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Copper-Catalyzed Carbonylative Synthesis of Pyrrolidine-Containing Amides from γ,δ-Unsaturated Aromatic Oxime Esters

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1. General conditions

All solvents and commercially available reagents were purchased from Sigma-Aldrich, Abcr, Acros, TCI or Alfa Aesar and used without further purification. Anhydrous solvents were purchased from Sigma-Aldrich and used as received. NMR spectra were recorded on Bruker Avance 300 and Bruker ARX 400 spectrometers. Chemical shifts (ppm) are given relative to solvent: references for CDCl₃ were 7.26 ppm (¹H NMR) and 77.00 ppm (¹³C NMR). Multiplets were assigned as s (singlet), br.s (broad singlet), d (doublet), t (triplet), q (quartet), sept (septuplet), dd (doublet of doublet), dt (doublet of triplets), td (triplet of doublets) and m (multiplet). GC-yields were calculated using hexadecane as internal standard. All measurements were carried out at room temperature unless otherwise stated. Electron impact (EI) mass spectra were recorded on AMD 402 mass spectrometer (70 eV). High resolution mass spectra (HRMS) were recorded on Agilent 6210. The data are given as mass units per charge (m/z). Gas chromatography analysis was performed on an Agilent HP-7890A instrument with an FID detector and HP-5 capillary column (polydimethylsiloxane with 5% phenyl groups, 30 m, 0.32 mm i.d. 0.25 μ m film thickness) using argon as carrier gas. The products were isolated from the reaction mixture by column chromatography on silica gel 60, 0.063-0.2 mm, 70-230 mesh (Merck).

2. General procedures

2.1 General methods to synthesis of oxime esters.^[1-5] Method A



Reagents and conditions: (a) Allyl bromide, NaH, THF, 70 °C, overnight. (b) NH₂OH·HCl, Pyridine, EtOH, 90 °C, overnight. (c) PhCOCl, Et₃N, DCM, rt, overnight.

Step 1

Under argon atmosphere, to a 100 mL bottomed flask was charged with ketone (10 mmol, 1.0 equiv.), dry THF (0.5 M) was added and the solution was cooled to 0 °C, then NaH (1.2 or 2.5 equiv. 60% dispersion in oil) was added into the solution in portions. After stirring for 0.5 hour at 0 °C, allyl bromide (1.1 or 2.2 equiv.) was added dropwise, then the solution was heated to 70 °C and stirred for overnight. The reaction was quenched with H₂O at 0 °C, and the aqueous layer was extracted with ethyl acetate. The combined organic layers were washed with brine, dried (Na₂SO₄). The solution was filtered, concentrated to give the corresponding crude unsaturated ketones, which were used in the next step without further purification.

Step 2

A mixture of unsaturated ketone (1.0 equiv.), hydroxylamine hydrochloride (1.2 equiv.) and pyridine (2.0 equiv.) were dissolved in EtOH (0.5 M). The mixture stirred at 90 °C for overnight. Then EtOH was removed by concentration, added H_2O and the aqueous layer was extracted with ethyl acetate. The combined organic layers were washed with saturated NaHCO₃ solution, brine, dried (Na₂SO₄), and concentrated to give the crude unsaturated ketone oximes, which were used in the next step without further purification.

Step 3

To a mixture of unsaturated ketone oxime (1.0 equiv.), triethylamine (2.0 equiv.) and DCM (0.5 M) in a 100 mL three-necked flask was added benzoyl chloride (1.2 equiv) at room temperature. After addition, the reaction was allowed to continue for overnight. The reaction was quenched with an aqueous solution of saturated NaHCO₃, and the aqueous layer was extracted with DCM. The combined organic layers were washed with brine, dried (Na $_2$ SO₄). Then the solution was filtered, concentrated to give the crude oxime esters. Purification by column chromatography on silica gel (pentane/ethyl acetate 10:1), gave the corresponding products.

Method B



Reagents and conditions: (a) Et₂NH, *n*-BuLi, THF; Allyl bromide, 0 °C to rt, overnight. (b) Aryl Grignard reagent, 0 °C to 60 °C, overnight. (c) NH₂OH·HCl, Pyridine, EtOH, 90 °C, overnight. (d) PhCOCl, Et₃N, DCM, rt, overnight.

Step 1

Under argon atmosphere, to a 100 mL three-necked flask was charged with $Et_2NH(11 \text{ mmol}, 1.1 \text{ equiv.})$, dry THF (0.5 M) was added and the solution was cooled to 0 °C, then *n*-BuLi (1.15 equiv. 2.5 M solution in hexanes) was added dropwise. After stirring for 1 hour at 0 °C, the isobutyronitrile (10 mmol, 1.0 equiv.) was added dropwise, and the solution was continued to stir for 1 hour at 0 °C. Next allyl bromide (11 mmol, 1.1 equiv. in 5 mL dry THF) was added dropwise at 0 °C, and the mixture was warmed to room temperature for overnight. The reaction was quenched with H₂O at 0 °C, and the aqueous layer was extracted with ethyl acetate. The combined organic layers were washed with brine, dried (Na₂SO₄). The solution was filtered, concentrated purification by column chromatography on silica gel (pentane/ethyl acetate 50:1) to give the corresponding product 2,2-dimethylpent-4-enenitrile.

Step 2

To a 100 mL three-necked flask was charged with 2,2-dimethylpent-4-enenitrile (1.0 equiv.), the flask was evacuated and backfilled with nitrogen (3 times). Dry THF (0.5 M) was added, and the solution was cooled to0 $^{\circ}$ C, then aryl Grignard reagent (2.0 equiv.) was added dropwise. The mixture was heated to 60 $^{\circ}$ C and stirred for overnight. The reaction mixture was cooled to 0 $^{\circ}$ C and quenched with a 3 N hydrochloride solution, then warmed to room temperature and stirred for 3 hours. The aqueous layer was extracted with ethyl acetate. The combined organic layers were washed with brine, dried (Na₂SO₄). Then the solution was filtered, concentrated to give the crude unsaturated ketones, which were used in the next step without further purification. (Note: The main procedure follows the Method A, step 2 and Method A, step 3.)

Method C



Reagents and conditions: (a) Isopropyl or ethyl Grignard reagent, THF, 0 °C to rt, 30 h. (b) PCC, DCM, rt, 3 h. (c) Allyl bromide, NaH, THF, 70 °C, overnight. (d) NH₂OH·HCl, Pyridine, EtOH, 90 °C, overnight. (e) PhCOCl, Et₃N, DCM, rt, overnight.

Step 1

A 100 mL round bottomed flask charged with a solution of the aldehyde (10 mmol, 1.0 equiv.) in dry THF (0.5 M) was kept at 0°C with stirring. The isopropyl or ethyl Grignard reagent (1.5 equiv.) was slowly added to the

solution and the resulting suspension was stirred at rt for 30 hours, then the reaction was quenched with saturated NH_4Cl solution at 0 °C, and the a queous la yer was extracted with ethyl acetate. The combined organic la yers were washed with H_2O , brine, and dried over Na_2SO_4 , next filtered and concentrated in vacuo. The crude product alcohol was directly used in the next step without further purification.

Step 2

To a solution of the alcohol in DCM was stirred at 0 °C added pyridinium chlorochromate (PCC, 2.0 equiv.) slowly. The resulting mixture was allowed to warm to room temperature and stirred for 3 hours. The reaction was diluted with H_2O and extracted with ethyl acetate. The combined organic layers were washed with brine, dried over Na_2SO_4 , filtered, and concentrated in vacuo. The crude ketone was purification by column chromatography on silica gel (pentane/ethyl acetate 50:1) to give the corresponding product ketone. (Note: The main procedure follows the Method A, step 1-3)

2.2 General procedure for carbonylation reactions



To each screw-cap vial (4 mL) equipped with a septum, a small cannula, and a stirring bar was added oxime ester 1 (0.3 mmol), 2,2'-bipyridine (3.1 mg, 10 mol%) and Cu(OAc)₂ (1.8 mg, 5 mol%), then added MeCN (2 mL), amine 2 (0.2 mmol) and Et₃N (0.6 mmol). These vials were placed on an alloy plate and transferred into a 300 mL autoclave of the 4560 series from Parr instruments. After flushing the autoclave three times with CO, a pressure of 40 bar CO was set, and the reaction was performed for 20 hours at 100 °C (aluminum block). Afterward, the autoclave was cooled to room temperature and the pressure was released carefully. The organic phase was removed under reduced pressure and the crude products were purified by column chromatography on silica gel (eluent: pentane/ethyl acetate = 5:1 to 0:1).

1 mmol scale: To a screw-cap vial (12 mL) equipped with a septum, a small cannula, and a stirring bar was added 2,2-dimethyl-1-phenylpent-4-en-1-one *O*-benzoyl oxime **1a** (1.25 mmol), 2,2'-bipyridine (6.2 mg, 4 mol%) and Cu(OAc)₂(3.6 mg, 2 mol%), then added MeCN (7 mL), aniline **2a** (1.0 mmol) and Et₃N (3.0 mmol). These viak were placed on an alloy plate and transferred into a 300 mL autoclave of the 4560 series from Parr instruments. After flushing the autoclave three times with CO, a pressure of 40 bar CO was set, and the reaction was performed for 20 hours at 100 °C (aluminum block). Afterward, the autoclave was cooled to room temperature and the pressure was released carefully. The organic phase was removed under reduced pressure and the crude products were purified by column chromatography on silica gel (eluent: pentane/ethyl acetate = 5:1 to 2:1) to give the product **3a** in 85% yield (260.4 mg).

3. Analytical data

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-phenylacetamide (**3a**)



55.2 mg, yellow oil, yield: 90%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.27 (br.s, 1H), 7.83 – 7.77 (m, 2H), 7.62 – 7.55 (m, 2H), 7.49 – 7.40 (m, 3H), 7.33 – 7.28 (m, 2H), 7.10 – 7.03 (m, 1H), 4.42 – 4.29 (m, 1H), 2.71 (dd, *J* = 15.6, 4.0 Hz, 1H), 2.61 (dd, *J* = 15.6, 10.3 Hz, 1H), 2.20 (dd, *J* = 12.6, 6.6 Hz, 1H), 1.61 (dd, *J* = 12.6, 9.3 Hz, 1H), 1.41 (s, 3H), 1.40 (s, 3H).

¹³**C NMR** (75 MHz, CDCl₃) δ 180.1, 170.1, 138.6, 133.8, 130.1, 128.8, 128.4, 127.9, 123.6, 119.7, 64.1, 50.0, 48.5, 44.2, 27.0, 25.5.

HR-MS (ESI-TOF) calcd. for $C_{20}H_{22}N_2O$ [M+H]⁺: 307.1810; found: 307.1813; [M+Na]⁺: 329.1624; found: 329.1632.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2H-pyrrol-2-yl)-N-(o-tolyl)acetamide (3b)



59.6 mg, yellow oil, yield: 93%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (400 MHz, CDCl₃) δ 10.05 (br.s, 1H), 8.08 (dd, J = 8.3, 1.3 Hz, 1H), 7.84 – 7.74 (m, 2H), 7.49 – 7.41 (m, 3H), 7.23 (td, J = 7.8, 1.6 Hz, 1H), 7.17 (dd, J = 7.1, 1.3 Hz, 1H), 7.05 (td, J = 7.5, 1.3 Hz, 1H), 4.51 – 4.33 (m, 1H), 2.80 (dd, J = 15.5, 3.5 Hz, 1H), 2.69 (dd, J = 15.5, 10.7 Hz, 1H), 2.28 (s, 3H), 2.24 (dd, J = 12.6, 6.6 Hz, 1H), 1.67 (dd, J = 12.6, 9.3 Hz, 1H), 1.45 (s, 3H), 1.43 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 180.5, 170.2, 136.7, 133.7, 130.2, 130.0, 128.4, 128.2, 127.9, 126.4, 124.1, 122.3, 64.3, 50.0, 48.3, 44.2, 26.9, 25.6, 18.3.

