0.74 mmol) and KOH (0.89 mmol), DMF (5 mL). The flask was stirred at 40 °C for 1 h, and then **Z** (0.59 mmol) in DMF (2 mL) was dropwise into the flask. The resulting mixture was stirred at 40 °C for 8 to 10 h. TLC monitored the progress of the reaction. Upon completion of the reaction (as indicated by TLC), the reaction mixture was poured into saturated brine, the solid was filtered off. Then dissolved with dichloromethane and washed by 10% KOH. The organic fraction was evaporated under reduced pressure. The solid was recrystallized from ethyl acetate/petroleum ether (3:1, *v/v*) to obtain the title compounds **M<sub>1</sub>–M<sub>21</sub>** in 56.1% to 78.8% yields.



*(E)-3-(2-methoxyphenyl)-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)prop-2-en-1-one* (**M<sub>1</sub>**). Yellow solid; m.p. 114.7–116.5 °C; yield, 78.8%; IR (KBr, cm<sup>-1</sup>)  $\nu$ : 3016.8–3066.9 (C–H of benzene), 1661.7 (C=N), 1604.8 (C=O), 1485.2–1560.4 (C=C and benzene and Qu-ring), 1319.3 (C–N), 1249.9 (C–O), 1150.5 (–O–CH<sub>3</sub>); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 9.02 (s, 1H, Qu-2-H), 8.12–8.08 (m, 2H, CH=C–Ph, Qu-8-H), 8.05 (d,  $J$  = 8.60 Hz, 2H, Ph-2–CH<sub>3</sub>–6–H), 7.99 (d,  $J$  = 8.60 Hz, 1H, Qu-8-H), 7.87 (t,  $J_1$  = 8.60 Hz,  $J_2$  = 6.85 Hz, 1H, Qu-7-H), 7.64–7.59 (m, 3H, Qu-6,7–H, Ph–CO–CH), 7.37 (t,  $J_1$  = 6.9 Hz,  $J_2$  = 7.45 Hz, 1H, Ph-2–OCH<sub>3</sub>–4–H), 7.07 (d,  $J$  = 9.15 Hz, 2H, Ph-2–OCH<sub>3</sub>–2,6–H), 6.99 (t,  $J$  = 7.45 Hz, 1H, Ph-2–OCH<sub>3</sub>–5–H), 6.95 (d,  $J$  = 8.55 Hz, 1H, Ph-2–OCH<sub>3</sub>–3–H), 4.42 (t,  $J_1$  = 6.60 Hz,  $J_2$  = 6.55 Hz, 2H, –OCH<sub>2</sub>–), 3.91 (s, 3H, –CH<sub>3</sub>), 3.82 (t,  $J_1$  = 6.85 Hz,  $J_2$  = 6.30 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ in ppm: 189.42, 170.40, 162.17, 158.12, 153.51, 148.17, 139.75, 134.04, 131.80, 131.65, 130.95, 129.26, 128.98, 127.64, 124.16, 123.99, 122.73, 120.80, 114.46, 111.29, 66.53, 55.63, 28.33; Anal. Calcd for C<sub>26</sub>H<sub>22</sub>N<sub>2</sub>O<sub>3</sub>S: C, 68.62; H, 5.01; N, 6.33; Found: C, 70.12; H, 5.53; N, 6.44.

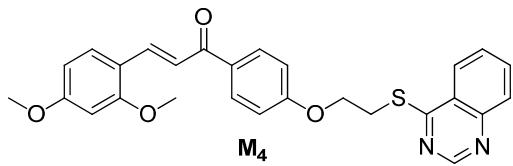


*(E)-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)-3-(4-(trifluoromethyl)phenyl)prop-2-en-1-one* (**M<sub>2</sub>**). Yellow solid; m.p. 187.9–188.8 °C; yield, 71.9%; IR (KBr, cm<sup>-1</sup>)  $\nu$ : 3046.7–3071.7 (C–H of benzene), 1663.6 (C=N), 1610.6 (C=O), 1486.2–1562.4 (C=C and benzene and Qu-ring), 1328.0 (C–N), 1255.7 (C–O); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 9.02 (s, 1H, Qu-2-H), 8.10 (d, 1H,  $J$  = 8.00 Hz, Qu-8-H), 8.06 (d,  $J$  = 9.15 Hz, 2H, CO–Ph-2,6–H), 7.99 (d,  $J$  = 8.60 Hz, 1H, Qu-5-H), 7.87 (t,  $J_1$  = 8.60 Hz,  $J_2$  = 6.85 Hz, 1H, Qu-7-H), 7.81 (d,  $J$  = 16.05 Hz, 1H, Ar–CH), 7.74 (d,  $J$  = 8.00 Hz, 2H, Ar-2,6–H), 7.67 (d,  $J$  = 8.60 Hz, 2H, Ar-2,6–H), 7.62–7.58 (m, Ph–CO=CH, Qu-6–H), 7.09 (d, 2H,  $J$  = 8.60 Hz, CO–Ph-3,5–H), 4.43 (t, 2H,  $J_1$  = 6.30 Hz,  $J_2$  = 6.85 Hz, –OCH<sub>2</sub>–), 3.82 (t, 2H,  $J_1$  = 6.90 Hz,  $J_2$  = 6.30 Hz, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ in ppm: 188.21, 170.31, 162.64, 153.49, 148.20, 142.08, 138.54, 134.04, 131.11, 131.04, 130.97, 129.01, 128.50, 127.66, 125.97, 125.94, 124.13, 123.98, 123.85, 114.67, 66.63, 28.27; Anal. Calcd for C<sub>26</sub>H<sub>19</sub>N<sub>2</sub>O<sub>2</sub>S: C, 64.99; H, 3.99; N, 5.83; Found: C, 64.56; H, 4.25; N, 6.09.

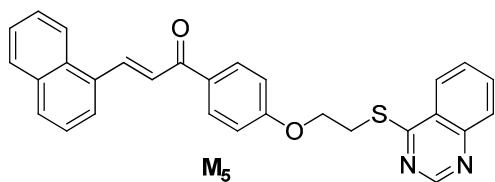


*(E)-3-(2-chloro-6-fluorophenyl)-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)prop-2-en-1-one* (**M<sub>3</sub>**). Yellow solid; m.p. 109.5–110.8 °C; yield, 61.2%; IR (KBr, cm<sup>-1</sup>)  $\nu$ : 3041.8–3082.3 (C–H of benzene), 1666.5

