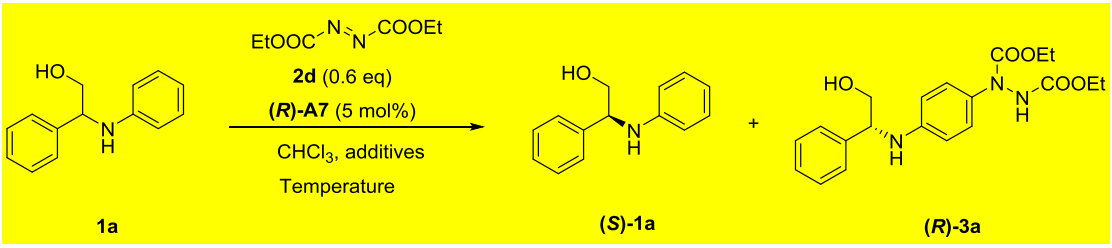
Electronic Supplementary Material (ESI) for ChemComm.

Table of Content

General Information

Unless specified otherwise, all of the commercial reagents were used directly without further purification. Chloroform was dried by activated 5Å molecular sieves, and dichloromethane, toluene, ether, THF were purified by passage through an activated alumina column under nitrogen. Thin-layer chromatography (TLC) analysis of reaction systems was performed using Huanghai silica gel HSGF254 TLC plates, and visualized under UV or by staining with ceric ammonium molybdate. Flash column chromatography was carried out on Huanghai Silica Gel HHGJ-300, 300-400 mesh. Nuclear magnetic resonance (NMR) spectra were recorded using a Bruker Avance III HD spectrometer (FT, 500 MHz or 400 MHz for ^{1}H, 126 MHz or 101 MHz for ^{13}C, 471 MHz for ^{19}F). Data for 1H NMR were reported as follows: chemical shift (δ ppm downfield from tetramethylsilane and referenced to residual solvent peaks), multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, br = broad resonance), integration, coupling constant (Hz). Data for ^{13}C NMR were reported in terms of chemical shift. FT-IR spectra were recorded on a ThermoFisher Scientific Nicolet iS5 Spectrometer and are reported in terms of frequency of absorption (cm^{-1}). Mass spectral data were obtained from a Thermo ultimate 3000 Ultra Performance Liquid Chromatography associated with Q Exactive Focus mass spectrometer in electrospray ionization (ESI^{+}) mode or atmospheric pressure chemical ionization ($APCI^{+}$) mode. Optical rotation was measured by an Autopol V Plus/VI digital polarimeter. X-ray structure analysis was performed using a Bruker D8 Venture X-ray single crystal diffractometer. Enantiomeric excess was determined on an Agilent 1260 Chiral HPLC using IA, IB, IC, ID and IG columns.

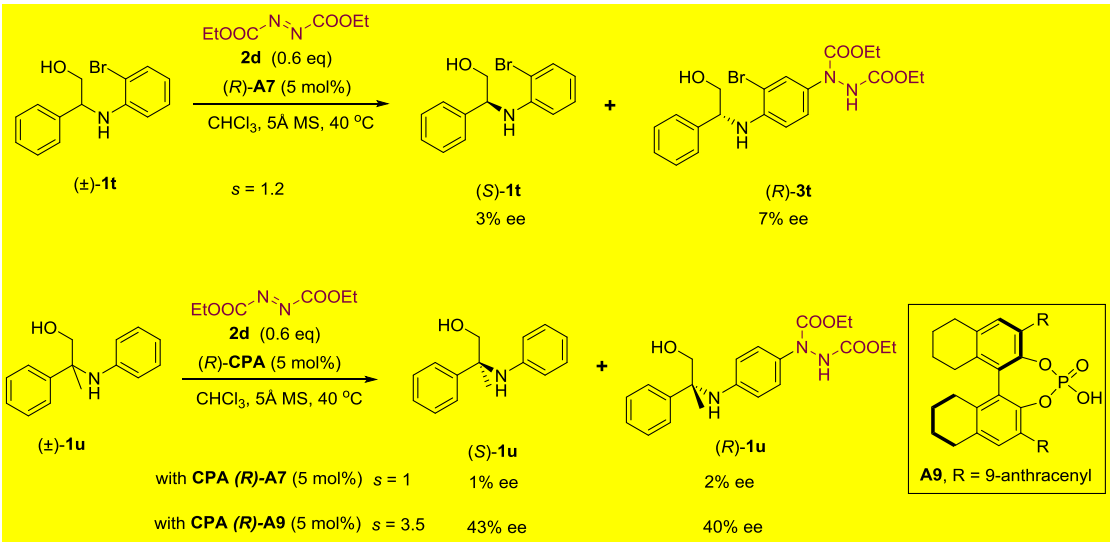
Table S1. More optimizations of the reaction conditions



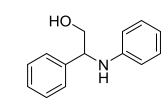
Entry	Temperature (°C)	Additives	ee_s (%)[b]	ee_p (%)[b]	C (%)[c]	s[d]
1	0	5 Å MS	86	72	54	17
2	10	5 Å MS	86	84	51	32
3	25	5 Å MS	96	87	53	52
4	40	5 Å MS	92	94	49	106
5[e]	50	5 Å MS	86	95	48	109
6	40	None	47	70	40	9
7	40	4 Å MS	75	81	48	21

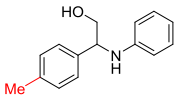
[a]Reactions were run with **1a** (0.1 mmol), **2d** (0.06 mmol) with CPA (*R*)-**A7** (0.005 mmol, 5 mol%) in $CHCl_3$ (1 mL). [b]Determined by HPLC analysis on a chiral stationary phase. [c]Conversion (C) = $ee_s/(ee_s+ee_p)$. [d]$s = \ln[(1-C)(1-ee_s)]/\ln[(1-C)(1+ee_s)]$. [e](*S*)-**1a** was isolated in 34% yield, (*R*)-**3a** was isolated in 30% yield.

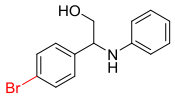
Scheme S1. Incompatible substrate scope.

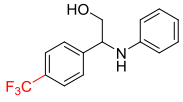


Synthesis of racemic β-amino alcohol substrates


(±)-1a


(±)-1b


(±)-1c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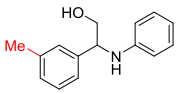
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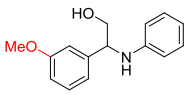
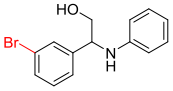

(±)-1f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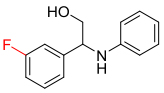
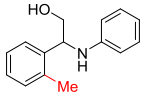
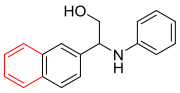

(±)-1h


(±)-1i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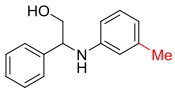
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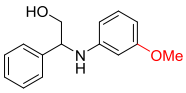
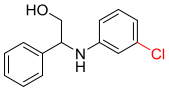

(±)-1l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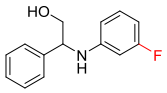
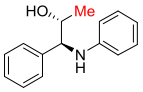

(±)-1n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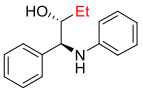
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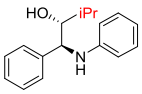
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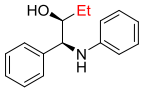
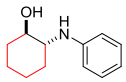
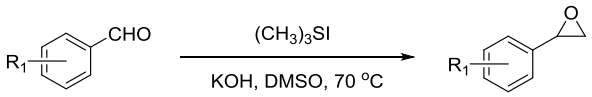

(±)-1r


(±)-1s

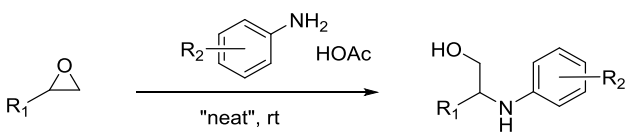
General method for preparation of epoxide intermediate



S1

To a solution of the aromatic aldehyde (10 mmol, 1equiv.) in DMSO (20 mL) was add trimethylsulfonium iodide (2.04 g, 10 mmol, 1 equiv.) and water (0.1 mL). Potassium hydroxide (1.12 g, 20 mmol, 2 equiv.) was then added and the mixture was allowed to stirred at 70°C. After stirring overnight, the reaction mixture was cooled down to room temperature and washed with brine, dried over Na_2SO_4, filtered and concentrated to give the residue of the epoxide **S1**, which was purified with silica gel chromatography (generally petroleum ether: EtOAc = 20:1) to give products **S1**.

Method A

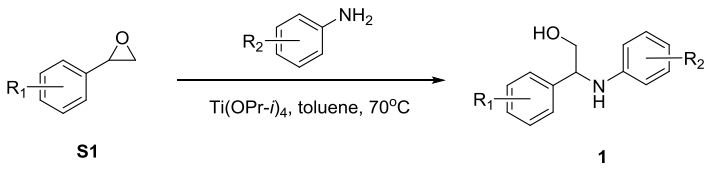


S1 **1**

Substrates **1a**, **1b**, **1d-1f**, **1i**, **1k-1n** were synthesized with **method A**

To the mixture of epoxide **S1** (2 mmol, 1 equiv.) and aniline (2 mmol, 1 equiv.) acetic acid (2.2 mmol, 1.1 equiv.) was injected at room temperature without solvent. The mixture was allowed to stir vigorous overnight to give the residue, which was purified with chromatography (petroleum ether: EtOAc = 7:1) to afford the racemic 2-amino-alcohols **1**.

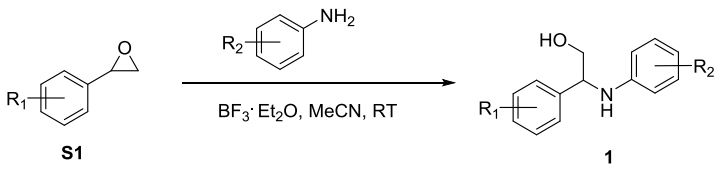
Method B



Substrates **1c**, **1g** were synthesized with **method B**

To a solution of **S1**(10 mmol, 1 equiv.) in toluene (25 mL) was added aniline (3.72 g, 40 mmol, 4 equiv.) and titanium(IV) isopropoxide (4.2 g, 15 mmol, 1.5 equiv.) under inert atmosphere. The reaction mixture was allowed to stir overnight at room temperature. After completion, water was introduced to quench the reaction, and The mixture was then diluted with EtOAc and washed with 1 M HCl aqueous solution, $NaHCO_3$ aqueous solution and brine. The organic layer was dried over Na_2SO_4, filtered and concentrated to give the residue, which was purified by column chromatography (petroleum ether: EtOAc = 7:1) to afford the product **1**.

Method C

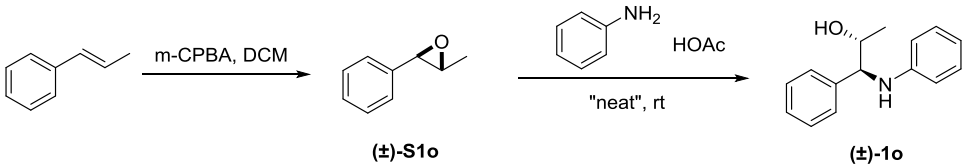


Substrates **1h**, **1j** were synthesized with **method C**

To a solution of epoxide compound **S1**(6.5 mmol, 1 equiv.) in MeCN (15 mL) was added aniline (7.8 mmol, 1.2 equiv). $BF_3{\cdot}Et_2O$ (0.65 mmol, 0.1 equiv) was then added to the mixture

dropwisely. After stirring overnight at room temperature, saturated NH_4Cl was introduced to quench the reaction. The mixture was then diluted with EtOAc, washed with brine, dried over Na_2SO_4 and concentrated to give the residue, which was purified by column chromatography **(**petroleum ether: EtOAc = 7:1**)** to afford **1**.

Method D

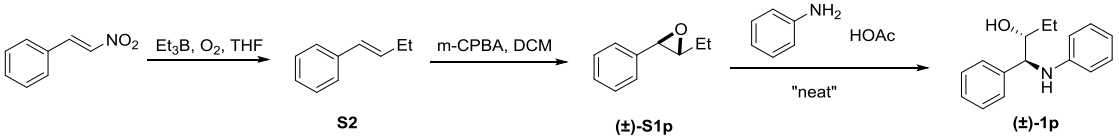


(±)-S1o **(±)-1o**

To a solution of (*E*)-β-methylstyrene (590 mg, 5 mmol, 1 equiv.) in DCM (20 mL) was added 3-chloroperbenzoic acid (m-CPBA, 85%, 1.22 g, 6 mmol, 1.2 equiv.) at 0 ^oC. The mixture was allowed to warm to room temperature and to stir overnight. After completion of reaction, saturated Na_2CO_3 aqueous solution (30 mL) was introduced. The mixture was extracted with DCM for three times, and the combined organic layer was dried over Na_2SO_4 and concentrated, purified by column (elute with petroleum ether) to give epoxide **S1o** (599 mg, 4.47 mmol, 90%).

To the mixture of epoxide **S1o** (400 mg, 3 mmol, 1 equiv.) and aniline (280 mg, 3 mmol, 1 equiv.) acetic acid (198 mg, 3.3 mmol, 1.1 eq) was injected at room temperature without solvent. The mixture was allowed to stir vigorous overnight to give the residue, which was purified with chromatography (petroleum ether: EtOAc = 7: 1) to afford the racemic product **1o** (350 mg, 52%).

Method E



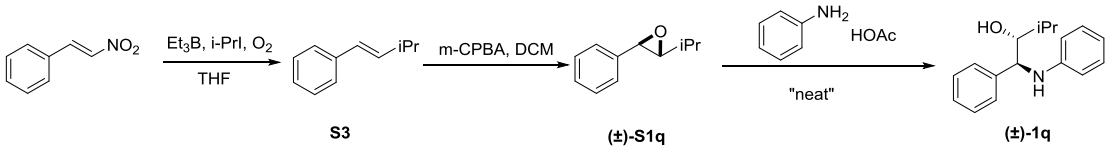
S2 **(±)-S1p** **(±)-1p**

To a solution of (*E*)-β-nitrostyrene (745 mg, 5 mmol, 1 equiv.) in THF (10 mL) was introduced solution of triethylborane in THF (1 mol/L, 15 mL, 15 mmol) under oxygen

atmosphere. After completion of this reaction as monitored by TLC, it was concentrated and purified by column chromatography (elute with petroleum ether) to give the trans-alkene intermediate **S2**(275 mg, 41%).

The method of the following steps (the epoxidation and the epoxy addition by aniline) can refer from **Method D** to afford the racemic product **1p**as white solid, 232 mg, 48% yield for 2 steps from **S2** (275 mg), which was purified by column chromatography (petroleum ether: EtOAc = 10:1).

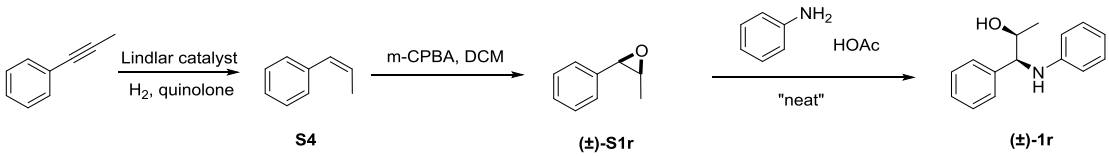
Method F



To a solution of (*E*)-β-nitrostyrene (745 mg, 5 mmol, 1 equiv.) and 2-iodopropane (7.65 g, 45 mmol, 9 equiv.) in THF (10 mL) was introduced solution of triethylborane in THF (1 mol/L, 15 mL, 15 mmol, 3 equiv.) under oxygen atmosphere. After completion of this reaction as monitored by TLC, it was concentrated and purified by column chromatography (elute with petroleum ether) to give the trans alkene intermediate **S3** (540 mg, 74%).

The method of the following steps (the epoxidation and the epoxy addition by aniline) can refer from **Method D** to afford the racemic product **1r** as white solid, 280 mg, 33% yield for 2 steps from **S3** (483 mg), which was purified by column chromatography (petroleum ether: EtOAc = 10:1).

Method G

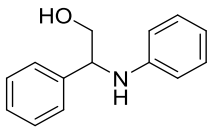


To a solution of 1-phenyl-1-propyne (1.16 g, 10 mmol, 1 equiv.) in DCM (30 mL) was introduced Lindlar catalyst (Pd 5% coated in $BaSO_4$, 636 mg, 0.3 mmol, 0.03 equiv.) and quinolone (3.87 g, 30 mmol, 3 equiv.) under H_2 at 1 atm. After completion of reaction as monitored by GC-MS spectroscopy, the mixture was concentrated and purified by column

chromatography (elute with petroleum ether) to give the (Z)-alkene intermediate **S4** (1.10 g, 93%).

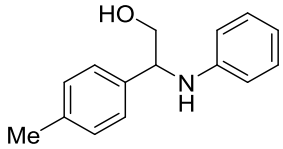
The method of the following steps (the epoxidation and the epoxy addition by aniline) can refer from **Method D** to afford the racemic product **1r** as white solid, 835 mg, 75% yield for 2 steps from S4 (578 mg), which was purified by column chromatography (petroleum ether: EtOAc = 10:1).

2-phenyl-2-(phenylamino)ethan-1-ol (**1a**)



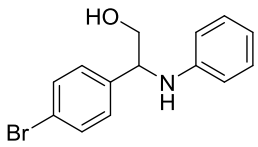
Yellow oil, 368 mg, 86% yield from **S1a** (240 mg), purified by column chromatography (petroleum ether: EtOAc = 7:1). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.40 – 7.27 (m, 5H), 7.11 (t, *J* = 7.7 Hz, 2H), 6.69 (t, *J* = 7.4 Hz, 1H), 6.58 (d, *J* = 7.9 Hz, 2H), 4.56 – 4.47 (m, 1H), 3.95 (dd, *J* = 11.2, 4.0 Hz, 1H), 3.76 (dd, *J* = 11.1, 7.1 Hz, 1H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 147.3, 140.2, 129.3, 129.0, 127.8, 126.9, 118.1, 114.0, 67.5, 60.0. HRMS(ESI): $[M+H]^+$ calculated for $C_{14}H_{16}NO^+$: 214.1226, found 214.1218. IR (cm^{-1}): *f* = 3392, 3023, 2921, 2847, 1599, 1500, 1314, 1064, 1026, 747, 691.

2-(phenylamino)-2-(p-tolyl)ethan-1-ol (**1b**)



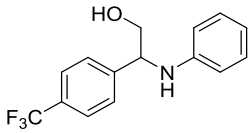
Yellow oil, 612 mg, 77% yield from **S1b** (469 mg), purified by column chromatography (petroleum ether: EtOAc = 5:1). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.24 (s, 2H), 7.12 (dd, *J* = 27.2, 7.8 Hz, 4H), 6.70 (d, *J* = 7.4 Hz, 1H), 6.58 (d, *J* = 8.0 Hz, 2H), 4.47 (t, *J* = 5.8 Hz, 1H), 3.91 (dd, *J* = 11.1, 4.4 Hz, 1H), 3.74 (dd, *J* = 11.5, 6.8 Hz, 1H), 2.33 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 147.3, 137.4, 137.0, 129.7, 129.3, 126.8, 118.1, 114.1, 67.5, 59.9, 21.2. HRMS(ESI): $[M+H]^+$ calculated for $C_{15}H_{18}NO^+$: 228.1383, found 228.1374. IR (cm^{-1}): *f* = 3390, 3049, 2920, 2849, 1710, 1600, 1501, 1315, 1258, 1065, 1018, 811, 748, 691.

2-(4-bromophenyl)-2-(phenylamino)ethan-1-ol (**1c**)



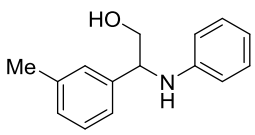
Yellow oil, 255 mg, 35% yield from **S1c** (500 mg), purified by column chromatography (petroleum ether: EtOAc = 6:1). ^{1}H NMR (400 MHz, $CDCl_3$) 7.47 (d, *J* = 8.0 Hz, 2H), 7.27 (d, *J* = 2.7 Hz, 2H), 7.12 (t, *J* = 7.7 Hz, 2H), 6.71 (t, *J* = 7.4 Hz, 1H), 6.55 (d, *J* = 7.9 Hz, 2H), 4.46 (dd, *J* = 7.1, 4.0 Hz, 1H), 3.94 (dd, *J* = 11.2, 4.0 Hz, 1H), 3.73 (dd, *J* = 11.1, 7.1 Hz, 1H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 147.0, 139.4, 132.1, 129.3, 128.7, 121.6, 118.3, 114.0, 67.2, 59.6. HRMS(ESI): $[M+H]^+$calculated for $C_{14}H_{15}BrNO^+$: 292.0332, found 292.0332. IR (cm^{-1}): *f* = 3390, 3049, 2922, 2850, 1599, 1501, 1314, 1066, 1008, 818, 748, 691.

2-(phenylamino)-2-(4-(trifluoromethyl)phenyl)ethan-1-ol (**1d**)



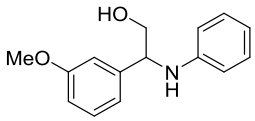
Colorless oil, 113 mg, 25% yield from **S1d** (450 mg), purified by column chromatography (petroleum ether: EtOAc = 6:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.67 – 7.45 (m, 4H), 7.12 (t, *J* = 7.7 Hz, 2H), 6.71 (t, *J* = 7.3 Hz, 1H), 6.54 (d, *J* = 7.9 Hz, 2H), 4.56 (dd, *J* = 6.9, 4.1 Hz, 1H), 3.99 (dd, *J* = 11.1, 4.1 Hz, 1H), 3.77 (dd, *J* = 11.1, 6.9 Hz, 1H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 146.7, 144.5, 129.3, 127.2, 125.8 (q, *J* = 3.8 Hz), 118.3, 113.9, 67.0, 59.7. ^{19}F NMR (471 MHz, $CDCl_3$) δ -62.5. HRMS(ESI): $[M+H]^+$ calculated for $C_{15}H_{15}F_3NO^+$: 282.1100, found 282.1096. IR (cm^{-1}): *f* = 3390, 2959, 2923, 2851, 1601, 1503, 1323, 1258, 1101, 1065, 1016, 797.

2-(phenylamino)-2-(m-tolyl)ethan-1-ol (**1e**)



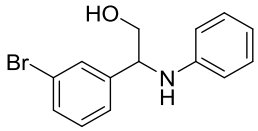
Yellow oil, 1.10 g, 65% yield from **S1e** (1.0 g), purified by column chromatography (petroleum ether: EtOAc = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.30 – 7.08 (m, 6H), 6.72 (t, *J* = 7.3 Hz, 1H), 6.66 – 6.60 (m, 2H), 4.50 (dd, *J* = 7.0, 4.2 Hz, 1H), 3.96 (dd, *J* = 11.2, 4.2 Hz, 1H), 3.85 – 3.74 (m, 1H), 2.36 (s, 3H). ^{13}C NMR (126 MHz, CDCl3) δ 147.4, 140.2, 138.6, 129.3, 128.9, 128.6, 127.5, 123.9, 118.0, 114.0, 67.5, 60.0, 21.7. HRMS(ESI): $[M+H]^+$ calculated for $C_{15}H_{18}NO^+$: 228.1383, found 228.1380. IR (cm^{-1}): *f* = 3396, 3052, 2923, 2581, 1707, 1599, 1501, 1451, 1314, 1259, 1064, 1023, 747, 691.

2-(3-methoxyphenyl)-2-(phenylamino)ethan-1-ol (**1f**)



Colorless oil, 202 mg, 50% yield from **S1f** (250 mg), purified by column chromatography (petroleum ether: EtOAc = 7:1). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.26 (s, 2H), 7.10 (t, *J* = 7.7 Hz, 2H), 6.96 (d, *J* = 7.6 Hz, 1H), 6.80 (dd, *J* = 8.1, 2.6 Hz, 1H), 6.68 (t, *J* = 7.3 Hz, 1H), 6.58 (d, *J* = 7.9 Hz, 2H), 4.47 (dd, *J* = 7.0, 4.1 Hz, 1H), 3.93 (dd, *J* = 11.3, 4.1 Hz, 1H), 3.78 (s, 4H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 160.2, 147.3, 142.0, 130.0, 129.3, 119.2, 118.1, 114.0, 112.9, 112.7, 67.4, 60.1, 55.3. HRMS(ESI): $[M+H]^+$ calculated for $C_{15}H_{18}NO_2^+$: 244.1332, found 244.1330. IR (cm^{-1}): *f* = 3384, 2921, 2850, 1599, 1502, 1315, 1258, 1041, 789, 694.

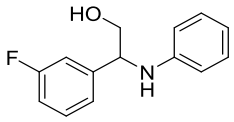
2-(3-bromophenyl)-2-(phenylamino)ethan-1-ol (**1g**)



Yellow oil, 320 mg, 11% yield from **S1g** (1.99 g), purified by column chromatography (petroleum ether: EtOAc = 7:1). ^{1}H NMR (400 MHz, $CDCl_3$) 7.54 (t, *J* = 1.9 Hz, 1H), 7.41 (dd, *J* = 7.8, 1.9 Hz, 1H), 7.31 (d, *J* = 7.7 Hz, 1H), 7.21 (t, *J* = 7.8 Hz, 1H), 7.13 (t, *J* = 7.9 Hz, 2H), 6.72 (t, *J* = 7.3 Hz, 1H), 6.55 (d, *J* = 8.0 Hz, 2H), 4.45 (dd, *J* = 7.1, 4.1 Hz, 1H), 3.93 (dd, *J* = 11.2, 4.0 Hz, 1H), 3.73 (dd, *J* = 11.1, 7.0 Hz, 1H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 147.0, 143.0, 130.9, 130.5, 129.9, 129.4, 125.6, 123.1, 118.3, 113.9, 67.2, 59.6. HRMS(ESI):

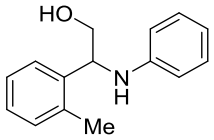
$[M+H]^+$ calculated for $C_{14}H_{15}BrNO^+$: 292.0332, found 292.0330. IR (cm^{-1}): *f* = 3392, 3051, 2922, 2850, 1716, 1600, 1503, 1339, 1258, 1179, 1066, 1026, 782, 748, 719, 691.

2-(3-fluorophenyl)-2-(phenylamino)ethan-1-ol (**1h**)



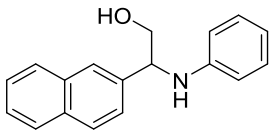
Yellow oil, 423 mg, 28% yield from **S1h** (900 mg), purified by column chromatography (petroleum ether: EtOAc = 7:1). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.31 (q, *J* = 7.3 Hz, 1H), 7.20 – 7.07 (m, 4H), 6.96 (t, *J* = 8.6 Hz, 1H), 6.71 (t, *J* = 7.4 Hz, 1H), 6.56 (d, *J* = 7.9 Hz, 2H), 4.49 (t, *J* = 5.6 Hz, 1H), 3.95 (dd, *J* = 11.2, 4.1 Hz, 1H), 3.75 (dd, *J* = 11.2, 7.0 Hz, 1H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 163.4 (d, *J* = 246.5 Hz), 147.0, 143.2 (d, *J* = 6.5 Hz), 130.5 (d, *J* = 8.2 Hz), 129.3, 122.5 (d, *J* = 2.8 Hz), 118.4, 114.7 (d, *J* = 21.3 Hz), 114.0, 67.2, 59.7. ^{19}F NMR (471 MHz, $CDCl_3$) δ -112.3. HRMS(ESI): $[M+H]^+$ calculated for $C_{14}H_{15}FNO^+$: 232.1132, found 232.1129. IR (cm^{-1}): *f* = 3393, 2959, 2923, 2851, 1600, 1503, 1447, 1314, 1258, 1061, 1016, 787, 692

2-(phenylamino)-2-(o-tolyl)ethan-1-ol (**1i**)



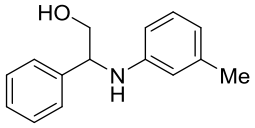
Yellow oil, 1.63 g, 72% yield from **S1i** (1.34 g), purified by column chromatography (petroleum ether: EtOAc = 7:1). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.41 – 7.37 (m, 1H), 7.18 (q, *J* = 7.3, 6.9 Hz, 3H), 7.10 (t, *J* = 7.8 Hz, 2H), 6.68 (t, *J* = 7.3 Hz, 1H), 6.52 (d, *J* = 7.9 Hz, 2H), 4.73 (t, *J* = 5.6 Hz, 1H), 3.91 (dd, *J* = 11.5, 4.1 Hz, 1H), 3.71 (dd, *J* = 11.3, 7.0 Hz, 1H), 2.46 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 147.3, 137.7, 135.5, 131.0, 129.3, 127.5, 126.7, 126.0, 118.1, 113.8, 65.8, 56.4, 19.3. HRMS(ESI): $[M+H]^+$ calculated for $C_{15}H_{18}NO^+$: 228.1383, found 228.1376. IR (cm^{-1}): *f* = 3386, 3048, 3019, 2922, 2851, 1711, 1599, 1500, 1316, 1264, 1064, 1028, 750, 692.

2-(naphthalen-2-yl)-2-(phenylamino)ethan-1-ol (**1j**)



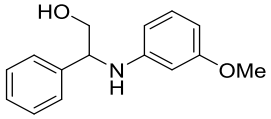
Yellow oil, 80 mg, 27% yield from **S1j** (190 mg), purified by column chromatography (petroleum ether: EtOAc = 7:1). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.84 (dq, *J* = 9.5, 5.9, 5.3 Hz, 4H), 7.49 (tt, *J* = 6.5, 3.0 Hz, 3H), 7.12 (dd, *J* = 9.5, 5.5 Hz, 2H), 6.77 – 6.57 (m, 3H), 4.66 (dd, *J* = 7.0, 4.2 Hz, 1H), 4.08 – 3.97 (m, 1H), 3.90 – 3.78 (m, 1H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 147.3, 137.7, 133.6, 133.2, 129.3, 128.9, 128.0, 127.8, 126.4, 126.0, 125.8, 124.9, 118.1, 114.1, 67.4, 60.3. HRMS(ESI): $[M+H]^+$ calculated for $C_{18}H_{18}NO^+$: 264.1383, found 264.1376. IR (cm^{-1}): *f* = 3392, 3049, 2922, 2850, 1599, 1498, 1313, 1262, 1027, 744, 691.

2-phenyl-2-(m-tolylamino)ethan-1-ol (**1k**)



White solid, 850 mg, 75% yield from **S1a** (600 mg), purified by column chromatography (petroleum ether: EtOAc = 7:1). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.35 (d, *J* = 9.2 Hz, 4H), 7.27 (s, 1H), 6.92 (dd, *J* = 8.1, 3.1 Hz, 2H), 6.51 (d, *J* = 7.8 Hz, 2H), 4.49 (dd, *J* = 7.1, 4.2 Hz, 1H), 3.93 (dd, *J* = 11.2, 4.2 Hz, 1H), 3.79 – 3.69 (m, 1H), 2.20 (d, *J* = 3.3 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 145.0, 140.4, 129.8, 128.9, 127.7, 127.3, 126.9, 114.2, 67.5, 60.3, 20.5. HRMS(ESI): $[M+H]^+$ calculated for $C_{15}H_{18}NO^+$: 228.1383, found 228.1380. IR (cm^{-1}): *f* = 3389, 3186, 3026, 2861, 1617, 1520, 1450, 1319, 1263, 1026, 803, 696.

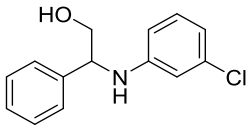
2-((3-methoxyphenyl)amino)-2-phenylethan-1-ol **(1l)**



White solid, 1.09 g, 90% yield from **S1a** (600 mg), purified by column chromatography (petroleum ether: EtOAc = 6:1). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.31 – 7.14 (m, 5H), 6.93 (td, *J* = 8.1, 2.0 Hz, 1H), 6.20 – 6.15 (m, 1H), 6.12 (d, *J* = 8.1 Hz, 1H), 6.04 (d, *J* = 2.5 Hz, 1H), 4.38 (t, *J* = 5.7 Hz, 1H), 3.84 – 3.78 (m, 1H), 3.65 – 3.53 (m, 4H). ^{13}C NMR (126 MHz,

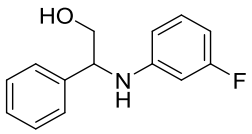
$CDCl_3$) δ 160.7, 148.8, 140.2, 130.0, 128.9, 127.7, 126.8, 107.0, 103.1, 100.0, 67.3, 59.9, 55.1. HRMS (ESI): $[M+H]^+$ calculated for $C_{15}H_{18}NO_2^+$: 244.1332, found 244.1333. IR (cm^{-1}): *f* = 3391, 2922, 2850, 1613, 1492, 1451, 1208, 1160, 1037, 7797, 753, 699.

2-((3-chlorophenyl)amino)-2-phenylethan-1-ol (**1m**)



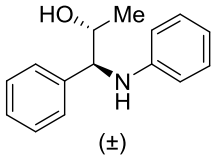
Colorless oil, 606 g, 49% yield from **S1a** (600 mg), purified by column chromatography (petroleum ether: EtOAc = 6:1). ^1H NMR (500 MHz, $CDCl_3$) δ 7.38 – 7.27 (m, 5H), 7.00 (t, *J* = 8.1 Hz, 1H), 6.64 (ddd, *J* = 7.9, 2.1, 0.9 Hz, 1H), 6.55 (t, *J* = 2.2 Hz, 1H), 6.42 (ddd, *J* = 8.3, 2.3, 0.8 Hz, 1H), 4.47 (dd, *J* = 6.6, 4.1 Hz, 1H), 3.95 (dd, *J* = 11.1, 4.0 Hz, 1H), 3.80 – 3.72 (m, 1H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 148.5, 139.6, 134.9, 130.3, 129.1, 127.9, 126.8, 117.8, 113.7, 112.1, 67.4, 59.7. HRMS (ESI): $[M+H]^+$ calculated for $C_{14}H_{15}ClNO^+$: 248.0837, found 248.0830. IR (cm^{-1}): *f* = 3399, 3026, 2923, 2851, 1708, 1594, 1482, 1068, 1026, 837, 756, 699.

2-((3-fluorophenyl)amino)-2-phenylethan-1-ol (**1n**)



Colorless oil, 693 mg, 60% yield from **S1a** (600 mg), purified by column chromatography (petroleum ether: EtOAc = 9:2). ^1H NMR (500 MHz, $CDCl_3$) δ 7.36 (d, *J* = 4.4 Hz, 4H), 7.32 – 7.27 (m, 1H), 7.03 (td, *J* = 8.2, 6.7 Hz, 1H), 6.40 – 6.32 (m, 2H), 6.22 (dt, *J* = 11.6, 2.3 Hz, 1H), 4.47 (dd, *J* = 6.7, 4.1 Hz, 1H), 3.95 (dd, *J* = 11.3, 4.1 Hz, 1H), 3.77 (dd, *J* = 11.2, 6.6 Hz, 1H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 164.0 (d, *J* = 242.9 Hz), 149.1 (d, *J* = 10.9 Hz), 139.7, 130.3 (d, *J* = 10.0 Hz), 129.1, 127.9, 126.8, 109.8 (d, *J* = 2.2 Hz), 104.4 (d, *J* = 21.5 Hz), 100.7 (d, *J* = 25.4 Hz), 67.4, 59.9. ^{19}F NMR (471 MHz, $CDCl_3$) δ -112.8. HRMS (ESI): $[M+H]^+$ calculated for $C_{14}H_{15}FNO^+$: 232.1132, found 232.1133. IR (cm^{-1}): *f* = 3395, 3027, 2871, 1611, 1589, 1491, 1285, 1172, 1000, 760, 699.

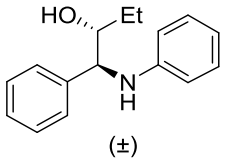
1,2-*anti*-phenyl-1-(phenylamino)propan-2-ol (**1o**)



(±)

^{1}H NMR (500 MHz, $CDCl_3$) δ δ 7.39 – 7.31 (m, 4H), 7.28 (dt, *J* = 5.9, 3.2 Hz, 1H), 7.09 (t, *J* = 7.7 Hz, 2H), 6.70 – 6.62 (m, 1H), 6.56 (d, *J* = 8.1 Hz, 2H), 4.59 (s, 1H), 4.37 (d, *J* = 4.0 Hz, 1H), 4.18 (dd, *J* = 6.5, 4.2 Hz, 1H), 1.61 (s, 1H), 1.14 (d, *J* = 6.5 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 147.2, 139.0, 129.2, 128.7, 127.8, 127.7, 117.7, 113.8, 70.7, 63.2, 19.6. HRMS (ESI) $[M+H]^+$: calculated for $C_{15}H_{18}NO^+$: 228.1383, found 228.1376. IR (cm-1): *f* = 3396, 3052, 2961, 2924, 2851, 1599, 1501, 1257, 1076, 1010, 789, 747, 691.

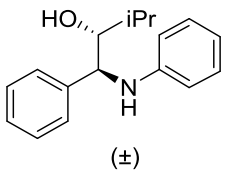
1,2-*anti*-phenyl-1-(phenylamino)butan-2-ol (**1p**)



(±)

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.38 – 7.30 (m, 4H), 7.27 (t, *J* = 2.1 Hz, 1H), 7.11 – 7.05 (m, 2H), 6.66 – 6.60 (m, 1H), 6.57 – 6.52 (m, 2H), 4.43 (d, *J* = 3.8 Hz, 1H), 3.88 (dt, *J* = 8.4, 4.0 Hz, 1H), 1.33 – 1.27 (m, 2H), 1.00 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 147.1, 139.1, 129.3, 128.7, 127.9, 127.7, 117.7, 113.7, 76.3, 62.0, 26.9, 10.6. HRMS (ESI): $[M+H]^+$ calculated for $C_{16}H_{20}NO^+$: 242.1539, found 242.1528. IR (cm^{-1}): *f* = 3395, 2960, 2924, 1599, 1500, 1257, 1077, 1026, 793, 747, 691.

1,2-*anti*-3-methyl-1-phenyl-1-(phenylamino)butan-2-ol (**1q**)

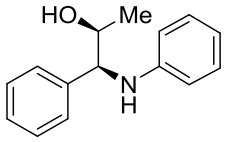


(±)

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.39 (d, *J* = 7.6 Hz, 2H), 7.32 (t, *J* = 7.5 Hz, 2H), 7.27 – 7.23 (m, 1H), 7.07 (t, *J* = 7.6 Hz, 2H), 6.62 (t, *J* = 7.3 Hz, 1H), 6.54 (d, *J* = 7.9 Hz, 2H), 4.54 (d, *J* = 4.1 Hz, 1H), 3.57 (dd, *J* = 8.3, 4.1 Hz, 1H), 1.52 (dt, *J* = 13.8, 6.9 Hz, 1H), 1.07 (d, *J* = 6.6

Hz, 3H), 0.94 (d, *J* = 6.6 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 146.9, 139.2, 129.2, 128.7, 128.1, 127.7, 117.5, 113.5, 80.0, 59.4, 30.7, 19.9, 18.3. HRMS (ESI): $[M+H]^+$ calculated for $C_{17}H_{22}NO^+$: 256.1696, found 256.1696. IR (cm^{-1}): *f* = 3355, 3286, 2962, 2929, 2862, 1609, 1494, 1293, 1089, 757, 692.

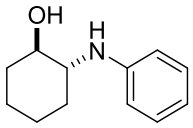
1,2-*syn*-phenyl-1-(phenylamino)propan-2-ol (**1r**)



(±)

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.33 (d, *J* = 4.4 Hz, 4H), 7.09 (t, *J* = 7.8 Hz, 2H), 6.67 (t, *J* = 7.5 Hz, 1H), 6.59 (d, *J* = 7.9 Hz, 2H), 4.23 (d, *J* = 5.3 Hz, 1H), 4.02 (t, *J* = 6.2 Hz, 1H), 1.27 (d, *J* = 5.5 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 147.4, 141.2, 129.3, 129.0, 127.7, 127.1, 118.0, 114.1, 72.0, 64.6, 20.2. HRMS (ESI): $[M+H]^+$ calculated for $C_{15}H_{18}NO^+$: 228.1383, found 228.1375. IR (cm^{-1}): *f* = 3387, 2962, 2924, 1600, 1500, 1451, 1257, 1077, 1010, 790.

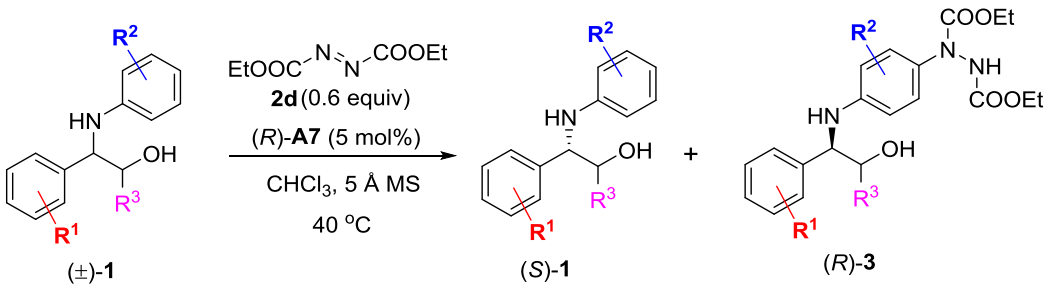
trans-2-(phenylamino)cyclohexan-1-o (**1s**)



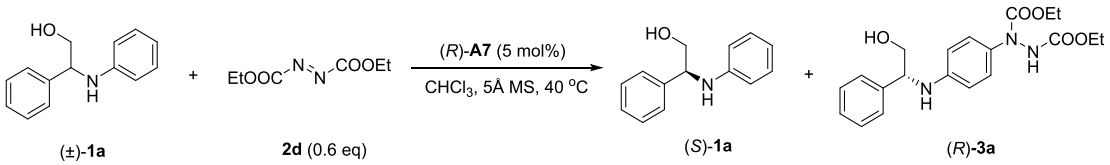
(±)

Brown solid, 1.85 g, 89% yield from cyclohexene oxide (980 mg), purified by column chromatography (petroleum ether: EtOAc = 10:1) ^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.22 – 7.14 (m, 2H), 6.74 (dd, *J* = 21.0, 7.7 Hz, 3H), 3.34 (dd, *J* = 9.9, 4.3 Hz, 1H), 3.14 (td, *J* = 10.4, 9.2, 3.8 Hz, 1H), 2.93 (s, 1H), 2.12 (d, *J* = 12.7 Hz, 2H), 1.86 – 1.61 (m, 2H), 1.48 – 1.19 (m, 3H), 1.13 – 0.99 (m, 1H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 147.9, 129.5, 118.5, 118.5, 114.5, 74.6, 60.3, 33.3, 33.3, 31.7, 25.1, 24.4. HRMS (ESI): $[M+H]^+$ calculated for $C_{12}H_{18}NO^+$: 192.1383, found 192.1383. IR (cm^{-1}): *f* = 3379, 2922, 2902, 1599, 1496, 1320, 1257, 1047, 863, 739, 688.

Kinetic Resolution of 2-Amino Alcohols

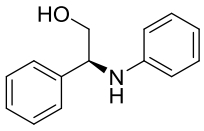


General procedure: To a 4 mL vial containing a magnetic stir bar was added racemic substrate **1** (0.2 mmol), CPA catalyst (*R*)-**A7** (10.0 mg, 5 mol%) and activated 5 Å MS (100 mg) under N_2 atmosphere. After adding the solution of DEAD (20.9 mg, 0.12 mmol, 0.6 equiv.) in dry $CHCl_3$ (2 mL) using a syringe, the mixture was warmed to 40 °C. After completion of the reaction as monitored by HPLC analysis on a chiral stationary phase, the reaction mixture was cooled to rt, filtered through Celite and concentrated under vacuum to give a residue, which was purified by column chromatography (petroleum ether:EtOAc, 5:1 to 1:2) to give the recovered (*S*)-**1** and products (*R*)-**3**.



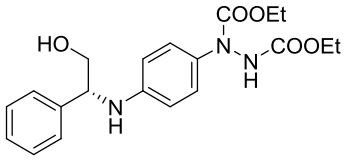
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 8 h and the mixture was purified by flash column chromatography (petroleum ether:EtOAc, 5:1 to1:2) to give (*S*)-**1a** and (*R*)-**3a**.

(*S*)-2-phenyl-2-(phenylamino)ethan-1-ol ((*S*)-**1a**)



Light yellow oil, 19.6 mg, 46%. $[\alpha]^{20}_D$ = +24.46 (c 1.0, $CHCl_3$). HPLC (Chiralpak IB column), 80:20 hexanes: isopropanol, 1.0 mL/min; t_R = 6.9 min (major), 9.1 min (minor), 92% ee.

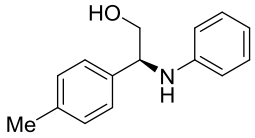
(*R*)-diethyl 1-(4-((2-hydroxy-1-phenylethyl)amino)phenyl)hydrazine-1,2-dicarboxylate ((*R*)-**3a**)



Light yellow oil, 32.5 mg, 42%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.33 (d, *J* = 6.0 Hz, 4H), 7.19 – 6.82 (m, 3H), 6.56 – 6.40 (m, 2H), 4.45 (dd, *J* = 7.4, 4.1 Hz, 1H), 4.17 (q, *J* = 7.1 Hz, 4H), 4.00 – 3.87 (m, 1H), 3.81 – 3.64 (m, 1H), 1.23 (q, *J* = 9.4, 8.3 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 146.5, 140.1, 132.1, 128.9, 127.8, 126.8, 113.7, 67.4, 62.9, 62.2, 60.2, 14.6, 14.5. HRMS (ESI): $[M+H]^+$ calculated for $C_{20}H_{26}N_3O_5$: 388.1867, found 388.1863. $[\alpha]^{20}_D$ = -17.97 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 60:40 hexanes: isopropanol, 1 mL/min; t_R = 7.2 min (major), 9.1 min (minor), 94% ee. IR (cm^{-1}): *f* = 3368, 3286, 2981, 2932, 2872, 1692, 1611, 1516, 1323, 1200, 1093, 826, 756, 701.

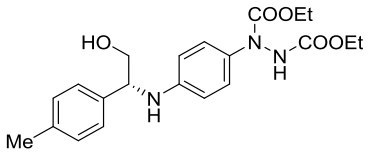
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 8 h and the mixture was purified by flash column chromatography (petroleum ether:EtOAc, 5:1 to1:2) to give (*S*)-**1b** and (*R*)-**3b**.

(*S*)-2-(phenylamino)-2-(p-tolyl)ethan-1-ol ((*S*)-**1b**)

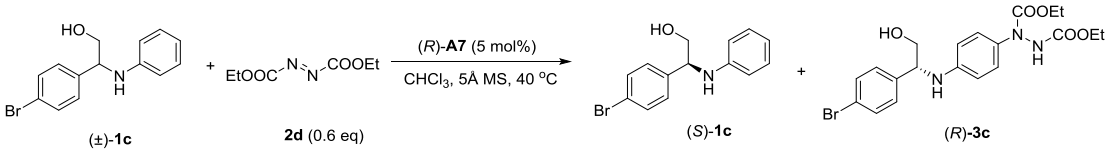


Yellow oil, 19.1 mg, 42%. $[\alpha]^{20}_D$ = +15.12 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 95:5 hexanes: isopropanol, 1.0 mL/min; t_R = 13.1 min (major), 15.6 min (minor), 84% ee.

(*R*)-diethyl-1-(4-((2-hydroxy-1-(p-tolyl)ethyl)amino)phenyl)hydrazine-1,2-dicarboxylate ((*R*)-**3b**)

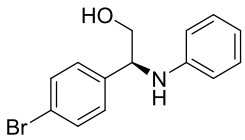


Yellow solid, 37.0 mg, 46%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.23 (d, *J* = 7.7 Hz, 2H), 7.12 (dd, *J* = 16.8, 8.0 Hz, 4H), 6.47 (d, *J* = 8.4 Hz, 2H), 4.41 (dd, *J* = 7.5, 4.0 Hz, 1H), 4.17 (p, *J* = 6.8 Hz, 4H), 3.87 (dd, *J* = 11.3, 4.0 Hz, 1H), 3.68 (dd, *J* = 11.2, 7.4 Hz, 1H), 2.32 (s, 3H), 1.23 (p, *J* = 8.3, 6.5 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 146.6, 137.4, 137.0, 132.0, 129.6, 126.7, 113.6, 67.4, 62.9, 62.2, 59.9, 21.2, 14.6, 14.5. HRMS (ESI): $[M+H]^+$ calculated for $C_{21}H_{28}N_3O_5^+$: 402.2023, found 402.2027. $[\alpha]^{20}_D$ = -25.22 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 70:30 hexanes: isopropanol, 1.0 mL/min; t_R = 8.5 min (major), 15.2 min (minor), 97 ee. IR (cm^{-1}): *f* = 3433, 3350, 3284, 2961, 2920, 2853, 1718, 1685, 1519, 1252, 1070, 1016, 795.



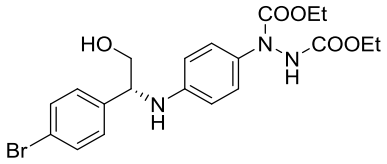
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 12 h and the mixture was purified by flash column chromatography (petroleum ether:EtOAc, 5:1 to1:2) to give (*S*)-**1c** and (*R*)-**3c**.

(*S*)-2-(4-bromophenyl)-2-(phenylamino)ethan-1-ol ((*S*)-**1c**)

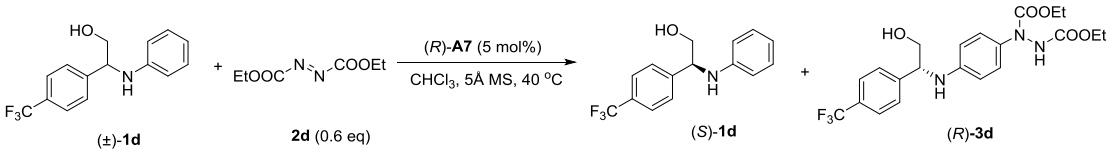


Light yellow oil, 20.1 mg, 45%. $[\alpha]^{20}_D$ = +13.28 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 90:10 hexanes: isopropanol, 1.0 mL/min; t_R = 9.6 min (major), 11.1 min (minor), 93% ee.

(*R*)-diethyl-1-(4-((1-(4-bromophenyl)-2-hydroxyethyl)amino)phenyl)hydrazine-1,2-dicarboxy late ((*R*)-**3c**)

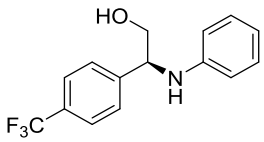


Light yellow oil, 43.0 mg, 46%. ^{1}H NMR (400 MHz, $CDCl_3$) δ7.46 (d, *J* = 8.0 Hz, 2H), 7.24 (d, *J* = 8.0 Hz, 2H), 7.12 (d, *J* = 8.3 Hz, 2H), 6.95 (s, 1H), 6.45 (d, *J* = 8.3 Hz, 2H), 4.40 (dd, *J* = 7.2, 4.0 Hz, 1H), 4.19 (q, *J* = 6.9 Hz, 4H), 3.90 (dd, *J* = 11.3, 4.0 Hz, 1H), 3.69 (dd, *J* = 11.1, 7.1 Hz, 1H), 1.31 – 1.19 (m, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 139.2, 132.4, 132.1, 128.6, 121.6, 113.7, 67.2, 63.0, 62.3, 59.7, 14.6, 14.6. HRMS (ESI): $[M+H]^+$ calculated for $C_{20}H_{25}BrN_3O_5^+$: 466.0972, found 466.0971. $[\alpha]^{20}_D$ = -27.09 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 70:30 hexanes: isopropanol, 1.0 mL/min; t_R = 9.7 min (major), 13.3 min (minor), 91% ee. IR (cm^{-1}): *f* = 3344, 2960, 2923, 2852, 1717, 1685, 1517, 1256, 1064, 1009, 793.



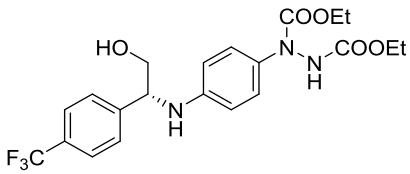
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 13 h and the mixture was purified by flash column chromatography (petroleum ether:EtOAc, 5:1 to1:2) to give (*S*)-**1d** and (*R*)-**3d**.

(*S*)-2-(phenylamino)-2-(4-(trifluoromethyl)phenyl)ethan-1-ol ((*S*)-**1d**)

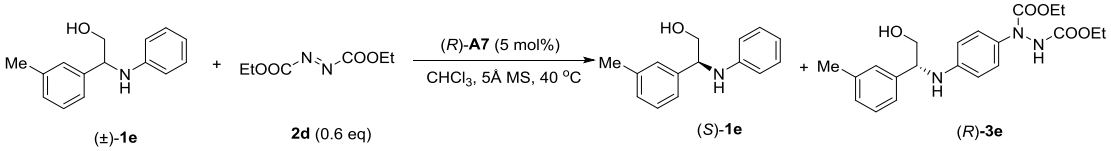


Colorless oil, 22.4 mg, 40%. $[\alpha]^{20}_D$ = +1.86 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 90:10 hexanes: isopropanol, 1.0 mL/min; t_R = 8.3 min (major), 9.0 min (minor), 94% ee.

(*R*)-diethyl-1-(4-((2-hydroxy-1-(4-(trifluoromethyl)phenyl)ethyl)amino)phenyl)hydrazine-1,2-dicarboxylate ((*R*)-**3d**)

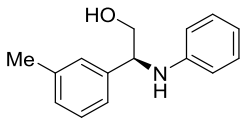


Colorless oil, 32 mg, 35%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.59 (d, *J* = 7.9 Hz, 2H), 7.48 (d, *J* = 8.0 Hz, 2H), 7.12 (s, 2H), 6.43 (d, *J* = 8.3 Hz, 2H), 4.49 (dd, *J* = 7.4, 4.0 Hz, 1H), 4.17 (p, *J* = 6.7 Hz, 4H), 3.93 (dd, *J* = 11.3, 3.9 Hz, 1H), 3.71 (dd, *J* = 11.2, 7.1 Hz, 1H), 1.24 (t, *J* = 7.1 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 146.1, 144.5, 132.4, 130.0 (q, J = 32.6 Hz), 127.3, 125.9 (q, *J* = 3.6 Hz), 124.2 (q, *J* = 542.6 Hz), 120.5, 113.7, 67.1, 63.0, 62.3, 59.9, 14.6, 14.5. ^{19}F NMR (471 MHz, $CDCl_3$) δ -62.5. HRMS (ESI): $[M+H]^+$ calculated for $C_{21}H_{25}F_3N_3O_5^+$: 456.1741, found 456.1739. $[\alpha]^{20}_D$ = -10.81 (c 1.0, $CHCl_3$). HPLC (Chiralpak IC column), 50:50 hexanes:isopropanol, 1.0 mL/min; t_R = 8.5 min (major), 11.2 min (minor), 87% ee. IR (cm^{-1}): *f* = 3455, 3347, 3271, 2923, 2853, 1715, 1684, 1522, 1325, 1256, 1064, 834, 801, 760.



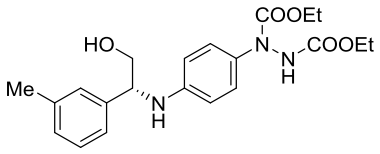
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 11 h and the mixture was purified by flash column chromatography (petroleum ether:EtOAc, 5:1 to1:2) to give (*S*)-**1e** and (*R*)-**3e**.

(*S*)-2-(phenylamino)-2-(m-tolyl)ethan-1-ol ((*S*)-**1e**)

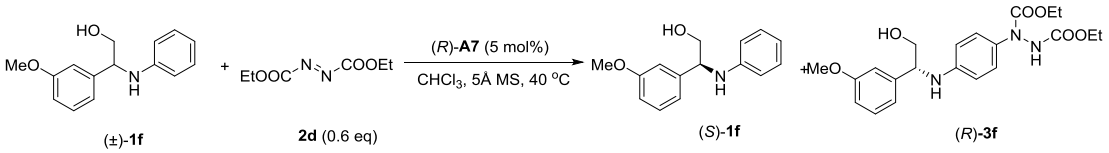


Yellow oil, 22.5 mg, 49%. $[\alpha]^{20}_D$ = +5.04 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 90:10 hexanes: isopropanol, 1.0 mL/min; t_R = 7.5 min (major), 8.7 min (minor), 96% ee.

(*R*)-diethyl-1-(4-((2-hydroxy-1-(m-tolyl)ethyl)amino)phenyl)hydrazine-1,2-dicarboxylate ((*R*)-**3e**)

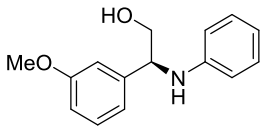


Yellow oil, 35.4 mg, 44%. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.25 – 7.06 (m, 6H), 6.51 (d, *J* = 8.8 Hz, 2H), 4.42 (dd, *J* = 7.1, 4.1 Hz, 1H), 4.19 (q, *J* = 6.6 Hz, 4H), 3.91 (dd, *J* = 11.2, 4.1 Hz, 1H), 3.73 (dd, *J* = 11.2, 7.0 Hz, 1H), 2.34 (s, 3H), 1.24 (q, *J* = 6.3, 5.7 Hz, 6H). ^{13}C NMR (126 MHz, CDCl3) δ 139.9, 138.7, 128.9, 128.7, 127.5, 123.9, 113.7, 67.4, 62.9, 62.2, 60.2, 21.7, 14.6, 14.6. HRMS (ESI): $[M+H]^+$ calculated for $C_{21}H_{28}N_3O_5^+$: 402.2023, found 402.2021. $[\alpha]^{20}_D$ = -20.60 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 70:30 hexanes: isopropanol, 1.0 mL/min; t_R = 10.4 min (major), 14.8 min (minor), 91% ee. IR (cm^{-1}): *f* = 3350, 3288, 2980, 2929, 2867, 1717, 1684, 1517, 1245, 1065, 1023, 755, 699.



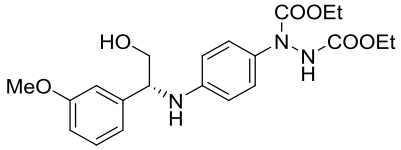
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 12 h and the mixture was purified by flash column chromatography (petroleum ether:EtOAc, 5:1 to1:2) to give (*S*)-**1f** and (*R*)-**3f**.

(*S*)-2-(3-methoxyphenyl)-2-(phenylamino)ethan-1-ol ((*S*)-**1f**)

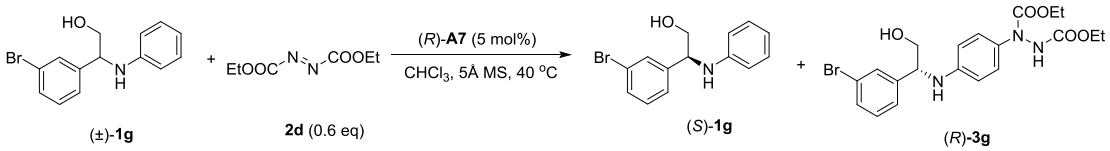


Yellow solid, 20.4 mg, 42%. $[\alpha]^{20}_D$ = +4.60 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 90:10 hexanes:isopropanol, 1.0 mL/min; t_R = 10.3 min (major), 12.9 min (minor), 92% ee.

(*R*)-diethyl-1-(4-((2-hydroxy-1-(3-methoxyphenyl)ethyl)amino)phenyl)hydrazine-1,2-dicarbo xylate ((*R*)-**3f**)

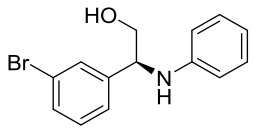


Light yellow foam, 36.3 mg, 44%. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.26 (t, *J* = 7.7Hz, 1H), 7.12 (m, 2H), 6.96 – 6.90 (m, 2H), 6.81 (dd, *J* = 8.2, 2.6 Hz, 1H), 6.53 (d, *J* = 8.5 Hz, 2H), 4.43 (d, *J* = 2.9 Hz, 1H), 4.19 (q, *J* = 7.1 Hz, 4H), 3.91 (d, *J* = 4.1 Hz, 1H), 3.78 (s, 3H), 1.25 (t, *J* = 7.1 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 160.2, 141.9, 130.0, 119.2, 113.8, 112.9, 112.7, 67.4, 62.9, 62.3, 60.3, 55.3, 14.6, 14.6. HRMS (ESI): $[M+H]^+$ calculated for $C_{21}H_{28}N_3O_6^+$: 418.1973, found 418.1967. $[\alpha]^{20}_D$ = -19.00 (c 1.0, $CHCl_3$). HPLC (Chiralpak IC column), 50:50 hexanes: isopropanol, 1.0 mL/min; t_R = 17.6 min (major), 22.1 min (minor), 89% ee. IR (cm^{-1}): *f* = 3459, 3357, 3279, 2925, 2869, 1714, 1682, 1519, 1251, 1068, 1030, 787, 700.



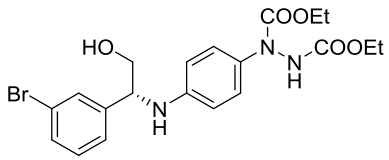
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 12 h and the mixture was purified by flash column chromatography (petroleum ether:EtOAc, 5:1 to1:2) to give (*S*)-**1g** and (*R*)-**3g**.

(*S*)-2-(3-bromophenyl)-2-(phenylamino)ethan-1-ol ((*S*)-**1g**)



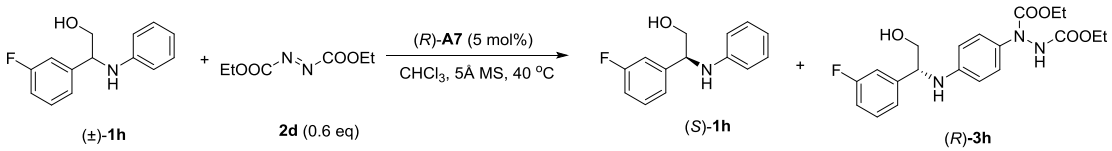
Yellow solid, 23.2 mg, 40%. $[\alpha]^{20}_D$ = +6.60 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 90:10 hexanes: isopropanol, 1.0 mL/min; t_R = 8.5 min (major), 10.4 min (minor), 94% ee.

(*R*)-diethyl-1-(4-((1-(3-bromophenyl)-2-hydroxyethyl)amino)phenyl)hydrazine-1,2-dicarboxy late ((*R*)-**3g**)



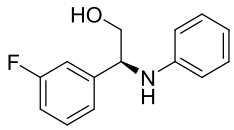
Yellow solid, 40.9 mg, 44%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.33 – 7.26 (m, 1H), 7.16 – 7.03 (m, 4H), 6.95 (td, *J* = 8.4, 2.6 Hz, 1H), 6.44 (d, *J* = 8.4 Hz, 2H), 4.41 (dd, *J* = 7.4, 4.0 Hz, 1H),

4.17 (p, *J* = 6.6 Hz, 4H), 3.89 (dd, *J* = 11.3, 4.1 Hz, 1H), 3.68 (dd, *J* = 11.3, 7.2 Hz, 1H), 1.23 (q, *J* = 10.4, 8.8 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 143.0, 132.1, 130.8, 130.5, 129.8, 125.6, 123.0, 113.6, 67.1, 63.0, 62.3, 59.9, 14.6, 14.5. HRMS (ESI): $[M+H]^+$ calculated for $C_{20}H_{25}BrN_3O_5^+$: 466.0972, found 466.0981. $[\alpha]^{20}_D$ = -18.45 (c 1.0, $CHCl_3$). HPLC (Chiralpak IC column), 50:50 hexanes: isopropanol, 1.0 mL/min; t_R = 11.2 min (major), 15.1 min (minor), 94% ee. IR (cm^{-1}): *f* = 3466, 3349, 3286, 2961, 2926, 2867, 1715, 1683, 1599, 1518, 1250, 1066, 1031, 782, 694.



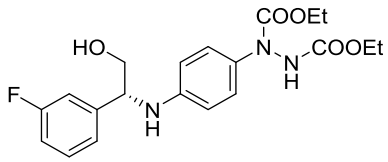
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 12 h and the mixture was purified by flash column chromatography (petroleum ether:EtOAc, 5:1 to1:2) to give (*S*)-**1h** and (*R*)-**3h**.

(*S*)-2-(3-fluorophenyl)-2-(phenylamino)ethan-1-ol ((*S*)-**1h**)



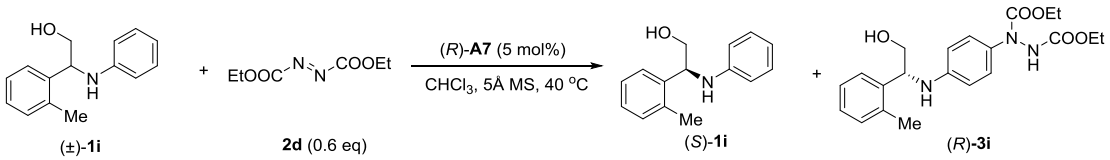
Colorless oil, 19.9 mg, 43%. $[\alpha]^{20}_D$ = +1.20 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 90:10 hexanes: isopropanol, 1.0 mL/min; t_R = 8.4 min (major), 9.8 min (minor), 98% ee.

(*R*)-diethyl-1-(4-((1-(3-fluorophenyl)-2-hydroxyethyl)amino)phenyl)hydrazine-1,2-dicarboxyl ate ((*R*)-**3h**)



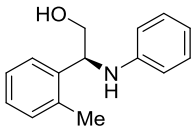
Light yellow oil, 32.5 mg, 40%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.50 (s, 1H), 7.38 (d, *J* = 7.8 Hz, 1H), 7.30 – 7.01 (m, 5H), 6.41 (d, *J* = 8.3 Hz, 2H), 4.35 (dd, *J* = 7.7, 4.0 Hz, 1H), 4.16 (p, *J* = 7.1 Hz, 4H), 3.83 (dd, *J* = 11.3, 4.0 Hz, 1H), 3.62 (dd, *J* = 11.3, 7.5 Hz, 1H), 1.30 – 1.11

(m, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 163.3 (d, *J* = 246.6 Hz), 143.2 (d, *J* = 6.3 Hz), 132.2, 130.5 (d, *J* = 8.1 Hz), 122.5 (d, *J* = 2.8 Hz), 114.7 (d, *J* = 21.2 Hz), 113.8 (d, *J* = 21.9 Hz), 113.6, 67.1, 63.0, 62.3, 59.9, 59.9, 14.6, 14.5. ^{19}F NMR (471 MHz, $CDCl_3$) δ -112.4. HRMS (ESI): $[M+H]^+$ calculated for $C_{20}H_{25}FN_3O_5^+$: 406.1773, found 406.1779. $[\alpha]^{20}_D$ = -15.56 (c 1.0, $CHCl_3$). HPLC (Chiralpak IC column), 50:50 hexanes: isopropanol, 1.0 mL/min; t_R = 10.9 min (major), 14.4 min (minor), 95% ee. IR (cm^{-1}): *f* = 3424, 3347, 3287, 2980, 2929, 2868, 1717, 1684, 1518, 1307, 1244, 1067, 783, 695.



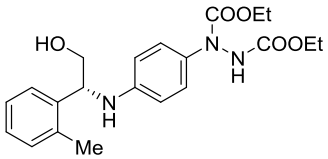
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 14 h and the mixture was purified by flash column chromatography (petroleum ether:EtOAc, 5:1 to1:2) to give (*S*)-**1i**and (*R*)-**3i**.

(*S*)-2-(phenylamino)-2-(o-tolyl)ethan-1-ol ((*S*)-**1i**)



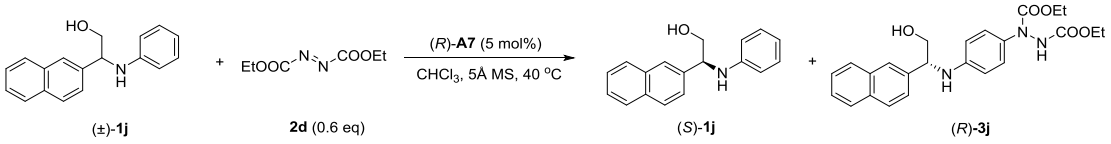
Colorless foam, 19.0 mg, 42%. $[\alpha]^{20}_D$ = +13.28 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 90:10 hexanes: isopropanol, 1.0 mL/min; t_R = 7.8 min (major), 9.7 min (minor), 99% ee.

(*R*)-diethyl-1-(4-((2-hydroxy-1-(o-tolyl)ethyl)amino)phenyl)hydrazine-1,2-dicarboxylate ((*R*)-**3i**)



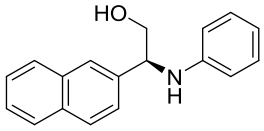
Yellow solid, 34.6 mg, 43%. ^{1}H NMR (500 MHz, $CDCl_3$) 7.37 (dd, *J* = 6.9, 1.9 Hz, 1H), 7.21 – 7.01 (m, 6H), 6.39 (dd, *J* = 8.5, 5.5 Hz, 2H), 4.65 (dt, *J* = 7.9, 4.1 Hz, 1H), 4.17 (q, *J* = 7.9, 7.2 Hz, 4H), 3.85 (ddd, *J* = 11.0, 6.8, 3.8 Hz, 1H), 3.63 (dt, *J* = 11.1, 7.5 Hz, 1H), 2.43 (d, *J* =

2.3 Hz, 3H), 1.32 – 1.13 (m, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 137.7, 135.3, 131.9, 130.9, 129.3, 128.6, 127.5, 126.6, 126.1, 113.4, 65.6, 62.9, 62.2, 56.6, 19.2, 14.6, 14.5. HRMS (ESI): $[M+H]^+$ calculated for $C_{21}H_{28}N_3O_5^+$: 402.2023, found 402.2023. $[\alpha]^{20}_D$ = -4.90 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 70:30 hexanes: isopropanol, 1.0 mL/min; t_R = 11.4 min (major), 14.9 min (minor), 96% ee. IR (cm^{-1}): *f* = 3464, 3370, 3267, 2980, 2926, 1715, 1683, 1610, 1520, 1329, 1252, 1068, 1033, 753.



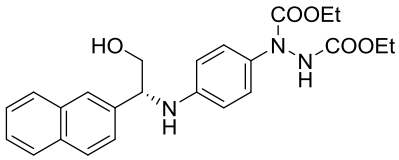
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 11 h and the mixture was purified by flash column chromatography (petroleum ether:EtOAc, 5:1 to1:2) to give (*S*)-**1j** and (*R*)-**3j**.

(*S*)-2-(naphthalen-2-yl)-2-(phenylamino)ethan-1-ol ((*S*)-**1j**)



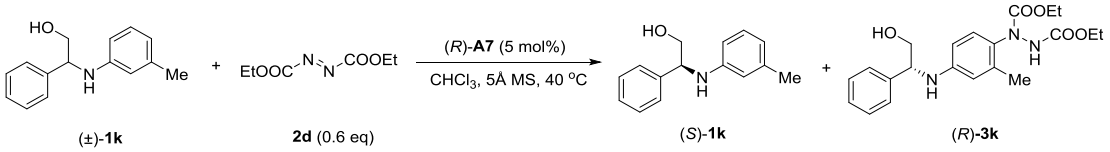
White solid, 23.1 mg, 44%. $[\alpha]^{20}_D$ = +29.20 (c 1.0, $CHCl_3$). HPLC (Chiralpak IB column), 70:30 hexanes: isopropanol, 1.0 mL/min; t_R = 8.1 min (major), 10.5 min (minor), 90% ee.

(*R*)-diethyl-1-(4-((2-hydroxy-1-(naphthalen-2-yl)ethyl)amino)phenyl)hydrazine-1,2-dicarbox ylate ((*R*)-**3j**)



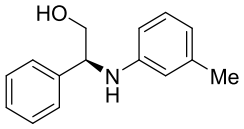
White solid, 35.8 mg, 41%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.84 – 7.75 (m, 4H), 7.46 (dt, *J* = 7.8, 2.1 Hz, 3H), 7.15 – 6.93 (m, 3H), 6.50 (d, *J* = 8.6 Hz, 2H), 4.57 (dd, *J* = 7.5, 4.1 Hz, 1H), 4.15 (t, *J* = 7.6 Hz, 4H), 3.95 (dd, *J* = 11.4, 4.0 Hz, 1H), 3.76 (dd, *J* = 11.3, 7.3 Hz, 1H), 1.21 (t, *J* = 7.2 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 146.6, 137.7, 133.5, 133.1, 132.0, 128.8, 128.0, 127.8, 126.3, 126.0, 125.7, 124.8, 113.7, 67.2, 62.9, 62.2, 60.4, 14.5, 14.5. HRMS

(ESI): $[M+H]^+$ calculated for $C_{24}H_{28}N_3O_5^+$: 438.2023, found 438.2029. $[\alpha]^{20}_D$ = -17.16 (c 1.0, $CHCl_3$). HPLC (Chiralpak IC column), 60:40 hexanes:isopropanol, 1.0 mL/min; t_R = 26.3 min (major), 36.2 min (minor), 96% ee. IR (cm^{-1}): *f* = 3364, 2960, 2920, 2850, 1701, 1625, 1521, 1330, 1256, 1060, 1026, 798, 756, 700.



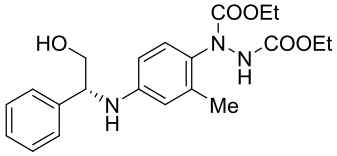
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 10 h and the mixture was purified by flash column chromatography (petroleum ether:EtOAc, 5:1 to1:2) to give (*S*)-**1k** and (*R*)-**3k**.

(*S*)-2-phenyl-2-(m-tolylamino)ethan-1-ol ((*S*)-**1k**)



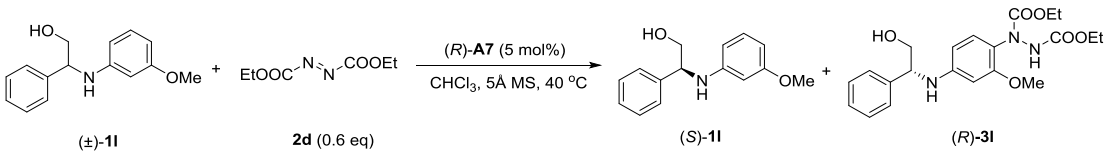
White solid, 16.6 mg, 36%. $[\alpha]^{20}_D$ = +10.05 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 95:5 hexanes: isopropanol, 1.0 mL/min; t_R = 9.6 min (major), 11.6 min (minor), 89% ee.

(*R*)-diethyl-1-(4-((2-hydroxy-1-phenylethyl)amino)-2-methylphenyl)hydrazine-1,2-dicarboxyl ate ((*R*)-**3k**)



Light yellow solid, 31.8 mg, 40%. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 – 7.14 (m, 6H), 6.85 (d, *J* = 7.8 Hz, 2H), 6.30 (s, 1H), 4.51 (s, 1H), 4.34 – 4.16 (m, 4H), 3.82 (dd, *J* = 21.5, 10.8 Hz, 2H), 2.17 (s, 3H), 1.30 (q, *J* = 8.3, 6.5 Hz, 6H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 140.5, 130.8, 128.7, 128.6, 127.5, 126.8, 112.6, 63.5, 63.1, 62.5, 53.6, 20.2, 14.7, 14.5. HRMS (ESI): $[M+H]^+$ calculated for $C_{21}H_{28}N_3O_5^+$: 402.2023, found 402.2027. $[\alpha]^{20}_D$ = -8.80 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 70:30 hexanes: isopropanol, 1.0 mL/min; t_R = 9.2 min (major),

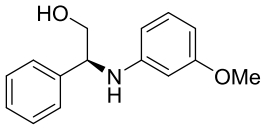
12.5 min (minor), 88% ee. IR (cm^{-1}): *f* = 3376, 2979, 2929, 2870, 1700, 1606, 1558, 1509, 1326, 1234, 1069, 752, 697.



