

## Supporting Information

# Straightforward preparation of biologically active 1-aryl- and 1-heteroarylpropan-2-amines in enantioenriched form

María Rodríguez-Mata,<sup>a</sup> Vicente Gotor-Fernández,<sup>a</sup> Javier González-Sabín,<sup>b</sup> Francisca Rebolledo,<sup>a</sup> and Vicente Gotor<sup>a</sup>

<sup>a</sup>Departamento de Química Orgánica e Inorgánica, and Instituto Universitario de Biotecnología de Asturias, Universidad de Oviedo, 33006 Oviedo, Spain.

<sup>b</sup>Entrechem, SL. Edificio Científico-Tecnológico. Campus de El Cristo. 33006 Oviedo. Spain.

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#### 1. General procedures

Lipase B from *Candida antarctica* (CAL-B, available immobilized on polyacrylamide as Novozyme 435, 7300 PLU/g), and immobilized Lipozyme<sup>®</sup> RM (<15% in weight) were generously given by Novozymes. *Pseudomonas cepacia* lipase “Amano IM” (943 u/g) was a gift from Amano Enzymes Inc. Amano Lipase AK from *Pseudomonas fluorescens* (22100 u/g) was provided by Aldrich, and lipase from pancreas porcine (30-90 u/mg protein using triacetin) was supplied by Sigma. For the enzymatic reactions, ethyl methoxyacetate (stored with 4 Å molecular sieves) and anhydrous THF were used. Thin-layer chromatography was performed on precoated TLC plates of Merck silica gel 60F<sub>254</sub>, using a potassium permanganate solution as developing reagent. Merck silica gel 60 (particle size, 40 - 63 μm) was used for column chromatography. Optical rotations were measured at the sodium D line at 20 °C, the  $[\alpha]$  values being given in 10<sup>-1</sup> deg cm<sup>2</sup> g<sup>-1</sup>. Mass spectra (*m/z*) were recorded in ElectronSpray Ionisation (ESI+). <sup>1</sup>H NMR and proton-decoupled <sup>13</sup>C NMR spectra (CDCl<sub>3</sub> solutions) were recorded using AV-300, AC-300 or DPX-300 (<sup>1</sup>H, 300.13 MHz and <sup>13</sup>C, 75.5 MHz) spectrometers using the δ scale (ppm) for chemical shifts. Calibration was

made on the  $\text{CDCl}_3$  ( $^{13}\text{C}$ , 76.95 ppm) or the residual  $\text{CHCl}_3$  ( $^1\text{H}$ , 7.26 ppm), and  $J$  values are given in Hz.

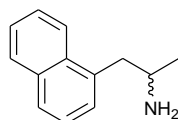
## 2. Synthetic procedures and spectroscopical data for novel compounds

### 2.1. 1-(Triphenylmethyl)-1*H*-imidazole-4-carbaldehyde **1e**

To a solution of 1*H*-imidazole-4-carbaldehyde (400 mg, 4.16 mmol) in anhydrous dichloromethane (21  $\text{cm}^3$ ), triethylamine (0.636  $\text{cm}^3$ , 4.58 mmol) was added under nitrogen atmosphere, and the resulting solution cooled at 0 °C. Then, triphenylmethyl chloride (1.28 g, 4.58 mmol) was added. The reaction mixture was stirred at room temperature for 1.5 h until complete consumption of the starting material (analysis by TLC, methanol/dichloromethane 1:9 as eluent). The solvent was evaporated and the crude material purified by flash chromatography (ethyl acetate/hexane 1:1) to yield the trityl derivative **1e** (1.38 g, 98%) as a white solid. Mp: 193-196 °C (lit.,<sup>1</sup> 193-196 °C).

### 2.2. Full characterization of racemic 1-(hetero)arylpropan-2-amines **3a-e**

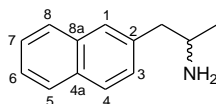
#### (±)-1-(1-Naphthyl)propan-2-amine **3a**



Yield, 74%; yellow oil;  $\delta_{\text{H}}$ (300 MHz,  $\text{CDCl}_3$ ) 1.21 (3 H, d,  $J$  6.4,  $\text{CH}_3$ ), 1.67 (2 H, br s,  $\text{NH}_2$ ), 2.96 (1 H, dd,  $J$  13.4 and 8.0,  $\text{CHH}$ ), 3.22 (1 H, dd,  $J$  13.4 and 5.2,  $\text{CHH}$ ), 3.32-3.44 (1 H, m, CH), 7.34-7.55 (4 H, m), 7.75 (1 H, d,  $J$  7.8), 7.86 (1 H, dd,  $J$  7.2 and 3.0), 8.05 (1 H, d,  $J$  8.2);  $\delta_{\text{C}}$ (75.5 MHz,  $\text{CDCl}_3$ ) 23.7 ( $\text{CH}_3$ ), 43.5 ( $\text{CH}_2$ ), 47.6 (N-CH), 123.8 (CH), 125.3 (CH), 125.4 (CH), 125.7 (CH), 127.0 (CH), 127.2 (CH), 128.7 (CH), 132.0 (C), 133.9 (C), 135.7 (C); HRMS (ESI+) calcd. for  $\text{C}_{13}\text{H}_{16}\text{N}$  ( $[\text{M}+\text{H}]^+$ ): 186.1277; found: 186.1272.