(C=N), 1609.6 (C=O), 1486.2–1560.4 (C=C and benzene and Qu-ring), 1319.3 (C–N), 1257.6 (C–O); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 9.02 (s, 1H, Qu-2-H), 8.11 (d, *J* = 8.59 Hz, 1H, Qu-8-H), 8.05 (d, *J* = 9.16 Hz, 2H, CO–Ph-2,6-H), 7.99 (d, *J* = 8.02 Hz, 1H, Qu-5-H), 7.97 (d, *J* = 16.04 Hz, 1H, Ar–CH), 7.87 (t, *J*<sub>1</sub> = 8.02 Hz, *J*<sub>2</sub> = 7.45 Hz, 1H, Qu-7-H), 7.82 (d, *J* = 16.04 Hz, 1H, Ph–CO=CH), 7.61 (t, *J*<sub>1</sub> = 8.02 Hz, *J*<sub>2</sub> = 7.45 Hz, 1H, Qu-6-H), 7.33–7.21 (m, 3H, Ar-3-4-5-H), 7.08 (d, *J* = 9.16 Hz, 2H, CO–Ph-3,5-H), 4.43 (t, *J*<sub>1</sub> = 6.87 Hz, *J*<sub>2</sub> = 6.30 Hz, 2H, –OCH<sub>2</sub>–), 3.82 (t, *J*<sub>1</sub> = *J*<sub>2</sub> = 6.30 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ in ppm: 188.74, 170.36, 162.56, 153.08, 148.18, 136.63, 134.03, 133.85, 131.14, 130.70, 130.62, 128.98, 128.68, 128.57, 127.65, 126.19, 123.99, 123.88, 115.04, 114.84, 114.62, 66.59, 28.30; Anal. Calcd for C<sub>25</sub>H<sub>18</sub>ClFN<sub>2</sub>O<sub>2</sub>S: C, 64.58; H, 3.90; N, 6.03; Found: C, 65.04; H, 3.32; N, 5.62.

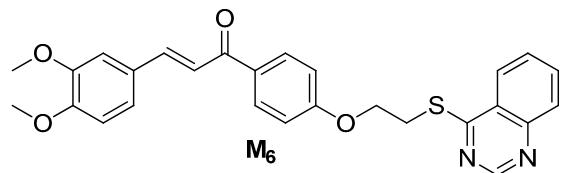


*(E)-3-(2,4-dimethoxyphenyl)-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)prop-2-en-1-one (M<sub>4</sub>).* Yellow solid; m.p. 103.5–104.9 °C; yield, 56.7%; IR (KBr, cm<sup>-1</sup>) ν: 3010.0–3040.9 (C–H of benzene), 1648.2 (C=N), 1602.9 (C=O), 1458.2–1565.3 (C=C and benzene and Qu-ring), 1323.2 (C–N), 1250.8 (C–O), 1183.3 (–O–CH<sub>3</sub>); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ: 9.02 (s, 1H, Qu-2-H), 8.11 (d, *J* = 8.02 Hz, 1H, Qu-8-H), 8.05–8.02 (m, 3H, CO–Ph-2,6-H, Ar–CH), 7.99 (d, *J* = 8.02 Hz, 1H, Qu-5-H), 7.87 (t, *J*<sub>1</sub> = *J*<sub>2</sub> = 6.87 Hz, 1H, Qu-7-H), 7.61 (t, *J*<sub>1</sub> = 8.02 Hz, *J*<sub>2</sub> = 7.45 Hz, 1H, Qu-6-H), 7.58–7.53 (m, 2H, Ar-6-H, Ph–CO=CH), 7.06 (d, *J* = 8.59 Hz, 2H, CO–Ph-3,5-H), 6.54 (d, *J* = 8.02 Hz, 1H, Ar-5-H), 6.54 (s, 1H, Ar-3-H), 4.42 (t, *J*<sub>1</sub> = *J*<sub>2</sub> = 6.59 Hz, 2H, –OCH<sub>2</sub>–), 3.90 (s, 3H, –CH<sub>3</sub>), 3.85 (s, 3H, –CH<sub>3</sub>), 3.82 (t, *J*<sub>1</sub> = 6.01 Hz, *J*<sub>2</sub> = 7.16 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 189.44, 170.34, 162.86, 161.91, 160.32, 153.43, 148.09, 139.80, 133.93, 132.03, 130.86, 130.74, 128.89, 127.55, 123.93, 123.81, 120.23, 117.29, 114.32, 105.34, 98.46, 66.44, 55.56, 55.50, 28.28; Anal. Calcd for C<sub>27</sub>H<sub>24</sub>N<sub>2</sub>O<sub>4</sub> S: C, 68.62; H, 5.12; N, 5.93; Found: C, 68.40; H, 5.04; N, 5.86.



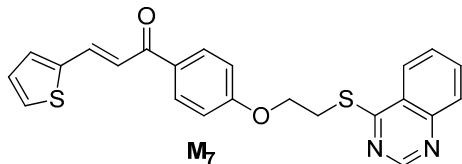
*(E)-3-(naphthalen-1-yl)-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)prop-2-en-1-one (M<sub>5</sub>).* Yellow solid; m.p. 168.5–170.1 °C; yield, 67.6%; IR (KBr, cm<sup>-1</sup>) ν: 3059.2–3040.9 (C–H of benzene), 1651.1 (C=N), 1604.8 (C=O), 1486.2–1561.4 (C=C and benzene and Qu-ring), 1349.2 (C–N), 1256.6 (C–O); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 9.02 (s, 1H, Qu-2-H), 8.66 (d, *J* = 15.45 Hz, 1H, Ar–CH), 8.27 (d, *J* = 8.60 Hz, 2H, Qu-8-H), 8.10–8.08 (m, 3H, CO–Ph-2,6-H, Ar-5), 7.98 (d, *J* = 8.00 Hz, 1H, Ar-4-H), 7.92–7.85 (m, 4H, Ar-5,8-H, Qu-5,7-H), 7.64–7.50 (m, 5H, Ph–CO=CH, Ar-3,6,7-H, Qu-6-H), 7.09 (d, *J* = 9.15 Hz, 2H, CO–Ph-3,5-H), 4.43 (t, *J*<sub>1</sub> = *J*<sub>2</sub> = 6.30 Hz, 2H, –OCH<sub>2</sub>–), 3.82 (t, *J*<sub>1</sub> = 6.85 Hz, *J*<sub>2</sub> = 6.30 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ in ppm: 188.47, 170.25, 162.35, 153.42, 148.11, 141.03, 133.93, 133.72, 132.59, 131.76, 131.38, 130.95, 128.91, 128.72, 127.55, 126.91,

126.27, 125.43, 124.99, 124.54, 123.91, 123.78, 123.57, 114.52, 66.50, 28.22; Anal. Calcd for C<sub>29</sub>H<sub>22</sub>N<sub>2</sub>O<sub>2</sub> S: C, 75.30; H, 4.79; N, 6.06; Found: C, 75.12; H, 4.64; N, 5.93.

