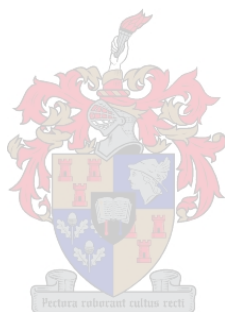


# **Scaffold manipulation for the synthesis of novel, potentially irreversible Akt inhibitors**

## **Characterisation Addendum**

by  
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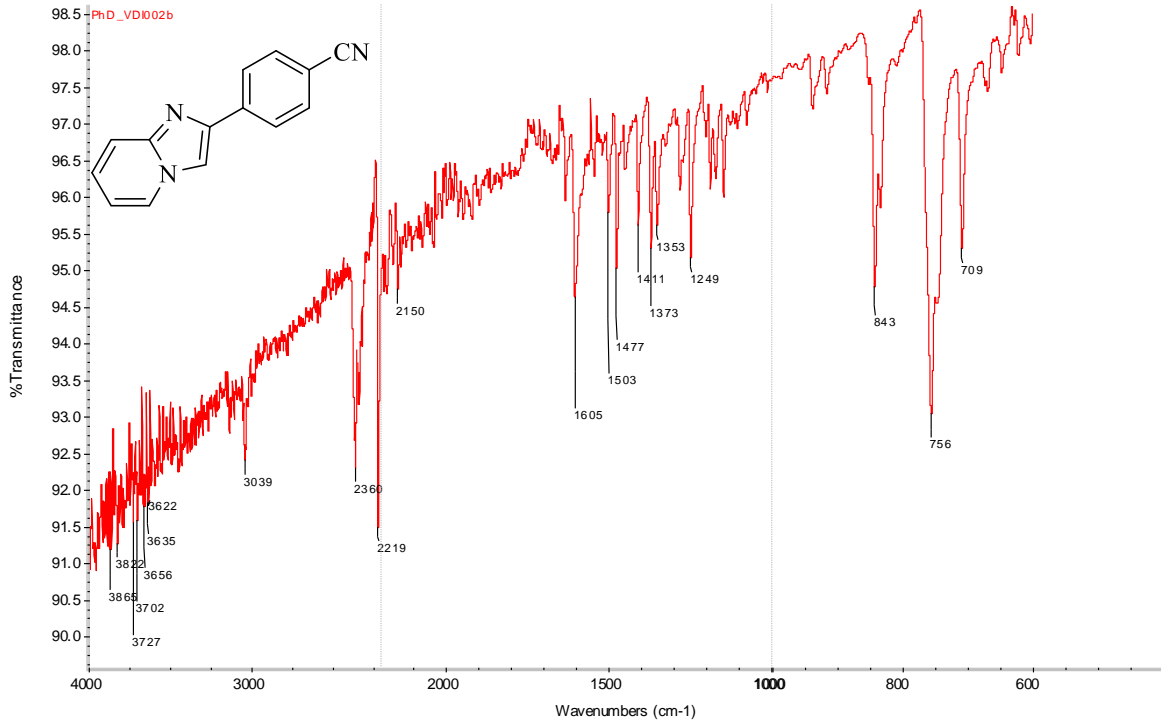
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A IR spectra

