Highly efficient asymmetric bioreduction of 1-aryl-2-(azaaryl)ethanones. Chemoenzymatic synthesis of lanicemine

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SUPPORTING INFORMATION

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1. General information

Enzymes

Codex® KRED Screening Kit was purchased from Codexis.[1]

General methods

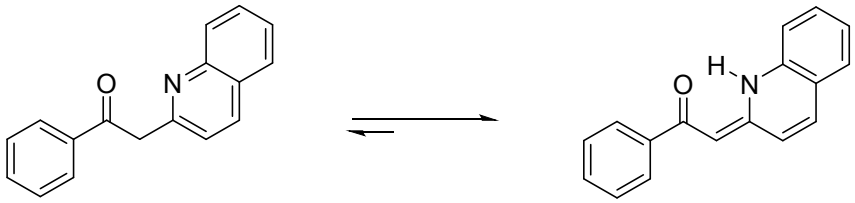
^{1}H-NMR and proton-decoupled ^{13}C-NMR spectra ($CDCl_3$) were obtained using a Bruker DPX-300 (^{1}H, 300.13 MHz and ^{13}C, 75.5 MHz) spectrometer using the δ scale (ppm) for chemical shifts. Some ^{1}H-NMR spectra of MTPA ester derivatives were measured in a 600 or 400 MHz spectrometer. Calibration was made on the signal of the solvent (^{1}H: residual $CHCl_3$, 7.26; residual CHD_2OD, 3.31; ^{13}C: $CDCl_3$, 76.95; CD_3OD, 49.00). The selective-1D TOCSY experiments were performed using standard Bruker pulse program (selmlgp). HPLC analyses were performed on a Hewlett Packard 1100 LC liquid chromatograph. GC analyses were performed on a Hewlett Packard 6890 Series II chromatograph using the column CP-ChiraSil-DEX CB (25 m × 0.25 nm × 0.25 μm, 12.2 psi N_2). Optical rotations were measured using a Perkin-Elmer 241 polarimeter and are quoted in units of $10^{-1} \cdot deg \cdot cm^2 \cdot g^{-1}$.

2. Synthesis of ketone substrates 1

Ketone substrates were prepared following the method of Wolfe et al.[2] Over a THF solution of the corresponding (pyridinylmethyl)lithium [or (quinolin-2-ylmethyl)lithium] another 1.2 M THF solution of the corresponding amide, Ar-CO-NEt_2 (2.5 mmol), was dropped (–70 ºC to rt). Organolithium reagents were previously obtained by dropping (–70 ºC to rt) a 2.5 M hexane solution of butyllithium (2.5 mmol) over a 1.0 M THF solution of 2-methylpyridine or 2-methylquinoline (2.5 mmol); however, 3- and 4-methylpyridine had to be deprotonated with a THF solution of LDA, to avoid the nucleophilic attack of BuLi to the pyridine ring (to C-2 of 4-Me-Py or to C-2/C-6 of 3-Me-Py). When the reaction was terminated (TLC control, hexane:ethyl acetate 1:1), the same protocol to that described by Wolfe *et al.*[2] was followed, except that the crude was purified by flash column chromatography. The spectroscopic data of these substrates were in good agreement with those previously published. The ratio of keto-enol tautomers measured in the ^{1}H-NMR spectra of ketones **1a-e** in $CDCl_3$ were 63:37 (for **1a** and **1b**), 87:13 (for **1c**), >99:<1 (for **1d**), and 98:2 (for **1e**). Quinoline derivative **1f** consisted into a 7:93 mixture of keto-enaminone tautomers (Scheme S1) such as was established by analysis of the ^{1}H- and ^{13}C-NMR spectra and by comparison with the published data.[3]

[1] General Information for this set of engineered enzymes is available from the web page of Codexis: https://www.codexis-estore.com/product-page/codex-ketoreductase-kred-screening-kit.

[2] R. P. Cassity, L. T. Taylor, J. F. Wolfe, *J. Org. Chem.*, 1978, **43**, 2286-2288.



Scheme S1

3. Enzymatic screening for the reduction of ketones 1

3.1 General procedure for the bioreduction

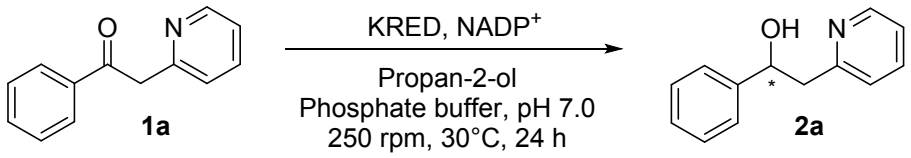
Each reaction was carried out in a 1.5 mL Eppendorf tube using 11 μmol of ketone **1** and 2 mg of KRED. The solvent was added in such amount that a final 20 mM substrate concentration was achieved. This solvent consisted in a mixture of propan-2-ol (17.5% v/v), DMSO (if necessary to completely dissolve **1**, up to a maximum of 3.5% v/v), and 125 mM phosphate buffer at pH 7.0 [also containing 1.25 mM $MgSO_4$ and the cofactor $NADP^+$ (1.0 mM)]. The order of addition to the Eppendorf tube was: (1) KRED, (2) the buffer solution, and (3) solution of substrate in the organic solvent(s). The resulting reaction mixture was shaken at 250 rpm and 30 °C during 24 h, except 48 h for ketone **1f**. After this time, the mixture was extracted with ethyl acetate (2 × 500 μL), the organic layers were separated by centrifugation (90 s, 13000 rpm), combined, and the solvents evaporated. The degree of conversion and enantiomeric excess of the corresponding alcohol was determined by chiral GC and HPLC (see Section 4).

3.2 About the results of the screening

The complete Codexis KREDs kit was tested with all the ketones. However, in some cases, we have only included in the corresponding Table those reactions in which a degree of conversion higher than 25% was achieved.

[3] J. Bai, P. Wang, W. Cao, X. Chen, *J. Mol. Struct.*, 2017, **1128**, 645-652.

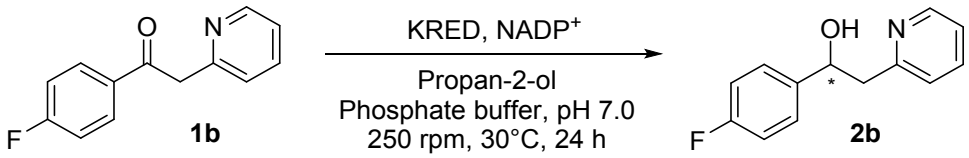
Table S1. Enzymatic reduction of 1-phenyl-2-(pyridin-2-yl)ethanone (**1a**) using KREDs following the general procedure of section 3.1.



KRED[a]	***C* (%)**[b]	***ee* (%)**[c]
P1-B02	69	>99 (*S*)
P1-B05	>99	66 (*S*)
P1-B10	>99	>99 (*S*)
P1-B12	>99	>99 (*S*)
P1-C01	>99	14 (*S*)
P2-B02	>99	68 (*R*)
P2-C02	>99	70 (*R*)
P2-D03	>99	42 (*R*)
P2-D12	>99	56 (*S*)
P2-G03	>99	>99 (*R*)

[a]To facilitate the understanding of the information here included, results of the KREDs showing a *R* preference have been highlighted in grey. [b]Degree of conversion (*C*) is determined by GC analysis (see section 4.1). [c]Enantiomeric excess (*ee*) of **2a** is determined by chiral-HPLC analysis (see section 4.1). The absolute configuration of the major stereoisomer of the alcohol is indicated between brackets.

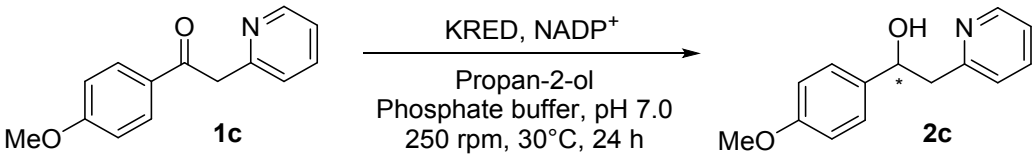
Table S2. Enzymatic reduction of 1-(4-fluorophenyl)-2-(pyridin-2-yl)ethanone (**1b**) using KREDs following the general procedure of section 3.1.



KRED[a]	***C* (%)**[b]	***ee* (%)**[c]
P1-B02	84	>99 (*S*)
P1-B05	84	98 (*R*)
P1-B10	90	>99 (*S*)
P1-B12	95	>99 (*S*)
P2-B02	85	95 (*R*)
P2-C02	90	72 (*R*)
P2-D03	>99	68 (*R*)
P2-D12	95	28 (*S*)
P2-G03	>99	>99 (*R*)

[a]To facilitate the understanding of the information here included, results of the KREDs showing a *R* preference have been highlighted in grey. [b]Degree of conversion (*C*) is determined by GC analysis (see section 4.2). [c]*ee* of **2b** is determined by chiral-HPLC analysis (see section 4.2). The absolute configuration of the major stereoisomer of the alcohol is indicated between brackets.

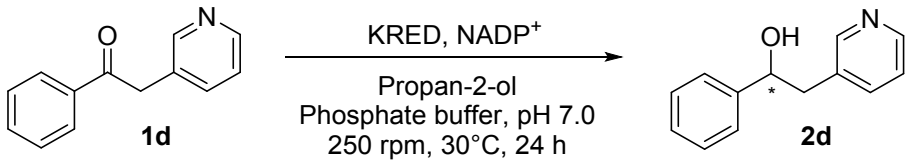
Table S3. Enzymatic reduction of 1-(4-methoxyphenyl)-2-(pyridin-2-yl)ethanone (**1c**) using KREDs following the general procedure of section 3.1.



KRED	*C* (%)[a]	*ee* (%)[a]
P1-B05	81	97 (*R*)
P2-B02	>99	87 (*R*)
P2-C02	>99	>99 (*R*)
P2-D03	94	43 (*R*)
P2-G03	>99	>99 (*R*)

[a]Degree of conversion (*C*) and *ee* of **2c** are determined by chiral-HPLC analysis (see section 4.3). The absolute configuration of the major stereoisomer of the alcohol is indicated between brackets.

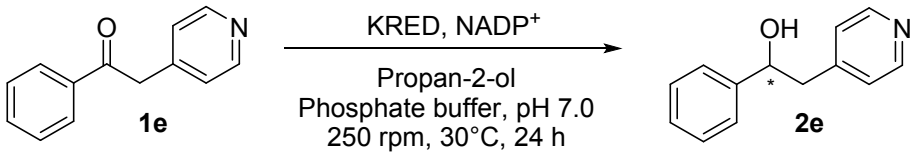
Table S4. Enzymatic reduction of 1-phenyl-2-(pyridin-3-yl)ethanone (**1d**) using KREDs following the general procedure of section 3.1.



KRED[a]	*C* (%)[b]	*ee* (%)[b]
P1-B02	>99	>99 (*S*)
P1-B05	>99	98 (*S*)
P1-B10	>99	>99 (*S*)
P1-B12	>99	>99 (*S*)
P1-C01	>99	97 (*S*)
P1-H08	>99	98 (*S*)
P2-B02	>99	5 (*R*)
P2-C02	>99	<5 (*R*)
P2-D03	>99	90 (*S*)
P2-D11	>99	>99 (*S*)
P2-D12	>99	94 (*S*)
P2-G03	>99	94 (*R*)

[a]To facilitate the understanding of the information here included, results of the KREDs showing a *R* preference have been highlighted in grey. [b]Degree of conversion (*C*) and *ee* of **2d** are determined by chiral-HPLC analysis (see section 4.4). The absolute configuration of the major stereoisomer of the alcohol is indicated between brackets.

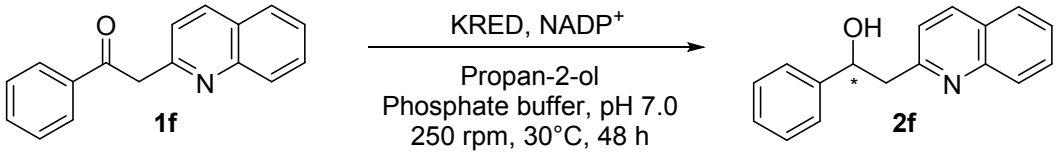
Table S5. Enzymatic reduction of 1-phenyl-2-(pyridin-4-yl)ethanone (**1e**) using KREDs following the general procedure of section 3.1.



KRED[a]	*C* (%)[b]	*ee* (%)[b]
P1-B02	>99	>99 (*S*)
P1-B05	>99	97 (*S*)
P1-B10	>99	>99 (*S*)
P1-B12	>99	>99 (*S*)
P1-C01	>99	96 (*S*)
P1-H08	>99	96 (*S*)
P2-B02	>99	36 (*S*)
P2-C02	>99	45 (*S*)
P2-D03	>99	90 (*S*)
P2-D11	>99	>98 (*S*)
P2-D12	>99	96 (*S*)
P2-G03	>99	91 (*R*)
P2-H07	33	90 (*S*)
P3-G09	36	58 (*R*)

[a]To facilitate the understanding of the information here included, results of the KREDs showing a *R* preference have been highlighted in grey. [b]Degree of conversion (*C*) and *ee* of **2e** are determined by chiral-HPLC analysis (see section 4.5). The absolute configuration of the major stereoisomer of the alcohol is indicated between brackets.

Table S6. Enzymatic reduction of 1-phenyl-2-(quinolin-2-yl)ethanone (**1f**) using KREDs following the general procedure of section 3.1.



KRED	*C* (%)[a]	*ee* (%)[a]
P1-B02	>99	>99 (*S*)
P1-B12	27	>99 (*S*)
P2-B02	93	22 (*S*)
P2-C02	25	61 (*S*)

[a]Degree of conversion (*C*) and *ee* of **2f** are determined by chiral-HPLC analysis (see section 4.6). The absolute configuration of the major stereoisomer of the alcohol is indicated between brackets.

4. HPLC and CG analytical data for *C* and *ee* determinations

*4.1. Bioreductions of **1a**:* Degree of conversion (*C*) was analyzed by GC: CP-ChiraSil; program: 160/5/1/180/20/200 [(initial *T* (ºC) / time (min) / ramp (ºC/min) / *T* (ºC) / ramp (°C/min) / final *T* (°C)]. Retention times (min): 15.80 (ketone **1a**); 17.00 and 17.33 (alcohol **2a**).

The *ee* of **2a** was determined by HPLC: Chiralcel OJ-H, hexane:propan-2-ol 90:10, 25 ºC, 0.8 mL/min, 214 nm. Retention times (min): 14.27 (*R*) and 16.60 (*S*); $R_S = 4.0$.

*4.2. Bioreductions of **1b***: *C* was analyzed by GC: CP-ChiraSil; program: 160/5/1/175/20/180 [(initial *T* (ºC)/time (min)/ramp (ºC/min) / *T* (ºC) / ramp (°C/min) / final *T* (°C)]. Retention times (min): 14.92 (ketone **1b**); 17.39 and 17.93 (alcohol **2b**).

The *ee* of **2b** was determined by HPLC: Chiralcel OJ-H, hexane:propan-2-ol 95:5, 30 ºC, 0.8 mL/min, 214 nm. Retention times (min): 16.61 (*R*) and 17.66 (*S*); $R_S = 1.8$.

*4.3. Bioreductions of **1c**:* Degree of conversion and *ee* of **2c** were determined by HPLC: Chiralpak AD-H, hexane:propan-2-ol 90:10, 35 ºC, 0.8 mL/min, 214 nm. Retention times (min): 17.75 (ketone **1c**); 20.96 [(*R*)-**2c**] and 22.54 [(*S*)-**2c**], $R_S = 1.5$.

*4.4. Bioreductions of **1d**:* Degree of conversion was analyzed by HPLC: Chiralcel OJ-H, hexane:propan-2-ol 80:20, 30 ºC, 0.8 mL/min, 214 nm. Retention times (min): 10.92 and 11.24 (alcohol **2d**); 15.74 (ketone **1d**).

The *ee* of **2d** was determined by HPLC: Chiralpak AD-H, hexane:propan-2-ol 90:10, 30 ºC, 0.8 mL/min, 214 nm. Retention times (min): 18.05 (*S*) and 20.51 (*R*); $R_S = 2.4$.

*4.5. Bioreductions of **1e**:* Degree of conversion and *ee* of **2e** were determined by HPLC: Chiralpak AD-H, hexane:propan-2-ol 90:10, 30 ºC, 0.8 mL/min, 214 nm. Retention times (min): 16.99 [(*R*)-**2e**] and 18.48 [(*S*)-**2e**], $R_S = 1.7$; 22.00 (ketone **1e**).

*4.6. Bioreductions of **1f***: Degree of conversion and *ee* of **2f** were determined by HPLC: Chiralpak AD-H, hexane:propan-2-ol 70:30, 30 ºC, 0.8 mL/min, 214 nm. Retention times (min): 8.71 (ketone **1f**); 12.40 [(*S*)-**2f**] and 14.08 [(*R*)-**2f**], $R_S = 2.5$.

*4.7. 2-(2-Azido-2-phenylethyl)pyridine (**5**) and 1-phenyl-2-(pyridin-2-yl)ethanamine (**6**)*

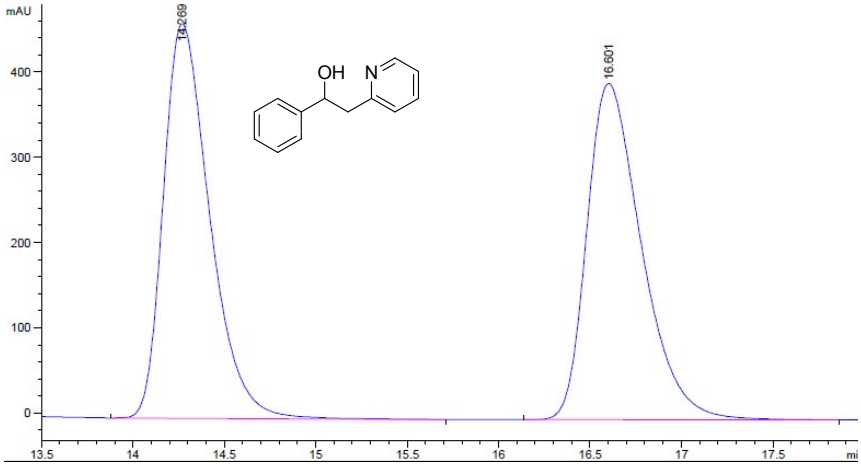
The ee of **5** was determined after hydrogenation and transformation of the resulting amine **6** into its *N*-Boc derivative **7** (see the following section 4.8).

4.8. tert-*Butyl [1-phenyl-2-(pyridin-2-yl)ethyl]carbamate* **7**

The ee of **7** was determined by HPLC: Chiralcel OD, hexane:propan-2-ol 98:2, 25 ºC, 0.8 mL/min, 214 nm. Retention times (min): 19.83 [(*R*)-**7**] and 22.94 [(*S*)-**7**], $R_S = 1.8$.