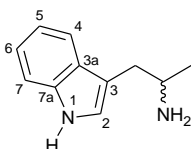
<sup>1</sup> B.-C. Chen, A. P. Skoumbourdis, J. E. Sundeen, G. C. Rovnyak, S. C. Traeger, *Org. Process Res. Dev.*, **2000**, *4*, 613–614.

**(±)-1-(2-Naphthyl)propan-2-amine 3b**



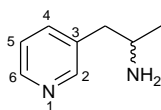
Yield, 72%; pale yellow oil;  $\delta_{\text{H}}$ (300 MHz,  $\text{CDCl}_3$ ) 1.17 (3 H, d,  $J$  6.0,  $\text{CH}_3$ ), 1.61 (2 H, br s,  $\text{NH}_2$ ), 2.68 (1 H, dd,  $J$  13.2 and 8.0,  $\text{CHH}$ ), 2.88 (1 H, dd,  $J$  13.2 and 5.4,  $\text{CHH}$ ), 3.27 (1 H, m, N-CH), 7.33 (1 H, d,  $J$  7.8, H-3), 7.42-7.50 (2 H, m), 7.64 (1 H, s), 7.78-7.84 (3 H, m);  $\delta_{\text{C}}$ (75.5 MHz,  $\text{CDCl}_3$ ) 23.4 ( $\text{CH}_3$ ), 46.6 ( $\text{CH}_2$ ), 48.2 (CH), 125.2 (CH), 125.8 (CH), 127.3 (CH), 127.5 (CH), 127.6 (CH), 127.9 (CH), 132.0 (C), 133.4 (C), 137.1 (C); HRMS (ESI+) calcd. for  $\text{C}_{13}\text{H}_{15}\text{N}$  [(M+H)<sup>+</sup>]: 186.1277; found: 186.1279.

**(±)-1-(1H-Indol-3-yl)propan-2-amine 3c**



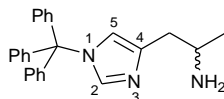
Yield, 68%; mp 86-90 °C;  $\delta_{\text{H}}$ (300 MHz,  $\text{CDCl}_3$ ) 1.22 (3 H, d,  $J$  6.4,  $\text{CH}_3$ ), 1.52 (2 H, br s,  $\text{NH}_2$ ), 2.69 (1 H, ddd,  $J$  14.3, 8.3 and 0.7,  $\text{CHH}$ ), 2.93 (1 H, ddd,  $J$  14.3, 5.0 and 1.0,  $\text{CHH}$ ), 3.29-3.38 (1 H, m, N-CH), 6.98 (1 H, br d,  $J$  1.0, H-2), 7.13-7.25 (2 H, m, H-5 and H-6), 7.35 (1 H, ddd,  $J$  7.9, 1.3 and 0.9, H-7), 7.66 (1 H, m, H-4), 8.91 (1 H, br s, NH);  $\delta_{\text{C}}$ (75.5 MHz,  $\text{CDCl}_3$ ) 23.6 ( $\text{CH}_3$ ), 35.8 ( $\text{CH}_2$ ), 47.2 (N-CH), 111.1 (CH), 113.3 (C-3), 118.8 (CH), 119.0 (CH), 121.7 (CH), 122.5 (CH), 127.6 (C-3a), 136.3 (C-7a); HRMS (ESI+) calcd. for  $\text{C}_{11}\text{H}_{15}\text{N}_2$  [(M+H)<sup>+</sup>]: 175.1230; found: 175.1230.

**(±)-1-(3-Pyridyl)propan-2-amine 3d**



Yield, 33%; pale yellow oil;  $\delta_{\text{H}}$ (300 MHz,  $\text{CDCl}_3$ ) 1.06 (3 H, d,  $J$  6.4,  $\text{CH}_3$ ), 2.4 (2 H, br s,  $\text{NH}_2$ ), 2.51 (1 H, dd,  $J$  13.5 and 7.7,  $\text{CHH}$ ), 2.62 (1 H, dd,  $J$  13.5 and 5.7,  $\text{CHH}$ ), 3.12 (1 H, sex,  $J$  6.2, N-CH), 7.14-7.18 (1 H, m, H-5), 7.45 (1 H, d,  $J$  7.9, H-4), 8.38-8.40 (2 H, m, H-2 and H-6);  $\delta_{\text{C}}$ (75.5 MHz,  $\text{CDCl}_3$ ) 23.0 ( $\text{CH}_3$ ), 43.1 ( $\text{CH}_2$ ), 48.0 (N-CH), 123.1 (C-5), 134.6 (C-3), 136.5 (C-4), 147.5 (C-2 or C-6), 150.3 (C-6 or C-2); HRMS (ESI+) calcd. for  $\text{C}_8\text{H}_{13}\text{N}_2$  [(M+H)<sup>+</sup>]: 137.1073; found: 137.1068.