(±)-**1l** **2d** (0.6 eq) (*S*)-**1l** (*R*)-**3l**

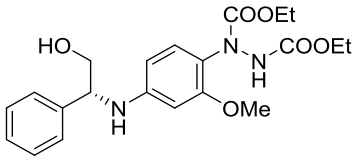
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 2 h and the mixture was purified by flash column chromatography (petroleum ether:EtOAc, 5:1 to1:2) to give (*S*)-**1l** and (*R*)-**3l**.

(*S*)-2-((3-methoxyphenyl)amino)-2-phenylethan-1-ol ((*S*)-**1l**)

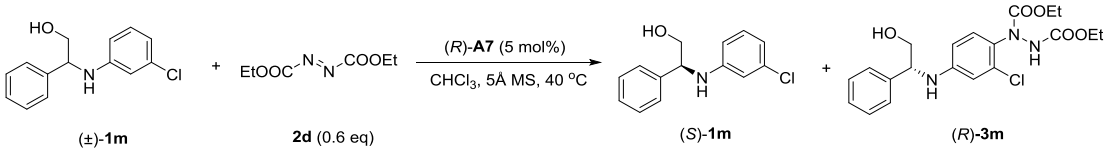


Colorless oil, 21.4 mg, 44%. $[\alpha]^{20}_{D}$ = +3.44 (c 1.0, $CHCl_3$). HPLC (Chiralpak IB column), 60:40 hexanes: isopropanol, 1.0 mL/min; t_R = 5.2 min (major), 11.4 min (minor), 96% ee.

(*R*)-diethyl-1-(4-((2-hydroxy-1-phenylethyl)amino)-2-methoxyphenyl)hydrazine-1,2-dicarbox ylate ((*R)*-**3l**)

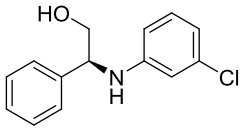


White solid, 33.2 mg, 40%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.33 (m, 4H), 7.22 – 6.95 (m, 2H), 6.11 – 5.96 (m, 2H), 4.93 (s, 1H), 4.42 (s, 1H), 4.13 (dq, *J* = 23.1, 7.7, 7.2 Hz, 4H), 3.86 (d, *J* = 11.1 Hz, 1H), 3.67 (t, *J* = 9.5 Hz, 1H), 3.57 (s, 3H), 2.77 (s, 1H), 1.36 – 1.01 (m, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 155.2, 149.1, 140.3, 130.2, 128.8, 127.7, 126.7, 120.3, 105.1, 97.3, 67.2, 62.7, 61.9, 60.4, 55.2, 14.5, 14.4. HRMS (ESI): $[M+H]^+$ calculated for $C_{21}H_{28}N_3O_6^+$: 418.1973, found 418.1978. $[\alpha]^{20}_{D}$ = -14.44 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 70:30 hexanes:isopropanol, 1.0 mL/min; t_R = 10.8 min (major), 13.4 min (minor), 94% ee. IR (cm^{-1}): *f* = 3376, 2979, 2929, 2870, 1699, 1611, 1558, 1518, 1328, 1266, 1061, 1033, 752, 701.



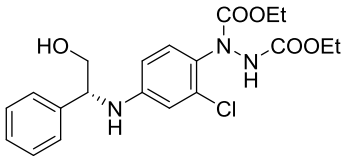
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 14 h and the mixture was purified by flash column chromatography (petroleum ether:EtOAc, 5:1 to1:2) to give (*S*)-**1m** and (*R*)-**3m**.

(*S*)-2-((3-chlorophenyl)amino)-2-phenylethan-1-ol ((*S*)-**1m**)

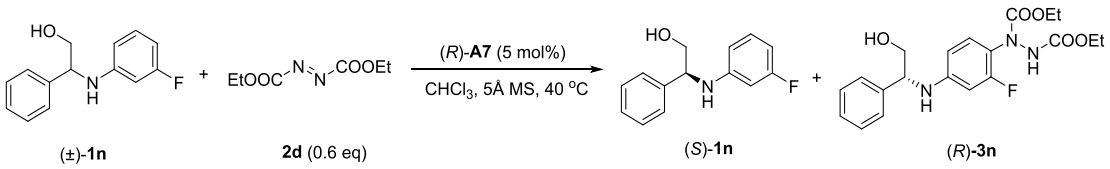


Colorless oil, 22.3 mg, 45%. $[\alpha]^{20}_{D}$ = +8.90 (c 1.0, $CHCl_3$). HPLC (Chiralpak IB column), 80:20 hexanes:isopropanol, 1.0 mL/min; t_R = 7.6 min (major), 9.7 min (minor), 91% ee.

(*R*)-diethyl-1-(2-chloro-4-((2-hydroxy-1-phenylethyl)amino)phenyl)hydrazine-1,2-dicarboxyl ate ((*R*)-**3m**)

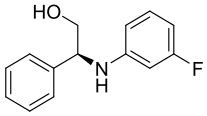


Light yellow oil, 33.7 mg, 40%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.40 – 7.27 (m, 5H), 7.05 (s, 1H), 6.48 (dd, *J* = 67.3, 7.7 Hz, 2H), 4.85 (s, 1H), 4.49 – 4.38 (m, 1H), 4.27 – 4.05 (m, 4H), 3.94 (d, *J* = 10.3 Hz, 1H), 3.75 (dd, *J* = 11.1, 6.8 Hz, 1H), 1.31 – 1.14 (m, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 156.3, 148.5, 139.4, 132.9, 131.1, 129.1, 128.7, 128.1, 128.0, 126.8, 113.7, 67.3, 63.2, 63.1, 62.2, 59.9, 14.6, 14.5. HRMS (ESI): $[M+H]^+$ calculated for $C_{20}H_{25}ClN_3O_5^+$: 422.1477, found 422.1469. $[\alpha]^{20}_{D}$ = -19.30 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 70:30 hexanes: isopropanol, 1.0 mL/min; t_R = 9.6 min (major), 12.9 min (minor), 96% ee. IR (cm^{-1}): *f* = 3365, 2979, 2924, 2853, 1701, 1603, 1509, 1326, 1234, 1073, 1026, 752, 700.



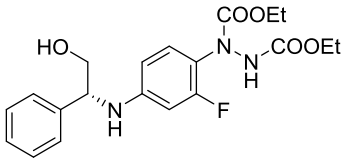
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 16 h and the mixture was purified by flash column chromatography (petroleum ether:EtOAc, 5:1 to1:2) to give (*S*)-**1n** and (*R*)-**3n**.

(*S*)-2-((3-fluorophenyl)amino)-2-phenylethan-1-ol ((*S*)-**1n**)

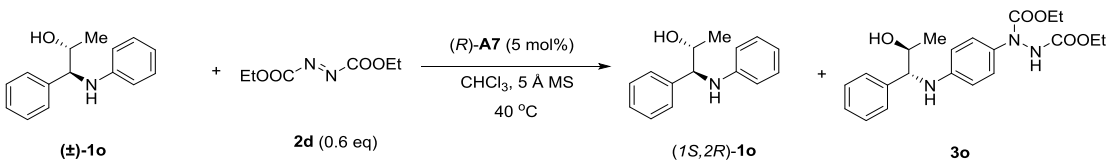


Colorless oil, 17.1 mg, 37%. $[\alpha]^{20}_{D}$ = (c 1.0, $CHCl_3$). HPLC (Chiralpak IB column), 80:20 hexanes:isopropanol, 1.0 mL/min; t_R = 6.9 min (major), 9.1 min (minor), 79% ee.

(*R*)-diethyl-1-(2-fluoro-4-((2-hydroxy-1-phenylethyl)amino)phenyl)hydrazine-1,2-dicarboxyl ate ((*R*)-**3n**)

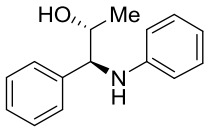


Colorless oil, 33.3 mg, 41%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.39 – 7.27 (m, 5H), 7.09 (dd, *J* = 19.8, 10.0 Hz, 1H), 6.32 – 6.14 (m, 2H), 4.94 (s, 1H), 4.40 (t, *J* = 5.5 Hz, 1H), 4.17 (dd, *J* = 17.7, 10.5 Hz, 4H), 3.90 (dd, *J* = 11.5, 4.0 Hz, 1H), 3.78 – 3.62 (m, 1H), 1.35 – 1.10 (m, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 149.1, 149.0, 139.5, 130.1, 129.0, 127.9, 126.8, 118.8, 109.5, 100.5, 62.2, 60.1, 14.5, 14.5. ^{19}F NMR (471 MHz, $CDCl_3$) δ -121.5. HRMS (ESI): $[M+H]^+$ calculated for $C_{20}H_{25}FN_3O_5^+$: 406.1773, found 406.1763. $[\alpha]^{20}_{D}$ = -13.34 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 70:30 hexanes: isopropanol, 1.0 mL/min; t_R = 10.9 min (major), 12.8 min (minor), 91% ee. IR (cm^{-1}): *f* = 3368, 2981, 2927, 1701, 1625, 1520, 1331, 1234, 1061, 751, 702.



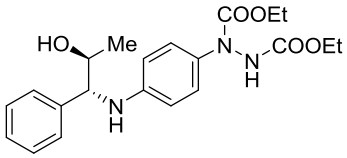
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 11 h and the mixture was separated by flash column (petroleum ether : EtOAc 5:1 then 1:2) to give **1o** and **3o**.

(1*S*,2*R*)-1-phenyl-1-(phenylamino)propan-2-ol ((1*S*,2*R*)-**1o**)

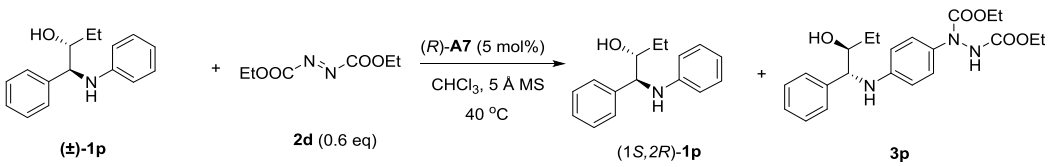


Colorless oil, 19.0 mg, 42%. $[\alpha]^{20}_{D}$ = +11.98 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 90:10 hexanes: isopropanol, 1.0 mL/min; t_R = 7.0 min (major), 10.5 min (minor), 90% ee.

diethyl-1-(4-(((1*R*,2*S*)-2-hydroxy-1-phenylpropyl)amino)phenyl)hydrazine-1,2-dicarboxylate (*R*-**3o**)

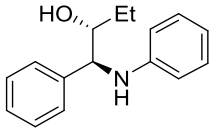


White solid, 33.7 mg, 42%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.33 (d, *J* = 4.6 Hz, 4H), 7.30 – 7.27 (m, 1H), 7.10 (s, 2H), 6.92 (s, 1H), 6.47 (d, *J* = 8.3 Hz, 2H), 4.75 (s, 1H), 4.32 (d, *J* = 4.0 Hz, 1H), 4.17 (t, *J* = 7.2 Hz, 5H), 1.60 (s, 1H), 1.23 (dt, *J* = 14.1, 6.9 Hz, 6H), 1.12 (d, *J* = 6.4 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 146.3, 138.7, 132.0, 128.8, 127.9, 127.8, 113.4, 70.7, 63.3, 62.9, 62.2, 19.7, 14.6, 14.6. HRMS(ESI) calculated for $C_{21}H_{28}N_3O_5$ $[M+H]^+$: 402.2023, found 402.2017. $[\alpha]^{20}_{D}$ = -18.51 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 60:40 hexanes: isopropanol, 1.0 mL/min; t_R = 8.4 min (major), 16.9 min (minor), 95% ee. IR (cm-1): *f* =3294, 2980, 2932, 1700, 1516, 1232, 1061, 749.



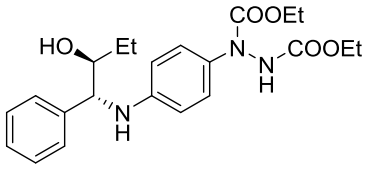
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 11 h and the mixture was separated by flash column (petroleum ether : EtOAc 5:1 then 1:2) to give **1p** and **3p**.

(1*S*,2*R*)-1-phenyl-1-(phenylamino)butan-2-ol ((1*S*,2*R)*-**1p**)

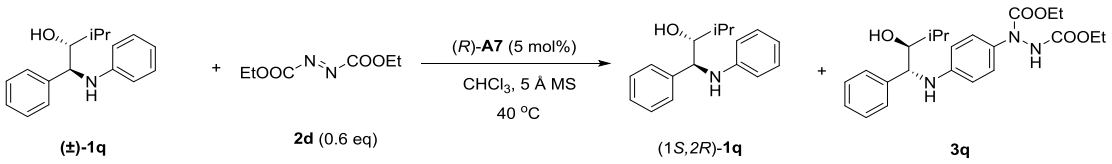


Colorless solid, 20.5 mg, 43%. $[\alpha]^{20}_{D}$ = +5.24 (c 1.0, $CHCl_3$). HPLC (Chiralpak IB column), 90:10 hexanes: isopropanol, 1.0 mL/min; t_R = 7.5 min (major), 13.1 min (minor), 88% ee.

diethyl-1-(4-(((1*R*,2*S*)-2-hydroxy-1-phenylbutyl)amino)phenyl)hydrazine-1,2-dicarboxylate (**3p**)

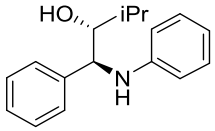


White solid, 37.5 mg, 45%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.33 (d, *J* = 4.4 Hz, 4H), 7.09 (s, 2H), 6.95 (s, 1H), 6.47 (d, *J* = 8.3 Hz, 2H), 4.37 (d, *J* = 3.7 Hz, 1H), 4.18 (p, *J* = 7.0 Hz, 4H), 3.85 (dt, *J* = 8.6, 4.2 Hz, 1H), 1.25 (td, *J* = 16.0, 15.6, 8.0 Hz, 8H), 0.98 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 146.2, 138.8, 131.8, 128.7, 127.9, 127.8, 113.3, 76.3, 62.9, 62.2, 62.0, 26.9, 14.6, 14.6, 10.6. HRMS(ESI) calculated for $C_{22}H_{30}N_3O_5$ $[M+H]^+$: 416.2180, found 416.2177. $[\alpha]^{20}_{D}$ = -33.53 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 70:30 hexanes: isopropanol, 1.0 mL/min; t_R = 7.8 min (major), 10.1 min (minor), 94% ee. IR (cm^{-1}): *f* = 3290, 2962, 2929, 1701, 1516, 1298, 1230, 1060, 798, 753, 703.



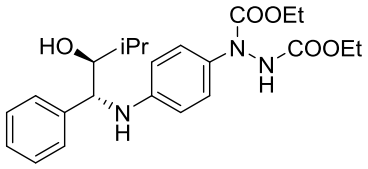
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 11 h and the mixture was separated by flash column (petroleum ether : EtOAc 5:1 then 1:2) to give (1*S*,2*R*)-**1q** and **3q**.

(1*S*,2*R*)-3-methyl-1-phenyl-1-(phenylamino)butan-2-ol ((1*S*,2*R*)-**1q**)

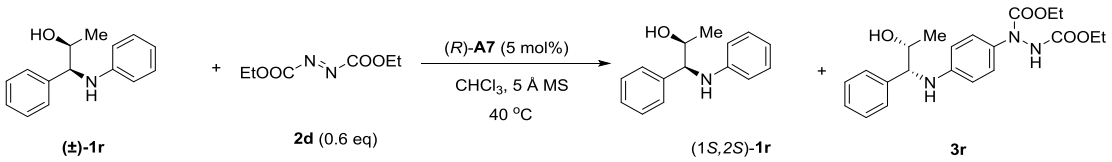


Colorless solid, 25.6 mg, 50%. $[\alpha]^{20}_{D}$ = -16.87 (c 1.0, $CHCl_3$). HPLC (Chiralpak IB column), 90:10 hexanes: isopropanol, 1.0 mL/min; t_R = 9.8 min (major), 11.8 min (minor), 94% ee.

diethyl-1-(4-(((1*R*,2*S*)-2-hydroxy-3-methyl-1-phenylbutyl)amino)phenyl)hydrazine-1,2-dicar boxylate (**3q**)

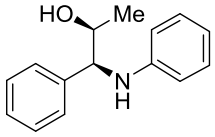


White solid, 37.1 mg, 43%. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34-7.27 (m, 5H), 7.10 (d, *J* = 8.4 Hz, 2H), 6.89 (s, 1H), 6.49 (d, *J* = 8.4 Hz, 2H), 4.51 (d, *J* = 3.9 Hz, 1H), 4.18 (q, *J* = 7.1 Hz, 4H), 3.57 (dd, *J* = 8.1, 4.0 Hz, 1H), 1.52 (q, *J* = 6.9 Hz, 1H), 1.29 – 1.20 (m, 6H), 1.06 (d, *J* = 6.7 Hz, 3H), 0.95 (d, *J* = 6.6 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 138.9, 132.0, 128.8, 128.2, 127.9, 113.3, 79.9, 62.9, 59.7, 30.7, 19.9, 18.3, 14.6, 14.6. HRMS(ESI) calculated for $C_{23}H_{32}N_3O_5$ $[M+H]^+$: 430.2336, found 430.2344. $[\alpha]^{20}_{D}$ = -22.20 (c 1.0, $CHCl_3$). HPLC (Chiralpak IB column), 60:40 hexanes: isopropanol, 1.0 mL/min; t_R = 6.8 min (major), 11.0 min (minor), 85% ee. IR (cm^{-1}): *f* = 3296, 2980, 1701, 1516, 1375, 1229, 1060, 750.



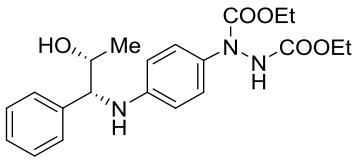
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 11 h and the mixture was separated by flash column (petroleum ether : EtOAc 5:1 then 1:2) to give (1*S*,2*S*)-**1r** and **3r**.

(1*S*,2*S*)-1-phenyl-1-(phenylamino)propan-2-ol ((1*S*,2*S*)-**1r**)

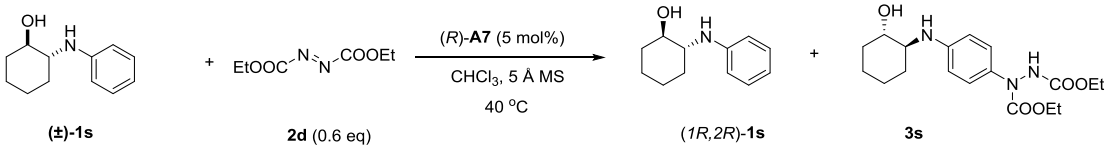


Colorless solid, 18.0 mg, 40%. $[\alpha]^{20}_{D}$ = +26.49 (c 1.0, $CHCl_3$). HPLC (Chiralpak IA column), 95:5 hexanes: isopropanol, 1.0 mL/min; t_R = 11.5 min (major), 13.4 min (minor), 92% ee.

diethyl-1-(4-(((1*R*,2*R*)-2-hydroxy-1-phenylpropyl)amino)phenyl)hydrazine-1,2-dicarboxylate (**3r**)

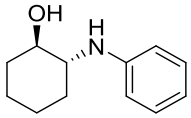


White solid, 36.9 mg, 46%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.32 (d, *J* = 5.3 Hz, 4H), 7.25 (s, 1H), 7.11 (s, 2H), 6.89 (s, 1H), 6.51 (t, *J* = 6.9 Hz, 2H), 4.18 (d, *J* = 6.7 Hz, 5H), 4.00 (q, *J* = 6.0 Hz, 1H), 1.24 (dd, *J* = 13.1, 7.5 Hz, 9H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 146.6, 141.1, 132.1, 129.0, 127.8, 127.1, 113.7, 72.0, 64.4, 62.9, 62.2, 20.3, 14.6, 14.6. HRMS(ESI) calculated for $C_{21}H_{28}N_3O_5$ $[M+H]^+$: 402.2023, found 402.2018. $[\alpha]^{20}_{D}$ = -13.58 (c 1.0, $CHCl_3$). HPLC (Chiralpak IB column), 50:50 hexanes: isopropanol, 1.0 mL/min; t_R = 8.3 min (major), 15.8 min (minor), 94% ee. IR (cm^{-1}): *f* = 3391, 3032, 2919, 2864, 1752, 1706, 1616, 1516, 1255, 1067, 1025, 751.



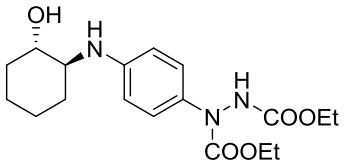
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 11 h and the mixture was separated by flash column (petroleum ether : EtOAc 5:1 then 1:2) to give (1*S*,2*S*)-**1s** and **3s**.

(1*R*,2*R*)-2-(phenylamino)cyclohexan-1-ol ((1*R*,2*R*))-**1s**)



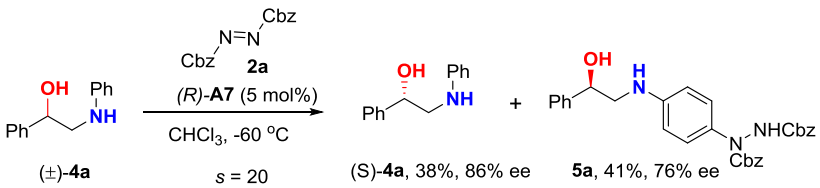
Colorless solid, 16.4 mg, 43%. $[\alpha]^{20}_{D}$ = -30.68 (c 1.0, $CHCl_3$). HPLC (Chiralpak IG column), 90:10 hexanes: isopropanol, 1.0 mL/min; t_R = 10.4 min (major), 12.5 min (minor), 80% ee. The absolute configuration of (1*R*,2*R*))-**1s** was determined by comparison of the optical rotation with the literature[1].

diethyl-1-(4-(((1*S*,2*S*)-2-hydroxycyclohexyl)amino)phenyl)hydrazine-1,2-dicarboxylate (**3s**)



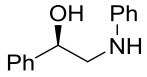
White solid, 33.7 mg, 46%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.17 (d, *J* = 13.4 Hz, 2H), 6.63 (d, *J* = 8.3 Hz, 2H), 4.20 (q, *J* = 7.1 Hz, 4H), 3.40 – 3.28 (m, 1H), 3.11 (d, *J* = 10.9 Hz, 1H), 2.09 (d, *J* = 12.5 Hz, 2H), 1.73 (dd, *J* = 30.8, 8.9 Hz, 2H), 1.45 – 1.16 (m, 11H), 1.04 (d, *J* = 11.9 Hz, 1H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 156.5, 147.0, 132.6, 114.0, 62.9, 62.2, 60.3, 33.3, 31.7, 25.0, 24.4, 14.6, 14.6. HRMS(ESI) calculated for $C_{21}H_{28}N_3O_5$ $[M+H]^+$: 366.2023, found 366.2025. $[\alpha]^{20}_{D}$ = -26.60 (c 1.0, $CHCl_3$). HPLC (Chiralpak IB column), 50:50 hexanes: isopropanol, 1.0 mL/min; t_R = 8.4 min (major), 22.6 min (minor), 78% ee. IR (cm^{-1}): *f* = 3334, 2980, 2932, 2858, 1700, 1516, 1323, 1236, 1063, 751.

Kinetic resolution of racemic 4a



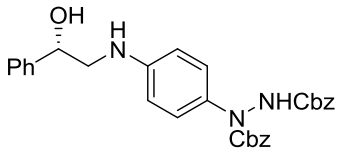
To a solution of racemic substrate **4a** (0.2 mmol, 42.6 mg), CPA catalyst (*R*)-**A7** (10.0 mg, 5 mol%) in 1mL of dry $CHCl_3$ was added activated 5 Å MS (100 mg) at room temperature. After cooling the mixture to -60 ^oC, a solution of **2a** (10.4 mg, 0.12 mmol, 0.6 equiv.) in dry $CHCl_3$ (1 mL) was added slowly. After completion of the reaction as monitored by HPLC analysis on a chiral stationary phase, Et_3N was injected to quench the reaction, filtered through Celite and concentrated under vacuum to give a residue, which was purified by column chromatography (petroleum ether: EtOAc, 5:1 to 1:2) to give the recovered (*S*)-**4a** and products (*R*)-**5a**.

(*S*)-1-phenyl-2-(phenylamino)ethan-1-ol (*(S)*-**4a**)



Colorless oil, 16.2 mg, 38%. ^1H NMR (400 MHz, $CDCl_3$) δ 7.50 – 7.29 (m, 5H), 7.21 (t, *J* = 7.8 Hz, 2H), 6.77 (t, *J* = 7.3 Hz, 1H), 6.69 (d, *J* = 8.0 Hz, 2H), 4.92 (dd, *J* = 8.6, 3.9 Hz, 1H), 3.43 (dd, *J* = 13.1, 3.9 Hz, 1H), 3.30 (dd, *J* = 13.1, 8.6 Hz, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 148.0, 142.1, 129.5, 128.8, 128.1, 126.0, 118.3, 113.6, 72.6, 51.9. HRMS(ESI) calculated for $C_{14}H_{16}NO$ $[M+H]^+$: 214.1226, found 214.1233. $[\alpha]^{20}_D$ = -5.00 (c 1.0, Acetone). HPLC (Chiralpak IA column), 90:10 hexanes: isopropanol, 1.0 mL/min; t_R = 11.1 min (major), 13.5 min (minor), 86% ee. The absolute configuration of (*S*)-**4a** was determined by comparison of the optical rotation with the literature[2].

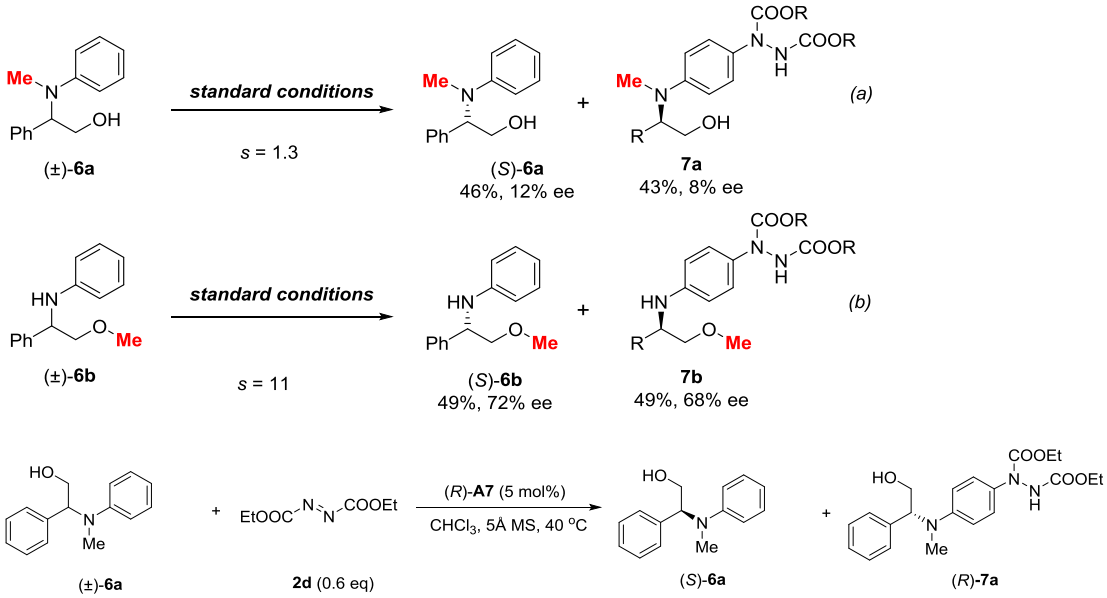
(*R*)-dibenzyl-1-(4-((2-hydroxy-2-phenylethyl)amino)phenyl)hydrazine-1,2-dicarboxylate (**5a**)



White solid, 42.1 mg, 41%. ^1H NMR (500 MHz, $CDCl_3$) δ 7.42 – 7.16 (m, 17H), 6.58 (d, *J* = 8.3 Hz, 2H), 5.16 (d, *J* = 16.2 Hz, 4H), 4.88 (dd, *J* = 8.7, 3.8 Hz, 1H), 3.37 (dd, *J* = 13.1, 3.9 Hz, 1H), 3.26 (dd, *J* = 13.2, 8.6 Hz, 1H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 164.4, 156.3, 142.0, 136.0, 135.6, 132.1, 129.8, 128.8, 128.7, 128.6, 128.5, 128.3, 128.2, 126.0, 126.0, 124.7, 113.3, 72.5, 68.4, 67.9, 51.8. HRMS(ESI) calculated for $C_{30}H_{30}N_3O_5$ $[M+H]^+$: 512.2180,

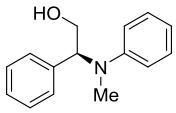
found 512.2201. $[\alpha]^{20}_{D}$ = +8.18 (c 1.0, $CHCl_3$). HPLC (Chiralpak IC column), 40:60 hexanes: isopropanol, 0.5 mL/min; t_R = 32.4 min (major), 36.4 min (minor), 75% ee.

Control experiments



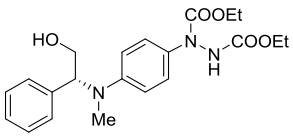
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 28 h and the mixture was separated by flash column (petroleum ether : EtOAc 5:1 then 1:2) to give **6a** and **7a**.

(*S*)-2-(methyl(phenyl)amino)-2-phenylethan-1-ol (*S*-**6a**)

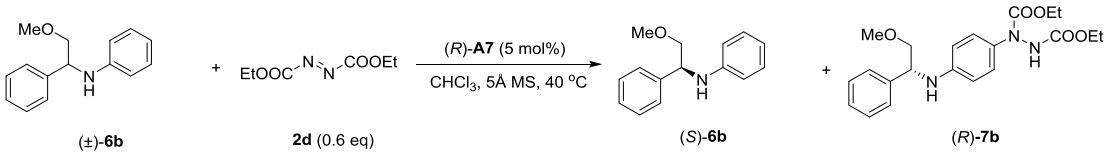


Yellow oil, 21.0 mg, 46%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.40 – 7.28 (m, 5H), 7.21 – 7.15 (m, 2H), 6.98 (d, *J* = 8.2 Hz, 2H), 6.87 (t, *J* = 7.3 Hz, 1H), 5.13 (dd, *J* = 8.7, 6.0 Hz, 1H), 4.20 – 4.09 (m, 2H), 2.76 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 151.1, 137.6, 129.3, 128.6, 127.6, 127.2, 118.2, 114.6, 64.4, 61.7, 32.1. HRMS(ESI) calculated for $C_{15}H_{18}NO$ $[M+H]^+$: 228.1383, found 228.1383. HPLC (Chiralpak IB column), 80:20 hexanes: isopropanol, 1.0 mL/min; t_R = 8.6 min (major), 11.7 min (minor), 8% ee.

(*R*)-diethyl-1-(4-((2-hydroxy-1-phenylethyl)(methyl)amino)phenyl)hydrazine-1,2-dicarboxylate (*R*-**7a**)

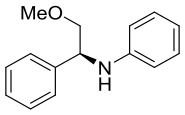


Yellow oil, 34.6 mg, 43%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.30 (dt, *J* = 13.9, 6.8 Hz, 5H), 7.15 (d, *J* = 7.5 Hz, 2H), 7.02 (s, 1H), 6.87 (d, *J* = 8.5 Hz, 2H), 5.07 (t, *J* = 7.3 Hz, 1H), 4.22 (p, *J* = 7.3 Hz, 4H), 4.13 (d, *J* = 7.2 Hz, 2H), 2.74 (s, 3H), 1.27 (q, *J* = 7.0 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 156.5, 149.9, 137.5, 129.4, 128.8, 127.8, 127.2, 114.2, 64.5, 63.0, 62.3, 61.9, 32.4, 14.6, 14.6. HRMS(ESI) calculated for $C_{21}H_{28}N_3O_5$ $[M+H]^+$: 402.2023, found 402.2027. HPLC (Chiralpak IB column), 60:40 hexanes: isopropanol, 1.0 mL/min; t_R = 12.0 min (major), 15.8 min (minor), 8% ee.



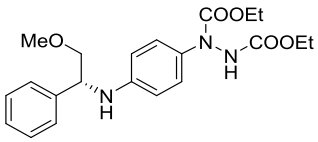
The reaction was performed on 0.2 mmol scale with (*R*)-**A7** (5 mol%) as catalyst at 40 ℃ for 16 h and the mixture was separated by flash column (petroleum ether : EtOAc 5:1 then 1:2) to give **6b** and **7b**.

(*S*)-N-(2-methoxy-1-phenylethyl)aniline (*S*-**6b**)



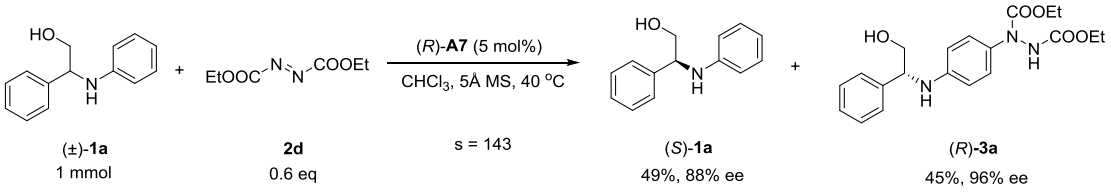
Yellow oil, 22.4 mg, 49%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.49 – 7.44 (m, 2H), 7.42 – 7.35 (m, 2H), 7.13 (tt, *J* = 8.7, 1.7 Hz, 2H), 6.72 (tdd, *J* = 7.3, 2.4, 1.2 Hz, 1H), 6.63 – 6.55 (m, 2H), 4.66 (s, 1H), 4.58 (dt, *J* = 8.1, 3.3 Hz, 1H), 3.67 (ddd, *J* = 10.1, 4.0, 2.1 Hz, 1H), 3.58 (tt, *J* = 9.8, 1.6 Hz, 1H), 3.44 (d, *J* = 1.8 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 147.7, 140.7, 129.1, 128.8, 127.5, 126.8, 117.7, 114.0, 58.8, 57.9. HRMS(ESI) calculated for $C_{15}H_{18}NO$ $[M+H]^+$: 228.1383, found 228.1388. HPLC (Chiralpak IB column), 95:5 hexanes: isopropanol, 1.0 mL/min; t_R = 6.7 min (major), 7.1 min (minor), 72% ee.

(*R*)-diethyl-1-(4-((2-methoxy-1-phenylethyl)amino)phenyl)hydrazine-1,2-dicarboxylate (*R*-**7b**)



White solid, 39.0 mg, 49%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.43 – 7.27 (m, 4H), 7.22 – 6.91 (m, 3H), 6.46 (d, *J* = 8.6 Hz, 2H), 4.49 (dd, *J* = 8.6, 4.0 Hz, 1H), 4.21 – 4.13 (m, 4H), 3.61 (dd, *J* = 10.0, 4.1 Hz, 1H), 3.52 (t, *J* = 9.3 Hz, 1H), 3.38 (s, 3H), 1.24 (dq, *J* = 15.8, 7.9, 7.4 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 140.4, 132.1, 128.8, 127.6, 126.8, 113.7, 76.9, 62.8, 62.1, 58.8, 58.0, 14.6, 14.5. HRMS(ESI) calculated for $C_{21}H_{28}N_3O_5$ $[M+H]^+$: 402.2023, found 402.2030. HPLC (Chiralpak IB column), 60:40 hexanes: isopropanol, 1.0 mL/min; t_R = 11.2 min (major), 12.8 min (minor), 68% ee.

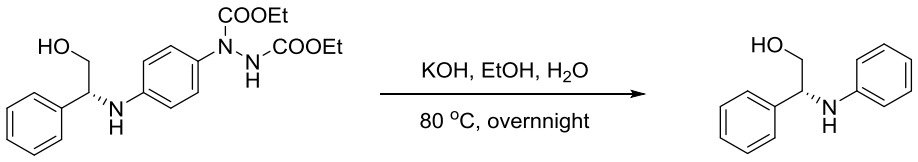
1 mmol-scale kinetic resolution of racemic 2-amino alcohol 1a



To a 50 mL flask containing a magnetic stir bar was added racemic **1a** (213 mg, 1.0 mmol, 1 equiv.), CPA catalyst (*R*)-**A7** (49.7 mg, 0.05 mmol, 5 mol%) and activated 5 Å MS (400 mg) under inert atmosphere. After adding the solution of DEAD (104.4 mg, 0.6 mmol, 0.6 equiv.) in dry $CHCl_3$ (10 mL) using a syringe, the reaction was warmed to 40 °C. After stirring for another 14 hours at 40 °C, the reaction mixture was cooled to rt, filtered and concentrated under vacuum to give the residue, which was purified by column chromatography (petroleum ether:EtOAc, 3:1 to 2:3) to give recovered (*S*)-**1a** (104 mg, 49%, 88% ee) and product (*R*)-**3a** (173 mg, 45%, 96% ee, s = 143).

Derivatizations of chiral products

(*R*)-2-phenyl-2-(phenylamino)ethan-1-ol ((*R*)-**1a**)



(*R*)-**3a**
96% ee

(*R*)-**1a**
82% yield
96% ee

To the solution of (*R*)-**3a** (38.7 mg, 0.1 mmol, 96% ee) in ethanol/H_2O (2 mL/0.5 mL) was added KOH (58 mg, 1 mmol, 10 equiv.) at rt. The reaction mixture was the allowed to warm to 80 ^oC and stirred overnight. After completion of this reaction as monitored by TLC analysis, the mixture was cooled to room temperature, diluted with EtOAc and washed with brine. The organic layer was dried over Na_2SO_4, filtered and concentrated under vacuum to give a residue, which was purified by column chromatography ((petroleum ether:EtOAc = 3:1) to afford (*R*)-**1a** (17.4 mg, 82%, 96% ee).

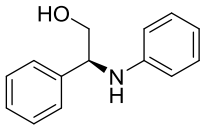
References

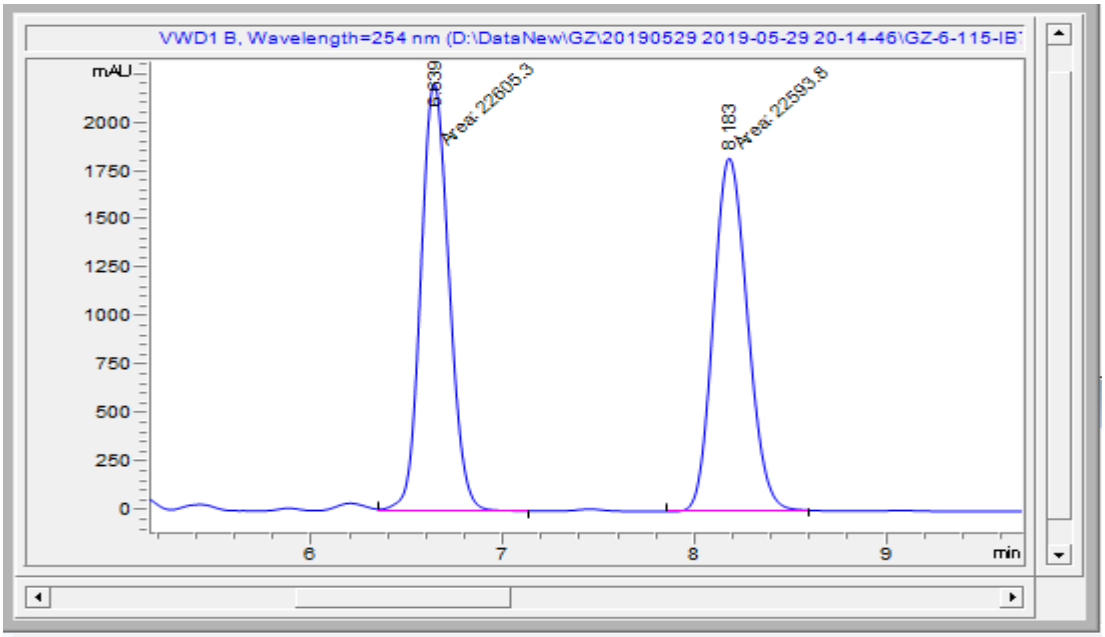
[1]. The absolute configuration of **1a**, **1s** can be confirmed by comparing of the optical rotatory power from the literature: a) S. Roy, P. Bhaja, S. S. Islam, A. Bhaumik and S. M. Islam, *Chem, Commun.*, **2016**, *52*, 1871.; b) R. Tak, M. Kumar, T. Menapara, N. Gupta, R. I. Kureshy, N.-U. H. Khan, and E. Suresh *Adv. Synth. Catal.*, **2017**, *359*, 3990.

[2] N. C. Mamillapalli and G. Sekar, *Chem. Eur. J.*, **2015,** *21*, 18584-18588.

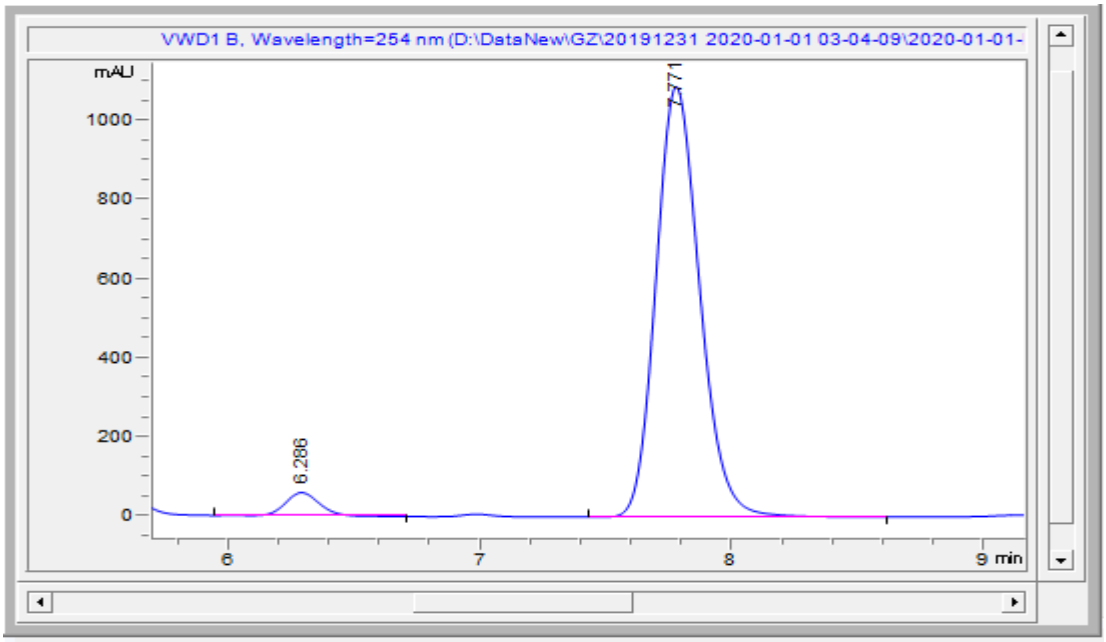
HPLC traces

(*S*)-2-phenyl-2-(phenylamino)ethan-1-ol (*S*-**1a**)



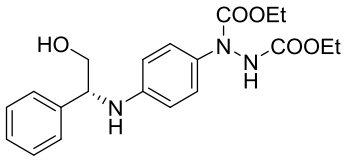


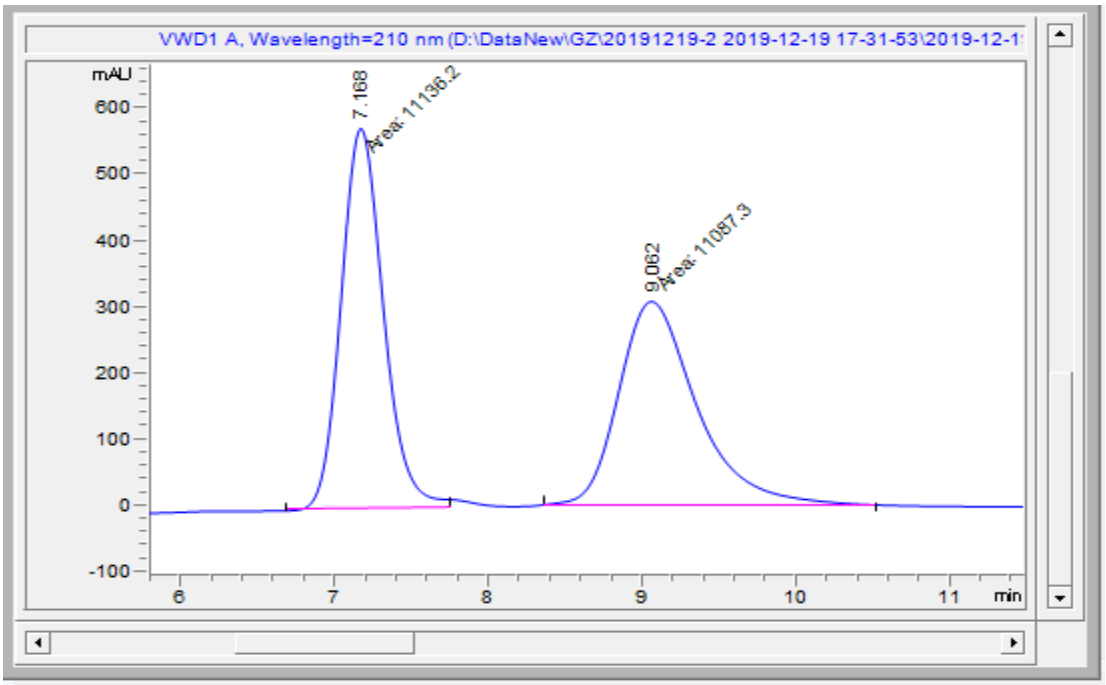
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	6.639	FM	22605.3	2205.9	0.1708	50.013	0.869
2	8.183	MF	22593.8	1820.8	0.2068	49.987	0.839



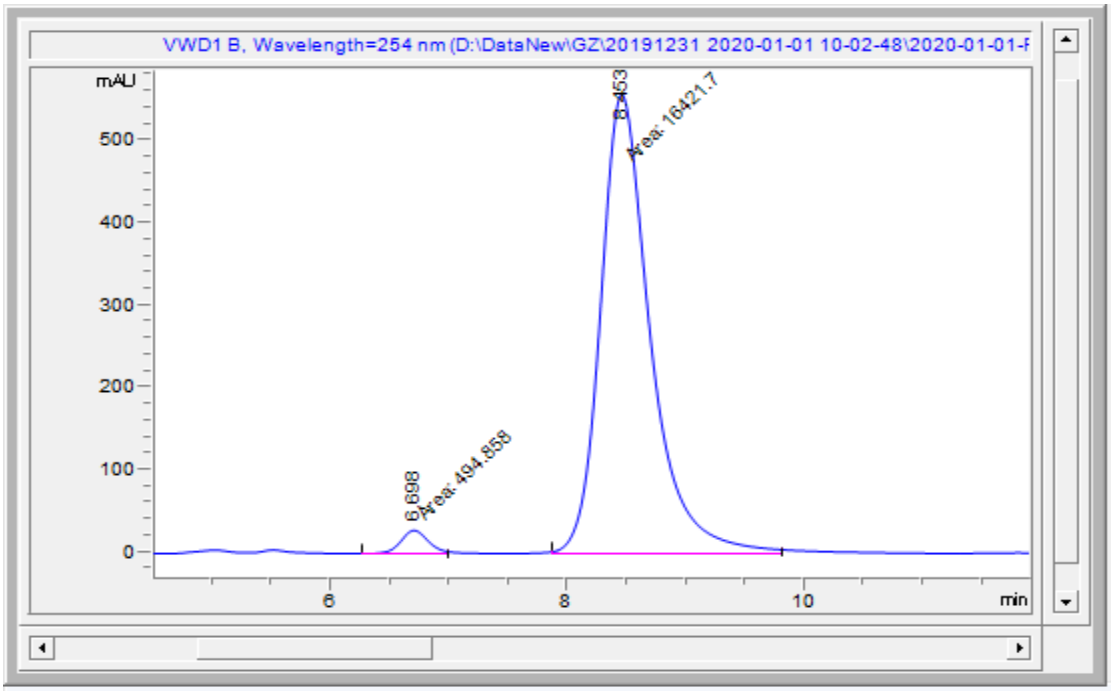
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	6.286	VB R	569.2	59.4	0.1477	4.142	0.865
2	7.771	BB	13170.3	1093.8	0.1865	95.858	0.807

(*R*)-diethyl 1-(4-((2-hydroxy-1-phenylethyl)amino)phenyl)hydrazine-1,2-dicarboxylate (*R*-**3a**)



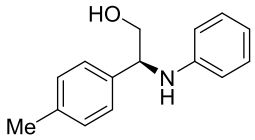


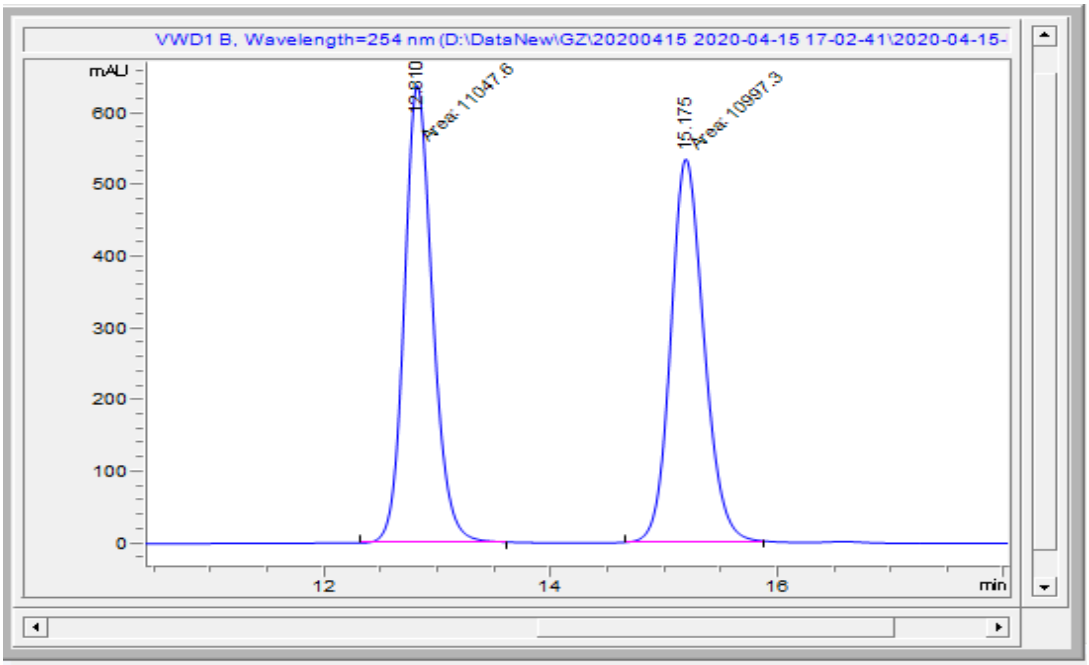
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	7.168	MM	11136.2	577.1	0.3216	50.110	0.841
2	9.062	MM	11087.3	309.8	0.5964	49.890	0.699



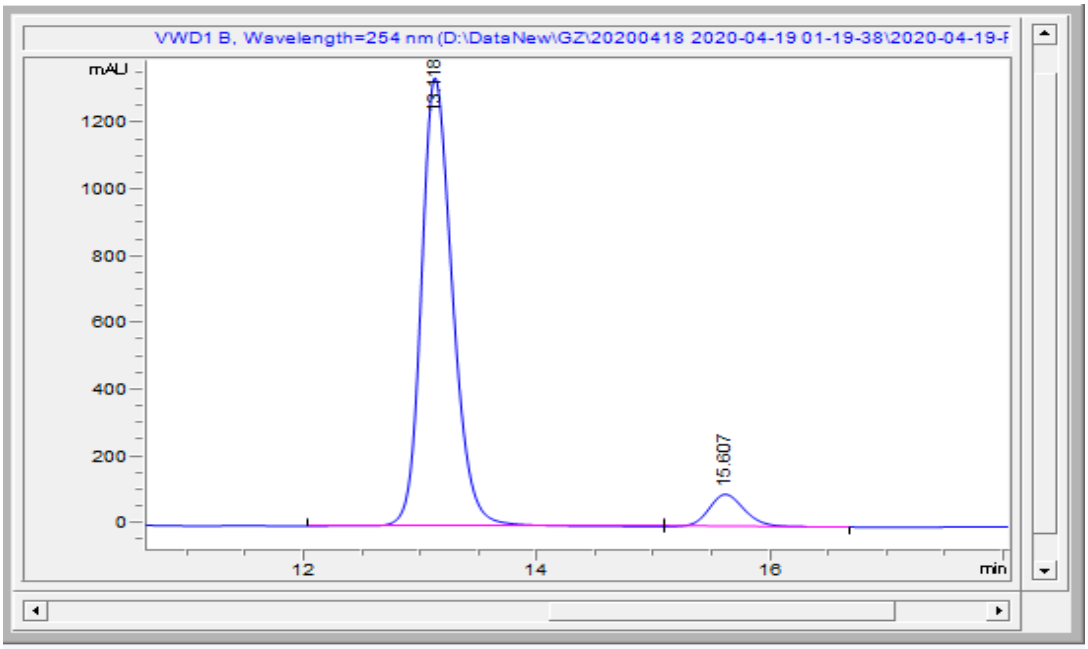
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	6.698	MF	494.9	29.2	0.2827	2.925	0.928
2	8.453	MF	16421.7	559.2	0.4895	97.075	0.707

(*S*)-2-(phenylamino)-2-(p-tolyl)ethan-1-ol ((*S*)-**1b**)



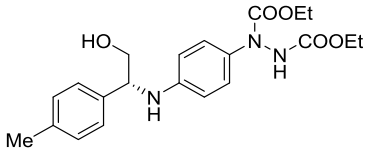


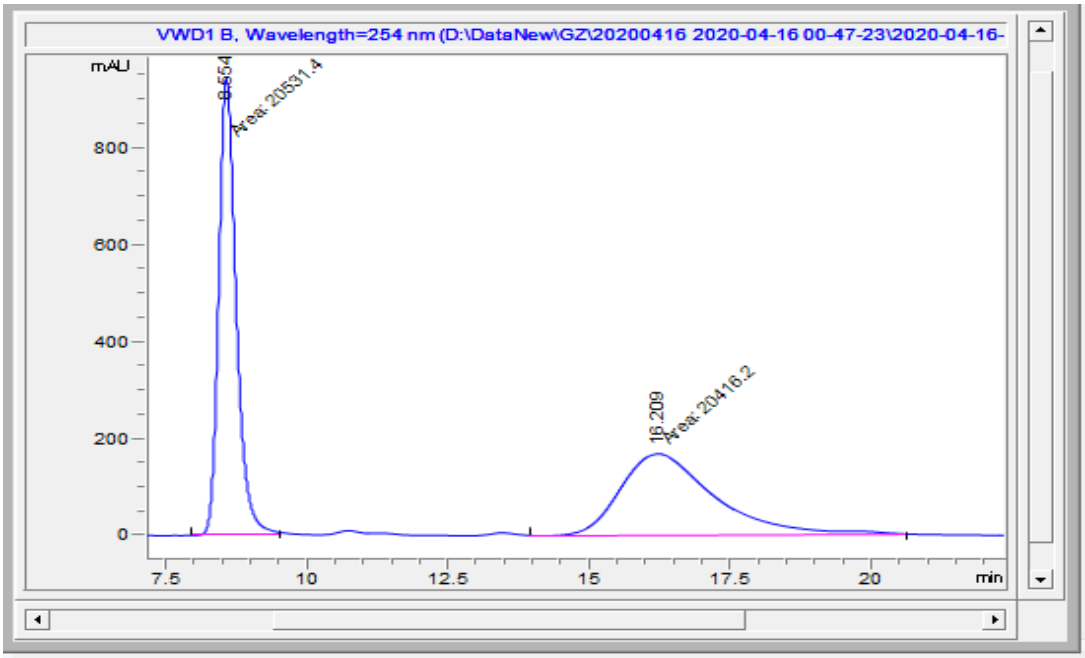
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	12.81	MM	11047.6	639.2	0.2881	50.114	0.818
2	15.175	FM	10997.3	536.4	0.3417	49.886	0.814



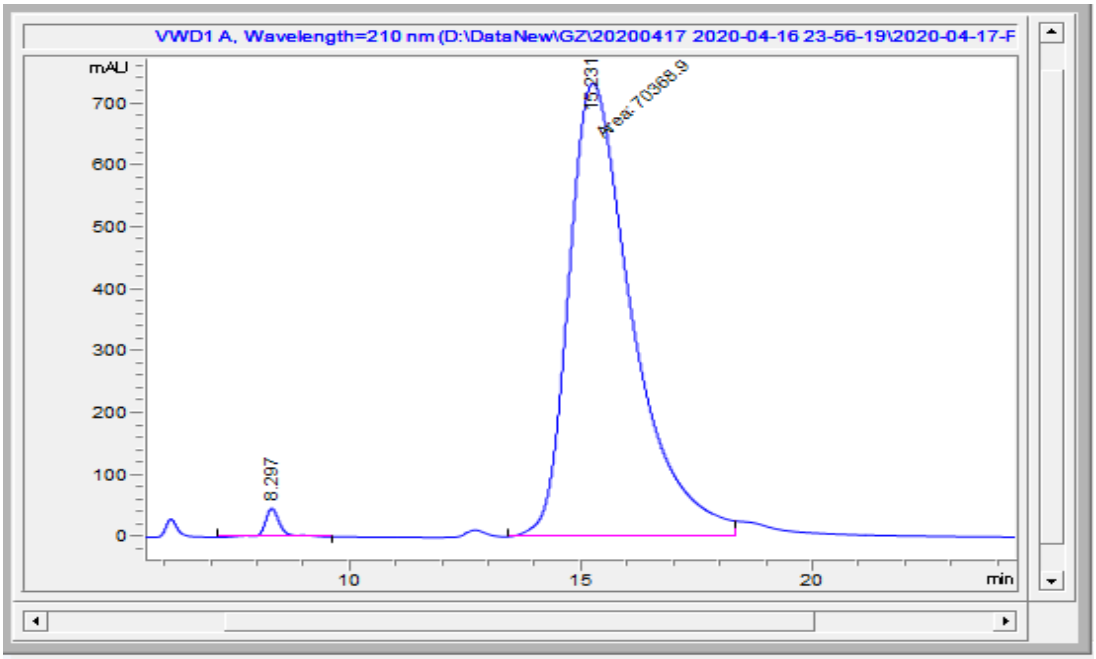
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	13.118	VV R	24867.9	1338.3	0.2848	92.200	0.733
2	15.607	VB	2103.6	97	0.3311	7.800	0.818

(*R*)-diethyl-1-(4-((2-hydroxy-1-(p-tolyl)ethyl)amino)phenyl)hydrazine-1,2-dicarboxylate ((*R*)-**3b**)



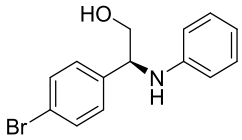


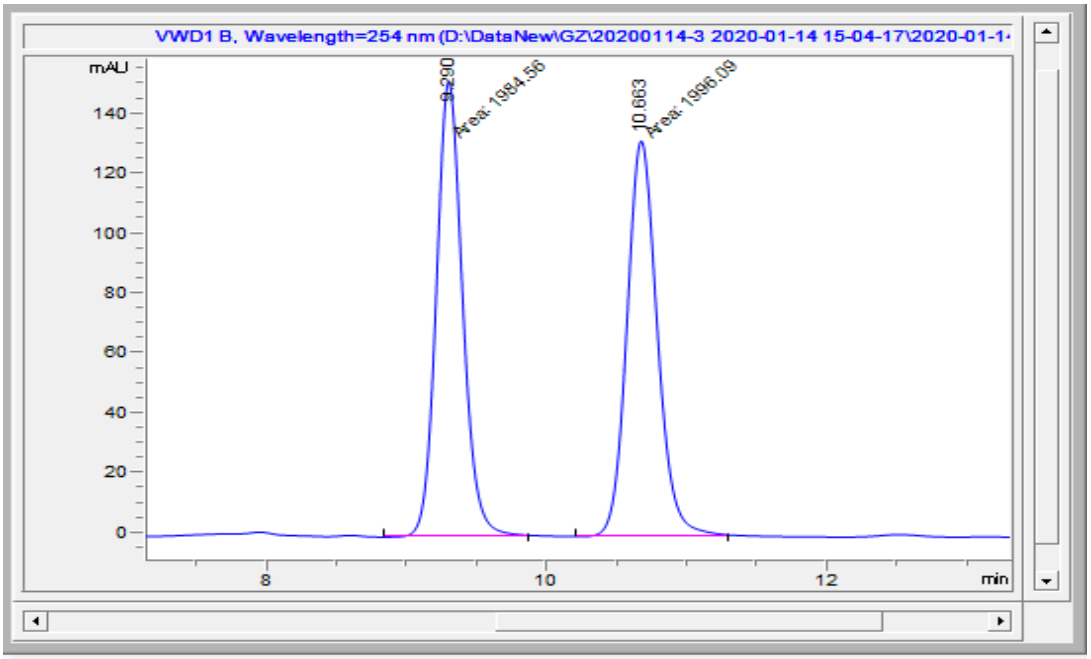
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	8.554	FM	20531.4	946.2	0.3617	50.141	0.746
2	16.209	MM	20416.2	171.2	1.9875	49.859	0.65



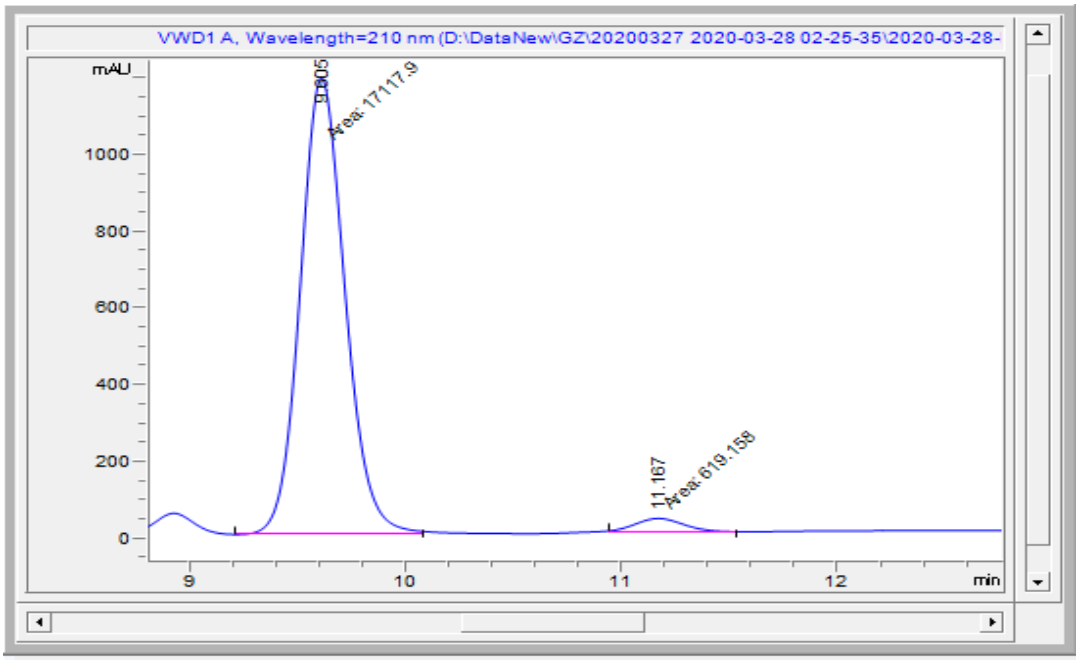
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	8.297	VV R	1098	46.4	0.3208	1.536	1.028
2	15.231	MF	70368.9	735	1.5957	98.464	0.594

(*S*)-2-(4-bromophenyl)-2-(phenylamino)ethan-1-ol ((*S*)-**1c**)





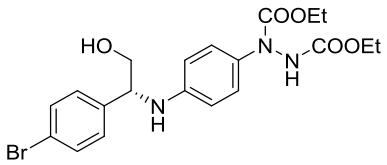
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	9.29	MF	1984.6	152	0.2176	49.855	0.864
2	10.663	MF	1996.1	132	0.2519	50.145	0.854

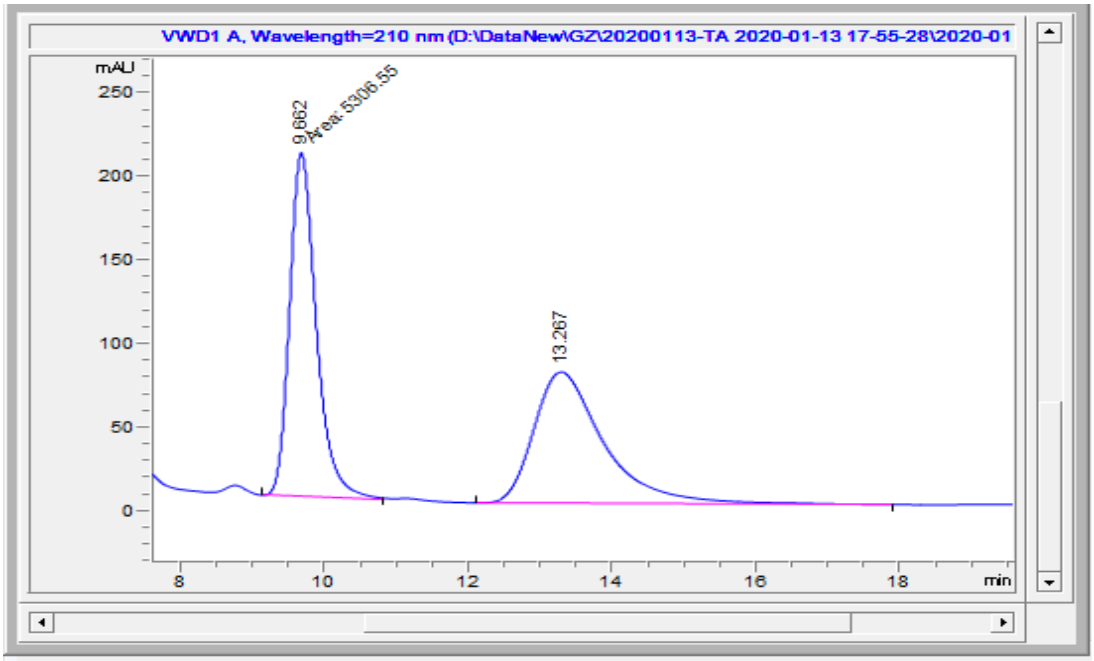


#	Time	Type	Area	Height	Width	Area%	Symmetry
1	9.605	MF	17117.9	1188.1	0.2401	96.509	0.866
2	11.167	MM	619.2	37.4	0.2762	3.491	0.919

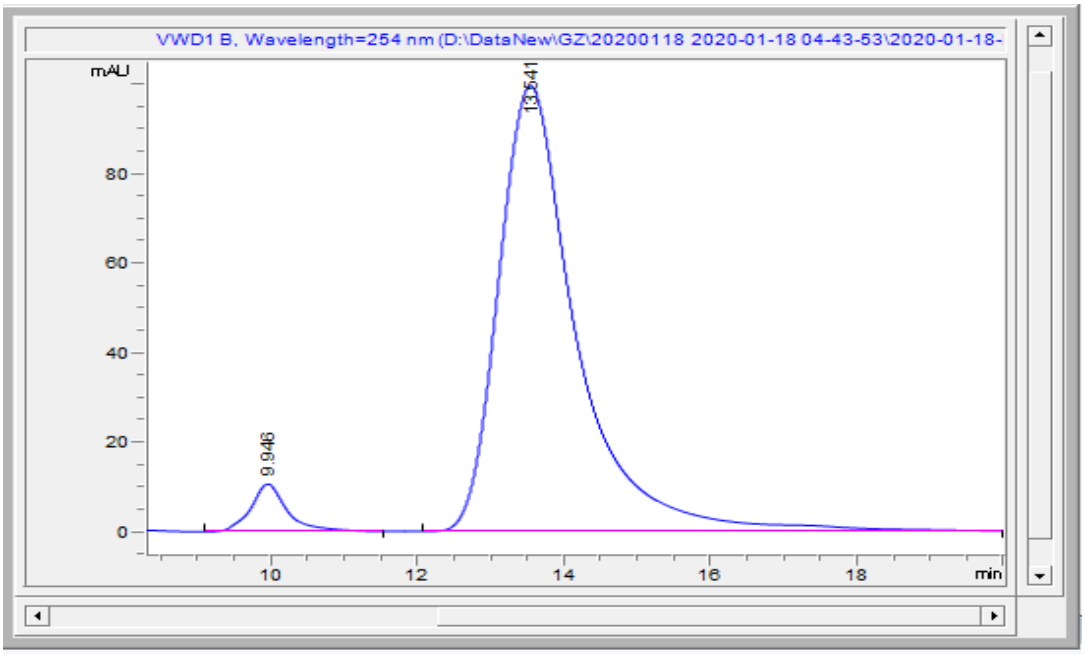
(*R*)-diethyl-1-(4-((1-(4-bromophenyl)-2-hydroxyethyl)amino)phenyl)hydrazine-1,2-dicarboxy

late ((*R*)-**3c**)



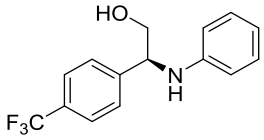


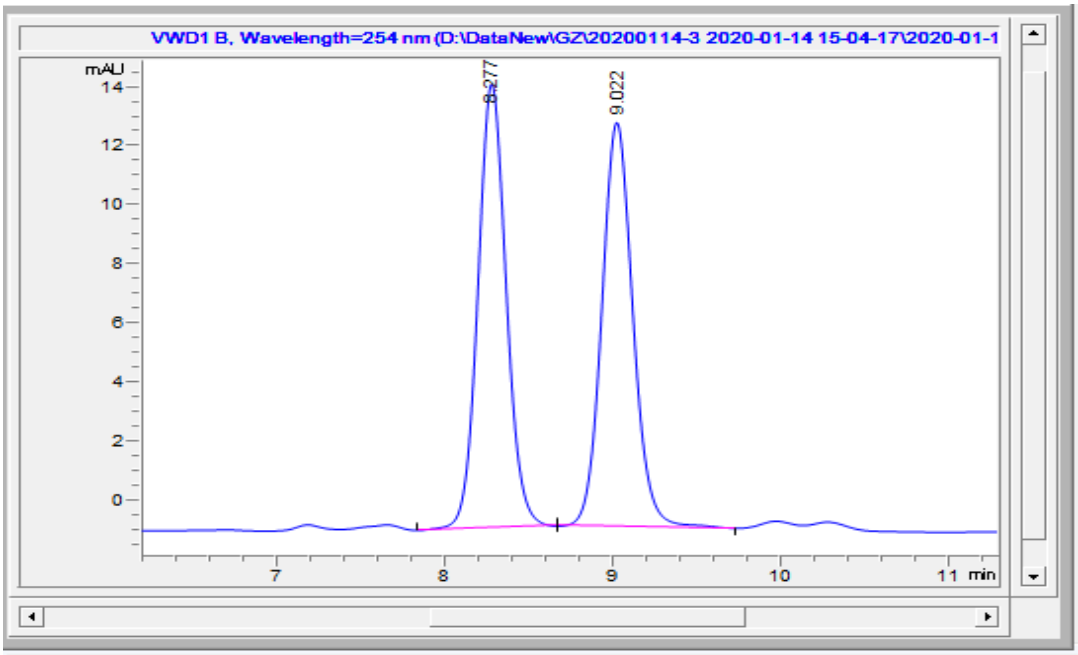
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	9.662	MF	5306.6	205.9	0.4295	50.033	0.748
2	13.267	BB	5299.5	78.7	1.0076	49.967	0.588



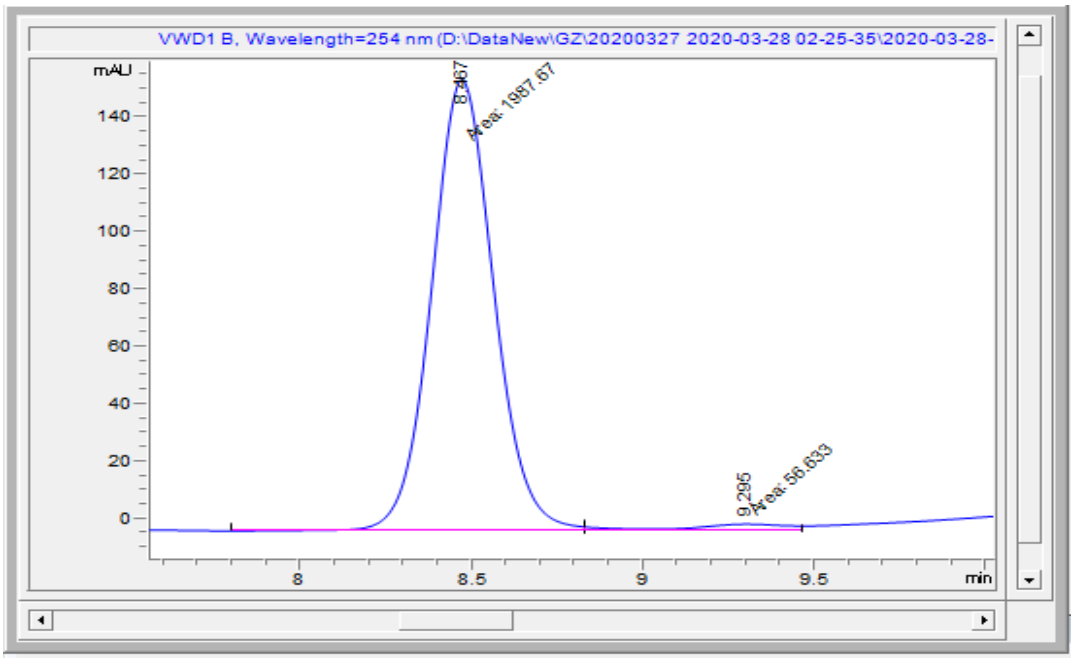
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	9.946	BB	368.3	10.6	0.5028	4.745	0.808
2	13.541	BBA	7392.7	99.7	1.1011	95.255	0.628

(*S*)-2-(phenylamino)-2-(4-(trifluoromethyl)phenyl)ethan-1-ol ((*S*)-**1d**)



