

Supporting Information

Palladium-Catalyzed Reductive Desulfonative Aminocarbonylation of Benzylsulfonyl Chlorides with Nitroarenes to Arylacetamides

Yongzhu Liu,[†] Zhi-Peng Bao,[†] Xinxin Qi,^{*,†} and Xiao-Feng Wu^{*,‡}

[†]Department of Chemistry, Key Laboratory of Surface & Interface Science of Polymer Materials of Zhejiang Province, Zhejiang Sci-Tech University, Hangzhou 310018, China.

[‡]Dalian National Laboratory for Clean Energy, Dalian Institute of Chemical Physics, Chinese Academy of Sciences, 116023, Dalian, Liaoning, China; Leibniz-Institut für Katalyse e. V., Albert-Einstein-Straße 29a, 18059 Rostock, Germany.

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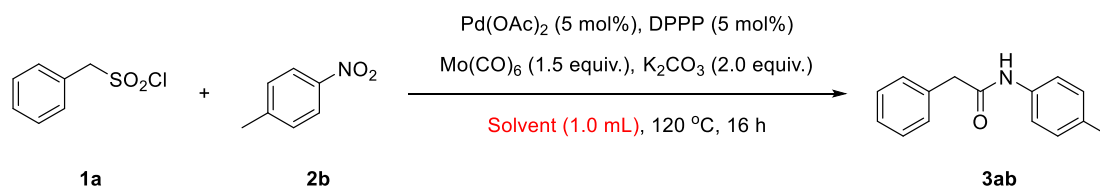
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1. General Information

Unless otherwise noted, all reactions were carried out under N₂ atmosphere. All reagents were from commercial sources and used as received without further purification. All solvents were dry. Column chromatography was performed on silica gel (200-300 mesh size) using petroleum ether and ethyl acetate as eluent. NMR spectra were recorded on a Bruker Avance operating at for ¹H NMR at 400 MHz, ¹³C NMR at 101 MHz and ¹⁹F NMR at 377 MHz and spectral data were reported in ppm relative to tetramethylsilane (TMS) as internal standard and CDCl₃ (¹H NMR δ 7.26, ¹³C NMR δ 77.16), DMSO-*d*₆ (¹H NMR δ 2.50, ¹³C NMR δ 39.52) as solvent. All coupling constants (*J*) are reported in Hz. The following abbreviations were used to describe peak splitting patterns when appropriate: s = singlet, d = doublet, dd = double doublet, ddd = double doublet of doublets, t = triplet, dt = double triplet, q = quartet, m = multiplet, br = broad. Gas chromatography (GC) analyses were performed on a Shimadzu GC-2014C chromatograph equipped with a FID detector. Mass spectra (MS) were measured on spectrometer by direct inlet at 70 eV. Mass spectroscopy data of the products were collected on an HRMS-TOF instrument or Waters TOFMS GCT Premier using EI or ESI ionization. Melting points were measured with WRR digital point apparatus and not corrected.

2. Optimization of Reaction Conditions

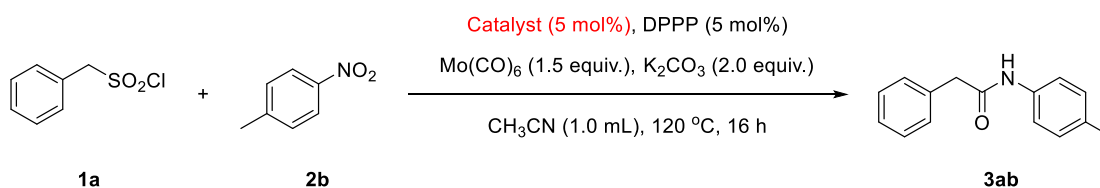
Table S1. Screening of Solvents^a



Entry	Solvent	Yield(%)
1	CH ₃ CN	43
2	1,4-Dioxane	16
3	THF	31
4	DMF	Trace
5	DMSO	N.D.
6	Toluene	8

^aReaction conditions: **1a** (0.2 mmol), **2b** (0.3 mmol), Pd(OAc)₂ (5 mol%), DPPP (5 mol%), Mo(CO)₆ (1.5 equiv.), K₂CO₃ (2.0 equiv.), Solvent (1.0 mL), 120 °C, 16 h. GC yield, with dodecane as the internal standard.

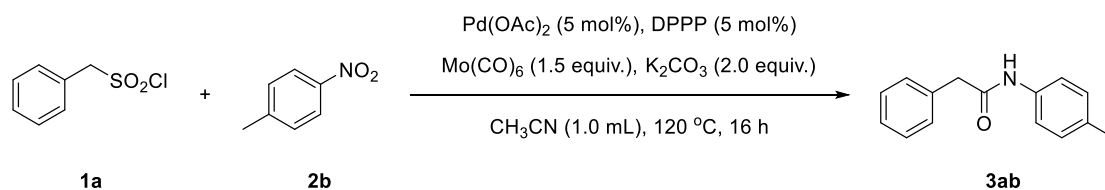
Table S2. Screening of Catalysts^a



Entry	Catalyst	Yield(%)
1	Pd(OAc) ₂	43
2	Pd(PPh ₃) ₄	40
3	Pd ₂ (dba) ₃	36
4	Pd(acac) ₂	27
5	PdCl ₂	23
6	Pd(TFA) ₂	19

^aReaction conditions: **1a** (0.2 mmol), **2b** (0.3 mmol), Catalyst (5 mol%), DPPP (5 mol%), Mo(CO)₆ (1.5 equiv.), K₂CO₃ (2.0 equiv.), CH₃CN (1.0 mL), 120 °C, 16 h. GC yield, with dodecane as the internal standard.

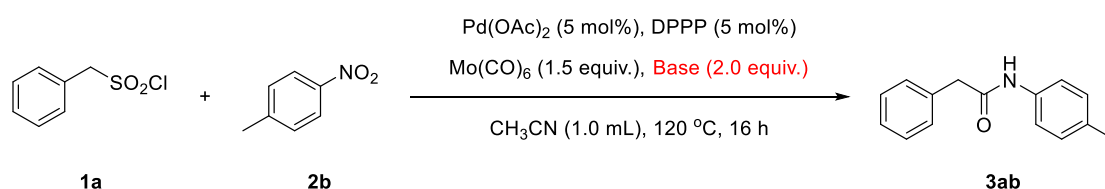
Table S3. Screening of the Amount of **1a** and **2b**^a



Entry	Condition	Yield(%)
1	1a : 2b = 0.2 : 0.2	38
2	1a : 2b = 0.3 : 0.2	47
3	1a : 2b = 0.4 : 0.2	56
4	1a : 2b = 0.5 : 0.2	52
5	1a : 2b = 0.6 : 0.2	34

^aReaction conditions: **1a** (x mmol), **2b** (0.2 mmol), Pd(OAc)₂ (5 mol%), DPPP (5 mol%), Mo(CO)₆ (1.5 equiv.), K₂CO₃ (2.0 equiv.), CH₃CN (1.0 mL), 120 °C, 16 h. GC yield, with dodecane as the internal standard.

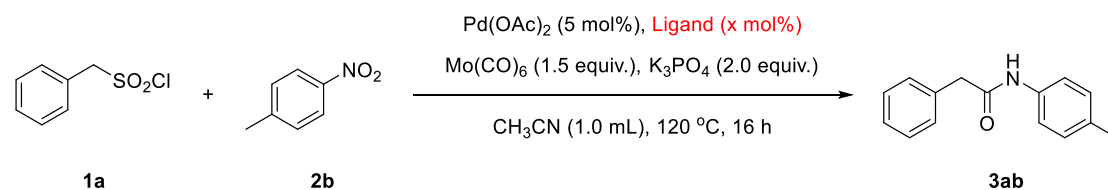
Table S4. Screening of Bases^a



Entry	Base	Yield(%)
1	Cs ₂ CO ₃	42
2	K ₃ PO ₄	74
3	KHCO ₃	55
4	<i>t</i> -BuOK	26
5	Na ₂ CO ₃	57
6	Na ₃ PO ₄	51
7	Et ₃ N	24
8	DIPEA	35
9	DBU	13

^aReaction conditions: **1a** (0.4 mmol), **2b** (0.2 mmol), Pd(OAc)₂ (5 mol%), DPPP (5 mol%), Mo(CO)₆ (1.5 equiv.), Base (2.0 equiv.), CH₃CN (1.0 mL), 120 °C, 16 h. GC yield, with dodecane as the internal standard.

