

## Supplementary Material

### 3-Aminopropylazetidines: facile synthesis and application for medicinal chemical purposes

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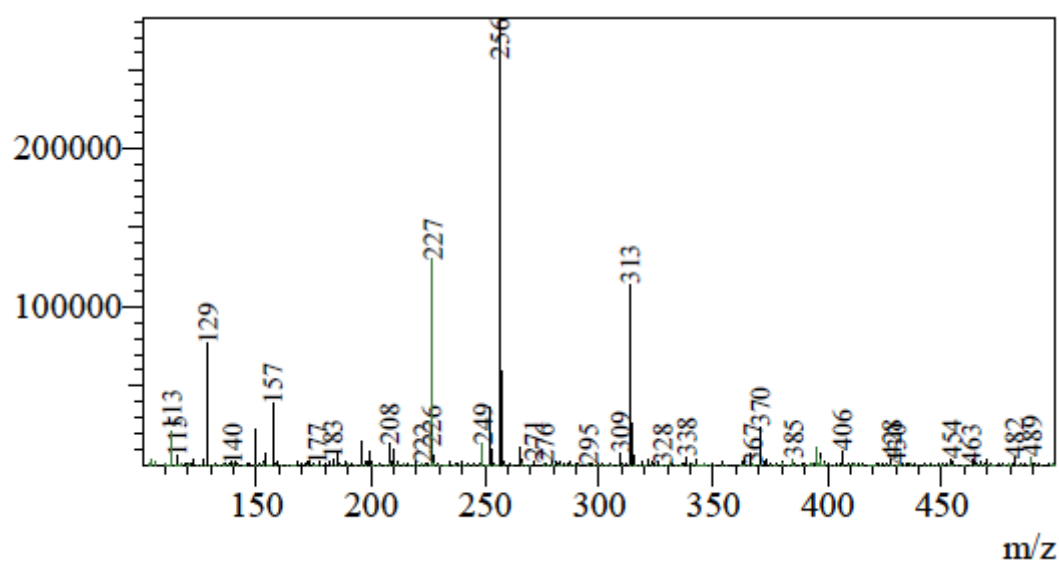
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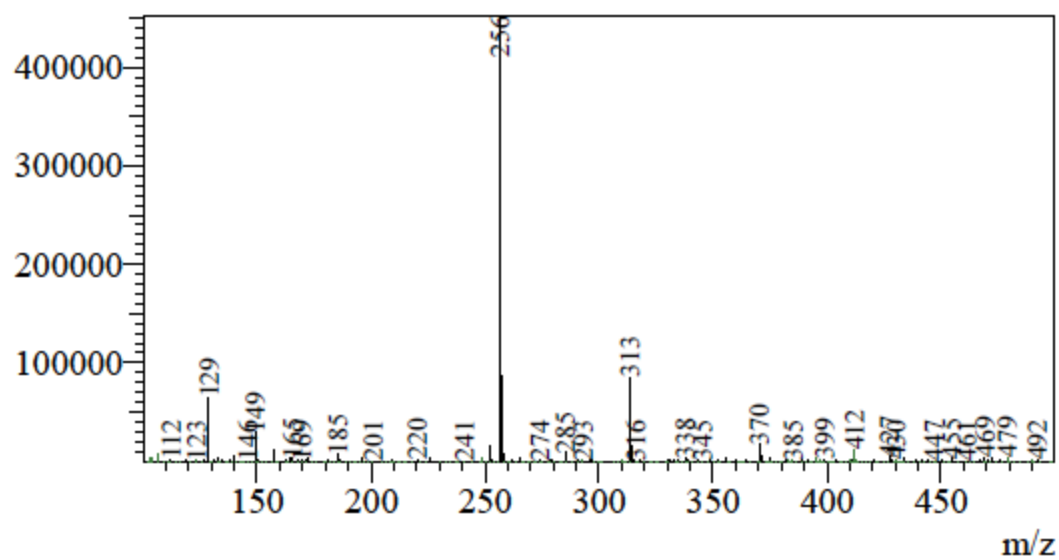
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**Figure S1.** Mass spectrum of *N*-[3-(azetidin-1-yl)propyl]-2-methylquinolin-5-amine TFA salt (3).



**Figure S2.** Mass spectrum of *N*-[3-(azetidin-1-yl)propyl]-2-methylquinolin-7-amine TFA salt (4).

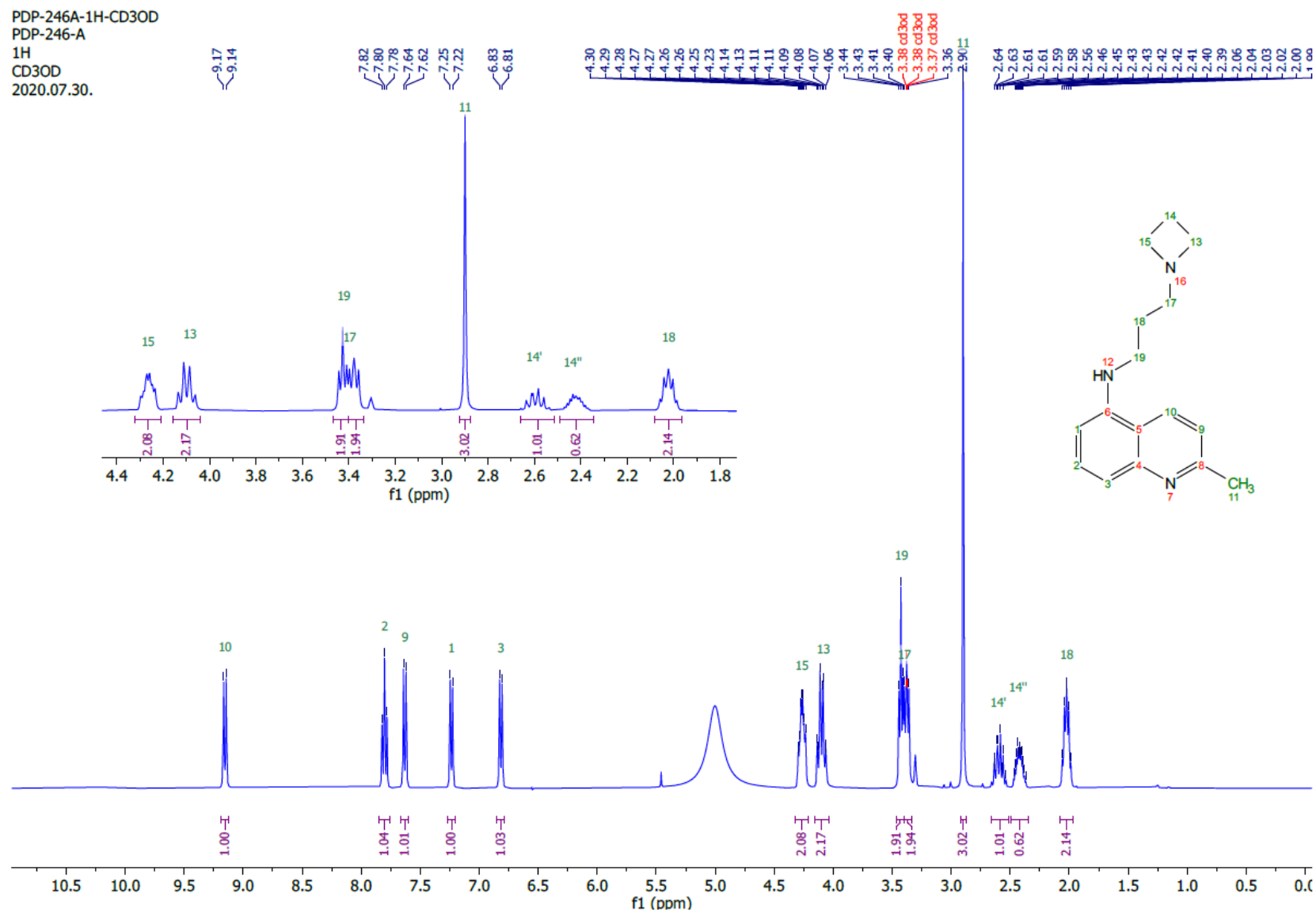
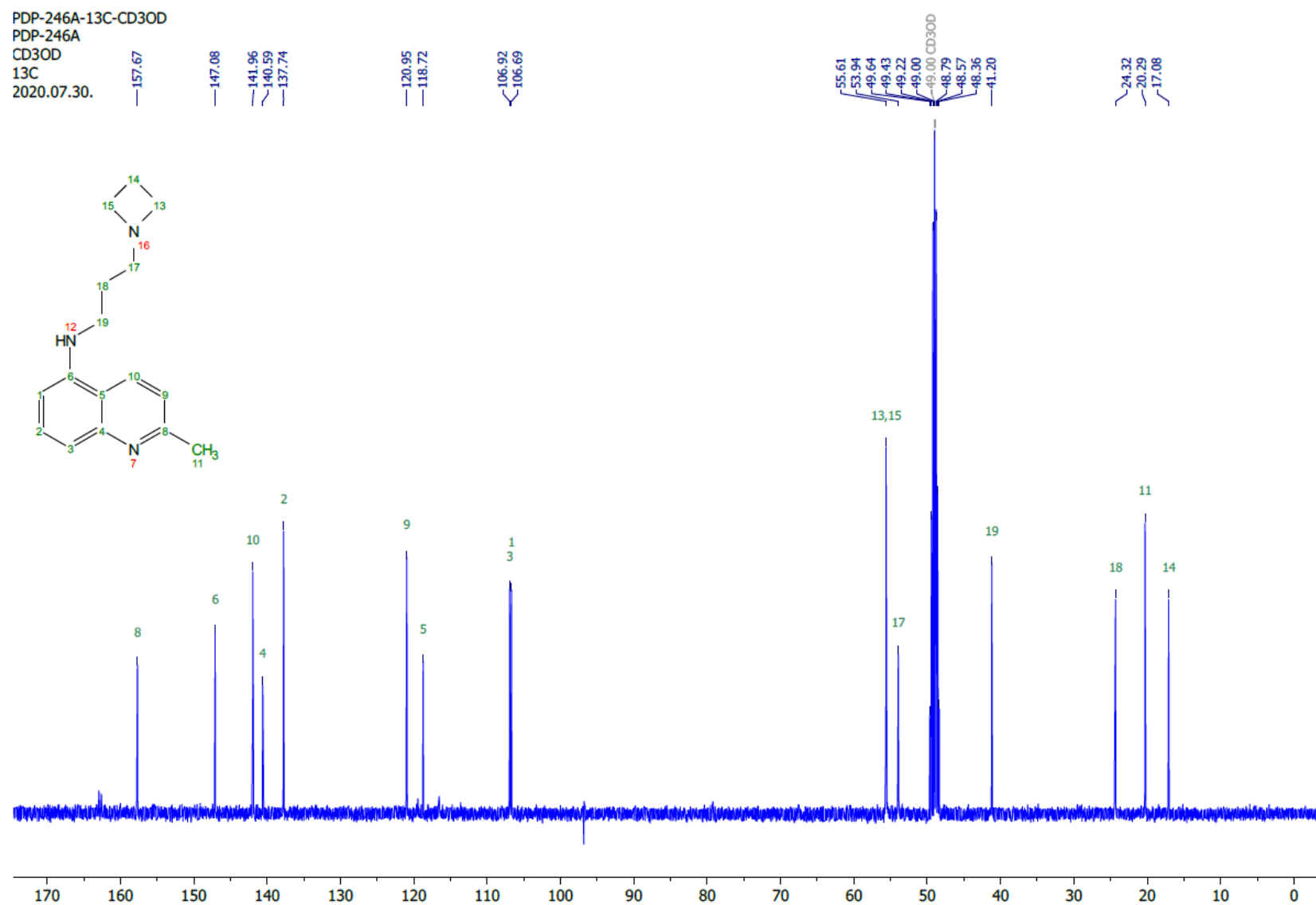


Figure S3:  $^1\text{H}$  NMR spectrum of *N*-[3-(azetidin-1-yl)propyl]-2-methylquinolin-5-amine TFA salt (**3**) recorded at 400 MHz in  $\text{CD}_3\text{OD}$ .



**Figure S4:**  $^{13}\text{C}$  NMR spectrum of *N*-[3-(azetidin-1-yl)propyl]-2-methylquinolin-5-amine TFA salt (**3**) recorded at 400 MHz in  $\text{CD}_3\text{OD}$ .



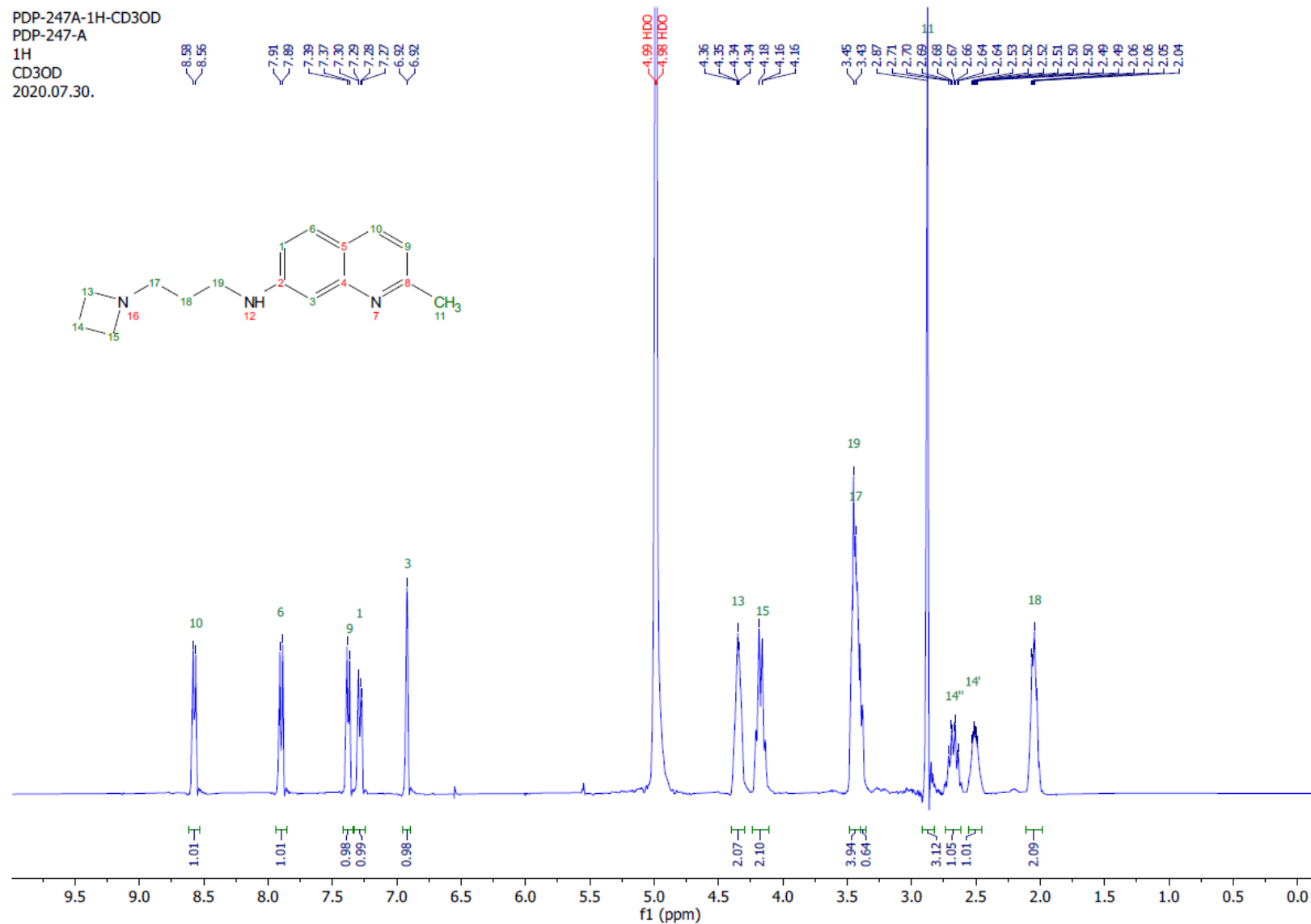
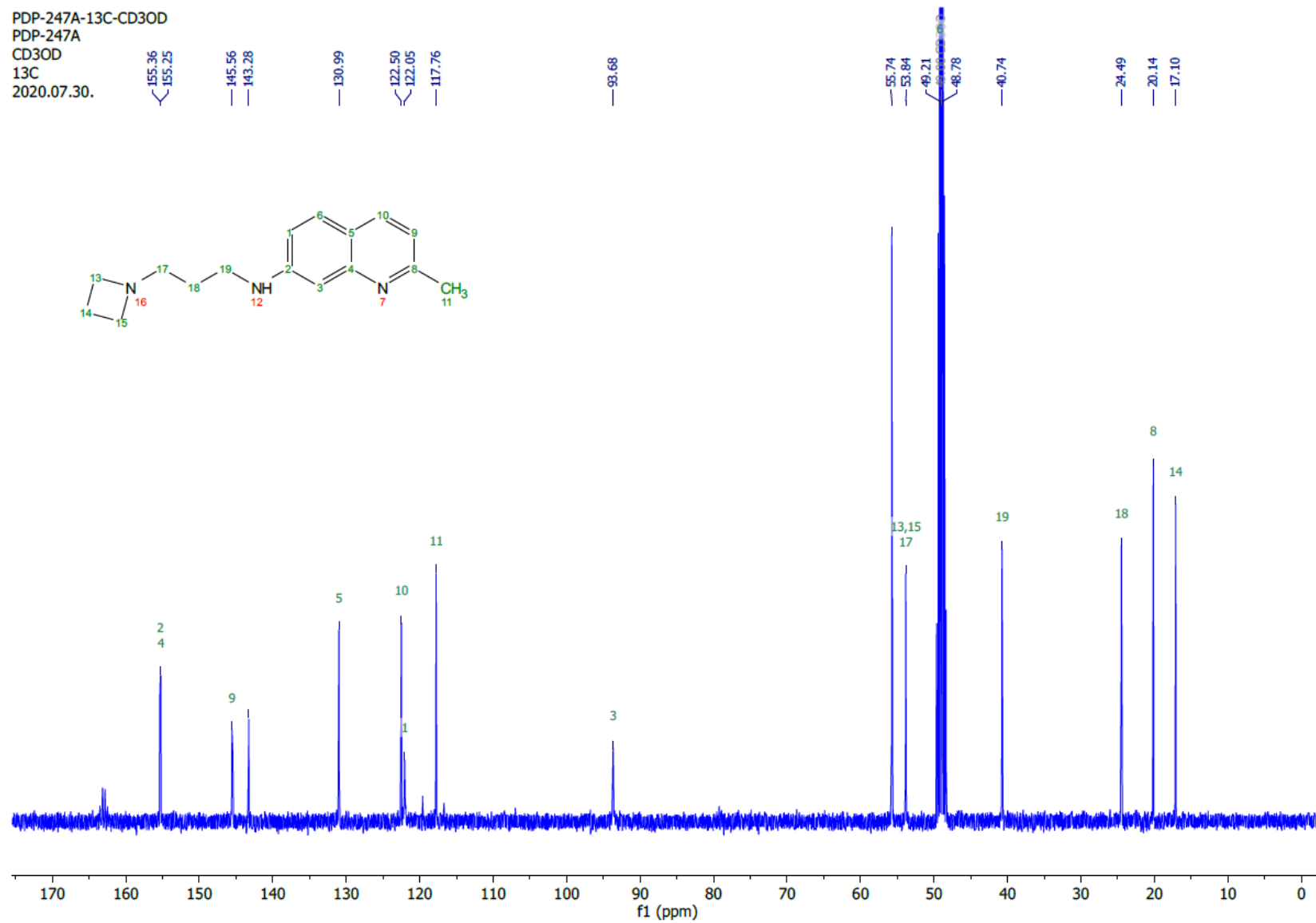
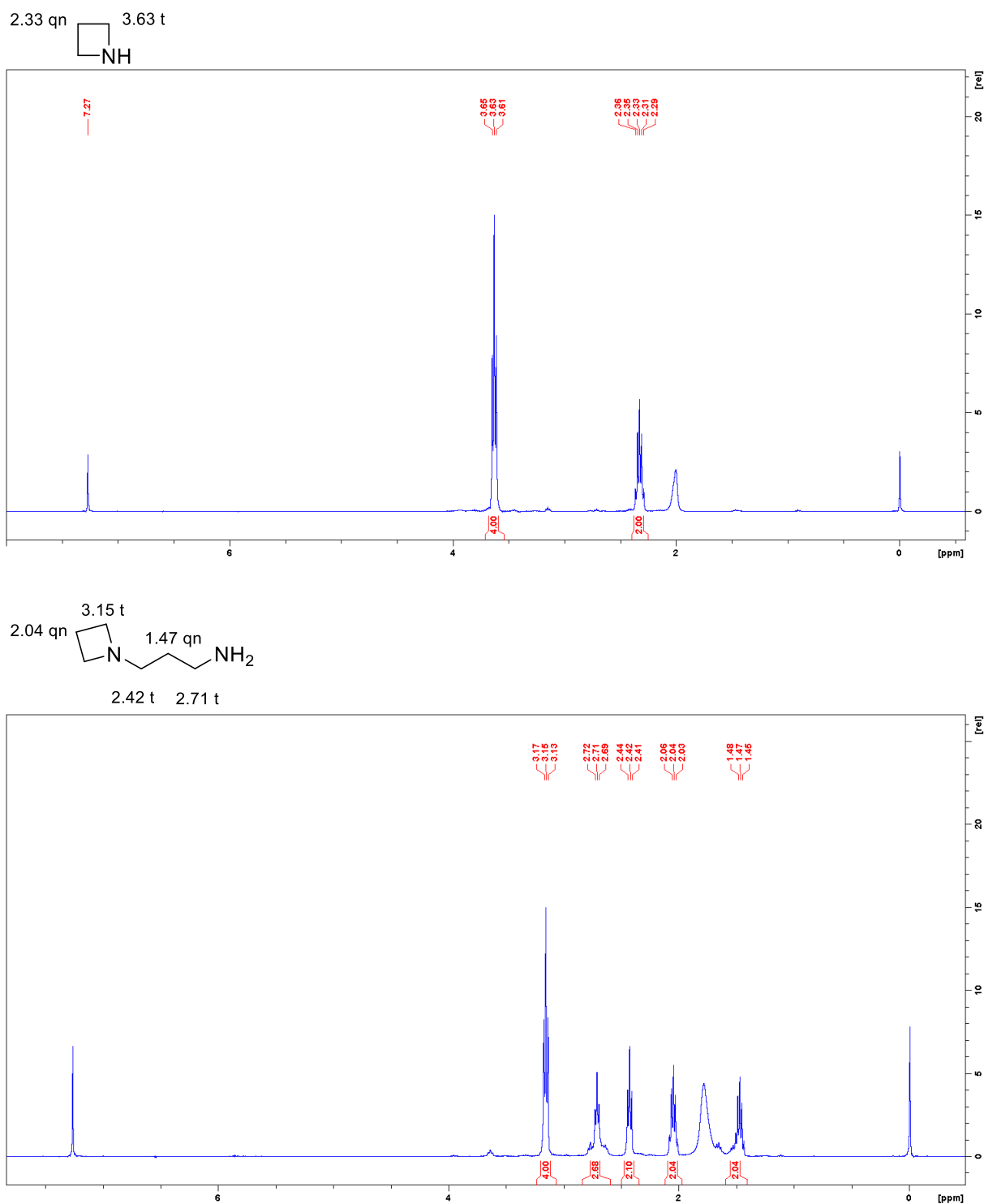


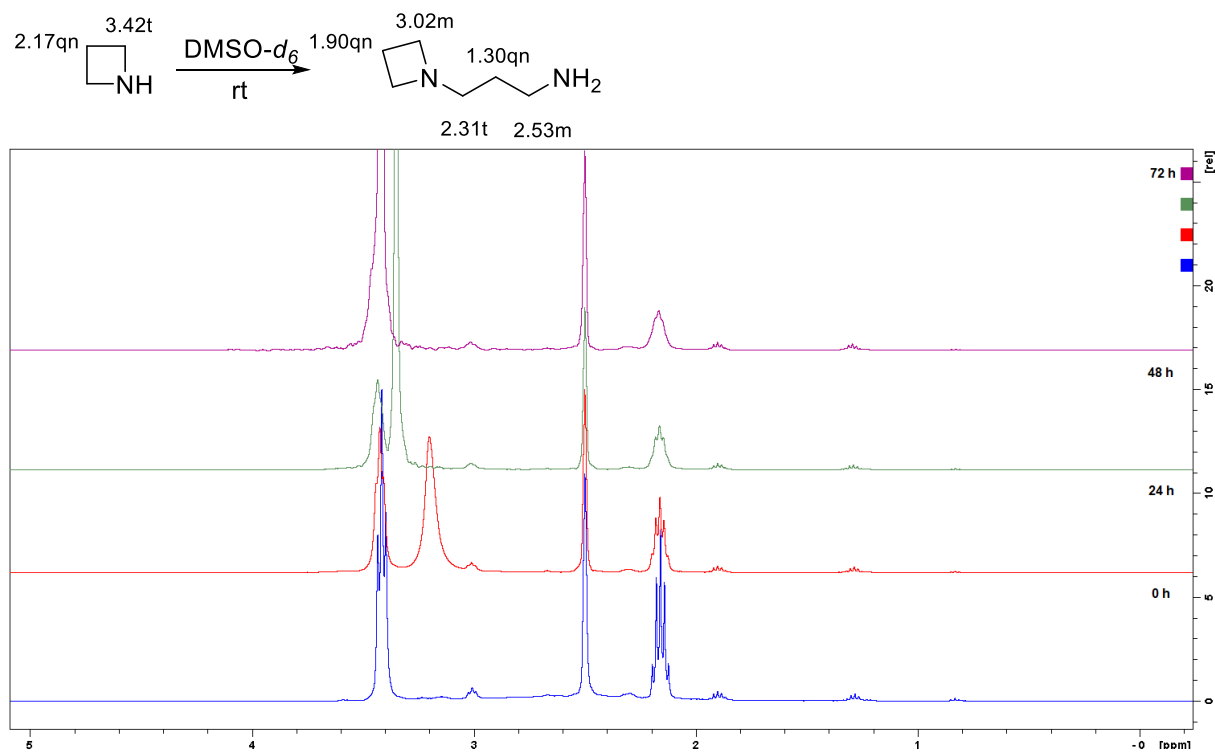
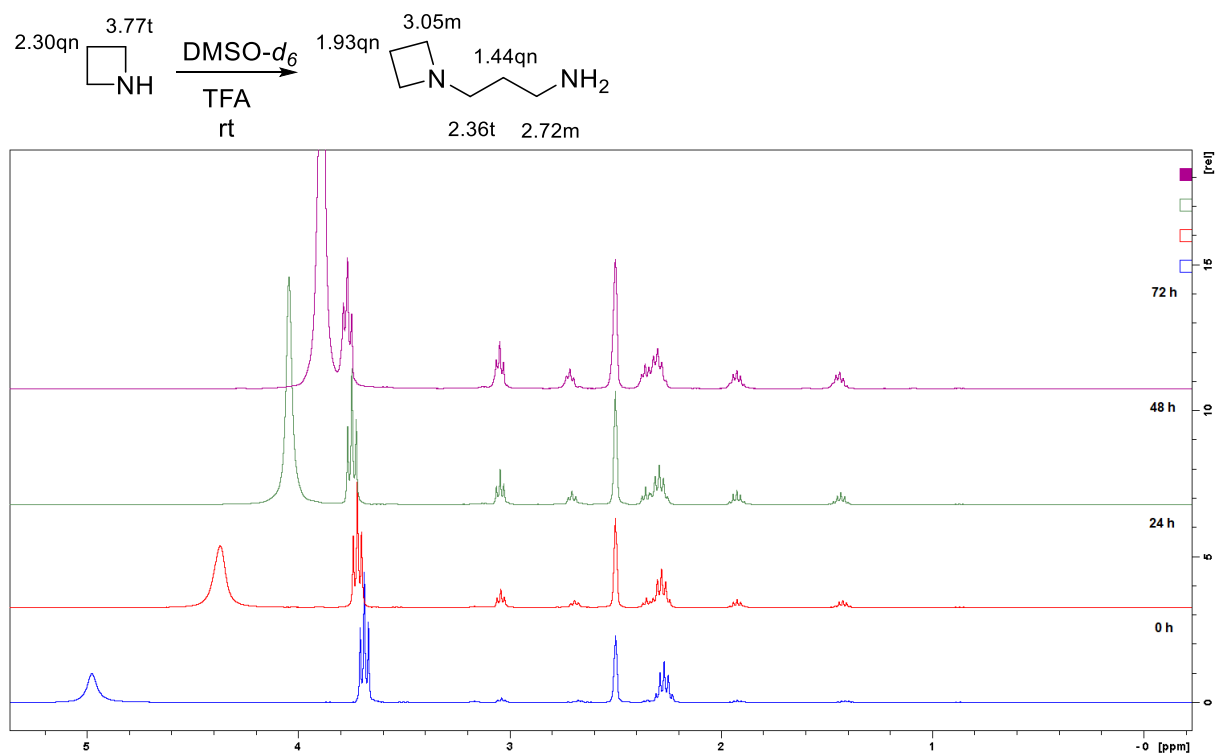
Figure S5: <sup>1</sup>H NMR spectrum of *N*-[3-(azetidin-1-yl)propyl]-2-methylquinolin-7-amine TFA salt (4) recorded at 400 MHz in CD<sub>3</sub>OD.



**Figure S6:**  $^{13}\text{C}$  NMR spectrum of *N*-[3-(azetidin-1-yl)propyl]-2-methylquinolin-7-amine TFA salt (**4**) recorded at 400 MHz in  $\text{CD}_3\text{OD}$ .



**Figure S7.**  $^1\text{H}$  NMR spectrum (400 MHz,  $\text{CDCl}_3$ ) of azetidine (**8**) and 3-(azetidin-1-yl)propan-1-amine (**7**).

**Figure S8.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine at rt.**Figure S9.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine + TFA at rt.

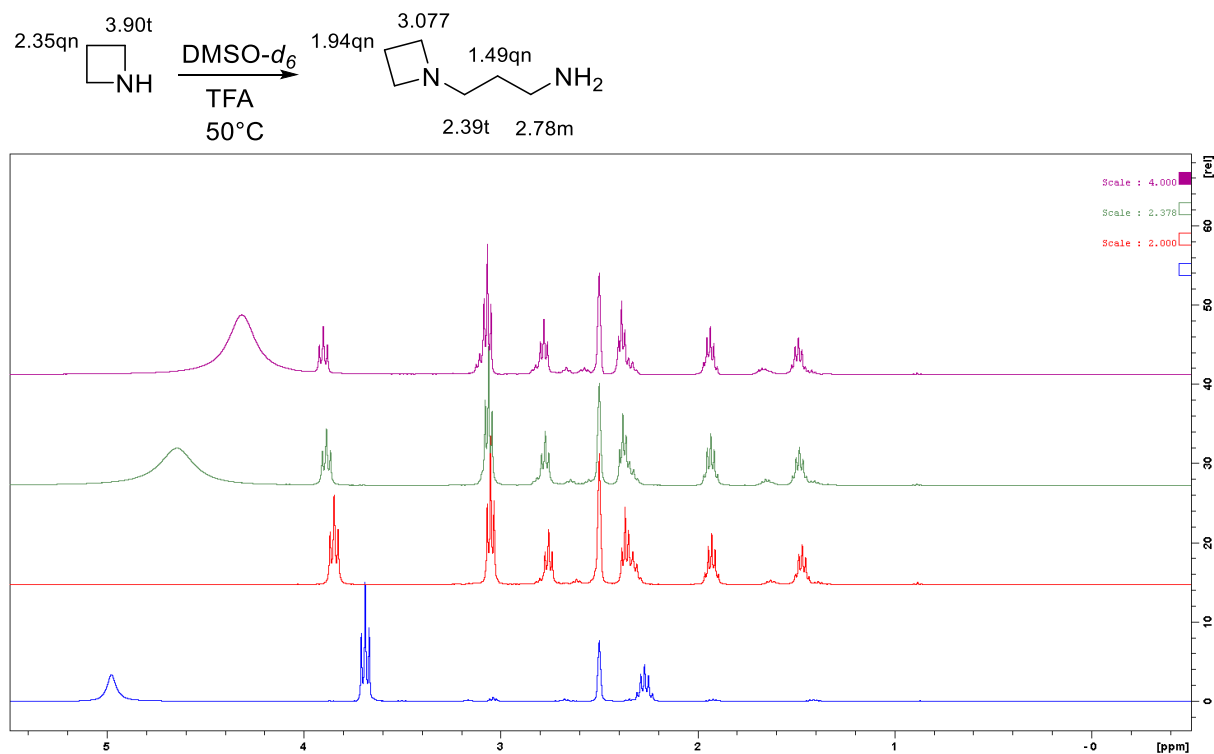


Figure S10.  $^1\text{H}$  NMR (400 MHz, DMSO- $d_6$ ) monitoring of azetidine + TFA at 50°C.

PDP-358-deszt2-1H-DMSO  
PDP-358 deszt2  
DMSO  
2022.06.07.

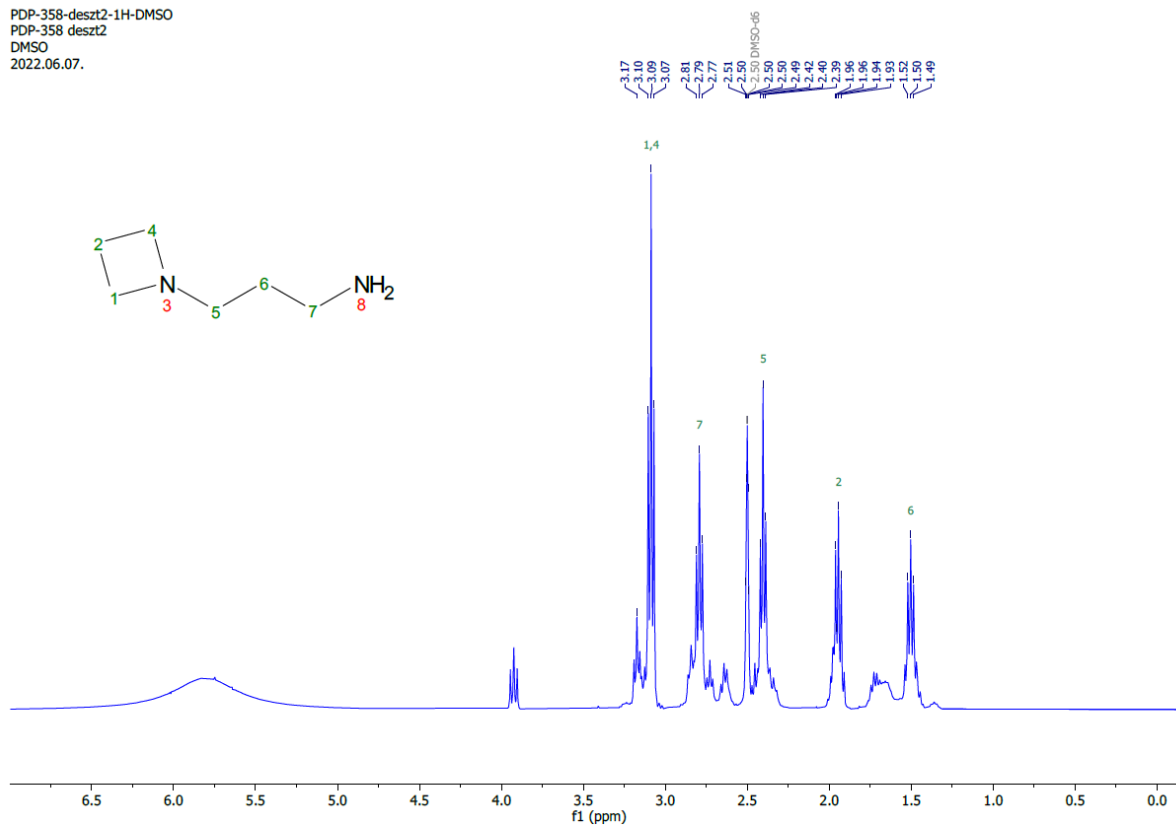
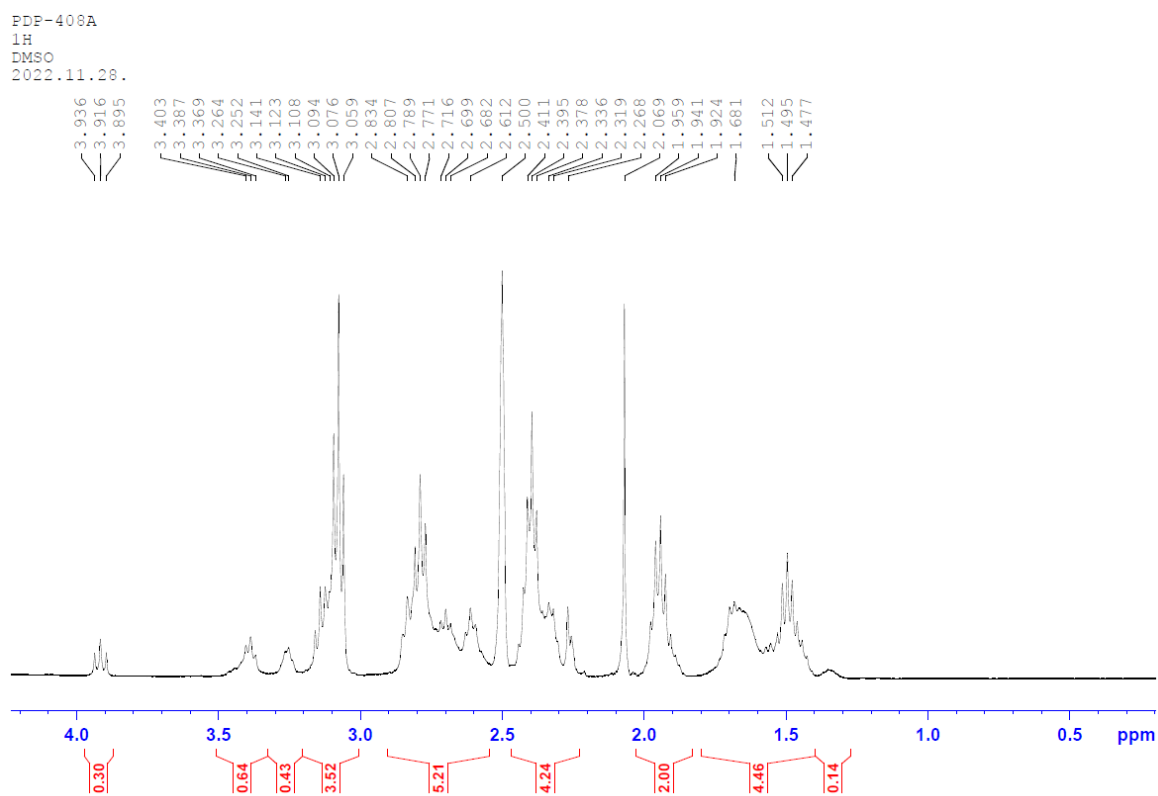
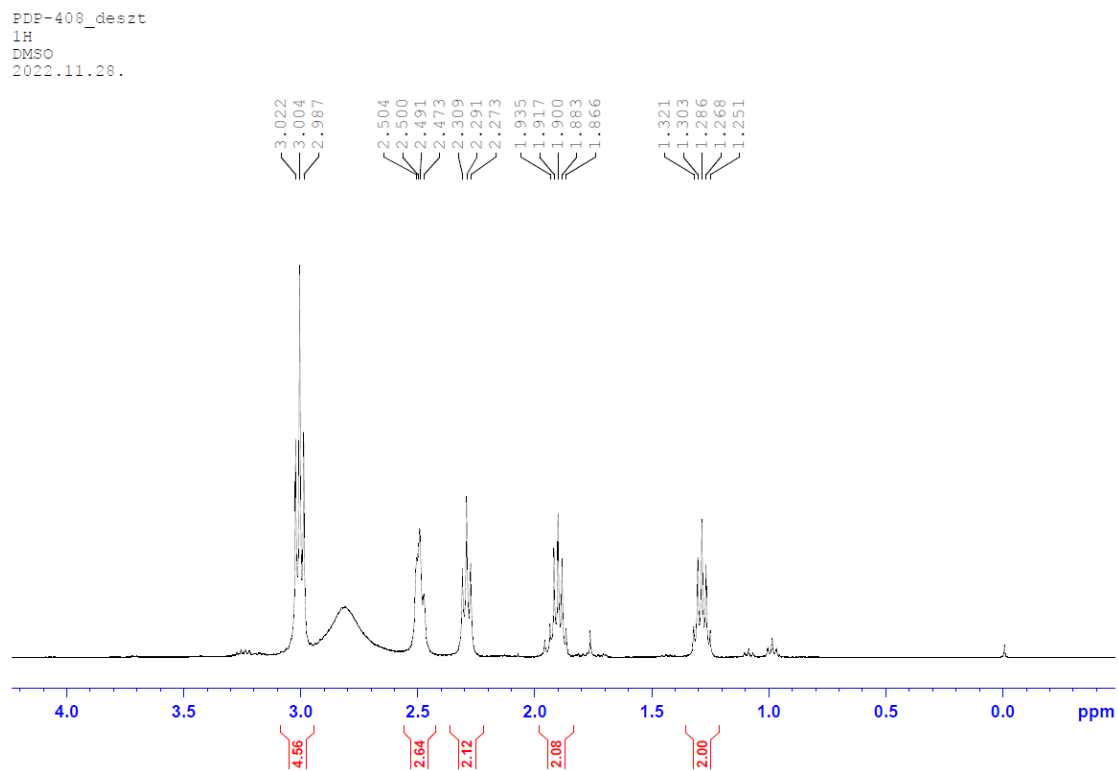


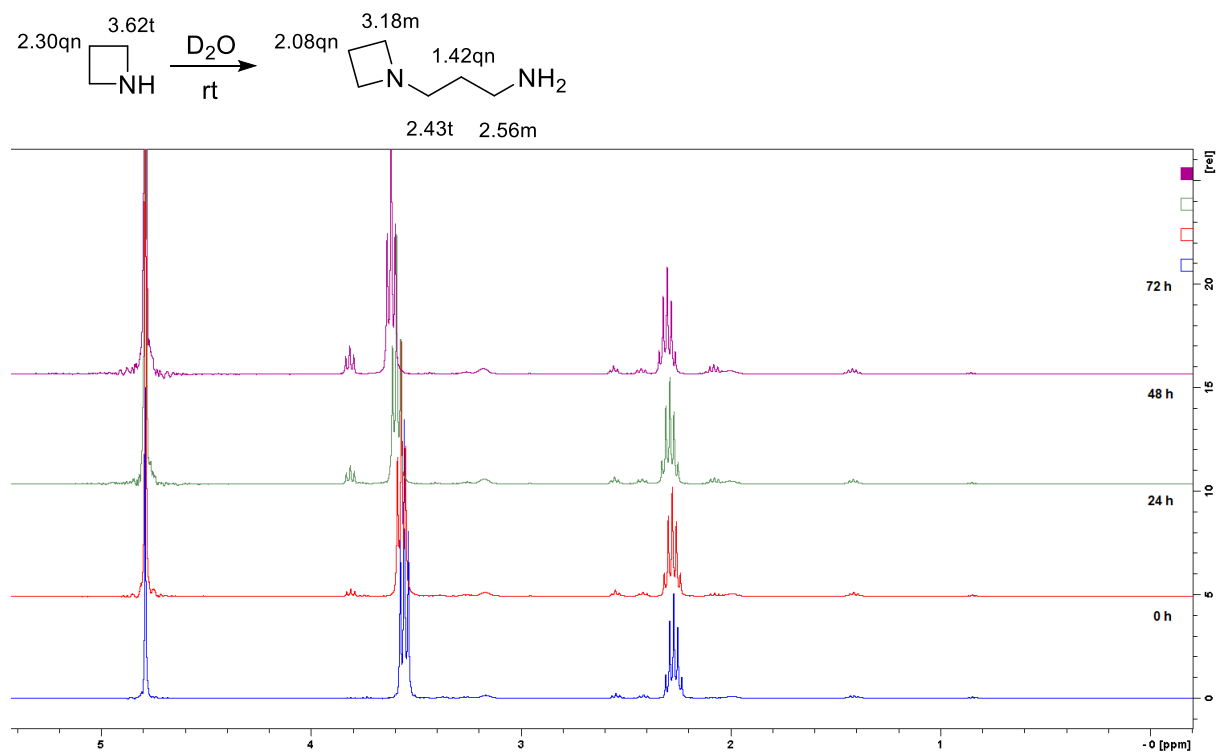
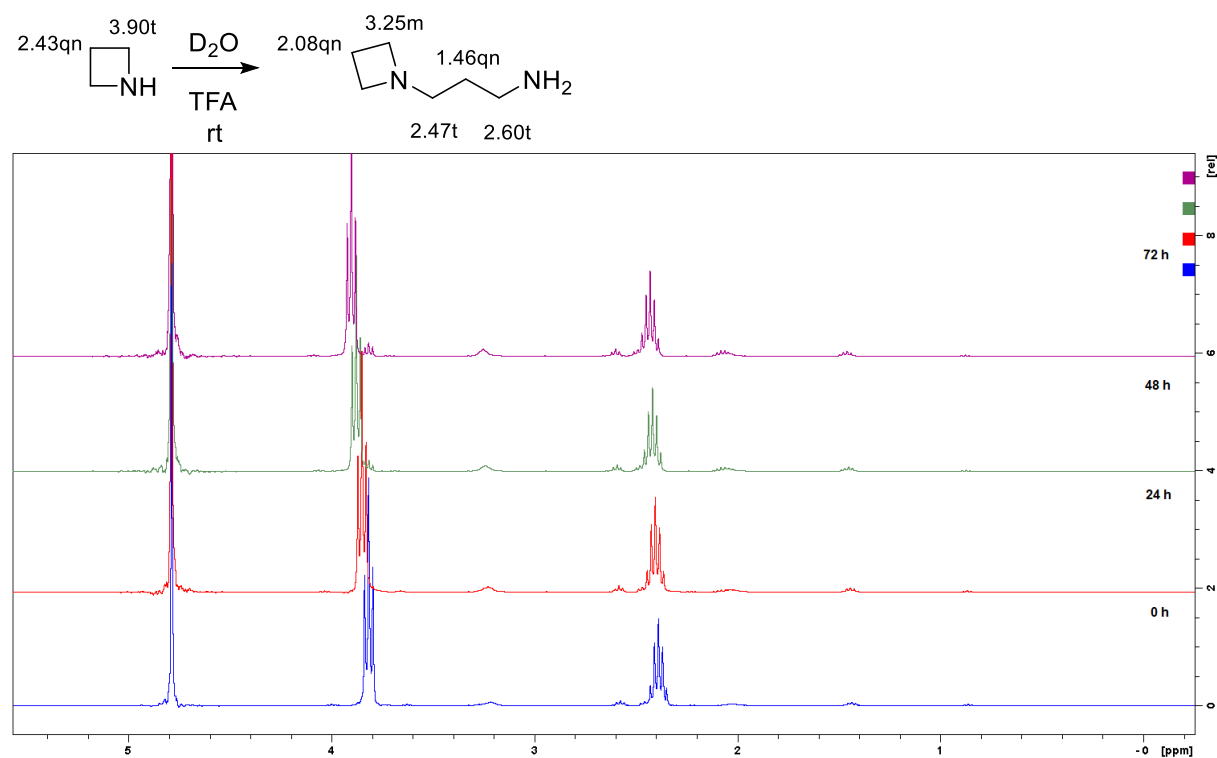
Figure S11.  $^1\text{H}$  NMR (400 MHz, DMSO- $d_6$ ) monitoring of azetidine + TFA at 50°C (synthetic experiment A).