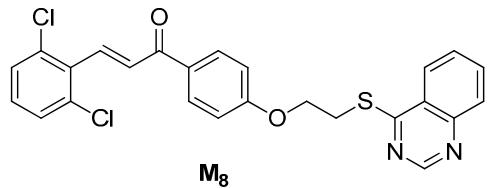


*(E)-3-(3,4-dimethoxyphenyl)-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)prop-2-en-1-one (M<sub>6</sub>)*

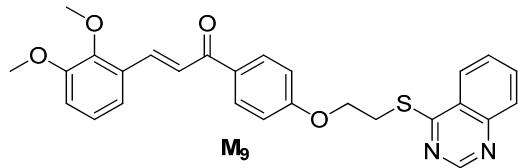
Yellow solid; m.p. 151.2–153.1 °C; yield, 72.5%; IR (KBr, cm<sup>-1</sup>)  $\nu$ : 3023.5–3068.8 (C–H of benzene), 1654.0 (C=N), 1604.9 (C=O), 1490.0–1562.4 (C=C and benzene and Qu-ring), 1328.0 (C–N), 1260.5 (C–O); 1172.7 (–O–CH<sub>3</sub>); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$ : 9.01 (s, 1H, Qu-2-H), 8.10 (d,  $J$  = 7.45 Hz, 1H, Qu-8-H), 8.04 (d,  $J$  = 9.15 Hz, 2H, CO–Ph-2,6-H), 7.98 (d,  $J$  = 8.55 Hz, 1H, Qu-5-H), 7.86 (t,  $J_1$  = 8.60 Hz,  $J_2$  = 6.85 Hz, 1H, Qu-7-H), 7.77 (d, 1H,  $J$  = 15.45 Hz, Ar–CH), 7.60 (t,  $J_1$  = 7.40 Hz,  $J_2$  = 6.90 Hz, 1H, Qu-6-H), 7.41 (d,  $J$  = 15.45 Hz, 1H, Ph–CO=CH), 7.23 (dd,  $J_1$  =  $J_2$  = 1.70 Hz, 1H, Ar-2-H), 7.15 (d,  $J$  = 1.75 Hz, 1H, Ar-6-H), 7.07 (d,  $J$  = 8.60 Hz, 2H, CO–Ph-3,5-H), 4.42 (t,  $J_1$  = 6.60,  $J_2$  = 7.40 Hz, 2H, –OCH<sub>2</sub>–), 3.95 (s, 3H, –CH<sub>3</sub>), 3.92 (s, 3H, –CH<sub>3</sub>), 3.81 (t,  $J_1$  = 7.35 Hz,  $J_2$  = 6.30 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>)  $\delta$ : 188.71, 170.25, 162.13, 153.41, 151.26, 149.20, 148.09, 144.24, 133.92, 131.62, 130.76, 128.90, 128.01, 127.54, 123.89, 123.77, 122.98, 119.75, 114.41, 111.10, 110.07, 66.46, 55.99, 55.97, 28.22; Anal. Calcd for C<sub>27</sub>H<sub>24</sub>N<sub>2</sub>O<sub>4</sub>S: C, 68.62; H, 5.12; N, 5.93; Found: C, 68.30; H, 5.11; N, 5.91.



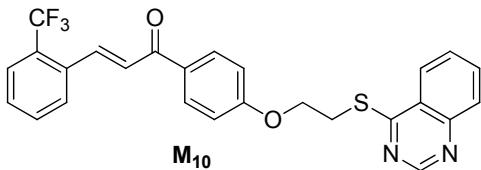
*(E)-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)-3-(thiophen-2-yl)prop-2-en-1-one (M<sub>7</sub>)* Yellow solid; m.p. 173.1–174.6 °C; yield, 67.4%; IR (KBr, cm<sup>-1</sup>)  $\nu$ : 3022.5–3076.5 (C–H of benzene), 1654.0 (C=N), 1601.9 (C=O), 1485.2–1589.4 (C=C and benzene and Qu-ring), 1321.3 (C–N), 1255.7 (C–O); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  in ppm: 9.01 (s, 1H, Qu-2-H), 8.09 (d,  $J$  = 8.00 Hz, 1H, Qu-8-H), 8.02 (d,  $J$  = 9.15 Hz, 2H, CO–Ph-2,6-H), 7.98 (d,  $J$  = 8.05 Hz, 1H, Qu-5-H), 7.94 (d,  $J$  = 15.45 Hz, 1H, Ar–CH), 7.86 (t,  $J_1$  = 8.60 Hz,  $J_2$  = 6.90 Hz, 1H, Qu-7-H), 7.59 (t, 1H,  $J_1$  = 8.00 Hz,  $J_2$  = 7.45 Hz, Qu-6-H), 7.40 (d, 1H,  $J$  = 4.60 Hz, Ar-5-H), 7.34–7.31 (m, 2H, Ar-3,4-H), 7.08–7.04 (m, 3H, Ph–CO=CH, CO–Ph-3,5-H), 4.41 (t,  $J_1$  = 6.30 Hz,  $J_2$  = 6.85 Hz, 2H, –OCH<sub>2</sub>–), 3.81 (t,  $J_1$  = 6.85 Hz,  $J_2$  = 6.30 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>)  $\delta$  in ppm: 187.99, 170.24, 162.25, 153.40, 148.08, 140.52, 136.48, 133.90, 131.78, 131.30, 130.73, 128.89, 128.48, 128.29, 127.52, 123.88, 123.76, 120.55, 114.45, 66.47, 28.21; Anal. Calcd for C<sub>23</sub>H<sub>18</sub>N<sub>2</sub>O<sub>2</sub> S<sub>2</sub>: C, 66.00; H, 4.33; N, 6.69; Found: C, 65.59; H, 4.66; N, 6.64.



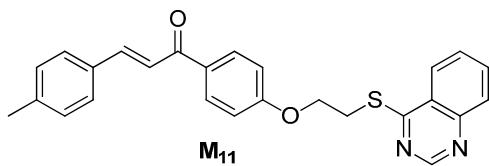
**(E)-3-(2,6-dichlorophenyl)-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)prop-2-en-1-one (M<sub>8</sub>)** Yellow solid; m.p. 160.5–162.3 °C; yield, 78.6%; IR (KBr, cm<sup>-1</sup>)  $\nu$ : 3032.2–3081.4 (C–H of benzene), 1659.8 (C=N), 1614.4 (C=O), 1486.2–1563.3 (C=C and benzene and Qu-ring), 1333.8 (C–N), 1260.5 (C–O); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 9.01 (s, 1H, Qu-2-H), 8.10 (d,  $J$  = 8.05 Hz, 1H, Qu-8-H), 8.04 (d,  $J$  = 9.15 Hz, 2H, CO–Ph-2,6-H), 7.98 (d,  $J$  = 8.00 Hz, 1H, Qu-5-H), 7.88 (d,  $J$  = 8.59 Hz, 1H, Ar-4-H), 7.85 (d,  $J$  = 16.04 Hz, 1H, Ar–CH), 7.68 (d,  $J$  = 16.05 Hz, 1H, Ph–CO=CH), 7.60 (t,  $J_1$  = 8.02 Hz,  $J_2$  = 7.45 Hz, 1H, Qu-7-H), 7.38 (d,  $J$  = 8.00 Hz, 2H, Ar-3,5-H), 7.19 (t,  $J_1$  = 8.02 Hz,  $J_2$  = 8.59 Hz, 1H, Qu-6-H), 7.08 (d,  $J$  = 9.20 Hz, 2H, CO–Ph-3,5-H), 4.42 (t,  $J_1$  = 6.85 Hz,  $J_2$  = 6.30 Hz, 2H, –OCH<sub>2</sub>–), 3.81 (t,  $J_1$  = 6.30 Hz,  $J_2$  = 6.85 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ in ppm: 188.37, 170.24, 162.50, 153.40, 148.06, 137.09, 135.12, 133.93, 132.78, 131.12, 130.91, 130.34, 129.71, 128.88, 128.81, 127.55, 123.87, 123.76, 114.53, 66.48, 28.18; Anal. Calcd for C<sub>25</sub>H<sub>18</sub>Cl<sub>2</sub>N<sub>2</sub>O<sub>4</sub>S: C, 62.37; H, 3.77; N, 5.82; Found: C, 61.12; H, 3.72; N, 5.72.