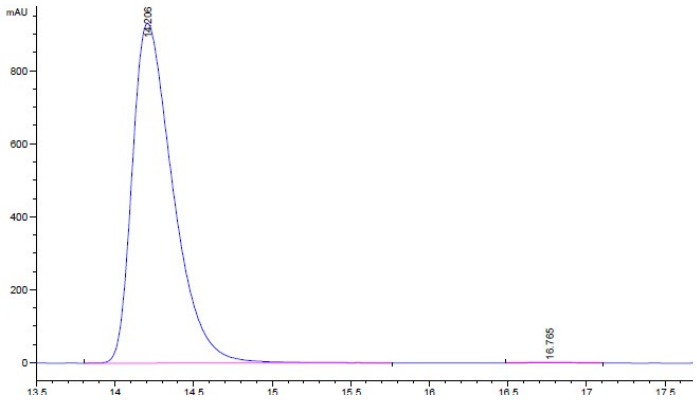
5. Copy of chiral HPLC chromatograms

Racemic 1-phenyl-2-(pyridin-2-yl)ethanol **2a**



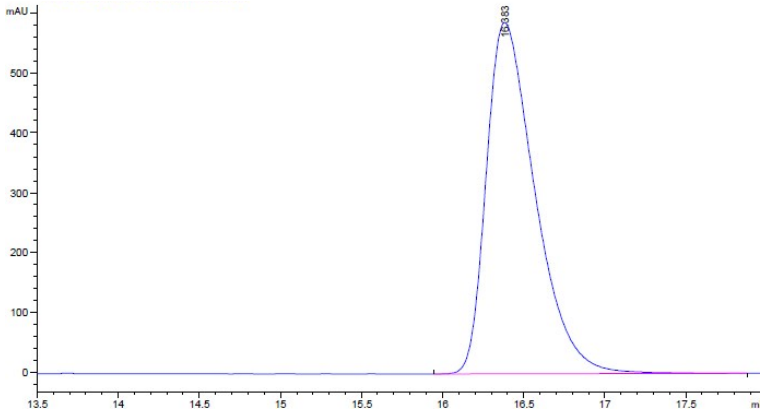
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	14.269	BB	0.2716	8151.25684	462.61096	49.8971
2	16.601	BB	0.3161	8184.86084	394.41116	50.1029

(R)-**2a** (*ee* > 99%) isolated from the bioreduction of **1a** with KRED-P2-G03

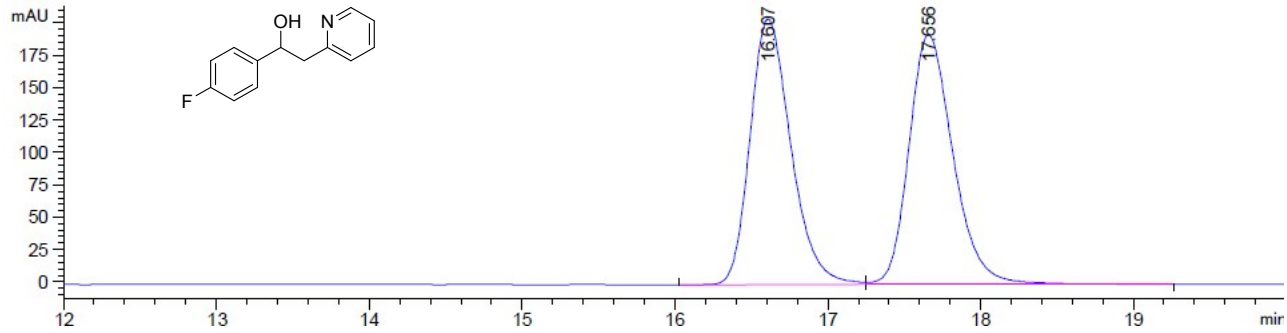


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	14.206	BB	0.2758	1.67449e4	930.98114	99.8487
2	16.765	BB	0.2423	25.37594	1.29680	0.1513

(S)-**2a** (*ee* > 99%) isolated from the bioreduction of **1a** with KRED-P1-B12.

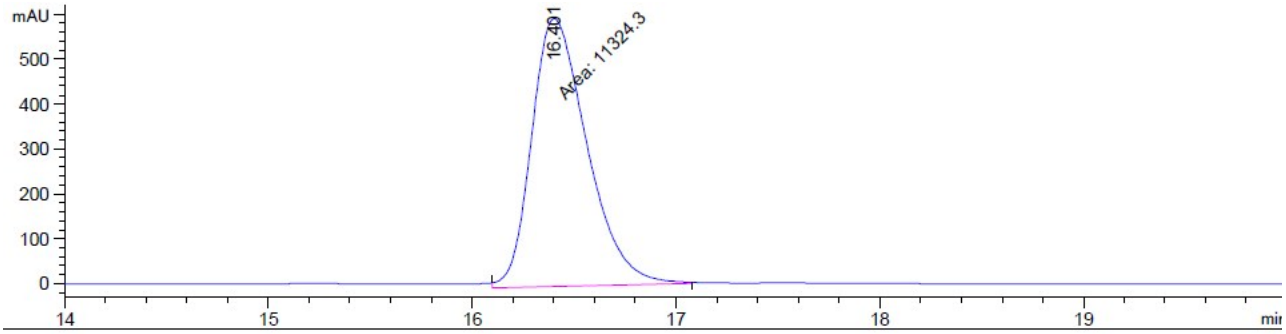


Racemic 1-(4-fluorophenyl)-2-(pyridin-2-yl)ethanol **2b**

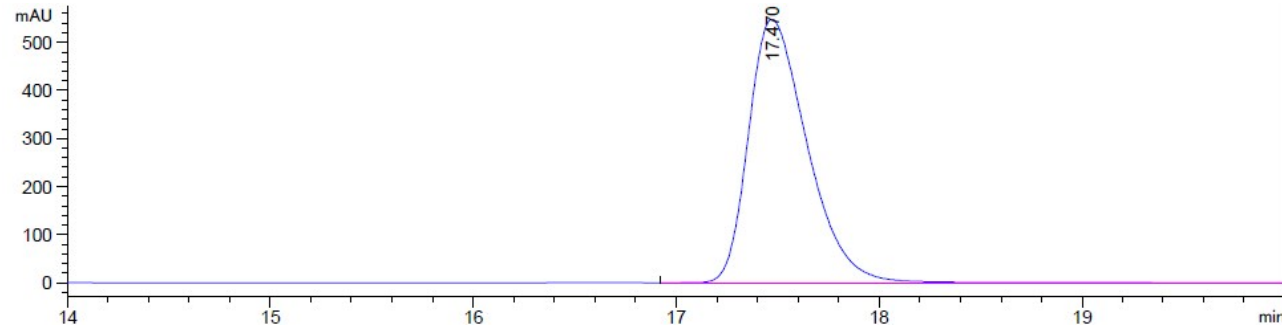


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	16.607	BV	0.2849	3817.93457	205.36771	49.5474
2	17.656	VB	0.3085	3887.68994	193.40866	50.4526

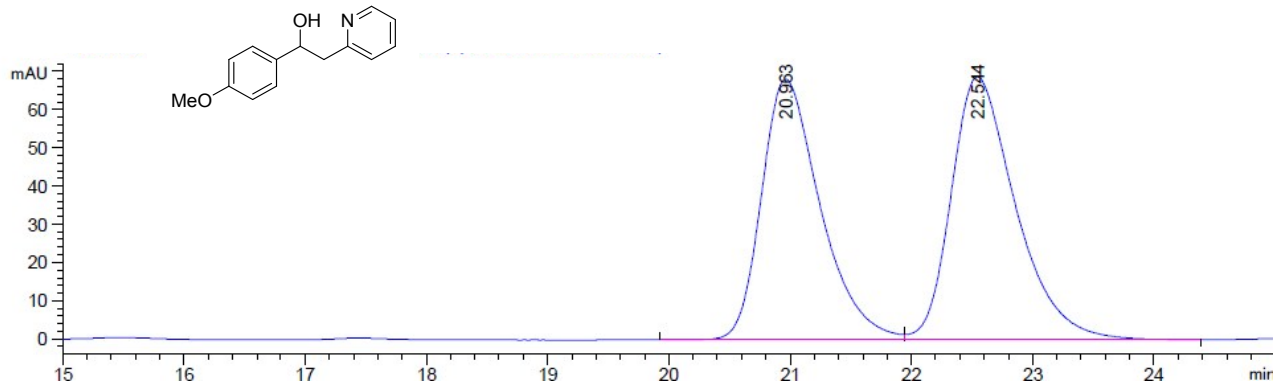
(R)-**2b** (*ee* > 99%) isolated from the bioreduction of **1b** with KRED-P2-G03



(S)-**2b** (*ee* > 99%) isolated from the bioreduction of **1b** with KRED-P1-B12

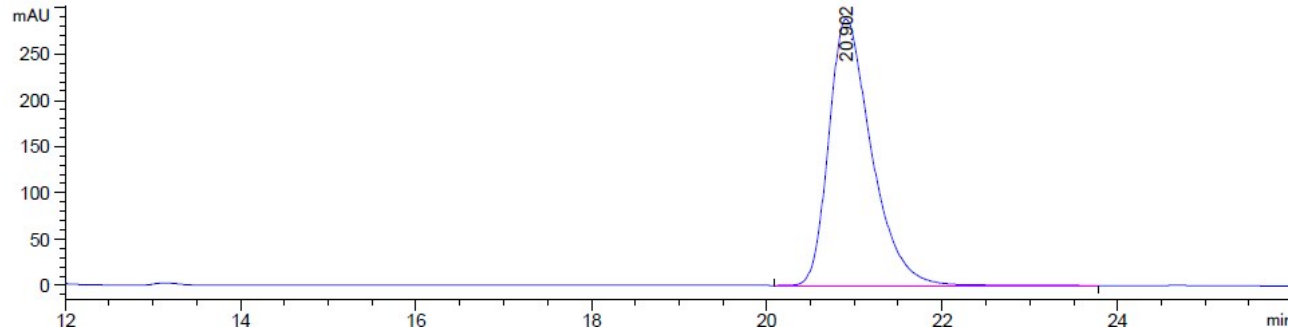


Racemic 1-(4-methoxyphenyl)-2-(pyridin-2-yl)ethanol **2c**

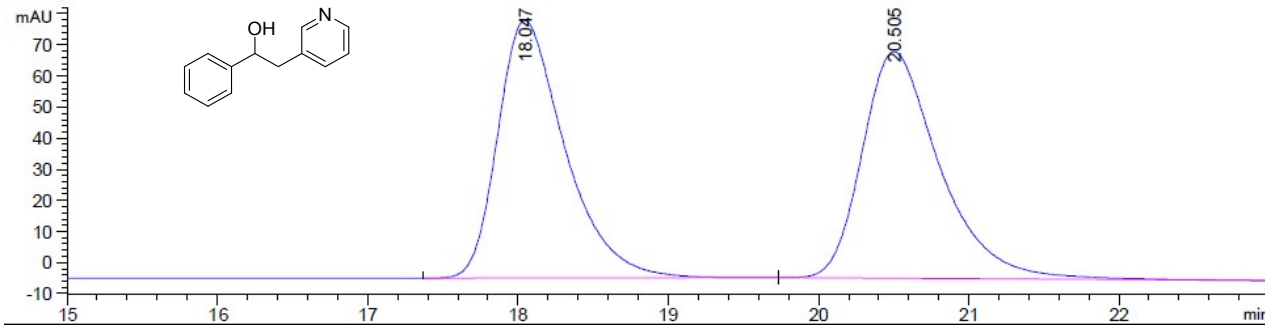


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	20.963	BV	0.5098	2304.82642	68.27533	47.4850
2	22.544	VB	0.5597	2548.97607	68.88526	52.5150

(R)-**2c** (*ee* > 99%) isolated from the bioreduction of **1c** with KRED-P2-G03

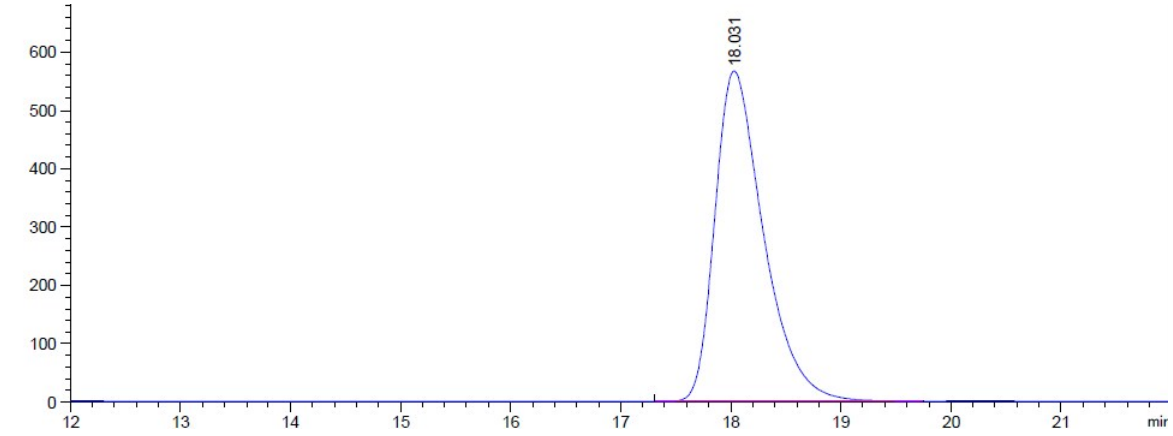


Racemic 1-phenyl-2-(pyridin-3-yl)ethanol **2d**

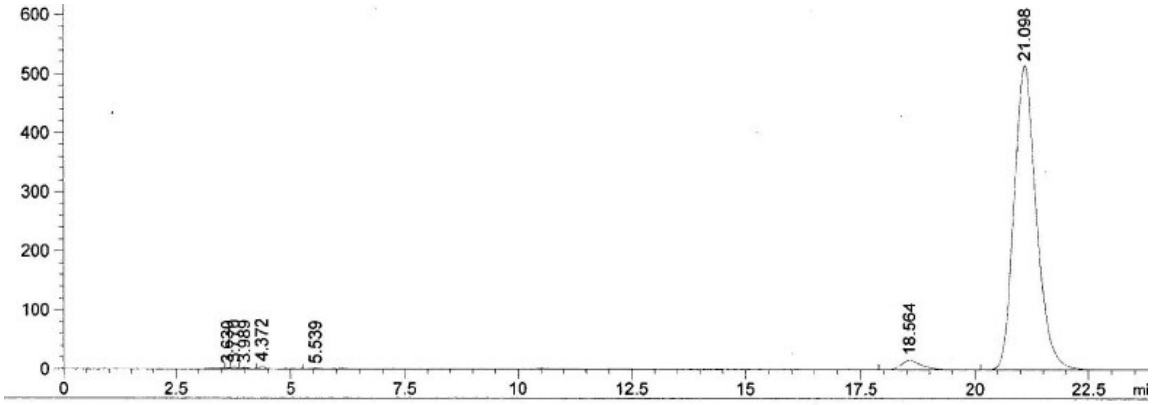


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	18.047	BB	0.4669	2576.54248	82.82652	49.3901
2	20.505	BB	0.5465	2640.17285	72.89650	50.6099

(S)-**2d** (*ee* > 99%) isolated from the bioreduction of **1d** with KRED-P1-B02

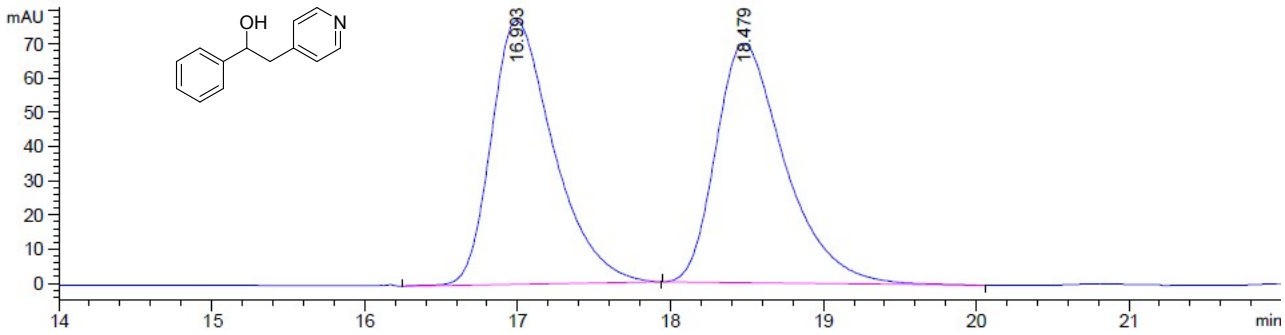


(R)-**2d** (*ee* = 94%) isolated from the bioreduction of **1d** with KRED-P2-G03



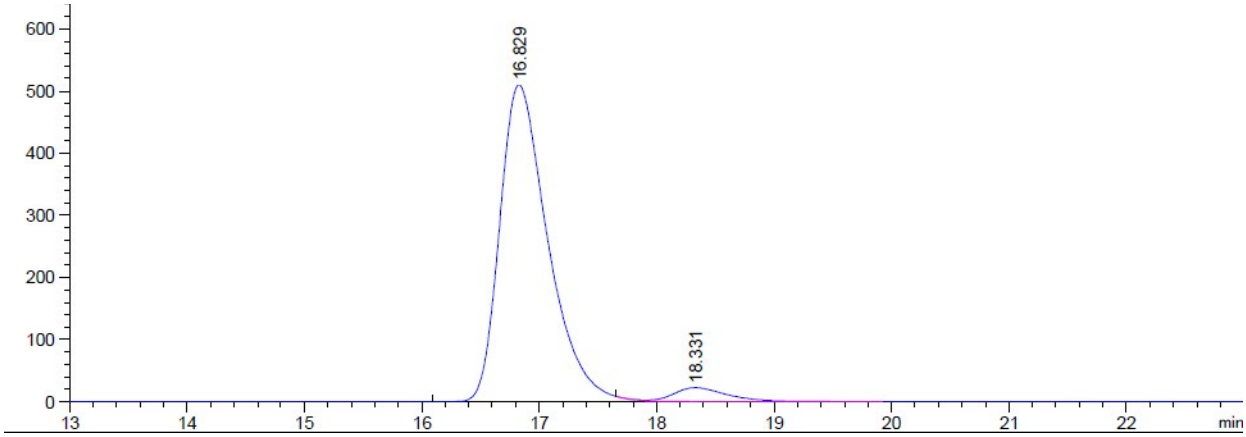
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	3.630	VV	0.0701	5.23252	1.08829	0.0286
2	3.770	VB	0.0975	17.60421	2.79285	0.0962
3	3.989	BB	0.1365	17.43018	2.02256	0.0953
4	4.372	BB	0.1036	34.57659	5.06653	0.1890
5	5.539	BB	0.1840	11.79423	1.01208	0.0645
6	18.564	BB	0.4682	509.93564	16.51667	2.7870
7	21.098	BB	0.5198	1.77001e4	516.52386	96.7394

Racemic 1-phenyl-2-(pyridin-4-yl)ethanol **2e**



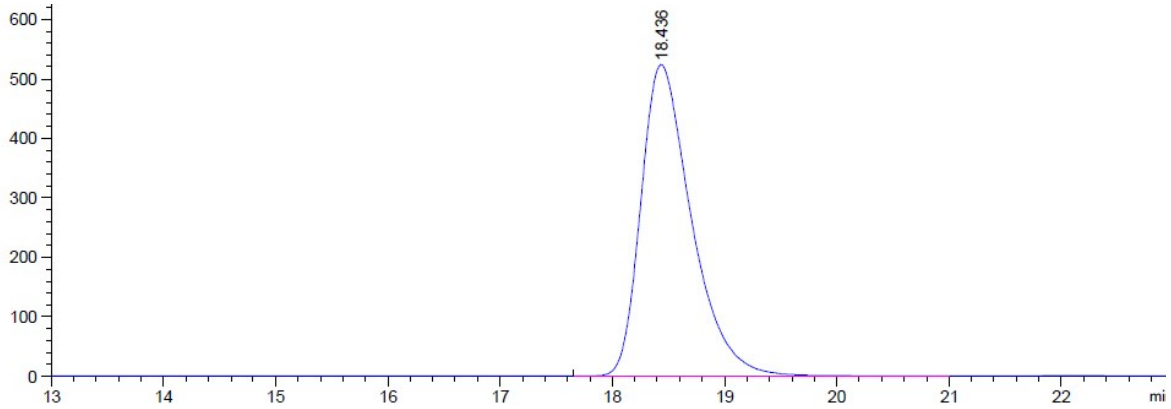
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	16.993	BB	0.4269	2188.49194	77.17197	49.9339
2	18.479	BB	0.4676	2194.28833	70.02798	50.0661

(R)-**2e** (*ee* = 91%) isolated from the bioreduction of **1e** with KRED-P2-G03

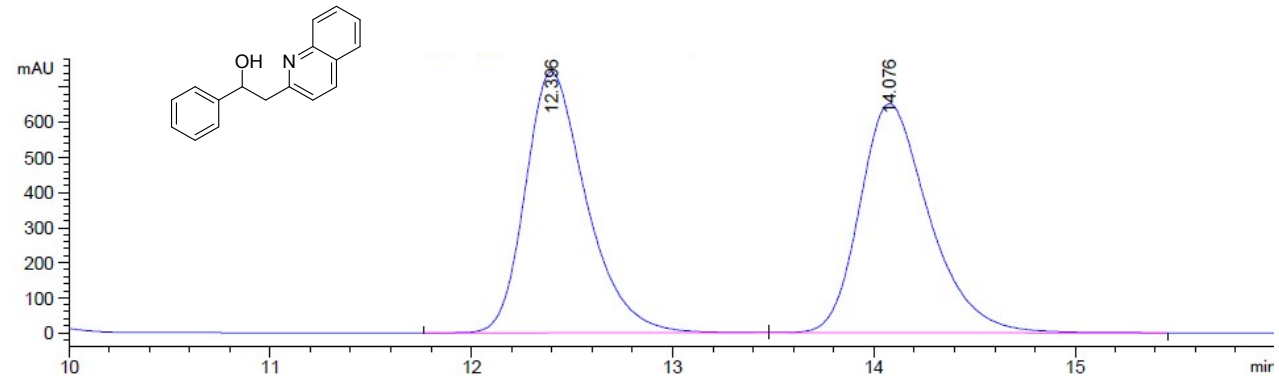


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	16.829	BV R	0.4310	1.45646e4	510.20673	95.2883
2	18.331	VB E	0.4800	720.17188	22.46741	4.7117