HR-MS (ESI-TOF) calcd. for $C_{21}H_{24}N_2O$ [M+H]⁺: 321.1967; found: 321.1965; [M+Na]⁺: 343.1781; found: 343.1785.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2H-pyrrol-2-yl)-N-(2-methoxyphenyl)acetamide (**3c**)

63.2 mg, yellow oil, yield: 94%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃)δ 10.09 (br.s, 1H), 8.48 (dd, *J* = 7.8, 1.9 Hz, 1H), 7.94 – 7.85 (m, 2H), 7.47 – 7.37 (m, 3H), 7.01 (td, *J* = 7.7, 1.9 Hz, 1H), 6.95 (td, *J* = 7.7, 1.7 Hz, 1H), 6.85 (dd, *J* = 7.8, 1.7 Hz, 1H), 4.43 – 4.31

(m, 1H), 3.75 (s, 3H), 2.77 (dd, *J* = 15.0, 4.7 Hz, 1H), 2.69 (dd, *J* = 15.0, 9.3 Hz, 1H), 2.20 (dd, *J* = 12.5, 6.7 Hz, 1H), 1.62 (dd, *J* = 12.5, 9.3 Hz, 1H), 1.41 (s, 6H).

¹³C NMR (75 MHz, CDCl₃) δ 179.6, 170.0, 148.2, 134.0, 129.9, 128.3, 128.1, 123.2, 120.8, 120.0, 109.8, 64.2, 55.4, 50.0, 48.5, 44.8, 27.1, 25.6.

HR-MS (ESI-TOF) calcd. for $C_{21}H_{24}N_2O_2$ [M+H]⁺: 337.1916; found: 337.1914; [M+Na]⁺: 359.1730; found: 359.1734.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-(2-fluorophenyl)acetamide (**3d**)



51.9 mg, yellow oil, yield: 80%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.59 (br.s, 1H), 8.43 (td, J = 8.1, 1.8 Hz, 1H), 7.95 – 7.83 (m, 2H), 7.47 – 7.39 (m, 3H), 7.14 – 6.99 (m, 3H), 4.41 – 4.30 (m, 1H), 2.76 (dd, J = 15.6, 3.7 Hz, 1H), 2.63 (dd, J = 15.6, 10.7 Hz, 1H), δ 2.21 (dd, J = 12.6, 6.6 Hz, 1H), 1.61 (dd, J = 12.6, 9.2 Hz, 1H), 1.44 (s, 3H), 1.42 (s, 3H).

¹³C NMR (75 MHz, CDCl₃) δ 179.7, 170.3, 152.6 (d, *J* = 244.0 Hz), 133.4, 130.2, 128.3, 128.1 (d, *J* = 1.7 Hz), 127.1 (d, *J* = 10.5 Hz), 124.3 (d, *J* = 3.6 Hz), 123.6 (d, *J* = 7.5 Hz), 121.7 (d, *J* = 1.6 Hz), 114.7 (d, *J* = 19.2 Hz), 63.8, 50.0, 48.6, 44.4, 27.2, 25.6.

¹⁹**F** NMR (282 MHz, CDCl₃)δ-129.2.

HR-MS (ESI-TOF) calcd. for C₂₀H₂₁FN₂O [M+H]⁺: 325.1716; found: 325.1716.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-(2-(trifluoromethyl)phenyl)acetamide (3e)



48.7 mg, yellow oil, yield: 65%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.14 (br.s, 1H), 8.08 (d, *J* = 8.3 Hz, 1H), 7.82 – 7.72 (m, 2H), 7.63 – 7.50 (m, 2H), 7.44 – 7.37 (m, 3H), 7.25 – 7.18 (m, 1H), 4.43 – 4.32 (m, 1H), 2.74 (dd, *J* = 15.7, 4.3 Hz, 1H), 2.66 (dd, *J* = 15.7, 10.2 Hz, 1H), 2.21 (dd, *J* = 12.5, 6.6 Hz, 1H), 1.60 (dd, *J* = 12.5, 9.3 Hz, 1H), 1.41 (s, 6H).

¹³**C NMR** (75 MHz, CDCl₃) δ 180.1, 170.7, 135.5, 133.7, 132.4, 130.0, 128.1, 128.0, 126.2, 123.6 (q, *J* = 5.5 Hz), 124.5, 123.9 (q, *J* = 273.2 Hz), 63.9, 50.1, 48.5, 44.2, 27.1, 25.6.

¹⁹**F NMR** (282 MHz, CDCl₃)δ-60.9.

HR-MS (ESI-TOF) calcd. for $C_{21}H_{21}F_3N_2O [M+H]^+$: 375.1684; found: 375.1684; $[M+Na]^+$: 397.1498; found: 397.1503.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-(3-methoxyphenyl)acetamide (3f)



61.2 mg, yellow oil, yield: 91%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.27 (br.s, 1H), 7.85 – 7.74 (m, 2H), 7.51 – 7.40 (m, 3H), 7.38 (t, J = 2.2 Hz, 1H), 7.19 (t, J = 8.1 Hz, 1H), 7.02 (ddd, J = 8.0, 2.0, 0.9 Hz, 1H), 6.63 (ddd, J = 8.2, 2.5, 1.0 Hz, 1H), 4.41 – 4.29 (m, 1H), 3.79 (s, 3H), 2.71 (dd, J = 15.5, 3.8 Hz, 1H), 2.59 (dd, J = 15.5, 10.4 Hz, 1H), 2.20 (dd, J = 12.6, 6.6 Hz, 1H), 1.60 (dd, J = 12.6, 9.3 Hz, 1H), 1.41 (s, 3H), 1.41 (s, 3H).

¹³C NMR (75 MHz, CDCl₃)δ 180.1, 170.1, 160.1, 139.8, 133.8, 130.2, 129.5, 128.4, 127.9, 111.9, 109.6, 105.3, 64.1, 55.2, 50.0, 48.5, 44.2, 27.1, 25.5.

HR-MS (ESI-TOF) calcd. for $C_{21}H_{24}N_2O_2$ [M+H]⁺: 337.1916; found: 337.1916.

 $2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2H-pyrrol-2-yl)-N-(3-phenoxyphenyl) a cetamide (\mathbf{3g})$



72.5 mg, yellow oil, yield: 91%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.36 (br.s, 1H), 7.80 – 7.71 (m, 2H), 7.47 – 7.36 (m, 4H), 7.35 – 7.28 (m, 3H), 7.24 (t, *J* = 8.0 Hz, 1H), 7.12 – 7.06 (m, 1H), 7.06 – 7.00 (m, 2H), 6.72 (ddd, *J* = 7.9, 2.4, 1.3 Hz, 1H), 4.40 – 4.27 (m, 1H), 2.69 (dd, *J* = 15.6, 4.0 Hz, 1H), 2.58 (dd, *J* = 15.6, 10.3 Hz, 1H), 2.19 (dd, *J* = 12.6, 6.6 Hz, 1H), 1.59 (dd, *J* = 12.6, 9.3 Hz, 1H), 1.40 (s, 6H).

¹³C NMR (75 MHz, CDCl₃) δ 180.1, 170.1, 157.6, 157.0, 140.0, 133.7, 130.1, 129.8, 129.6, 128.3, 127.8, 123.2, 118.9, 114.5, 113.9, 110.4, 64.0, 50.0, 48.4, 44.1, 27.0, 25.5.

HR-MS (ESI-TOF) calcd. for $C_{26}H_{26}N_2O_2$ [M+H]⁺: 399.2072; found: 399.2075; [M+Na]⁺: 421.1886; found: 421.1889.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2H-pyrrol-2-yl)-N-(3-(trifluoromethyl)phenyl) acetamide (3h)



 CF_3

67.4 mg, yellow oil, yield: 90%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H** NMR (400 MHz, CDCl₃) δ 10.63 (br.s, 1H), 7.92 (s, 1H), 7.80 – 7.73 (m, 3H), 7.48 – 7.38 (m, 4H), 7.34 – 7.28 (m, 1H), 4.43 – 4.34 (m, 1H), 2.77 (dd, *J* = 15.4, 3.6 Hz, 1H), 2.62 (dd, *J* = 15.4, 10.3 Hz, 1H), 2.22 (dd, *J* = 12.6, 6.6 Hz, 1H), 1.67 (dd, *J* = 12.6, 9.3 Hz, 1H), 1.42 (s, 3H), 1.40 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 180.9, 170.3, 139.1, 133.5, 131.2 (q, *J* = 32.2 Hz), 130.3, 129.3, 128.4, 127.9, 123.9 (q, *J* = 272.3 Hz), 122.6, 120.1 (q, *J* = 3.9 Hz), 116.3 (q, *J* = 4.0 Hz), 64.0, 50.2, 48.1, 43.9, 27.0, 25.6. ¹⁹F NMR (376 MHz, CDCl₃) δ -62.7.

HR-MS (ESI-TOF) calcd. for $C_{21}H_{21}F_3N_2O$ [M+H]⁺: 375.1684; found: 375.1687; [M+Na]⁺: 397.1498; found: 397.1502.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2H-pyrrol-2-yl)-N-(3-nitrophenyl)acetamide(3i)



52.7 mg, yellow oil, yield: 75%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.92 (br.s, 1H), 8.46 (t, J = 2.2 Hz, 1H), 7.96–7.86 (m, 2H), 7.84–7.73 (m, 2H), 7.52–7.40 (m, 4H), 4.42–4.29 (m, 1H), 2.75 (dd, J = 15.8, 3.4 Hz, 1H), 2.59 (dd, J = 15.8, 11.0 Hz, 1H), 2.23 (dd, J = 12.6, 6.6 Hz, 1H), 1.61 (dd, J = 12.6, 9.3 Hz, 1H), 1.42 (s, 6H).

¹³C NMR (75 MHz, CDCl₃) δ 180.6, 170.6, 148.5, 139.7, 133.6, 130.4, 129.6, 128.5, 127.8, 125.3, 118.2, 114.4, 63.8, 50.1, 48.5, 44.0, 27.0, 25.5.

HR-MS (ESI-TOF) calcd. for $C_{20}H_{21}N_3O_3$ [M+H]⁺: 352.1661; found: 352.1664; [M+Na]⁺: 374.1475; found: 374.1483.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2H-pyrrol-2-yl)-N-(4-methoxyphenyl)acetamide (3j)



57.2 mg, yellow oil, yield: 85%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.04 (br.s, 1H), 7.81 – 7.74 (m, 2H), 7.52 – 7.46 (m, 2H), 7.46 – 7.39 (m, 3H), 6.87 – 6.81 (m, 2H), 4.44 – 4.30 (m, 1H), 3.77 (s, 3H), 2.72 (dd, *J* = 15.4, 4.1 Hz, 1H), 2.61 (dd, *J* = 15.4, 9.8 Hz, 1H), 2.19 (dd, *J* = 12.6, 6.6 Hz, 1H), 1.65 (dd, *J* = 12.6, 9.2 Hz, 1H), 1.40 (s, 3H), 1.39 (s, 3H).

¹³**C NMR** (75 MHz, CDCl₃) δ 180.3, 169.7, 155.9, 133.7, 131.8, 130.1, 128.3, 127.8, 121.3, 114.0, 64.2, 55.4, 50.1, 48.1, 43.8, 27.0, 25.6.

HR-MS (ESI-TOF) calcd. for $C_{21}H_{24}N_2O_2$ [M+H]⁺: 337.1916; found: 337.1921.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2H-pyrrol-2-yl)-N-(4-(methylthio)phenyl)acetamide (3k)



62.0 mg, yellow oil, yield: 88%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.27 (br.s, 1H), 7.80 – 7.72 (m, 2H), 7.55 – 7.48 (m, 2H), 7.48 – 7.39 (m, 3H), 7.25 – 7.19 (m, 2H), 4.41 – 4.29 (m, 1H), 2.71 (dd, *J* = 15.5, 3.8 Hz, 1H), 2.59 (dd, *J* = 15.5, 10.3 Hz, 1H), 2.45 (s, 3H), 2.19 (dd, *J* = 12.6, 6.6 Hz, 1H), 1.62 (dd, *J* = 12.6, 9.3 Hz, 1H), 1.41 (s, 3H), 1.39 (s, 3H).

¹³C NMR (75 MHz, CDCl₃) δ 180.3, 170.0, 136.4, 133.7, 132.5, 130.1, 128.4, 128.1, 127.8, 120.3, 64.1, 50.1, 48.3, 44.0, 27.0, 25.6, 16.9.