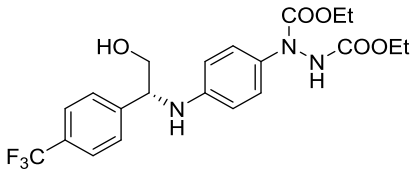


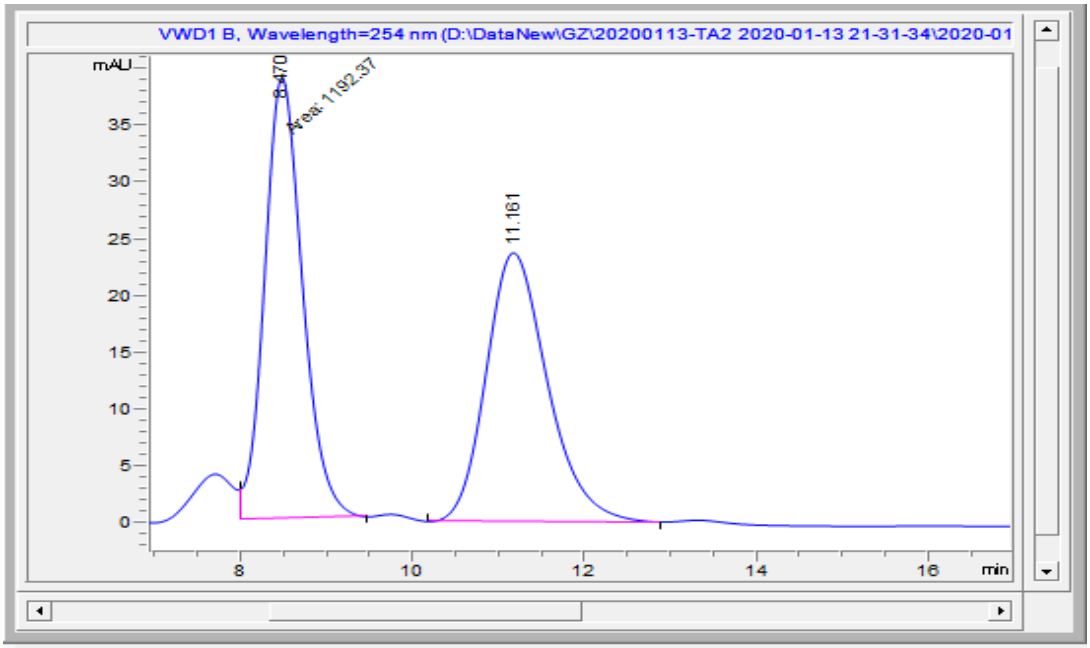
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	8.277	BB	177.1	15.1	0.181	50.078	0.919
2	9.022	BB	176.6	13.7	0.1981	49.922	0.883



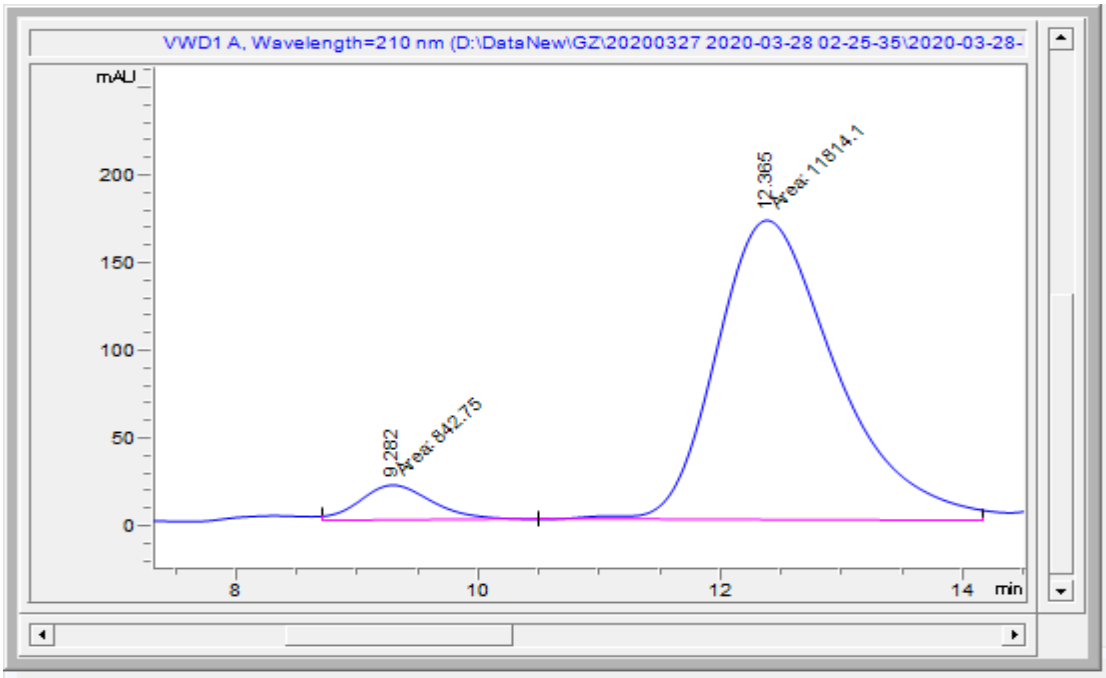
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	8.467	MF	1987.7	157.6	0.2102	97.230	0.926
2	9.295	MF	56.6	2.5	0.3845	2.770	1.582

(*R*)-diethyl-1-(4-((2-hydroxy-1-(4-(trifluoromethyl)phenyl)ethyl)amino)phenyl)hydrazine-1,2-dicarboxylate ((*R*)-**3d**)



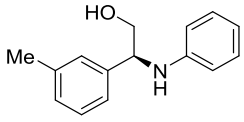


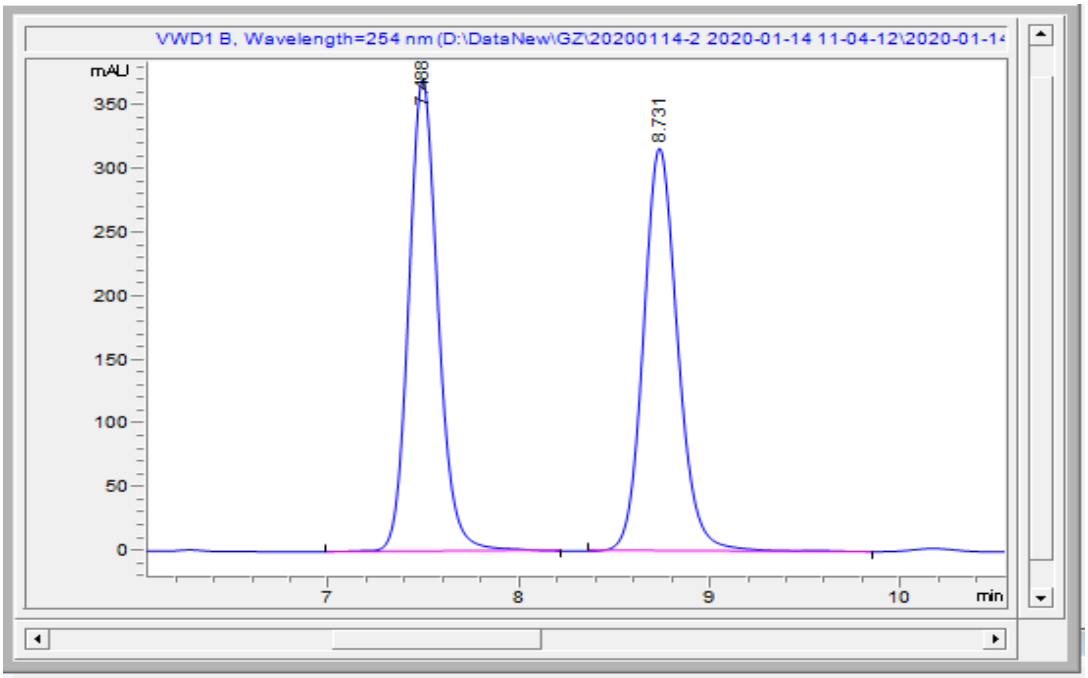
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	8.47	FM	1192.4	38.8	0.5121	50.456	0.822
2	11.161	BB	1170.8	23.6	0.758	49.544	0.766



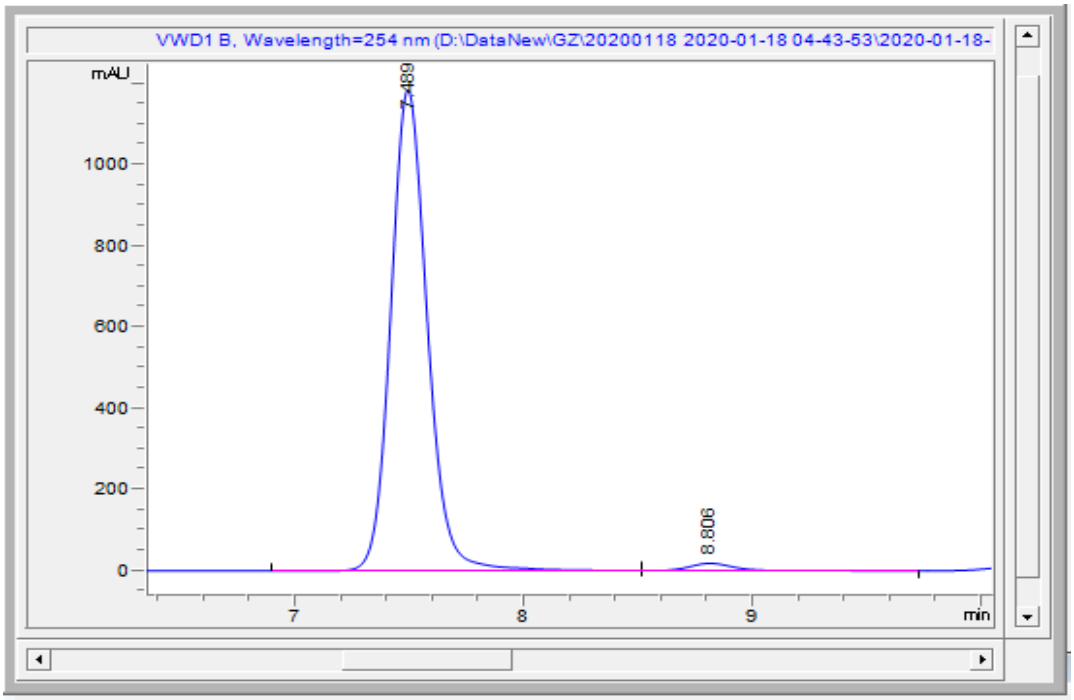
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	9.282	FM	842.8	20.1	0.6973	6.658	0.82
2	12.365	MF	11814.1	171.8	1.1463	93.342	0.713

(*S*)-2-(phenylamino)-2-(m-tolyl)ethan-1-ol ((*S*)-**1e**)



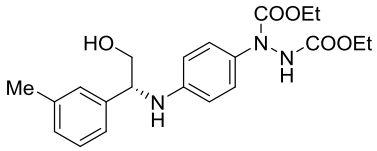


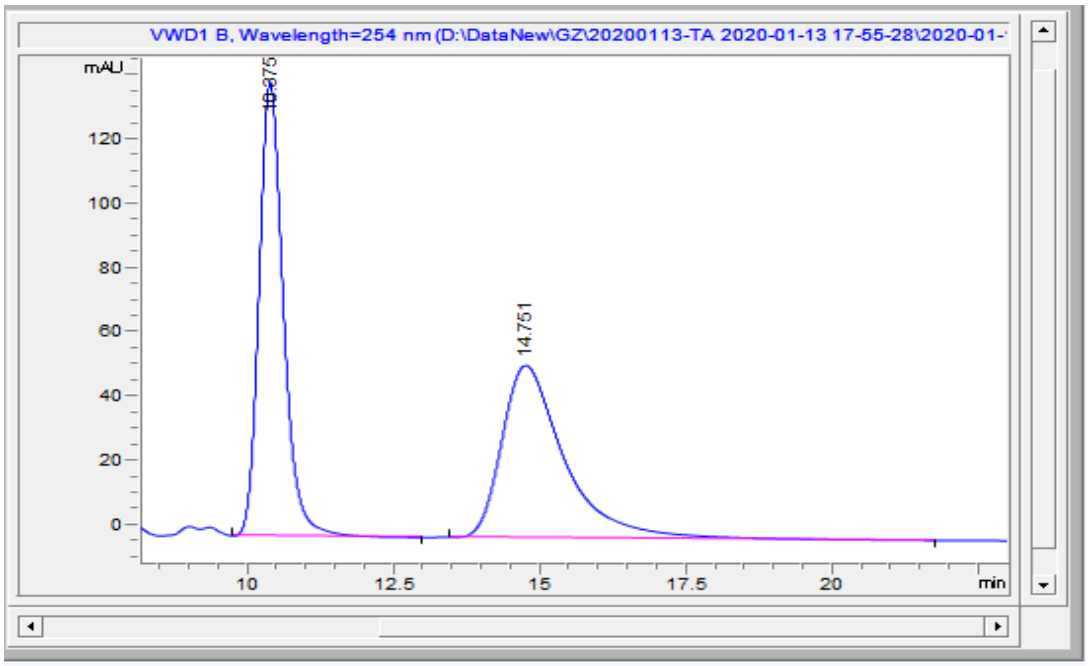
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	7.488	BB	3943.7	368.9	0.1647	49.999	0.84
2	8.731	BV R	3943.8	315.2	0.192	50.001	0.833



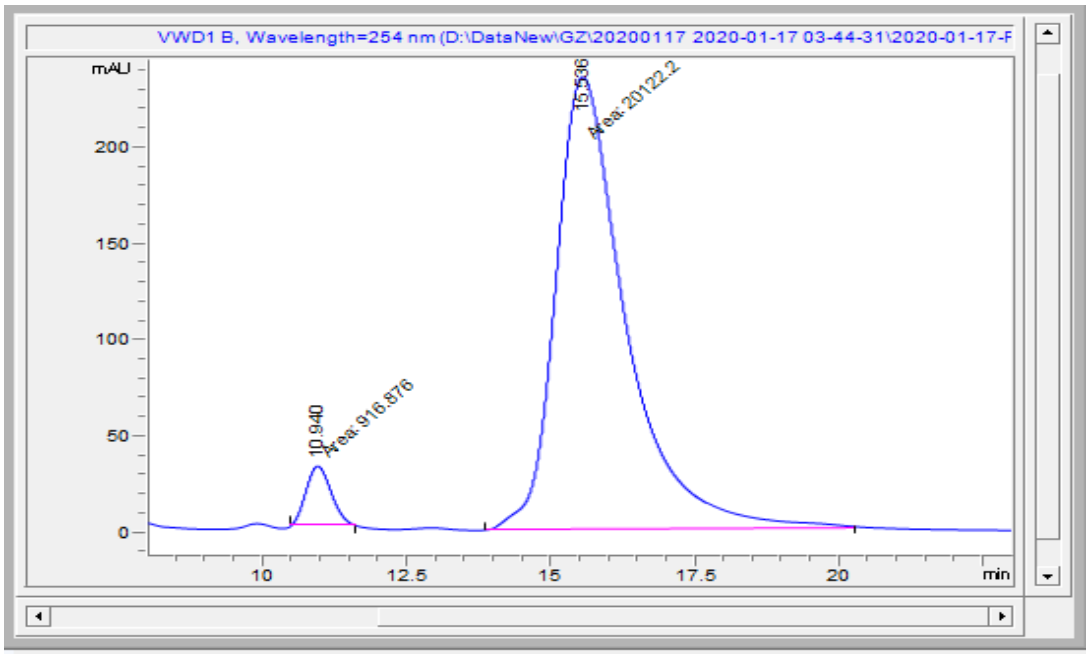
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	7.489	BV	13164.9	1188.4	0.1712	97.968	0.827
2	8.806	VB	273.1	18.7	0.2185	2.032	0.761

(*R*)-diethyl-1-(4-((2-hydroxy-1-(m-tolyl)ethyl)amino)phenyl)hydrazine-1,2-dicarboxylate ((*R*)-**3e**)



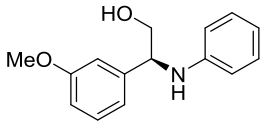


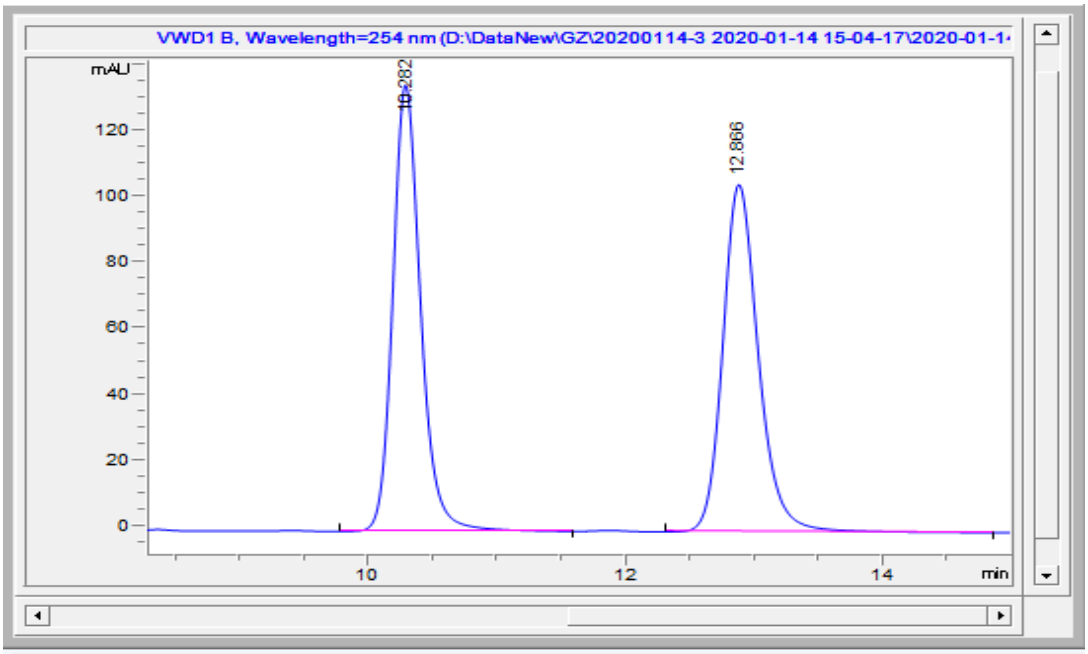
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	10.375	VB	4098.7	141.5	0.442	50.653	0.768
2	14.751	BBA	3993	53.6	1.1124	49.347	0.564



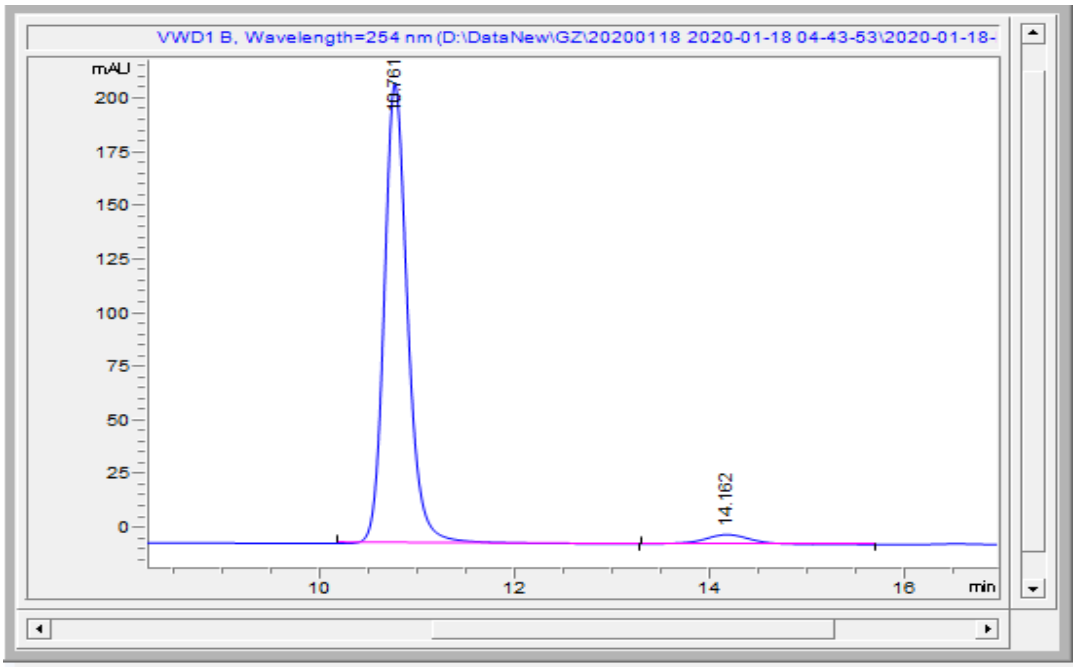
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	10.94	MM	916.9	30.5	0.5007	4.358	0.845
2	15.536	MM	20122.2	235.1	1.4265	95.642	0.596

(*S*)-2-(3-methoxyphenyl)-2-(phenylamino)ethan-1-ol ((*S*)-**1f**)



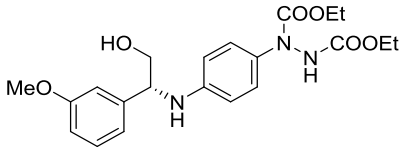


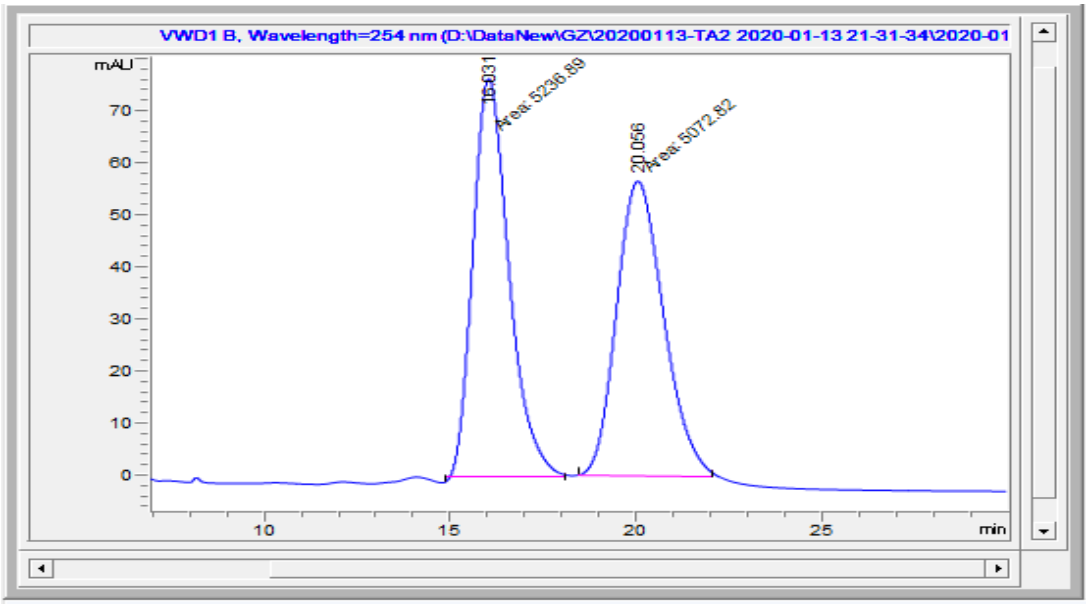
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	10.282	BB	2038.4	135.9	0.229	50.011	0.79
2	12.866	BB	2037.4	105.8	0.2946	49.989	0.769



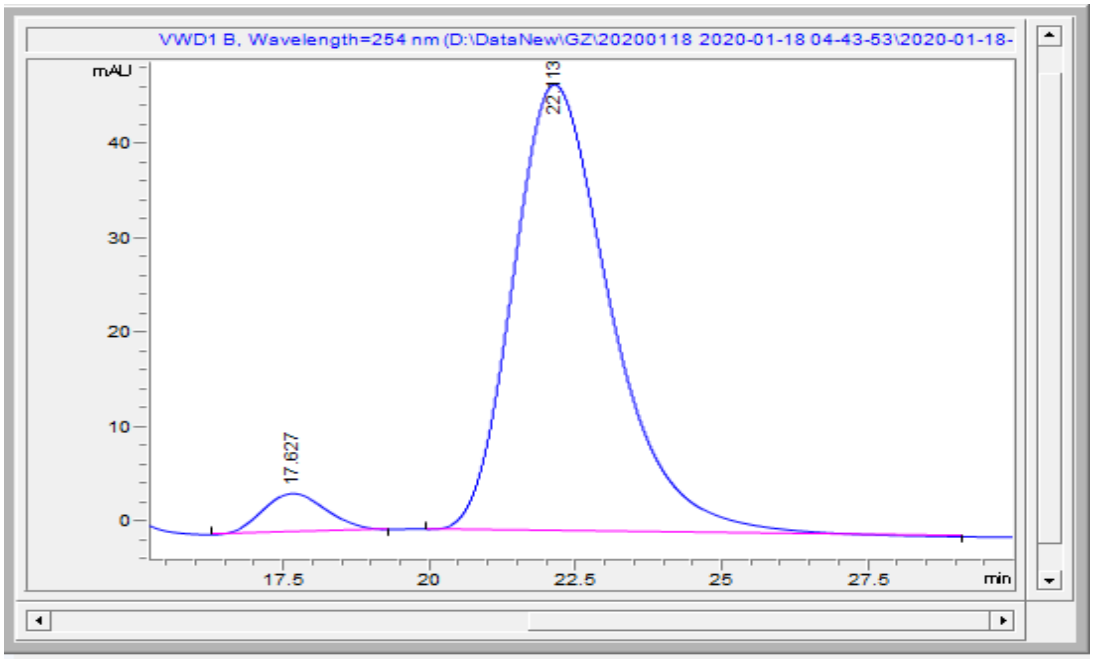
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	10.761	BB	3579.8	214.4	0.2548	96.039	0.782
2	14.162	BB	147.6	4.4	0.5057	3.961	0.95

(*R*)-diethyl-1-(4-((2-hydroxy-1-(3-methoxyphenyl)ethyl)amino)phenyl)hydrazine-1,2-dicarboxylate ((*R*)-**3f**)



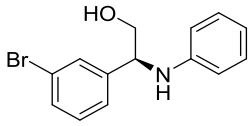


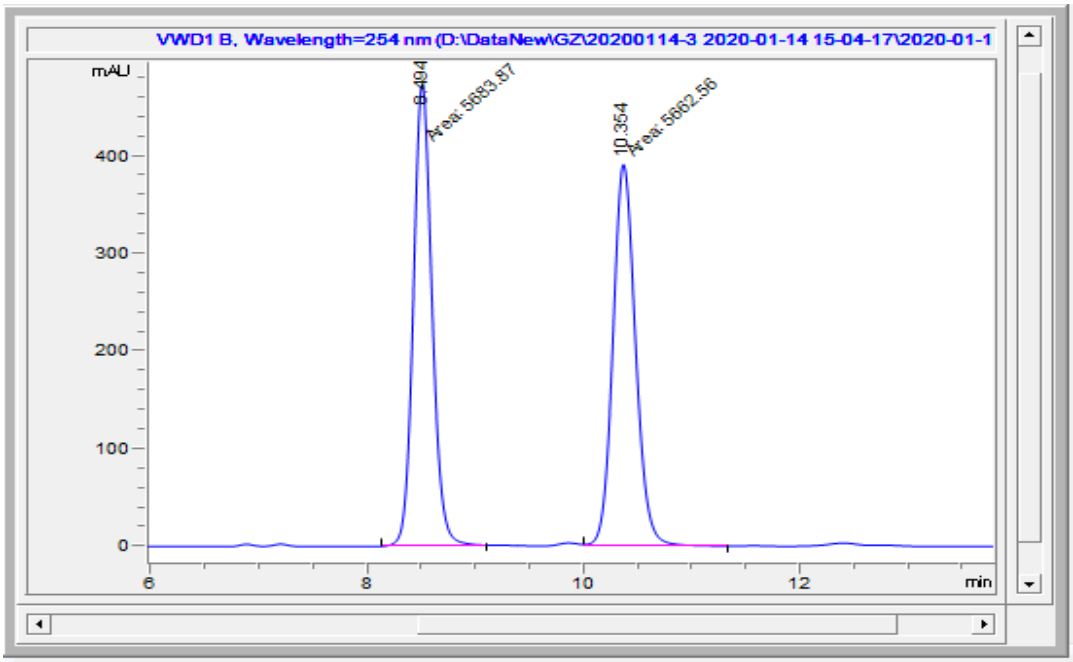
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	16.031	MM	5236.9	76.9	1.1349	50.796	0.745
2	20.056	MM	5072.8	57	1.4823	49.204	0.803



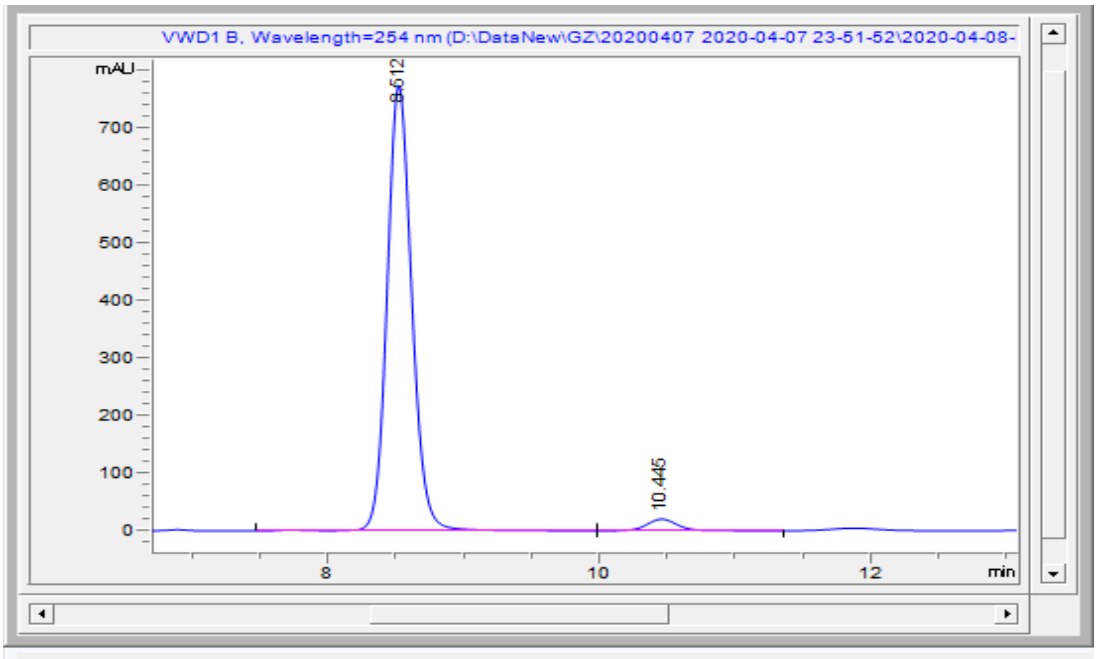
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	17.627	BB	310.6	4.1	1.1626	5.357	0.862
2	22.113	BBA	5488	47.2	1.7782	94.643	0.694

(*S*)-2-(3-bromophenyl)-2-(phenylamino)ethan-1-ol ((*S*)-**1g**)





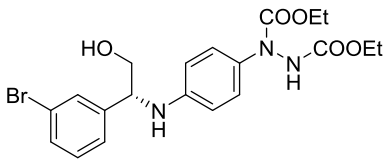
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	8.494	FM	5683.9	472.3	0.2006	50.094	0.863
2	10.354	FM	5662.6	390	0.242	49.906	0.861

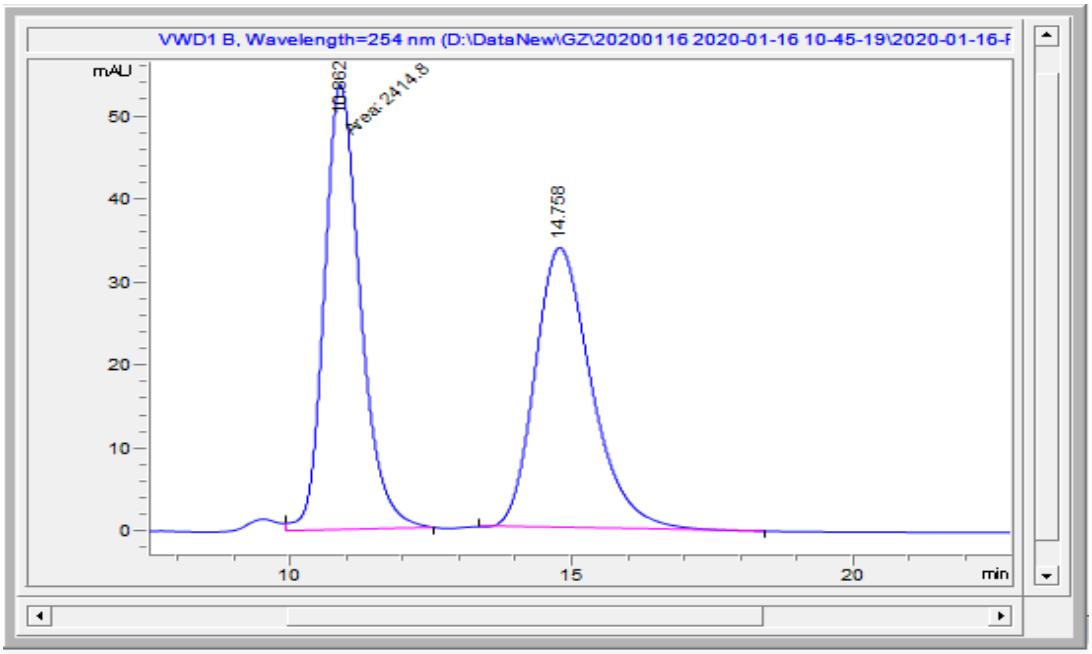


#	Time	Type	Area	Height	Width	Area%	Symmetry
1	8.512	VB R	9815.8	778.8	0.195	96.827	0.849
2	10.445	BB	321.7	20.4	0.2423	3.173	0.877

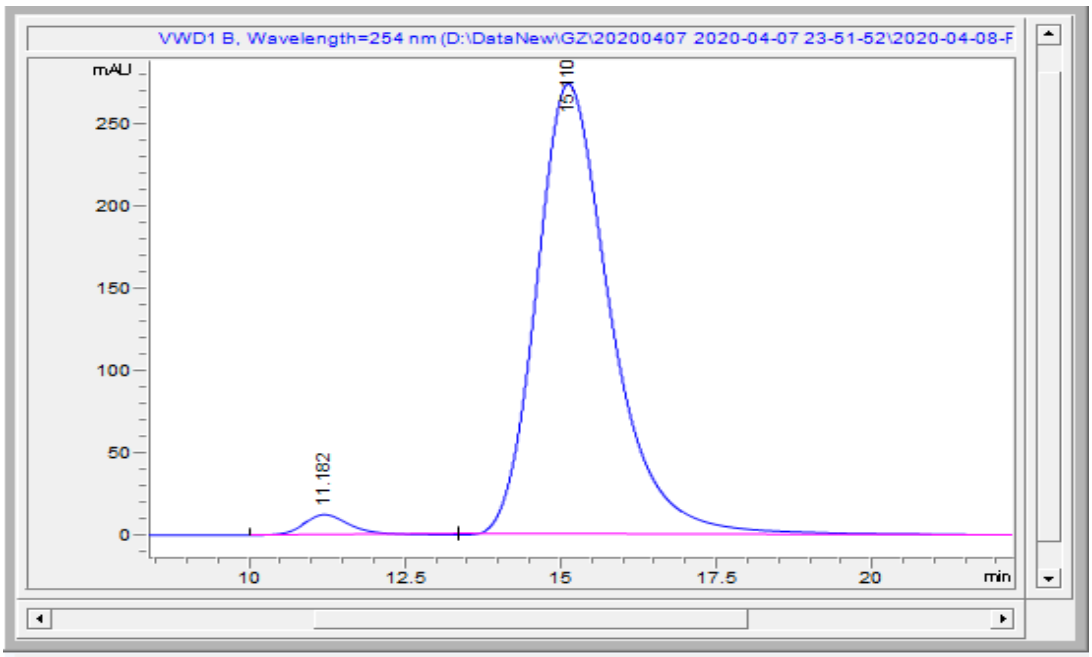
(*R*)-diethyl-1-(4-((1-(3-bromophenyl)-2-hydroxyethyl)amino)phenyl)hydrazine-1,2-dicarboxy

late ((*R*)-**3g**)



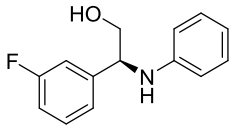


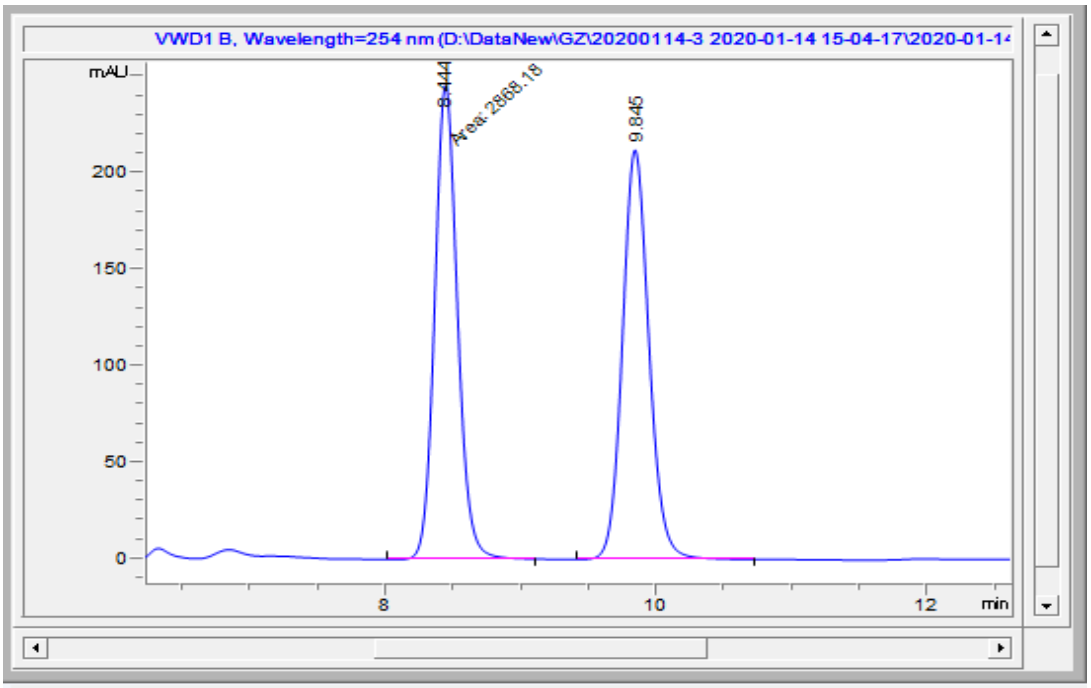
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	10.862	MM	2414.8	53.7	0.7489	50.562	0.78
2	14.758	BB	2361.1	33.8	1.0716	49.438	0.731



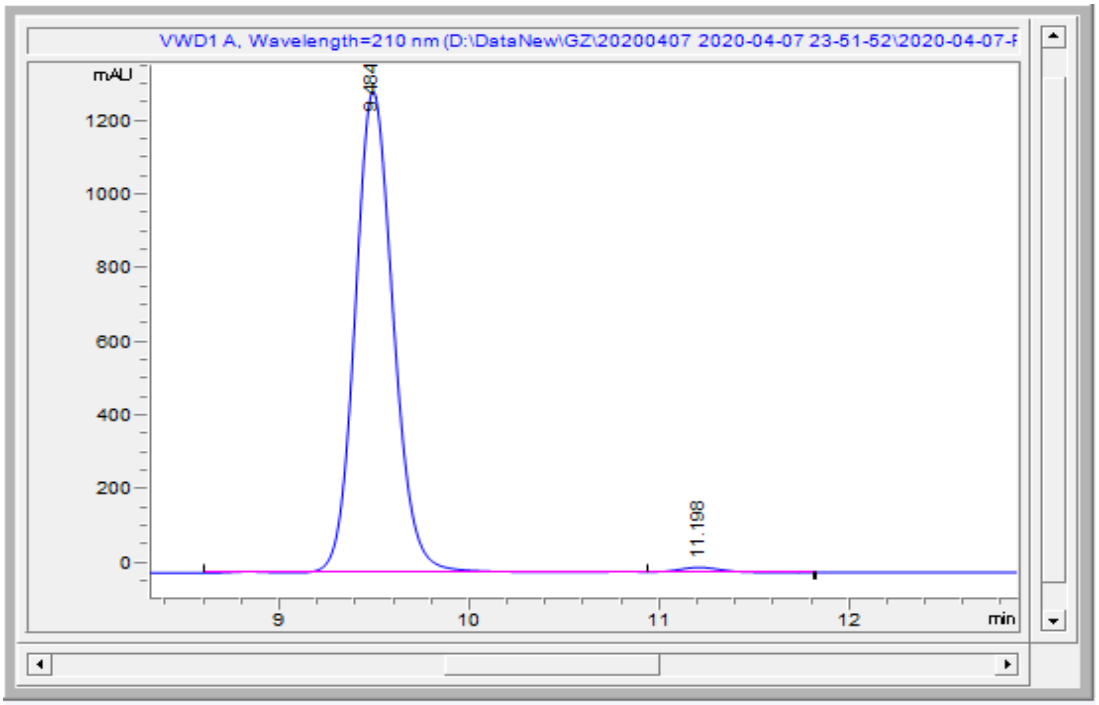
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	11.182	BB	645.8	12.4	0.788	2.755	0.743
2	15.11	BB	22794.6	274.1	1.2541	97.245	0.7

(*S*)-2-(3-fluorophenyl)-2-(phenylamino)ethan-1-ol ((*S*)-**1h**)



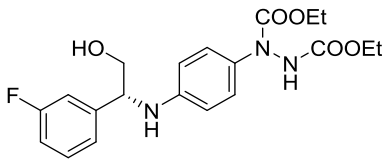


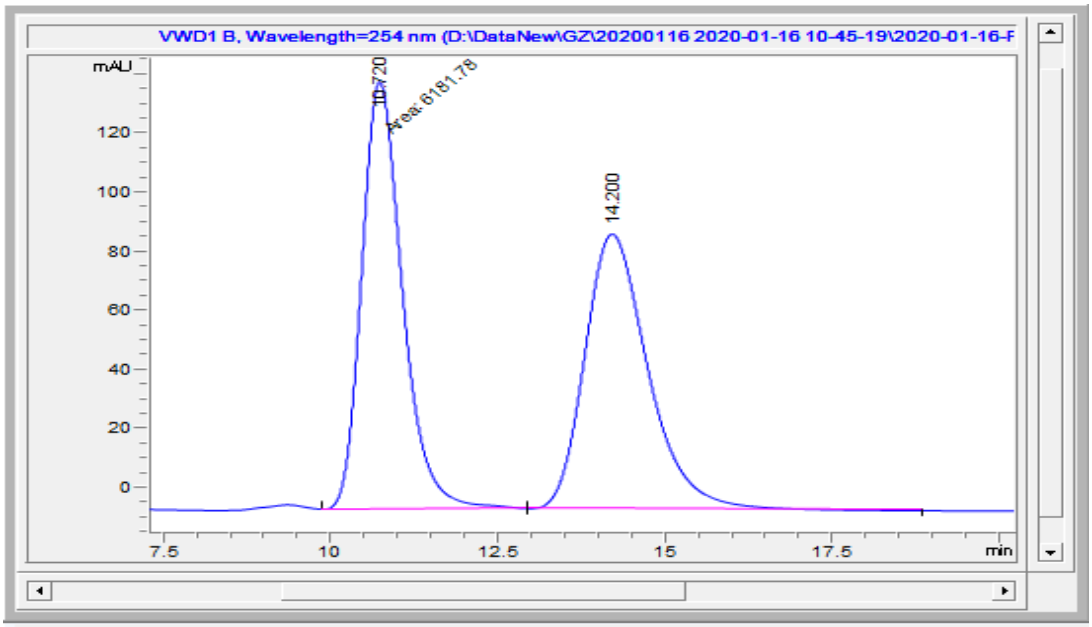
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	8.444	MF	2868.2	245.4	0.1948	49.879	0.869
2	9.845	BB	2882.1	211.8	0.2108	50.121	0.86



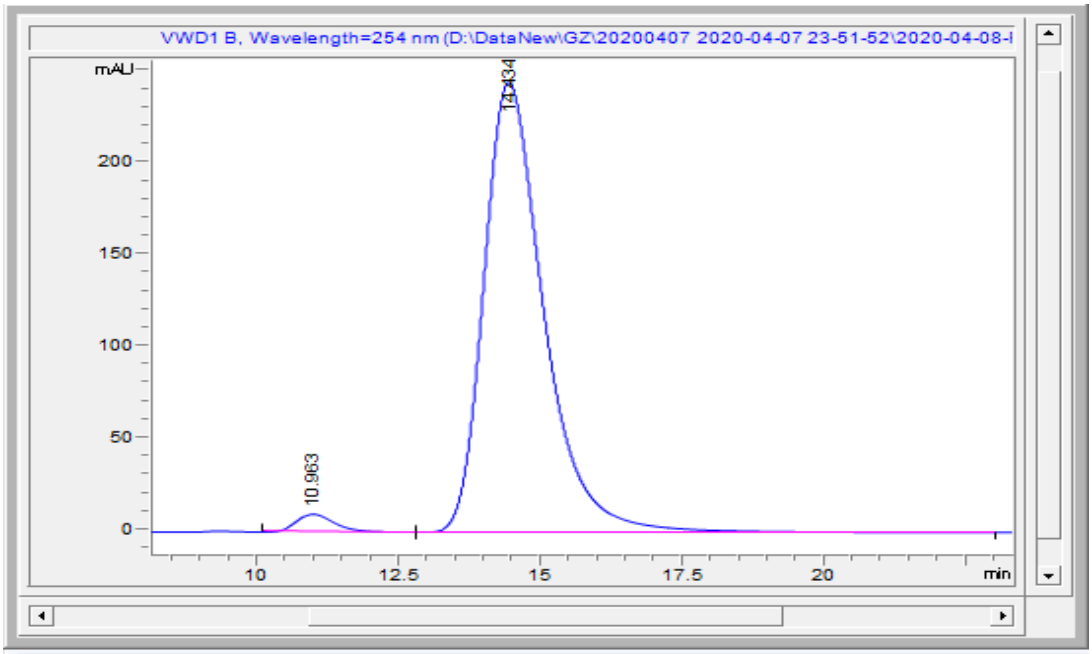
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	9.484	VV R	18406.9	1311.3	0.215	98.764	0.843
2	11.198	VB E	230.3	14.1	0.2509	1.236	0.917

(*R*)-diethyl-1-(4-((1-(3-fluorophenyl)-2-hydroxyethyl)amino)phenyl)hydrazine-1,2-dicarboxyl ate ((*R*)-**3h**)



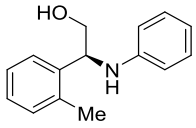


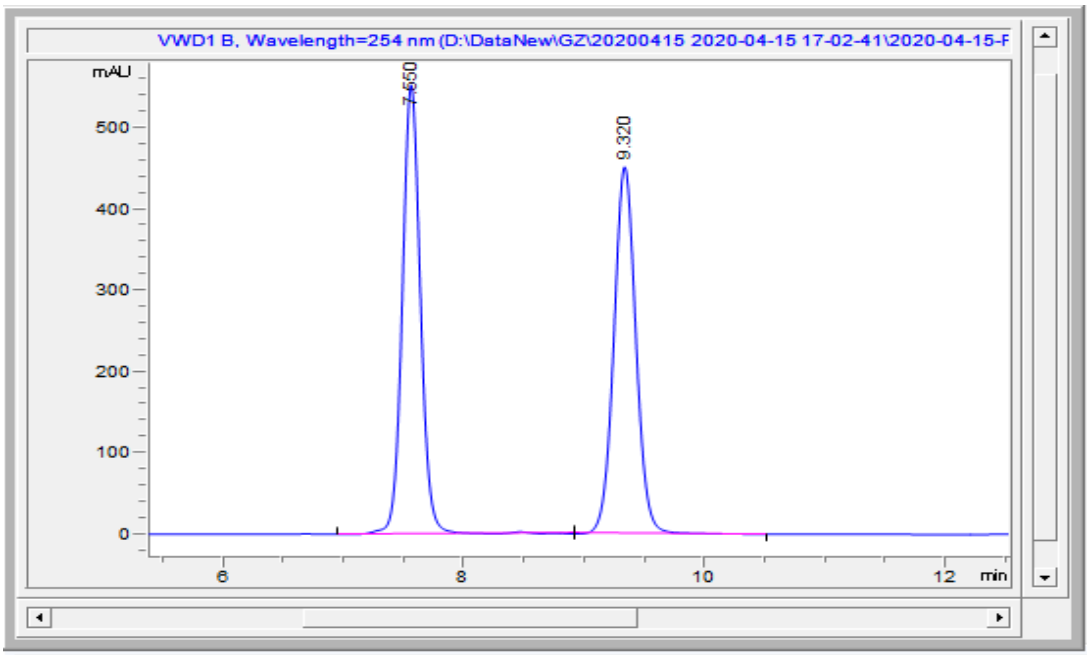
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	10.72	FM	6181.8	145.3	0.7089	50.111	0.753
2	14.2	BB	6154.3	93.4	1.0083	49.889	0.713



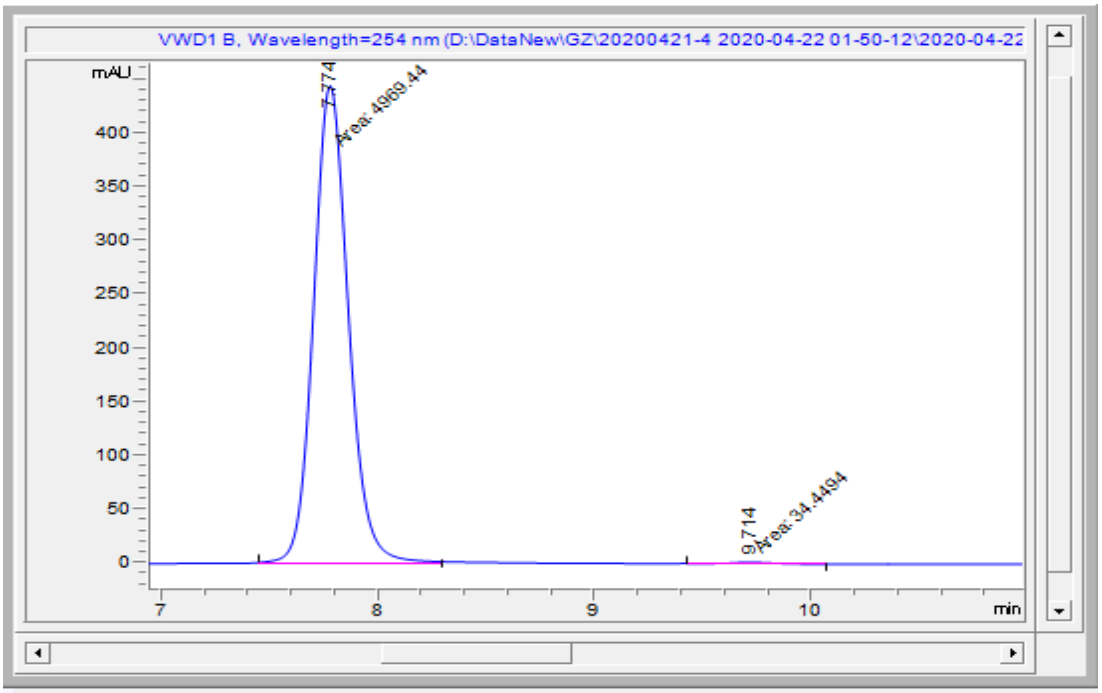
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	10.963	BB	455.6	9.7	0.7169	2.465	0.733
2	14.434	BB	18029.7	244.6	1.116	97.535	0.658

(*S*)-2-(phenylamino)-2-(o-tolyl)ethan-1-ol ((*S*)-**1i**)



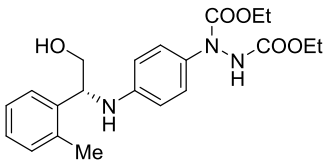


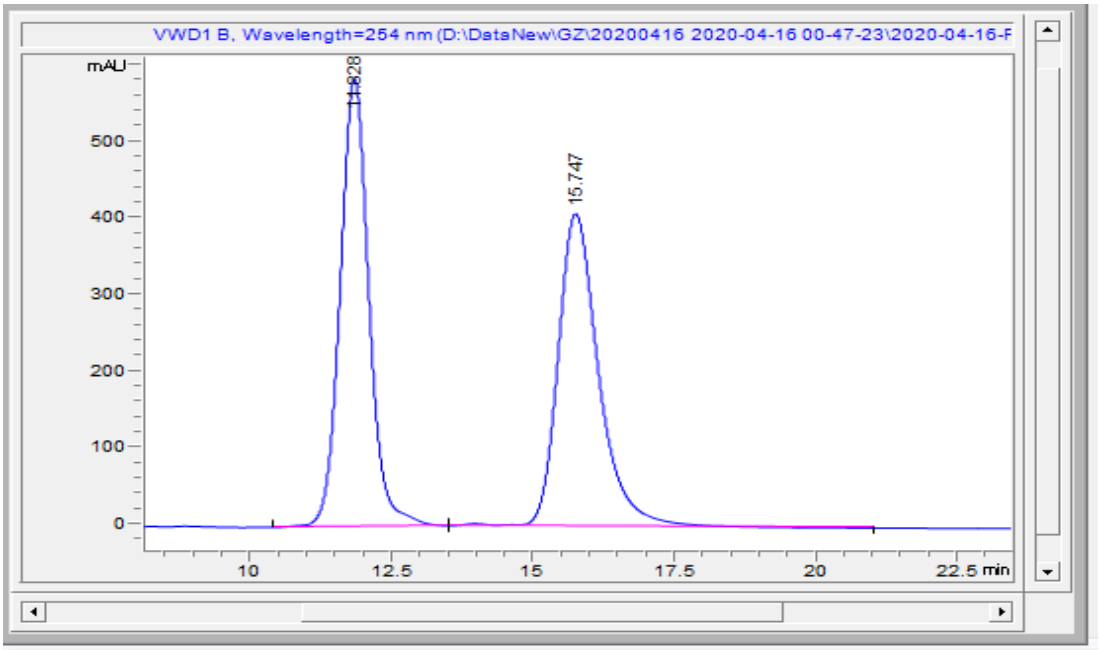
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	7.55	BV R	5809.6	552.2	0.1601	50.262	0.91
2	9.32	BB	5749.1	451.4	0.1966	49.738	0.923



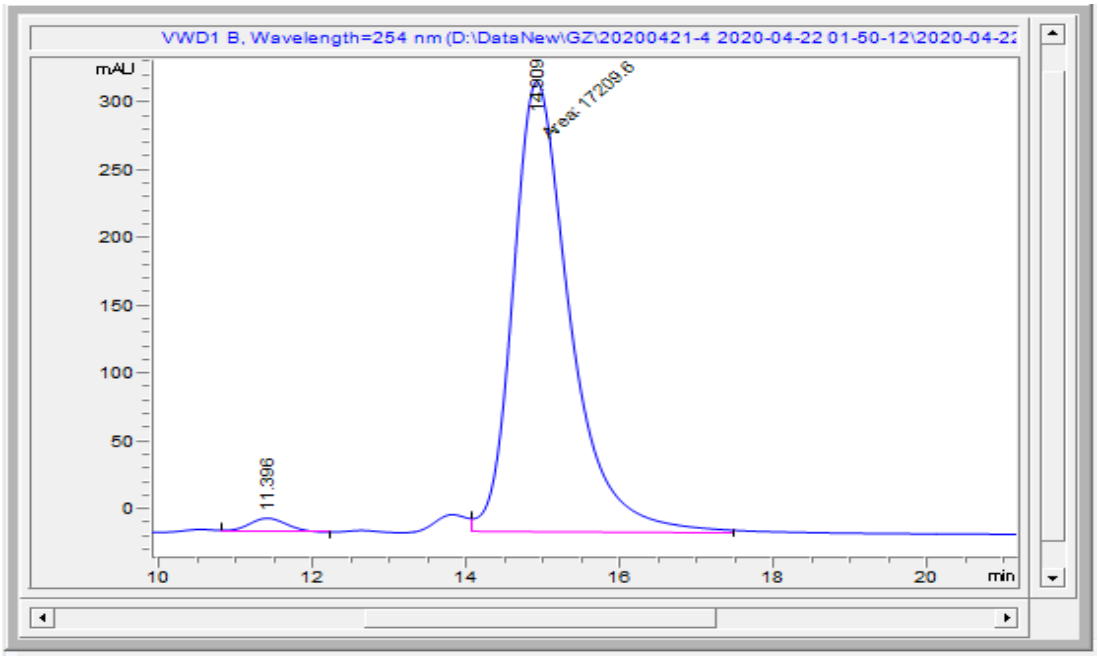
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	7.774	FM	4969.4	444.6	0.1863	99.312	0.867
2	9.714	MM	34.4	1.8	0.3227	0.688	0.807

(*R*)-diethyl-1-(4-((2-hydroxy-1-(o-tolyl)ethyl)amino)phenyl)hydrazine-1,2-dicarboxylate ((*R*)-**3i**)



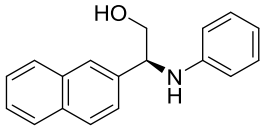


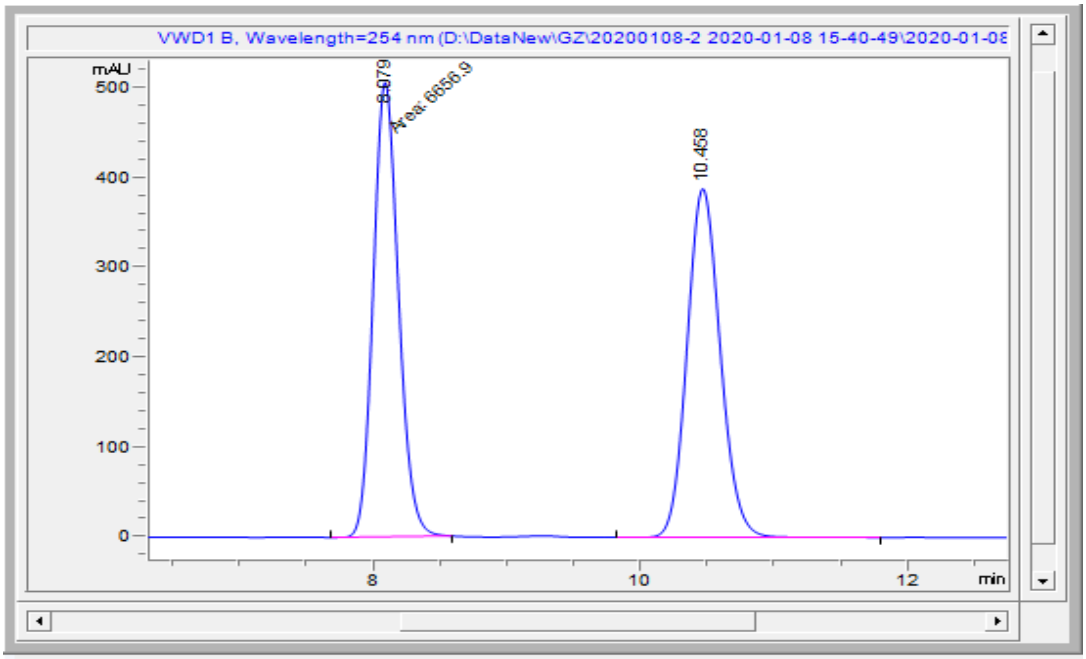
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	11.828	BB	20700.5	583	0.5443	50.232	0.928
2	15.747	VB R	20509.2	407.4	0.761	49.768	0.719



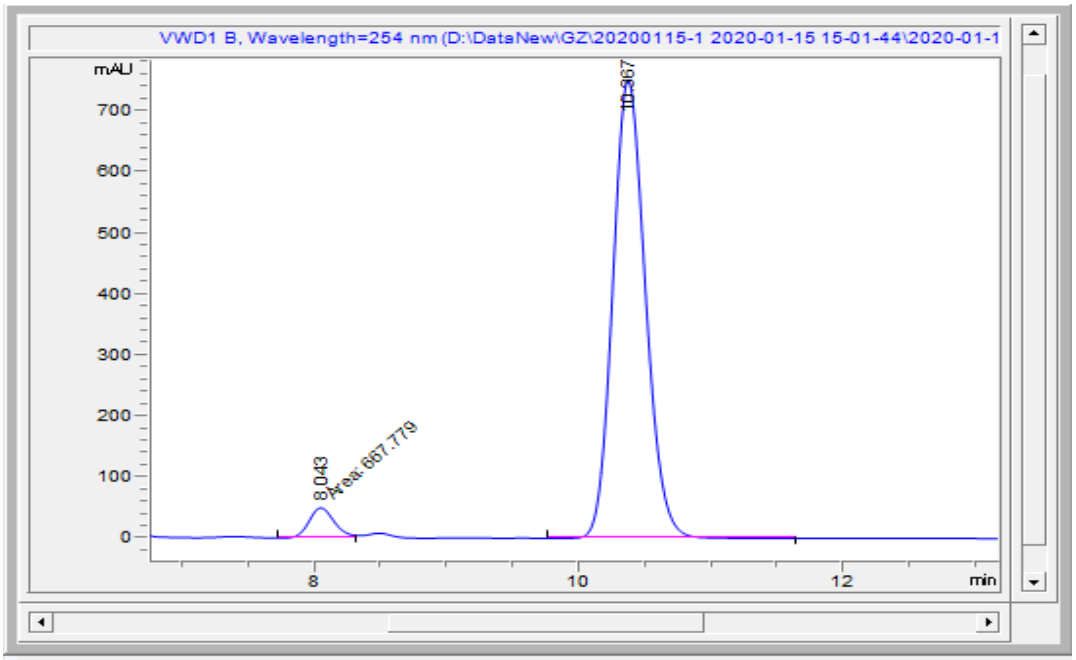
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	11.396	VB	370.6	10.3	0.5536	2.108	0.954
2	14.909	MF	17209.6	331.8	0.8644	97.892	0.681

(*S*)-2-(naphthalen-2-yl)-2-(phenylamino)ethan-1-ol ((*S*)-**1j**)





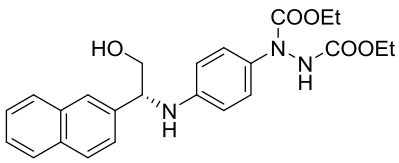
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	8.079	MF	6656.9	505.4	0.2195	49.911	0.849
2	10.458	BB	6680.6	387.1	0.2673	50.089	0.862

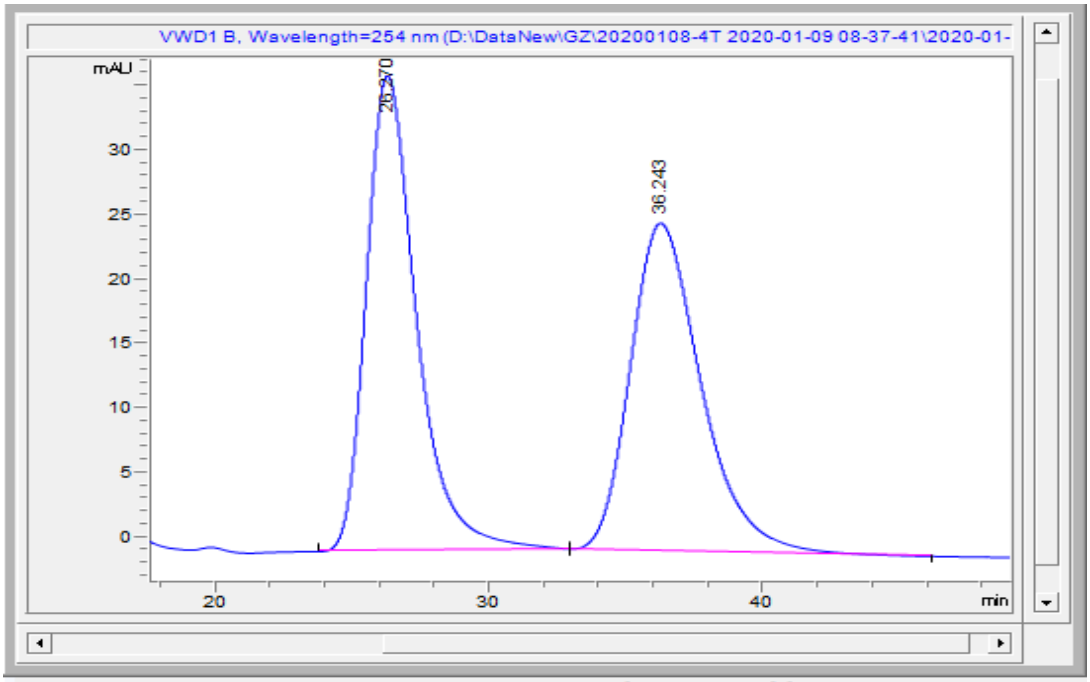


#	Time	Type	Area	Height	Width	Area%	Symmetry
1	8.043	MF	667.8	49.4	0.2253	4.935	0.827
2	10.367	BB	12863.9	750.7	0.2679	95.065	0.84

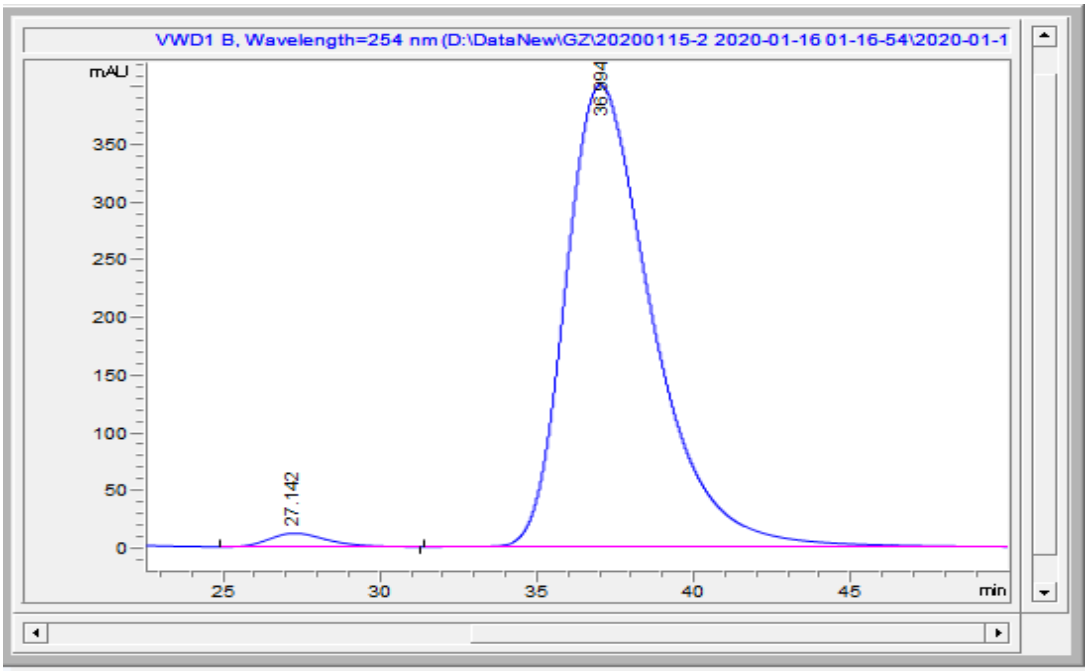
(*R*)-diethyl-1-(4-((2-hydroxy-1-(naphthalen-2-yl)ethyl)amino)phenyl)hydrazine-1,2-dicarbox

ylate ((*R*)-**3j**)



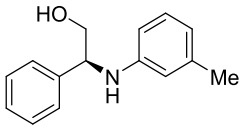


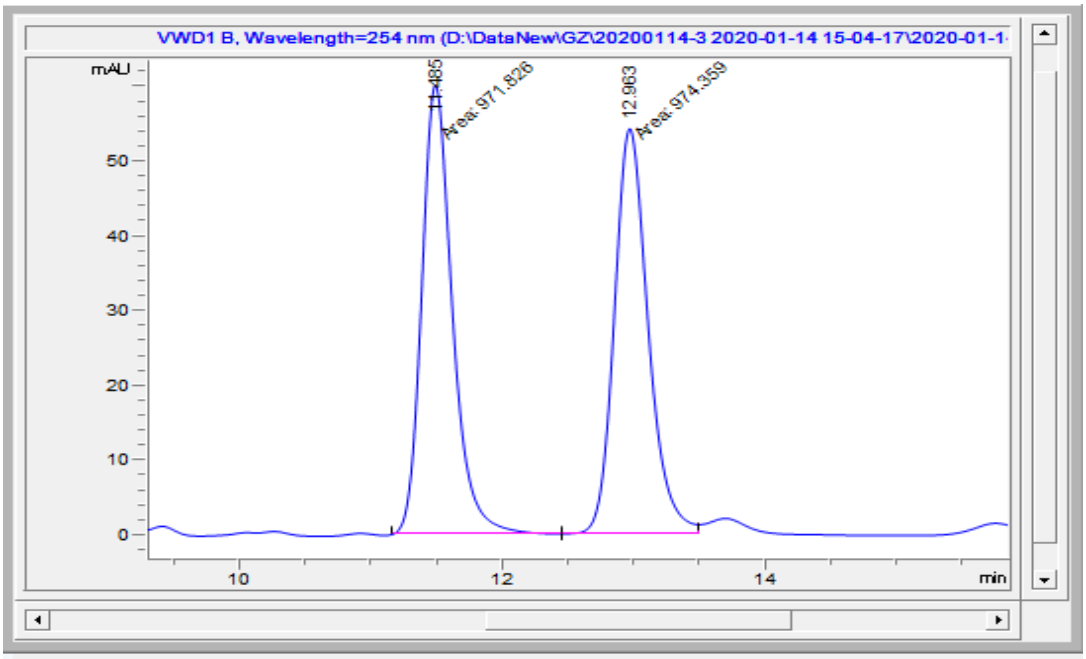
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	26.27	BB	4702.2	36.9	1.8889	50.695	0.678
2	36.243	BB	4573.3	25.5	2.5044	49.305	0.7



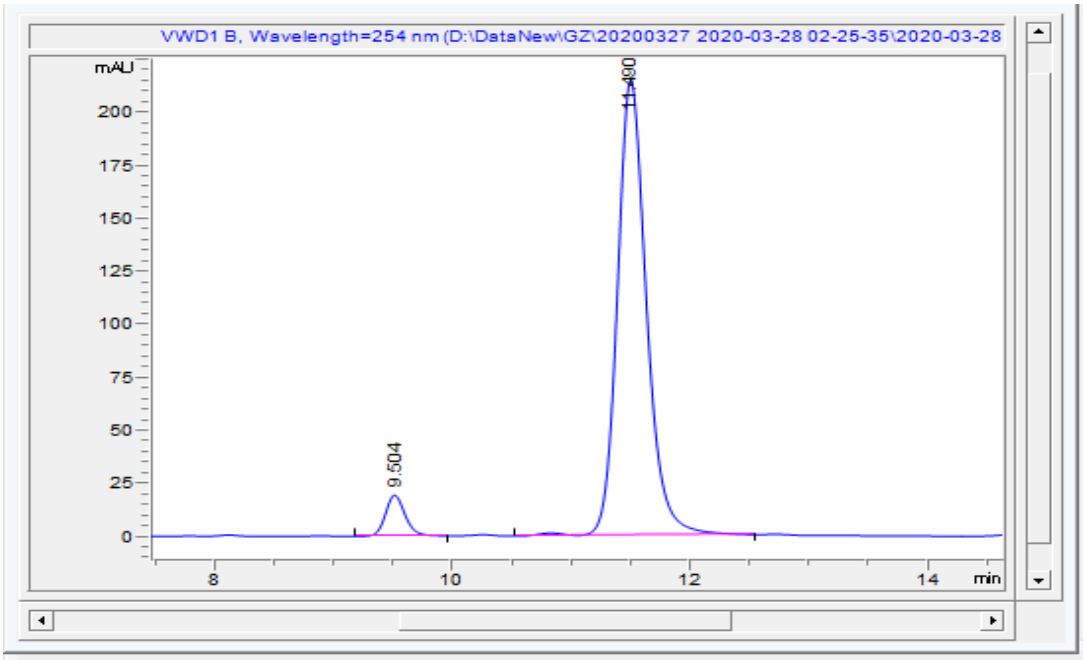
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	27.142	BB	1506.2	11.6	1.7358	1.927	0.644
2	36.994	BBA	76650.7	399.7	2.8436	98.073	0.628

(*S*)-2-phenyl-2-(m-tolylamino)ethan-1-ol ((*S*)-**1k**)



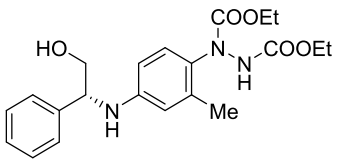


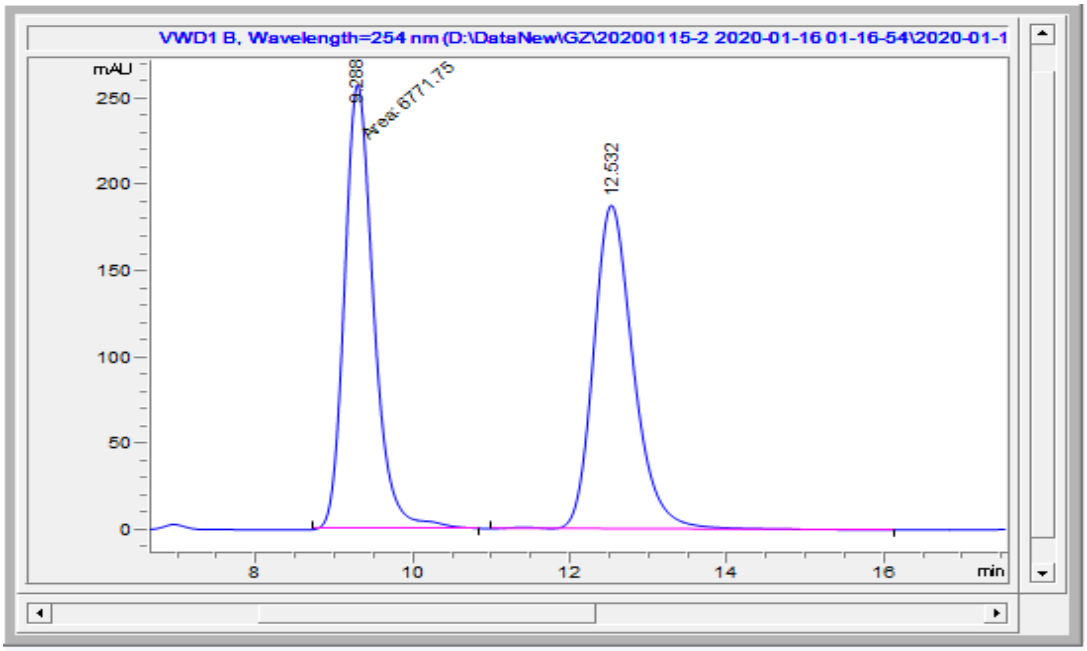
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	11.485	MF	971.8	60.3	0.2688	49.935	0
2	12.963	FM	974.4	54.4	0.2985	50.065	0.803



