Method 1. An amine (100 mg), acid (1.2 mol. eq. to the amine), DIPEA (1.2 mol. eq. to the amine), and acetonitrile (0.5 mL) were placed into a 4 mL capped glass vial and stirred for 30 min. After the addition of 2-chloro-1-methylpyridin-1-ium iodide(1.44 mol. eq. to the amine), the vial was stirred for 1 hour at rt. Then the vial was placed into a thermostat (set to 100°C) for 6 hours. After cooling down the mixture was filtered; the solvent and volatile components were evaporated under reduced pressure to give the crude product. The product was further purified by HPLC.

Method 2. An amine (100 mg), an acid (1.1 mol. eq. to the amine), and 0.5 mL of DMSO were placed into a 4 mL capped glass vial and the mixture was stirred for 30 min. Then EDC (1.2 mol. eq. to the amine) was added and the mixture was stirred for 1 hour. If the solution was transparent, the mixture was left overnight at room temperature as is; otherwise, the vial was placed in the ultrasonic bath and left overnight. The solution was filtered, and the solvent and volatile components were evaporated under reduced pressure to give the crude product. The product was further purified by HPLC.

Method 3. An amine (100 mg), DIPEA (1.2 mol. eq. to the amine), and DMSO (0.5 mL) were placed into a 4 mL capped glass vial and stirred for 30 min. After the addition of an aryl halide (1.2 mol. eq. to the amine), it was stirred for 1 hour at rt. Then the vial was placed into a thermostat (set to 100°C) for 9 hours. After cooling down the mixture was filtered; the solvent and volatile components were evaporated under reduced pressure to give the crude product. The product was further purified by HPLC.

Method 4. An amine (100 mg), TEA (1.2 mol. eq. to the amine), and acetonitrile (0.5 mL) were placed into a 4 mL capped glass vial and stirred for 30 min. After the addition of a sulfonyl halide (1 mol. eq. to the amine), the solution was stirred for 12 hours at rt. The solution was filtered, and the solvent and volatile components were evaporated under reduced pressure to give the crude product. The product was further purified by HPLC.

Method 5. An amine (100 mg) and DIPEA (1.1 mol. eq. to the amine; additional equivalents were added when amine used was in salt form) were dissolved in 0.5 ml DMSO. The vial was then shaken for 20 min at rt. An alkyl chloride (1 mol. eq. to the amine) was then added. The vial was sealed and stirred for 1 hour. Then the solution was heated for 8 hours at 100°C. After cooling down the mixture was filtered; the solvent and volatile components were evaporated under reduced pressure to give the crude product. The product was further purified by HPLC.

Method 6. Procedure for this method is described in details in https://doi.org/10.1016/j.tet.2011.03.101

Method 7. Procedure for this method is described in details in https://doi.org/10.1021/co500025f

Method 8. Procedure for this method is described in details in https://doi.org/10.1021/acscombsci.5b00091

Method 9. An acid (100mg) was dissolved in 0.6mL of anhydrous DMSO. A sulfamide (1 mol. eq. to the acid) and dimethylaminopyridine (1.1 mol. eq. to the acid) were then added. The mixture was stirred for 15 minutes; if the components were not fully dissolved, the vial was placed in an ultrasonic bath until the full disappearance of the residue. EDC (1.1 mol. eq. to the acid) was then added to the solution. The mixture was stirred for 72 hours at rt. Then, a 100% formic acid (40 µl) was added to the vial, and the mixture was stirred for 15 minutes. The solvent and volatile components were evaporated under reduced pressure to give a crude product. The product was further purified by HPLC.

Method 10. An amine (100 mg) and a sulfonyl chloride (1 mol. eq. to the amine) were dissolved in pyridine (0.5 mL). The vial was then sealed and the mixture was stirred for 12 hours. Then the mixture was heated at 100 °C

for 2 hours. After the mixture was cooled down, 2 mL of acetonitrile were added to it. The solution was then stirred for 30 min. The precipitate was filtered off, and 0.5 mL of DMSO was added to the mixture. Volatile components were removed under reduced pressure to give a crude product. The product was further purified by HPLC.

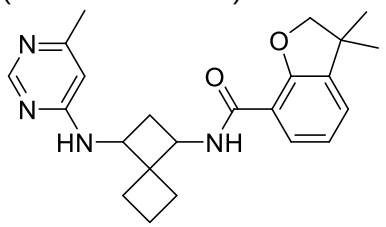
Method 11. Thioamide (100 mg) and 1-bromo-4-(trimethylsilyl)but-3-yn-2-one (1 mol. eq. to the thioamide) were dissolved in methanol (1 mL). The vial was sealed and the reaction mixture was heated at 100°C for 2 hours. After cooling down the mixture, potassium carbonate (200 mg) was added to it. The mixture was stirred for 12 hours at rt and then for 4 hours at 70°C. The solvent was removed under reduced pressure, and the residue was dissolved in dioxane (1.5 mL). An azide (1 mol. eq. to the thioamide) was then added to the solution. It was stirred for 4 hours at rt, and then for 6 hours at 60°C. After cooling down, methanol (2 mL) was added to the reaction mixture, and it was stirred for 30 min. Then DMSO (0.5 mL) was added and volatile components of the mixture were removed under reduced pressure to give a crude product. The product was further purified by HPLC.

Method 12. A mono-Boc-protected diamine (100 mg), DIPEA (1.5 mol. eq. to the diamine), a carboxylic acid (1 mol. eq. to the diamine) were dissolved in DMF (0.6 mL). HATU (1.05 mol. eq. to the diamine) was then added to the mixture and it was stirred for 24 hours at rt. The solvent was evaporated under reduced pressure. Chloroform (3 mL) was added to the residue, this solution was washed with water (1 x 3 mL). Chloroform was then evaporated, and the residue was dissolved in a 10% solution of TFA in methanol (0.6 mL). The vial was then placed in an ultrasonic bath for 1 hour. After that, it was left stirring for 12 hours at rt. Chloroform (2 mL) was added to the mixture and the solvents were evaporated. This procedure was repeated two more times. The residue was dissolved in DMSO (0.5 mL). DIPEA (1.5 mol. eq. to the diamine) and the second carboxylic acid (1 mol. eq. to the diamine) were added to the reaction mixture. HATU (1.05 mol. eq. to the diamine) was then added to the mixture and it was stirred for 24 hours at rt. The solvent was evaporated under reduced pressure. Chloroform (3 mL) was added to the residue, this solution was washed with water (1 x 3 mL). Chloroform was evaporated under reduced pressure to give a crude product. The product was further purified by HPLC.

Method 13. A mono-Boc-protected diamine (100 mg), DIPEA (1.5 mol. eq. to the diamine), a carboxylic acid (1 mol. eq. to the diamine) were dissolved in DMF (0.6 mL). HATU (1.05 mol. eq. to the diamine) was then added to the mixture and it was stirred for 24 hours at rt. The solvent was evaporated under reduced pressure. Chloroform (3 mL) was added to the residue, this solution was washed with water (1 x 3 mL). Chloroform was then evaporated, and the residue was dissolved in a 10% solution of TFA in methanol (0.6 mL). The vial was then placed in an ultrasonic bath for 1 hour. After that, it was left stirring for 12 hours at rt. Chloroform (2 mL) was added to the mixture and the solvents were evaporated. This procedure was repeated two more times. The residue and DIPEA (1.2 mol eq. to the diamine) were dissolved in DMSO (0.5 mL) and stirred for 30 min. After the addition of an aryl halide (1.2 mol. eq. to the amine), it was stirred for 1 hour at rt. Then the vial was placed into a thermostat (set to 100°C) for 9 hours. After cooling down the mixture was filtered; the solvent and volatile components were evaporated under reduced pressure to give the crude product. The product was further purified by HPLC.

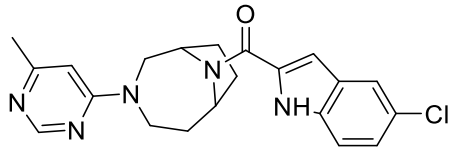
Method # is indicated for each individual compound below

3,3-dimethyl-*N*-(3-((6-methylpyrimidin-4-yl)amino)spiro[3.3]heptan-1-yl)-2,3-dihydrobenzofuran-7-carboxamide (**PV-000002653403**) – **Method 13**



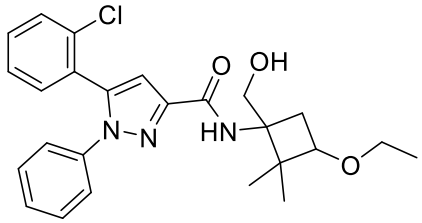
Yield: 45%
^{1}H NMR (600 MHz, DMSO-d_6) δ 8.28 (d, *J* = 6.6 Hz, 1H), 7.88 (d, *J* = 7.5 Hz, 1H), 7.76 (d, *J* = 7.8 Hz, 1H), 7.61 (ddd, *J* = 17.4, 7.8, 1.4 Hz, 1H), 7.52 (d, *J* = 7.9 Hz, 1H), 7.01 – 6.93 (m, 1H), 6.35 (s, 1H), 4.40 (d, *J* = 1.6 Hz, 2H), 4.33 (q, *J* = 7.3 Hz, 1H), 4.19 (s, 1H), 4.07 (dt, *J* = 9.6, 7.7 Hz, 1H), 2.23 – 2.16 (m, 4H), 2.07 (s, 1H), 1.97 – 1.82 (m, 2H), 1.77 (t, *J* = 8.9 Hz, 1H), 1.70 (q, *J* = 7.5 Hz, 1H), 1.54 – 1.45 (m, 1H), 1.30 (d, *J* = 6.0 Hz, 7H).
^{13}C NMR (126 MHz, DMSO-d_6) δ 164.2, 163.9, 158.3, 158.2, 156.8, 138.4, 128.5, 128.4, 126.3, 126.2, 85.3, 52.6, 49.6, 46.5, 41.5, 32.4, 32.0, 27.5, 23.8, 21.6.
LC/MS (APSI) m/z [M+H] calculated for $C_{23}H_{28}N_4O_2$: 392.2, found: 392.3.

(5-chloro-1*H*-indol-2-yl)(3-(6-methylpyrimidin-4-yl)-3,9-diazabicyclo[4.2.1]nonan-9-yl)methanone (**PV-000027612529**) – **Method 13**



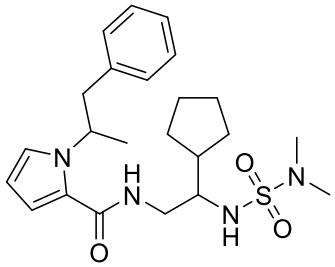
Yield: 49%
^{1}H NMR (600 MHz, DMSO-d_6) δ 11.76 (s, 1H), 8.33 (s, 1H), 7.66 (d, *J* = 2.0 Hz, 1H), 7.42 (d, *J* = 8.7 Hz, 1H), 7.17 (dd, *J* = 8.7, 2.1 Hz, 1H), 6.95 (s, 1H), 6.65 (s, 1H), 4.95 – 4.90 (m, 1H), 4.83 (s, 1H), 4.78 (s, 1H), 4.32 (d, *J* = 4.2 Hz, 1H), 3.90 (s, 0H), 2.23 (s, 3H), 2.14 (s, 2H), 1.84 (d, *J* = 13.1 Hz, 2H), 1.68 (s, 1H), 1.52 (s, 1H), 1.40 (s, 1H), 1.01 (d, *J* = 6.1 Hz, 3H), 0.94 (s, 1H).
^{13}C NMR (126 MHz, DMSO-d_6) δ 157.9, 134.7, 128.8, 124.7, 121.1, 114.3, 101.1, 62.5, 26.0, 24.2.
LC/MS (APSI) m/z [M+H] calculated for $C_{21}H_{22}ClN_5O$: 395.2, found: 396.

5-(2-chlorophenyl)-*N*-(3-ethoxy-1-(hydroxymethyl)-2,2-dimethylcyclobutyl)-1-phenyl-1*H*-pyrazole-3-carboxamide (**PV-001843530178**) – **Method 2**



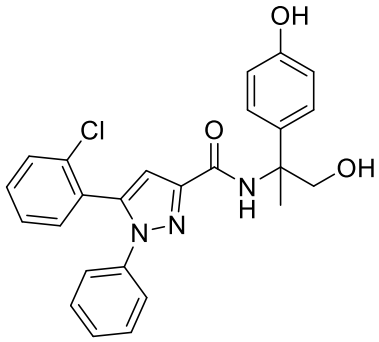
Yield: 62%
^{1}H NMR (600 MHz, DMSO-d_6) δ 7.71 (s, 1H), 7.50 – 7.40 (m, 3H), 7.43 – 7.35 (m, 1H), 7.38 – 7.32 (m, 2H), 7.35 – 7.28 (m, 1H), 7.28 – 7.22 (m, 2H), 6.91 (s, 1H), 4.68 (t, *J* = 5.4 Hz, 1H), 3.97 – 3.91 (m, 1H), 3.56 – 3.46 (m, 2H), 3.40 – 3.30 (m, 2H), 2.43 (dd, *J* = 11.5, 7.4 Hz, 1H), 1.99 (dd, *J* = 11.6, 8.3 Hz, 1H), 1.21 (s, 3H), 1.06 (t, *J* = 7.0 Hz, 3H), 1.04 – 0.94 (m, 4H).
^{13}C NMR (126 MHz, DMSO-d_6) δ 161.1, 147.7, 141.4, 139.7, 133.3, 133.0, 131.8, 130.2, 129.5, 128.5, 128.0, 124.7, 109.7, 76.3, 64.4, 62.3, 56.1, 47.1, 34.9, 23.3, 18.6.
LC/MS (APSI) m/z [M+H] calculated for $C_{25}H_{28}ClN_3O_3$: 453.2, found: 454.

N-(2-cyclopentyl-2-((*N*,*N*-dimethylsulfamoyl)amino)ethyl)-1-(1-phenylpropan-2-yl)-1*H*-pyrrole-2-carboxamide (**PV-001853441755**) – **Method 2**



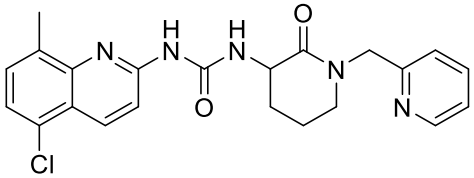
Yield: 69%
^{1}H NMR (600 MHz, DMSO-d_6) δ 7.68 (t, *J* = 5.3 Hz, 1H), 7.18 (t, *J* = 7.4 Hz, 2H), 7.15 – 7.10 (m, 2H), 7.10 – 7.04 (m, 2H), 7.02 (d, *J* = 8.2 Hz, 1H), 6.63 (dd, *J* = 4.1, 2.1 Hz, 1H), 6.01 (t, *J* = 3.3 Hz, 1H), 5.60 (hept, *J* = 7.0 Hz, 1H), 3.30 – 3.21 (m, 2H), 3.00 (ddd, *J* = 12.5, 7.0, 5.0 Hz, 1H), 2.84 (ddd, *J* = 13.4, 7.6, 2.8 Hz, 1H), 2.71 (s, 1H), 2.63 (s, 6H), 2.50 (s, 0H), 1.93 – 1.84 (m, 1H), 1.68 (dt, *J* = 14.8, 5.2 Hz, 1H), 1.61 (s, 0H), 1.64 – 1.55 (m, 0H), 1.52 (td, *J* = 9.8, 8.9, 5.4 Hz, 2H), 1.41 (dd, *J* = 10.6, 5.1 Hz, 1H), 1.37 – 1.25 (m, 4H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 162.2, 139.0, 129.3, 128.5, 126.6, 125.9, 122.8, 112.6, 112.6, 57.3, 57.2, 44.0, 42.7, 40.5, 38.2, 28.4, 25.7, 25.2.
LC/MS (APSI) m/z [M+H] calculated for $C_{23}H_{34}N_4O_3S$: 446.2, found: 447.1.

5-(2-chlorophenyl)-*N*-(1-hydroxy-2-(4-hydroxyphenyl)propan-2-yl)-1-phenyl-1*H*-pyrazole-3-carboxamide (**PV-001856731120**) – **Method 2**



Yield: 39%
^{1}H NMR (600 MHz, DMSO-d_6) δ 9.19 (s, 1H), 7.87 (s, 1H), 7.50 – 7.40 (m, 3H), 7.40 – 7.31 (m, 4H), 7.27 (dd, *J* = 7.1, 1.8 Hz, 2H), 7.18 – 7.12 (m, 2H), 6.86 (s, 1H), 6.70 – 6.64 (m, 2H), 5.29 (t, *J* = 5.6 Hz, 1H), 3.56 (dd, *J* = 10.9, 5.7 Hz, 1H), 3.42 (dd, *J* = 10.9, 5.4 Hz, 1H), 1.70 (s, 3H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 160.4, 156.2, 147.9, 141.8, 139.5, 134.8, 133.3, 133.0, 131.8, 130.1, 129.5, 129.3, 128.7, 127.9, 1272, 124.9, 115.1, 109.5, 70.4, 59.4, 22.5.
LC/MS (APSI) m/z [M+H] calculated for $C_{25}H_{22}ClN_3O_3$: 447.1, found: 448.2.

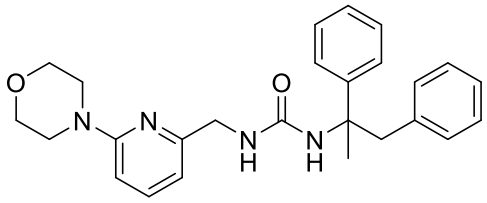
1-(5-chloro-8-methylquinolin-2-yl)-3-(2-oxo-1-(pyridin-2-ylmethyl)piperidin-3-yl)urea (**PV-001945154150**) – **Method 6**



Yield: 23%.
^{1}H NMR (600 MHz, DMSO-d_6) δ 10.02 (s, 1H), 9.82 (s, 1H), 8.52 – 8.46 (m, 1H), 8.38 (d, *J* = 9.1 Hz, 1H), 7.75 (td, *J* = 7.7, 1.8 Hz, 1H), 7.48 (d, *J* = 7.8 Hz, 1H), 7.42 (d, *J* = 7.7 Hz, 1H), 7.36 (d, *J* = 9.1 Hz, 1H), 7.26 (dd, *J* = 7.7, 4.2 Hz, 1H), 7.11 (s, 2H), 4.70 (d, *J* = 15.7 Hz, 1H), 4.52 – 4.40 (m, 2H), 3.39 (tq, *J* = 12.0, 5.3 Hz, 2H), 2.44 (s, 2H), 2.43 (s, 1H), 2.27 (dq, *J* = 10.0, 4.7 Hz, 1H), 1.90 (td, *J* = 8.9, 4.3 Hz, 1H), 1.78 (qd, *J* = 11.4, 4.6 Hz, 1H), 1.45 (s, 2H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 169.4, 157.4, 154.9, 152.7, 149.6, 145.3, 137.3, 135.3, 133.8, 130.7, 128.2, 124.3, 122.7, 121.7, 121.6, 114.8, 52.5, 51.2, 48.3, 28.9, 21.1, 18.2.