(S)-**2e** (*ee* > 99%) isolated from the bioreduction of **1d** with KRED-P1-B02

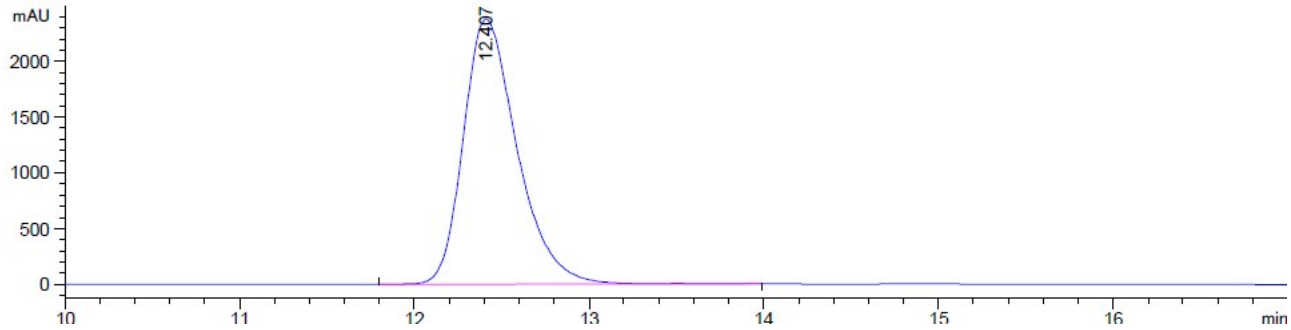


Racemic 1-(phenyl)-2-(quinolin-2-yl)ethanol **2f**

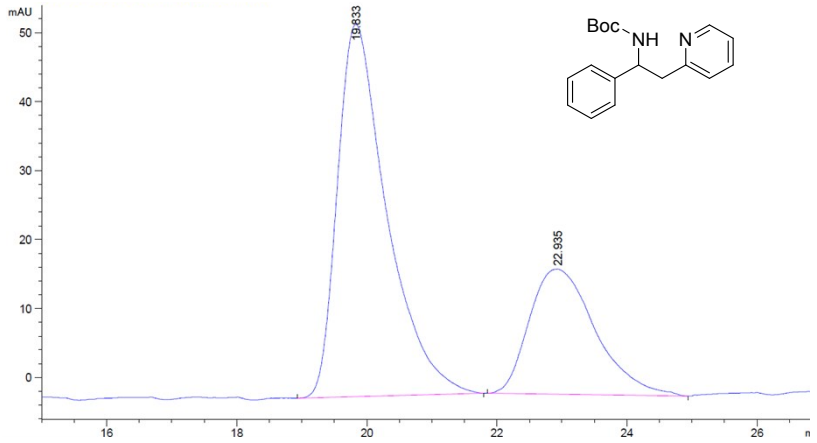


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.396	BB	0.3176	1.56511e4	743.60828	50.0085
2	14.076	BB	0.3638	1.56458e4	652.39044	49.9915

(S)-**2f** (*ee* >99%) isolated from the bioreduction of **1f** with KRED-P1-B02

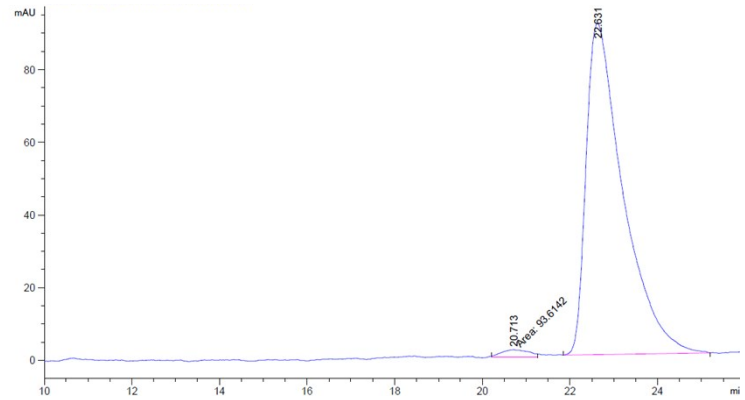


Enantioenriched (ee = 38%) sample of tert-butyl (R)-[1-phenyl-2-(pyridine-2-yl)ethyl]carbamate **7**



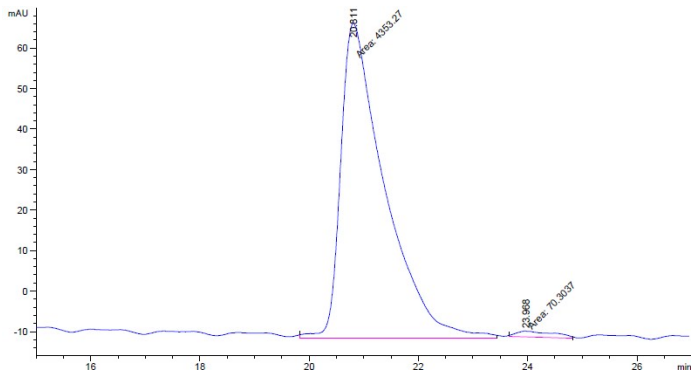
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	19.833	BB	0.7687	2854.10400	53.98949	69.0475
2	22.935	BB	0.9130	1279.43213	18.13781	30.9525

(S)-**7** (*ee* = 97%) derived from (*S*)-**6** and (*S*)-**5**, in the strategy starting from (*R*)-**2a** (*ee* > 99%)



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	20.713	MM	0.7439	93.61415	2.09734	1.7205
2	22.631	BB	0.8448	5347.37207	91.10446	98.2795

(R)-**7** (*ee* = 97%) derived from (*R*)-**6** and (*R*)-**5**, in the strategy starting from (*S*)-**2a** (*ee* > 99%)

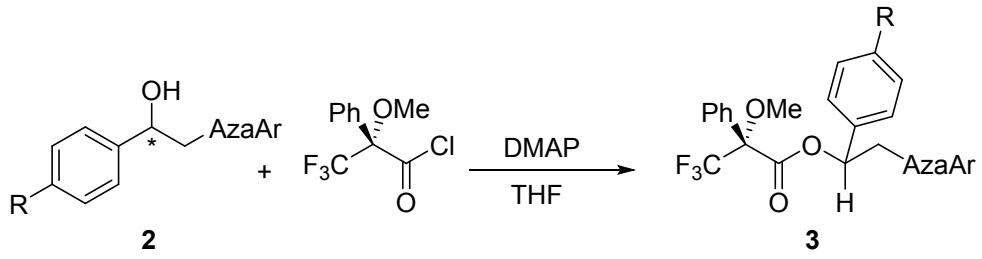


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	20.811	MM	0.9333	4353.26807	77.74271	98.4107
2	23.968	MM	0.8383	70.30371	1.39770	1.5893

6. Assignment of the absolute configuration of the optically active alcohols 2 from their MTPA ester derivatives 3

*General procedure for the preparation of esters **3**.*

A solution of the corresponding racemic or enantioenriched alcohol **2** (75 μmol) in anhydrous THF (1.5 mL) was treated with 4-(dimethylamino)pyridine (150 μmol) and (*S*)-MTPA-Cl (100 μmol). After completion (TLC control, hexane:ethyl acetate 1:1), aqueous saturated $NaHCO_3$ (8 mL) was added to the mixture and then it was extracted with ethyl acetate (3 × 10 mL). The joined organic phases were washed with brine (10 mL) and dried with anh. Na_2SO_4. Evaporation of the solvent and subsequent flash column chromatography purification (hexane-ethyl acetate mixtures as the eluent) yielded the MTPA ester derivatives **3** (Scheme S2).



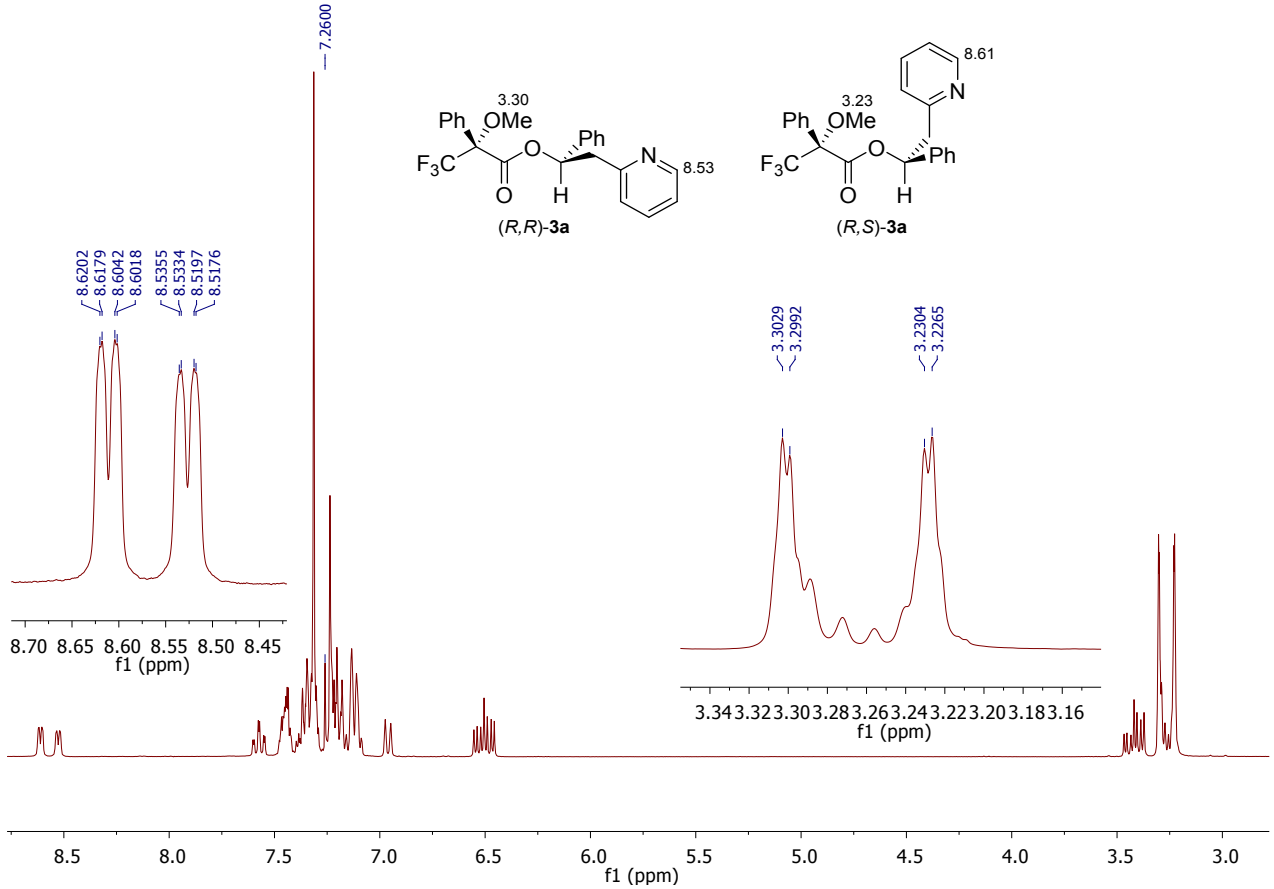
Ester	R	AzaAr
3a	H	2-Py
3b	F	2-Py
3c	OMe	2-Py
3d	H	3-Py
3e	H	4-Py
3f	H	2-Q

Scheme S2

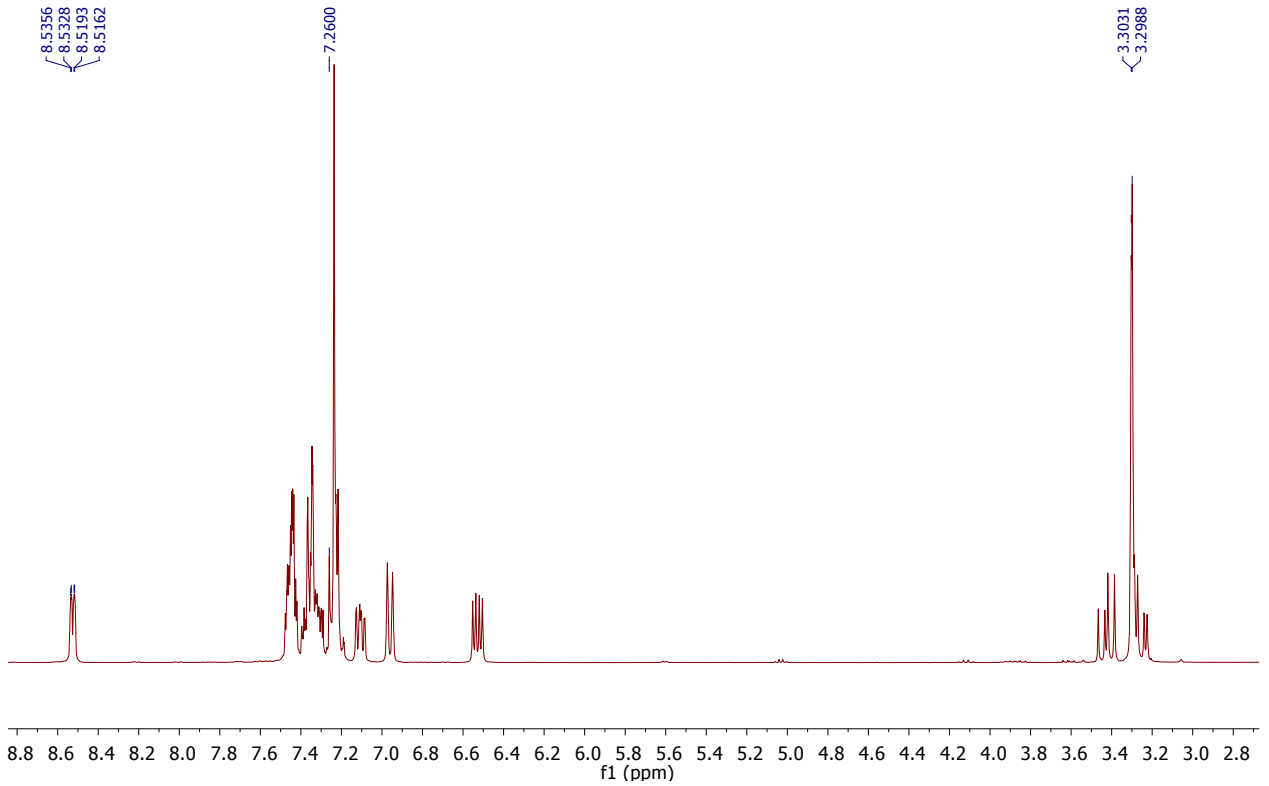
^{1}H-NMR spectra ($CDCl_3$) of the diastereomeric mixture of MTPA esters **3** and those obtained from the enantioenriched samples are collected bellow. The residual signal at 4.12 ppm of some spectra corresponds to CH_2 of residual ethyl acetate.

7. Copy of NMR spectra of Mosher ester derivatives 3

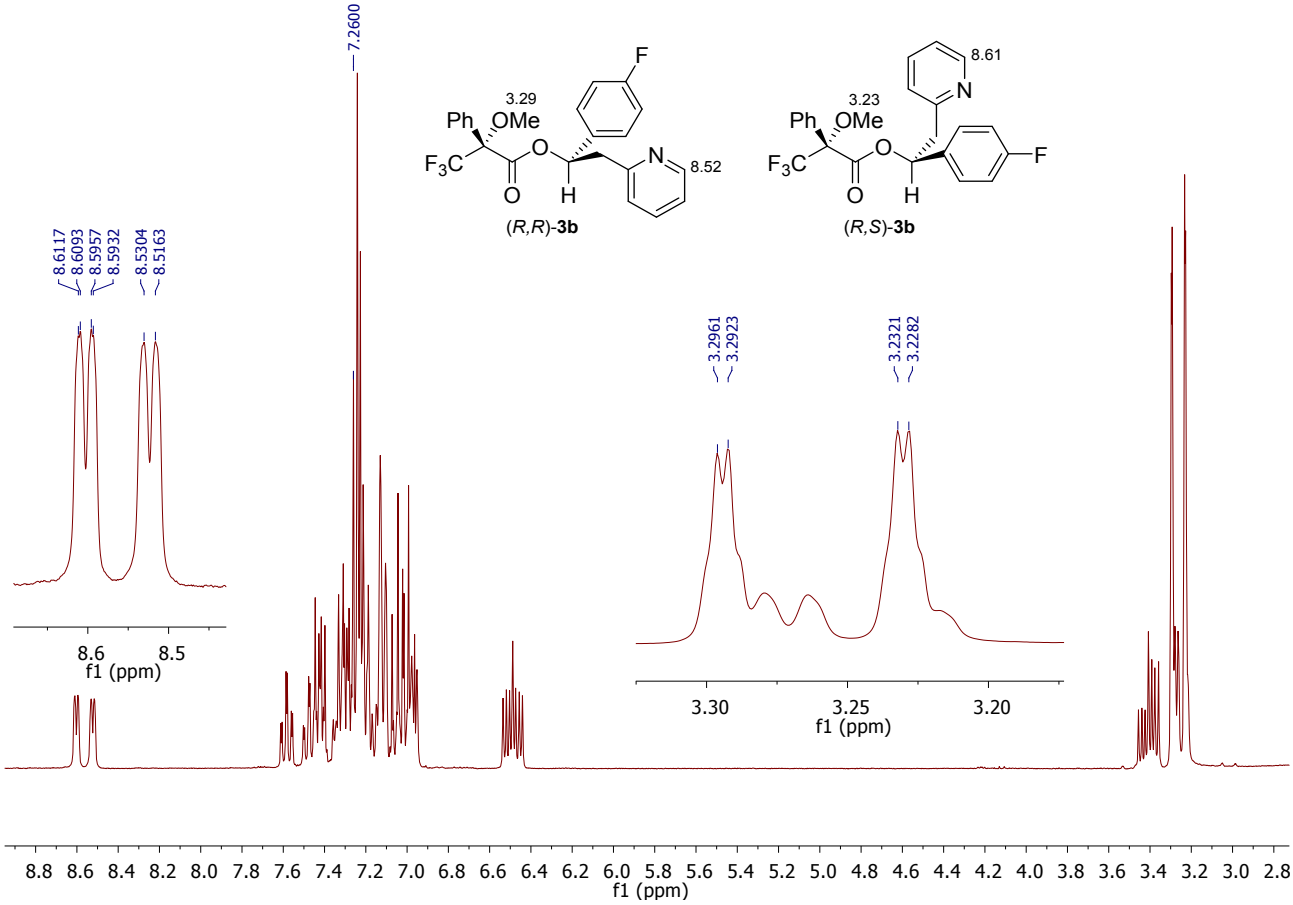
7.1.1. ^{1}H-NMR spectra (300 MHz) of the diastereomeric mixture of MTPA esters **3a** prepared from racemic alcohol **2a.**



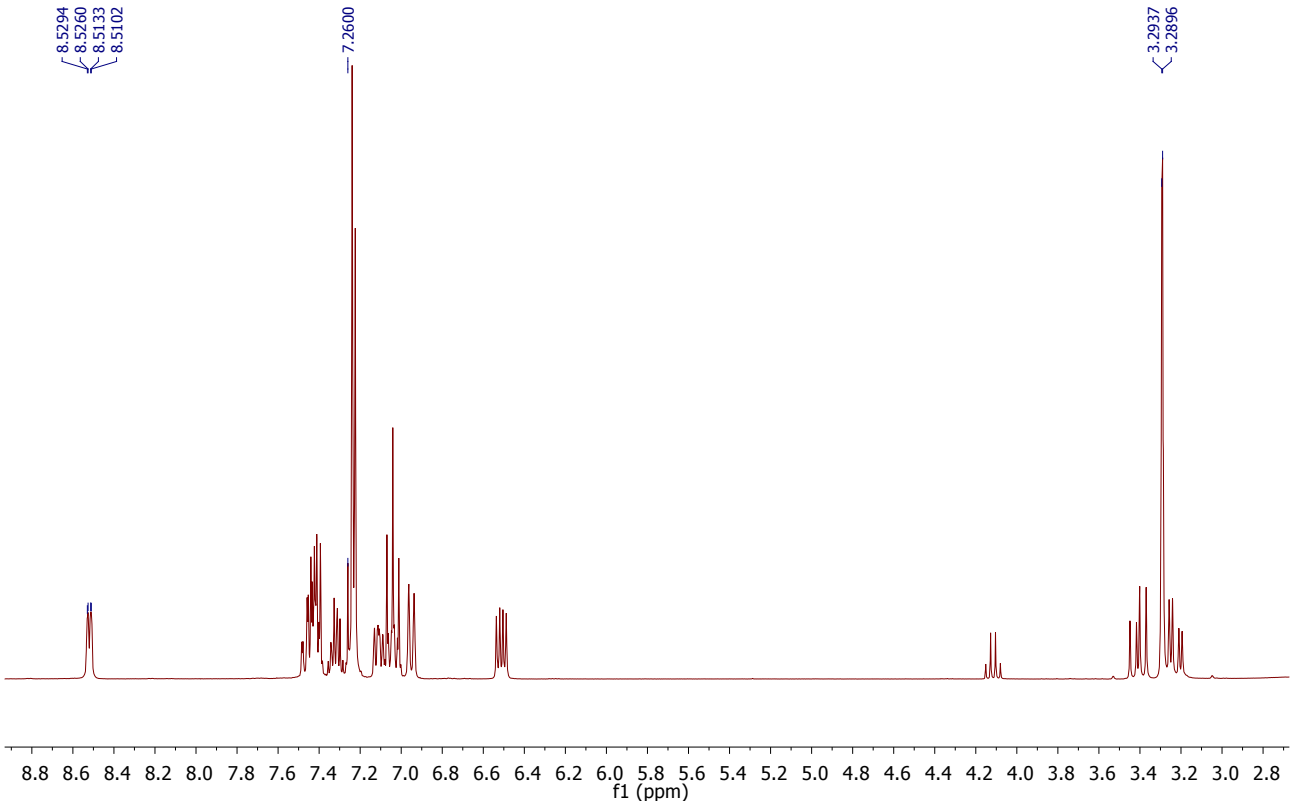
7.1.2. ^{1}H-NMR spectrum (300 MHz) of (*R,R*)-**3a** obtained from (*R*)-**2a**.