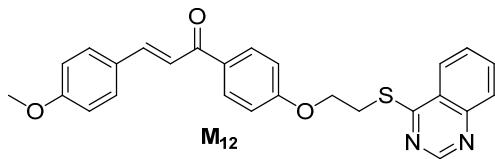
**(E)-3-(2,3-dimethoxyphenyl)-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)prop-2-en-1-one (M<sub>9</sub>)** Yellow solid; m.p. 174–176 °C; yield, 48.6%; IR (KBr, cm<sup>-1</sup>)  $\nu$ : 3016.8–3066.9 (C–H of benzene), 1661.7 (C=N), 1608.5 (C=O), 1485.2–1560.4 (C=C and benzene and Qu-ring), 1319.3 (C–N), 1249.9 (C–O), 1150.5 (–O–CH<sub>3</sub>); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 9.02 (s, 1H, Qu-2-H), 8.10 (d,  $J$  = 7.45 Hz, 1H, Qu-8-H), 8.10 (d,  $J$  = 16.04 Hz, 1H, Ar–CH), 8.05 (d,  $J$  = 9.16 Hz, 2H, CO–Ph-2,6-H), 7.99 (d,  $J$  = 8.59 Hz, 1H, Qu-5-H), 7.87 (t,  $J_1$  = 6.87 Hz,  $J_2$  = 7.45 Hz, 1H, Qu-7-H), 7.62–7.59 (m, 2H, Ph–CO=CH, Qu-6-H), 7.28 (d,  $J$  = 8.02 Hz, 1H, Ar-6-H), 7.10 (d,  $J_1$  =  $J_2$  = 8.02 Hz, 1H, Ar-5-H), 7.07 (d,  $J$  = 8.59 Hz, 2H, CO–Ph-3,5-H), 6.97 (d,  $J$  = 6.87 Hz, 1H, Ar-4-H), 4.42 (t,  $J_1$  = 6.30 Hz,  $J_2$  = 6.87 Hz, 2H, –OCH<sub>2</sub>–), 3.89 (s, 3H, –OCH<sub>3</sub>), 3.88 (s, 3H, –OCH<sub>3</sub>), 3.82 (t,  $J_1$  = 6.87 Hz,  $J_2$  = 6.30 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ in ppm: 189.14, 170.38, 162.30, 153.51, 153.31, 148.93, 148.17, 138.99, 134.04, 131.59, 130.98, 129.37, 128.98, 127.65, 124.28, 123.99, 119.67, 114.52, 114.03, 66.55, 61.44, 55.98, 28.31; Anal. Calcd for C<sub>27</sub>H<sub>22</sub>N<sub>2</sub>O<sub>4</sub>S: C, 68.62; H, 5.12; N, 5.93; Found: C, 68.32; H, 5.08; N, 5.78.



*(E)-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)-3-(2-(trifluoromethyl)phenyl)prop-2-en-1-one (M<sub>10</sub>)* Yellow solid; m.p. 151.4–153.2 °C; yield, 72.5%; IR (KBr, cm<sup>-1</sup>)  $\nu$ : 3032.3–3072.3 (C–H of benzene), 1668.5 (C=N), 1616.4 (C=O), 1482.3–1558.5 (C=C and benzene and Qu-ring), 1319.3 (C–N), 1276.9 (C–O); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 9.02 (s, 1H, Qu-2-H), 8.12–8.09 (m, 2H, Ar–CH, Qu-8-H), 8.04 (d,  $J$  = 8.6 Hz, 2H, CO–Ph-2,6-H), 7.99 (d,  $J$  = 8.05 Hz, 1H, Qu-5-H), 7.87 (t,  $J_1$  = 6.85 Hz,  $J_2$  = 7.45 Hz, 1H, Qu-7-H), 7.83 (d,  $J$  = 7.45 Hz, 1H, Ar-3-H), 7.73 (d,  $J$  = 8.00 Hz, 1H, Ar-6-H), 7.62–7.58 (m, 2H, Ar-4,5-H), 7.49 (t,  $J_1$  = 8.00 Hz,  $J_2$  = 7.45 Hz, 1H, Qu-6-H), 7.44 (d,  $J$  = 15.45 Hz, 1H, Ph–CO–CH), 7.08 (d,  $J$  = 9.15 Hz, 2H, CO–Ph-3,5-H), 4.42 (t,  $J_1$  = 6.30 Hz,  $J_2$  = 6.90 Hz, 2H, –OCH<sub>2</sub>–), 3.82 (t,  $J_1$  = 6.85 Hz,  $J_2$  = 6.30 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ in ppm: 188.47, 170.25, 162.47, 153.41, 148.07, 139.43, 134.20, 133.96, 132.06, 131.08, 130.85, 129.52, 129.00, 128.89, 127.94, 127.57, 126.41, 126.25, 126.21, 123.89, 123.78, 114.52, 66.49, 28.18; Anal. Calcd for C<sub>26</sub>H<sub>19</sub>F<sub>3</sub>N<sub>2</sub>O<sub>2</sub>S: C, 64.99; H, 3.99; N, 5.83; Found: C, 64.46; H, 4.27; N, 5.88.