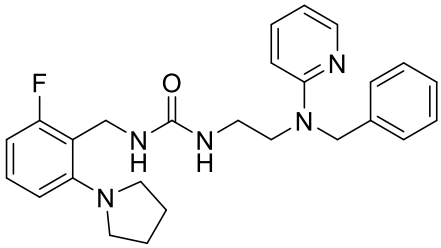
LC/MS (APSI) m/z [M+H] calculated for $C_{22}H_{22}ClN_5O_2$: 423.2, found: 424.2.

1-(1,2-diphenylpropan-2-yl)-3-((6-morpholinopyridin-2-yl)methyl)urea (**PV-001961067500**) – **Method 7**



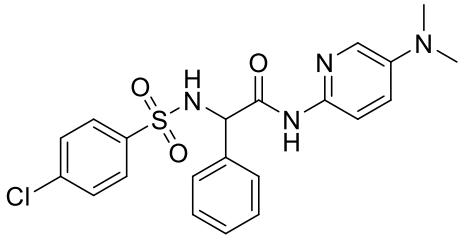
Yield: 22%.
^{1}H NMR (500 MHz, DMSO-d_6) δ 7.54 (t, *J* = 7.9 Hz, 1H), 7.34 (d, *J* = 7.7 Hz, 2H), 7.26 (t, *J* = 7.5 Hz, 2H), 7.22 – 7.13 (m, 4H), 7.01 (dd, *J* = 6.4, 2.8 Hz, 2H), 6.66 (d, *J* = 8.5 Hz, 1H), 6.62 (d, *J* = 7.2 Hz, 1H), 6.37 (t, *J* = 5.9 Hz, 1H), 6.28 (s, 1H), 4.16 (dd, *J* = 16.0, 6.2 Hz, 1H), 4.07 (dd, *J* = 16.1, 5.6 Hz, 1H), 3.68 (t, *J* = 4.8 Hz, 4H), 3.50 (d, *J* = 12.7 Hz, 1H), 3.43 (d, *J* = 9.8 Hz, 2H), 3.08 (d, *J* = 12.7 Hz, 1H), 1.35 (s, 3H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 159.1, 158.3, 157.6, 148.6, 138.5, 138.2, 131.3, 128.2, 127.9, 126.5, 126.2, 125.8, 110.6, 105.3, 66.5, 57.9, 45.6, 45.2, 45.2, 28.2.
LC/MS (APSI) m/z [M+H] calculated for $C_{26}H_{30}N_4O_2$: 430.2, found: 431.3.

1-(2-(benzyl(pyridin-2-yl)amino)ethyl)-3-(2-fluoro-6-(pyrrolidin-1-yl)benzyl)urea (**PV-001962076740**) – **Method 7**



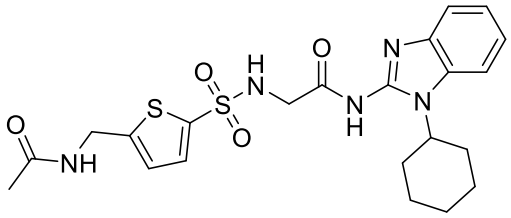
Yield: 49%.
^{1}H NMR (600 MHz, DMSO-d_6) δ 8.01 – 7.97 (m, 1H), 7.42 (ddd, *J* = 8.8, 7.0, 2.0 Hz, 1H), 7.26 (t, *J* = 7.5 Hz, 1H), 7.21 – 7.09 (m, 3H), 6.68 – 6.58 (m, 2H), 6.54 – 6.49 (m, 1H), 4.72 (s, 1H), 4.22 (dd, *J* = 4.9, 2.0 Hz, 1H), 3.16 (tq, *J* = 6.6, 4.6, 3.5 Hz, 4H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 163.7, 158.2, 148.0, 139.5, 137.8, 129.3, 129.2, 128.8, 127.2, 127.1, 112.4, 112.1, 51.4, 37.7.
LC/MS (APSI) m/z [M+H] calculated for $C_{26}H_{30}FN_5O$: 447.2, found: 448.2.

2-((4-chlorophenyl)sulfonamido)-*N*-(5-(dimethylamino)pyridin-2-yl)-2-phenylacetamide (**PV-002089418236**) – **Method 1**



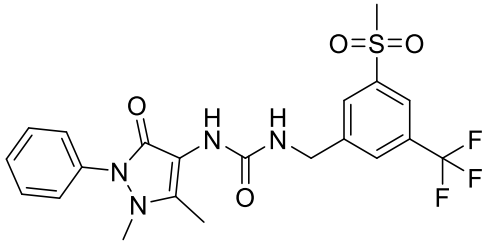
Yield: 20%.
^{1}H NMR (400 MHz, DMSO-d_6) δ 10.44 (s, 1H), 8.81 (s, 1H), 7.78 (d, *J* = 3.1 Hz, 1H), 7.72 (d, *J* = 8.0 Hz, 2H), 7.60 (d, *J* = 9.1 Hz, 1H), 7.41 (dd, *J* = 18.3, 7.5 Hz, 4H), 7.23 (q, *J* = 7.2, 6.5 Hz, 3H), 7.10 (dd, *J* = 9.2, 3.2 Hz, 1H), 5.32 (s, 1H), 2.84 (s, 5H).
^{13}C NMR (101 MHz, DMSO-d_6) δ 167.6, 144.2, 142.0, 140.2, 137.6, 137.5, 132.5, 129.2, 129.0, 128.7, 128.2, 127.7, 121.8, 114.4, 60.1, 40.6.
LC/MS (APSI) m/z [M+H] calculated for $C_{21}H_{21}ClN_4O_3S$: 444.1, found: 445.2.

2-((5-(acetamidomethyl)thiophene)-2-sulfonamido)-*N*-(1-cyclohexyl-1*H*-benzo[d]imidazol-2-yl)acetamide (**PV-002260335185**) – **Method 2**



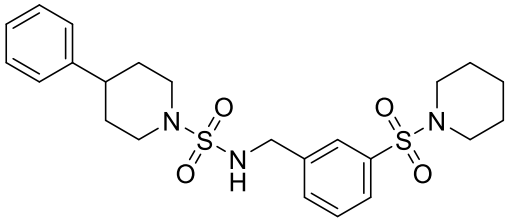
Yield: 48%.
^{1}H NMR (600 MHz, DMSO-d_6) δ 12.46 (s, 1H), 8.52 (s, 1H), 7.76 (s, 1H), 7.62 (d, *J* = 6.9 Hz, 1H), 7.50 (d, *J* = 6.5 Hz, 1H), 7.43 (d, *J* = 3.7 Hz, 1H), 7.16 (tt, *J* = 7.5, 5.8 Hz, 2H), 6.96 – 6.90 (m, 1H), 4.67 (s, 1H), 4.35 (d, *J* = 5.9 Hz, 2H), 3.68 – 3.63 (m, 2H), 2.18 (d, *J* = 11.6 Hz, 2H), 1.86 – 1.81 (m, 1H), 1.81 (s, 5H), 1.72 – 1.62 (m, 3H), 1.44 – 1.27 (m, 3H).
^{13}C NMR (126 MHz, DMSO-d_6) δ 169.8, 131.5, 125.8, 122.7, 112.7, 111.9, 49.2, 37.8, 29.8, 25.1, 22.8.
LC/MS (APSI) m/z [M+H] calculated for $C_{22}H_{27}N_5O_4S2$: 489.2, found: 490.2.

1-(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1*H*-pyrazol-4-yl)-3-(3-(methylsulfonyl)-5-(trifluoromethyl)benzyl)urea (**PV-002340081461**) – **Method 6**



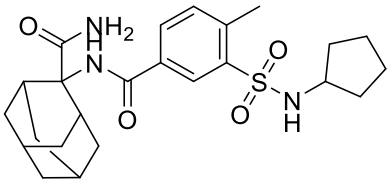
Yield: 57%.
^{1}H NMR (600 MHz, DMSO-d_6) δ 8.14 (s, 1H), 8.08 (s, 1H), 7.98 (s, 1H), 7.49 (s, 1H), 7.52 – 7.43 (m, 2H), 7.36 – 7.31 (m, 1H), 7.28 (td, *J* = 7.4, 1.2 Hz, 1H), 6.95 (t, *J* = 6.1 Hz, 1H), 4.42 (d, *J* = 6.1 Hz, 1H), 3.31 (s, 3H), 3.01 (s, 2H), 2.12 (s, 2H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 162.8, 157.1, 145.3, 142.5, 135.6, 131.7 – 125.6 (m), 124.2 (d, *J* = 159.7 Hz), 122.4, 43.5, 42.7, 40.5, 11.3.
LC/MS (APSI) m/z [M+H] calculated for $C_{21}H_{21}F_3N_4O_4S$: 482.1, found: 483.1.

4-phenyl-*N*-(3-(piperidin-1-ylsulfonyl)benzyl)piperidine-1-sulfonamide (**PV-002362019903**) – **Method 4**



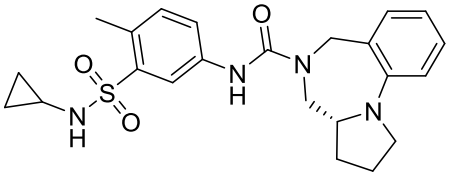
Yield: 53%.
^{1}H NMR (600 MHz, DMSO-d_6) δ 7.92 (t, *J* = 6.3 Hz, 1H), 7.72 (d, *J* = 2.1 Hz, 1H), 7.69 – 7.63 (m, 1H), 7.60 (dd, *J* = 4.8, 1.9 Hz, 2H), 7.32 – 7.23 (m, 2H), 7.17 (d, *J* = 7.5 Hz, 3H), 4.22 (d, *J* = 6.3 Hz, 2H), 3.57 (dd, *J* = 12.5, 3.2 Hz, 2H), 3.01 (s, 1H), 2.85 (q, *J* = 5.5, 4.8 Hz, 4H), 2.68 (td, *J* = 12.3, 2.4 Hz, 2H), 2.53 (tt, *J* = 12.1, 3.6 Hz, 1H), 1.77 – 1.70 (m, 2H), 1.48 (ddt, *J* = 29.3, 12.6, 7.1 Hz, 6H), 1.30 (td, *J* = 6.4, 3.1 Hz, 2H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 145.8, 140.8, 136.1, 132.7, 129.7, 128.8, 127.1, 126.8, 126.7, 126.6, 47.0, 46.5, 46.2, 40.5, 32.6, 25.1, 23.3.
LC/MS (APSI) m/z [M+H] calculated for $C_{23}H_{31}N_3O_4S_2$: 477.2, found: 478.2.

2-(3-(*N*-cyclopentylsulfamoyl)-4-methylbenzamido)adamantane-2-carboxamide (**PV-002513928135**) – **Method 2**



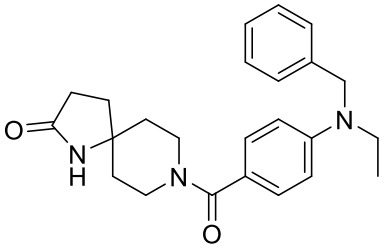
Yield: 34%.
^{1}H NMR (600 MHz, DMSO-d_6) δ 8.21 (d, *J* = 1.9 Hz, 1H), 8.00 (s, 1H), 7.77 (d, *J* = 7.5 Hz, 1H), 7.46 (d, *J* = 7.9 Hz, 1H), 7.04 (s, 1H), 6.94 (s, 1H), 3.39 (p, *J* = 6.8 Hz, 1H), 2.75 (s, 2H), 2.59 (s, 3H), 2.04 – 1.95 (m, 4H), 1.74 (qd, *J* = 6.2, 3.5 Hz, 2H), 1.66 – 1.61 (m, 4H), 1.59 – 1.46 (m, 7H), 1.32 (ddt, *J* = 19.7, 14.0, 5.7 Hz, 4H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 174.5, 165.9, 140.2, 140.1, 133.6, 132.8, 131.4, 128.2, 64.4, 54.7, 37.6, 33.1, 32.8, 31.6, 26.6, 23.2, 20.2.
LC/MS (APSI) m/z [M-H] calculated for $C_{24}H_{33}N_3O_4S$: 489.2, found: 458.3.

(*R*)-*N*-(3-(*N*-cyclopropylsulfamoyl)-4-methylphenyl)-2,3,3a,4-tetrahydro-1*H*-benzo[f]pyrrolo[1,2-a][1,4]diazepine-5(6*H*)-carboxamide (**PV-002590000161**) – **Method 6**



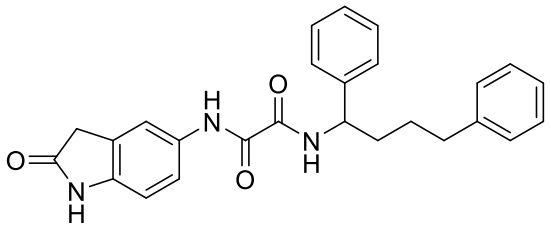
Yield: 56%.
^{1}H NMR (600 MHz, DMSO-d_6) δ 8.62 (s, 1H), 8.28 (s, 1H), 8.01 (d, *J* = 2.3 Hz, 1H), 7.84 (d, *J* = 2.2 Hz, 1H), 7.62 (dd, *J* = 8.3, 2.4 Hz, 1H), 7.19 (t, *J* = 8.6 Hz, 2H), 7.09 (td, *J* = 7.7, 1.7 Hz, 1H), 6.76 – 6.69 (m, 2H), 4.89 (d, *J* = 15.5 Hz, 1H), 4.23 (d, *J* = 15.5 Hz, 1H), 3.89 (dd, *J* = 13.1, 2.7 Hz, 1H), 3.24 – 3.13 (m, 3H), 2.41 (s, 3H), 2.18 – 2.09 (m, 1H), 2.09 – 2.03 (m, 1H), 1.92 – 1.81 (m, 2H), 1.63 (ddt, *J* = 11.1, 7.2, 3.6 Hz, 1H), 0.38 (td, *J* = 7.0, 4.6 Hz, 2H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 155.0, 148.9, 139.0, 138.5, 132.6, 130.2, 129.5, 128.4, 128.1, 123.7, 120.7, 119.2, 115.2, 54.0, 51.3, 49.8, 40.5, 29.9, 23.9, 23.6, 19.5, 5.7.
LC/MS (APSI) m/z [M+H] calculated for $C_{23}H_{28}N_4O_3S$: 440.2, found: 441.

8-(4-(benzyl(ethyl)amino)benzoyl)-1,8-diazaspiro[4.5]decan-2-one (**PV-002596373138**) – **Method 2**



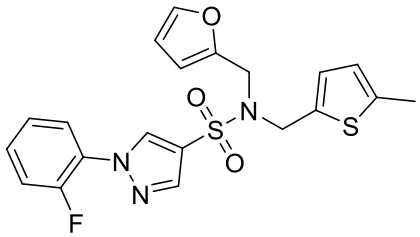
Yield: 37%.
^{1}H NMR (600 MHz, DMSO-d_6) δ 8.01 (s, 1H), 7.29 (dd, *J* = 8.6, 6.7 Hz, 2H), 7.23 – 7.17 (m, 3H), 7.19 – 7.13 (m, 2H), 6.65 – 6.60 (m, 2H), 4.54 (s, 2H), 3.54 (s, 2H), 3.51 – 3.38 (m, 4H), 2.17 (t, *J* = 8.0 Hz, 2H), 1.83 (t, *J* = 8.0 Hz, 2H), 1.52 (dt, *J* = 12.1, 6.0 Hz, 4H), 1.11 (t, *J* = 7.0 Hz, 3H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 176.0, 170.1, 149.4, 139.3, 129.5, 129.0, 127.2, 126.8, 122.4, 111.1, 57.5, 53.3, 45.3, 40.5, 31.9, 29.8, 12.5.
LC/MS (APSI) m/z [M+H] calculated for $C_{24}H_{29}N_3O_2$: 391.2, found: 392.3.

*N*1-(1,4-diphenylbutyl)-*N*2-(2-oxoindolin-5-yl)oxalamide (**Z1200683193**) – **Method 8**



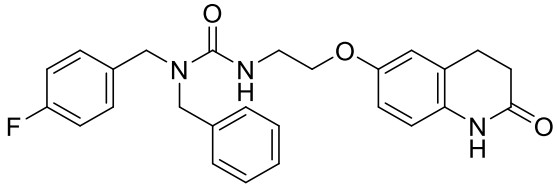
Yield: 13%.
^{1}H NMR (400 MHz, DMSO-d_6) δ 10.43 (s, 1H), 10.32 (s, 1H), 9.32 (d, *J* = 8.9 Hz, 1H), 7.63 (s, 1H), 7.57 (d, *J* = 8.4 Hz, 1H), 7.36 (d, *J* = 7.6 Hz, 2H), 7.27 (t, *J* = 7.4 Hz, 2H), 7.21 (t, *J* = 8.0 Hz, 3H), 7.12 (d, *J* = 7.2 Hz, 3H), 6.74 (d, *J* = 8.3 Hz, 1H), 4.92 – 4.81 (m, 1H), 3.43 (s, 2H), 2.55 (t, *J* = 7.7 Hz, 2H), 2.46 (s, 1H), 1.93 (dt, *J* = 14.0, 5.2 Hz, 1H), 1.74 (dt, *J* = 12.9, 7.2 Hz, 1H), 1.65 – 1.53 (m, 1H), 1.52 – 1.39 (m, 1H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 176.7, 160.3, 158.7, 143.4, 142.4, 140.8, 132.1, 128.7, 128.7, 128.7, 127.4, 127.2, 126.5, 126.1, 120.3, 117.8, 109.3, 53.8, 36.5, 35.1, 28.7.
LC/MS (APSI) m/z [M-H] calculated for $C_{26}H_{25}N_3O_3$: 427.2, found: 426.2.

1-(2-fluorophenyl)-*N*-(furan-2-ylmethyl)-*N*-((5-methylthiophen-2-yl)methyl)-1*H*-pyrazole-4-sulfonamide (**Z1270981281**) – **Method 4**



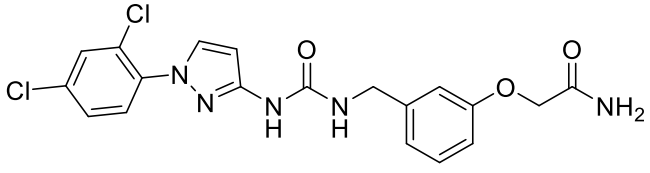
Yield: 61%.
^{1}H NMR (400 MHz, DMSO-d_6) δ 8.68 (d, *J* = 1.9 Hz, 1H), 8.08 (d, *J* = 1.6 Hz, 1H), 7.80 – 7.71 (m, 1H), 7.54 (dt, *J* = 8.2, 2.0 Hz, 4H), 7.41 (ddd, *J* = 8.6, 4.9, 2.3 Hz, 1H), 6.77 (t, *J* = 2.5 Hz, 1H), 6.62 (dt, *J* = 3.2, 1.5 Hz, 1H), 6.39 – 6.29 (m, 2H), 3.32 (d, *J* = 1.7 Hz, 1H), 2.54 (d, *J* = 1.6 Hz, 1H).
^{13}C NMR (126 MHz, DMSO-d_6) δ 149.3, 143.6, 140.6, 140.0, 136.5, 133.9 (d, *J* = 5.7 Hz), 130.8 (d, *J* = 7.9 Hz), 128.3, 127.5, 126.2, 125.9 (d, *J* = 3.8 Hz), 125.3, 123.5, 117.6 (d, *J* = 19.5 Hz), 111.0, 110.5, 46.0, 43.3, 15.5.
LC/MS (APSI) m/z [M+H] calculated for $C_{20}H_{18}FN_3O_3S_2$: 431.1, found: 432.

