Supporting Information

Efficient Access to Chiral 1,2-Amino Alcohols *via* Ir/f-Amphox-Catalyzed Asymmetric Hydrogenation of α-Amino Ketones

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1. General remarks:

All reactions and manipulations which are sensitive to moisture or air were performed in an argon-filled glovebox or using standard Schlenk techniques. Hydrogen gas (99.999%) was purchased from Shanghai Regulator Factory Co., Ltd. Anhydrous i-PrOH and EtOH were purchased from J&K. Anhydrous CF₃CH₂OH were purchased from Sigma-Aldrich, Anhydrous THF, 1,4-dioxane and hexane was distilled from sodium benzophenone ketyl. Anhydrous ClCH₂CH₂Cl were freshly distilled from calcium hydride. Na₂CO₃, K₂CO₃, Cs₂CO₃, NaHCO₃, NaOH, KOH, t-BuONa and t-BuOK was purchased from J&K. [Ir(COD)Cl]₂ was prepared according to the literature.¹⁻² ¹H, ¹³C and ³¹P NMR spectra were recorded with a Bruker ADVANCE III (400 MHz) spectrometer with CDCl₃ as the solvent and tetramethylsilane (TMS) as the internal standard. Chemical shifts are reported in parts per million (ppm, δ scale) downfield from TMS at 0.00 ppm and referenced to the CDCl₃ at 7.26 ppm (for ¹H NMR) or 77.0 ppm (for ¹³C NMR). Data are reported as: multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet), coupling constant in hertz (Hz) and signal area integration in natural numbers. ¹³C NMR and ³¹P NMR analyses were run with decoupling. Optical rotations $[\alpha]_D$ were determined using a PERKIN ELMER polarimeter 343 instrument. HPLC analyses were performed using Daicel chiral column.

All the α -amino ketones were prepared according the literature.³⁻⁷ The absolute configuration of products were determined by comparison of analytical data with the literature (HPLC spectra, optical rotation).^{6,9-12} The absolute configuration of others were assigned by analogy.

2. General procedure for the preparation of α-amino ketones.



Step 1:³⁻⁴ N-bromosuccinimide was added to the stirred solution of acetophenone in acetonitrile. The resulting reaction mixture was stirred for 10-15 minutes. After that p-TsOH·H₂O was added to the reaction mixture and refluxed for 8 h and monitored by TLC. After completion of the reaction, reaction content was brought to room temperature and washed with saturated solution of sodium bicarbonate and extracted with ethyl acetate, organic layer was dried over sodium sulphate and concentrated under reduced pressure. The obtained residues were used for the next step directly.

Step 2:⁵⁻⁷ The obtained residues of step 1 was added to the stirred solution of NHR¹R² in diethylether, then stirred for 3-5 h and monitored by TLC. After completion of the reaction, the mixture was filtered and removed the solvent under reduced pressure. The residue was washed with saturated solution of sodium bicarbonate and the aqueous phase was extracted with ethyl

acetate. The combined organic extractions were dried over Na_2SO_4 and concentrated under reduced pressure to yield a residue. The residue was purified by flash chromatography on silica gel with ethyl acetate to get the corresponding α -amino ketones. The obtained α -amino ketone was then treated with hydrochloric acid and recrystallized in hot anhydrous EtOH to give the corresponding hydrochloride as a white crystalline solid.

3. Asymmetric hydrogenation of α-amino ketones:^{3,6,8}



General procedure (at S/C = 50 000): To a 4.0 mL vial was added the catalyst precursor $[Ir(COD)Cl]_2$ (1.4 mg, 2.0×10⁻³ mmol), ligand L1 (2.4 mg, 4.2×10⁻³ mmol) and anhydrous 'PrOH (2.0 mL) in the argon-filled glovebox. The mixture was stirred for 2.0 h at 25 °C giving orange red solution. And then 0.2 mmol of α -amino ketone (as a hydrochloride), *t*-BuOK (29.2 mg, 1.3 eq.) were added into a 5 mL hydrogenation vessel. 1.0 mL anhydrous *i*-PrOH was added as solvent and a solution of Ir/f-amphox L1 in anhydrous *i*-PrOH (2.0 µL) was added *via* an injection port. Then the vessel was placed in an autoclave, closed it and moved it out from golvebox. The autoclave quickly purged with hydrogen gas for three times, then pressurized to 40 atm H₂. The reaction solution was stirred at room temperature (25 °C-30 °C) until for 12 h, then released pressure carefully. The solution of reaction mixture was purified by a flash chromatography on a silical gel with ethyl acetate and the solvent was removed under reduced pressure. The ee value was determined by chiral HPLC analysis of the chiral amino alcohol directly. The characterization data of compounds **2a-2n** are in accordance with the reported data in the literature.^{6,9-12}

(S)-2-(Benzyl(methyl)amino)-1-phenylethanol (2a)



Light yellow oil; >99% yield; >99% ee. The enantiomeric excess was determined by HPLC on Chiracel OJ-H column, 210 nm, 25 °C, *n*-hexane: *i*-PrOH = 95:5; flow 1.0 mL/min; t_R (major) = 14.3 min, t_R (minor) = 16.8 min. $[\alpha]_D^{25} = 50.6$ (*c* 1.0, EtOH). ¹H NMR (400 MHz, CDCl₃) δ 7.28-7.16 (m, 10H), 4.67 (dd, *J* = 10.4, 3.6 Hz, 1H), 3.67 (d, *J* = 13.1 Hz, 1H), 3.46 (d, *J* = 13.1 Hz, 1H), 2.53-2.43 (m, 2H), 2.24 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 142.16, 138.17, 129.11, 128.46, 127.52, 127.39, 125.94, 69.39, 65.50, 62.38, 41.75.

(S)-2-(Benzyl(methyl)amino)-1-(3-methylphenyl)ethanol (2b)



Light yellow oil; >99% yield; >99% ee. The enantiomeric excess was determined by HPLC on Chiracel OJ-H column, 210 nm, 25 °C, *n*-hexane: *i*-PrOH = 95:5; flow 1.0 mL/min; t_R (major) = 11.3 min, t_R (minor) = 12.8 min. $[\alpha]_D^{25} = 22.4$ (*c* 0.5, EtOH). ¹H NMR (400 MHz, CDCl₃) δ 7.43 -7.24 (m, 5H), 7.23-7.03 (m, 4H), 4.72 (dd, *J* = 10.5, 3.4 Hz, 1H), 3.74 (d, *J* = 13.1 Hz, 1H), 3.53 (d, *J* = 13.1 Hz, 1H), 2.58-2.50 (m, 1H), 2.34 (s, 3H), 2.31 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 142.08, 138.02, 129.12, 127.38, 126.58, 123.03, 69.39, 65.56, 62.39, 41.71, 21.51.

(S)-2-(Benzyl(methyl)amino)-1-(4-methylphenyl)ethanol (2c)



Light yellow oil; >99% yield; >99% ee. The enantiomeric excess was determined by HPLC on Chiracel OJ-H column, 210 nm, 25 °C, *n*-hexane: *i*-PrOH = 85:15; flow 1.0 mL/min; t_R (major) = 7.7 min, t_R (minor) = 8.7 min. $[\alpha]_D^{25}$ = 63.25 (*c* 1.2, EtOH). ¹H NMR (400 MHz, CDCl₃) δ 7.32-7.23 (m, 7H), 7.14 (d, *J* = 7.9 Hz, 2H), 4.72 (dd, *J* = 10.5, 3.4 Hz, 1H), 3.74 (d, *J* = 13.1 Hz, 1H), 3.52 (d, *J* = 13.1 Hz, 1H), 2.51-2.43 (m, 2H), 2.33 (s, 3H), 2.31 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 139.12, 138.18, 137.15, 129.11, 129.06, 128.44, 127.37, 125.90, 69.24, 65.56, 62.38, 41.73, 21.19.

(S)-2-(Benzyl(methyl)amino)-1-(2-methoxyphenyl)ethanol (2d)



Light yellow oil; >99% yield; >99% ee. The enantiomeric excess was determined by HPLC on Chiracel OJ-H column, 210 nm, 25 °C, *n*-hexane: *i*-PrOH = 95:5; flow 1.0 mL/min; t_R (major) = 13.3 min, t_R (minor) = 15.3 min. $[\alpha]_D^{25}$ = 84.08 (*c* 1.2, EtOH). ¹H NMR (400 MHz, CDCl₃) δ 7.52 (dd, *J* = 7.5, 1.3 Hz, 1H), 7.42-7.18 (m, 6H), 6.97-6.95 (m, 1H), 6.83 (d, *J* = 8.2 Hz, 1H), 5.16 (dd, *J* = 10.0, 3.1 Hz, 3H), 3.87 (brs, 1H), 3.79 (s, 3H), 3.75 (d, *J* = 13.1 Hz, 1H), 3.53 (d, *J* = 13.1 Hz, 1H), 2.69 (dd, *J* = 12.3, 3.2 Hz, 1H), 2.50-2.47 (m, 1H), 2.32 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 156.25, 138.21, 130.39, 129.22, 128.38, 128.11, 127.29, 126.51, 120.81, 110.07, 64.49, 63.44, 62.16, 55.30, 41.97.

(S)-2-(Benzyl(methyl)amino)-1-(3-methoxyphenyl)ethanol (2e)



Light yellow oil; >99% yield; >99% ee. The enantiomeric excess was determined by HPLC on Chiracel OJ-H column, 210 nm, 25 °C, *n*-hexane: *i*-PrOH = 85:15; flow 1.0 mL/min; t_R (major) = 10.9 min, t_R (minor) = 11.5 min. $[\alpha]_D^{25}$ = 44.40 (*c* 0.5, EtOH). ¹H NMR (400 MHz, CDCl₃) δ 7.39-7.32 (m, 3H), 7.31-7.19 (m, 3H), 6.93-6.90 (m, 2H), 6.82-6.79 (m, 1H), 4.73 (dd, *J* = 10.2, 3.7 Hz, 1H), 3.80 (s, 3H), 3.74 (d, *J* = 13.1 Hz, 1H), 3.53 (d, *J* = 13.1 Hz, 1H), 2.60-2.51 (m, 2H), 2.31 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 159.73, 143.94, 138.15, 129.36, 129.11, 128.45, 127.38, 118.22, 113.13, 111.17, 69.27, 65.41, 62.36, 55.24, 41.74.

(S)-2-(Benzyl(methyl)amino)-1-(4-methoxyphenyl)ethanol (2f)



Light yellow oil; 99% yield; >99% ee. The enantiomeric excess was determined by HPLC on Chiracel OJ-H column, 220 nm, 25 °C, *n*-hexane: *i*-PrOH = 85:15; flow 1.0 mL/min; t_R (major) = 14.6 min, t_R (minor) = 17.7 min. $[\alpha]_D^{25}$ = 46.40 (*c* 1.0, EtOH). ¹H NMR (400 MHz, CDCl₃) δ 7.36-7.28 (m, 7H), 6.92-6.85 (m, 2H), 4.70 (dd, *J* = 10.6, 3.3 Hz, 1H), 3.79 (d, *J* = 5.6 Hz, 3H), 3.81-3.72 (m, 1H), 3.53 (d, *J* = 13.1 Hz, 1H), 2.62-2.56 (m, 1H), 2.48 (dd, *J* = 12.4, 3.4 Hz, 1H), 2.31 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 159.04, 138.22, 134.16, 129.09, 128.43, 127.35, 127.20, 113.76, 69.02, 65.52, 62.38, 55.30, 41.74.