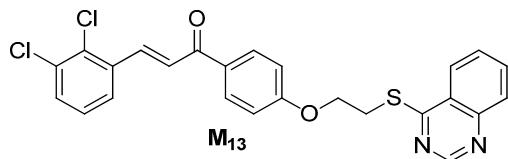


*(E)-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)-3-(p-tolyl)prop-2-en-1-one (M<sub>11</sub>)* Yellow solid; m.p. 153.3–154.9 °C; yield, 56.7%; IR (KBr, cm<sup>-1</sup>)  $\nu$ : 3023.5–3059.3 (C–H of benzene), 2943.5 (–CH<sub>3</sub>); 1654.0 (C=N), 1601.9 (C=O), 1486.2–1565.3 (C=C and benzene and Qu-ring), 1323.2 (C–N), 1264.3 (C–O); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 9.01 (s, 1H, Qu-2-H), 8.09 (d,  $J$  = 8.02 Hz, 1H, Qu-8-H), 8.04 (d,  $J$  = 9.16 Hz, 2H, CO–Ph-2,6-H), 7.98 (d,  $J$  = 8.59 Hz, 1H, Qu-5-H), 7.87 (t,  $J_1$  =  $J_2$  = 6.87 Hz, 1H, Qu-7-H), 7.78 (d,  $J$  = 16.04 Hz, 1H, Ar–CH), 7.60 (t,  $J_1$  = 6.87 Hz,  $J_2$  = 8.02 Hz, 1H, Qu-6-H), 7.55 (d,  $J$  = 8.02 Hz, 2H, Ar-2,6-H), 7.52 (d,  $J$  = 15.46 Hz, 2H, Ph–CO=CH), 7.23 (d,  $J$  = 8.02 Hz, 2H, Ar-3,5-H), 7.06 (d,  $J$  = 8.59 Hz, 2H, CO–Ph-3,5-H), 4.42 (t,  $J_1$  = 6.30 Hz,  $J_2$  = 6.87 Hz, 2H, –OCH<sub>2</sub>–), 3.82 (t,  $J_1$  = 6.87 Hz,  $J_2$  = 6.30 Hz, 2H, –SCH<sub>2</sub>–), 2.38 (s, 3H, –CH<sub>3</sub>); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ in ppm: 188.88, 170.37, 162.28, 153.52, 148.18, 144.28, 140.97, 134.04, 132.38, 131.62, 130.91, 129.76, 128.99, 128.50, 127.65, 123.99, 123.89, 120.86, 114.52, 66.55, 28.31, 21.64; Anal. Calcd for C<sub>26</sub>H<sub>22</sub>N<sub>2</sub>O<sub>2</sub>S: C, 73.21; H, 5.20; N, 6.57; Found: C, 73.08; H, 5.12; N, 6.26.

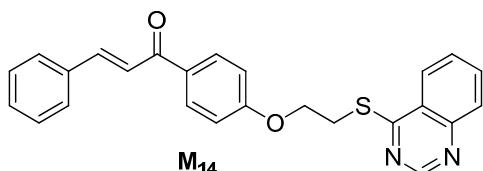


*(E)-3-(4-methoxyphenyl)-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)prop-2-en-1-one (M<sub>12</sub>)* Yellow solid; m.p. 109.5–111.4 °C; yield, 62.3%; IR (KBr, cm<sup>-1</sup>)  $\nu$ : 3017.3–3067.5 (C–H of benzene), 2930.0–2946.3 (–O–CH<sub>3</sub>), 1653.0 (C=N), 1602.9 (C=O), 1490.7–1563.3 (C=C and benzene and Qu-ring), 1326.1 (C–N),

1264.3 (C–O), 1168.9 (–CH<sub>3</sub>); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 9.02 (s, 1H, Qu-2-H), 8.10 (d, *J* = 8.02 Hz, 1H, Qu-8-H), 8.04 (d, *J* = 8.59 Hz, 2H, CO–Ph-2,6-H), 7.99 (d, *J* = 8.59 Hz, 1H, Qu-5-H), 7.87 (t, *J*<sub>1</sub> = 8.59 Hz, *J*<sub>2</sub> = 6.87 Hz, 1H, Qu-7-H), 7.79 (d, *J* = 15.46 Hz, 1H, Ar–CH), 7.62–7.59 (m, 3H, Qu-6-H, Ar-2,6-H), 7.44 (d, *J* = 15.46 Hz, 1H, Ph–CO=CH), 7.07 (d, *J* = 9.16 Hz, 2H, CO–Ph-3,5-H), 6.94 (d, *J* = 9.16 Hz, 2H, Ar-3,5-H), 4.42 (t, *J*<sub>1</sub> = *J*<sub>2</sub> = 6.59 Hz, 2H, –OCH<sub>2</sub>–), 3.85 (s, 3H, –OCH<sub>3</sub>), 3.82 (t, *J*<sub>1</sub> = *J*<sub>2</sub> = 6.30 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ in ppm: 188.81, 170.38, 162.19, 161.60, 153.51, 148.17, 144.03, 134.04, 131.75, 130.84, 130.23, 128.99, 127.84, 127.65, 127.56, 123.89, 119.54, 114.48, 114.46, 66.53, 55.51, 28.31; Anal. Calcd for C<sub>26</sub>H<sub>22</sub>N<sub>2</sub>O<sub>3</sub>S: C, 70.57; H, 5.01; N, 6.33; Found: C, 70.91; H, 4.73; N, 5.96.

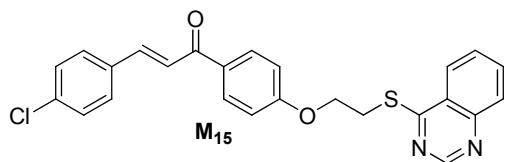


(*E*)-3-(2,3-dichlorophenyl)-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)prop-2-en-1-one (**M<sub>13</sub>**) Yellow solid; m.p. 141.3–123.1 °C; yield, 71.1%; IR (KBr, cm<sup>-1</sup>) ν: 3040.9–3058.2 (C–H of benzene), 1662.7 (C=N), 1606.7 (C=O), 1419.6–1560.4 (C=C and benzene and Qu-ring), 1330.9 (C–N), 1250.8 (C–O); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 9.02 (s, 1H, Qu-2-H), 8.16 (d, 1H, *J* = 15.45 Hz, Ar–CH), 8.11 (d, 1H, *J* = 7.45 Hz, Qu-8-H), 8.04 (d, 2H, *J* = 8.60 Hz, CO–Ph-2,6-H), 7.99 (d, 1H, *J* = 8.05 Hz, Qu-5-H), 7.88 (t, 1H, *J*<sub>1</sub> = 6.85 Hz, *J*<sub>2</sub> = 8.60 Hz, Qu-7-H), 7.65–7.61 (m, 2H, Ar-4,6-H), 7.51 (t, 1H, *J*<sub>1</sub> = 8.00 Hz, *J*<sub>2</sub> = 7.40 Hz, Qu-6-H), 7.26–7.22 (m, 1H, Ar-5-H), 7.47 (d, 1H, *J* = 15.45 Hz, Ph–CO–CH), 7.08 (d, 2H, *J* = 8.60 Hz, CO–Ph-3,5-H), 4.43 (t, 2H, *J*<sub>1</sub> = 6.30 Hz, *J*<sub>2</sub> = 6.85 Hz, –OCH<sub>2</sub>–), 3.82 (t, 2H, *J*<sub>1</sub> = 6.85 Hz, *J*<sub>2</sub> = 6.30 Hz, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ in ppm: 188.41, 170.35, 162.61, 153.49, 148.18, 139.89, 135.95, 134.16, 134.05, 133.48, 131.55, 131.14, 131.03, 128.99, 127.67, 127.44, 125.97, 125.87, 123.99, 123.87, 114.65, 66.61, 28.28; Anal. Calcd for C<sub>25</sub>H<sub>18</sub>Cl<sub>2</sub>N<sub>2</sub>O<sub>2</sub>S: C, 62.37; H, 3.77; N, 5.82; Found: C, 62.54; H, 3.56; N, 5.46.