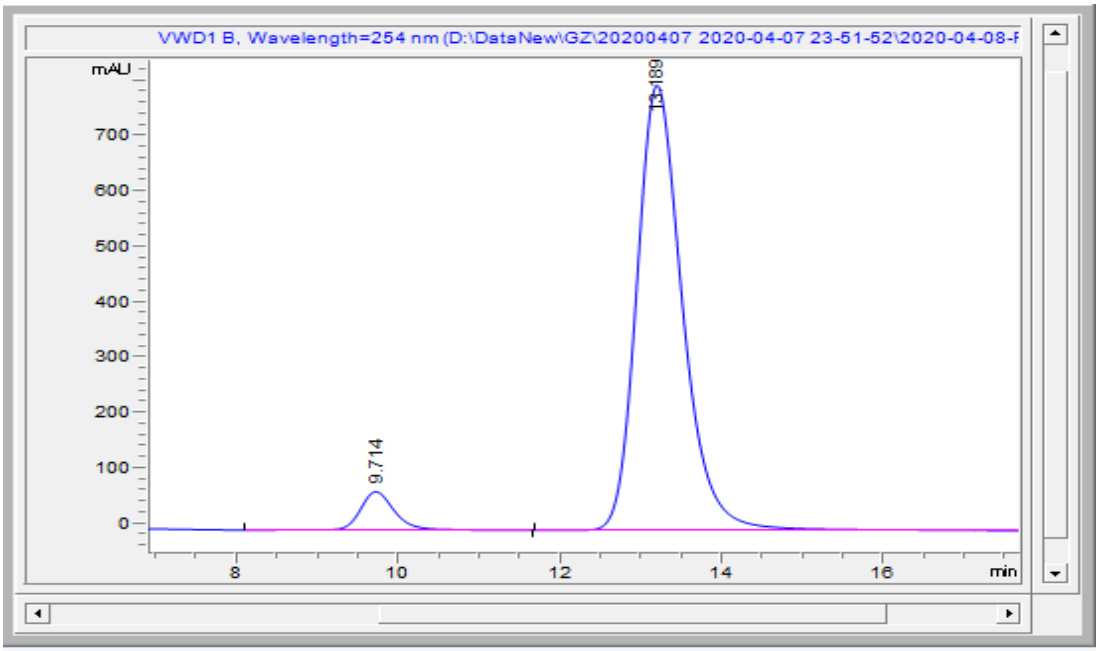
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	9.504	BB	221.3	19.3	0.1757	5.695	0.824
2	11.49	VB R	3664.2	215.3	0.2605	94.305	0.8

(*R*)-diethyl-1-(4-((2-hydroxy-1-phenylethyl)amino)-2-methylphenyl)hydrazine-1,2-dicarboxyl ate ((*R*)-**3k**)



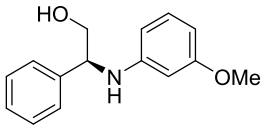


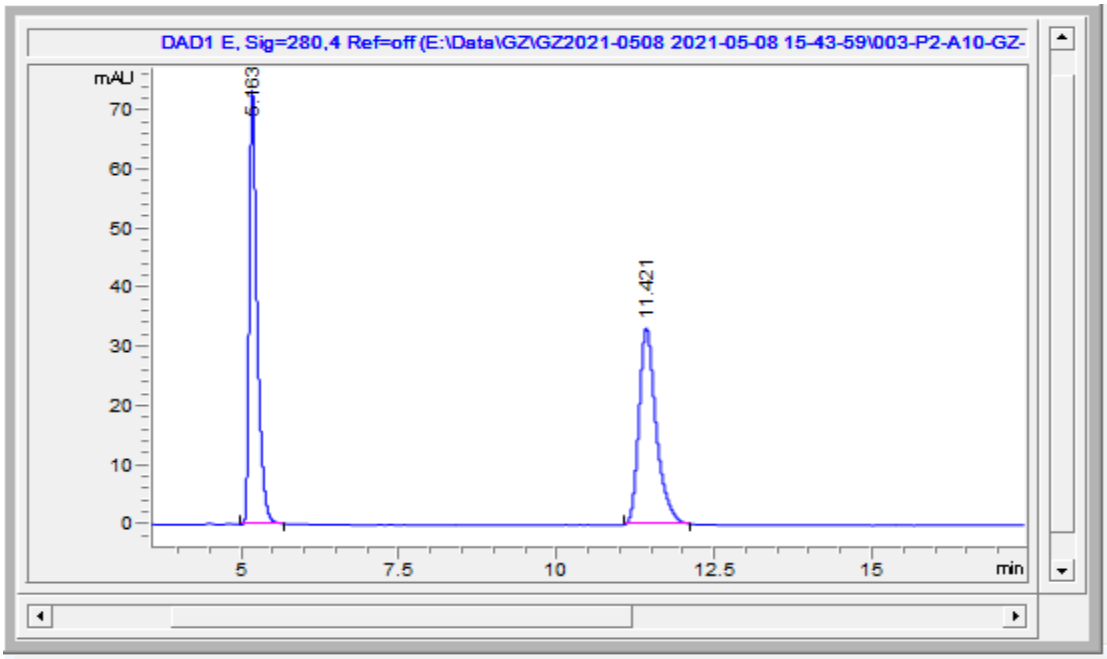
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	9.288	MM	6771.8	259	0.4358	50.430	0.77
2	12.532	VB R	6656.2	188.3	0.5404	49.570	0.762



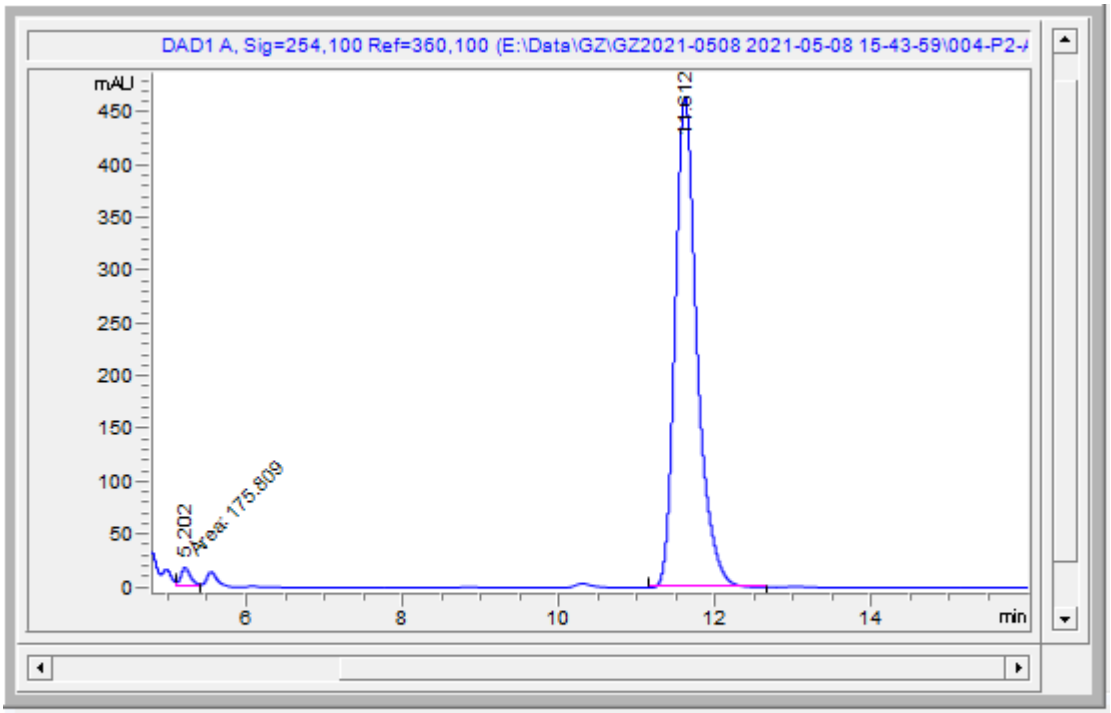
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	9.714	BB	2087.3	69.8	0.4528	6.167	0.799
2	13.189	BB	31756.7	807.3	0.6029	93.833	0.739

(*S*)-2-((3-methoxyphenyl)amino)-2-phenylethan-1-ol ((*S*)-**1l**)



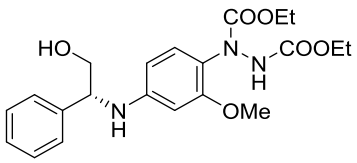


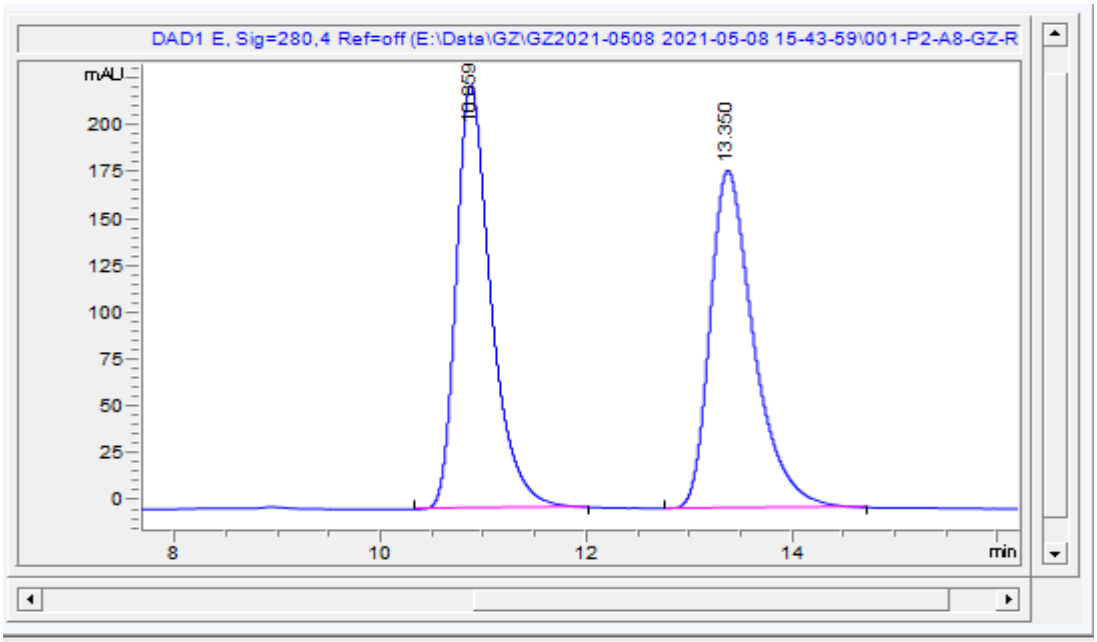
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	5.163	BB	639.4	73.5	0.1269	50.160	0.564
2	11.421	BB	635.4	33.3	0.2333	49.840	0.716



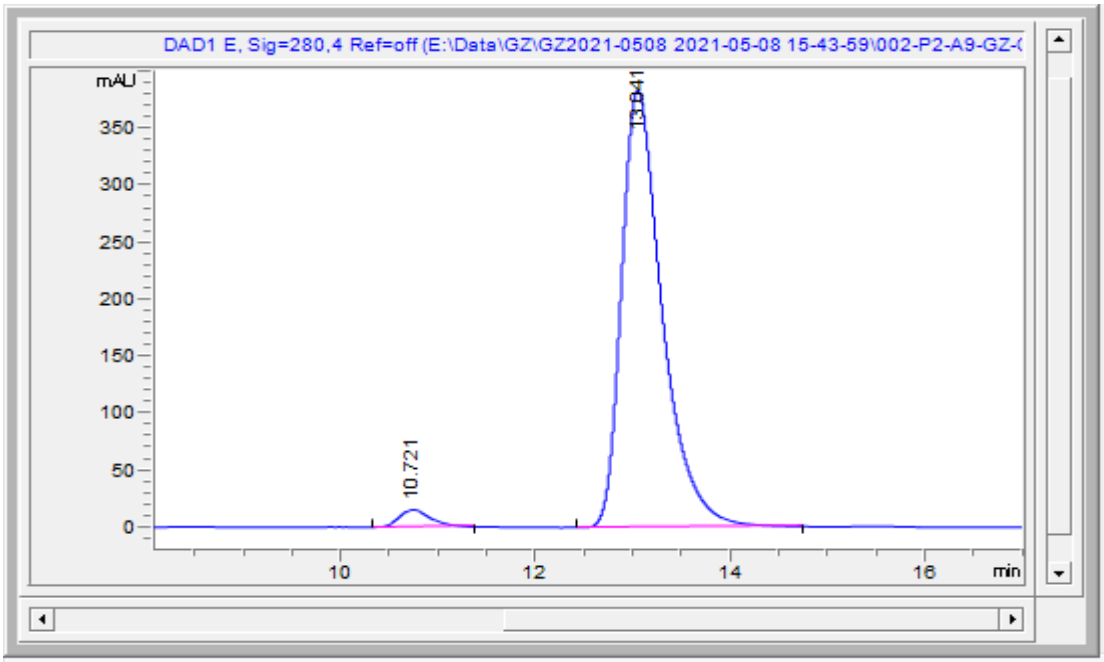
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	5.202	MM	175.8	18.8	0.1559	1.901	0.656
2	11.612	BB	9070.9	464.2	0.282	98.099	0.757

(*R*)-diethyl-1-(4-((2-hydroxy-1-phenylethyl)amino)-2-methoxyphenyl)hydrazine-1,2-dicarboxylate ((*R*)-**3l**)



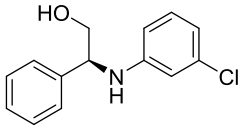


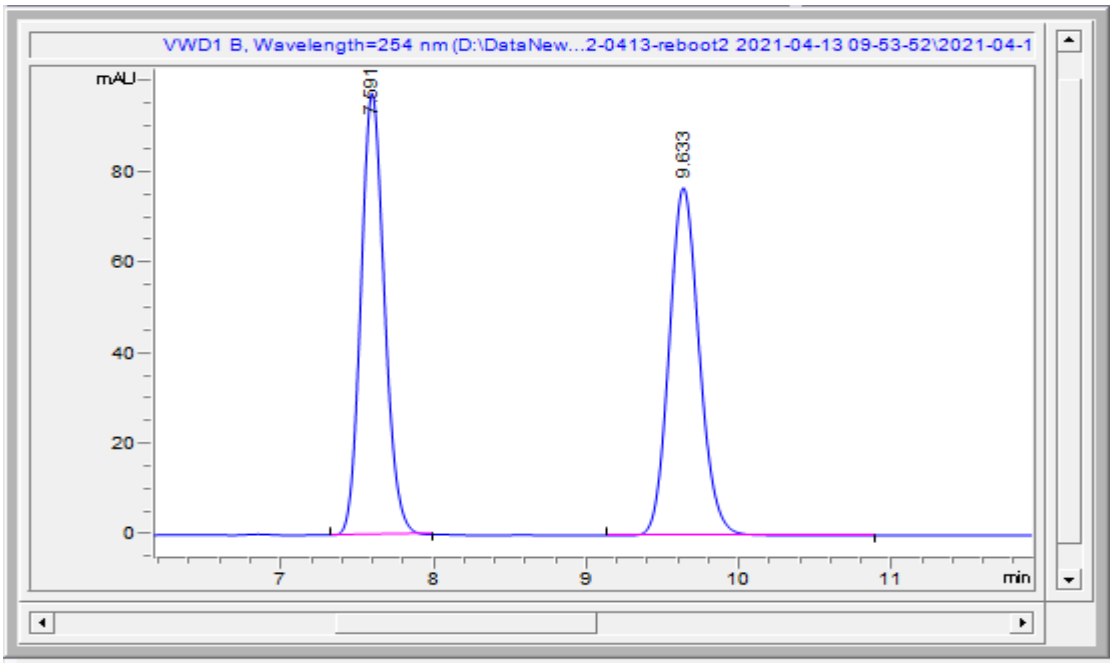
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	10.859	BB	5285	225.5	0.3355	49.912	0.661
2	13.35	BB	5303.7	179.8	0.3526	50.088	0.656



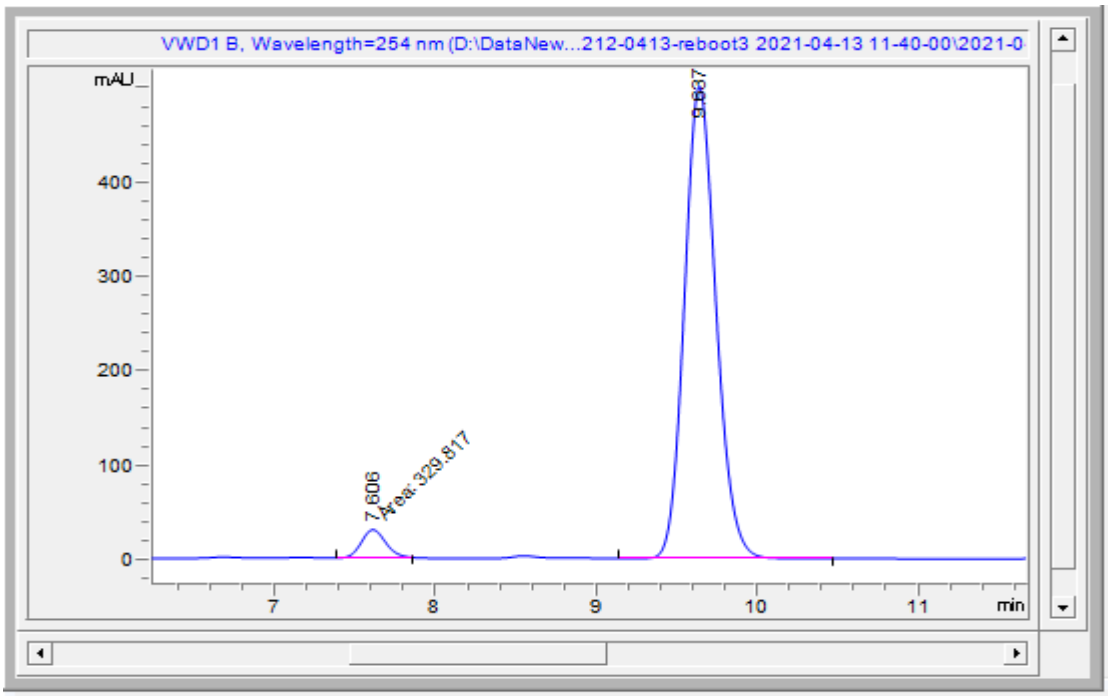
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	10.721	BB	341.6	15.1	0.2648	2.986	0.687
2	13.041	BB	11100.6	381.5	0.403	97.014	0.618

(*S*)-2-((3-chlorophenyl)amino)-2-phenylethan-1-ol ((*S*)-**1m**)



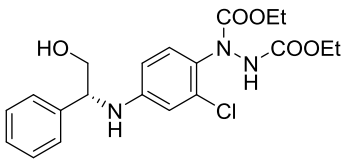


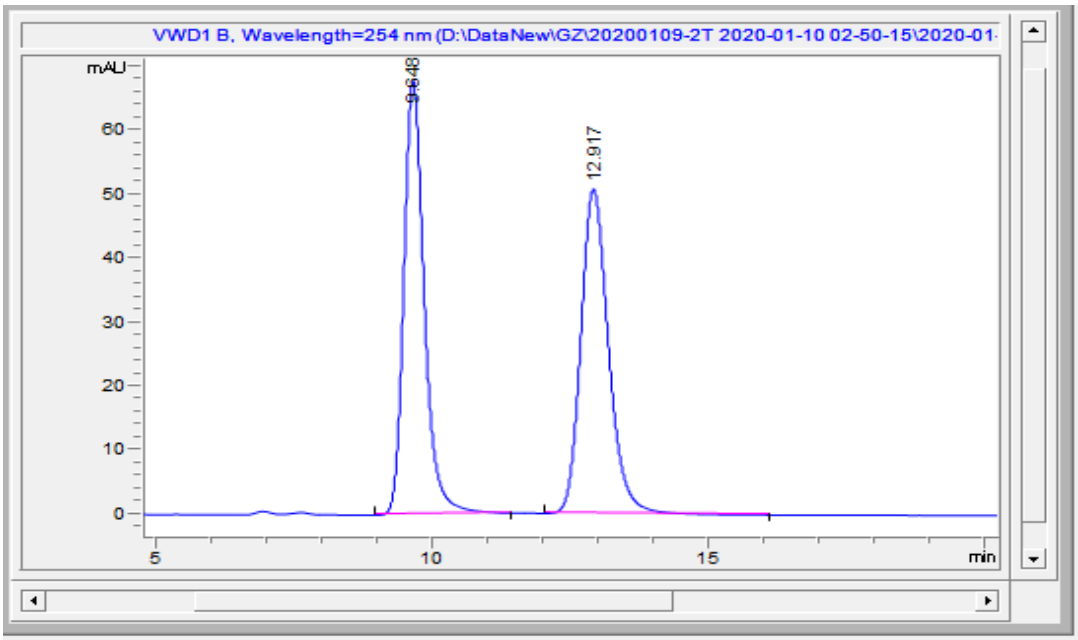
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	7.591	BB	1049.7	97.9	0.1671	49.879	0.859
2	9.633	BB	1054.8	77.1	0.2117	50.121	0.861



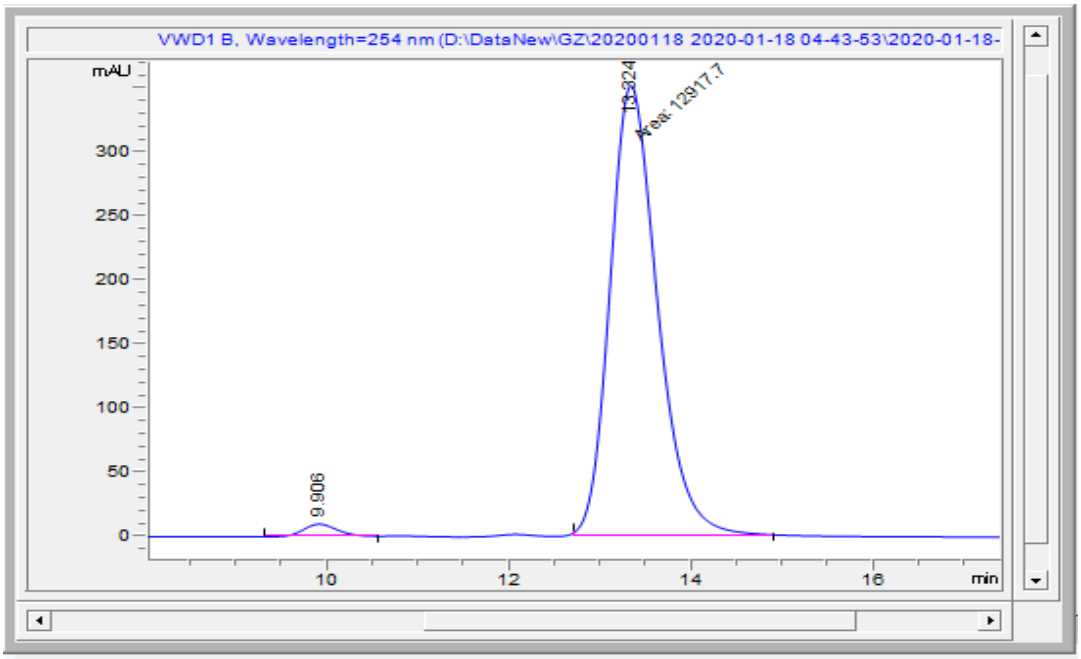
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	7.606	MF	329.8	30.3	0.1812	4.624	0.862
2	9.637	BB	6803.7	499.1	0.211	95.376	0.846

(*R*)-diethyl-1-(2-chloro-4-((2-hydroxy-1-phenylethyl)amino)phenyl)hydrazine-1,2-dicarboxyl ate ((*R*)-**3m**)



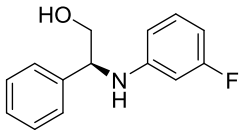


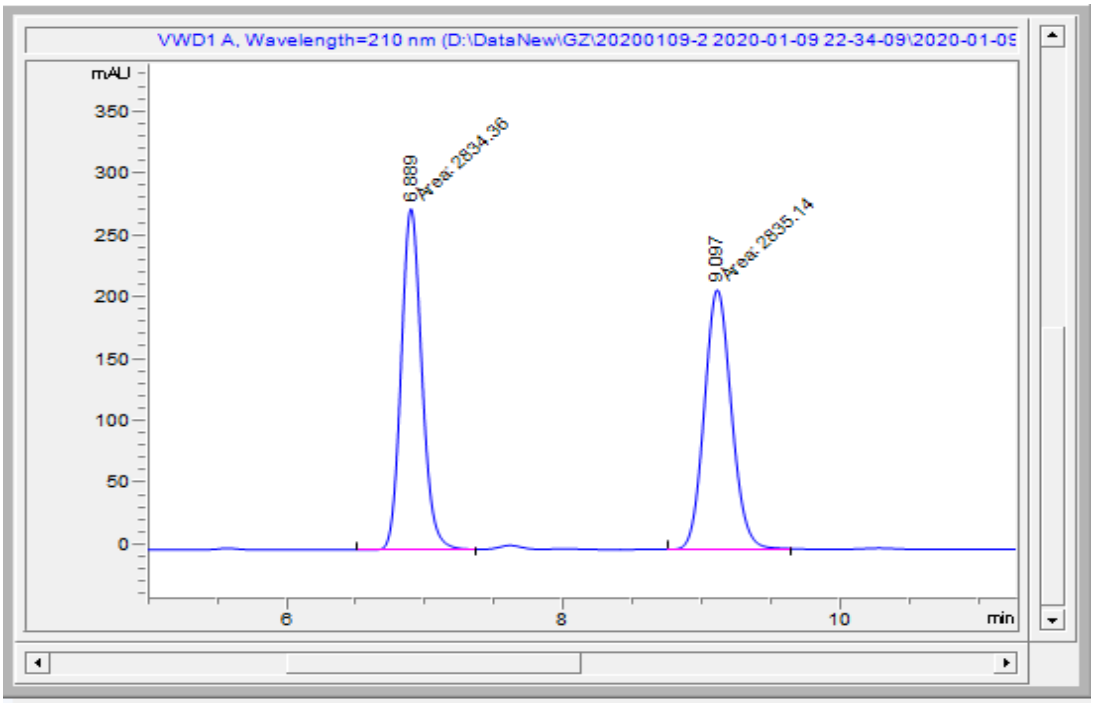
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	9.648	BB	1746.1	67.8	0.3924	49.683	0.753
2	12.917	BB	1768.4	50.7	0.5348	50.317	0.781



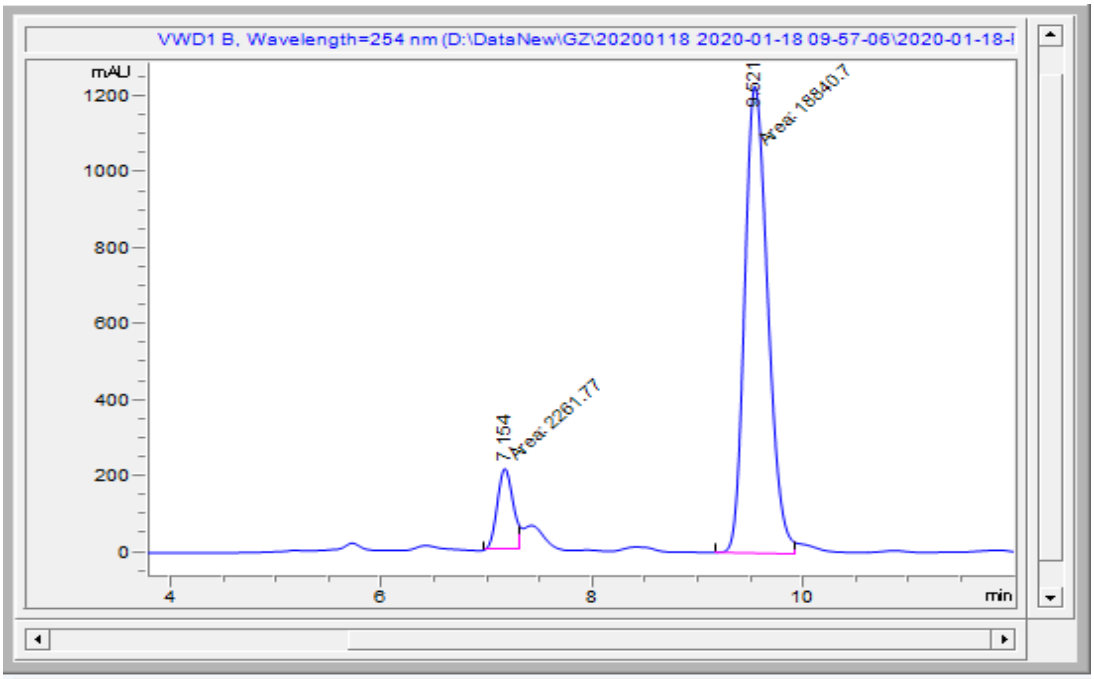
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	9.906	BB	248.7	9.7	0.3981	1.889	0.85
2	13.324	MF	12917.7	354.1	0.6079	98.111	0.752

(*S*)-2-((3-fluorophenyl)amino)-2-phenylethan-1-ol ((*S*)-**1n**)



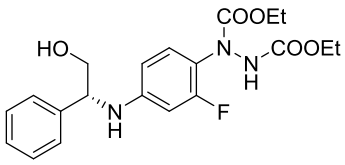


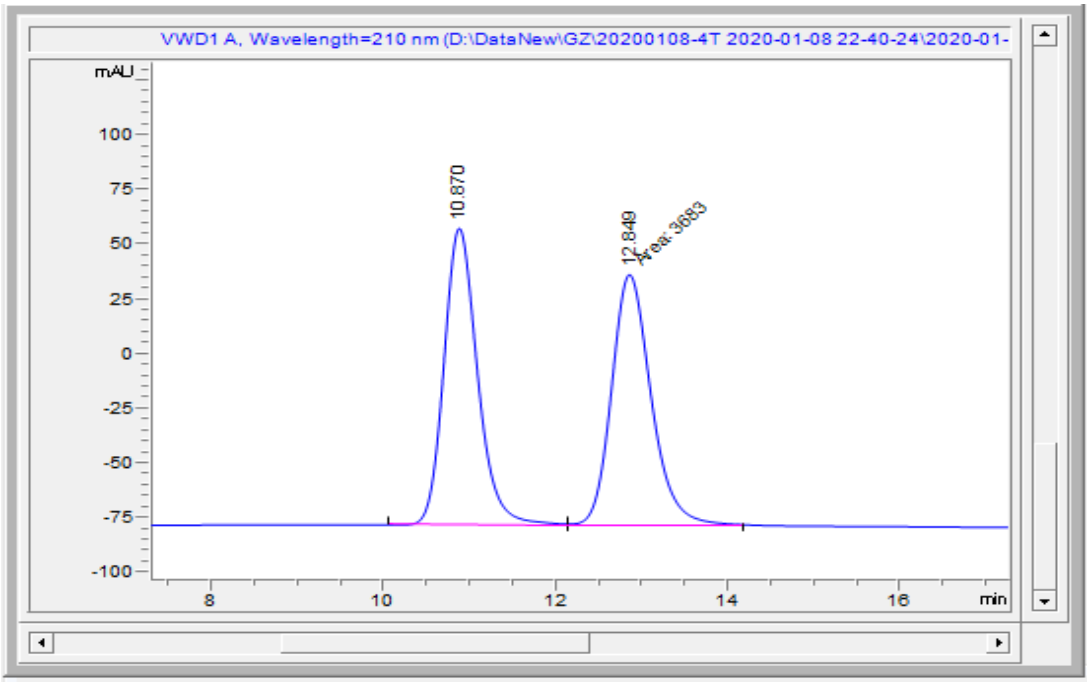
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	6.889	MF	2834.4	274.6	0.1721	49.993	0.867
2	9.097	MF	2835.1	208.9	0.2262	50.007	0.885



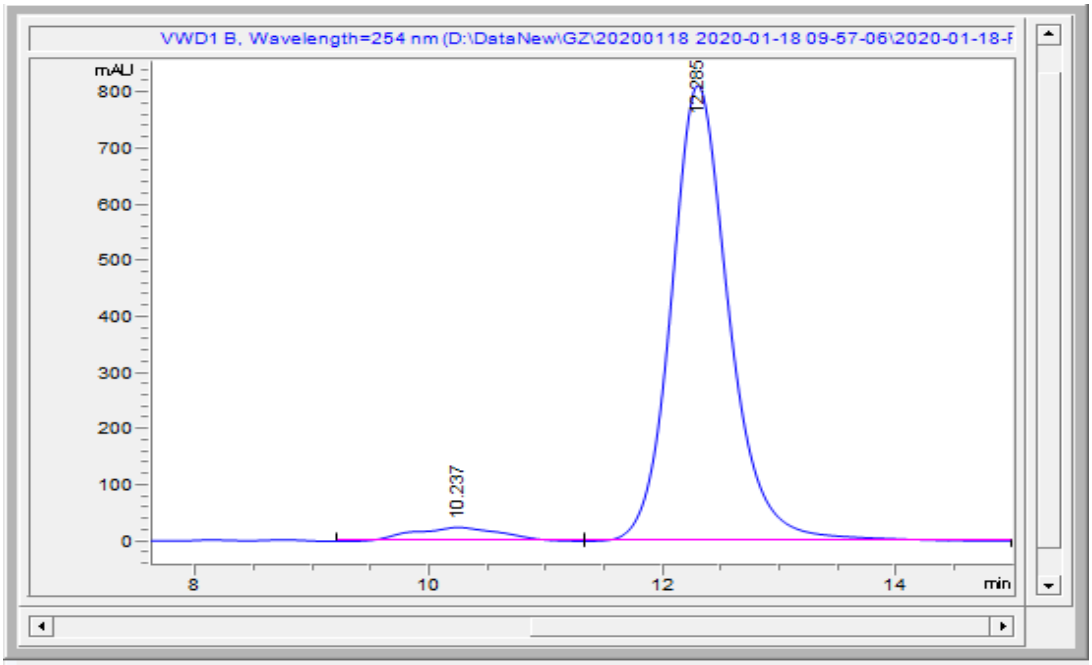
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	7.154	MF	2261.8	213.1	0.1769	10.718	0.858
2	9.521	MF	18840.7	1231.5	0.255	89.282	0.765

(*R*)-diethyl-1-(2-fluoro-4-((2-hydroxy-1-phenylethyl)amino)phenyl)hydrazine-1,2-dicarboxyl ate ((*R*)-**3n**)



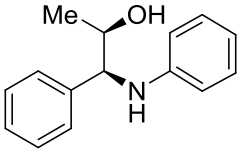


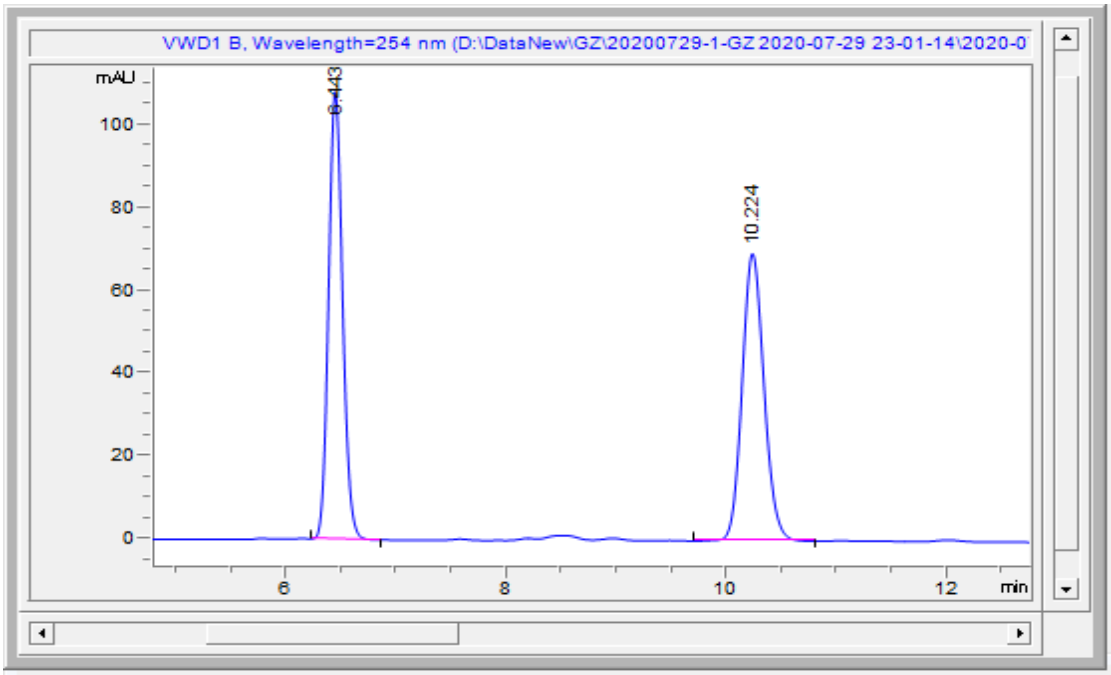
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	10.87	BV	3684.8	135.5	0.4173	50.012	0.788
2	12.849	MF	3683	114.6	0.5355	49.988	0.795



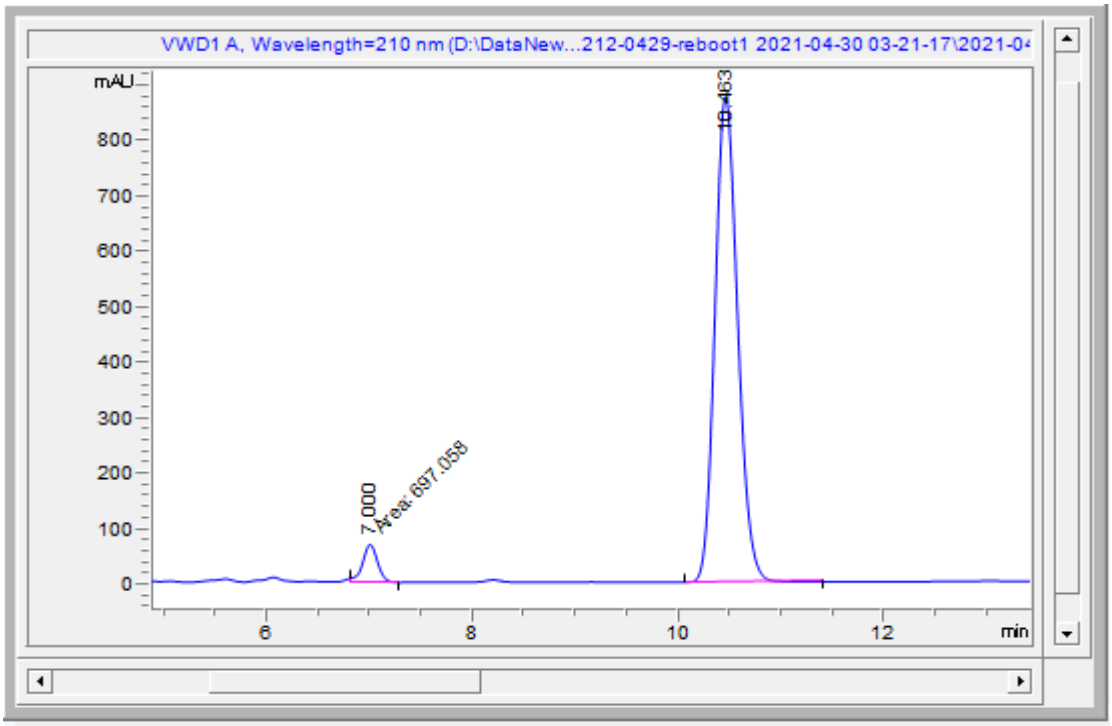
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	10.237	BV	1344.2	24.8	0.713	4.583	1.048
2	12.285	VBA	27987	814	0.5211	95.417	0.799

(*1S,2R*)-1-phenyl-1-(phenylamino)propan-2-ol ((*1S,2R*)-**1o**)



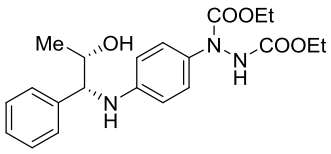


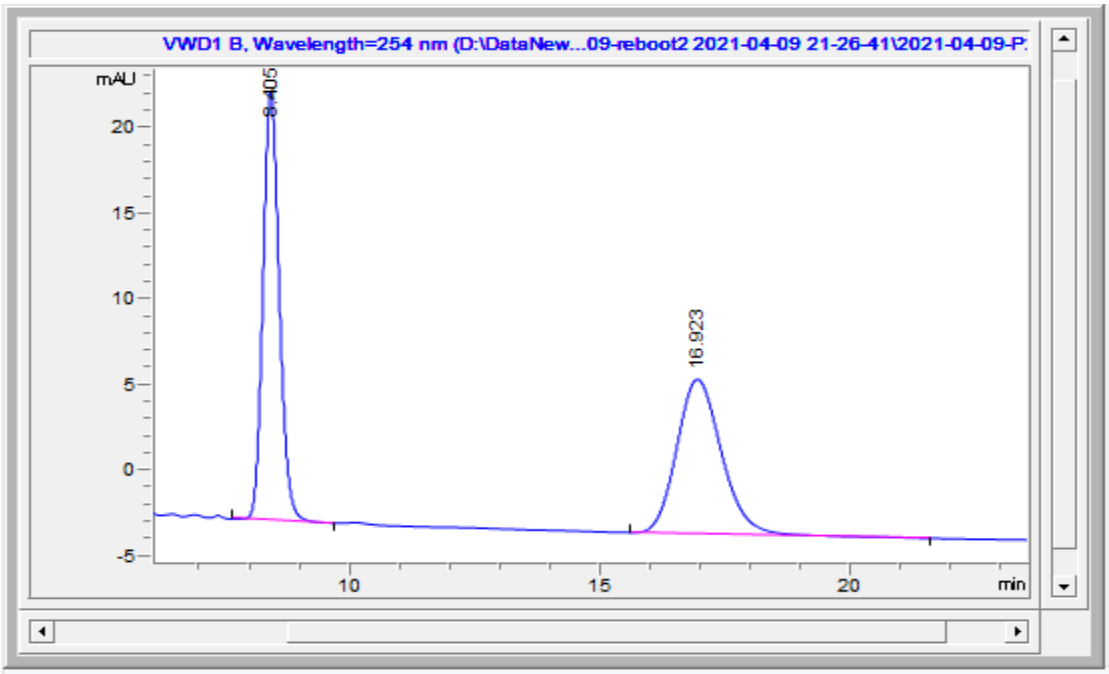
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	6.443	BB	954.3	108.3	0.1368	49.877	0.866
2	10.224	BB	959	69.6	0.2129	50.123	0.868



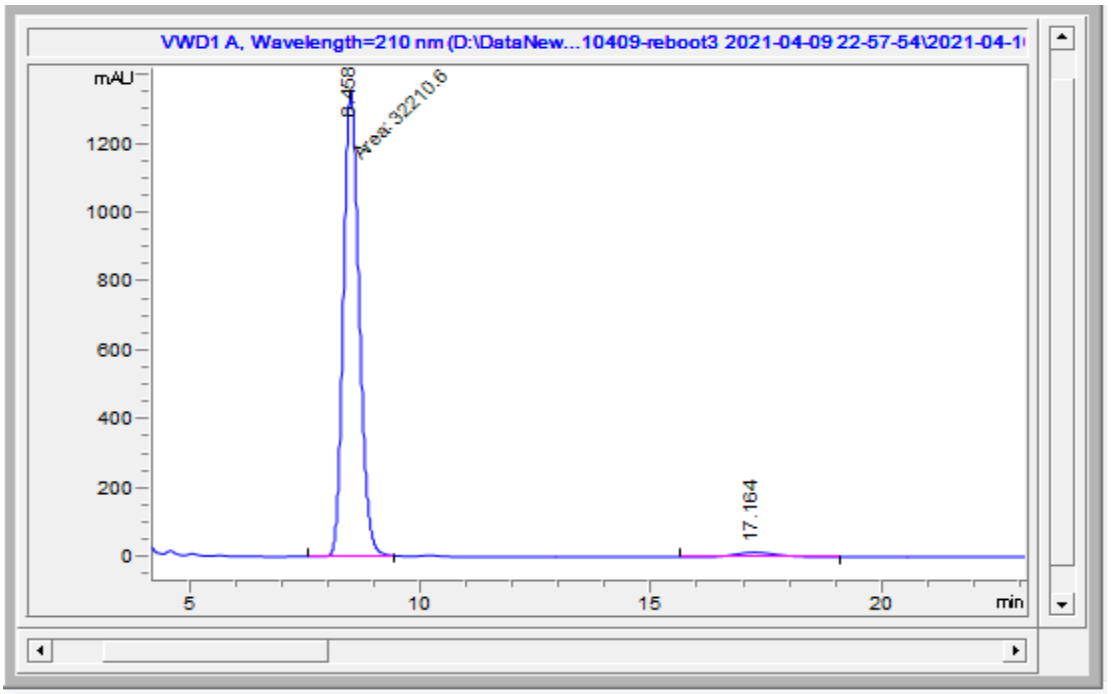
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	7	FM	697.1	68.4	0.17	4.921	1.001
2	10.463	BB	13466.5	877.1	0.2391	95.079	0.825

diethyl-1-(4-(((1*R*,2*S*)-2-hydroxy-1-phenylpropyl)amino)phenyl)hydrazine-1,2-dicarboxylate (**3o**)



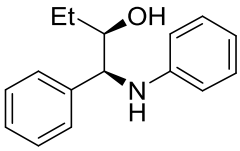


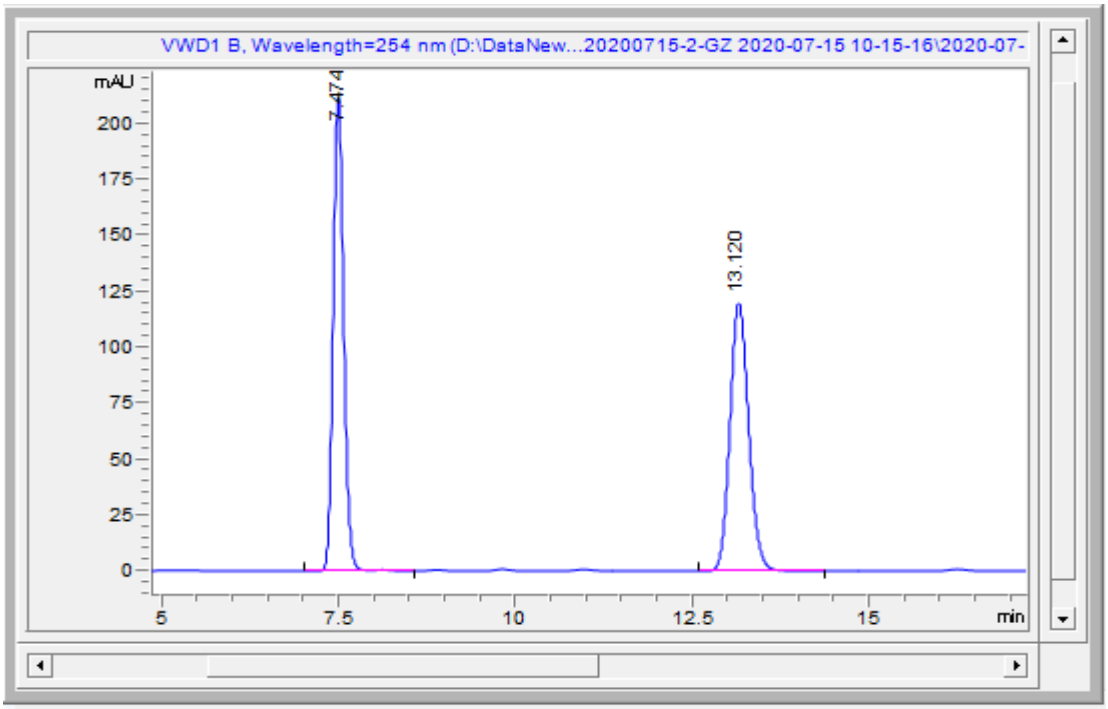
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	8.405	BB	570.1	25.1	0.3507	50.205	0.848
2	16.923	BBA	565.4	9	0.9619	49.795	0.807



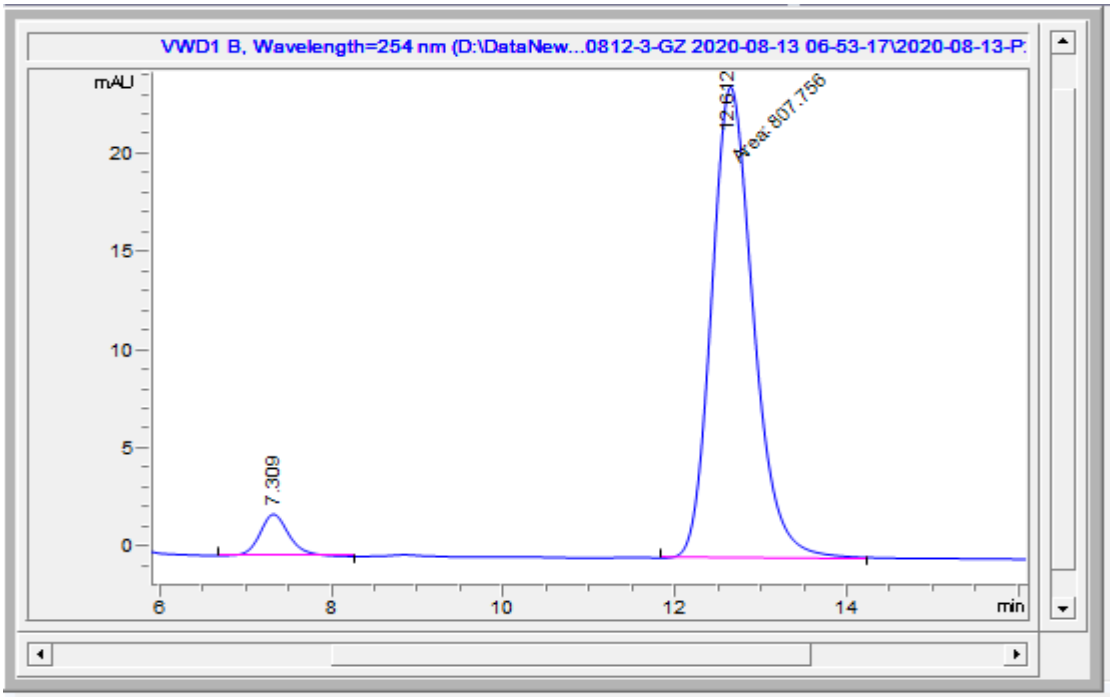
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	8.458	MF	32210.6	1349.5	0.3978	97.394	0.809
2	17.164	BB	861.8	13.6	0.9632	2.606	0.841

(1*S*,2*R*)-1-phenyl-1-(phenylamino)butan-2-ol ((1*S*,2*R*)-**1p**)



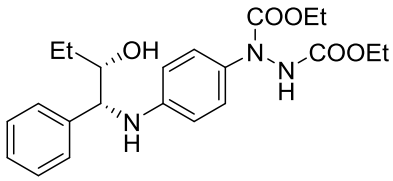


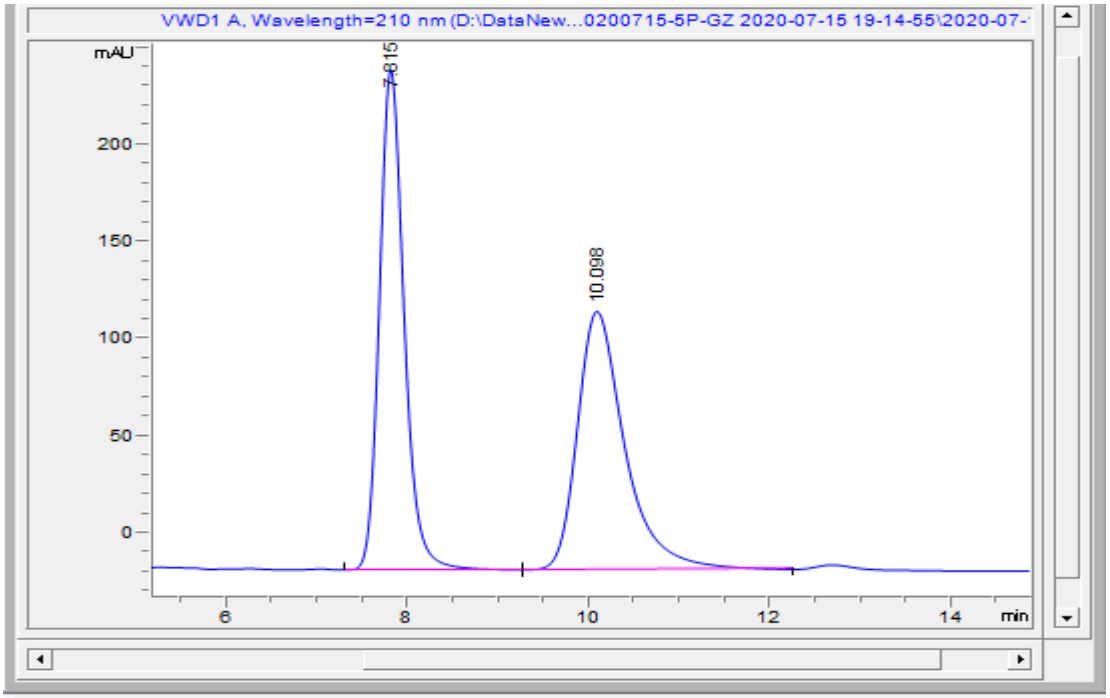
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	7.474	BV R	2191.3	212.7	0.1602	49.961	0.85
2	13.12	BB	2194.7	120.4	0.2826	50.039	0.858



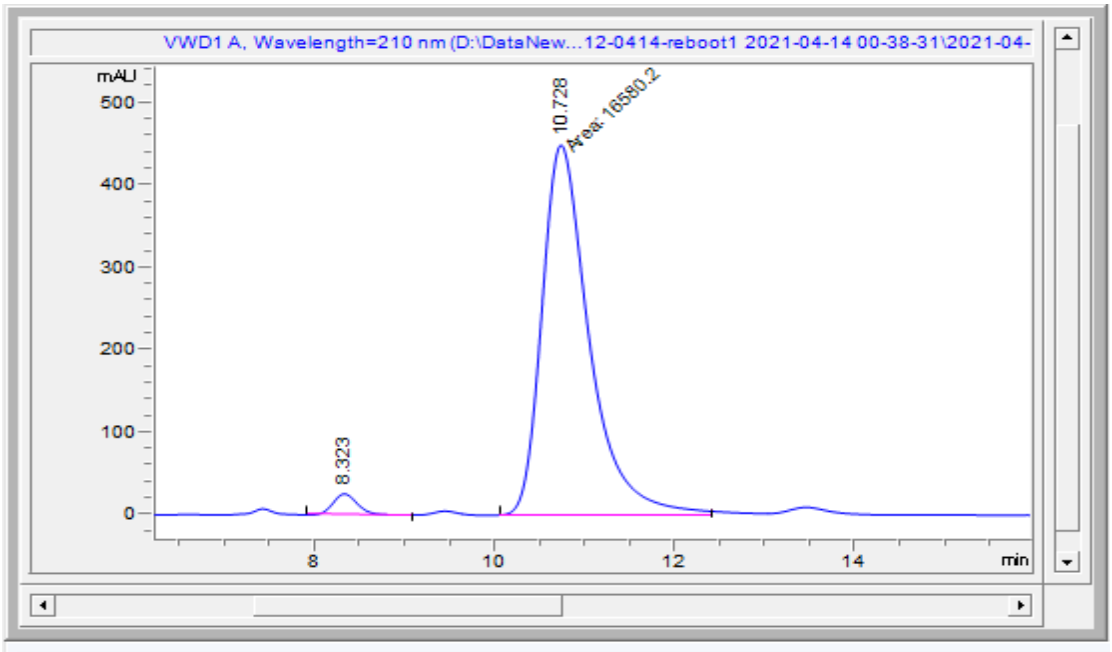
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	7.309	BB	50.1	2.1	0.359	5.838	0.814
2	12.612	MF	807.8	23.9	0.563	94.162	0.8

diethyl-1-(4-(((1*R*,2*S*)-2-hydroxy-1-phenylbutyl)amino)phenyl)hydrazine-1,2-dicarboxylate (**3p**)



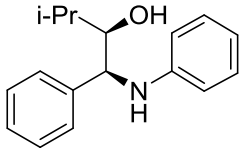


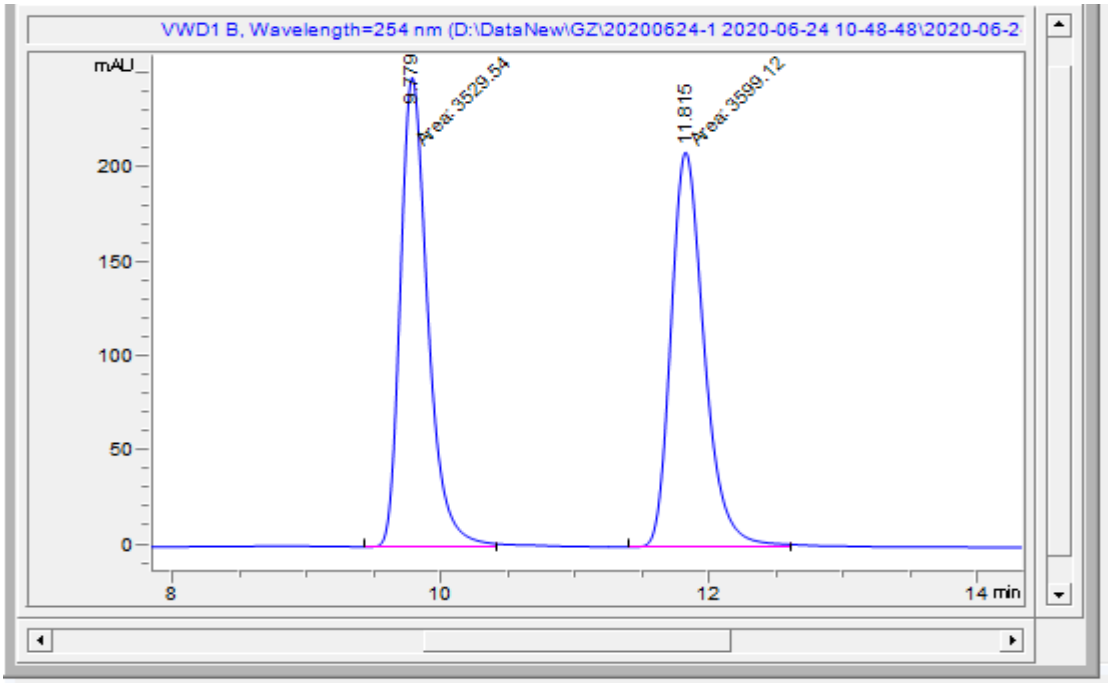
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	7.815	BB	4884.7	257.8	0.2911	50.079	0.794
2	10.098	BB	4869.2	133.1	0.5488	49.921	0.648



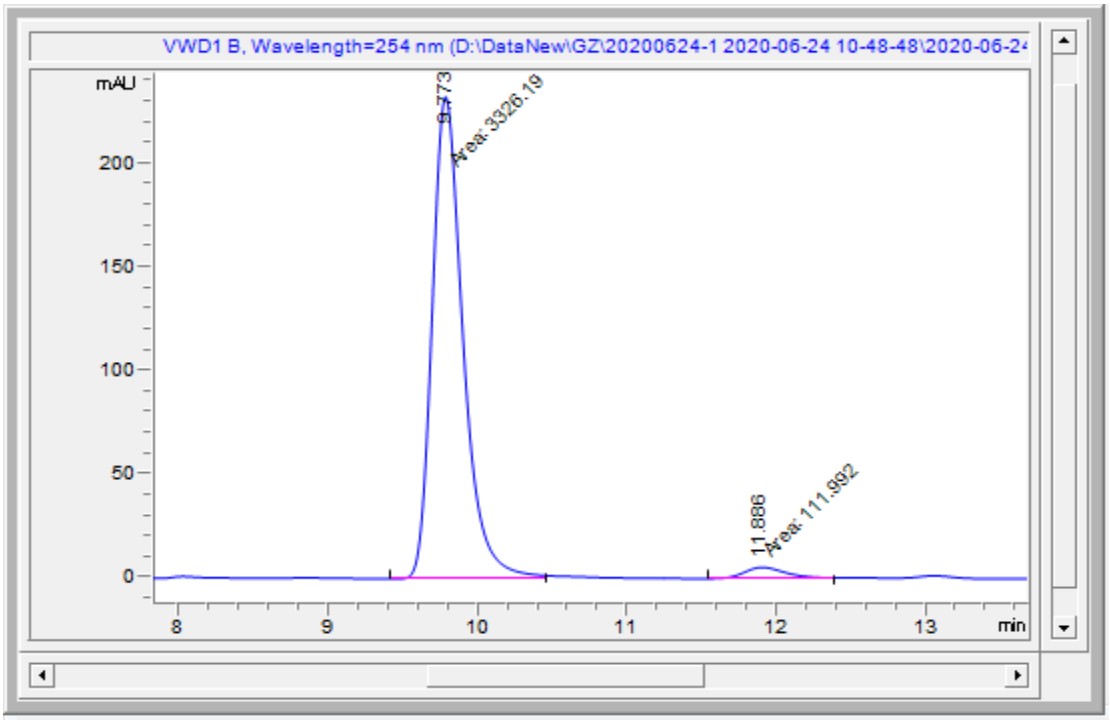
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	8.323	VV	504	25.9	0.2994	2.950	0.825
2	10.728	MM	16580.2	451.1	0.6126	97.050	0.647

(1*S*,2*R*)-3-methyl-1-phenyl-1-(phenylamino)butan-2-ol ((1*S*,2*R*)-**1q**)



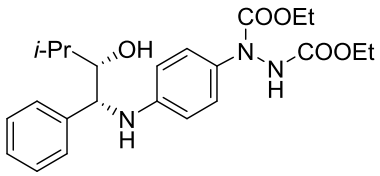


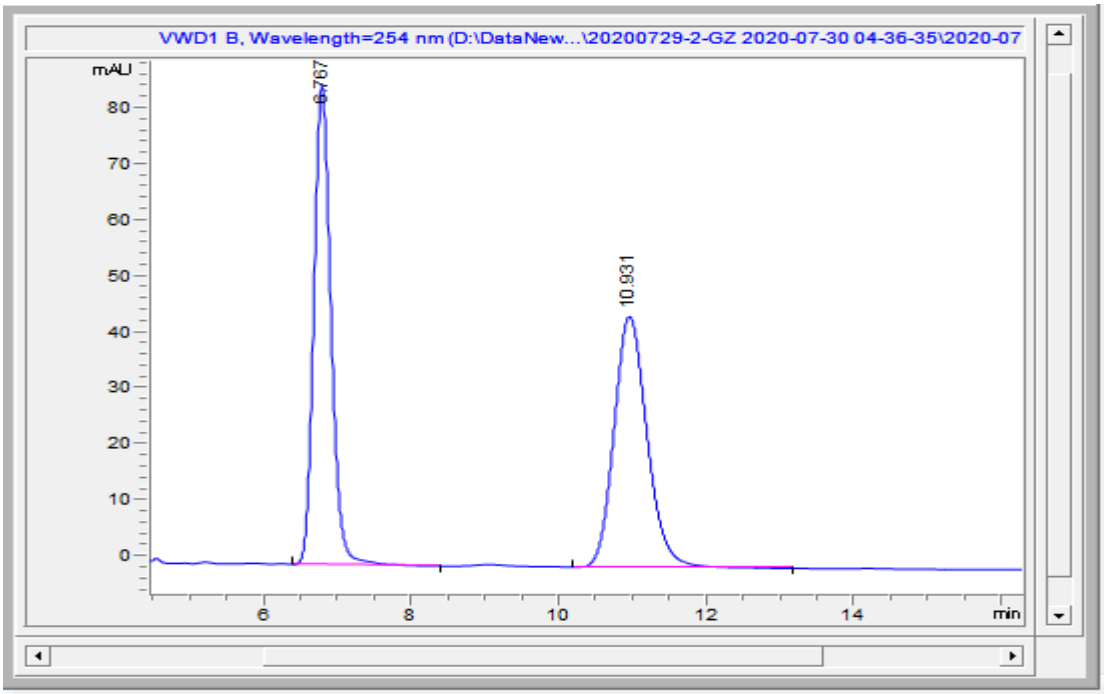
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	9.779	MF	3529.5	248.5	0.2368	49.512	0.724
2	11.815	MF	3599.1	208.9	0.2871	50.488	0.751



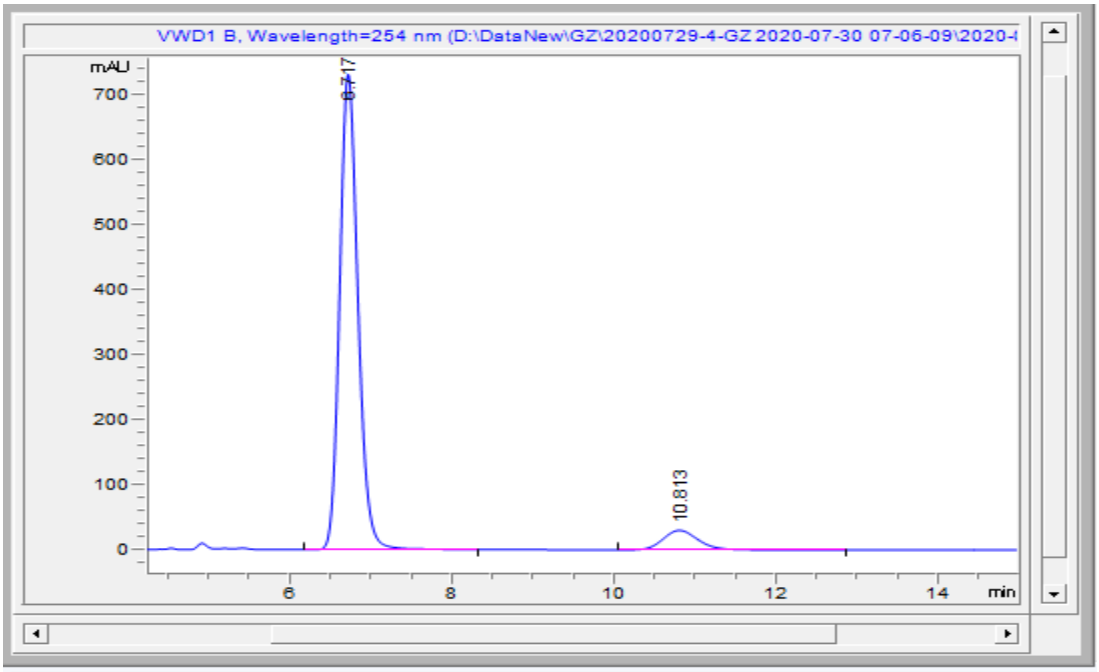
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	9.773	MF	3326.2	232.5	0.2384	96.743	0.723
2	11.886	FM	112	5.5	0.3377	3.257	0.713

diethyl-1-(4-(((1*R*,2*S*)-2-hydroxy-3-methyl-1-phenylbutyl)amino)phenyl)hydrazine-1,2-dicarboxylate (**3q**)



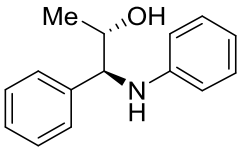


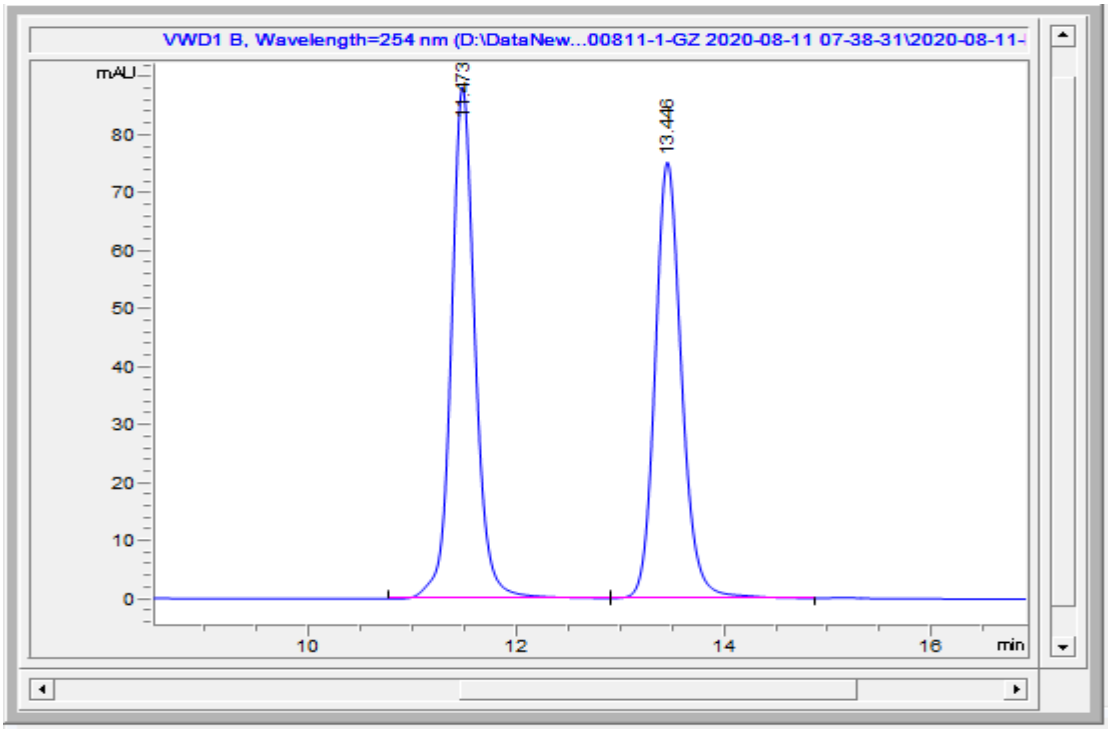
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	6.767	BB	1382.8	85.6	0.2486	49.788	0.812
2	10.931	BB	1394.5	44.8	0.4811	50.212	0.82



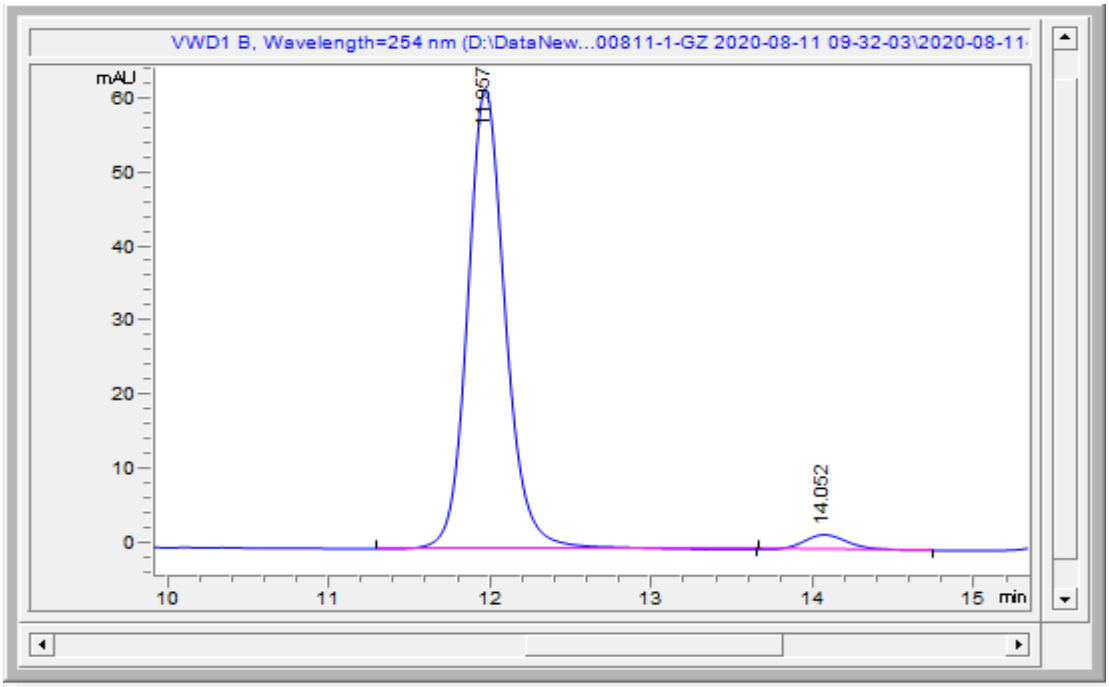
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	6.717	BV R	11474.4	731.2	0.2411	92.661	0.808
2	10.813	BB	908.8	30.1	0.4657	7.339	0.826

(1*S*,2*S*)-1-phenyl-1-(phenylamino)propan-2-ol ((1*S*,2*S*)-**1r**)



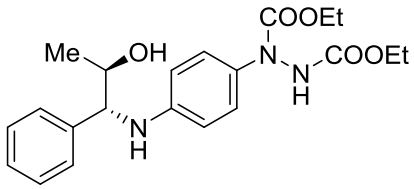


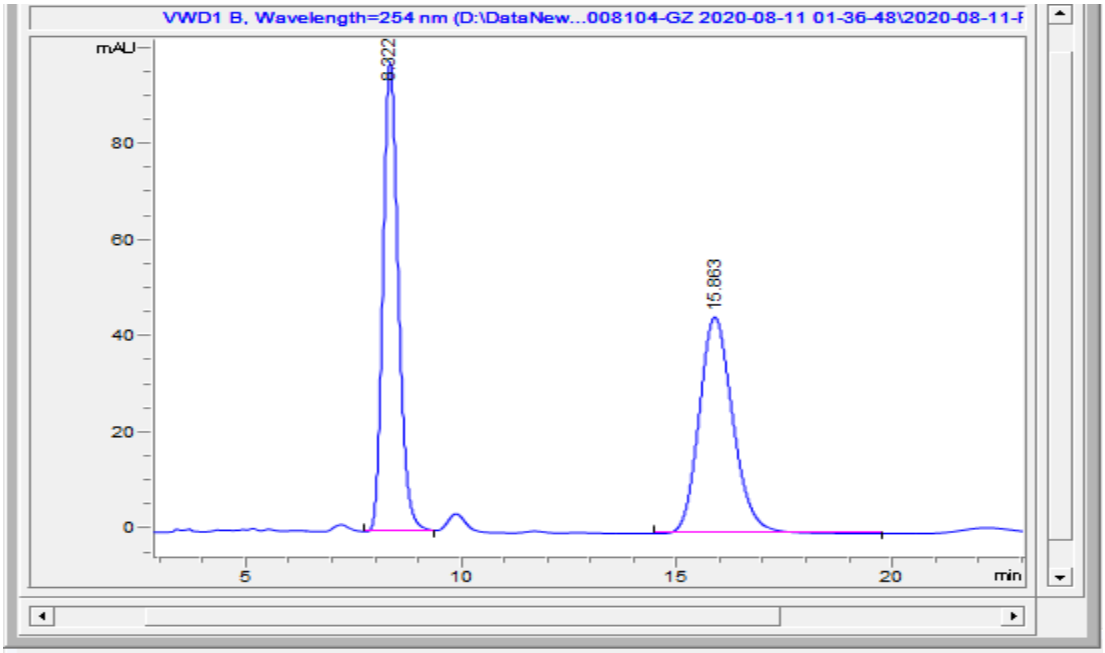
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	11.473	BB	1397.6	87.8	0.2416	51.301	0.866
2	13.446	BB	1326.7	74.9	0.2708	48.699	0.817