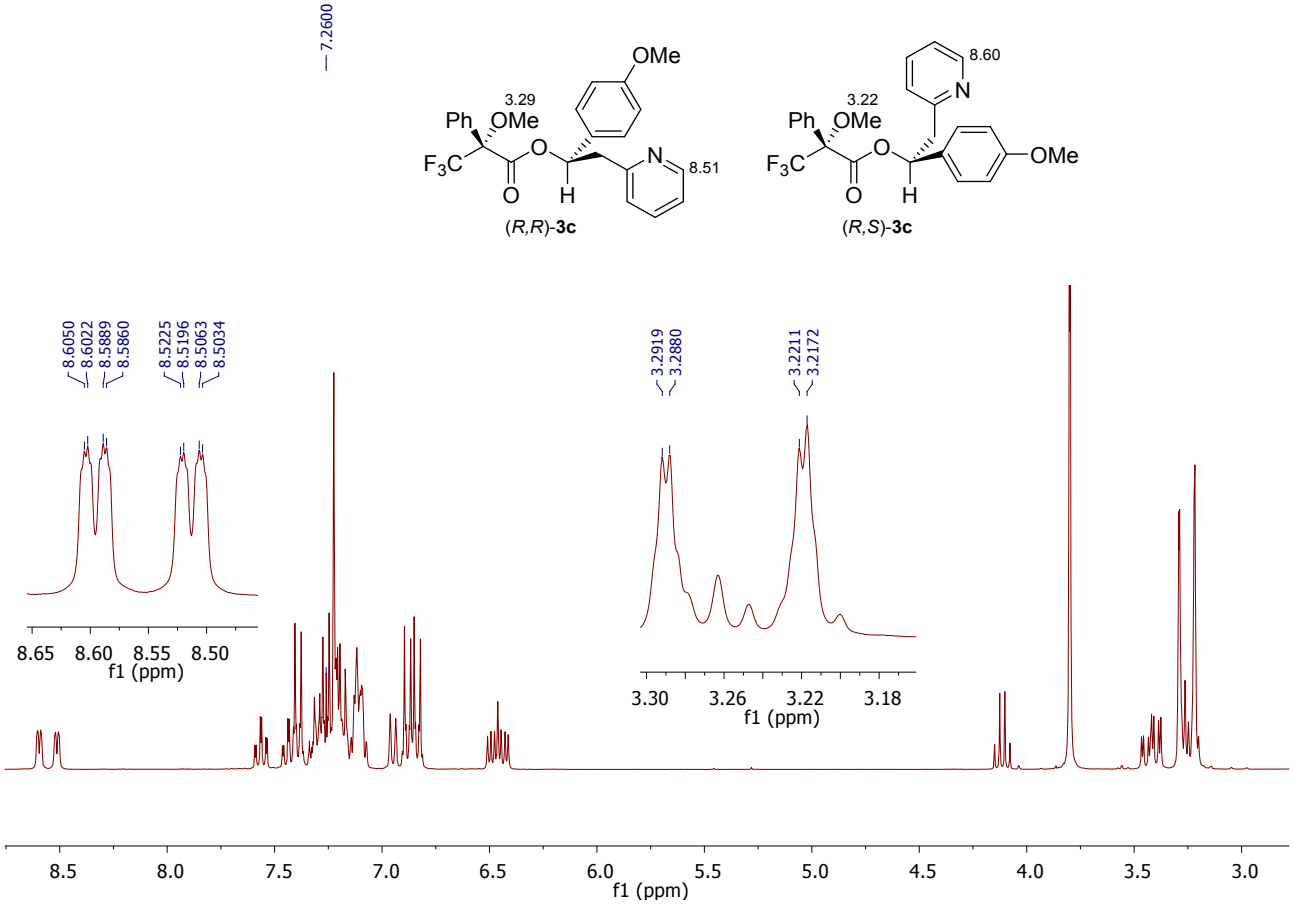
7.2.1. ^{1}H-NMR spectra (300 MHz) of the diastereomeric mixture of MTPA esters **3b** prepared from racemic alcohol **2b.**



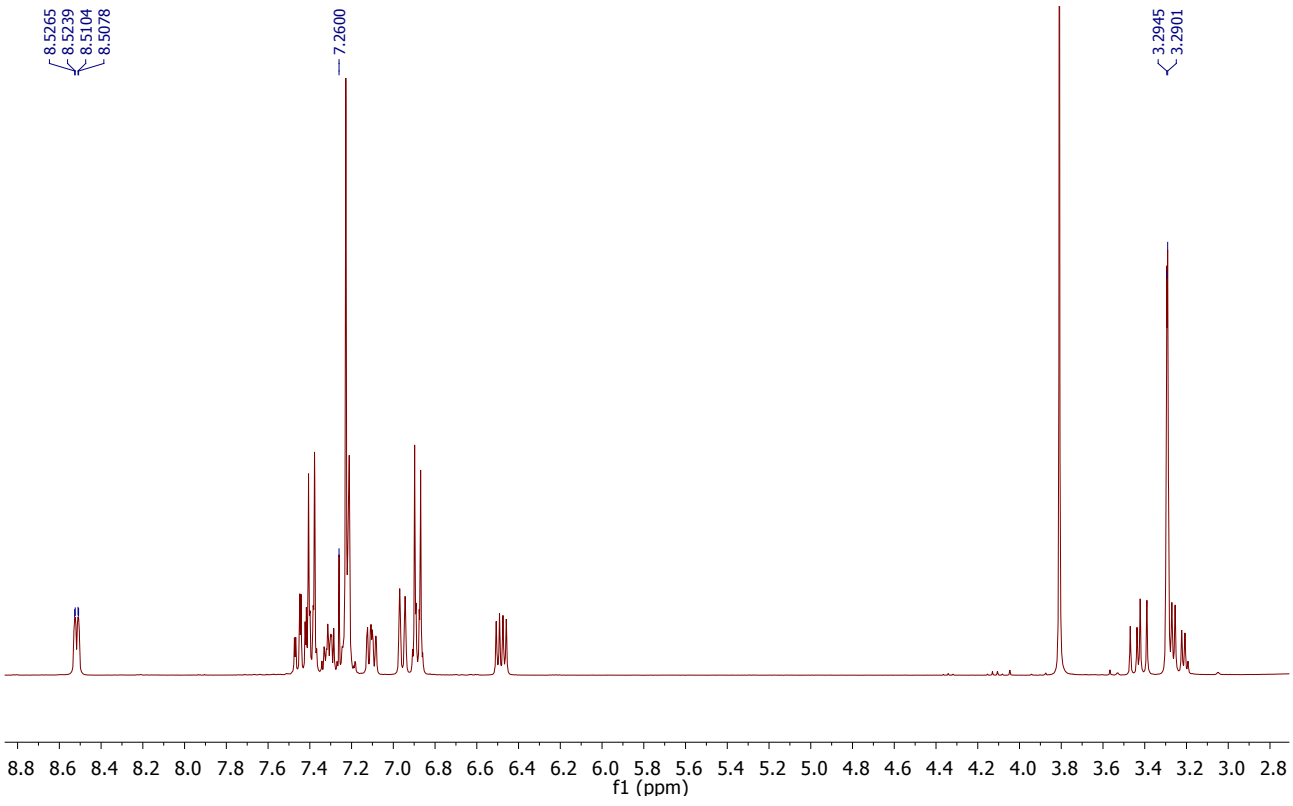
7.2.2. ^{1}H-NMR spectrum (300 MHz) of (*R,R*)-**3b** obtained from (*R*)-**2b**.



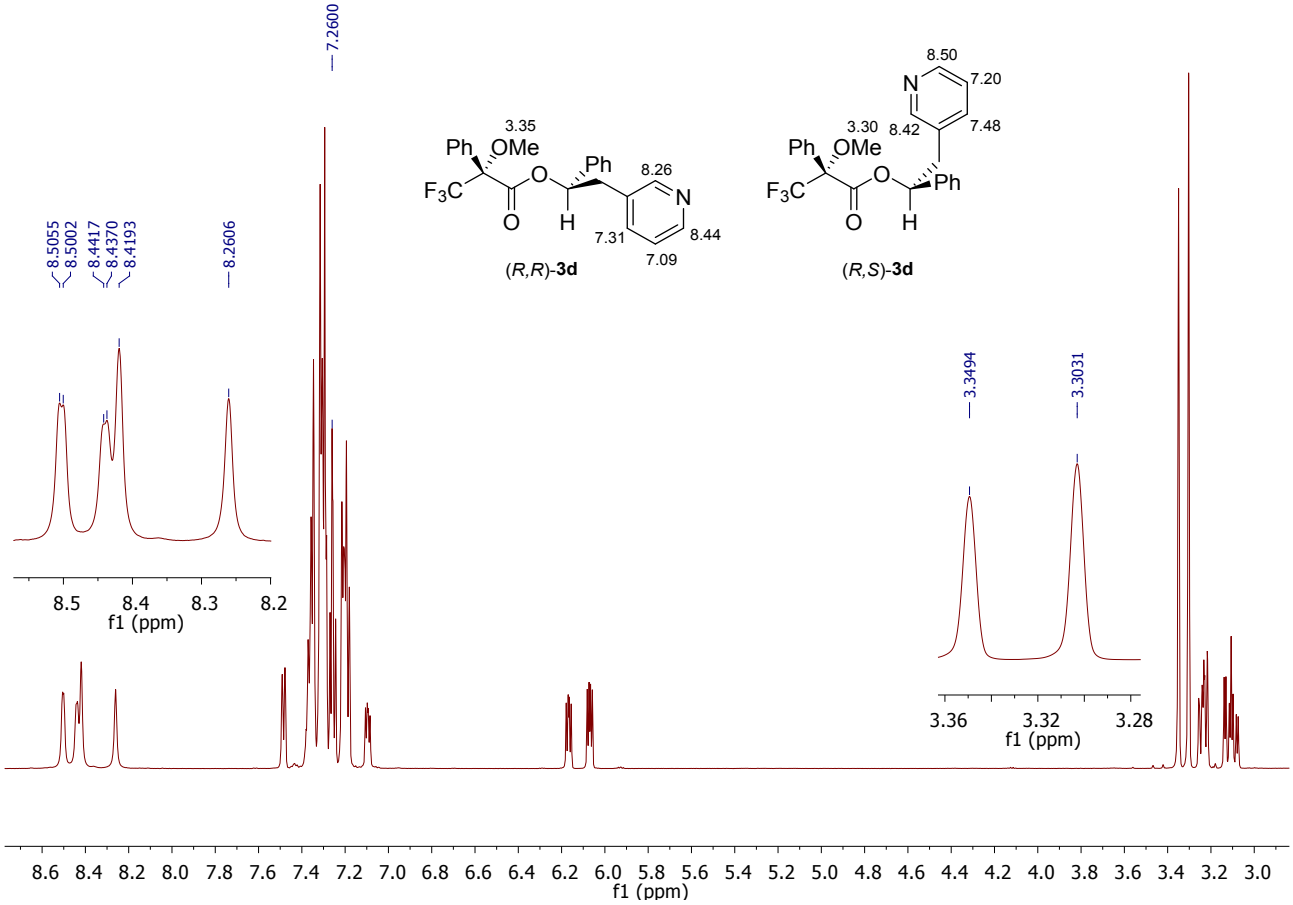
7.3.1. ^{1}H-NMR spectra (300 MHz) of the diastereomeric mixture of MTPA esters **3c** prepared from racemic alcohol **2c.**



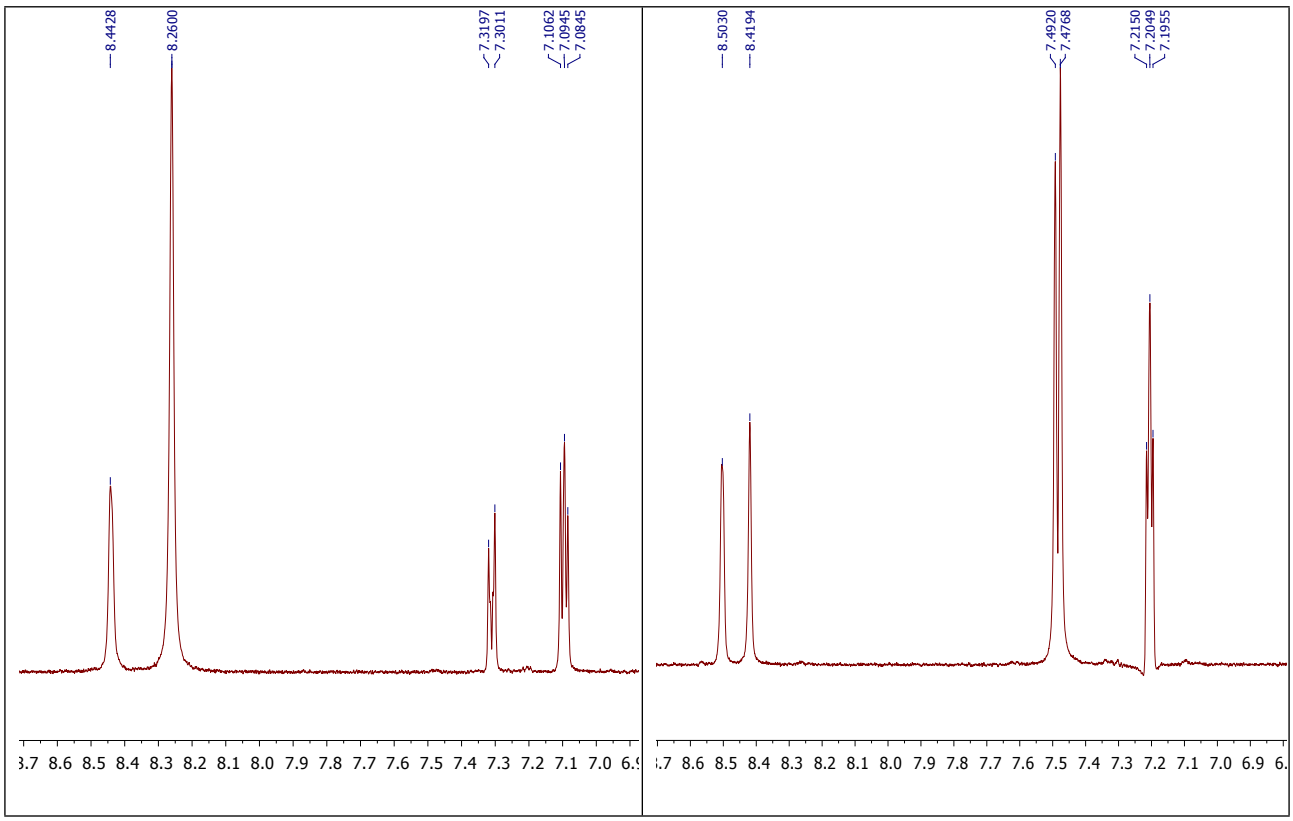
7.3.2. ^{1}H-NMR spectrum (300 MHz) of (*R,R*)-**3c** obtained from (*R*)-**2c**.



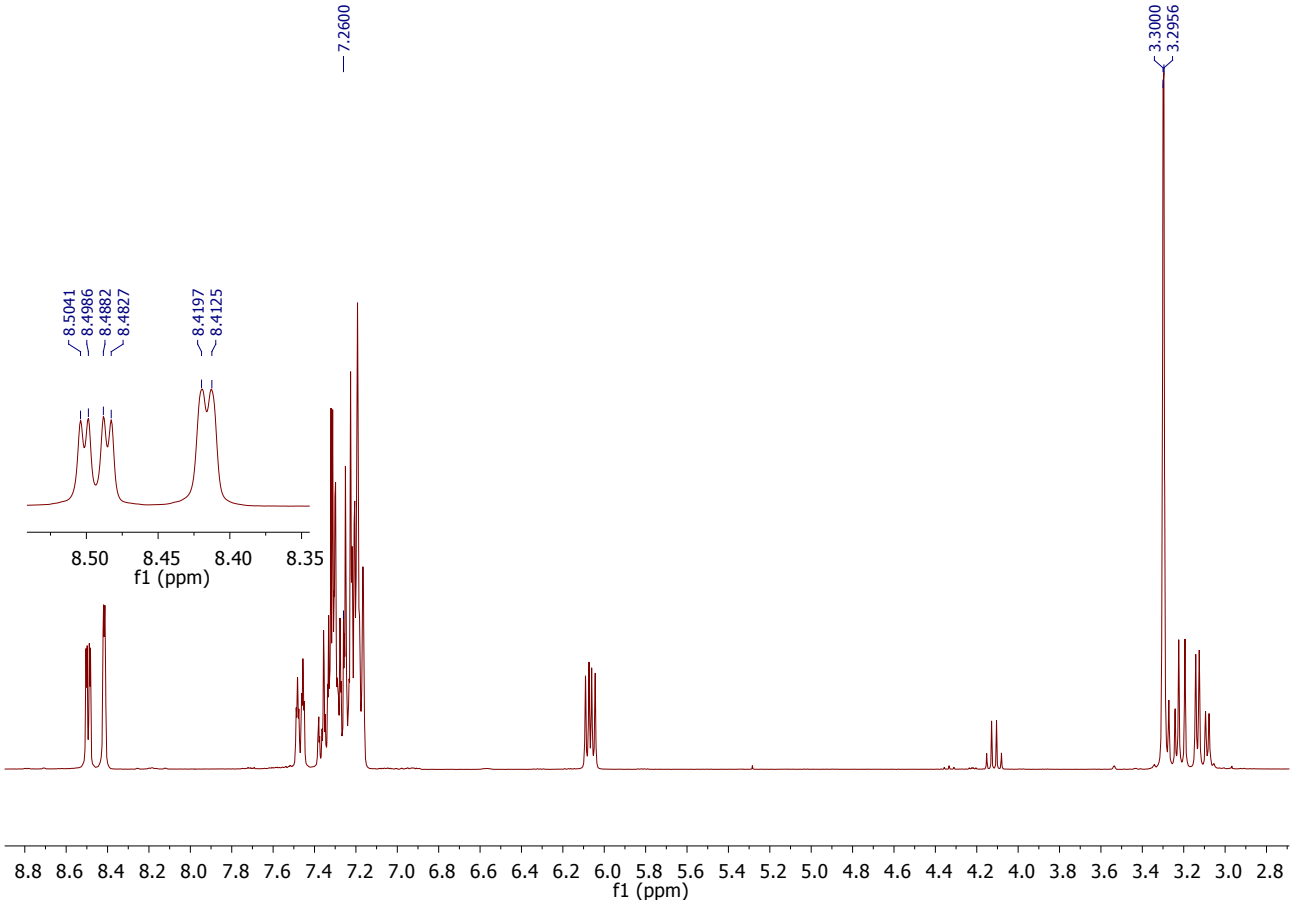
7.4.1. ^{1}H-NMR spectra (600 MHz) of the diastereomeric mixture of MTPA esters **3d** prepared from racemic alcohol **2d.**