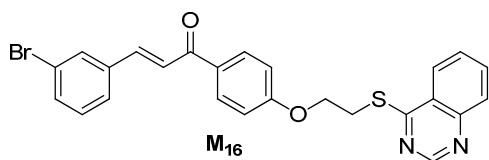


(*E*)-3-phenyl-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)prop-2-en-1-one (**M<sub>14</sub>**) White solid; m.p. 123.5–124.9 °C; yield, 62.7%; IR (KBr, cm<sup>-1</sup>) ν: 3035.1–3078.5 (C–H of benzene), 1662.7 (C=N), 1608.7 (C=O), 1485.2–1560.4 (C=C and benzene and Qu-ring), 1321.3 (C–N), 1254.7 (C–O); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 9.02 (s, 1H, Qu-2-H), 8.11 (d, *J* = 8.59 Hz, 1H, Qu-8-H), 8.07–8.04 (m, 3H, Ar–CH, CO–Ph-2,6-H), 7.99 (d, *J* = 8.59 Hz, 1H, Qu-5-H), 7.87 (t, *J*<sub>1</sub> = 8.59 Hz, *J*<sub>2</sub> = 6.87 Hz, 1H, Qu-7-H), 7.81 (dd, *J*<sub>1</sub> = *J*<sub>2</sub> = 5.73 Hz, 2H, Ar-2,6-H), 7.65–7.53 (m, 3H, Qu-6-H, Ar-6-H, Ph–CO=CH), 7.55 (dd, *J*<sub>1</sub> = 5.15 Hz, *J*<sub>2</sub> = 4.58 Hz, 1H, Ar-4-H), 7.42–7.40 (m, 2H, Ar-3,5-H), 7.08 (d, *J* = 9.16 Hz, 2H, CO–Ph-3,5-H), 4.43 (t, *J*<sub>1</sub> = 6.30 Hz, *J*<sub>2</sub> = 6.87 Hz, 2H, –OCH<sub>2</sub>–), 3.82 (t, *J*<sub>1</sub> = 6.30 Hz, *J*<sub>2</sub> = 6.87 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ in ppm: 188.79, 170.37, 162.37, 153.51, 148.17, 144.27, 144.19, 135.11, 134.05, 131.48, 130.48, 129.03, 128.99, 128.48, 127.66, 123.99, 123.88, 121.87,

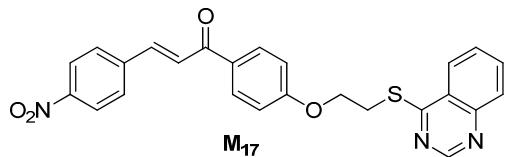
114.56, 66.56, 28.30; Anal. Calcd for C<sub>25</sub>H<sub>20</sub>N<sub>2</sub>O<sub>2</sub>S: C, 72.79.; H, 4.89; N, 6.79; Found: C, 73.12; H, 5.11; N, 5.91.



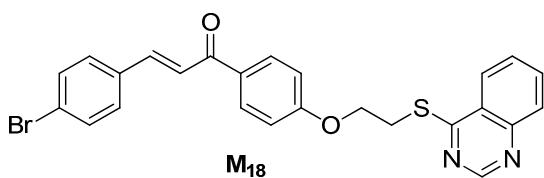
**(E)-3-(4-chlorophenyl)-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)prop-2-en-1-one (M<sub>15</sub>)** Yellow solid; m.p. 188.5–190.1 °C; yield, 57.7%; IR (KBr, cm<sup>-1</sup>)  $\nu$ : 3042.8–3072.7 (C–H), 1662.7 (C=N), 1607.7 (C=O), 1485.2–1560.4 (C=C and benzene and Qu-ring), 1320.3 (C–N), 1257.6 (C–O); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  in ppm: 9.01 (s, 1H, Qu-2-H), 8.10 (d,  $J$  = 8.25 Hz, 1H, Qu-8-H), 8.04 (d,  $J$  = 9.20 Hz, 2H, CO–Ph-2,6-H), 7.99 (d,  $J$  = 8.25 Hz, 1H, Qu-5-H), 7.87 (t,  $J$ <sub>1</sub> = 8.20 Hz,  $J$ <sub>2</sub> = 7.35 Hz, 1H, Qu-7-H), 7.75 (d,  $J$  = 15.55 Hz, 1H, Ar–CH), 7.62 (t,  $J$ <sub>1</sub> = 6.85 Hz,  $J$ <sub>2</sub> = 8.25 Hz, 1H, Qu-6-H), 7.57 (d,  $J$  = 8.25 Hz, 2H, CO–Ph-3,5-H), 7.51 (d,  $J$  = 15.55 Hz, 1H, Ph–CO–CH), 7.39 (d,  $J$  = 8.25 Hz, 2H, Ar-2,6-H), 7.08 (d,  $J$  = 9.20 Hz, 2H, Ar-3,4-H), 4.43 (t,  $J$ <sub>1</sub> =  $J$ <sub>2</sub> = 6.30 Hz, 2H, –OCH<sub>2</sub>–), 3.82 (t,  $J$ <sub>1</sub> = 6.40 Hz,  $J$ <sub>2</sub> = 6.90 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>)  $\delta$  in ppm: 188.47, 170.34, 162.49, 153.49, 148.21, 142.64, 136.31, 134.02, 133.59, 133.65, 130.95, 129.58, 129.29, 129.00, 127.64, 124.00, 123.86, 122.36, 114.62, 66.62, 28.30; Anal. Calcd for C<sub>25</sub>H<sub>19</sub>ClN<sub>2</sub>O<sub>2</sub>S: C, 67.18; H, 4.23; N, 6.27; Found: C, 67.63; H, 4.67; N, 6.26.