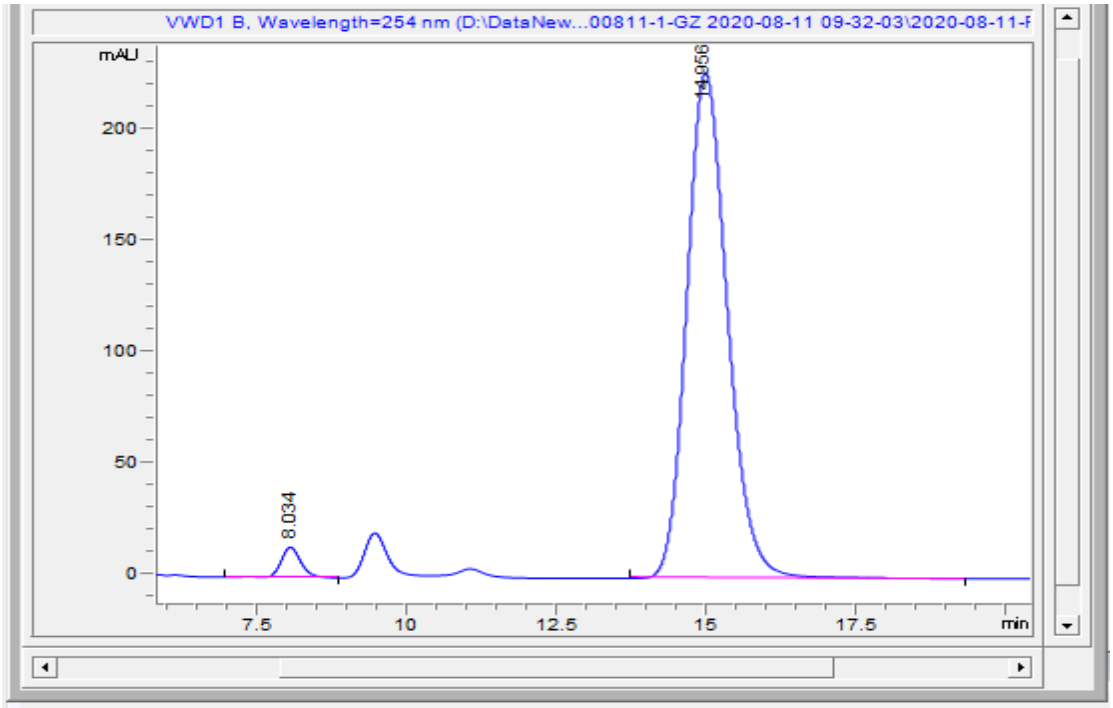
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	11.957	BB	1007.9	61.9	0.248	96.277	0.821
2	14.052	BB	39	2	0.2948	3.723	0.809

diethyl-1-(4-(((1*R*,2*R*)-2-hydroxy-1-phenylpropyl)amino)phenyl)hydrazine-1,2-dicarboxylate (**3r**)



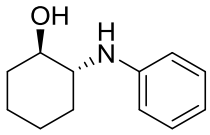


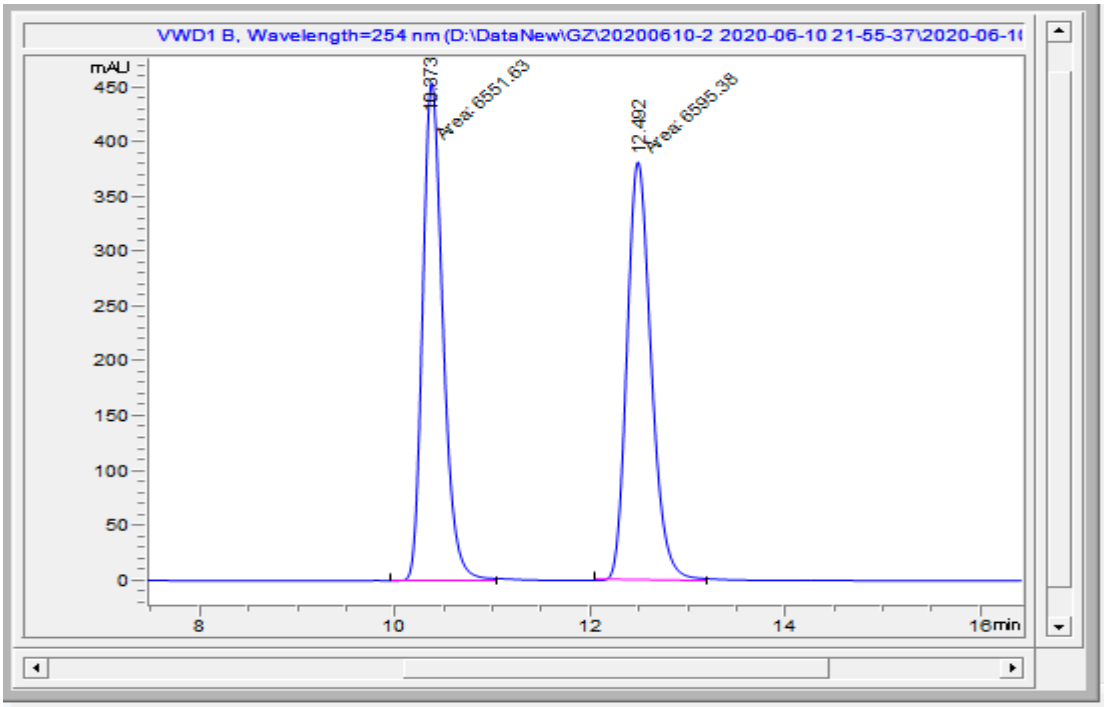
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	8.322	BB	2413.6	97.3	0.3815	49.672	0.798
2	15.863	BB	2445.4	44.8	0.8402	50.328	0.809



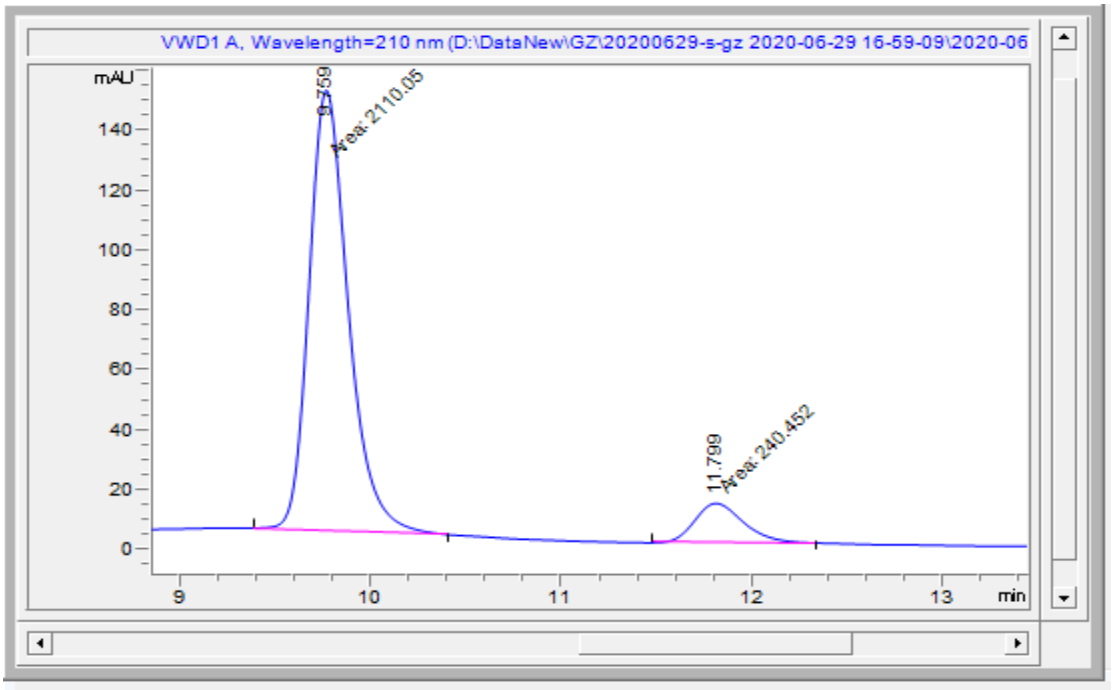
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	8.034	VB R	317.8	13.6	0.3558	2.866	0.89
2	14.956	BB	10771.4	227.9	0.7294	97.134	0.793

(1*R*,2*R*)-2-(phenylamino)cyclohexan-1-ol ((1*R*,2*R*)-**1s**)



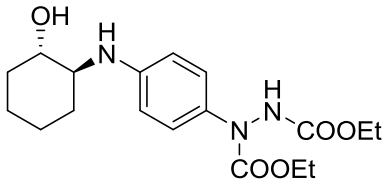


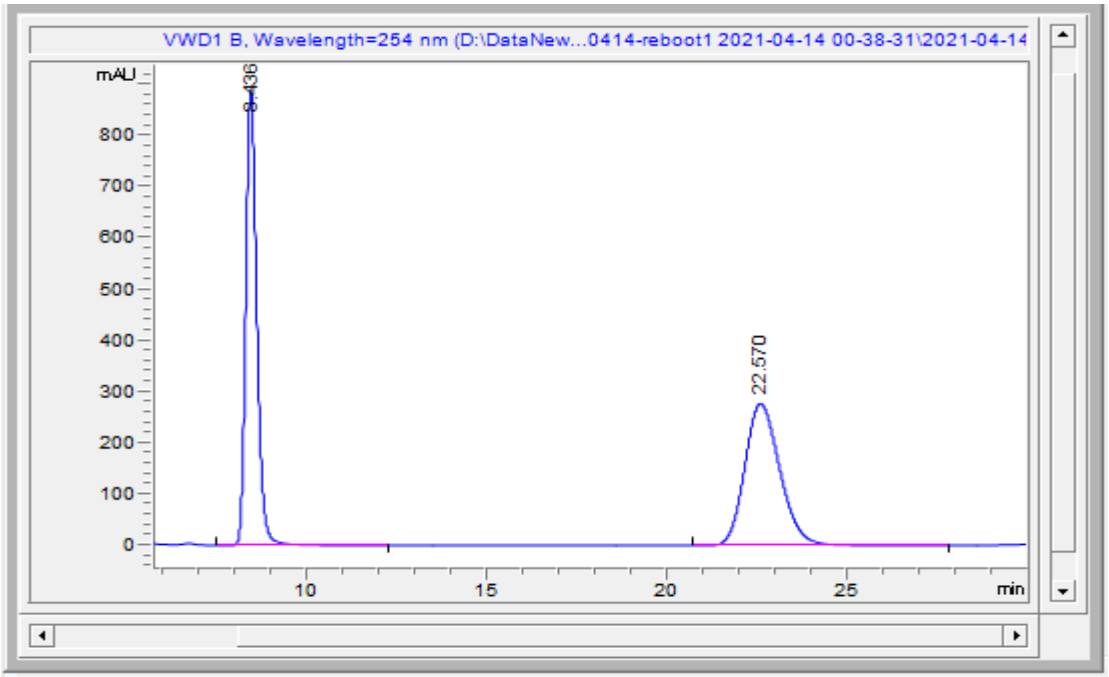
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	10.373	MF	6551.6	453.9	0.2406	49.834	0.767
2	12.492	MF	6595.4	381.4	0.2882	50.166	0.796



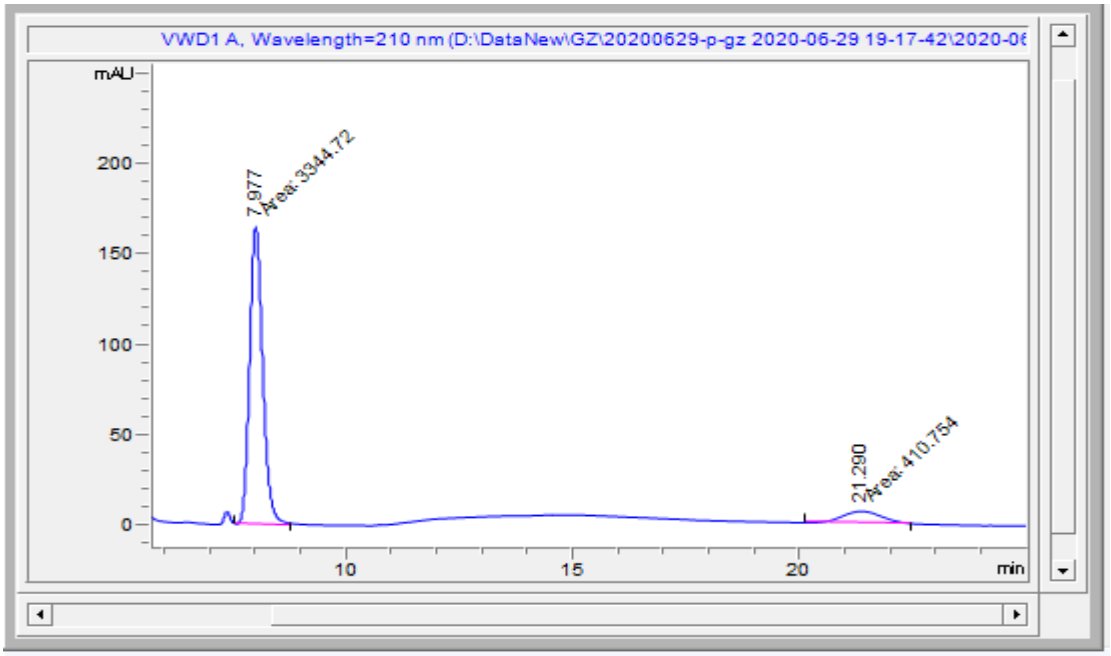
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	9.759	MM	2110	147.1	0.2391	89.770	0.752
2	11.799	MM	240.5	13.3	0.3017	10.230	0.742

diethyl-1-(4-(((1*S*,2*S*)-2-hydroxycyclohexyl)amino)phenyl)hydrazine-1,2-dicarboxylate (**3s**)



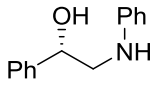


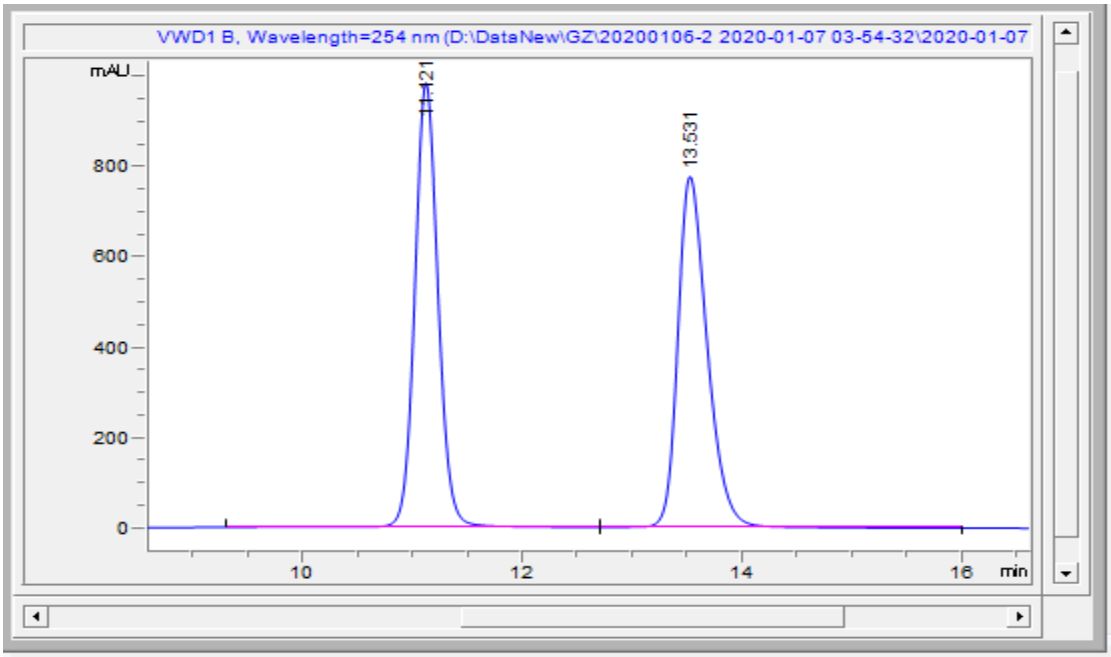
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	8.436	VB R	18756.6	893.2	0.323	49.922	0.751
2	22.57	BB	18815.5	278.3	1.0448	50.078	0.774



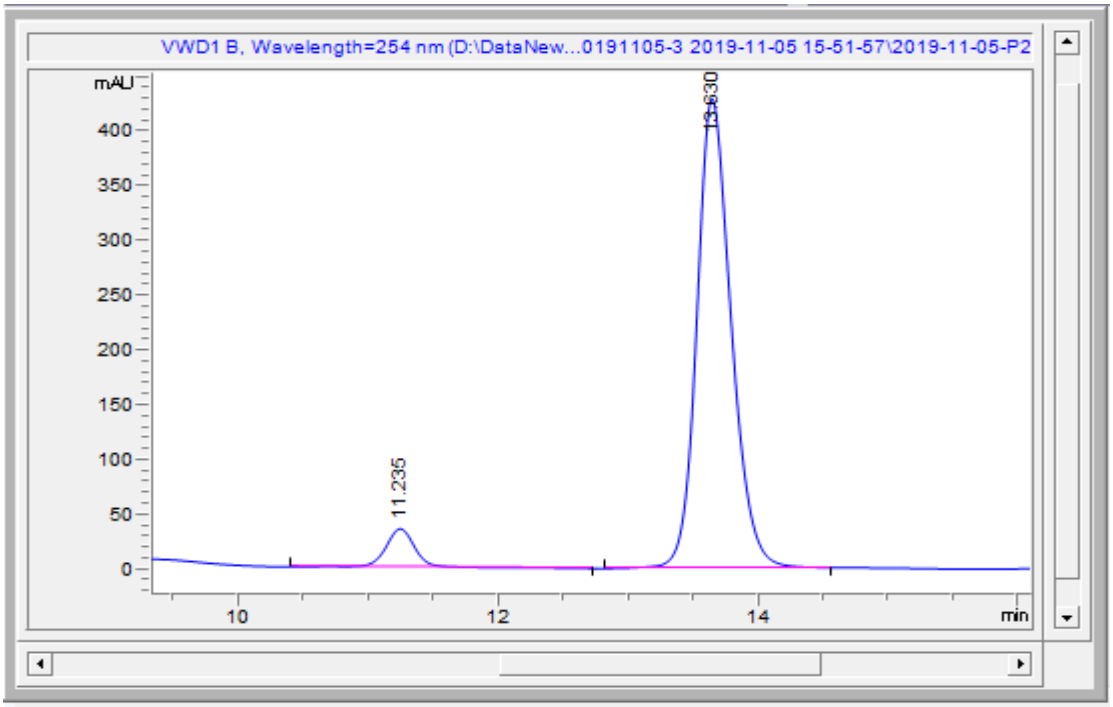
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	7.977	MF	3344.7	165.9	0.3361	89.063	0.823
2	21.29	MM	410.8	6.7	1.0187	10.937	0.931

(*S*)-1-phenyl-2-(phenylamino)ethan-1-ol ((*S*)-**4a**)



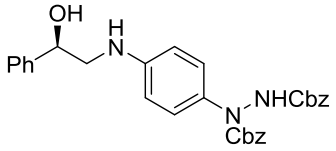


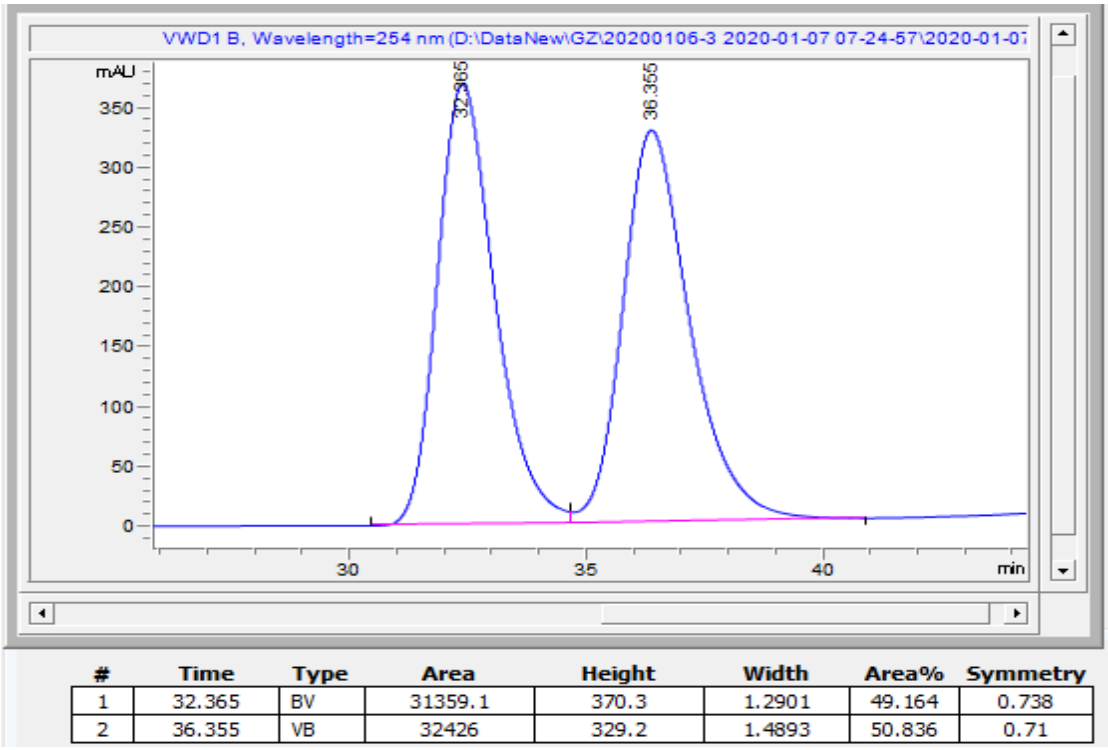
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	11.121	VB R	14473.2	982	0.228	50.032	0.883
2	13.531	BBA	14454.4	775.5	0.2855	49.968	0.667



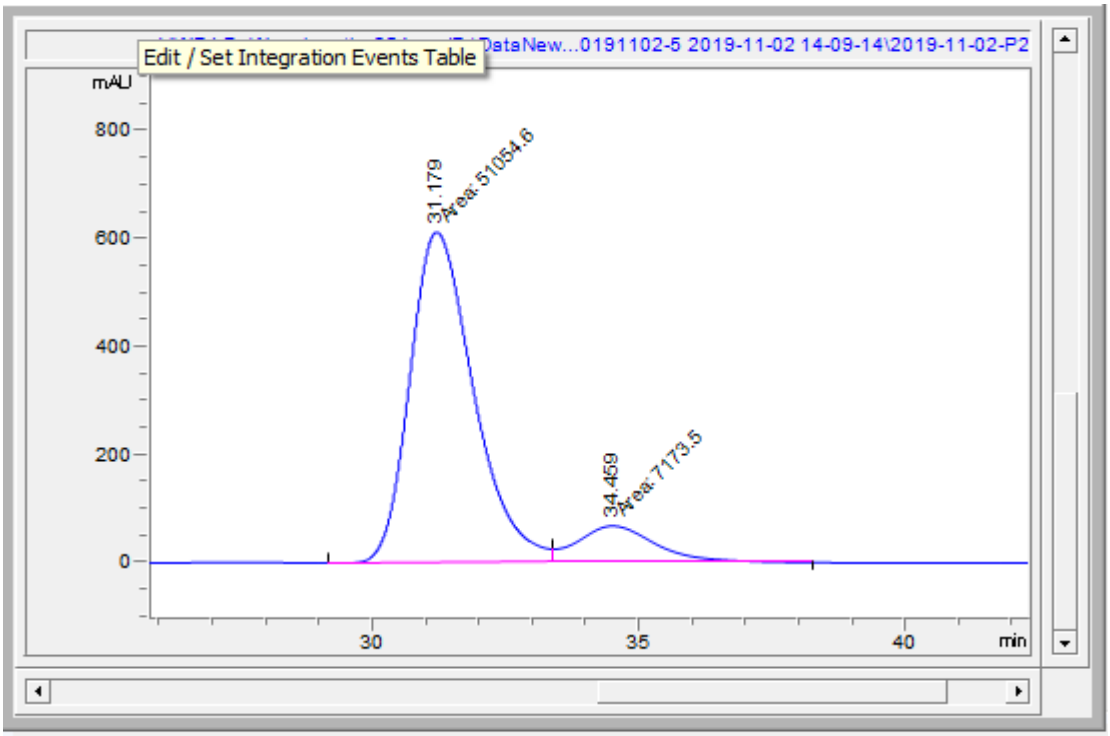
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	11.235	VB R	581.7	35.4	0.2475	6.882	0.937
2	13.63	BB	7870.7	430.3	0.2774	93.118	0.763

(*R*)-dibenzyl-1-(4-((2-hydroxy-2-phenylethyl)amino)phenyl)hydrazine-1,2-dicarboxylate (**5a**)



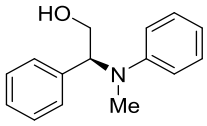


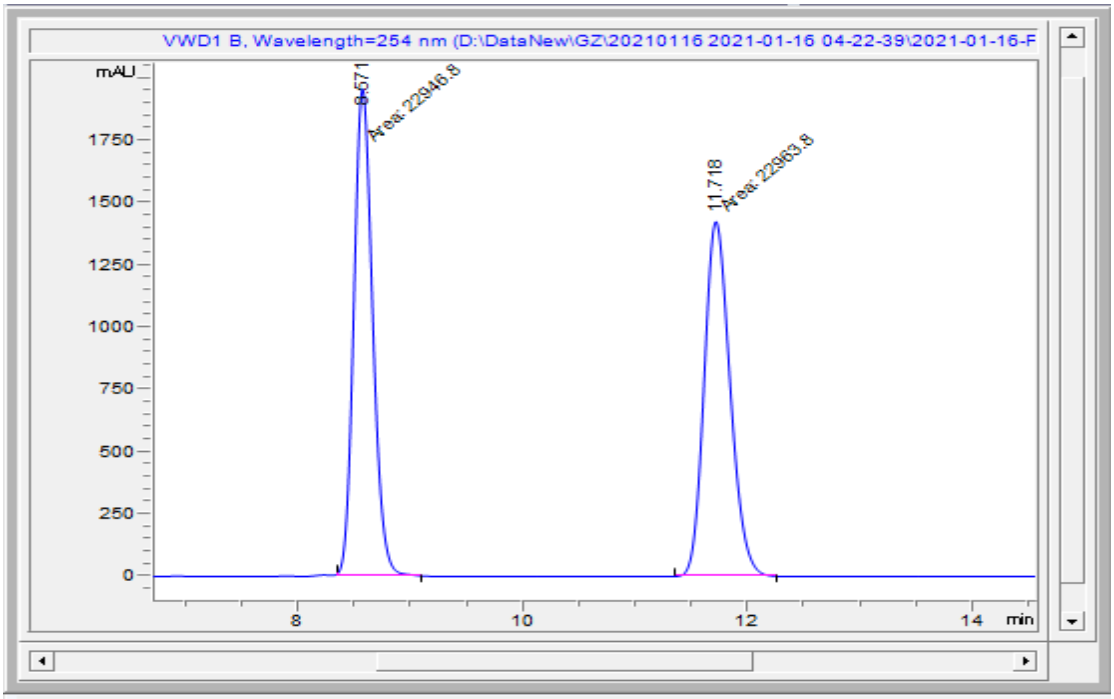
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	32.365	BV	31359.1	370.3	1.2901	49.164	0.738
2	36.355	VB	32426	329.2	1.4893	50.836	0.71



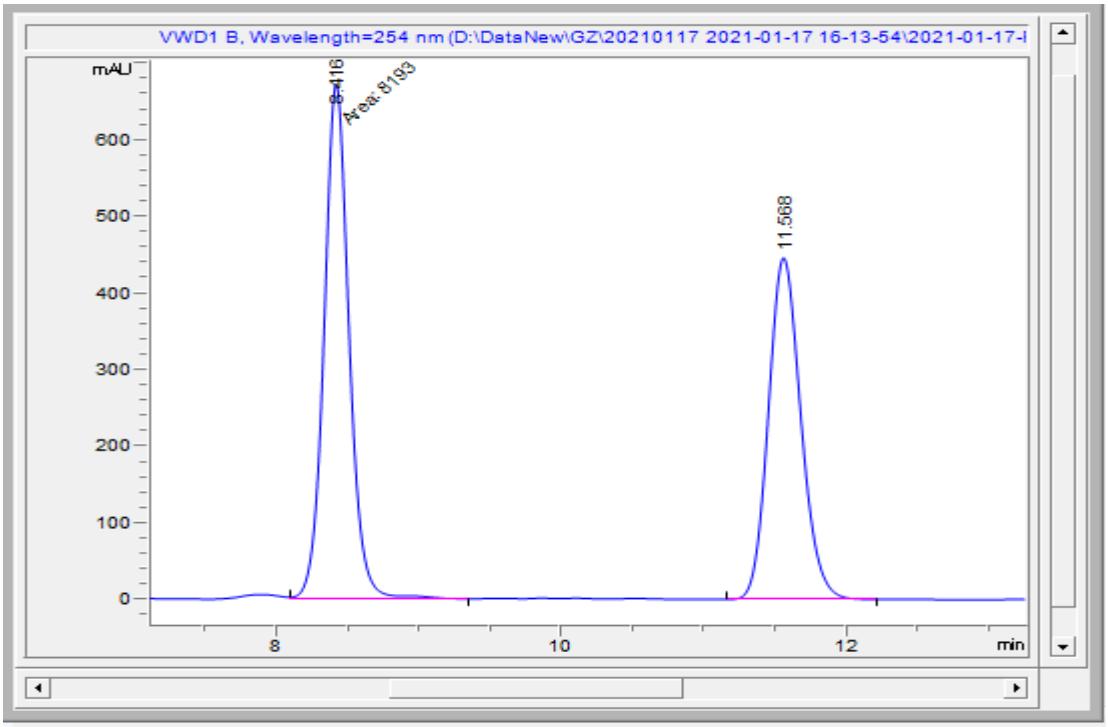
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	31.179	MF	51054.6	612.4	1.3895	87.680	0
2	34.459	FM	7173.5	68.7	1.7413	12.320	0.745

(*S*)-2-(methyl(phenyl)amino)-2-phenylethan-1-ol (*S*-**6a**)



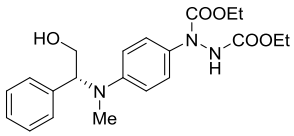


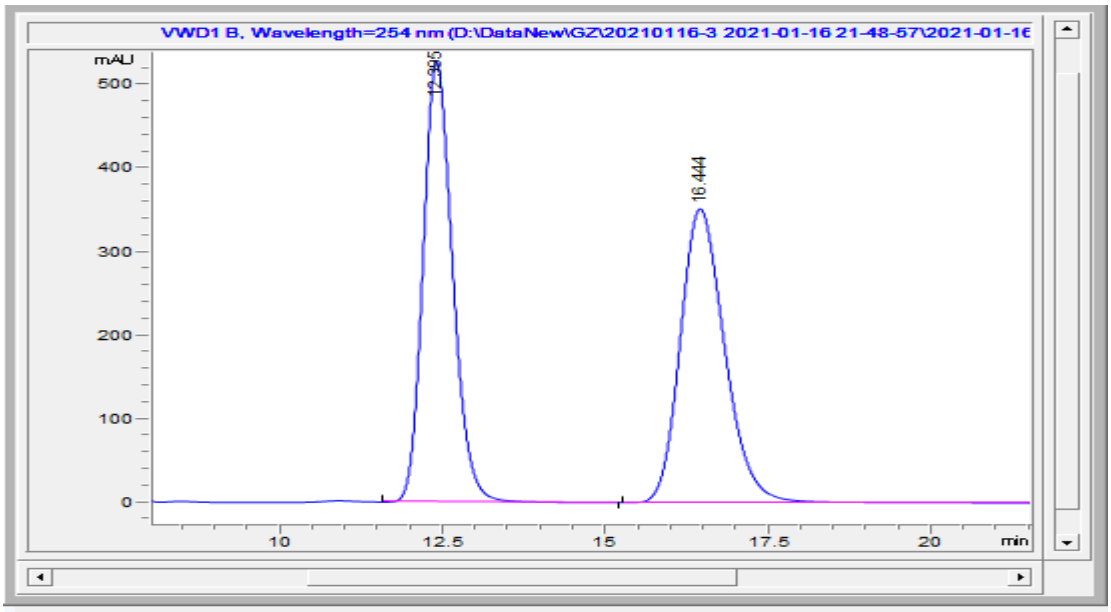
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	8.571	MF	22946.8	1963.6	0.1948	49.981	0.83
2	11.718	MF	22963.8	1428.8	0.2679	50.019	0.804



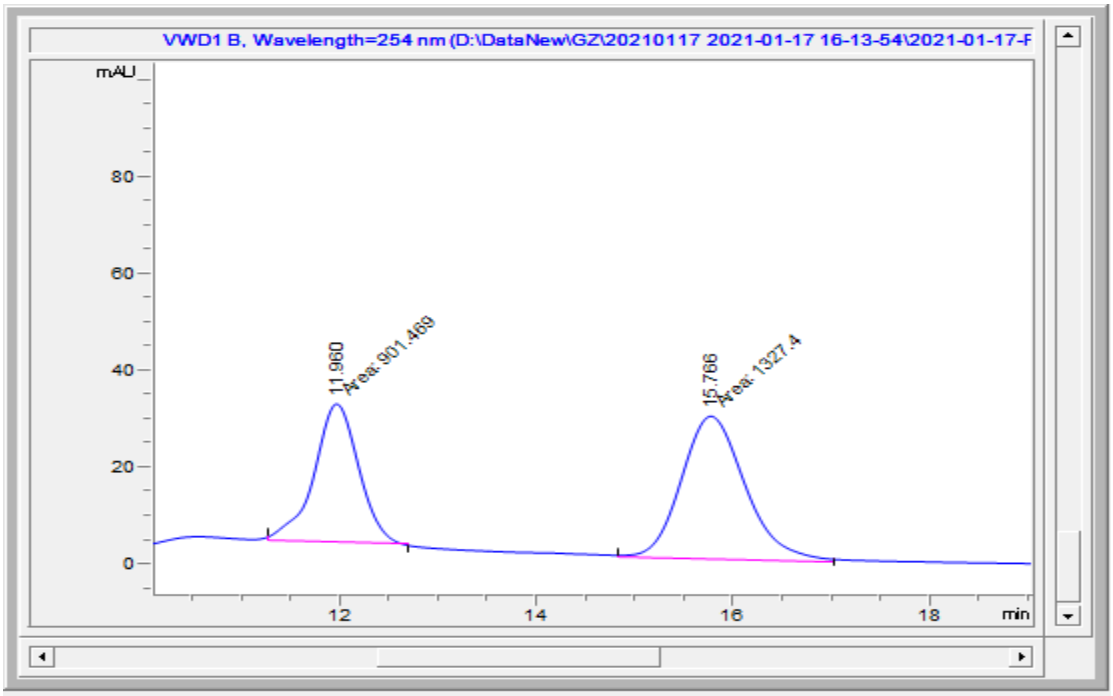
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	8.416	FM	8193	669.9	0.2038	53.780	0.898
2	11.568	BB	7041.4	444	0.245	46.220	0.837

(*R*)-diethyl-1-(4-((2-hydroxy-1-phenylethyl)(methyl)amino)phenyl)hydrazine-1,2-dicarboxylate (*R*-**7a**)



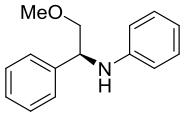


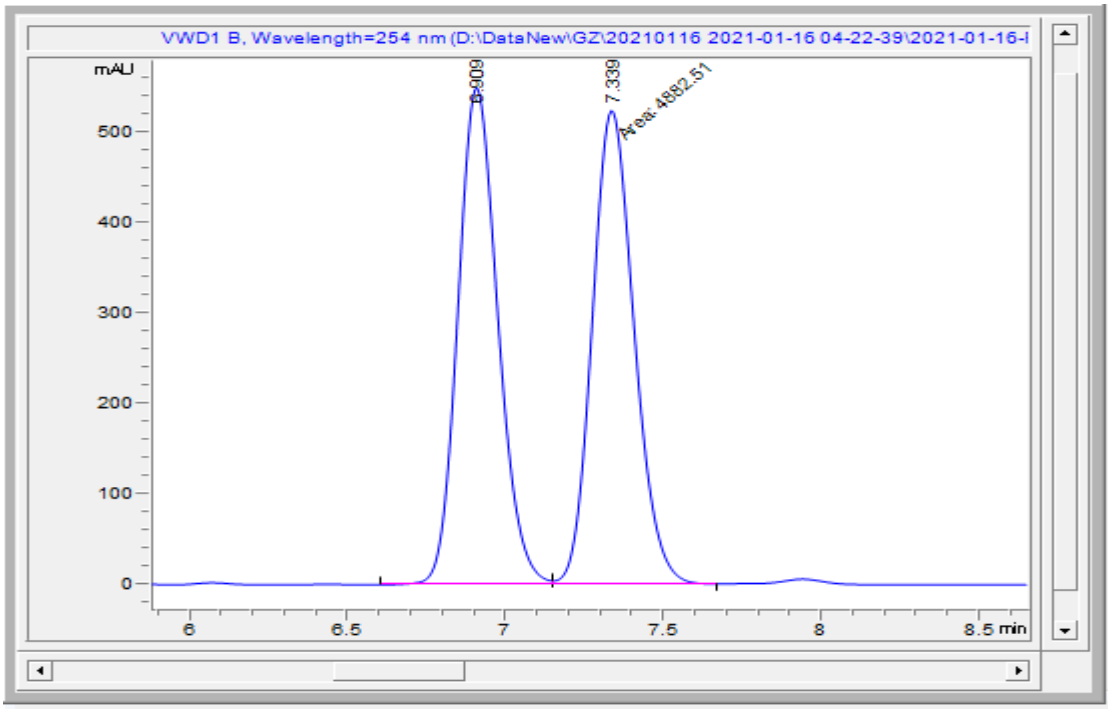
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	12.395	BB	16593.6	526	0.488	49.899	0.791
2	16.444	BB	16660.9	350.7	0.7342	50.101	0.762



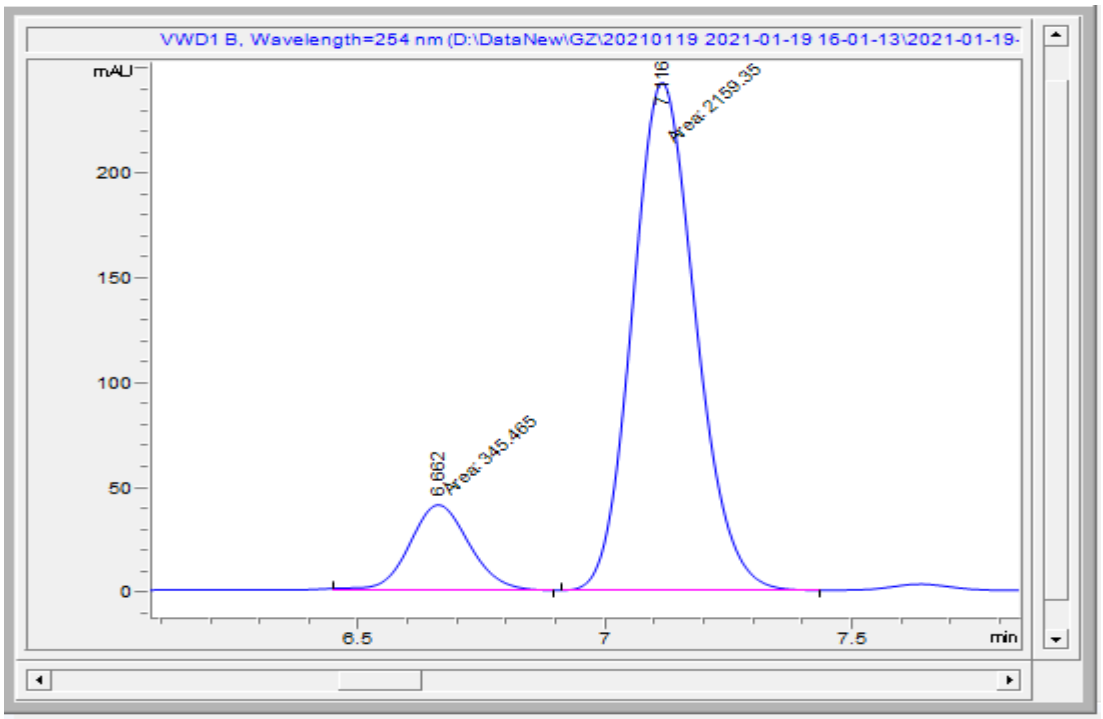
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	11.96	MM	901.5	28.5	0.5267	40.445	1.003
2	15.766	MM	1327.4	29.4	0.7532	59.555	0.837

(*S*)-N-(2-methoxy-1-phenylethyl)aniline (*S*-**6b**)





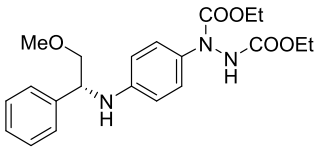
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	6.909	BV	4876.1	551.6	0.1371	49.967	0.831
2	7.339	MF	4882.5	526.8	0.1545	50.033	0.84

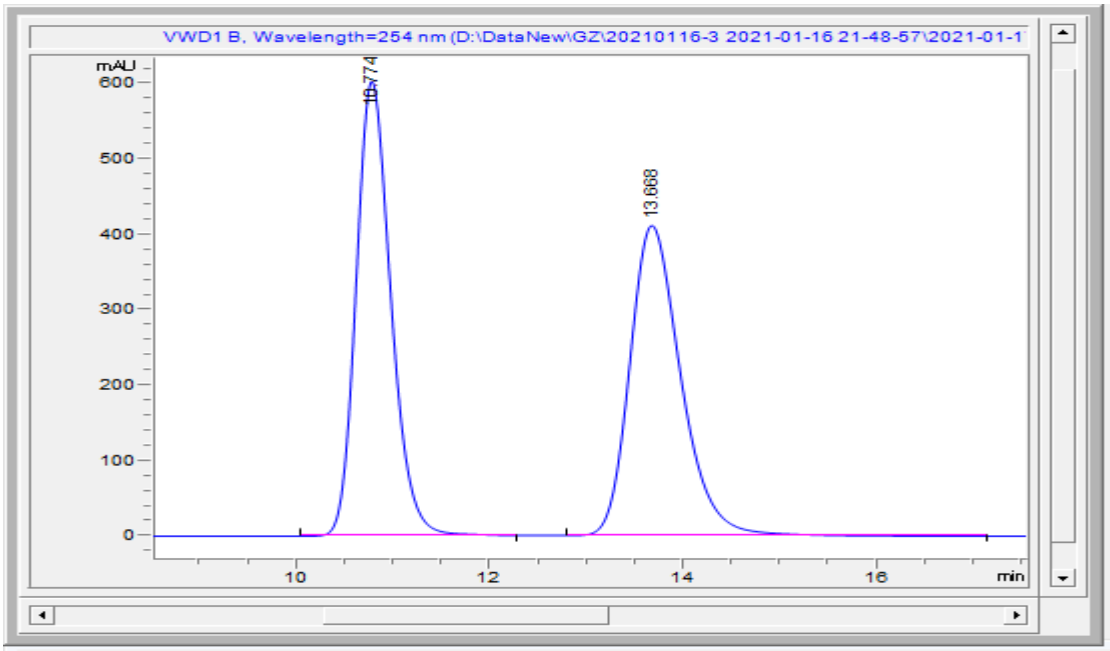


#	Time	Type	Area	Height	Width	Area%	Symmetry
1	6.662	MM	345.5	40.8	0.141	13.792	0.917
2	7.116	MM	2159.3	242.6	0.1483	86.208	0.859

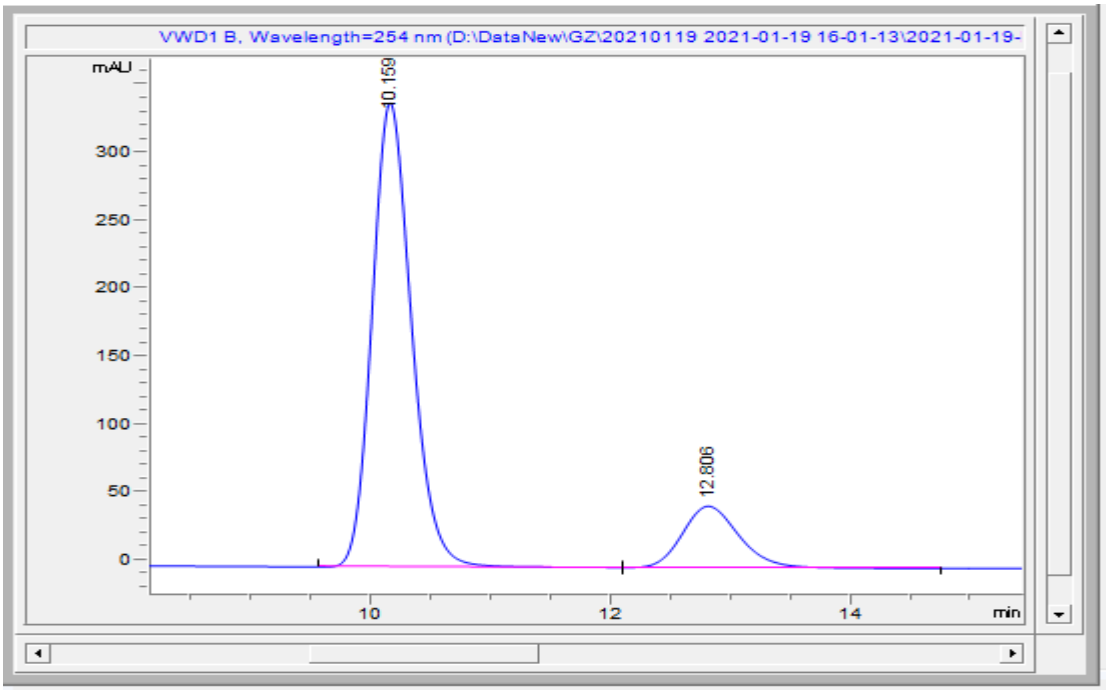
(*R*)-diethyl-1-(4-((2-methoxy-1-phenylethyl)amino)phenyl)hydrazine-1,2-dicarboxylate

(*R*-**7b**)





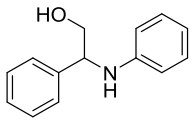
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	10.774	BB	15069	603.6	0.3852	50.011	0.777
2	13.668	BB	15062.3	411.7	0.5609	49.989	0.717

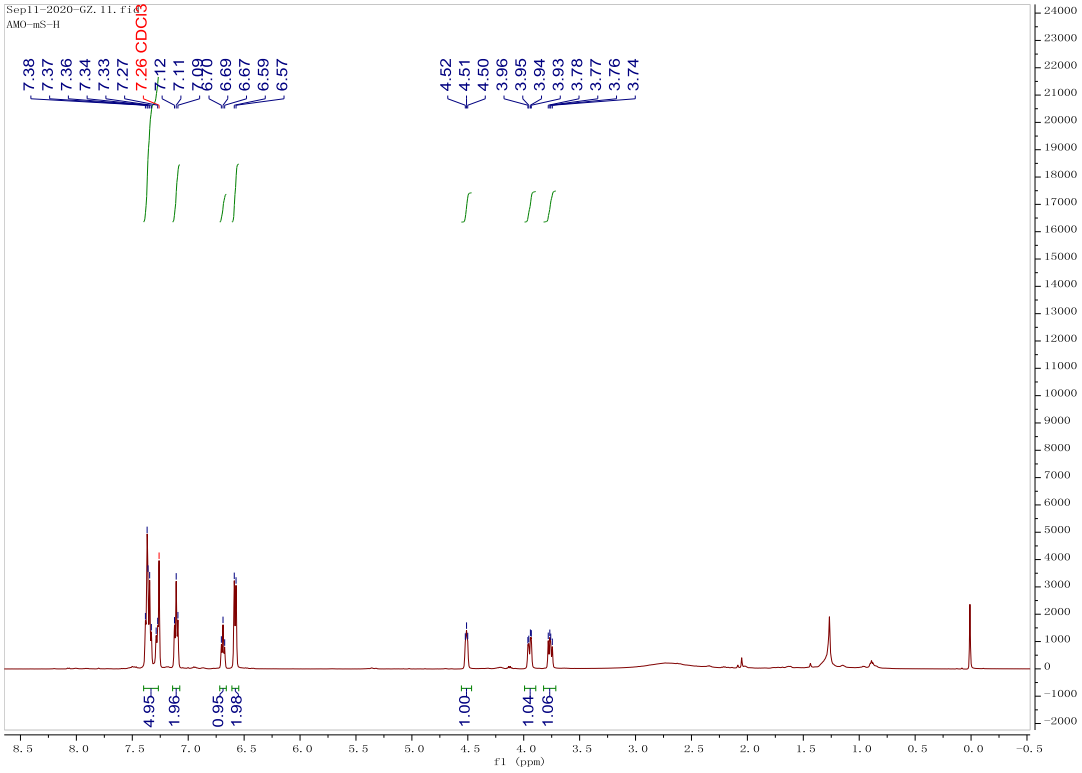


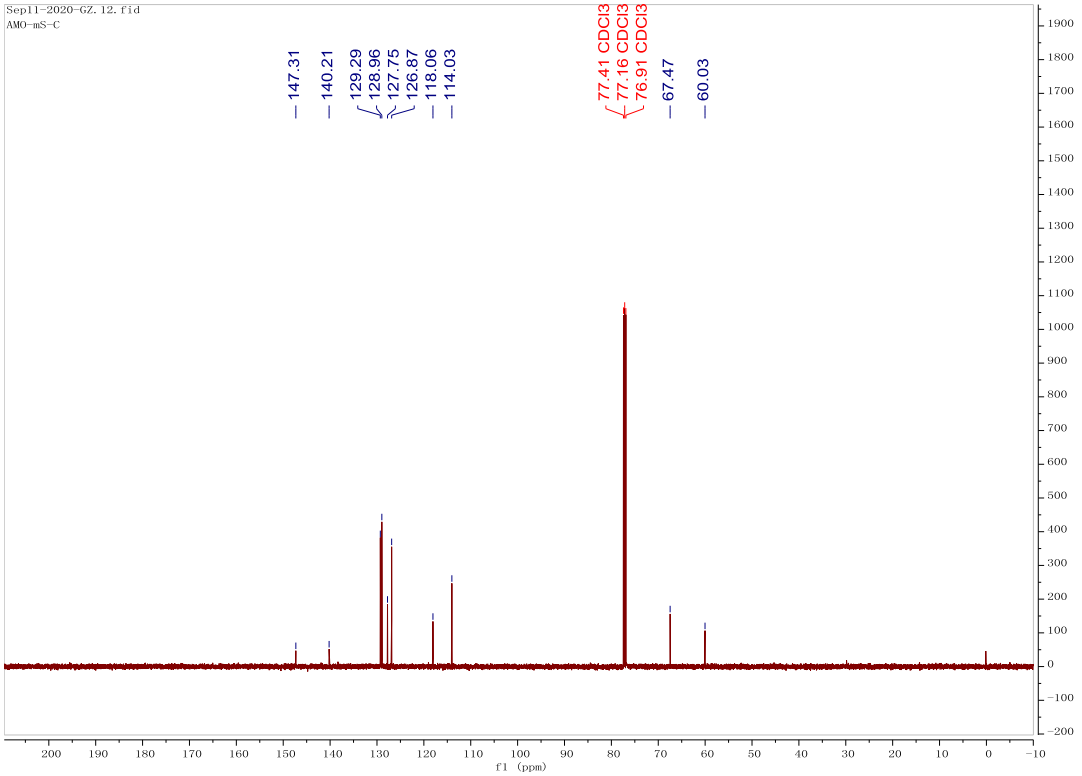
#	Time	Type	Area	Height	Width	Area%	Symmetry
1	10.159	BV	7760.8	340.2	0.3521	83.921	0.797
2	12.806	VB	1486.9	45.2	0.5055	16.079	0.799

NMR Spectra

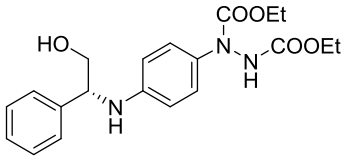
2-phenyl-2-(phenylamino)ethan-1-ol (**1a**)

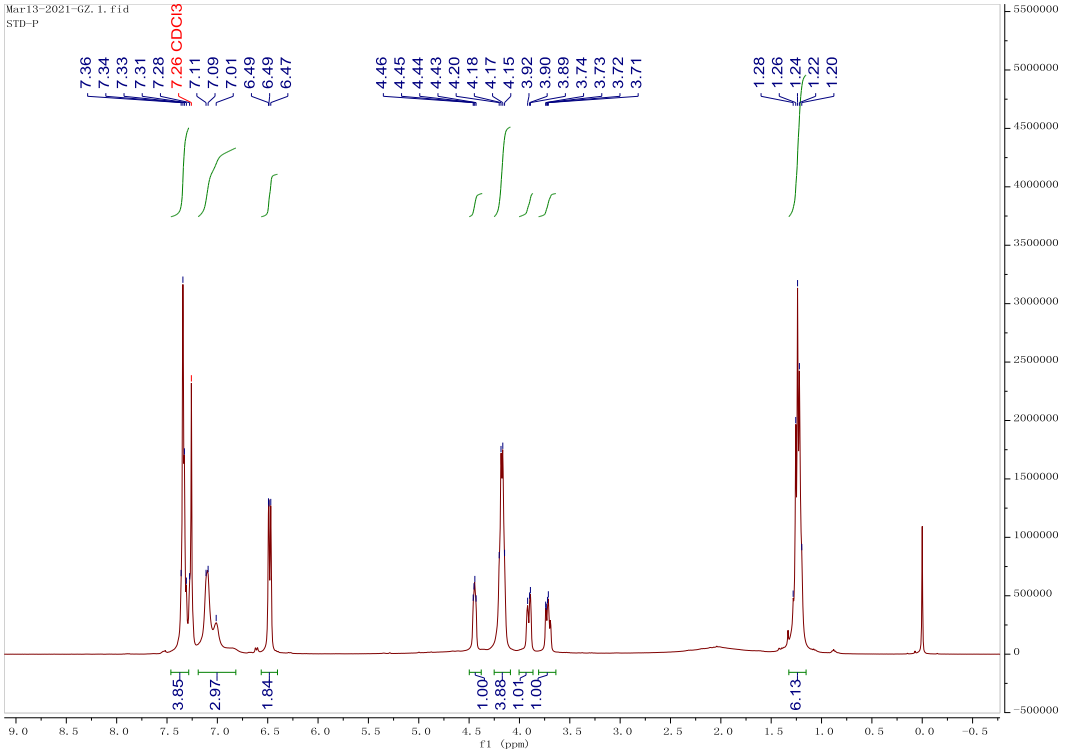


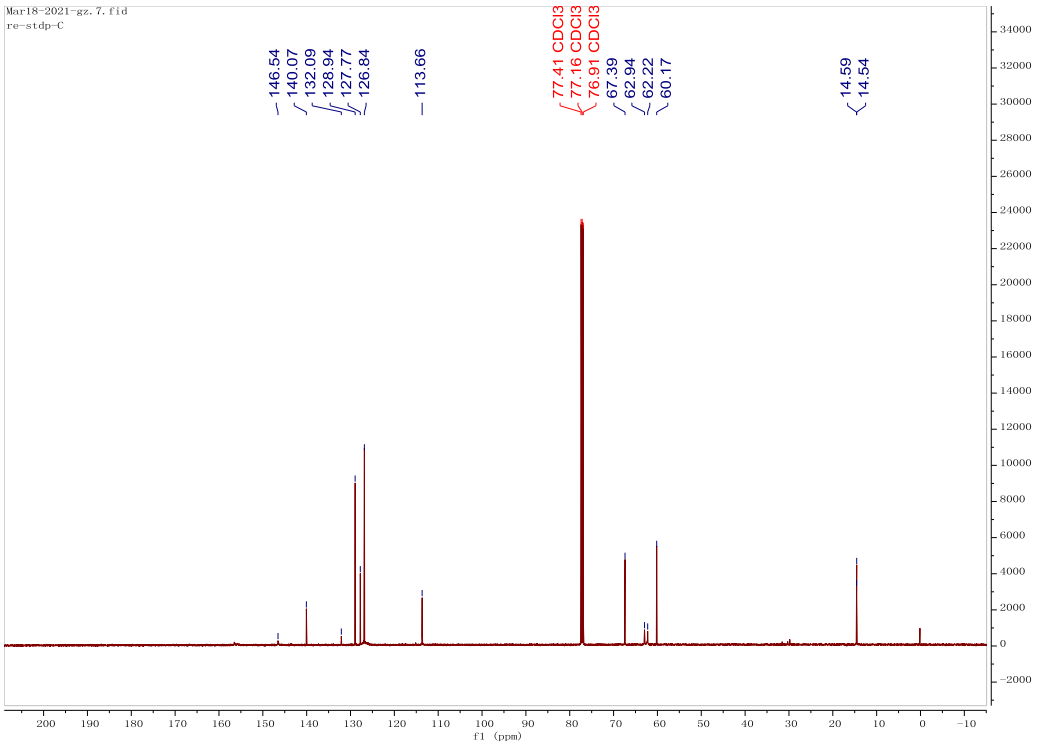




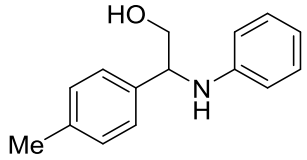
(*R*)-diethyl 1-(4-((2-hydroxy-1-phenylethyl)amino)phenyl)hydrazine-1,2-dicarboxylate ((*R*)-**3a**)

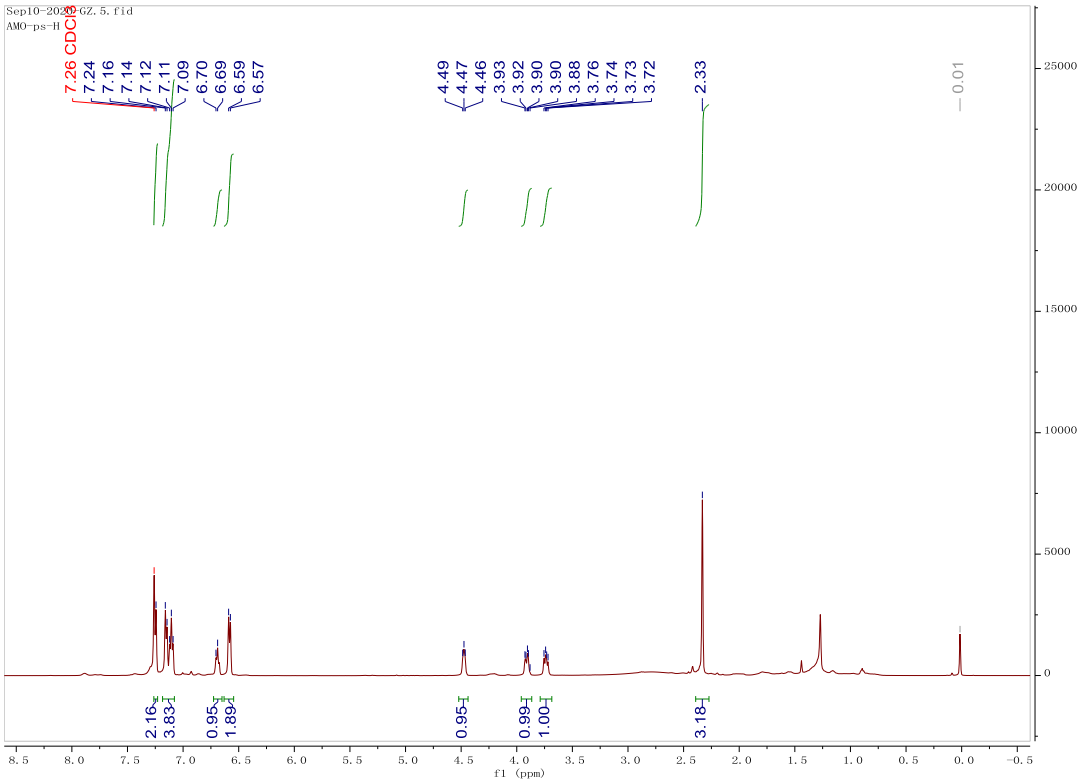


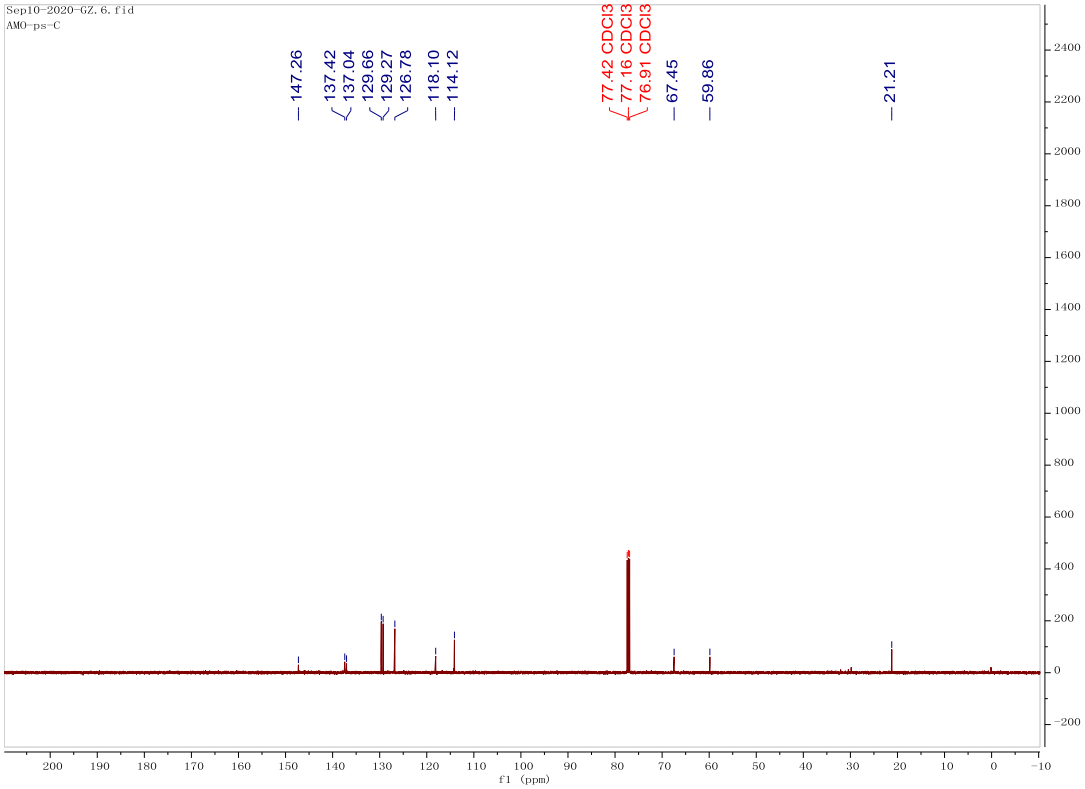




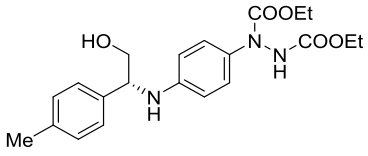
2-(phenylamino)-2-(p-tolyl)ethan-1-ol(**1b**)

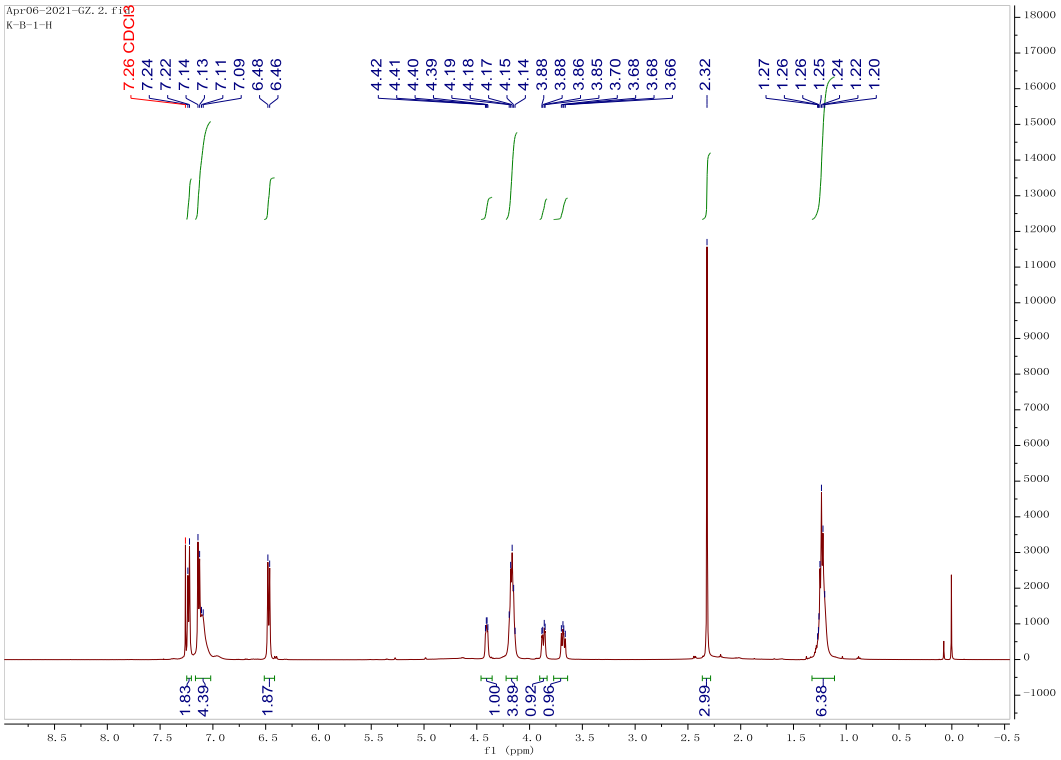


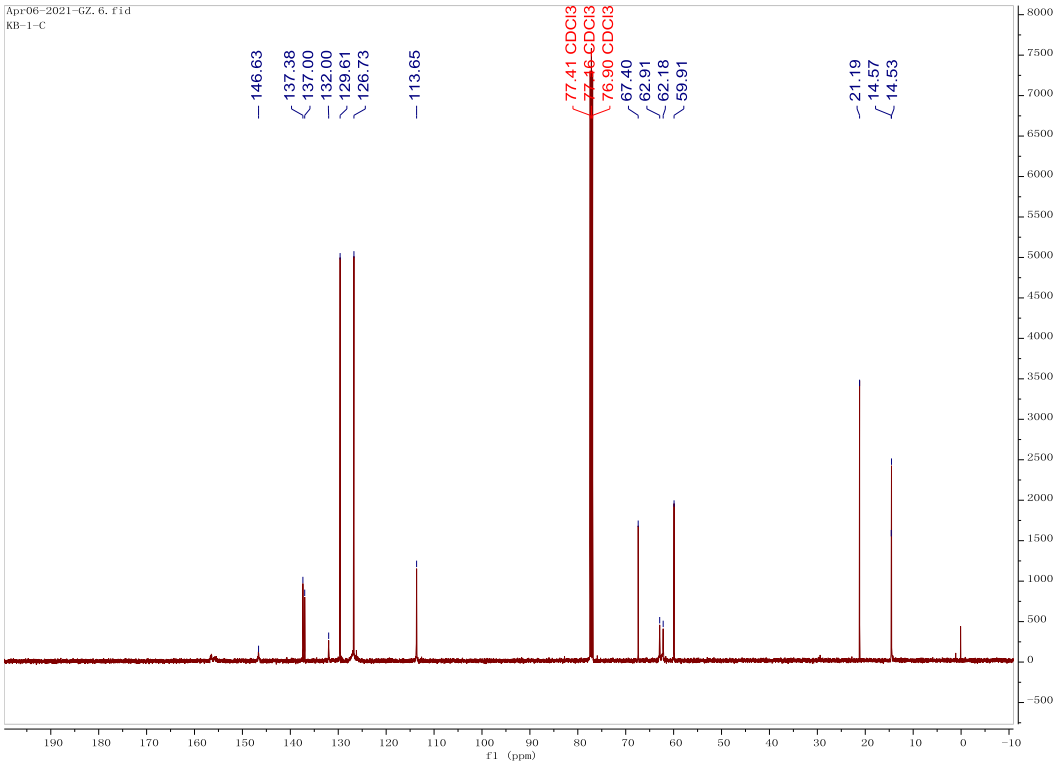




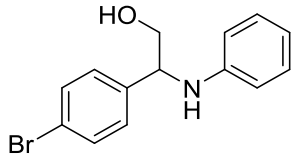
(*R*)-diethyl-1-(4-((2-hydroxy-1-(p-tolyl)ethyl)amino)phenyl)hydrazine-1,2-dicarboxylate ((*R*)-**3b**)

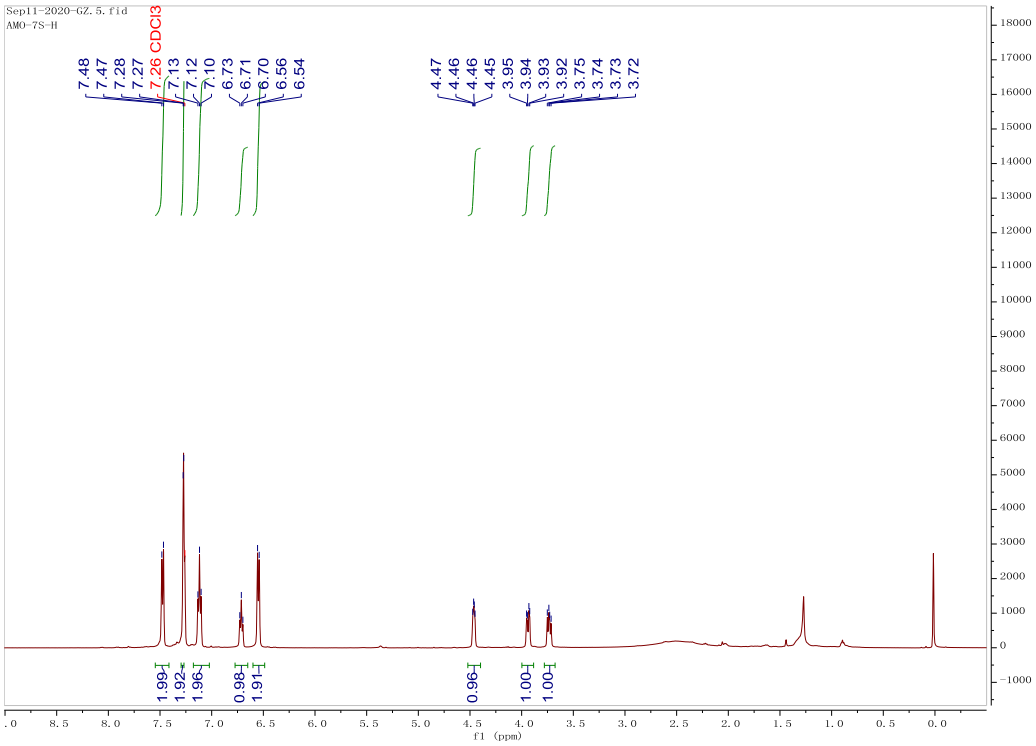


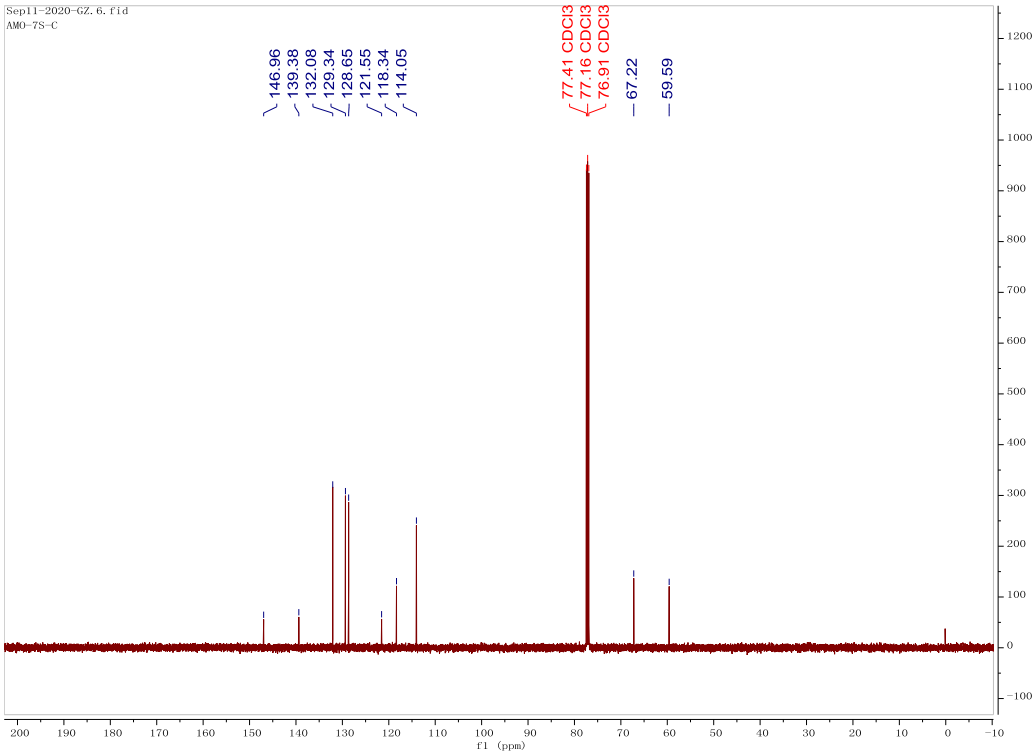




2-(4-bromophenyl)-2-(phenylamino)ethan-1-ol (**1c**)