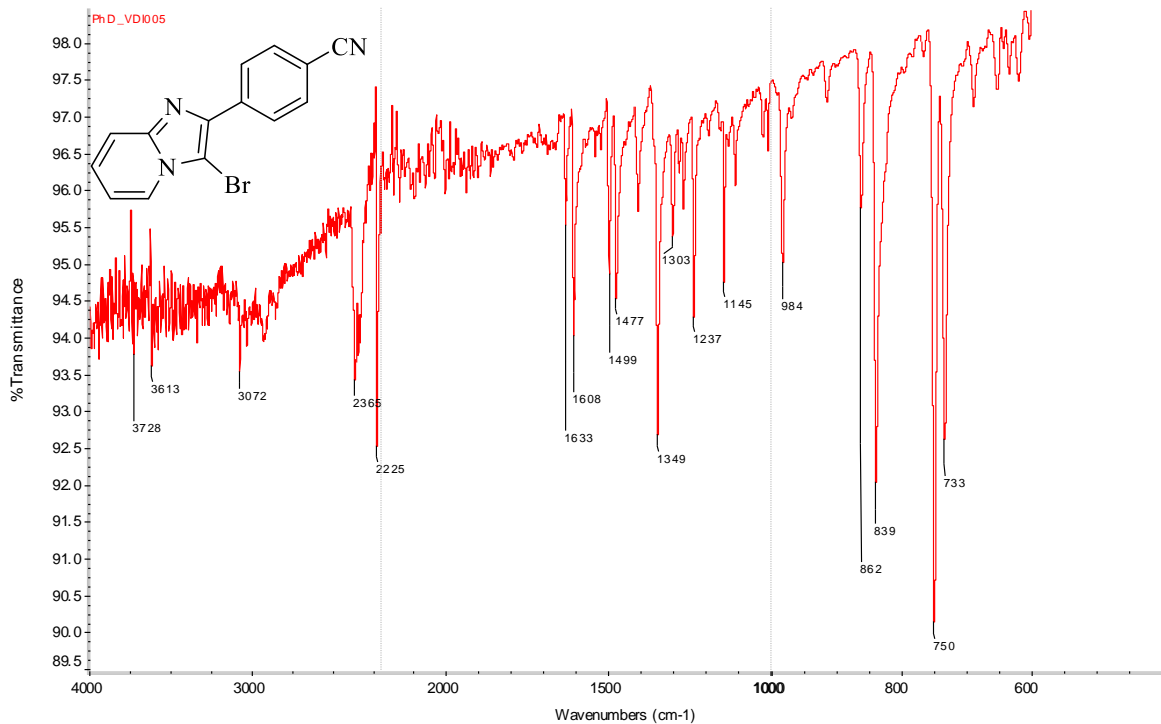
A IR spectra

A.1 Chapter 3 compounds

A.1.1 4-(Imidazo[1,2-a]pyridin-2-yl)benzonitrile – 3.8

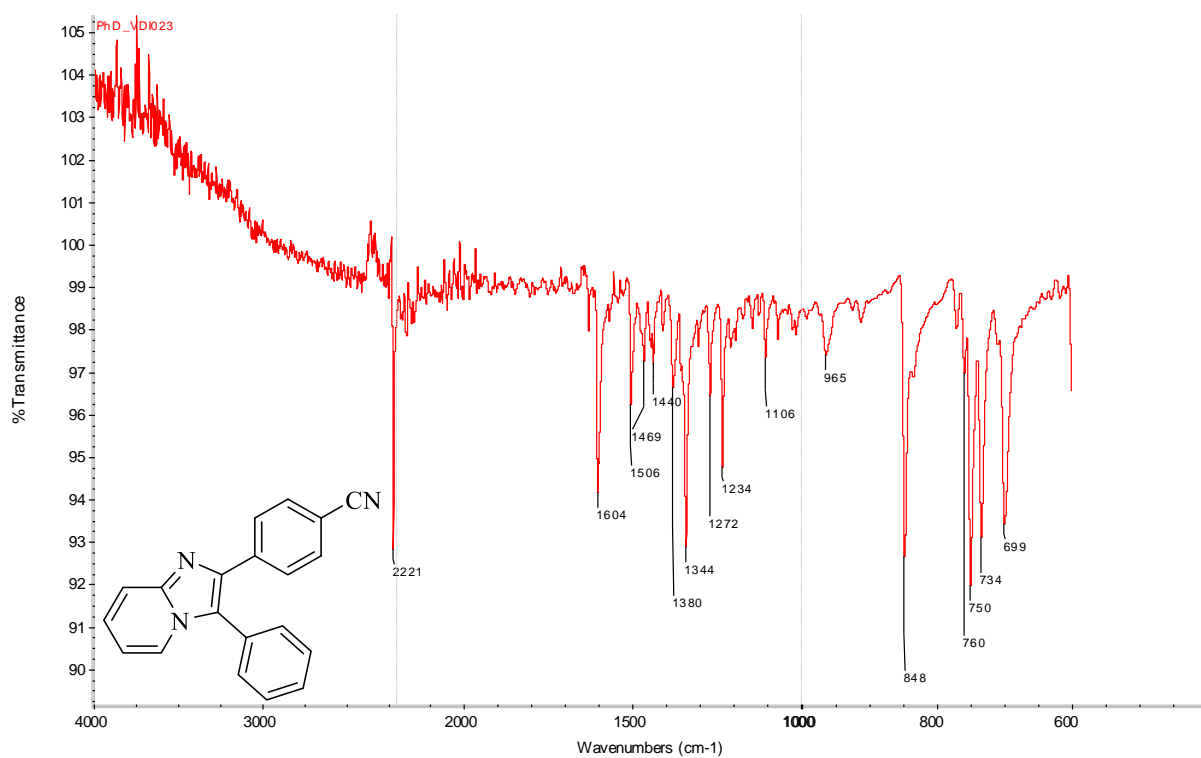


A.1.2 4-(3-Bromoimidazo[1,2-a]pyridin-2-yl)benzonitrile – 3.6