(S)-2-(benzyl(methyl)amino)-1-(3-fluorophenyl)ethanol (2g)

Light yellow oil; >99% yield; >99% ee. The enantiomeric excess was determined by HPLC on Chiracel OJ-H column, 210 nm, 25 °C, *n*-hexane: *i*-PrOH = 97:3; flow 1.0 mL/min; t_R (major) = 15.2 min, t_R (minor) = 16.2 min. $[\alpha]_D^{25}$ = 48.80 (*c* 1.0, EtOH). ¹H NMR (400 MHz, CDCl₃) δ 7.45-7.21 (m, 6H), 7.10-7.08 (m, 2H), 6.98-6.88 (m, 1H), 4.73 (dd, *J* = 8.7, 5.3 Hz, 1H), 3.74 (d, *J* = 13.0 Hz, 1H), 3.53 (d, *J* = 13.1 Hz, 1H), 2.56-2.52 (m, 2H), 2.32 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 162.99 (d, *J* = 246.4 Hz), 144.99 (d, *J* = 7.1 Hz), 137.99, 129.08, 128.48, 127.46, 121.41 (d, *J* = 7.1 Hz), 114.28 (d, *J* = 22.22 Hz), 112.81 (d, *J* = 22.22 Hz), 68.80, 65.20, 62.34, 41.75.

(S)-2-(Benzyl(methyl)amino)-1-(2-chlorophenyl)ethanol (2h)



Light yellow oil; >99% yield; >99% ee. The enantiomeric excess was determined by HPLC on Chiracel AD-H column, 210 nm, 25 °C, *n*-hexane: *i*-PrOH = 98:2; flow 0.3 mL/min; t_R (minor) = 32.9 min, t_R (major) = 36.0 min. $[\alpha]_D^{25} = 100.1$ (*c* 1.0, EtOH). ¹H NMR (400 MHz, CDCl₃) δ

7.54 (dd, J = 7.7, 1.3 Hz, 1H), 7.34-7.07 (m, 8H), 5.10 (dd, J = 10.3, 2.9 Hz, 1H), 3.92 (brs, 1H), 3.69 (d, J = 13.1 Hz, 1H), 3.44 (d, J = 13.1 Hz, 1H), 2.68-2.65 (m, 1H), 2.35-2.32 (m, 1H), 2.26 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 139.57, 138.16, 131.72, 129.20, 129.13, 128.47, 128.42, 127.40, 127.34, 127.18, 66.49, 63.22, 62.25, 41.85.

(S)-2-(Benzyl(methyl)amino)-1-(4-chlorophenyl)ethanol (2i)



White solid; >99% yield; >99% ee. The enantiomeric excess was determined by HPLC on Chiracel OJ-H column, 220 nm, 25 °C, *n*-hexane: *i*-PrOH = 85:15; flow 1.0 mL/min; t_R (major) = 7.8 min, t_R (minor) = 8.3 min. $[\alpha]_D^{25}$ = 43.00 (*c* 1.0, EtOH). ¹H NMR (400 MHz, CDCl₃) δ 7.38-7.26 (m, 9H), 4.71 (dd, *J* = 9.6, 4.5 Hz, 1H), 3.74 (d, *J* = 13.0 Hz, 1H), 3.53 (d, *J* = 13.0 Hz, 1H), 2.56-2.48 (m, 2H), 2.32 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 140.69, 138.01, 133.09, 129.08, 128.50, 128.48, 127.44, 127.27, 68.76, 65.29, 62.35, 41.75.

(S)-2-(Benzyl(methyl)amino)-1-(3-bromophenyl)ethanol (2j)



Colorless oil; >99% yield; >99% ee. The enantiomeric excess was determined by HPLC on Chiracel OJ-H column, 210 nm, 25 °C, *n*-hexane: *i*-PrOH = 97:3; flow 1.0 mL/min; t_R (minor) = 18.2 min, t_R (major) = 20.2 min. $[\alpha]_D^{25}$ = 29.41 (*c* 1.0, EtOH). ¹H NMR (400 MHz, CDCl₃) δ 7.52 (s, 1H), 7.42-7.23 (m, 7H), 7.19 (t, *J* = 7.7 Hz, 1H), 4.70 (dd, *J* = 8.6, 5.4 Hz, 1H), 3.73 (d, *J* = 13.1 Hz, 1H), 3.53 (d, *J* = 13.1 Hz, 1H), 2.60-2.49 (m, 2H), 2.31 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 144.63, 137.98, 130.54, 129.95, 129.08, 128.97, 128.49, 127.47, 124.50, 122.54, 68.76, 65.27, 62.35, 41.72.

(S)-2-(Benzyl(methyl)amino)-1-(4-bromophenyl)ethanol (2k)

White solid; >99% yield; >99% ee. The enantiomeric excess was determined by HPLC on Chiracel OJ-H column, 210 nm, 25 °C, *n*-hexane: *i*-PrOH = 95:5; flow 1.0 mL/min; t_R (major) = 16.1 min, t_R (minor) = 17.4 min. $[\alpha]_D^{25}$ = 38.40 (*c* 1.0, EtOH). ¹H NMR (400 MHz, CDCl₃) δ 7.37 (d, *J* = 8.2 Hz, 2H), 7.32-7.18 (m, 5H), 7.14 (d, *J* = 8.1 Hz, 2H), 4.62 (dd, *J* = 9.1, 4.5 Hz, 1H), 3.66 (d, *J* = 13.0 Hz, 1H), 3.45 (d, *J* = 13.0 Hz, 1H), 2.45-2.41 (m, 2H), 2.24 (s, 3H). ¹³C NMR

(101 MHz, CDCl₃) δ 140.18, 136.91, 130.37, 127.41, 126.56, 126.38, 120.13, 67.73, 64.15, 61.27, 40.68.

(S)-2-(tert-butylamino)-1-phenylethanol (2l)

White solid; >99% yield; >99% ee. The enantiomeric excess was determined by HPLC on Chiracel AD-H column, 210 nm, 25 °C, *n*-hexane: *i*-PrOH = 85:15; flow 1.0 mL/min; t_R (major) = 12.5 min, t_R (minor) = 15.6 min. HPLC conditions (To the corresponding benzoyl derivatives). [α]_D²⁵ = 25.9 (*c* 1.2, EtOH). ¹H NMR (400 MHz, CDCl₃) δ 7.51-7.45 (m, 2H), 7.40-7.28 (m, 3H), 5.45 (dd, *J* = 10.6, 2.0 Hz, 1H), 3.24 (dd, *J* = 12.2, 2.3 Hz, 1H), 3.06 (dd, *J* = 12.0, 10.8 Hz, 1H), 1.51 (s, 9H). ¹³C NMR (101 MHz, CDCl₃) δ 139.87, 128.74, 128.29, 125.85, 68.74, 58.10, 49.66, 26.07.

(R)-2-(benzyl(methyl)amino)-1-(thiophen-2-yl)ethanol (2m)



Colorless oil; >99% yield; >99% ee. The enantiomeric excess was determined by HPLC on Chiracel OJ-H column, 210 nm, 25 °C, *n*-hexane: *i*-PrOH = 95:5; flow 1.0 mL/min; t_R (minor) = 19.2 min, t_R (major) = 21.6 min. $[\alpha]_D^{25}$ = 14.7 (*c* 1.2, EtOH). ¹H NMR (400 MHz, CDCl₃) δ 7.40-7.21 (m, 6H), 7.01-6.93 (m, 2H), 5.02 (dd, *J* = 10.4, 3.5 Hz, 1H), 3.73 (d, *J* = 13.0 Hz, 1H), 3.56 (d, *J* = 13.1 Hz, 1H), 2.77 (dd, *J* = 12.3, 10.4 Hz, 1H), 2.64 (dd, *J* = 12.4, 3.5 Hz, 1H), 2.30 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 145.78, 138.04, 129.09, 128.47, 127.42, 126.62, 124.46, 123.73, 65.94, 65.36, 62.38, 41.72.

(R)-2-(benzyl(methyl)amino)-1-(pyridin-2-yl)ethanolol (2n)



Light brown oil; 99% yield; >99% ee. The enantiomeric excess was determined by HPLC on Chiracel AS-H column, 210 nm, 25 °C, *n*-hexane: *i*-PrOH = 97:3; flow 1.0 mL/min; t_R (minor) = 12.9 min, t_R (major) = 17.3 min. $[\alpha]_D^{25}$ = 80.8 (*c* 1.2, EtOH). ¹H NMR (400 MHz, CDCl₃) δ 8.52 (d, *J* = 4.4 Hz, 1H), 7.68 (td, *J* = 7.7, 1.7 Hz, 1H), 7.51 (d, *J* = 7.9 Hz, 1H), 7.37-7.22 (m, 5H), 7.17 (dd, *J* = 6.9, 5.4 Hz, 1H), 4.87 (dd, *J* = 9.8, 3.9 Hz, 1H), 3.73 (d, *J* = 13.1 Hz, 1H), 3.54 (d, *J* = 13.1 Hz, 1H), 2.81 (dd, *J* = 12.4, 3.9 Hz, 1H), 2.66 (dd, *J* = 12.4, 9.8 Hz, 1H), 2.32 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 161.72, 148.64, 138.35, 136.77, 129.06, 128.39, 127.28, 122.34, 120.42, 70.20, 63.83, 62.40, 41.87. (S)-3-(2-(benzyl(methyl)amino)-1-hydroxyethyl)phenol (20)

To a 4.0 mL vial was added the catalyst precursor $[Ir(COD)Cl]_2$ (1.4 mg, 2.0×10⁻³ mmol), ligand L1 (2.4 mg, 4.2×10^{-3} mmol) and anhydrous PrOH (2.0 mL) under argon atmosphere. The mixture was stirred for 2.0 h at 25 °C giving orange red solution in the argon-filled glovebox. And then 0.2 mmol of α -amino ketone **10** (as a hydrochloride), t-BuOK (51.6 mg, 2.3 eq.) were added into a 5 mL hydrogenation vessel. 1 mL anhydrous i-PrOH was added as solvent and a solution of Ir/f-amphox L1 in anhydrous *i*-PrOH (2 μ L, c = 2.0×10⁻³ mol/L) was added *via* an injection port. Then the vessel was placed in an autoclave, closed it, and moved out of the golvebox. The autoclave was quickly purged with hydrogen gas for three times, then pressurized to 40 atm H_2 . The reaction solution was stirred at room temperature (25 °C - 30 °C) until for 12 h, then released pressure carefully. The reaction solution was treated with 2N HCl aqueous solution to adjust pH to ~7. the solvent was removed under reduced pressure and the residue was purified by a flash chromatography on a silical gel with ethyl acetate (1% Et_3N) as eluent to afford **20** as a white solid; >99% conv.; 97% yield; >99% ee. The enantiomeric excess was determined by HPLC on Chiracel OJ-H column, 210 nm, 25 °C, *n*-hexane: *i*-PrOH = 80:20; flow 1.0 mL/min; t_R (major) = 10.8 min, $t_{\rm R}$ (minor) = 15.9 min. $[\alpha]_{\rm D}^{25}$ = 50.7 (c 1.0, EtOH).¹H NMR (400 MHz, CDCl₃) δ 7.40-7.24 (m, 5H), 7.16 (t, J = 7.8 Hz, 1H), 6.91 (s, 1H), 6.83 (d, J = 7.6 Hz, 1H), 6.77-6.68 (m, 1H), 4.74 (dd, J = 10.3, 3.6 Hz, 1H), 4.10 (brs, 2H), 3.77 (d, J = 13.1 Hz, 1H), 3.57 (d, J = 13.1 Hz, 1H), 2.59 (dt, J = 12.5, 5.4 Hz, 2H), 2.33 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 156.17, 143.60, 137.47, 129.57, 129.25, 128.51, 127.56, 117.93, 114.69, 112.83, 69.16, 65.03, 62.18, 41.62.