HR-MS (ESI-TOF) calcd. for $C_{21}H_{24}N_2OS \ [M+H]^+$: 353.1687; found: 353.1688; $[M+Na]^+$: 375.1501; found: 375.1508.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2H-pyrrol-2-yl)-N-(4-phenoxyphenyl)a cetamide (31)



62.9 mg, yellow oil, yield: 79%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃)δ 10.27 (br.s, 1H), 7.82 – 7.74 (m, 2H), 7.61 – 7.53 (m, 2H), 7.48 – 7.41 (m, 3H), 7.34 – 7.27 (m, 2H), 7.10 – 7.03 (m, 1H), 7.01 – 6.95 (m, 4H), 4.44 – 4.32 (m, 1H), 2.75 (dd, *J* = 15.4, 39 Hz, 1H), 2.63 (dd, *J* = 15.4, 10.1 Hz, 1H), 2.21 (dd, *J* = 12.6, 6.6 Hz, 1H), 1.65 (dd, *J* = 12.6, 9.2 Hz, 1H), 1.42 (s, 3H), 1.41 (s, 3H).

¹³C NMR (75 MHz, CDCl₃) δ 180.4, 169.9, 157.8, 152.7, 134.3, 133.7, 130.1, 129.6, 128.4, 127.8, 122.7, 121.3, 119.7, 118.1, 64.1, 50.1, 48.2, 43.9, 27.0, 25.6.

HR-MS (ESI-TOF) calcd. for $C_{26}H_{26}N_2O_2$ [M+H]⁺: 399.2072; found: 399.2065; [M+Na]⁺: 421.1886; found: 421.1880.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2H-pyrrol-2-yl)-N-(4-fluorophenyl) acetamide (3m)



53.8 mg, yellow oil, yield: 83%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.30 (br.s, 1H), 7.79 – 7.72 (m, 2H), 7.57 – 7.51 (m, 2H), 7.47 – 7.41 (m, 3H), 7.03 – 6.93 (m, 2H), 4.42 – 4.30 (m, 1H), 2.73 (dd, J = 15.5, 3.8 Hz, 1H), 2.59 (dd, J = 15.5, 10.3 Hz, 1H), 2.20 (dd, J = 12.6, 6.6 Hz, 1H), 1.64 (dd, J = 12.6, 9.2 Hz, 1H), 1.41 (s, 3H), 1.39 (s, 3H).

¹³**C NMR** (75 MHz, CDCl₃) δ 180.5, 170.0, 158.9 (d, *J* = 242.4 Hz), 134.7 (d, *J* = 2.8 Hz), 133.7, 130.2, 128.4, 127.8, 121.3 (d, *J* = 7.7 Hz), 115.4 (d, *J* = 22.3 Hz), 64.1, 50.1, 48.2, 43.9, 27.0, 25.6.

¹⁹**F NMR** (282 MHz, CDCl₃)δ-119.0.

HR-MS (ESI-TOF) calcd. for $C_{20}H_{21}FN_2O$ [M+H]⁺: 325.1716; found: 325.1716; [M+Na]⁺: 347.1530; found: 347.1536.

N-(4-Bromophenyl)-2-(4,4-dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)a cetamide (**3n**)



68.6 mg, yellow oil, yield: 89%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.42 (br.s, 1H), 7.80 – 7.72 (m, 2H), 7.52 – 7.44 (m, 4H), 7.44 – 7.36 (m, 3H), 4.41 – 4.29 (m, 1H), 2.72 (dd, J = 15.5, 3.7 Hz, 1H), 2.58 (dd, J = 15.5, 10.4 Hz, 1H), 2.20 (dd, J = 12.6, 6.6 Hz, 1H), 1.63 (dd, J = 12.6, 9.3 Hz, 1H), 1.41 (s, 3H), 1.39 (s, 3H).

¹³**C NMR** (75 MHz, CDCl₃) δ 180.6, 170.1, 137.7, 133.6, 131.7, 130.2, 128.4, 127.8, 121.2, 116.0, 64.0, 50.1, 48.2, 44.0, 27.0, 25.6.

HR-MS (ESI-TOF) calcd. for $C_{20}H_{21}BrN_2O [M+H]^+$: 385.0916; found: 385.0924; $[M+Na]^+$: 407.0729; found: 407.0739.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-(4-iodophenyl)acetamide (**30**)



76.9 mg, yellow oil, yield: 89%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.44 (br.s, 1H), 7.81 – 7.72 (m, 2H), 7.62 – 7.55 (m, 2H), 7.50 – 7.40 (m, 3H), 7.39 – 7.32 (m, 2H), 4.39 – 4.26 (m, 1H), 2.69 (dd, J = 15.7, 3.6 Hz, 1H), 2.56 (dd, J = 15.7, 10.7 Hz, 1H), 2.20 (dd, J = 12.5, 6.5 Hz, 1H), 1.59 (dd, J = 12.5, 9.3 Hz, 1H), 1.41 (s, 3H), 1.40 (s, 3H).

¹³C NMR (75 MHz, CDCl₃) δ 180.3, 170.2, 138.4, 137.7, 133.7, 130.2, 128.4, 127.8, 121.5, 86.5, 64.0, 50.0, 48.4, 44.1, 27.0, 25.5.

HR-MS (ESI-TOF) calcd. for $C_{20}H_{21}IN_2O$ [M+H]⁺: 433.0777; found: 433.0782; [M+H]⁺: 455.0591; found: 455.0598.

Ethyl4-(2-(4,4-dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)acetamido)benzoate (**3p**)



65.9 mg, yellow oil, yield: 87%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.62 (br.s, 1H), 8.02 – 7.96 (m, 2H), 7.78 – 7.72 (m, 2H), 7.70 – 7.63 (m, 2H), 7.47 – 7.40 (m, 3H), 4.44 – 4.29 (m, 1H), 4.34 (q, *J* = 7.0 Hz, 2H), 2.78 (dd, *J* = 15.4, 3.8 Hz, 1H), 2.62 (dd, *J* = 15.4, 10.0 Hz, 1H), 2.20 (dd, *J* = 12.7, 6.7 Hz, 1H), 1.67 (dd, *J* = 12.7, 9.2 Hz, 1H), 1.42 (s, 3H), 1.38 (s, 3H), 1.37 (t, *J* = 7.1 Hz, 3H).

¹³C NMR (75 MHz, CDCl₃) δ 180.9, 170.3, 166.2, 142.7, 133.4, 130.6, 130.3, 128.4, 127.8, 125.2, 118.7, 63.9, 60.6, 50.2, 47.8, 44.0, 26.9, 25.6, 14.3.

HR-MS (ESI-TOF) calcd. for $C_{23}H_{26}N_2O_3$ [M+H]⁺: 379.2021; found: 379.2023.

N-(4-acetylphenyl)-2-(4,4-dimethyl-5-phenyl-3,4-dihydro-2H-pyrrol-2-yl)acetamide (**3q**)



64.8 mg, yellow oil, yield: 93%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.72 (br.s, 1H), 7.94 – 7.87 (m, 2H), 7.82 – 7.72 (m, 2H), 7.70 – 7.63 (m, 2H), 7.50 – 7.39 (m, 3H), 4.41 – 4.29 (m, 1H), 2.72 (dd, J = 15.6, 3.9 Hz, 1H), 2.60 (dd, J = 15.6, 10.4 Hz, 1H), 2.54 (s, 3H), 2.20 (dd, J = 12.5, 6.5 Hz, 1H), 1.60 (dd, J = 12.5, 9.3 Hz, 1H), 1.40 (s, 3H), 1.39 (s, 3H).

¹³**C NMR** (75 MHz, CDCl₃) δ 196.9, 180.5, 170.4, 143.0, 133.6, 132.2, 130.2, 129.6, 128.4, 127.8, 118.8, 63.9, 50.1, 48.2, 44.1, 26.9, 26.3, 25.5.

HR-MS (ESI-TOF) calcd. for $C_{22}H_{24}N_2O_2$ [M+H]⁺: 349.1916; found: 349.1921; [M+Na]⁺: 371.1730; found: 371.1740.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2H-pyrrol-2-yl)-N-(4-(1-hydroxyethyl)phenyl)acetamide (**3r**)



58.9 mg, yellow oil, yield: 84%. Eluent: pentane/ethyl a cetate = 5/1 to 0/1.

¹**H** NMR (300 MHz, CDCl₃) δ 10.13 (br.s, 1H), 7.74 (dt, *J* = 7.6, 1.4 Hz, 2H), 7.50 (d, *J* = 8.5 Hz, 2H), 7.46 – 7.39 (m, 3H), 7.27 (d, *J* = 8.5 Hz, 2H), 4.80 (q, *J* = 6.4 Hz, 1H), 4.39 – 4.24 (m, 1H), 2.96 (br.s, 1H), 2.65 (dd, *J* = 15.5, 4.8 Hz, 1H), 2.58 (dd, *J* = 15.5, 9.2 Hz, 1H), 2.17 (dd, *J* = 12.6, 6.6 Hz, 1H), 1.60 (dd, *J* = 12.6, 9.3 Hz, 1H), 1.43 (d, *J* = 6.4 Hz, 3H), 1.37 (s, 3H), 1.37 (s, 3H).

¹³**C NMR** (75 MHz, CDCl₃) δ 180.3, 170.1, 141.4, 137.5, 133.7, 130.0, 128.3, 127.8, 125.9, 119.8, 69.7, 64.1, 50.1, 48.1, 43.8, 27.0, 25.5, 25.0.

HR-MS (ESI-TOF) calcd. for $C_{22}H_{26}N_2O_2$ [M+H]⁺: 351.2072; found: 351.2067; [M+H]⁺: 373.1886; found: 373.1881.

N-(4-Cyano-3-methylphenyl)-2-(4,4-dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)acetamide (**3s**)



47.0 mg, yellow oil, yield: 68%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.60 (br.s, 1H), 7.90 (d, *J* = 2.3 Hz, 1H), 7.79 – 7.72 (m, 2H), 7.61 (dd, *J* = 8.4, 2.4 Hz, 1H), 7.50 – 7.41 (m, 3H), 7.21 (dt, *J* = 8.4, 0.7 Hz, 1H), 4.39 – 4.28 (m, 1H), 2.70 (dd, *J* = 15.7, 3.4 Hz, 1H), 2.56 (dd, *J* = 15.7, 11.0 Hz, 1H), 2.48 (s, 3H), 2.21 (dd, *J* = 12.6, 6.6 Hz, 1H), 1.59 (dd, *J* = 12.6, 9.3 Hz, 1H), 1.41 (s, 3H), 1.40 (s, 3H).

¹³C NMR (75 MHz, CDCl₃) δ 180.6, 170.4, 136.9, 136.7, 133.6, 130.6, 130.3, 128.5, 127.8, 123.9, 123.0, 117.9, 112.9, 63.9, 50.1, 48.4, 44.0, 27.0, 25.5, 19.8.

HR-MS (ESI-TOF) calcd. for $C_{22}H_{23}N_3O[M+H]^+$: 346.1919; found: 346.1917.

N-(2-Bromo-4-chlorophenyl)-2-(4,4-dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)acetamide (3t)



69.7 mg, yellow oil, yield: 83%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.26 (br.s, 1H), 8.34 (d, J = 8.9 Hz, 1H), 7.88 – 7.74 (m, 2H), 7.51 (d, J = 2.4 Hz, 1H), 7.44 – 7.37 (m, 3H), 7.26 (dd, J = 8.9, 2.4 Hz, 1H), 4.44 – 4.31 (m, 1H), 2.76 (dd, J = 15.6, 3.9 Hz, 1H), 2.66 (dd, J = 15.6, 10.3 Hz, 1H), 2.21 (dd, J = 12.6, 6.6 Hz, 1H), 1.61 (dd, J = 12.6, 9.3 Hz, 1H), 1.41 (s, 6H). ¹³**C NMR** (75 MHz, CDCl₃) δ 180.4, 170.5, 135.6, 133.6, 131.8, 130.1, 129.0, 128.2, 128.1, 128.0, 123.3, 113.9, 63.9, 50.2, 48.4, 44.5, 27.0, 25.5.