### (±)-1-[1-(Triphenylmethyl)-1*H*-imidazol-4-yl]propan-2-amine **3e**

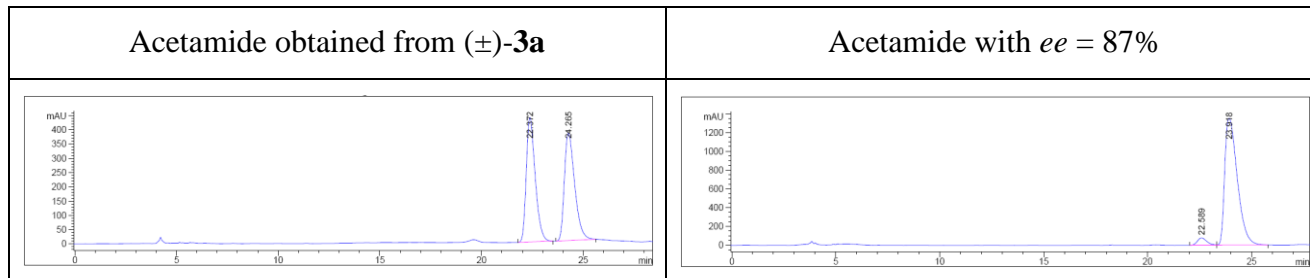


Yield, 44%; pale yellow semi-solid;  $\delta_{\text{H}}$ (300 MHz,  $\text{CDCl}_3$ ) 1.07 (3 H, d,  $J$  6.3,  $\text{CH}_3$ ), 2.47 (1 H, dd,  $J$  14.3 and 7.7,  $\text{CHH}$ ), 2.61 (1 H, dd,  $J$  14.3 and 5.5,  $\text{CHH}$ ), 3.12 (2 H, br s,  $\text{NH}_2$ ), 3.24 (1 H, sex,  $J$  6.4, N-CH), 6.56 (1 H, m, H-5), 7.09-7.33 (16 H, m);  $\delta_{\text{C}}$ (75.5 MHz,  $\text{CDCl}_3$ ) 22.0 ( $\text{CH}_3$ ), 37.5 ( $\text{CH}_2$ ), 47.0 (N-CH), 75.0 [ $\text{C}(\text{Ph})_3$ ], 119.1 (C-5), 127.9 (9 x CH, Ph), 129.6 (6 x CH, Ph), 138.5 (C-2), 138.8 (C-4), 142.4 (3 x C, Ph); HRMS (ESI+) calcd. for  $\text{C}_{25}\text{H}_{26}\text{N}_3$  [(M+H) $^+$ ]: 368.2121; found: 368.2117; calcd. for  $\text{C}_{25}\text{H}_{25}\text{N}_3\text{Na}$  [(M+Na) $^+$ ]: 390.1941; found: 390.1942.

### 2.3. Full characterization of optically active amines **3a-e**

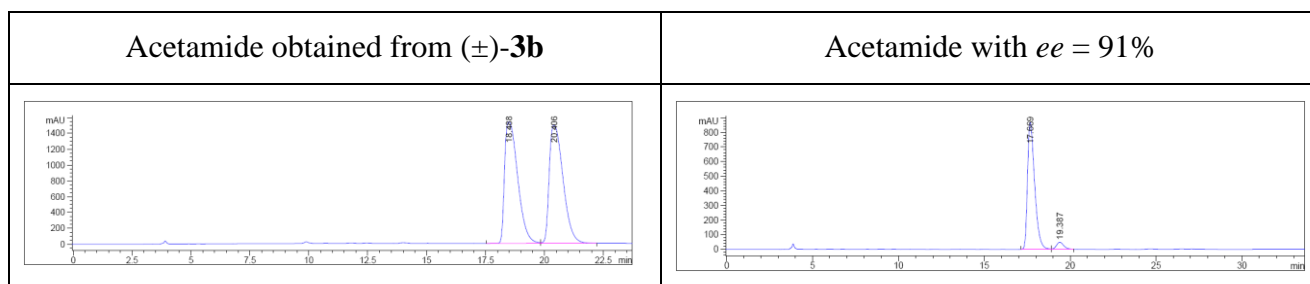
#### (*S*)-1-(1-Naphthyl)propan-2-amine **3a**

Yield: 81%;  $[\alpha]_{\text{D}}^{20} +57.9$  ( $c$  1 in  $\text{CHCl}_3$ ),  $ee = 87\%$ . HPLC conditions for its acetamide derivative: Chiralcel OJ-H,  $n$ -hexane/propan-2-ol 95:5, 0.8  $\text{cm}^3/\text{min}$ , 40  $^\circ\text{C}$ , UV 210 nm,  $t_{\text{R}} = 22.4$  (*R*) and 24.3 (*S*) min;  $R_s = 1.4$ .