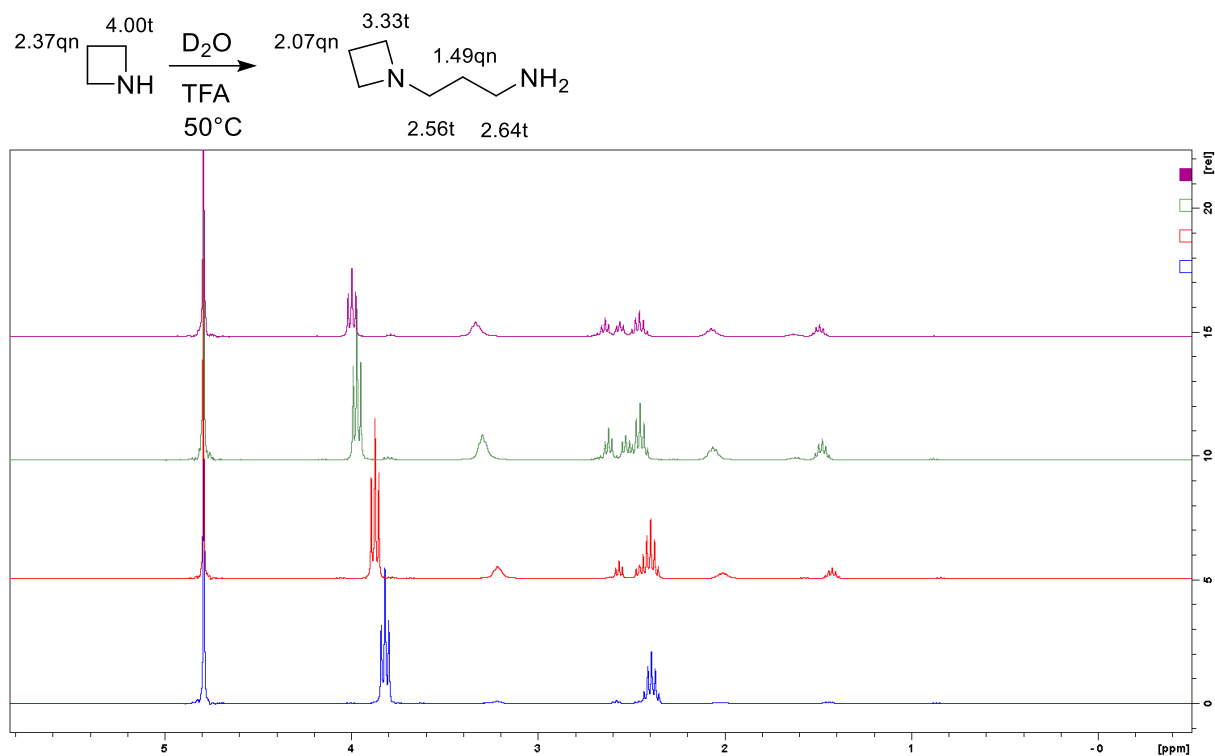
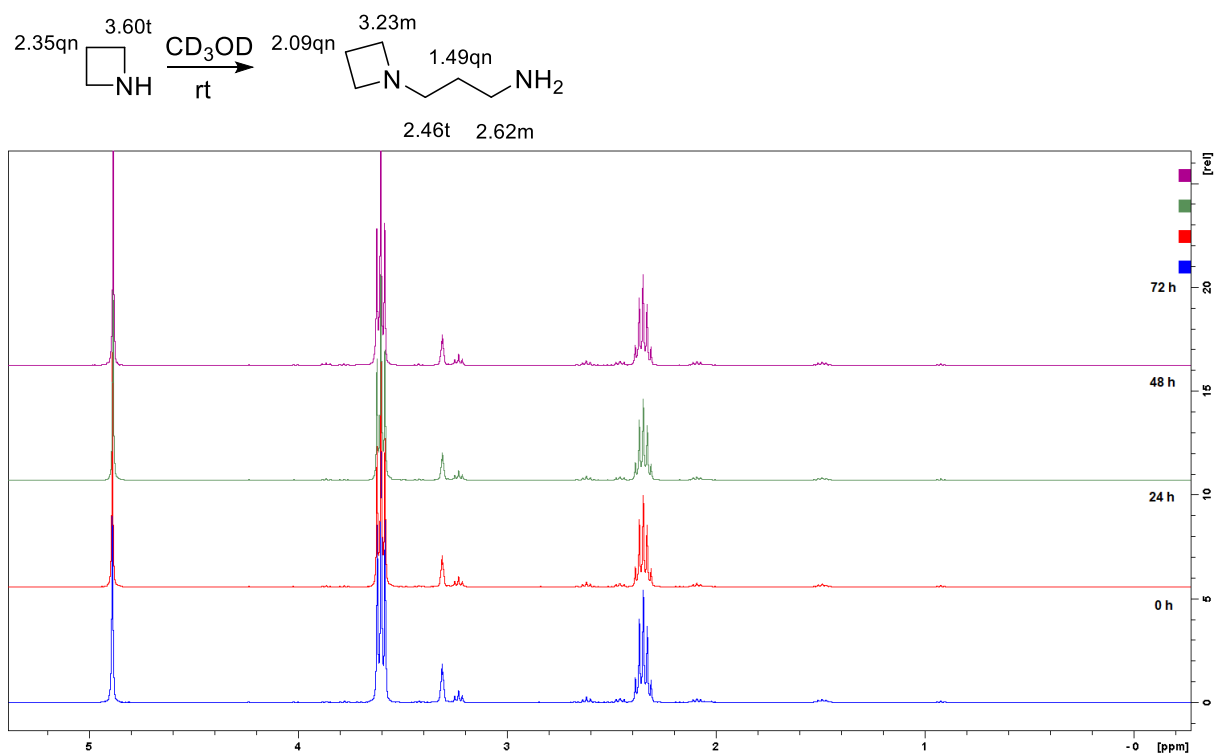


**Figure S12.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO}-d_6$ ) of the crude product of the reaction of azetidine + TFA at  $50^\circ\text{C}$  (synthetic experiment B).

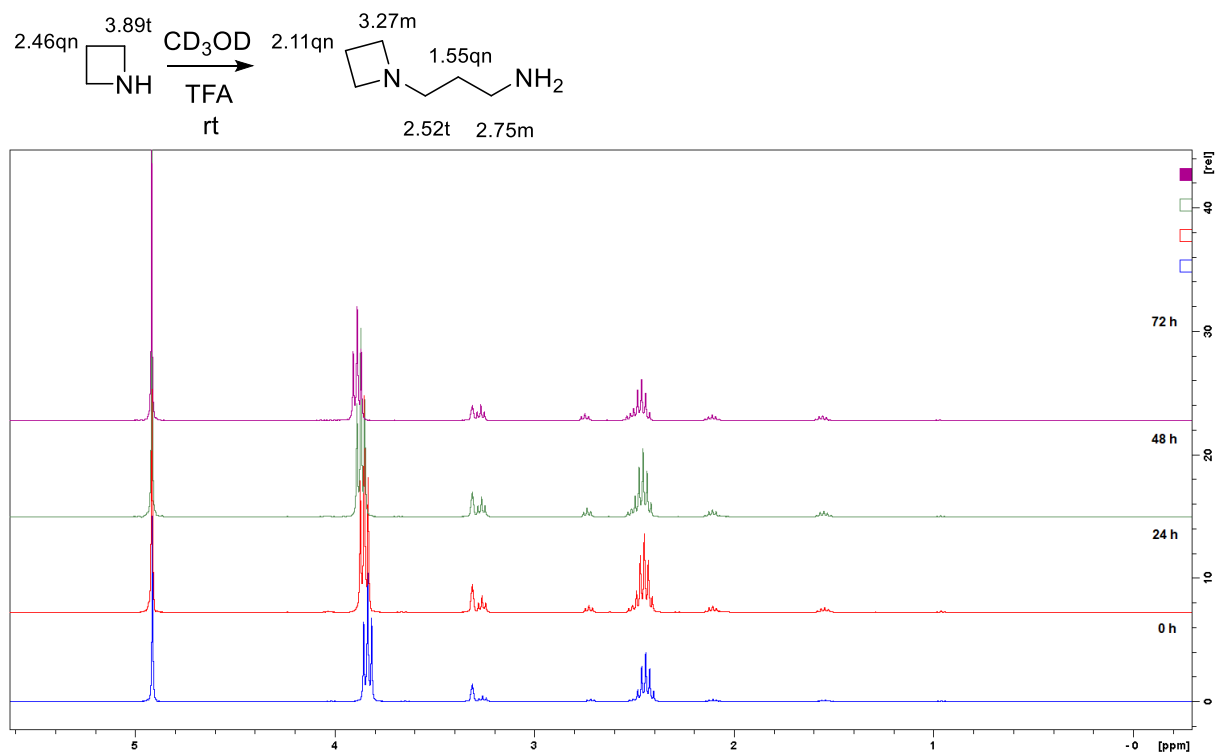
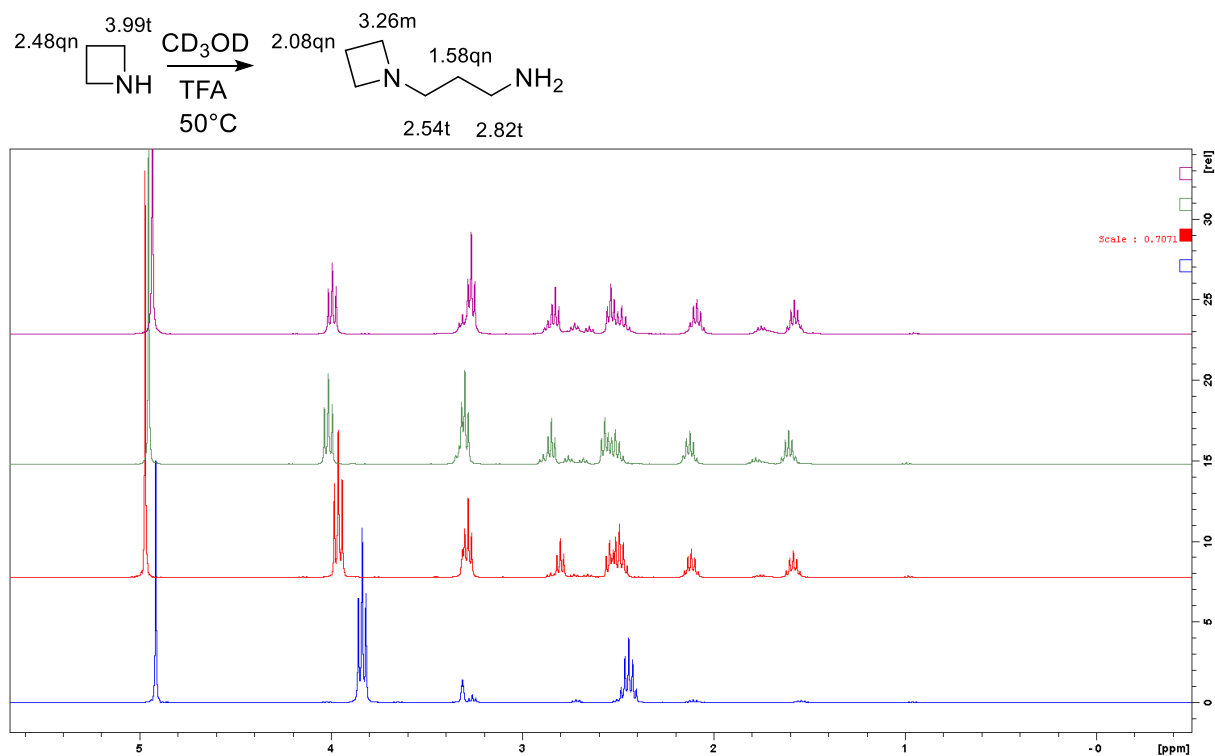


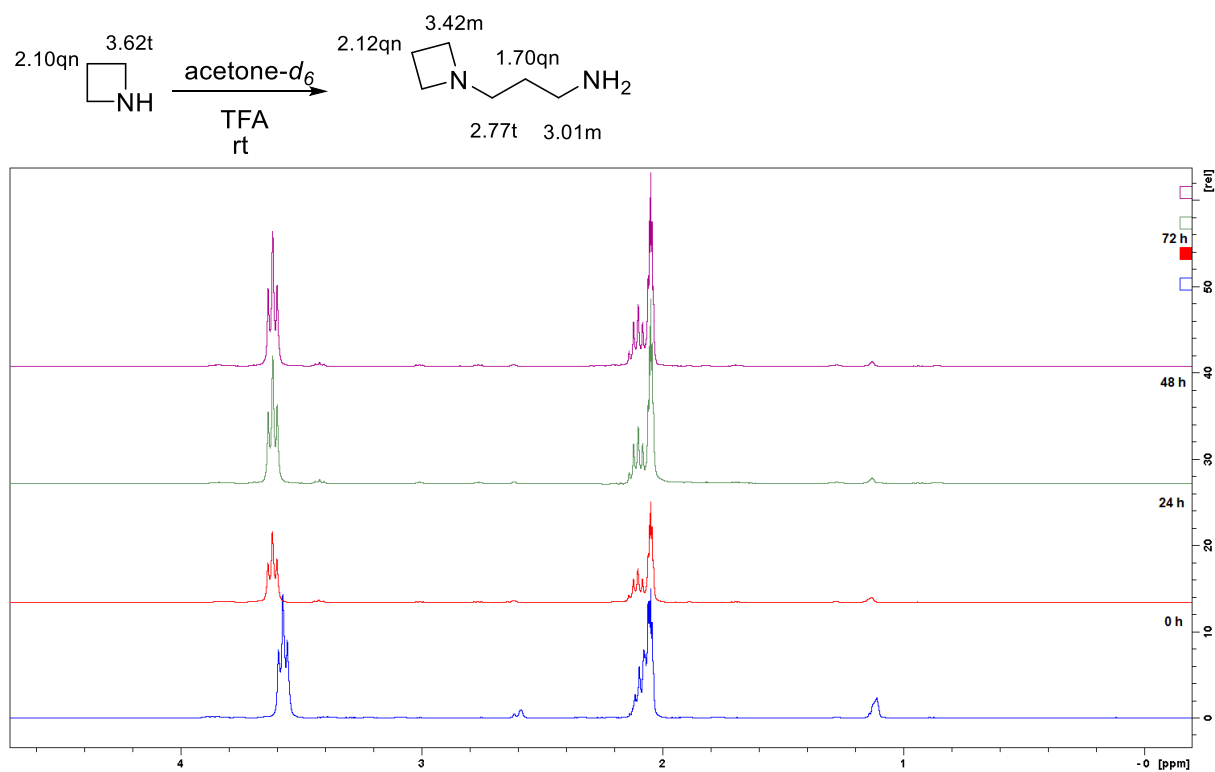
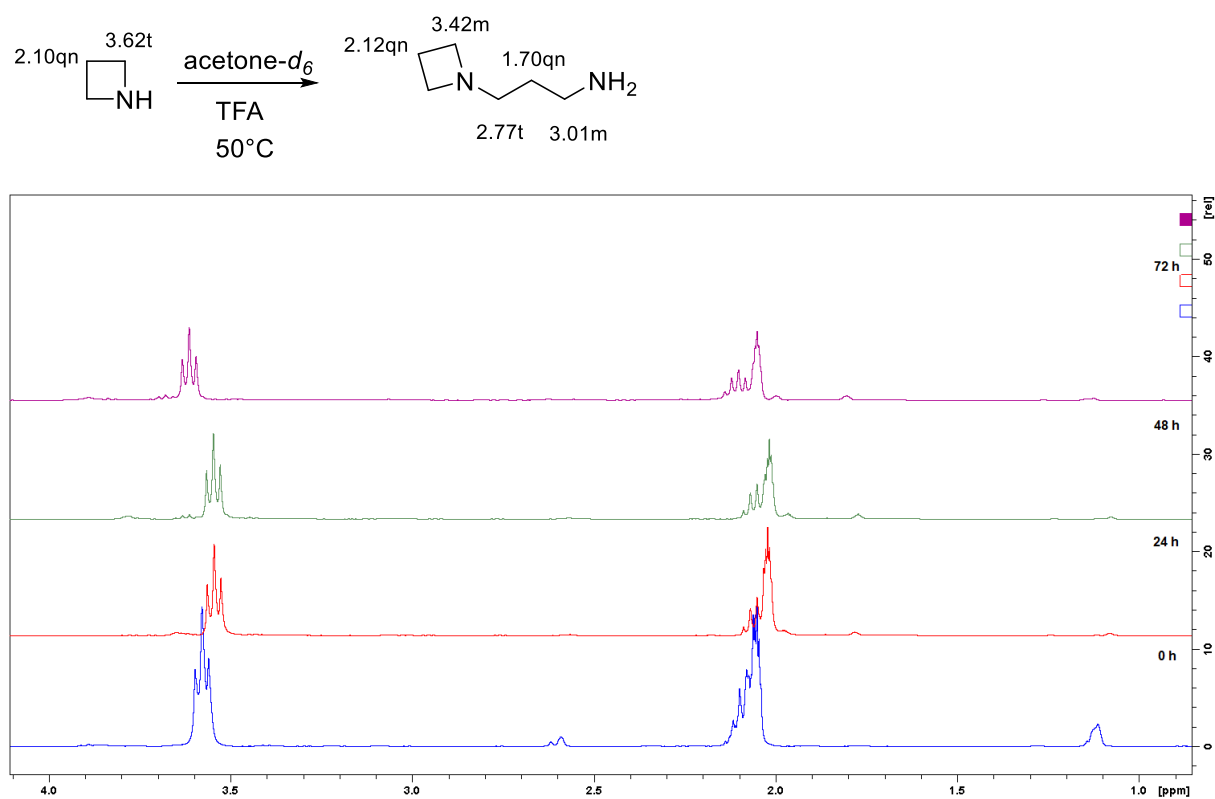
**Figure S13.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO}-d_6$ ) after vacuum distillation of the product (**7**) (synthetic experiment B).

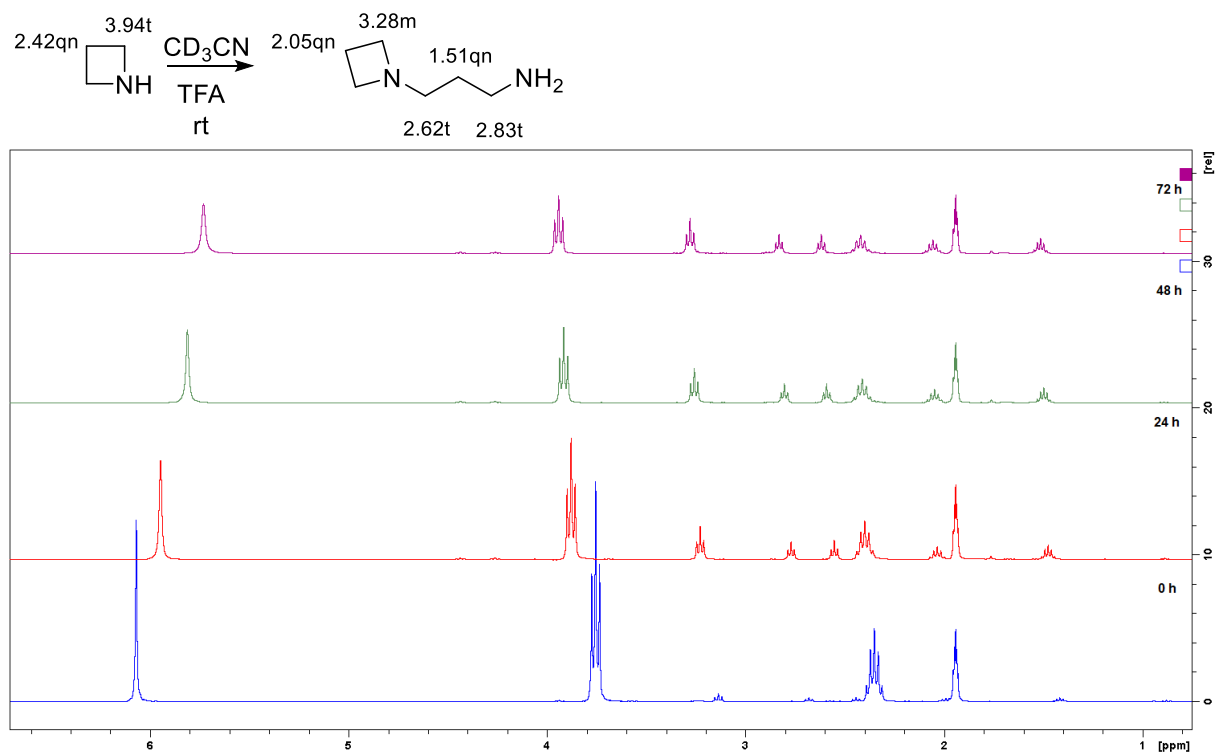
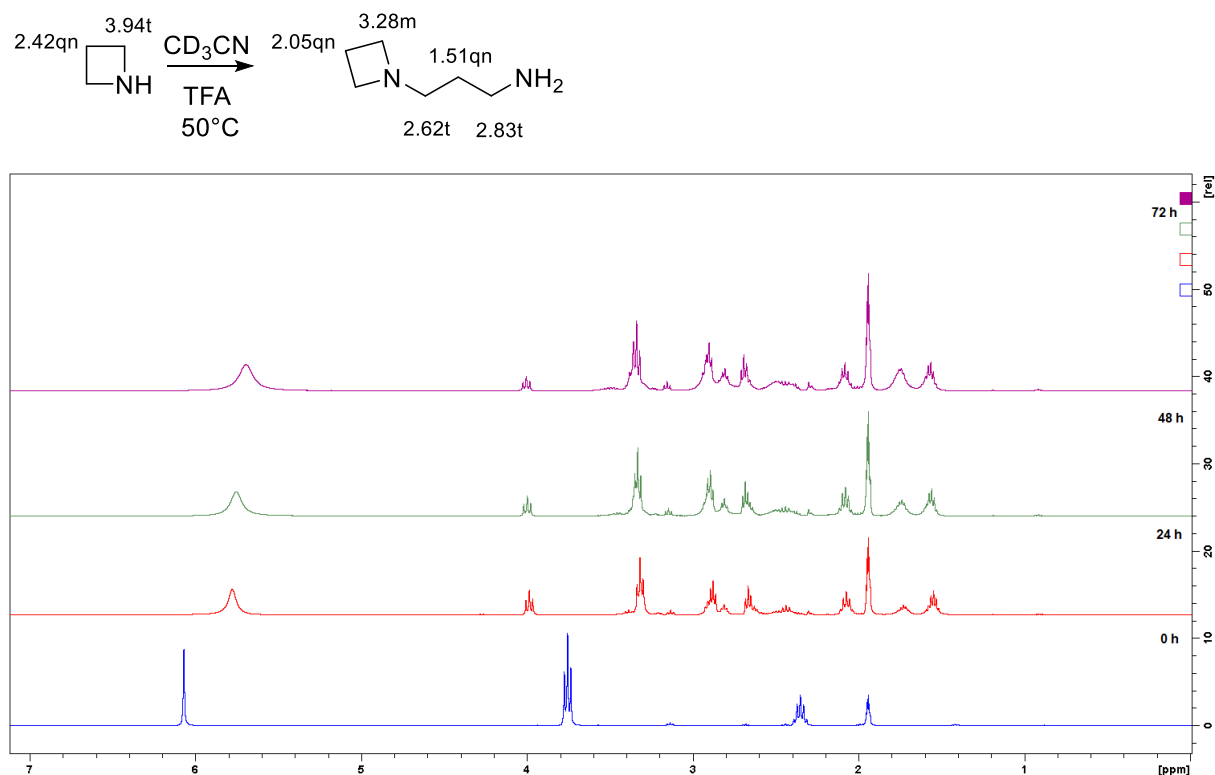
**Figure S14.**  $^1\text{H}$  NMR (400 MHz,  $\text{D}_2\text{O}$ ) monitoring of azetidine at rt.**Figure S15.**  $^1\text{H}$  NMR (400 MHz,  $\text{D}_2\text{O}$ ) monitoring of azetidine + TFA at rt.

**Figure S16.**  $^1\text{H}$  NMR (400 MHz,  $\text{D}_2\text{O}$ ) monitoring of azetidine + TFA at  $50^\circ\text{C}$ .**Figure S17.**  $^1\text{H}$  NMR (400 MHz,  $\text{CD}_3\text{OD}$ ) monitoring of azetidine at rt.



**Figure S18.**  $^1\text{H}$  NMR (400 MHz,  $\text{CD}_3\text{OD}$ ) monitoring of azetidine + TFA at rt.**Figure S19.**  $^1\text{H}$  NMR (400 MHz,  $\text{CD}_3\text{OD}$ ) monitoring of azetidine + TFA at  $50^\circ\text{C}$ .

**Figure S20.**  $^1\text{H}$  NMR (400 MHz, acetone- $d_6$ ) monitoring of azetidine + TFA at rt.**Figure S21.**  $^1\text{H}$  NMR (400 MHz, acetone- $d_6$ ) monitoring of azetidine + TFA at 50°C.

**Figure S22.**  $^1\text{H}$  NMR (400 MHz,  $\text{CD}_3\text{CN}$ ) monitoring of azetidine + TFA at rt.**Figure S23.**  $^1\text{H}$  NMR (400 MHz,  $\text{CD}_3\text{CN}$ ) monitoring of azetidine + TFA at  $50^\circ\text{C}$ .

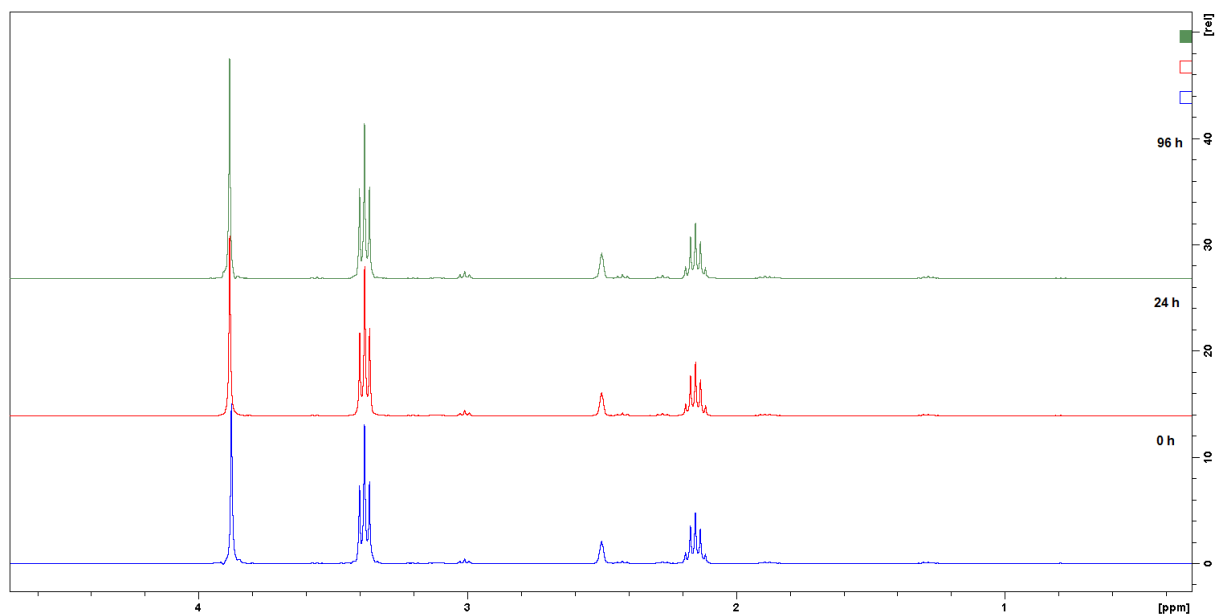
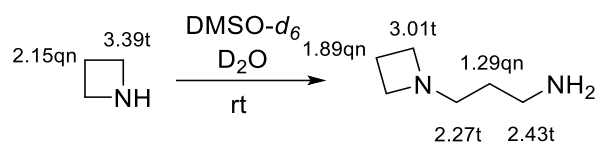


Figure S24.  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6+\text{D}_2\text{O}$  (9:1)) monitoring of azetidine at rt.