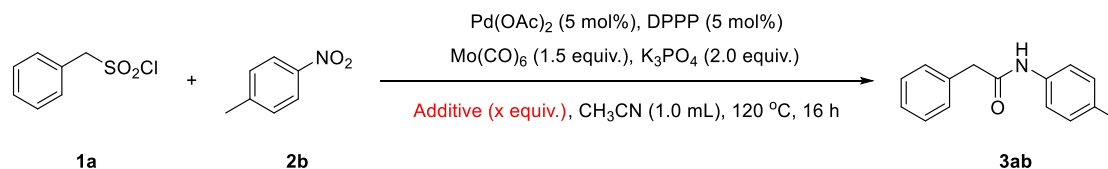
Table S5. Screening of Ligands^a



Entry	Ligand	Yield(%)
1	DPPF	57
2	PPh ₃	59
3	Xantphos	56
4	BINAP	63
5	DPPB	72
6	DPEphos	62

^aReaction conditions: **1a** (0.4 mmol), **2b** (0.2 mmol), Pd(OAc)₂ (5 mol%), mono-dentate ligand (10 mol%), bidentate ligand (5 mol%), Mo(CO)₆ (1.5 equiv.), K₃PO₄ (2.0 equiv.), CH₃CN (1.0 mL), 120 °C, 16 h. GC yield, with dodecane as the internal standard.

Table S6. Screening of the Additives^a



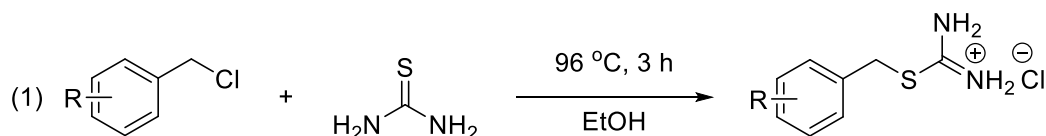
Entry	Additives	Yield(%)
1	PhSiH ₃	66
2	Zn	63
3 ^b	H ₂ O	56

^aReaction conditions: **1a** (0.4 mmol), **2b** (0.2 mmol), Pd(OAc)₂ (5 mol%), DPPP (5 mol%), Mo(CO)₆ (1.5 equiv.), K₃PO₄ (2.0 equiv.), CH₃CN (1.0 mL), Additive (1.0 equiv.), 120 °C, 16 h. ^b0.5 equiv. H₂O. GC yield, with dodecane as the internal standard.

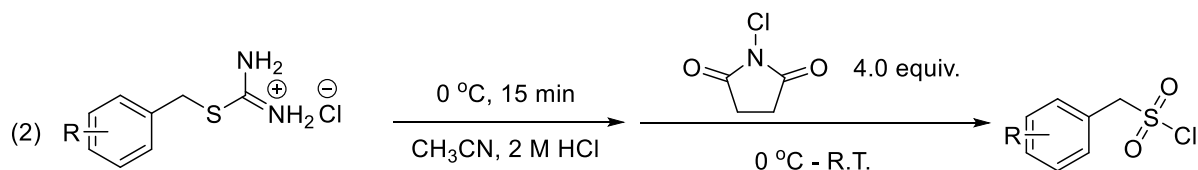
3. Experimental Section

3.1 General Procedure for the Synthesis of Benzylsulfonyl Chlorides

Benzylsulfonyl Chlorides were prepared according to literature.¹



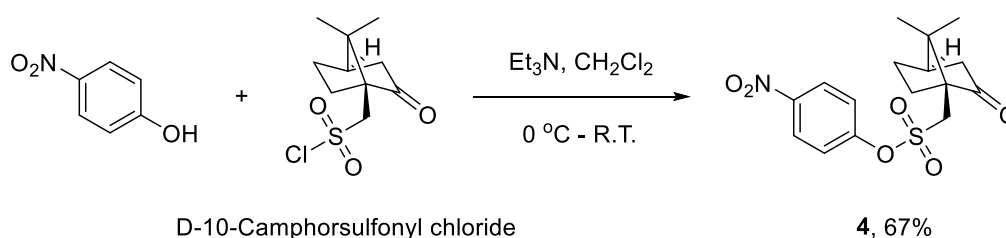
Step 1: An oven dried clean round-bottom flask was charged with magnetic stir-bar, the corresponding benzyl chloride (10 mmol) and thiourea (10 mmol, 762 mg). 10 mL of absolute ethanol was added and refluxed at 96 °C (oil bath). After 3 h the reaction was taken out and solvent was evaporated under reduced pressure to obtain white solid thiouric salt.



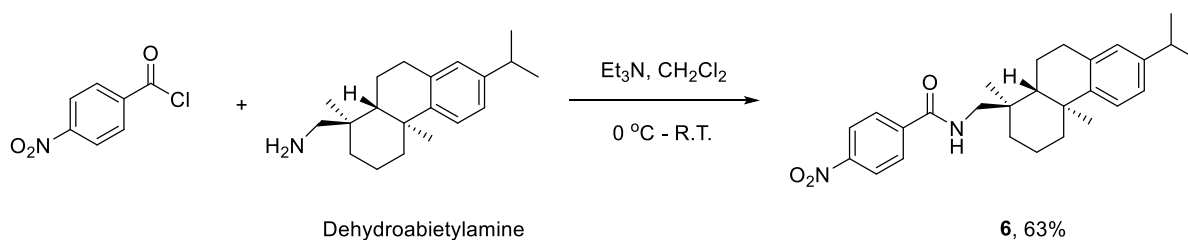
Step 2: The obtained solid salt was suspended in 14 mL of CH₃CN and 3 mL 2 M HCl was added to it. The mixture was stirred at 0 °C (ice bath) for 15 min. N-chlorosuccinimide (NCS) (40 mmol, 5.342 g) was added in portion to the suspension in order to obtain a clear solution (Pay attention to the temperature of the reaction, if the temperature is higher than 25 °C (oil bath), put it into an ice bath to cool). The solution was stirred for another 30 min at room temperature. The solution was evaporated under reduced pressure to remove the CH₃CN. The remaining aqueous portion was extracted with ethyl acetate (20 mL × 3). The organic portion was dried over anhydrous Na₂SO₄ and the crude mixture was evaporated and purified by column chromatography using silica gel and petroleum ether/ethyl acetate as the eluent.

3.2 General Procedure for the Synthesis of Compounds 4 and 6

Compounds **4** and **6** were prepared according to literature.²



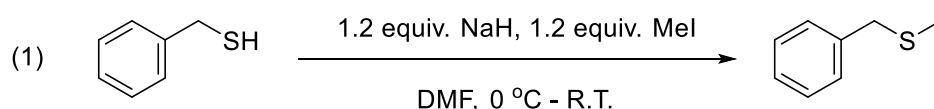
An oven dried clean round-bottom flask was charged with magnetic stir-bar, 4-nitrophenol (10 mmol, 1.391 g), D-10-Camphorsulfonyl chloride (10 mmol, 2.507 g). Dichloromethane (40 mL) and triethylamine (12 mmol, 1.215 g) was added slowly and stirred at 0 °C (ice bath), then stir the reaction mixture at room temperature until completed (monitored by TLC). The reaction mixture was then diluted with water (40 mL) and extracted with dichloromethane (20 mL × 3). The combined organic phases were dried over anhydrous Na₂SO₄. The crude mixture was evaporated and purified by column chromatography using silica gel and petroleum ether/ethyl acetate (10/1 to 5/1, volume ratio) as the eluent, afforded **4** as a white solid (2.35 g, 67% yield).



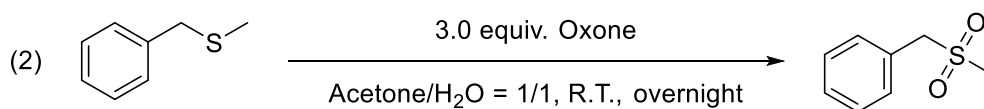
An oven dried clean round-bottom flask was charged with magnetic stir-bar, dehydroabietylamine (10 mmol, 2.854 g), 4-nitrobenzoyl chloride (12 mmol, 2.226 g). Dichloromethane (40 mL) and triethylamine (12 mmol, 1.215 g) was added slowly and stirred at 0 °C (ice bath), then stir the reaction mixture at room temperature until completed (monitored by TLC). The reaction mixture was then diluted with water (40 mL) and extracted with dichloromethane (20 mL × 3). The combined organic phases were dried over anhydrous Na₂SO₄. The crude mixture was evaporated and purified by column chromatography using silica gel and petroleum ether/ethyl acetate (5/1 to 2/1, volume ratio) as the eluent, afforded **6** as a white solid (2.75 g, 63% yield).