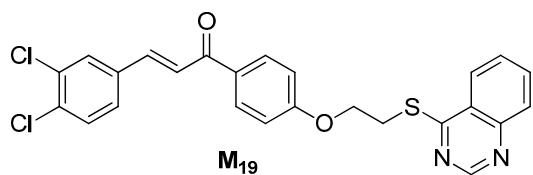
**(E)-3-(3-bromophenyl)-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)prop-2-en-1-one (M<sub>16</sub>)** Yellow solid; m.p. 124.5–125.8 °C; yield, 56.5%; IR (KBr, cm<sup>-1</sup>)  $\nu$ : 3041.8–3079.4 (C–H of benzene), 1652.1 (C=N), 1602.9 (C=O), 1463.0–1564.3 (C=C and benzene and Qu-ring), 1326.1 (C–N), 1268.2 (C–O); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  in ppm: 9.02 (s, 1H, Qu-2-H), 8.11 (d, 1H,  $J$  = 9.20 Hz, Qu-8-H), 8.05 (d, 2H,  $J$  = 9.15 Hz, CO–Ph-2,6-H), 7.99 (s, 1H, Ar-2-H),  $J$  = 8.05 Hz, Qu-5-H), 7.89 (t, 1H,  $J$ <sub>1</sub> = 8.60 Hz,  $J$ <sub>2</sub> = 6.85 Hz, Qu-7-H), 7.79 (s, 1H, Ar-4,6-H), 7.72 (d, 1H,  $J$  = 16.05 Hz, Ar–CH), 7.61 (t, 1H,  $J$ <sub>1</sub> = 6.90 Hz,  $J$ <sub>2</sub> = 8.55 Hz, Qu-6-H), 7.54–7.51 (m, 3H, Ar-4,6-H, Ph–CO–CH), 7.29 (t, 1H,  $J$ <sub>1</sub> =  $J$ <sub>2</sub> = 8.00 Hz, Ar-5-H), 7.08 (d, 2H,  $J$  = 9.15 Hz, CO–Ph-3,5-H,), 4.43 (t, 2H,  $J$ <sub>1</sub> =  $J$ <sub>2</sub> = 6.60 Hz, –OCH<sub>2</sub>–), 3.82 (t, 2H,  $J$ <sub>1</sub> =  $J$ <sub>2</sub> = 6.60 Hz, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>)  $\delta$  in ppm: 188.29, 170.36, 162.56, 153.50, 148.17, 142.39, 137.25, 134.06, 133.17, 131.18, 131.03, 130.79, 130.53, 128.99, 127.67, 127.33, 123.99, 123.88, 123.14, 123.09, 114.62, 66.59, 28.28; Anal. Calcd for C<sub>25</sub>H<sub>19</sub>BrN<sub>2</sub>O<sub>2</sub>S: C, 61.10; H, 3.90; N, 5.70; Found: C, 61.52; H, 3.46; N, 5.31.



*(E)-3-(4-nitrophenyl)-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)prop-2-en-1-one (M<sub>17</sub>)* Yellow solid; m.p. 184.8–186.2 °C; yield, 61.3%; IR (KBr, cm<sup>-1</sup>)  $\nu$ : 3041.8–3053.4 (C–H of benzene), 1663.6 (C=N), 1600.9 (C=O), 1485.2–1560.4 (C=C and benzene and Qu-ring), 1341.5 (C–N), 1260.5 (C–O); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 9.02 (s, 1H, Qu-2-H), 8.29 (d,  $J$  = 8.59 Hz, 2H, Ar-3,5-H), 8.11 (d,  $J$  = 8.59 Hz, 1H, Qu-8-H), 8.07 (d,  $J$  = 8.59 Hz, 2H, Ar-2,6-H), 7.99 (d,  $J$  = 8.02 Hz, 1H, Qu-5-H), 7.88 (t,  $J_1$  = 8.59 Hz,  $J_2$  = 6.87 Hz, 1H, Qu-7-H), 7.83–7.80 (m, 3H, Ar–CH, CO–Ph-3,5-H), 7.67 (d,  $J$  = 16.04 Hz, 1H, Ph–CO=CH), 7.62 (d,  $J$  = 8.02 Hz, 1H, Qu-6-H), 7.10 (d,  $J$  = 9.16 Hz, 2H, CO–Ph-3,5-H), 4.44 (t,  $J_1$  =  $J_2$  = 6.59 Hz, 2H, –OCH<sub>2</sub>–), 3.83 (t,  $J_1$  =  $J_2$  = 6.30 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ in ppm: 187.83, 170.31, 162.83, 161.91, 153.49, 148.17, 141.33, 140.92, 134.08, 131.13, 129.92, 129.01, 128.95, 127.69, 126.32, 125.64, 124.31, 123.86, 114.75, 66.65, 28.25; Anal. Calcd for C<sub>25</sub>H<sub>19</sub>N<sub>3</sub>O<sub>4</sub>S: C, 65.63; H, 4.19; N, 9.18; Found: C, 66.02; H, 3.87; N, 8.75.

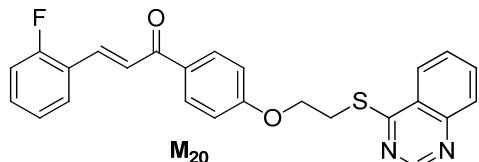


*(E)-3-(4-bromophenyl)-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)prop-2-en-1-one (M<sub>18</sub>)* Yellow solid; m.p. 204.2–205.7 °C; yield, 56.1%; IR (KBr, cm<sup>-1</sup>)  $\nu$ : 3042.8–3073.7 (C–H of benzene), 1654.0 (C=N), 1604.8 (C=O), 1483.6–1560.4 (C=C and benzene and Qu-ring), 1319.3 (C–N), 1253.7 (C–O); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 9.01 (s, 1H, Qu-2-H), 8.09 (d,  $J$  = 7.45 Hz, 1H, Qu-8-H), 8.04 (d,  $J$  = 9.15 Hz, 2H, CO–Ph-2,6-H), 7.98 (d,  $J$  = 8.60 Hz, 1H, Qu-5-H), 7.87 (t,  $J_1$  = 8.60 Hz,  $J_2$  = 6.85 Hz, 1H, Qu-7-H), 7.74 (d,  $J$  = 16.00 Hz, 1H, Ar–CH), 7.60 (t,  $J_1$  = 8.05 Hz,  $J_2$  = 7.40 Hz, 1H, Qu-6-H), 7.54–7.48 (m, 5H, Ar-2,3,5,6-H, Ph–CO–CH), 7.07 (d,  $J$  = 8.60 Hz, 2H, CO–Ph-3,5-H), 4.42 (t,  $J_1$  = 6.85 Hz,  $J_2$  = 6.30 Hz, 2H, –OCH<sub>2</sub>–), 3.81 (t,  $J_1$  = 6.75 Hz,  $J_2$  = 6.30 Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ in ppm: 188.39, 170.33, 162.49, 153.50, 148.16, 142.71, 134.05, 134.03, 132.24, 131.26, 130.97, 129.83, 128.99, 127.66, 124.68, 123.97, 123.87, 122.33, 114.60, 66.57, 28.27; Anal. Calcd for C<sub>25</sub>H<sub>19</sub>BrN<sub>2</sub>O<sub>2</sub>S: C, 61.10; H, 3.90; N, 5.70; Found: C, 61.43; H, 3.42; N, 5.18.