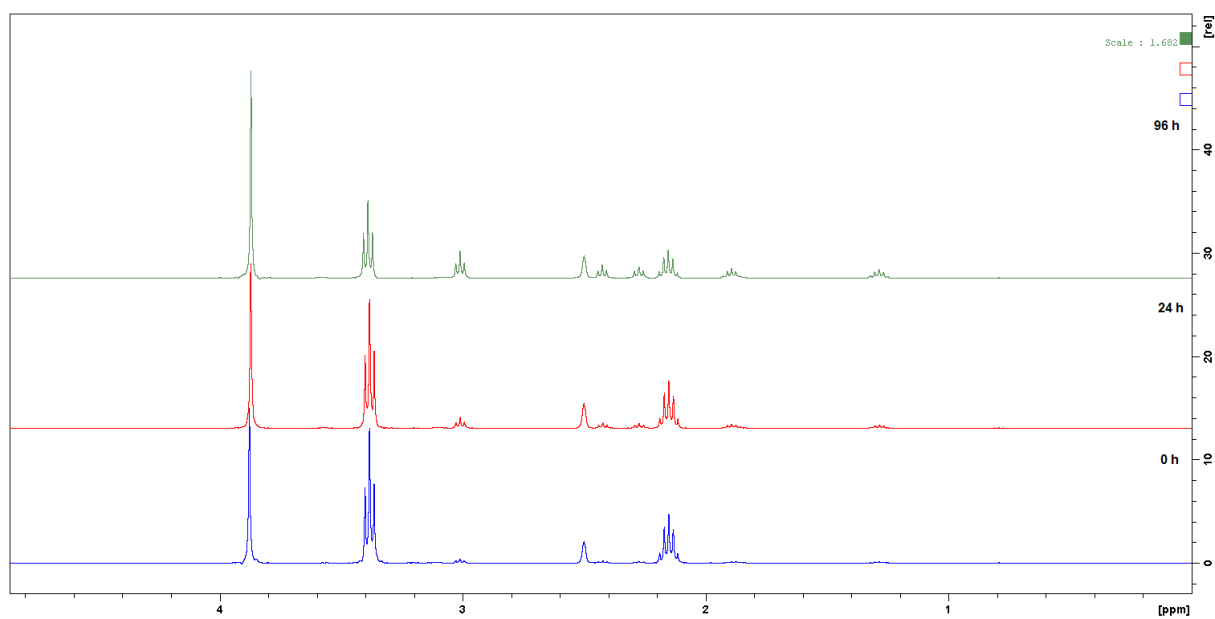
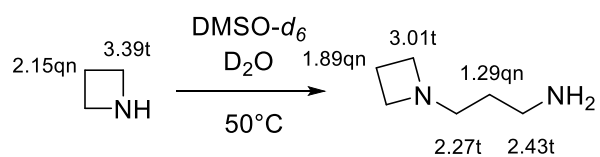
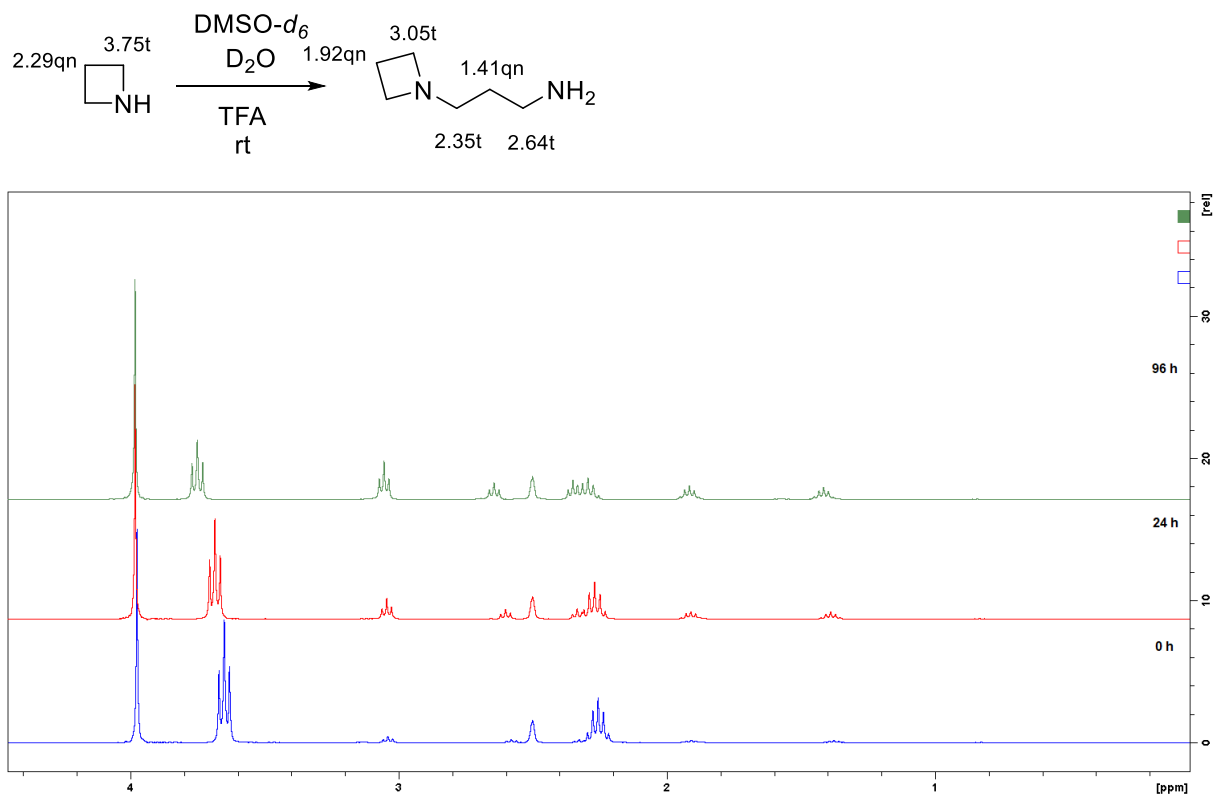
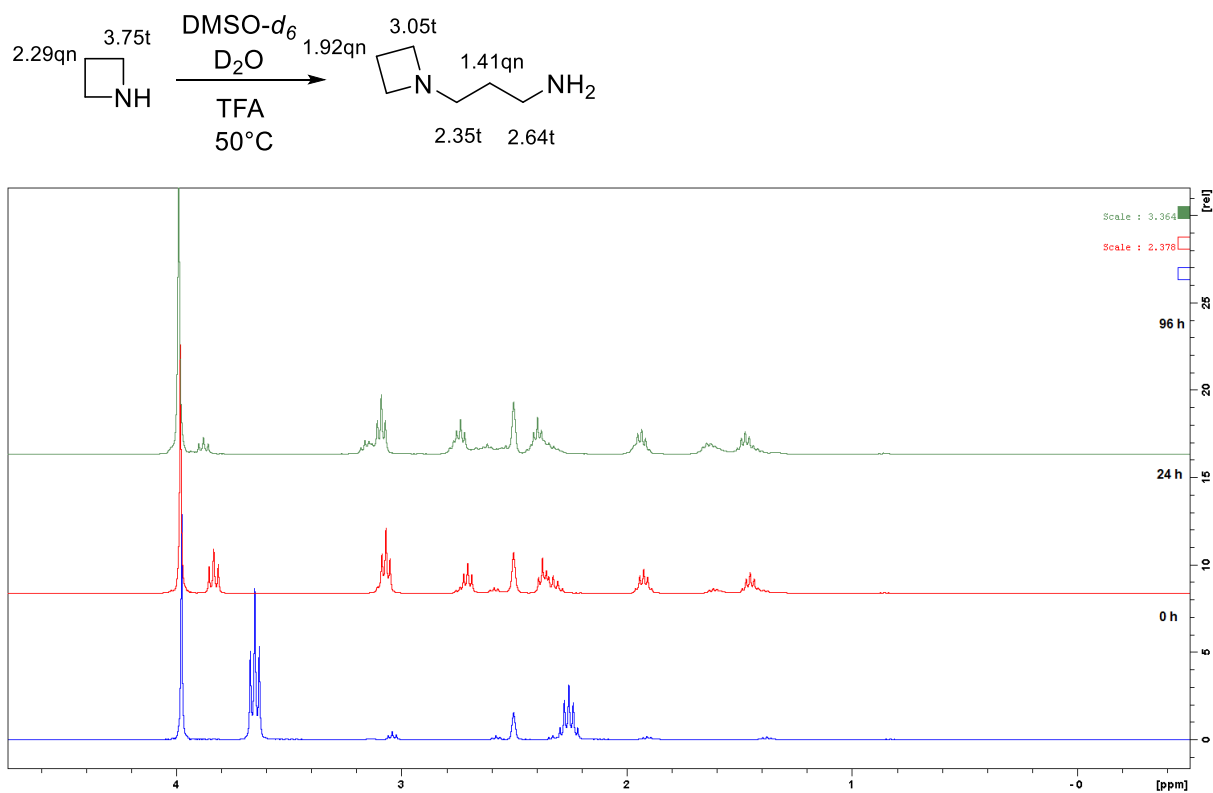
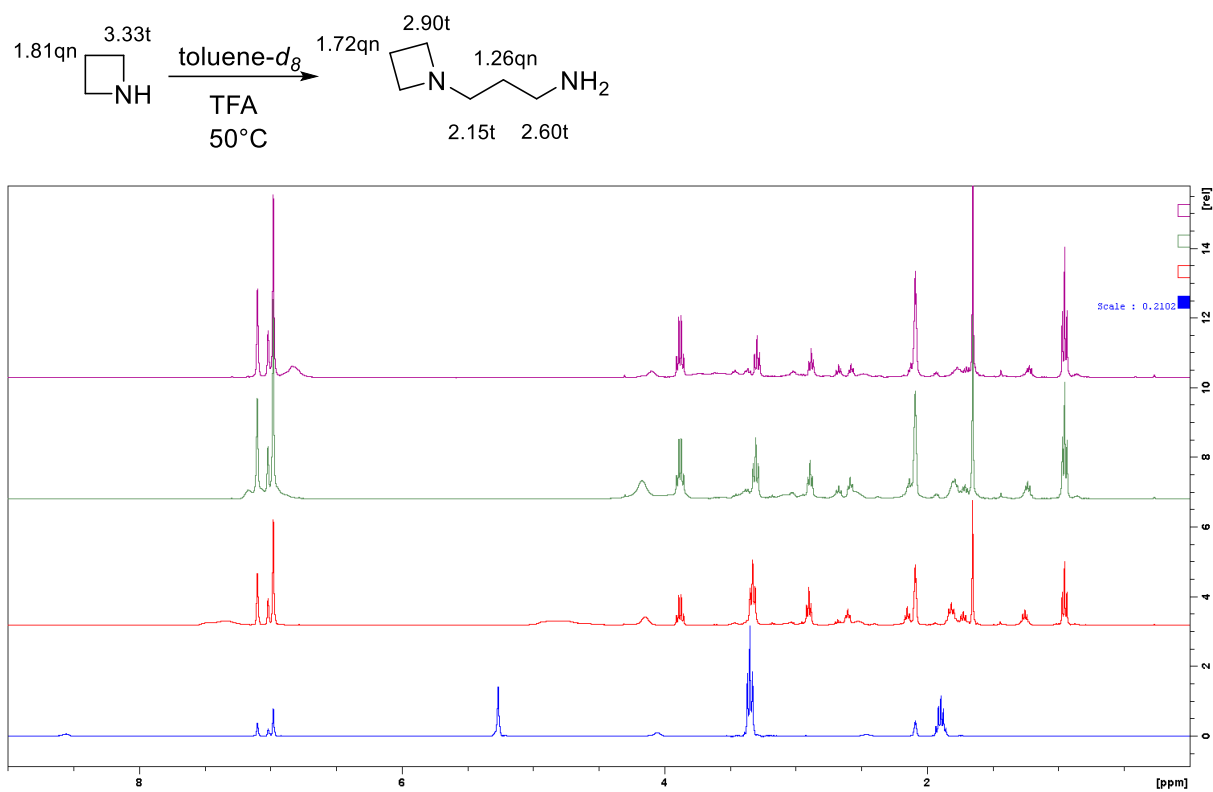
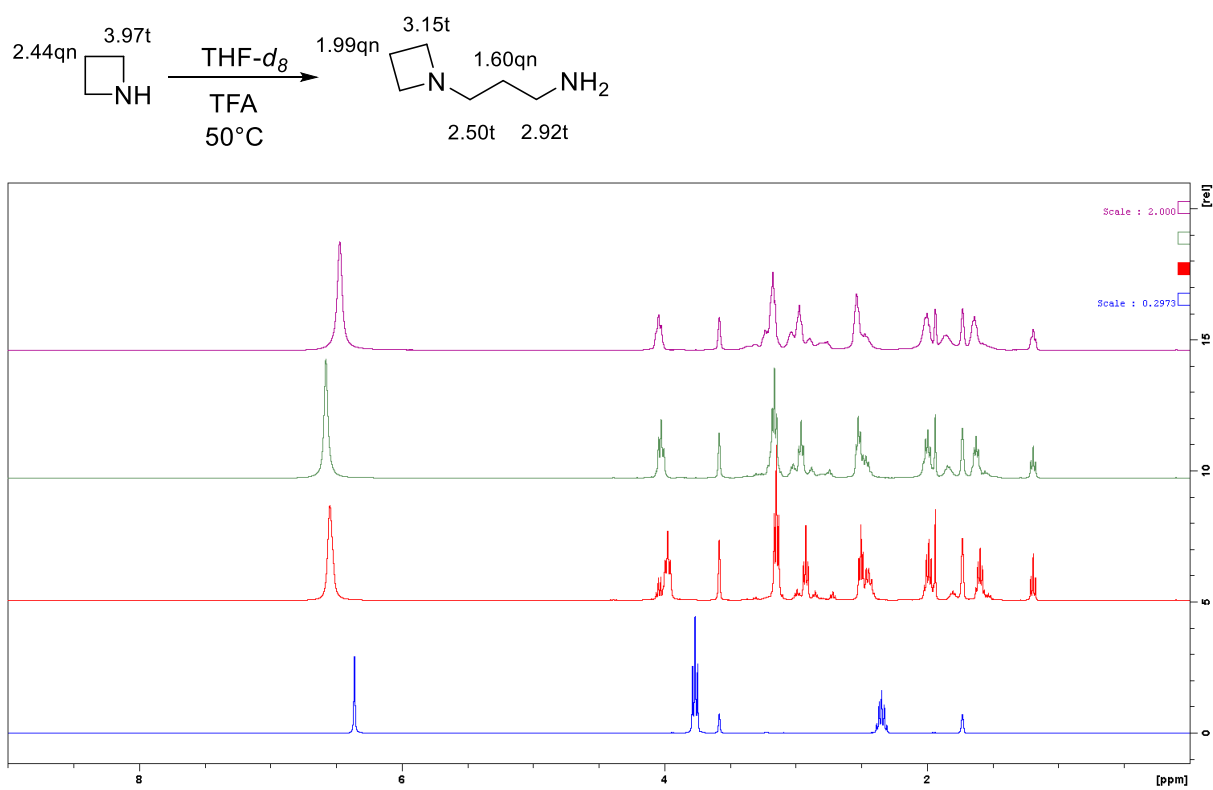
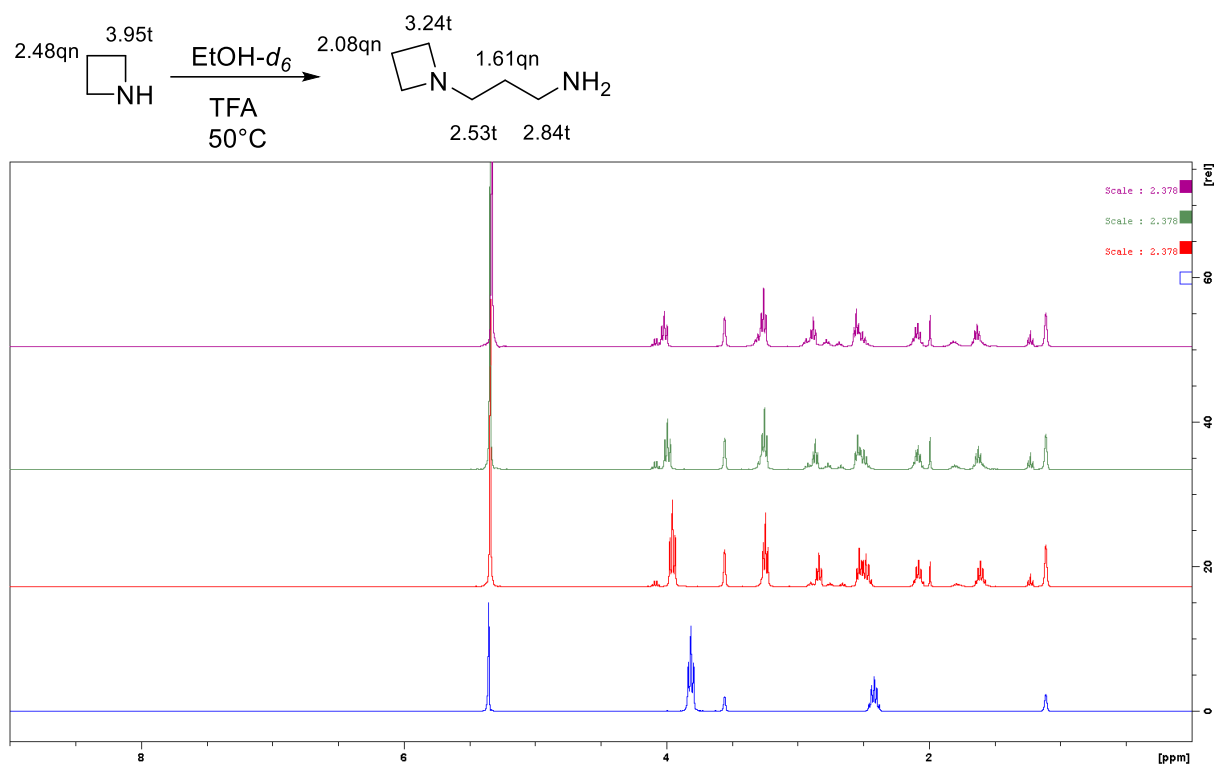
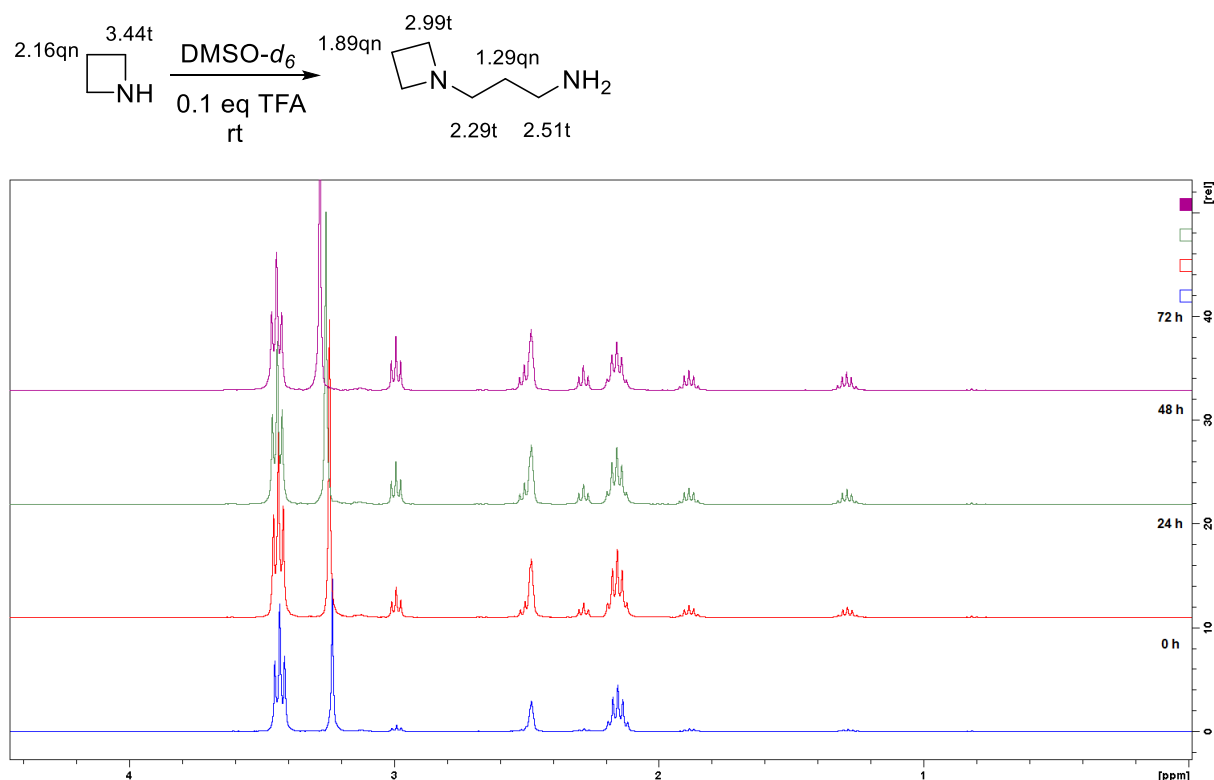
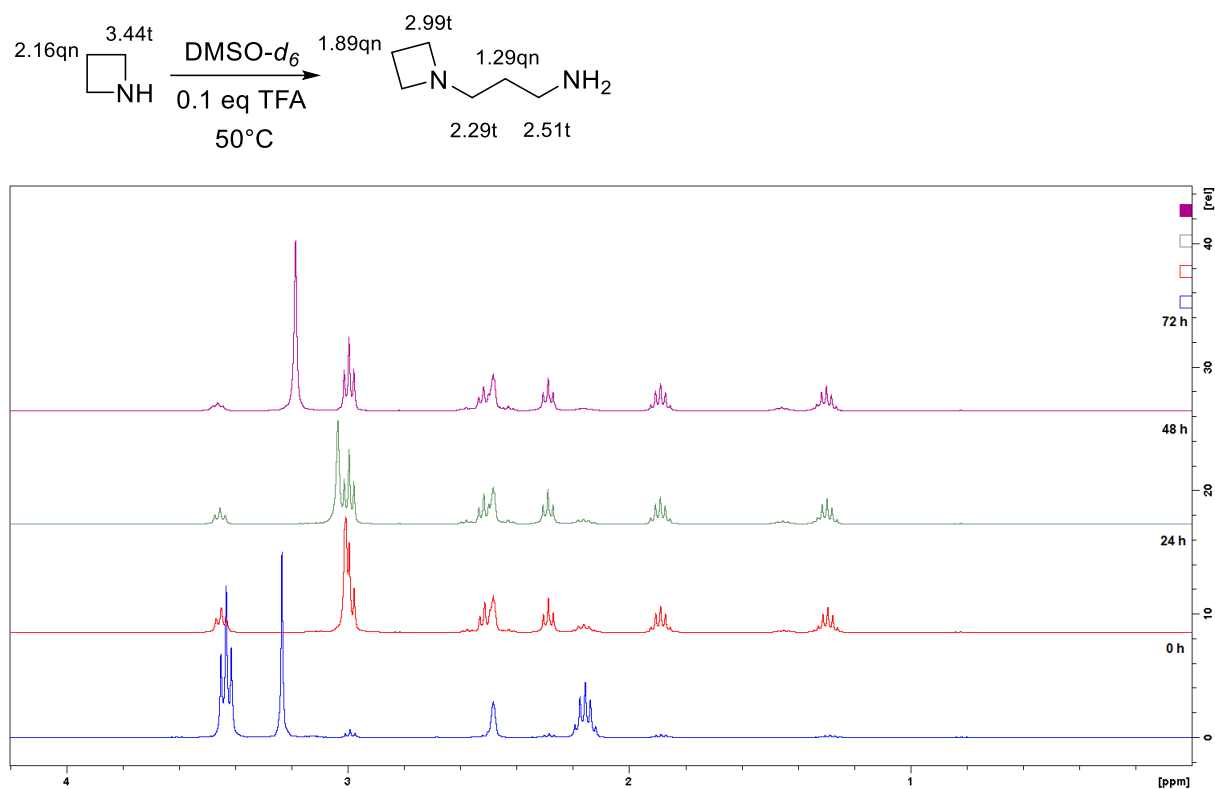
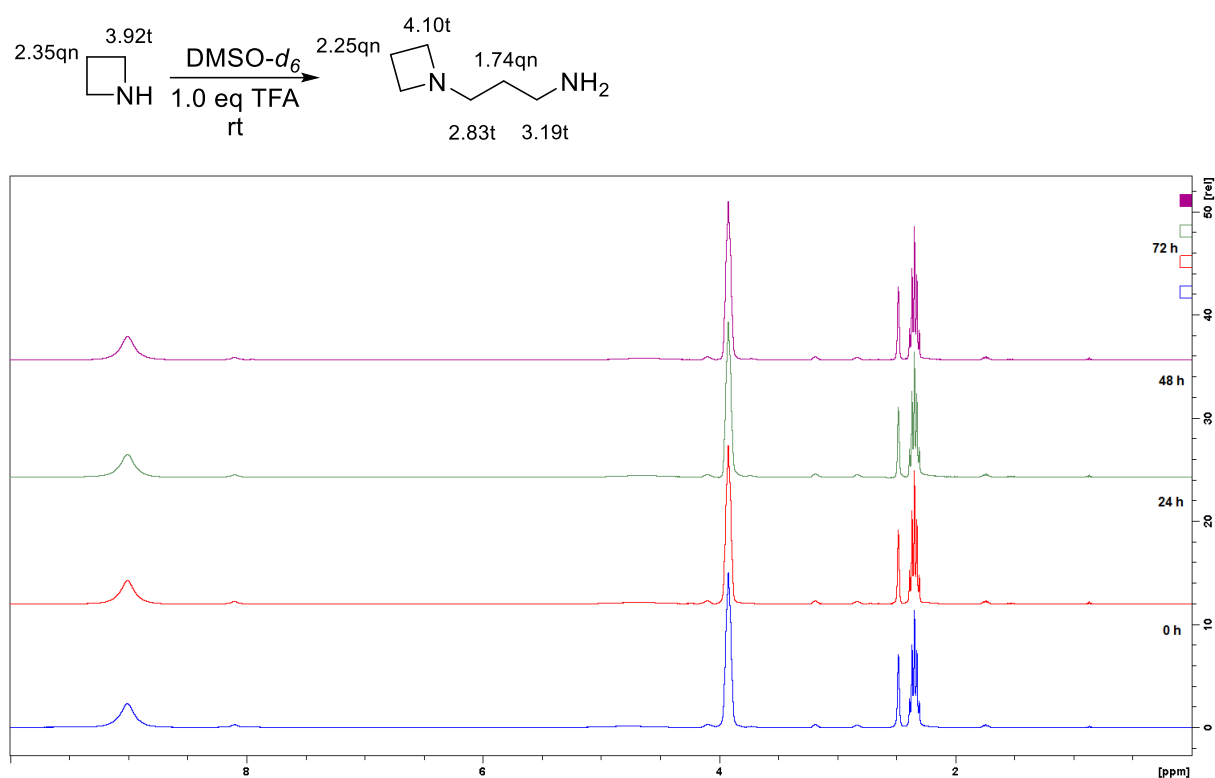


Figure S25.  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6+\text{D}_2\text{O}$  (9:1)) monitoring of azetidine at  $50^\circ\text{C}$ .

**Figure S26.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6+\text{D}_2\text{O}$  (9:1)) monitoring of azetidine + TFA at rt.**Figure S27.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6+\text{D}_2\text{O}$  (9:1)) monitoring of azetidine + TFA at  $50^\circ\text{C}$ .

Figure S28.  $^1\text{H}$  NMR (400 MHz,  $\text{toluene-}d_8$ ) monitoring of azetidine + TFA at  $50^\circ\text{C}$ .Figure S29.  $^1\text{H}$  NMR (400 MHz,  $\text{THF-}d_8$ ) monitoring of azetidine + TFA at  $50^\circ\text{C}$ .

**Figure S30.**  $^1\text{H}$  NMR (400 MHz,  $\text{EtOH-}d_6$ ) monitoring of azetidine + TFA at  $50^\circ\text{C}$ .**Figure S31.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine + 0.1 eq TFA at rt.

**Figure S32.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine + 0.1 eq TFA at  $50^\circ\text{C}$ .**Figure S33.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine + 1.0 eq TFA at rt.



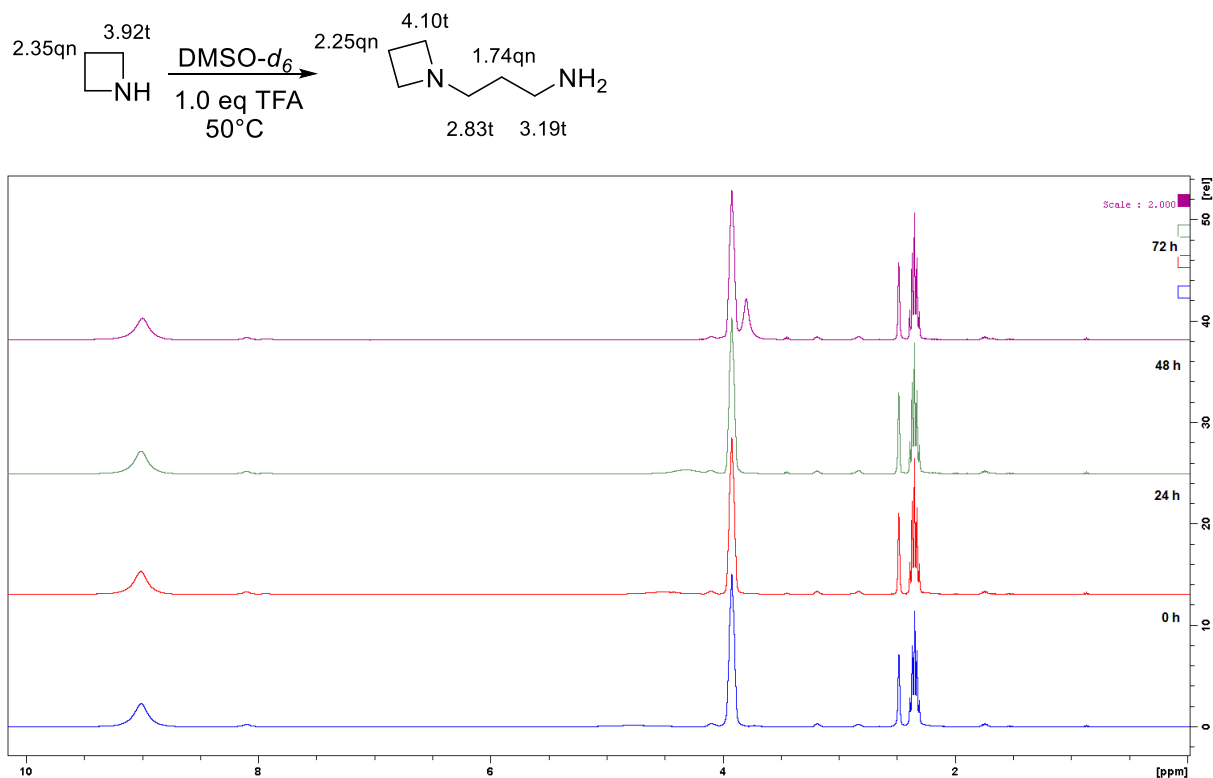


Figure S34.  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine + 1.0 eq TFA at  $50^\circ\text{C}$ .

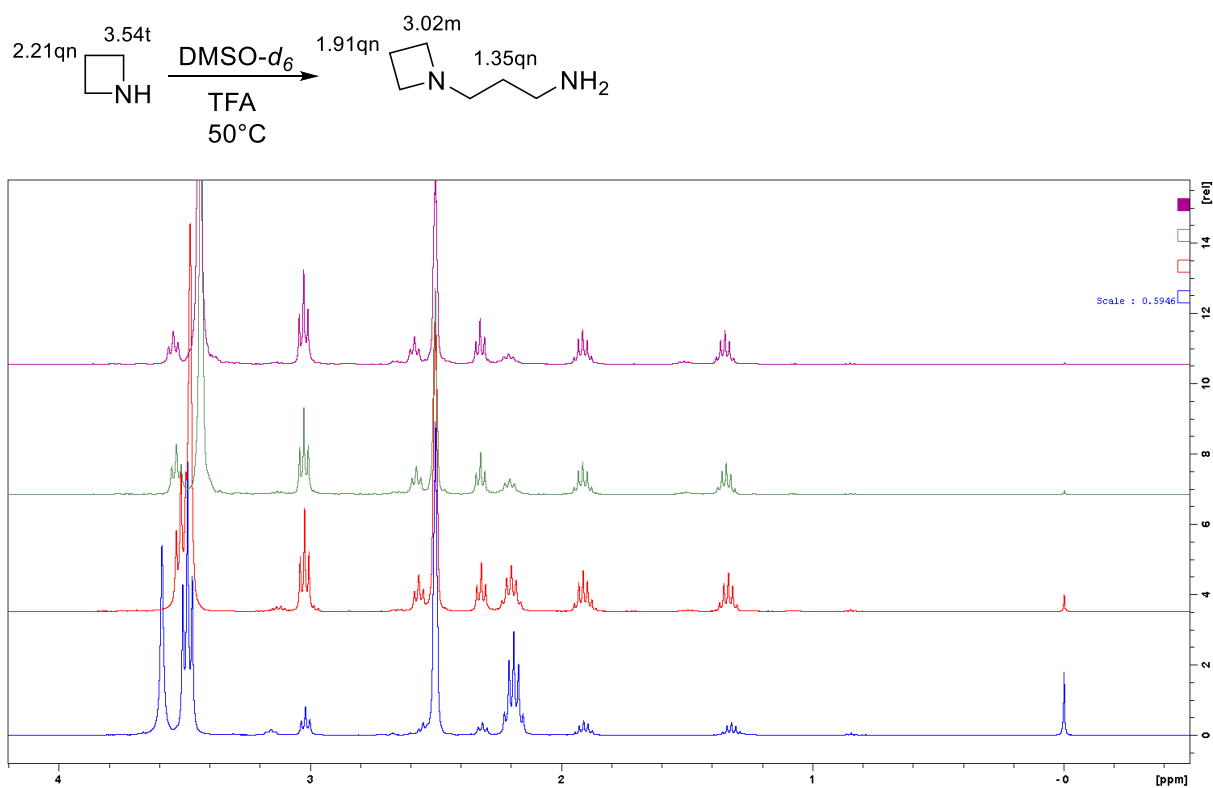
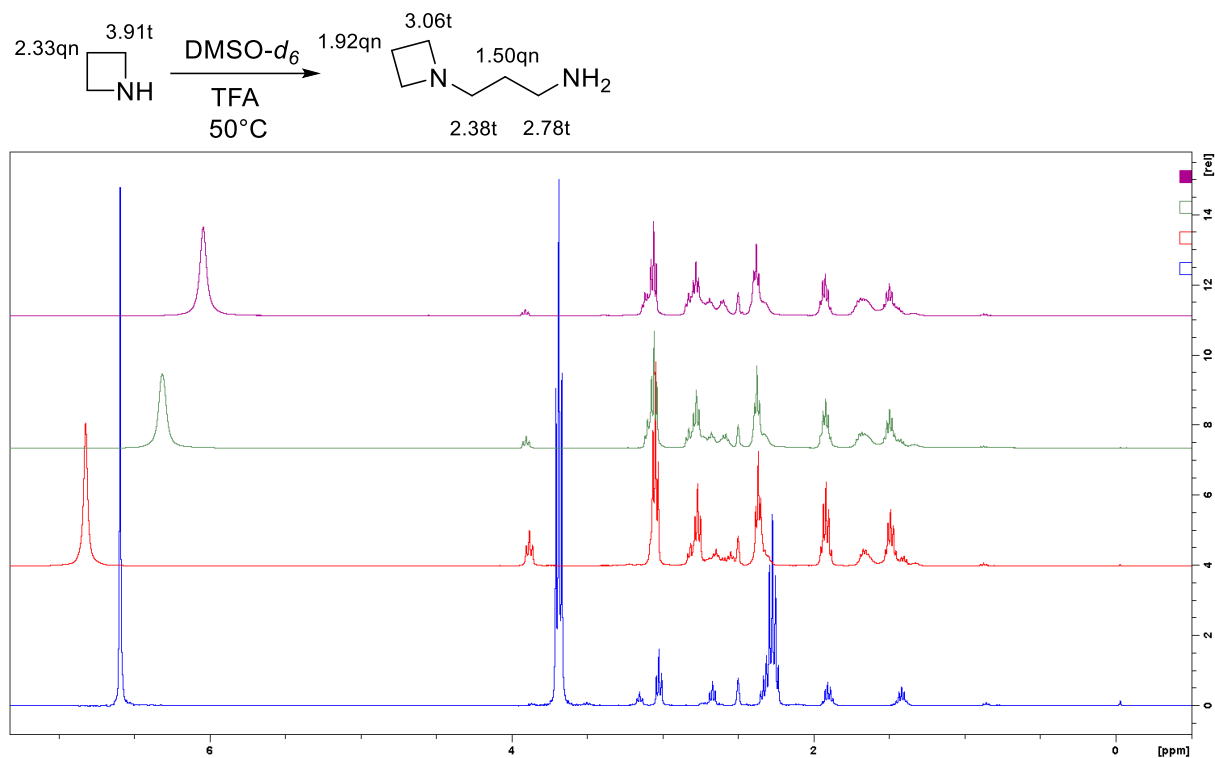
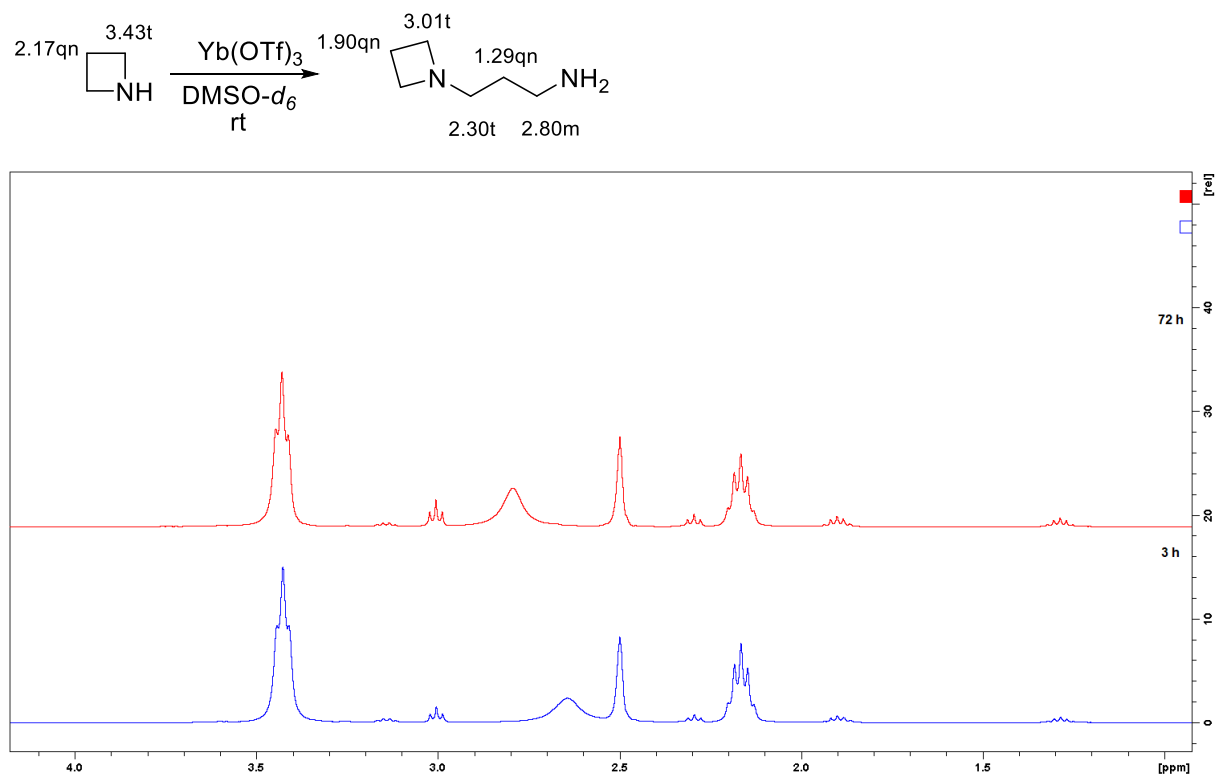
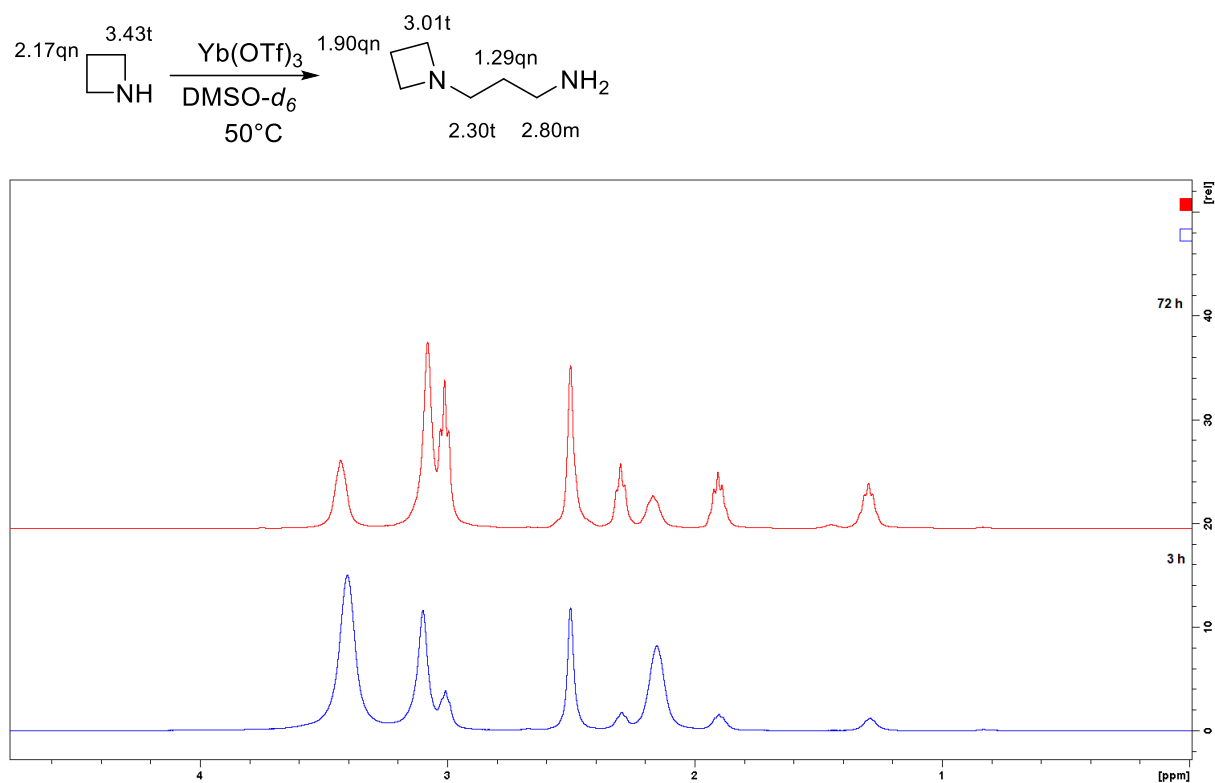
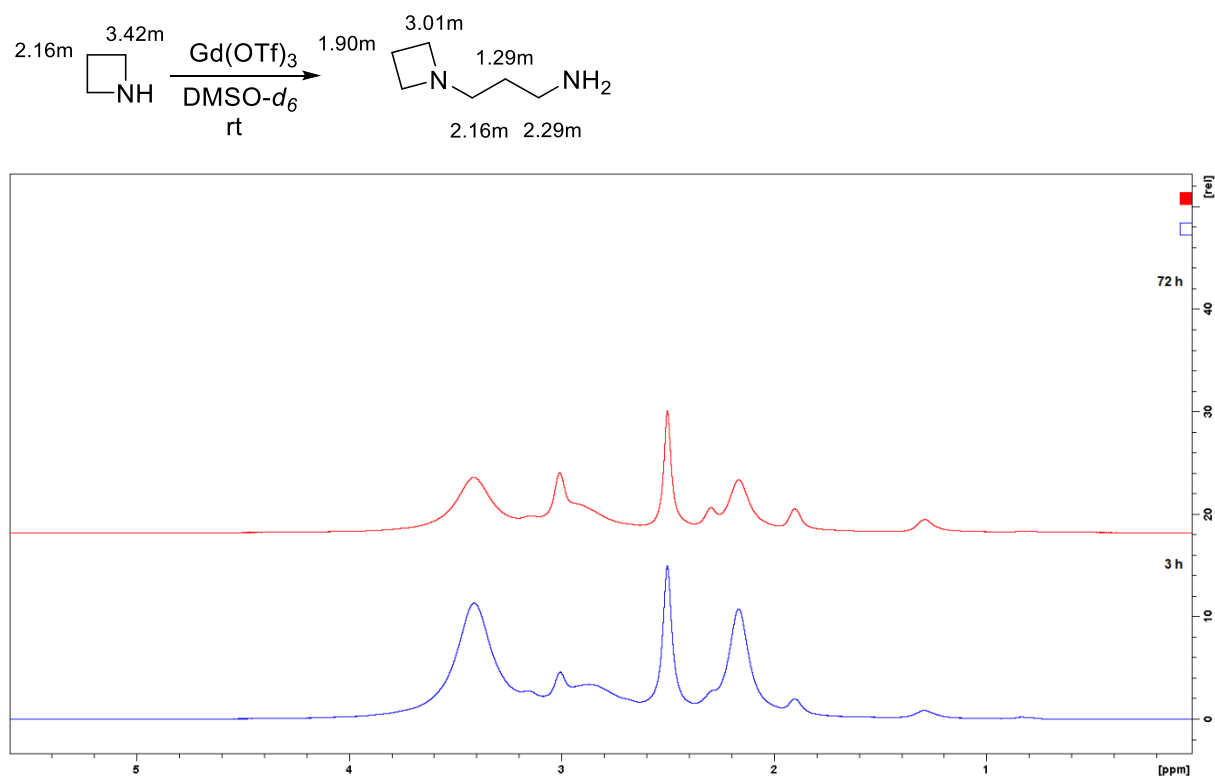
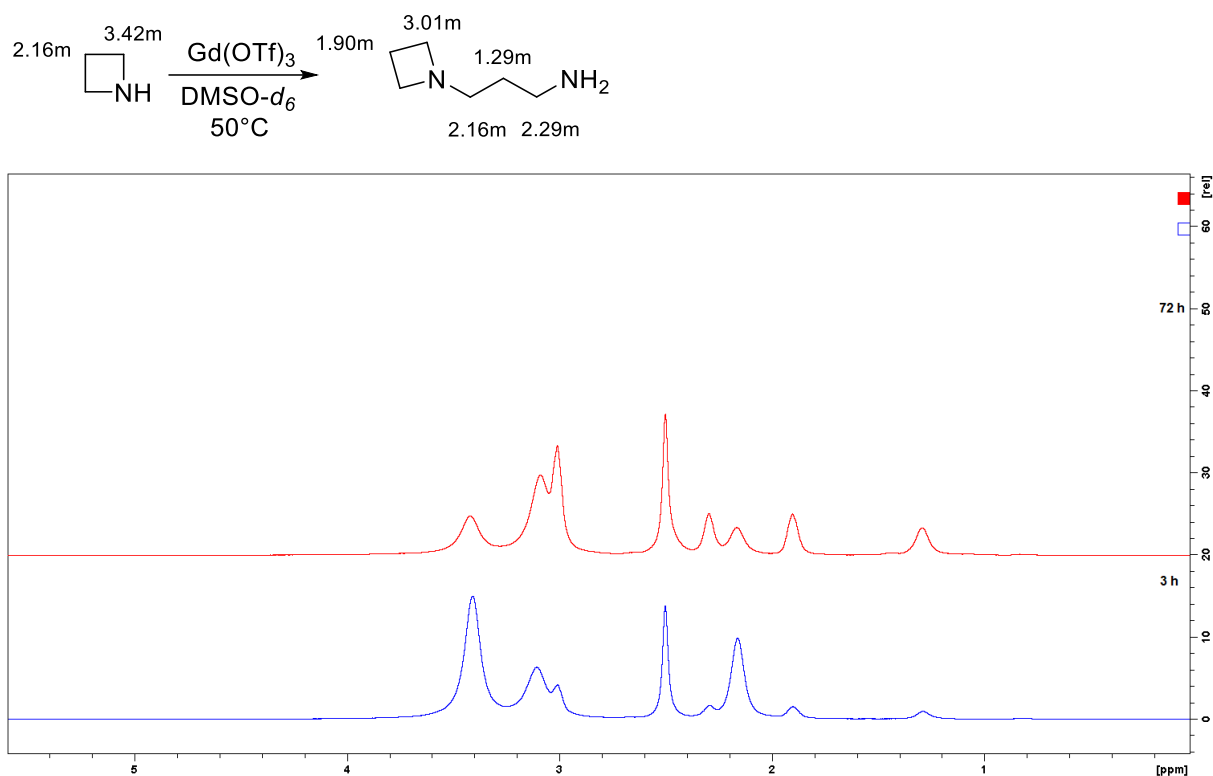
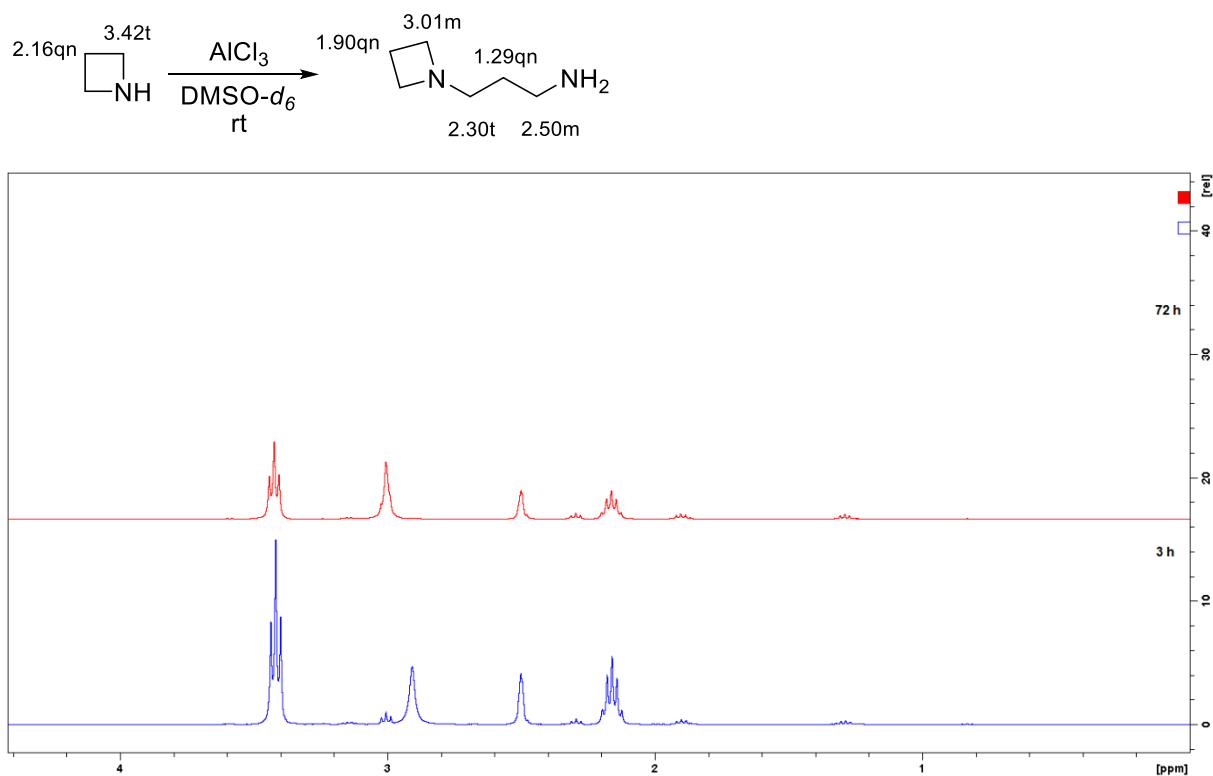
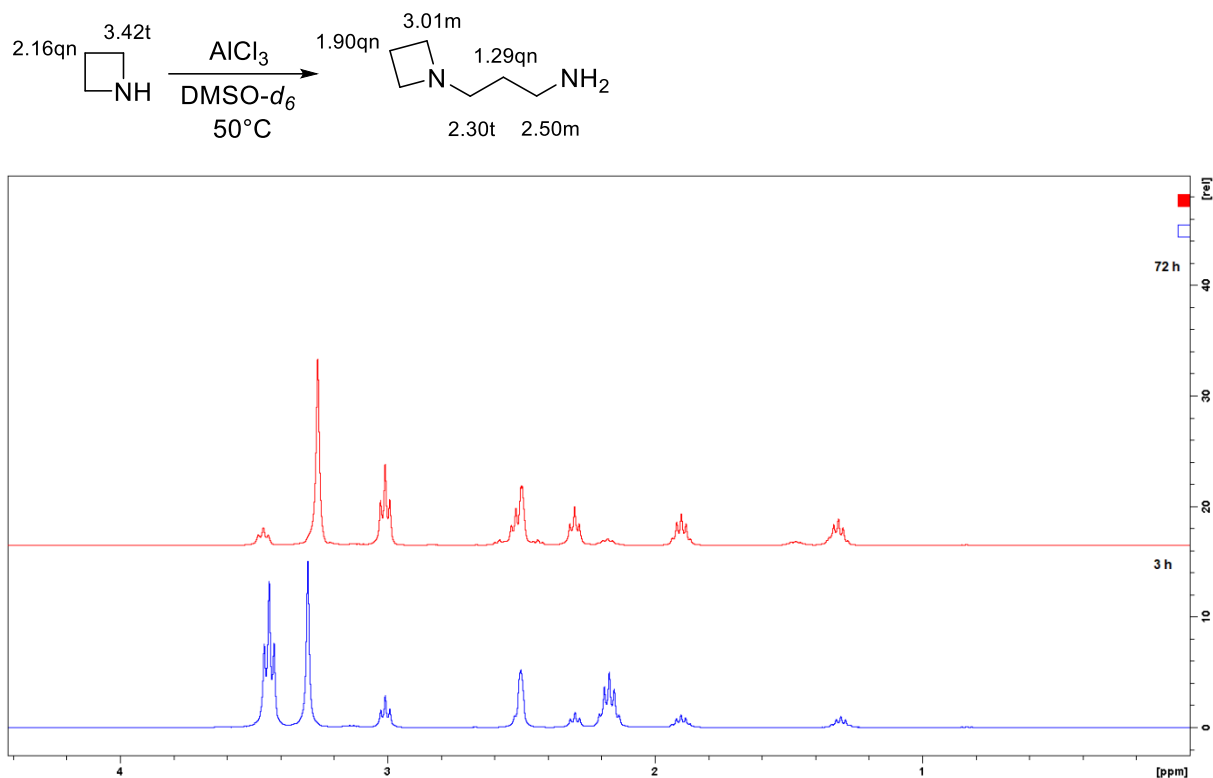
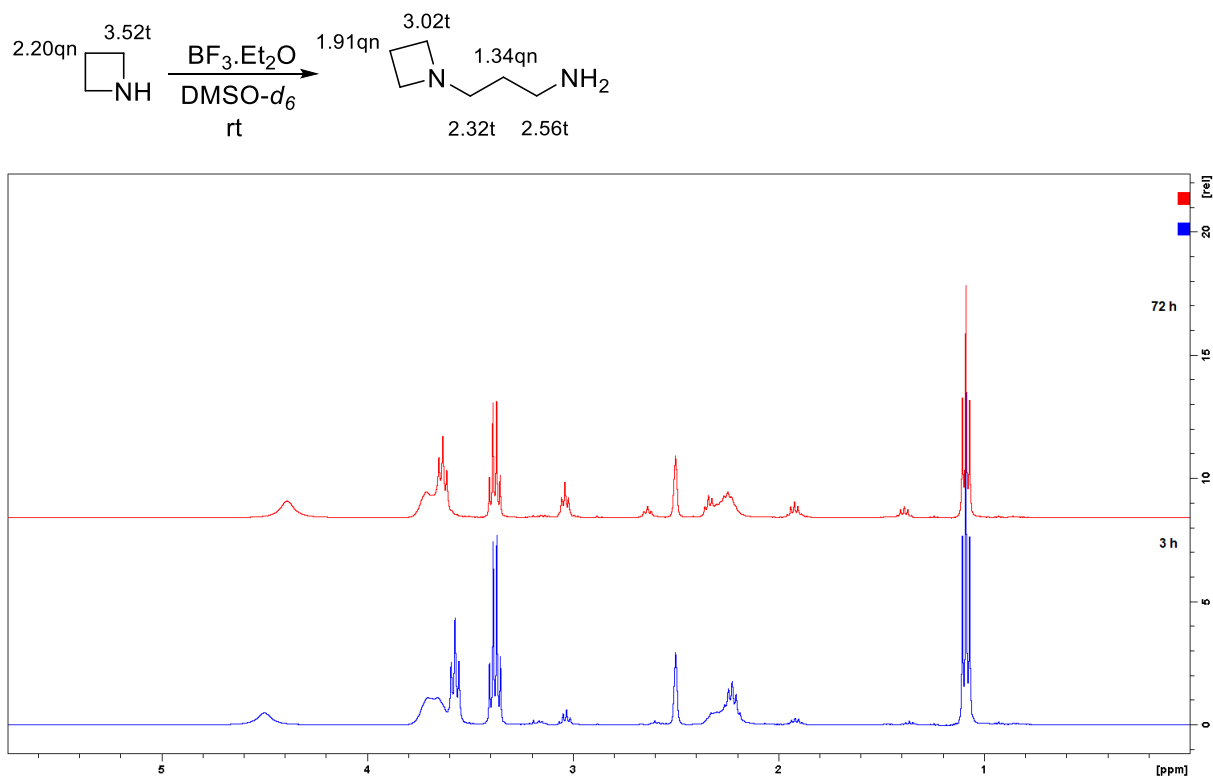