3.3 General Procedure for the Synthesis of Benzylmethylsulfone

Benzylmethylsulfone was prepared according to literature.^{3,4}

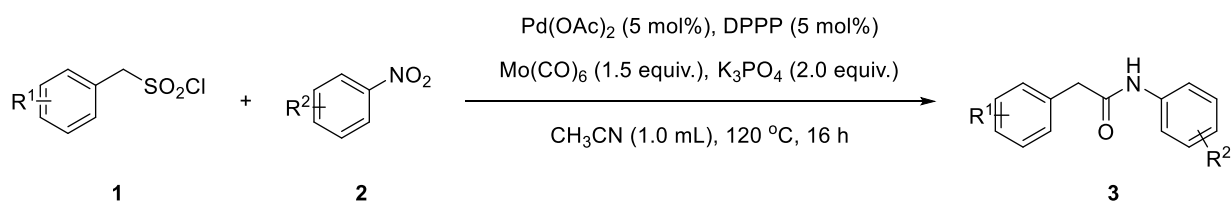


Step 1: An oven dried round-bottom flask was charged with phenylmethanethiol (10 mmol, 1.242 g) in DMF (20 mL) and stirred at 0 °C (ice bath) for 30 min. NaH (12 mmol, 480 mg, 60% dispersion in mineral oil) was added and the mixture was stirred at 0 °C for 30 min. MeI (12 mmol, 1.703 g) was added at 0 °C, warmed to room temperature then stir the reaction mixture at room temperature until completed (monitored by TLC). The reaction mixture was diluted with water (40 mL) and extracted with ethyl acetate (20 mL × 3). The combined organic layer was washed with brine and dried over anhydrous Na₂SO₄. The crude product was purified by column chromatography using silica gel and petroleum ether/ethyl acetate (100/1 to 30/1, volume ratio) as the eluent, afforded benzyl(methyl)sulfane as light yellow liquid (980 mg, 71% yield).



Step 2: An oven dried clean round-bottom flask was charged with magnetic stir-bar, benzyl(methyl)sulfane (5 mmol, 690 mg) and Oxone (15 mmol, 5.195 g). 20 mL of acetone and water mixture (acetone/water = 1/1, volume ratio) was added and then stir the reaction mixture at room temperature until completed (monitored by TLC). The reaction mixture was diluted with water (40 mL) and extracted with dichloromethane (20 mL × 3). The combined organic layer was washed with brine and dried over anhydrous Na₂SO₄. The crude product was purified by column chromatography using silica gel and petroleum ether/ethyl acetate (10/1 to 5/1, volume ratio) as the eluent, afforded benzylmethylsulfone as a white solid (625 mg, 74% yield).

3.4 General Procedure for Palladium-Catalyzed Benzylsulfonyl Chlorides with Nitroarenes

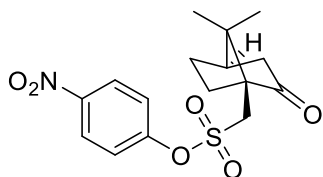


Under nitrogen atmosphere, **1** (0.4 mmol), **2** (0.2 mmol), Pd(OAc)₂ (5 mol %, 2.2 mg), DPPP (5 mol %, 4.1 mg), K₃PO₄ (2 equiv., 84.9 mg) and Mo(CO)₆ (1.5 equiv., 79.2 mg) were added to an oven dried 15 mL *In-Ex* tube. Then CH₃CN (1 mL) were added to the reaction. The tube was sealed and the mixture was stirred at 120 °C (oil bath) for 16 h. After the reaction was completed, the reaction mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography using silica gel and petroleum ether/ethyl acetate as the eluent, afford the corresponding product **3**, **5** and **7**.

1 mmol scale: Under nitrogen atmosphere, **1a** (2 mmol), **2a** (1 mmol), Pd(OAc)₂ (5 mol %), DPPP (5 mol %), K₃PO₄ (2 equiv.) and Mo(CO)₆ (1.5 equiv.) were added to an oven dried 15 mL *In-Ex* tube. Then CH₃CN (5 mL) were added to the reaction. The tube was sealed and the mixture was stirred at 120 °C (oil bath) for 16 h. After the reaction was completed, the reaction mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography using silica gel and petroleum ether/ethyl acetate as the eluent (10/1 to 5/1), afford the corresponding product **3aa** in 70% yield (147.7 mg).

4. Characterization Data

4.1 Characterization Data of Compounds 4, 5, 6, 7



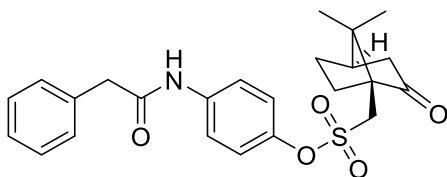
4-nitrophenyl((1S,4R)-7,7-dimethyl-2-oxobicyclo[2.2.1]heptan-1-yl)methanesulfonate (4)

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (2.35 g, 67% yield).²

¹H NMR (400 MHz, CDCl₃) δ 8.31 (d, J = 9.1 Hz, 2H), 7.49 (d, J = 9.1 Hz, 2H), 3.86 (d, J = 15.0 Hz, 1H), 3.26 (d, J = 15.0 Hz, 1H), 2.55 – 2.40 (m, 2H), 2.18 (t, J = 4.5 Hz, 1H), 2.10 (tdd, J = 12.2, 7.9, 4.3 Hz, 1H), 2.00 (d, J = 18.6 Hz, 1H), 1.76 (ddd, J = 13.9, 9.4, 4.7 Hz, 1H), 1.49 (ddd, J = 13.0, 9.4, 3.9 Hz, 1H), 1.15 (s, 3H), 0.92 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 214.0, 153.6, 146.3, 125.8, 122.9, 58.3, 48.8, 48.2, 42.9, 42.6, 27.0, 25.3, 20.0, 19.8.

M.p. 119.3 - 120.6 °C



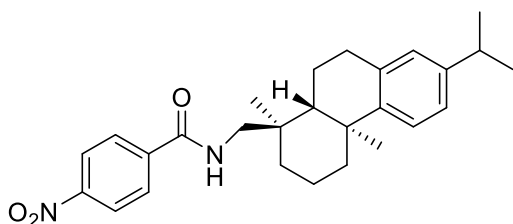
4-(2-phenylacetamido)phenyl((1S,4R)-7,7-dimethyl-2-oxobicyclo[2.2.1]heptan-1-yl)methanesulfonate (5)

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 5/1 to 2/1, volume ratio) to afford the title compound as a colorless oil (61.7 mg, 70% yield).

¹H NMR (400 MHz, CDCl₃) δ 7.46 (d, J = 7.8 Hz, 2H), 7.40 (dd, J = 10.7, 4.0 Hz, 2H), 7.33 (t, J = 7.5 Hz, 3H), 7.26 (brs, 1H), 7.21 (d, J = 8.7 Hz, 2H), 3.76 (dd, J = 15.2, 1.1 Hz, 1H), 3.73 (s, 2H), 3.15 (dd, J = 15.0, 0.9 Hz, 1H), 2.55 – 2.49 (m, 1H), 2.40 (d, J = 18.6 Hz, 1H), 2.13 (t, J = 4.2 Hz, 1H), 2.11 – 2.03 (m, 1H), 1.96 (d, J = 18.5 Hz, 1H), 1.70 (ddd, J = 13.8, 9.5, 4.3 Hz, 1H), 1.48 – 1.42 (m, 1H), 1.14 (s, 3H), 0.89 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 214.2, 169.3, 145.4, 136.8, 134.3, 129.6, 129.4, 127.9, 122.8, 121.1, 58.2, 48.1, 47.5, 44.9, 43.0, 42.6, 27.0, 25.2, 20.1, 19.8.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₂₄H₂₇NO₅S 442.1683; Found 442.1685.



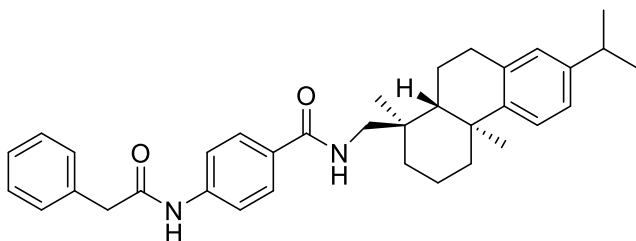
***N*-(((1*R*,4*aS*,10*aR*)-7-isopropyl-1,4*a*-dimethyl-1,2,3,4,4*a*,9,10,10*a*-octahydrophenanthren-1-yl)methyl)-4-nitrobenzamide (6)**

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 5/1 to 2/1, volume ratio) to afford the title compound as a white solid (2.75 g, 63% yield).²

¹H NMR (400 MHz, CDCl₃) δ 8.20 (dd, *J* = 8.6, 2.1 Hz, 2H), 7.90 (dd, *J* = 8.6, 2.0 Hz, 2H), 7.19 (d, *J* = 8.1 Hz, 1H), 7.02 (d, *J* = 8.1 Hz, 1H), 6.91 (s, 1H), 6.61 (s, 1H), 3.49 (dd, *J* = 12.8, 5.7 Hz, 1H), 3.33 (dd, *J* = 12.8, 5.4 Hz, 1H), 2.96 (dd, *J* = 17.1, 6.1 Hz, 1H), 2.88 – 2.81 (m, 2H), 2.33 (d, *J* = 12.5 Hz, 1H), 1.99 (dd, *J* = 17.7, 5.2 Hz, 1H), 1.82 (dd, *J* = 26.0, 12.9 Hz, 2H), 1.70 (d, *J* = 11.7 Hz, 1H), 1.52 (t, *J* = 14.1 Hz, 2H), 1.40 (t, *J* = 12.8 Hz, 2H), 1.25 (d, *J* = 2.7 Hz, 6H), 1.23 (d, *J* = 2.2 Hz, 3H), 1.04 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 165.9, 149.4, 146.9, 145.7, 140.5, 134.6, 128.2, 127.0, 124.2, 124.0, 123.7, 50.7, 45.8, 38.3, 37.9, 37.6, 36.4, 33.4, 30.4, 25.4, 24.0, 19.2, 18.8, 18.6.