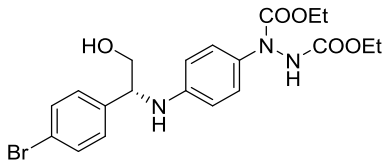


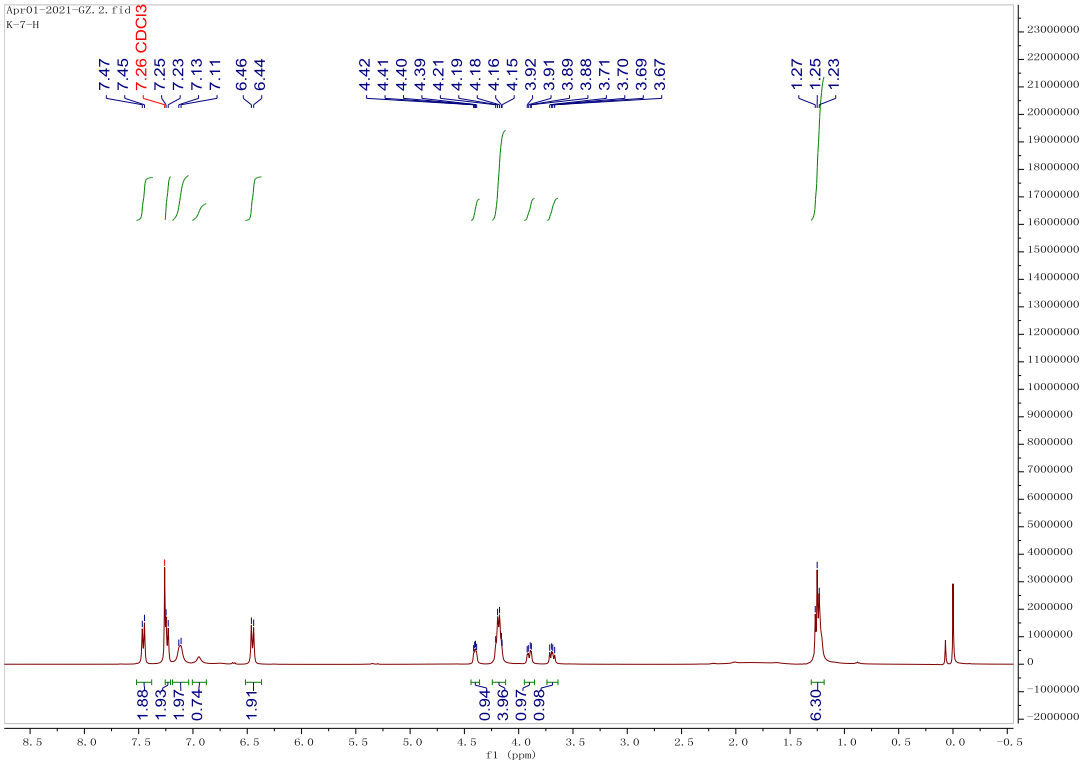


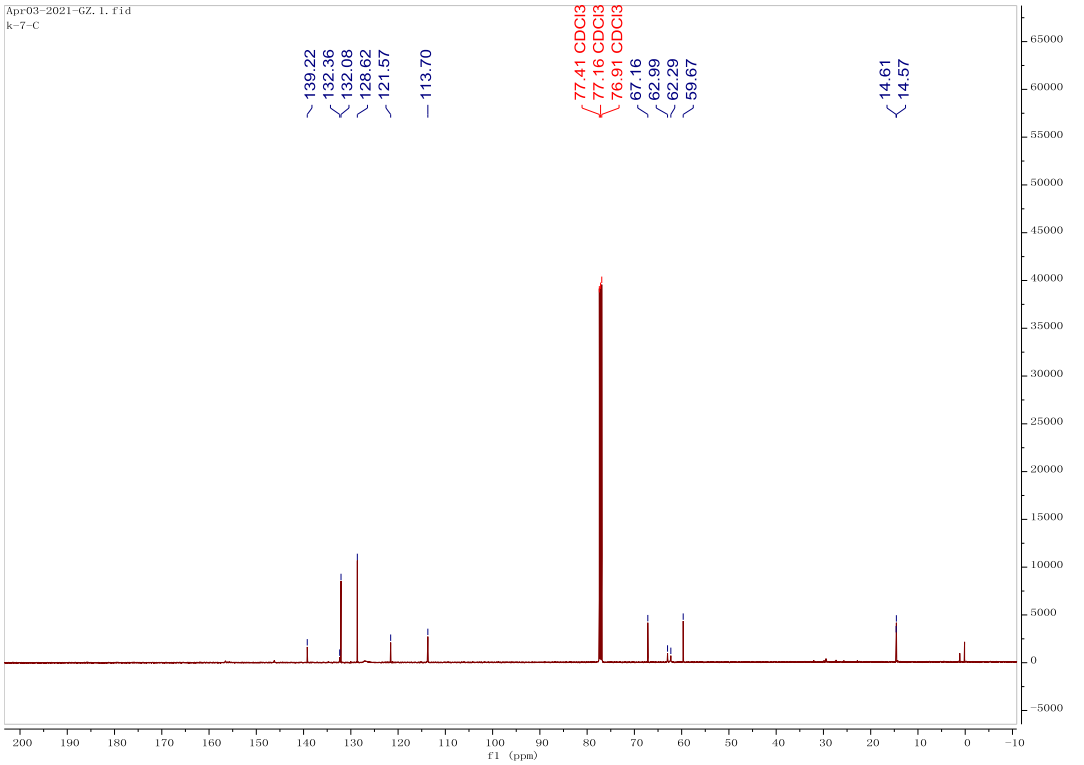


(*R*)-diethyl-1-(4-((1-(4-bromophenyl)-2-hydroxyethyl)amino)phenyl)hydrazine-1,2-dicarboxy

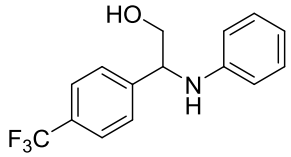
late ((*R*)-**3c**)

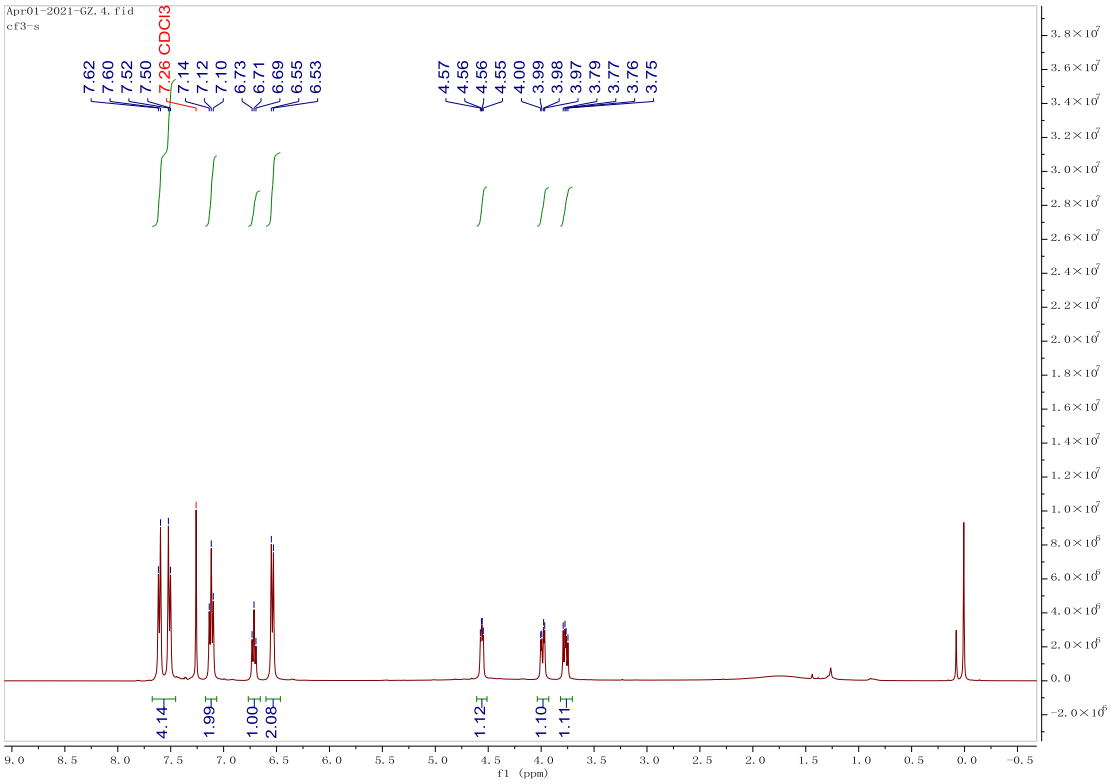


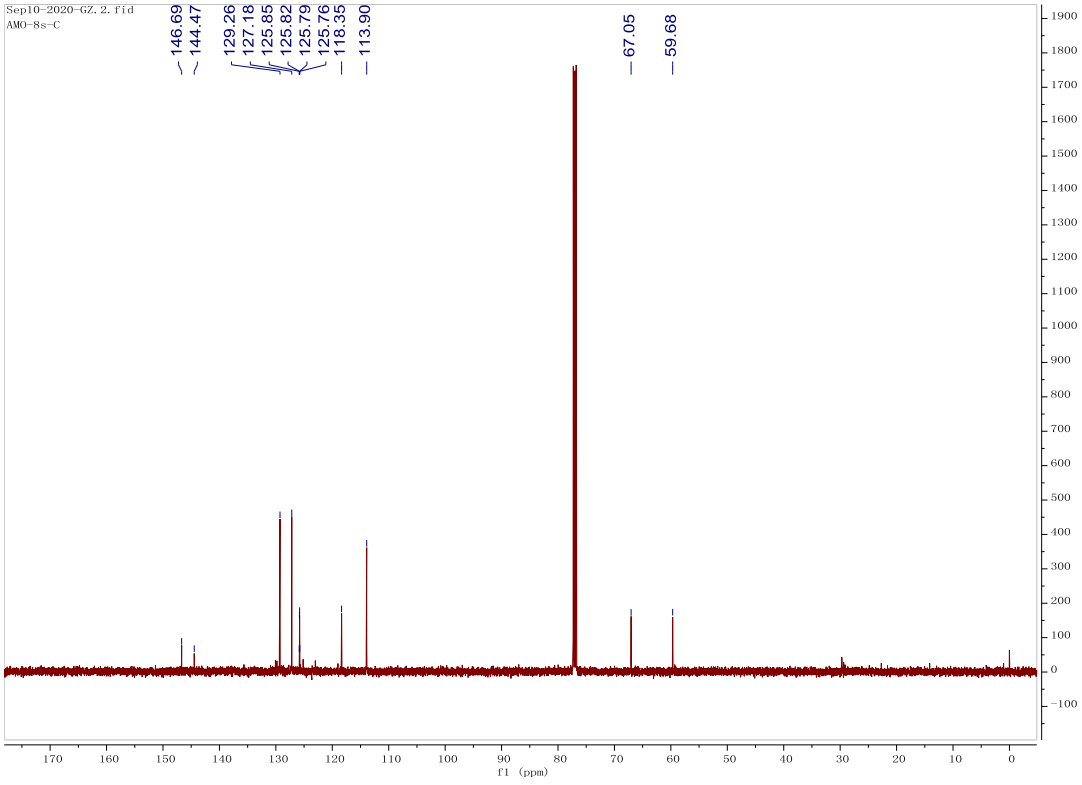


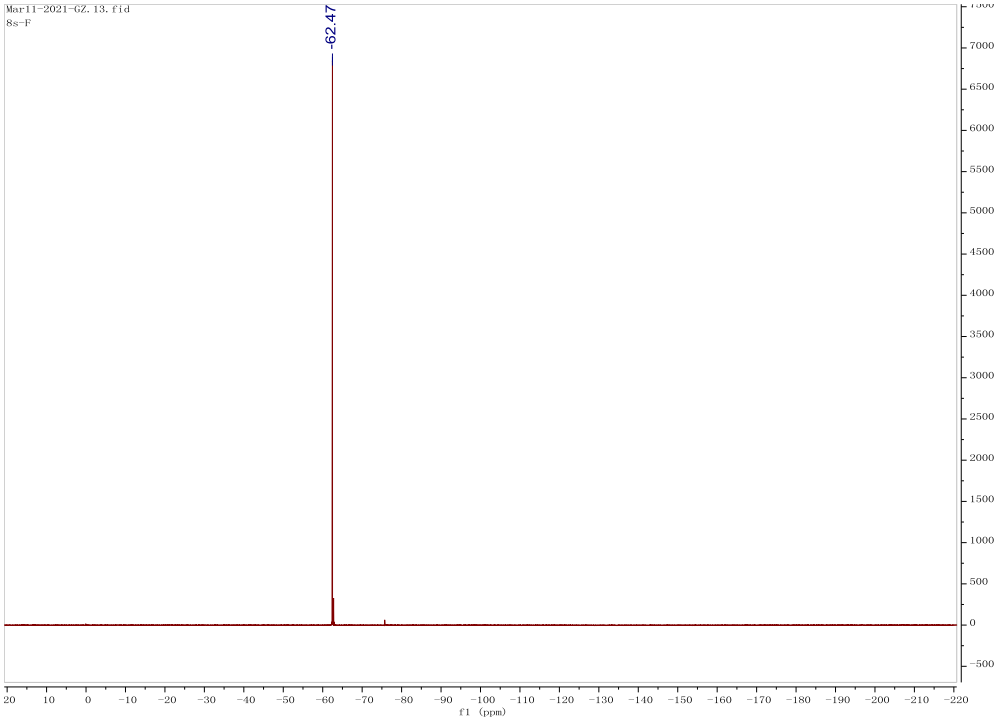


2-(phenylamino)-2-(4-(trifluoromethyl)phenyl)ethan-1-ol (**1d**)





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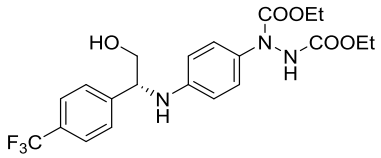
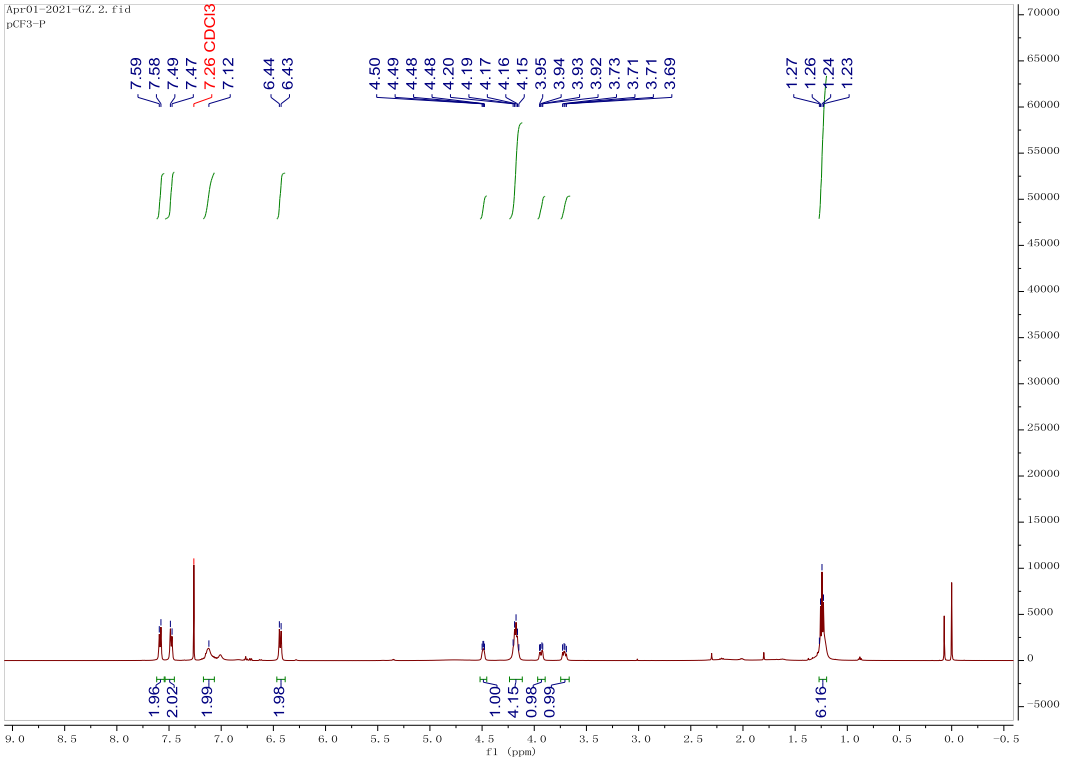
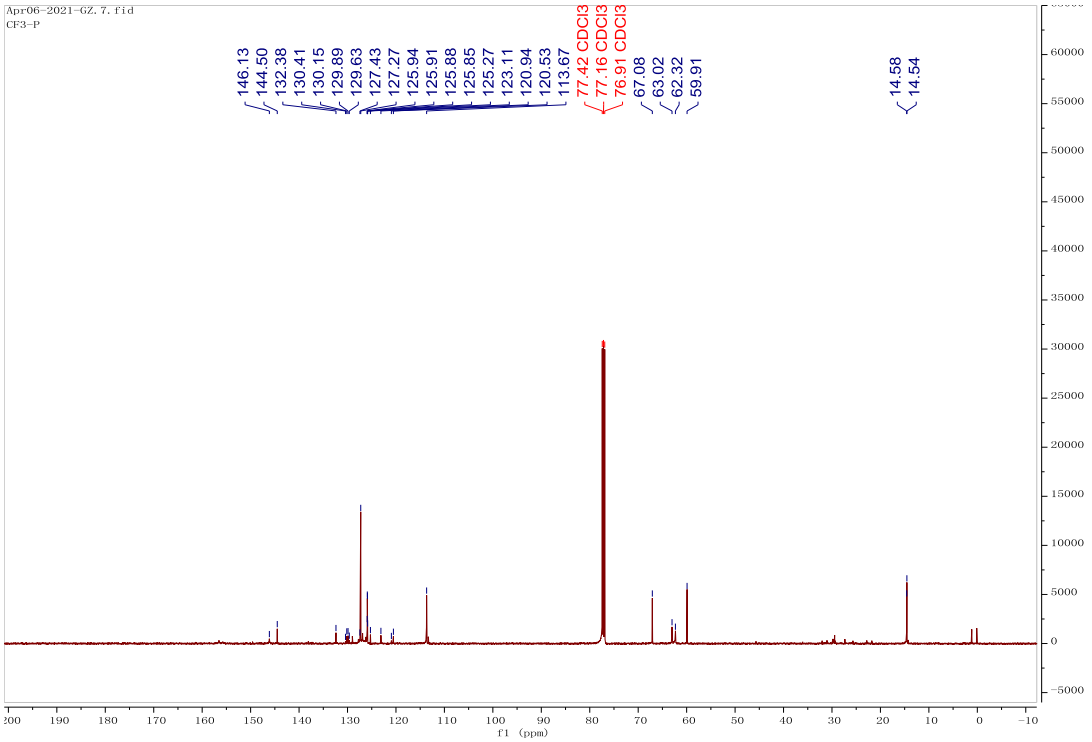
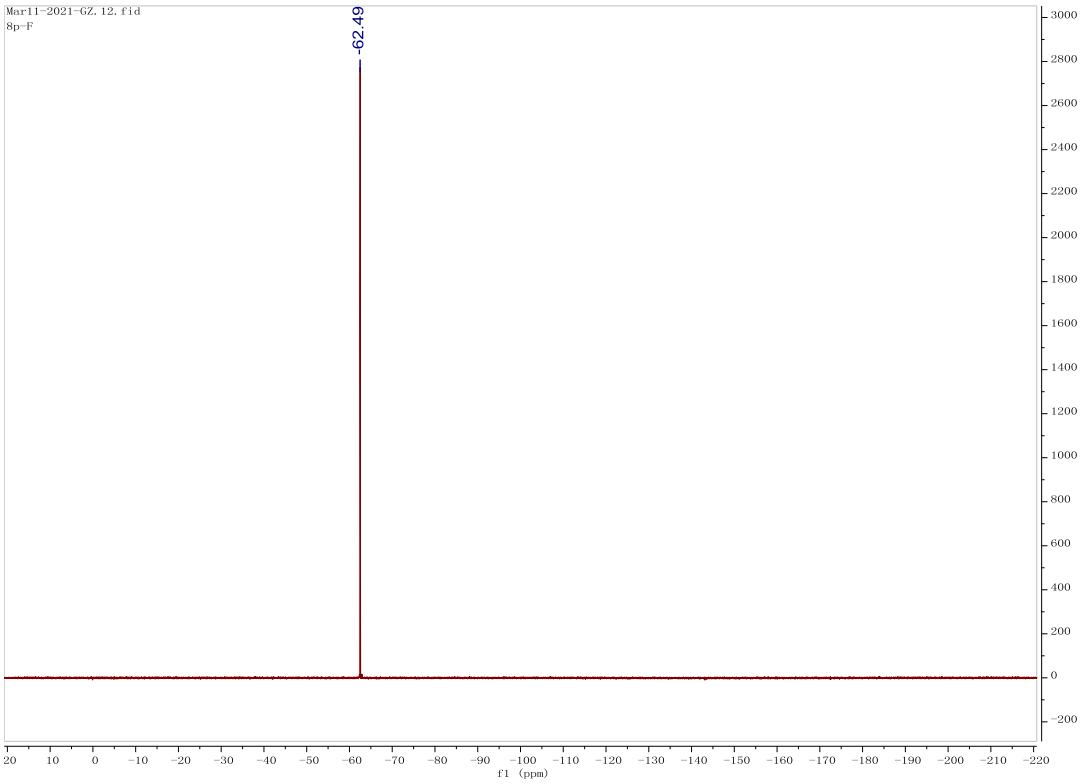
7000
6500
6000
5500
5000
4500
4000
3500
3000
2500
2000
1500
1000
500
0
-500
20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210 -220
f1 (ppm)

(*R*)-diethyl-1-(4-((2-hydroxy-1-(4-(trifluoromethyl)phenyl)ethyl)amino)phenyl)hydrazine-1,2-dicarboxylate ((*R*)-**3d**)





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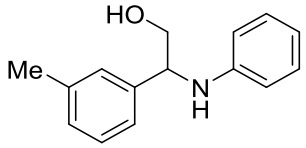
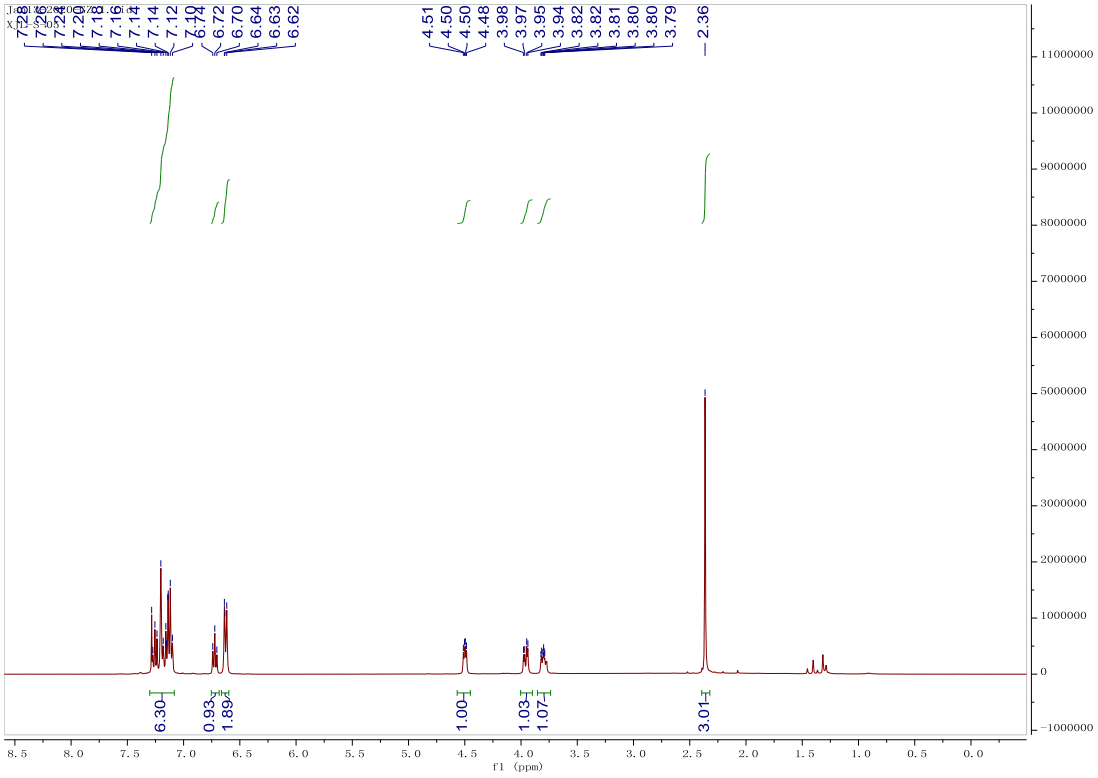
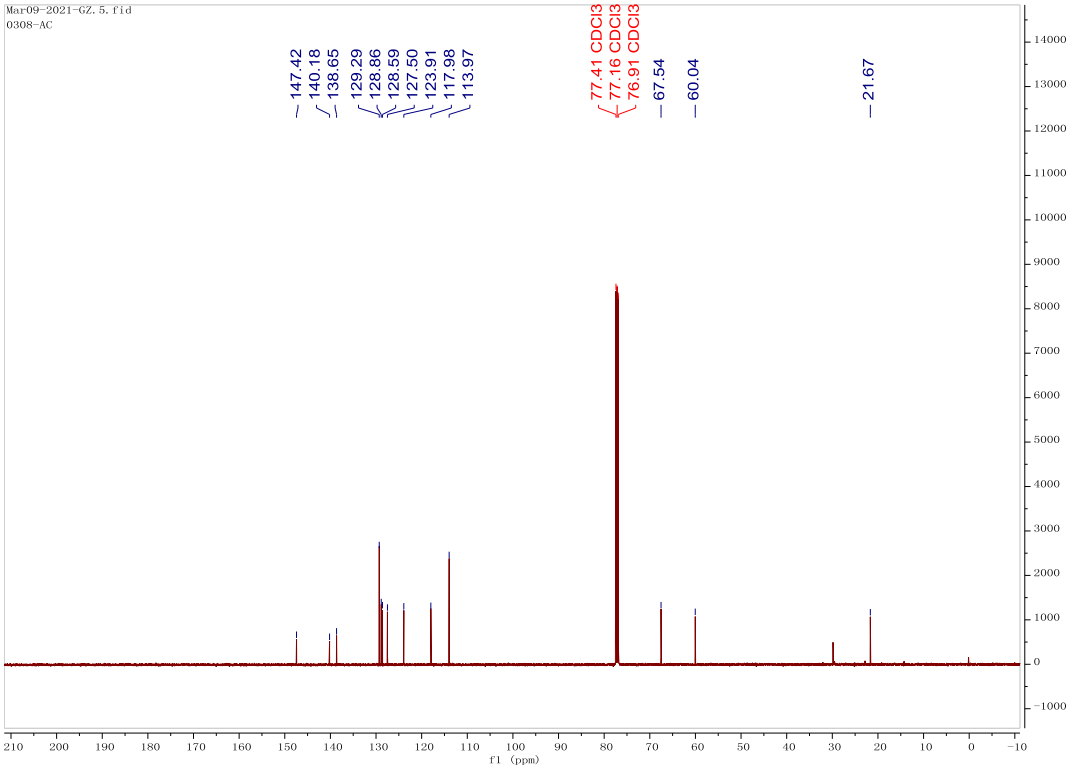
0
-200
20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210 -220
f1 (ppm)

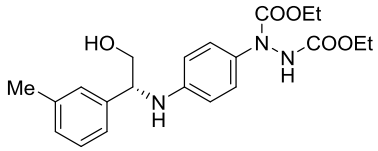
2-(phenylamino)-2-(m-tolyl)ethan-1-ol (**1e**)

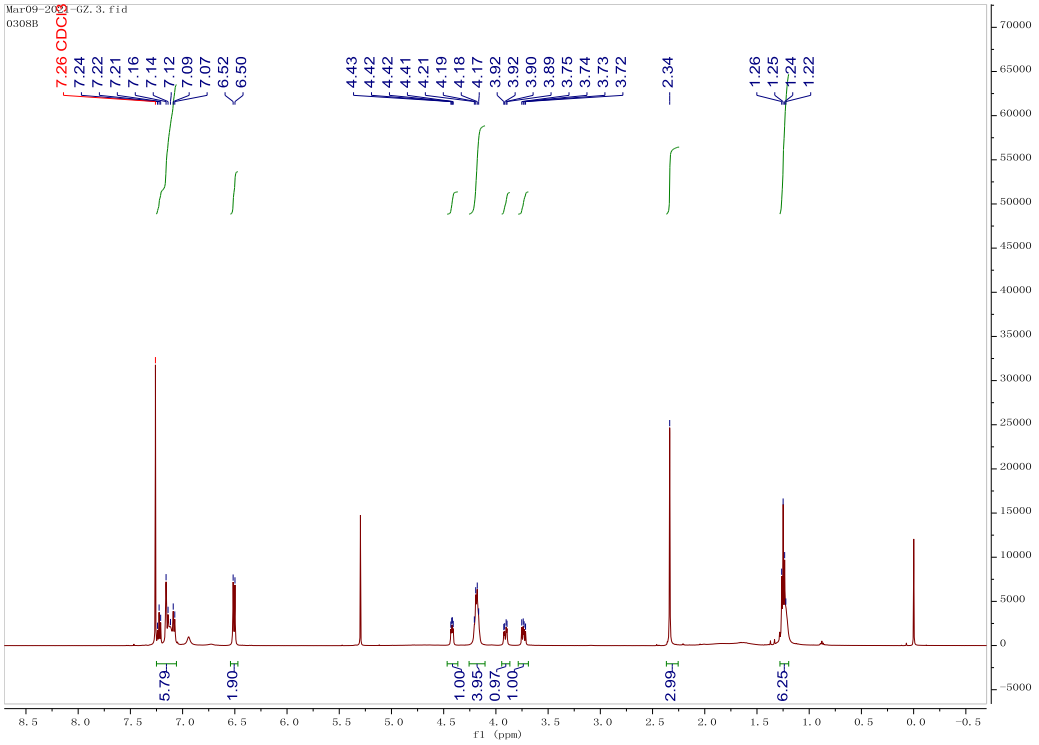


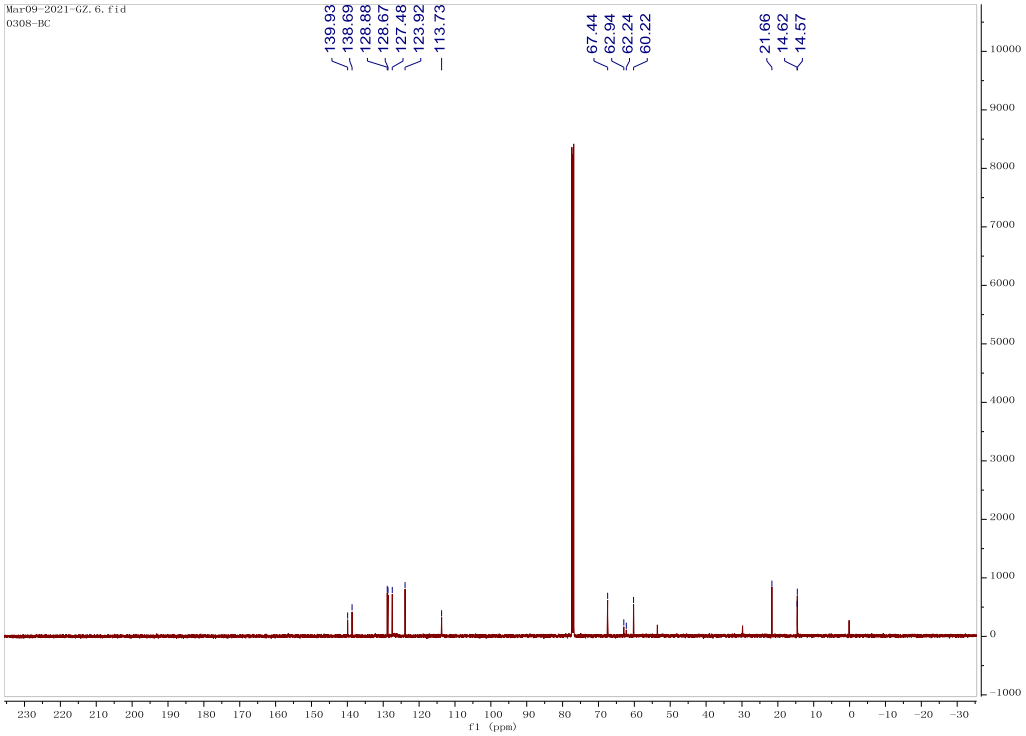




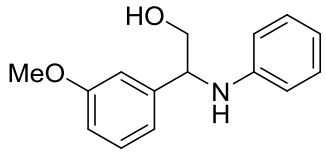
(*R*)-diethyl-1-(4-((2-hydroxy-1-(m-tolyl)ethyl)amino)phenyl)hydrazine-1,2-dicarboxylate ((*R*)-**3e**)

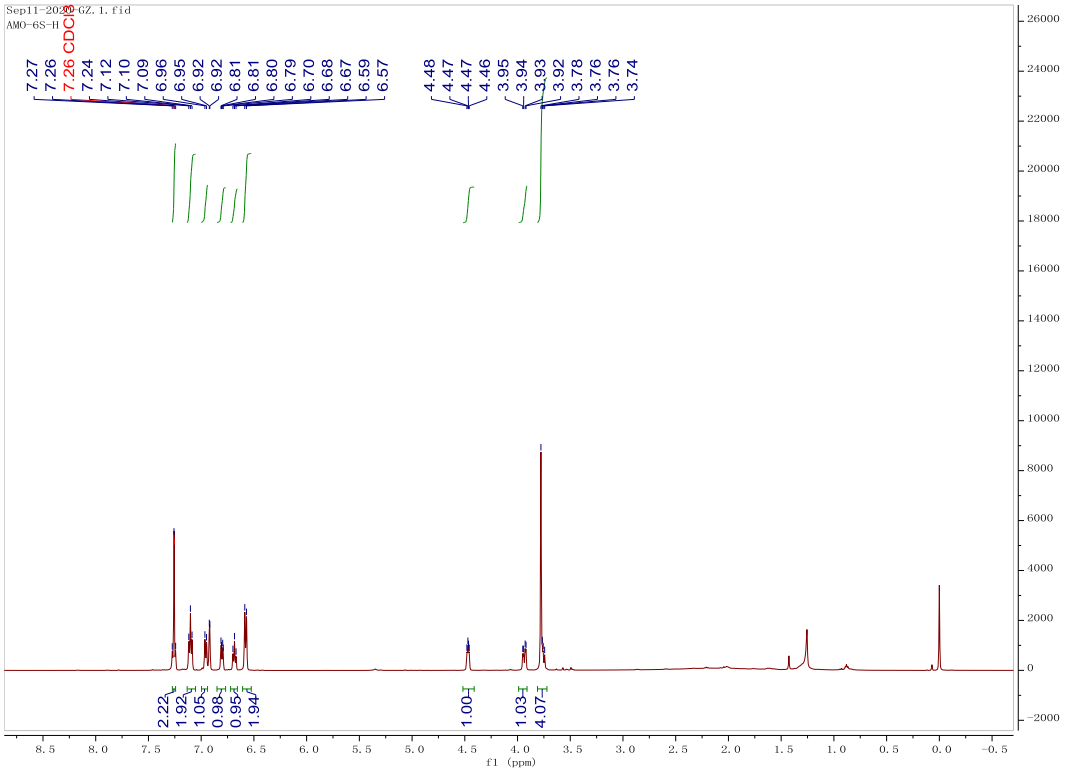


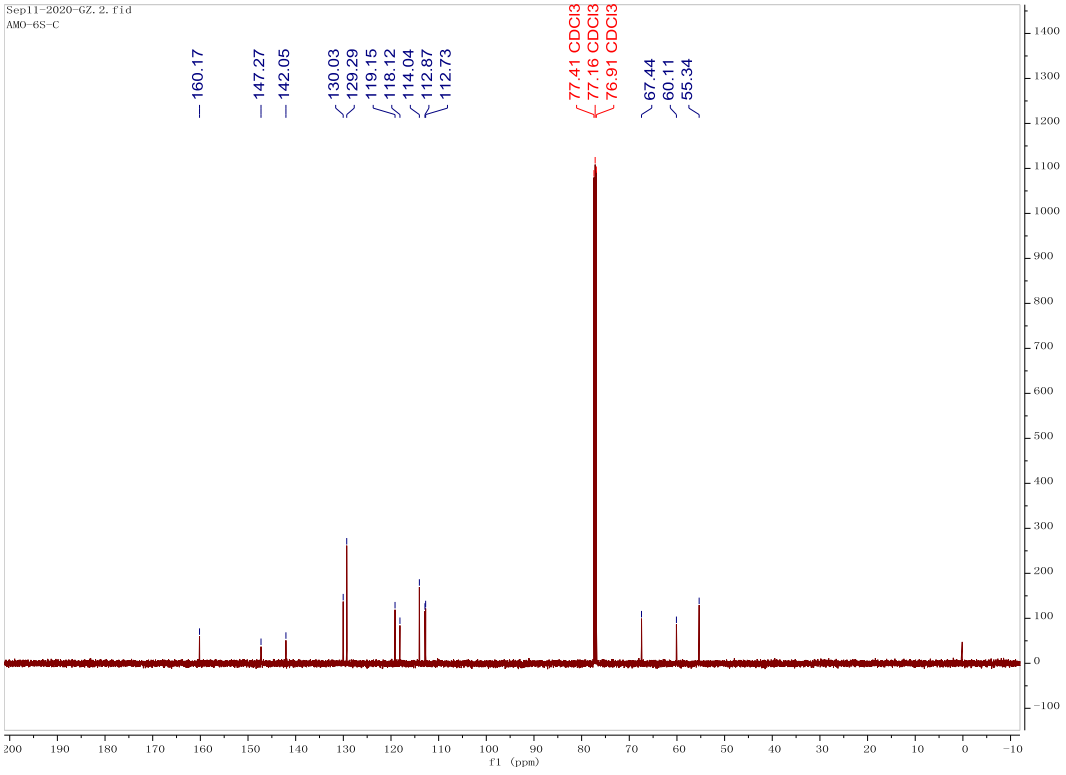




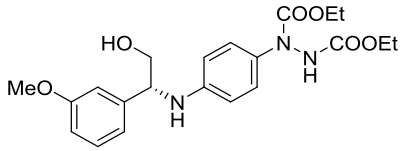
2-(3-methoxyphenyl)-2-(phenylamino)ethan-1-ol (**1f**)

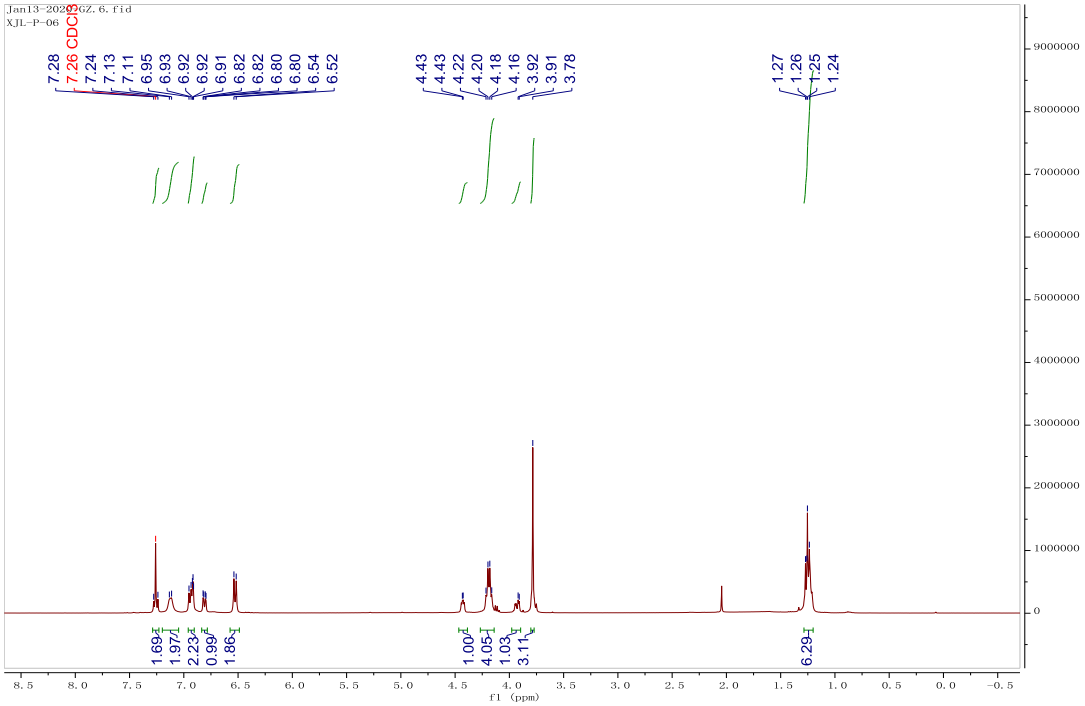


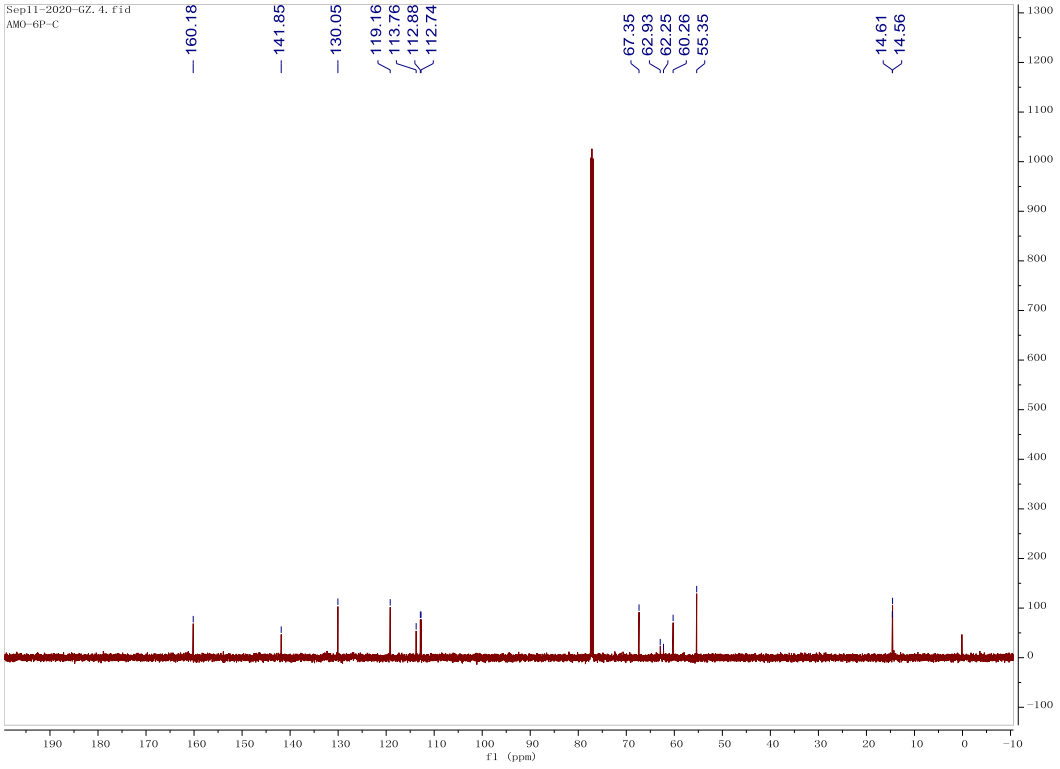




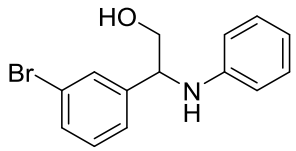
(*R*)-diethyl-1-(4-((2-hydroxy-1-(3-methoxyphenyl)ethyl)amino)phenyl)hydrazine-1,2-dicarboxylate ((*R*)-**3f**)

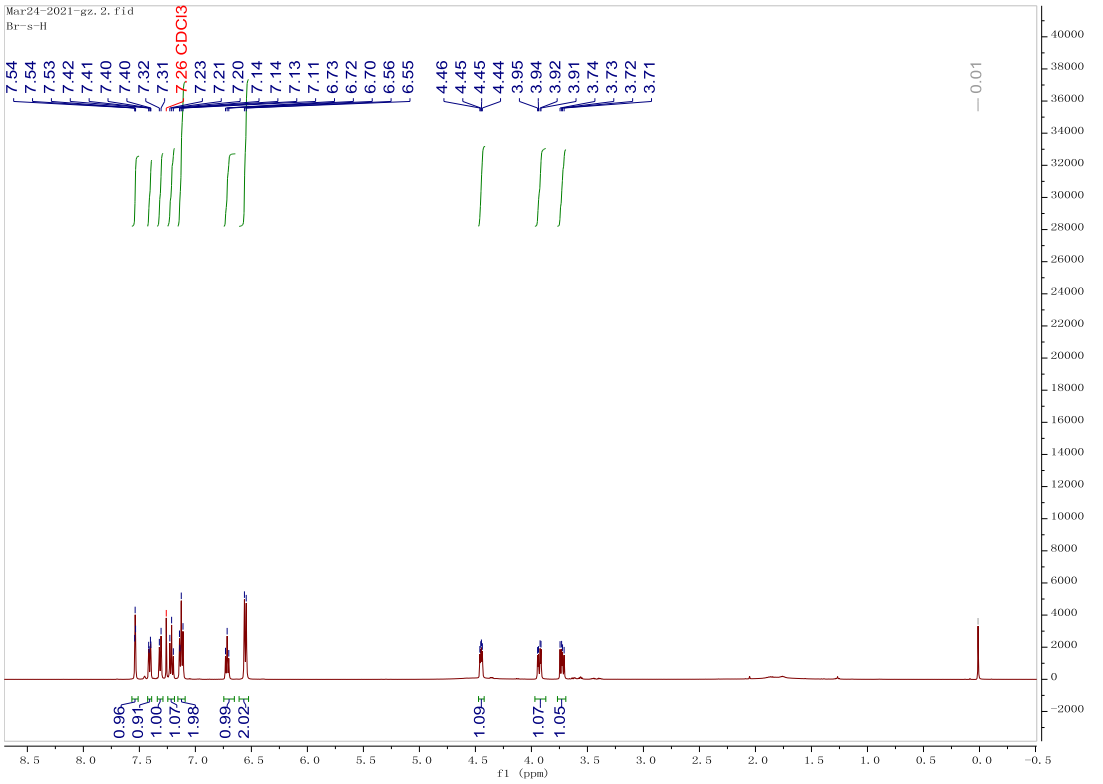


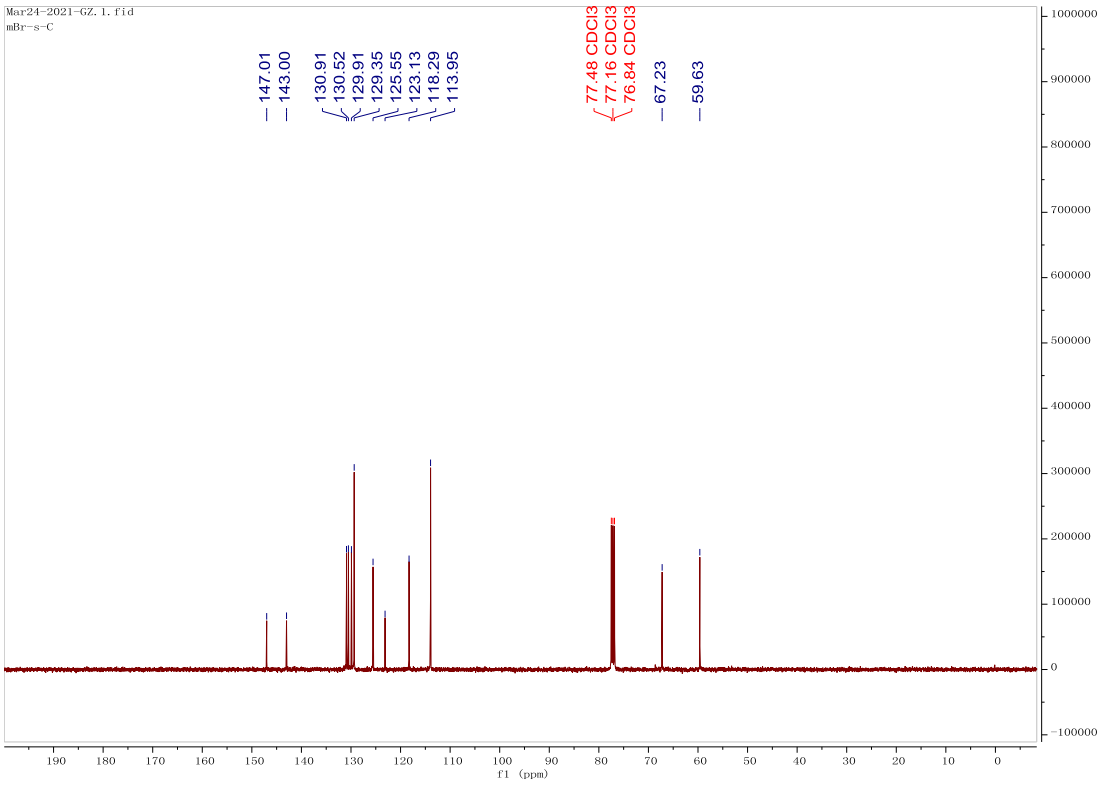




2-(3-bromophenyl)-2-(phenylamino)ethan-1-ol (**1g**)

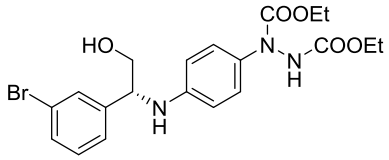


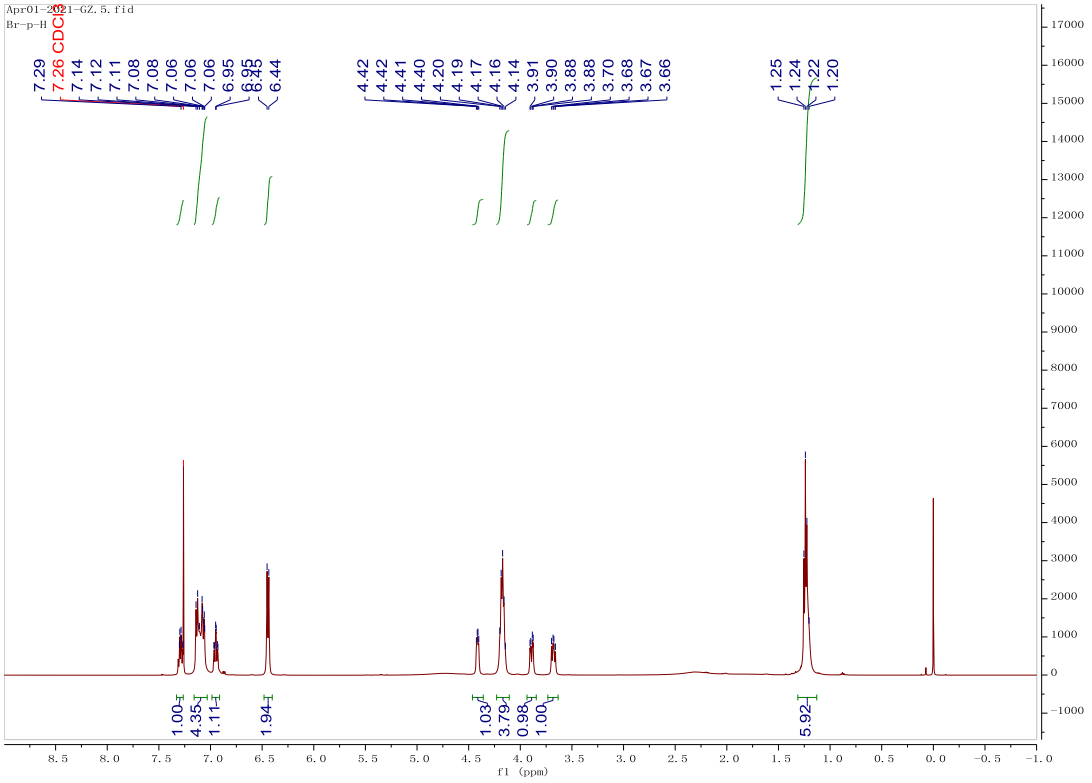


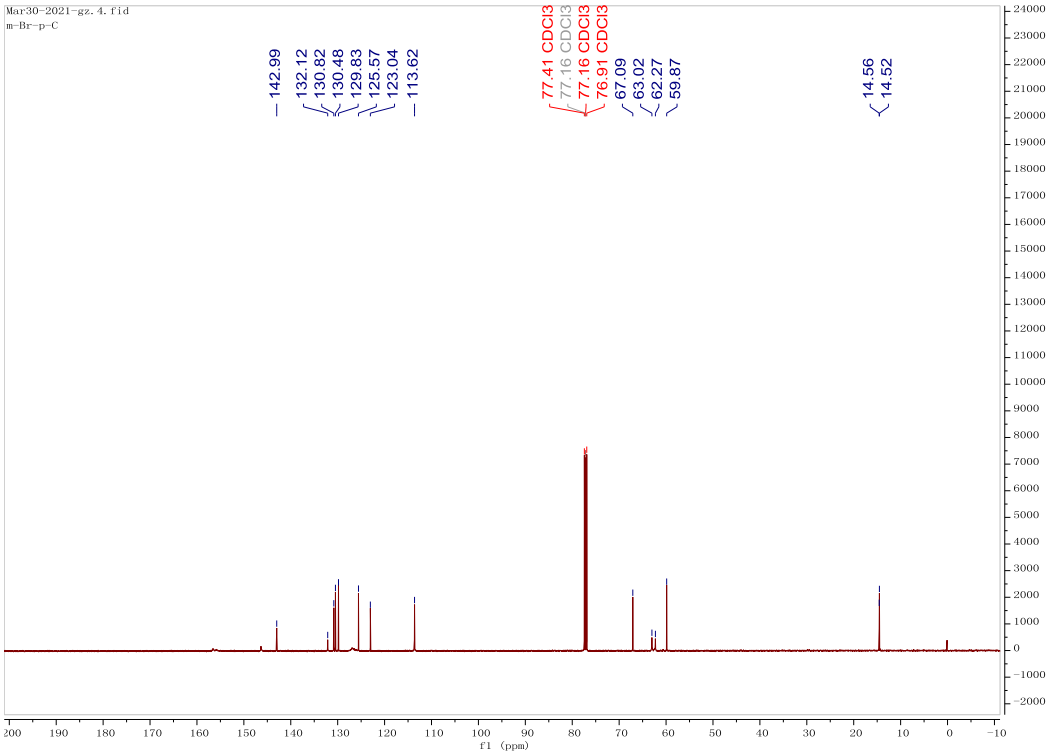


(*R*)-diethyl-1-(4-((1-(3-bromophenyl)-2-hydroxyethyl)amino)phenyl)hydrazine-1,2-dicarboxy

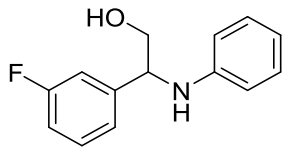
late ((*R*)-**3g**)

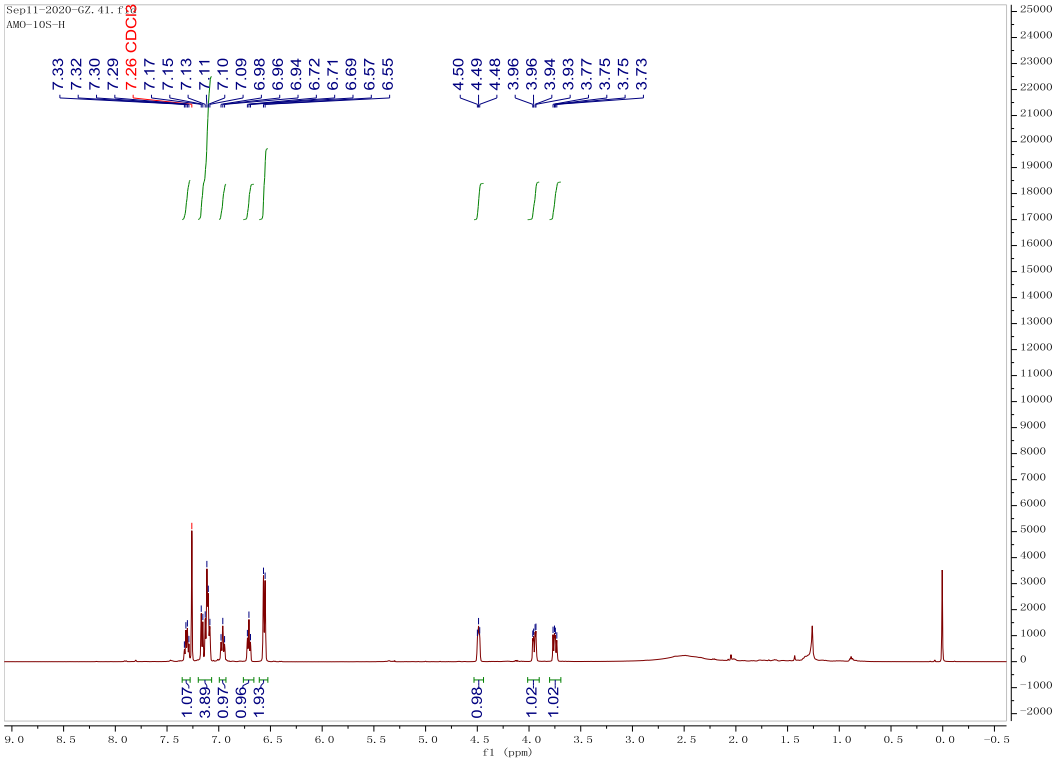


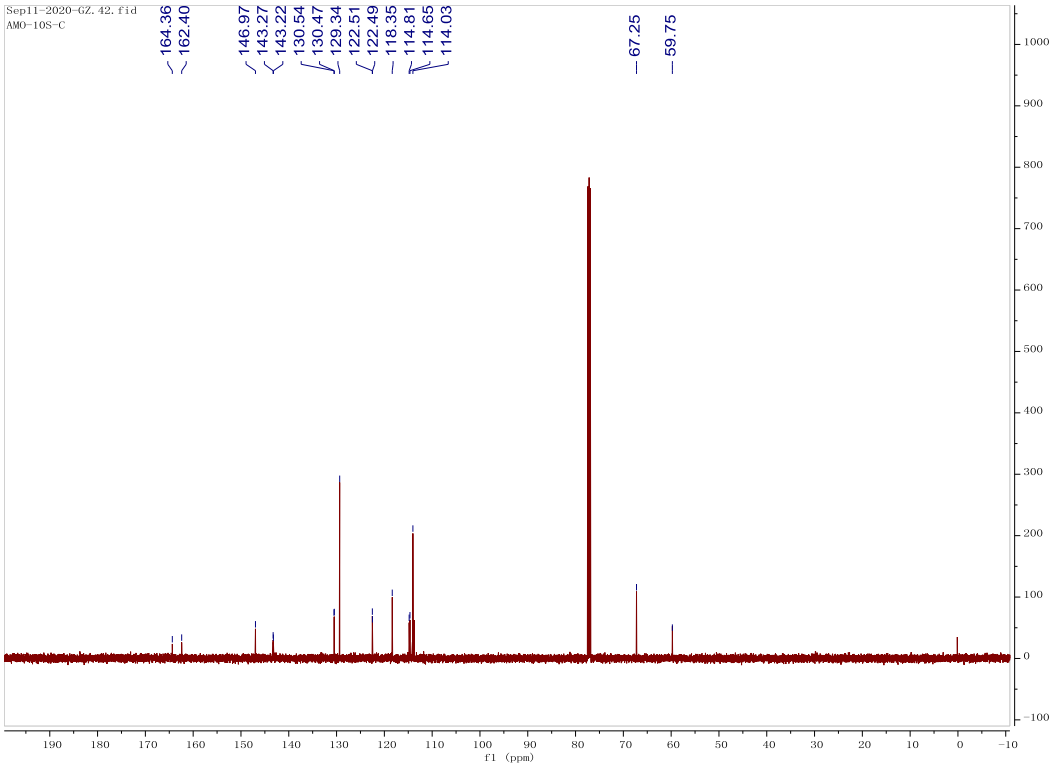


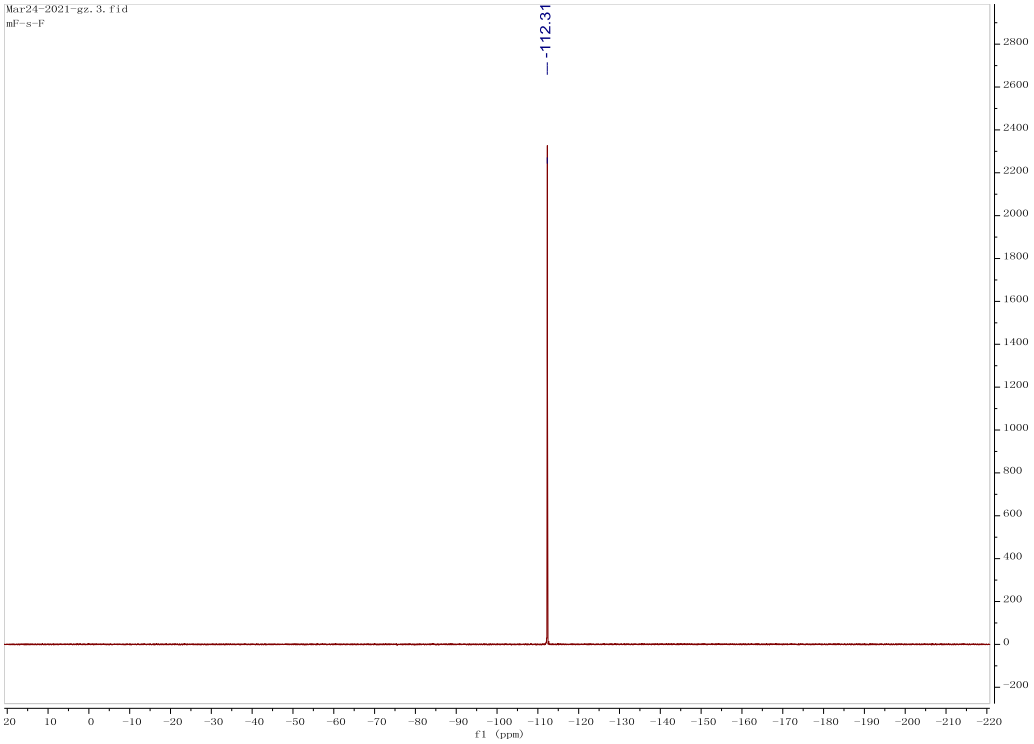


2-(3-fluorophenyl)-2-(phenylamino)ethan-1-ol(**1h**)





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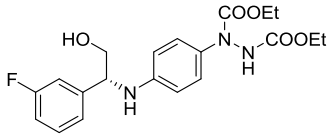
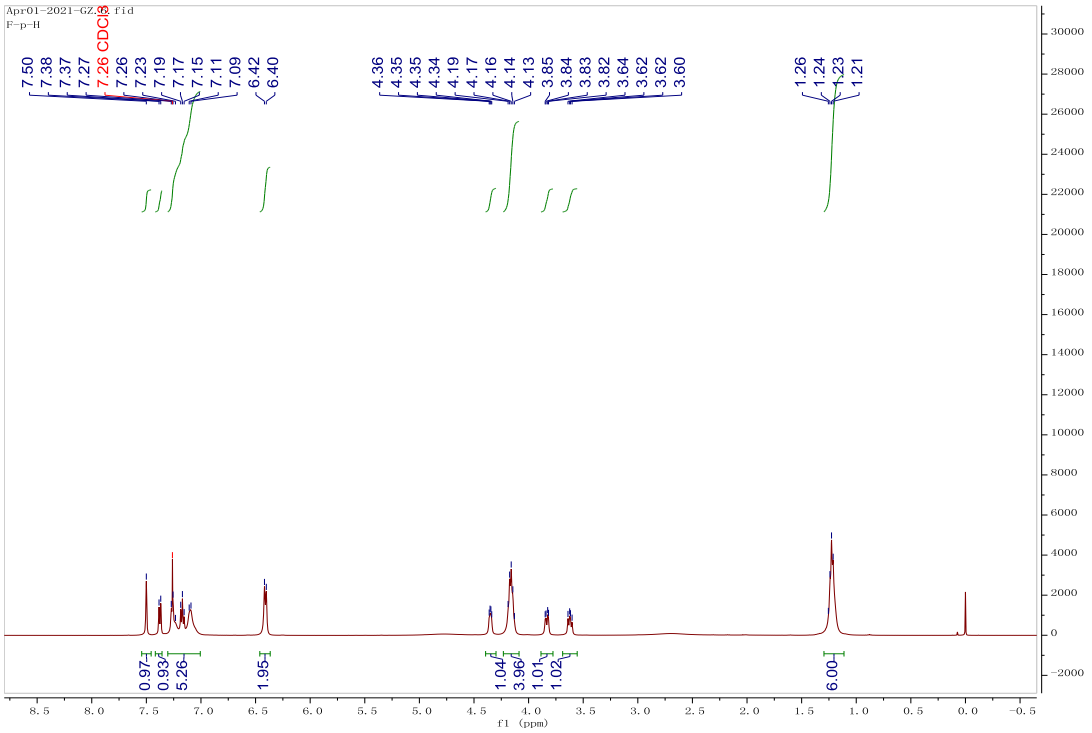
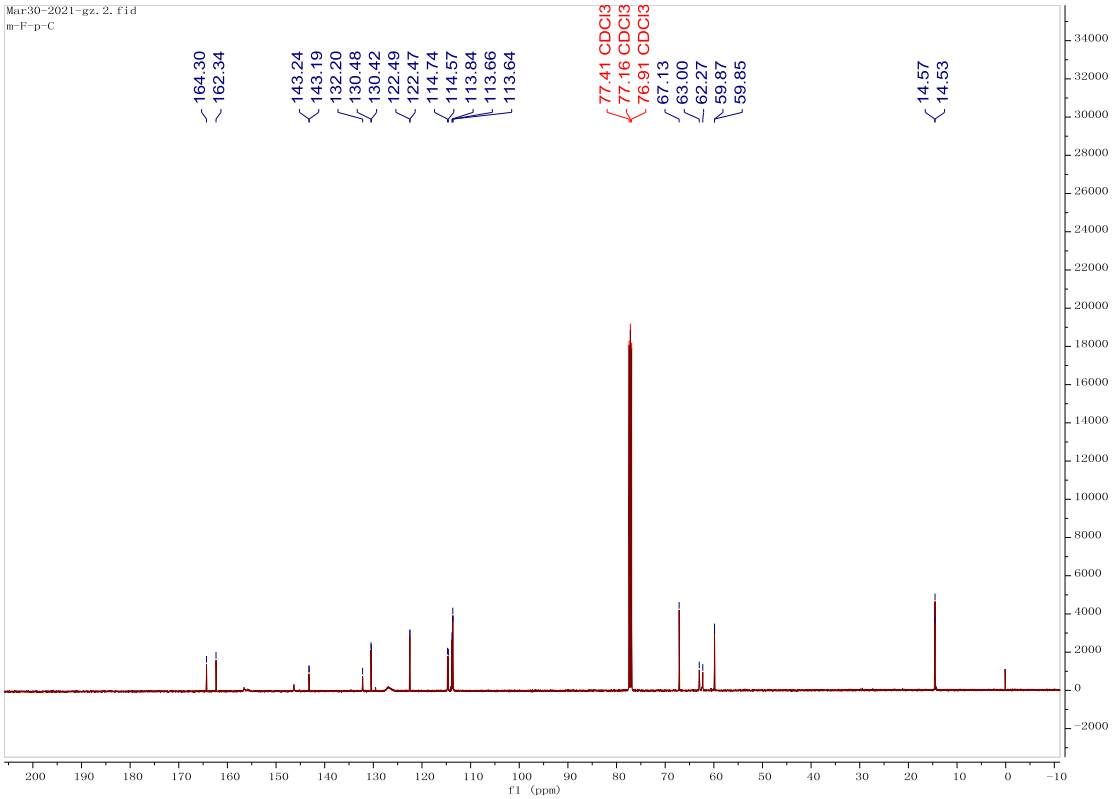
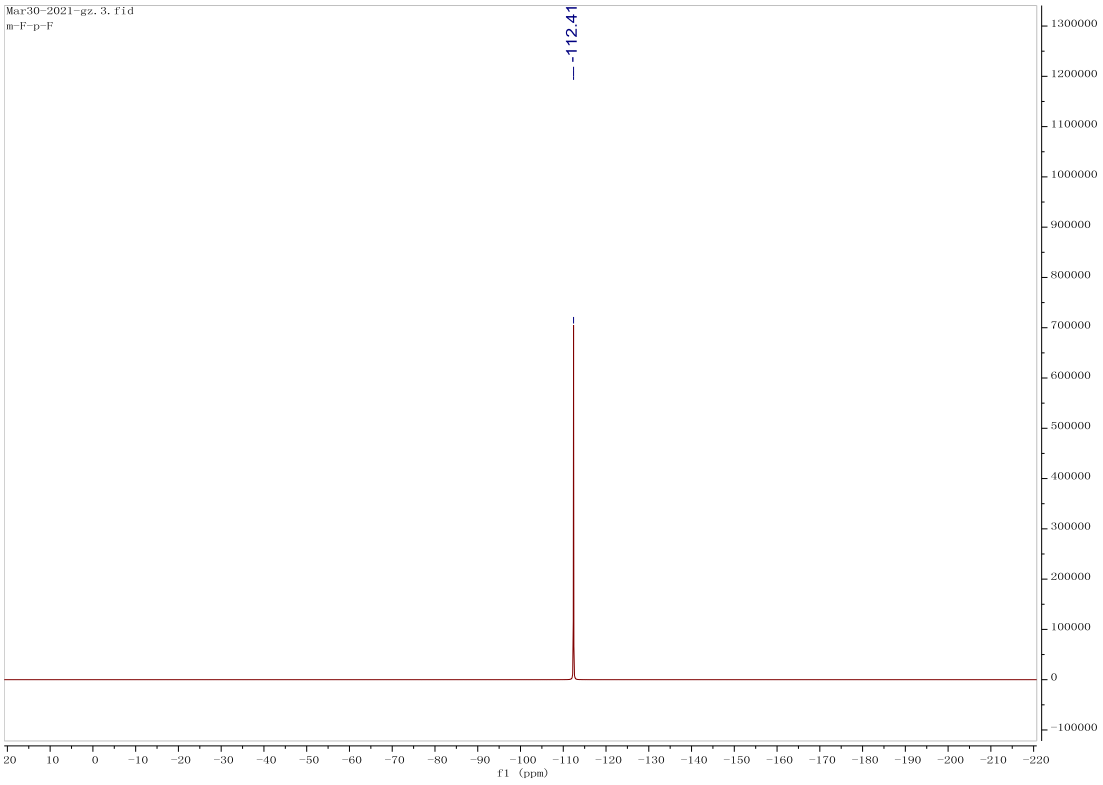
0
-200
20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210 -220
f1 (ppm)

(*R*)-diethyl-1-(4-((1-(3-fluorophenyl)-2-hydroxyethyl)amino)phenyl)hydrazine-1,2-dicarboxyl ate ((*R*)-**3h**)





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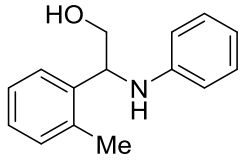
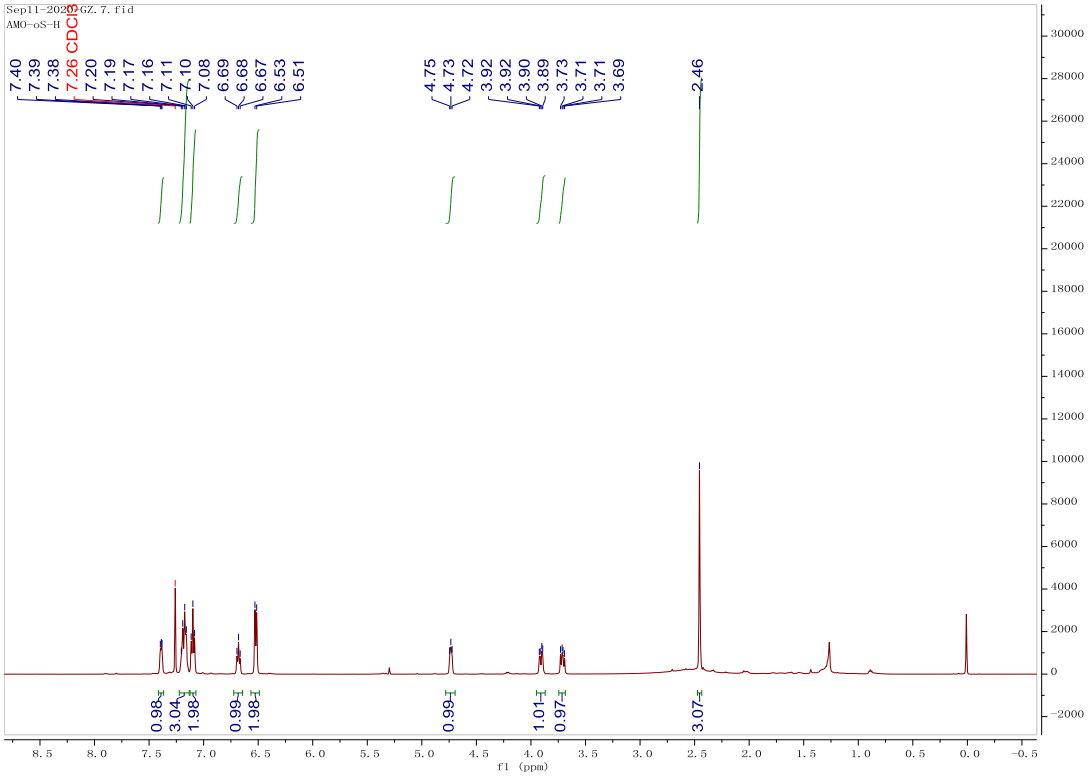
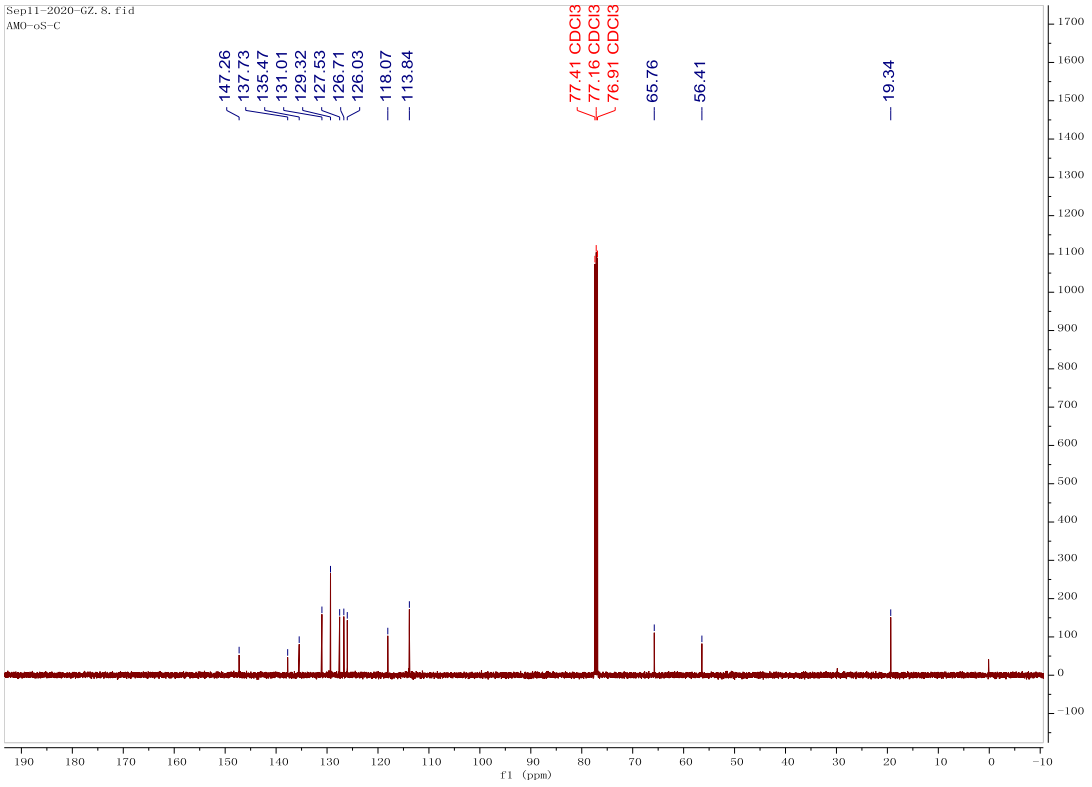
200000
100000
0
-100000
20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210 -220
f1 (ppm)