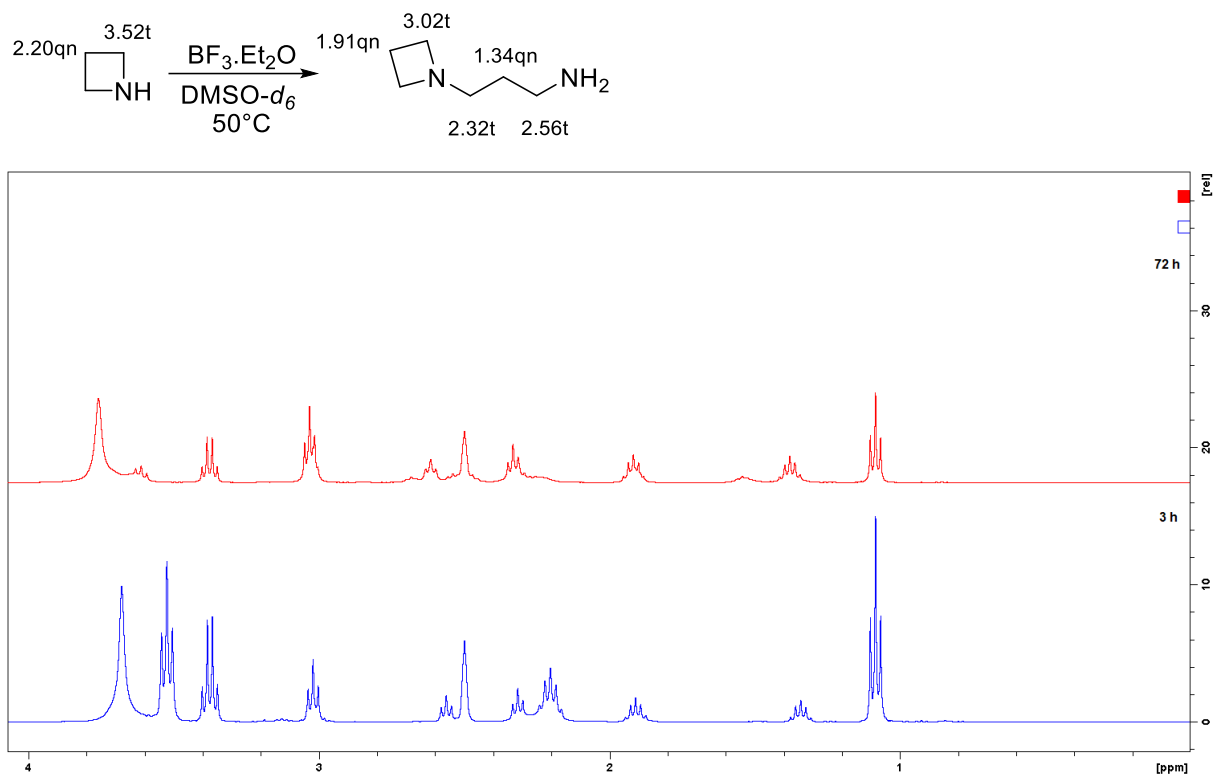
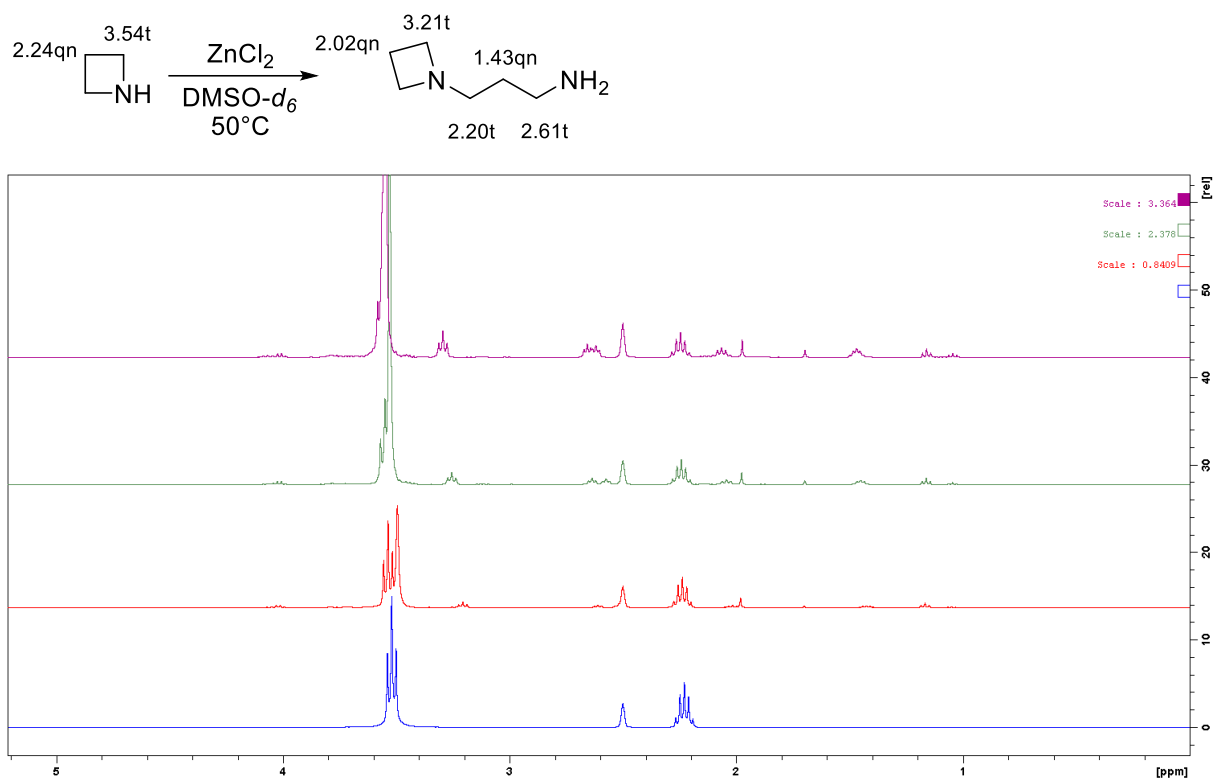
Figure S35.  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine (1.0 M) + TFA at  $50^\circ\text{C}$ .

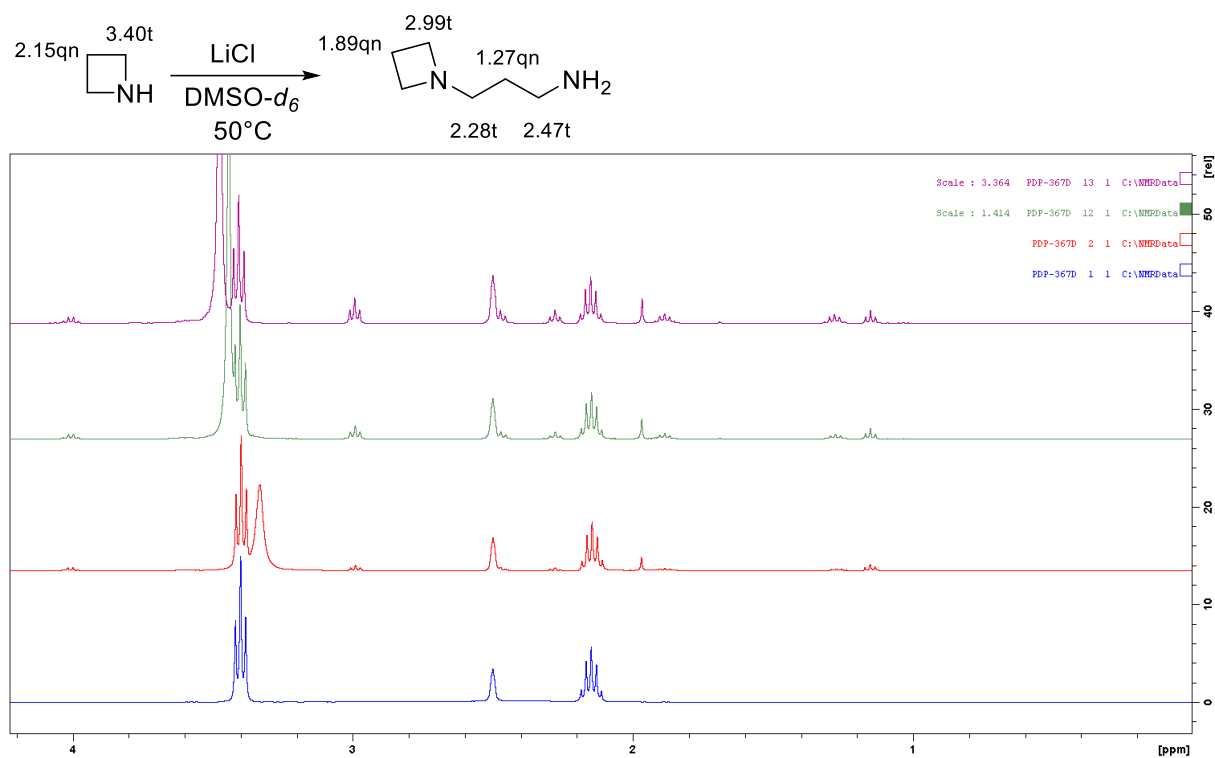
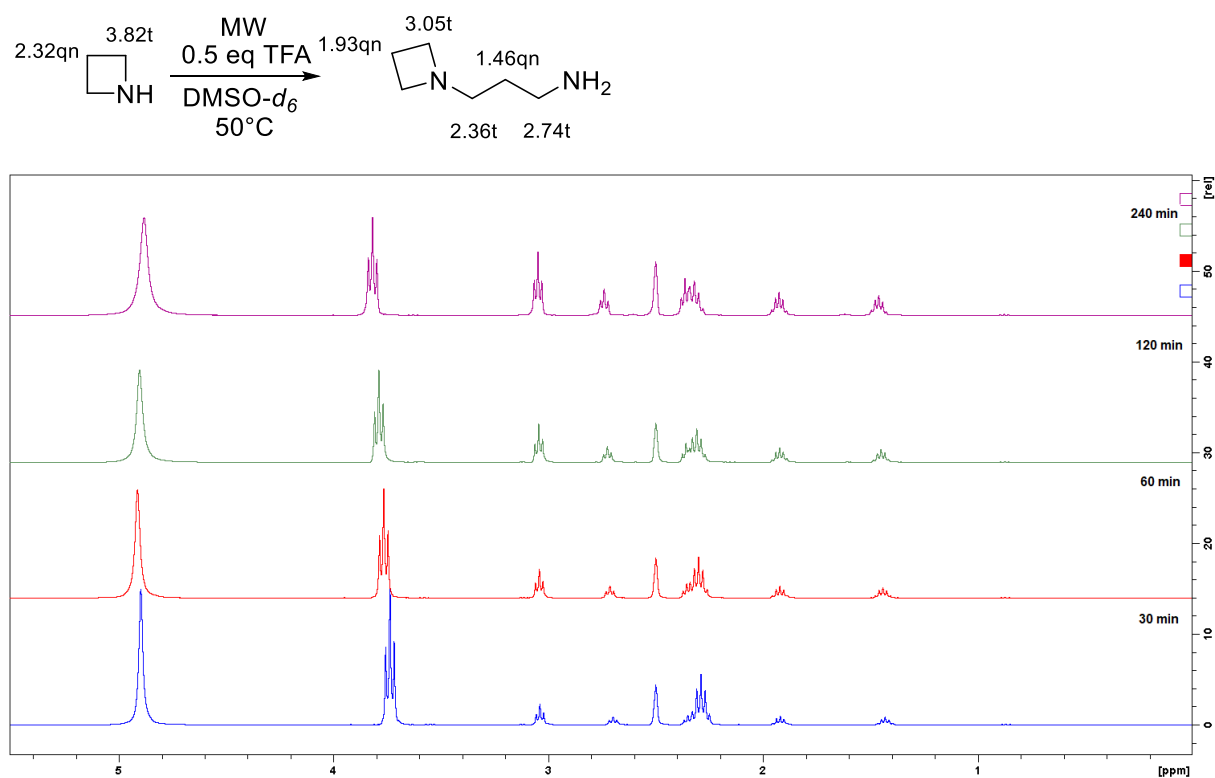
**Figure S36.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine (5.0 M) + TFA at  $50^\circ\text{C}$ .**Figure S37.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine +  $\text{Yb(OTf)}_3$  at rt.

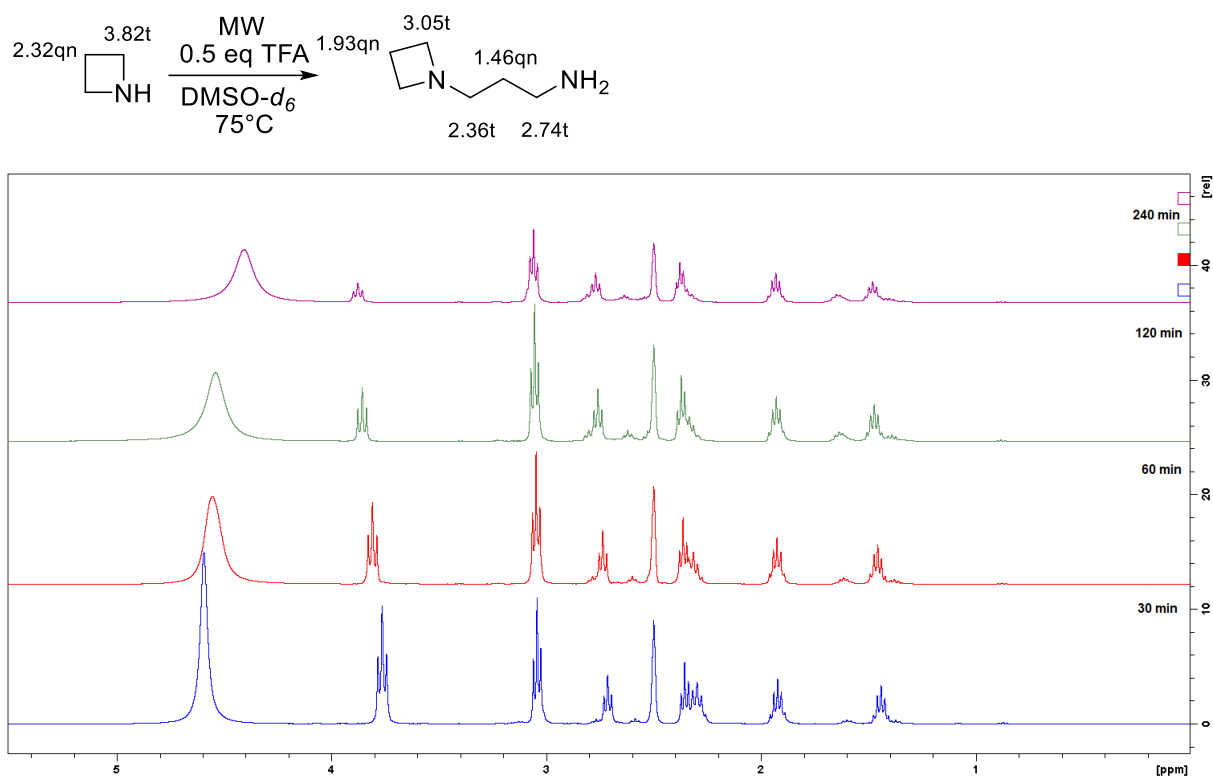
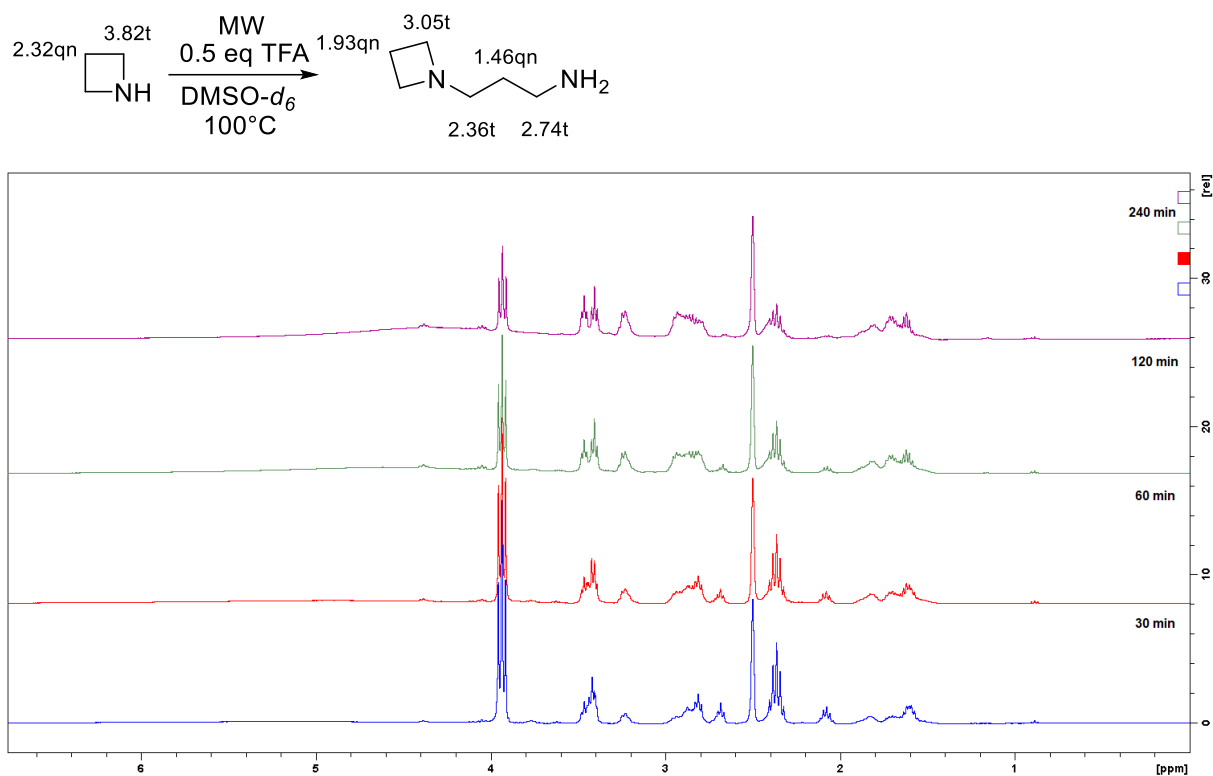
**Figure S38.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine +  $\text{Yb(OTf)}_3$  at  $50^\circ\text{C}$ .**Figure S39.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine +  $\text{Gd(OTf)}_3$  at rt.

**Figure S40.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine +  $\text{Gd(OTf)}_3$  at  $50^\circ\text{C}$ .**Figure S41.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine +  $\text{AlCl}_3$  at rt.

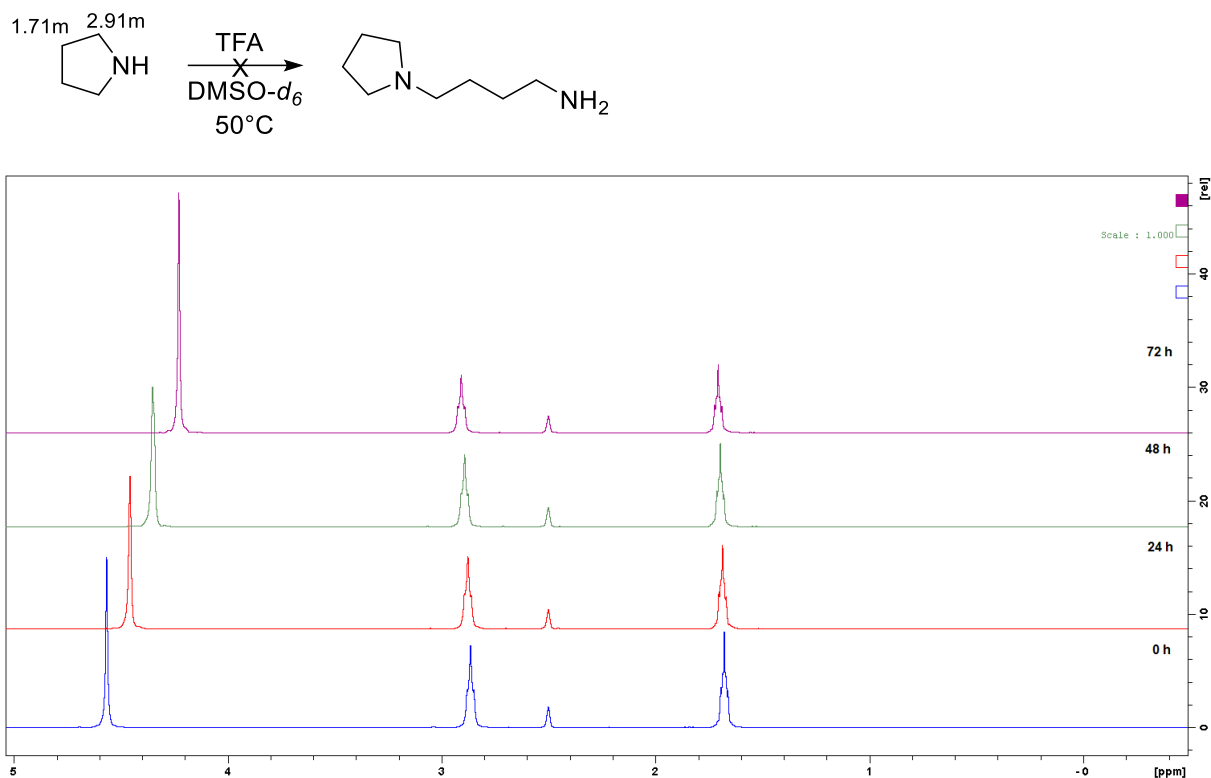
**Figure S42.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine +  $\text{AlCl}_3$  at  $50^\circ\text{C}$ .**Figure S43.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine +  $\text{BF}_3 \cdot \text{Et}_2\text{O}$  at rt.

**Figure S44.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine +  $\text{BF}_3 \cdot \text{Et}_2\text{O}$  at  $50^\circ\text{C}$ .**Figure S45.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine +  $\text{ZnCl}_2$  at  $50^\circ\text{C}$ .

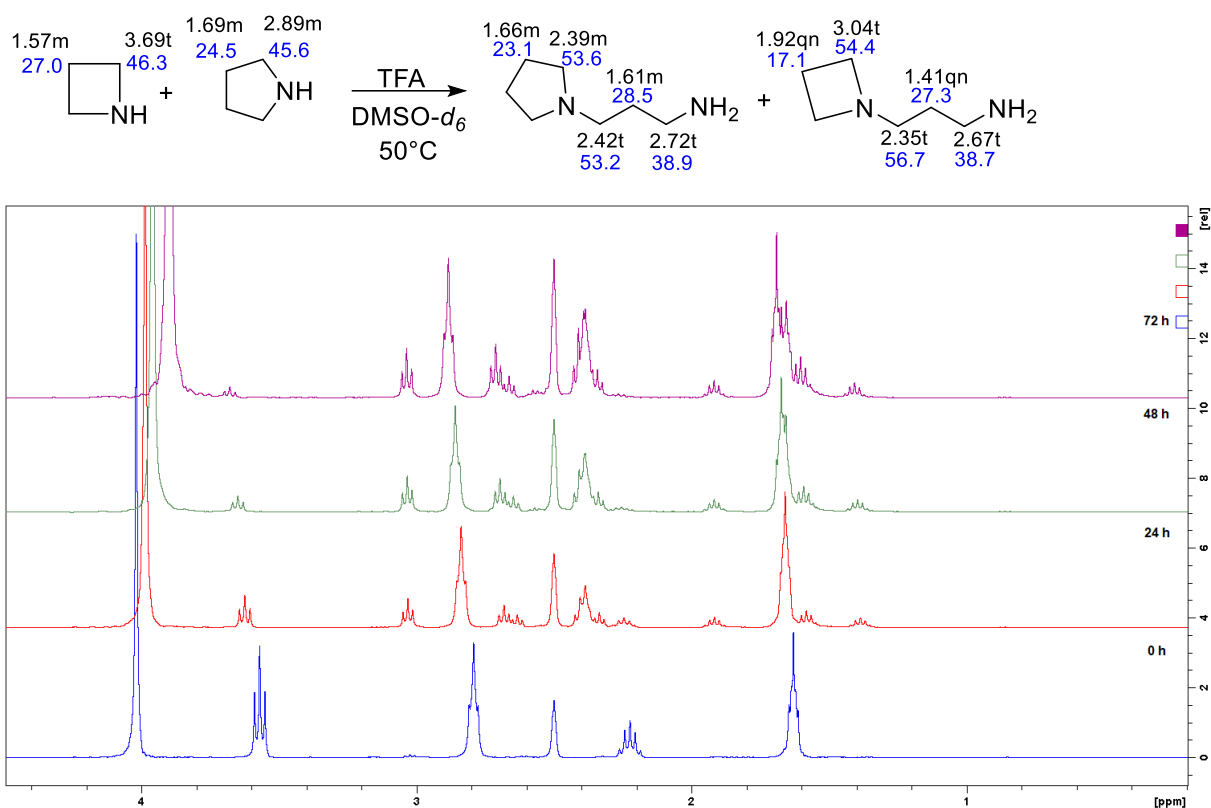
**Figure S46.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine + LiCl at  $50^\circ\text{C}$ .**Figure S47.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine + TFA at  $50^\circ\text{C}$ , MW heating.

**Figure S48.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine + TFA at  $75^\circ\text{C}$ , MW heating.**Figure S49.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine + TFA at  $100^\circ\text{C}$ , MW heating.

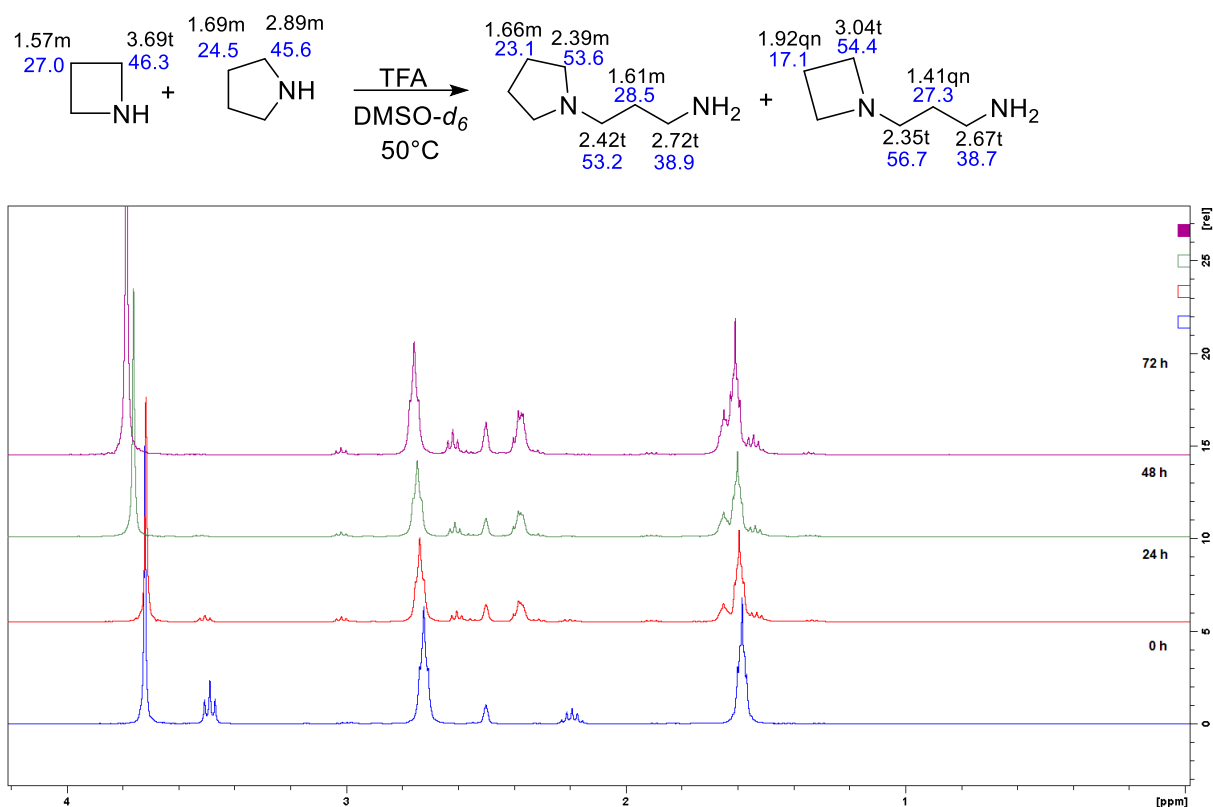




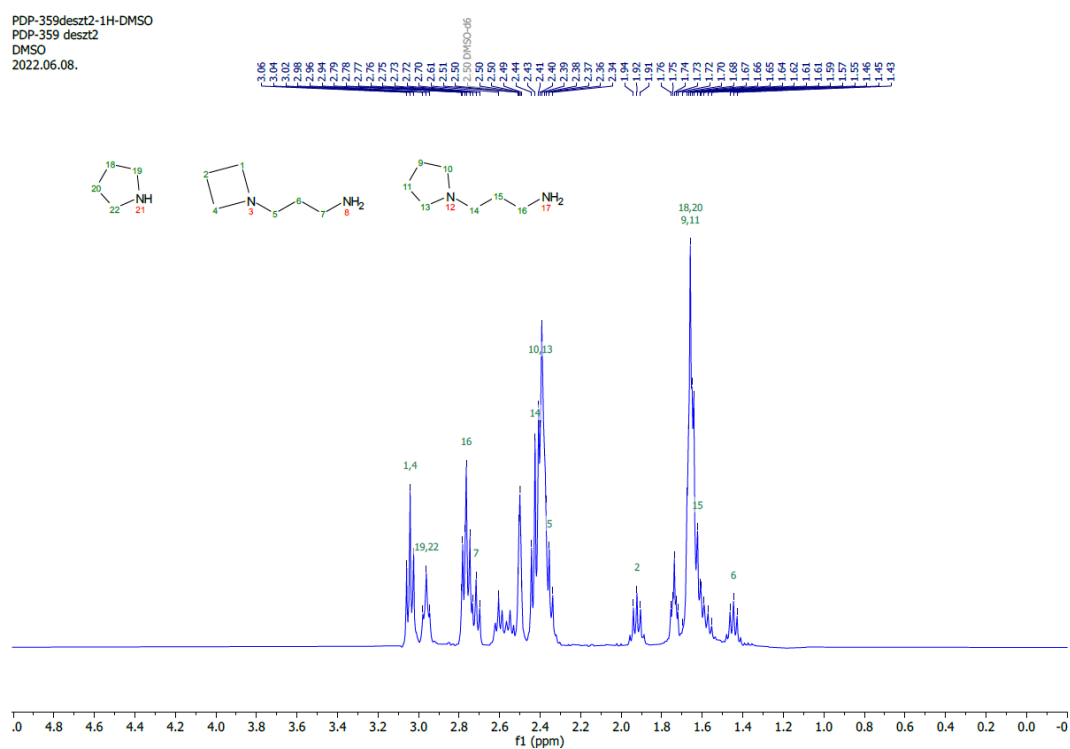
**Figure S50.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of pyrrolidine + TFA at  $50^\circ\text{C}$ .



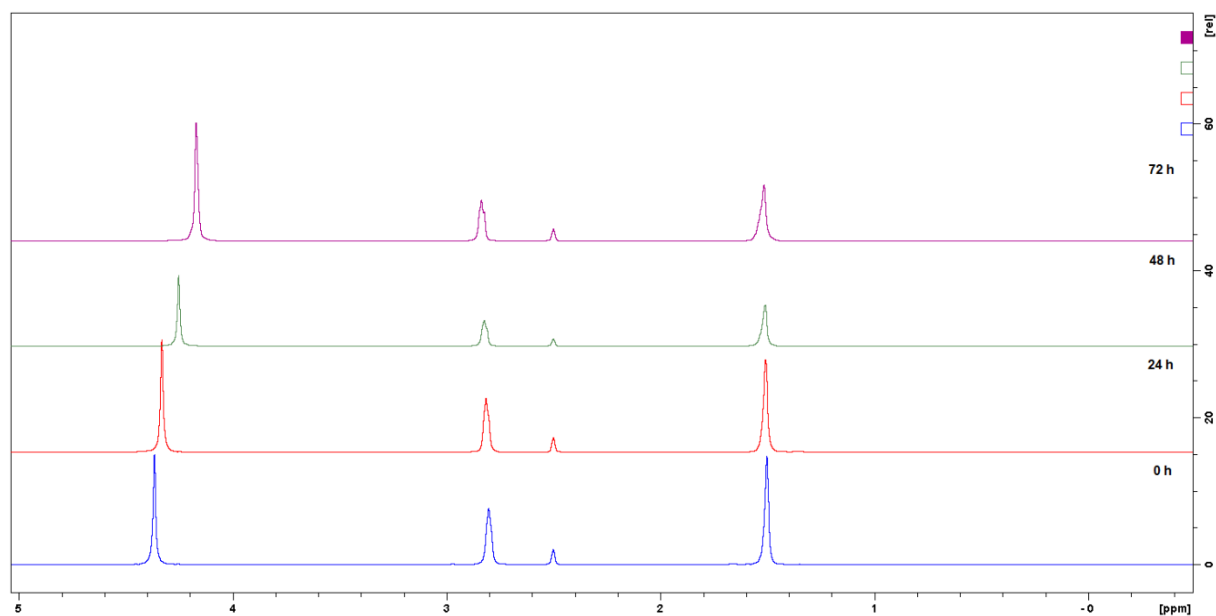
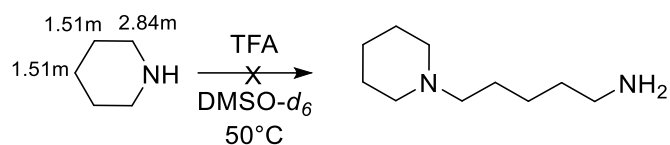
**Figure S51.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine + pyrrolidine (1.0 eq) + TFA at  $50^\circ\text{C}$ .



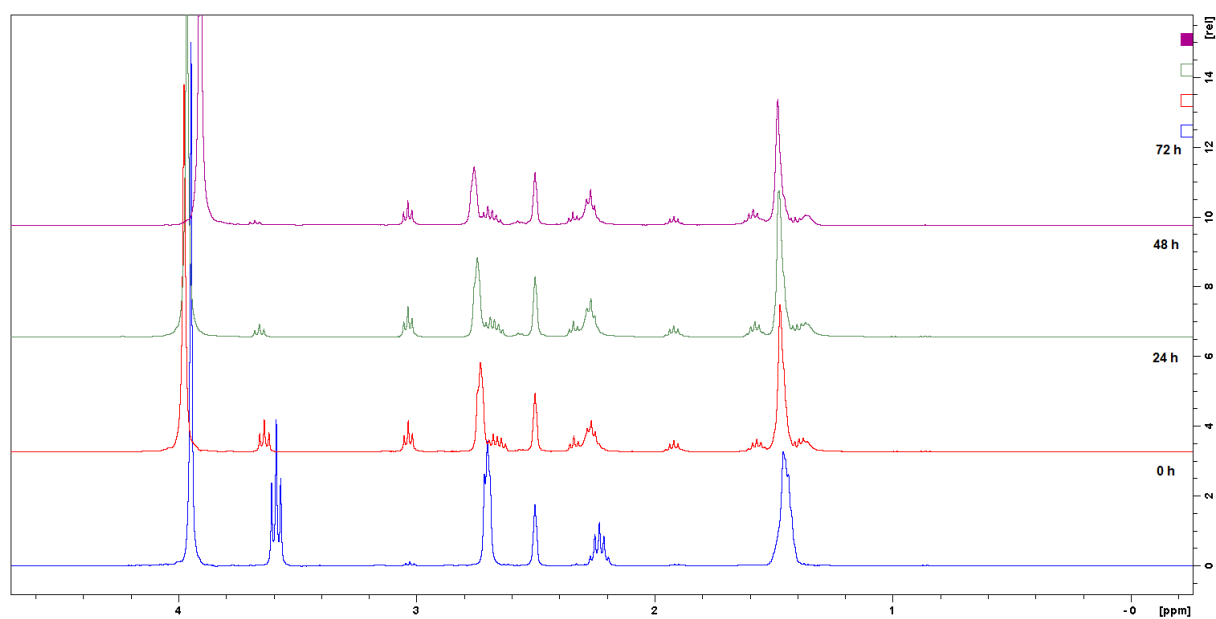
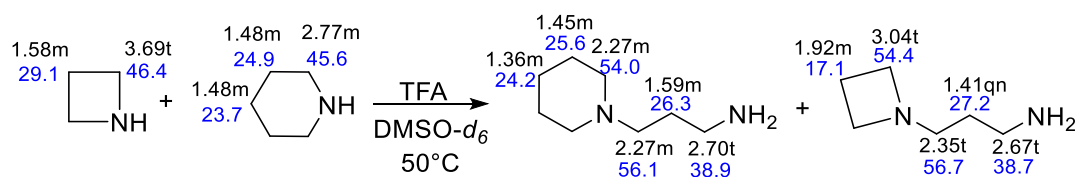
**Figure S52.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO}-d_6$ ) monitoring of azetidine + pyrrolidine (3.0 eq) + TFA at  $50^\circ\text{C}$ .



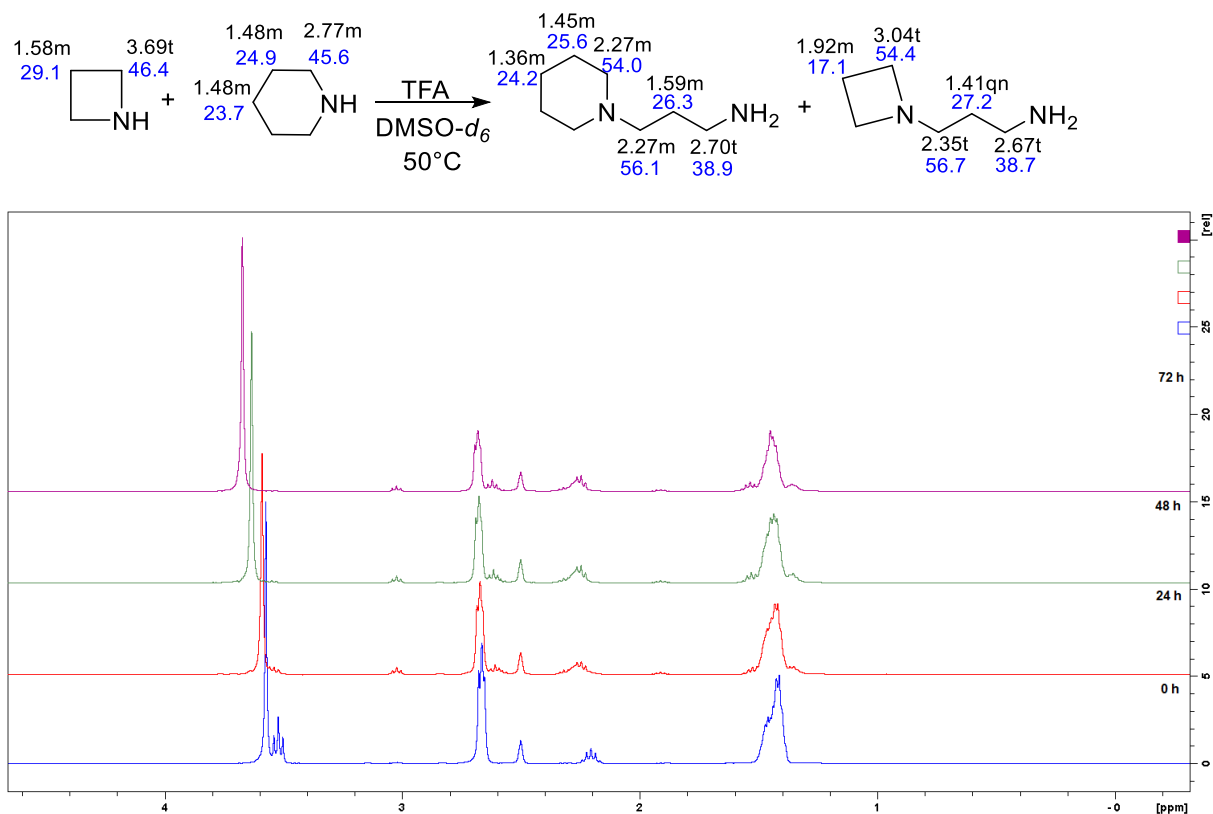
**Figure S53.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO}-d_6$ ) monitoring of azetidine + pyrrolidine (1.0 eq) + TFA at  $50^\circ\text{C}$  (synthetic experiment).