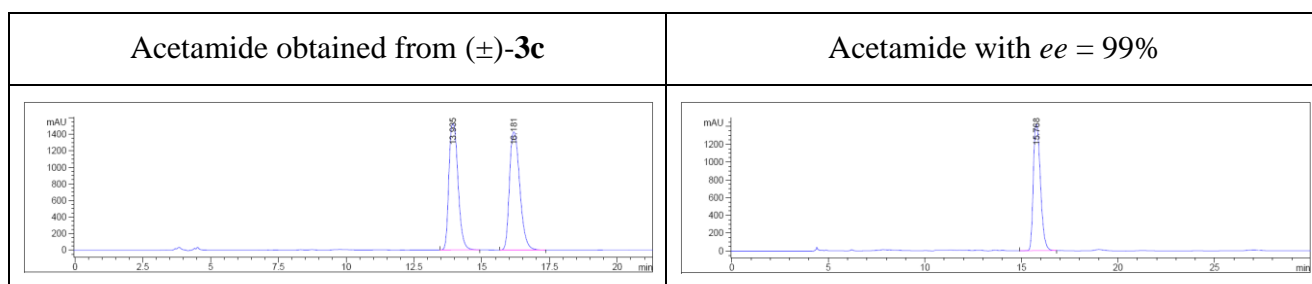
#### (*S*)-1-(2-Naphthyl)propan-2-amine **3b**

Yield: 96%;  $[\alpha]_{\text{D}}^{20} +21.6$  ( $c$  0.8 in  $\text{CHCl}_3$ ),  $ee = 91\%$ . HPLC conditions for its acetamide derivative: Chiralcel OJ-H,  $n$ -hexane/ propan-2-ol 90:10, 0.8  $\text{cm}^3/\text{min}$ , 20  $^\circ\text{C}$ , UV 210 nm,  $t_{\text{R}} = 18.5$  (*S*) and 20.4 (*R*) min;  $R_s = 1.9$ .



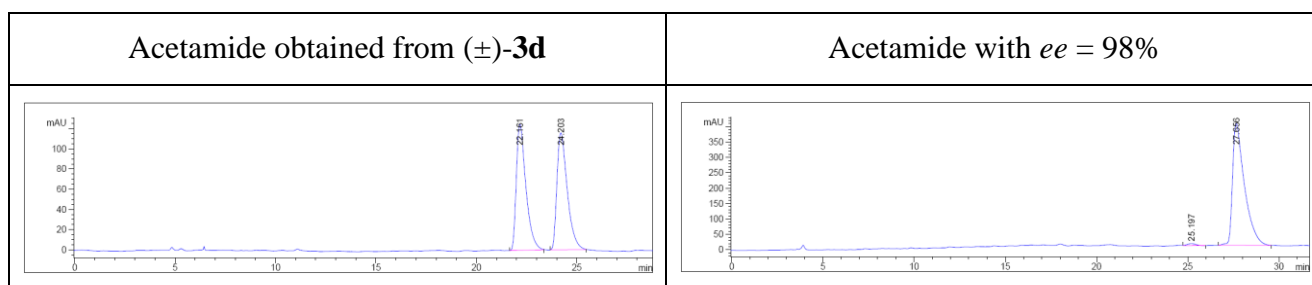
### (S)-1-(1*H*-Indol-3-yl)propan-2-amine **3c**

Yield: 81%;  $[\alpha]_D^{20} +20.8$  (*c* 1 in MeOH), *ee* = 99%. HPLC conditions for its acetamide derivative: Chiralcel OJ-H, *n*-hexane/ethanol 85:15, 0.8 cm<sup>3</sup>/min, 40 °C, UV 210 nm, *t*<sub>R</sub> = 13.9 (*R*) and 16.2 (*S*) min; *R*<sub>s</sub> = 2.9.



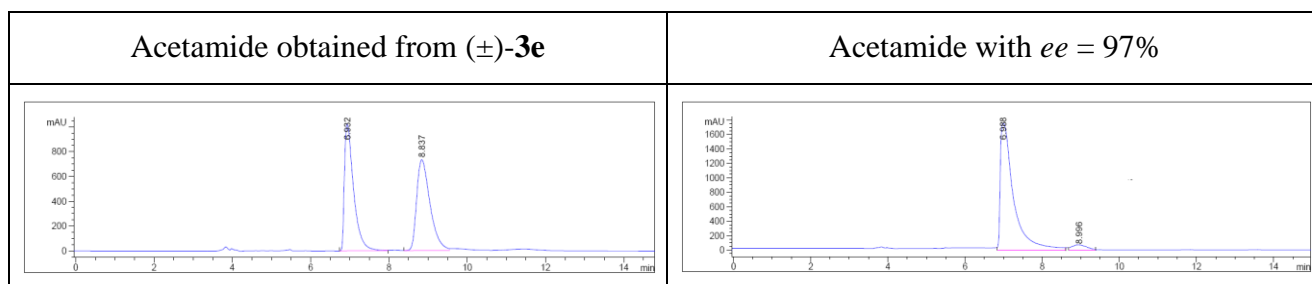
### (S)-1-(3-Pyridyl)propan-2-amine **3d**