Asymmetric hydrogenation of α -amino ketone 1a at S/C = 500 000:

To a 4.0 mL vial was added the catalyst precursor $[Ir(COD)CI]_2$ (1.4 mg, 2.0×10^{-3} mmol), ligand L1 (2.4 mg, 4.2×10^{-3} mmol) and anhydrous ^{*i*}PrOH (2.0 mL) in the argon-filled glovebox. The mixture was stirred for 2.0 h at 25 °C giving orange red solution. The 10 mmol of α -amino ketone 1a (as a hydrochloride) and *t*-BuOK (13 mmol, 1.46 g) were added into a 10 ml hydrogenation vessel. 5 mL anhydrous *i*-PrOH was added as solvent and a solution of Ir/f-amphox L1 in anhydrous *i*-PrOH (10 µL, 2.0×10^{-3} mol/L) was added via an injection port. Then the vessel was placed in an autoclave, closed it and moved it out from golvebox. The autoclave was quickly purged with hydrogen gas for three times, then pressurized to 50 atm H₂. The reaction solution was stirred at 30 °C until no obvious hydrogen pressure drop was observed (48 h), then released pressure carefully. The solvent of the reaction mixture was removed under reduced pressure and the residue was purified by a flash chromatography on a silical gel with ethyl acetate as eluent to

afford the chiral amino alcohol (S)-2a 2.38 g as a light yellow oil, >99% conversion, >99% yield, >99% ee (S).



4. The Synthesis of (S)-Phenylephrine Hydrochloride at S/C=200 000.^{6,8,13}

1.17 g (4 mmol) of amino ketone 10 (as a hydrochloride) and 1.03 g (9.2 mmol) of t-BuOK were added into a 10 ml hydrogenation vessel. Then 4 mL of anhydrous i-PrOH and 10 µL $(2.0 \times 10^{-3} \text{ mol/L}, 0.02 \text{ }\mu\text{mol})$ of the solution of Ir-L1 in anhydrous *i*-PrOH was added via an injection port. Then the vessel was placed in an autoclave, closed it and moved it out from golvebox. The autoclave was quickly purged with hydrogen gas for three times, then pressurized to 50 atm H₂. The reaction solution was stirred at 30 °C until no obvious hydrogen pressure drop was observed (24 h), then released pressure carefully. The reaction solution was treated with 2N HCl aqueous solution to adjust pH to ~7. The solvent was removed under reduced pressure and the residue was purified by a flash chromatography on a silical gel with ethyl acetate (1% Et₃N) as eluent to afford (S)-20 0.98 g as a white solid; >99% conv.; 95% yield; >99% ee. The enantiomeric excess was determined by HPLC on Chiracel OJ-H column, 210 nm, 25 °C, nhexane: *i*-PrOH = 80:20; flow 1.0 mL/min; t_R (major) = 10.8 min, t_R (minor) = 15.9 min. $[\alpha]_D^{25}$ = 50.7 (*c* 1.0, EtOH).¹H NMR (400 MHz, CDCl₃) δ 7.40-7.24 (m, 5H), 7.16 (t, *J* = 7.8 Hz, 1H), 6.91 (s, 1H), 6.83 (d, J = 7.6 Hz, 1H), 6.77-6.68 (m, 1H), 4.74 (dd, J = 10.3, 3.6 Hz, 1H), 4.10 (brs, 2H),3.77 (d, J = 13.1 Hz, 1H), 3.57 (d, J = 13.1 Hz, 1H), 2.59 (dt, J = 12.5, 5.4 Hz, 2H), 2.33 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 156.17, 143.60, 137.47, 129.57, 129.25, 128.51, 127.56, 117.93, 114.69, 112.83, 69.16, 65.03, 62.18, 41.62.

5. NMR spectra

(S)-2-(Benzyl(methyl)amino)-1-phenylethanol (2a)



(S)-2-(Benzyl(methyl)amino)-1-(3-methylphenyl)ethanol (2b)



11



(S)-2-(Benzyl(methyl)amino)-1-(4-methylphenyl)ethanol(2c)



(S)-2-(Benzyl(methyl)amino)-1-(2-methoxyphenyl)ethanol (2d)





(S)-2-(Benzyl(methyl)amino)-1-(3-methoxyphenyl)ethanol (2e)











(S)-2-(Benzyl(methyl)amino)-1-(2-chlorophenyl)ethanol (2h)





17

(S)-2-(Benzyl(methyl)amino)-1-(4-chlorophenyl)ethanol (2i)



(S)-2-(Benzyl(methyl)amino)-1-(3-bromophenyl)ethanol (2j)



(S)-2-(Benzyl(methyl)amino)-1-(4-bromophenyl)ethanol (2k)





(S)-2-(tert-butylamino)-1-phenylethanol (2l)





(R)-2-(benzyl(methyl)amino)-1-(thiophen-2-yl)ethanol (2m)







(R)-2-(benzyl(methyl)amino)-1-(pyridin-2-yl)ethanol (2n)



(S)-3-(2-(benzyl(methyl)amino)-1-hydroxyethyl)phenol (2o)





6. HPLC spectra

(S)-2-(Benzyl(methyl)amino)-1-phenylethanol (2a)

Data File E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-24 09-28-58\061-0201.D Sample Name: PH-RAC



Data File E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-24 09-28-58\041-0701.D Sample Name: PH-EE Acq. Operator : SYSTEM Acq. Instrument : 1260HPLC-DAD Seq. Line : 7 Location : Vial 41 Injection Date : 2/24/2017 12:16:38 PM Inj: 1 Inj Volume : 2.000 µl Acq. Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-24 09-28-58\DAD-0J(1-6)-95-5-1ML-2UL-ALL-30MIN.M Last changed : 2/24/2017 9:28:58 AM by SYSTEM Analysis Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-24 09-28-58\DAD-0J(1-6)-95-5-1ML-2UL-ALL-30MIN.M (Sequence Method) : 2/24/2017 4:38:07 PM by SYSTEM Last changed (modified after loading) Additional Info : Peak(s) manually integrated DAD1C,Sig=210.4 Ref=off(E:DATAWWLWWLHY:RACWWL-HY:RAC 2017-02:24:09-28:58:041-0701.D) mAU 2500 OH Ń. Bn 2000 1500 1000 500 0 18 20 12 14 16 min Area Percent Report Sorted By : Signal Multiplier 1.0000 : Dilution : 1.0000 Do not use Multiplier & Dilution Factor with ISTDs Signal 1: DAD1 C, Sig=210,4 Ref=off Peak RetTime Type Width Height Area Area # [min] [min] [mAU*s] [mAU] % 1 14.153 MM 0.4254 5.04612e4 1977.12036 100.0000 Totals : 5.04612e4 1977.12036 *** End of Report ***

1260HPLC-DAD 2/24/2017 4:38:12 PM SYSTEM

(S)-2-(Benzyl(methyl)amino)-1-(3-methylphenyl)ethanol (2b)

Data File E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-24 09-28-58\063-0401.D Sample Name: M-ME-RAC

Acq. Operator : Acq. Instrument : Injection Date : Acq. Method : Last changed : Analysis Method : Last changed : Additional Info : DAD1C, Sig=210 mAU	SYSTEM 1260HPLC-DAD 2/24/2017 10:43:54 E:\DATA\WWL\WWL-HY 1ML-2UL-ALL-30MIN.] 2/24/2017 9:28:58 E:\DATA\WWL\WWL-HY 1ML-2UL-ALL-30MIN.] 2/24/2017 4:22:58 (modified after 10) Peak (s) manually in 0.4 Ref=off(E:DATAWWLWW) OH	AM -RAC\WWL-HY- M AM by SYSTEM -RAC\WWL-HY- M (Sequence PM by SYSTEM ading) ntegrated VLHY-RACWWWLF	Seq. Line : Location : Inj : Inj Volume : RAC 2017-02-2 RAC 2017-02-2 Method)	4 Vial 63 1 2.000 μl 4 09-28-58\I 4 09-28-58\I -28580630401.D	DAD-0J(1-6)-95-5 DAD-0J(1-6)-95-5	-
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Dilution	: 1.0000		_			
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# [min]	[min] [mAU*s]	[mAU]	Area %			
 1 11 272 BB	 0 2618 2 48888e4	 1453 32751	 49 2322			
2 12.805 VB	0.2900 2.56651e4	1336.59631	50.7678			
Totals :	5.05540e4	2789.92383				
	*** 7	Dow ov t ++ +				
	•** End of	keport ***				
HPLC-DAD 2/24/2017	4:23:05 PM SYSTEM				Page l of l	

Data File E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-24 09-28-58\043-0901.D Sample Name: M-ME-EE _____ Acq. Operator : SYSTEM Acq. Instrument : 1260HPLC-DAD Seq. Line : 9 Location : Vial 43 Injection Date : 2/24/2017 1:18:24 PM Inj: 1 Inj Volume : 2.000 µl Acq. Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-24 09-28-58\DAD-0J(1-6)-95-5-1ML-2UL-ALL-30MIN.M Last changed : 2/24/2017 9:28:58 AM by SYSTEM Analysis Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-24 09-28-58\DAD-0J(1-6)-95-5-1ML-2UL-ALL-30MIN.M (Sequence Method) : 2/24/2017 4:24:25 PM by SYSTEM Last changed (modified after loading) Additional Info : Peak(s) manually integrated DAD1C,Sig=210.4 Re⊨off(E:DATAWWLWWLHY:RACWWL-HY:RAC 2017-02-24.09-28-58043.0901.D) mAU OH 2500 .Ń Bn 11.201 2000 1500 1000 500 o 10 14 12 16 min Area Percent Report Sorted By : Signal Multiplier 1.0000 : Dilution : 1.0000 Do not use Multiplier & Dilution Factor with ISTDs Signal 1: DAD1 C, Sig=210,4 Ref=off Peak RetTime Type Width Height Area Area # [min] [min] [mAU*s] [mAU] % 1 11.201 BB 0.3043 3.78525e4 1934.52808 100.0000 Totals : 3.78525e4 1934.52808 *** End of Report ***