1-benzyl-1-(4-fluorobenzyl)-3-(2-((2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)oxy)ethyl)urea (**Z1565632280**) – **Method 7**



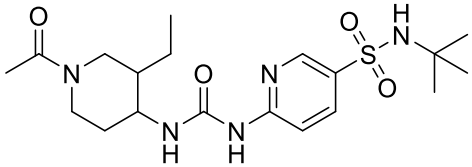
Yield: 41%.
^{1}H NMR (500 MHz, DMSO-d_6) δ 9.91 (s, 1H), 7.29 (t, *J* = 7.3 Hz, 2H), 7.27 – 7.16 (m, 4H), 7.10 (t, *J* = 8.7 Hz, 2H), 6.83 – 6.74 (m, 3H), 6.71 (dd, *J* = 8.6, 2.7 Hz, 1H), 4.37 (d, *J* = 8.7 Hz, 4H), 3.95 (t, *J* = 5.9 Hz, 2H), 3.42 (q, *J* = 5.8 Hz, 2H), 2.82 (t, *J* = 7.5 Hz, 2H), 2.50 (d, *J* = 1.9 Hz, 2H), 2.40 (t, *J* = 7.6 Hz, 2H).
^{13}C NMR (126 MHz, DMSO-d_6) δ 170.2, 160.8, 158.2, 154.2, 135.1, 132.3, 129.7 (d, *J* = 8.0 Hz), 128.9, 127.7, 127.4, 125.3, 116.2, 115.5 (d, *J* = 21.1 Hz), 114.6, 113.5, 67.5, 49.1, 48.4, 30.9, 25.6.
LC/MS (APSI) m/z [M+H] calculated for $C_{26}H_{26}FN_3O_3$: 447.2, found: 448.2.

2-(3-((3-(1-(2,4-dichlorophenyl)-1*H*-pyrazol-3-yl)ureido)methyl)phenoxy)acetamide (**Z1581087524**) – **Method 6**



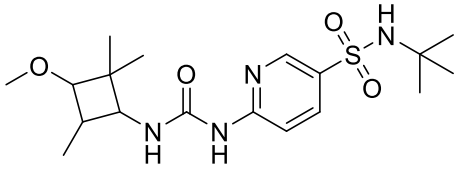
Yield: 39%.
^{1}H NMR (600 MHz, DMSO-d_6) δ 9.13 (s, 1H), 7.97 (d, *J* = 2.6 Hz, 1H), 7.80 (d, *J* = 2.3 Hz, 1H), 7.49 (s, 1H), 7.35 (s, 1H), 7.22 (t, *J* = 7.9 Hz, 1H), 7.06 (s, 1H), 6.90 – 6.85 (m, 2H), 6.85 – 6.76 (m, 1H), 6.47 (d, *J* = 2.5 Hz, 1H), 4.37 (s, 2H), 4.29 (d, *J* = 5.9 Hz, 2H), 3.44 (s, 1H), 2.50 (s, 1H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 170.3, 158.3, 154.9, 150.9, 142.2, 137.0, 133.0, 132.8, 130.5, 129.9, 129.0, 128.8, 128.3, 120.2, 114.2, 113.1, 98.2, 67.1, 43.1.
LC/MS (APSI) m/z [M+H] calculated for $C_{19}H_{17}Cl_2N_5O_3$: 433.1, found: 434.

6-(3-(1-acetyl-3-ethylpiperidin-4-yl)ureido)-*N*-(tert-butyl)pyridine-3-sulfonamide (**Z1733663498**) – **Method 6**



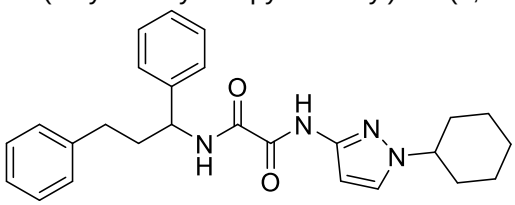
Yield: 37%.
^{1}H NMR (600 MHz, DMSO-d_6) δ 9.54 (s, 1H), 8.55 (d, *J* = 2.7 Hz, 1H), 8.01 (dd, *J* = 8.9, 2.5 Hz, 1H), 7.62 (d, *J* = 9.0 Hz, 1H), 7.49 (d, *J* = 3.6 Hz, 1H), 4.05 – 3.98 (m, 1H), 3.84 (d, *J* = 14.2 Hz, 0H), 3.78 – 3.68 (m, 1H), 3.56 – 3.44 (m, 1H), 3.02 (ddd, *J* = 38.2, 13.6, 9.4 Hz, 1H), 1.98 (d, *J* = 1.6 Hz, 3H), 1.62 (q, *J* = 4.8 Hz, 1H), 1.52 (dd, *J* = 20.3, 9.8 Hz, 2H), 1.25 – 1.10 (m, 2H), 1.08 (d, *J* = 1.9 Hz, 10H), 1.01 (d, *J* = 6.1 Hz, 1H), 0.85 (dtd, *J* = 15.6, 7.5, 3.0 Hz, 3H), 0.52 (s, 1H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 168.6, 155.8, 154.1, 146.3, 137.0, 133.5, 111.5, 53.9, 46.9, 43.0, 42.0, 40.5, 30.5, 30.0, 21.7, 20.9, 11.9.
LC/MS (APSI) m/z [M+H] calculated for $C_{19}H_{31}N_5O_4S$: 425.2, found: 426.1.

N-(tert-butyl)-6-(3-(3-methoxy-2,2,4-trimethylcyclobutyl)ureido)pyridine-3-sulfonamide (**Z1733663560**) – **Method 6**



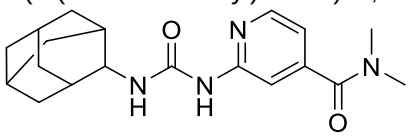
Yield: 38%.
^{1}H NMR (600 MHz, DMSO-d_6) δ 9.68 (s, 1H), 8.57 – 8.50 (m, 1H), 8.00 (ddd, *J* = 8.9, 6.4, 2.5 Hz, 1H), 7.56 (d, *J* = 8.9 Hz, 1H), 7.49 (d, *J* = 2.9 Hz, 1H), 3.72 (t, *J* = 8.9 Hz, 1H), 3.19 (d, *J* = 7.9 Hz, 4H), 3.10 (d, *J* = 7.7 Hz, 1H), 2.48 – 2.41 (m, 1H), 1.13 (s, 1H), 1.11 – 1.04 (m, 12H), 1.00 – 0.90 (m, 5H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 155.8, 154.4, 146.2, 137.0, 133.4, 111.6, 84.9, 57.9, 53.4, 51.4, 43.7, 40.5, 30.2, 23.6, 17.7.
LC/MS (APSI) m/z [M+H] calculated for $C_{18}H_{30}N_4O_4S$: 398.2, found: 399.1.

*N*1-(1-cyclohexyl-1*H*-pyrazol-3-yl)-*N*2-(1,3-diphenylpropyl)oxalamide (**Z1893460093**) – **Method 8**



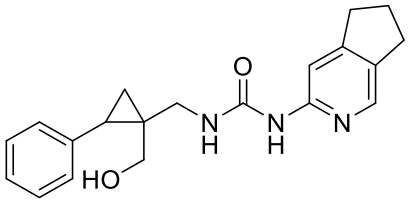
Yield: 32%.
^{1}H NMR (500 MHz, DMSO-d_6) δ 10.61 (s, 1H), 9.54 (d, *J* = 8.8 Hz, 1H), 7.42 – 7.35 (m, 3H), 7.32 (t, *J* = 7.5 Hz, 2H), 7.25 (p, *J* = 7.4 Hz, 3H), 7.17 (dd, *J* = 11.7, 7.1 Hz, 3H), 6.14 (s, 1H), 4.82 (q, *J* = 8.0 Hz, 1H), 3.99 (t, *J* = 11.6 Hz, 1H), 2.63 (td, *J* = 11.6, 9.8, 5.8 Hz, 1H), 2.53 (d, *J* = 8.9 Hz, 1H), 2.32 – 2.20 (m, 1H), 2.04 (dt, *J* = 15.9, 7.3 Hz, 1H), 1.75 (tq, *J* = 23.9, 11.3, 9.4 Hz, 6H), 1.61 (d, *J* = 12.7 Hz, 1H), 1.28 (q, *J* = 13.2 Hz, 2H), 1.15 (t, *J* = 13.0 Hz, 1H).
^{13}C NMR (126 MHz, DMSO-d_6) δ 160.2, 159.4, 143.3, 141.8, 138.0, 134.1, 128.9, 128.8, 127.6, 127.3, 126.3, 100.8, 56.3, 53.7, 37.2, 32.9, 32.8, 25.6, 25.4.
LC/MS (APSI) m/z [M+H] calculated for $C_{26}H_{30}N_4O_2$: 430.2, found: 431.2.

2-(3-(adamantan-2-yl)ureido)-*N*,*N*-dimethylisonicotinamide (**Z2057335502**) – **Method 6**



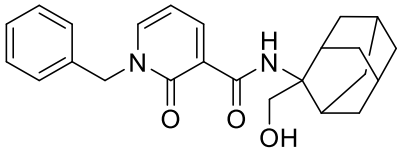
Yield: 28%.
^{1}H NMR (600 MHz, DMSO-d_6) δ 9.03 (s, 1H), 8.17 (d, *J* = 5.1 Hz, 1H), 7.69 (s, 1H), 7.35 (s, 1H), 6.83 (dd, *J* = 5.2, 1.4 Hz, 1H), 2.94 (s, 3H), 2.82 (s, 3H), 2.51 (s, 1H), 2.03 – 1.96 (m, 3H), 1.93 (d, *J* = 2.9 Hz, 5H), 1.83 (d, *J* = 2.9 Hz, 1H), 1.61 (t, *J* = 3.1 Hz, 6H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 168.5, 154.2, 153.6, 147.8, 146.4, 114.4, 109.1, 50.5, 40.5, 38.9, 36.3, 34.8, 29.3.
LC/MS (APSI) m/z [M+H] calculated for $C_{19}H_{26}N_4O_2$: 342.2, found: 343.2.

1-(6,7-dihydro-5*H*-cyclopenta[c]pyridin-3-yl)-3-((1-(hydroxymethyl)-2-phenylcyclopropyl)methyl)urea (**Z2295310789**) – **Method 6**



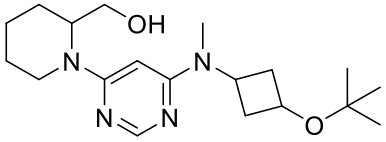
Yield: 32%.
^{1}H NMR (600 MHz, DMSO-d_6) δ 9.04 (s, 1H), 8.48 (s, 1H), 7.93 (s, 1H), 7.30 – 7.21 (m, 4H), 7.17 (td, *J* = 7.0, 1.6 Hz, 1H), 7.00 (s, 1H), 4.73 (t, *J* = 5.9 Hz, 1H), 3.45 – 3.37 (m, 2H), 3.12 (dd, *J* = 13.9, 6.9 Hz, 1H), 2.76 (t, *J* = 7.5 Hz, 5H), 2.53 (s, 1H), 2.12 (dd, *J* = 8.4, 6.1 Hz, 1H), 1.96 (p, *J* = 7.4 Hz, 2H), 1.07 (t, *J* = 5.5 Hz, 1H), 0.87 (dd, *J* = 8.4, 4.9 Hz, 1H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 156.2, 155.8, 152.3, 141.5, 138.8, 133.1, 129.2, 128.4, 126.3, 107.6, 65.6, 40.5, 32.6, 30.4, 29.3, 26.1, 25.4, 13..
LC/MS (APSI) m/z [M+H] calculated for $C_{20}H_{23}N_3O_2$: 337.2, found: 338.1.

1-benzyl-*N*-(2-(hydroxymethyl)adamantan-2-yl)-2-oxo-1,2-dihydropyridine-3-carboxamide (**Z2350120658**) – **Method 2**



Yield: 51%.
^{1}H NMR (600 MHz, DMSO-d_6) δ 9.75 (s, 1H), 8.31 (dt, *J* = 7.2, 1.7 Hz, 1H), 8.12 (dt, *J* = 6.6, 1.8 Hz, 1H), 7.36 – 7.30 (m, 2H), 7.27 (d, *J* = 7.3 Hz, 3H), 6.56 – 6.49 (m, 1H), 5.23 (s, 2H), 4.57 (t, *J* = 5.7 Hz, 1H), 3.89 (d, *J* = 5.8 Hz, 2H), 2.30 (d, *J* = 4.4 Hz, 2H), 1.98 – 1.92 (m, 2H), 1.95 – 1.87 (m, 2H), 1.64 (d, *J* = 3.2 Hz, 2H), 1.59 (d, *J* = 12.9 Hz, 2H), 1.52 (d, *J* = 12.7 Hz, 2H).
^{13}C NMR (126 MHz, DMSO-d_6) δ 162.3, 162.2, 143.2, 143.2, 137.2, 129.2, 128.2, 128.1, 121.9, 106.9, 61.9, 61.4, 52.4, 38.6, 33.1, 30.8, 27.2.
LC/MS (APSI) m/z [M+H] calculated for $C_{24}H_{28}N_2O_3$: 392.2, found: 393.1.

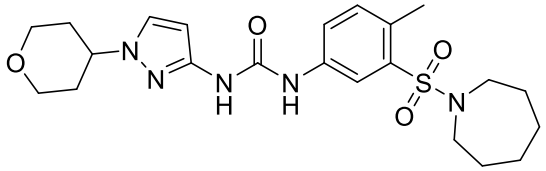
(1-(6-((3-(tert-butoxy)cyclobutyl)(methyl)amino)pyrimidin-4-yl)piperidin-2-yl)methanol (**Z2370574710**) – **Method 3**



Yield: 41%.
^{1}H NMR (600 MHz, DMSO-d_6) δ 7.97 (d, *J* = 0.9 Hz, 1H), 5.56 (s, 1H), 4.64 (d, *J* = 5.5 Hz, 1H), 4.43 – 4.36 (m, 2H), 4.18 (d, *J* = 12.7 Hz, 1H), 3.88 – 3.79 (m, 1H), 3.57 – 3.51 (m, 1H), 3.41 – 3.34 (m, 0H), 2.92 (s, 1H), 2.86 (s,

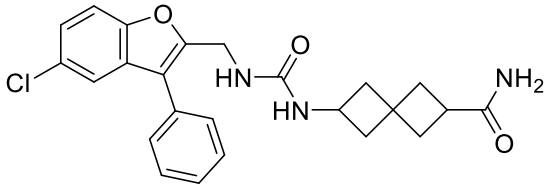
3H), 2.75 (td, *J* = 13.0, 2.9 Hz, 1H), 2.50 (s, 1H), 2.46 – 2.35 (m, 2H), 1.97 – 1.88 (m, 2H), 1.90 – 1.83 (m, 1H), 1.64 – 1.47 (m, 3H), 1.44 – 1.26 (m, 2H), 1.10 (s, 9H).
^{13}C NMR (126 MHz, DMSO-d_6) δ 163.0, 162.9, 156.9, 81.5, 73.5, 60.3, 58.4, 52.0, 43.0, 38.7, 37.3, 30.1, 28.7, 28.6, 25.4.
LC/MS (APSI) m/z [M+H] calculated for $C_{19}H_{32}N_4O_2$: 348.3, found: 349.2.

1-(3-(azepan-1-ylsulfonyl)-4-methylphenyl)-3-(1-(tetrahydro-2*H*-pyran-4-yl)-1*H*-pyrazol-3-yl)urea (**Z4121492004**) – **Method 6**



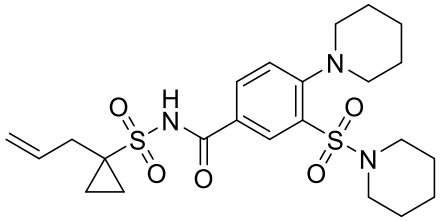
Yield: 39%.
^{1}H NMR (500 MHz, DMSO-d_6) δ 9.17 – 9.12 (m, 1H), 9.03 (s, 1H), 8.00 (d, *J* = 2.4 Hz, 1H), 7.66 (d, *J* = 2.3 Hz, 1H), 7.48 (dd, *J* = 8.2, 2.3 Hz, 1H), 7.31 (d, *J* = 8.4 Hz, 1H), 6.27 – 6.22 (m, 1H), 4.27 (tt, *J* = 10.3, 4.7 Hz, 1H), 3.98 – 3.91 (m, 2H), 3.45 (td, *J* = 11.6, 2.6 Hz, 2H), 3.29 (t, *J* = 5.9 Hz, 4H), 2.45 (s, 3H), 1.92 (ddd, *J* = 23.8, 12.6, 6.8 Hz, 4H), 1.67 (p, *J* = 5.2 Hz, 4H), 1.60 – 1.54 (m, 4H).
^{13}C NMR (126 MHz, DMSO-d_6) δ 152.4, 147.6, 138.8, 138.3, 133.7, 129.7, 129.0, 122.1, 118.2, 95.4, 66.4, 57.2, 48.1, 33.3, 29.4, 26.9, 19.6.
LC/MS (APSI) m/z [M+H] calculated for $C_{22}H_{31}N_5O_4S$: 461.2, found: 462.2.

6-(3-((5-chloro-3-phenylbenzofuran-2-yl)methyl)ureido)spiro[3.3]heptane-2-carboxamide (**Z4121492019**) – **Method 7**



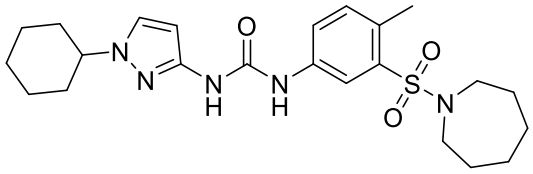
Yield: 24%.
^{1}H NMR (400 MHz, DMSO-d_6) δ 7.68 – 7.60 (m, 3H), 7.59 – 7.48 (m, 3H), 7.47 – 7.31 (m, 2H), 7.10 (s, 1H), 6.65 (s, 1H), 6.43 (t, *J* = 5.7 Hz, 1H), 6.17 (d, *J* = 8.1 Hz, 1H), 4.43 (d, *J* = 5.6 Hz, 2H), 3.91 (h, *J* = 8.1 Hz, 1H), 2.82 (p, *J* = 8.5 Hz, 1H), 2.54 (s, 1H), 2.32 (ddd, *J* = 10.6, 7.4, 5.1 Hz, 1H), 1.92 (ddd, *J* = 11.4, 8.4, 2.9 Hz, 1H), 1.78 (dd, *J* = 10.7, 8.6 Hz, 1H), 1.69 (dd, *J* = 11.1, 8.7 Hz, 1H).
^{13}C NMR (126 MHz, DMSO-d_6) δ 176.3, 157.2, 154.2, 152.5, 131.1, 129.8, 129.5, 129.3, 128.2, 125.0, 119.5, 117.2, 113.3, 44.1, 43.4, 40.9, 40.6, 37.7, 35.8.
LC/MS (APSI) m/z [M+H] calculated for $C_{24}H_{24}ClN_3O_3$: 437.2, found: 438.2.