 $\textbf{HR-MS} \ (ESI-TOF) \ calcd. \ for \ C_{20}H_{20} BrClN_2 O \ [M+H]^+: 419.0526; found: 419.0528.$

 $2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2H-pyrrol-2-yl)-N-(3-fluoro-4-morpholinophenyl) acetamide (\mathbf{3u})$



77.0 mg, yellow oil, yield: 94%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.29 (br.s, 1H), 7.83 – 7.71 (m, 2H), 7.51 (dd, J = 14.3, 2.4 Hz, 1H), 7.48 – 7.36 (m, 3H), 7.13 (ddd, J = 8.6, 2.5, 1.1 Hz, 1H), 6.85 (t, J = 9.0 Hz, 1H), 4.42 – 4.22 (m, 1H), 3.90 – 3.78 (m, 4H), 3.08 – 2.94 (m, 4H), 2.68 (dd, J = 15.6, 3.9 Hz, 1H), 2.56 (dd, J = 15.6, 10.4 Hz, 1H), 2.22 – 2.15 (m, 1H), 1.58 (dd, J = 12.6, 9.3 Hz, 1H), 1.39 (s, 3H), 1.39 (s, 3H).

¹³**C** NMR (75 MHz, CDCl₃) δ 180.2, 169.9, 155.4 (d, J = 245.3 Hz), 135.8 (d, J = 9.2 Hz), 133.9 (d, J = 10.7 Hz), 133.7, 130.1, 128.4, 127.8, 118.7 (d, J = 4.2 Hz), 115.4 (d, J = 3.3 Hz), 108.7 (d, J = 25.4 Hz), 66.9, 64.0, 51.1 (d, J = 2.9 Hz), 50.0, 48.4, 44.0, 27.0, 25.5.

¹⁹**F NMR** (282 MHz, CDCl₃)δ-121.4.

HR-MS (ESI-TOF) calcd. for $C_{24}H_{28}FN_3O_2$ [M+H]⁺: 410.2244; found: 410.2244; [M+Na]⁺: 432.2057; found: 432.2060.

N-(2,6-Diisopropylphenyl)-2-(4,4-dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)acetamide (**3v**)



71.8 mg, yellow oil, yield: 92%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H** NMR (300 MHz, CDCl₃) δ 9.52 (br.s, 1H), 7.81–7.72 (m, 2H), 7.43–7.34 (m, 3H), 7.32–7.25 (m, 1H), 7.21–7.17 (m, 2H), 4.49–4.37 (m, 1H), 3.19 (sept, *J* = 6.9 Hz, 2H), 2.80 (dd, *J* = 15.6, 3.5 Hz, 1H), 2.64 (dd, *J* = 15.6, 10.8 Hz, 1H), 2.25 (dd, *J* = 12.6, 6.6 Hz, 1H), 1.66 (dd, *J* = 12.6, 9.2 Hz, 1H), 1.45 (s, 3H), 1.44 (s, 3H), 1.22 (t, *J* = 6.5 Hz, 12H).

¹³**C NMR** (75 MHz, CDCl₃) δ 179.9, 171.3, 145.9, 133.7, 132.0, 130.1, 128.2, 127.9, 127.8, 123.3, 64.4, 50.1, 48.6, 43.5, 28.8, 27.1, 25.7, 23.6.

HR-MS (ESI-TOF) calcd. for $C_{26}H_{34}N_2O$ [M+H]⁺: 391.2749; found: 391.2750; [M+Na]⁺: 413.2563; found: 413.2571.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2H-pyrrol-2-yl)-N-(perfluorophenyl) acetamide (3w)



39.6 mg, yellow oil, yield: 50%. Eluent: pentane/ethyl a cetate = 5/1 to 3/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.38 (br.s, 1H), 7.80 – 7.70 (m, 2H), 7.48 – 7.37 (m, 3H), 4.43 – 4.31 (m, 1H), 2.84 (dd, J = 15.8, 3.4 Hz, 1H), 2.60 (dd, J = 15.8, 11.1 Hz, 1H), 2.23 (dd, J = 12.6, 6.6 Hz, 1H), 1.63 (dd, J = 12.6, 9.4 Hz, 1H), 1.43 (s, 3H), 1.42 (s, 3H).

¹³C NMR (75 MHz, CDCl₃)δ 180.6, 170.4, 133.4, 130.3, 128.4, 127.9, 63.7, 50.4, 48.3, 42.8, 27.0, 25.6.

¹⁹**F** NMR (282 MHz, CDCl₃) δ -143.5 - -146.8 (m), -158.4 (t, *J* = 21.5 Hz), -161.9 - -163.5 (m). **HP** MS (EST TOF) colled for C ... H. F. N. O [M | H]⁺: 207, 1230; found: 207, 1230; [M | No]⁺: 410, 1152

HR-MS (ESI-TOF) calcd. for $C_{20}H_{17}F_5N_2O$ [M+H]⁺: 397.1339; found: 397.1339; [M+Na]⁺: 419.1153; found: 419.1155.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2H-pyrrol-2-yl)-N-(9H-fluoren-2-yl) acetamide (3x)



67.0 mg, yellow oil, yield: 85%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H** NMR (300 MHz, CDCl₃) δ 10.38 (br.s, 1H), 8.02 (s, 1H), 7.89 – 7.80 (m, 2H), 7.78 – 7.70 (m, 2H), 7.56 – 7.45 (m, 5H), 7.38 (t, *J* = 7.4 Hz, 1H), 7.29 (t, *J* = 7.4 Hz, 1H), 4.51 – 4.34 (m, 1H), 3.90 (s, 2H), 2.79 (dd, *J* = 15.5, 4.1 Hz, 1H), 2.69 (dd, *J* = 15.5, 10.0 Hz, 1H), 2.24 (dd, *J* = 12.5, 6.7 Hz, 1H), 1.68 (dd, *J* = 12.5, 9.2 Hz, 1H), 1.45 (s, 3H), 1.44 (s, 3H).

¹³C NMR (75 MHz, CDCl₃) δ 180.3, 170.0, 144.2, 143.1, 141.4, 137.5, 137.4, 133.7, 130.1, 128.3, 127.9, 126.6, 126.0, 124.8, 119.9, 119.3, 118.4, 116.6, 64.2, 50.0, 48.3, 44.1, 37.0, 27.0, 25.5.

HR-MS (ESI-TOF) calcd. for $C_{27}H_{26}N_2O$ [M+H]⁺: 395.2123; found: 395.2126; [M+Na]⁺: 417.1937; found: 417.1943.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2H-pyrrol-2-yl)-N-(naphthalen-1-yl)acetamide (**3y**)



68.4 mg, yellow oil, yield: 96%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 11.07 (br.s, 1H), 8.42 (d, *J* = 7.6 Hz, 1H), 8.22 (d, *J* = 8.6 Hz, 1H), 7.94 – 7.83 (m, 3H), 7.65 (d, *J* = 8.2 Hz, 1H), 7.56 – 7.44 (m, 5H), 7.33 – 7.24 (m, 1H), 4.58 – 4.43 (m, 1H), 2.92 (dd, *J* = 15.6, 3.4 Hz, 1H), 2.79 (dd, *J* = 15.6, 10.9 Hz, 1H), 2.28 (dd, *J* = 12.6, 6.6 Hz, 1H), 1.72 (dd, *J* = 12.6, 9.2 Hz, 1H), 1.48 (s, 3H), 1.47 (s, 3H).

¹³C NMR (75 MHz, CDCl₃) δ 180.9, 170.5, 133.9, 133.8, 133.7, 130.2, 129.8, 128.4, 128.3, 128.0, 125.9, 125.6, 125.6, 124.0, 121.4, 118.0, 64.3, 50.1, 48.2, 44.4, 27.0, 25.5.

HR-MS (ESI-TOF) calcd. for $C_{24}H_{24}N_2O$ [M+H]⁺: 357.1967; found: 357.1973; [M+Na]⁺: 379.1781; found: 379.1788.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-methyl-*N*-phenylacetamide (**3z**)



55.1 mg, yellow oil, yield: 86%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 7.60 (dd, *J* = 7.4, 2.3 Hz, 2H), 7.41 – 7.27 (m, 6H), 7.25 – 7.17 (m, 2H), 4.51 – 4.38 (m, 1H), 3.28 (s, 3H), 2.87 (dd, *J* = 15.6, 5.3 Hz, 1H), 2.27 (dd, *J* = 12.6, 6.7 Hz, 1H), 2.14 (dd, *J* = 15.6, 9.2 Hz, 1H), 1.48 (dd, *J* = 12.6, 8.8 Hz, 1H), 1.31 (s, 3H), 1.24 (s, 3H).

¹³**C NMR** (75 MHz, CDCl₃) δ 179.7, 171.2, 143.9, 134.6, 129.6, 129.2, 127.9, 127.7, 127.6, 127.4, 65.2, 50.4, 48.4, 41.1, 37.2, 27.1, 25.7.

HR-MS (ESI-TOF) calcd. for $C_{21}H_{24}N_2O$ [M+H]⁺: 321.1967; found: 321.1958; [M+H]⁺: 343.1781; found: 343.1785.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)-1-(indolin-1-yl)ethan-1-one (**3aa**)



43.2 mg, yellow oil, yield: 65%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H** NMR (300 MHz, CDCl₃) δ 8.27 (d, J = 7.9 Hz, 1H), 7.74 – 7.65 (m, 2H), 7.41 – 7.34 (m, 3H), 7.24 – 7.15 (m, 2H), 7.01 (td, J = 7.4, 1.1 Hz, 1H), 4.64 – 4.50 (m, 1H), 4.23 – 4.03 (m, 2H), 3.26 (dd, J = 15.8, 4.7 Hz, 1H), 3.20 (t, J = 8.5 Hz, 2H), 2.52 (dd, J = 15.8, 9.3 Hz, 1H), 2.43 (dd, J = 12.7, 6.7 Hz, 1H), 1.67 (dd, J = 12.5, 8.8 Hz, 1H), 1.38 (s, 3H), 1.37 (s, 3H).

¹³C NMR (75 MHz, CDCl₃) δ 180.2, 169.6, 143.0, 134.7, 131.1, 129.5, 128.1, 127.8, 127.5, 124.5, 123.6, 117.0, 64.8, 50.7, 48.9, 48.1, 43.2, 28.0, 27.3, 25.7.

HR-MS (ESI-TOF) calcd. for $C_{22}H_{24}N_2O$ [M+H]⁺: 333.1967; found: 333.1973; [M+Na]⁺: 355.1781; found: 355.1791.

N-(tert-Butyl)-2-(4,4-dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)acetamide (**3ab**)



31.5 mg, yellow oil, yield: 55%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 7.79 – 7.70 (m, 2H), 7.43 – 7.35 (m, 3H), 7.32 (br.s, 1H), 4.28 – 4.19 (m, 1H), 2.50 (dd, *J* = 15.0, 5.3 Hz, 1H), 2.44 (dd, *J* = 15.0, 8.2 Hz, 1H), 2.12 (dd, *J* = 12.6, 6.7 Hz, 1H), 1.59 (dd, *J* = 12.6, 9.3 Hz, 1H), 1.37 (s, 3H), 1.37 (s, 3H), 1.35 (s, 9H).

¹³**C NMR** (75 MHz, CDCl₃) δ 179.5, 170.9, 133.9, 129.9, 128.2, 127.8, 64.6, 50.7, 50.1, 48.0, 44.0, 28.8, 27.1, 25.6.

HR-MS (ESI-TOF) calcd. for $C_{18}H_{26}N_2O$ [M+H]⁺: 287.2123; found: 287.2125; [M+Na]⁺: 309.1937; found: 309.1945.

N-(4-(*tert*-Butyl)benzyl)-2-(4,4-dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)acetamide (**3ac**)



24.9 mg, yellow oil, yield: 33%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

-Bu

¹**H** NMR (400 MHz, CDCl₃) δ 7.85 (br.s, 1H), 7.62–7.55 (m, 2H), 7.34–7.31 (m, 1H), 7.29–7.23 (m, 4H), 7.19–7.16 (m, 2H), 4.45 (dd, J = 14.8, 5.9 Hz, 1H), 4.32 (dd, J = 14.8, 5.2 Hz, 1H), 4.27–4.17 (m, 1H), 2.58 (dd, J = 15.2, 4.4 Hz, 1H), 2.44 (dd, J = 15.2, 9.4 Hz, 1H), 2.08 (dd, J = 12.5, 6.6 Hz, 1H), 1.52 (dd, J = 12.5, 9.2 Hz, 1H), 1.29 (s, 3H), 1.27 (s, 3H), 1.22 (s, 9H).