1260HPLC-DAD 2/24/2017 4:24:30 PM SYSTEM

(S)-2-(Benzyl(methyl)amino)-1-(4-methylphenyl)ethanol (2c)

Data File E:\DATA\HY\HY-16-9-26\HY-16-09-26 2016-09-26 12-52-19\062-0301.D Sample Name: HY-598

Acq. Operator : SYSTEM Seq. Line : 3	
Acq. Instrument : 1260HPLC-DAD Location : Vial 62	
Injection Date : 9/26/2016 1:20:05 PM Inj : 1 Inj Volume : 1.000 ul	
Acq. Method : E:\DATA\HY\HY-16-9-26\HY-16-09-26 2016-09-26 12-52-19\DAD-0J(1-6)-85-15	-
1.OML-ALLNM-15MIN.M	
Last changed : 9/26/2016 12:52:20 PM by SYSTEM	
Analysis Method : E:\DATA\HY\HY-16-9-26\HY-16-09-26 2016-09-26 12-52-19\DAD-0J(1-6)-85-15 1.0ML-ALLNM-15MIN.M (Sequence Method)	-
Last changed : 2/9/2017 8:40:58 PM by SYSTEM	
Additional Info : Peak(s) manually integrated	
DAD1 C, Sig=210,4 Ref= off (E:/DATA\HY\HY-16-9-26\HY-16-09-26 2016-09-26 12-52-19/062-0301.D)	
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Area Percent Report Area Percent Report Sorted By : Signal Multiplier : 1.0000 Dilution : 1.0000 Do not use Multiplier & Dilution Factor with ISTDs Signal 1: DAD1 C, Sig=210,4 Ref=off Peak RetTime Type Width Area # [min] [mAU] %	
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Area Percent Report Area Percent Report Area Percent Report Sorted By : Signal Multiplier : 1.0000 Do not use Multiplier & Dilution Factor with ISTDs Signal 1: DAD1 C, Sig=210,4 Ref=off Peak RetTime Type Width Area # [min]	min
Area Percent Report Area Percent Report Sorted By : Signal Multiplier : 1.0000 Do not use Multiplier & Dilution Factor with ISTDs Signal 1: DAD1 C, Sig=210,4 Ref=off Peak RetTime Type Width Area # [min] [min] [mAU*s] 1 7.734 BV 0.2020 1809.70081 133.49043 49.1032 2 8.710 VB 0.2310 1875.80273 Totals : 3685.50354 **** End of Report ***	min

1260HPLC-DAD 2/9/2017 8:41:03 PM SYSTEM

Data File E:\DATA\HY\HY-16-09-27\HY-16-09-27 2016-09-27 16-18-34\062-0301.D Sample Name: HY-16-09-27-598 _____ Acq. Operator : SYSTEM Seq. Line : - 3 Acq. Instrument : 1260HPLC-DAD Location : Vial 62 Injection Date : 9/27/2016 4:51:23 PM Inj: l Inj Volume : 1.000 µl Acq. Method : E:\DATA\HY\HY-16-09-27\HY-16-09-27 2016-09-27 16-18-34\DAD-0J(1-6)-85-15 -1.0ML-ALLNM-15MIN.M Last changed : 9/27/2016 4:18:35 PM by SYSTEM Analysis Method : E:\DATA\HY\HY-16-09-27\HY-16-09-27 2016-09-27 16-18-34\DAD-0J(1-6)-85-15 -1.0ML-ALLNM-15MIN.M (Sequence Method) : 2/9/2017 8:43:44 PM by SYSTEM Last changed (modified after loading) Additional Info : Peak(s) manually integrated DAD1C, Sig=210.4 Ref=off(E:DATANHYNHY:16:09-27/HY:16:09-27 2016:09-27 16:18:34062:0301.D) mAU 1750 OH 22 Ń. Bn 1500 1250 1000 750 500 250 ο 10 å é min Area Percent Report Sorted By : Signal Multiplier : 1.0000 Dilution : 1.0000 Do not use Multiplier & Dilution Factor with ISTDs Signal 1: DAD1 C, Sig=210,4 Ref=off Height Peak RetTime Type Width Area Area # [min] [min] [mAU*s] [mAU] % 1 7.575 VB 0.1889 1.92436e4 1528.72388 100.0000 Totals : 1.92436e4 1528.72388 _____ *** End of Report ***

1260HPLC-DAD 2/9/2017 8:43:48 PM SYSTEM

(S)-2-(Benzyl(methyl)amino)-1-(2-methoxyphenyl)ethanol (2d)

Data File E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-24 09-28-58\062-0301.D Sample Name: 0-ME0-RAC

Acq. Operator : Acq. Instrument : Injection Date : Acq. Method : Last changed : Analysis Method : Last changed : Additional Info : DAD1C.Sig=21 mAU	SYSTEM 1260HPLC-DAD 2/24/2017 10:12:59 E:\DATA\WWL\WWL-HY 1ML-2UL-ALL-30MIN. 2/24/2017 9:28:58 E:\DATA\WWL\WWL-HY 1ML-2UL-ALL-30MIN. 2/24/2017 4:26:10 (modified after 10 Peak(s) manually i 0.4Ref=off(E:DATAWWLWWV	AM -RAC\WWL-HY- M AM by SYSTEM -RAC\WWL-HY- M (Sequence PM by SYSTEM ading) ntegrated WLHY:RACWWWL-H	Seq. Line : Location : Inj : Inj Volume : RAC 2017-02- RAC 2017-02- Method)	3 Vial 62 1 2.000 μl 24 09-28-5 24 09-28-5	8\DAD-OJ(1-6) 8\DAD-OJ(1-6) 8\DAD-OJ(1-6)	-95-5- -95-5-
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Peak RetTime Type # [min]	Width Area [min] [mAU*s]	Height [mAU]	Area %			
1 13.323 BV 2 15.312 VB	0.3605 2.68774e4 0.3791 2.70238e4	1109.82776 1075.81323	49.8642 50.1358			
Totals :	5.39012e4	2185.64099				
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Data File E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-24 09-28-58\042-0801.D Sample Name: 0-ME0-EE _____ Acq. Operator : SYSTEM Seq. Line : 8 Acq. Instrument : 1260HPLC-DAD Location : Vial 42 Injection Date : 2/24/2017 12:47:32 PM Inj: 1 Inj Volume : 2.000 µl Acq. Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-24 09-28-58\DAD-0J(1-6)-95-5-1ML-2UL-ALL-30MIN.M Last changed : 2/24/2017 9:28:58 AM by SYSTEM Analysis Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-24 09-28-58\DAD-0J(1-6)-95-5-1ML-2UL-ALL-30MIN.M (Sequence Method) : 2/24/2017 4:28:23 PM by SYSTEM Last changed (modified after loading) Additional Info : Peak(s) manually integrated DAD1C,Sig=210.4 Re⊨off(E:DATAWWLWWLHY:RACWWL-HY:RAC 2017-02-24.09-28-580042-0801.D) mAU OMe OH Ń. Bn 2500 Strate Holders 2000 1500 1000 Spot 24.119 500 0 10 12 16 ź'n. 18 14 min Area Percent Report Sorted By : Signal : Multiplier 1.0000 Dilution : 1.0000 Do not use Multiplier & Dilution Factor with ISTDs Signal 1: DAD1 C, Sig=210,4 Ref=off Peak RetTime Type Width Height Area Area # [min] [min] [mAU*s] [mAU] % 1 13.157 MM 0.4882 5.45245e4 1861.59180 99.5540 2 15.557 MM 0.3054 244.27858 13.33094 0.4460 Totals : 5.47688e4 1874.92273 *** End of Report *** Page 1 of 1 1260HPLC-DAD 2/24/2017 4:28:31 PM SYSTEM

32

(S)-2-(Benzyl(methyl)amino)-1-(3-methoxyphenyl)ethanol (2e)

Data File E:\DATA\HY\HY-16-9-26\HY-16-09-26 2016-09-26 12-52-19\063-0401.D Sample Name: HY-599

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Acq. Operator : SYSTEM
                                          Seq. Line :
                                                      4
Acq. Instrument : 1260HPLC-DAD
                                           Location : Vial 63
Injection Date : 9/26/2016 1:35:58 PM
                                          Inj : 1
Inj Volume : 1.000 μl
Acq. Method : E:\DATA\HY\HY-16-9-26\HY-16-09-26 2016-09-26 12-52-19\DAD-0J(1-6)-85-15-
               1.OML-ALLNM-15MIN.M
Last changed : 9/26/2016 12:52:20 PM by SYSTEM
Analysis Method : E:\DATA\HY\HY-16-9-26\HY-16-09-26 2016-09-26 12-52-19\DAD-0J(1-6)-85-15-
               1.0ML-ALLNM-15MIN.M (Sequence Method)
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              : 2/9/2017 8:47:00 PM by SYSTEM
                (modified after loading)
Additional Info : Peak(s) manually integrated
DAD1C, Sig=210,4 Ref=off(E:DATA\HY\HY-169-26\HY-16-09-26 2016-09-26 12-52-19063-0401.D)
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Signal 1: DAD1 C, Sig=210,4 Ref=off
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 # [min]
1 10.882 BV 0.2700 4619.91064 256.70032 46.2962
2 11.533 VB 0.3163 5359.11670 247.79356 53.7038
Totals :
                       9979.02734 504.49388
*** End of Report ***
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1260HPLC-DAD 2/9/2017 8:47:05 PM SYSTEM



1260HPLC-DAD 2/9/2017 8:50:56 PM SYSTEM

(S)-2-(Benzyl(methyl)amino)-1-(4-methoxyphenyl)ethanol (2f)

Data File E:\DATA\HY\HY-16-09-27\HY-16-09-27 2016-09-27 16-18-34\069-1001.D Sample Name: HY-16-09-27-600-RAC