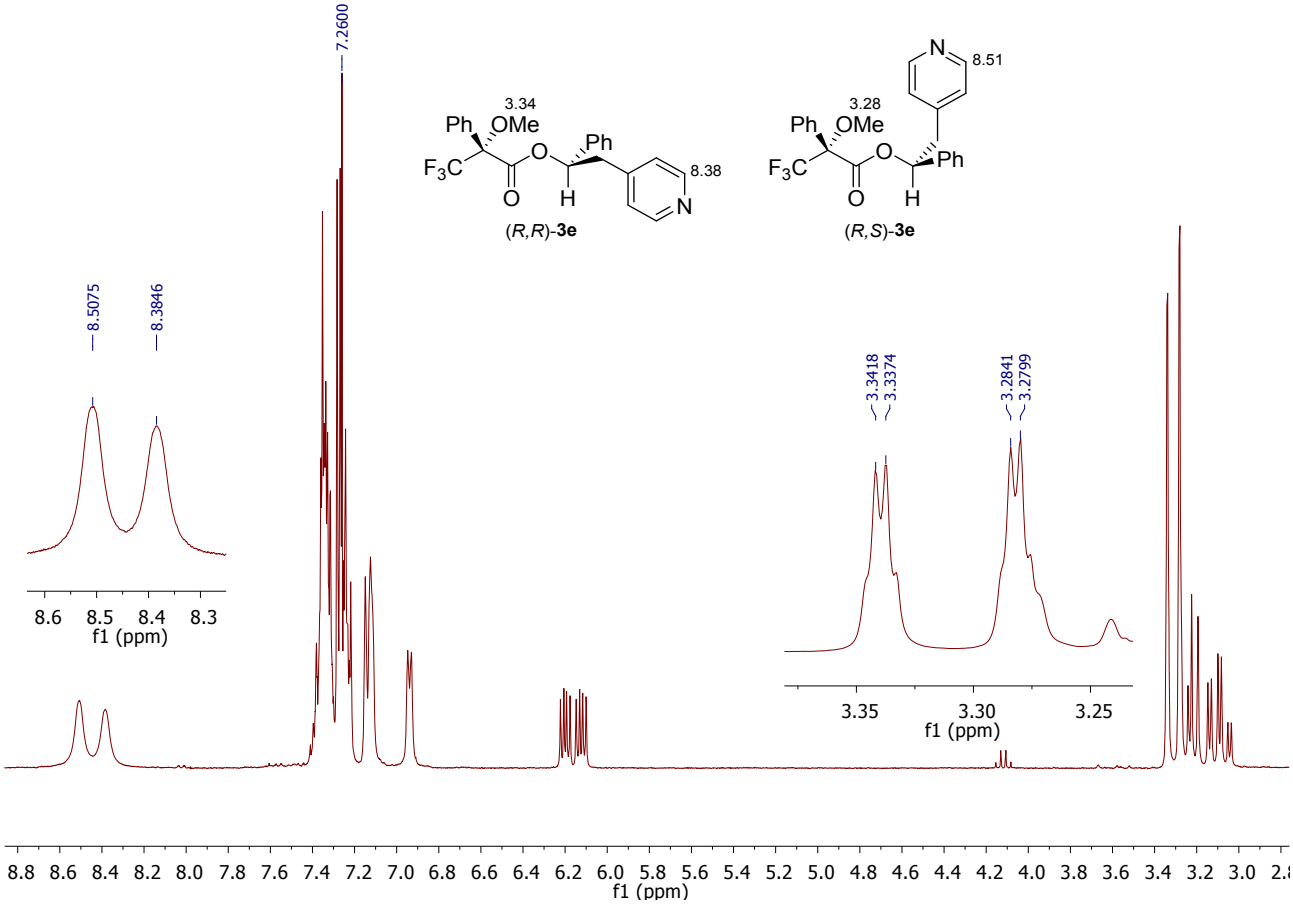
Selective 1D TOCSY experiments by excitation of the resonances at 8.26 (left) and 8.50 (right) ppm.



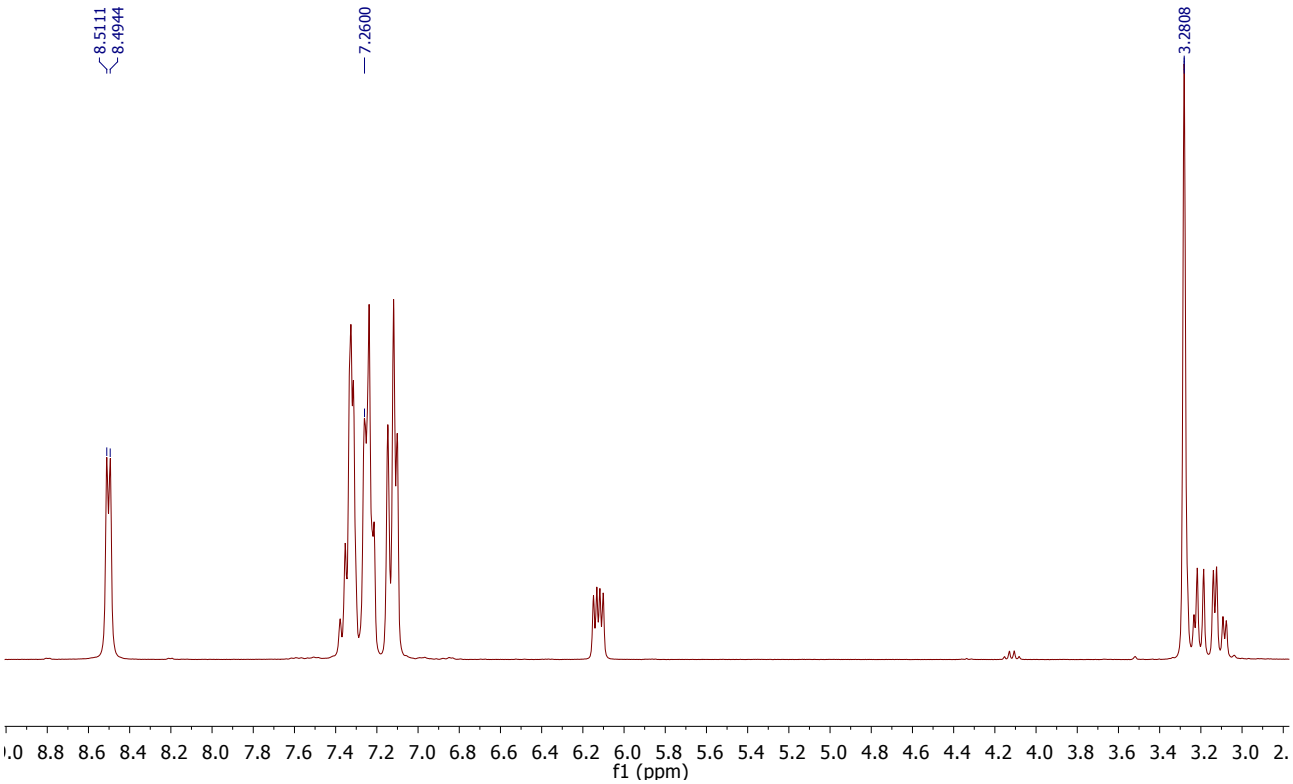
7.4.2. ^{1}H-NMR spectrum (600 MHz) of (*R*,*S*)-**3d** obtained from (*S*)-**2d**.



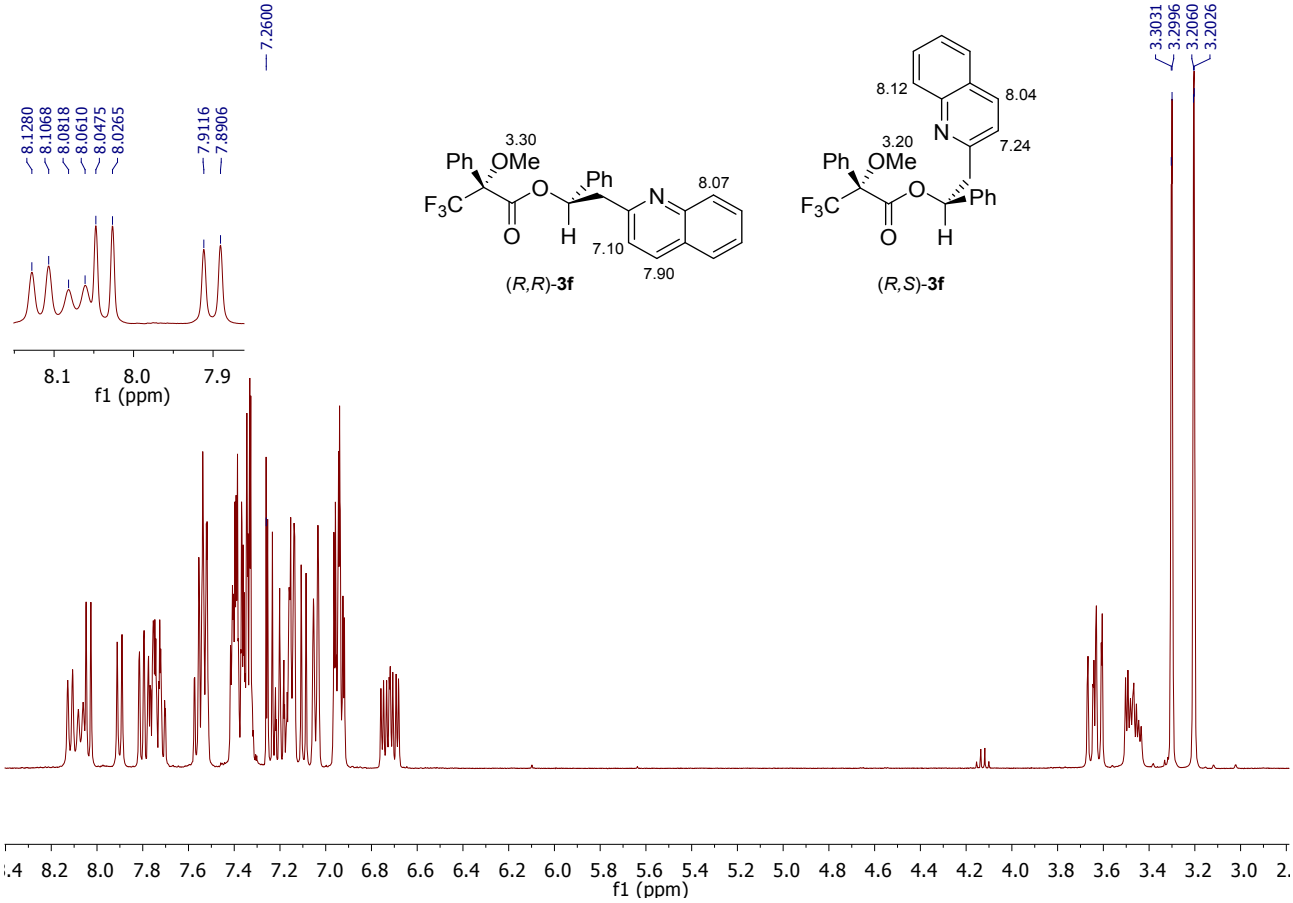
7.5.1. ^{1}H-NMR spectra (300 MHz) of the diastereomeric mixture of MTPA esters **3e** prepared from racemic alcohol **2e.**



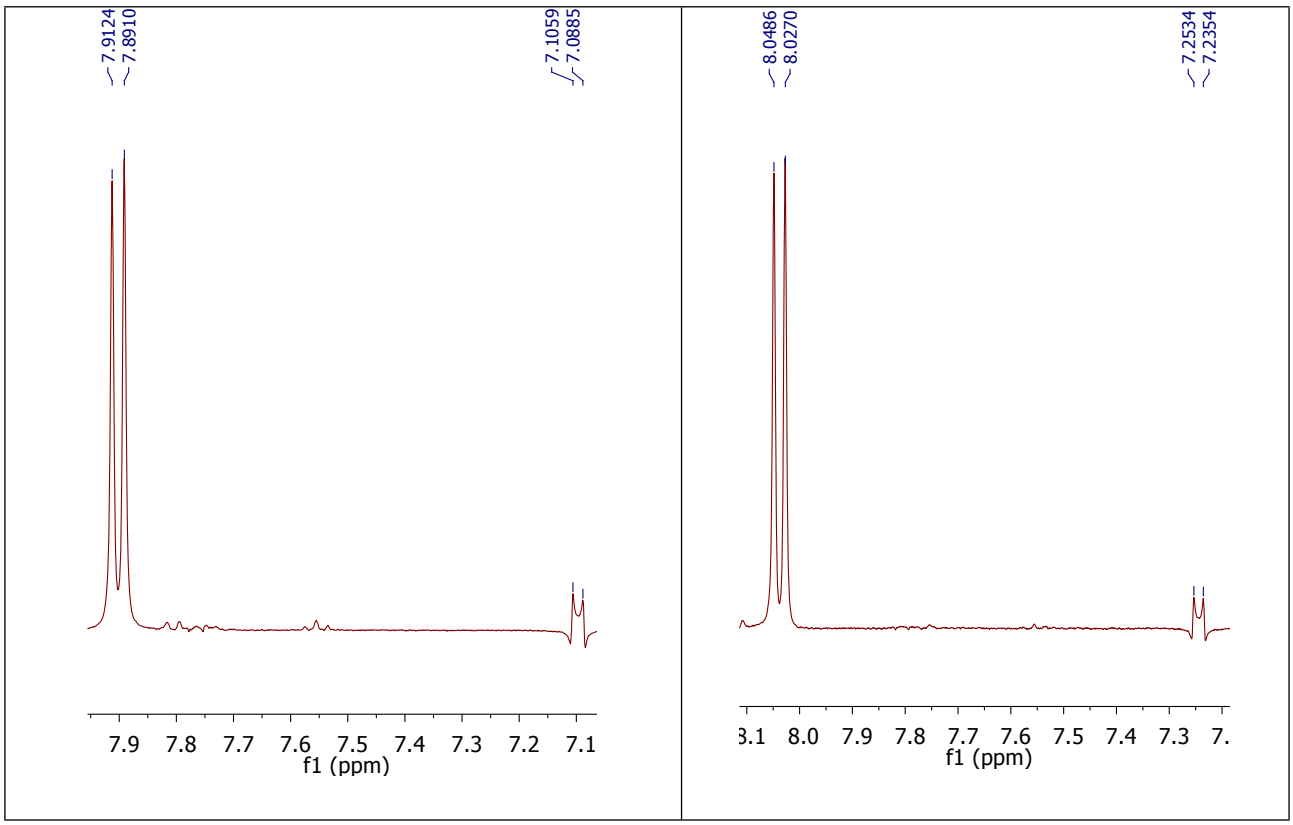
7.5.2. ^{1}H-NMR spectrum (300 MHz) of (*R,R*)-**3e** obtained from (*S*)-**2e**.



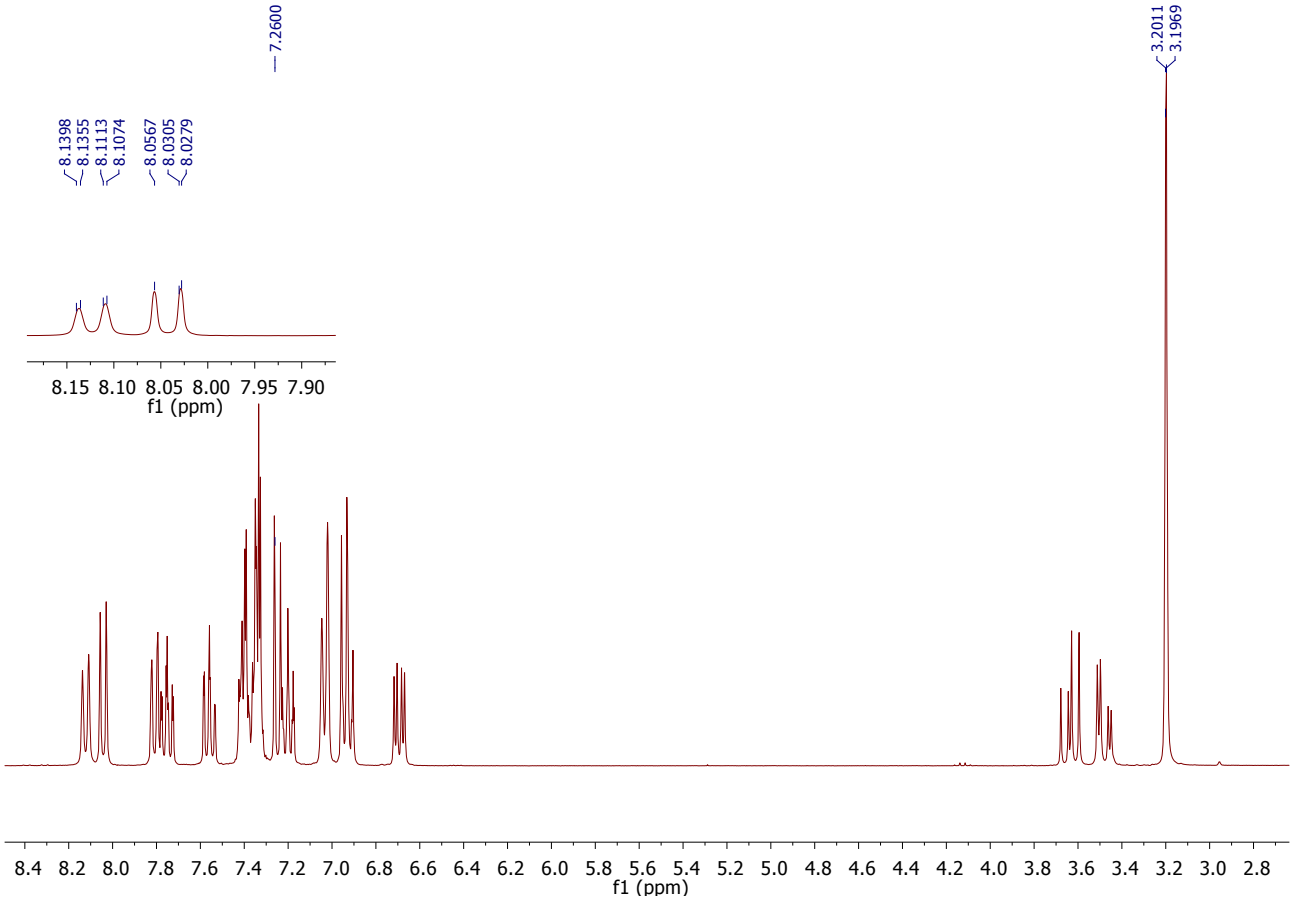
7.6.1. ^{1}H-NMR spectra (400 MHz) of the diastereomeric mixture of MTPA esters **3f** prepared from racemic alcohol **2f.**



Selective 1D TOCSY experiments by excitation of the resonances at 7.90 (left) and 8.04 (right) ppm.