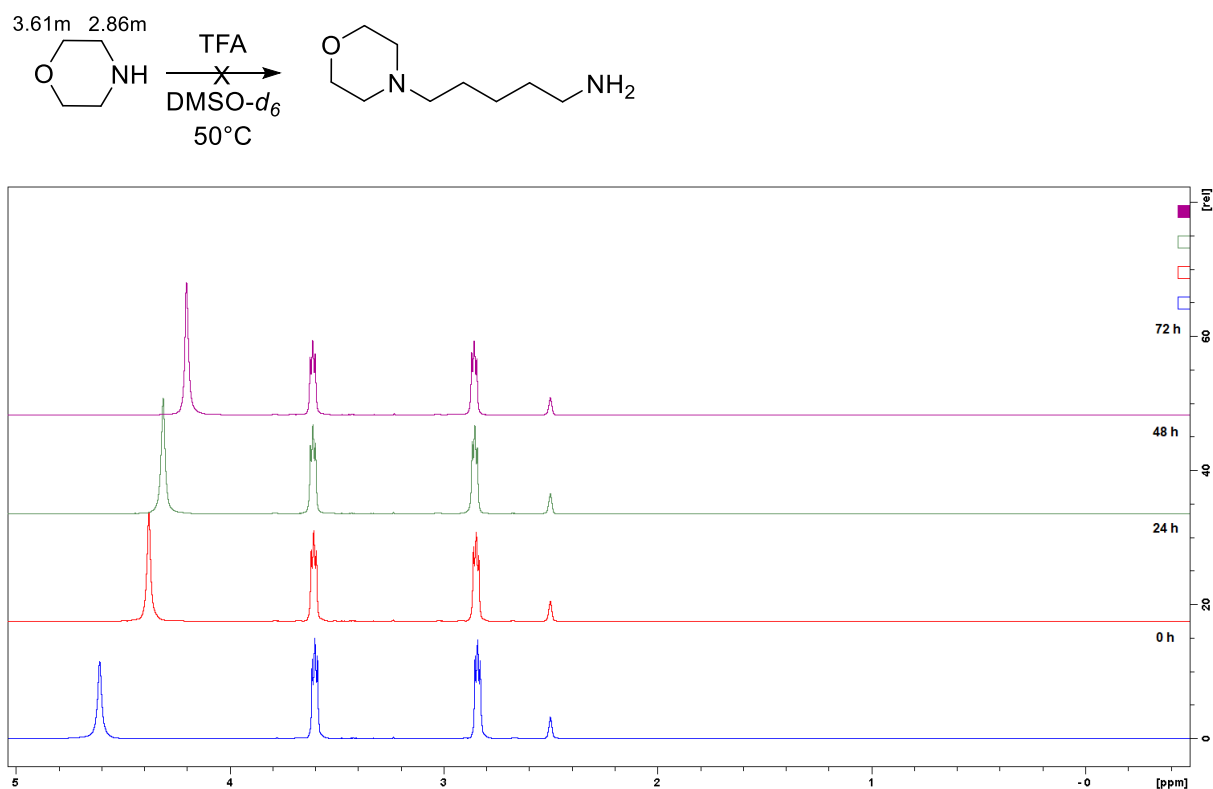
**Figure S54.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of piperidine + TFA at  $50^\circ\text{C}$ .



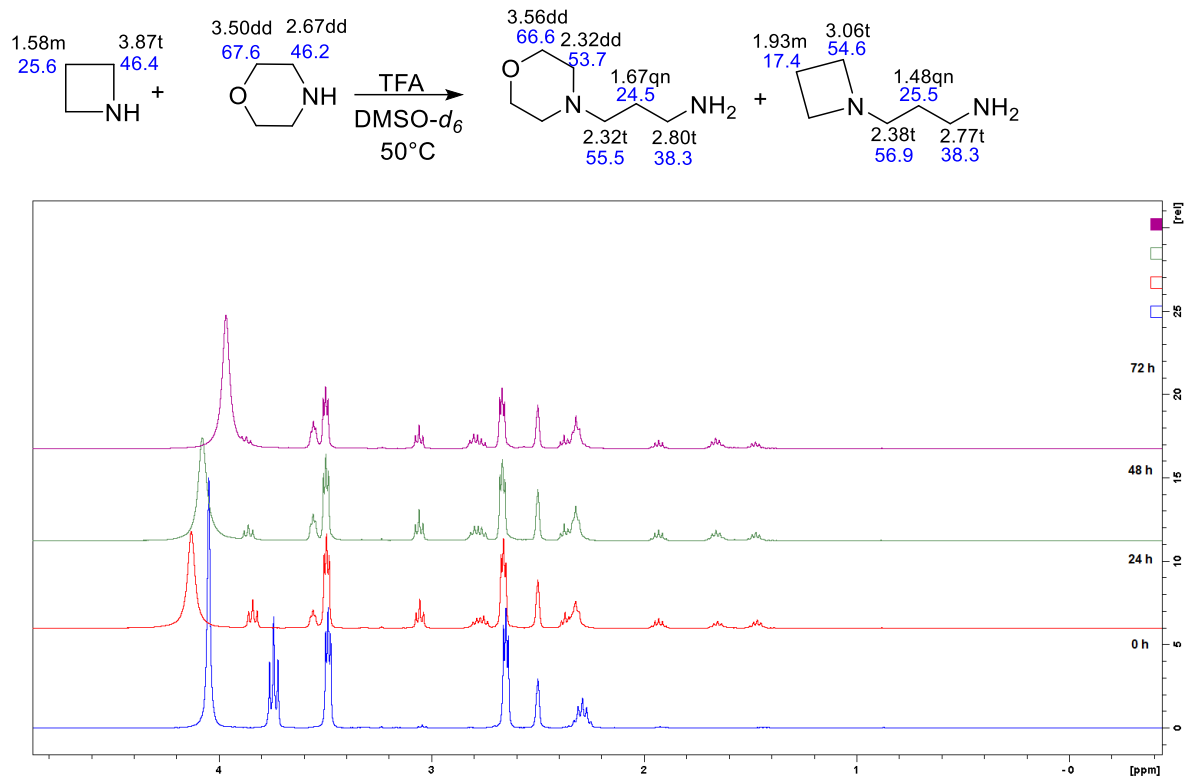
**Figure S55.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine + piperidine (1.0 eq) + TFA at  $50^\circ\text{C}$ .



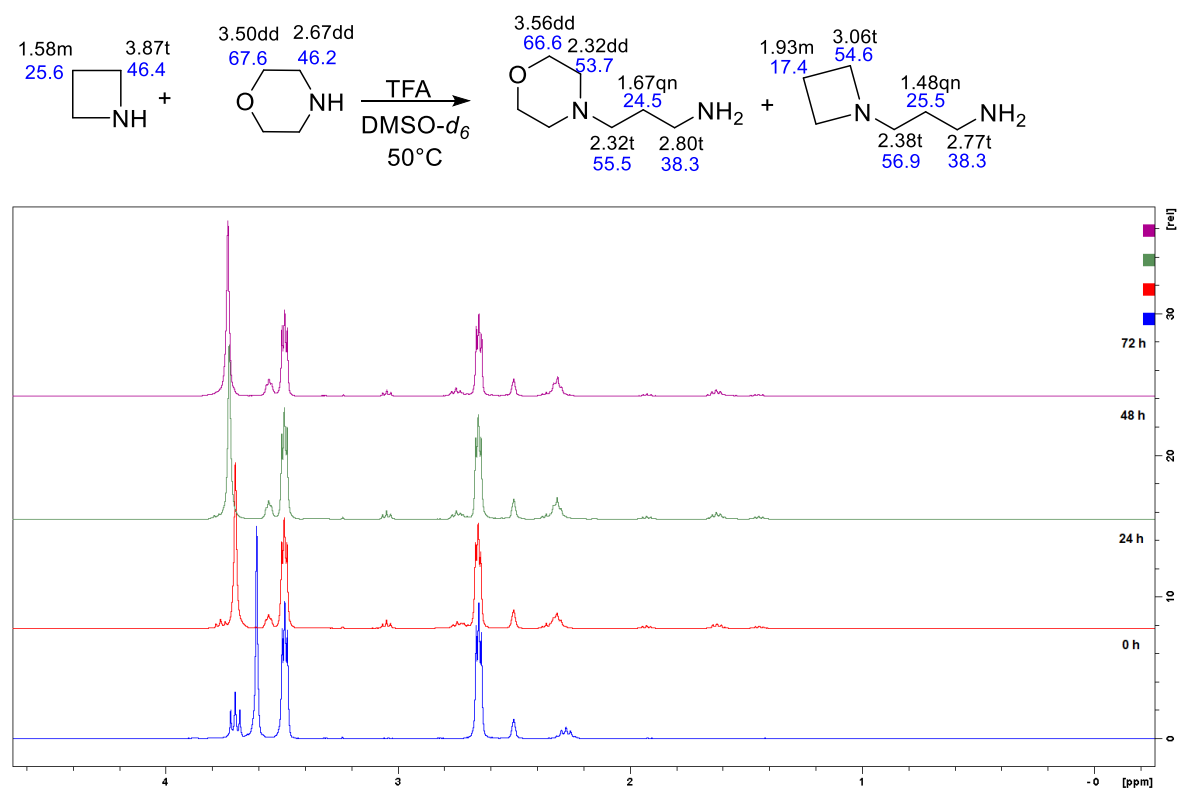
**Figure S56.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine + piperidine (3.0 eq) + TFA at  $50^\circ\text{C}$ .



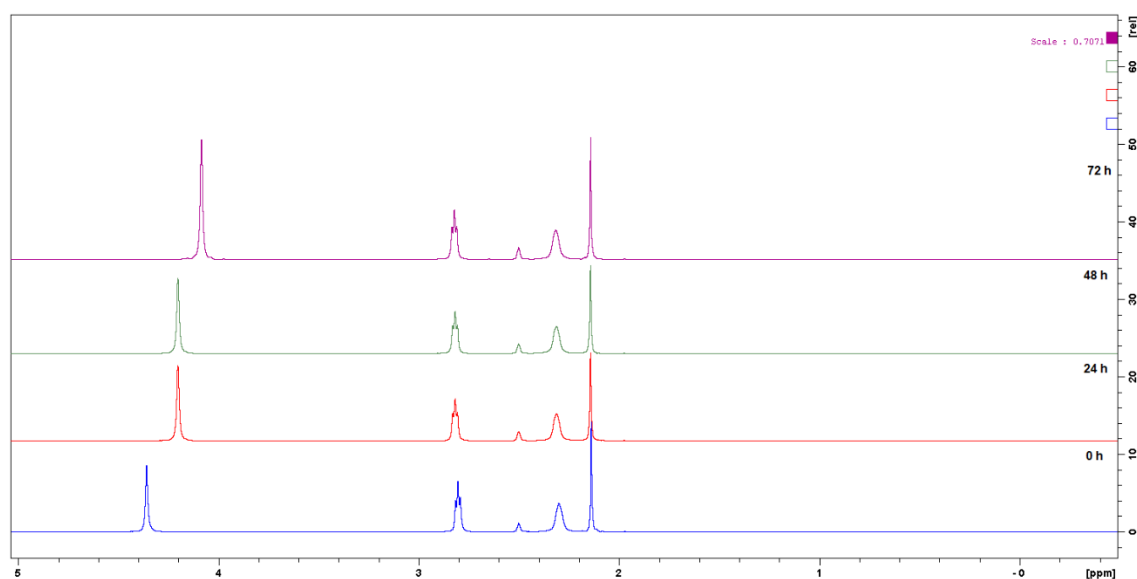
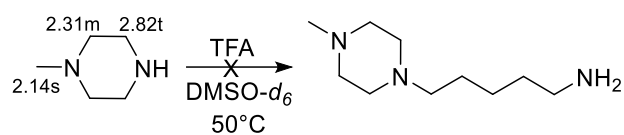
**Figure S57.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of morpholine + TFA at  $50^\circ\text{C}$ .



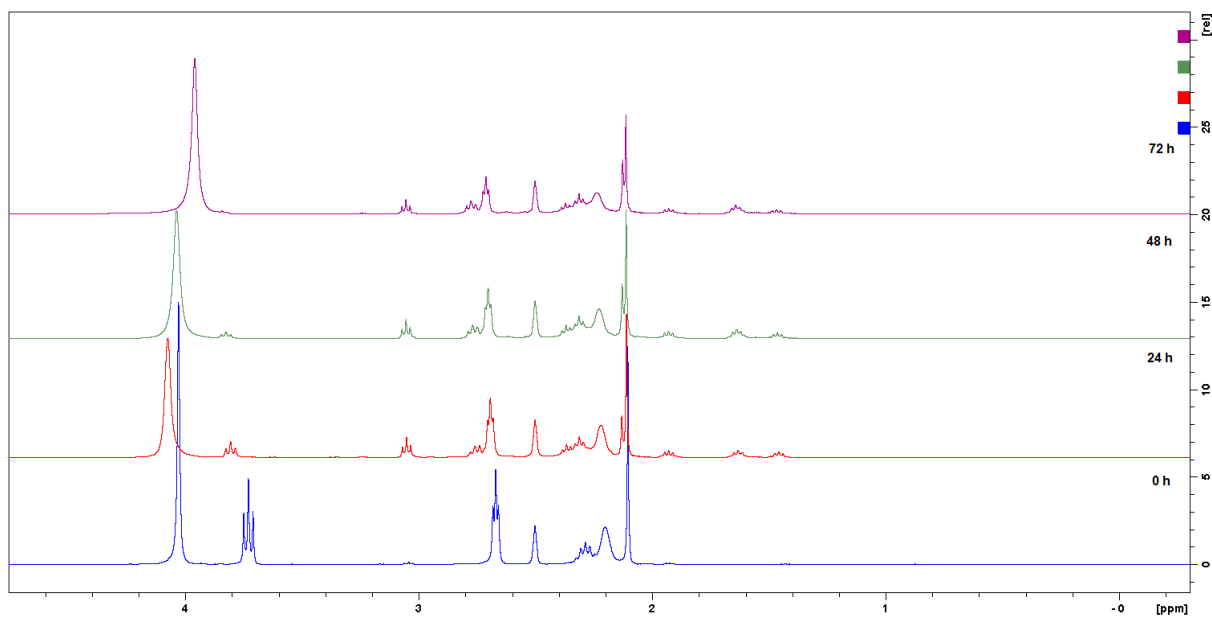
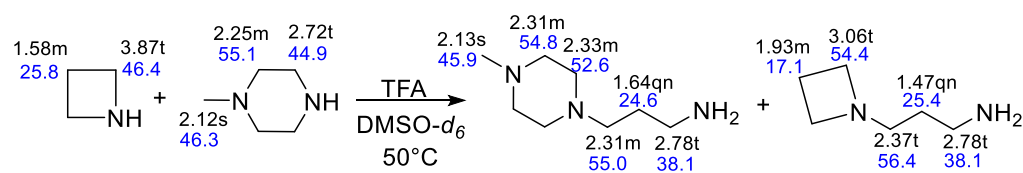
**Figure S58.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine + morpholine (1.0 eq) + TFA at  $50^\circ\text{C}$ .



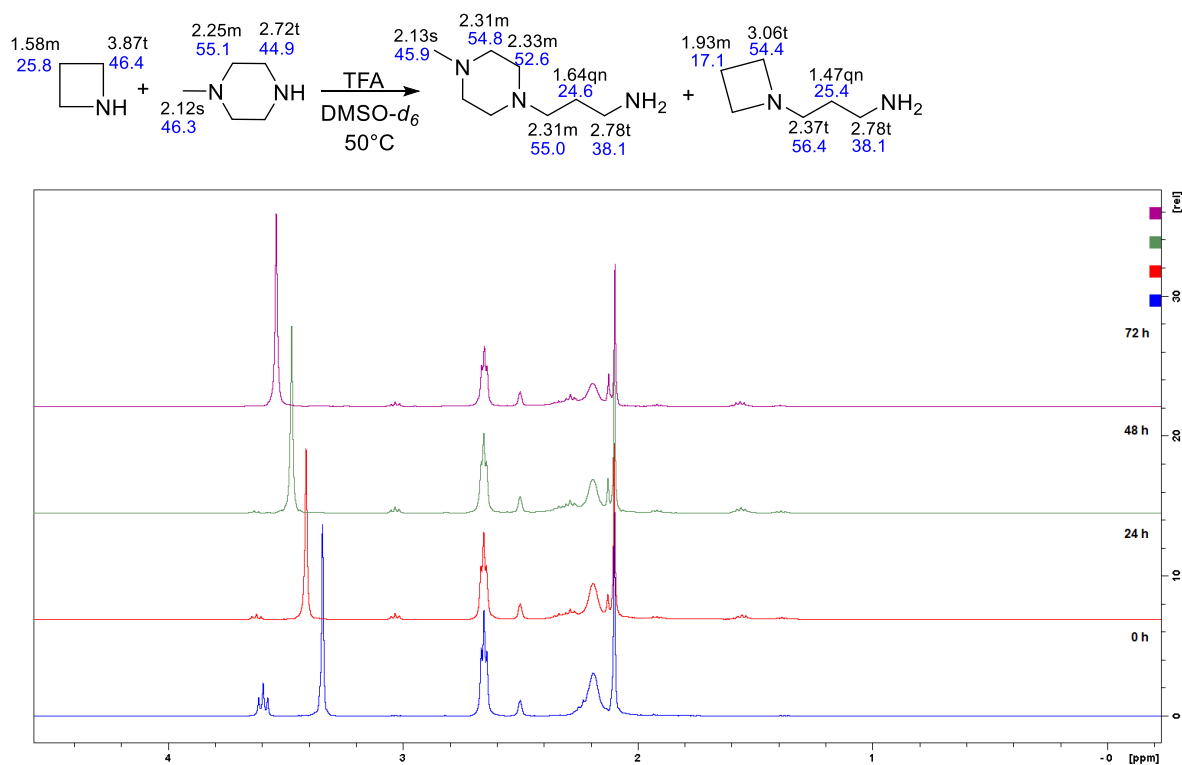
**Figure S59.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine + morpholine (3.0 eq) + TFA at  $50^\circ\text{C}$ .



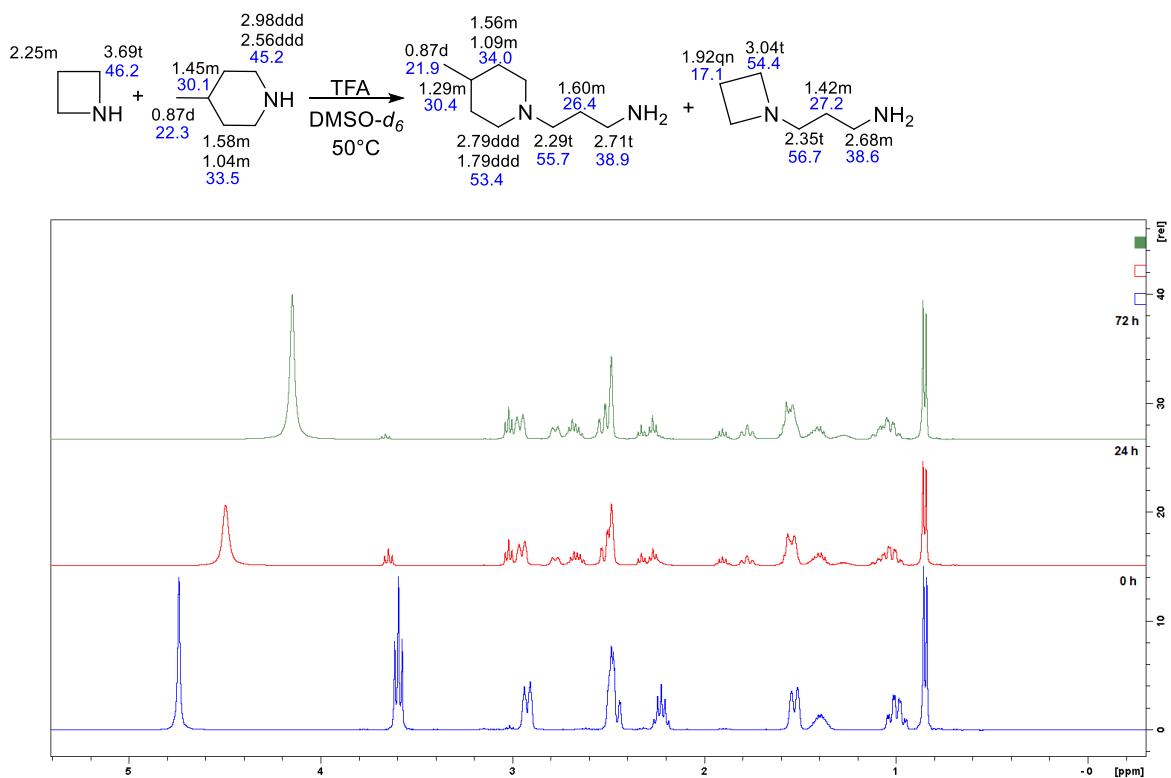
**Figure S60.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of *N*-methylpiperazine + TFA at  $50^\circ\text{C}$ .



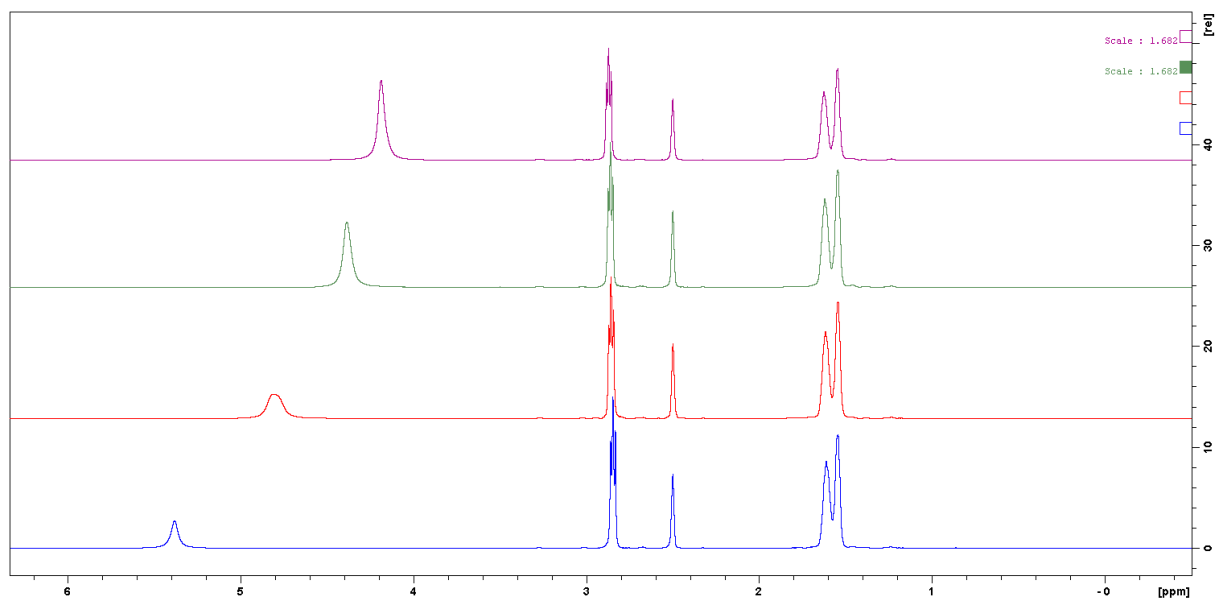
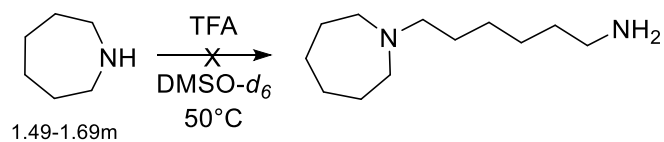
**Figure S61.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine + *N*-methylpiperazine (1.0 eq) + TFA at  $50^\circ\text{C}$ .



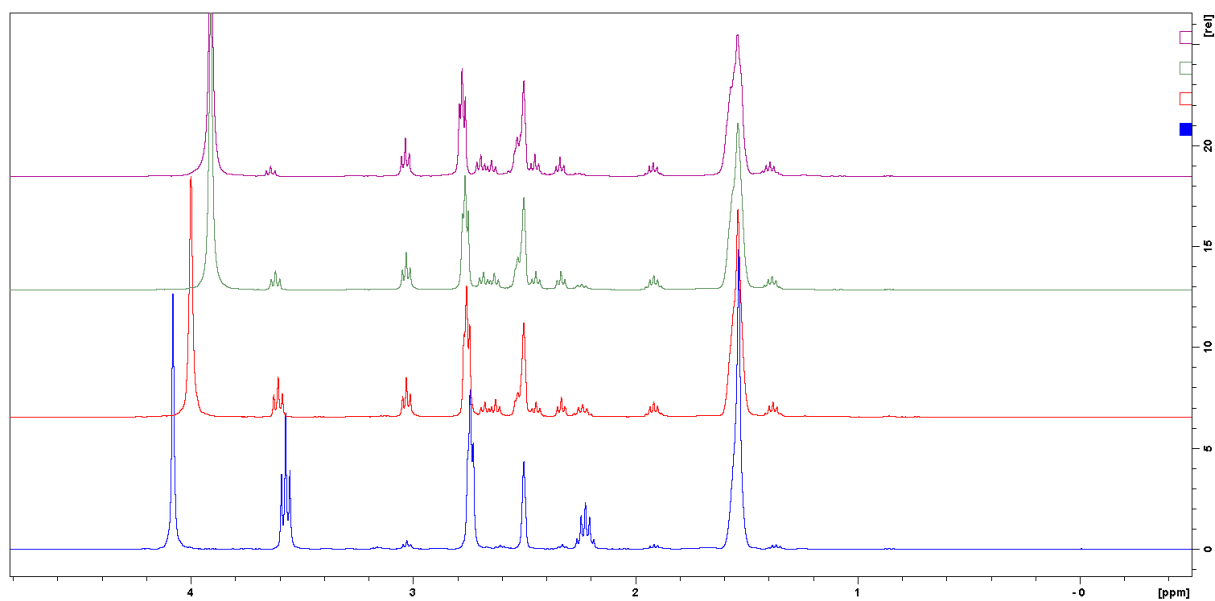
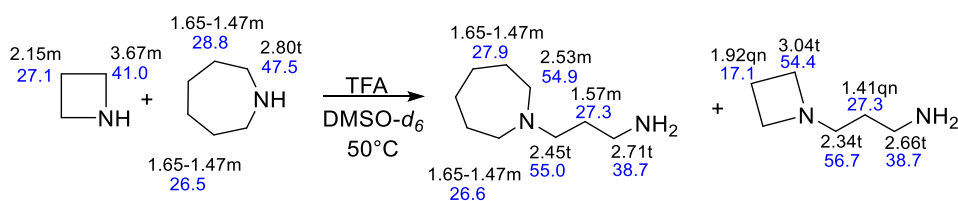
**Figure S62.** <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) monitoring of azetidine + *N*-methylpiperazine (3.0 eq) + TFA at 50°C



**Figure S63.** <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) monitoring of azetidine + 4-methylpiperidine (1.0 eq) + TFA at 50°C.

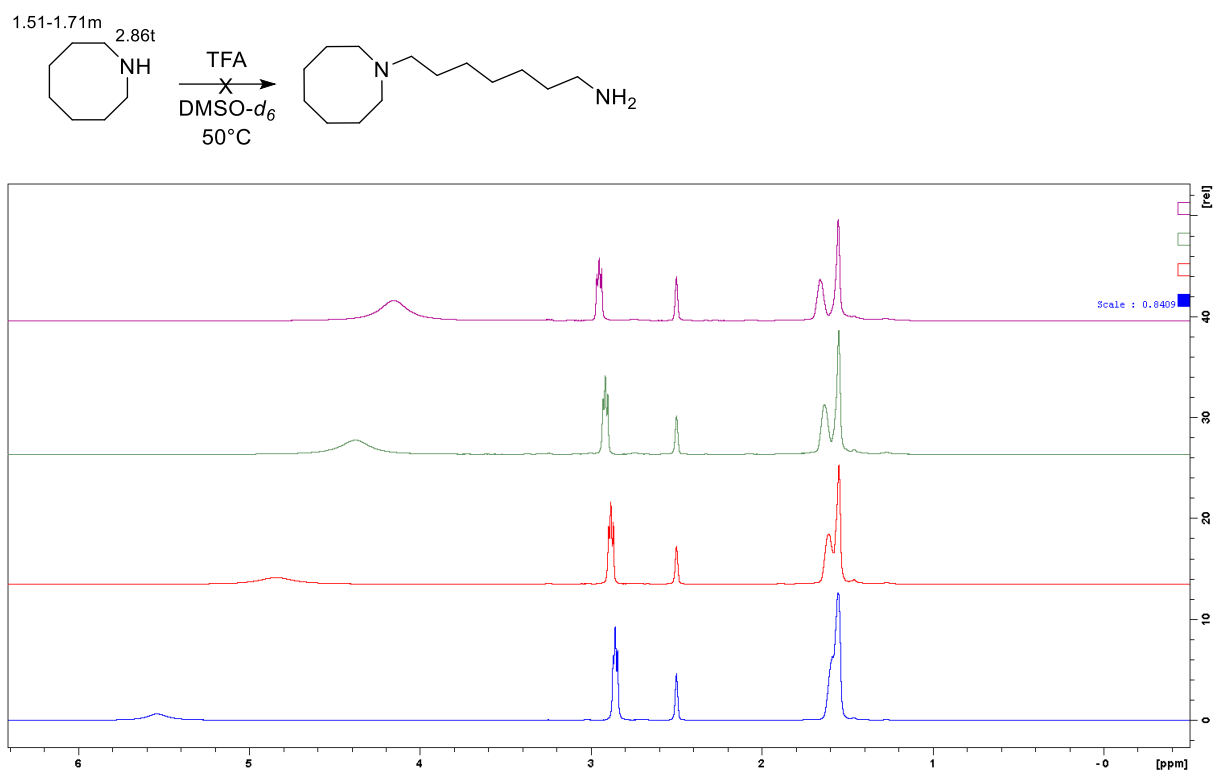


**Figure S64.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of hexahydroazepine + TFA at  $50^\circ\text{C}$ .

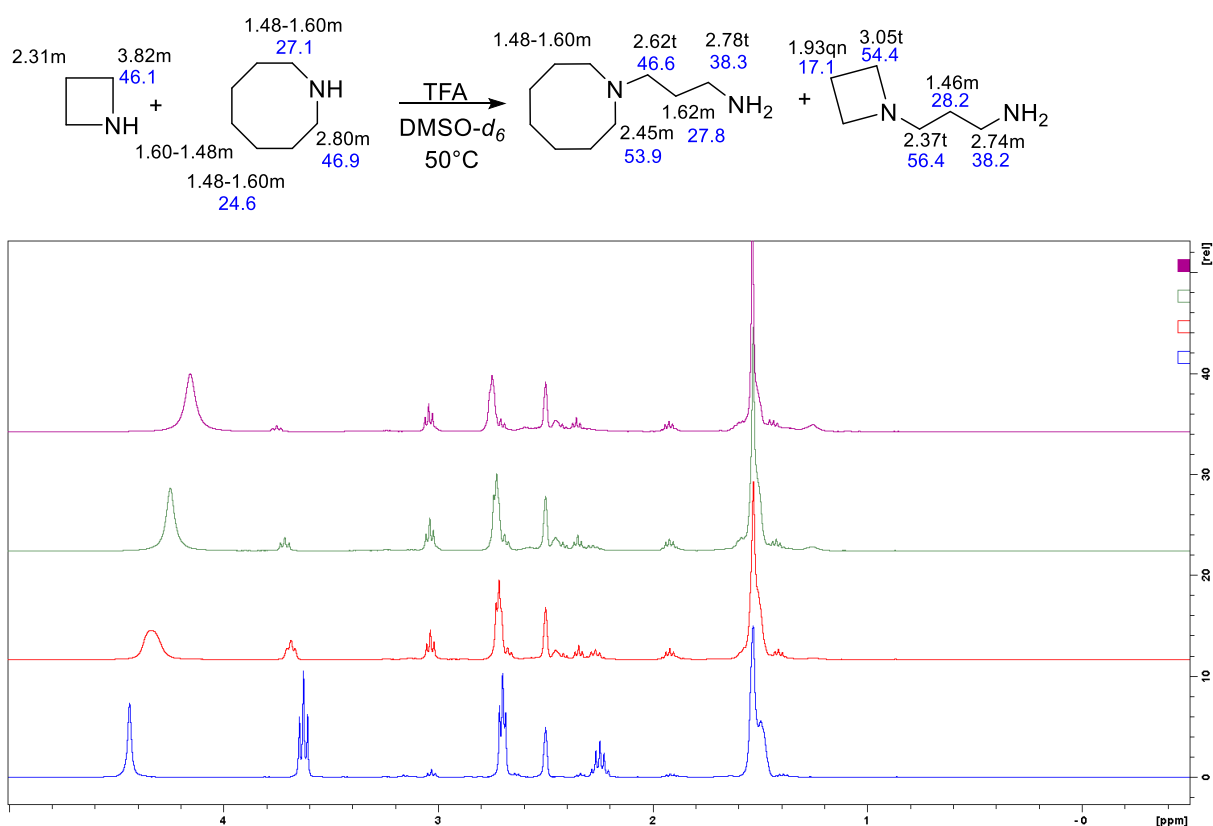


**Figure S65.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine + hexahydroazepine (1.0 eq) + TFA at  $50^\circ\text{C}$ .

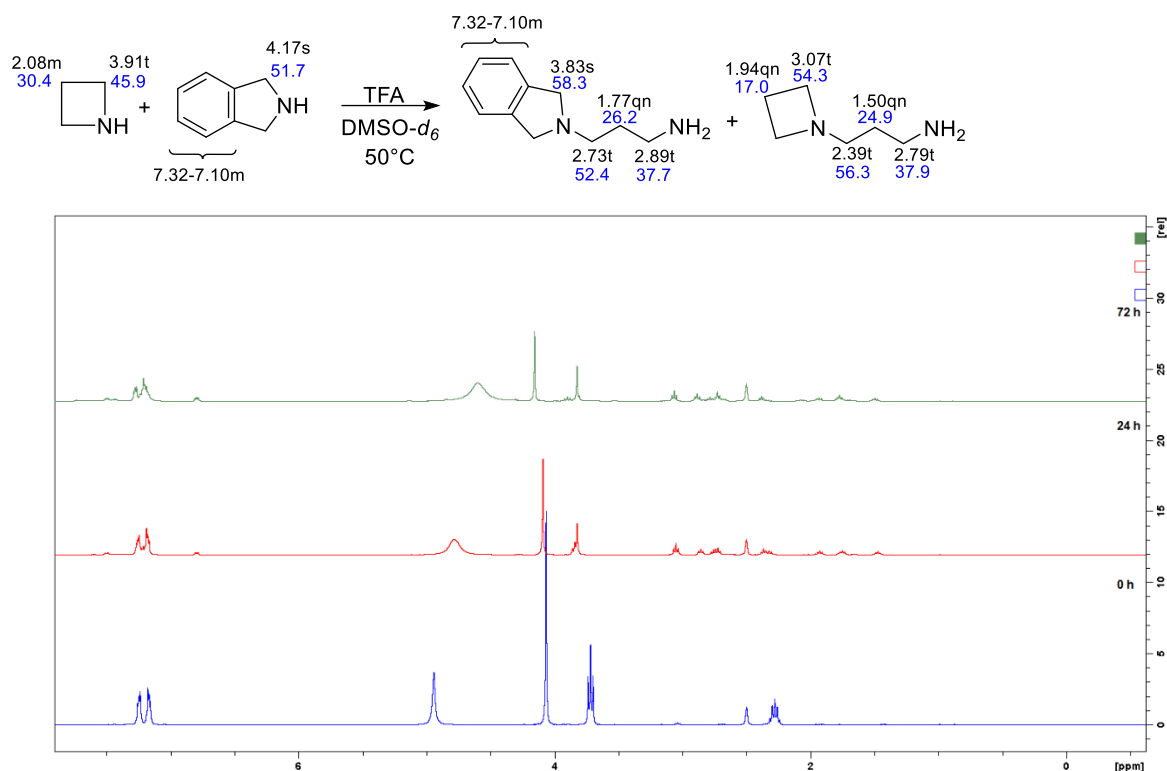




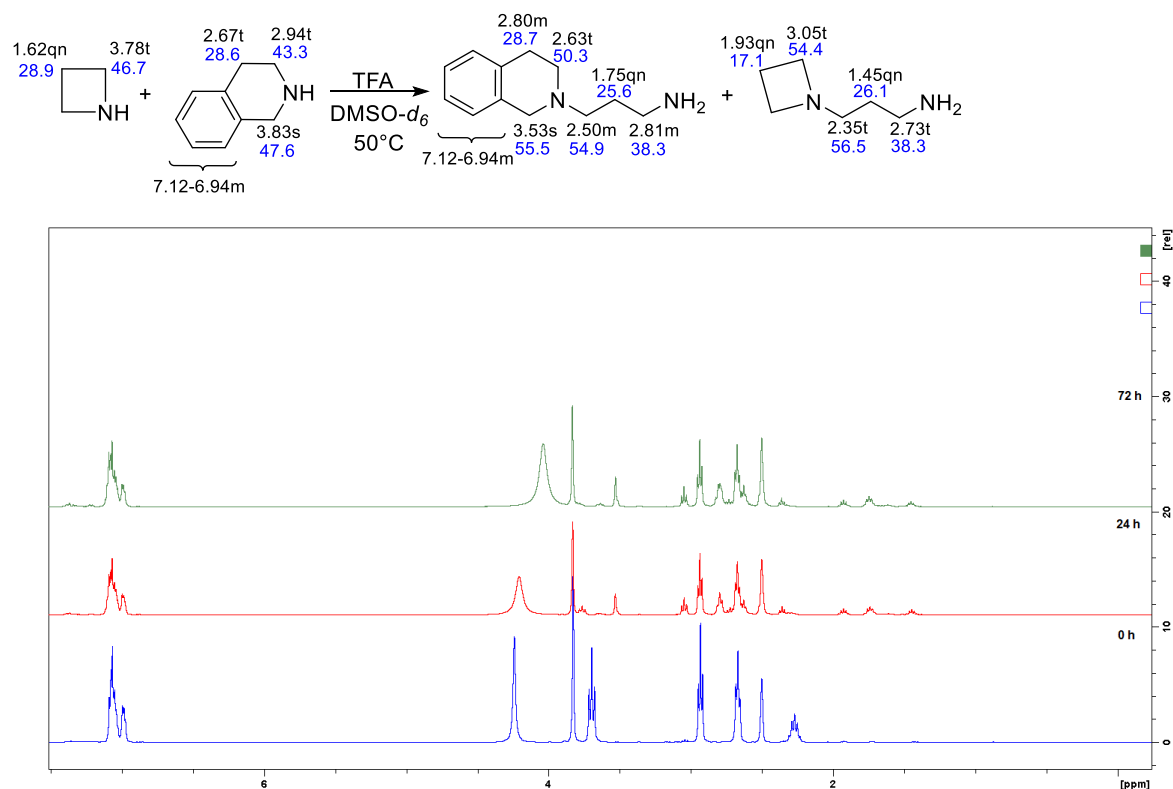
**Figure S66.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of octahydroazocine + TFA at  $50^\circ\text{C}$ .



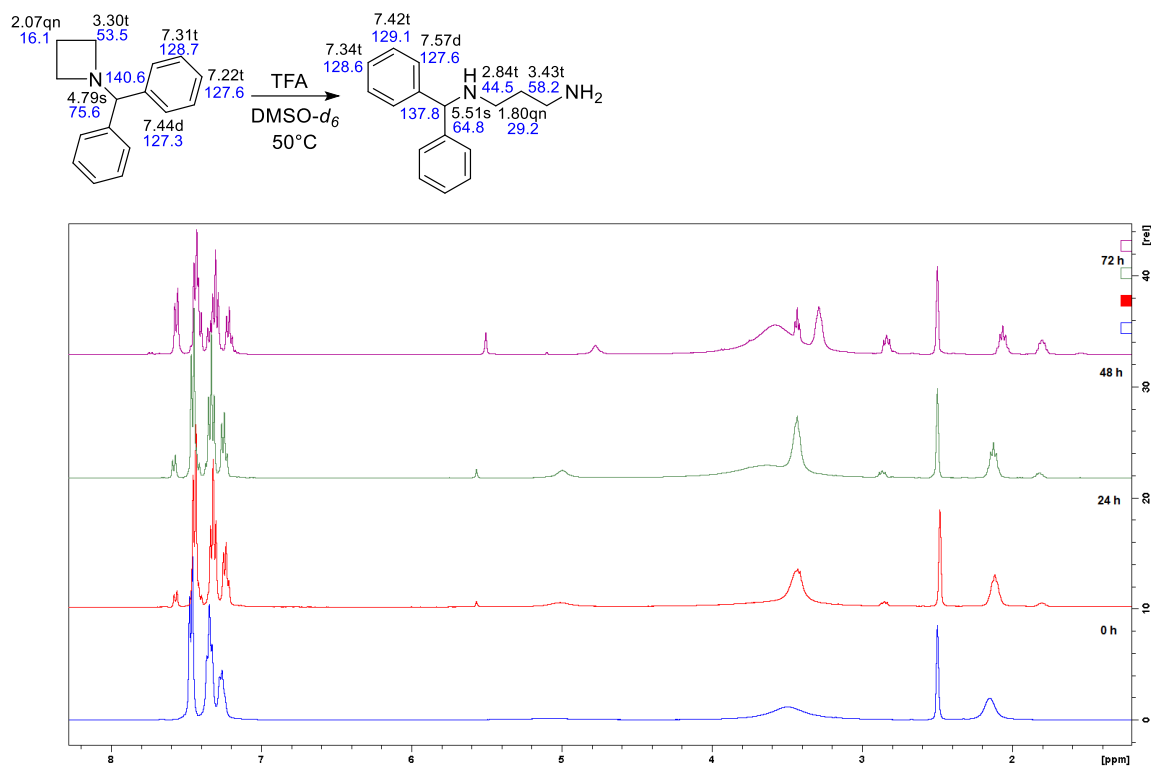
**Figure S67.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine + octahydroazocine (1.0 eq) + TFA at  $50^\circ\text{C}$ .



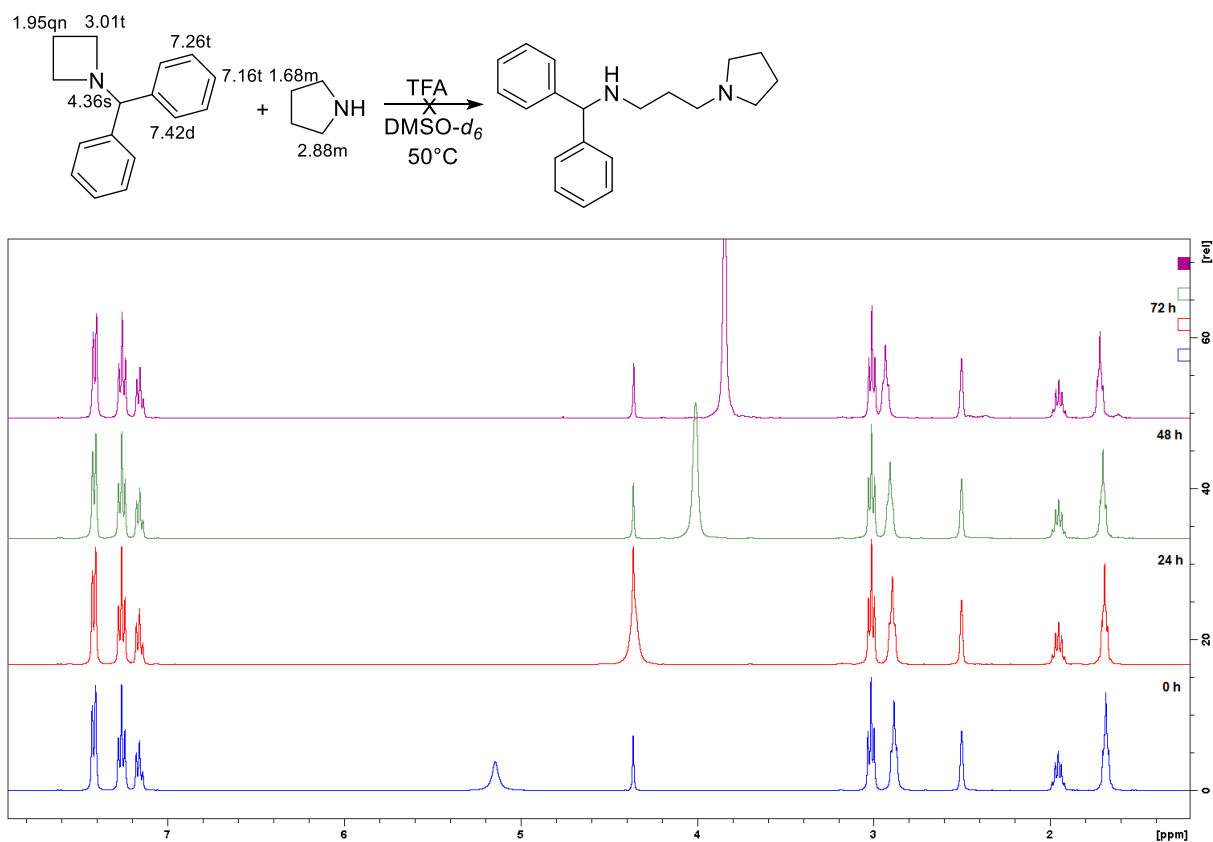
**Figure S68.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine + isoindoline (1.0 eq) + TFA at  $50^\circ\text{C}$ .