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Acq. Instrument : 1260HPLC-DAD		Location : Vial 1	69
Injection Date : 9/27/2016 6:4	2.45 PM	Tni · 1	
injection pace : 5,2,,2010 0.4	II II	ni Volume : 1.000	ul
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-1.OML-ALLNM-	15MIN.M		
Last changed : 9/27/2016 7:0	6:17 PM by SYSTEM		
(modified aft	er loading)		
Analysis Method : E:\DATA\HY\HY	-16-09-27\HY-16-09	-27 2016-09-27 16	-18-34\DAD-0J(1-6)-85-15
-1.OML-ALLNM-	15MIN.M (Sequence)	lethod)	
Last changed : 2/9/2017 8:31	:33 PM by SYSTEM		
(modified aft	er loading)		
Additional Info : Peak(s) manua	lly integrated		
DAD1 A, Sig=220,4 Re=off (E3DATA)-	1Y\HY-16-09-27\HY-16-09-27-20	16-09-27 16-18-34069-100	1.D)
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Sorted By : Si Multiplier : 1. Dilution : 1. Do not use Multiplier & Dilutio	mal 0000 0000 n Factor with ISTD	18	 20 mir ===
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Sorted By : Si Multiplier : 1. Dilution : 1. Do not use Multiplier & Dilutio Signal 1: DAD1 A, Sig=220,4 Ref Peak RetTime Type Width Ar # [min] [min] [mAD]	n Factor with ISTD ==off ea Height *s] [mAU]	Area	 20 mir === ===
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5 0 12 14 Area P Area P Sorted By : Multiplier : Dilution : Do not use Multiplier & Dilutio Signal 1: DAD1 A, Sig=220,4 Ref Peak RetTime Type Width # [min] 1 14.582 MM 0.4541 2 17.743 MM 0.5397 683. Totals : 1367.	n Factor with ISTD =off =a Height *s] [mAU] 	Area % 18 Area % 19,9494	 20 mir === ===
5 0 12 14 Area P Area P Sorted By : Multiplier : Dilution : Do not use Multiplier & Dilutio Signal 1: DAD1 A, Sig=220,4 Ref Peak RetTime Type Width # [min] 1 14.582 MM 0.4541 2 1.14.582 MM 0.5397 683. Totals : 1367.	n Factor with ISTD =off =a Height *s] [mAU] 	Area % 50.0506 19.9494	 20 mir === ===
5 0 12 14 Area P Area P Sorted By : Multiplier : Dilution : Do not use Multiplier & Dilutio Signal 1: DAD1 A, Sig=220,4 Ref Peak RetTime Type Width # [min] 1 14.582 MM 0.4541 684. 2 17.743 MM 0.5397 683. Totals : 1367.	16 ercent Report on Factor with ISTD =off ea Height *s] [mAU] 	Area * 50.0506 19.9494	 20 mir = == = ==
5 12 12 14 Area P Area P Sorted By : Multiplier : Dilution : Do not use Multiplier & Dilutio Signal 1: DAD1 A, Sig=220,4 Ref Peak RetTime Type Width # [min] 1 14.582 MM 0.4541 684. 2 17.743 MM 0.5397 683. Totals : 1367.	16 ercent Report on Factor with ISTD eoff ea Height *s] [mAU] 	Area \$ 50.0506 19.9494	 20 mir === ===
5 12 12 14 Area P Sorted By : Signal 1: 1. Do not use Multiplier & Dilution : Signal 1: DAD1 A, Sig=220,4 Ref Peak RetTime Type Width Ar # [min] [min] [mAU	16 ercent Report ouco 0000 n Factor with ISTD =off ea Height *s] [mAU] 	Area * 50.0506 19.9494	 20 mir === ===

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1260HPLC-DAD 2/9/2017 8:31:39 PM SYSTEM



1260HPLC-DAD 2/9/2017 8:37:22 PM SYSTEM

(S)-2-(benzyl(methyl)amino)-1-(3-fluorophenyl)ethanol (2g)

Data File E:\DATA\YCC\2017-01-20\YCC-45-BASE 2017-02-21 12-49-09\065-2701.D Sample Name: HY-M-F-RAC

Acq. Operator :	SYSTEM		Seq. Line :	27 Wiel 65		
Acq. Instrument : Injection Date :	2/22/2017 3:02:27	АМ	Int :	viai 65 l		
	-,,		Inj Volume :	2.000 µl		
Acq. Method :	E:\DATA\YCC\2017-0	1-20\YCC-45-	BASE 2017-02-	-21 12-49-	09\DAD-0J(1-	6)-97-3-
lost showrod .	1ML-2UL-ALL-30MIN.	M DM by GYGTEN	r			
Analysis Method :	E:\DATA\YCC\2017-0	FR DY SISIER 1-20\YCC-45-	BASE 2017-02-	-21 12-49-	09\DAD-0J(1-	61-97-3-
	1ML-2UL-ALL-30MIN.	M (Sequence	Method)		00 (2020 00 (2	0, 5. 0
Last changed :	2/24/2017 9:54:52	AM by SYSTEM	I			
) dditional Tota .	(modified after log	ading)				
DAD1C, Sig=210	0,4 Ref=off(E:\DATA\YCC\2017	7-01-20\YCC-46-BA	SE 2017-02-21 12-49	09'065-2701.D)		
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Signal 1: DAD1 C,	Sig=210,4 Ref=off					
			_			
Peak RetTime Type	Width Area	Height	Area			
# [min] !!!	[min] [mAU*s]	[mAU]	* l			
1 15.163 BV	0.3313 2.68137e4	1215.96887	49.4915			
2 16.209 VB	0.3586 2.73646e4	1154.09863	50.5085			
Fotals :	5.41783e4	2370.06750				
	*** End of	Report ***				
ante unin ovoavoore	*** End of	Report ***			Dava 1	of 1
HPLC-VWD 2/24/2017	*** End of 9:54:59 AM SYSTEM	Report ***			Page 1	of l

Data File E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-24 09-28-58\046-1301.D Sample Name: M-F-EE _____ Acq. Operator : SYSTEM Acq. Instrument : 1260HPLC-DAD Seq. Line : 13 Location : Vial 46 Injection Date : 2/24/2017 3:02:15 PM Inj: 1 Inj Volume : 2.000 µl Acq. Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-24 09-28-58\DAD-0J(1-6)-97-3-1ML-2UL-ALL-30MIN.M Last changed : 2/24/2017 9:45:54 AM by SYSTEM Analysis Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-24 09-28-58\DAD-0J(1-6)-97-3-1ML-2UL-ALL-30MIN.M (Sequence Method) : 2/24/2017 4:18:55 PM by SYSTEM Last changed (modified after loading) Additional Info : Peak(s) manually integrated DAD1C,Sig=210.4 Re⊨off(E:DATAWWLWWLHY:RACWWL-HY:RAC 2017-02-24 09-28-58046-1301.D) mAU OH N Bn 2000 15.208 1500 1000 500 0 14 18 16 12 min Area Percent Report Sorted By : Signal Multiplier 1.0000 : Dilution : 1.0000 Do not use Multiplier & Dilution Factor with ISTDs Signal 1: DAD1 C, Sig=210,4 Ref=off Peak RetTime Type Width Height Area Area # [min] [min] [mAU*s] [mAU] % [mAU] 1 15.266 BB 0.3920 4.50202e4 1762.76770 100.0000 Totals : 4.50202e4 1762.76770 *** End of Report ***

1260HPLC-DAD 2/24/2017 4:19:01 PM SYSTEM

(S)-2-(Benzyl(methyl)amino)-1-(2-chlorophenyl)ethanol (2h)

Data File E:\DATA\YHL\YHL-6-50\YHL-6-50-1 2017-02-22 20-54-57\051-1401.D Sample Name: HY-0-CL-RAC

Acq. Operator	SYSTEM	Seq. Line	: 14
Acq. Instrument :	: 1260HPLC-VWD	Location	: Vial 51
Injection Date	: 2/23/2017 6:30:51 4	AM Inj	: 1
		Inj Volume	: 1.000 µl
Acq. Method	: E:\DATA\YHL\YHL-6-	50\YHL-6-50-1 2017-02-2	2 20-54-57\VWD-AD(1-2)-98-2-1UL
	-0.3ML-210NM-60MIN.	.M	
Last changed	: 2/22/201/ 9:42:42 J	PM DY SISIEM	2 20 54 57) WID AD (1 2) 00 2 1 WI
Analysis Method	-0 3ML-210MM-60MTN	M (Sequence Method)	2 20-54-5/\\WD-AD(1-2)-98-2-10L
Last changed	• 2/23/2017 8•13•44 1	PM by SVSTEM	
babe changea	(modified after log	ading)	
Additional Info	: Peak(s) manually in	ntegrated	
VWD1 A, W av	elength=210 nm (E:\DATA\YHL\YH	HL-6-50\YHL-6-50-1 2017-02-22 20-54	-57'051-1401.D)
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20	25 30 Area Percent	35 40 t Report	45 _50
20 	25 30 Area Percent : Signal	35 40 t Report	45 _50 =======
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20 Sorted By Multiplier)ilution)o not use Multi)	25 30 Area Percent : Signal : 1.0000 : 1.0000 plier 6 Dilution Fact	35 40 t Report	<u>45 50 min</u>
20 Sorted By Multiplier Dilution Do not use Multip	25 30 Area Percent : Signal : 1.0000 : 1.0000 plier & Dilution Fact	35 40 t Report tor with ISTDs	<u>45 50 min</u>
20 Sorted By Multiplier Dilution Do not use Multi)	25 30 Area Percent : Signal : 1.0000 : 1.0000 plier & Dilution Fact	35 40	<u>45 50 min</u>
20 Sorted By Multiplier Dilution Do not use Multip	25 30 Area Percent : Signal : 1.0000 : 1.0000 olier & Dilution Fact	35 40	<u>45 50 mir</u>
20 Sorted By Multiplier Dilution Do not use Multip Signal 1: VWD1 A	25 30 Area Percent : Signal : 1.0000 : 1.0000 plier & Dilution Fact , Wavelength=210 nm	35 40	<u>45 50 min</u>
20 Sorted By Multiplier Dilution Do not use Multip Signal 1: VWD1 A Peak RetTime Type	Area Percent : Signal : 1.0000 : 1.0000 plier & Dilution Fact , Wavelength=210 nm : Width Area	35 40 t Report tor with ISTDs Height Area	<u>45 50 min</u>
20 Sorted By Multiplier Dilution Do not use Multip Signal 1: VWD1 A Peak RetTime Type # [min]	Area Percent Area Percent : Signal : 1.0000 : 1.0000 plier & Dilution Fact , Wavelength=210 nm : Width Area [min] [mAU*s]	35 40 t Report tor with ISTDs Height Area [mAU] %	45 <u>60 min</u>
20 Sorted By Multiplier Dilution Do not use Multip Signal 1: VWD1 A Peak RetTime Type # [min]	25 30 Area Percent : Signal : 1.0000 : 1.0000 plier & Dilution Fact , Wavelength=210 nm : Width Area [min] [mAU*s]	35 40 t Report tor with ISTDs Height Area [mAU] %	45 <u>60 min</u>
20 Sorted By Multiplier Dilution Do not use Multip Signal 1: VWD1 A, Peak RetTime Type # [min] 1 32.900 MF	25 30 Area Percent : Signal : 1.0000 : 1.0000 plier & Dilution Fact , Wavelength=210 nm : Width Area [min] [mAU*s] -	35 40 t Report tor with ISTDs Height Area [mAU] % 750.42676 50.0171	<u>45 60 min</u>
20 Sorted By Multiplier Dilution Signal 1: VWD1 A, Peak RetTime Type # [min] 	25 30 Area Percent : Signal : 1.0000 : 1.0000 plier & Dilution Fact , Wavelength=210 nm : Width Area [min] [mAU*s] -	35 40 t Report	45 <u>60 min</u>
20 Sorted By Multiplier Dilution Do not use Multip Signal 1: VWD1 A, Peak RetTime Type # [min] 	25 30 Area Percent : Signal : 1.0000 : 1.0000 plier & Dilution Fact , Wavelength=210 nm width Area [min] [mAU*s] 	35 40 t Report	45 <u>60 min</u>
20 Sorted By Multiplier Dilution Signal 1: VWD1 A Peak RetTime Type # [min] 	25 30 Area Percent : Signal : 1.0000 : 1.0000 plier & Dilution Fact , Wavelength=210 nm Width Area [min] [mAU*s] -	35 40 t Report	45 <u>60 min</u>
20 Sorted By Multiplier Dilution Do not use Multip Signal 1: VWD1 A, Peak RetTime Type # [min] 	Area Percent : Signal : 1.0000 : 1.0000 plier & Dilution Fact Wavelength=210 nm Width Area [min] [mAU*s] -	35 40 t Report	45 <u>60 min</u>
20 Sorted By Multiplier Dilution Do not use Multip Signal 1: VWD1 A, Peak RetTime Type # [min] 	Area Percent Area Percent : Signal : 1.0000 plier & Dilution Fact Wavelength=210 nm Width Area [min] [mAU*s] -	35 40 t Report	<u>45 60 min</u>
20 Sorted By Multiplier Dilution Do not use Multip Signal 1: VWD1 A, Peak RetTime Type # [min] 	Area Percent Area Percent : Signal : 1.0000 plier & Dilution Fact Wavelength=210 nm Width Area [min] [mAU*s] -	35 40 t Report	45 <u>60 min</u>
20 Sorted By Multiplier Dilution Do not use Multip Signal 1: VWD1 A, Peak RetTime Type # [min] 1 32.900 MF 2 35.968 FM Fotals :	Area Percent : Signal : 1.0000 : 1.0000 plier & Dilution Fact Wavelength=210 nm Width Area [min] [mAU*s] - 1.0537 4.74443e4 1.3393 4.74118e4 9.48562e4 *** End of	35 40 t Report	45 <u>60 min</u>
20 Sorted By Multiplier Dilution Do not use Multiplier Signal 1: VWD1 A, Peak RetTime Type # [min] 	Area Percent Area Percent : Signal : 1.0000 : 1.0000 plier & Dilution Fact Wavelength=210 nm Width Area [min] [mAU*s] 1.0537 4.74443e4 1.3393 4.74118e4 9.48562e4 **** End of L7 8:13:48 PM SYSTEM	35 40 t Report 1 tor with ISTDs 1 Height Area [mAU] % 750.42676 50.0171 589.99445 49.9829 1340.42120 1340.42120	 Page 1 of 1