Yield: 36%;  $[\alpha]_D^{20} +8.0$  (*c* 0.25 in CHCl<sub>3</sub>), *ee* = 98%. HPLC conditions for its acetamide derivative: Chiralcel OJ-H, *n*-hexane/ethanol 97:3, 0.8 cm<sup>3</sup>/min, 40 °C, UV 210 nm, *t*<sub>R</sub> = 22.2 (*R*) and 24.2 (*S*) min; *R*<sub>s</sub> = 2.0.



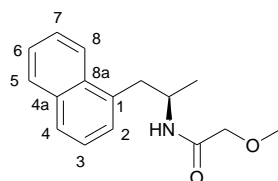
### (S)-1-[1-(Triphenylmethyl)-1*H*-imidazol-4-yl]propan-2-amine **3e**

Yield: 71%;  $[\alpha]_D^{20} +6.3$  (*c* 1 in CHCl<sub>3</sub>), *ee* = 97%. HPLC conditions for its acetamide derivative: Chiralcel OJ-H, *n*-hexane/ethanol 95:5, 0.8 cm<sup>3</sup>/min, 40 °C, UV 210 nm, *t*<sub>R</sub> = 6.9 (*S*) and 8.8 (*R*) min; *R*<sub>s</sub> = 3.1.

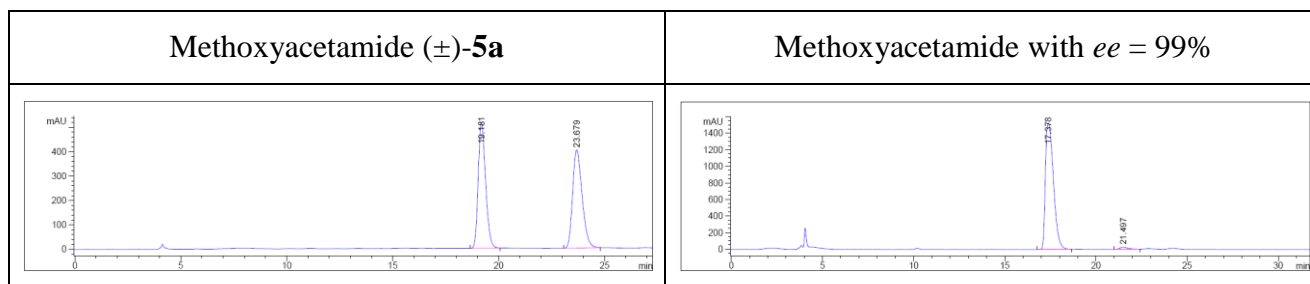


## 2.4. Full characterization of optically active amides 5a-e

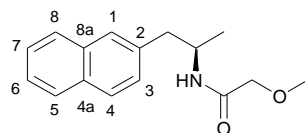
### (R)-N-[1-(1-Naphthyl)propan-2-yl]-2-methoxyacetamide 5a



Yield, 99%; mp 73-76 °C;  $[\alpha]_D^{20}$  -30.7 (*c* 1 in CHCl<sub>3</sub>), *ee* = 99%;  $\delta_H$ (300 MHz, CDCl<sub>3</sub>) 1.17 (3 H, d, *J* 6.6, CH<sub>3</sub>), 2.99 (1 H, dd, *J* 8.3 and 13.6, CHH-Ar), 3.33 (3 H, s, O-CH<sub>3</sub>), 3.54 (1 H, dd, *J* 5.5 and 13.6, CHH-Ar), AB system ( $\delta_A = 3.83$ ,  $\delta_B = 3.89$ ,  $|^2J_{A,B}| = 15.1$ , O-CH<sub>2</sub>), 4.44 (1 H, m, CH), 6.50 (1 H, br d, *J* 7.0, NH-CO), 7.27-7.60 (4 H, m), 7.75 (1 H, d, *J* 8.1), 7.85 (1 H, d, *J* 7.9) and 8.31 (1 H, d, *J* 8.6);  $\delta_C$ (75.5 MHz, CDCl<sub>3</sub>) 19.9 (CH<sub>3</sub>), 39.9 (Ar-CH<sub>2</sub>), 45.4 (N-CH), 58.9 (O-CH<sub>3</sub>), 71.8 (O-CH<sub>2</sub>), 124.1 (CH), 125.1 (CH), 125.5 (CH), 126.0 (CH), 127.2 (CH), 127.4 (CH), 128.5 (CH), 132.2 (C), 133.8 (C), 134.2 (C) and 169.0 (C=O); HRMS (ESI+) *m/z* calcd for C<sub>16</sub>H<sub>19</sub>NNaO<sub>2</sub> ([M+Na]<sup>+</sup>): 280.1308; found: 280.1319; *m/z* calcd for C<sub>16</sub>H<sub>20</sub>NO<sub>2</sub> ([M+H]<sup>+</sup>): 258.1489; found: 258.1478; HPLC conditions: Chiralcel OJ-H, *n*-hexane/propan-2-ol 95:5, 0.8 cm<sup>3</sup>/min, 40 °C, UV 210 nm, *t*<sub>R</sub> = 19.2 (*R*) and 23.7 (*S*) min; *R*<sub>s</sub> = 5.3.