M.p. 100.1 - 101.7 °C



***N*-(((1*R*,4*aS*,10*aR*)-7-isopropyl-1,4*a*-dimethyl-1,2,3,4,4*a*,9,10,10*a*-octahydrophenanthren-1-yl)methyl)-4-(2-phenylacetamido)benzamide (7)**

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 5/1 to 1/1, volume ratio) to afford the title compound as a white solid (65.2 mg, 62% yield).

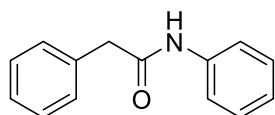
¹H NMR (400 MHz, CDCl₃) δ 7.64 (d, J = 8.7 Hz, 2H), 7.48 (t, J = 7.5 Hz, 3H), 7.41 – 7.37 (m, 2H), 7.34 – 7.31 (m, 3H), 7.16 (d, J = 8.2 Hz, 1H), 6.99 (dd, J = 8.2, 1.6 Hz, 1H), 6.87 (d, J = 1.2 Hz, 1H), 6.11 (t, J = 6.3 Hz, 1H), 3.74 (s, 2H), 3.41 – 3.30 (m, 2H), 2.91 (dd, J = 17.1, 6.0 Hz, 1H), 2.85 – 2.76 (m, 2H), 2.29 (d, J = 12.7 Hz, 1H), 1.95 (dd, J = 13.1, 7.2 Hz, 1H), 1.84 – 1.73 (m, 2H), 1.71 – 1.68 (m, 1H), 1.52 – 1.46 (m, 2H), 1.35 (dd, J = 13.8, 3.8 Hz, 2H), 1.22 (d, J = 1.4 Hz, 6H), 1.20 (s, 3H), 0.99 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 169.4, 167.1, 147.1, 145.8, 140.7, 134.8, 134.2, 130.5, 129.6, 129.4, 127.92, 127.89, 127.1, 124.3, 124.0, 119.4, 50.5, 46.0, 44.9, 38.5, 37.8, 37.7, 36.6, 33.5, 30.6, 25.6, 24.1, 19.2, 18.9, 18.8.

M.p. 145.3 - 147.2 °C

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₃₅H₄₂N₂O₂ 523.3319; Found 523.3320.

4.2 Characterization Data of the Corresponding Products



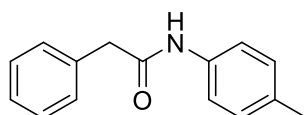
***N*,2-diphenylacetamide (3aa)**

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (30.2 mg, 72% yield).⁵

¹H NMR (400 MHz, CDCl₃) δ 7.42 – 7.37 (m, 4H), 7.33 – 7.32 (m, 3H), 7.29 – 7.25 (m, 2H), 7.21 (brs, 1H), 7.07 (t, J = 7.4 Hz, 1H), 3.72 (s, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 169.3, 137.7, 134.6, 129.6, 129.4, 129.1, 127.8, 124.6, 120.0, 45.0.

M.p. 114.8 - 116.1 °C



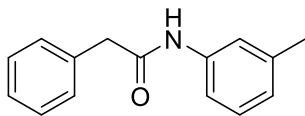
2-phenyl-*N*-(*p*-tolyl)acetamide (3ab)

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (33.4 mg, 74% yield).⁵

¹H NMR (400 MHz, CDCl₃) δ 7.56 (brs, 1H), 7.35 – 7.27 (m, 7H), 7.04 (d, J = 8.2 Hz, 2H), 3.64 (s, 2H), 2.26 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 169.5, 135.3, 134.8, 134.1, 129.5, 129.4, 129.1, 127.5, 120.2, 44.6, 20.9.

M.p. 132.3 - 133.7 °C



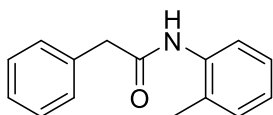
2-phenyl-N-(*m*-tolyl)acetamide (3ac)

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (32.8 mg, 73% yield).⁵

¹H NMR (400 MHz, CDCl₃) δ 7.45 (brs, 1H), 7.40 – 7.37 (m, 2H), 7.33 – 7.29 (m, 4H), 7.23 (d, *J* = 8.2 Hz, 1H), 7.16 (t, *J* = 7.8 Hz, 1H), 6.90 (d, *J* = 7.4 Hz, 1H), 3.70 (s, 2H), 2.29 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 169.4, 138.9, 137.7, 134.7, 129.6, 129.2, 128.8, 127.6, 125.3, 120.7, 117.1, 44.8, 21.5.

M.p. 89.6 - 91.4 °C



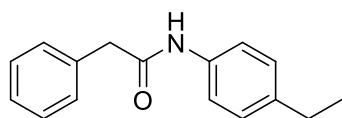
2-phenyl-N-(*o*-tolyl)acetamide (3ad)

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (31.2 mg, 69% yield).⁵

¹H NMR (400 MHz, CDCl₃) δ 7.89 (d, *J* = 8.1 Hz, 1H), 7.45 – 7.41 (m, 2H), 7.38 – 7.34 (m, 3H), 7.18 (t, *J* = 7.6 Hz, 1H), 7.09 (d, *J* = 7.2 Hz, 1H), 7.02 (t, *J* = 7.4 Hz, 1H), 6.92 (brs, 1H), 3.79 (s, 2H), 1.90 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 169.1, 135.7, 134.9, 130.5, 129.8, 129.5, 128.3, 128.0, 126.9, 125.1, 122.3, 45.1, 17.2.

M.p. 153.4 - 156.2 °C



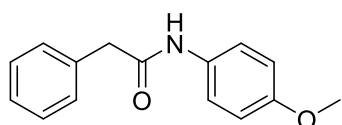
***N*-(4-ethylphenyl)-2-phenylacetamide (3ae)**

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (35.2 mg, 74% yield).⁵

¹H NMR (400 MHz, CDCl₃) δ 7.41 – 7.38 (m, 2H), 7.34 – 7.30 (m, 5H), 7.10 (d, J = 8.4 Hz, 3H), 3.73 (s, 2H), 2.59 (q, J = 7.6 Hz, 2H), 1.19 (t, J = 7.6 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 169.1, 140.7, 135.3, 134.7, 129.7, 129.3, 128.4, 127.8, 120.1, 44.9, 28.4, 15.8.

M.p. 110.9 - 112.4 °C



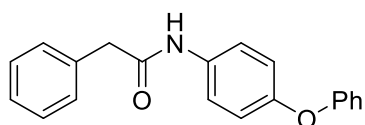
***N*-(4-methoxyphenyl)-2-phenylacetamide (3af)**

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 5/1 to 2/1, volume ratio) to afford the title compound as a white solid (37.7 mg, 78% yield).⁵

¹H NMR (400 MHz, CDCl₃) δ 7.42 – 7.38 (m, 2H), 7.34 – 7.32 (m, 4H), 7.30 – 7.29 (m, 1H), 7.02 (brs, 1H), 6.81 (d, J = 9.0 Hz, 2H), 3.76 (s, 3H), 3.72 (s, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 169.1, 156.7, 134.7, 130.8, 129.7, 129.4, 127.8, 121.9, 114.2, 55.6, 44.8.

M.p. 124.6 - 125.4 °C



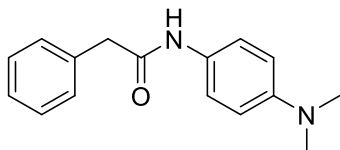
***N*-(4-phenoxyphenyl)-2-phenylacetamide (3ag)**

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (48.0 mg, 79% yield).⁵

¹H NMR (400 MHz, CDCl₃) δ 7.42 – 7.39 (m, 3H), 7.37 – 7.34 (m, 3H), 7.33 – 7.29 (m, 3H), 7.17 (brs, 1H), 7.07 (t, J = 7.4 Hz, 1H), 6.96 – 6.93 (m, 4H), 3.74 (s, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 169.2, 157.6, 153.7, 134.5, 133.2, 129.8, 129.7, 129.4, 127.8, 123.2, 121.8, 119.7, 118.5, 44.8.