N-((1-allylcyclopropyl)sulfonyl)-4-(piperidin-1-yl)-3-(piperidin-1-ylsulfonyl)benzamide (**Z4121492020**) – **Method 9**



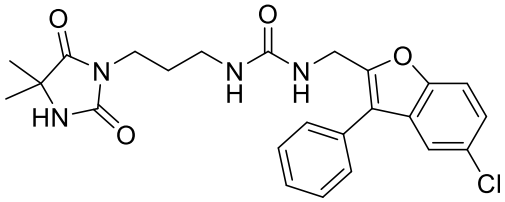
Yield: 38%.
^{1}H NMR (400 MHz, DMSO-d_6) δ 9.07 (s, 1H), 8.55 (s, 1H), 7.99 (d, *J* = 2.4 Hz, 1H), 7.53 (dd, *J* = 8.3, 2.4 Hz, 1H), 7.38 – 7.26 (m, 2H), 6.14 (d, *J* = 2.0 Hz, 1H), 4.02 (dp, *J* = 11.5, 3.7 Hz, 1H), 3.36 – 3.24 (m, 6H), 2.45 (s, 2H), 1.91 – 1.51 (m, 14H), 1.35 (qd, *J* = 13.5, 12.9, 4.0 Hz, 2H), 1.21 (td, *J* = 12.3, 6.0 Hz, 1H).
^{13}C NMR (126 MHz, DMSO-d_6) δ 152.7, 138.7, 138.3, 137.8, 135.9, 133.6, 129.8, 122.1, 118.2, 55.8, 48.1, 32.8, 29.4, 26.9, 25.6, 25.4, 19.6.
LC/MS (APSI) m/z [M+H] calculated for $C_{23}H_{33}N_3O_5S_2$: 495.2, found: 496.2.

1-(3-(azepan-1-ylsulfonyl)-4-methylphenyl)-3-(1-cyclohexyl-1*H*-pyrazol-3-yl)urea (**Z4121492036**) – **Method 6**



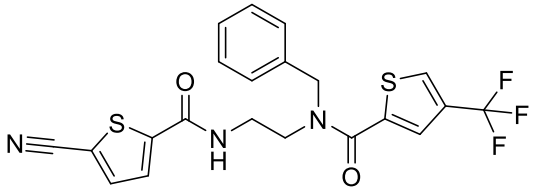
Yield: 20%.
^{1}H NMR (400 MHz, DMSO-d_6) δ 9.07 (s, 1H), 8.55 (s, 1H), 7.99 (d, *J* = 2.4 Hz, 1H), 7.53 (dd, *J* = 8.3, 2.4 Hz, 1H), 7.37 – 7.27 (m, 2H), 6.14 (d, *J* = 2.0 Hz, 1H), 4.02 (dp, *J* = 11.5, 3.7 Hz, 1H), 3.36 – 3.25 (m, 6H), 2.45 (s, 2H), 1.90 – 1.69 (m, 6H), 1.69 – 1.52 (m, 8H), 1.35 (qd, *J* = 13.5, 12.9, 4.0 Hz, 2H), 1.21 (td, *J* = 12.3, 6.0 Hz, 1H).
^{13}C NMR (126 MHz, DMSO-d_6) δ 152.7, 138.7, 138.3, 137.8, 135.9, 133.6, 129.8, 122.1, 118.2, 98.8, 55.8, 48.1, 32.8, 29.4, 26.9, 25.6, 25.4, 19.6.
LC/MS (APSI) m/z [M+H] calculated for $C_{23}H_{33}N_5O_3S$: 459.2, found: 460.

1-((5-chloro-3-phenylbenzofuran-2-yl)methyl)-3-(3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)propyl)urea (**Z4121492050**) – **Method 7**



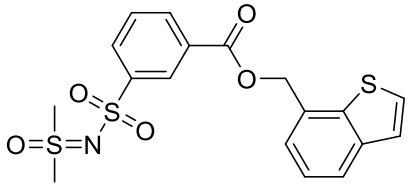
Yield: 43%.
^{1}H NMR (600 MHz, DMSO-d_6) δ 8.23 (s, 1H), 7.66 – 7.59 (m, 3H), 7.55 – 7.48 (m, 3H), 7.44 – 7.37 (m, 1H), 7.35 (dd, *J* = 8.7, 2.2 Hz, 1H), 6.64 (t, *J* = 5.7 Hz, 1H), 5.95 (t, *J* = 5.9 Hz, 1H), 4.41 (d, *J* = 5.7 Hz, 2H), 2.94 (q, *J* = 6.6 Hz, 2H), 1.55 (p, *J* = 7.0 Hz, 2H), 1.24 (s, 6H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 177.9, 158.1, 155.8, 154.2, 152.5, 131.0, 129.7, 129.5, 129.3, 128.1, 125.0, 119.5, 117.2, 113.3, 58.1, 40.5, 37.2, 35.9, 29.3, 25.0.
LC/MS (APSI) m/z [M+H] calculated for $C_{24}H_{25}ClN_4O_4$: 468.2, found: 469.2.

N-benzyl-*N*-(2-(5-cyanothiophene-2-carboxamido)ethyl)-4-(trifluoromethyl)thiophene-2-carboxamide (**Z4121492118**) – **Method 12**



Yield: 20%.
^{1}H NMR (400 MHz, DMSO-d_6) δ 9.08 – 9.00 (m, 1H), 8.38 (s, 1H), 7.95 (d, *J* = 4.0 Hz, 1H), 7.75 (s, 1H), 7.36 (t, *J* = 7.4 Hz, 2H), 7.27 (t, *J* = 7.3 Hz, 4H), 4.79 (s, 3H), 3.36 (s, 2H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 163.3, 160.3, 147.3, 140.9, 139.9, 137.4, 131.9, 129.2, 128.4, 127.8, 127.0, 125.3, 114.3, 112.0, 53.2, 46.2, 37.3.
LC/MS (APSI) m/z [M+H] calculated for $C_{21}H_{16}F_3N_3O_2S_2$: 463.1, found: 464.

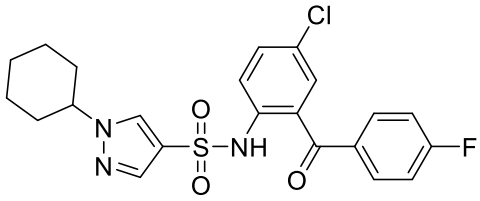
benzo[b]thiophen-7-ylmethyl 3-(*N*-(dimethyl(oxo)-l6-sulfaneylidene)sulfamoyl)benzoate (**Z4121492133**) – **Method 5**



Yield: 36%.

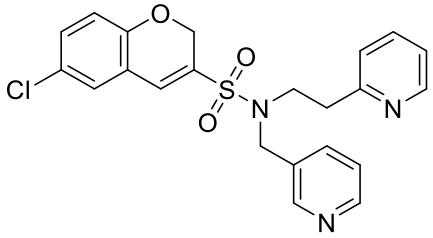
^{1}H NMR (500 MHz, DMSO-d_6) δ 8.40 (t, *J* = 2.2 Hz, 1H), 8.20 (dq, *J* = 7.9, 1.5 Hz, 1H), 8.12 (dq, *J* = 5.9, 1.7 Hz, 1H), 7.93 (dd, *J* = 7.9, 2.5 Hz, 1H), 7.81 (dd, *J* = 5.7, 2.3 Hz, 1H), 7.74 (td, *J* = 7.9, 2.4 Hz, 1H), 7.58 – 7.50 (m, 2H), 7.45 (td, *J* = 7.6, 2.3 Hz, 1H), 5.68 (d, *J* = 2.4 Hz, 2H), 3.33 (d, *J* = 4.2 Hz, 1H), 3.33 (s, 3H).
^{13}C NMR (126 MHz, DMSO-d_6) δ 164.9, 145.2, 140.7, 138.4, 133.1, 131.3, 130.4, 130.4, 130.2, 128.1, 127.1, 125.0, 124.8, 124.8, 124.5, 66.5, 43.4.
LC/MS (APSI) m/z calculated for $C_{18}H_{17}NO_5S_3$: 423, found: .

N-(4-chloro-2-(4-fluorobenzoyl)phenyl)-1-cyclohexyl-1*H*-pyrazole-4-sulfonamide (**Z4121492147**) – **Method 10**



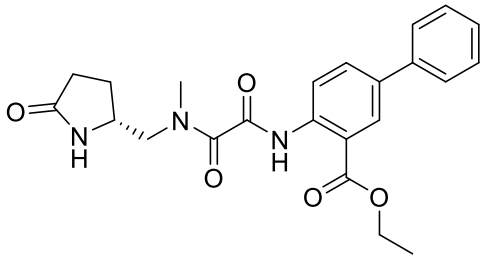
Yield: 45%.
^{1}H NMR (600 MHz, DMSO-d_6) δ 9.72 (s, 1H), 8.08 (s, 1H), 7.70 – 7.65 (m, 2H), 7.58 (dd, *J* = 8.7, 2.6 Hz, 1H), 7.55 (d, *J* = 0.8 Hz, 1H), 7.42 (d, *J* = 2.6 Hz, 1H), 7.32 (t, *J* = 8.8 Hz, 2H), 7.15 (d, *J* = 8.7 Hz, 1H), 4.09 (tt, *J* = 11.5, 3.9 Hz, 1H), 1.87 – 1.81 (m, 2H), 1.73 (d, *J* = 13.3 Hz, 2H), 1.61 (d, *J* = 8.1 Hz, 1H), 1.61 – 1.53 (m, 2H), 1.35 – 1.25 (m, 2H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 193.1, 137.8, 135.1, 133.2 (d, *J* = 9.4 Hz), 132.3, 130.6, 130.4, 127.2, 116.0 (d, *J* = 22.3 Hz), 61.2, 32.8, 25.1, 25.0.
LC/MS (APSI) m/z [M+H] calculated for $C_{22}H_{21}ClFN_3O_3S$: 461.1, found: 462.

6-chloro-*N*-(2-(pyridin-2-yl)ethyl)-*N*-(pyridin-3-ylmethyl)-2*H*-chromene-3-sulfonamide (**Z4121492165**) – **Method 4**



Yield: 32%.
^{1}H NMR (400 MHz, DMSO-d_6) δ 8.57 (d, *J* = 2.9 Hz, 1H), 8.54 – 8.46 (m, 1H), 8.41 – 8.34 (m, 1H), 7.81 – 7.73 (m, 1H), 7.66 – 7.56 (m, 1H), 7.51 (t, *J* = 2.9 Hz, 1H), 7.42 – 7.29 (m, 3H), 7.14 (ddd, *J* = 15.8, 7.6, 3.3 Hz, 2H), 6.93 (dd, *J* = 8.6, 3.0 Hz, 1H), 4.85 (d, *J* = 3.0 Hz, 2H), 4.56 – 4.49 (m, 2H), 3.61 – 3.51 (m, 2H), 2.96 – 2.85 (m, 2H).
^{13}C NMR (126 MHz, Chloroform-*d*) δ 158.3, 152.6, 149.8, 149.5, 149.4, 137.0, 136.3, 132.9, 132.1, 131.2, 130.3, 129.1, 126.2, 124.1, 123.8, 122.2, 122.2, 118.2, 63.5, 49.0, 40.5, 39.5.
LC/MS (APSI) m/z [M+H] calculated for $C_{22}H_{20}ClN_3O_3S$: 441.1, found: 442.

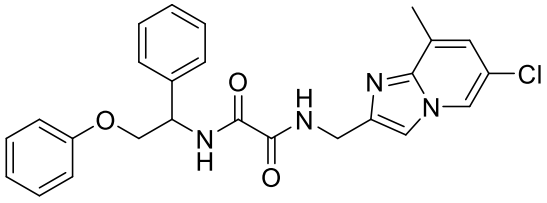
ethyl (*R*)-4-(2-(methyl((5-oxopyrrolidin-2-yl)methyl)amino)-2-oxoacetamido)-[1,1'-biphenyl]-3-carboxylate (**Z4121492212**) – **Method 8**



Yield: 35%.
^{1}H NMR (400 MHz, DMSO-d_6) δ 11.89 (s, 0H), 11.83 (s, 0H), 8.22 (dd, *J* = 4.5, 2.3 Hz, 1H), 7.85 (s, 0H), 7.81 (s, 1H), 7.73 – 7.65 (m, 2H), 7.50 (t, *J* = 7.6 Hz, 2H), 7.40 (t, *J* = 7.3 Hz, 1H), 4.46 – 4.33 (m, 2H), 3.93 – 3.86 (m, 1H), 3.73 – 3.53 (m, 1H), 3.01 (s, 1H), 2.54 (s, 2H), 2.28 – 2.03 (m, 3H), 1.70 (s, 1H), 1.45 – 1.32 (m, 3H).

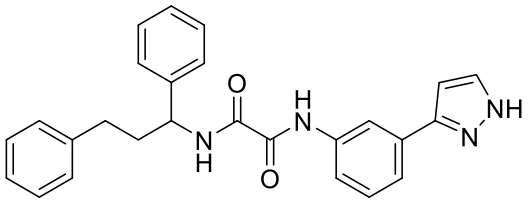
^{13}C NMR (151 MHz, DMSO-d_6) δ 177.3, 167.2, 167.1, 167.0, 138.9, 136.2, 136.0, 129.1, 128.3, 126.9, 126.9, 121.8, 121.7, 121.0, 62.0, 62.0, 54.7, 40.9, 35.7, 29.9, 14.4.
LC/MS (APSI) m/z [M+H] calculated for $C_{23}H_{25}N_3O_5$: 423.2, found: 424.

*N*1-((6-chloro-8-methylimidazo[1,2-a]pyridin-2-yl)methyl)-*N*2-(2-phenoxy-1-phenylethyl)oxalamide (**Z4121492251**) – **Method** 8



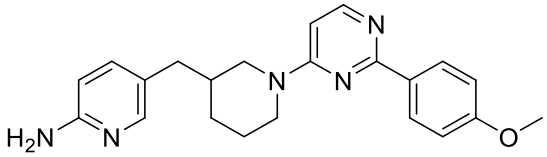
Yield: 26%.
^{1}H NMR (400 MHz, DMSO-d_6) δ 9.47 (d, *J* = 8.8 Hz, 1H), 9.20 (t, *J* = 6.3 Hz, 1H), 8.56 (s, 1H), 7.69 (s, 1H), 7.45 (d, *J* = 7.5 Hz, 2H), 7.28 (dt, *J* = 36.4, 7.7 Hz, 6H), 7.04 (s, 1H), 6.89 (d, *J* = 8.0 Hz, 3H), 5.24 (q, *J* = 7.4 Hz, 1H), 4.41 (dd, *J* = 17.8, 7.8 Hz, 3H), 4.14 (dd, *J* = 10.2, 4.8 Hz, 1H), 2.46 (s, 1H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 160.4, 160.3, 158.66, 144.3, 143.4, 139.6, 130.0, 128.9, 128.0, 127.7, 127.6, 124.1, 122.8, 121.3, 118.9, 115.1, 111.8, 69.6, 53.3, 38.0, 16.8.
LC/MS (APSI) m/z [M+H] calculated for $C_{25}H_{23}ClN_4O_3$: 462.1, found: 463.2.

*N*1-(3-(1*H*-pyrazol-3-yl)phenyl)-*N*2-(1,3-diphenylpropyl)oxalamide (**Z4121492273**) – **Method 8**



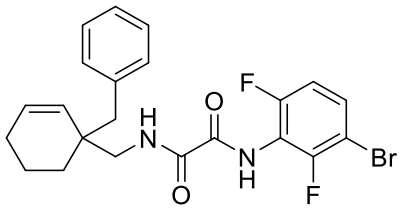
Yield: 27%.
^{1}H NMR (500 MHz, DMSO-d_6) δ 12.91 (s, 1H), 10.62 (s, 1H), 8.29 (s, 1H), 7.78 (s, 1H), 7.72 (d, *J* = 8.2 Hz, 1H), 7.58 (s, 1H), 7.40 (d, *J* = 7.6 Hz, 2H), 7.37 (s, 2H), 7.37 – 7.15 (m, 7H), 6.62 (s, 1H), 4.87 (td, *J* = 9.2, 5.6 Hz, 1H), 2.68 (ddd, *J* = 14.6, 9.4, 5.3 Hz, 1H), 2.55 (dt, *J* = 13.9, 7.2 Hz, 1H), 2.50 (s, 1H), 2.36 – 2.25 (m, 1H), 2.06 (s, 1H).
^{13}C NMR (126 MHz, DMSO-d_6) δ 160.3, 159.2, 143.4, 141.8, 128.8, 128.8, 128.8, 127.5, 127.2, 126.3, 53.6, 37.3, 32.8.
LC/MS (APSI) m/z [M+H] calculated for $C_{26}H_{24}N_4O_2$: 424.2, found: 425.1.

5-((1-(2-(4-methoxyphenyl)pyrimidin-4-yl)piperidin-3-yl)methyl)pyridin-2-amine (**Z4121492325**) – **Method 3**



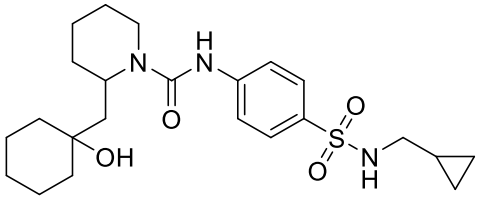
Yield: 25%.
^{1}H NMR (500 MHz, DMSO-d_6) δ 8.18 (d, *J* = 6.2 Hz, 1H), 8.05 (d, *J* = 8.3 Hz, 2H), 7.77 (s, 1H), 7.26 (dd, *J* = 8.4, 2.4 Hz, 1H), 7.05 – 6.98 (m, 2H), 6.58 (d, *J* = 6.3 Hz, 1H), 6.46 (d, *J* = 8.4 Hz, 1H), 5.80 (s, 2H), 4.57 (s, 1H), 4.17 (s, 1H), 3.82 (s, 3H), 3.03 – 2.93 (m, 1H), 2.62 – 2.53 (m, 1H), 2.42 (dd, *J* = 13.8, 5.6 Hz, 1H), 2.30 (t, *J* = 11.5 Hz, 1H), 1.81 (d, *J* = 12.6 Hz, 1H), 1.72 (dt, *J* = 13.6, 3.7 Hz, 1H), 1.59 (s, 1H), 1.45 – 1.36 (m, 1H), 1.33 – 1.21 (m, 1H).
^{13}C NMR (126 MHz, DMSO-d_6) δ 162.6, 161.5, 161.2, 160.1, 158.8, 156.4, 138.2, 131.0, 129.6, 123.1, 114.8, 108.2, 101.1, 55.9, 55.7, 37.9, 36.5, 31.5, 25.1.
LC/MS (APSI) m/z [M+H] calculated for $C_{22}H_{25}N_5O$: 375.2, found: 376.2.