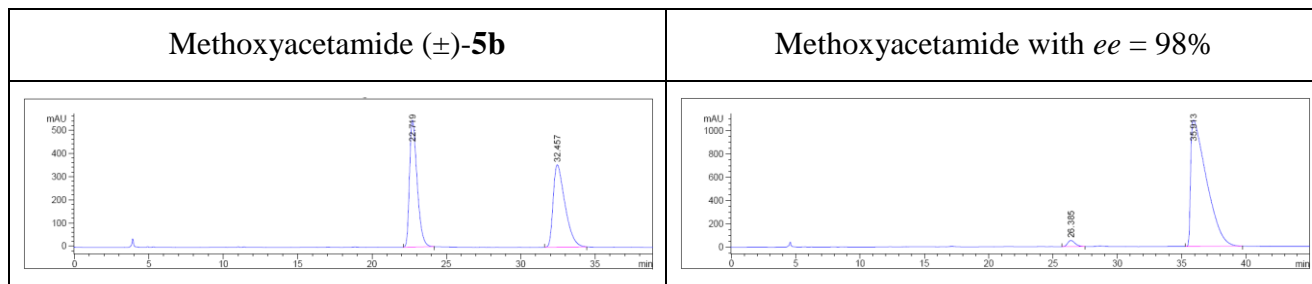


### (R)-N-[1-(2-Naphthyl)propan-2-yl]-2-methoxyacetamide 5b

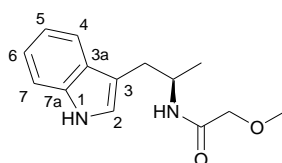


Yield, 99%; pale yellow semi-solid;  $[\alpha]_D^{20}$  +18.0 (*c* 1 in CHCl<sub>3</sub>), *ee* = 98%;  $\delta_H$ (300 MHz, CDCl<sub>3</sub>) 1.17 (3 H, d, *J* 6.6, CH<sub>3</sub>), 2.87 (1 H, dd, *J* 7.3 and 13.5, CHH-Ar), 3.03 (1 H, dd, *J* 6.1 and 13.5, CHH-Ar), 3.31 (3 H, s, O-CH<sub>3</sub>), AB system ( $\delta_A = 3.80$ ,  $\delta_B = 3.86$ ,  $|^2J_{A,B}| = 15.1$ , O-CH<sub>2</sub>), 4.40 (1 H, m, CH), 6.46 (1 H, br d, *J* 7.7, NH-CO), 7.34 (1 H, d, *J* 8.4, H-3), 7.37-7.52 (2 H, m), 7.63 (1 H, s, H-1), 7.70-7.90 (3H, m);  $\delta_C$ (75.5 MHz, CDCl<sub>3</sub>) 19.9 (CH<sub>3</sub>), 42.6 (Ar-CH<sub>2</sub>), 45.5 (N-CH), 59.0 (O-CH<sub>3</sub>), 71.8 (O-CH<sub>2</sub>), 125.3 (CH), 125.9 (CH), 127.4 (CH), 127.5 (CH), 127.66 (CH), 127.70 (CH), 127.9 (CH), 132.1 (C), 133.4 (C), 135.4 (C) and 168.7 (C=O); HRMS (ESI+) *m/z* calcd for

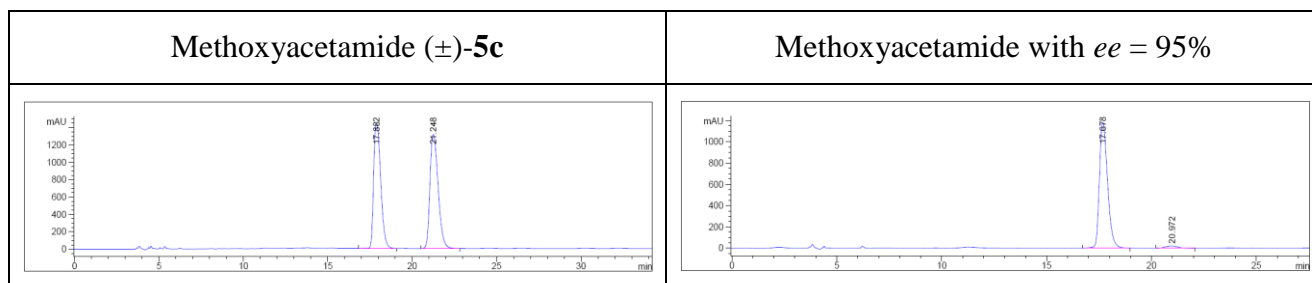
$C_{16}H_{19}NNaO_2$  ( $[M+Na]^+$ ):280.1308; found: 280.1314;  $m/z$  calcd for  $C_{16}H_{20}NO_2$  ( $[M+H]^+$ ):258.1489; found: 258.1477; HPLC conditions: Chiralcel OJ-H, *n*-hexane/propan-2-ol 90:10, 0.8 cm<sup>3</sup>/min, 20 °C, UV 210 nm,  $t_R = 22.7$  (*S*) and 32.5 (*R*) min;  $R_s = 7.1$ .