¹³**C NMR** (101 MHz, CDCl₃) δ 179.9, 171.6, 150.0, 135.6, 133.8, 129.9, 128.2, 127.9, 127.4, 125.4, 64.4, 50.1, 48.2, 43.0, 34.4, 31.3, 31.3, 27.1, 25.6.

HR-MS (ESI-TOF) calcd. for $C_{25}H_{32}N_2O$ [M+H]⁺: 377.2593; found: 377.2585; [M+Na]⁺: 399.2407; found: 399.2414.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2H-pyrrol-2-yl)-N-(pyridin-2-yl)acetamide (3ad)



41.8 mg, yellow oil, yield: 68%. Eluent: pentane/ethyl a cetate = 5/1 to 1/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.23 (br.s, 1H), 8.19–8.13 (m, 2H), 7.75–7.65 (m, 2H), 7.57 (ddd, *J* = 8.5, 7.3, 2.0 Hz, 1H), 7.33–7.27 (m, 3H), 6.92–6.86 (m, 1H), 4.39–4.26 (m, 1H), 2.67 (dd, *J* = 15.3, 8.8 Hz, 1H), 2.59 (dd, *J* = 15.3, 5.4 Hz, 1H), 2.10 (dd, *J* = 12.5, 6.6 Hz, 1H), 1.52 (dd, *J* = 12.5, 9.3 Hz, 1H), 1.29 (s, 3H), 1.27 (s, 3H).

¹³**C NMR** (75 MHz, CDCl₃) δ 180.1, 170.5, 151.8, 147.7, 138.1, 133.9, 129.9, 128.2, 128.0, 119.3, 114.2, 64.1, 50.2, 48.4, 44.3, 27.0, 25.5.

HR-MS (ESI-TOF) calcd. for $C_{19}H_{21}N_3O[M+H]^+$: 308.1763; found: 308.1767.

N-(2-Chloro-4-methylpyridin-3-yl)-2-(4,4-dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)acetamide (**3ae**)



24.9 mg, yellow oil, yield: 35%. Eluent: pentane/ethyl a cetate = 5/1 to 1/1.

¹**H** NMR (300 MHz, CDCl₃) δ 10.18 (br.s, 1H), 8.13 (d, *J* = 4.9 Hz, 1H), 7.87 – 7.70 (m, 2H), 7.44 – 7.36 (m, 3H), 7.12 (d, *J* = 4.9 Hz, 1H), 4.49 – 4.38 (m, 1H), 2.81 (dd, *J* = 15.6, 3.9 Hz, 1H), 2.66 (dd, *J* = 15.6, 10.6 Hz, 1H), 2.32 (s, 3H), 2.24 (dd, *J* = 12.6, 6.6 Hz, 1H), 1.67 (dd, *J* = 12.6, 9.3 Hz, 1H), 1.44 (s, 3H), 1.43 (s, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 180.4, 170.3, 148.3, 147.8, 146.4, 133.5, 130.7, 130.3, 128.3, 128.0, 124.9, 64.0, 50.2, 48.3, 43.2, 27.1, 25.6, 19.0.

HR-MS (ESI-TOF) calcd. for $C_{20}H_{22}CIN_3O[M+H]^+$: 356.1530; found: 356.1525.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2H-pyrrol-2-yl)-N-(quinolin-8-yl)acetamide (3af)



50.1 mg, yellow oil, yield: 70 %. Eluent: pentane/ethyl acetate = 5/1 to 1/5.

¹**H NMR** (300 MHz, CDCl₃) δ 11.21 (br.s, 1H), 8.88 (dd, *J* = 7.4, 1.7 Hz, 1H), 8.78 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.13 (dd, *J* = 8.3, 1.7 Hz, 1H), 8.10 – 8.01 (m, 2H), 7.57 – 7.47 (m, 2H), 7.46 – 7.39 (m, 4H), 4.57 – 4.45 (m, 1H), 2.94 (dd, *J* = 14.9, 8.8 Hz, 1H), 2.85 (dd, *J* = 14.9, 5.1 Hz, 1H), 2.26 (dd, *J* = 12.6, 6.6 Hz, 1H), 1.71 (dd, *J* = 12.6, 9.3 Hz, 1H), 1.43 (s, 6H).

¹³**C NMR** (75 MHz, CDCl₃) δ 179.7, 170.6, 148.1, 138.9, 136.1, 135.3, 134.1, 129.9, 128.4, 128.1, 128.0, 127.4, 121.4, 121.3, 116.9, 64.5, 50.2, 48.6, 45.3, 27.3, 25.7.

HR-MS (ESI-TOF) calcd. for $C_{23}H_{23}N_3O$ [M+H]⁺: 358.1919; found: 358.1921; [M+Na]⁺: 380.1733; found: 380.1738.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-(isoquinolin-1-yl)acetamide (**3ag**)



60.8 mg, yellow oil, yield: 85%. Eluent: pentane/ethyl a cetate = 5/1 to 0/1.

¹**H NMR** (300 MHz, CDCl₃) δ 8.33 (d, J = 5.8 Hz, 1H), 8.19 (d, J = 8.5 Hz, 1H), 7.82–7.73 (m, 3H), 7.64–7.58 (m, 1H), 7.46–7.33 (m, 5H), 4.56–4.44 (m, 1H), 2.88 (dd, J = 15.5, 4.5 Hz, 1H), 2.80 (dd, J = 15.5, 10.2 Hz, 1H), 2.26 (dd, J = 12.6, 6.6 Hz, 1H), 1.67 (dd, J = 12.5, 9.3 Hz, 1H), 1.42 (s, 6H).

¹³**C NMR** (75 MHz, CDCl₃) δ 180.8, 170.8, 149.9, 140.8, 137.5, 133.9, 130.2, 130.0, 128.2, 128.0, 127.0, 126.9, 124.2, 121.9, 117.9, 64.2, 50.2, 48.4, 44.6, 27.0, 25.5.

HR-MS (ESI-TOF) calcd. for $C_{23}H_{23}N_3O$ [M+H]⁺: 358.1919; found: 358.1920. [M+Na]⁺: 380.1733; found: 380.1736.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-(pyrazin-2-yl)acetamide(**3ah**)



42.5 mg, yellow oil, yield: 69%. Eluent: pentane/ethyl a cetate = 5/1 to 1/5.

¹**H NMR** (300 MHz, CDCl₃) δ 10.77 (br.s, 1H), 9.56 (d, J = 1.6 Hz, 1H), 8.28 (dd, J = 2.7, 0.5 Hz, 1H), 8.23 (dd, J = 2.6, 1.5 Hz, 1H), 7.88 – 7.76 (m, 2H), 7.45 – 7.37 (m, 3H), 4.44 – 4.32 (m, 1H), 2.77 (dd, J = 15.5, 4.1 Hz, 1H), 2.66 (dd, J = 15.5, 10.1 Hz, 1H), 2.21 (dd, J = 12.6, 6.6 Hz, 1H), 1.61 (dd, J = 12.6, 9.3 Hz, 1H), 1.41 (s, 3H), 1.39 (s, 3H).

¹³**C NMR** (75 MHz, CDCl₃) δ 180.3, 170.5, 148.6, 142.2, 139.7, 137.2, 133.5, 130.1, 128.3, 128.0, 63.7, 50.1, 48.4, 43.9, 27.0, 25.5.

HR-MS (ESI-TOF) calcd. for $C_{18}H_{20}N_4O$ [M+H]⁺: 309.1715; found: 309.1712; [M+Na]⁺: 331.1529; found: 331.1534.

N-(Benzo[d]thiazol-6-yl)-2-(4,4-dimethyl-5-phenyl-3,4-dihydro-2H-pyrrol-2-yl)acetamide(3ai)



66.9 mg, yellow oil, yield: 92%. Eluent: pentane/ethyl a cetate = 5/1 to 1/5.

¹**H NMR** (300 MHz, CDCl₃) δ 10.67 (br.s, 1H), 8.86 (s, 1H), 8.63 (d, J = 2.1 Hz, 1H), 7.99 (d, J = 8.8 Hz, 1H), 7.82 – 7.74 (m, 2H), 7.49 – 7.40 (m, 3H), 7.35 (dd, J = 8.8, 2.1 Hz, 1H), 4.43 – 4.31 (m, 1H), 2.73 (dd, J = 15.7, 3.7 Hz, 1H), 2.62 (dd, J = 15.7, 10.4 Hz, 1H), 2.20 (dd, J = 12.6, 6.2 Hz, 1H), 1.60 (dd, J = 12.6, 9.3 Hz, 1H), 1.40 (s, 3H), 1.39 (s, 3H).

¹³C NMR (75 MHz, CDCl₃)δ 180.4, 170.3, 152.8, 149.4, 136.4, 134.6, 133.7, 130.1, 128.4, 127.8, 123.3, 118.9, 112.0, 64.0, 50.0, 48.3, 44.0, 27.0, 25.5.

HR-MS (ESI-TOF) calcd. for $C_{21}H_{21}N_3OS$ [M+H]⁺: 364.1483; found: 364.1489; [M+Na]⁺: 386.1297; found: 386.1308.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2H-pyrrol-2-yl)-N-(5-methylisoxazol-3-yl)acetamide (3aj)



44.8 mg, yellow oil, yield: 72%. Eluent: pentane/ethyl a cetate = 5/1 to 1/5.

¹**H** NMR (400 MHz, CDCl₃) δ 10.84 (br.s, 1H), 7.81 – 7.72 (m, 2H), 7.45 – 7.37 (m, 3H), 6.71 (s, 1H), 4.39 – 4.29 (m, 1H), 2.71 (dd, J = 15.5, 4.6 Hz, 1H), 2.63 (ddd, J = 15.5, 10.0, 1.6 Hz, 1H), 2.38 (s, 3H), 2.19 (dd, J = 12.5, 6.5 Hz, 1H), 1.59 (dd, J = 12.5, 9.3 Hz, 1H), 1.39 (s, 3H), 1.38 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 180.3, 170.1, 169.5, 158.1, 133.5, 130.1, 128.3, 128.0, 96.6, 63.7, 50.2, 48.3, 43.6, 27.1, 25.5, 12.6.

HR-MS (ESI-TOF) calcd. for $C_{18}H_{21}N_3O_2$ [M+H]⁺: 312.1712; found: 312.1715; [M+Na]⁺: 334.1526; found: 334.1536.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-(1*H*-indol-6-yl)a cetamide (**3ak**)



61.5 mg, yellow oil, yield: 89%. Eluent: pentane/ethyl a cetate = 3/1 to 1/5.

¹**H NMR** (300 MHz, CDCl₃) δ 9.88 (br.s, 1H), 8.38 (br.s, 1H), 7.85 (s, 1H), 7.74 – 7.65 (m, 2H), 7.39 – 7.29 (m, 3H), 7.21 – 7.14 (m, 2H), 7.05 (t, J = 2.7 Hz, 1H), 6.39 (t, J = 2.6 Hz, 1H), 4.39 – 4.25 (m, 1H), 2.68 (dd, J = 15.4, 4.3 Hz, 1H), 2.58 (dd, J = 15.4, 9.6 Hz, 1H), 2.11 (dd, J = 12.6, 6.4 Hz, 1H), 1.58 (dd, J = 12.6, 9.3 Hz, 1H), 1.32 (s, 3H), 1.30 (s, 3H).

¹³C NMR (75 MHz, CDCl₃) δ 180.3, 170.0, 133.8, 133.0, 131.0, 130.0, 128.3, 128.0, 127.9, 125.0, 116.2, 112.2, 111.1, 102.5, 64.4, 50.1, 48.2, 44.0, 27.0, 25.6.

HR-MS (ESI-TOF) calcd. for $C_{22}H_{23}N_3O$ [M+H]⁺: 346.1919; found: 346.1919; [M+H]⁺: 368.1733; found: 368.1741.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-(4-(phenylamino)phenyl)acetamide (3al)



57.2 mg, yellow oil, yield: 72%. Eluent: pentane/ethyl a cetate = 3/1 to 0/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.01 (br.s, 1H), 7.76–7.63 (m, 2H), 7.43–7.31 (m, 5H), 7.18–7.10 (m, 2H), 6.98–6.87 (m, 4H), 6.83–6.73 (m, 1H), 5.25 (br.s, 1H), 4.35–4.22 (m, 1H), 2.65 (dd, *J*=15.4, 4.0 Hz, 1H), 2.53 (dd, *J*=15.4, 9.9 Hz, 1H), 2.11 (dd, *J*=12.6, 6.6 Hz, 1H), 1.57 (dd, *J*=12.6, 9.2 Hz, 1H), 1.32 (s, 3H), 1.31 (s, 3H).