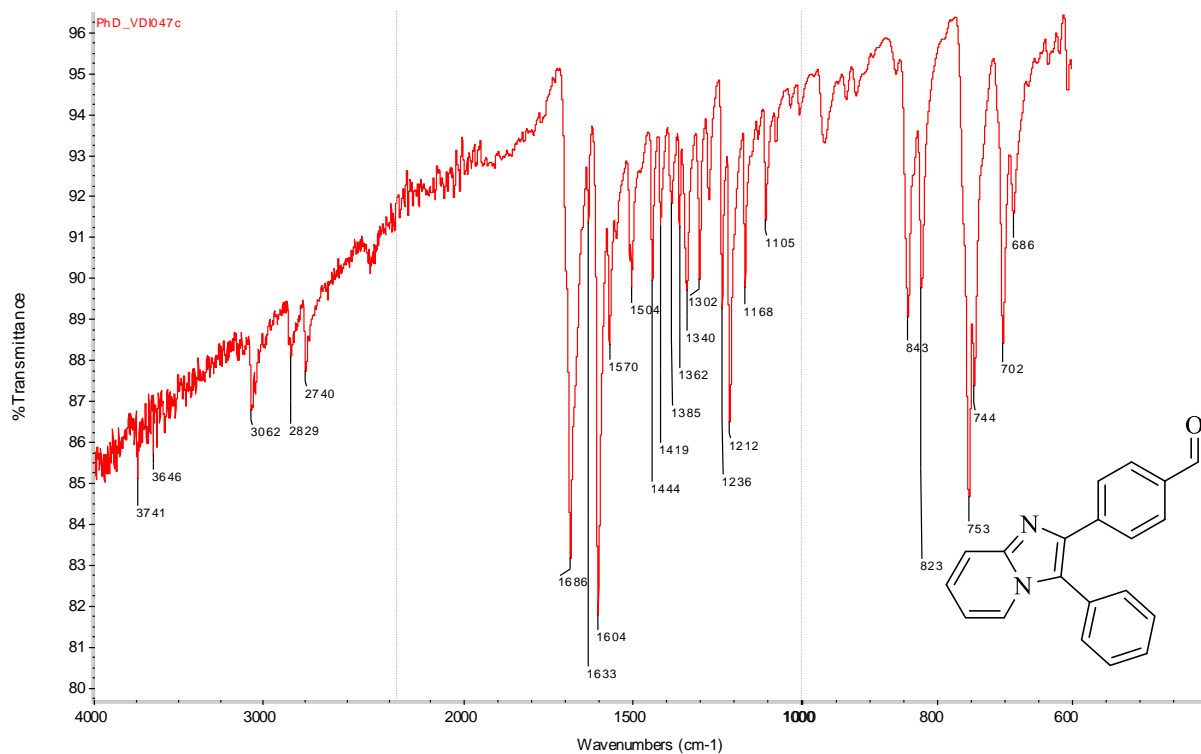


## A IR spectra

## A.1.3 4-(3-Phenylimidazo[1,2-a]pyridin-2-yl)benzonitrile – 3.5



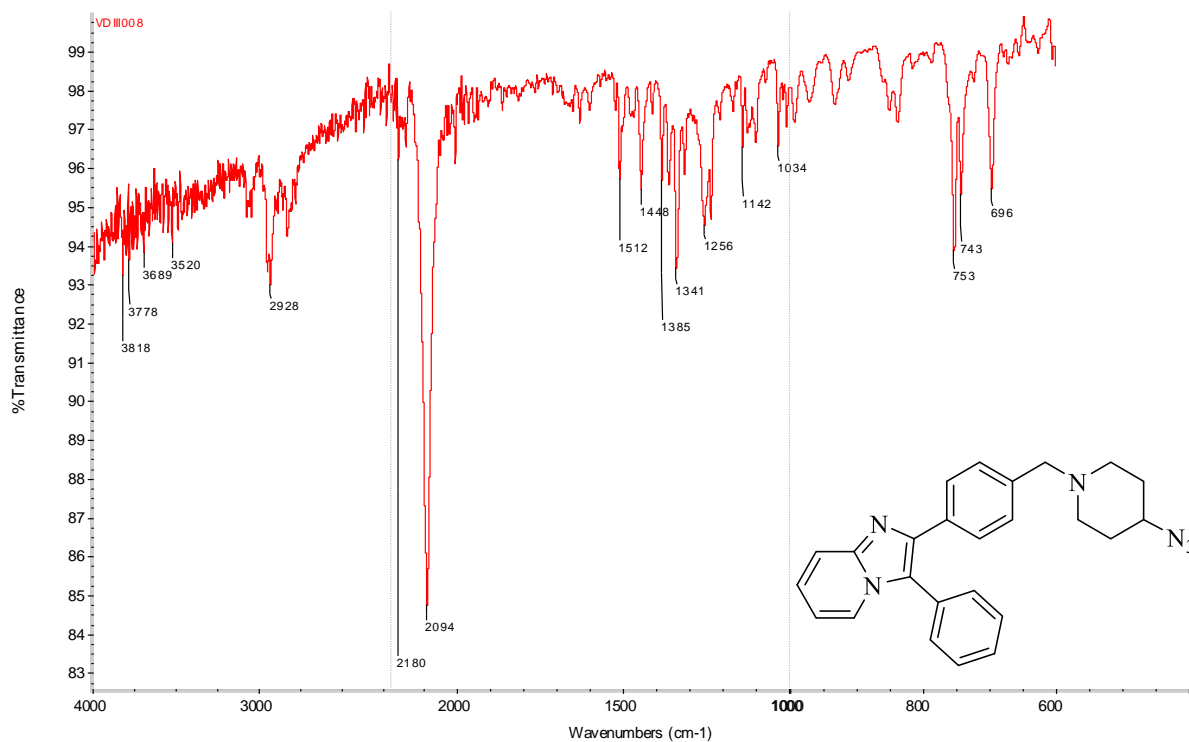
## A.1.4 4-(3-Phenylimidazo[1,2-a]pyridin-2-yl)benzaldehyde – 3.3