*N*1-((1-benzylcyclohex-2-en-1-yl)methyl)-*N*2-(3-bromo-2,6-difluorophenyl)oxalamide (**Z4121492326**) – **Method 8**



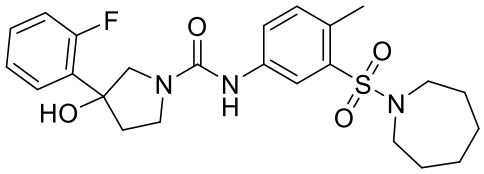
Yield: 18%.
^{1}H NMR (500 MHz, DMSO-d_6) δ 10.69 (s, 1H), 8.62 (t, *J* = 6.7 Hz, 1H), 7.73 (dq, *J* = 8.6, 5.5 Hz, 1H), 7.24 (q, *J* = 7.6 Hz, 3H), 7.17 (d, *J* = 7.6 Hz, 3H), 5.71 (dt, *J* = 10.5, 3.9 Hz, 1H), 5.44 (d, *J* = 10.2 Hz, 1H), 3.23 (dd, *J* = 13.2, 6.3 Hz, 1H), 3.13 (dd, *J* = 13.0, 6.9 Hz, 1H), 2.67 (d, *J* = 13.3 Hz, 1H), 2.60 (d, *J* = 13.2 Hz, 1H), 1.81 (d, *J* = 18.7 Hz, 1H), 1.78 – 1.69 (m, 1H), 1.57 (dt, *J* = 18.0, 7.6 Hz, 1H), 1.42 (q, *J* = 10.8 Hz, 3H).
^{13}C NMR (126 MHz, DMSO-d_6) δ 161.5 – 152.2 (m), 138.3, 132.9, 132.1 (d, *J* = 9.1 Hz), 131.0, 128.9, 128.2, 126.5, 115.6 (t, *J* = 17.9 Hz), 113.7 (dd, *J* = 21.7, 3.7 Hz), 105.7 – 102.3 (m), 47.5, 44.5, 40.6, 29.4, 24.8, 18.7.
LC/MS (APSI) m/z [M+H] calculated for $C_{22}H_{21}BrF_2N_2O_2$: 462.1, found: 464.

N-(4-(*N*-(cyclopropylmethyl)sulfamoyl)phenyl)-2-((1-hydroxycyclohexyl)methyl)piperidine-1-carboxamide (**Z4121492388**) – **Method 6**



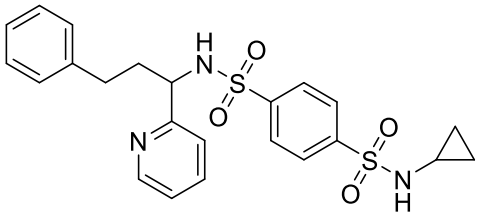
Yield: 14%.
^{1}H NMR (400 MHz, DMSO-d_6) δ 9.23 (s, 1H), 7.59 (d, *J* = 8.5 Hz, 2H), 7.46 (dd, *J* = 15.6, 7.5 Hz, 3H), 4.97 (s, 1H), 4.29 (s, 1H), 4.01 (d, *J* = 13.4 Hz, 1H), 2.72 (t, *J* = 13.0 Hz, 1H), 2.59 – 2.47 (m, 3H), 1.71 (dd, *J* = 14.4, 5.8 Hz, 1H), 1.67 – 1.59 (m, 1H), 1.55 (d, *J* = 12.2 Hz, 8H), 1.45 (dd, *J* = 11.7, 5.3 Hz, 2H), 1.38 – 1.28 (m, 7H), 1.20 (d, *J* = 10.3 Hz, 1H), 0.78 – 0.69 (m, 1H), 0.30 (t, *J* = 6.6 Hz, 2H).
^{13}C NMR (126 MHz, Chloroform-*d*) δ 154.7, 145.1, 133.1, 127.8, 118.5, 70.7, 47.8, 42.5, 40.4, 39.7, 37.7, 31.3, 25.9, 25.9, 22.4, 19.5, 11.1, 3.9.
LC/MS (APSI) m/z [M+H] calculated for $C_{23}H_{35}N_3O_4S$: 449.2, found: 450.2.

N-(3-(azepan-1-ylsulfonyl)-4-methylphenyl)-3-(2-fluorophenyl)-3-hydroxypyrrolidine-1-carboxamide (**Z4121492409**) – **Method 6**



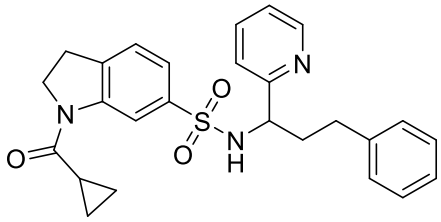
Yield: 56%.
^{1}H NMR (400 MHz, DMSO-d_6) δ 8.45 (s, 1H), 8.03 (d, *J* = 2.4 Hz, 1H), 7.69 (dd, *J* = 8.3, 2.4 Hz, 1H), 7.62 (t, *J* = 7.9 Hz, 1H), 7.37 (d, *J* = 6.7 Hz, 1H), 7.30 – 7.15 (m, 3H), 5.65 (s, 1H), 3.78 (d, *J* = 10.9 Hz, 1H), 3.68 (t, *J* = 8.9 Hz, 2H), 3.61 (dd, *J* = 10.6, 6.9 Hz, 1H), 3.28 (d, *J* = 11.7 Hz, 2H), 2.52 (d, *J* = 13.3 Hz, 2H), 2.43 (s, 3H), 2.41 – 2.31 (m, 1H), 2.19 (s, 1H), 1.66 (d, *J* = 7.4 Hz, 4H), 1.56 (dd, *J* = 6.1, 3.1 Hz, 4H).
^{13}C NMR (126 MHz, DMSO-d_6) δ 160.1 (d, *J* = 245.8 Hz), 154.4, 139.4, 138.2, 133.1, 131.0 (d, *J* = 12.8 Hz), 130.1 (d, *J* = 8.4 Hz), 129.1 (d, *J* = 32.1 Hz), 124.7, 123.2, 119.4, 116.4 (d, *J* = 23.1 Hz), 58.2, 48.1, 45.1, 40.9, 29.4, 26.97, 19.6.
LC/MS (APSI) m/z [M+H] calculated for $C_{24}H_{30}FN_3O_4S$: 485.2, found: 476.2.

*N*1-cyclopropyl-*N*4-(3-phenyl-1-(pyridin-2-yl)propyl)benzene-1,4-disulfonamide (**Z4121492610**) – **Method 4**



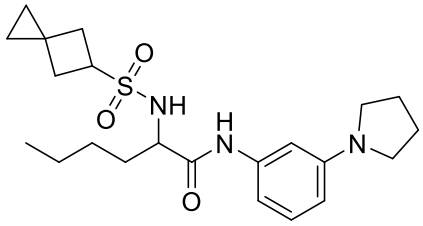
Yield: 45%.
^{1}H NMR (500 MHz, DMSO-d_6) δ 8.66 (d, *J* = 8.6 Hz, 1H), 8.33 (d, *J* = 4.7 Hz, 1H), 8.10 (s, 1H), 7.83 – 7.78 (m, 4H), 7.57 (t, *J* = 7.8 Hz, 1H), 7.22 (q, *J* = 9.9, 8.7 Hz, 3H), 7.15 (t, *J* = 7.4 Hz, 1H), 7.10 (t, *J* = 6.1 Hz, 1H), 7.05 (d, *J* = 7.5 Hz, 2H), 4.36 (q, *J* = 8.2, 7.7 Hz, 1H), 2.36 (dt, *J* = 14.1, 7.7 Hz, 1H), 1.98 (s, 1H), 1.92 (p, *J* = 8.1, 7.7 Hz, 2H), 0.46 (d, *J* = 6.7 Hz, 2H), 0.36 (s, 2H).
^{13}C NMR (126 MHz, DMSO-d_6) δ 160.6, 149.1, 145.3, 143.7, 141.5, 137.0, 128.8, 128.7, 127.8, 127.7, 126.3, 122.7, 121.6, 59.0, 38.0, 32.0, 24.5, 5.6.
LC/MS (APSI) m/z [M+H] calculated for $C_{23}H_{25}N_3O_4S_2$: 471.1, found: 472.

1-(cyclopropanecarbonyl)-*N*-(3-phenyl-1-(pyridin-2-yl)propyl)indoline-6-sulfonamide (**Z4121492611**) – **Method 4**



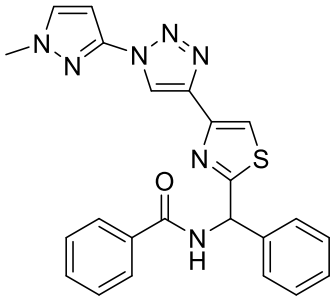
Yield: 58%.
^{1}H NMR (400 MHz, DMSO-d_6) δ 8.37 – 8.25 (m, 3H), 7.59 (td, *J* = 7.6, 1.7 Hz, 1H), 7.25 – 7.17 (m, 5H), 7.14 (q, *J* = 7.0, 5.8 Hz, 2H), 7.00 (d, *J* = 7.3 Hz, 2H), 4.33 – 4.28 (m, 2H), 4.23 (q, *J* = 7.6 Hz, 1H), 3.17 (t, *J* = 8.4 Hz, 2H), 2.54 (s, 0H), 2.44 (s, 1H), 2.34 (dt, *J* = 14.5, 7.8 Hz, 1H), 1.94 (q, *J* = 6.4 Hz, 1H), 1.92 – 1.79 (m, 0H), 1.86 (s, 2H), 0.93 – 0.86 (m, 4H).
^{13}C NMR (151 MHz, DMSO-d_6) δ 172.0, 161.3, 148.9, 143.6, 141.6, 140.5, 136.8, 128.7, 128.6, 126.2, 125.2, 122.3, 122.0, 121.4, 114.2, 58.7, 48.4, 40.9, 31.8, 27.7, 13.6, 8.5.
LC/MS (APSI) m/z [M+H] calculated for $C_{26}H_{27}N_3O_3S$: 461.2, found: 462.1.

N-(3-(pyrrolidin-1-yl)phenyl)-2-(spiro[2.3]hexane-5-sulfonamido)hexanamide (**Z4121492674**) – **Method 4**



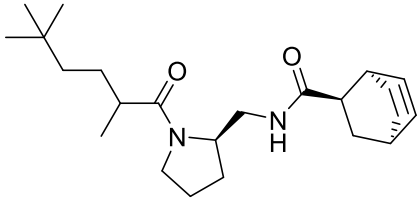
Yield: 22%.
^{1}H NMR (400 MHz, DMSO-d_6) δ 9.81 (s, 1H), 7.44 (d, *J* = 9.0 Hz, 1H), 7.07 (t, *J* = 8.0 Hz, 1H), 6.86 (d, *J* = 10.9 Hz, 2H), 6.26 (d, *J* = 8.1 Hz, 1H), 3.92 (d, *J* = 7.4 Hz, 1H), 3.83 (q, *J* = 7.6, 7.1 Hz, 1H), 3.18 (d, *J* = 5.8 Hz, 4H), 2.54 (s, 2H), 2.19 (s, 2H), 1.94 (d, *J* = 5.6 Hz, 4H), 1.64 (s, 1H), 1.56 (s, 1H), 1.29 (s, 4H), 0.86 (d, *J* = 6.5 Hz, 3H), 0.42 (dt, *J* = 14.4, 6.9 Hz, 4H).
^{13}C NMR (126 MHz, Chloroform-*d*) δ 171.0, 140.0, 129.6, 107.9, 107.2, 57.6, 50.5, 47.9, 40.4, 33.5, 32.1, 25.4, 22.2, 15.4, 14.4, 12.7.
LC/MS (APSI) m/z [M+H] calculated for $C_{22}H_{33}N_3O_3S$: 419.2, found: 420.2.

N-((4-(1-(1-methyl-1*H*-pyrazol-3-yl)-1*H*-1,2,3-triazol-4-yl)thiazol-2-yl)(phenyl)methyl)benzamide (**Z4121534245**) – **Method 11**



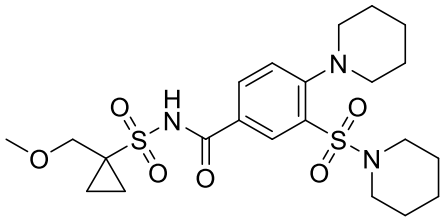
Yield: 20%.
LC/MS (APSI) m/z [M+H] calculated for $C_{23}H_{19}N_7OS$: 441.1, found: 440.1.

(1*R*,2*R*,4*R*)-*N*-(((2*R*)-1-(2,5,5-trimethylhexanoyl)pyrrolidin-2-yl)methyl)bicyclo[2.2.2]oct-5-ene-2-carboxamide (**Z4425934104**) – **Method 12**



Yield: 32%.
^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.44 (s, 1H), 6.28 (ddt, *J* = 35.2, 16.3, 7.9 Hz, 2H), 3.52 (dt, *J* = 8.4, 4.6 Hz, 2H), 3.45 – 3.28 (m, 1H), 3.17 (dddd, *J* = 20.0, 13.8, 9.9, 4.5 Hz, 1H), 2.81 (d, *J* = 7.7 Hz, 1H), 2.60 (s, 1H), 2.58 – 2.48 (m, 1H), 2.52 – 2.42 (m, 1H), 2.01 (s, 2H), 2.02 – 1.93 (m, 1H), 1.94 (s, 3H), 1.87 – 1.57 (m, 2H), 1.50 (dt, *J* = 19.6, 8.7 Hz, 1H), 1.39 – 1.21 (m, 2H), 1.21 – 1.05 (m, 5H), 0.87 (d, *J* = 8.8 Hz, 10H).
^{13}C NMR (126 MHz, Chloroform-*d*) δ 177.7, 176.1, 136.0, 135.0, 56.4, 49.9, 44.7, 42.0, 41.2, 36.3, 36.1, 35.6, 33.1, 32.7, 31.6, 29.6, 29.4, 28.8, 26.0, 23.9, 17.8.
LC/MS (APSI) m/z [M+H] calculated for $C_23H_{38}N_2O_2$: 374.3, found: 375.4.

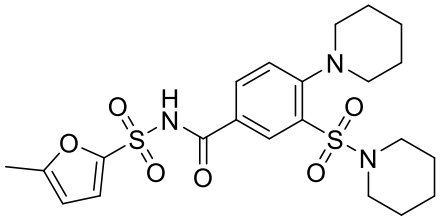
N-{[1-(methoxymethyl)cyclopropyl]sulfonyl}-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13701 (**Z4886825499**) – **Method 9**



Yield: 21%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{22}H_{33}N_3O_6S_2$: 500.2, found: 500.

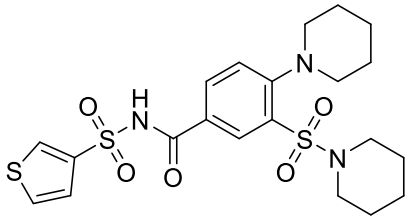
N-[(5-methylfuran-2-yl)sulfonyl]-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13702 (**Z4886825504**) – **Method 9**



Yield: 31%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{22}H_{29}N_3O_6S_2$: 496.2, found: 496.2.

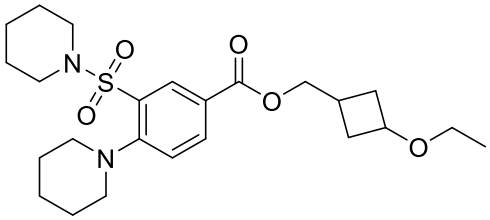
4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)-N-(thiophene-3-sulfonyl)benzamide – BRI-13703 (**Z4886825509**) – **Method 9**



Yield: 19%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{21}H_{27}N_3O_5S_3$: 498.1, found: 498.

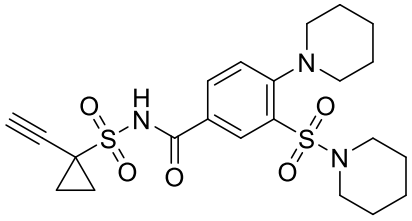
(3-ethoxycyclobutyl)methyl 4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzoate – BRI-13704 (**Z4886924423**) – **Method 5**



Yield: 28%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{36}N_2O_5S$: 465.2, found: 465.2.

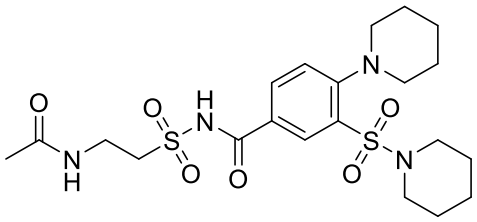
N-[(1-ethynylcyclopropyl)sulfonyl]-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13705 (**Z4886825517**) – **Method 9**



Yield: 26%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{22}H_{29}N_3O_5S_2$: 480.2, found: 482.

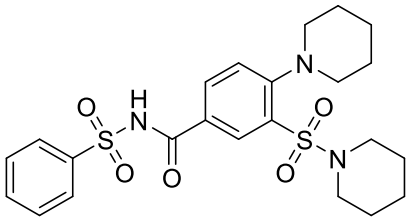
N-[2-({[4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)phenyl]formamido}sulfonyl)ethyl]acetamide – BRI-13706 (**Z4886825521**) – **Method 9**



Yield: 20%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{21}H_{32}N_4O_6S_2$: 501.2, found: 501.

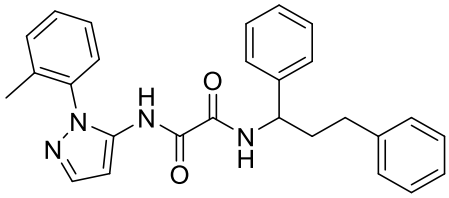
N-(benzenesulfonyl)-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13707 (**Z4886825525**) – **Method 9**



Yield: 29%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{23}H_{29}N_3O_5S_2$: 492.2, found: 492.

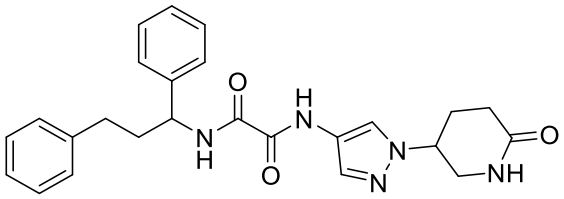
N'-(1,3-diphenylpropyl)-N-[1-(2-methylphenyl)-1H-pyrazol-5-yl]ethanediamide – BRI-13708 (**Z1538552259**) – **Method 8**



Yield: 30%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{27}H_{26}N_4O_2$: 439.2, found: 439.