Data File E:\DATA\WWL\WWL-HY-EE\WWL-HY-EE 2017-02-24 09-36-02\051-0201.D Sample Name: HY-0-CL _____ Acq. Operator : SYSTEM Acq. Instrument : 1260HPLC-VWD Seq. Line : 2 Location : Vial 51 Injection Date : 2/24/2017 9:48:58 AM Inj: 1 Inj Volume : 1.000 µl Acq. Method : E:\DATA\WWL\WWL-HY-EE\WWL-HY-EE 2017-02-24 09-36-02\VWD-AD(1-2)-98-2-1UL -0.3ML-210NM-60MIN.M Last changed : 2/24/2017 9:36:02 AM by SYSTEM Analysis Method : E:\DATA\WUL\WUL-HY-EE\WUL-HY-EE 2017-02-24 09-36-02\VWD-AD (1-2)-98-2-1UL -0.3ML-210NM-60MIN.M (Sequence Method) : 2/24/2017 4:45:02 PM by SYSTEM Last changed (modified after loading) Additional Info : Peak(s) manually integrated VWD1A,Wavelength=210 nm (E:\DATAWWLWWLHY-EEWWWLHY-EE 2017-02-2409-36-020051-0201.D) mAU CL OH .Ń、 Bn 2000 174202 92.070 9 1500 1000 500 0 ல் 45 зŚ άn. з'n 25 min Area Percent Report Sorted By : Signal Multiplier 1.0000 : Dilution : 1.0000 Do not use Multiplier & Dilution Factor with ISTDs Signal 1: VWD1 A, Wavelength=210 nm Peak RetTime Type Width Height [mAU] Area Area # [min] [min] [mAU*s] [mAU] % 1 35.670 MM 1.2350 1.24202e5 1676.17041 100.0000 Totals : 1.24202e5 1676.17041 *** End of Report ***

1260HPLC-DAD 2/24/2017 4:45:27 PM SYSTEM

(S)-2-(Benzyl(methyl)amino)-1-(4-chlorophenyl)ethanol (2i)

Data File E:\DATA\HY\HY-16-9-26\HY-16-09-26 2016-09-26 12-52-19\068-0901.D Sample Name: HY-604



1260HPLC-DAD 2/9/2017 9:11:04 PM SYSTEM

Data File E:\DATA\HY\HY-16-09-27\HY-16-09-27 2016-09-27 16-18-34\068-0901.D Sample Name: HY-16-09-27-604 _____ Acq. Operator : SYSTEM Seq. Line : 9 Acq. Instrument : 1260HPLC-DAD Location : Vial 68 Injection Date : 9/27/2016 6:26:51 PM Inj: 1 Inj Volume : 1.000 µl Acq. Method : E:\DATA\HY\HY-16-09-27\HY-16-09-27 2016-09-27 16-18-34\DAD-0J(1-6)-85-15 -1.0ML-ALLNM-15MIN.M Last changed : 9/27/2016 4:18:35 PM by SYSTEM Analysis Method : E:\DATA\HY\HY-16-09-27 HY-16-09-27 2016-09-27 16-18-34\DAD-0J(1-6)-85-15 -1.0ML-ALLNM-15MIN.M (Sequence Method) : 2/9/2017 9:15:51 PM by SYSTEM Last changed (modified after loading) Additional Info : Peak(s) manually integrated DAD1C, Sig=210,4 Ref=off(E:0ATA\HY\HY:1609-27)HY:1609-27 2016-09-27 16:18:34068-0901.D) mAU 1⁴⁶/169 OH N Bn 800 , poor 700 CI 600 · 500 400 300 200 100 0 65 75 á 85 á 95 min Area Percent Report Sorted By : Signal Multiplier : 1.0000 Dilution : 1.0000 Do not use Multiplier & Dilution Factor with ISTDs Signal 1: DAD1 C, Sig=210,4 Ref=off Peak RetTime Type Width Area Height Area [min] [mAU*s] [mAU] # [min] * - 1 1 7.746 MM 0.1894 7891.65234 694.37036 100.0000 Totals : 7891.65234 694.37036 _____ *** End of Report ***

1260HPLC-DAD 2/9/2017 9:15:56 PM SYSTEM

(S)-2-(Benzyl(methyl)amino)-1-(3-bromophenyl)ethanol (2j)

Data File E:\DATA\YC\YC-5-25\YC-5-25 2017-03-03 14-40-28\051-2401.D Sample Name: HY-M-BR-RAC

Totals :						
Totals :						
2 20.152 VI	8.77690e	4 1164.65344 4 2651.57886	50.5547			
# [min] 1 18.210 VV	[min] [mAU*s] V 0.4345 4.33977e	[mAU] 4 1486.92542	* 2 49.4453			
peak RetTime Ty	vpe Width Area	Height	Area			
Giomol 1. DADI	C (10-210 / D-6 -6	e				
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Additional Info DAD1C,Si) : Peak(s) manually ig=210,4 Ref=off(E:DATAYC\YC	integrated C-5-25\YC-5-25 2017-1	03-03 14-40-28051-2	401.D)		
Last changed	ALL-45MIN.M (Seq : 3/11/2017 9:40:0 (modified after	luence Method) 1 AM by SYSTE loading)	m			
Last changed Analysis Method	: 3/3/201/ 8:4/:1/ 1 : E:\DATA\YC\YC-5-	25\YC-5-25 20	1)17-03-03 14-	40-28\DAD-0	J(1-6)-97-3-1ML	2UI
Acq. Method	: E:\DATA\YC\YC-5- ALL-45MIN.M	25\YC-5-25 20)17-03-03 14-	40-28\DAD-0	J(1-6)-97-3-1ML	201
	: 3/4/2017 5:21:00	AM	Inj Inj Volume	: 1 : 2.000 µl		
Intection Date						

Data File E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-03-10 21-36-42\005-0801.D Sample Name: WWL-HY-M-BR-EE _____ Acq. Operator : SYSTEM Seq. Line : 8 Acq. Instrument : 1260HPLC-DAD Location : Vial 5 Injection Date : 3/11/2017 12:07:34 AM Inj: l Inj Volume : 2.000 µl Acq. Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-03-10 21-36-42\DAD-0J(1-6)-97-3-1ML-2UL-ALL-45MIN.M Last changed : 3/10/2017 10:26:20 PM by SYSTEM Analysis Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-03-10 21-36-42\DAD-0J(1-6)-97-3-1ML-2UL-ALL-45MIN.M (Sequence Method) : 3/11/2017 9:44:35 AM by SYSTEM Last changed (modified after loading) Additional Info : Peak(s) manually integrated DAD1C,Sig=210.4 Re⊨off(E:DATAWWLWWLHY:RACWWL-HY:RAC 2017-03-10 21-36-42005-0801.D) mAU OH 1750 ∕N_{_} Bn Br 1500 20.617 1250 1000 750 500 250 0 25 15 17.5 20 225 27.5 12.5 min Area Percent Report Sorted By : Signal Multiplier 1.0000 : Dilution : 1.0000 Do not use Multiplier & Dilution Factor with ISTDs Signal 1: DAD1 C, Sig=210,4 Ref=off Peak RetTime Type Width Height Area Area # [min] [min] [mAU*s] [mAU] % 1 20.617 BB 0.5934 5.22552e4 1250.82336 100.0000 Totals : 5.22552e4 1250.82336 *** End of Report ***

1260HPLC-DAD 3/11/2017 9:45:09 AM SYSTEM

(S)-2-(Benzyl(methyl)amino)-1-(4-bromophenyl)ethanol (2k)

Data File E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-24 09-28-58\065-0601.D Sample Name: P-BR-RAC