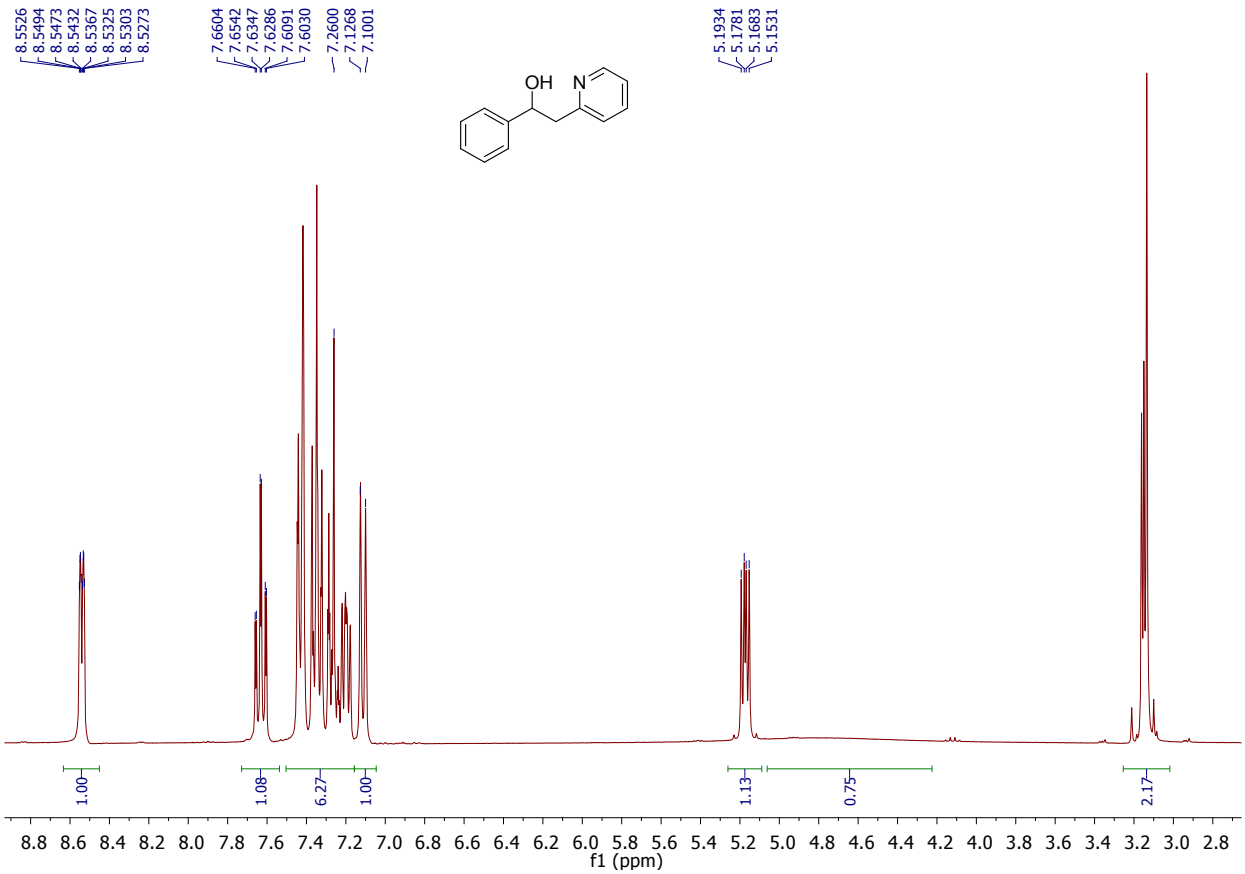


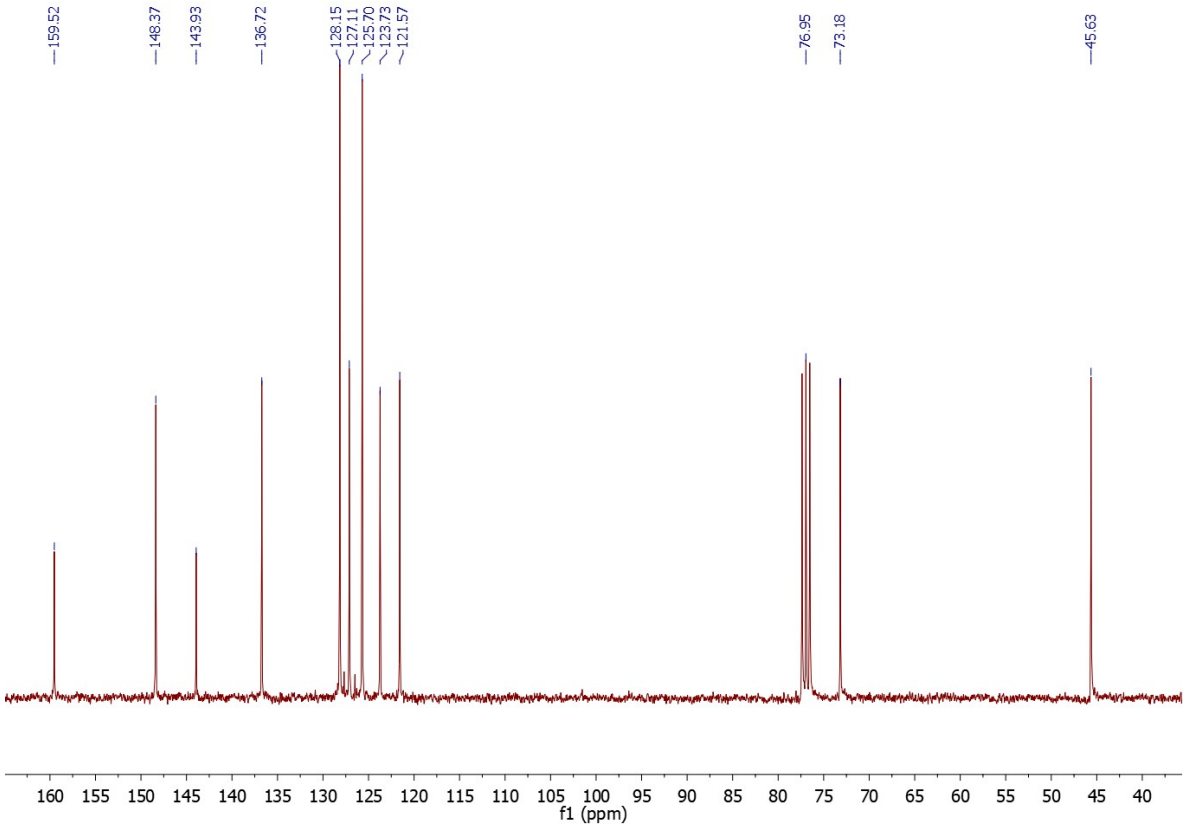
7.6.2. ^{1}H-NMR spectrum (300 MHz) of (*R,S*)-**3f** obtained from (*S*)-**2f**.



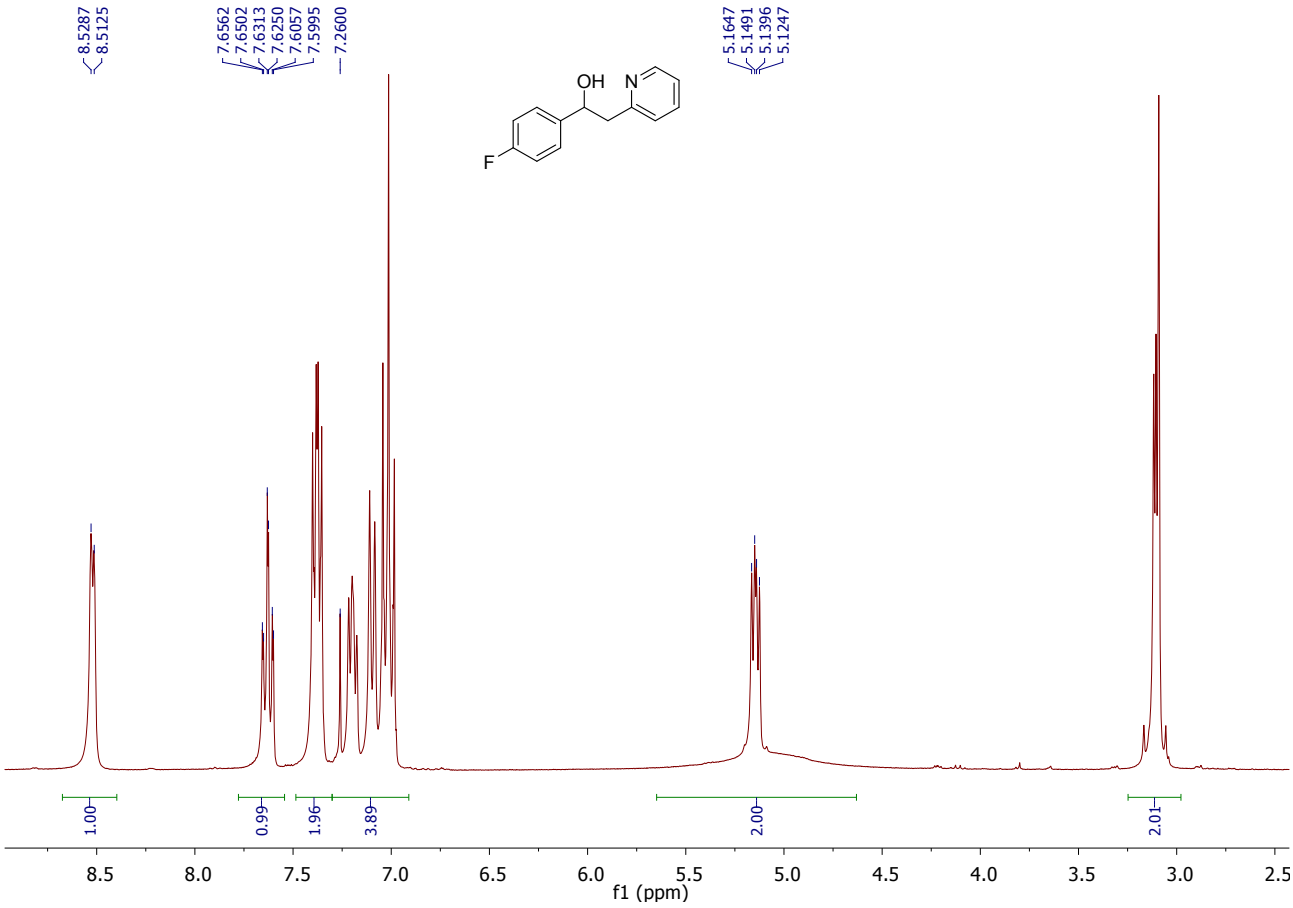
8. Copy of ^{1}H- and ^{13}C-NMR spectra of compounds 2a-f, 5, 6, and 7

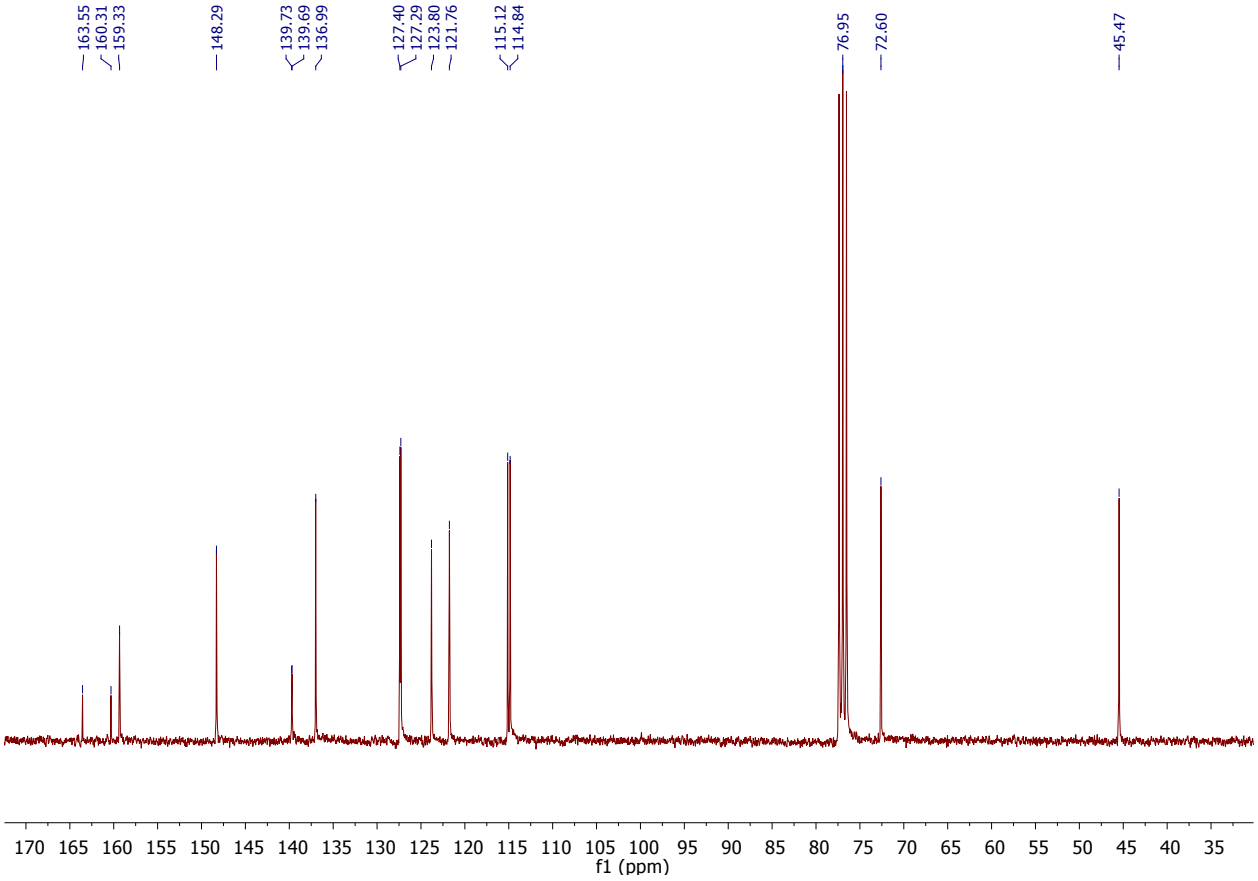
1-Phenyl-2-(pyridin-2-yl)ethanol ***2a***



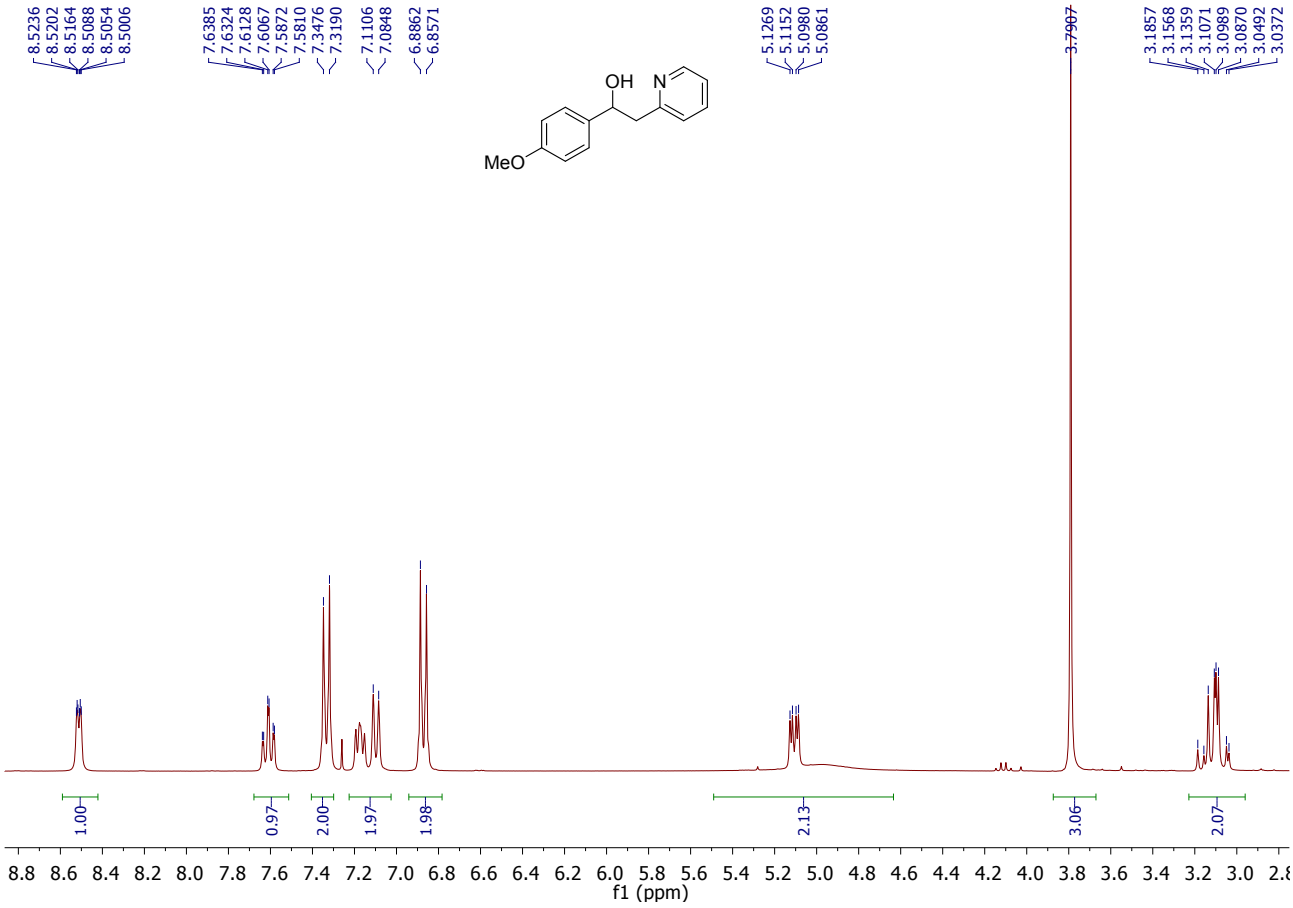


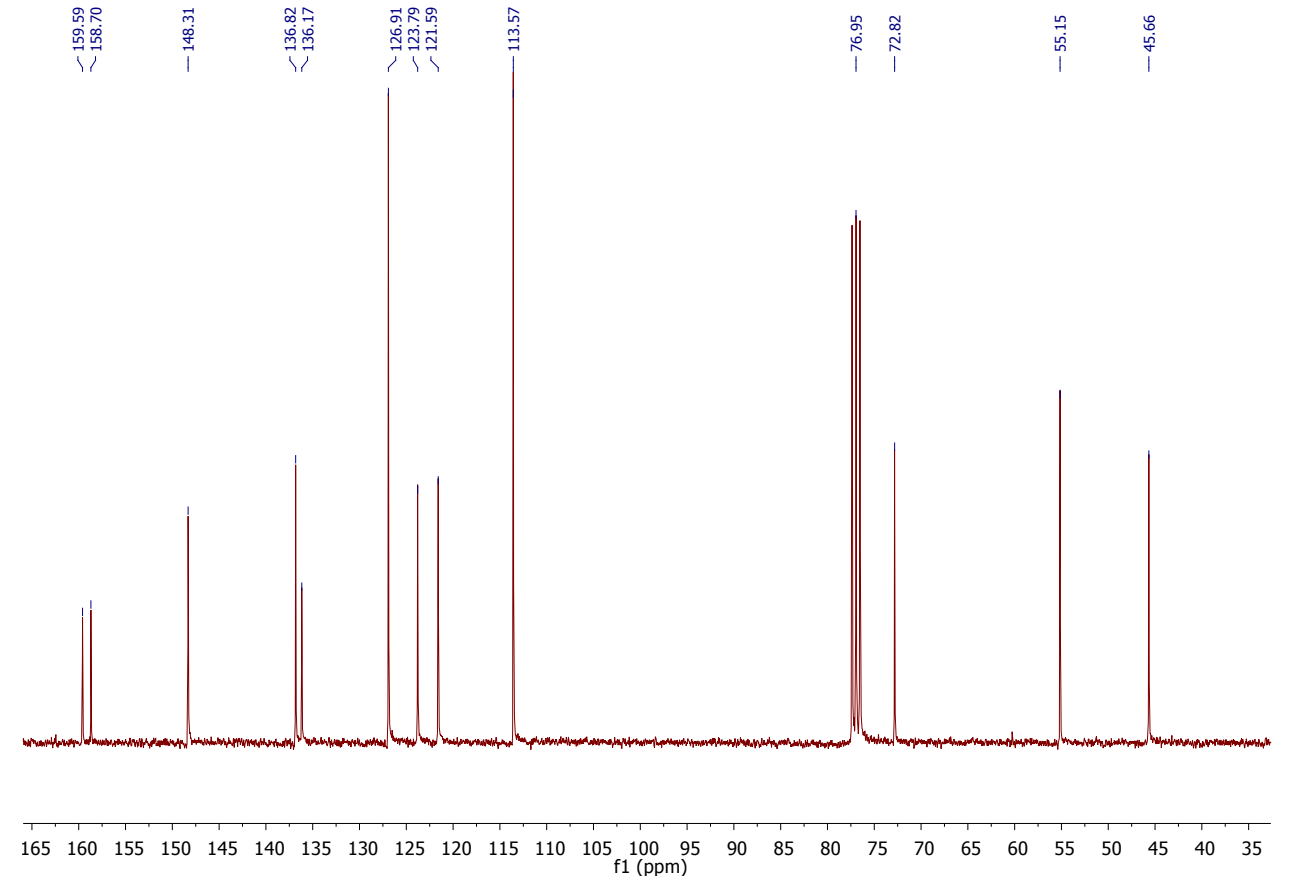
1-(4-Fluorophenyl-2-(pyridin-2-yl)ethanol ***2b***