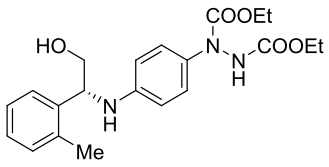
2-(phenylamino)-2-(o-tolyl)ethan-1-ol (**1i**)

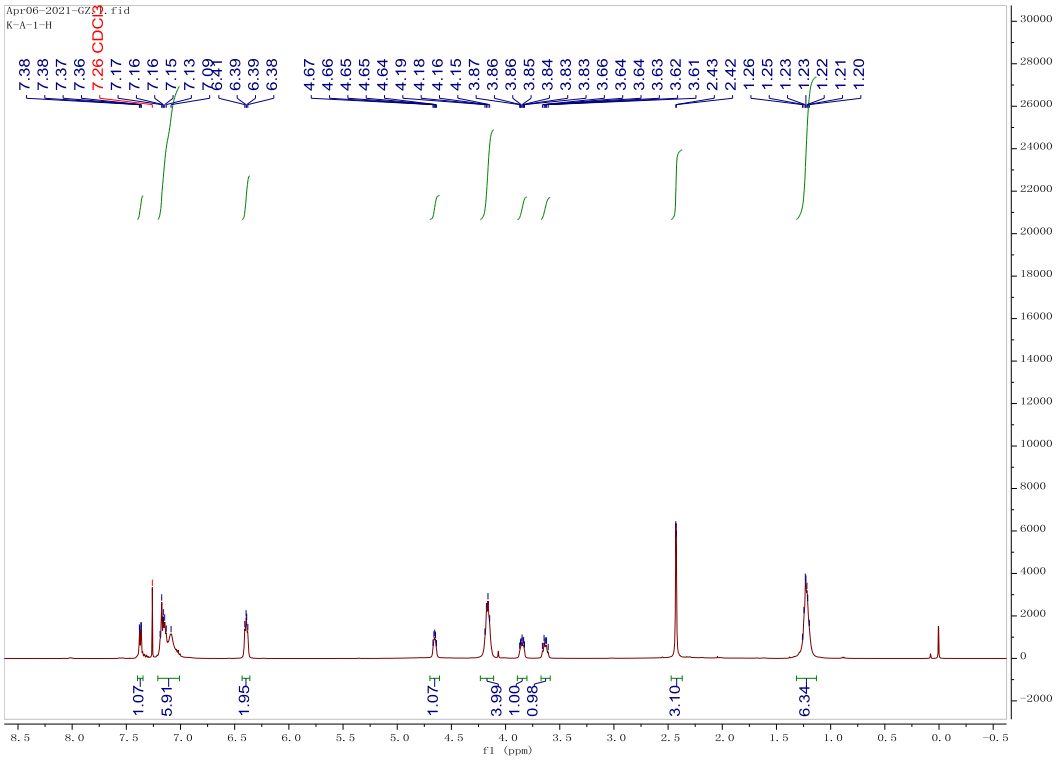


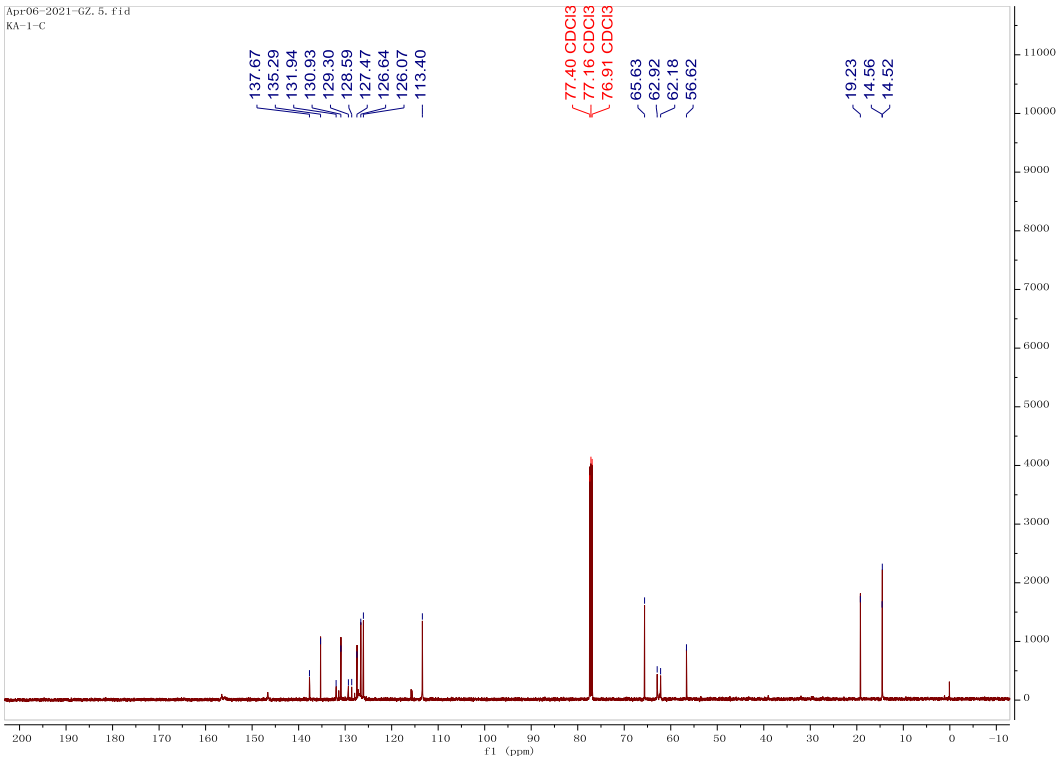




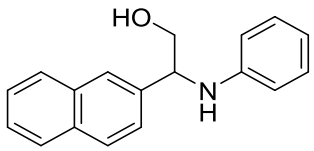
(*R*)-diethyl-1-(4-((2-hydroxy-1-(o-tolyl)ethyl)amino)phenyl)hydrazine-1,2-dicarboxylate ((*R*)-**3i**)

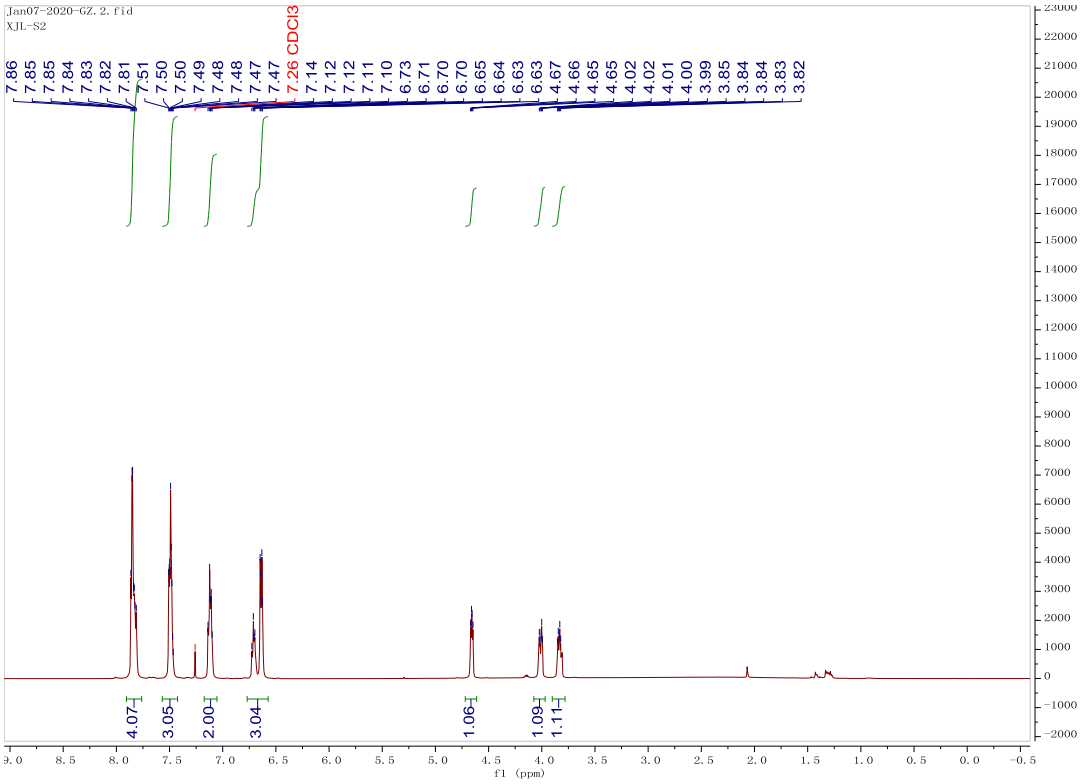


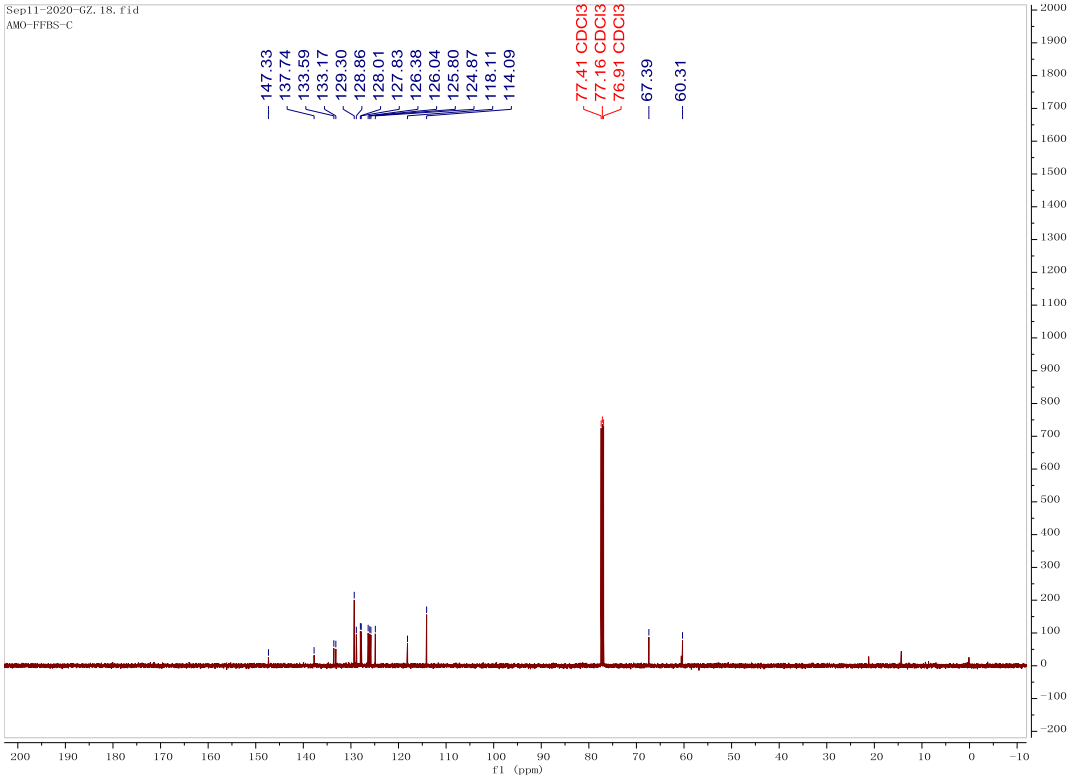




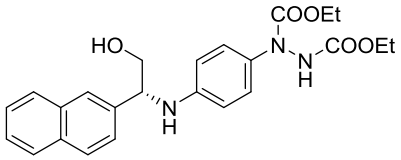
2-(naphthalen-2-yl)-2-(phenylamino)ethan-1-ol (**1j**)

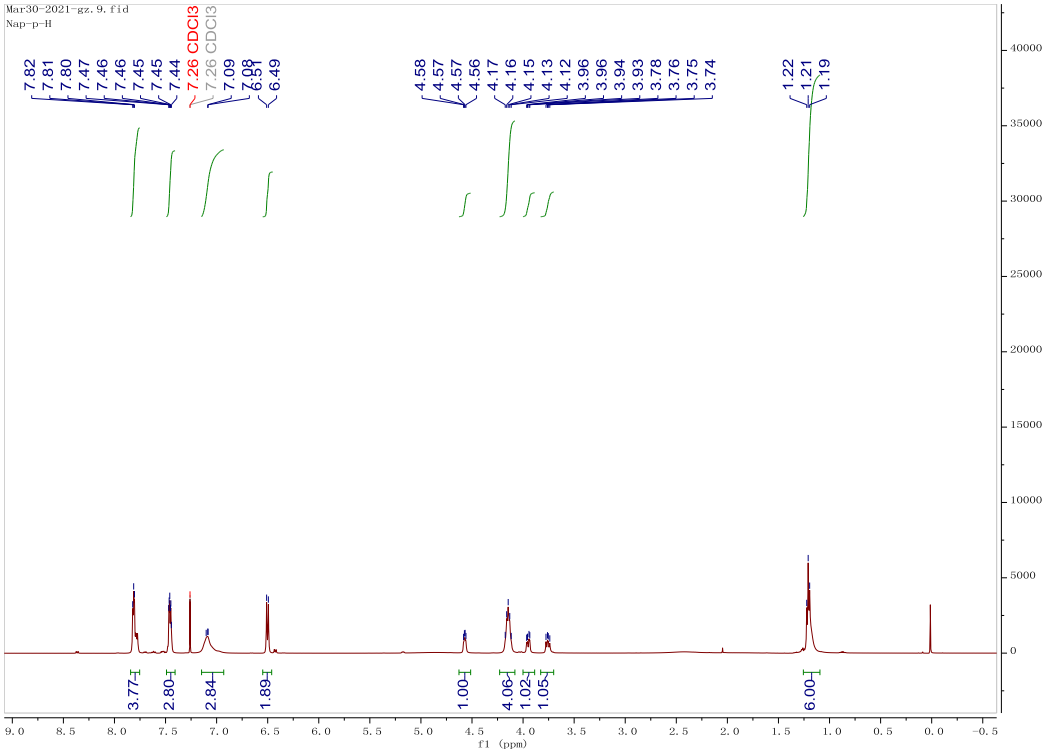


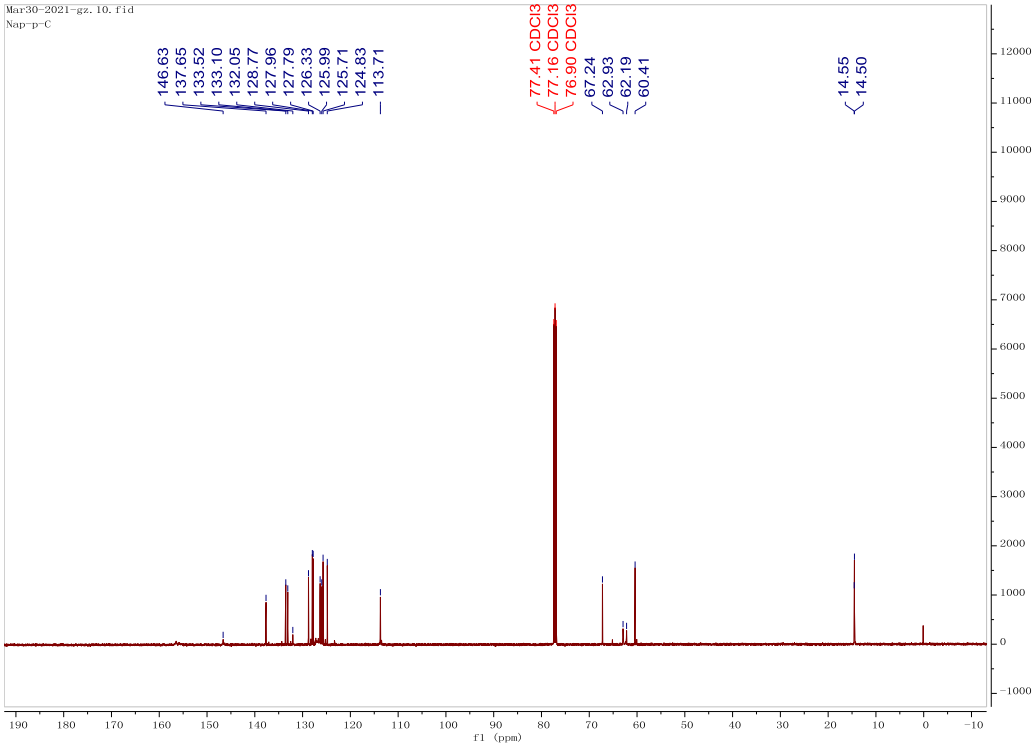




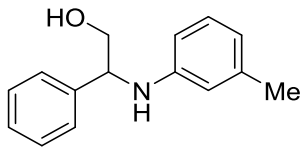
(*R*)-diethyl-1-(4-((2-hydroxy-1-(naphthalen-2-yl)ethyl)amino)phenyl)hydrazine-1,2-dicarboxylate ((*R*)-**3j**)

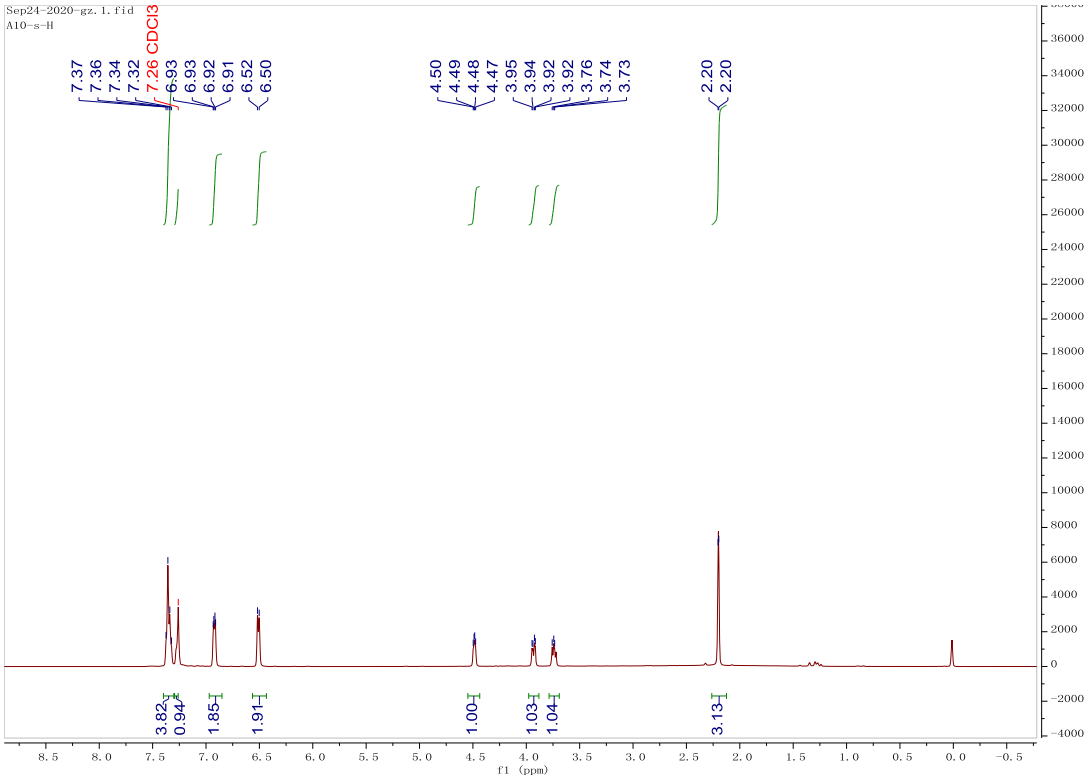


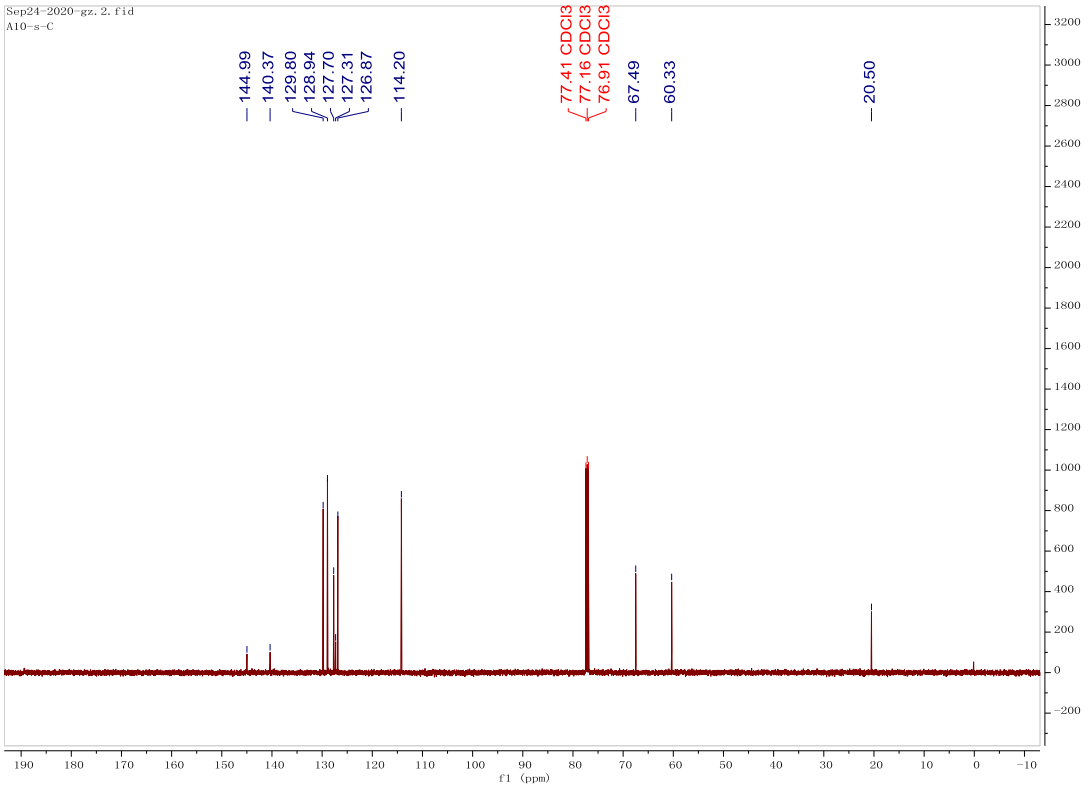




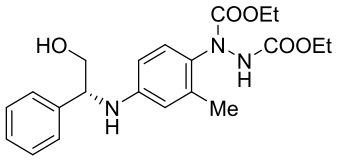
2-phenyl-2-(m-tolylamino)ethan-1-ol (**1k**)

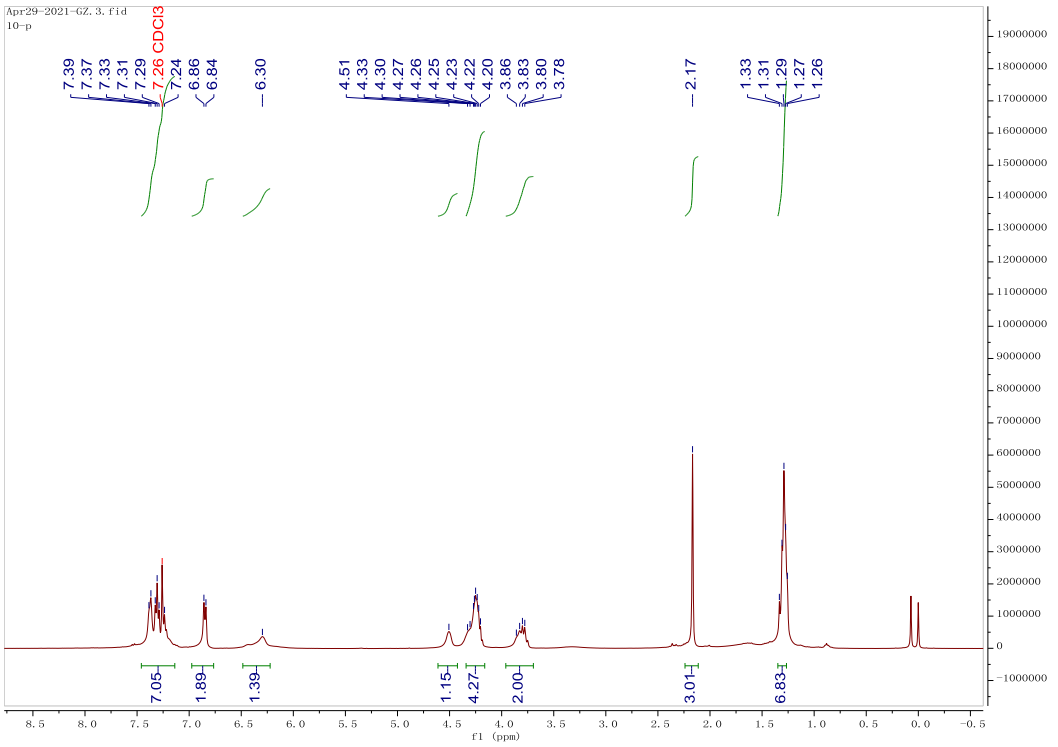


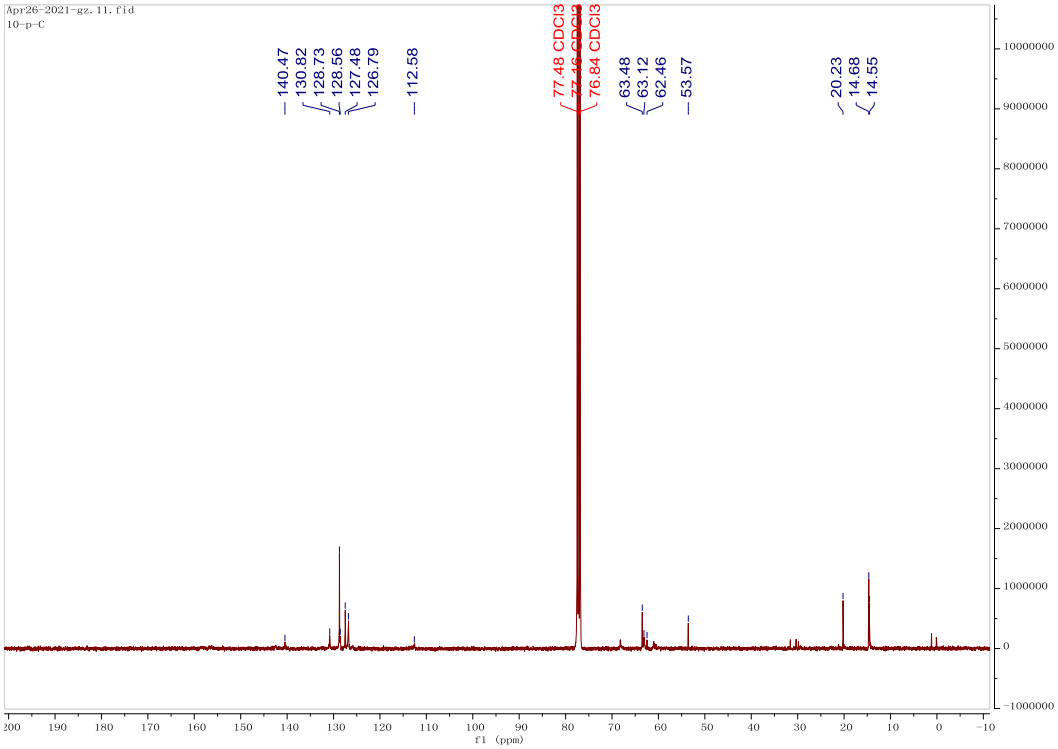




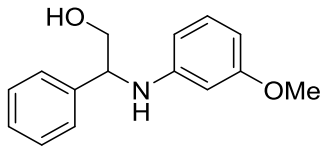
(*R*)-diethyl-1-(4-((2-hydroxy-1-phenylethyl)amino)-2-methylphenyl)hydrazine-1,2-dicarboxyl ate ((*R*)-**3k**)

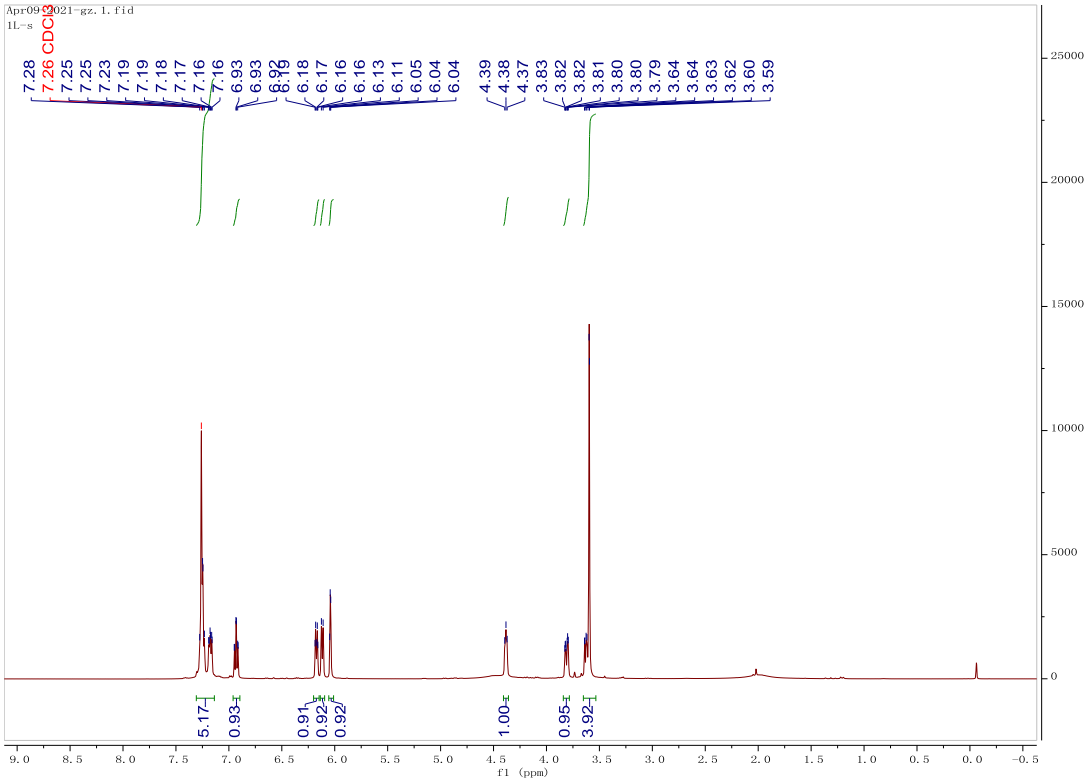


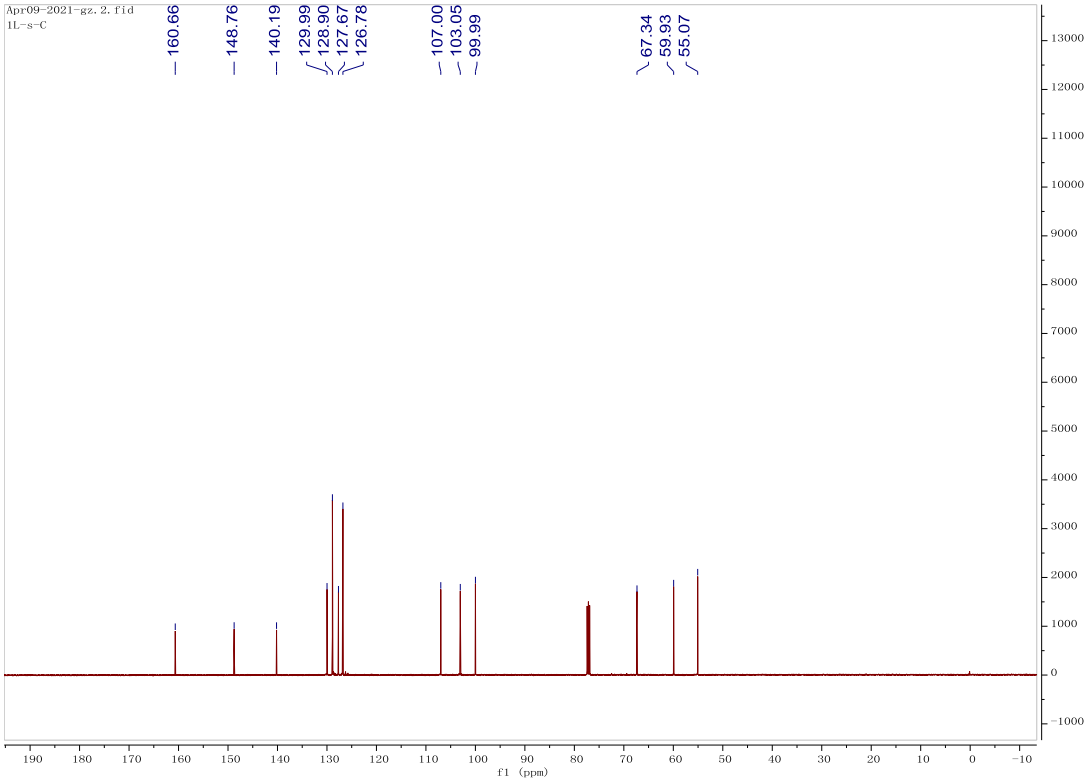




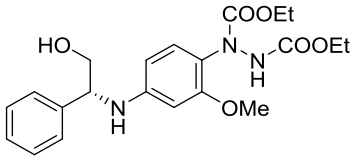
2-((3-methoxyphenyl)amino)-2-phenylethan-1-ol **(1l)**

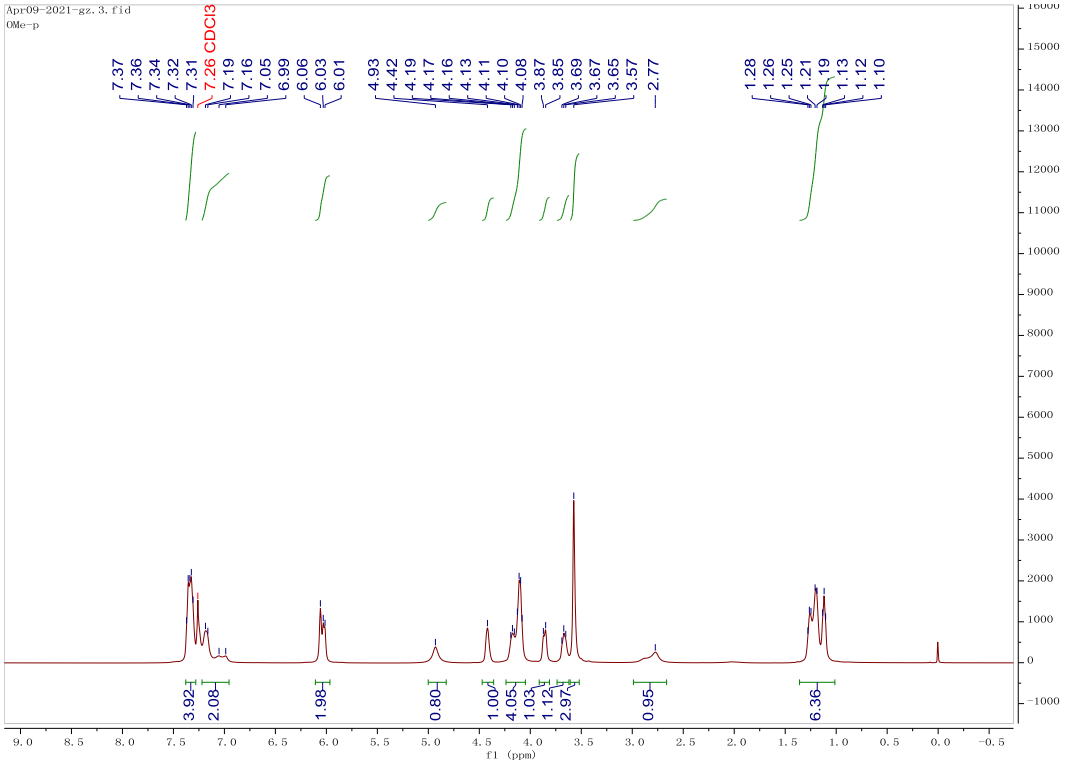


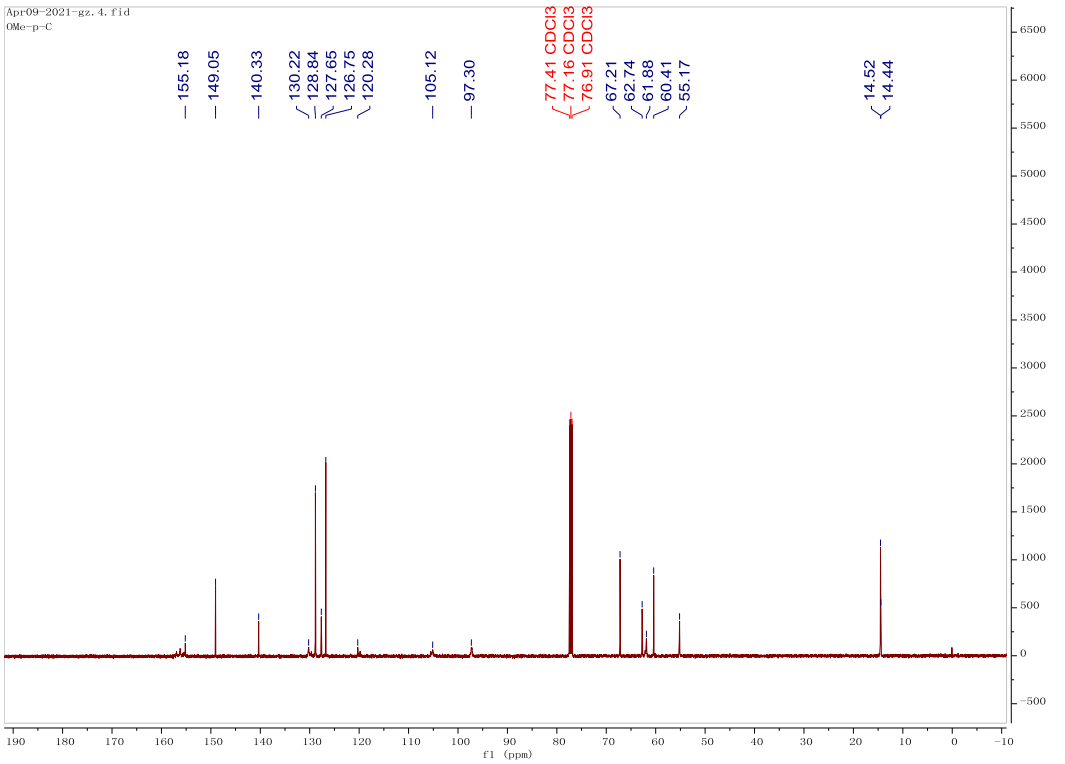




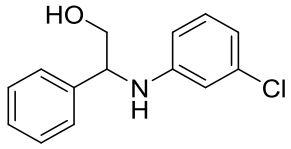
(*R*)-diethyl-1-(4-((2-hydroxy-1-phenylethyl)amino)-2-methoxyphenyl)hydrazine-1,2-dicarboxylate ((*R*)-**3l**)

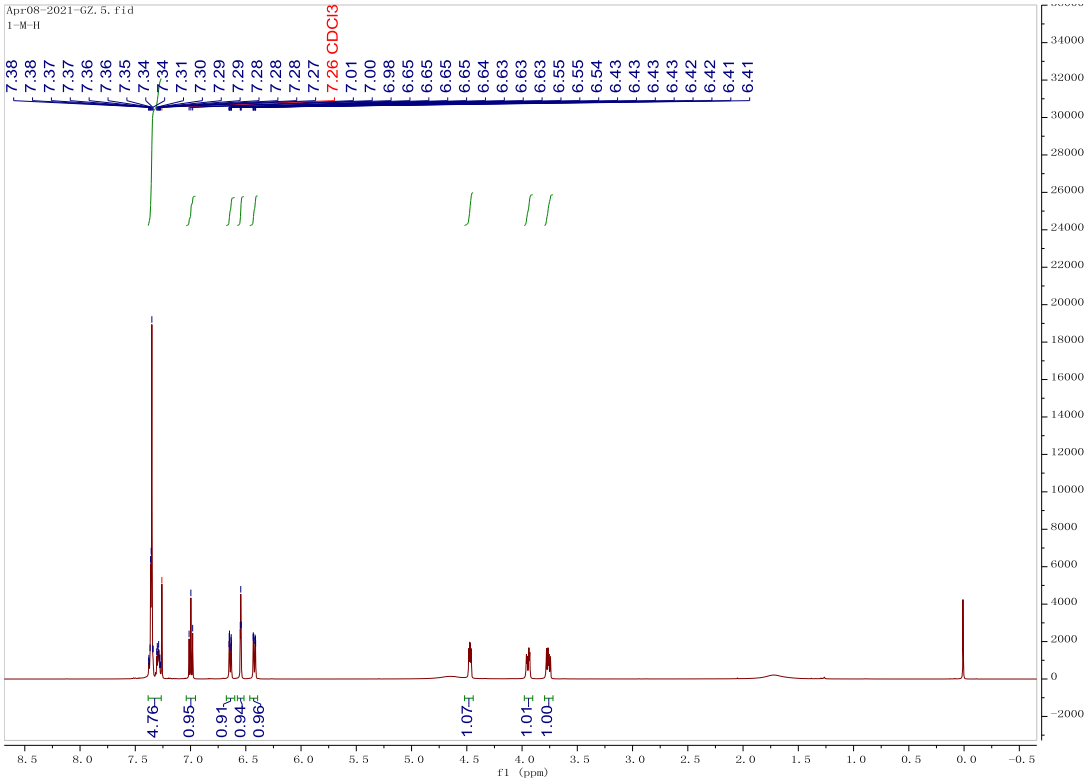


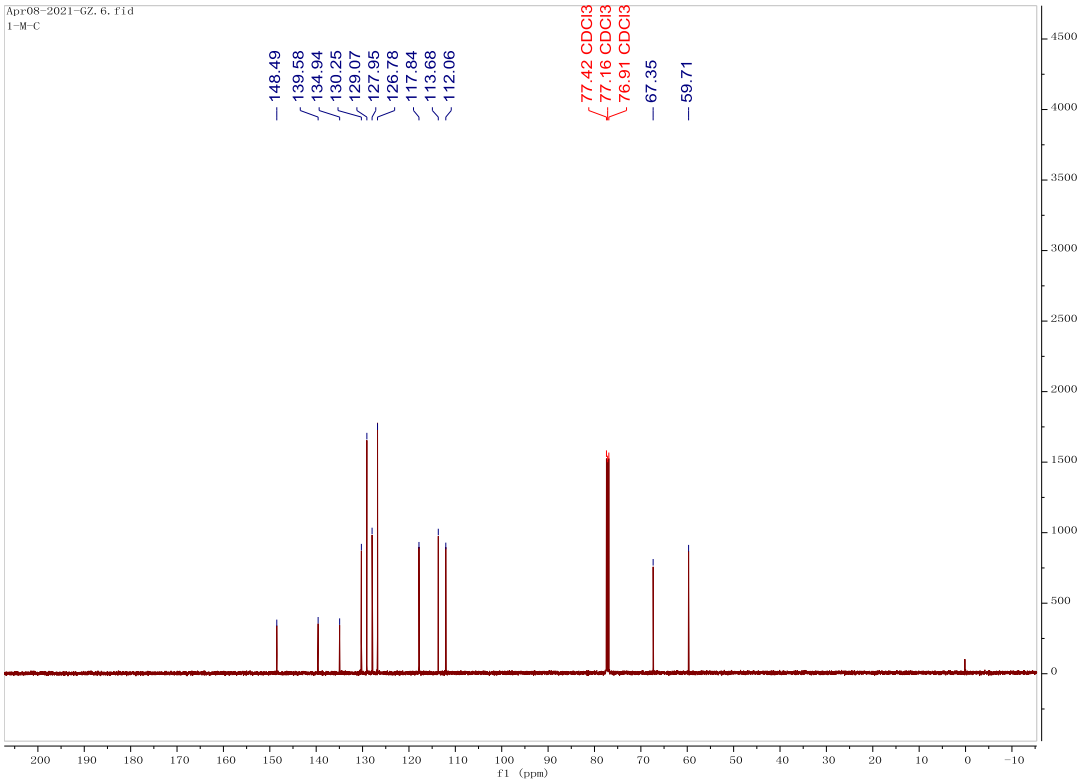




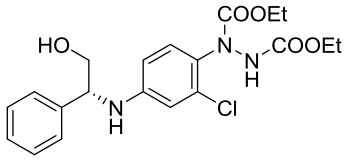
2-((3-chlorophenyl)amino)-2-phenylethan-1-ol (**1m**)

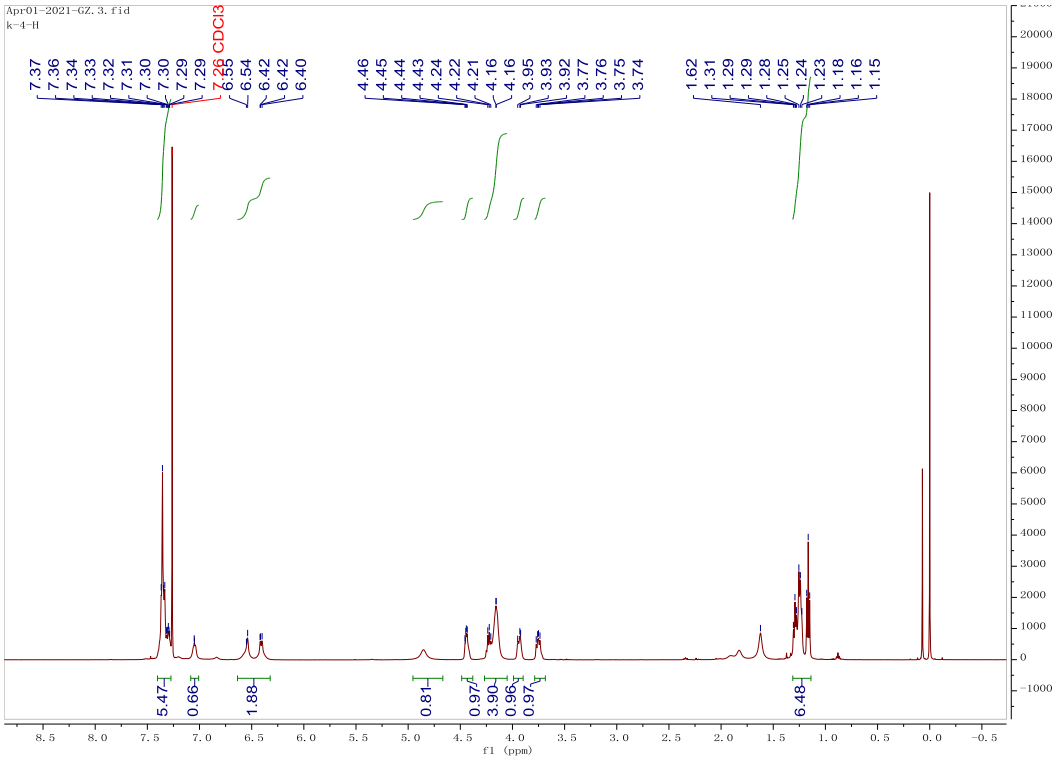


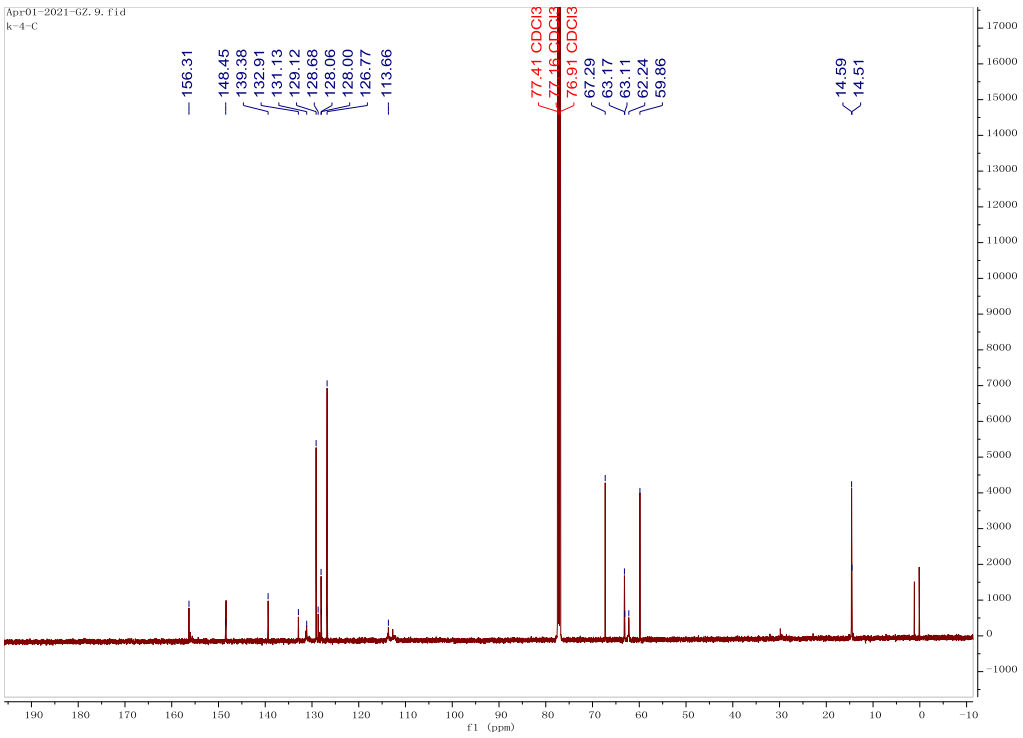




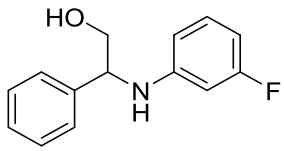
(*R*)-diethyl-1-(2-chloro-4-((2-hydroxy-1-phenylethyl)amino)phenyl)hydrazine-1,2-dicarboxyl ate ((*R*)-**3m**)

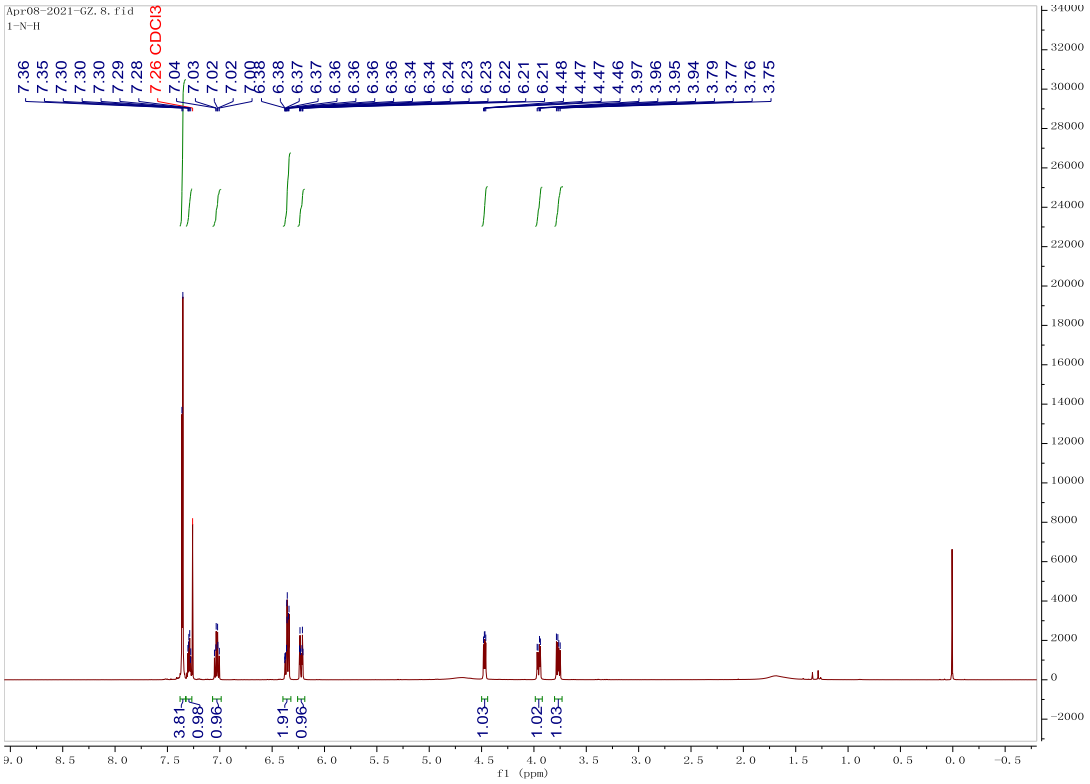


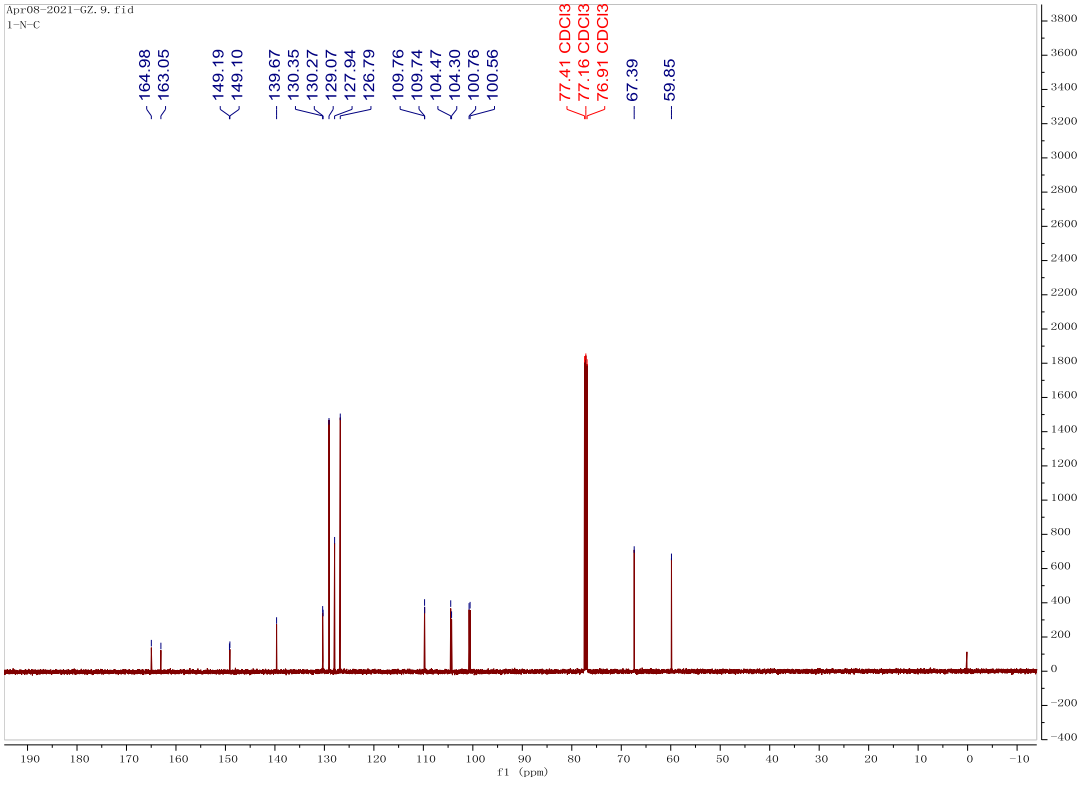


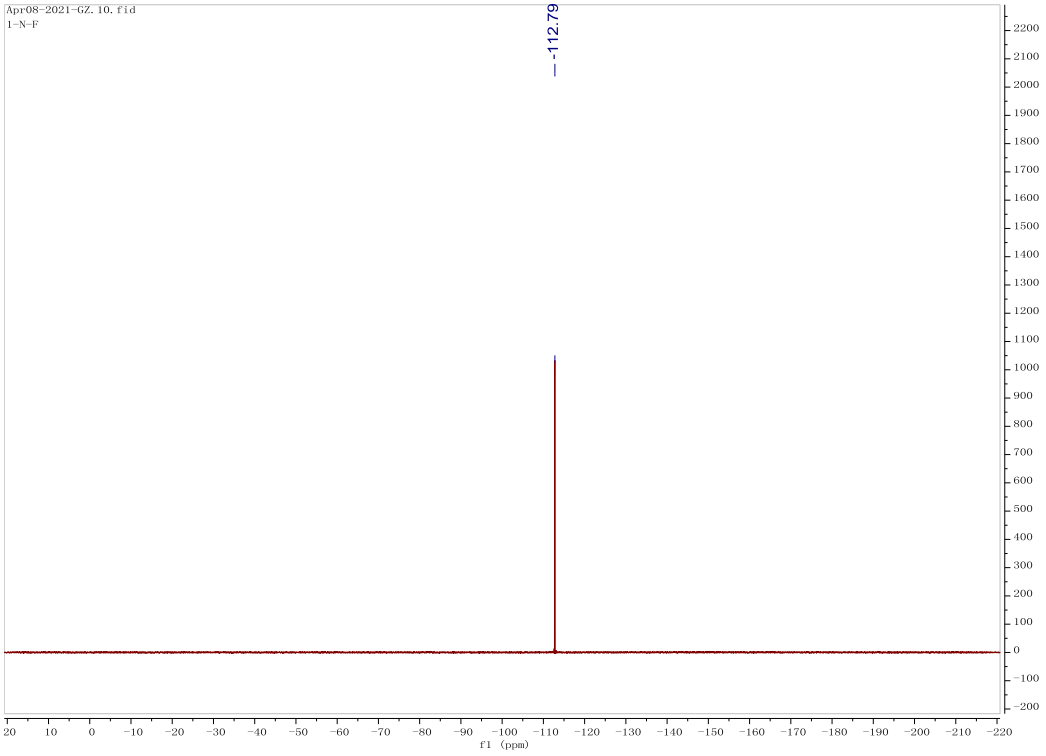


2-((3-fluorophenyl)amino)-2-phenylethan-1-ol (**1n**)

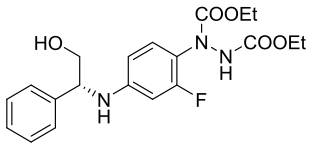


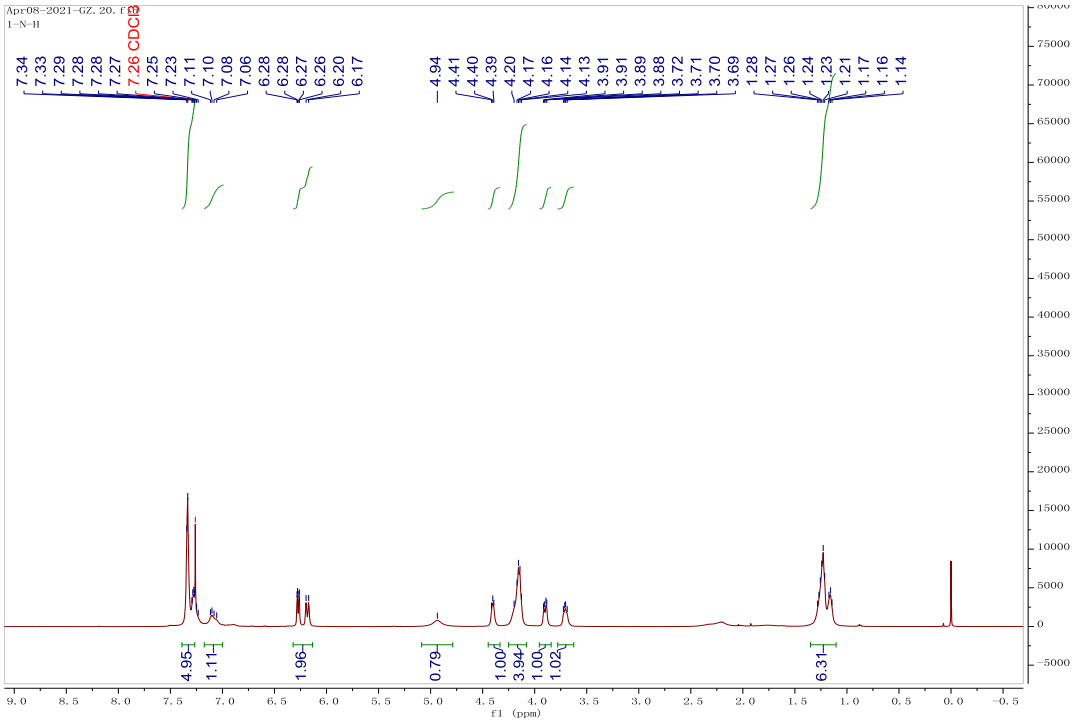


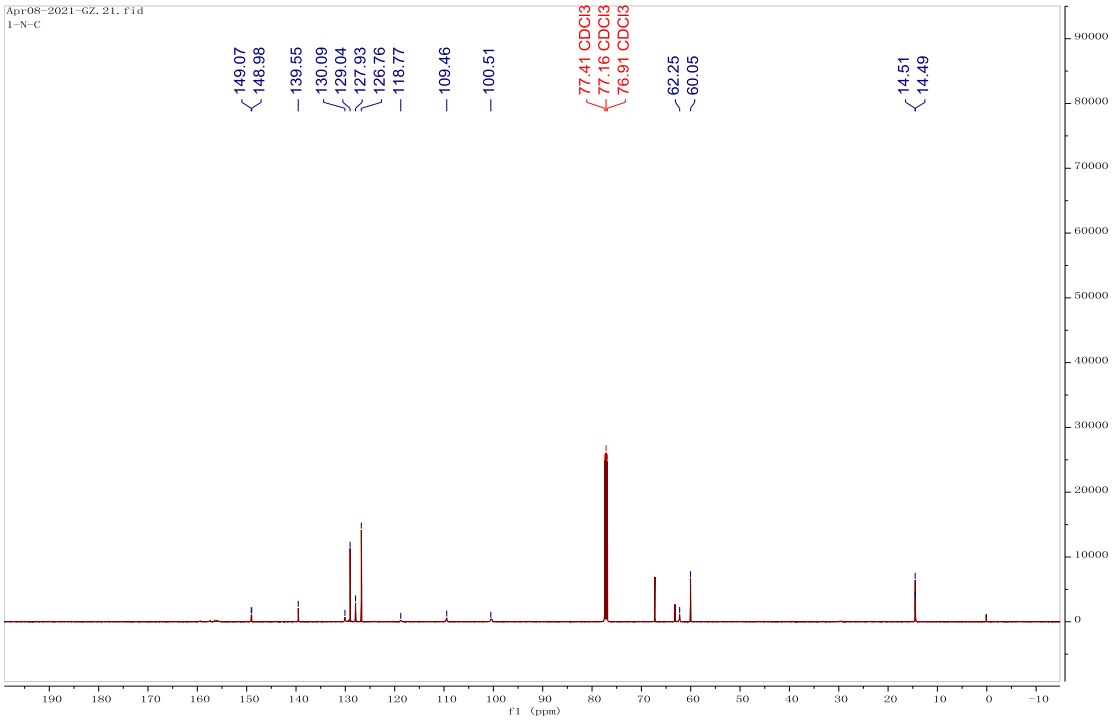


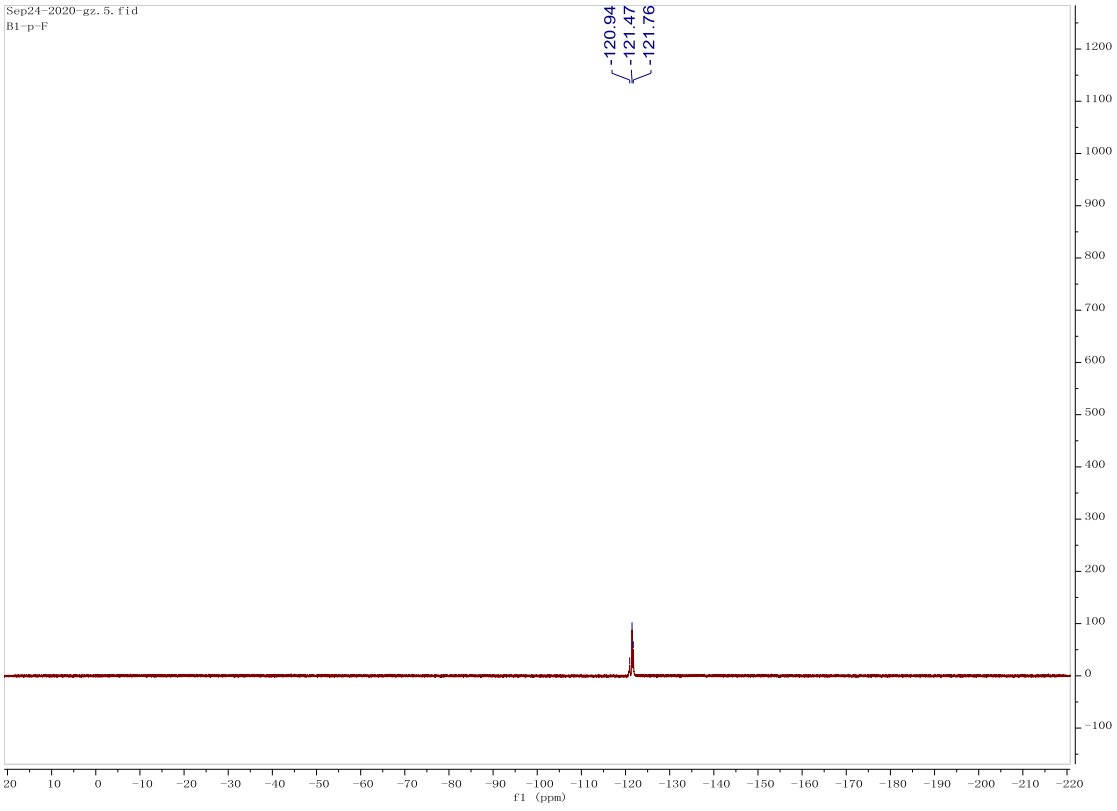

Apr08-2021-GZ. 10. fid
1-N-F
-112.79
f1 (ppm)

(*R*)-diethyl-1-(2-fluoro-4-((2-hydroxy-1-phenylethyl)amino)phenyl)hydrazine-1,2-dicarboxylate ((*R*)-**3n**)

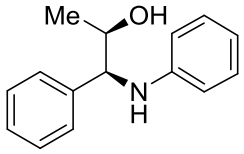


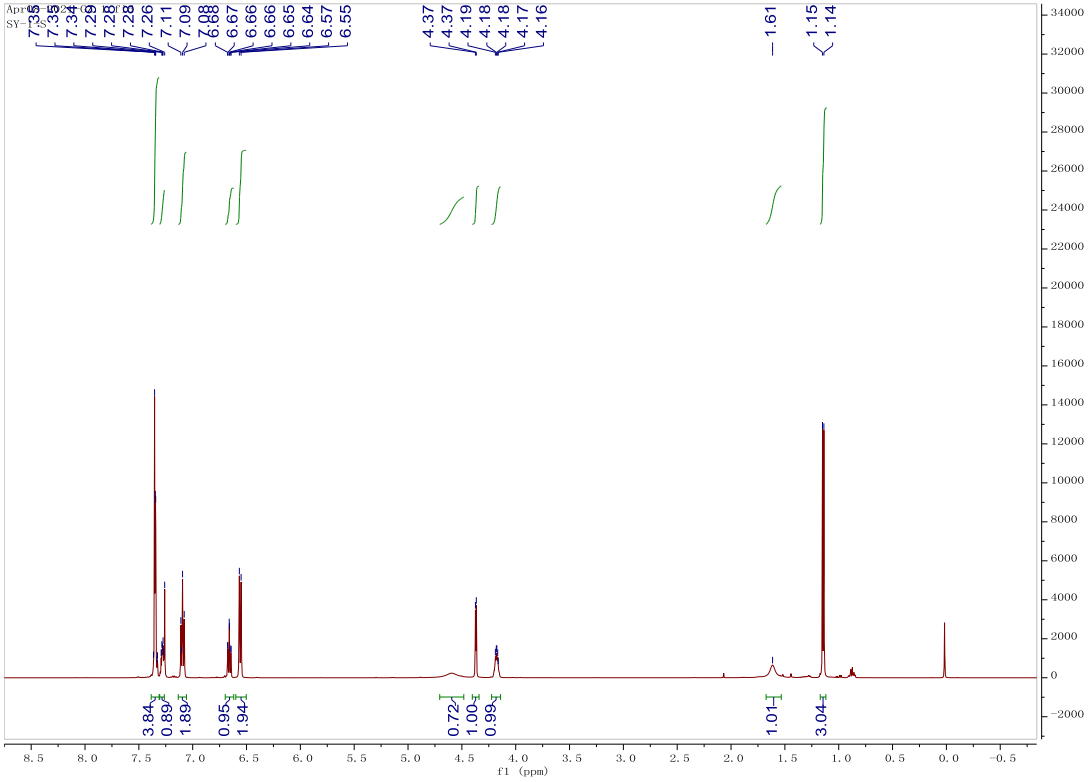


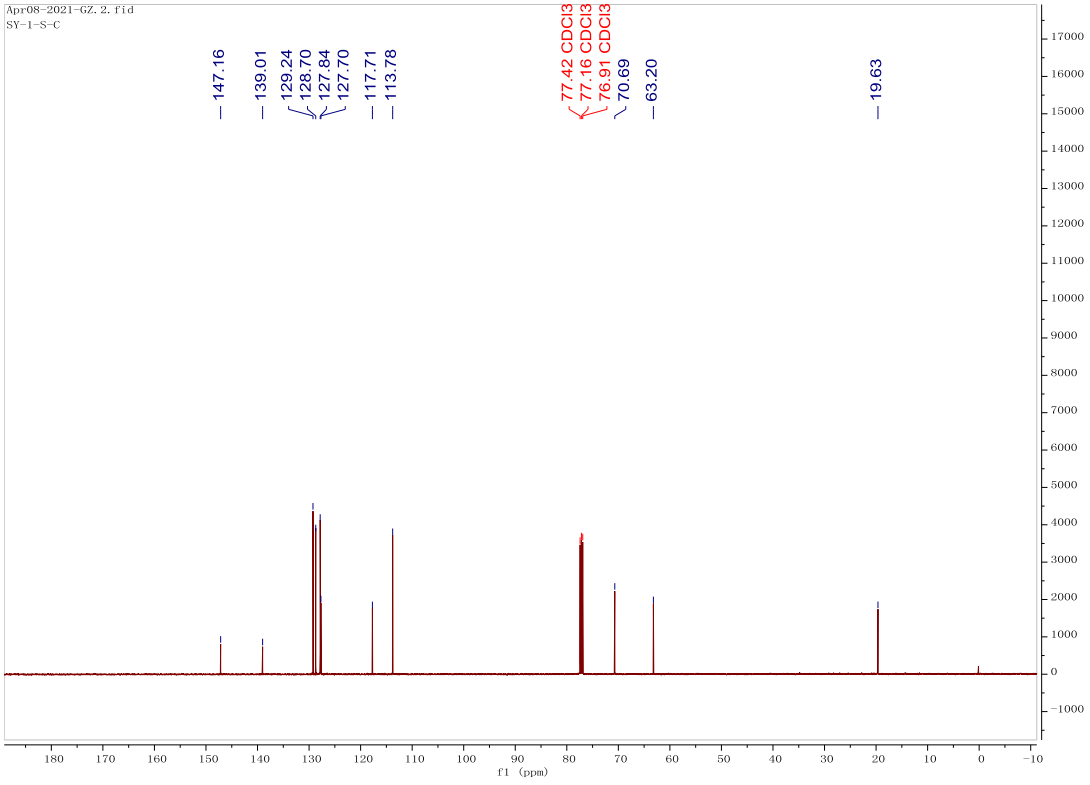



Sep24-2020-gz.5.fid
B1-p-F
-120.94
-121.47
-121.76
f1 (ppm)

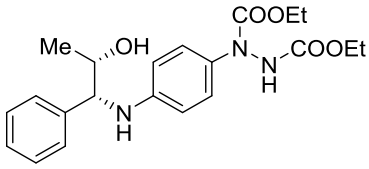
(1S,2R)-1-phenyl-1-(phenylamino)propan-2-ol (*(1S,2R)*-**1o**)

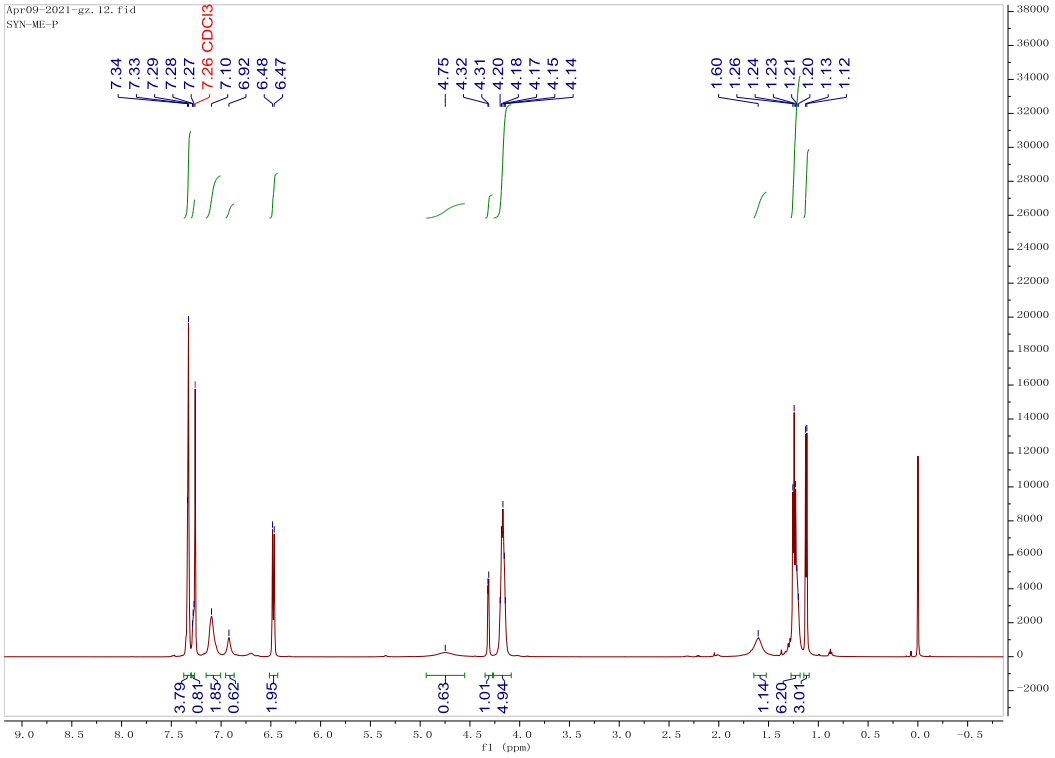


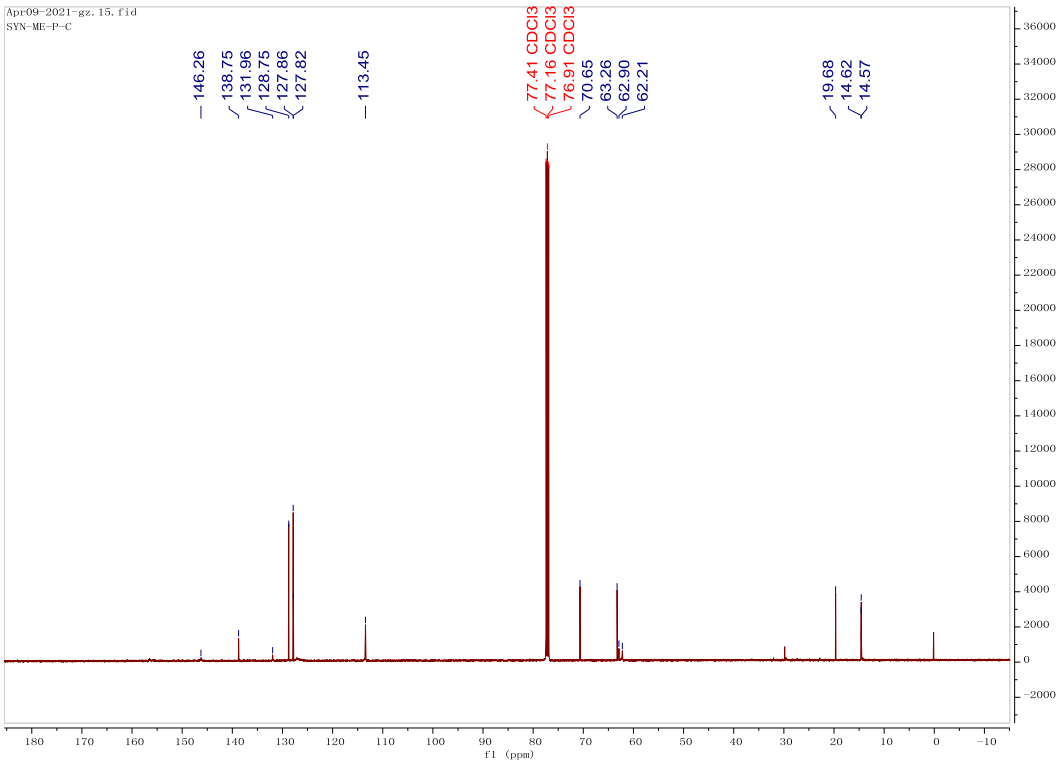




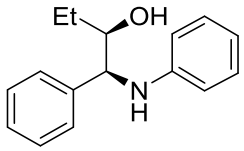
diethyl-1-(4-(((1*R*,2*S*)-2-hydroxy-1-phenylpropyl)amino)phenyl)hydrazine-1,2-dicarboxylate (**3o**)