Acq. Operator	: SYSTEM		Seq. Line :	6		
Acq. Instrument	: 1260HPLC-DAD		Location :	Vial 65		
Injection Date	: 2/24/2017 11:45:43	AM	Inj:	1		
Ann Washad			nj Volume :	2.000 µI	0) D AD 07/1 C	
Acq. metnoa	: E:\DAIA\WWL\WWL-HI	-ԲАС\WWL-НІ-Բ տ	(AU 2017-02	24 09-28-5	8/DWD-09(I-0)-95-5-
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Analysis Method	 E • \DATA \ MUL \ MUL - HY 	-RUCIMUL-HA-R	AC 2017-02-	24 09-28-5	8\DAD-07(1-6	1-95-5-
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-	(modified after log	ading)				
Additional Info	: Peak(s) manually in	ntegrated				
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200 - 10 Sorted By Multiplier	12 14 Area Percen : Signal : 1.0000	16		<u>2</u>	22	24 n
200 - 10 Sorted By Multiplier Dilution	12 14 Area Percen : Signal : 1.0000 : 1.0000	t Report		20	22	24 n
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200 - - - - - - - - - - - - - - - - - - -	12 14 Area Percen : Signal : 1.0000 : 1.0000 iplier & Dilution Fac	t Report	18	20	22	24 m
200 - 0 - 10	12 14 Area Percen : Signal : 1.0000 : 1.0000 iplier & Dilution Fac	t Report	18	20	22	24 n
200 - 10 10 Sorted By Multiplier Dilution Do not use Multi Signal 1: DAD1 0	12 14 Area Percen : Signal : 1.0000 : 1.0000 iplier & Dilution Fac C, Sig=210,4 Ref=off	t Report	18		22	24 n
200 - 10 10 Sorted By Multiplier Dilution Do not use Multi Signal 1: DAD1 (Peak RetTime True	Area Percen : Signal : 1.0000 : 1.0000 iplier & Dilution Fac C, Sig=210,4 Ref=off ne Width	t Report tor with ISTT		20	22	24 n
200 - 10 10 Sorted By Multiplier Dilution Do not use Multi Signal 1: DAD1 (Peak RetTime Typ # [min]	Area Percent Area Percent : Signal : 1.0000 : 1.0000 iplier & Dilution Fact C, Sig=210,4 Ref=off pe Width Area [min] [mall#=1	t Report tor with ISTT Height	18 18	20	22	24 n
200 - 10 10 10 10 10 10 10 10 10 10	Area Percent : Signal : 1.0000 : 1.0000 iplier & Dilution Factor C, Sig=210,4 Ref=off pe Width Area [min] [mAU*s]	tor with ISTI Height [mAU]	18 18	20	22	24 m
200 - 10 10 200 - 10 200 - 10 200 - 10 200 - 200 - 20	Area Percen : Signal : 1.0000 : 1.0000 iplier & Dilution Fac C, Sig=210,4 Ref=off pe Width Area [min] [mAU*s] 	tor with ISTI Height [mAU]	Area *		22	24 m
200 - 10 10 Sorted By Multiplier Dilution Do not use Multi Signal 1: DAD1 (Peak RetTime Typ # [min] 	Area Percen : Signal : 1.0000 : 1.0000 iplier & Dilution Fac C, Sig=210,4 Ref=off pe Width Area [min] [mAU*s] 	16 18 t Report tor with ISTT Height [mAU] 	Area * 49.1446 50.8554		22	24 m
200- 10 10 200- 10 10 200- 10 200- 10 200-	12 14 Area Percen . : 1.0000 : 1.0000 iplier & Dilution Fac C, Sig=210,4 Ref=off pe Width Area [min] [mAU*s]	16 18 t Report tor with ISTT Height [mAU] 	Area * 49.1446 50.8554	<u>20</u>	22	24 n
200 10 10 10 10 10 10 10 10 10	12 14 Area Percen : 1,0000 : 1,0000 : 1,0000 : 1,0000 : 1,0000 : 1,0000 : 1,0000 : 1,0000 : 1,0000 : 1,0000 : 1,0000 : 1,0000 : 1,0000 : 1,0000 : 0.311 : 1.02485e4 : 3.02485e4	tor with ISTT Height [mAU] 	Area * 49.1446 50.8554	<u>20</u>	22	24 n
200- 10 10 10 10 10 10 10 10 10 10	Area Percen : Signal : 1.0000 : 1.0000 iplier & Dilution Fac C, Sig=210,4 Ref=off pe Width Area [min] [mAU*s] 	tor with ISTT Height [mAU] 	Area * 49.1446 50.8554		22	24 r
200 10 10 10 10 10 10 10 10 10	Area Percen : Signal : 1.0000 : 1.0000 iplier & Dilution Fac C, Sig=210,4 Ref=off pe Width Area [min] [mAU*s] 	tor with ISTT Height [mAU] 	Area * 49.1446 50.8554		22	24 n
200 - 10 10 10 10 10 10 10 10 10 10	Area Percen : Signal : 1.0000 : 1.0000 iplier & Dilution Fac C, Sig=210,4 Ref=off pe Width Area [min] [mAU*s] 	tor with ISTT Height [mAU] 	Area * 49.1446 50.8554	20	22	24 n
200 - 10 10 10 Sorted By Multiplier Dilution Do not use Mult: Signal 1: DAD1 (Peak RetTime Typ # [min] 1 16.154 BV 2 17.405 VB Fotals :	Area Percen : Signal : 1.0000 : 1.0000 iplier & Dilution Fac C, Sig=210,4 Ref=off pe Width Area [min] [mAU*s] 1-0.3347 1.48655e4 0.3757 1.53830e4 3.02485e4 **** End of	16 t Report tor with ISTT [mAU] 	Area * 49.1446 50.8554	20	<u> </u>	24 n
200 - 10 10 10 10 10 10 10 10 10 10	Area Percen : Signal : 1.0000 : 1.0000 iplier & Dilution Fac: C, Sig=210,4 Ref=off pe Width Area [min] [mAU*s] -1	16 t Report tor with ISTT [mAU] 675.62274 619.44073 1295.06348 Report ***	Area * 	20	22 22	24 r

Data File E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-24 09-28-58\045-1101.D Sample Name: P-BR-EE _____ Acq. Operator : SYSTEM Acq. Instrument : 1260HPLC-DAD Seq. Line : 11 Location : Vial 45 Injection Date : 2/24/2017 2:20:14 PM Inj: 1 Inj Volume : 2.000 µl Acq. Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-24 09-28-58\DAD-0J(1-6)-95-5-1ML-2UL-ALL-30MIN.M Last changed : 2/24/2017 9:28:58 AM by SYSTEM Analysis Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-24 09-28-58\DAD-0J(1-6)-95-5-1ML-2UL-ALL-30MIN.M (Sequence Method) : 2/24/2017 4:33:15 PM by SYSTEM Last changed (modified after loading) Additional Info : Peak(s) manually integrated DAD1C, Sig=210.4 Ref=off(E:DATAWWLWWLHY:RAC:2017-02:24:09-28:58045:1101.D) mAU OH Ń. Bn 2000 Br 15,994 1500 1000 500 0 16 18 22 14 20 24 12 min Area Percent Report Sorted By : Signal Multiplier 1.0000 : Dilution : 1.0000 Do not use Multiplier & Dilution Factor with ISTDs Signal 1: DAD1 C, Sig=210,4 Ref=off Peak RetTime Type Width Height Area Area # [min] [min] [mAU*s] [mAU] % 1 15.994 BB 0.3777 3.61847e4 1447.10156 100.0000 Totals : 3.61847e4 1447.10156 *** End of Report ***

1260HPLC-DAD 2/24/2017 4:33:18 PM SYSTEM

(S)-2-(tert-butylamino)-1-phenylethanol (2l)

Data File E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-03-13 22-31-48\042-0401.D Sample Name: WWK-HY-TBU-RAC-1

_____ Acq. Operator : SYSTEM Acq. Instrument : 1260HPLC-VWD Seq. Line : 4 Location : Vial 42 Injection Date : 3/13/2017 11:34:54 PM Inj : 1 Inj Volume : 1.000 μl : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-03-13 22-31-48\VWD-AD(1-2)-85-15-Acg. Method 1ML-1UL-210NM-30MIN.M : 3/13/2017 10:31:49 PM by SYSTEM Last changed Analysis Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-03-13 22-31-48\VWD-AD(1-2)-85-15-1ML-1UL-210NM-30MIN.M (Sequence Method) Last changed : 3/14/2017 4:30:47 PM by SYSTEM (modified after loading) Additional Info : Peak(s) manually integrated VWD1A, Wavelength=210 nm (E:\DATAWWL\WWLHY:RAC:WWLHY:RAC:2017-03-13:22-31-480042-0401.D) mAU Ph 0⁄⁄ 250 O Н N ^tBu 200 SACE BASE 150 2 180° 183(3 100 50 o 12 14 16 18 min Area Percent Report Sorted By Signal : Multiplier 1.0000 : Dilution 1.0000 : Do not use Multiplier & Dilution Factor with ISTDs Signal 1: VWD1 A, Wavelength=210 nm Peak RetTime Type Width Area Height Area # [min] [mAU*s] [mAŬ] % 1 12.508 MM 0.3712 3038.59009 136.44746 50.6779 2 15.644 MM 0.5403 2957.30371 91.22073 49.3221 Totals : 5995.89380 227.66819 _____ *** End of Report *** Page 1 of 1 1260HPLC-VWD 3/14/2017 4:30:50 PM SYSTEM

Data File E:\DATA\WWL\WWL-HY-RAC\WWL-HY-EE 2017-03-14 16-44-34\041-0201.D Sample Name: WWL-HY-TBU-EE _____ Acq. Operator : SYSTEM Seq. Line : 2 Acq. Instrument : 1260HPLC-VWD Location : Vial 41 Injection Date : 3/14/2017 4:56:09 PM Inj: 1 Inj Volume : 5.000 µl Acq. Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-EE 2017-03-14 16-44-34\WWD-ADH(1-2)-85-15-1-5UL-210NM-30MIN.M : 3/14/2017 5:23:07 PM by SYSTEM Last changed (modified after loading) Analysis Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-EE 2017-03-14 16-44-34\VWD-ADH(1-2)-85-15-1-5UL-210NM-30MIN.M (Sequence Method) : 3/14/2017 5:28:57 PM by SYSTEM Last changed (modified after loading) Additional Info : Peak(s) manually integrated VWD1A, Wavelength=210 nm (E:\DATAWWWLWWL HY:RACWWL HY:EE 2017-03-14 16-44-34/041-0201.D) mALL 600 Ph Ο 500 Н ^tBu 400 12.513 300 200 100 ο 15 13 14 17 min Area Percent Report _____ Sorted By Signal Multiplier 1.0000 : Dilution 1.0000 : Do not use Multiplier & Dilution Factor with ISTDs Signal 1: VWD1 A, Wavelength=210 nm Peak RetTime Type Width Area Height Area [mAU*s] # [min] [min] [mAU] * 1 12.513 BV 2 15.809 MM 0.3473 5871.37012 257.20615 99.5870 0.2398 24.34677 1.69225 0.4130 Totals : 5895.71689 258.89840 _____

1260HPLC-VWD 3/14/2017 5:29:27 PM SYSTEM

(R)-2-(benzyl(methyl)amino)-1-(thiophen-2-yl)ethanol (2m)

Data File E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-03-10 18-54-02\002-0601.D Sample Name: WWL-HY-SAIFEN-RAC