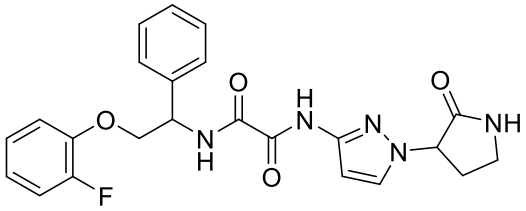
N'-(1,3-diphenylpropyl)-N-[1-(6-oxopiperidin-3-yl)-1H-pyrazol-4-yl]ethanediamide – BRI-13710 (**Z4121492356**) – **Method 8**



Yield: 16%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{25}H_{27}N_5O_3$: 446.2, found: 446.2.

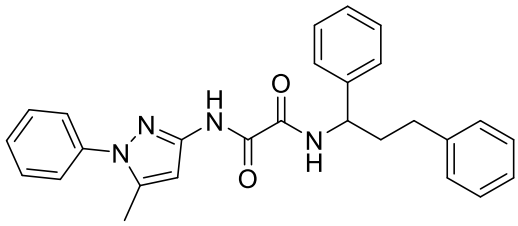
N'-[2-(2-fluorophenoxy)-1-phenylethyl]-N-[1-(2-oxopyrrolidin-3-yl)-1H-pyrazol-3-yl]ethanediamide – BRI-13712 (**Z4886924738**) – **Method 8**



Yield: 17%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{23}H_{22}FN_5O_4$: 452.2, found: 452.2.

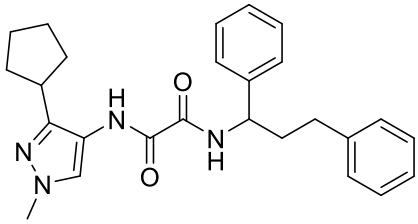
N'-(1,3-diphenylpropyl)-N-(5-methyl-1-phenyl-1H-pyrazol-3-yl)ethanediamide – BRI-13713 (**Z1579740596**) – **Method 8**



Yield: 17%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{27}H_{26}N_4O_2$: 439.2, found: 439.

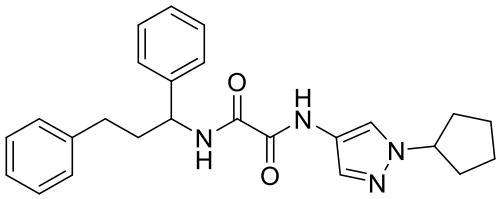
N-(3-cyclopentyl-1-methyl-1H-pyrazol-4-yl)-N'-(1,3-diphenylpropyl)ethanediamide – BRI-13714 (**Z4886924881**) – **Method 8**



Yield: 26%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{26}H_{30}N_4O_2$: 431.2, found: 431.1.

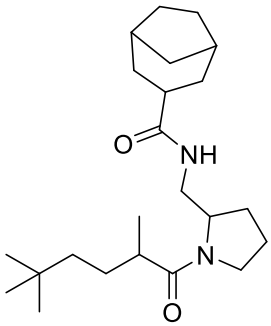
N-(1-cyclopentyl-1H-pyrazol-4-yl)-N'-(1,3-diphenylpropyl)ethanediamide – BRI-13716 (**Z4886925010**) – **Method 8**



Yield: 22%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{25}H_{28}N_4O_2$: 417.2, found: 417.3.

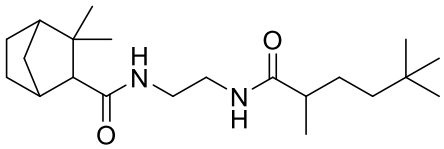
N-{[1-(2,5,5-trimethylhexanoyl)pyrrolidin-2-yl]methyl}bicyclo[3.2.1]octane-3-carboxamide – BRI-13723 (**Z4886925912**) – **Method 14**



Yield: 24%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{23}H_{40}N_2O_2$: 377.3, found: 377.2.

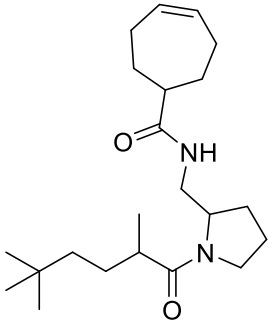
N-[2-({3,3-dimethylbicyclo[2.2.1]heptan-2-yl}formamido)ethyl]-2,5,5-trimethylhexanamide – BRI-13726 (**Z4886926284**) – **Method 14**



Yield: 23%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{21}H_{38}N_2O_2$: 351.12, found: 351.3.

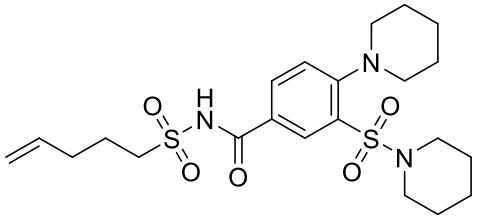
N-{[1-(2,5,5-trimethylhexanoyl)pyrrolidin-2-yl]methyl}cyclohept-4-ene-1-carboxamide – BRI-13728 (**Z4886926555**) – **Method 14**



Yield: 30%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{22}H_{38}N_2O_2$: 363.3, found: 363.3.

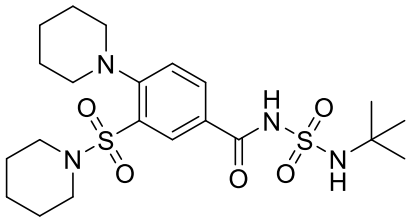
N-(pent-4-ene-1-sulfonyl)-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13731 (**Z4886816116**) – **Method 9**



Yield: 26%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{22}H_{33}N_3O_5S_2$: 484.2, found: 484.2.

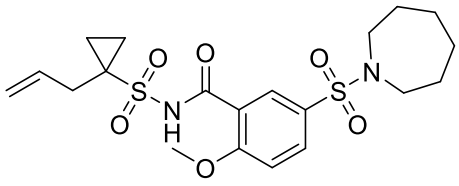
N-(tert-butylsulfamoyl)-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13735 (**Z4886816128**) – **Method 9**



Yield: 21%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{21}H_{34}N_4O_5S_2$: 487.2, found: 487.2.

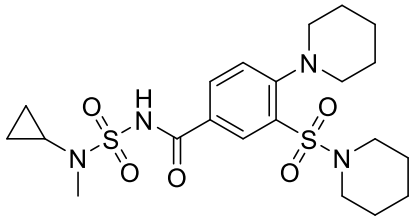
5-(azepane-1-sulfonyl)-2-methoxy-N-{[1-(prop-2-en-1-yl)cyclopropyl]sulfonyl}benzamide – BRI-13737 (**Z4886816131**) – **Method 9**



Yield: 18%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{20}H_{28}N_2O_6S_2$: 457.1, found: 457.2.

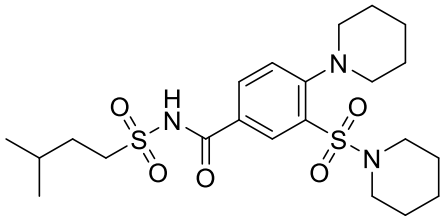
N-[cyclopropyl(methyl)sulfamoyl]-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13739 (**Z4886816147**) – **Method 9**



Yield: 21%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{21}H_{32}N_4O_5S_2$: 485.2, found: 485.

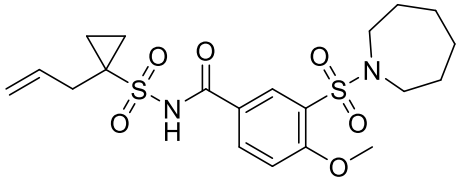
N-(3-methylbutanesulfonyl)-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13750 (**Z4886816202**) – **Method 9**



Yield: 17%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{22}H_{35}N_3O_5S_2$: 486.2, found: 486.2.

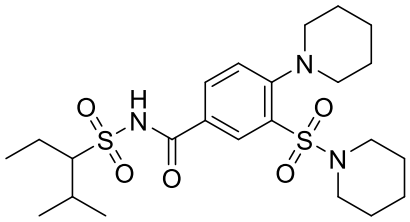
3-(azepane-1-sulfonyl)-4-methoxy-N-{[1-(prop-2-en-1-yl)cyclopropyl]sulfonyl}benzamide – BRI-13751 (Z4886816208) – **Method 9**



Yield: 15%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{20}H_{28}N_2O_6S_2$: 457.1, found: 457.2.

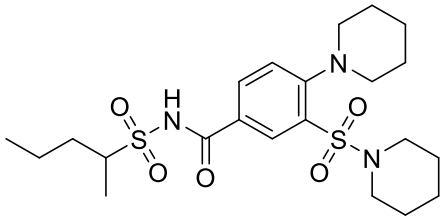
N-(2-methylpentane-3-sulfonyl)-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13752 (Z4886818428) – **Method 9**



Yield: 26%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{23}H_{37}N_3O_5S_2$: 500.2, found: 500.2.

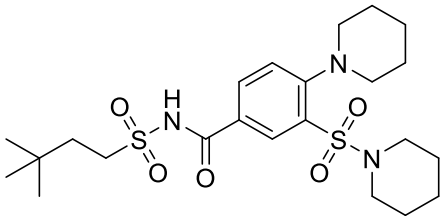
N-(pentane-2-sulfonyl)-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13754 (Z4886818436) – **Method 9**



Yield: 27%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{22}H_{35}N_3O_5S_2$: 486.2, found: 486.2.

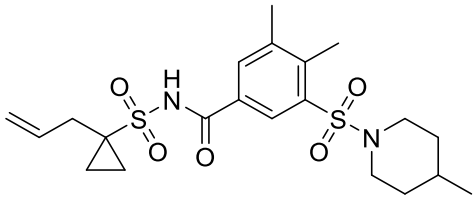
N-(3,3-dimethylbutanesulfonyl)-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13755 (Z4886816226) – **Method 9**



Yield: 18%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{23}H_{37}N_3O_5S_2$: 500.2, found: 500.2.

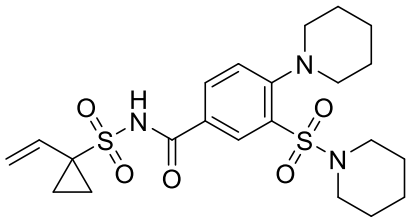
3,4-dimethyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-N-{[1-(prop-2-en-1-yl)cyclopropyl]sulfonyl}benzamide – BRI-13756 (Z4886816234) – **Method 9**



Yield: 19%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{21}H_{30}N_2O_5S_2$: 455.2, found: 455.2.

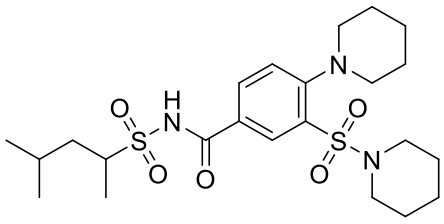
N-[(1-ethenylcyclopropyl)sulfonyl]-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13757 (Z4886816241) – **Method 9**



Yield: 28%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{22}H_{31}N_3O_5S_2$: 482.2, found: 482.2.

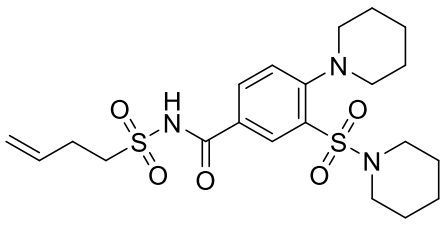
N-(4-methylpentane-2-sulfonyl)-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13762 (Z4886818455) – **Method 9**



Yield: 31%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{23}H_{37}N_3O_5S_2$: 500.2, found: 500.2.

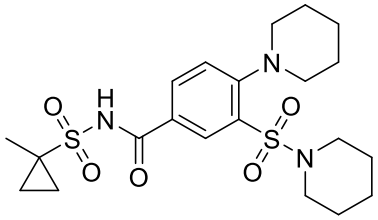
N-(but-3-ene-1-sulfonyl)-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13764 (Z4886816301) – **Method 9**



Yield: 22%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{21}H_{31}N_3O_5S_2$: 470.2, found: 470.1.

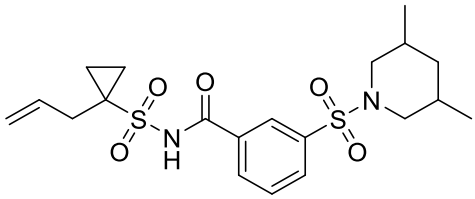
N-[(1-methylcyclopropyl)sulfonyl]-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13765 (Z4886816304) – **Method 9**



Yield: 28%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{21}H_{31}N_3O_5S_2$: 470.2, found: 470.2.

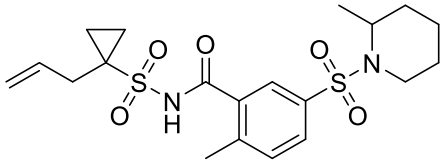
3-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-N-{[1-(prop-2-en-1-yl)cyclopropyl]sulfonyl}benzamide – BRI-13766 (Z2175374182) – **Method 9**



Yield: 18%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{20}H_{28}N_2O_5S_2$: 441, found: 441.2.

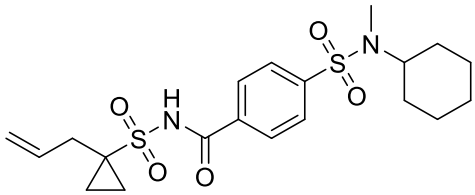
2-methyl-5-[(2-methylpiperidin-1-yl)sulfonyl]-N-{[1-(prop-2-en-1-yl)cyclopropyl]sulfonyl}benzamide – BRI-13767 (Z2175373672) – **Method 9**



Yield: 31%

LC/MS (APSI) *m/z* [M-H] calculated for $C_{20}H_{28}N_2O_5S_2$: 439.1, found: 439.

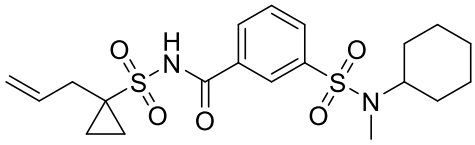
4-[cyclohexyl(methyl)sulfamoyl]-N-{[1-(prop-2-en-1-yl)cyclopropyl]sulfonyl}benzamide – BRI-13768 (Z2175381372) – **Method 9**



Yield: 29%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{20}H_{28}N_2O_5S_2$: 441.2, found: 441.

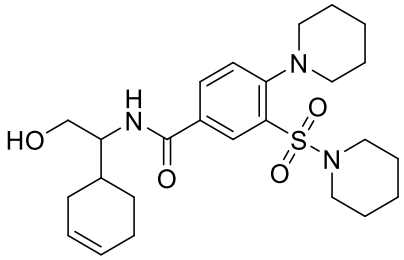
3-[cyclohexyl(methyl)sulfamoyl]-N-{[1-(prop-2-en-1-yl)cyclopropyl]sulfonyl}benzamide – BRI-13770 (Z2175381493) – **Method 9**



Yield: 34%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{20}H_{28}N_2O_5S_2$: 441.2, found: 441.2.

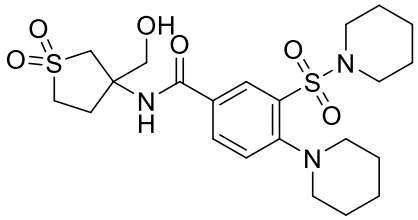
N-[1-(cyclohex-3-en-1-yl)-2-hydroxyethyl]-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13729 (**Z4886818373**) – **Method 2**



Yield: 69%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{25}H_{37}N_3O_4S$: 476.3, found: 476.2.

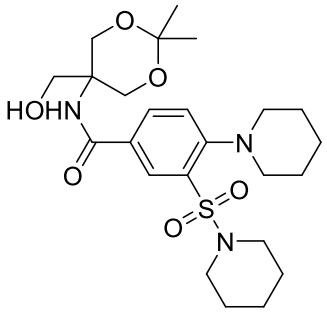
N-[3-(hydroxymethyl)-1,1-dioxo-1lambda6-thiolan-3-yl]-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13730 (**Z4886818380**) – **Method 2**



Yield: 68%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{22}H_{33}N_3O_6S_2$: 500.2, found: 500.2.

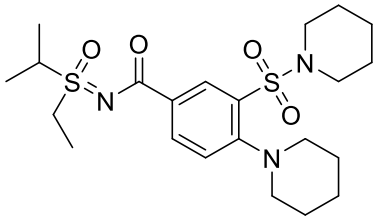
N-[5-(hydroxymethyl)-2,2-dimethyl-1,3-dioxan-5-yl]-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13732 (**Z4886816119**) – **Method 2**



Yield: 58%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{37}N_3O_6S$: 496.2, found: 496.

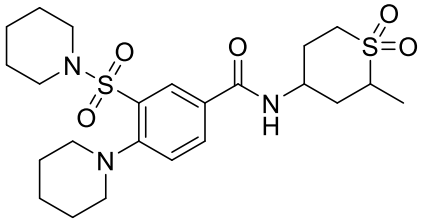
N-[ethyl(oxo)(propan-2-yl)-lambda6-sulfanylidene]-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13733 (**Z4886816121**) – **Method 2**



Yield: 65%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{22}H_{35}N_3O_4S_2$: 470.2, found: 470.1.

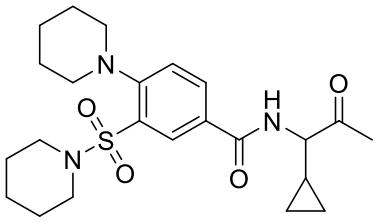
N-(2-methyl-1,1-dioxo-1lambda6-thian-4-yl)-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13734 (**Z4886818388**) – **Method 2**



Yield: 59%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{23}H_{35}N_3O_5S_2$: 498.2, found: 498.

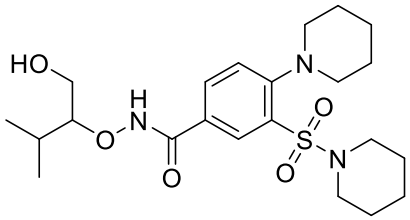
N-(1-cyclopropyl-2-oxopropyl)-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13738 (**Z4886818395**) – **Method 2**



Yield: 24%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{23}H_{33}N_3O_4S$: 448.2, found: 448.

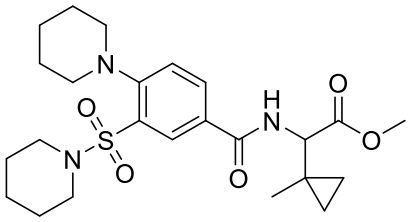
N-[(1-hydroxy-3-methylbutan-2-yl)oxy]-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13740 (**Z4886818400**) – **Method 2**



Yield: 50%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{22}H_{35}N_3O_5S$: 454.2, found: 454.2.

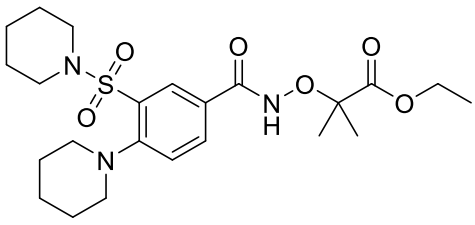
methyl 2-(1-methylcyclopropyl)-2-{[4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)phenyl]formamido}acetate – BRI-13741 (**Z4886818402**) – **Method 2**



Yield: 69%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{35}N_3O_5S$: 478.2, found: 478.