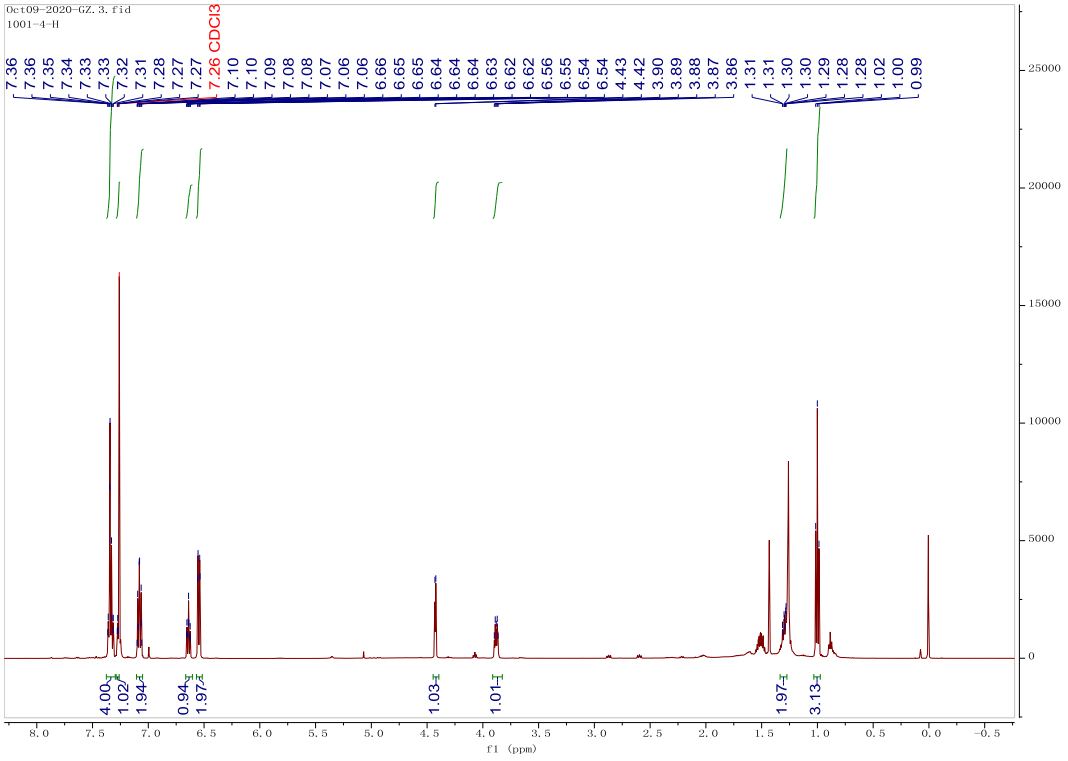


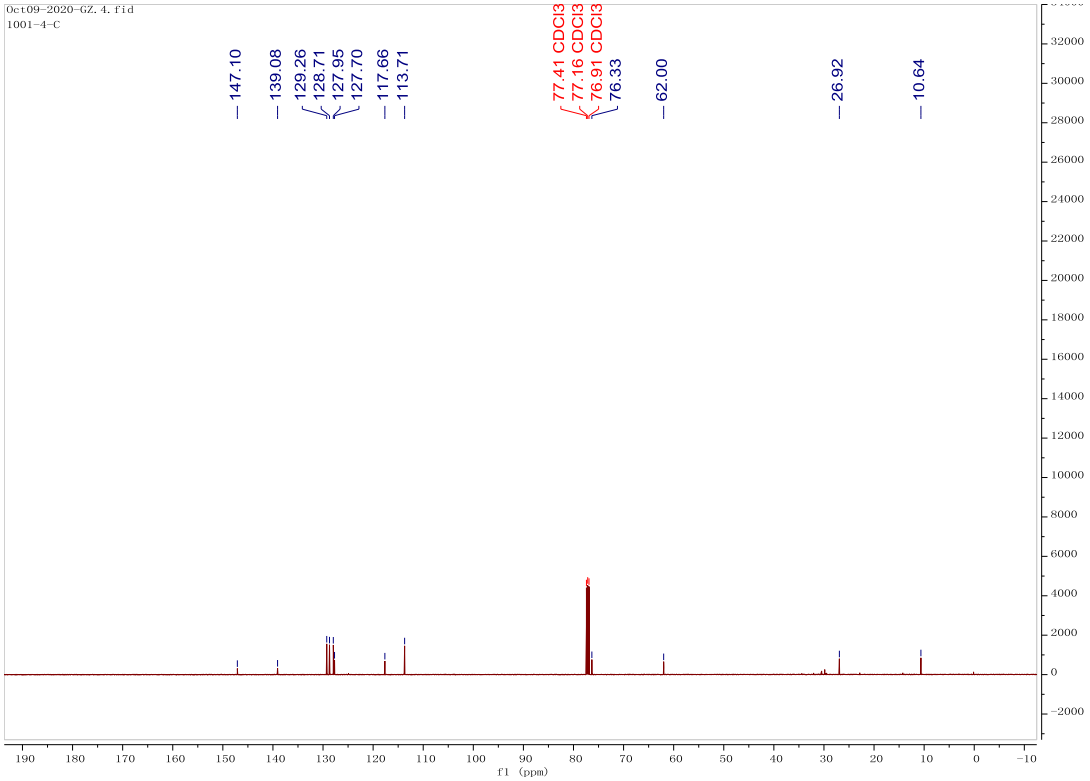




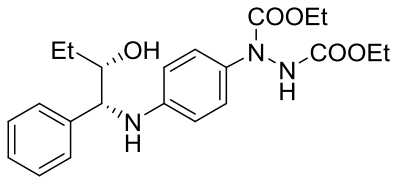
(1*S*,2*R*)-1-phenyl-1-(phenylamino)butan-2-ol ((1*S*,2*R*)-**1p**)

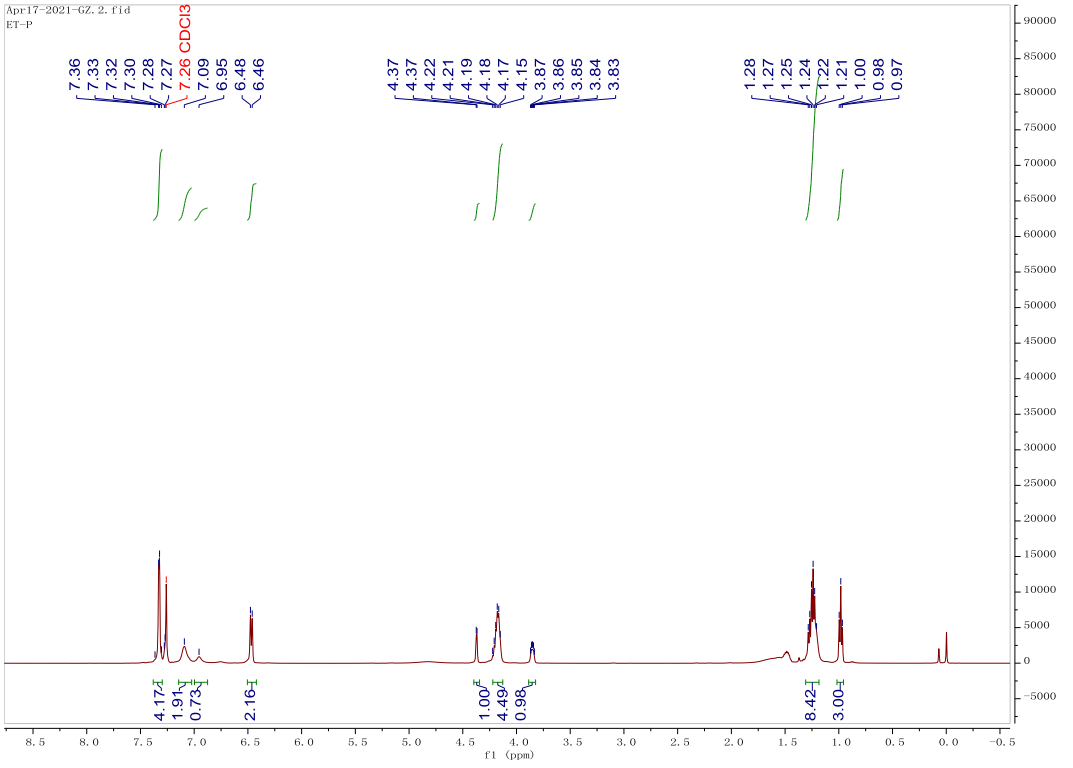


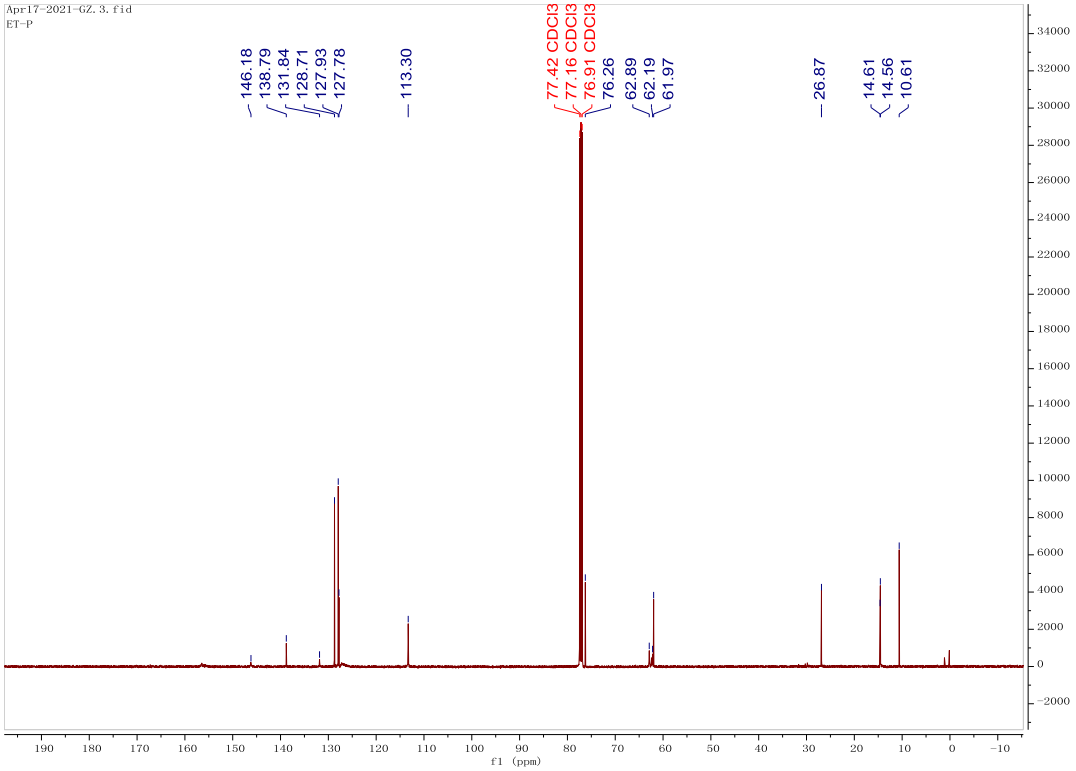




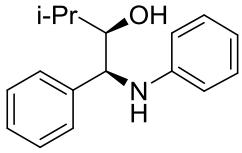
diethyl-1-(4-(((1*R*,2*S*)-2-hydroxy-1-phenylbutyl)amino)phenyl)hydrazine-1,2-dicarboxylate (**3p**)

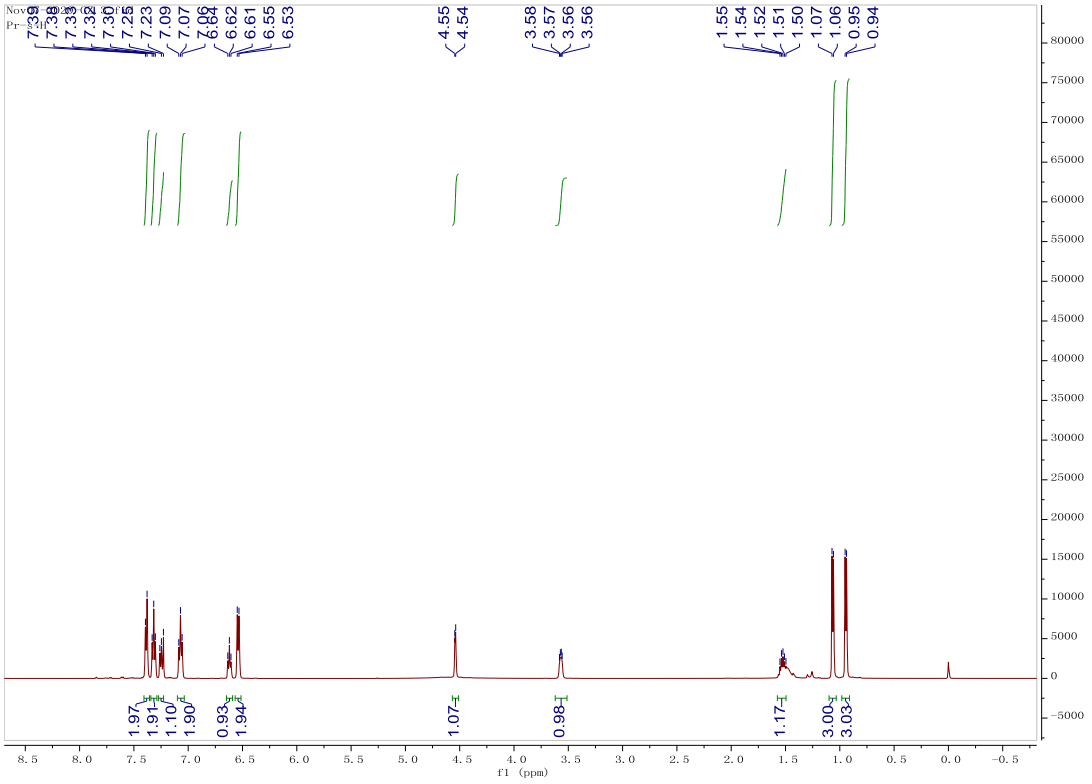


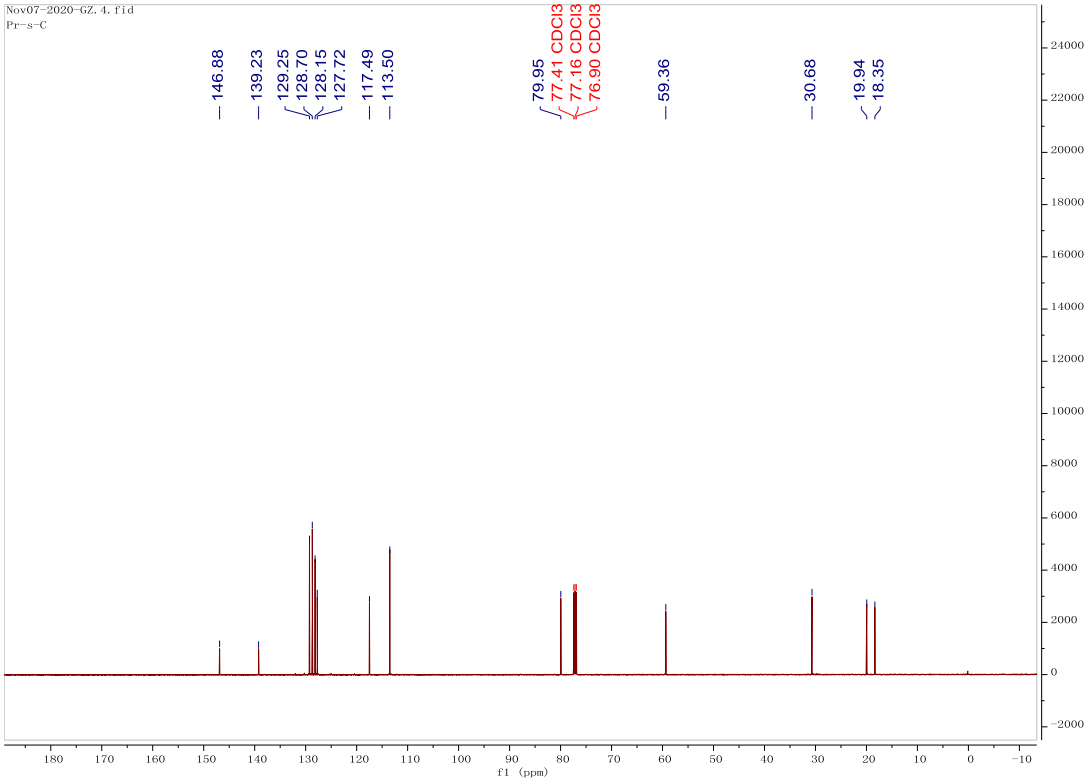




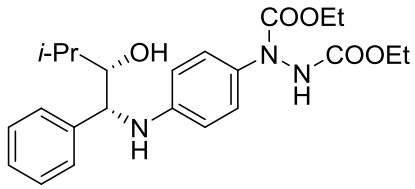
(1*S*,2*R*)-3-methyl-1-phenyl-1-(phenylamino)butan-2-ol ((1*S*,2*R*)-**1q**)

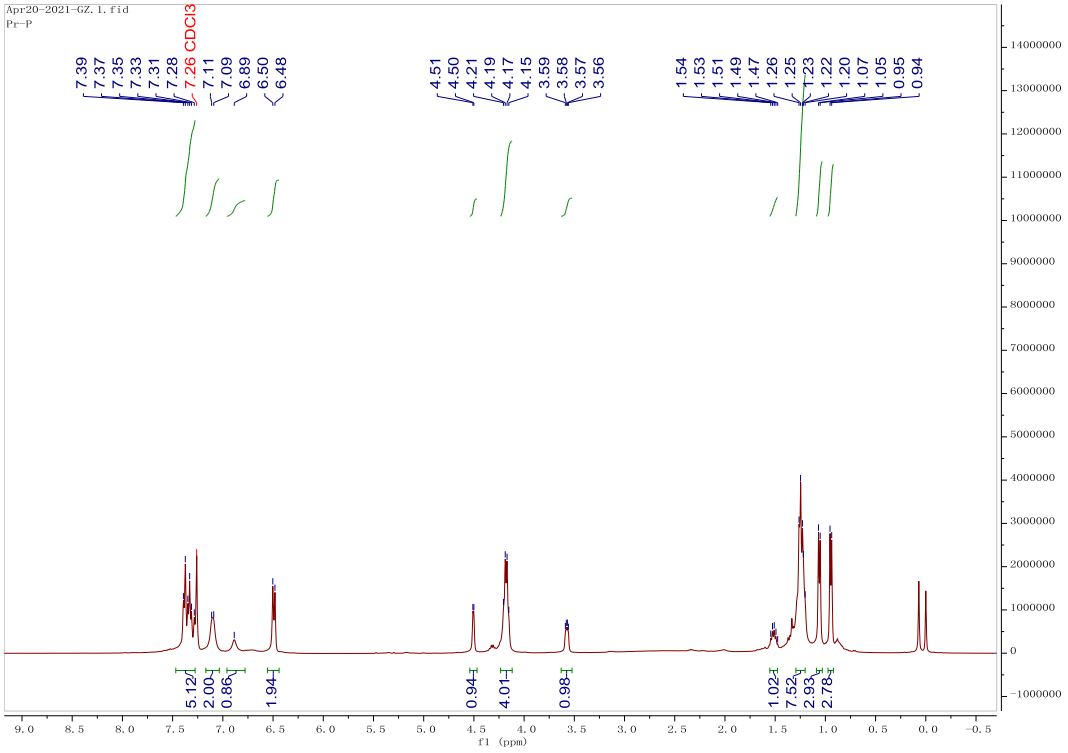


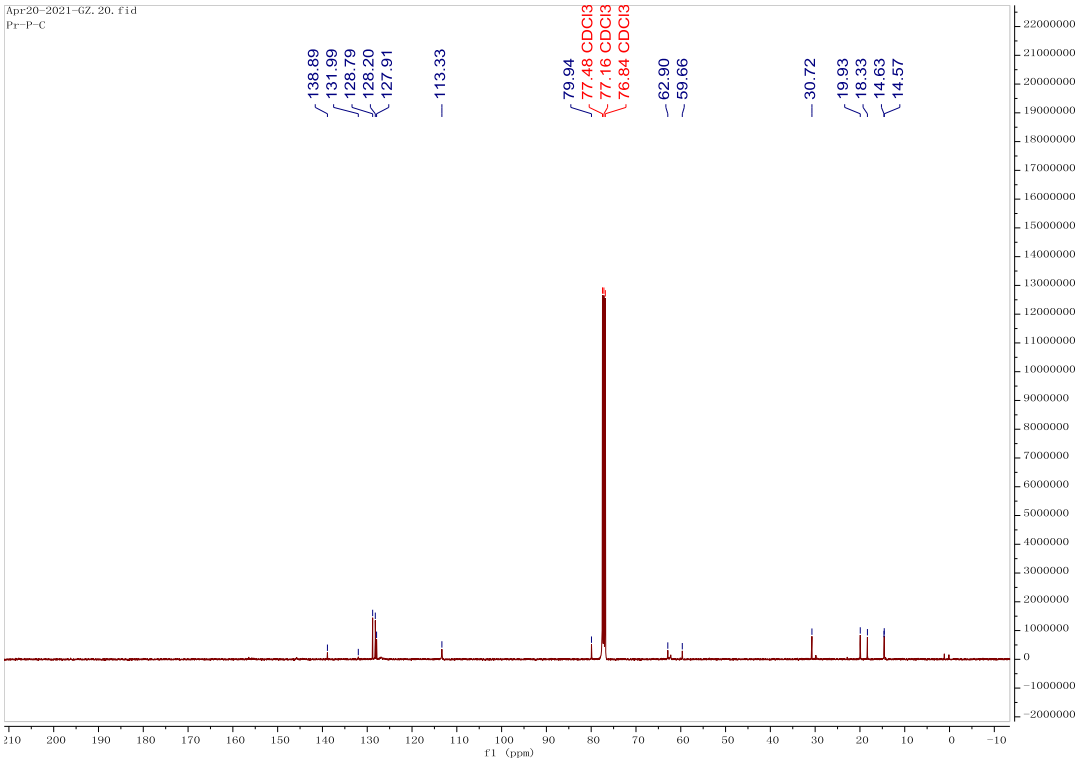




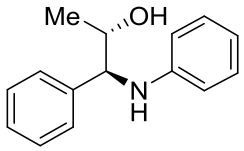
diethyl-1-(4-(((1*R*,2*S*)-2-hydroxy-3-methyl-1-phenylbutyl)amino)phenyl)hydrazine-1,2-dicarboxylate (**3q**)

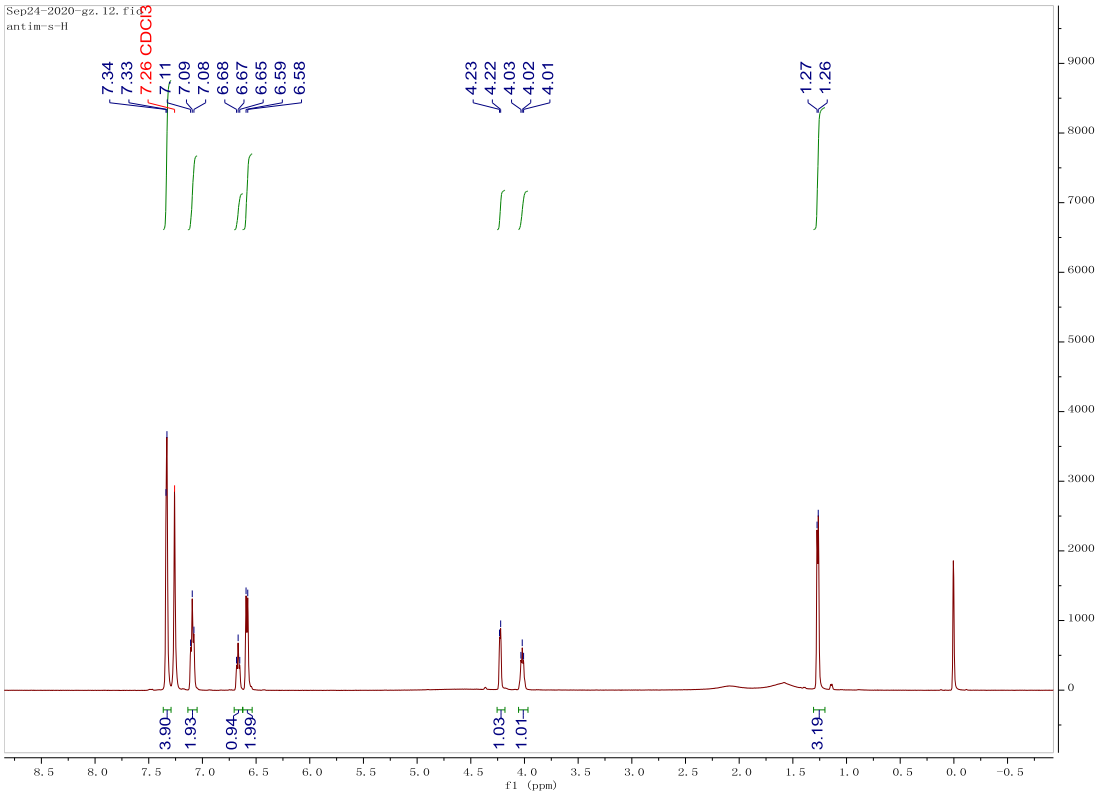


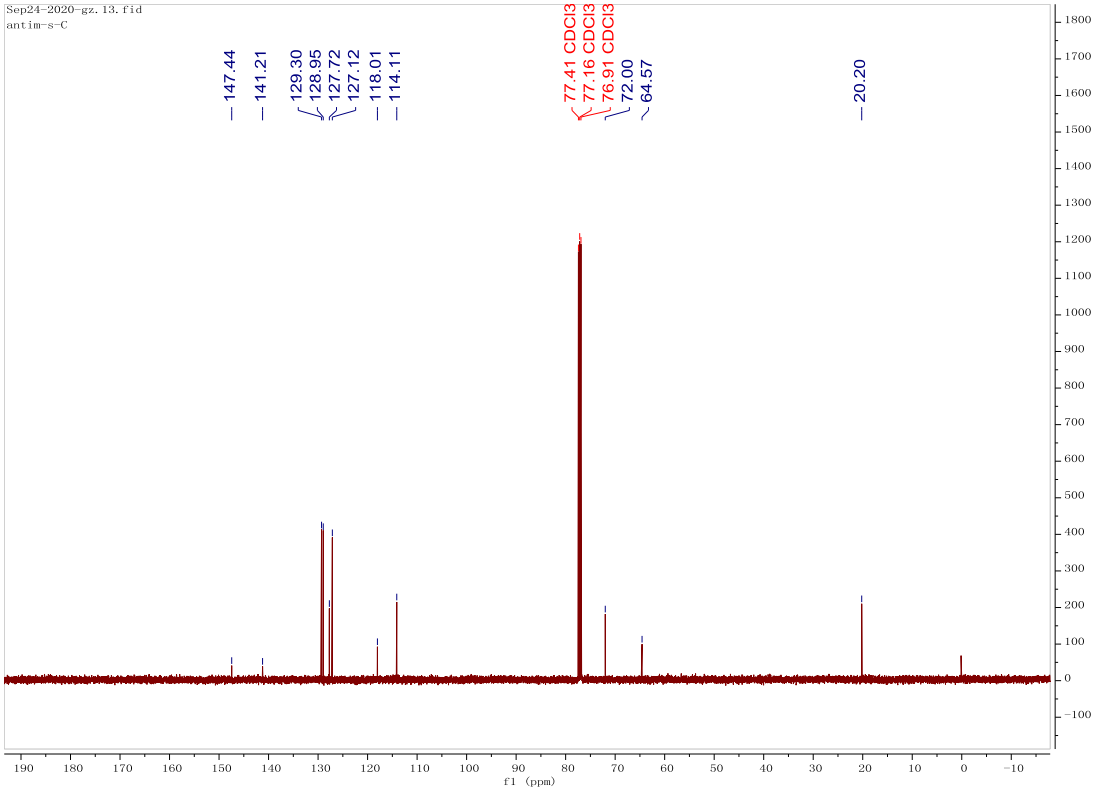




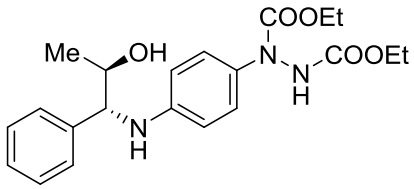
(1*S*,2*S*)-1-phenyl-1-(phenylamino)propan-2-ol (*S*-**1r**)

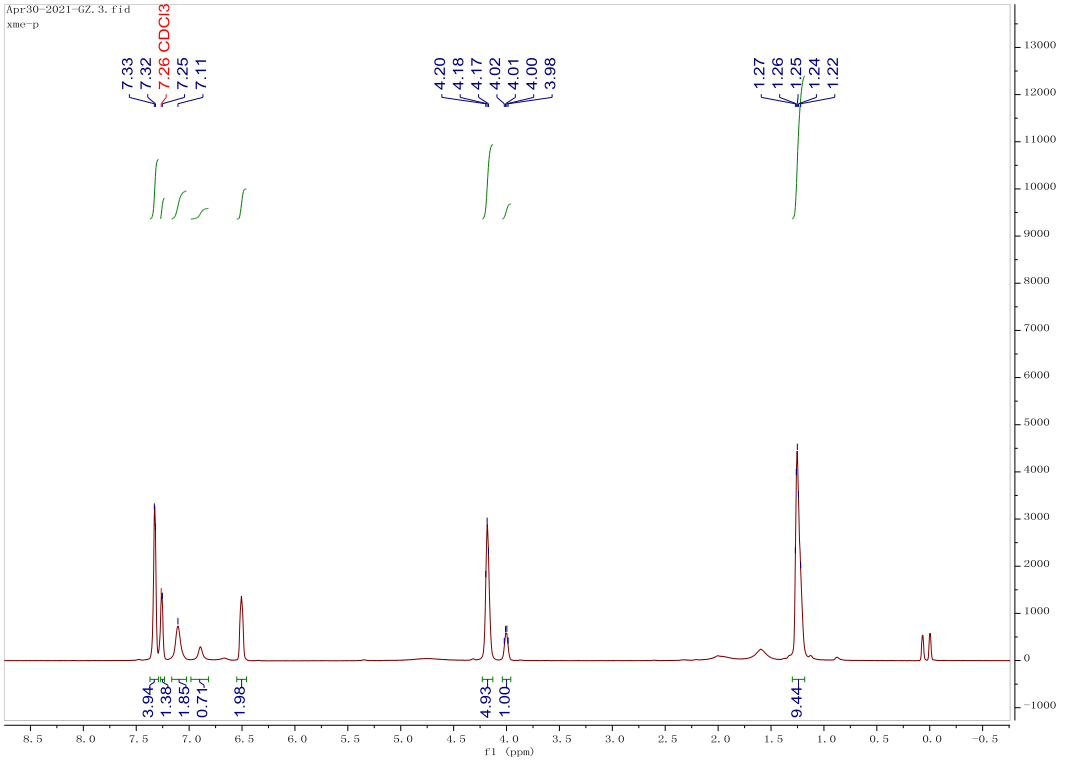


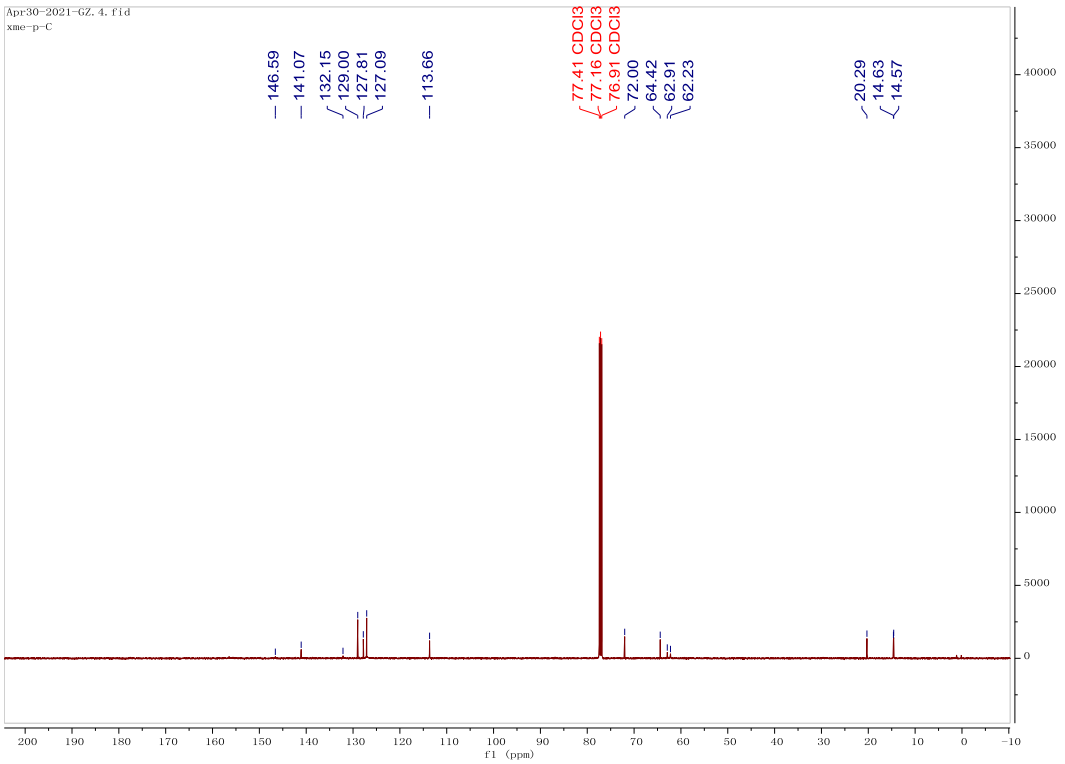




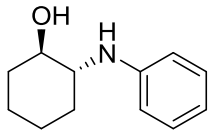
diethyl-1-(4-(((1*R*,2*R*)-2-hydroxy-1-phenylpropyl)amino)phenyl)hydrazine-1,2-dicarboxylate **(3r)**

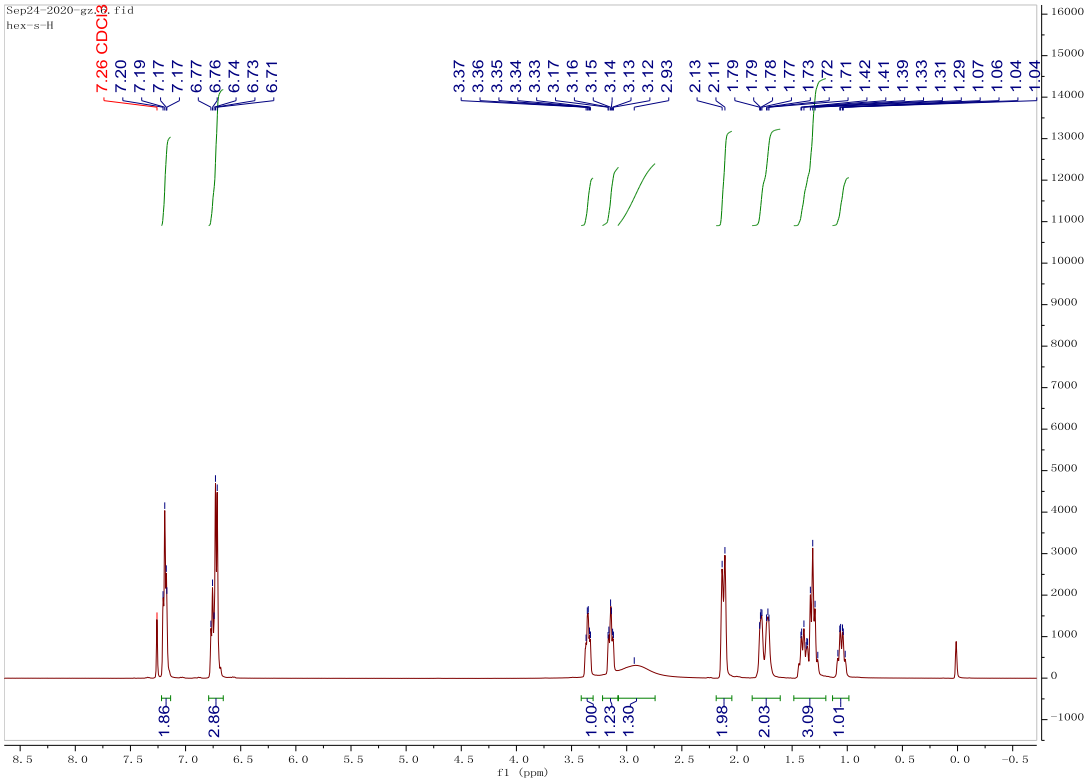


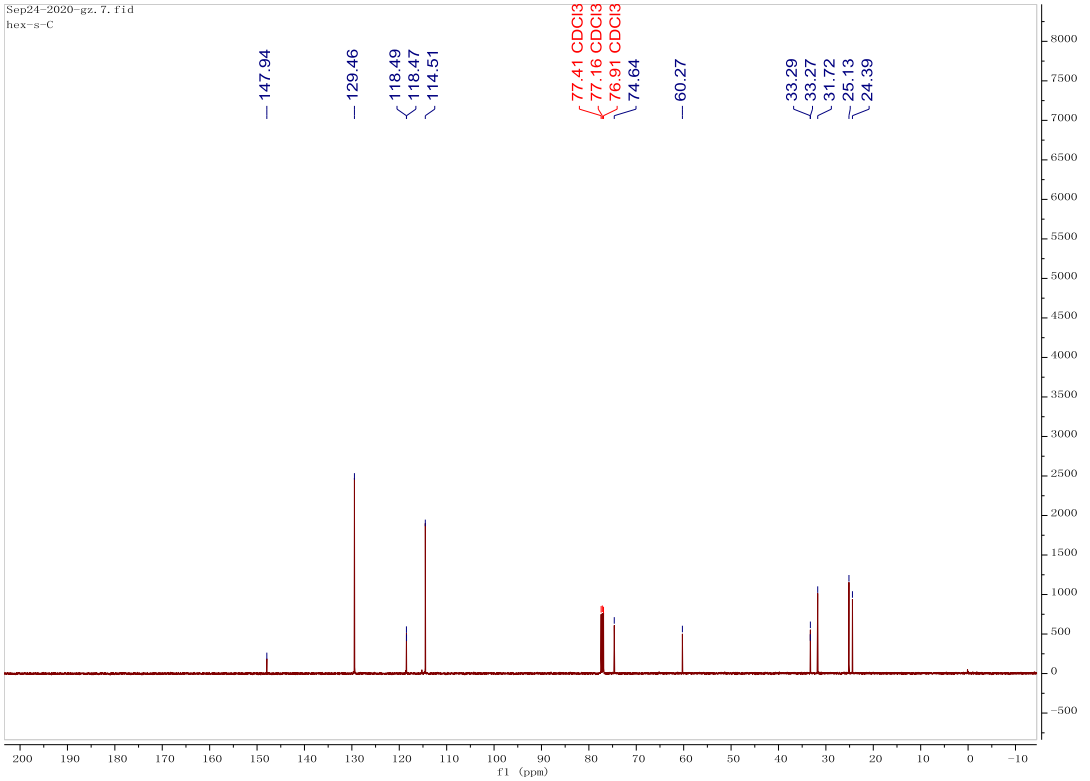




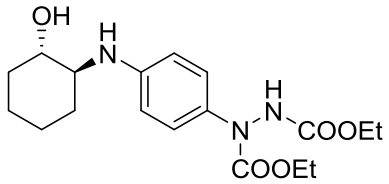
(1*R*,2*R*)-2-(phenylamino)cyclohexan-1-ol ((1*R*,2*R*)-**1s**)

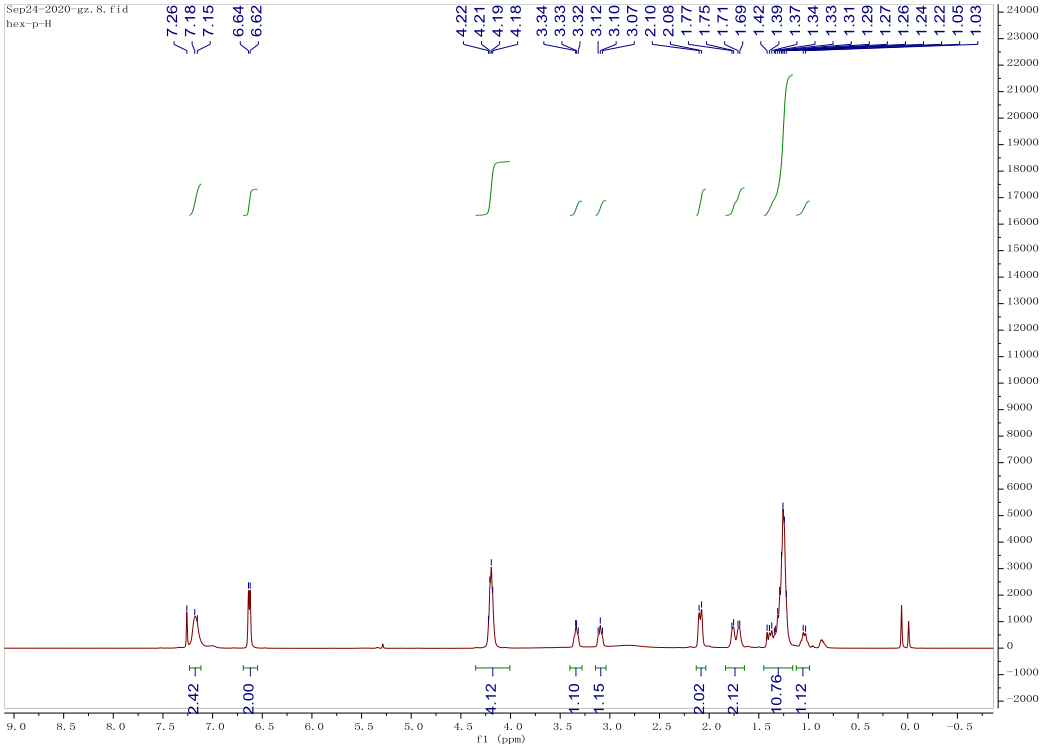


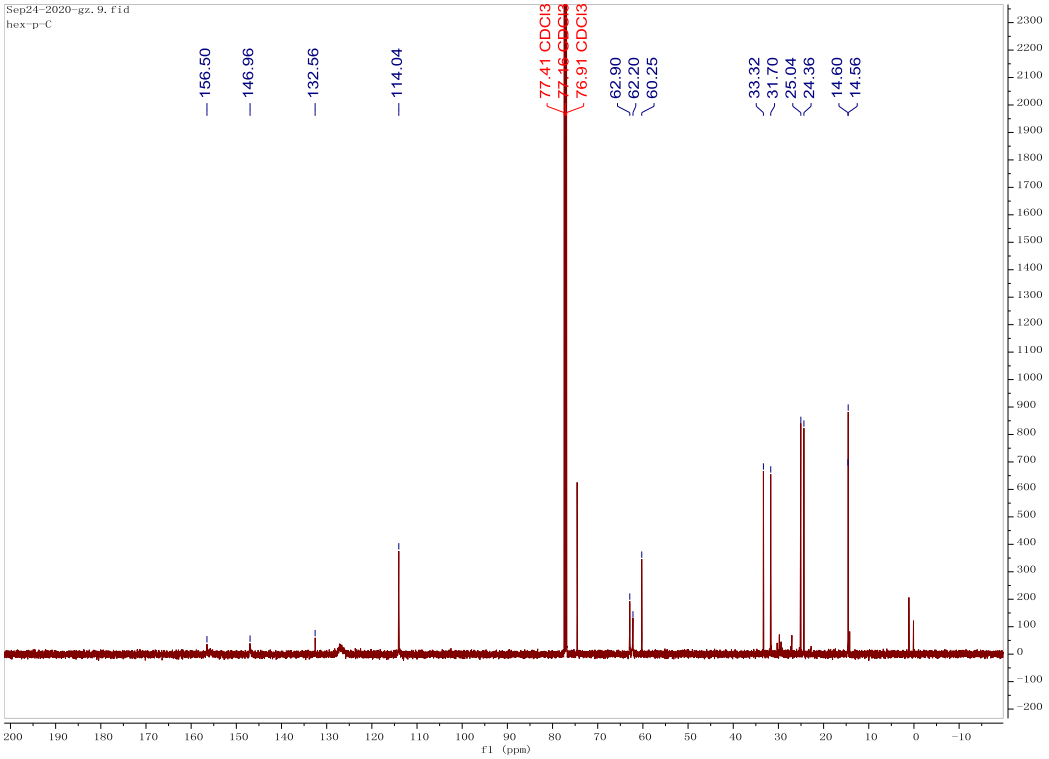




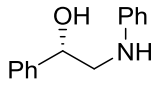
diethyl-1-(4-(((1*S*,2*S*)-2-hydroxycyclohexyl)amino)phenyl)hydrazine-1,2-dicarboxylate (**3s**)

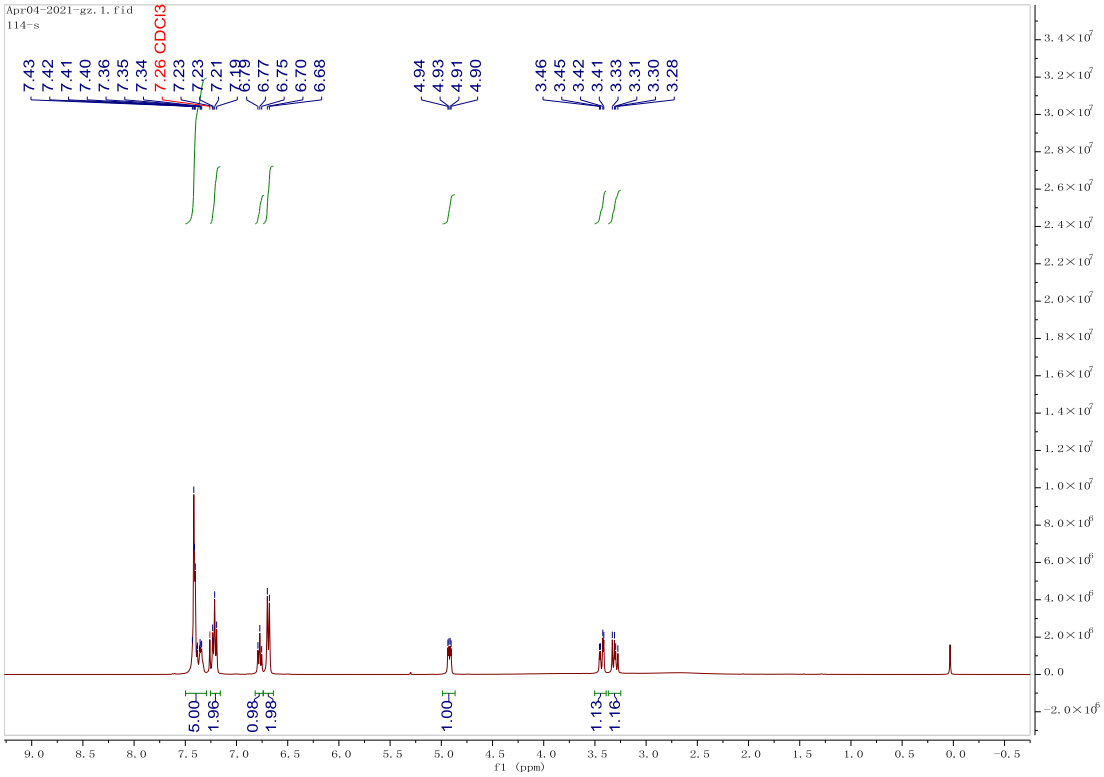


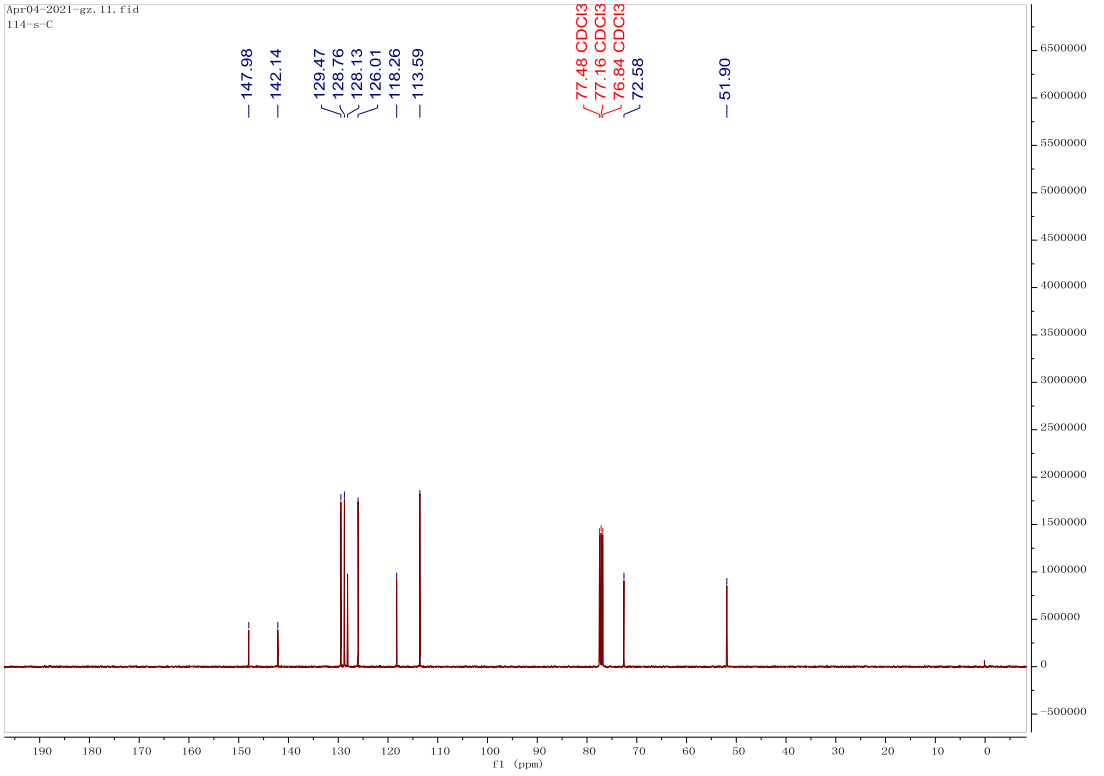




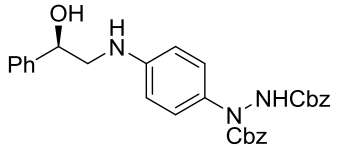
(*S*)-1-phenyl-2-(phenylamino)ethan-1-ol ((*S*)-**4a**)

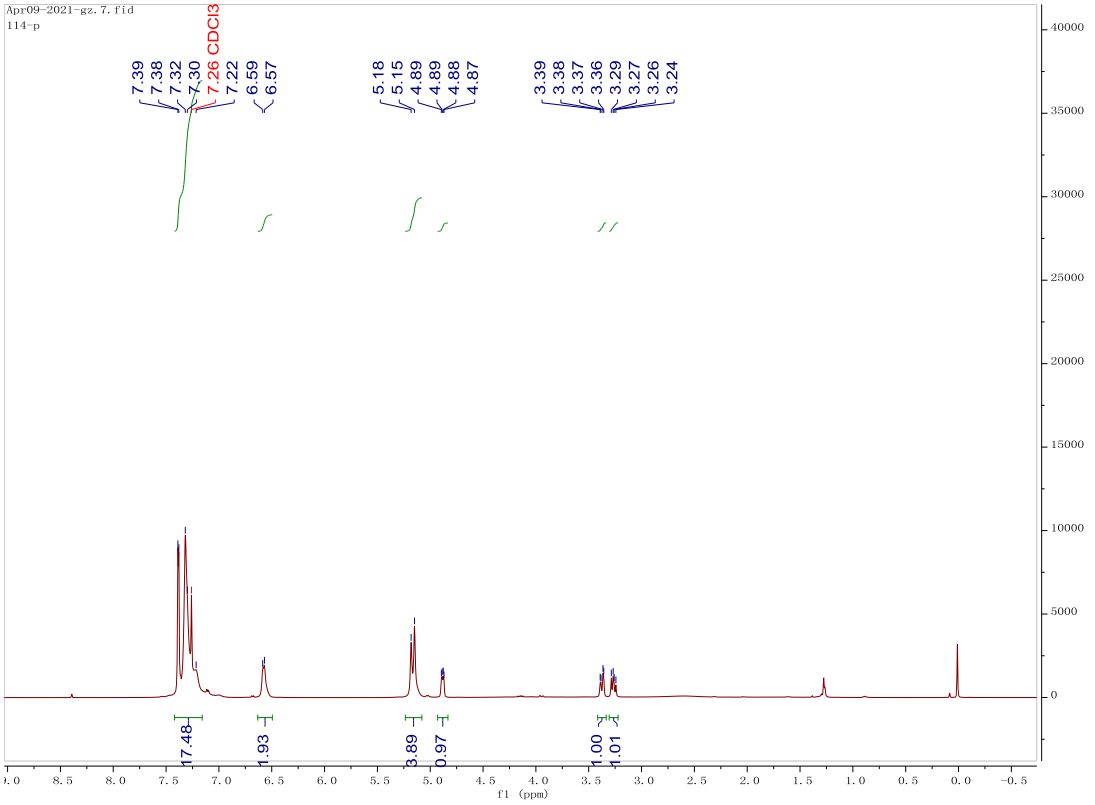


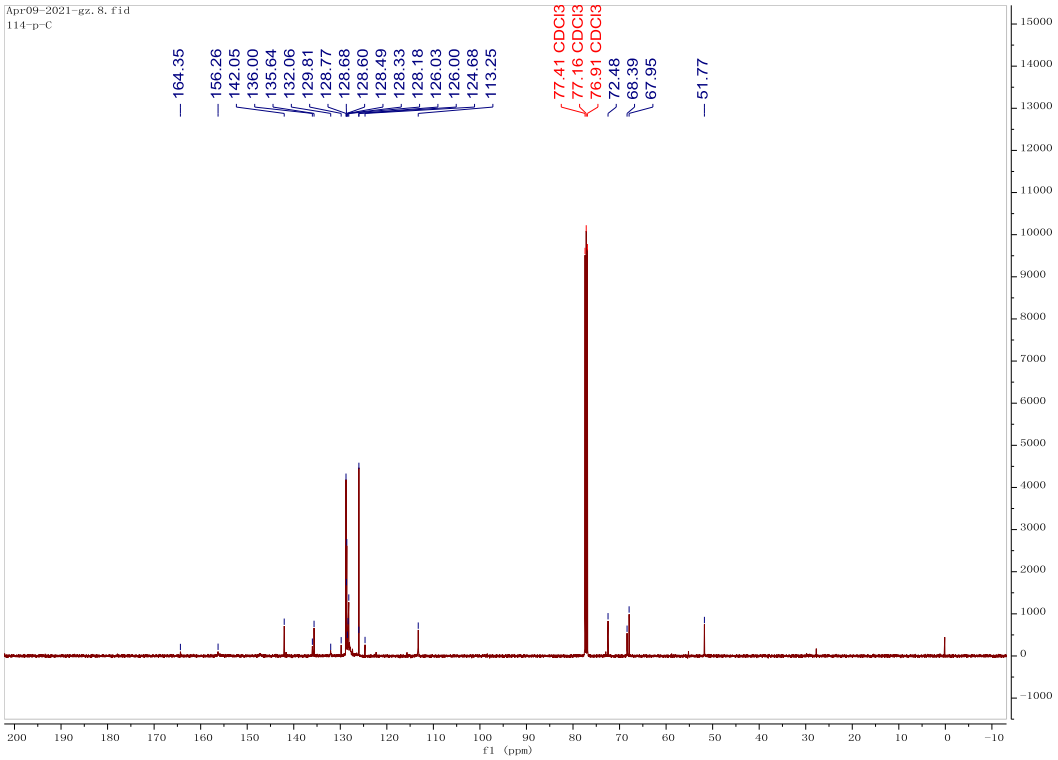




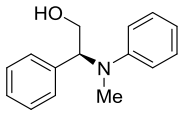
(*R*)-dibenzyl-1-(4-((2-hydroxy-2-phenylethyl)amino)phenyl)hydrazine-1,2-dicarboxylate (**5a**)

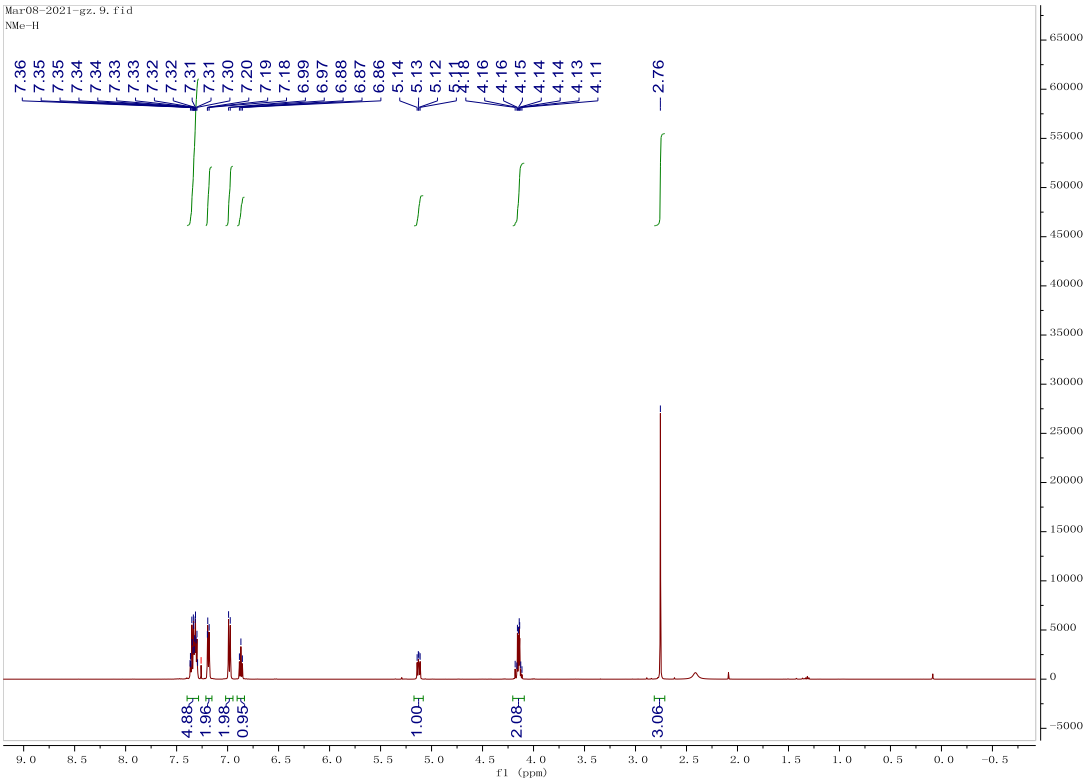


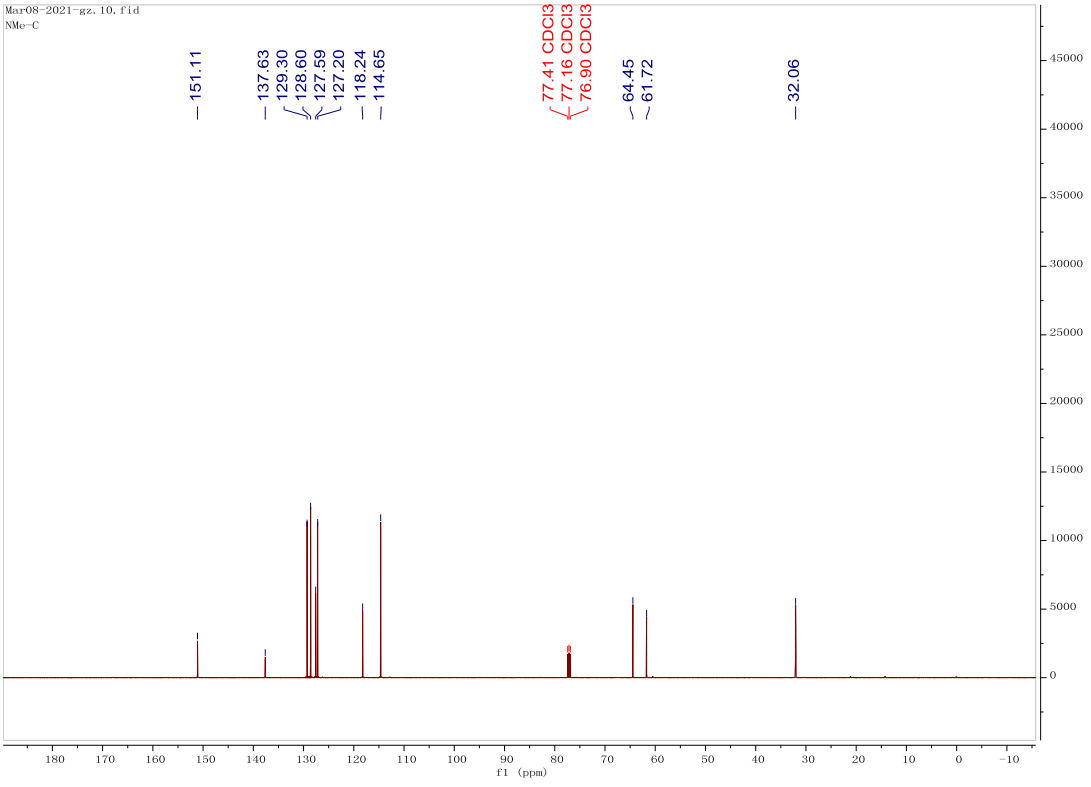




(*S*)-2-(methyl(phenyl)amino)-2-phenylethan-1-ol (*S*-**6a**)

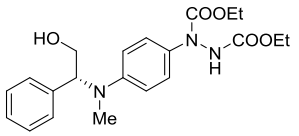


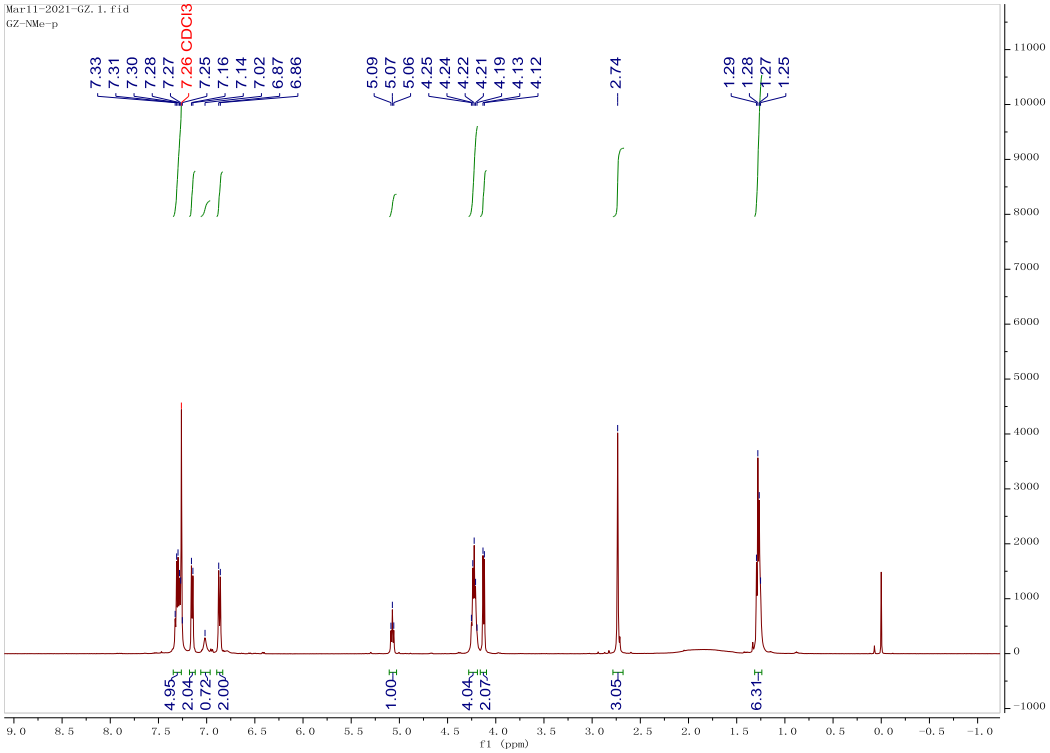


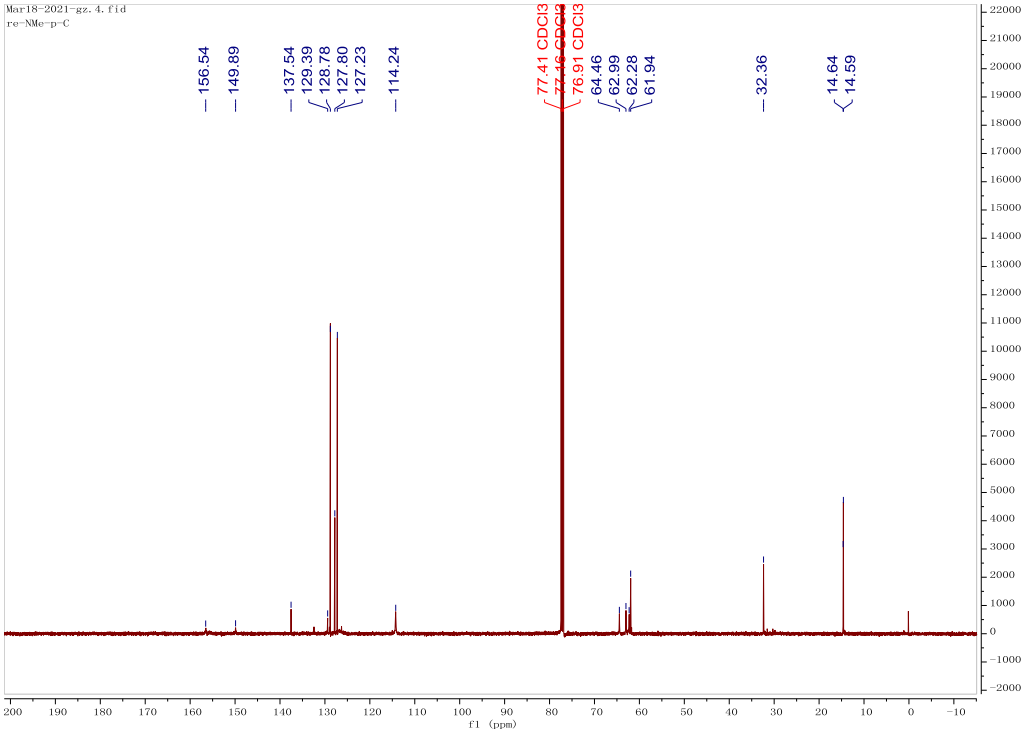


(*R*)-diethyl-1-(4-((2-hydroxy-1-phenylethyl)(methyl)amino)phenyl)hydrazine-1,2-dicarboxyla

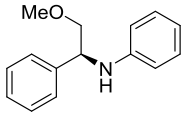
te (*R*-**7a**)

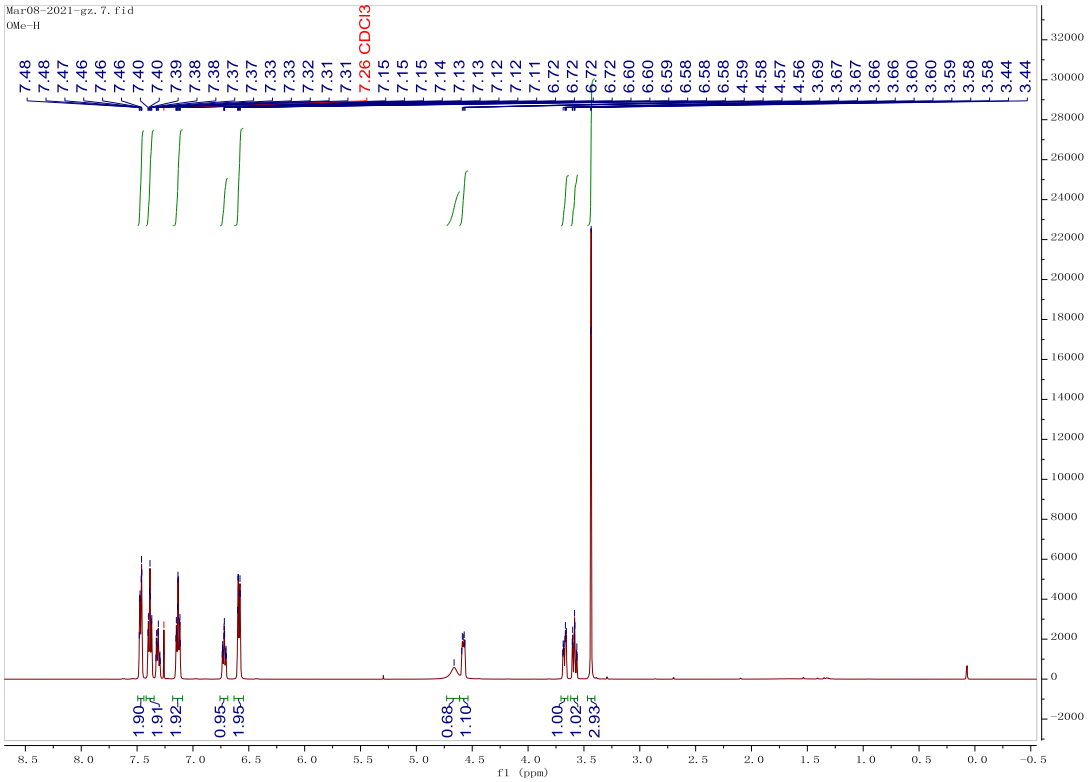


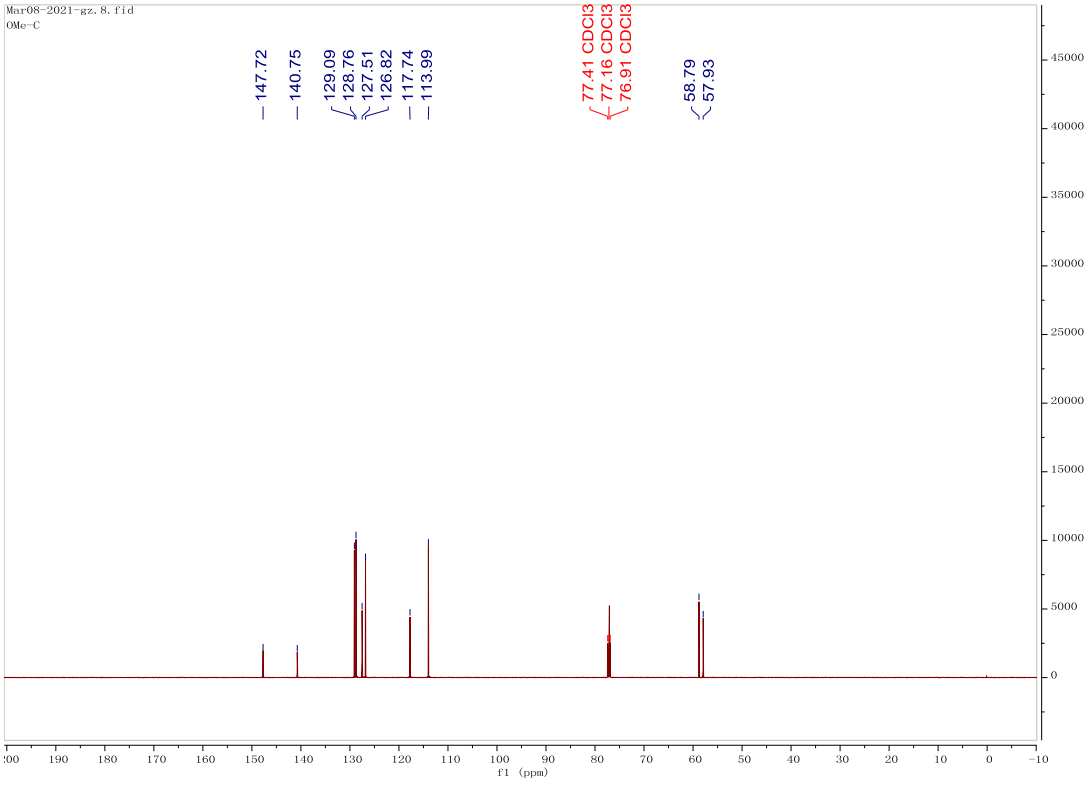




(*S*)-N-(2-methoxy-1-phenylethyl)aniline (*S*-**6b**)







(*R*)-diethyl-1-(4-((2-methoxy-1-phenylethyl)amino)phenyl)hydrazine-1,2-dicarboxylate

(*R*-**7b**)