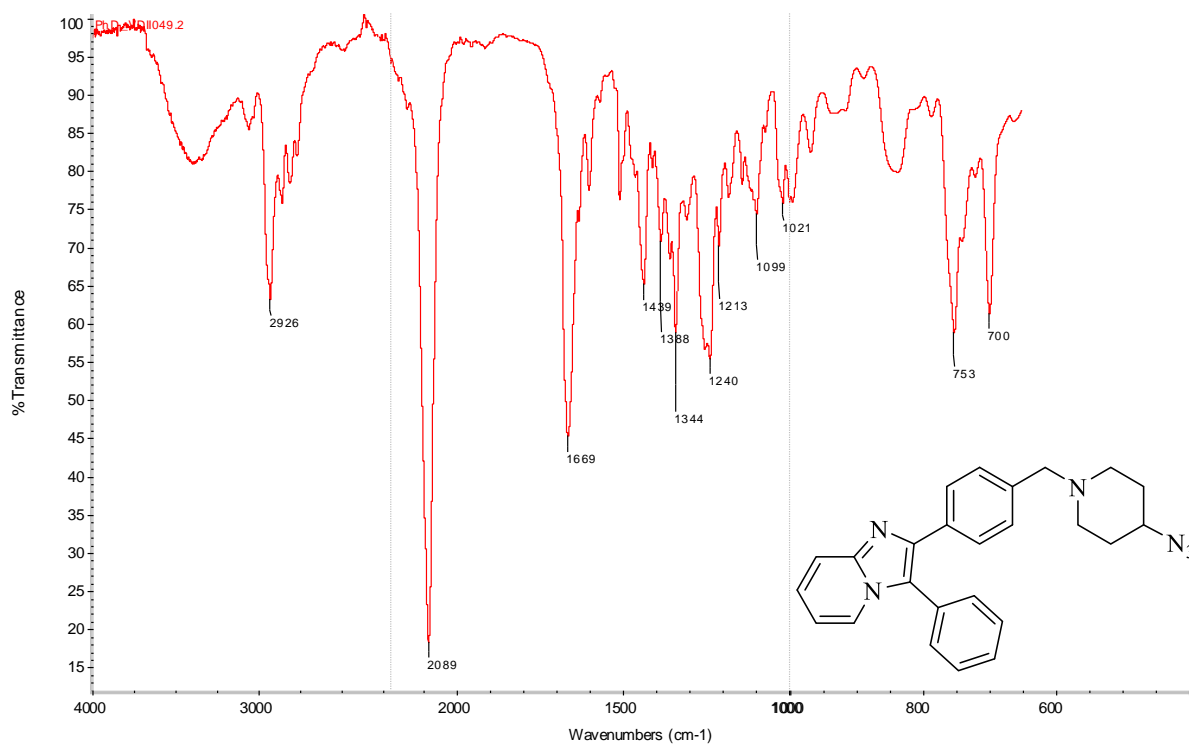
## A IR spectra

A.1.5 2-{4-[(4-Azidopiperidin-1-yl)methyl]phenyl}-  
3-phenylimidazo[1,2-a]pyridine – 3.1