¹³C NMR (75 MHz, CDCl₃)δ 180.4, 169.8, 143.8, 138.8, 133.7, 132.6, 130.1, 129.3, 128.4, 127.9, 121.2, 120.2, 119.3, 116.7, 64.2, 50.1, 48.1, 43.9, 27.0, 25.6.

HR-MS (ESI-TOF) calcd. for $C_{26}H_{27}N_3O$ [M+H]⁺: 398.2232; found: 398.2239; [M+Na]⁺: 420.2046; found: 420.2058.

N, *N*'-(1,4-Phenylene)bis(2-(4,4-dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)acetamide) (**3am**)



34.8 mg, yellow oil, yield: 65%. Eluent: pentane/ethyl a cetate = 3/1 to 0/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.21 (br.s, 2H), 7.84 – 7.72 (m, 4H), 7.57 – 7.48 (m, 4H), 7.48 – 7.39 (m, 6H), 4.41 – 4.27 (m, 2H), 2.70 (dd, J = 15.6, 3.8 Hz, 2H), 2.58 (dd, J = 15.6, 10.4 Hz, 2H), 2.19 (dd, J = 12.6, 6.6 Hz, 2H), 1.60 (dd, J = 12.6, 9.2 Hz, 2H), 1.40 (s, 12H).

¹³C NMR (75 MHz, CDCl₃)δ 180.1, 169.9, 134.5, 133.7, 130.2, 128.4, 127.9, 120.2, 64.1, 50.0, 48.4, 44.1, 27.1, 25.6.

HR-MS (ESI-TOF) calcd. for $C_{34}H_{38}N_4O_2$ [M+H]⁺: 535.3073; found: 535.3068; [M+Na]⁺: 557.2887; found: 557.2892.

2-(4,4-Dimethyl-5-(*p*-tolyl)-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-phenylacetamide (4a)



59.6 mg, yellow oil, yield: 93%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.36 (br.s, 1H), 7.75 – 7.67 (m, 2H), 7.60 – 7.54 (m, 2H), 7.32 – 7.25 (m, 2H), 7.26 – 7.20 (m, 2H), 7.08 – 7.01 (m, 1H), 4.38 – 4.26 (m, 1H), 2.68 (dd, J = 15.6, 3.9 Hz, 1H), 2.58 (dd, J = 15.6, 10.3 Hz, 1H), 2.39 (s, 3H), 2.17 (dd, J = 12.6, 6.5 Hz, 1H), 1.57 (dd, J = 12.6, 9.3 Hz, 1H), 1.39 (s, 6H).

¹³**C NMR** (75 MHz, CDCl₃) δ 179.7, 170.1, 140.3, 138.6, 130.9, 129.0, 128.8, 127.8, 123.5, 119.6, 63.9, 49.9, 48.5, 44.2, 27.1, 25.5, 21.3.

HR-MS (ESI-TOF) calcd. for $C_{21}H_{24}N_2O$ [M+H]⁺: 321.1967; found: 321.1960; [M+Na]⁺: 343.1781; found: 343.1792.

2-(5-(4-(*tert*-Butyl)phenyl)-4,4-dimethyl-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-phenylacetamide (4b)



66.0 mg, yellow oil, yield: 91%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃)δ 10.40 (br.s, 1H), 7.83 – 7.74 (m, 2H), 7.64 – 7.57 (m, 2H), 7.49 – 7.43 (m, 2H), 7.36 – 7.28 (m, 2H), 7.11 – 7.04 (m, 1H), 4.41 – 4.29 (m, 1H), 2.72 (dd, *J* = 15.5, 3.7 Hz, 1H), 2.59 (dd, *J* = 15.5, 10.4 Hz, 1H), 2.19 (dd, *J* = 12.6, 6.6 Hz, 1H), 1.61 (dd, *J* = 12.6, 9.2 Hz, 1H), 1.43 (s, 3H), 1.42 (s, 3H), 1.37 (s, 9H).

¹³C NMR (75 MHz, CDCl₃) δ 179.7, 170.2, 153.5, 138.6, 130.7, 128.8, 127.7, 125.3, 123.6, 119.7, 63.9, 49.9, 48.5, 44.2, 34.8, 31.1, 27.2, 25.6.

HR-MS (ESI-TOF) calcd. for $C_{24}H_{30}N_2O$ [M+H]⁺: 363.2436; found: 363.2438; [M+Na]⁺: 385.2250; found: 385.2255.

2-(5-(4-(Benzy lox y) pheny l)-4, 4-dimethy l-3, 4-dihydro-2H-pyrrol-2-yl)-N-pheny lacetamide (4c)



72.6 mg, yellow oil, yield: 88%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃)δ 10.42 (br.s, 1H), 7.90 – 7.78 (m, 2H), 7.68 – 7.58 (m, 2H), 7.50 – 7.28 (m, 7H), 7.14 – 7.00 (m, 3H), 5.13 (s, 2H), 4.41 – 4.25 (m, 1H), 2.70 (dd, *J* = 15.6, 3.7 Hz, 1H), 2.59 (dd, *J* = 15.6, 10.5 Hz, 1H), 2.19 (dd, *J* = 12.6, 6.3 Hz, 1H), 1.59 (dd, *J* = 12.6, 9.3 Hz, 1H), 1.43 (s, 3H), 1.42 (s, 3H).

¹³C NMR (75 MHz, CDCl₃) δ 178.9, 170.2, 160.3, 138.6, 136.4, 129.6, 128.8, 128.6, 128.1, 127.4, 126.3, 123.6, 119.7, 114.6, 70.0, 63.7, 49.7, 48.7, 44.2, 27.2, 25.6.

HR-MS (ESI-TOF) calcd. for $C_{27}H_{28}N_2O_2$ [M+H]⁺: 413.2229; found: 413.2229; [M+Na]⁺: 435.2043; found: 435.2049.

2-(4,4-Dimethyl-5-(4-phenoxyphenyl)-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-phenylacetamide (4d)



58.2 mg, yellow oil, yield: 73%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.29 (br.s, 1H), 7.89 – 7.76 (m, 2H), 7.66 – 7.51 (m, 2H), 7.43 – 7.28 (m, 4H), 7.22 – 7.14 (m, 1H), 7.12 – 7.01 (m, 5H), 4.41 – 4.27 (m, 1H), 2.71 (dd, *J* = 15.6, 3.7 Hz, 1H), 2.59 (dd, *J* = 15.6, 10.5 Hz, 1H), 2.20 (dd, *J* = 12.5, 6.6 Hz, 1H), 1.61 (dd, *J* = 12.5, 9.3 Hz, 1H), 1.43 (s, 3H), 1.41 (s, 3H).

¹³C NMR (75 MHz, CDCl₃) δ 179.0, 170.1, 159.4, 156.1, 138.6, 129.9, 129.7, 128.9, 128.1, 124.1, 123.7, 119.7, 117.8, 63.9, 49.8, 48.7, 44.2, 27.2, 25.6.

HR-MS (ESI-TOF) calcd. for $C_{26}H_{26}N_2O_2$ [M+H]⁺: 399.2072; found: 399.2073; [M+Na]⁺: 421.1886; found: 421.1891.

2-(4,4-Dimethyl-5-(4-(trifluoromethyl)phenyl)-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-phenylacetamide (4e)



38.9 mg, yellow oil, yield: 52%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 9.88 (br.s, 1H), 7.92–7.80 (m, 2H), 7.74–7.65 (m, 2H), 7.59–7.51 (m, 2H), 7.35 – 7.26 (m, 2H), 7.13–7.02 (m, 1H), 4.46–4.34 (m, 1H), 2.72 (dd, *J* = 15.5, 4.4 Hz, 1H), 2.63 (dd, *J* = 15.5, 9.9 Hz, 1H), 2.24 (dd, *J* = 12.6, 6.6 Hz, 1H), 1.64 (dd, *J* = 12.6, 9.3 Hz, 1H), 1.40 (s, 3H), 1.39 (s, 3H).

¹³**C NMR** (75 MHz, CDCl₃) δ 179.4, 169.8, 138.4, 137.4, 131.86 (q, *J* = 32.6 Hz), 128.9, 128.2, 125.4 (q, *J* = 3.8 Hz), 123.8 (q, *J* = 272.7 Hz), 123.8, 119.7, 64.7, 50.3, 48.2, 44.0, 26.9, 25.4.

¹⁹**F** NMR (282 MHz, CDCl₃)δ-62.9.

HR-MS (ESI-TOF) calcd. for $C_{21}H_{21}F_3N_2O$ [M+H]⁺: 375.1684; found: 375.1683; [M+Na]⁺: 397.1498; found: 397.1503.

2-(4,4-Dimethyl-5-(o-tolyl)-3,4-dihydro-2H-pyrrol-2-yl)-N-phenylacetamide (4f)



55.1 mg, yellow oil, yield: 86%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ9.85 (br.s, 1H), 7.54–7.48 (m, 2H), 7.34–7.17 (m, 6H), 7.08–7.00 (m, 1H), 4.51 – 4.34 (m, 1H), 2.75 (dd, *J* = 15.4, 4.1 Hz, 1H), 2.65 (dd, *J* = 15.4, 9.8 Hz, 1H), 2.32 (s, 3H), 2.22 (dd, *J* = 12.7, 6.8 Hz, 1H), 1.64 (dd, *J* = 12.7, 9.0 Hz, 1H), 1.24 (s, 3H), 1.20 (s, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 182.2, 170.0, 138.4, 136.2, 134.4, 130.7, 128.8, 128.5, 127.3, 125.0, 123.7, 119.8, 65.4, 52.3, 46.2, 44.3, 26.5, 25.0, 20.1.

HR-MS (ESI-TOF) calcd. for $C_{21}H_{24}N_2O$ [M+H]⁺: 321.1967; found: 321.1963; [M+Na]⁺: 343.1781; found: 343.1785.

2-(4,4-Dimethyl-5-(*m*-tolyl)-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-phenylacetamide (**4g**)



50.0 mg, yellow oil, yield: 78%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.24 (br.s, 1H), 7.65 – 7.52 (m, 4H), 7.36 – 7.25 (m, 4H), 7.11 – 7.03 (m, 1H), 4.41 – 4.29 (m, 1H), 2.72 (dd, J = 15.5, 3.9 Hz, 1H), 2.61 (dd, J = 15.5, 10.2 Hz, 1H), 2.42 (s, 3H), 2.20 (dd, J = 12.6, 6.6 Hz, 1H), 1.60 (dd, J = 12.6, 9.3 Hz, 1H), 1.41 (s, 3H), 1.40 (s, 3H).

¹³C NMR (75 MHz, CDCl₃)δ 180.3, 170.1, 138.6, 138.0, 133.8, 130.8, 128.8, 128.6, 128.2, 124.9, 123.6, 119.7, 64.1, 50.1, 48.4, 44.2, 27.1, 25.6, 21.5.

HR-MS (ESI-TOF) calcd. for $C_{21}H_{24}N_2O$ [M+H]⁺: 321.1967; found: 321.1960; [M+Na]⁺: 343.1781; found: 343.1778.

2-(5-(Furan-2-yl)-4,4-dimethyl-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-phenylacetamide (**4h**)



53.3 mg, yellow oil, yield: 90%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 9.86 (br.s, 1H), 7.63 – 7.53 (m, 3H), 7.33 – 7.25 (m, 2H), 7.09 – 7.02 (m, 1H), 7.01 (dt, J = 3.5, 0.7 Hz, 1H), 6.50 (dd, J = 3.5, 1.8 Hz, 1H), 4.49 – 4.36 (m, 1H), 2.74 (dd, J = 15.1, 4.8 Hz, 1H), 2.67 (dd, J = 15.1, 8.3 Hz, 1H), 2.17 (dd, J = 12.8, 7.1 Hz, 1H), 1.62 (dd, J = 12.8, 8.7 Hz, 1H), 1.44 (s, 3H), 1.34 (s, 3H).