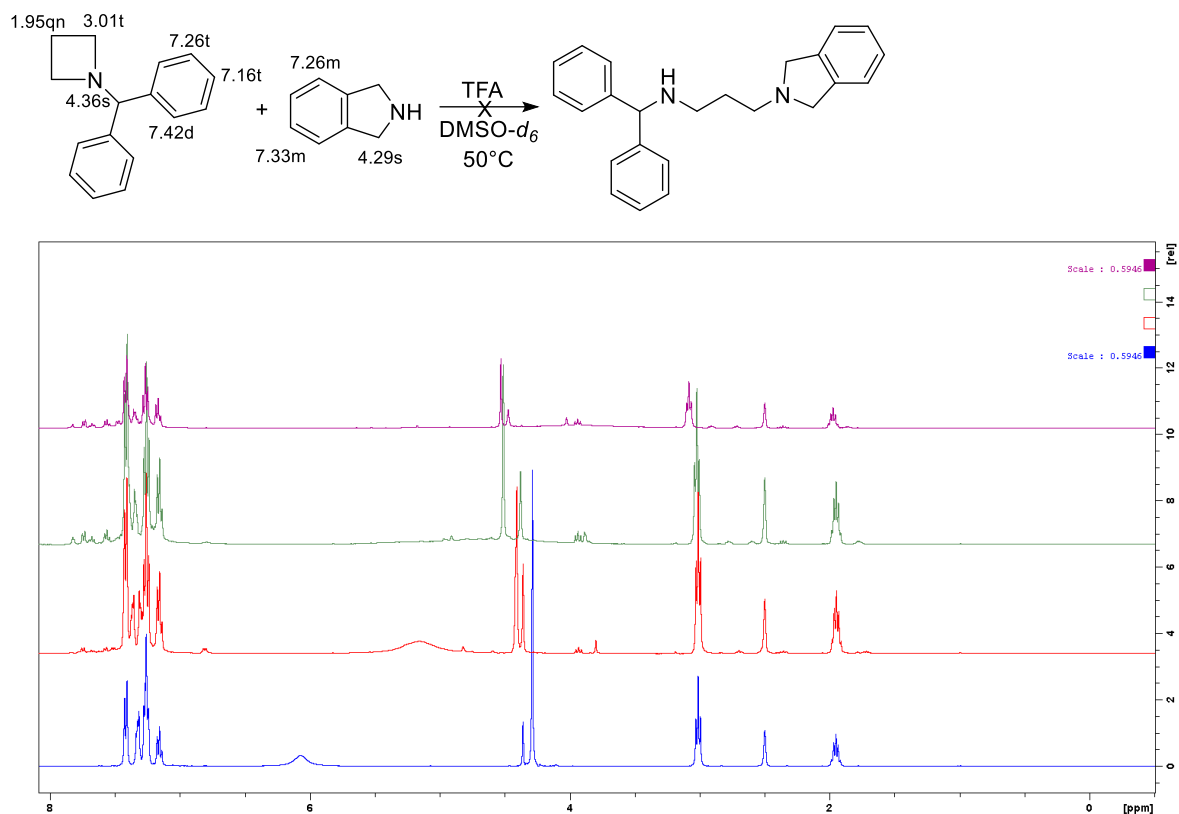
**Figure S69.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of azetidine + 1,2,3,4-tetrahydroisoquinoline (1.0 eq) + TFA at  $50^\circ\text{C}$ .



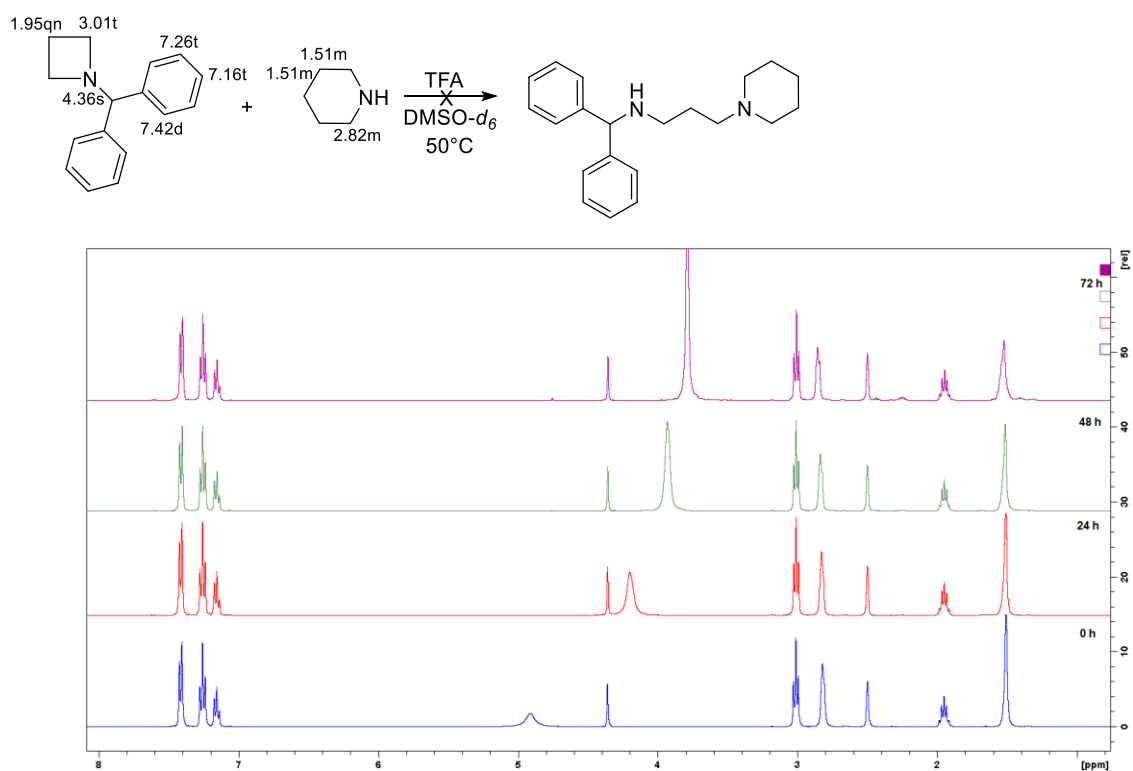
**Figure S70.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of 1-(diphenylmethyl)azetidine + TFA at  $50^\circ\text{C}$ .



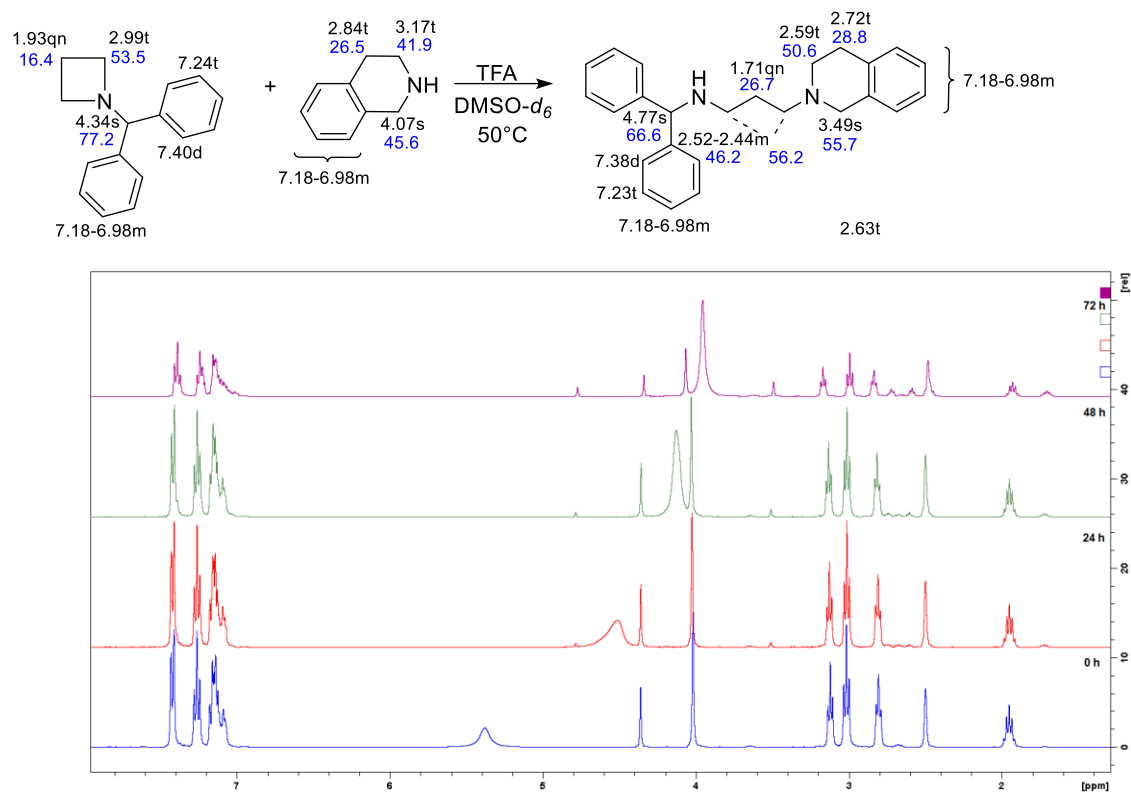
**Figure S71.**  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) monitoring of 1-(diphenylmethyl)azetidine + pyrrolidine (1.0 eq) + TFA at  $50^\circ\text{C}$ .



**Figure S72.** <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) monitoring of 1-(diphenylmethyl)azetidine + pyrrolidine (1.0 eq) + TFA at 50 °C.



**Figure S73.** <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) monitoring of 1-(diphenylmethyl)azetidine + piperidine (1.0 eq) + TFA at 50 °C.



**Figure S74.** <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) monitoring of 1-(diphenylmethyl)azetidine + 1,2,3,4-tetrahydroisoquinoline (1.0 eq) + TFA at 50°C.

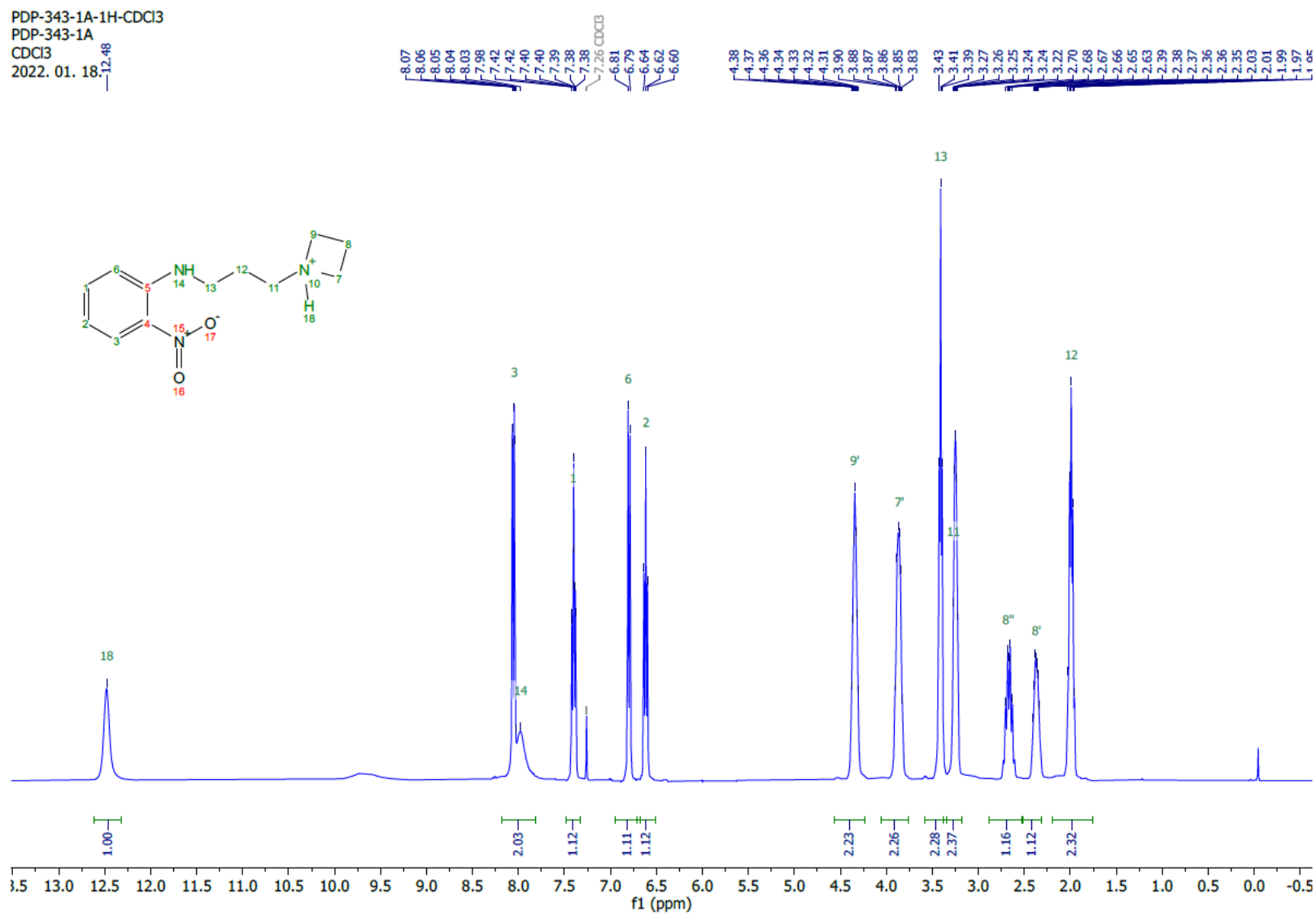
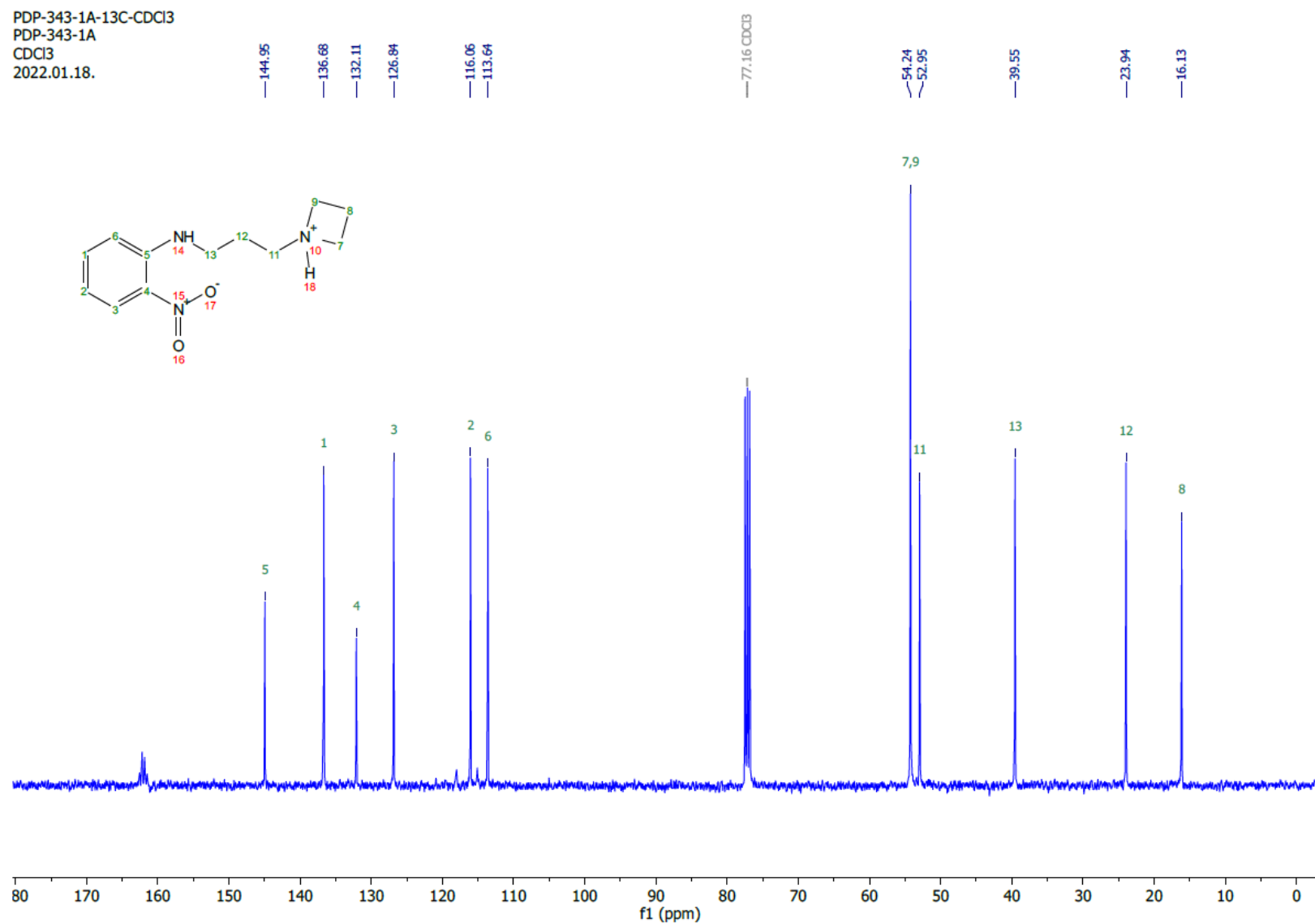
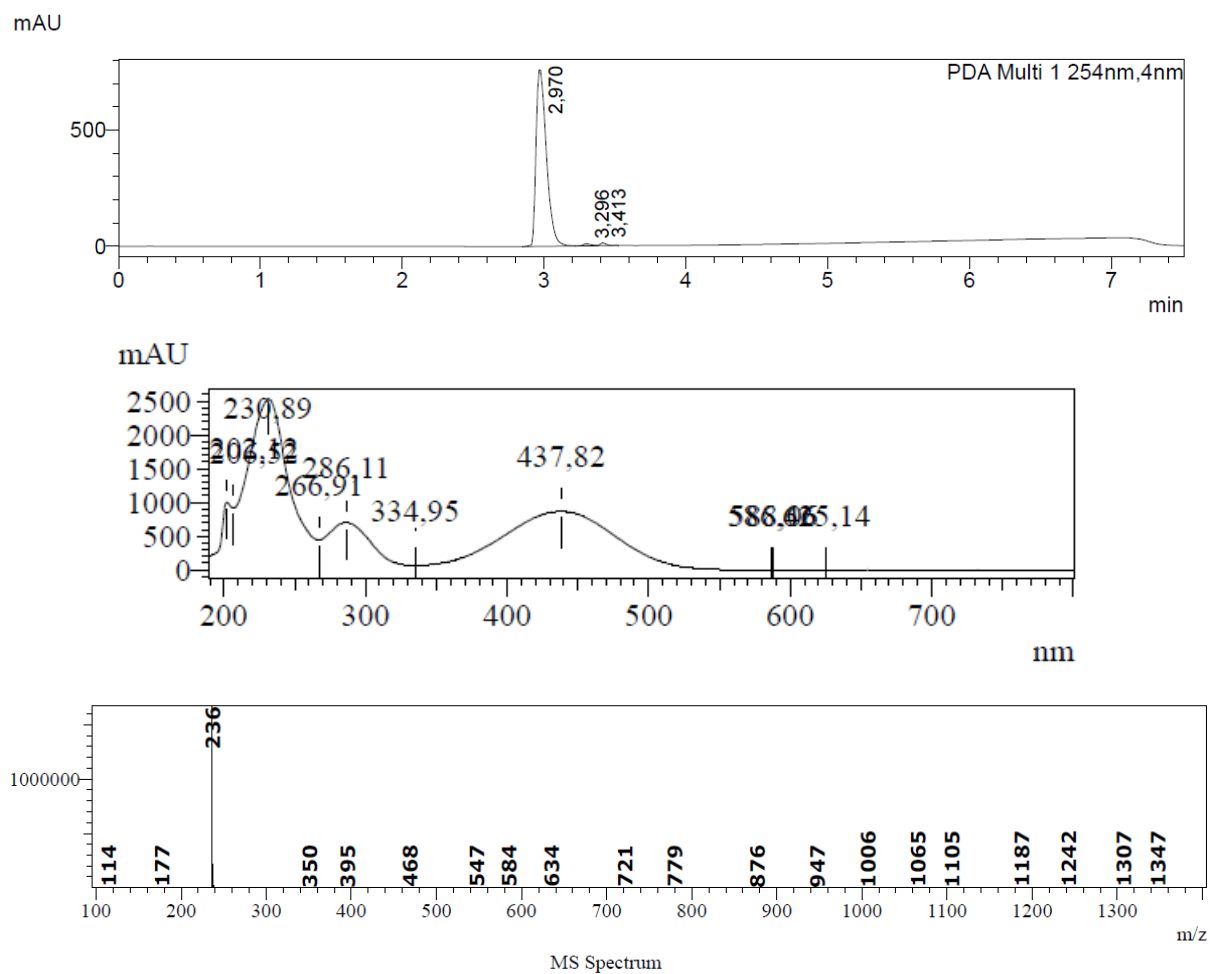


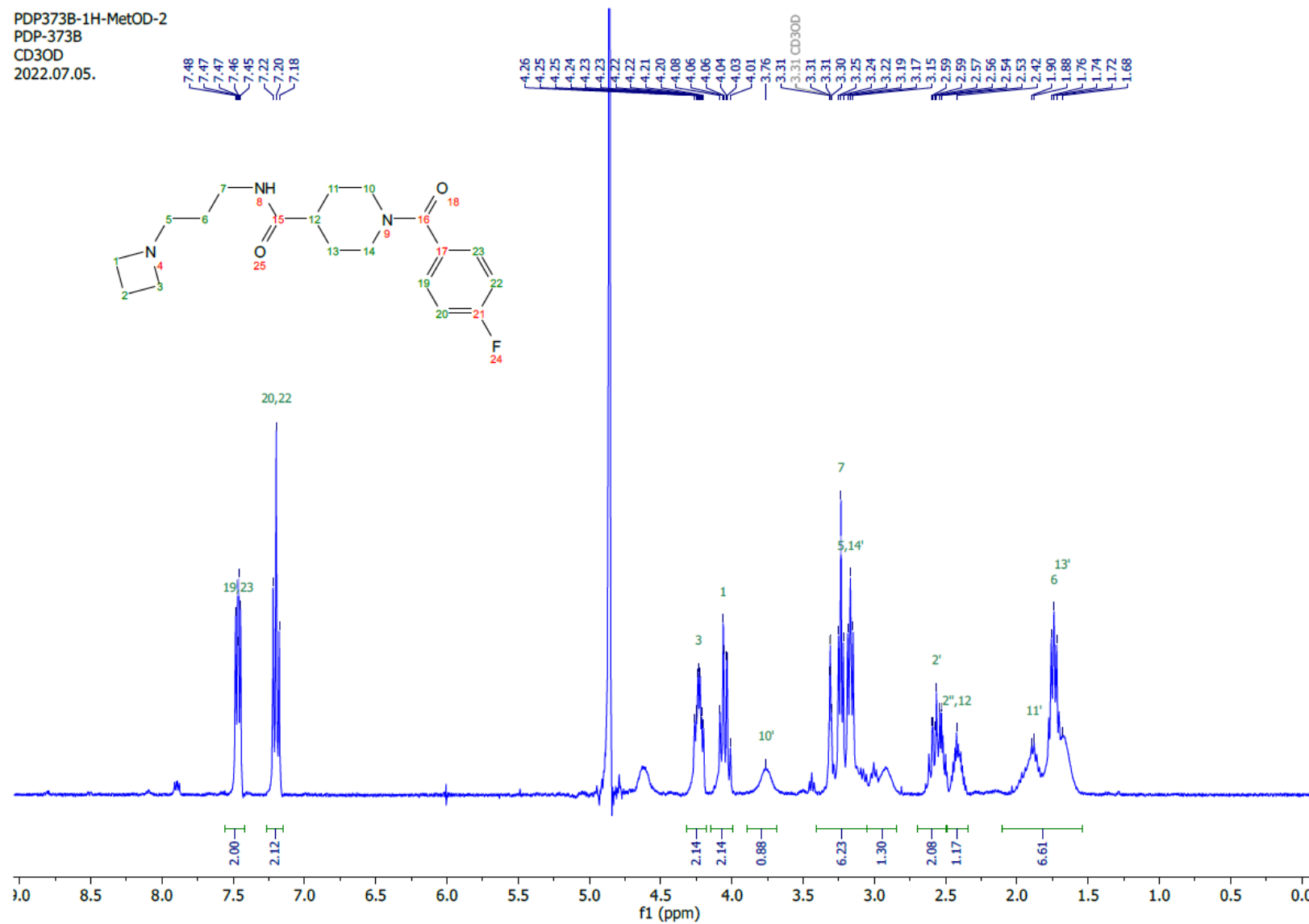
Figure S75:  $^1\text{H}$  NMR spectrum of *N*-[3-(azetidin-1-yl)propyl]-2-nitroaniline TFA salt (**10**) recorded at 400 MHz in  $\text{CDCl}_3$ .



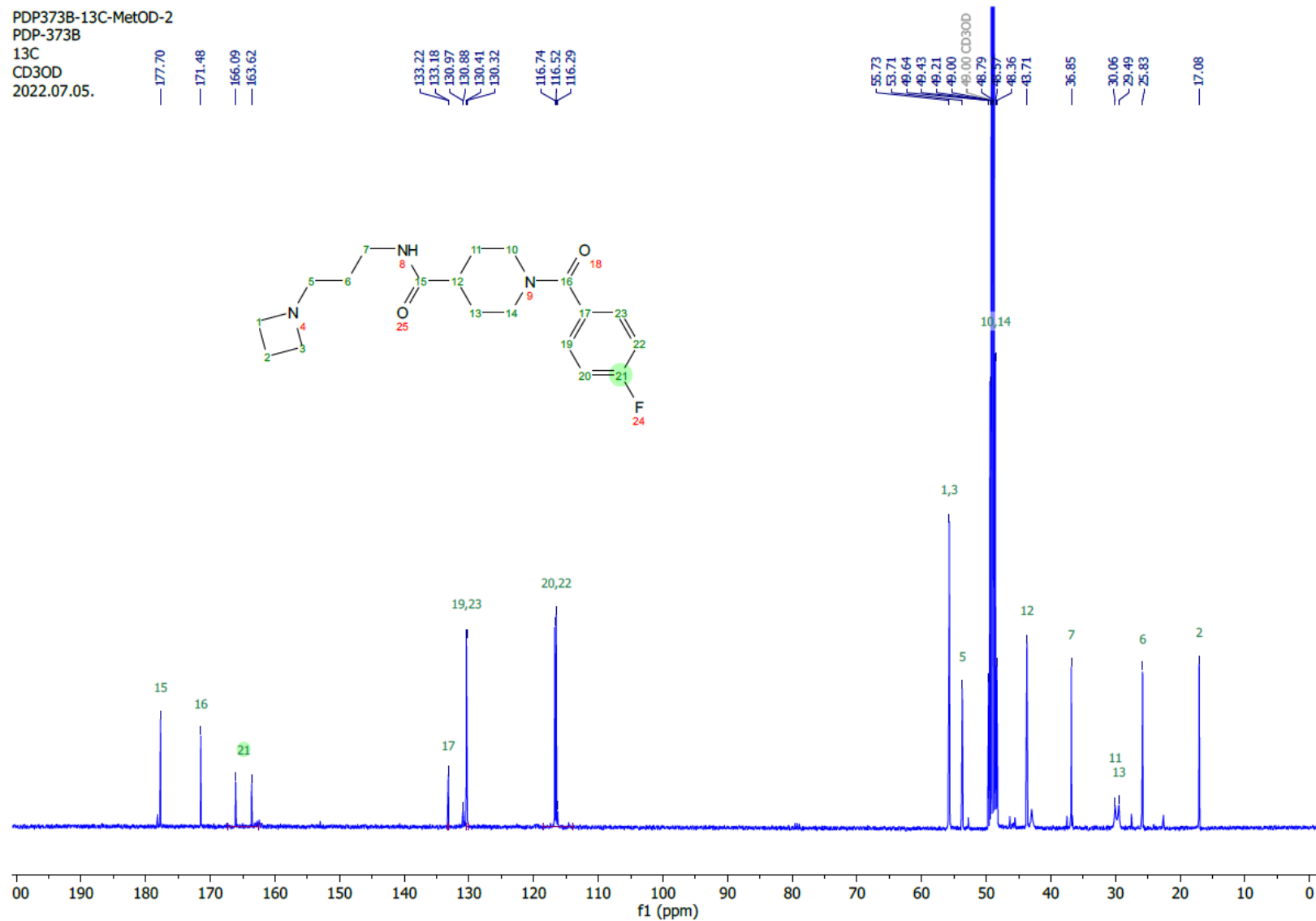


**Figure S77.**: HPLC UV chromatogram, UV-VIS spectrum and mass spectrum of *N*-[3-(azetidin-1-yl)propyl]-2-nitroaniline TFA salt (**10**).

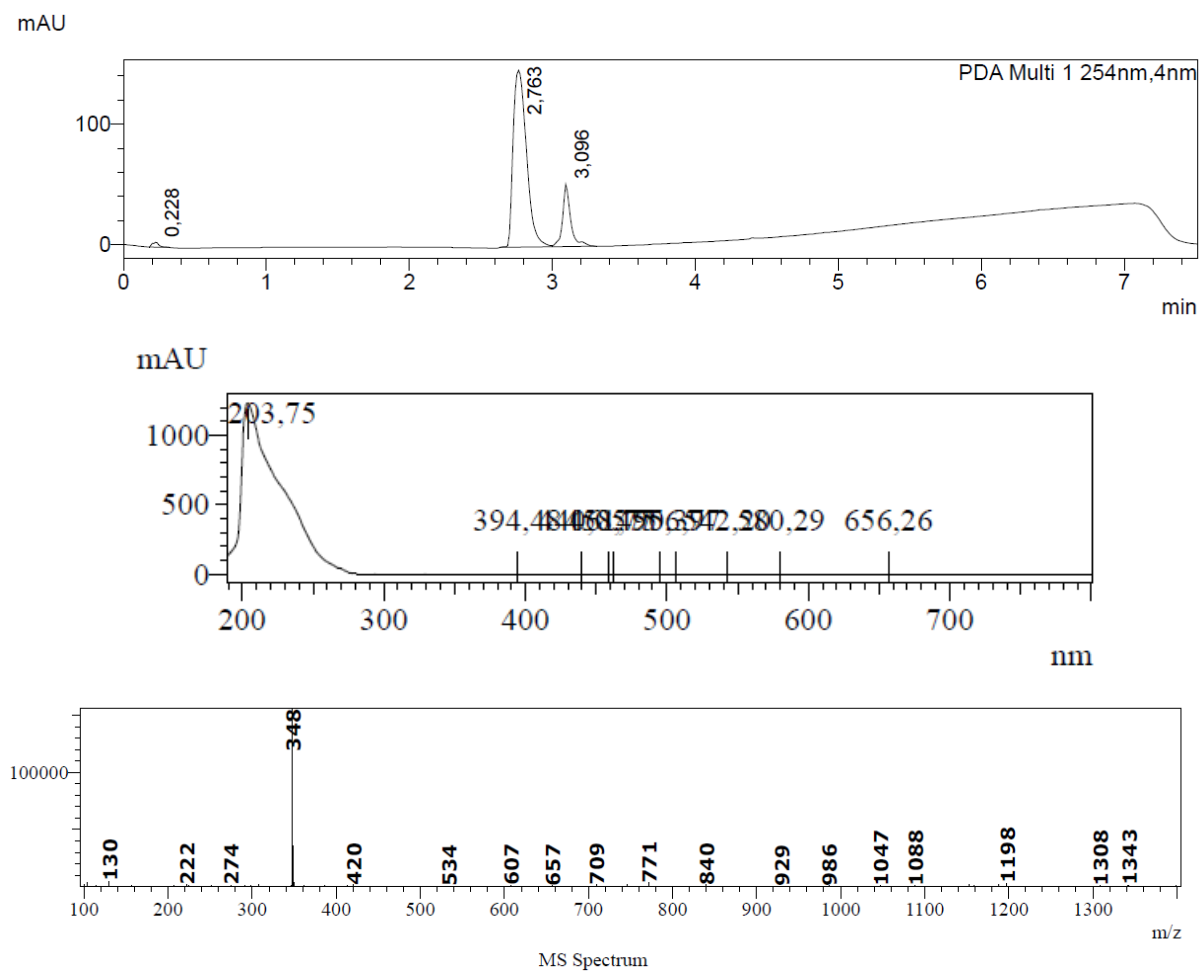




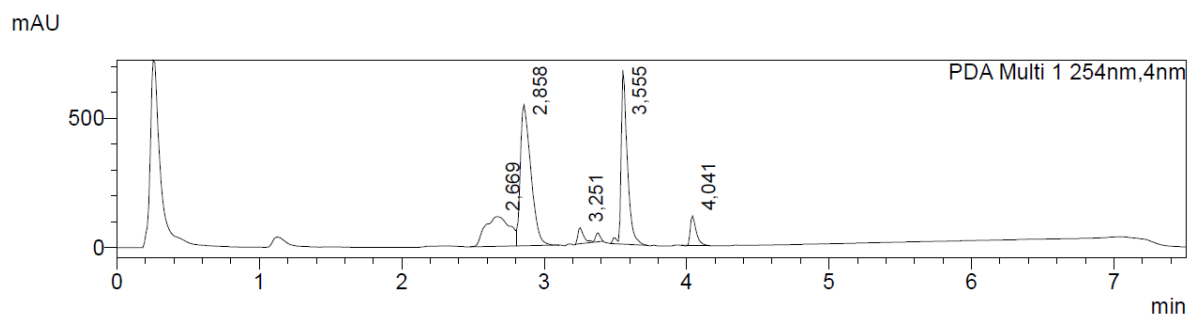
**Figure S78:**  $^1\text{H}$  NMR spectrum of *N*-[3-(azetidin-1-yl)propyl]-1-(4-fluorobenzoyl)piperidine-3-carboxamide TFA salt (**12**) recorded at 400 MHz in  $\text{CD}_3\text{OD}$ .



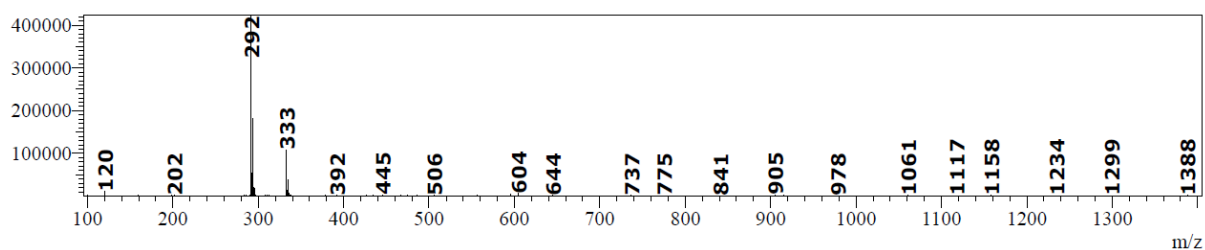
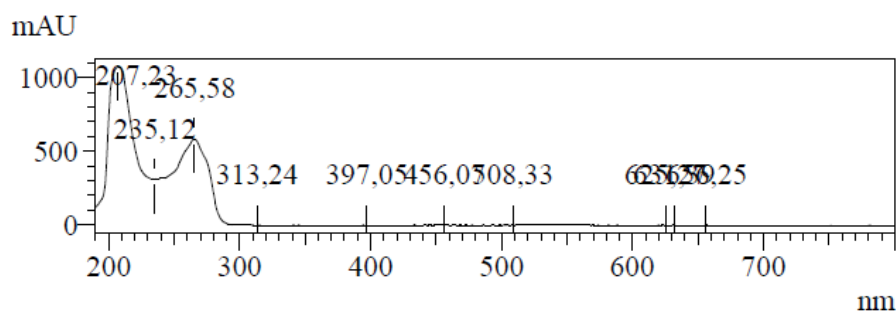
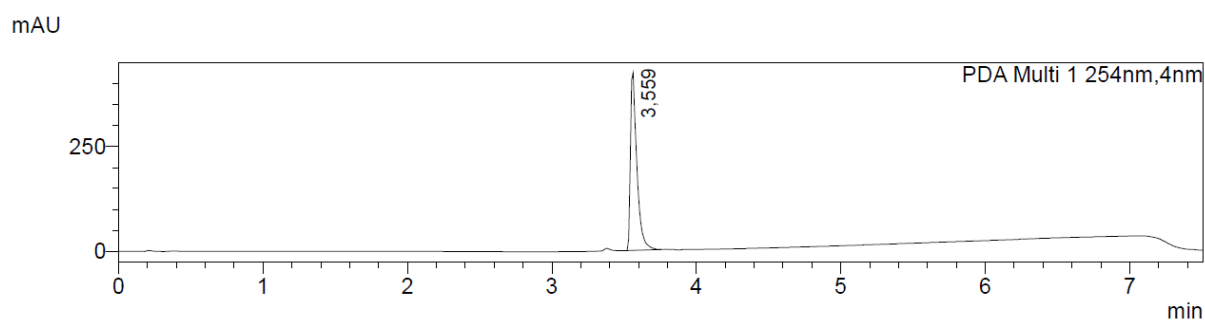
**Figure S79:** <sup>13</sup>C NMR spectrum of *N*-[3-(azetidin-1-yl)propyl]-1-(4-fluorobenzoyl)piperidine-3-carboxamide TFA salt (**12**) recorded at 400 MHz in CDCl<sub>3</sub>.



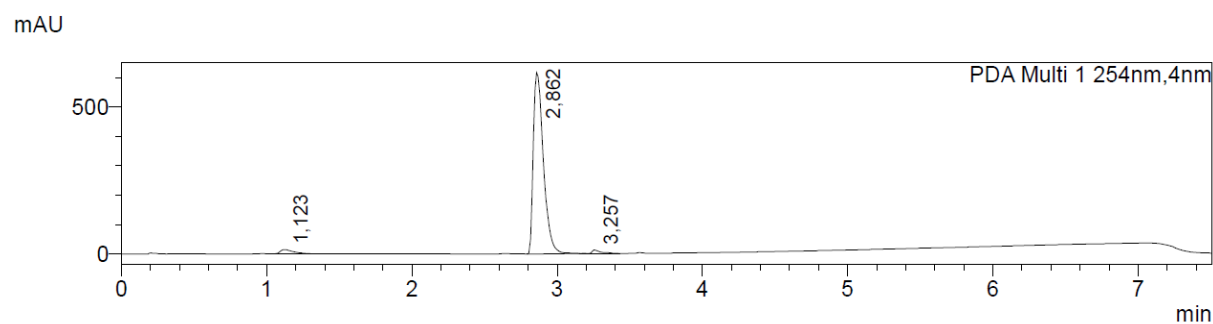
**Figure S80:** HPLC UV chromatogram, UV-VIS spectrum and mass spectrum of *N*-[3-(azetidin-1-yl)propyl]-1-(4-fluorobenzoyl)piperidine-3-carboxamide TFA salt (**12**).

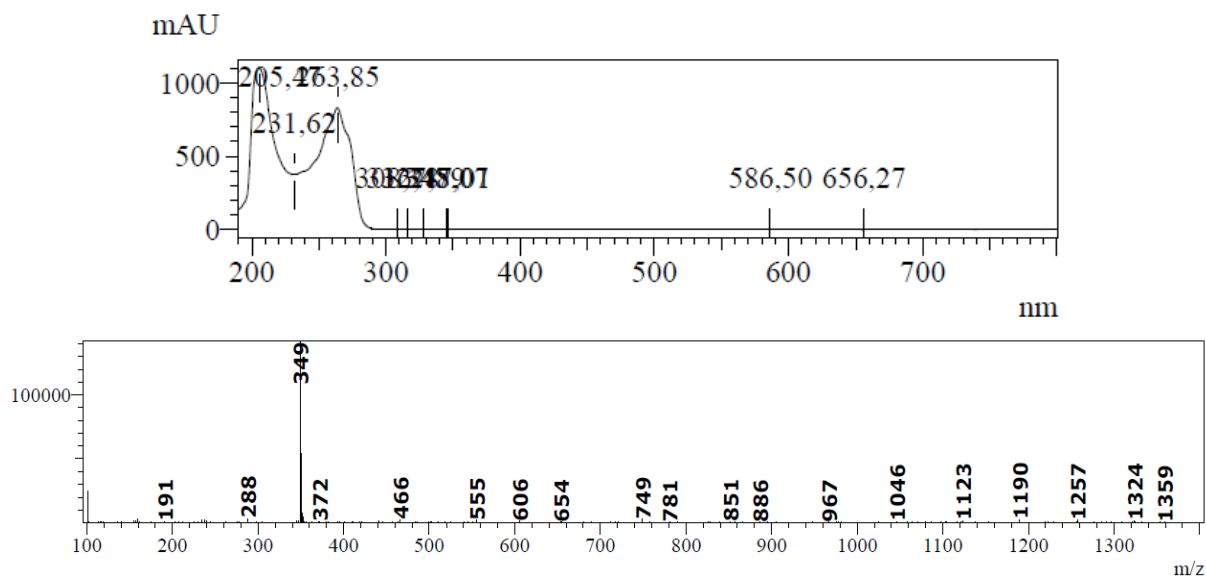


**Figure S81.** HPLC chromatogram of the crude reaction mixture (reaction with 5-chloro-3a*H*-thieno[2,3-*b*]pyrrole-4-sulfonyl chloride (**14**)).