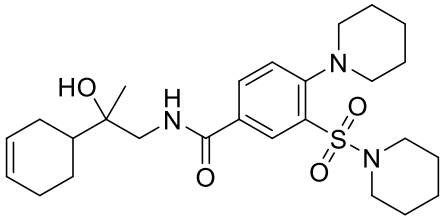
ethyl 2-methyl-2-({[4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)phenyl]formamido}oxy)propanoate – BRI-13743 (**Z4886816160**) – **Method 2**



Yield: 54%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{23}H_{35}N_3O_6S$: 482.2, found: 482.2.

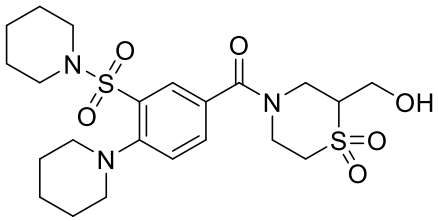
N-[2-(cyclohex-3-en-1-yl)-2-hydroxypropyl]-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13744 (**Z4886818412**) – **Method 2**



Yield: 56%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{26}H_{39}N_3O_4S$: 490.3, found: 490.4.

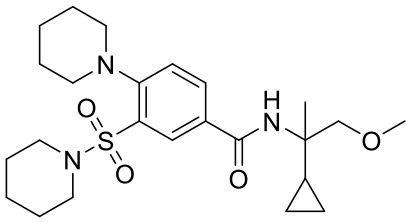
2-(hydroxymethyl)-4-[4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzoyl]-1lambda6-thiomorpholine-1,1-dione – BRI-13746 (**Z4886818415**) – **Method 2**



Yield: 40%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{22}H_{33}N_3O_6S_2$: 500.2, found: 500.

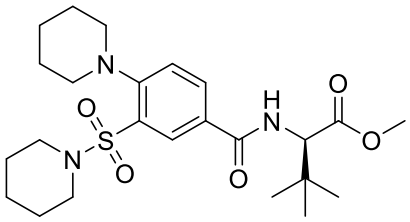
N-(2-cyclopropyl-1-methoxypropan-2-yl)-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13747 (**Z4886816179**) – **Method 2**



Yield: 55%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{37}N_3O_4S$: 464.3, found: 464.2.

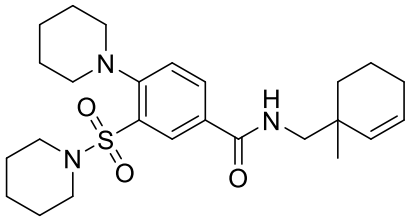
methyl (2R)-3,3-dimethyl-2-{[4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)phenyl]formamido}butanoate – BRI-13748 (**Z4886818417**) – **Method 2**



Yield: 70%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{37}N_3O_5S$: 480.3, found: 480.1.

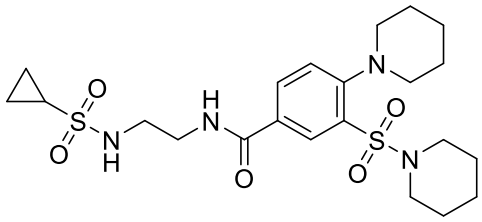
N-[(1-methylcyclohex-2-en-1-yl)methyl]-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13749 (**Z4886818418**) – **Method 2**



Yield: 56%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{25}H_{37}N_3O_3S$: 460.3, found: 460.

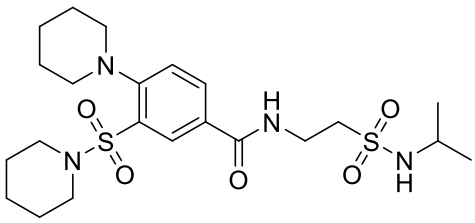
N-(2-cyclopropanesulfonamidoethyl)-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13753 (**Z4886816220**) – **Method 2**



Yield: 62%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{22}H_{34}N_4O_5S_2$: 499.2, found: 499.

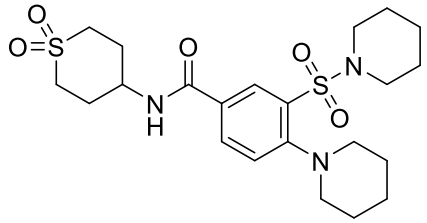
4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)-N-{2-[(propan-2-yl)sulfamoyl]ethyl}benzamide – BRI-13758 (**Z4886816252**) – **Method 2**



Yield: 57%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{22}H_{36}N_4O_5S_2$: 501.2, found: 501.

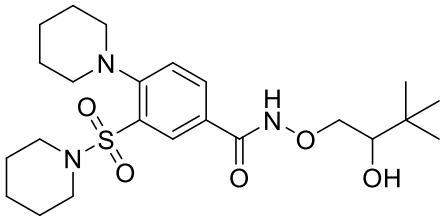
N-(1,1-dioxo-1lambda6-thian-4-yl)-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13760 (**Z649786252**) – **Method 2**



Yield: 65%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{22}H_{33}N_3O_5S_2$: 484.2, found: 484.2.

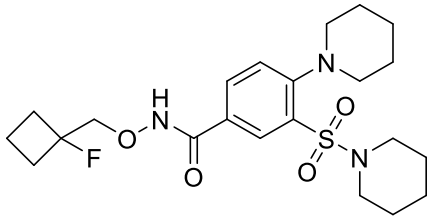
N-(2-hydroxy-3,3-dimethylbutoxy)-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13761 (**Z4886818449**) – **Method 2**



Yield: 57%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{23}H_{37}N_3O_5S$: 468.3, found: 468.1.

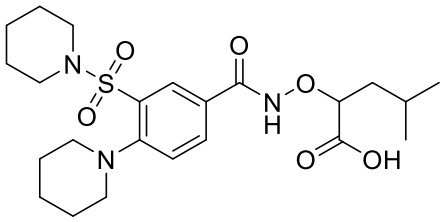
N-[(1-fluorocyclobutyl)methoxy]-4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzamide – BRI-13763 (**Z4886816294**) – **Method 2**



Yield: 48%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{22}H_{32}FN_3O_4S$: 454.2, found: 454.

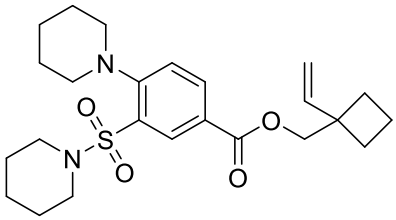
4-methyl-2-({[4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)phenyl]formamido}oxy)pentanoic acid – BRI-13769 (**Z4886818480**) – **Method 2**



Yield: 54%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{23}H_{35}N_3O_6S$: 482.2, found: 482.4.

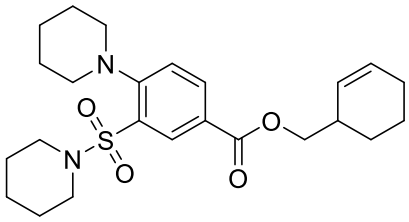
(1-ethenylcyclobutyl)methyl 4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzoate – BRI-13736 (**Z4886816129**) – **Method 5**



Yield: 23%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{34}N_2O_4S$: 447.2, found: 447.2.

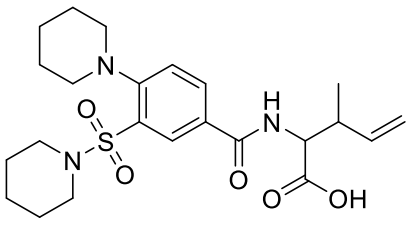
(cyclohex-2-en-1-yl)methyl 4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)benzoate – BRI-13742 (**Z4886818404**) – **Method 5**



Yield: 19%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{34}N_2O_4S$: 447.2, found: 447.2.

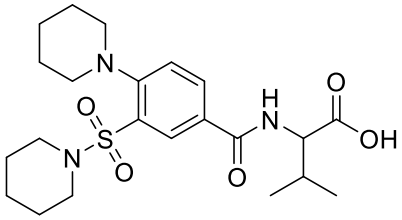
3-methyl-2-{[4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)phenyl]formamido}pent-4-enoic acid – BRI-13745 (**Z4886818414**) – **Method 2**



Yield: 42%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{23}H_{33}N_3O_5S$: 464.2, found: 464.2.

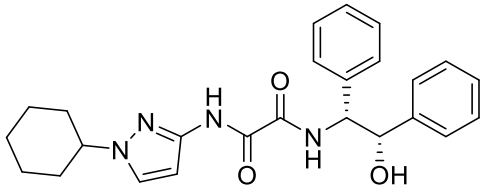
3-methyl-2-{[4-(piperidin-1-yl)-3-(piperidine-1-sulfonyl)phenyl]formamido}butanoic acid – BRI-13759 (**Z4886818445**) – **Method 2**



Yield: 70%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{22}H_{33}N_3O_5S$: 452.2, found: 452.2.

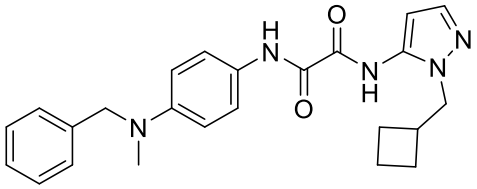
N-(1-cyclohexyl-1H-pyrazol-3-yl)-N'-[(1R,2S)-2-hydroxy-1,2-diphenylethyl]ethanediamide – BRI-13771 (**Z2221890363**) – **Method 8**



Yield: 24%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{25}H_{28}N_4O_3$: 433.2, found: 433.2.

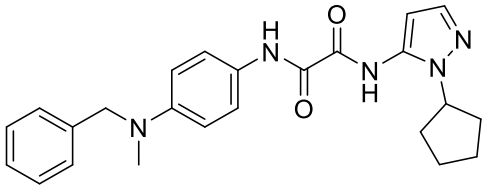
N'-{4-[benzyl(methyl)amino]phenyl}-N-[1-(cyclobutylmethyl)-1H-pyrazol-5-yl]ethanediamide – BRI-13772 (**Z4886816337**) – **Method 8**



Yield: 24%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{27}N_5O_2$: 418.2, found: 418.

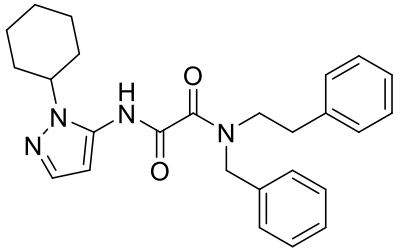
N'-{4-[benzyl(methyl)amino]phenyl}-N-(1-cyclopentyl-1H-pyrazol-5-yl)ethanediamide – BRI-13773 (**Z1783021934**) – **Method 8**



Yield: 24%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{27}N_5O_2$: 418.2, found: 418.2.

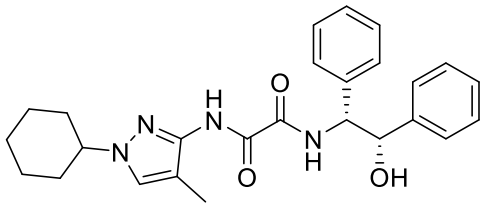
N'-benzyl-N-(1-cyclohexyl-1H-pyrazol-5-yl)-N'-(2-phenylethyl)ethanediamide – BRI-13774 (**Z1289963826**) – **Method 8**



Yield: 23%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{26}H_{30}N_4O_2$: 431.2, found: 431.4.

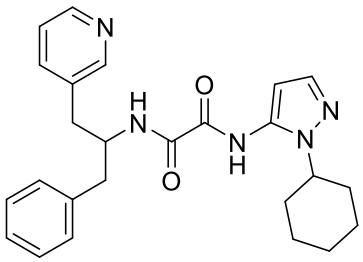
N-(1-cyclohexyl-4-methyl-1H-pyrazol-3-yl)-N'-[(1R,2S)-2-hydroxy-1,2-diphenylethyl]ethanediamide – BRI-13775 (**Z2221889954**) – **Method 8**



Yield: 15%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{26}H_{30}N_4O_3$: 447.2, found: 447.2.

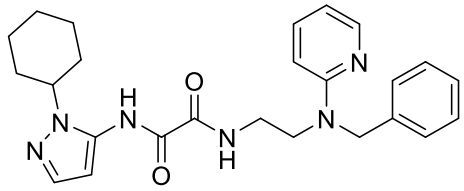
N-(1-cyclohexyl-1H-pyrazol-5-yl)-N'-[1-phenyl-3-(pyridin-3-yl)propan-2-yl]ethanediamide – BRI-13776 (**Z4886818501**) – **Method 8**



Yield: 23%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{25}H_{29}N_5O_2$: 432.2, found: 432.2.

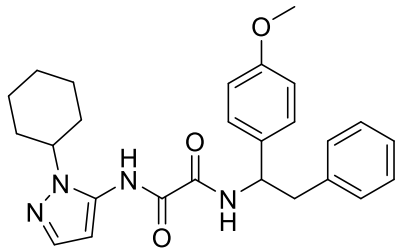
N'-{2-[benzyl(pyridin-2-yl)amino]ethyl}-N-(1-cyclohexyl-1H-pyrazol-5-yl)ethanediamide – BRI-13781 (**Z4886816371**) – **Method 8**



Yield: 40%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{25}H_{30}N_6O_2$: 447.3, found: 447.

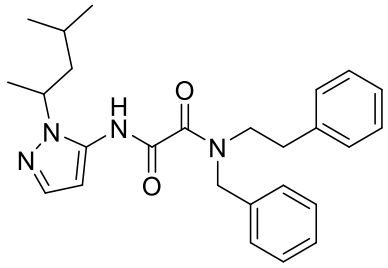
N-(1-cyclohexyl-1H-pyrazol-5-yl)-N'-[1-(4-methoxyphenyl)-2-phenylethyl]ethanediamide – BRI-13783 (**Z2173483653**) – **Method 8**



Yield: 29%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{26}H_{30}N_4O_3$: 447.2, found: 447.

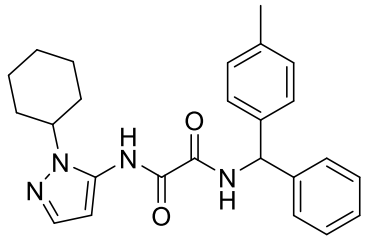
N'-benzyl-N-[1-(4-methylpentan-2-yl)-1H-pyrazol-5-yl]-N'-(2-phenylethyl)ethanediamide – BRI-13784 (**Z1480332192**) – **Method 8**



Yield: 20%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{26}H_{32}N_4O_2$: 433.2, found: 433.2.

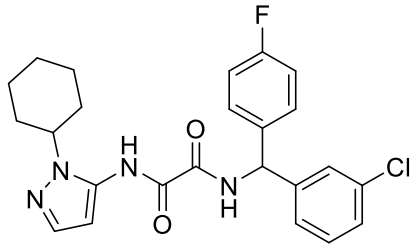
N-(1-cyclohexyl-1H-pyrazol-5-yl)-N'-[(4-methylphenyl)(phenyl)methyl]ethanediamide – BRI-13787 (**Z1289884410**) – **Method 8**



Yield: 19%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{25}H_{28}N_4O_2$: 417.2, found: 417.2.

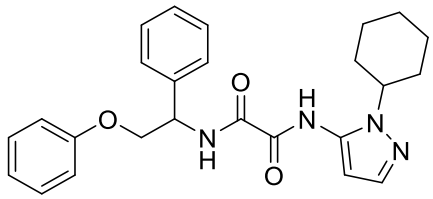
N'-[(3-chlorophenyl)(4-fluorophenyl)methyl]-N-(1-cyclohexyl-1H-pyrazol-5-yl)ethanediamide – BRI-13788 (**Z4886818555**) – **Method 8**



Yield: 40%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{24}ClFN_4O_2$: 455.2, found: 455.

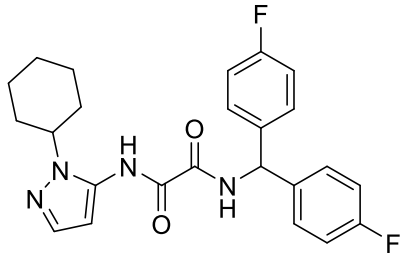
N-(1-cyclohexyl-1H-pyrazol-5-yl)-N'-(2-phenoxy-1-phenylethyl)ethanediamide – BRI-13792 (**Z1290183067**) – **Method 8**



Yield: 24%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{25}H_{28}N_4O_3$: 433.2, found: 433.2.

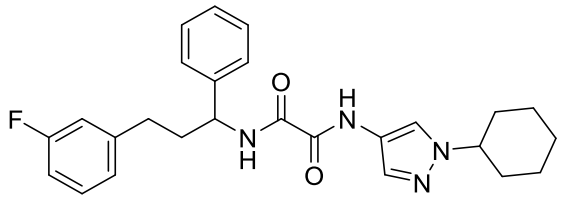
N'-[bis(4-fluorophenyl)methyl]-N-(1-cyclohexyl-1H-pyrazol-5-yl)ethanediamide – BRI-13793 (**Z1290044889**) – **Method 8**



Yield: 31%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{24}F_2N_4O_2$: 439.2, found: 439.2.

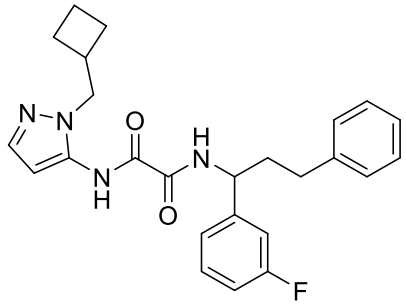
N-(1-cyclohexyl-1H-pyrazol-4-yl)-N'-[3-(3-fluorophenyl)-1-phenylpropyl]ethanediamide – BRI-13794 (**Z4886818591**) – **Method 8**



Yield: 22%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{26}H_{29}FN_4O_2$: 449.2, found: 449.2.

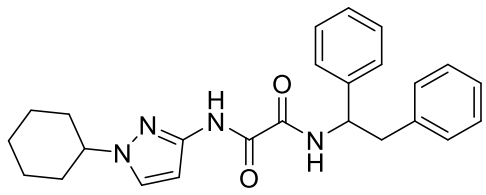
N-[1-(cyclobutylmethyl)-1H-pyrazol-5-yl]-N'-[1-(3-fluorophenyl)-3-phenylpropyl]ethanediamide – BRI-13795 (**Z4886818594**) – **Method 8**



Yield: 38%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{25}H_{27}FN_4O_2$: 435.2, found: 435.1.

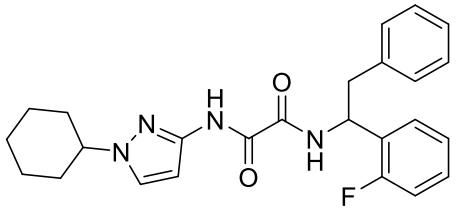
N-(1-cyclohexyl-1H-pyrazol-3-yl)-N'-(1,2-diphenylethyl)ethanediamide – BRI-13797 (Z1893268738) – **Method 8**



Yield: 21%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{25}H_{28}N_4O_2$: 417.2, found: 417.