¹³**C NMR** (75 MHz, CDCl₃) δ 170.7, 169.8, 148.6, 144.5, 138.5, 128.8, 123.6, 119.7, 113.6, 111.6, 65.3, 49.9, 46.6, 44.1, 27.1, 25.5.

HR-MS (ESI-TOF) calcd. for $C_{18}H_{20}N_2O_2$ [M+H]⁺: 297.1603; found: 297.1598; [M+Na]⁺: 319.1417; found: 319.1420.

2-(4,4-Dimethyl-5-(thiophen-2-yl)-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-phenylacetamide(**4i**)



38.1 mg, yellow oil, yield: 61%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.24 (br.s, 1H), 7.71 – 7.59 (m, 2H), 7.57 – 7.53 (m, 1H), 7.46 (dd, J = 5.1, 1.0 Hz, 1H), 7.38 – 7.28 (m, 2H), 7.11 (dd, J = 5.1, 3.7 Hz, 1H), 7.11 – 7.03 (m, 1H), 4.40 – 4.29 (m, 1H), 2.72 (dd, J

= 15.4, 3.8 Hz, 1H), 2.59 (dd, J = 15.4, 10.4 Hz, 1H), 2.22 (dd, J = 12.7, 7.0 Hz, 1H), 1.64 (dd, J = 12.7, 8.8 Hz, 1H), 1.51 (s, 3H), 1.40 (s, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 174.1, 169.9, 138.6, 137.4, 129.4, 128.8, 128.5, 127.8, 123.6, 119.6, 64.4, 50.0, 47.9, 44.2, 27.5, 25.8. HR-MS (ESI-TOF) calcd. for C₁₈H₂₀N₂OS [M+H]⁺: 313.1375; found: 313.1366; [M+Na]⁺: 335.1188; found:

335.1189.

2-(4,4-Dimethyl-5-(naphthalen-1-yl)-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-phenylacetamide (**4j**)



58.4 mg, yellow oil, yield: 82%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 9.66 (br.s, 1H), 7.94–7.86 (m, 3H), 7.53–7.47 (m, 2H), 7.46–7.36 (m, 4H), 7.25–7.17 (m, 2H), 7.06–6.97 (m, 1H), 4.63–4.52 (m, 1H), 2.87 (dd, *J* = 15.3, 4.2 Hz, 1H), 2.77 (dd, *J* = 15.3, 9.3 Hz, 1H), 2.31 (dd, *J* = 12.7, 6.7 Hz, 1H), 1.79 (dd, *J* = 12.7, 9.2 Hz, 1H), 1.27 (s, 3H), 1.20 (s, 3H).

¹³C NMR (75 MHz, CDCl₃) δ 181.8, 169.9, 138.4, 133.7, 132.5, 131.7, 129.1, 128.7, 128.3, 126.5, 126.1, 125.4, 125.0, 124.5, 123.7, 119.8, 65.8, 52.7, 46.1, 44.2, 26.6, 25.0.

HR-MS (ESI-TOF) calcd. for $C_{24}H_{24}N_2O$ [M+H]⁺: 357.1967; found: 357.1972; [M+Na]⁺: 379.1781; found: 379.1790.

2-(4,4-Dimethyl-5-(naphthalen-2-yl)-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-phenylacetamide (**4**k)



67.7 mg, yellow oil, yield: 95%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H** NMR (300 MHz, CDCl₃) δ 10.23 (br.s, 1H), 8.16 (s, 1H), 7.91 – 7.76 (m, 4H), 7.56 – 7.40 (m, 4H), 7.28 – 7.18 (m, 2H), 7.05 – 6.93 (m, 1H), 4.39 – 4.25 (m, 1H), 2.67 (dd, *J* = 15.6, 3.9 Hz, 1H), 2.56 (dd, *J* = 15.6, 10.3 Hz, 1H), 2.16 (dd, *J* = 12.6, 6.6 Hz, 1H), 1.57 (dd, *J* = 12.6, 9.3 Hz, 1H), 1.42 (s, 3H), 1.41 (s, 3H).

¹³C NMR (75 MHz, CDCl₃) δ 179.9, 170.1, 138.6, 134.0, 132.7, 131.0, 128.9, 128.7, 128.1, 127.8, 127.7, 127.2, 126.5, 125.3, 123.7, 119.7, 64.2, 50.1, 48.6, 44.2, 27.3, 25.7.

HR-MS (ESI-TOF) calcd. for $C_{24}H_{24}N_2O$ [M+H]⁺: 357.1967; found: 357.1969; [M+Na]⁺: 379.1781; found: 379.1786.

2-(5-(Benzo[d][1,3]dioxol-5-yl)-4,4-dimethyl-3,4-dihydro-2H-pyrrol-2-yl)-N-phenylacetamide(41)



65.2 mg, yellow oil, yield: 93%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.22 (br.s, 1H), 7.64 – 7.54 (m, 2H), 7.36 – 7.27 (m, 4H), 7.10 – 7.03 (m, 1H), 6.85 (d, J = 8.6 Hz, 1H), 6.01 (s, 2H), 4.37 – 4.24 (m, 1H), 2.68 (dd, J = 15.6, 4.0 Hz, 1H), 2.58 (dd, J = 15.6, 10.2 Hz, 1H), 2.17 (dd, J = 12.5, 6.6 Hz, 1H), 1.58 (dd, J = 12.5, 9.3 Hz, 1H), 1.40 (s, 3H), 1.38 (s, 3H).

¹³C NMR (75 MHz, CDCl₃) δ 178.9, 170.1, 149.3, 147.8, 138.5, 128.8, 127.7, 123.6, 122.4, 119.7, 108.2, 107.9, 101.4, 63.7, 49.8, 48.8, 44.1, 27.2, 25.6.

HR-MS (ESI-TOF) calcd. for $C_{21}H_{22}N_2O_3$ [M+H]⁺: 351.1709; found: 351.1704; [M+Na]⁺: 373.1523; found: 373.1521.

2-(5-(3,4-Dimethoxyphenyl)-4,4-dimethyl-3,4-dihydro-2H-pyrrol-2-yl)-N-phenylacetamide (4m)



63.0 mg, yellow oil, yield: 86%. Eluent: pentane/ethyl a cetate = 5/1 to 1/1.

¹**H** NMR (300 MHz, CDCl₃) δ 10.38 (br.s, 1H), 7.63 – 7.53 (m, 2H), 7.46 (d, J = 2.0 Hz, 1H), 7.40 (dd, J = 8.4, 2.0 Hz, 1H), 7.33 – 7.23 (m, 2H), 7.10 – 6.99 (m, 1H), 6.88 (d, J = 8.4 Hz, 1H), 4.38 – 4.25 (m, 1H), 3.92 (s, 3H), 3.90 (s, 3H), 2.70 (dd, J = 15.5, 4.0 Hz, 1H), 2.58 (dd, J = 15.5, 10.2 Hz, 1H), 2.18 (dd, J = 12.6, 6.6 Hz, 1H), 1.60 (dd, J = 12.6, 9.2 Hz, 1H), 1.44 (s, 3H), 1.40 (s, 3H).

¹³C NMR (75 MHz, CDCl₃) ¹³C NMR (75 MHz, CDCl₃) δ 178.9, 170.1, 150.9, 148.8, 138.6, 128.8, 126.1, 123.6, 121.1, 119.5, 111.0, 110.3, 63.6, 55.9, 55.8, 49.8, 48.7, 44.1, 27.4, 25.7.

HR-MS (ESI-TOF) calcd. for $C_{22}H_{26}N_2O_3$ [M+H]⁺: 367.2021; found: 367.2013; [M+Na]⁺: 389.1835; found: 389.1832.

2-(5-(3,4-Dichlorophenyl)-4,4-dimethyl-3,4-dihydro-2H-pyrrol-2-yl)-N-phenylacetamide (4n)



31.5 mg, yellow oil, yield: 42%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H** NMR (300 MHz, CDCl₃) δ 9.78 (br.s, 1H), 7.88 (d, J = 2.0 Hz, 1H), 7.61 (dd, J = 8.4, 2.0 Hz, 1H), 7.58 – 7.52 (m, 2H), 7.51 (d, J = 8.4 Hz, 1H), 7.35 – 7.28 (m, 2H), 7.12 – 7.03 (m, 1H), 4.42 – 4.31 (m, 1H), 2.70 (dd, J = 15.5, 4.3 Hz, 1H), 2.61 (dd, J = 15.5, 9.9 Hz, 1H), 2.22 (dd, J = 12.7, 6.6 Hz, 1H), 1.62 (dd, J = 12.7, 9.3 Hz, 1H), 1.38 (s, 6H).

¹³C NMR (75 MHz, CDCl₃) δ 178.2, 169.7, 138.4, 134.5, 133.7, 132.8, 130.4, 129.9, 128.9, 127.0, 123.9, 119.7, 64.5, 50.1, 48.3, 44.0, 27.0, 25.4.

HR-MS (ESI-TOF) calcd. for $C_{20}H_{20}Cl_2N_2O$ [M+H]⁺: 375.1031; found: 375.1029; [M+Na]⁺: 397.0845; found: 397.0851.

(E)-2-(4,4-Dimethyl-5-(1-phenylprop-1-en-2-yl)-3,4-dihydro-2H-pyrrol-2-yl)-N-phenylacetamide (40)



35.3 mg, yellow oil, yield: 51%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃)δ 10.53 (br.s, 1H), 7.63 – 7.56 (m, 2H), 7.43 – 7.35 (m, 4H), 7.34 – 7.28 (m, 3H), 7.20 (s, 1H), 7.10 – 7.03 (m, 1H), 4.29 – 4.16 (m, 1H), 2.70 (dd, *J* = 15.5, 3.4 Hz, 1H), 2.53 (dd, *J* = 15.5, 10.9 Hz, 1H), 2.24 (d, *J* = 1.3 Hz, 3H), 2.14 (dd, *J* = 12.6, 6.6 Hz, 1H), 1.57 (dd, *J* = 12.6, 9.5 Hz, 1H), 1.49 (s, 3H), (s, 3H).

¹³C NMR (75 MHz, CDCl₃) δ 181.4, 170.2, 138.7, 136.7, 134.4, 132.0, 129.3, 128.9, 128.3, 127.6, 123.5, 119.5, 63.2, 49.6, 49.4, 44.2, 27.8, 26.1, 16.6.

HR-MS (ESI-TOF) calcd. for $C_{23}H_{26}N_2O$ [M+H]⁺: 347.2123; found: 347.2119; [M+Na]⁺: 369.1937; found: 369.1940.

N-Phenyl-2-(1-phenyl-2-azaspiro[4.5]dec-1-en-3-yl)acetamide (**4p**)



64.4 mg, yellow oil, yield: 93%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.12 (br.s, 1H), 7.72 – 7.63 (m, 2H), 7.62 – 7.55 (m, 2H), 7.48 – 7.41 (m, 3H), 7.35 – 7.27 (m, 2H), 7.12 – 7.04 (m, 1H), 4.45 – 4.32 (m, 1H), 2.77 (dd, *J* = 15.4, 4.2 Hz, 1H), 2.66 (dd, *J* = 15.4, 9.8 Hz, 1H), 2.54 (dd, *J* = 12.8, 7.0 Hz, 1H), 1.92 (td, *J* = 12.9, 3.7 Hz, 1H), 1.83 – 1.61 (m, 5H), 1.55 – 1.42 (m, 3H), 1.36 – 1.20 (m, 2H).

¹³**C NMR** (75 MHz, CDCl₃) δ 181.0, 170.0, 138.5, 134.8, 129.5, 128.8, 128.1, 128.0, 123.6, 119.7, 64.9, 56.1, 44.4, 41.4, 35.6, 31.3, 25.4, 23.2, 23.0.

HR-MS (ESI-TOF) calcd. for $C_{23}H_{26}N_2O$ [M+H]⁺: 347.2123; found: 347.2121; [M+Na]⁺: 369.1937; found: 369.1937.