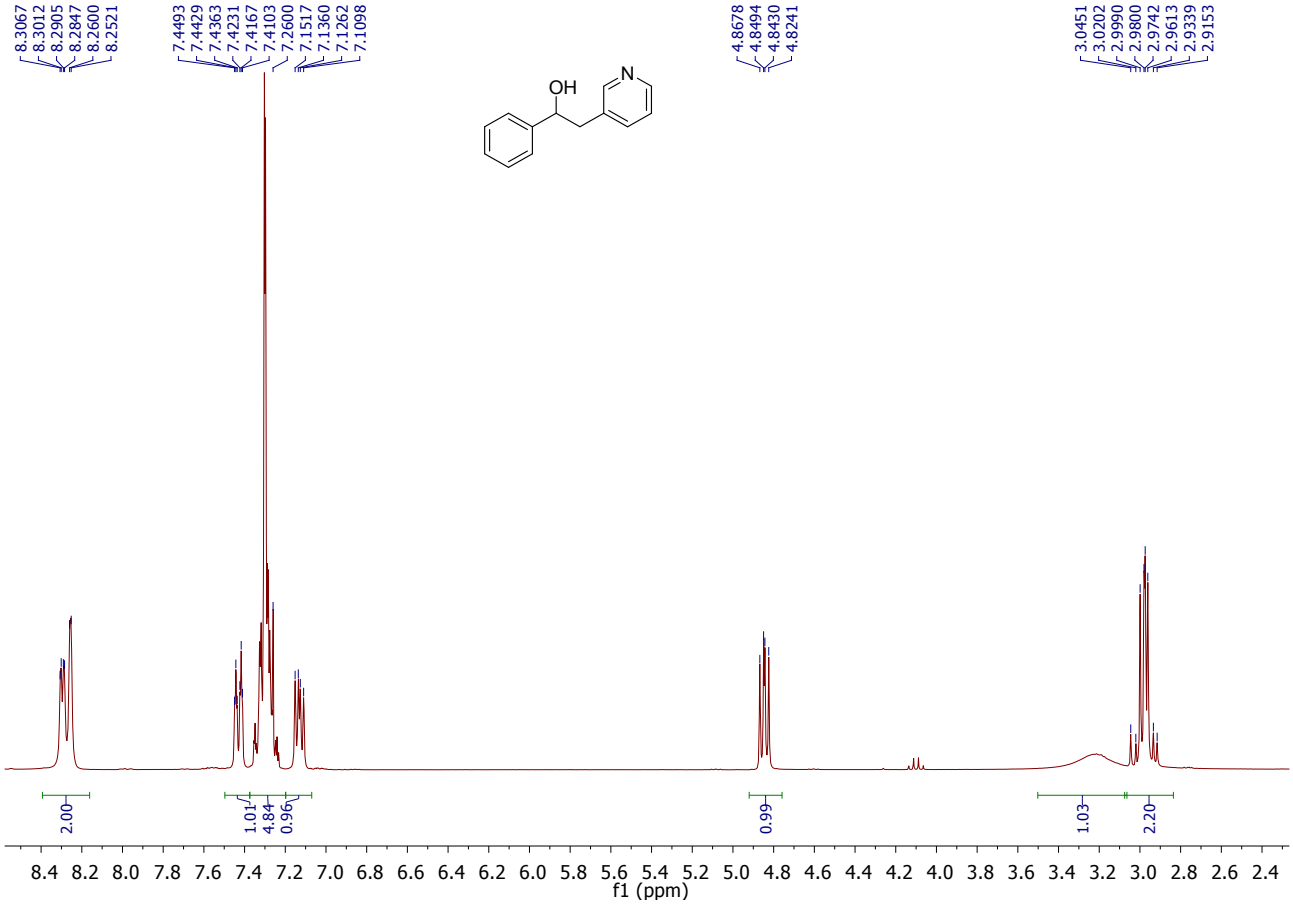


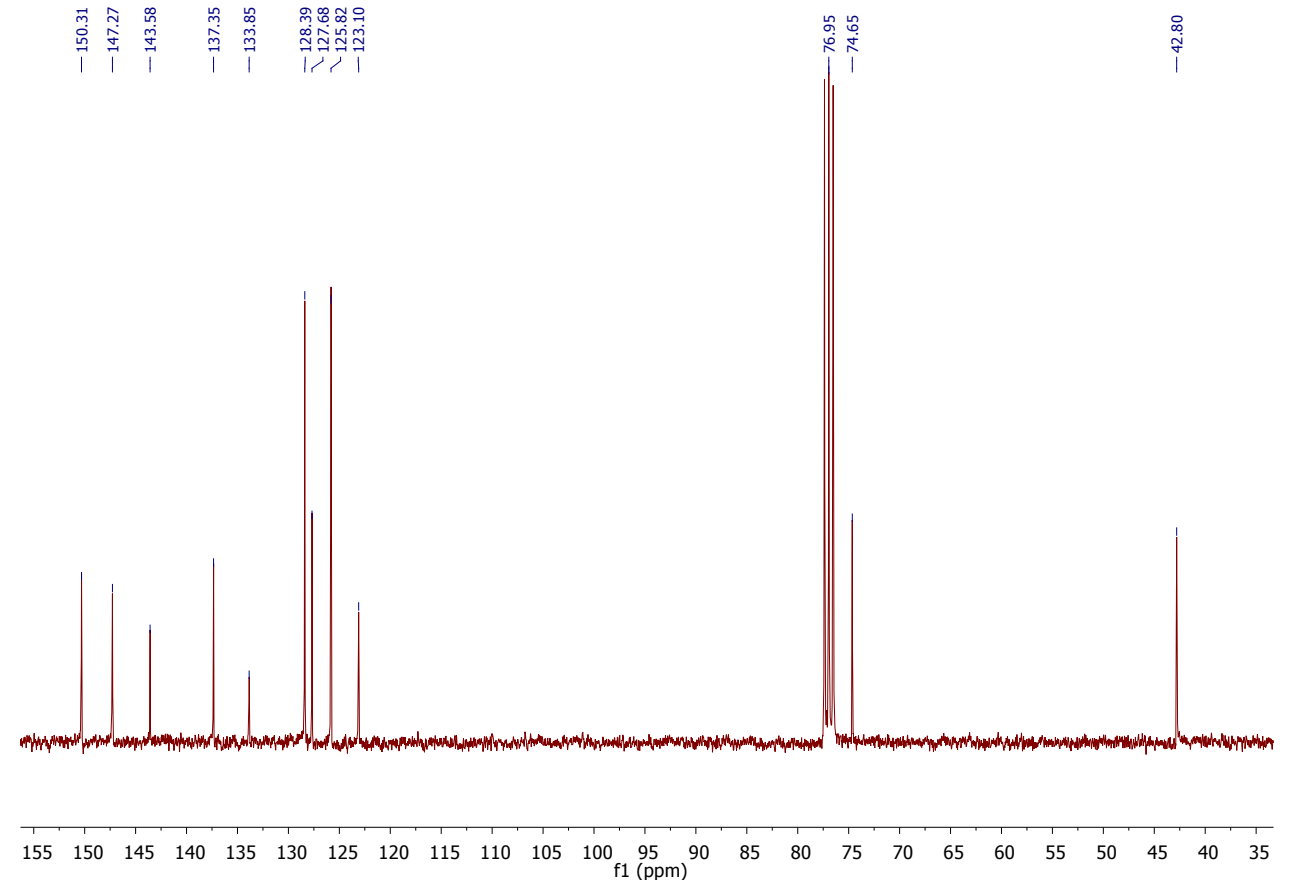
1-(4-Methoxyphenyl-2-(pyridin-2-yl)ethanol ***2c***



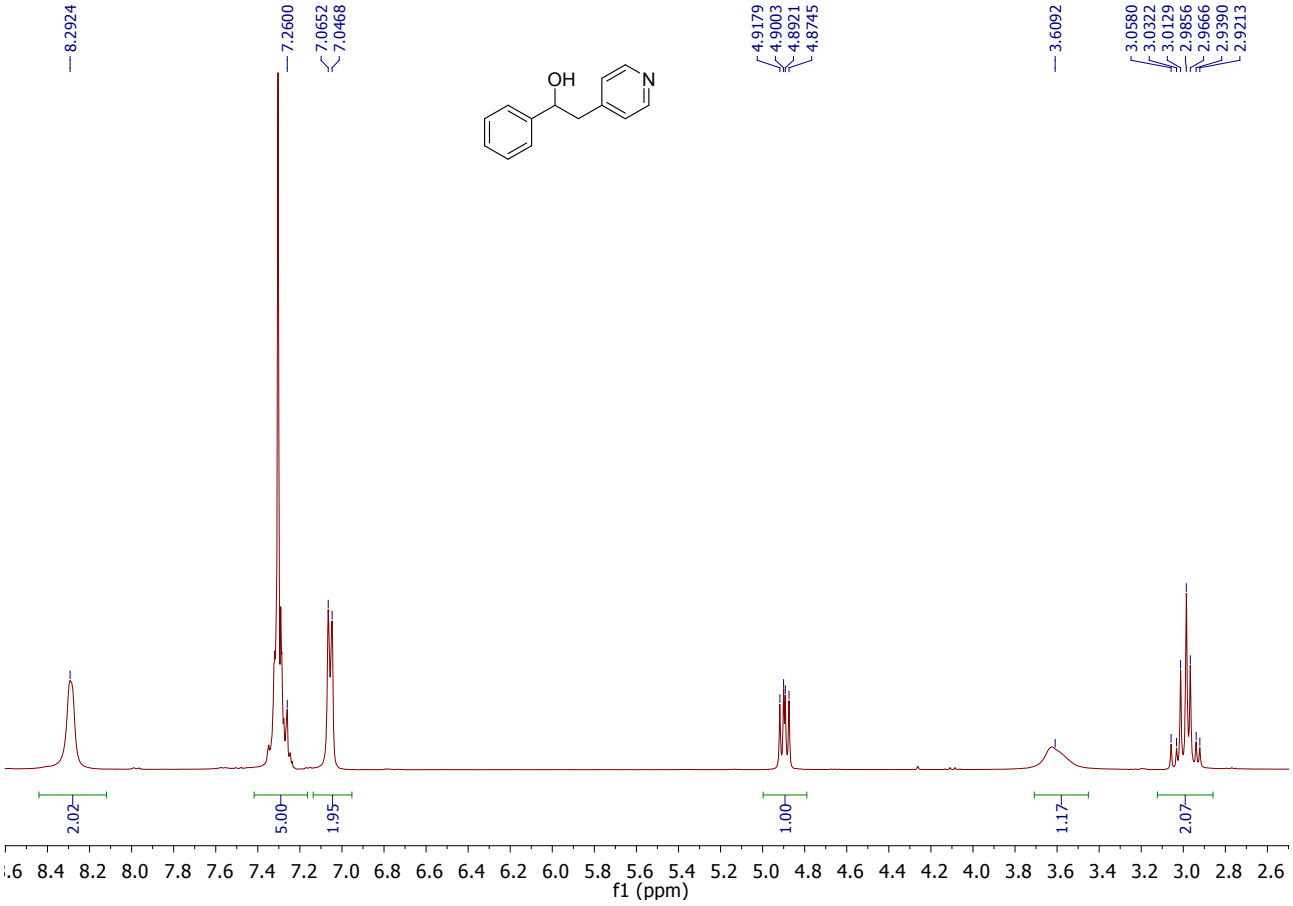


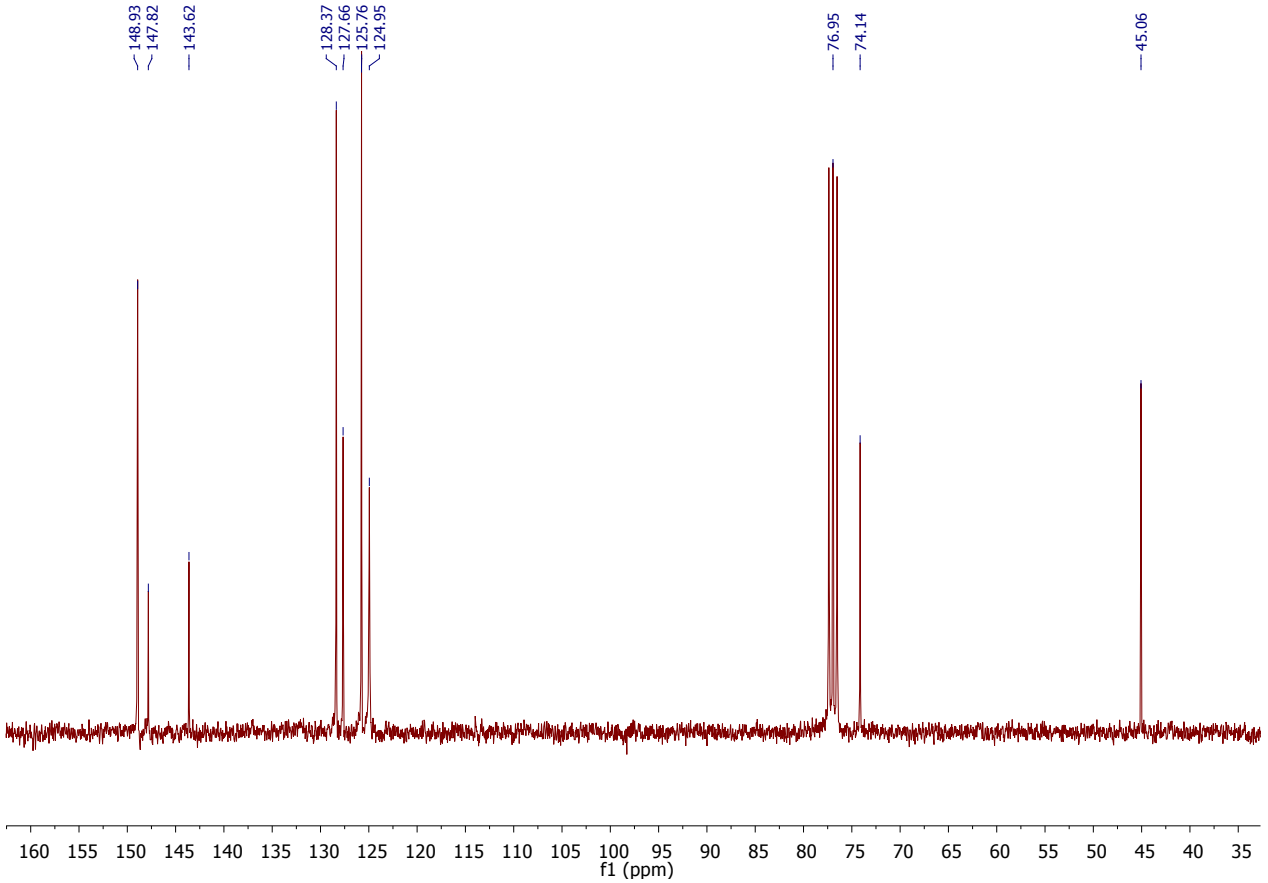
1-Phenyl-2-(pyridin-3-yl)ethanol ***2d***



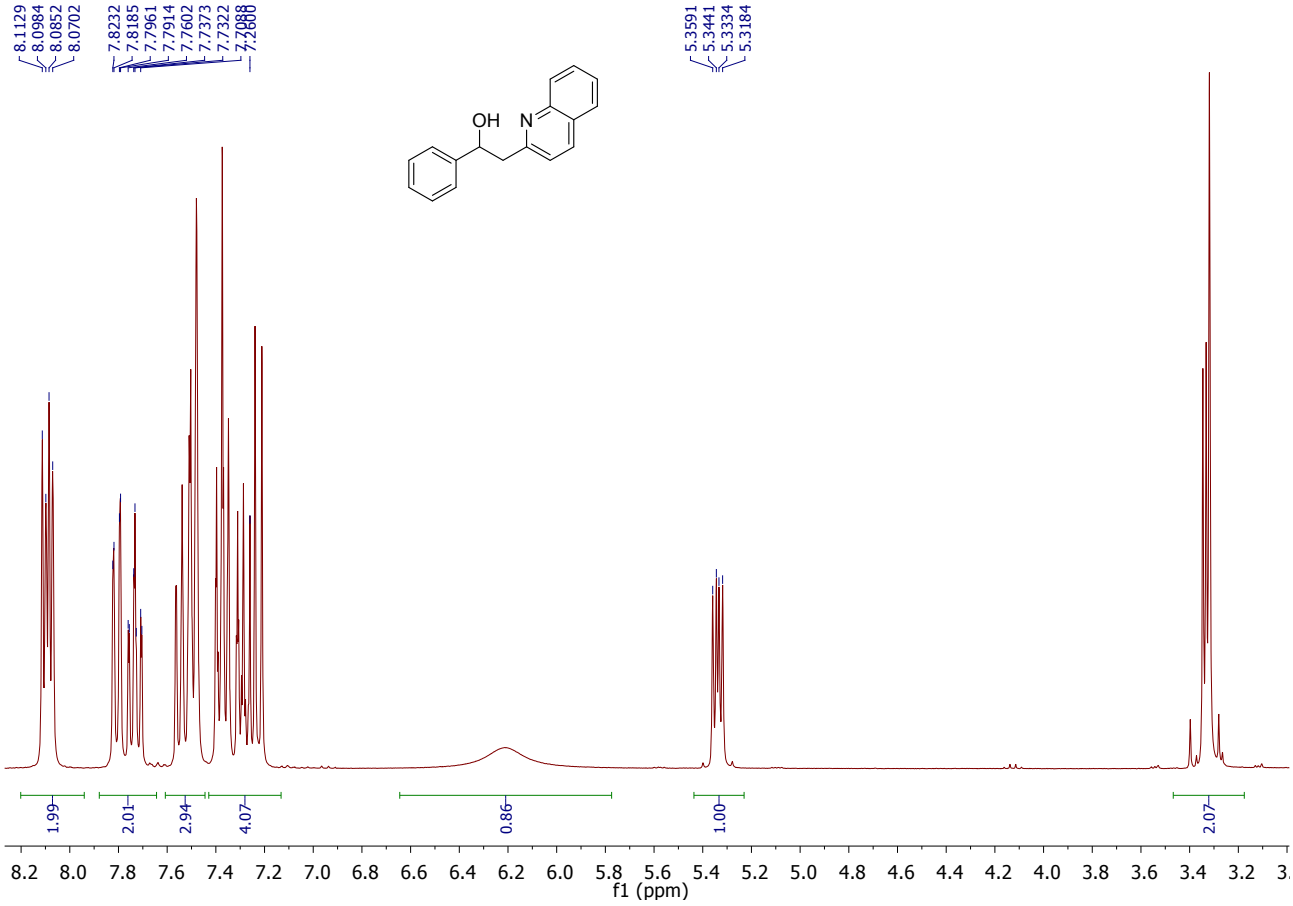


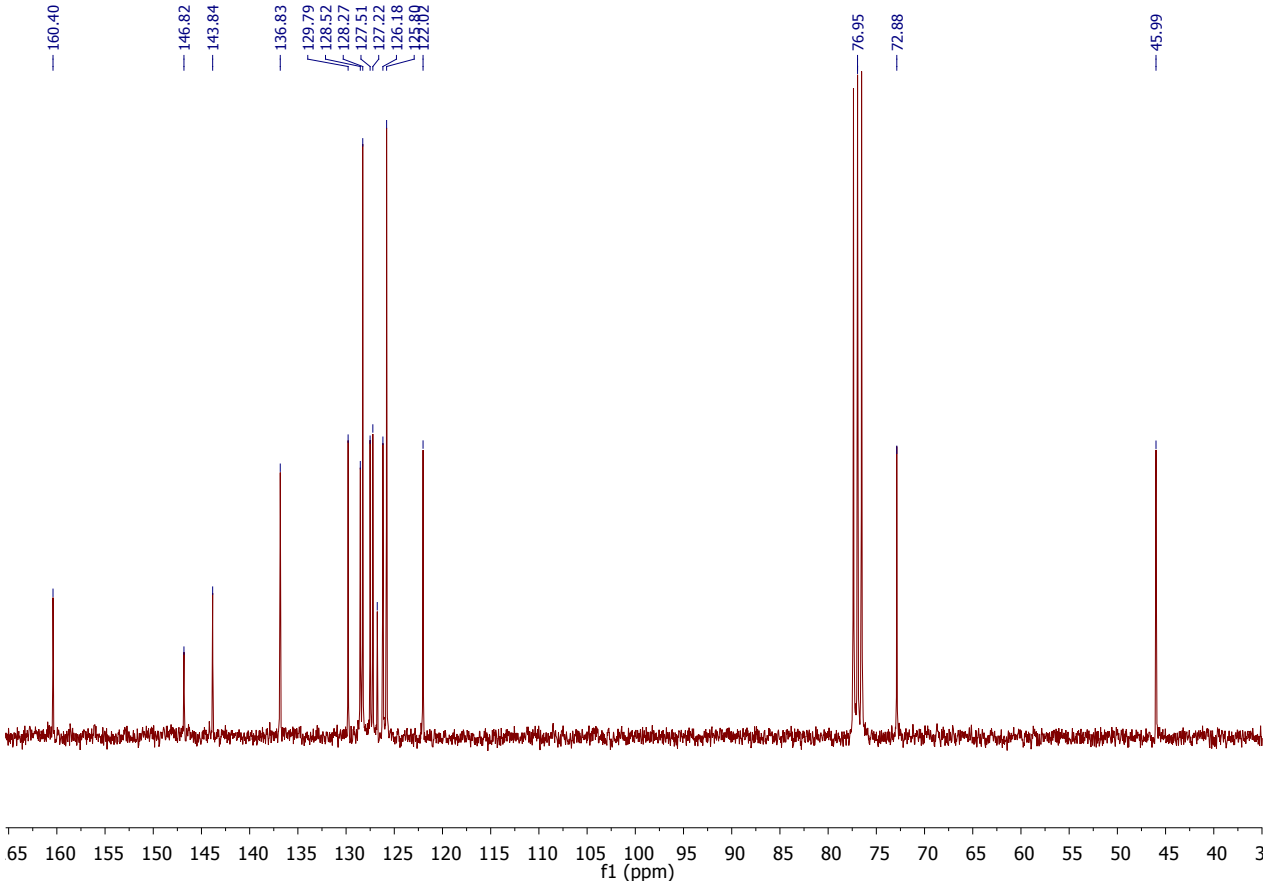
1-Phenyl-2-(pyridin-4-yl)ethanol ***2e***