M.p. 139.5 - 140.6 °C



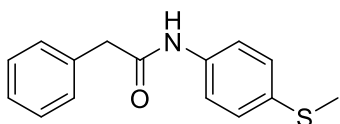
***N*-(4-(dimethylamino)phenyl)-2-phenylacetamide (3ah)**

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 5/1 to 2/1, volume ratio) to afford the title compound as a white solid (31.8 mg, 63% yield).⁵

^1H NMR (400 MHz, CDCl_3) δ 7.40 – 7.36 (m, 2H), 7.34 – 7.30 (m, 3H), 7.24 (d, J = 9.0 Hz, 2H), 7.02 (brs, 1H), 6.65 (d, J = 9.0 Hz, 2H), 3.70 (s, 2H), 2.89 (s, 6H).

^{13}C NMR (101 MHz, CDCl_3) δ 169.0, 148.3, 134.9, 129.7, 129.3, 127.6, 127.5, 122.0, 113.1, 44.7, 41.0.

M.p. 40.6 - 41.7 °C



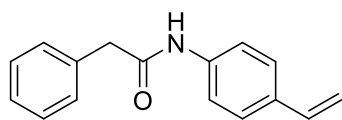
***N*-(4-(methylthio)phenyl)-2-phenylacetamide (3ai)**

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (38.9 mg, 76% yield).⁵

^1H NMR (400 MHz, CDCl_3) δ 7.41 – 7.38 (m, 2H), 7.36 – 7.31 (m, 5H), 7.18 (d, J = 8.7 Hz, 3H), 3.72 (s, 2H), 2.44 (s, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 169.2, 135.3, 134.5, 133.9, 129.6, 129.4, 128.0, 127.8, 120.6, 44.9, 16.8.

M.p. 117.8 - 119.3 °C



2-phenyl-*N*-(4-vinylphenyl)acetamide (3aj)

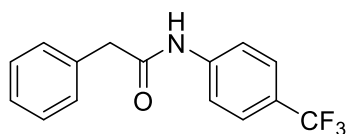
Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (29.4 mg, 62% yield).

$^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.43 – 7.31 (m, 9H), 7.08 (brs, 1H), 6.64 (dd, $J = 17.6, 10.9$ Hz, 1H), 5.66 (d, $J = 17.6$ Hz, 1H), 5.18 (d, $J = 11.0$ Hz, 1H), 3.74 (s, 2H).

$^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 169.1, 137.3, 136.2, 134.5, 134.0, 129.7, 129.4, 127.9, 126.9, 119.9, 113.2, 45.0.

M.p. 98.4 - 100.2 °C

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{16}\text{H}_{15}\text{NO}$ 238.1226; Found 238.1229.



2-phenyl-*N*-(4-(trifluoromethyl)phenyl)acetamide (3ak)

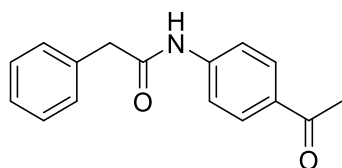
Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (39.6 mg, 71% yield).⁵

$^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.56 – 7.51 (m, 4H), 7.43 – 7.40 (m, 2H), 7.38 – 7.32 (m, 3H), 7.29 (brs, 1H), 3.76 (s, 2H).

$^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 169.5, 140.7, 134.1, 129.6, 129.5, 128.1, 126.34 (C-F, q, $^2J_{\text{C-F}} = 32.7$ Hz), 126.33 (C-F, q, $^3J_{\text{C-F}} = 3.6$ Hz), 124.1 (C-F, q, $^1J_{\text{C-F}} = 271.6$ Hz), 119.5, 45.0.

$^{19}\text{F NMR}$ (377 MHz, CDCl_3) δ -62.1.

M.p. 161.2 - 162.5 °C



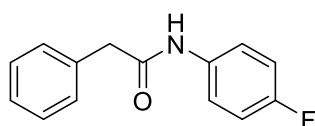
N-(4-acetylphenyl)-2-phenylacetamide (3al)

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 5/1 to 2/1, volume ratio) to afford the title compound as a white solid (29.5 mg, 58% yield).⁶

¹H NMR (400 MHz, CDCl₃) δ 7.89 (d, J = 8.7 Hz, 2H), 7.53 (d, J = 8.7 Hz, 2H), 7.44 – 7.40 (m, 2H), 7.38 – 7.33 (m, 3H), 7.31 (brs, 1H), 3.77 (s, 2H), 2.56 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 197.0, 169.4, 142.0, 134.0, 133.2, 129.8, 129.7, 129.5, 128.1, 119.0, 45.1, 26.6.

M.p. 121.3 - 123.1 °C



***N*-(4-fluorophenyl)-2-phenylacetamide (3am)**

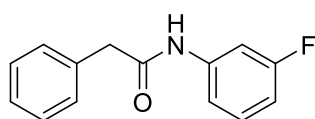
Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (33.2 mg, 73% yield).⁷

¹H NMR (400 MHz, CDCl₃) δ 7.42 – 7.38 (m, 3H), 7.37 – 7.32 (m, 4H), 7.14 (brs, 1H), 6.96 (t, J = 8.7 Hz, 2H), 3.73 (s, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 169.2, 159.6 (C-F, d, $^1J_{C-F}$ = 243.7 Hz), 134.4, 133.7 (C-F, d, $^4J_{C-F}$ = 2.5 Hz), 129.7, 129.4, 127.9, 121.9 (C-F, d, $^3J_{C-F}$ = 7.9 Hz), 115.7 (C-F, d, $^2J_{C-F}$ = 22.5 Hz), 44.8.

¹⁹F NMR (377 MHz, CDCl₃) δ -117.7.

M.p. 125.6 - 127.8 °C



***N*-(3-fluorophenyl)-2-phenylacetamide (3an)**

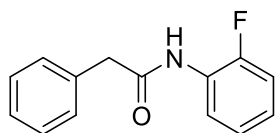
Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (33.0 mg, 72% yield).⁸

¹H NMR (400 MHz, CDCl₃) δ 7.43 – 7.39 (m, 3H), 7.37 – 7.32 (m, 3H), 7.24 – 7.18 (m, 1H), 7.15 (brs, 1H), 7.01 (dd, J = 8.1, 1.2 Hz, 1H), 6.78 (td, J = 8.3, 2.0 Hz, 1H), 3.74 (s, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 169.2, 163.1 (C-F, d, $^1J_{C-F}$ = 244.9 Hz), 139.2 (C-F, d, $^3J_{C-F}$ = 10.7 Hz), 134.2, 130.1 (C-F, d, $^3J_{C-F}$ = 9.3 Hz), 129.7, 129.5, 128.0, 115.0 (C-F, d, $^4J_{C-F}$ = 2.6 Hz), 111.3 (C-F, d, $^2J_{C-F}$ = 21.4 Hz), 107.4 (C-F, d, $^2J_{C-F}$ = 26.4 Hz), 45.0.

¹⁹F NMR (377 MHz, CDCl₃) δ -111.4.

M.p. 105.6 - 107.1 °C



***N*-(2-fluorophenyl)-2-phenylacetamide (3ao)**

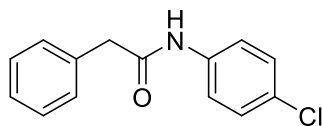
Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (24.3 mg, 53% yield).⁹

¹H NMR (400 MHz, CDCl₃) δ 8.29 (t, J = 8.0 Hz, 1H), 7.43 – 7.34 (m, 6H), 7.12 – 7.08 (m, 1H), 7.03 – 7.00 (m, 2H), 3.78 (s, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 169.2, 152.5 (C-F, d, $^1J_{\text{C-F}}$ = 243.2 Hz), 134.2, 129.6, 129.4, 127.9, 126.3 (C-F, d, $^3J_{\text{C-F}}$ = 10.0 Hz), 124.7 (C-F, d, $^4J_{\text{C-F}}$ = 3.7 Hz), 124.6 (C-F, d, $^3J_{\text{C-F}}$ = 8.1 Hz), 121.8, 114.8 (C-F, d, $^2J_{\text{C-F}}$ = 19.2 Hz), 45.0.

¹⁹F NMR (377 MHz, CDCl₃) δ -131.6.

M.p. 97.6 - 98.4 °C



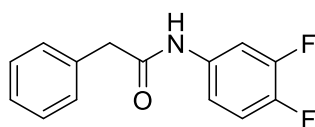
***N*-(4-chlorophenyl)-2-phenylacetamide (3ap)**

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (39.9 mg, 81% yield).⁷

¹H NMR (400 MHz, CDCl₃) δ 7.42 – 7.38 (m, 3H), 7.35 – 7.31 (m, 4H), 7.24 – 7.22 (m, 2H), 7.17 (brs, 1H), 3.73 (s, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 169.2, 136.3, 134.3, 129.64, 129.56, 129.4, 129.1, 127.9, 121.2, 44.9.