Acq. Operator : SYSTEM	Seq. Line : 6
Acq. Instrument : 1260HPLC-DAD	Location : Vial 2
Injection Date : 3/10/2017 8:22:50 F	PM Inj: 1
Acc Method · F·\DATA\WWL\WWL-HV-	-ΡΔΟΝΠΑΙ_ΗΥ-ΡΔΟ 2017-03-10 18-54-02\ΝΔΝ-0Ι(1-6)-95-5-
1ML-2UL-ALL-30MIN.M	Mano, www.inf. And 1017, 00 10 10 04 02, php 00(1 0), 90 0
Last changed : 3/10/2017 8:42:52 F	PM by SYSTEM
(modified after los	ading)
Analysis Method : E:\DATA\WWL\WWL-HY-	-RAC\WWL-HY-RAC 2017-03-10 18-54-02\DAD-0J(1-6)-95-5-
IML-20L-ALL-30MIN.M	M (Sequence Method)
(modified after los	ading)
Additional Info : Peak(s) manually in	ntegrated
DAD1 C, Sig=210,4 Ref=off(E:\DATA\WWLWW	VL-HY-RACW/WL-HY-RAC 2017-03-10 18-54-02/002-0601.D)
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Sorted By · Signal	
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Dilucion : 1.0000	
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Do not use Multiplier & Dilution Fact	tor with ISTDs
Do not use Multiplier & Dilution Fact	tor with ISTDs
Dintion : 1.0000 Do not use Multiplier & Dilution Fact Signal 1: DAD1 C, Sig=210,4 Ref=off	tor with ISTDs
Dintion : 1.0000 Do not use Multiplier & Dilution Fact Signal 1: DAD1 C, Sig=210,4 Ref=off Peak RetTime Type Width Area	tor with ISTDs Height Area
Dintion : 1.0000 Do not use Multiplier & Dilution Fact Signal 1: DAD1 C, Sig=210,4 Ref=off Peak RetTime Type Width Area # [min] [mAU*s]	tor with ISTDs Height Area [mAU] %
Dilucion : 1.0000 Do not use Multiplier & Dilution Fact Signal 1: DAD1 C, Sig=210,4 Ref=off Peak RetTime Type Width Area # [min] [min] [mAU*s]	tor with ISTDs Height Area [mAU] %
Dintrion : 1.0000 Do not use Multiplier & Dilution Fact Signal 1: DAD1 C, Sig=210,4 Ref=off Peak RetTime Type Width Area # [min] [min] [mAU*s] 	tor with ISTDs Height Area [mAU] %
Dintrion : 1.0000 Do not use Multiplier & Dilution Fact Signal 1: DAD1 C, Sig=210,4 Ref=off Peak RetTime Type Width Area # [min] [min] [mAU*s] 	Height Area [mAU] %
Dilution : 1.0000 Do not use Multiplier & Dilution Fact Signal 1: DAD1 C, Sig=210,4 Ref=off Peak RetTime Type Width Area # [min] [min] [mAU*s] 1 19.231 BB 0.3872 1.31402e4 2 21.554 BB 0.4524 1.31534e4 Totals : 2.62936e4	tor with ISTDs Height Area [mAU] % 512.39063 49.9750 437.89984 50.0250 950.29047
Dilution : 1.0000 Do not use Multiplier & Dilution Fact Signal 1: DAD1 C, Sig=210,4 Ref=off Peak RetTime Type Width Area # [min] [min] [mAU*s] 1 19.231 BB 0.3672 1.31402e4 2 21.554 BB 0.4524 1.31534e4 Totals : 2.62936e4	Height Area [mAU] % 512.39063 49.9750 437.89984 50.0250 950.29047
Dilution : 1.0000 Do not use Multiplier & Dilution Fact Signal 1: DAD1 C, Sig=210,4 Ref=off Peak RetTime Type Width Area # [min] [min] [mAU*s] 1 19.231 BB 0.3872 1.31402e4 2 21.554 BB 0.4524 1.31534e4 Totals : 2.62936e4	tor with ISTDs Height Area [mAU] % 512.39063 49.9750 437.89984 50.0250 950.29047

1260HPLC-DAD 3/11/2017 9:30:44 AM SYSTEM

Data File E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-03-10 21-36-42\004-0601.D Sample Name: WWL-HY-SAIFEN-EE Acq. Operator : SYSTEM Seq. Line : 6 Acq. Instrument : 1260HPLC-DAD Location : Vial 4 Injection Date : 3/10/2017 11:25:36 PM Inj: 1 Inj Volume : 2.000 µl Acq. Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-03-10 21-36-42\DAD-0J(1-6)-95-5-1ML-2UL-ALL-30MIN.M Last changed : 3/10/2017 10:24:42 PM by SYSTEM Analysis Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-03-10 21-36-42\DAD-0J(1-6)-95-5-1ML-2UL-ALL-30MIN.M (Sequence Method) : 3/11/2017 9:35:39 AM by SYSTEM Last changed (modified after loading) Additional Info : Peak (s) manually integrated DAD1C, Sig=210,4 Ref=off(E:DATAWWLWWLHY: RACWWL-HY:RAC 2017-03-10 21-38-42004-0601.D) mAU 2500 OН 88 ã 2000 1500 1000 500 8 σ n 27.5 15 12.5 17.5 20 225 25 min Area Percent Report Sorted By Signal : Multiplier 1.0000 : 1.0000 Dilution : Do not use Multiplier & Dilution Factor with ISTDs Signal 1: DAD1 C, Sig=210,4 Ref=off Peak RetTime Type Width Area Height Area # [min] [min] [mAU*s] [mAU] * # [min] [min] [mao"3] [mao] ~ 1 19.130 BB 0.3338 110.10705 4.72548 0.1197 2 20.560 BB 0.5945 9.18732e4 1939.86816 99.8803 9.19833e4 1944.59365 Totals : *** End of Report *** Page 1 of 1 1260HPLC-DAD 3/11/2017 9:35:45 AM SYSTEM

(R)-2-(benzyl(methyl)amino)-1-(pyridin-2-yl)ethanol (2n)

Data File E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-03-11 15-01-06\001-0201.D Sample Name: WWL-HY-PY-RAC

_____ Acq. Operator : SYSTEM Seq. Line : 2 Acq. Instrument : 1260HPLC-VWD Location : Vial 1 Injection Date : 3/11/2017 3:12:39 PM Inj : 1 Inj Volume : 1.000 μl : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-03-11 15-01-06\VWD-AS(1-6)-97-3-Acg. Method 1ML-1UL-210NM-40MIN.M : 3/11/2017 3:01:06 PM by SYSTEM Last changed Analysis Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-03-11 15-01-06\WWD-AS(1-6)-97-3-1ML-1UL-210NM-40MIN.M (Sequence Method) Last changed : 3/11/2017 6:40:28 PM by SYSTEM (modified after loading) Additional Info : Peak(s) manually integrated VWD1 A, Wavelength=210 nm (E:\DATAWWL\WWL HY-RACWWLHY-RAC 2017-03-11 15-01-06001-0201.D) mAU ОН 400 300 12.830 200 17.333 100 0 12 14 16 18 'n 22 24 26 min _____ Area Percent Report Sorted By Signal : 1.0000 Multiplier : 1.0000 Dilution : Do not use Multiplier & Dilution Factor with ISTDs Signal 1: VWD1 A, Wavelength=210 nm Peak RetTime Type Width Area Height Area # [min] [min] [mAU*s] [mAU] % 1 12.930 BB 0.7926 1.19120e4 211.00032 49.8365 2 17.333 BB 1.0925 1.19901e4 154.47755 50.1635 2.39021e4 365.47787 Totals : *** End of Report *** Page 1 of 1 1260HPLC-VWD 3/11/2017 6:40:31 PM SYSTEM

Data File E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-03-11 18-45-59\004-0201.D Sample Name: WWL-HY-PY-EE-2.3 _____ Acq. Operator : SYSTEM Seq. Line : 2 Location : Vial 4 Acq. Instrument : 1260HPLC-VWD Injection Date : 3/11/2017 6:57:34 PM Inj: l Inj Volume : 5.000 µl Acq. Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-03-11 18-45-59\VWD-AS(1-6)-97-3-1ML-5UL-210NM-40MIN.M Last changed : 3/11/2017 6:46:00 PM by SYSTEM Analysis Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-03-11 18-45-59\WWD-AS(1-6)-97-3-1ML-5UL-210NM-40MIN.M (Sequence Method) : 3/11/2017 7:41:05 PM by SYSTEM Last changed (modified after loading) Additional Info : Peak(s) manually integrated VWD1A, Wavelength=210 nm (E:\DATAWWL\WWLHY: RAC 2017-03-11 18:45:59004:0201.D) mAU 600 OH 500 17.085 400 300 200 100 o 15 17.5 25 27.5 12.5 20 225 min Area Percent Report _____ Sorted By : Signal Multiplier 1.0000 : Dilution 1.0000 : Do not use Multiplier & Dilution Factor with ISTDs Signal 1: VWD1 A, Wavelength=210 nm Peak RetTime Type Width Height Area Area # [min] [mAU*s] [mAŬ] % 1 17.035 BB 0.9321 2.70536e4 416.34421 100.0000 Totals : 2.70536e4 416.34421 *** End of Report ***

1260HPLC-VWD 3/11/2017 7:41:09 PM SYSTEM

(S)-3-(2-(benzyl(methyl)amino)-1-hydroxyethyl)phenol (20)

Data File E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-22 08-56-58\042-0401.D Sample Name: HY-M-OH-RAC

```
Acq. Operator : SYSTEM
                                       Seq. Line :
                                                  4
Acq. Instrument : 1260HPLC-DAD
                                        Location : Vial 42
                                       Inj : 1
Inj Volume : 2.000 μl
Injection Date : 2/22/2017 9:57:24 AM
            : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-22 08-56-58\DAD-0J(1-6)-80-20-
Acq. Method
              1ML-2UL-ALL-30MIN.M
           : 2/22/2017 10:24:57 AM by SYSTEM
Last changed
              (modified after loading)
Analysis Method : E:\DATA\WWL\WWL-HY-RAC\WWL-HY-RAC 2017-02-22 08-56-58\DAD-0J(1-6)-80-20-
              1ML-2UL-ALL-30MIN.M (Sequence Method)
Last changed
            : 2/24/2017 10:00:38 AM by SYSTEM
              (modified after loading)
Additional Info : Peak(s) manually integrated
      DAD1 C, Sig=210,4 Ref=off (E:/DATAWW/L/WW/L-HY-RAC/W/WL-HY-RAC 2017-02-22 08-56-58/042-0401.D)
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Multiplier
                 :
                       1.0000
Dilution
                 :
Do not use Multiplier & Dilution Factor with ISTDs
Signal 1: DAD1 C, Sig=210,4 Ref=off
Peak RetTime Type Width
                       Area
                               Height
                                         Area
               [min] [mAU*s]
 # [min]
                               [mAU]
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- 1
  1 10.833 MM 0.3931 4.84883e4 2055.69678 50.2878
  2 15.874 MM
              0.5646 4.79334e4 1415.00256 49.7122
Totals :
                     9.64216e4 3470.69934
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1260HPLC-VWD 2/24/2017 10:00:43 AM SYSTEM



Data File E:\DATA\WWL\WWL-HY-EE\WWL-HY-EE 2017-02-23 20-02-18\067-1001.D

1260HPLC-VWD 2/24/2017 9:49:58 AM SYSTEM

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