IR spectrum for pure **3.1** from our previous project (for comparison with the spectrum from procedure **B** for **3.1** synthesis).<sup>1</sup>

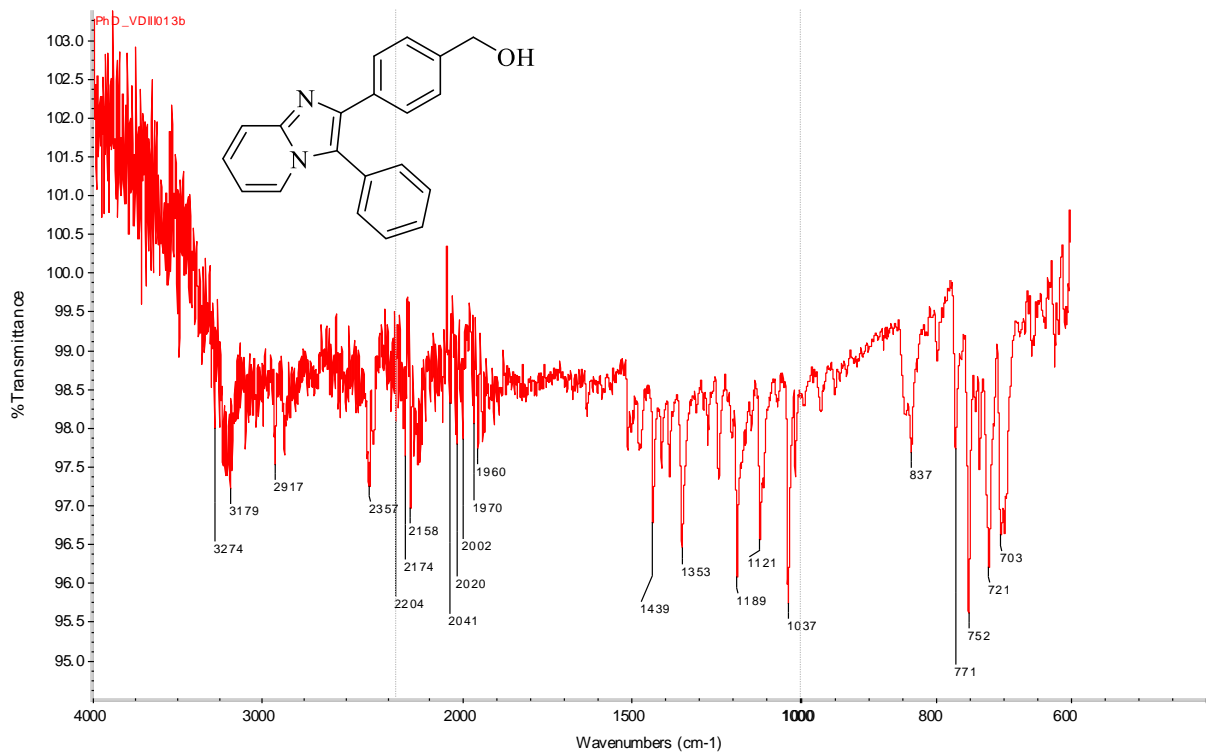


IR spectrum for **3.1** from procedure **B** for its synthesis.