M.p. 165.6 - 167.4 °C



***N*-(3,4-difluorophenyl)-2-phenylacetamide (3aq)**

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (34.4 mg, 70% yield).

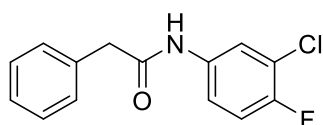
¹H NMR (400 MHz, CDCl₃) δ 7.54 (ddd, $J = 12.1, 7.2, 2.6$ Hz, 1H), 7.43 – 7.39 (m, 2H), 7.37 – 7.31 (m, 3H), 7.12 (brs, 1H), 7.04 (dd, $J = 18.5, 8.8$ Hz, 1H), 6.96 – 6.92 (m, 1H), 3.73 (s, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 169.2, 150.2 (C-F, dd, $^1J_{C-F} = 247.3, 13.2$ Hz), 147.2 (C-F, dd, $^1J_{C-F} = 245.6, 12.7$ Hz), 134.2 (C-F, dd, $^3J_{C-F} = 5.9, 3.1$ Hz), 134.1, 129.6, 129.5, 128.0, 117.2 (C-F, d, $^2J_{C-F} = 18.2$ Hz), 115.5 (C-F, dd, $^3J_{C-F} = 5.7, 3.7$ Hz), 109.8 (C-F, d, $^2J_{C-F} = 21.8$ Hz), 44.8.

¹⁹F NMR (377 MHz, CDCl₃) δ -135.6, -142.4.

M.p. 93.9 - 94.7 °C

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₄H₁₁F₂NO 248.0881; Found 248.0892.



***N*-(3-chloro-4-fluorophenyl)-2-phenylacetamide (3ar)**

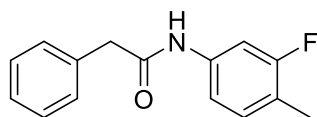
Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (35.8 mg, 68% yield).⁵

¹H NMR (400 MHz, CDCl₃) δ 7.59 (dd, $J = 6.5, 2.6$ Hz, 1H), 7.43 – 7.39 (m, 2H), 7.37 – 7.31 (m, 3H), 7.26 – 7.20 (m, 1H), 7.15 (brs, 1H), 7.03 (t, $J = 8.8$ Hz, 1H), 3.73 (s, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 169.3, 155.0 (C-F, d, $^1J_{C-F} = 246.5$ Hz), 134.3 (C-F, d, $^4J_{C-F} = 3.1$ Hz), 134.1, 129.6, 129.5, 128.0, 122.3, 121.2 (C-F, d, $^2J_{C-F} = 18.5$ Hz), 119.7 (C-F, d, $^3J_{C-F} = 6.8$ Hz), 116.7 (C-F, d, $^2J_{C-F} = 22.1$ Hz), 44.8.

¹⁹F NMR (377 MHz, CDCl₃) δ -120.3.

M.p. 105.7 - 106.9 °C



***N*-(3-fluoro-4-methylphenyl)-2-phenylacetamide (3as)**

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (35.5 mg, 73% yield).

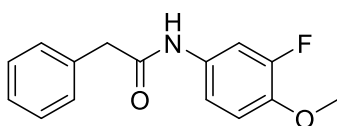
¹H NMR (400 MHz, CDCl₃) δ 7.42 – 7.38 (m, 2H), 7.36 – 7.31 (m, 4H), 7.13 (brs, 1H), 7.04 (t, J = 8.3 Hz, 1H), 6.92 (dd, J = 8.2, 2.0 Hz, 1H), 3.72 (s, 2H), 2.20 (d, J = 1.5 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 169.2, 161.2 (C-F, d, $^1J_{\text{C-F}}$ = 244.0 Hz), 136.8 (C-F, d, $^3J_{\text{C-F}}$ = 10.8 Hz), 134.4, 131.4 (C-F, d, $^3J_{\text{C-F}}$ = 6.3 Hz), 129.7, 129.4, 127.9, 120.8 (C-F, d, $^2J_{\text{C-F}}$ = 17.6 Hz), 115.0 (C-F, d, $^4J_{\text{C-F}}$ = 3.1 Hz), 107.3 (C-F, d, $^2J_{\text{C-F}}$ = 27.2 Hz), 44.9, 14.2 (C-F, d, $J_{\text{C-F}}$ = 3.1 Hz).

¹⁹F NMR (377 MHz, CDCl₃) δ -115.4.

M.p. 116.9 - 118.6 °C

HRMS (ESI) m/z : [M+H]⁺ Calcd. for C₁₅H₁₄FNO 244.1132; Found 244.1145.



***N*-(3-fluoro-4-methoxyphenyl)-2-phenylacetamide (3at)**

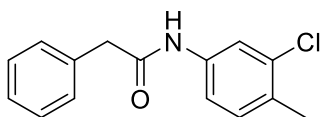
Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 5/1 to 2/1, volume ratio) to afford the title compound as a white solid (36.3 mg, 70% yield).⁵

¹H NMR (400 MHz, CDCl₃) δ 7.42 – 7.38 (m, 2H), 7.36 – 7.32 (m, 4H), 7.03 (brs, 1H), 7.02 (d, J = 9.0 Hz, 1H), 6.84 (t, J = 9.0 Hz, 1H), 3.84 (s, 3H), 3.72 (s, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 169.2, 152.2 (C-F, d, $^1J_{\text{C-F}}$ = 245.5 Hz), 144.7 (C-F, d, $^3J_{\text{C-F}}$ = 10.8 Hz), 134.4, 131.2 (C-F, d, $^3J_{\text{C-F}}$ = 9.0 Hz), 129.7, 129.4, 127.9, 115.8 (C-F, d, $^4J_{\text{C-F}}$ = 3.4 Hz), 113.8 (C-F, d, $^4J_{\text{C-F}}$ = 1.5 Hz), 109.4 (C-F, d, $^2J_{\text{C-F}}$ = 22.6 Hz), 56.7, 44.8.

¹⁹F NMR (377 MHz, CDCl₃) δ -133.1.

M.p. 125.2 - 126.8 °C



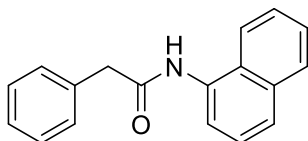
***N*-(3-chloro-4-methylphenyl)-2-phenylacetamide (3au)**

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (38.9 mg, 75% yield).⁵

¹H NMR (400 MHz, CDCl₃) δ 7.48 (d, J = 2.0 Hz, 1H), 7.42 – 7.38 (m, 2H), 7.36 – 7.31 (m, 3H), 7.19 (dd, J = 8.3, 2.1 Hz, 1H), 7.14 (brs, 1H), 7.10 (d, J = 8.3 Hz, 1H), 3.72 (s, 2H), 2.30 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 169.2, 136.5, 134.5, 134.4, 132.2, 131.0, 129.6, 129.4, 127.9, 120.6, 118.3, 44.9, 19.6.

M.p. 123.7 - 124.9 °C



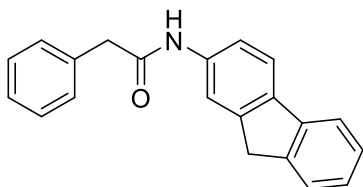
***N*-(naphthalen-1-yl)-2-phenylacetamide (3av)**

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (21.9 mg, 42% yield).⁵

¹H NMR (400 MHz, CDCl₃) δ 7.97 (d, *J* = 7.5 Hz, 1H), 7.82 (d, *J* = 8.0 Hz, 1H), 7.66 (d, *J* = 8.2 Hz, 1H), 7.49 – 7.38 (m, 9H), 7.29 (d, *J* = 8.3 Hz, 1H), 3.90 (s, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 134.8, 134.2, 132.1, 129.9, 129.7, 128.9, 128.1, 126.9, 126.4, 126.0, 125.88, 125.85, 120.4, 120.1, 45.1.

M.p. 150.8 - 152.1 °C



***N*-(9*H*-fluoren-2-yl)-2-phenylacetamide (3aw)**

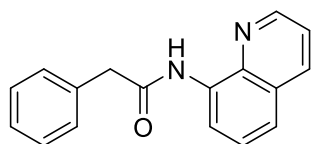
Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a light yellow solid (43.1 mg, 72% yield).

¹H NMR (400 MHz, CDCl₃) δ 7.79 (s, 1H), 7.70 (d, *J* = 7.5 Hz, 1H), 7.66 (d, *J* = 8.2 Hz, 1H), 7.51 (d, *J* = 7.4 Hz, 1H), 7.44 – 7.40 (m, 2H), 7.37 – 7.31 (m, 4H), 7.28 – 7.24 (m, 2H), 7.16 (brs, 1H), 3.85 (s, 2H), 3.78 (s, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 169.1, 144.4, 143.3, 141.4, 138.4, 136.6, 134.6, 129.7, 129.4, 127.9, 126.9, 126.5, 125.1, 120.2, 119.7, 118.7, 117.0, 45.1, 37.1.