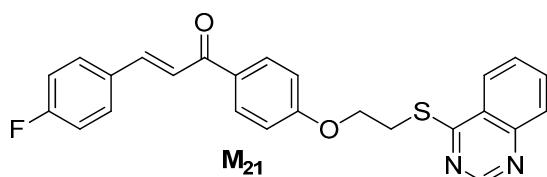


*(E)-3-(3,4-dichlorophenyl)-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)prop-2-en-1-one (M<sub>19</sub>)* Yellow solid; m.p. 107.1–108.9 °C; yield, 58.3%; IR (KBr, cm<sup>-1</sup>)  $\nu$ : 3047.6–3074.6 (C–H of benzene), 1662.7 (C=N), 1609.6 (C=O), 1485.2–1560.4 (C=C and benzene and Qu-ring), 1331.9 (C–N), 1255.7 (C–O); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ: 9.02 (s, 1H, Qu-2-H), 8.11 (d,  $J$  = 8.02 Hz, 1H, Qu-8-H), 8.04 (d,  $J$  = 8.59 Hz, 2H, CO–Ph-2,6-H), 7.99 (d,  $J$  = 8.02 Hz, 1H, Qu-5-H), 7.88 (t,  $J_1$  = 8.59 Hz,  $J_2$  = 6.87 Hz,

1H, Qu-7-H), 7.72–7.66 (m, 2H, Ar–CH, Ar-6-H), 7.61 (t,  $J_1 = 8.02$  Hz,  $J_2 = 7.45$  Hz, 1H, Qu-6-H), 7.53–7.44 (m, 3H, Ph–CO=CH, Ar-2,5-H), 7.09 (d,  $J = 9.16$  Hz, 2H, CO–Ph-3,5-H), 4.43 (t,  $J_1 = 6.87$  Hz,  $J_2 = 6.30$  Hz, 2H, –OCH<sub>2</sub>–), 3.82 (t,  $J_1 = J_2 = 6.59$  Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ: 188.05, 170.38, 162.63, 153.48, 148.13, 141.27, 135.19, 134.26, 134.08, 133.34, 132.26, 131.07, 131.03, 129.73, 128.97, 127.69, 127.60, 123.98, 123.87, 123.35, 114.66, 66.60, 28.28; Anal. Calcd for C<sub>25</sub>H<sub>18</sub>Cl<sub>2</sub>N<sub>2</sub>O<sub>2</sub>S: C, 62.37; H, 3.77; N, 5.82; Found: C, 62.01; H, 3.93; N, 6.07.



*(E)-3-(2-fluorophenyl)-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)prop-2-en-1-one (M<sub>20</sub>)* Yellow solid; m.p. 118.0–119.8 °C; yield, 58.3%; IR (KBr, cm<sup>-1</sup>) ν: 3032.2–3078.2 (C–H of benzene), 1661.8 (C=N), 1609.2 (C=O), 1463.4–1561.4 (C=C and benzene and Qu-ring), 1324.1 (C–N), 1252.2 (C–O); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 9.02 (s, 1H, Qu-2-H), 8.11 (d,  $J = 8.02$  Hz, 1H, Qu-8-H), 8.06 (d,  $J = 9.16$  Hz, 2H, CO–Ph-2,6-H), 7.99 (d,  $J = 8.59$  Hz, 1H, Qu-5-H), 7.90–7.86 (m, 2H, Ar–CH, Qu-7-H), 7.67–7.59 (d, 3H, Ph–CO=CH, Qu-6-H, Ar-4-H), 7.38 (d, 1H,  $J = 8.02$  Hz, 1H, Ar-6-H), 7.19 (t,  $J_1 = 7.45$  Hz,  $J_2 = 6.87$  Hz, 1H, Ar-3-H), 7.13 (t,  $J_1 = 8.59$  Hz,  $J_2 = 8.02$  Hz, 1H, Ar-5-H), 7.08 (d,  $J = 8.59$  Hz, 2H, CO–Ph-3,5-H), 4.42 (t,  $J_1 = J_2 = 6.30$  Hz, 2H, –OCH<sub>2</sub>–), 3.82 (t,  $J_1 = 6.30$  Hz,  $J_2 = 6.87$  Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ in ppm: 188.78, 170.38, 162.46, 153.49, 148.17, 136.94, 134.05, 131.77, 131.69, 131.32, 131.05, 129.92, 128.98, 127.66, 124.57, 124.52, 123.91, 123.19, 116.47, 116.29, 114.59, 66.57, 28.30; Anal. Calcd for C<sub>25</sub>H<sub>19</sub>FN<sub>2</sub>O<sub>2</sub>S: C, 69.75; H, 4.45; N, 6.51; Found: C, 70.19; H, 4.02; N, 6.12.



*(E)-3-(4-fluorophenyl)-1-(4-(2-(quinazolin-4-ylthio)ethoxy)phenyl)prop-2-en-1-one (M<sub>21</sub>)* Yellow solid; m.p. 178.5–180.1 °C; yield, 59.1%; IR (KBr, cm<sup>-1</sup>) ν: 3046.7–3074.6 (C–H of benzene), 1663.6 (C=N), 1609.6 (C=O), 1485.2–1561.4 (C=C and benzene and Qu-ring), 1321.3 (C–N), 1255.7 (C–O); <sup>1</sup>H-NMR (500 MHz, CDCl<sub>3</sub>) δ in ppm: 9.01 (s, 1H, Qu-2-H), 8.10 (d,  $J = 8.55$  Hz, 1H, Qu-8-H), 8.04 (d,  $J = 9.15$  Hz, 2H, CO–Ph-2,6-H), 7.99 (d,  $J = 8.00$  Hz, 1H, Qu-5-H), 7.87 (t,  $J_1 = 7.45$  Hz,  $J_2 = 8.05$  Hz, 1H, Qu-7-H), 7.77 (d,  $J = 15.45$  Hz, 1H, Ar–CH), 7.64–7.59 (m, 3H, Qu-6-H, Ar-2,6-H), 7.47 (d,  $J = 15.46$  Hz, 1H, Ph–CO–CH), 7.10 (t,  $J_1 = J_2 = 8.59$  Hz, 2H, Ar-3,5-H), 7.07 (d,  $J = 9.16$  Hz, 2H, CO–Ph-3,5-H), 4.42 (t,  $J_1 = 6.85$  Hz,  $J_2 = 6.30$  Hz, 2H, –OCH<sub>2</sub>–), 3.82 (t,  $J_1 = 6.85$  Hz,  $J_2 = 6.30$  Hz, 2H, –SCH<sub>2</sub>–); <sup>13</sup>C-NMR (125 MHz, CDCl<sub>3</sub>) δ in ppm: 188.53, 170.35, 162.45, 153.49, 148.21, 142.83, 134.02, 131.43, 130.92, 130.34, 130.28, 128.99, 127.64, 124.00, 123.86, 121.64, 116.25, 116.07, 114.60, 66.61, 28.31; Anal. Calcd for C<sub>25</sub>H<sub>19</sub>FN<sub>2</sub>O<sub>2</sub>S: C, 69.75; H, 4.45; N, 6.51; Found: C, 69.66; H, 4.42; N, 6.46.

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