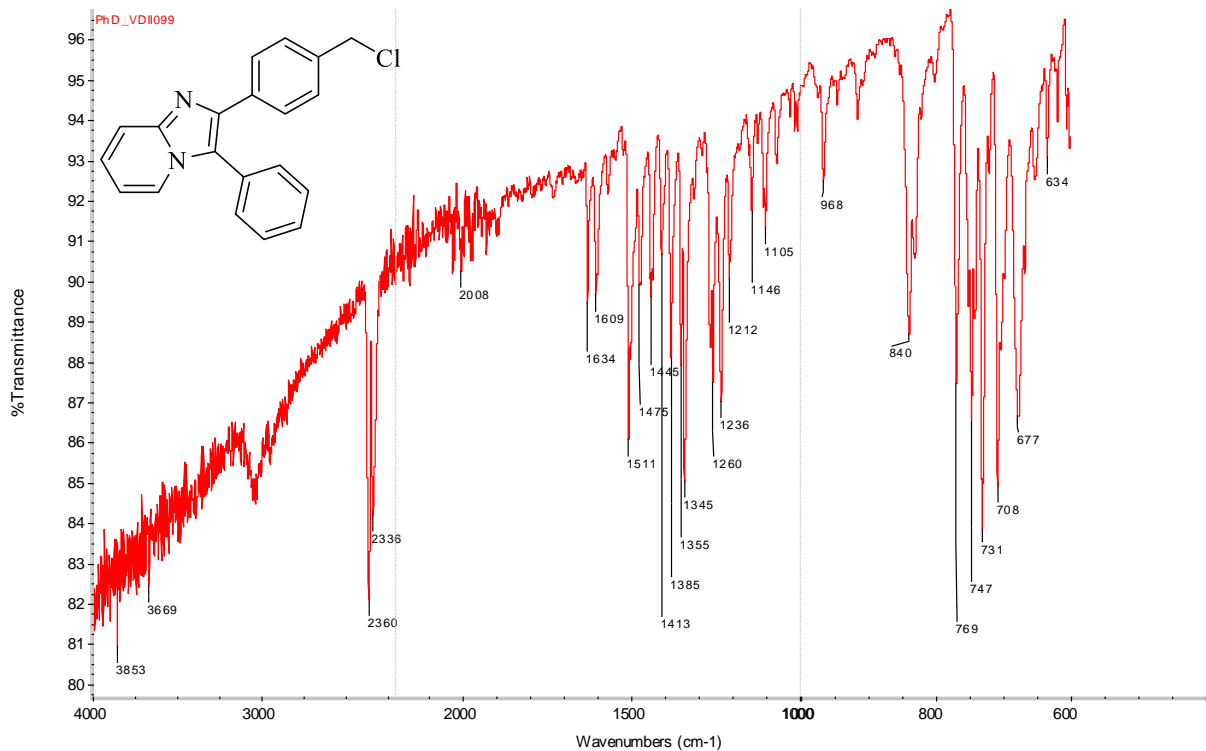


## A IR spectra

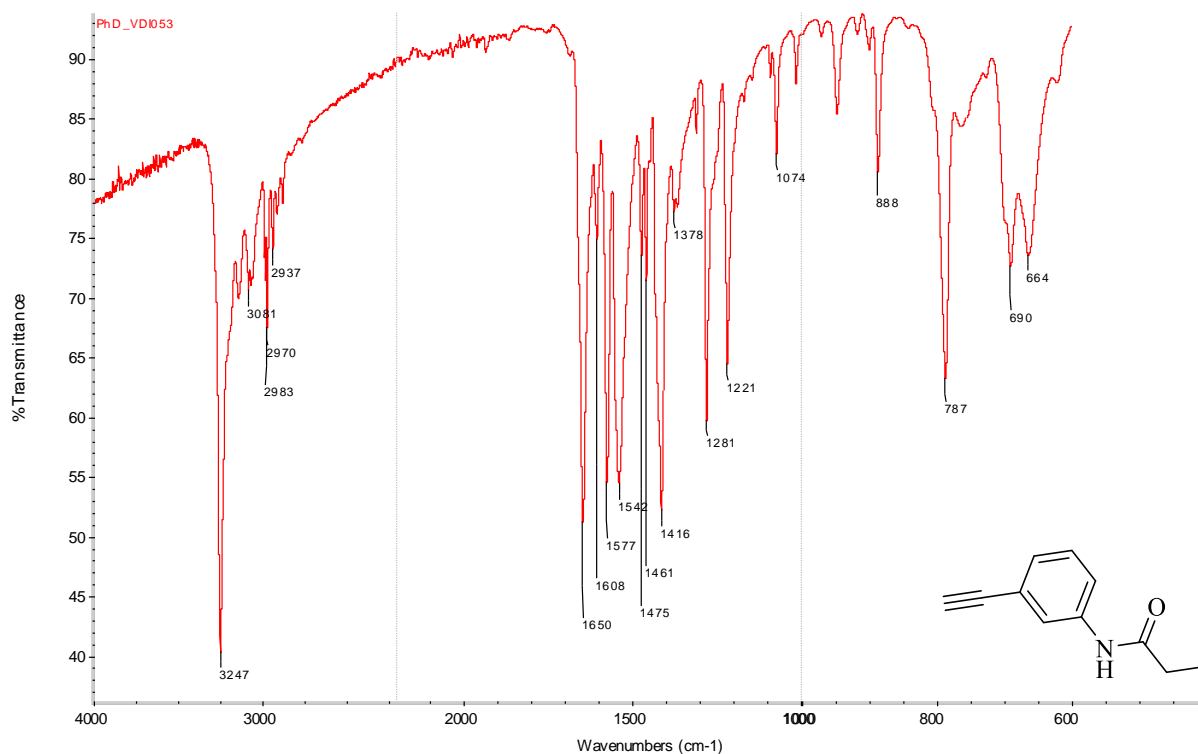