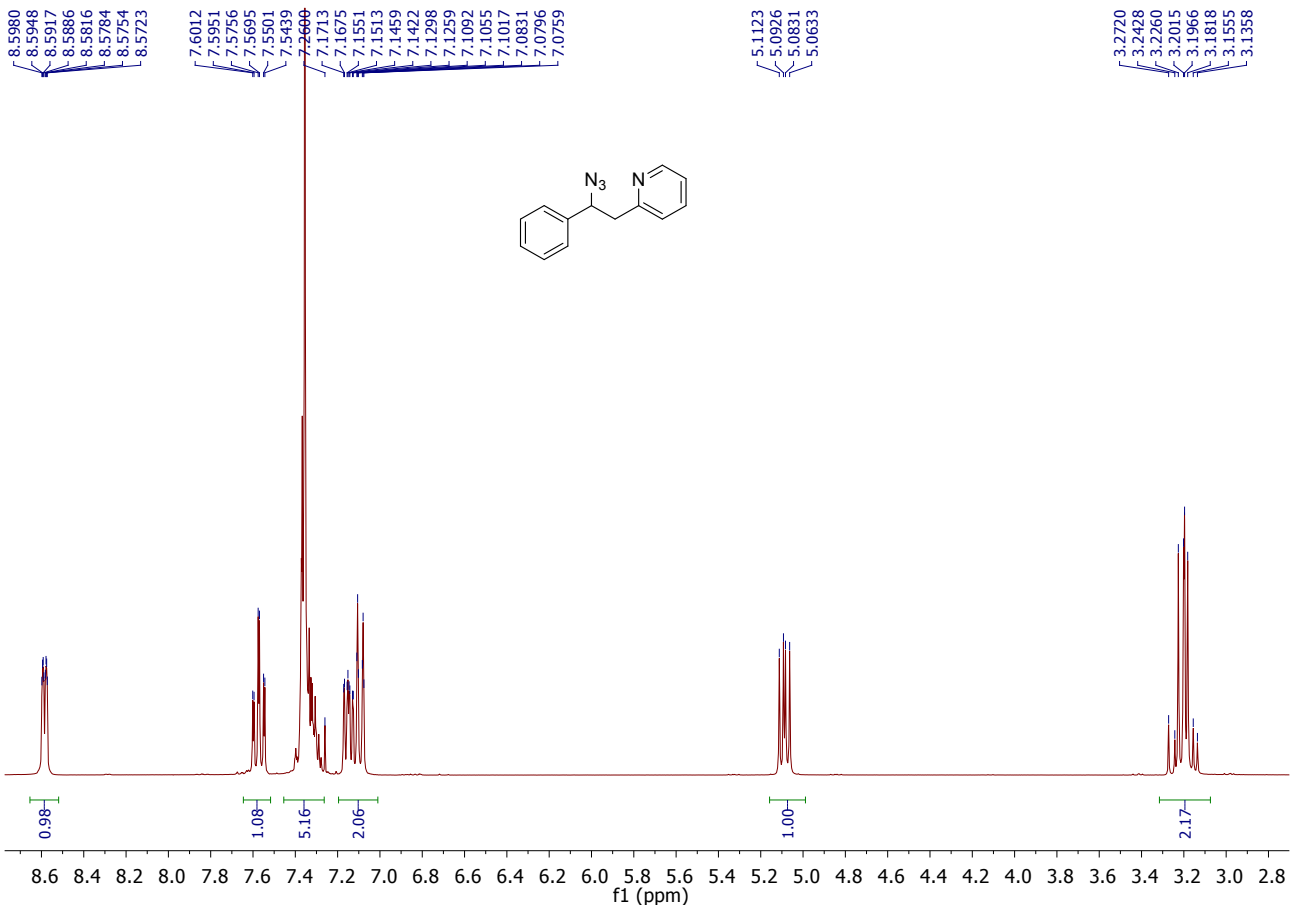


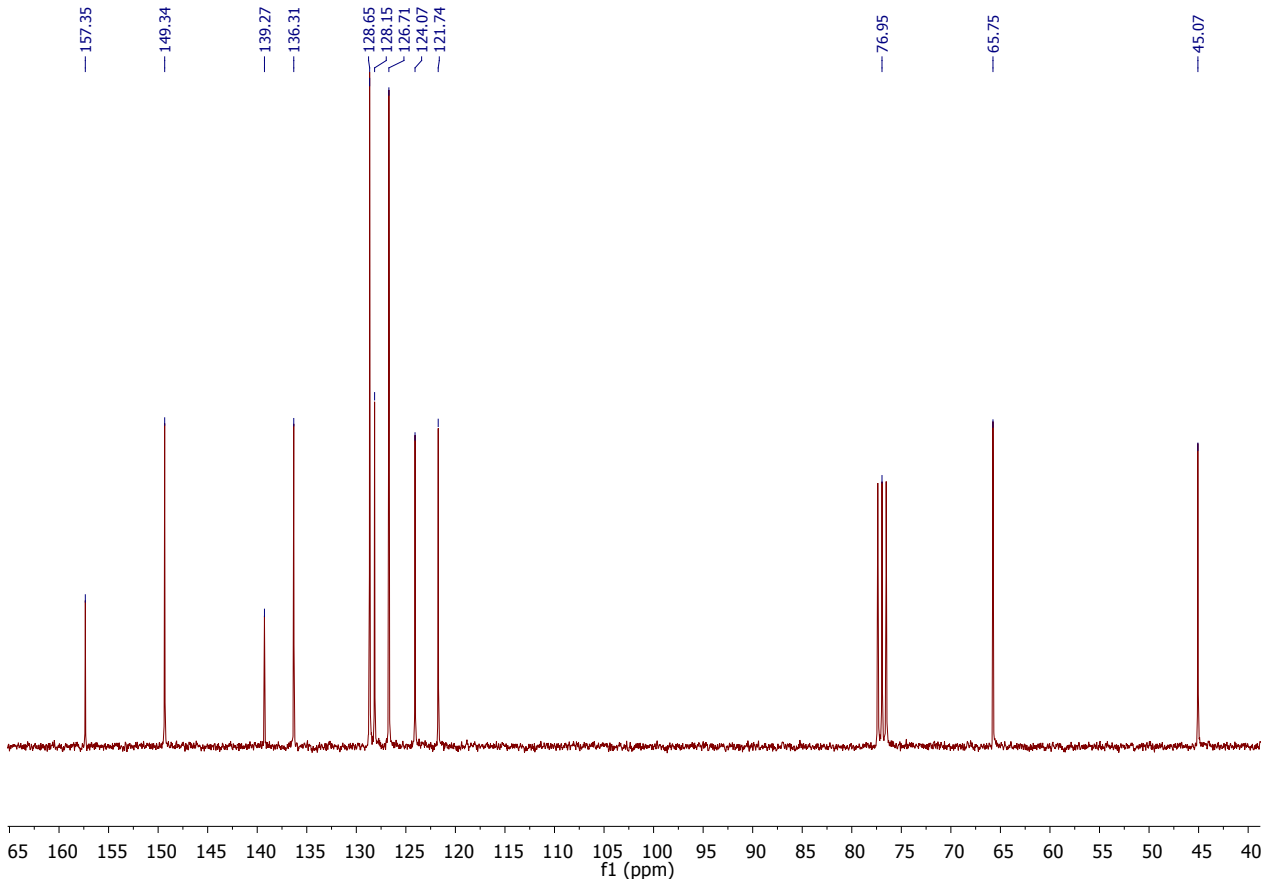
1-Phenyl-2-(quinolin-2-yl)ethanol ***2f***



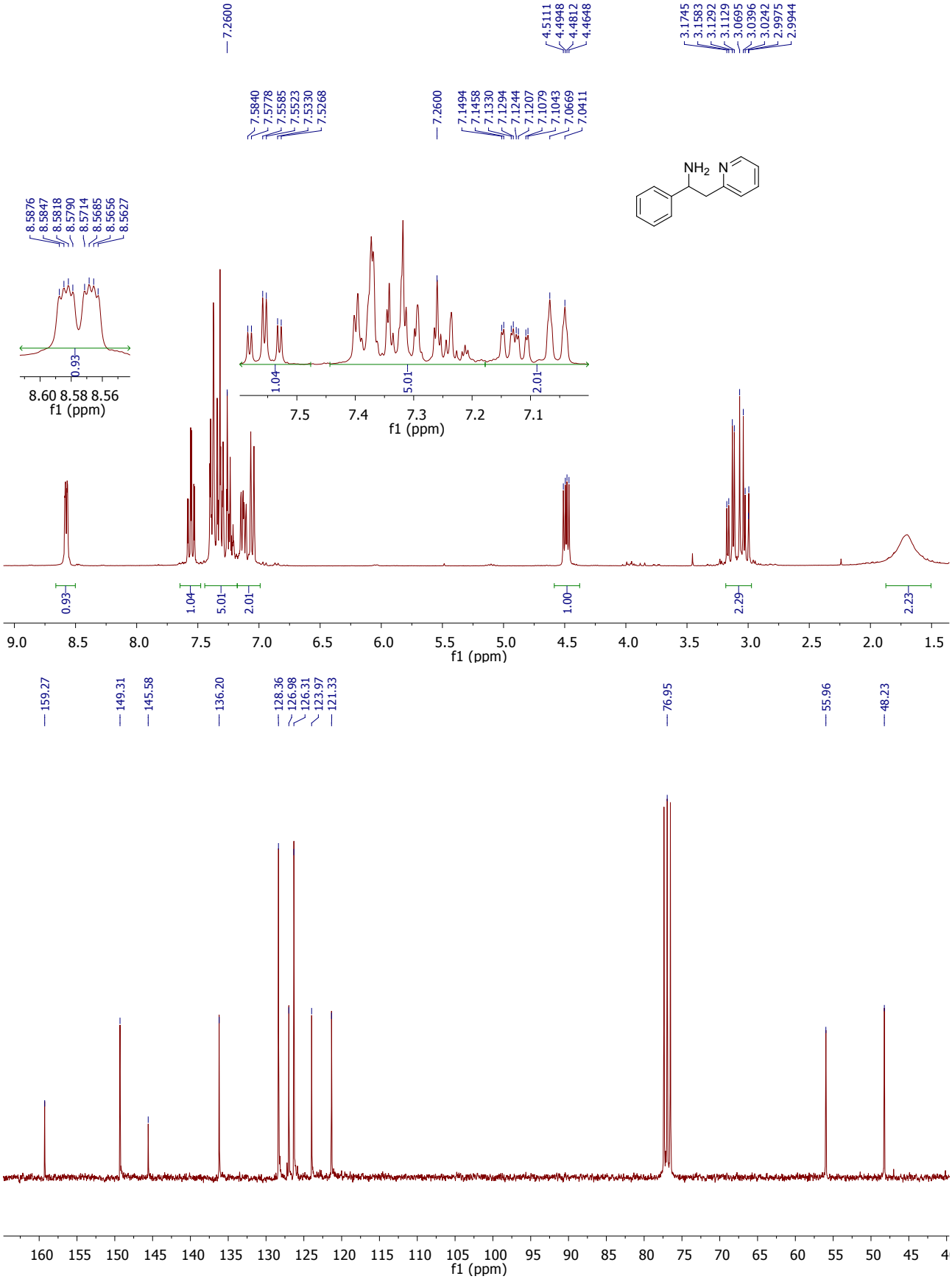


2-(2-Azido-2-phenylethyl)pyridine ***5***

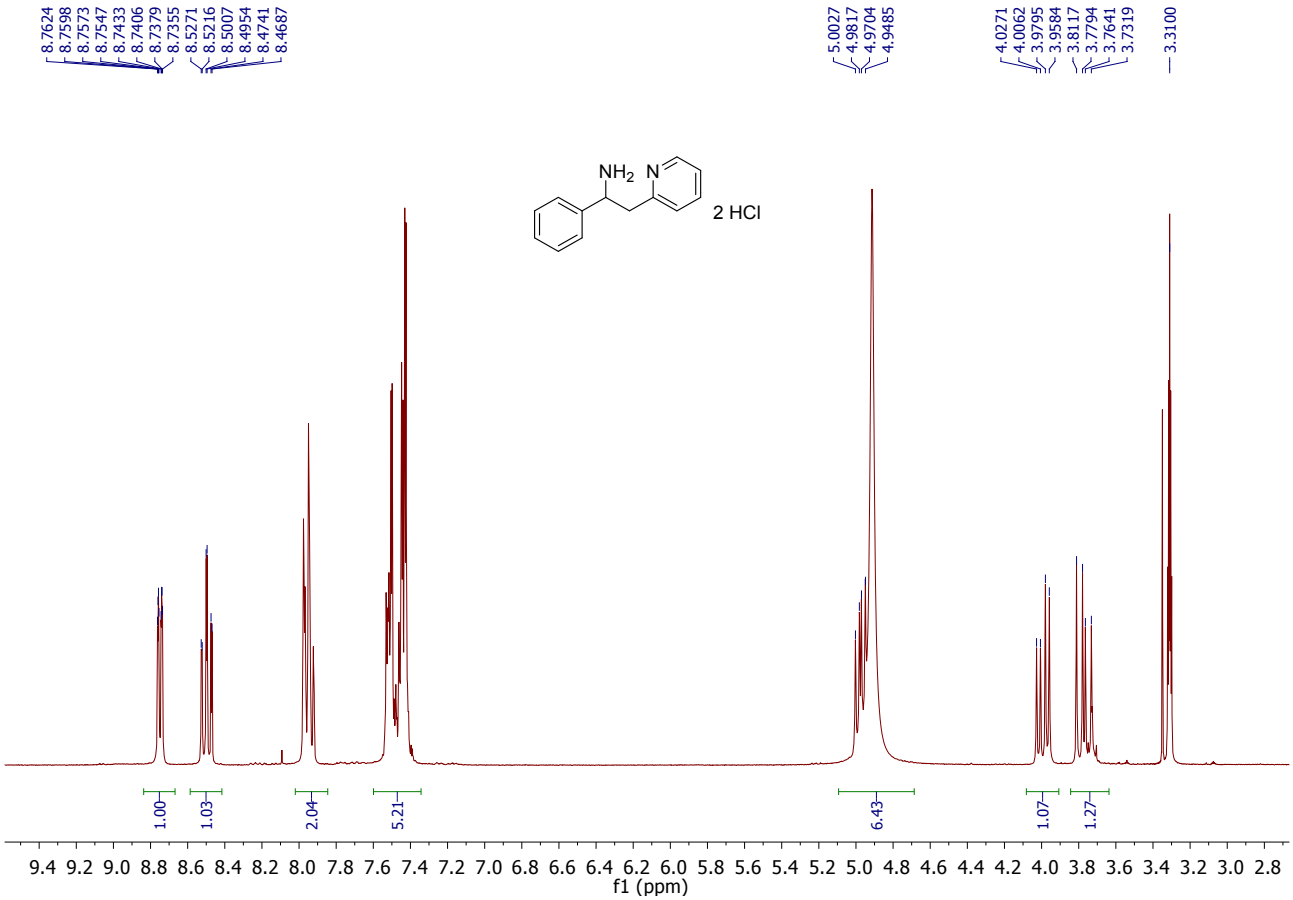


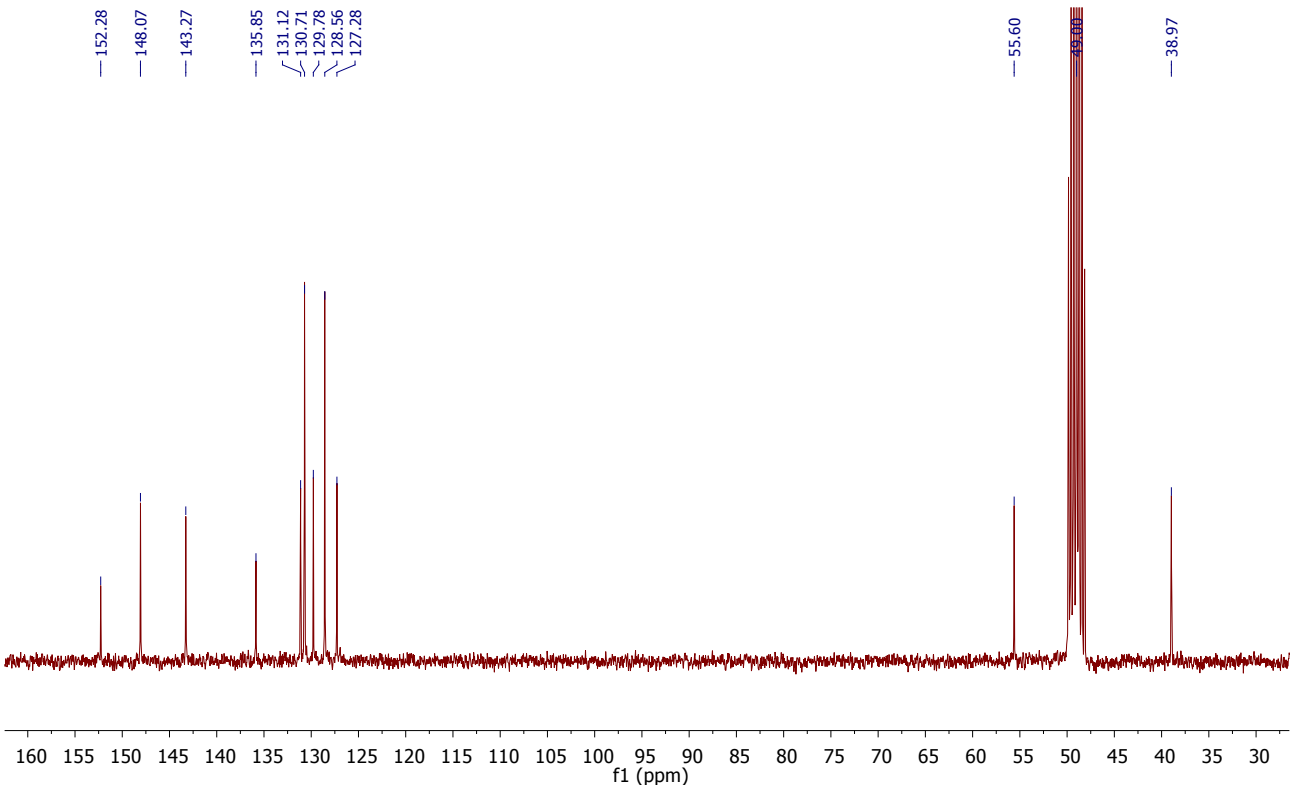


1-Phenyl-2-(pyridin-2-yl)ethanamine ***6***



1-Phenyl-2-(pyridin-2-yl)ethanamine dihydrochloride ***6*** *·2 HCl*





tert-*Butyl [1-phenyl-2-(pyridin-2-yl)ethyl]carbamate 7*