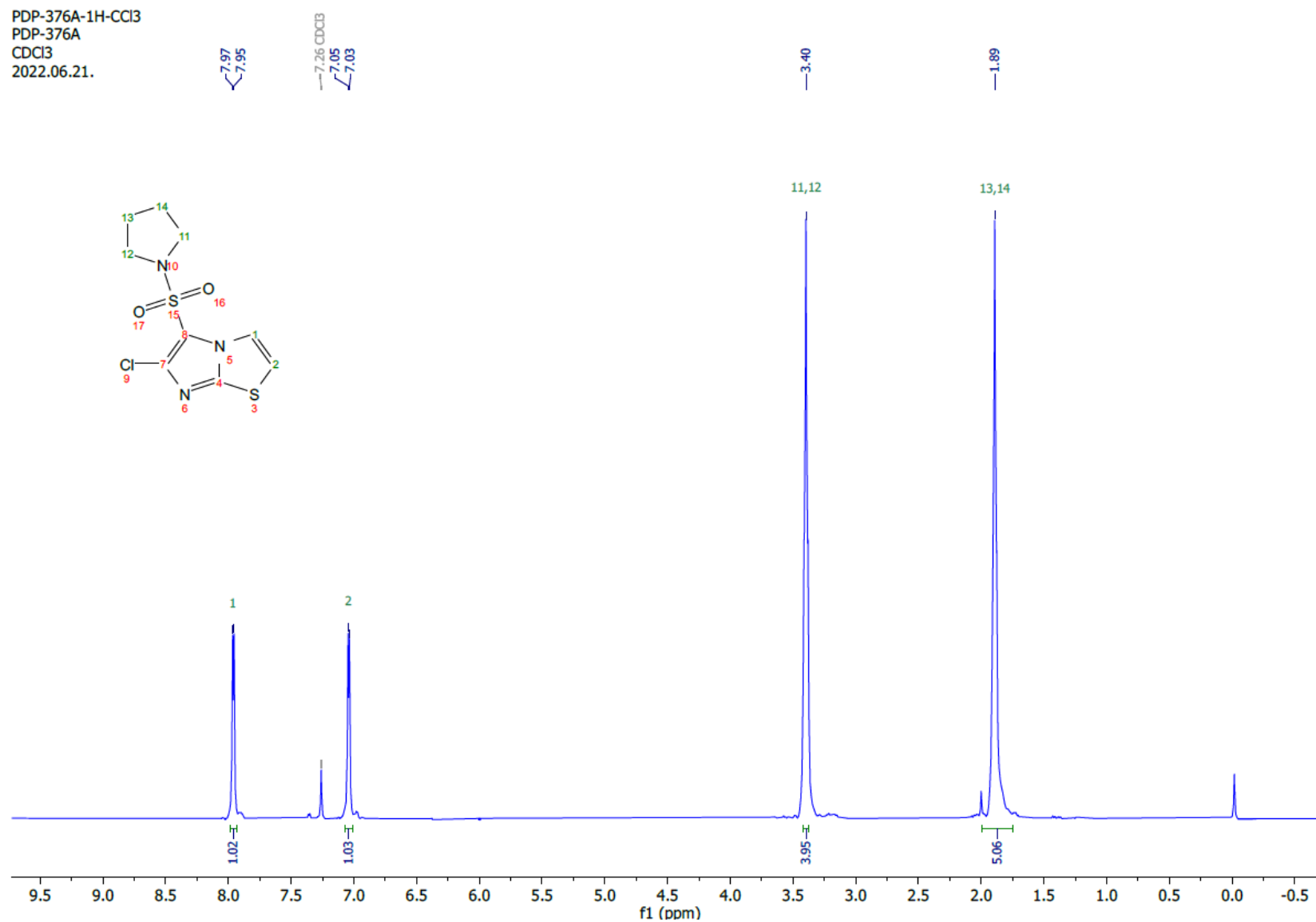


**Figure S82:** HPLC UV chromatogram, UV-VIS spectrum and mass spectrum of 6-chloro-5-(pyrrolidine-1-sulfonyl)imidazo[2,1-*b*][1,3]thiazole (**15**).

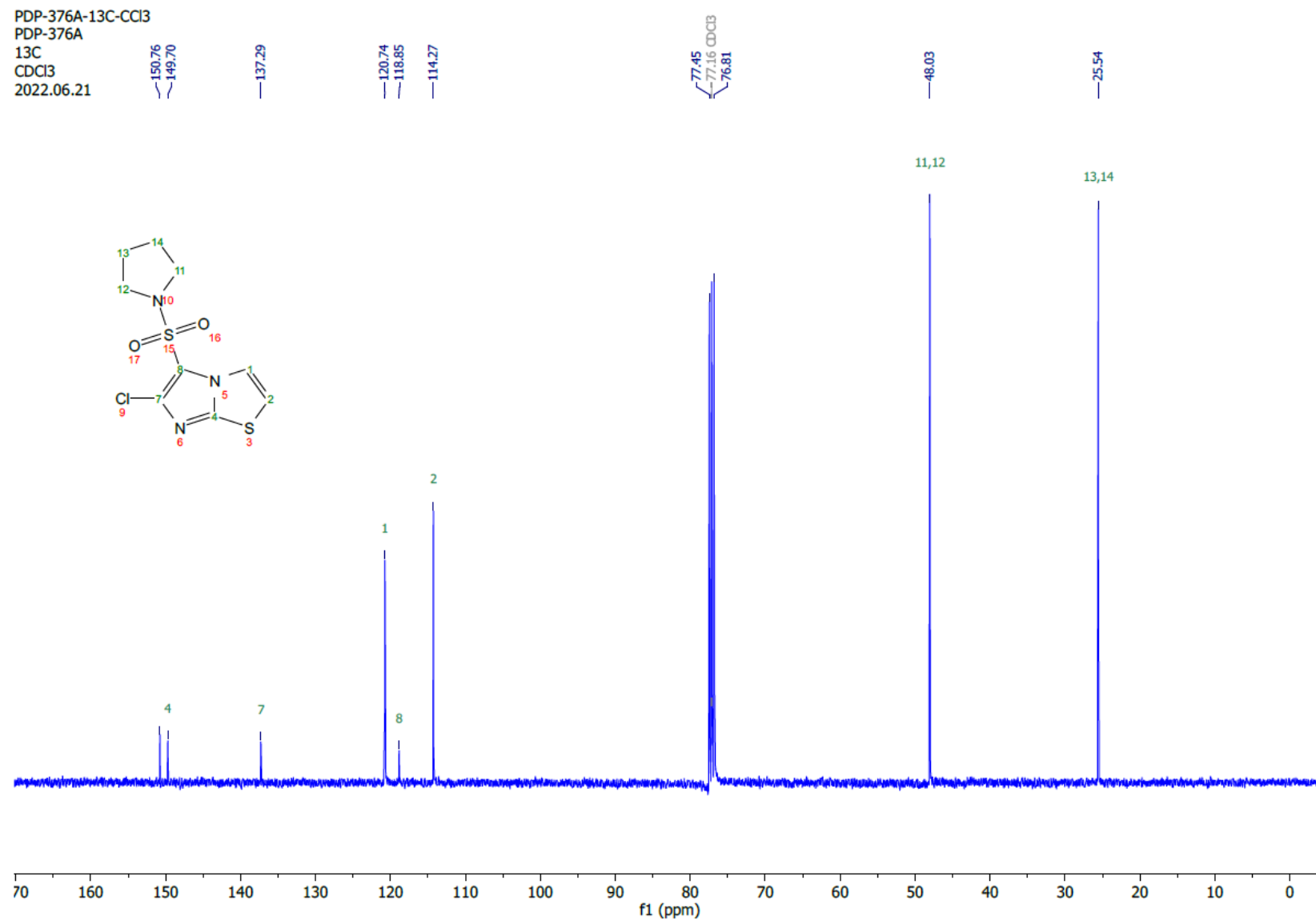




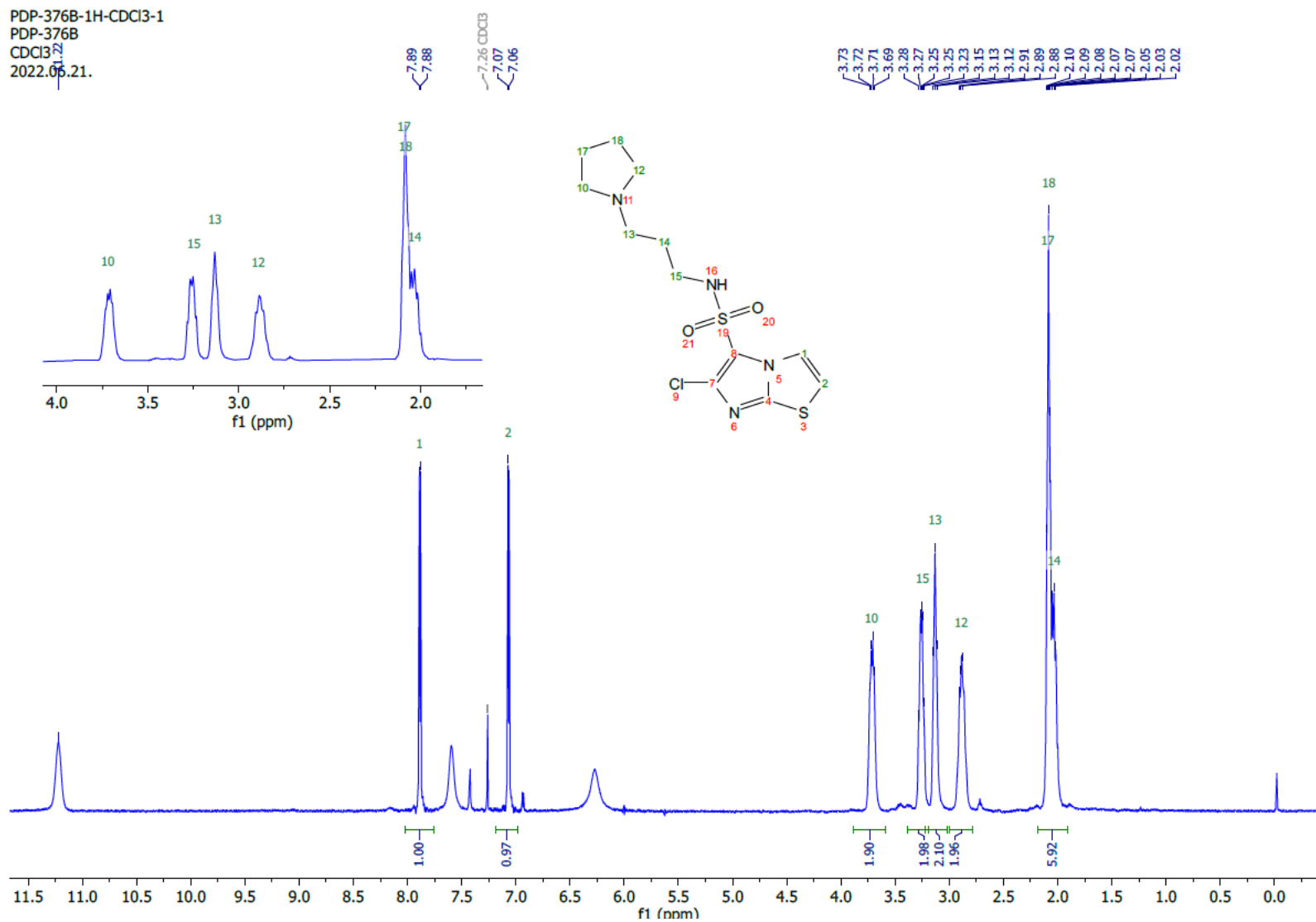
**Figure S83.**: HPLC UV chromatogram, UV-VIS spectrum and mass spectrum of 6-chloro-*N*-[3-(pyrrolidin-1-yl)propyl]imidazo[2,1-*b*][1,3]thiazole-5-sulfonamide TFA salt (**17**).



**Figure S84:**  $^1\text{H}$  NMR spectrum of 6-chloro-5-(pyrrolidine-1-sulfonyl)imidazo[2,1-*b*][1,3]thiazole (**15**) recorded at 400 MHz in  $\text{CDCl}_3$ .

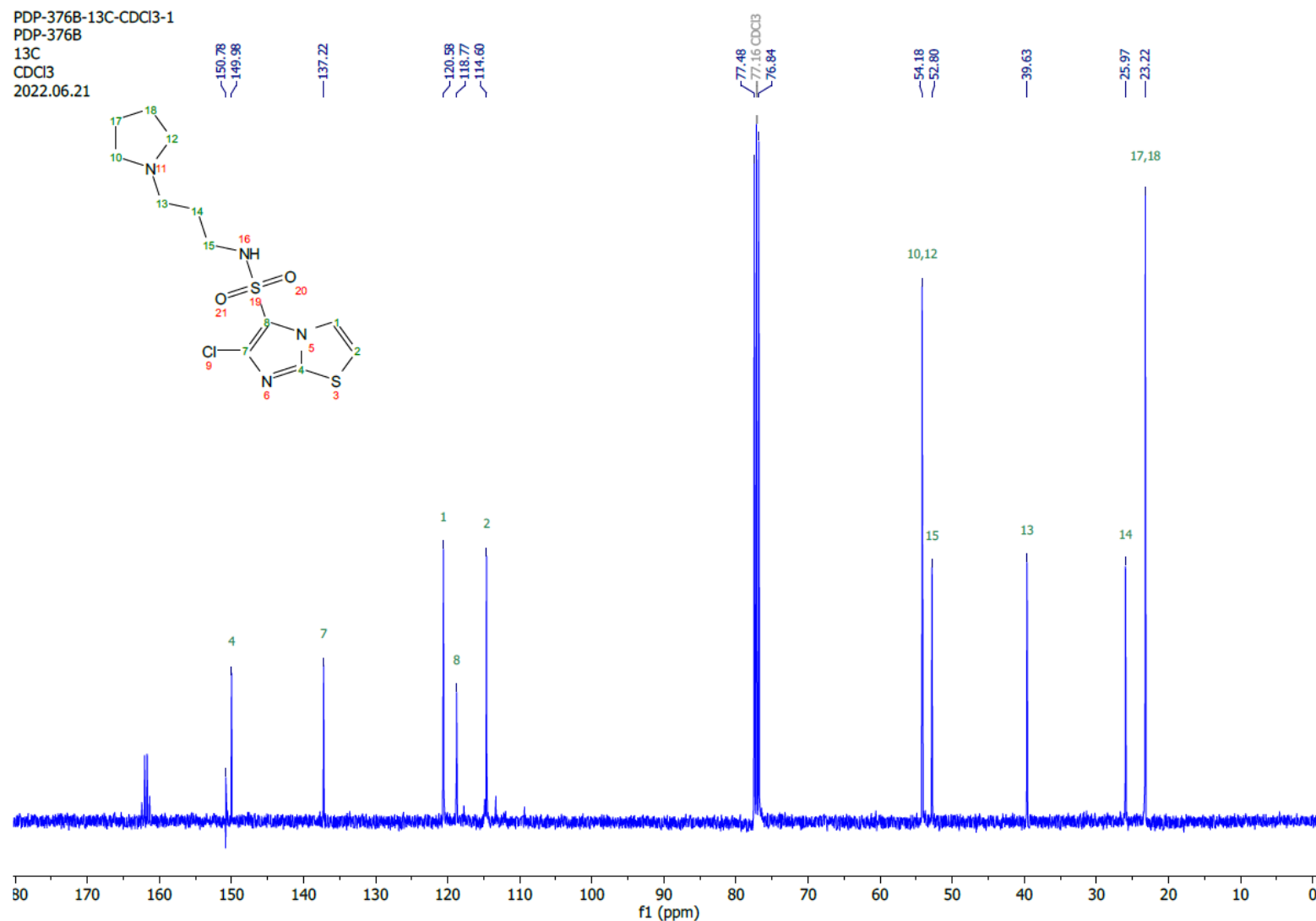


**Figure S85:**  $^{13}\text{C}$  NMR spectrum of 6-chloro-5-(pyrrolidine-1-sulfonyl)imidazo[2,1-*b*][1,3]thiazole (**15**) recorded at 400 MHz in  $\text{CDCl}_3$ .

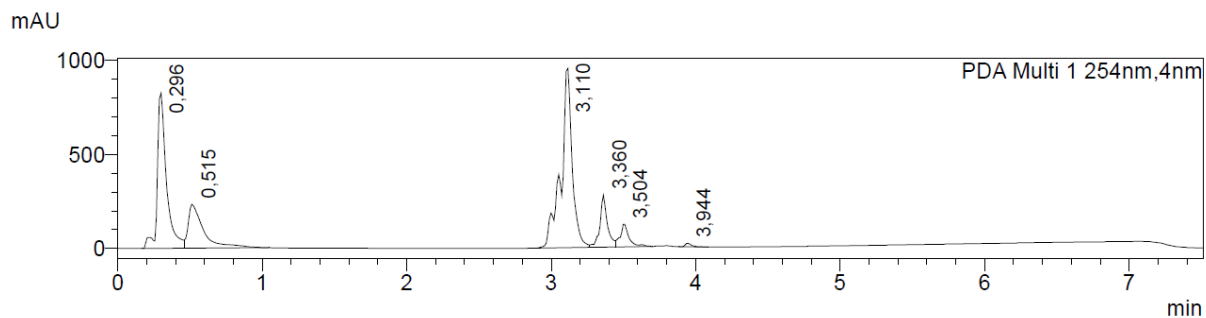


**Figure S86:**  $^1\text{H}$  NMR spectrum of 6-chloro-*N*-[3-(pyrrolidin-1-yl)propyl]imidazo[2,1-*b*][1,3]thiazole-5-sulfonamide TFA salt (**17**) recorded at 400 MHz in  $\text{CDCl}_3$ .

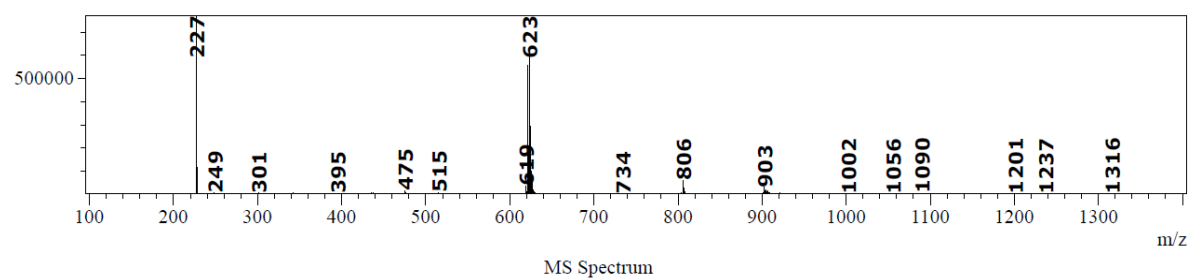
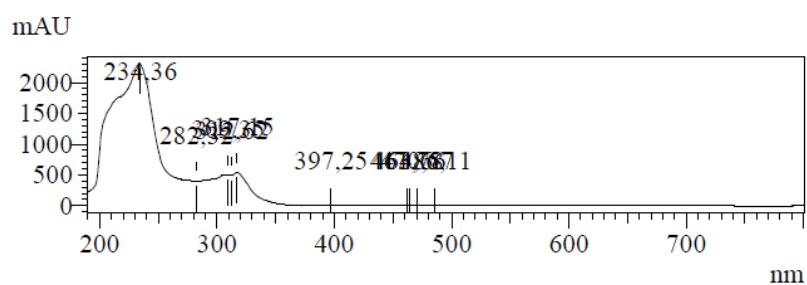
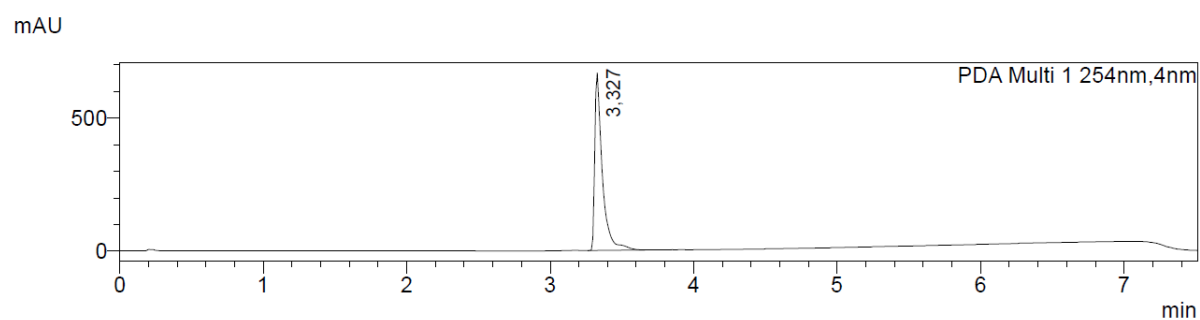




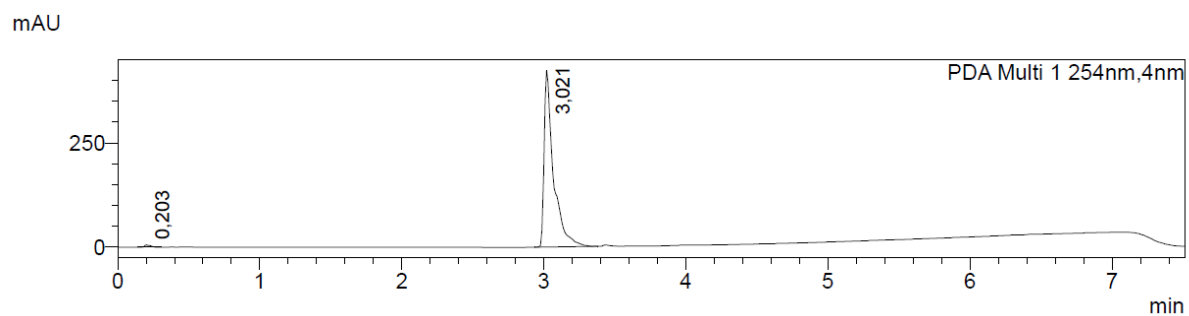
**Figure S87:**  $^{13}\text{C}$  NMR spectrum of 6-chloro-N-[3-(pyrrolidin-1-yl)propyl]imidazo[2,1-b][1,3]thiazole-5-sulfonamide TFA salt (**17**) recorded at 400 MHz in  $\text{CDCl}_3$ .

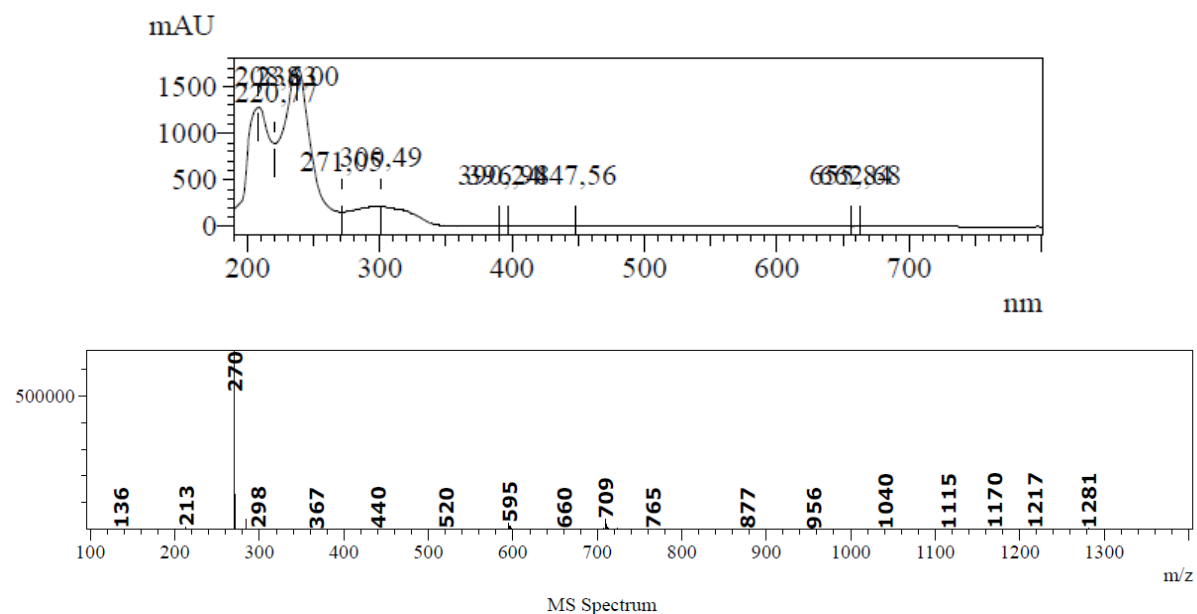


**Figure S88.** HPLC chromatogram of the crude reaction mixture (amide formation with quinoline-2-carboxylic acid (**18**)).

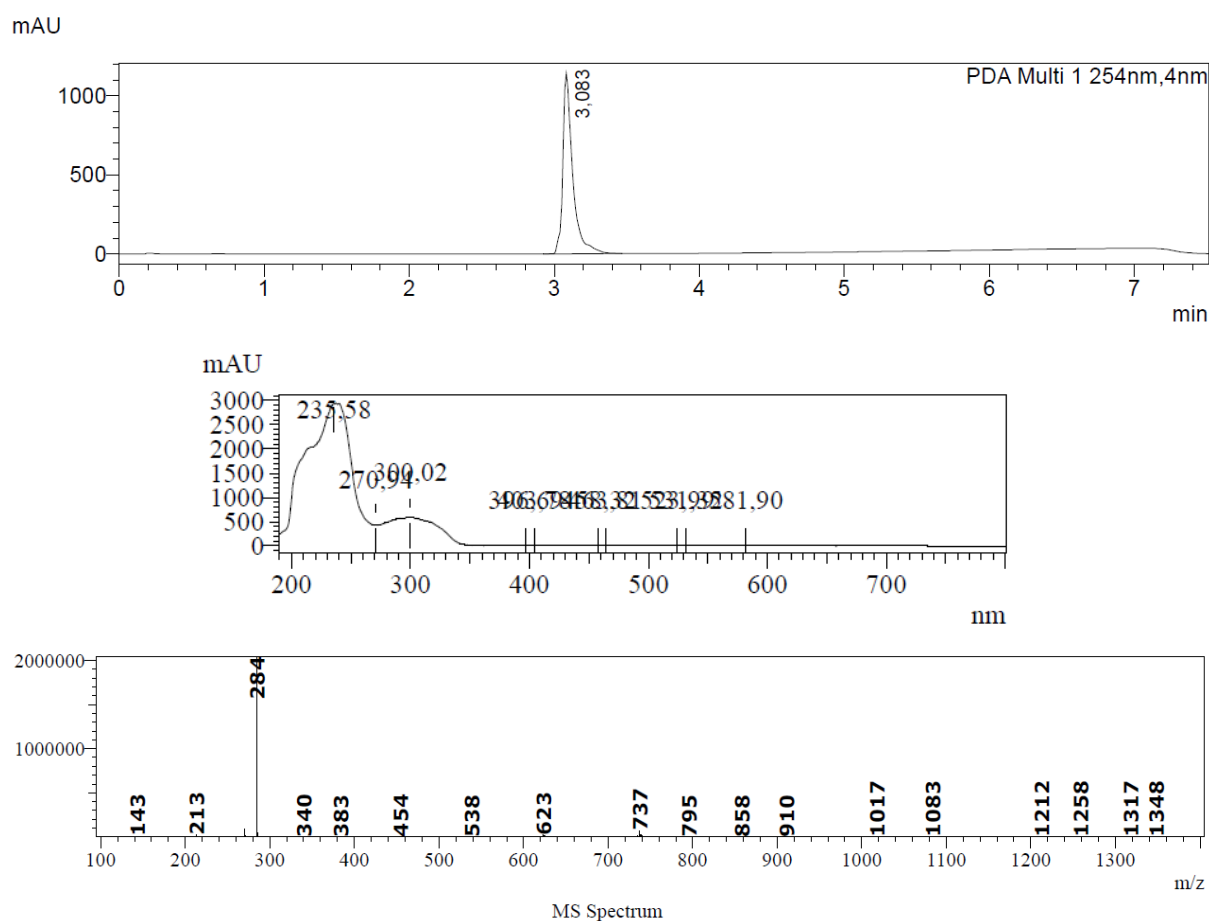


**Figure S89.** HPLC UV chromatogram, UV-VIS spectrum and mass spectrum of (pyrrolidin-1-yl)(quinolin-2-yl)methanone (**19**).





**Figure S90.** HPLC UV chromatogram, UV-VIS spectrum and mass spectrum of *N*-[3-(azetidin-1-yl)propyl]quinoline-2-carboxamide TFA salt (**20**).



**Figure S91.** HPLC UV chromatogram, UV-VIS spectrum and mass spectrum of *N*-[3-(pyrrolidin-1-yl)propyl]quinoline-2-carboxamide TFA salt (**21**).

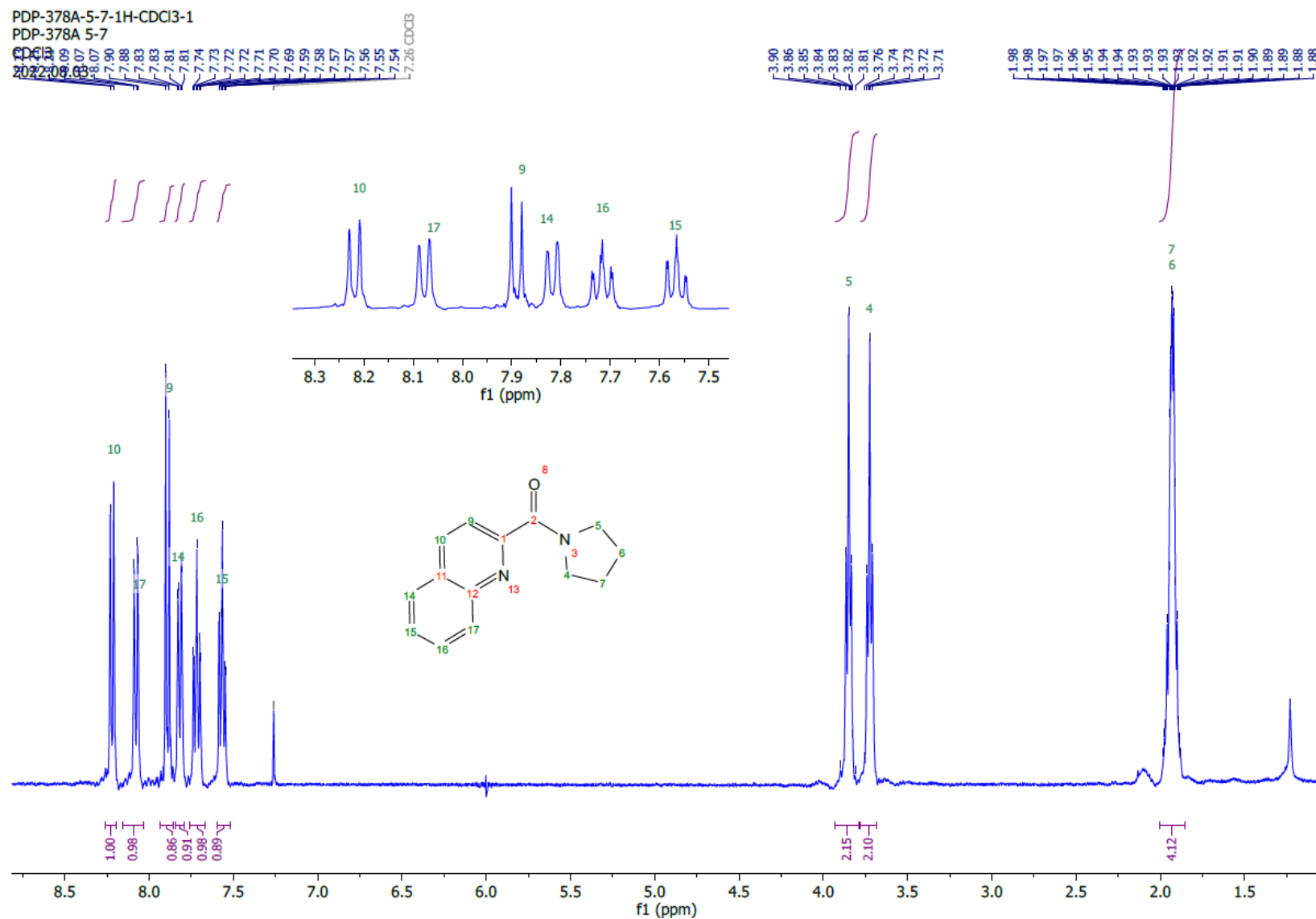
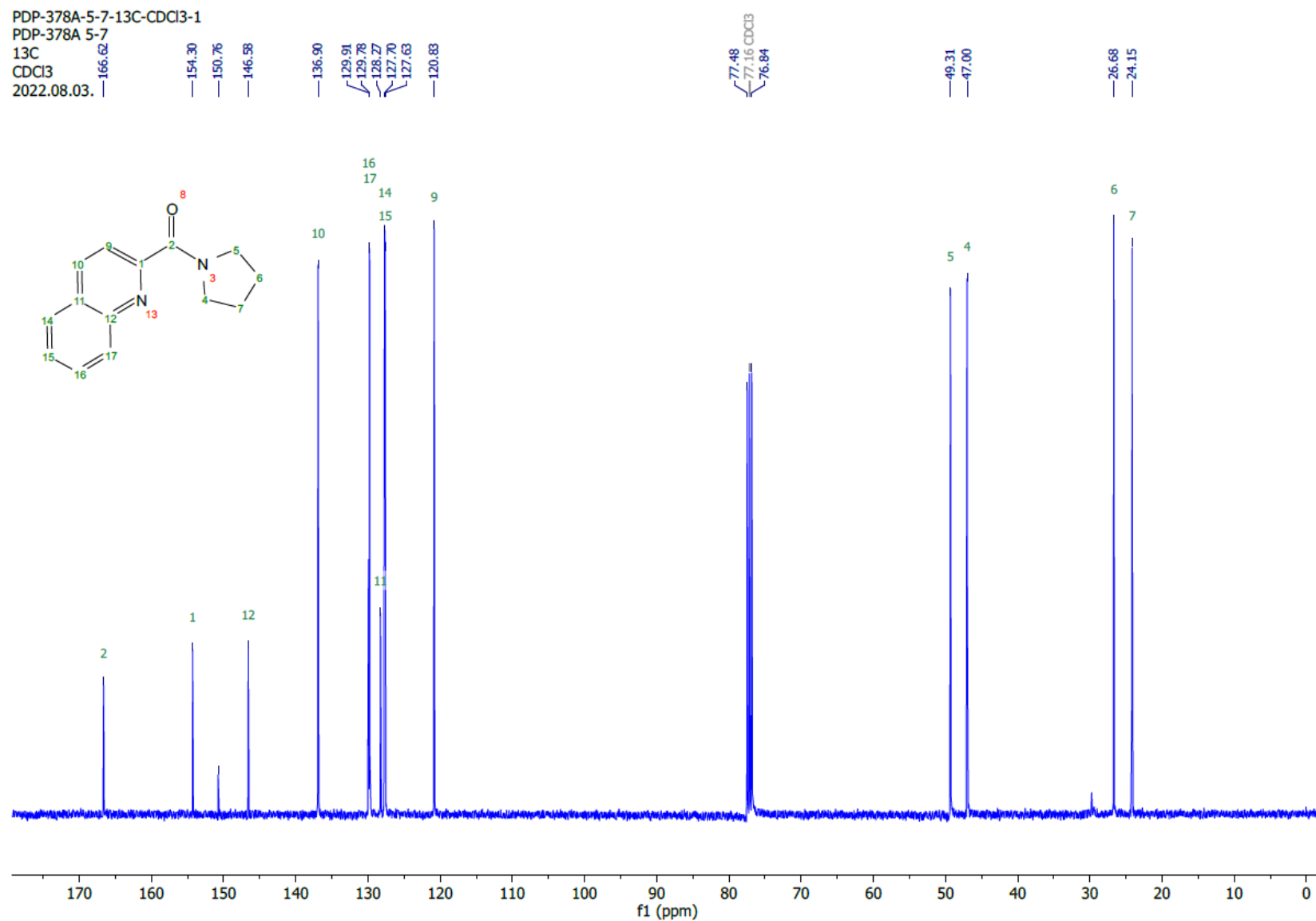


Figure S92:  $^1\text{H}$  NMR spectrum of (pyrrolidin-1-yl)(quinolin-2-yl)methanone (**19**) recorded at 400 MHz in  $\text{CDCl}_3$ .



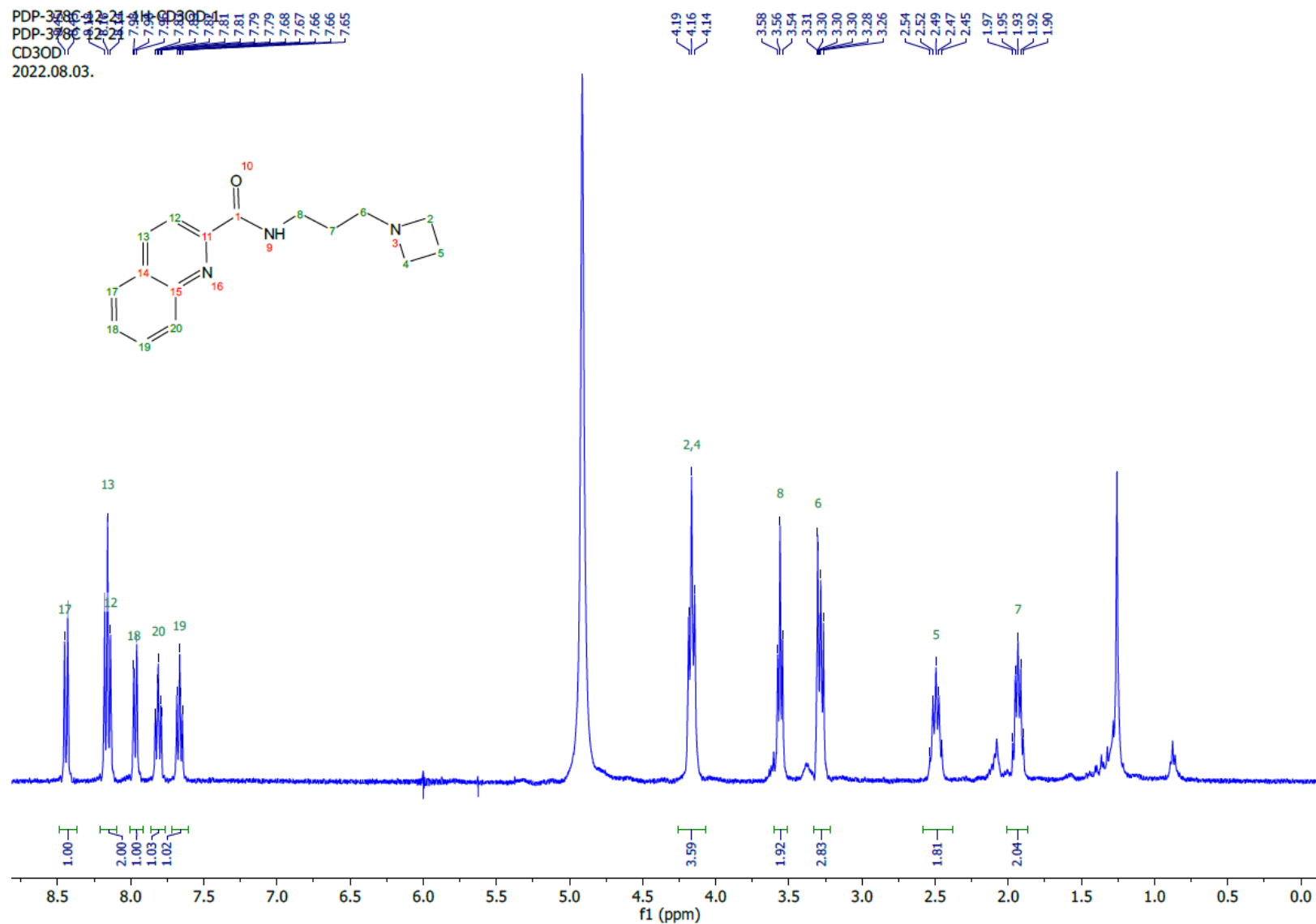
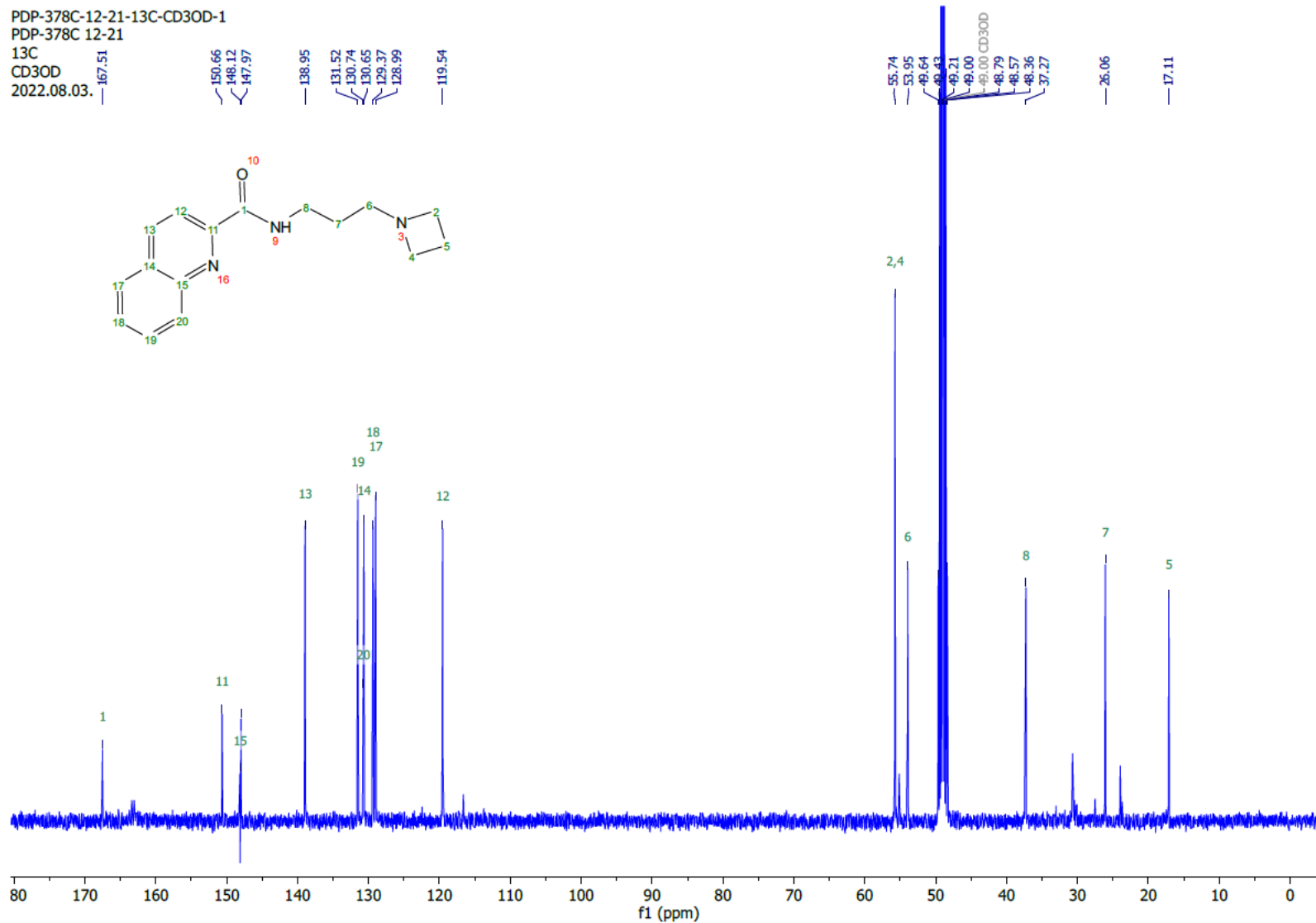
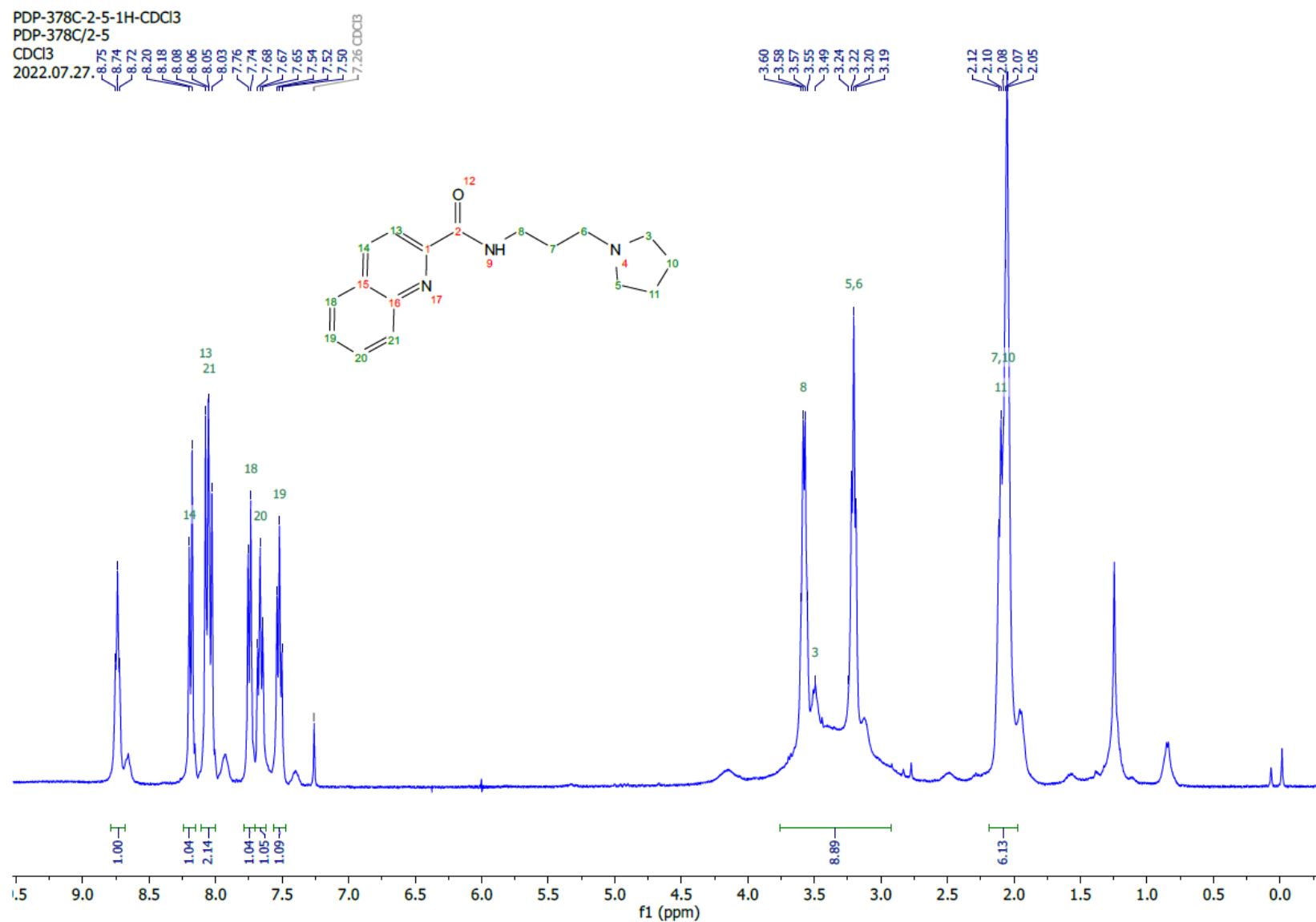


Figure S94.  $^1\text{H}$  NMR spectrum of *N*-[3-(azetidin-1-yl)propyl]quinoline-2-carboxamide TFA salt (**20**) recorded at 400 MHz in  $\text{CDCl}_3$ .