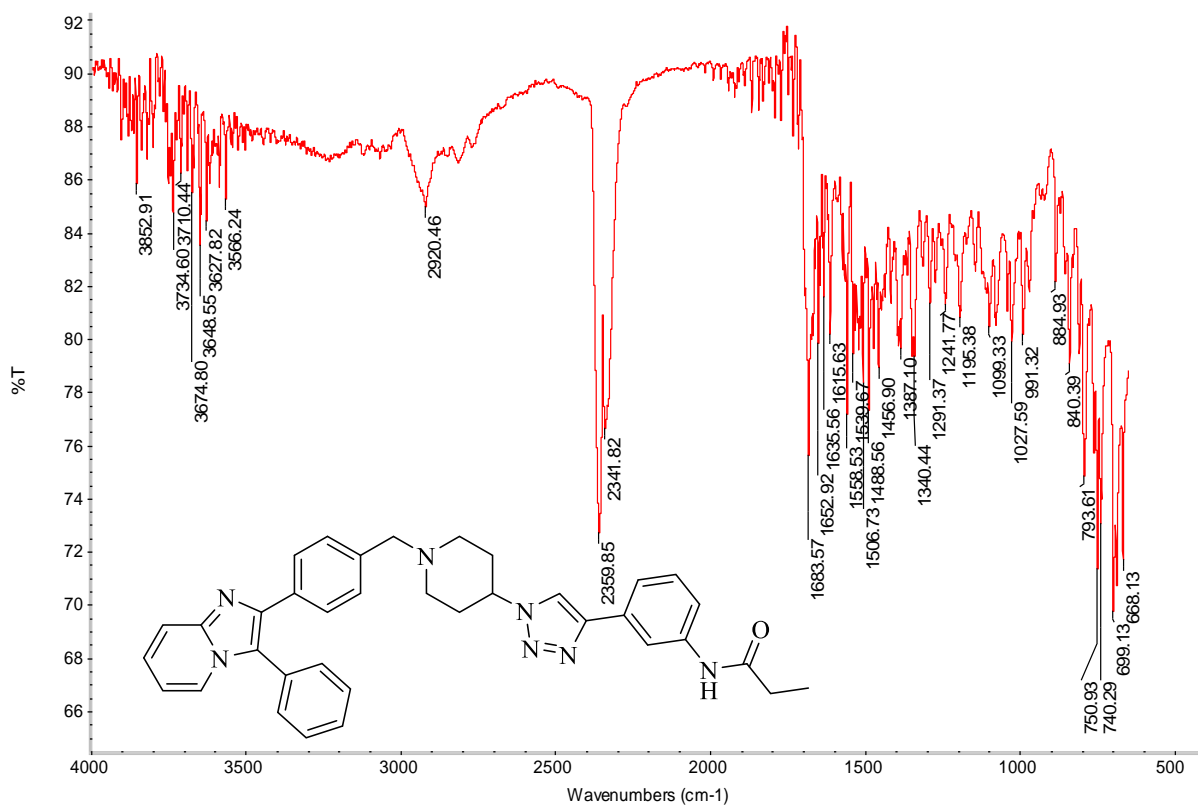
## A.1.6 [4-(3-Phenylimidazo[1,2-a]pyridin-2-yl)phenyl]methanol – 3.18