M.p. 190.0 - 191.2 °C

HRMS (ESI) *m/z*: [M+H]⁺ Calcd. for C₂₁H₁₇NO 300.1383; Found 300.1389.

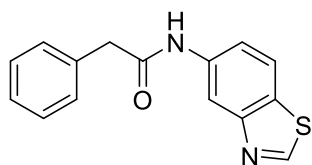


2-phenyl-*N*-(quinolin-8-yl)acetamide (3ax)

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a colorless oil (28.8 mg, 55% yield).¹⁰

¹H NMR (400 MHz, CDCl₃) δ 9.91 (brs, 1H), 8.76 (dd, *J* = 7.2, 1.7 Hz, 1H), 8.70 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.12 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.53 – 7.47 (m, 2H), 7.46 – 7.39 (m, 5H), 7.34 (dt, *J* = 9.5, 4.2 Hz, 1H), 3.90 (s, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 148.3, 138.6, 136.4, 134.8, 134.5, 129.7, 129.1, 128.0, 127.5, 121.72, 121.67, 116.5, 45.5.



N-(benzo[*d*]thiazol-5-yl)-2-phenylacetamide (3ay)

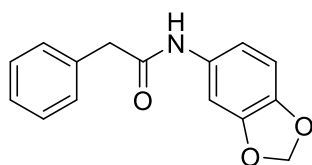
Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 5/1 to 1/1, volume ratio) to afford the title compound as a light yellow solid (31.1 mg, 58% yield).

¹H NMR (400 MHz, CDCl₃) δ 8.98 (s, 1H), 8.19 (d, *J* = 1.8 Hz, 1H), 7.84 (d, *J* = 8.7 Hz, 1H), 7.57 (dd, *J* = 8.7, 1.8 Hz, 1H), 7.44 – 7.41 (m, 2H), 7.38 – 7.34 (m, 3H), 7.32 (brs, 1H), 3.80 (s, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 169.4, 155.3, 153.9, 136.5, 134.4, 129.7, 129.6, 129.5, 128.0, 122.1, 119.0, 114.5, 45.0.

M.p. 97.6 - 99.3 °C

HRMS (ESI) *m/z*: [M+H]⁺ Calcd. for C₁₅H₁₂N₂OS 269.0743; Found 269.0751.



N-(benzo[*d*][1,3]dioxol-5-yl)-2-phenylacetamide (3az)

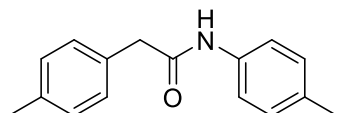
Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 5/1 to 2/1, volume ratio) to afford the title compound as a white solid (39.8 mg, 78% yield).

¹H NMR (400 MHz, CDCl₃) δ 7.42 – 7.38 (m, 2H), 7.35 – 7.30 (m, 3H), 7.15 (d, *J* = 1.9 Hz, 1H), 7.02 (brs, 1H), 6.70 – 6.63 (m, 2H), 5.92 (s, 2H), 3.71 (s, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 169.2, 147.9, 144.6, 134.6, 131.9, 129.7, 129.4, 127.8, 113.3, 108.1, 103.0, 101.4, 44.8.

M.p. 144.6 - 146.2 °C

HRMS (ESI) *m/z*: [M+H]⁺ Calcd. for C₁₅H₁₃NO₃ 256.0968; Found 256.0977.



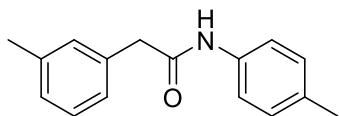
***N*,2-di-*p*-tolylacetamide (3bb)**

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (37.3 mg, 78% yield).⁵

¹H NMR (400 MHz, CDCl₃) δ 7.28 (d, *J* = 8.4 Hz, 2H), 7.23 – 7.19 (m, 4H), 7.07 (d, *J* = 8.3 Hz, 2H), 7.03 (brs, 1H), 3.69 (s, 2H), 2.37 (s, 3H), 2.29 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 169.3, 137.5, 135.2, 134.2, 131.5, 130.1, 129.6, 129.5, 120.0, 44.6, 21.3, 21.0.

M.p. 151.8 - 153.5 °C



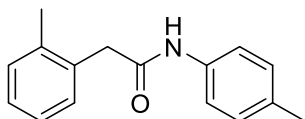
2-(*m*-tolyl)-*N*-(*p*-tolyl)acetamide (3cb)

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (35.8 mg, 75% yield).⁵

¹H NMR (400 MHz, CDCl₃) δ 7.28 (t, *J* = 7.9 Hz, 3H), 7.15 – 7.12 (m, 3H), 7.08 (d, *J* = 8.2 Hz, 3H), 3.69 (s, 2H), 2.37 (s, 3H), 2.29 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 169.2, 139.1, 135.2, 134.6, 134.2, 130.4, 129.5, 129.2, 128.5, 126.7, 120.0, 44.9, 21.5, 21.0.

M.p. 104.1 - 105.9 °C



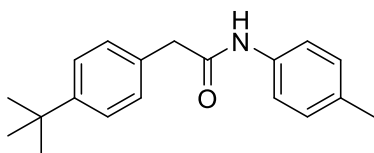
2-(*o*-tolyl)-*N*-(*p*-tolyl)acetamide (3db)

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (31.9 mg, 67% yield).⁵

¹H NMR (400 MHz, CDCl₃) δ 7.27 – 7.24 (m, 6H), 7.07 (d, J = 8.3 Hz, 2H), 6.93 (brs, 1H), 3.73 (s, 2H), 2.33 (s, 3H), 2.28 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 168.9, 137.6, 135.1, 134.3, 133.2, 131.1, 130.7, 129.5, 128.3, 127.0, 120.1, 43.0, 21.0, 19.7.

M.p. 146.7 - 148.3 °C



2-(4-(*tert*-butyl)phenyl)-*N*-(*p*-tolyl)acetamide (3eb)

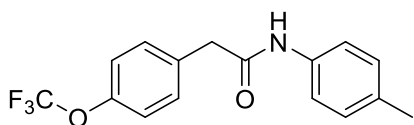
Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (36.7mg, 65% yield).

¹H NMR (400 MHz, CDCl₃) δ 7.41 (d, J = 8.2 Hz, 2H), 7.31 – 7.25 (m, 4H), 7.11 (brs, 1H), 7.08 (d, J = 8.3 Hz, 2H), 3.69 (s, 2H), 2.29 (s, 3H), 1.34 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.4, 150.7, 135.2, 134.2, 131.6, 129.5, 129.4, 126.3, 120.1, 44.4, 34.7, 31.5, 21.0.

M.p. 112.8 - 113.9 °C

HRMS (ESI) m/z : [M+H]⁺ Calcd. for C₁₉H₂₃NO 282.1852; Found 282.1865.



N-(*p*-tolyl)-2-(4-(trifluoromethoxy)phenyl)acetamide (3fb)

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (46.5 mg, 75% yield).

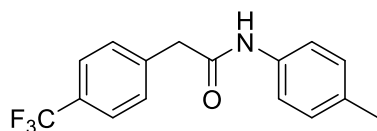
¹H NMR (400 MHz, CDCl₃) δ 7.37 (d, J = 8.6 Hz, 2H), 7.32 (d, J = 8.4 Hz, 2H), 7.23 (d, J = 8.1 Hz, 2H), 7.09 (d, J = 8.2 Hz, 3H), 3.71 (s, 2H), 2.30 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 168.4, 148.8, 135.0, 134.5, 133.4, 131.0, 129.6, 121.7, 120.6 (C-F, q, ¹J_{C-F} = 257.4 Hz), 120.1, 44.0, 21.0.

¹⁹F NMR (377 MHz, CDCl₃) δ -57.8.

M.p. 150.7 - 152.1 °C

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₆H₁₄F₃NO₂ 310.1049; Found 310.1062.



***N*-(*p*-tolyl)-2-(4-(trifluoromethyl)phenyl)acetamide (3gb)**

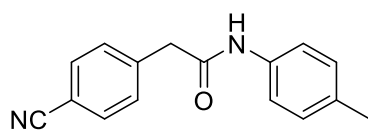
Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (45.1 mg, 77% yield).⁵

¹H NMR (400 MHz, DMSO-*d*₆) δ 10.15 (s, 1H), 7.69 (d, *J* = 8.1 Hz, 2H), 7.55 (d, *J* = 8.0 Hz, 2H), 7.47 (d, *J* = 8.4 Hz, 2H), 7.09 (d, *J* = 8.3 Hz, 2H), 3.75 (s, 2H), 2.23 (s, 3H).

¹³C NMR (101 MHz, DMSO-*d*₆) δ 168.1, 140.9, 136.6, 132.3, 130.0, 129.1, 127.3 (C-F, q, ²J_{C-F} = 31.7 Hz), 125.1 (C-F, q, ³J_{C-F} = 3.7 Hz), 124.4 (C-F, q, ¹J_{C-F} = 271.9 Hz), 119.2, 42.9, 20.4.