**Figure S96:** <sup>1</sup>H NMR spectrum of *N*-[3-(pyrrolidin-1-yl)propyl]quinoline-2-carboxamide TFA salt (**21**) recorded at 400 MHz in CDCl<sub>3</sub>.



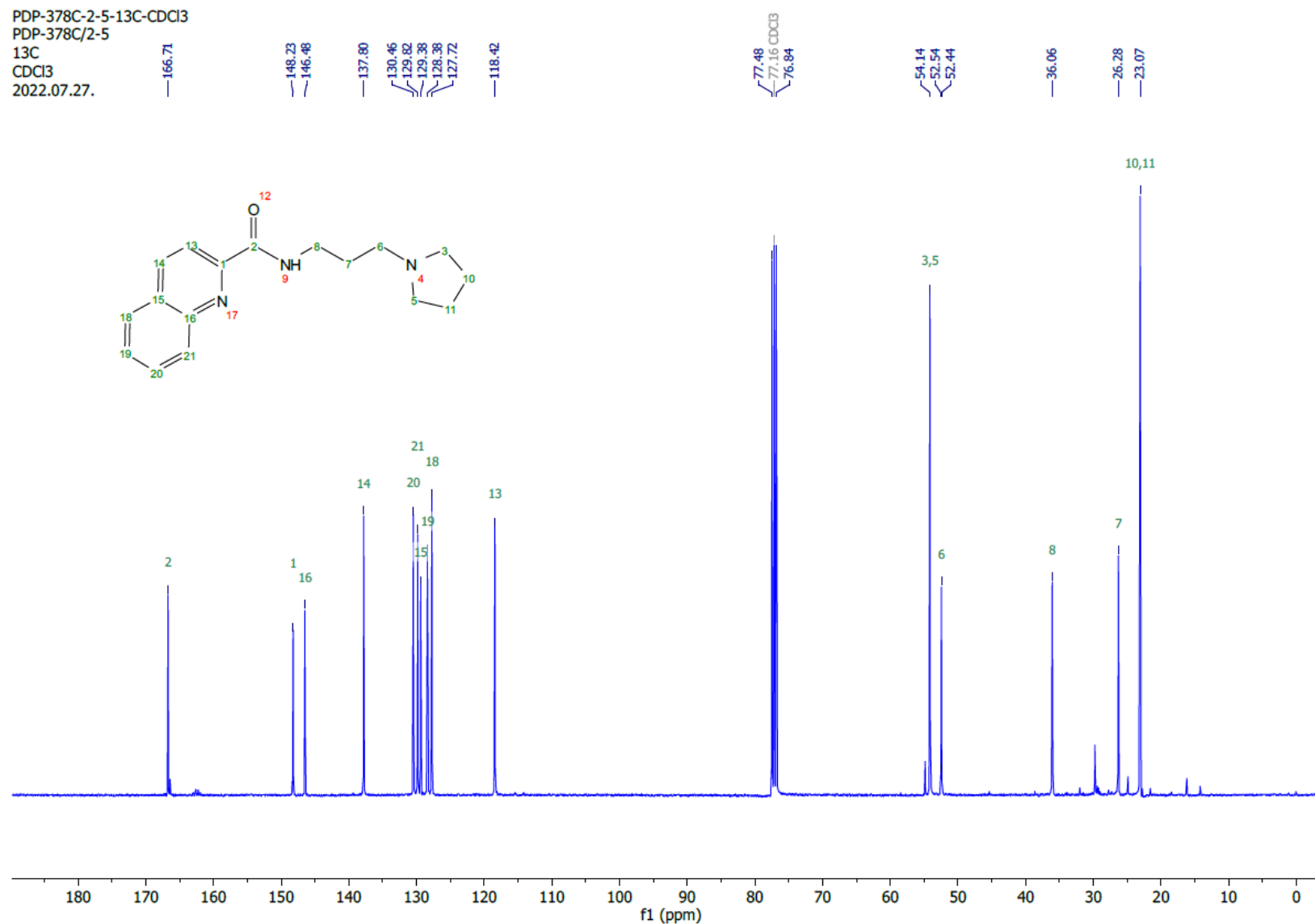
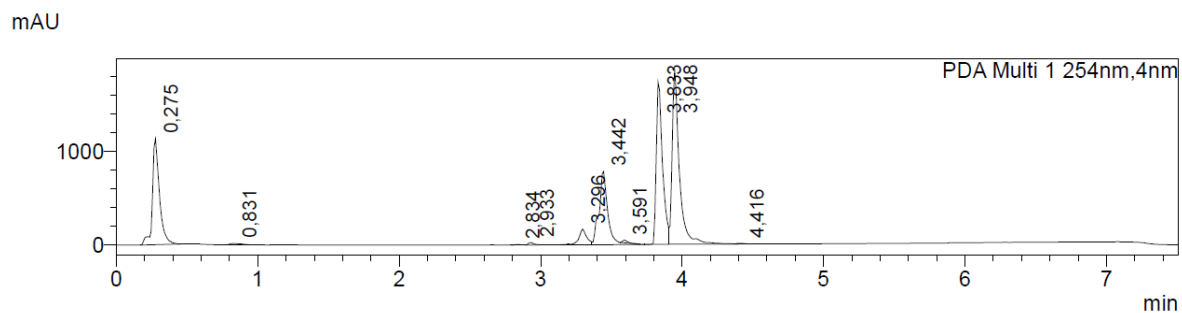
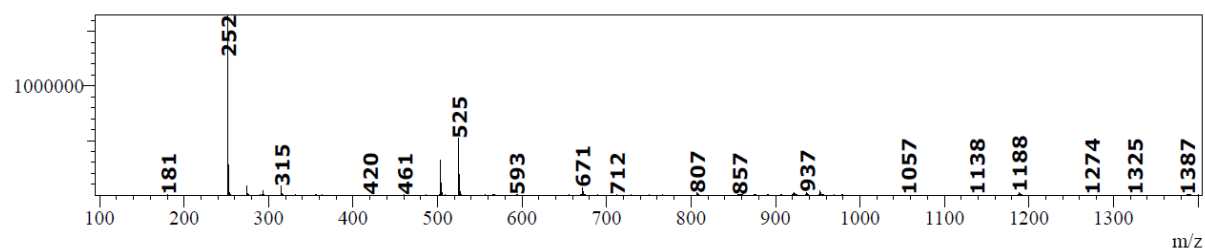
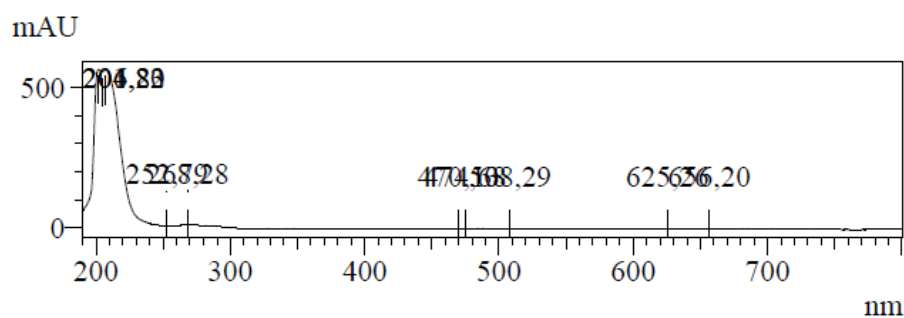
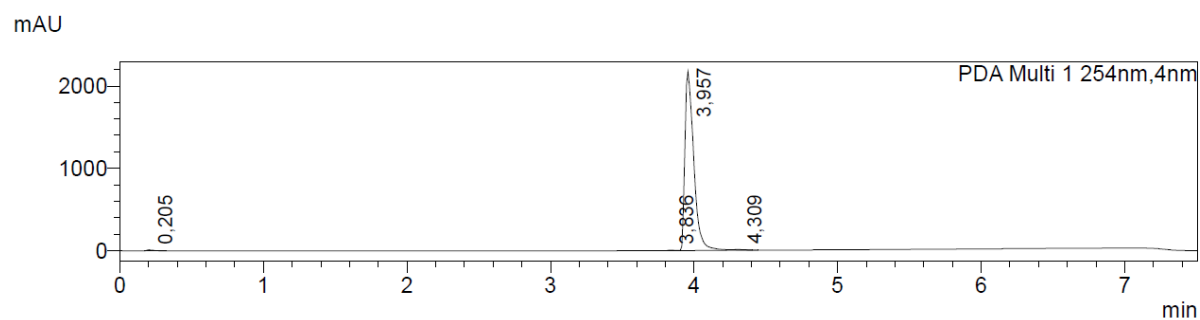


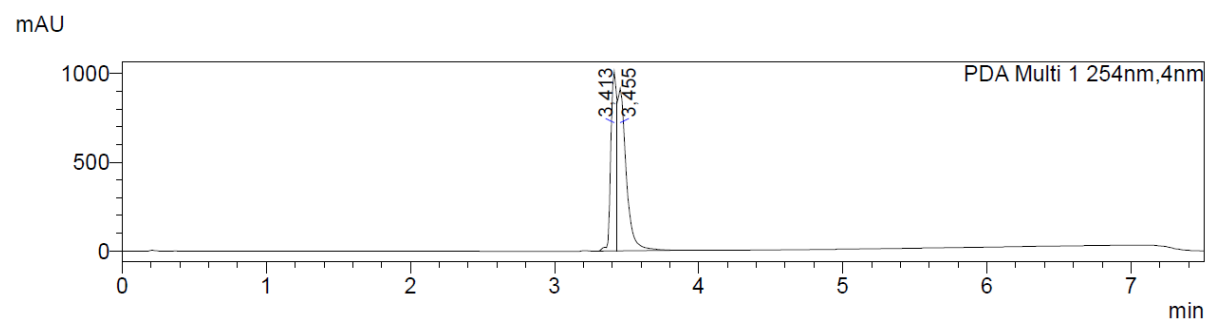
Figure S97: <sup>13</sup>C NMR spectrum of *N*-[3-(pyrrolidin-1-yl)propyl]quinoline-2-carboxamide TFA salt (**21**) recorded at 400 MHz in CDCl<sub>3</sub>

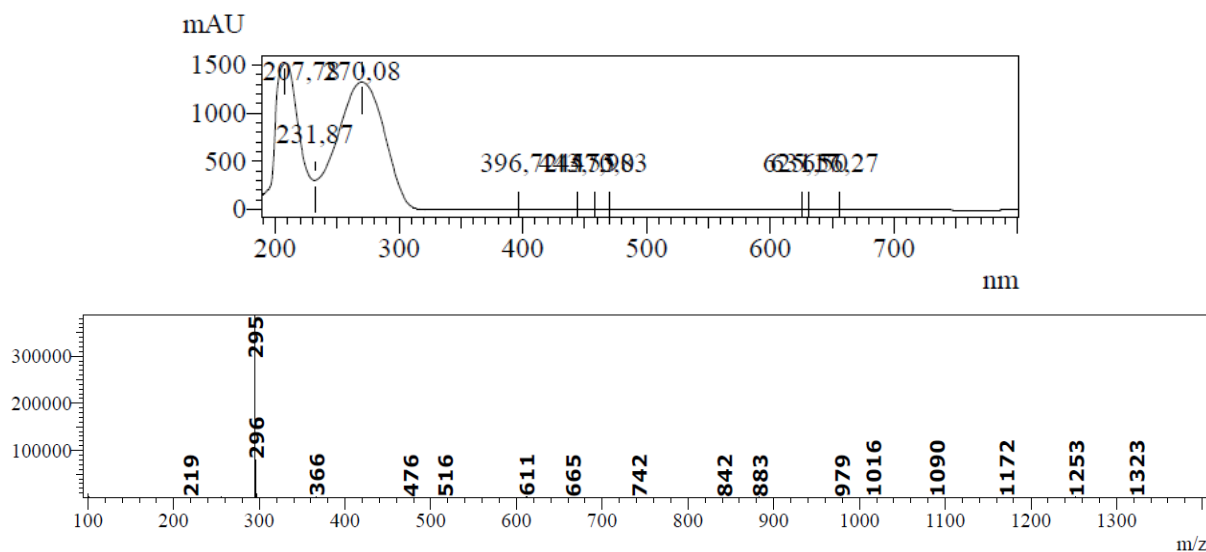


**Figure S98.** HPLC chromatogram of the crude reaction mixture (amide formation with [1,1'-biphenyl]-4-carboxylic acid (**22**)).

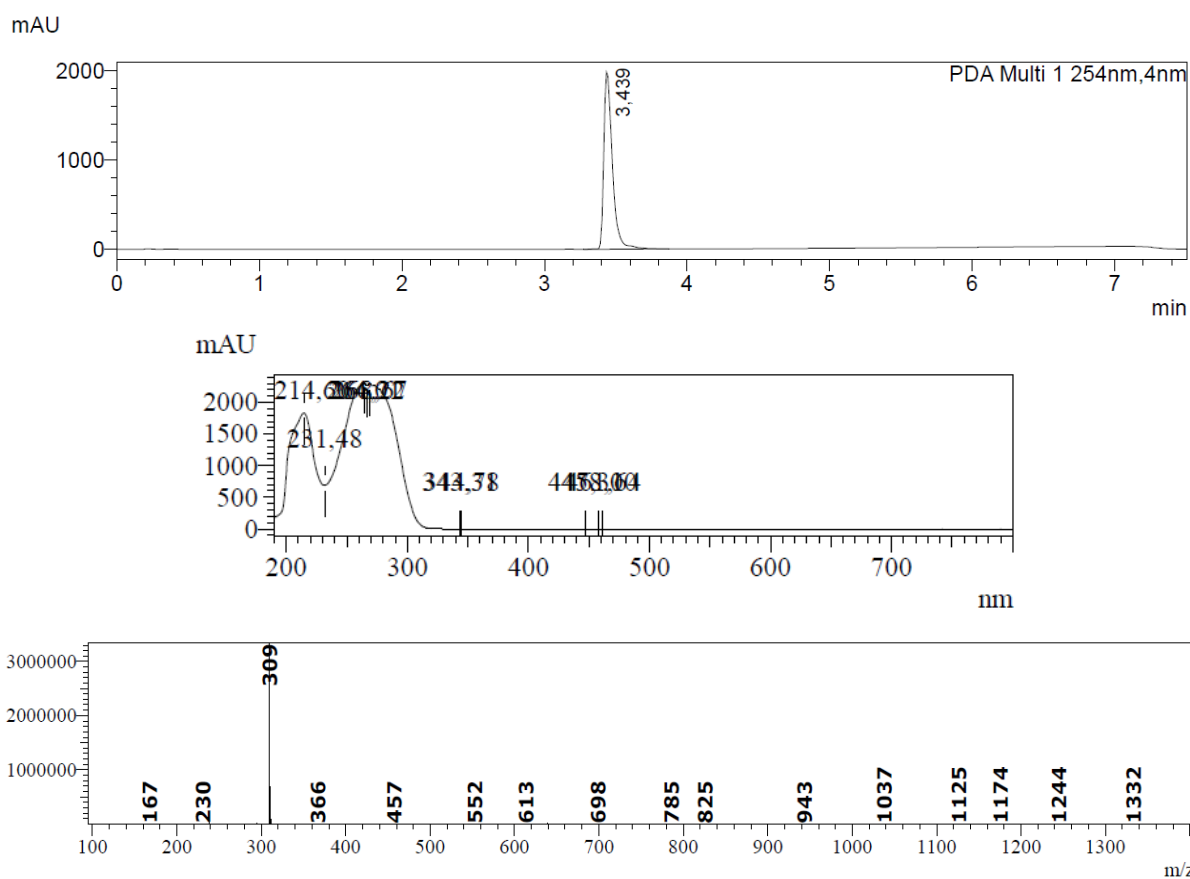


**Figure S99:** HPLC UV chromatogram, UV-VIS spectrum and mass spectrum of ([1,1'-biphenyl]-4-yl)(pyrrolidin-1-yl)methanone (**23**).

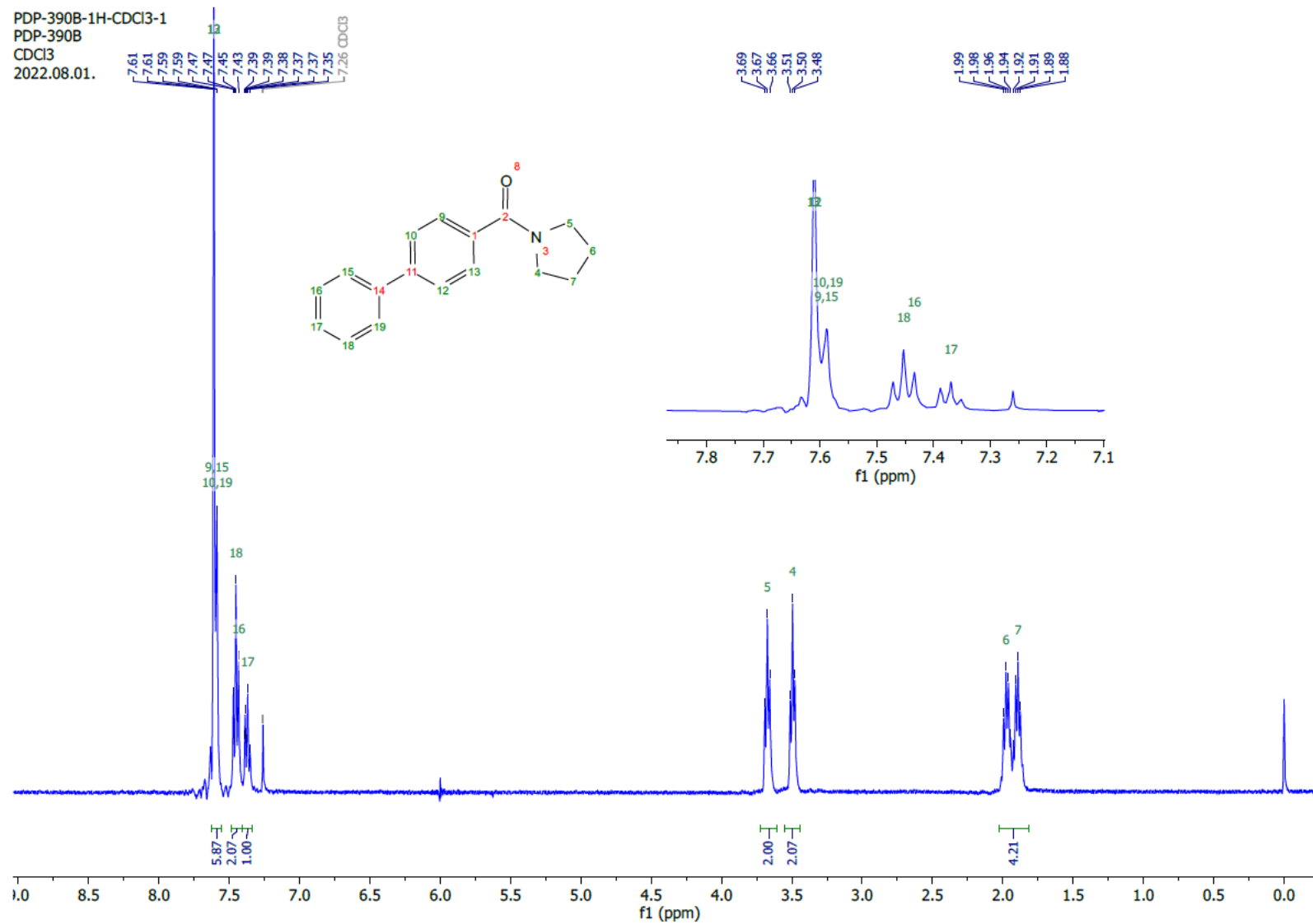




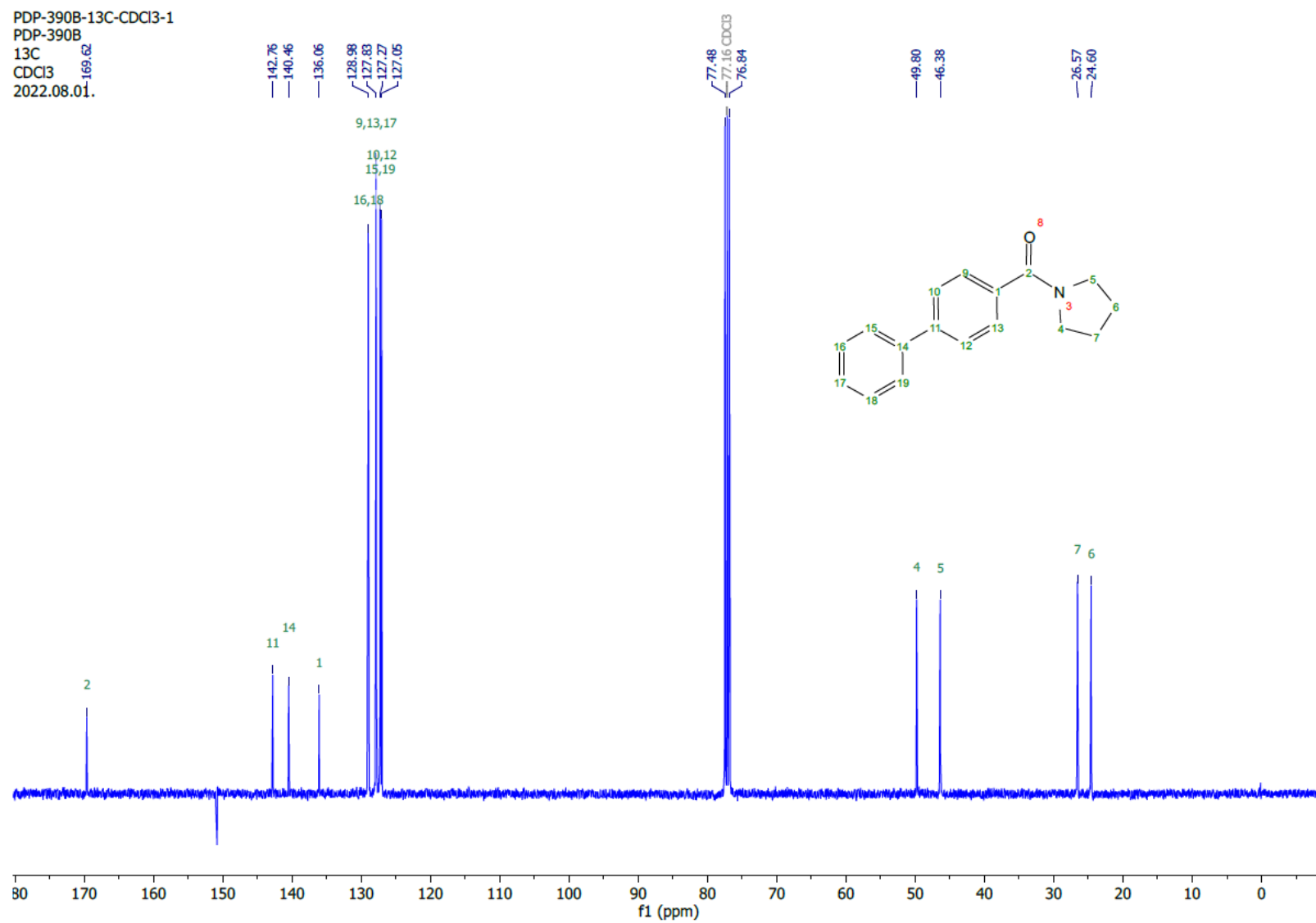
**Figure S100.**: HPLC UV chromatogram, UV-VIS spectrum and mass spectrum of *N*-[3-(azetidin-1-yl)propyl][1,1'-biphenyl]-4-carboxamide TFA salt (**24**).



**Figure S101.**: HPLC UV chromatogram, UV-VIS spectrum and mass spectrum of *N*-[3-(pyrrolidin-1-yl)propyl][1,1'-biphenyl]-4-carboxamide TFA salt (**25**).



**Figure S102:** <sup>1</sup>H NMR spectrum of ([1,1'-biphenyl]-4-yl)(pyrrolidin-1-yl)methanone (**23**) recorded at 400 MHz in CDCl<sub>3</sub>.



**Figure S103:**  $^{13}\text{C}$  NMR spectrum of ([1,1'-biphenyl]-4-yl)(pyrrolidin-1-yl)methanone (**23**) recorded at 400 MHz in  $\text{CDCl}_3$

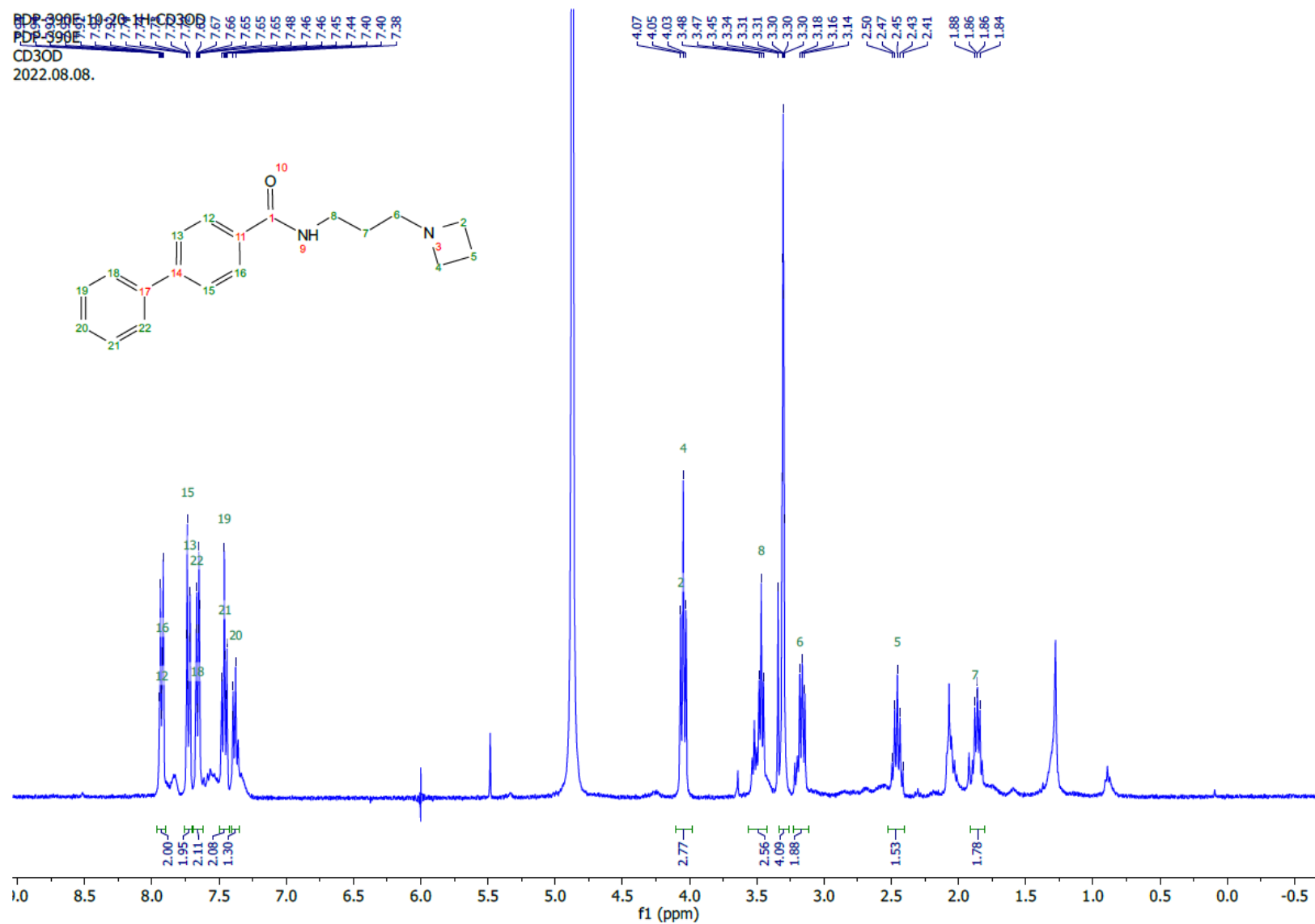
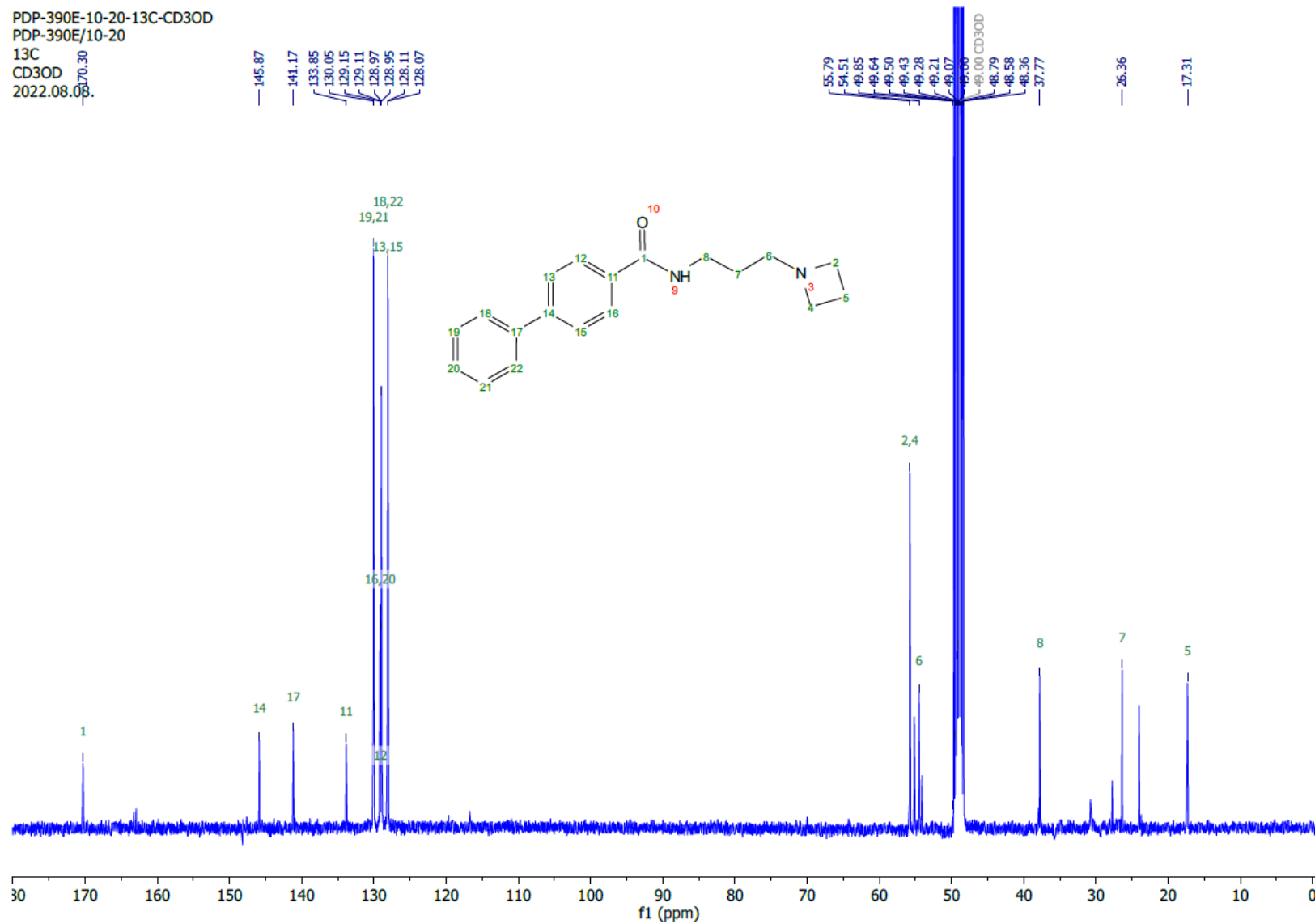
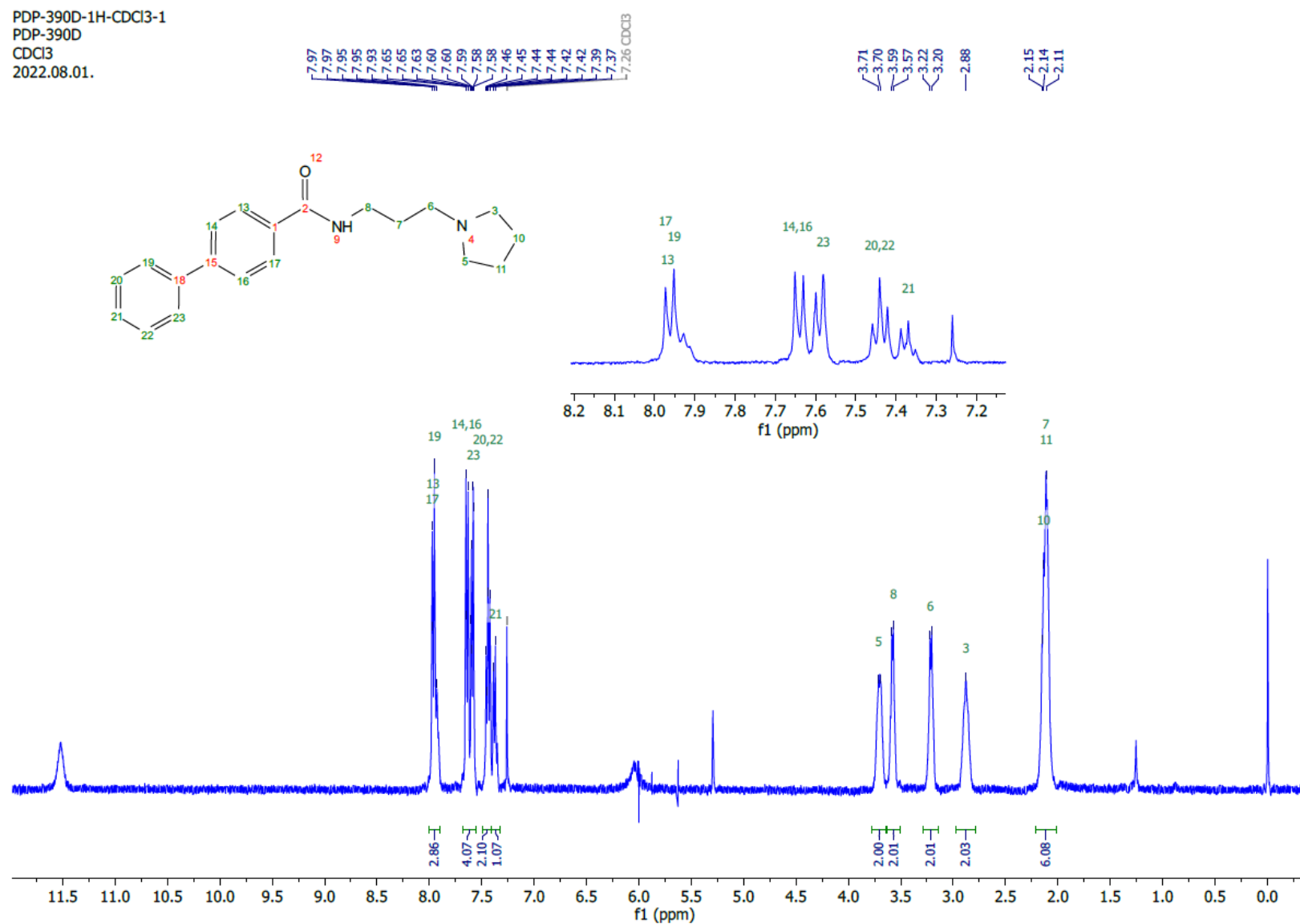


Figure S104:  $^1\text{H}$  NMR spectrum of *N*-[3-(azetidin-1-yl)propyl][1,1'-biphenyl]-4-carboxamide TFA salt (**24**) recorded at 400 MHz in  $\text{CDCl}_3$ .

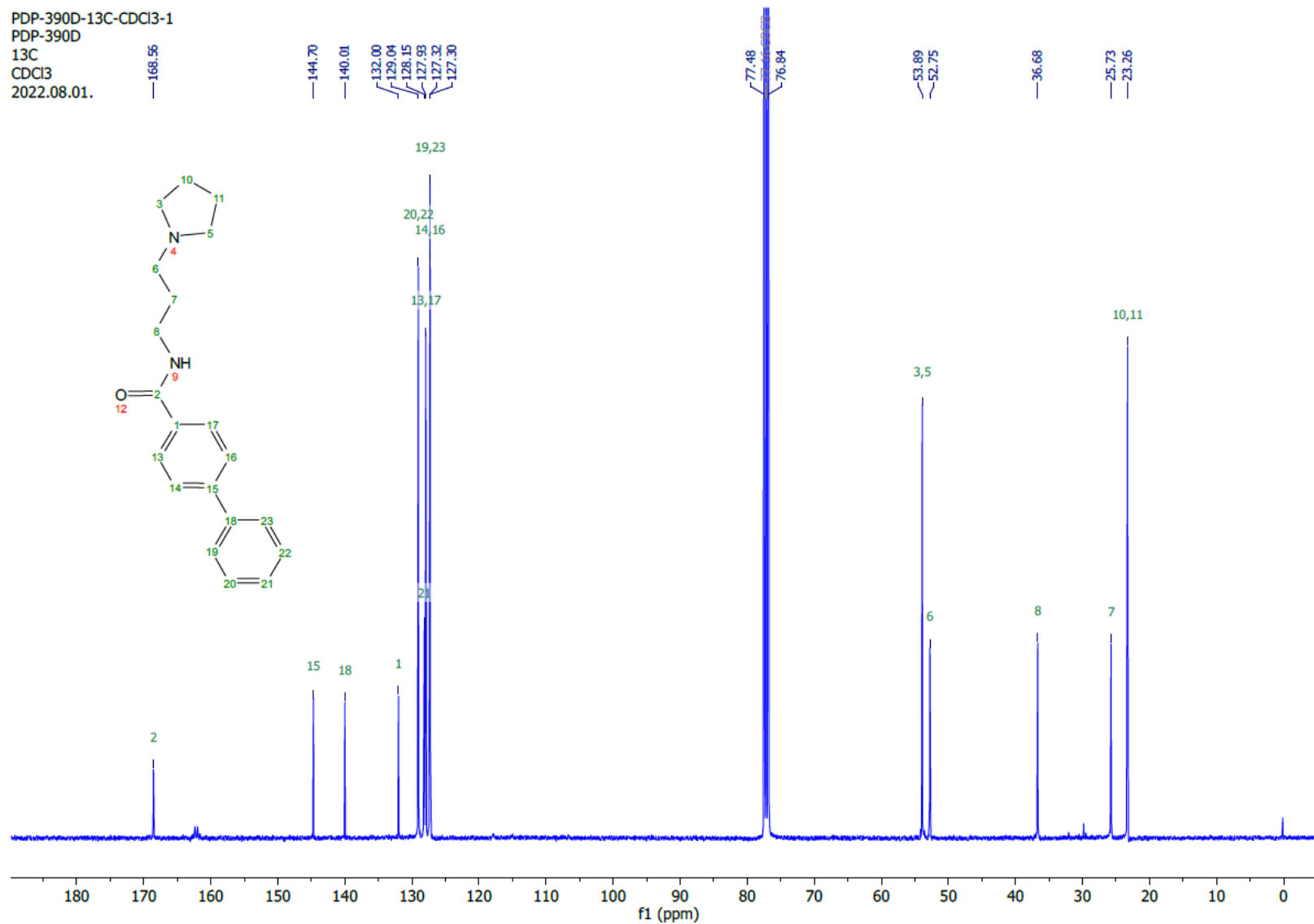


**Figure S105:**  $^{13}\text{C}$  NMR spectrum of *N*-[3-(azetidin-1-yl)propyl][1,1'-biphenyl]-4-carboxamide TFA salt (**24**) recorded at 400 MHz in  $\text{CDCl}_3$



**Figure S106:**  $^1\text{H}$  NMR spectrum of *N*-[3-(pyrrolidin-1-yl)propyl][1,1'-biphenyl]-4-carboxamide TFA salt (**25**) recorded at 400 MHz in  $\text{CDCl}_3$ .





**Figure S107:**  $^{13}\text{C}$  NMR spectrum of *N*-[3-(pyrrolidin-1-yl)propyl][1,1'-biphenyl]-4-carboxamide TFA salt (**25**) recorded at 400 MHz in  $\text{CDCl}_3$