2-(4-Allyl-4-methyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-phenylacetamide (**4q**)



53.2 mg, yellow oil, dr = 3:1, yield: 80%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (400 MHz, CDCl₃) δ 10.1 (br.s, 1H), 7.87–7.73 (m, 2H), 7.62–7.54 (m, 2H), 7.50–7.40 (m, 3H), 7.35 – 7.27 (m, 2H), 7.11–7.02 (m, 1H), 5.80–5.69 (m, 1H), 5.17–5.07 (m, 2H), 4.36–4.28 (m, 1H), 2.72 (dd, J = 15.5, 3.7 Hz, 1H), 2.60 (dd, J = 15.5, 10.3 Hz, 1H), 2.51 (dd, J = 13.9, 6.7 Hz, 1H), 2.42 (dd, J = 13.0, 7.3 Hz, 1H), 2.39 (dd, J = 13.9, 8.0 Hz, 1H), 1.54 (dd, J = 13.0, 8.6 Hz, 1H), 1.41 (s, 3H).

¹³**C NMR** (101 MHz, CDCl₃)δ178.8, 170.0, 138.5, 133.9, 133.4, 130.1, 128.8, 128.4, 128.0, 127.8, 123.7, 119.7, 65.0, 54.3, 44.5, 44.4, 43.4, 26.3.

HR-MS (ESI-TOF) calcd. for $C_{22}H_{24}N_2O[M+H]^+$: 333.1967; found: 333.1964.

2-(4-Allyl-4-ethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-phenylacetamide (4r)



47.1 mg, yellow oil, dr = 10:3, yield: 68%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H** NMR (300 MHz, CDCl₃) δ 10.24 (br.s, 1H), 7.88 – 7.70 (m, 2H), 7.66 – 7.52 (m, 2H), 7.51 – 7.40 (m, 3H), 7.35 – 7.27 (m, 2H), 7.12 – 7.02 (m, 1H), 5.80 – 5.64 (m, 1H), 5.16 – 5.04 (m, 2H), 4.43 – 4.23 (m, 1H), 2.71 (dd, J = 15.5, 3.8 Hz, 1H), 2.64 – 2.51 (m, 2H), 2.43 (dd, J = 14.0, 8.4 Hz, 1H), 2.24 (dd, J = 13.2, 7.7 Hz, 1H), 1.86 (dd, J = 14.0, 7.3 Hz, 1H), 1.81 – 1.63 (m, 2H), 0.82 (t, J = 7.4 Hz, 3H).

¹³C NMR (75 MHz, CDCl₃) δ 177.0, 170.0, 138.6, 134.4, 133.5, 130.2, 128.8, 128.5, 127.8, 123.6, 119.7, 118.6, 65.2, 59.4, 44.6, 43.5, 40.6, 30.9, 9.1.

HR-MS (ESI-TOF) calcd. for $C_{23}H_{26}N_2O$ [M+H]⁺: 347.2123; found: 347.2128, [M+H]⁺: 369.1937; found: 369.1945.

2-(4-Allyl-4,5-diphenyl-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-phenylacetamide (4s)



41.0 mg, yellow oil, dr = 20.9, yield: 52%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H** NMR (400 MHz, CDCl₃) δ 10.24 (br.s, 1H), 7.68 – 7.62 (m, 2H), 7.61 – 7.55 (m, 2H), 7.39 – 7.23 (m, 10H), 7.14 – 7.09 (m, 1H), 5.73 – 5.60 (m, 1H), 5.17 – 5.07 (m, 2H), 4.47 – 4.39 (m, 1H), 3.04 – 2.87 (m, 2H), 2.75 – 2.63 (m, 3H), 1.92 (dd, *J* = 13.6, 7.8 Hz, 1H).

¹³C NMR (101 MHz, CDCl₃) δ 174.7, 169.8, 146.6, 138.5, 133.4, 132.9, 130.5, 129.0, 129.0, 128.3, 126.8, 126.1, 123.8, 119.7, 119.5, 66.8, 61.6, 49.0, 45.0, 40.6.

HR-MS (ESI-TOF) calcd. for $C_{27}H_{26}N_2O$ [M+H]⁺: 395.2123; found: 395.2122, [M+H]⁺: 417.1937; found: 417.1947.

2-(4-Allyl-4-methyl-5-(m-tolyl)-3,4-dihydro-2H-pyrrol-2-yl)-N-phenylacetamide (4t)



52.6 mg, yellow oil, dr = 20.7, yield: 76%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.16 (s, 1H), 7.66 – 7.52 (m, 4H), 7.36 – 7.26 (m, 4H), 7.12 – 7.02 (m, 1H), 5.83 – 5.67 (m, 1H), 5.17 – 5.06 (m, 2H), 4.45 – 4.24 (m, 1H), 2.71 (dd, *J* = 15.5, 4.0 Hz, 1H), 2.58 (dd, *J* = 15.5, 4.0 Hz, 1H), 2.54 – 2.46 (m, 1H), 2.45 – 2.34 (m, 2H), 2.42 (s, 3H), 1.51 (dd, *J* = 13.0, 8.7 Hz, 1H), 1.40 (s, 3H).

¹³C NMR (75 MHz, CDCl₃) δ 178.8, 170.0, 138.6, 138.1, 134.0, 133.6, 130.9, 128.8, 128.7, 128.3, 125.0, 123.6, 119.7, 118.7, 64.9, 54.3, 44.6, 44.5, 43.4, 26.3, 21.5.

HR-MS (ESI-TOF) calcd. for $C_{23}H_{26}N_2O$ [M+H]⁺: 347.2123; found: 347.2119; [M+Na]⁺: 369.1937; found: 369.1942.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)-*N*-phenylpropanamide (4u)

59.6 mg, yellow oil, dr = 10:3, yield: 93%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (400 MHz, CDCl₃)δ 10.72 (br.s, 1H), 7.83 – 7.77 (m, 2H), 7.63 – 7.56 (m, 2H), 7.50 – 7.42 (m, 3H), 7.32 – 7.27 (m, 2H), 7.08 – 7.01 (m, 1H), 4.11 – 4.03 (m, 1H), 2.53 – 2.44 (m, 1H), 2.18 (dd, *J* = 12.4, 6.1 Hz, 1H), 1.68 (dd, *J* = 12.4, 10.0 Hz, 1H), 1.41 (s, 6H), 1.30 (d, *J* = 7.0 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 179.9, 172.9, 138.9, 133.8, 130.2, 128.8, 128.4, 127.9, 123.4, 119.6, 69.6, 49.7, 48.2, 46.1, 26.8, 25.1, 13.2.

HR-MS (ESI-TOF) calcd. for $C_{21}H_{24}N_2O$ [M+H]⁺: 321.1967; found: 321.1968; [M+Na]⁺: 343.1781; found: 343.1789.

2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2*H*-pyrrol-2-yl)-2-methyl-*N*-phenylpropanamide (**4v**)



28.1 mg, yellow oil, yield: 42%. Eluent: pentane/ethyl a cetate = 5/1 to 2/1.

¹**H NMR** (300 MHz, CDCl₃) δ 10.73 (br.s, 1H), 7.91 – 7.81 (m, 2H), 7.63 – 7.56 (m, 2H), 7.55 – 7.46 (m, 3H), 7.35 – 7.27 (m, 2H), 7.12 – 7.03 (m, 1H), 4.20 (dd, J = 10.3, 6.5 Hz, 1H), 2.02 (dd, J = 12.6, 6.5 Hz, 1H), 1.79 (dd, J = 12.6, 10.3 Hz, 1H), 1.46 (s, 3H), 1.42 (s, 3H), 1.35 (s, 3H), 1.21 (s, 3H).

¹³C NMR (75 MHz, CDCl₃) δ 180.2, 176.1, 139.1, 133.8, 130.2, 128.8, 128.4, 127.8, 123.3, 119.6, 72.3, 49.8, 45.3, 43.9, 26.8, 25.4, 22.8, 20.7.

HR-MS (ESI-TOF) calcd. for $C_{22}H_{26}N_2O$ [M+H]⁺: 335.2123; found: 335.2120; [M+Na]⁺: 357.1937; found: 357.1942.

 $2-(4,4-Dimethyl-5-phenyl-3,4-dihydro-2H-pyrrol-2-yl)-2-methyl-N-phenylpropanamide (\mathbf{4v'})^{[6]}$

29.4 mg, yellow oil, yield: 46%. Eluent: pentane/ethyl a cetate = 5/1.

¹**H** NMR (300 MHz, CDCl₃) δ 7.81 – 7.71 (m, 2H), 7.41 – 7.34 (m, 3H), 5.06 – 5.01 (m, 1H), 4.88 – 4.85 (m, 1H), 4.53 (t, *J* = 8.1, 1H), 2.14 (dd, *J* = 12.4, 7.2 Hz, 1H), 1.82 (s, 3H), 1.75 (dd, *J* = 12.4, 9.0 Hz, 1H), 1.39 (s, 3H), 1.35 (s, 3H).

¹³C NMR (75 MHz, CDCl₃)δ 179.6, 146.9, 134.6, 129.4, 128.0, 127.9, 110.0, 72.2, 50.3, 47.2, 27.0, 25.8, 19.8.

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5. ¹H-, ¹³C- and ¹⁹F-NMR spectra copy of products 200415.f316.10.fid — Youcan Zhang YZhang-3-22 — PROTON CDCI3 {C:\Bruker\TopSpin3.6.0} 2004 16 — 300.20MHz Ph ŃН P٢ ļſ Ш 2.7 2.6 2.5 2.4 2.3 2.2 2.1 2.0 1.9 1.8 1.7 1.6 f1 (ppm) Т. 1.09-1 3.06-1 3.09 2:06 Z 1.02 1.02 1.02 1.02 F-0.1 12.0 11.5 11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.0 f1 (ppm) 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.(6.5 5.5 200415.f316.11.fid — Youcan Zhang YZhang-3-22 — C13CPD CDCl3 {C:\Bruker\TopSpin3.6.0} 2004 16 — 75.49MHz - 138.6 - 138.6 - 133.8 - 133.8 - 133.8 - 133.6 - 123.6 - 119.7 - 170.1 $\overbrace{75.6}^{77.4}$ \u03c8 50.0 \u03c8 48.5 \u03c8 44.2 \u03c - 180.1 --- 64.1 Ph .Nн 3a 100 f1 (ppm) 200 190 180 170 160 150 140 130 120 110 90 80 70 60 50 40 30 20 10 0





S32



200409.f352.13.fid — Youcan Zhang YZhang-3-27 — 19F(H-entk) CDCl3 {C:\Bruker\TopSpin3.6.0} 2004 52 — 282.44MHz



0 -100 f1 (ppm) -10 -20 -40 -50 -70 -20 -30 -60 -80 -120 -130 -150 -160 -170 -190 -90 -110 -140 -180



200409.f353.12.fid — Youcan Zhang YZhang-3-28 — F19 CDCl3 {C:\Bruker\TopSpin3.6.0} 2004 53 — 282.44MHz



0 -10 -20 -40 -50 -70 -100 -110 f1 (ppm) -30 -60 -80 -90 -120 -170 -20(-130 -140 -150 -160 -180 -190


200420.f341.10.fid — Youcan Zhang YZhang-3-61 — PROTON CDCl3 {C:\Bruker\TopSpin3.6.0} 2004 41 — 300.20MHz



f1 (ppm)



200417.439.12.fid — Youcan Zhang YZhang-3-88 — F19 CDCl3 {C:\Bruker\TopSpin3.5pl6} 2004 39 — 376.46MHz



-100 f1 (ppm) 0 -10 -20 -40 -60 -70 -190 -20 -30 -50 -80 -90 -110 -120 -140 -170 -130 -150 -160 -180











200409.f354.13.fid — Youcan Zhang YZhang-3-44 — 19F(H-entk) CDCl3 {C:\Bruker\TopSpin3.6.0} 2004 54 — 282.44MHz



0 -100 f1 (ppm) -10 -20 -30 -40 -50 -60 -70 -80 -90 -120 -130 -20 -110 -140 -150 -160 -170 -180 -190

















200427.f305.10.fid — Youcan Zhang YZhang-3-109 — 19F(H-entk) CDCl3 {C:\Bruker\TopSpin3.6.0} 2004 5 — 282.44MHz



0 -100 f1 (ppm) -10 -20 -30 -40 -50 -60 -70 -80 -90 -110 -120 -140 -150 -160 -170 -180 -20(-130 -190







80 -85 -90 -95 -100 -105 -110 -115 -120 -125 -130 -135 -140 -145 -155 -160 -165 -170 -175 -180 -185 -190 -195 -2(f1 (ppm)












































10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210 fl (ppm)







