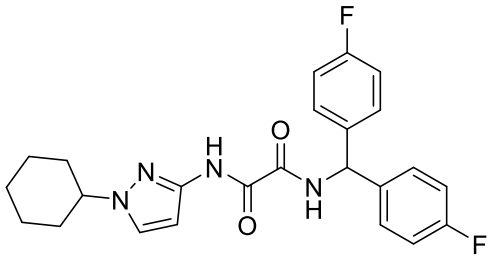
N-(1-cyclohexyl-1H-pyrazol-3-yl)-N'-[1-(2-fluorophenyl)-2-phenylethyl]ethanediamide – BRI-13798 (**Z1893860264**) – **Method 8**



Yield: 31%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{25}H_{27}FN_4O_2$: 435.2, found: 435.2.

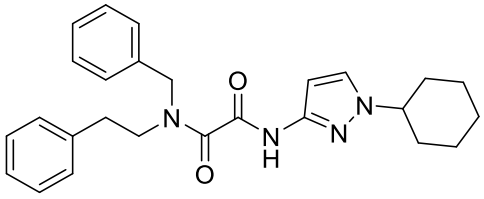
N'-[bis(4-fluorophenyl)methyl]-N-(1-cyclohexyl-1H-pyrazol-3-yl)ethanediamide – BRI-13799 (**Z1893499762**) – **Method 8**



Yield: 26%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{24}F_2N_4O_2$: 439.2, found: 439.

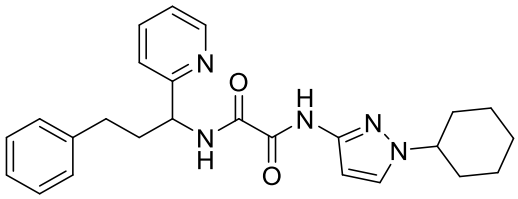
N'-benzyl-N-(1-cyclohexyl-1H-pyrazol-3-yl)-N'-(2-phenylethyl)ethanediamide – BRI-13800 (**Z1893379869**) – **Method 8**



Yield: 28%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{26}H_{30}N_4O_2$: 431.2, found: 431.2.

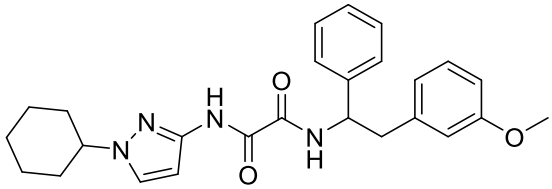
N-(1-cyclohexyl-1H-pyrazol-3-yl)-N'-[3-phenyl-1-(pyridin-2-yl)propyl]ethanediamide – BRI-13801 (**Z4886818606**) – **Method 8**



Yield: 29%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{25}H_{29}N_5O_2$: 432.2, found: 432.2.

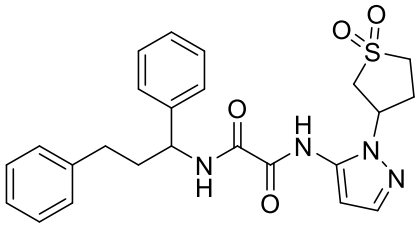
N-(1-cyclohexyl-1H-pyrazol-3-yl)-N'-[2-(3-methoxyphenyl)-1-phenylethyl]ethanediamide – BRI-13803 (**Z1894051580**) – **Method 8**



Yield: 32%

LC/MS (APSI) *m/z* [M+H] calculated for C26H30N4O3: 447.2, found: 447.2.

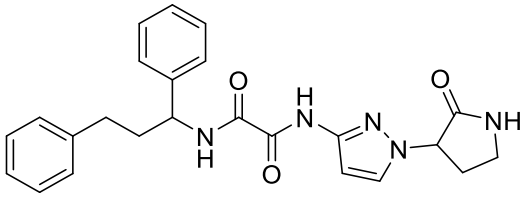
N-[1-(1,1-dioxo-1lambda6-thiolan-3-yl)-1H-pyrazol-5-yl]-N'-(1,3-diphenylpropyl)ethanediamide – BRI-13709 (**Z4121492321**) – **Method 8**



Yield: 19%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{26}N_4O_4S$: 467.2, found: 467.

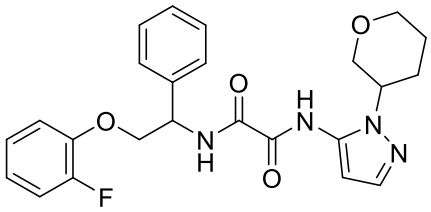
N'-(1,3-diphenylpropyl)-N-[1-(2-oxopyrrolidin-3-yl)-1H-pyrazol-3-yl]ethanediamide – BRI-13711 (**Z4886924680**) – **Method 8**



Yield: 19%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{25}N_5O_3$: 432.2, found: 432.1.

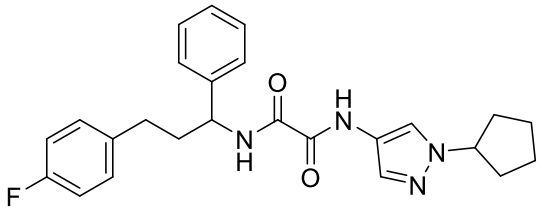
N'-[2-(2-fluorophenoxy)-1-phenylethyl]-N-[1-(oxan-3-yl)-1H-pyrazol-5-yl]ethanediamide – BRI-13715 (**Z4886924947**) – **Method 8**



Yield: 59%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{25}FN_4O_4$: 453.2, found: 453.

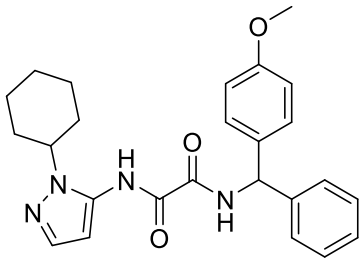
N-(1-cyclopentyl-1H-pyrazol-4-yl)-N'-[3-(4-fluorophenyl)-1-phenylpropyl]ethanediamide – BRI-13780 (**Z4886818523**) – **Method 8**



Yield: 19%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{25}H_{27}FN_4O_2$: 435.2, found: 435.

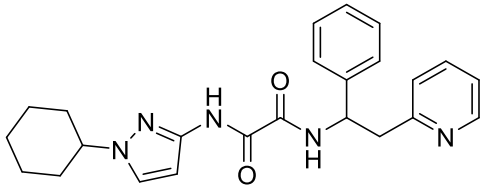
N-(1-cyclohexyl-1H-pyrazol-5-yl)-N'-[(4-methoxyphenyl)(phenyl)methyl]ethanediamide – BRI-13785 (**Z1289888474**) – **Method 8**



Yield: 59%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{25}H_{28}N_4O_3$: 433, found: 433.2.

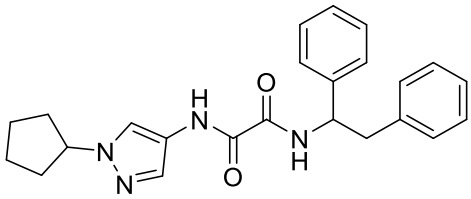
N-(1-cyclohexyl-1H-pyrazol-3-yl)-N'-[1-phenyl-2-(pyridin-2-yl)ethyl]ethanediamide – BRI-13790 (**Z1894264392**) – **Method 8**



Yield: 21%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{27}N_5O_2$: 418.2, found: 418.

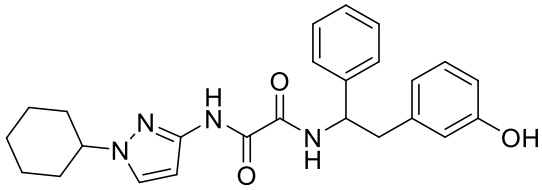
N-(1-cyclopentyl-1H-pyrazol-4-yl)-N'-(1,2-diphenylethyl)ethanediamide – BRI-13791 (**Z4886818577**) – **Method 8**



Yield: 14%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{26}N_4O_2$: 403.2, found: 403.2.

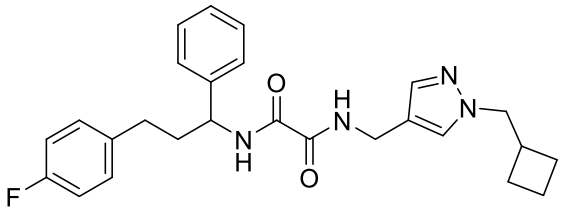
N-(1-cyclohexyl-1H-pyrazol-3-yl)-N'-[2-(3-hydroxyphenyl)-1-phenylethyl]ethanediamide – BRI-13796 (**Z1894516221**) – **Method 8**



Yield: 19%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{25}H_{28}N_4O_3$: 433.2, found: 433.2.

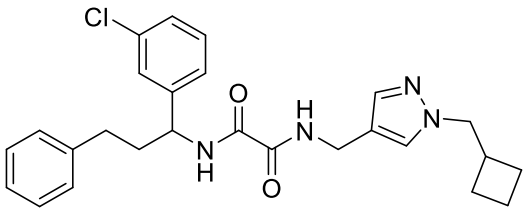
N-{[1-(cyclobutylmethyl)-1H-pyrazol-4-yl]methyl}-N'-[3-(4-fluorophenyl)-1-phenylpropyl]ethanediamide – BRI-13777 (**Z4886818507**) – **Method 8**



Yield: 17%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{26}H_{29}FN_4O_2$: 449.2, found: 449.2.

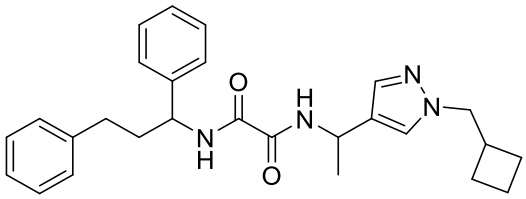
N'-[1-(3-chlorophenyl)-3-phenylpropyl]-N-{[1-(cyclobutylmethyl)-1H-pyrazol-4-yl]methyl}ethanediamide – BRI-13786 (**Z4886818552**) – **Method 8**



Yield: 21%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{26}H_{29}ClN_4O_2$: 465.2, found: 465.4.

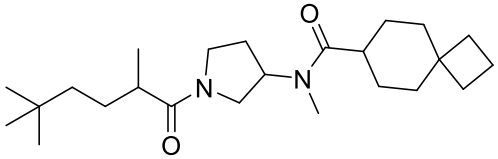
N-{1-[1-(cyclobutylmethyl)-1H-pyrazol-4-yl]ethyl}-N'-(1,3-diphenylpropyl)ethanediamide – BRI-13789 (**Z4886818558**) – **Method 8**



Yield: 27%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{27}H_{32}N_4O_2$: 445.3, found: 445.4.

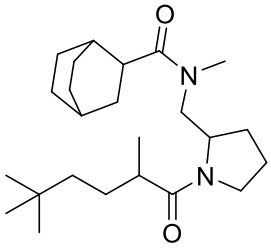
N-methyl-N-[1-(2,5,5-trimethylhexanoyl)pyrrolidin-3-yl]spiro[3.5]nonane-7-carboxamide – BRI-13806 (**Z4886818620**) – **Method 14**



Yield: 36%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{42}N_2O_2$: 391.3, found: 391.4.

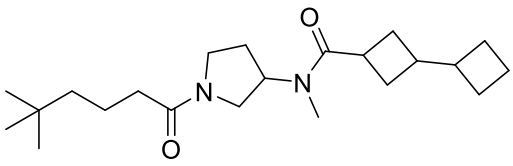
N-methyl-N-{[1-(2,5,5-trimethylhexanoyl)pyrrolidin-2-yl]methyl}bicyclo[2.2.2]octane-2-carboxamide – BRI-13808 (**Z4886818622**) – **Method 14**



Yield: 36%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{42}N_2O_2$: 391.3, found: 391.4.

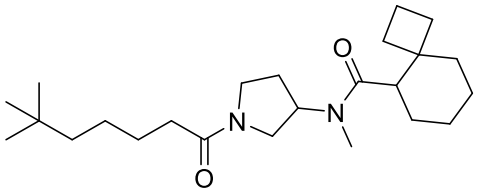
N-[1-(5,5-dimethylhexanoyl)pyrrolidin-3-yl]-N-methyl-[1,1'-bi(cyclobutane)]-3-carboxamide – BRI-13809 (**Z4886818625**) – **Method 14**



Yield: 24%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{22}H_{38}N_2O_2$: 363.3, found: 363.2.

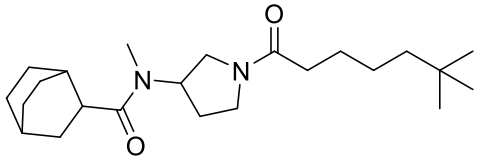
N-[1-(6,6-dimethylheptanoyl)pyrrolidin-3-yl]-N-methylspiro[3.5]nonane-5-carboxamide – BRI-13811 (**Z4886818632**) – **Method 14**



Yield: 16%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{42}N_2O_2$: 391.3, found: 391.2.

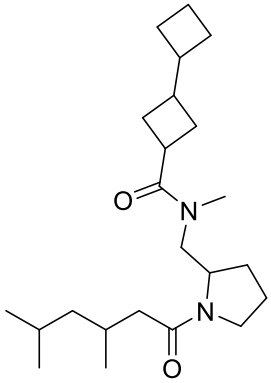
N-[1-(6,6-dimethylheptanoyl)pyrrolidin-3-yl]-N-methylbicyclo[2.2.2]octane-2-carboxamide – BRI-13812 (**Z4886818633**) – **Method 14**



Yield: 32%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{23}H_{40}N_2O_2$: 377.3, found: 377.2.

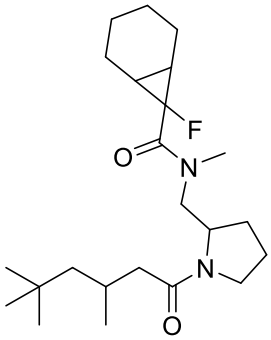
N-{[1-(3,5-dimethylhexanoyl)pyrrolidin-2-yl]methyl}-N-methyl-[1,1'-bi(cyclobutane)]-3-carboxamide – BRI-13813 (**Z4886818635**) – **Method 14**



Yield: 51%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{23}H_{40}N_2O_2$: 377.3, found: 377.2.

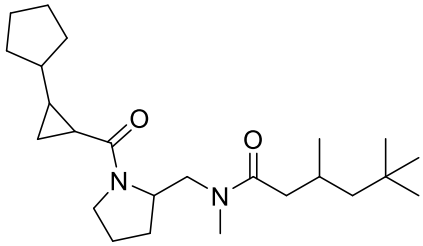
7-fluoro-N-methyl-N-{[1-(3,5,5-trimethylhexanoyl)pyrrolidin-2-yl]methyl}bicyclo[4.1.0]heptane-7-carboxamide – BRI-13817 (**Z4886818652**) – **Method 14**



Yield: 27%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{23}H_{39}FN_2O_2$: 395.3, found: 395.2.

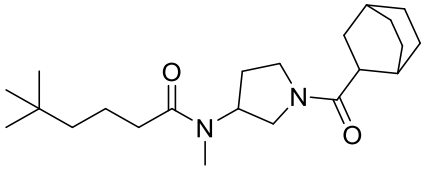
N-{[1-(2-cyclopentylcyclopropanecarbonyl)pyrrolidin-2-yl]methyl}-N,3,5,5-tetramethylhexanamide – BRI-13851 (**Z4886818614**) – **Method 14**



Yield: 18%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{42}N_2O_2$: 391.3, found: 391.4.

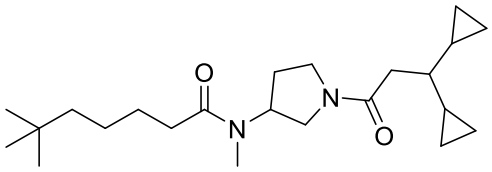
N-(1-{bicyclo[2.2.2]octane-2-carbonyl}pyrrolidin-3-yl)-N,5,5-trimethylhexanamide – BRI-13852 (**Z4886818621**) – **Method 14**



Yield: 25%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{22}H_{38}N_2O_2$: 363.3, found: 363.2.

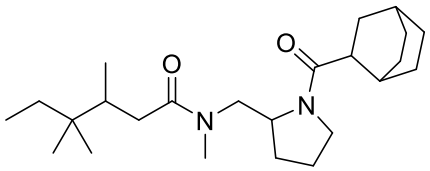
N-[1-(3,3-dicyclopropylpropanoyl)pyrrolidin-3-yl]-N,6,6-trimethylheptanamide – BRI-13853 (**Z4886818631**) – **Method 14**



Yield: 29%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{23}H_{40}N_2O_2$: 377.3, found: 377.4.

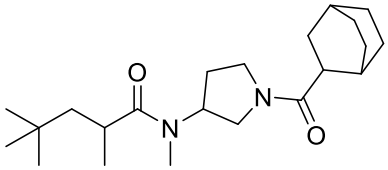
N-[(1-{bicyclo[2.2.2]octane-2-carbonyl}pyrrolidin-2-yl)methyl]-N,3,4,4-tetramethylhexanamide – BRI-13854 (**Z4886818643**) – **Method 14**



Yield: 32%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{42}N_2O_2$: 391.3, found: 391.4.

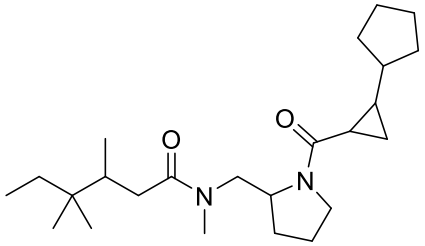
N-(1-{bicyclo[2.2.2]octane-2-carbonyl}pyrrolidin-3-yl)-N,2,4,4-tetramethylpentanamide – BRI-13855 (**Z4886818658**) – **Method 14**



Yield: 41%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{22}H_{38}N_2O_2$: 363.3, found: 363.2.

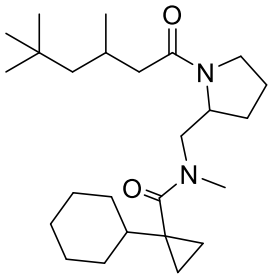
N-{[1-(2-cyclopentylcyclopropanecarbonyl)pyrrolidin-2-yl]methyl}-N,3,4,4-tetramethylhexanamide – BRI-13856 (**Z4886818665**) – **Method 14**



Yield: 37%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{24}H_{42}N_2O_2$: 391.3, found: 391.2.

1-cyclohexyl-N-methyl-N-{[1-(3,5,5-trimethylhexanoyl)pyrrolidin-2-yl]methyl}cyclopropane-1-carboxamide – BRI-13804 (**Z4886818613**) – **Method 14**



Yield: 26%

LC/MS (APSI) *m/z* [M+H] calculated for $C_{25}H_{44}N_2O_2$: 405.3, found: 405.1.