¹⁹F NMR (377 MHz, DMSO-*d*₆) δ -60.8.

M.p. 185.1 - 186.7 °C



2-(4-cyanophenyl)-*N*-(*p*-tolyl)acetamide (3hb)

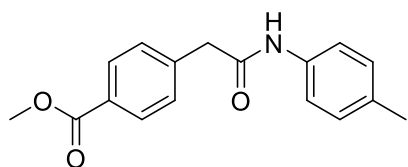
Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 5/1 to 2/1, volume ratio) to afford the title compound as a white solid (41.6 mg, 83% yield).

¹H NMR (400 MHz, DMSO-*d*₆) δ 10.14 (s, 1H), 7.79 (d, *J* = 8.2 Hz, 2H), 7.52 (d, *J* = 8.2 Hz, 2H), 7.46 (d, *J* = 8.4 Hz, 2H), 7.09 (d, *J* = 8.3 Hz, 2H), 3.74 (s, 2H), 2.23 (s, 3H).

¹³C NMR (101 MHz, DMSO-*d*₆) δ 167.8, 141.9, 136.5, 132.3, 132.2, 130.3, 129.1, 119.2, 118.9, 109.4, 43.1, 20.4.

M.p. 205.2 - 207.1 °C

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₆H₁₄N₂O 251.1179; Found 251.1192.



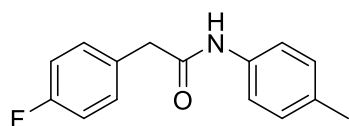
methyl 4-(2-oxo-2-(*p*-tolylamino)ethyl)benzoate (3ib)

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 5/1 to 2/1, volume ratio) to afford the title compound as a white solid (45.2 mg, 80% yield).¹¹

¹H NMR (400 MHz, CDCl₃) δ 8.04 (d, J = 8.2 Hz, 2H), 7.41 (d, J = 8.2 Hz, 2H), 7.30 (d, J = 8.4 Hz, 2H), 7.17 (brs, 1H), 7.08 (d, J = 8.3 Hz, 2H), 3.92 (s, 3H), 3.75 (s, 2H), 2.29 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 168.1, 166.9, 139.8, 135.0, 134.5, 130.4, 129.62, 129.60, 129.5, 120.1, 52.3, 44.7, 21.0.

M.p. 159.3 - 160.8 °C



2-(4-fluorophenyl)-*N*-(*p*-tolyl)acetamide (3jb)

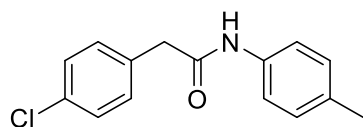
Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (32.2 mg, 66% yield).⁵

¹H NMR (400 MHz, CDCl₃) δ 7.30 (dd, J = 8.5, 3.1 Hz, 4H), 7.09 (d, J = 8.5 Hz, 4H), 7.06 (brs, 1H), 3.69 (s, 2H), 2.29 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 168.8, 162.4 (C-F, d, $^1J_{C-F}$ = 246.5 Hz), 135.1, 134.4, 131.2 (C-F, d, $^3J_{C-F}$ = 8.0 Hz), 130.4 (C-F, d, $^4J_{C-F}$ = 3.2 Hz), 129.6, 120.1, 116.2 (C-F, d, $^2J_{C-F}$ = 21.4 Hz), 44.0, 21.0.

¹⁹F NMR (377 MHz, CDCl₃) δ -114.7.

M.p. 146.6 - 148.3 °C



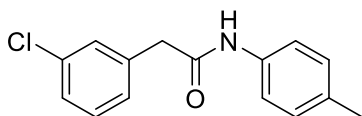
2-(4-chlorophenyl)-*N*-(*p*-tolyl)acetamide (3kb)

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (31.7 mg, 61% yield).⁵

¹H NMR (400 MHz, CDCl₃) δ 7.36 (d, J = 8.3 Hz, 2H), 7.31 – 7.26 (m, 4H), 7.09 (d, J = 8.2 Hz, 2H), 7.03 (brs, 1H), 3.68 (s, 2H), 2.29 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 168.5, 135.0, 134.5, 133.7, 133.1, 131.0, 129.6, 129.4, 120.1, 44.1, 21.0.

M.p. 185.8 - 187.1 °C



2-(3-chlorophenyl)-N-(p-tolyl)acetamide (3lb)

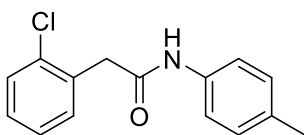
Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (44.0 mg, 85% yield).

¹H NMR (400 MHz, CDCl₃) δ 7.32 – 7.29 (m, 5H), 7.26 – 7.20 (m, 2H), 7.08 (d, J = 8.0 Hz, 2H), 3.65 (s, 2H), 2.29 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 168.4, 136.6, 135.0, 134.9, 134.5, 130.4, 129.7, 129.6, 127.9, 127.7, 120.2, 44.3, 21.0.

M.p. 110.9 - 113.4 °C

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₅H₁₄ClNO 260.0837; Found 260.0849.



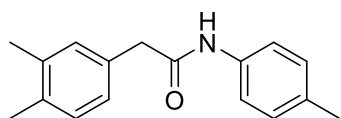
2-(2-chlorophenyl)-N-(p-tolyl)acetamide (3mb)

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (37.9 mg, 73% yield).¹²

¹H NMR (400 MHz, CDCl₃) δ 7.45 – 7.40 (m, 2H), 7.32 (d, J = 8.4 Hz, 2H), 7.29 – 7.27 (m, 2H), 7.21 (brs, 1H), 7.09 (d, J = 8.2 Hz, 2H), 3.83 (s, 2H), 2.29 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 167.8, 135.2, 134.5, 134.3, 132.9, 131.9, 130.0, 129.6, 129.3, 127.6, 120.2, 42.6, 21.0.

M.p. 160.8 - 162.2 °C



2-(3,4-dimethylphenyl)-N-(p-tolyl)acetamide (3nb)

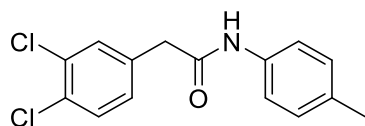
Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (33.9 mg, 67% yield).

$^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.29 (d, $J = 8.1$ Hz, 2H), 7.15 (d, $J = 7.7$ Hz, 1H), 7.08 – 7.04 (m, 5H), 3.66 (s, 2H), 2.29 (s, 3H), 2.27 (s, 6H).

$^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 169.5, 137.7, 136.2, 135.2, 134.1, 131.9, 131.0, 130.6, 129.5, 127.0, 120.0, 44.6, 21.0, 19.9, 19.6.

M.p. 121.2 - 122.8 °C

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{17}\text{H}_{19}\text{NO}$ 254.1539; Found 254.1552.



2-(3,4-dichlorophenyl)-N-(p-tolyl)acetamide (3ob)

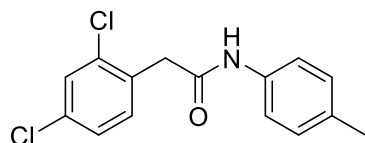
Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (48.2 mg, 82% yield).

$^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.44 – 7.42 (m, 2H), 7.32 (d, $J = 8.4$ Hz, 2H), 7.19 – 7.16 (m, 2H), 7.10 (d, $J = 8.2$ Hz, 2H), 3.64 (s, 2H), 2.30 (s, 3H).

$^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 167.9, 134.9, 134.7, 134.6, 133.1, 131.9, 131.5, 131.0, 129.7, 128.9, 120.2, 43.6, 21.0.

M.p. 148.2 - 150.4 °C

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{15}\text{H}_{13}\text{Cl}_2\text{NO}$ 294.0447; Found 294.0457.



2-(2,4-dichlorophenyl)-N-(p-tolyl)acetamide (3pb)

Purified by column chromatography (silica gel, petroleum ether/ethyl acetate = 10/1 to 5/1, volume ratio) to afford the title compound as a white solid (41.1 mg, 70% yield).

¹H NMR (400 MHz, CDCl₃) δ 7.44 (d, J = 2.0 Hz, 1H), 7.35 – 7.31 (m, 3H), 7.27 – 7.24 (m, 2H), 7.09 (d, J = 8.2 Hz, 2H), 3.77 (s, 2H), 2.29 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 167.3, 135.1, 135.0, 134.5, 134.3, 132.6, 131.5, 129.7, 129.6, 127.9, 120.3, 41.8, 21.0.

M.p. 159.5 - 161.3 °C

HRMS (ESI) m/z : [M+H]⁺ Calcd. for C₁₅H₁₃Cl₂NO 294.0447; Found 294.0453.

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6. Copies of NMR Spectra