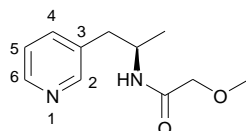
### (*R*)-*N*-[1-(1*H*-Indol-3-yl)propan-2-yl]-2-methoxyacetamide **5c**



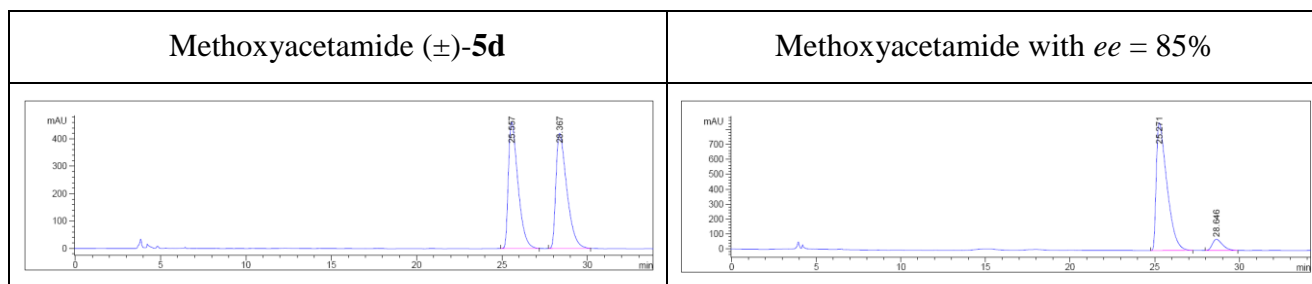
Yield, 76%; brown oil;  $[\alpha]_D^{20} +17.6$  ( $c$  1 in  $CHCl_3$ ),  $ee = 95\%$ ;  $\delta_H$ (300 MHz,  $CDCl_3$ ) 1.20 (3 H, d,  $J$  6.6,  $CH_3$ ), part AB of an ABX system ( $\delta_A = 2.91$ ,  $\delta_B = 3.00$ ,  $|^2J_{A,B}| = 14.5$ ,  $^3J_{A,X} = 6.9$ ,  $^3J_{B,X} = 5.7$ ,  $CHH-CH$ ), 3.29 (3H, s, O- $CH_3$ ), MN system ( $\delta_M = 3.82$ ,  $\delta_N = 3.87$ ,  $|^2J_{M,N}| = 15.0$ , O- $CH_2$ ), 4.41 (1 H, m, CH), 6.52 (1 H, br d,  $J$  7.7, NH-CO), 7.00 (1 H, d,  $J$  2.4, H-2), 7.11 [1 H, dt,  $J$  1.1 (d) and 7.9 (t), H-5 or H-6], 7.18 [1 H, dt,  $J$  1.3 (d) and 7.9 (t), H-6 or H-5], 7.35 (1 H, br d,  $J$  7.9, H-7), 7.65 (1 H, br d,  $J$  7.9, H-4) and 8.49 (1 H, br s, NH of indol);  $\delta_C$ (75.5 MHz,  $CDCl_3$ ) 20.3 ( $CH_3$ ), 31.9 (Ar- $CH_2$ ), 45.2 (N-CH), 58.9 (O- $CH_3$ ), 71.9 (O- $CH_2$ ), 111.0 (CH), 111.7 (C-3), 118.8 (CH), 119.2 (CH), 121.8 (CH), 122.6 (CH), 127.8 (C-3a), 136.2 (C-7a) and 168.8 (C=O); HRMS (ESI+) calcd. for  $C_{14}H_{19}N_2O_2$  ( $[M+H]^+$ ): 247.1441; found: 247.1442; calcd. for  $C_{14}H_{18}N_2NaO_2$  ( $[M+Na]^+$ ): 269.1260; found: 269.1272; HPLC conditions: Chiralcel OJ-H, *n*-hexane/ethanol 85:15, 0.8 cm<sup>3</sup>/min, 40 °C, UV 210 nm,  $t_R = 17.9$  (*R*) and 21.2 (*S*) min;  $R_s = 3.3$ .



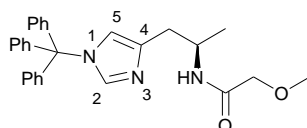
**(R)-N-[1-(3-Pyridyl)propan-2-yl]-2-methoxyacetamide 5d**



Yield, 96%; brown oil;  $[\alpha]_D^{20} +14.1$  (*c* 1 in  $\text{CHCl}_3$ ), *ee* = 85%;  $\delta_{\text{H}}$ (300 MHz,  $\text{CDCl}_3$ ) 1.13 (3 H, d, *J* 6.6,  $\text{CH}_3$ ), part AB of an ABX system ( $\delta_{\text{A}} = 2.73$ ,  $\delta_{\text{B}} = 2.82$ ,  $|^2J_{\text{A,B}}| = 13.7$ ,  $^3J_{\text{A,X}} = 7.0$ ,  $^3J_{\text{B,X}} = 6.3$ , *CHH-CH*), 3.33 (3H, s, O- $\text{CH}_3$ ), MN system ( $\delta_{\text{M}} = 3.77$ ,  $\delta_{\text{N}} = 3.81$ ,  $|^2J_{\text{M,N}}| = 15.1$ , O- $\text{CH}_2$ ), 4.26 (1 H, m, CH), 6.38 (1 H, br d, *J* 6.8, NH-CO), 7.21 (1 H, dd, *J* 4.6 and 7.7, H-5), 7.52 (1 H, d, *J* 7.7, H-4) and 8.43 (2 H, br s, H-2 and H-6);  $\delta_{\text{C}}$ (75.5 MHz,  $\text{CDCl}_3$ ) 19.8 ( $\text{CH}_3$ ), 39.6 (Ar- $\text{CH}_2$ ), 45.2 (N-CH), 59.0 (O- $\text{CH}_3$ ), 71.7 (O- $\text{CH}_2$ ), 123.3 (C-5), 133.4 (C-3), 136.7 (C-4), 147.7 (C-6 or C-2), 150.2 (C-2 or C-6) and 168.7 (C=O); HRMS (ESI+) *m/z* calcd for  $\text{C}_{11}\text{H}_{16}\text{N}_2\text{NaO}_2$  ( $[\text{M}+\text{Na}]^+$ ): 231.1104; found: 231.1103. HPLC conditions: Chiralcel OJ-H, *n*-hexane/ethanol 97:3, 0.8  $\text{cm}^3/\text{min}$ , 40 °C, UV 210 nm,  $t_{\text{R}} = 25.6$  (*R*) and 28.4 (*S*) min;  $R_{\text{s}} = 2.2$ .



**(R)-N-[1-(1-Triphenylmethyl-1*H*-imidazol-4-yl)propan-2-yl]-2-methoxyacetamide 5e**



Yield, 83%; mp 149-153 °C;  $[\alpha]_D^{20} +15.0$  (*c* 1 in  $\text{CHCl}_3$ ), *ee* = 96%;  $\delta_{\text{H}}$ (300 MHz,  $\text{CDCl}_3$ ) 1.12 (3 H, d, *J* 6.6,  $\text{CH}_3$ ), part AB of an ABX system ( $\delta_{\text{A}} = 2.78$ ,  $\delta_{\text{B}} = 2.66$ ,  $|^2J_{\text{A,B}}| = 14.6$ ,  $^3J_{\text{A,X}} = 5.9$ ,  $^3J_{\text{B,X}} = 5.2$ , *CHH-CH*), 3.36 (3H, s, O- $\text{CH}_3$ ), MN system ( $\delta_{\text{M}} = 3.78$ ,  $\delta_{\text{N}} = 3.85$ ,  $|^2J_{\text{M,N}}| = 15.0$ , O- $\text{CH}_2$ ), 4.29 (1 H, m, CH), 6.62 (1 H, s, H-5), 7.00-7.50 (17 H, m);  $\delta_{\text{C}}$ (75.5 MHz,  $\text{CDCl}_3$ ) 20.0 ( $\text{CH}_3$ ), 34.0 (Ar- $\text{CH}_2$ ), 44.4 (N-CH), 59.1 (O- $\text{CH}_3$ ), 72.1 (O- $\text{CH}_2$ ), 75.2 [ $\text{C}(\text{Ph})_3$ ], 119.4 (C-5), 128.0 (9 x CH, Ph), 129.6 (6 x CH, Ph), 137.5 (C-4), 138.3 (C-2), 142.3 (3 x C, Ph) and 168.6 (C=O); HRMS (ESI+) *m/z* calcd. for  $\text{C}_{28}\text{H}_{30}\text{N}_3\text{O}_2$  ( $[\text{M}+\text{H}]^+$ ): 440.2333; found: 440.2332; calcd. for  $\text{C}_{28}\text{H}_{29}\text{N}_3\text{NaO}_2$  ( $[\text{M}+\text{Na}]^+$ ): 462.2152; found: 462.2154; HPLC conditions: Chiralcel OJ-H, *n*-hexane/ethanol 95:5, 0.8  $\text{cm}^3/\text{min}$ , 40 °C, UV 210 nm,  $t_{\text{R}} = 9.4$  (*S*) and 14.0 (*R*) min;  $R_{\text{s}} = 3.4$ .