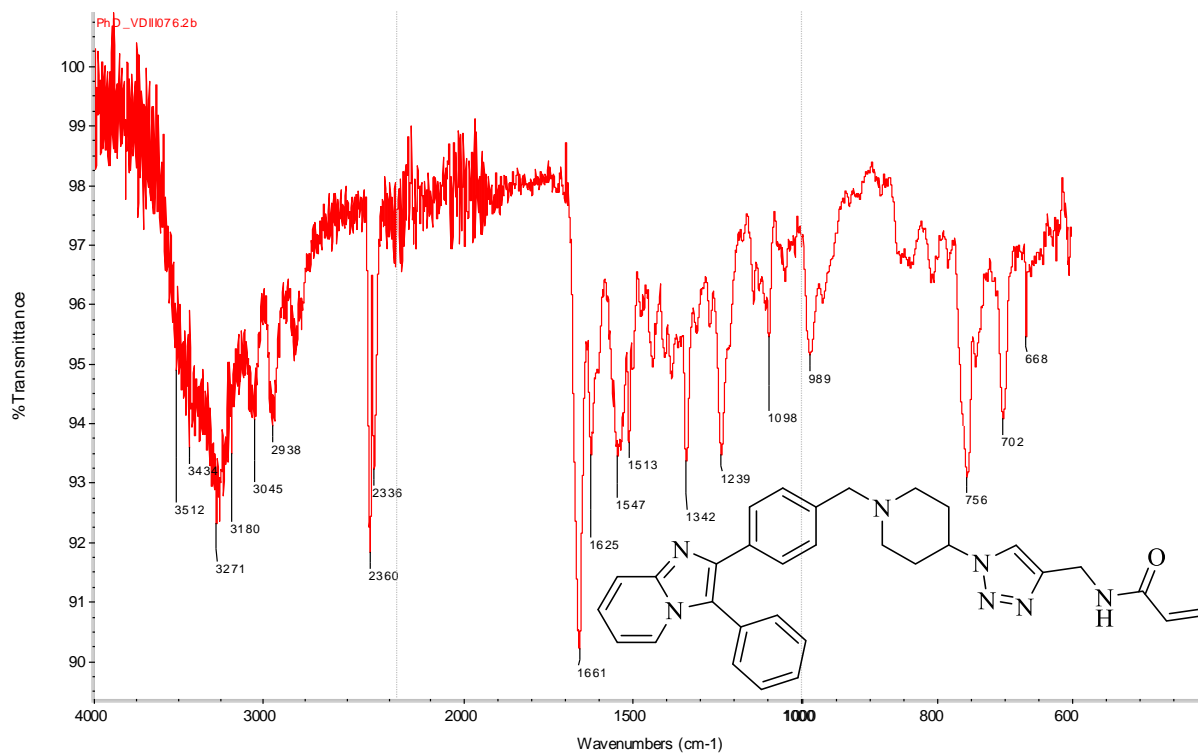
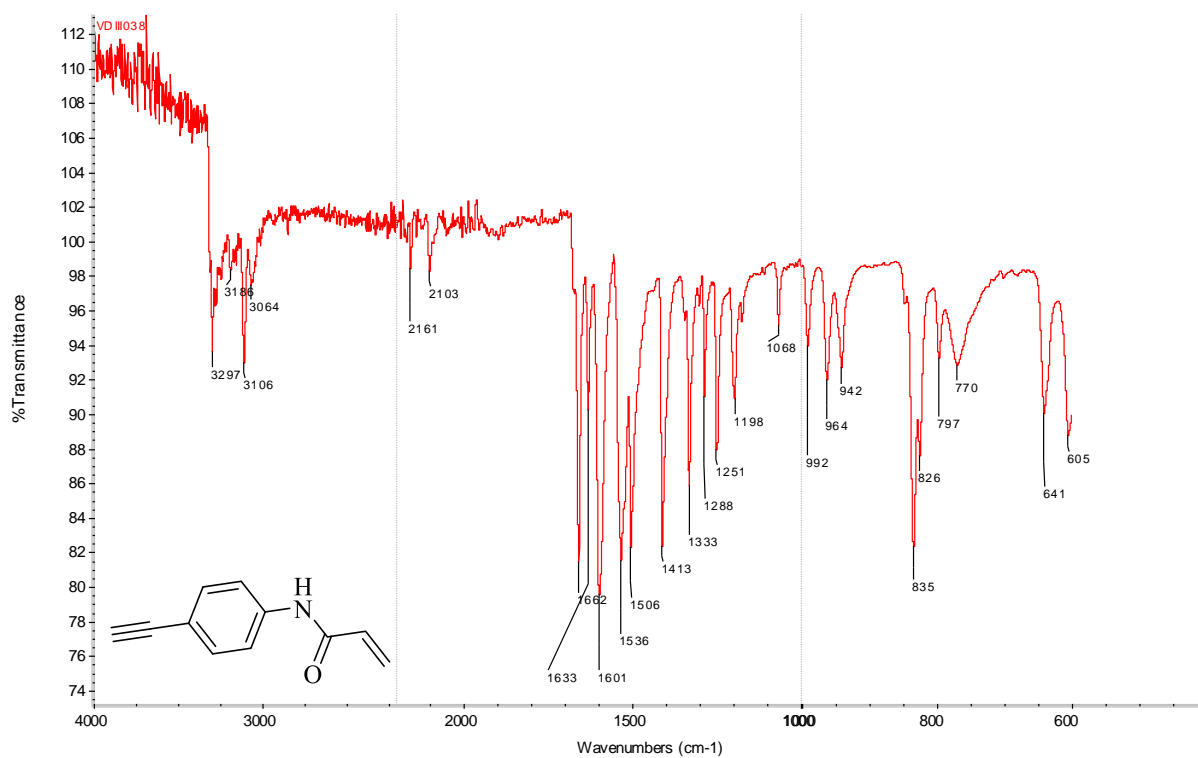
## A.1.7 2-[4-(Chloromethyl)phenyl]-3-phenylimidazo[1,2-a]pyridine – 3.20



## A IR spectra

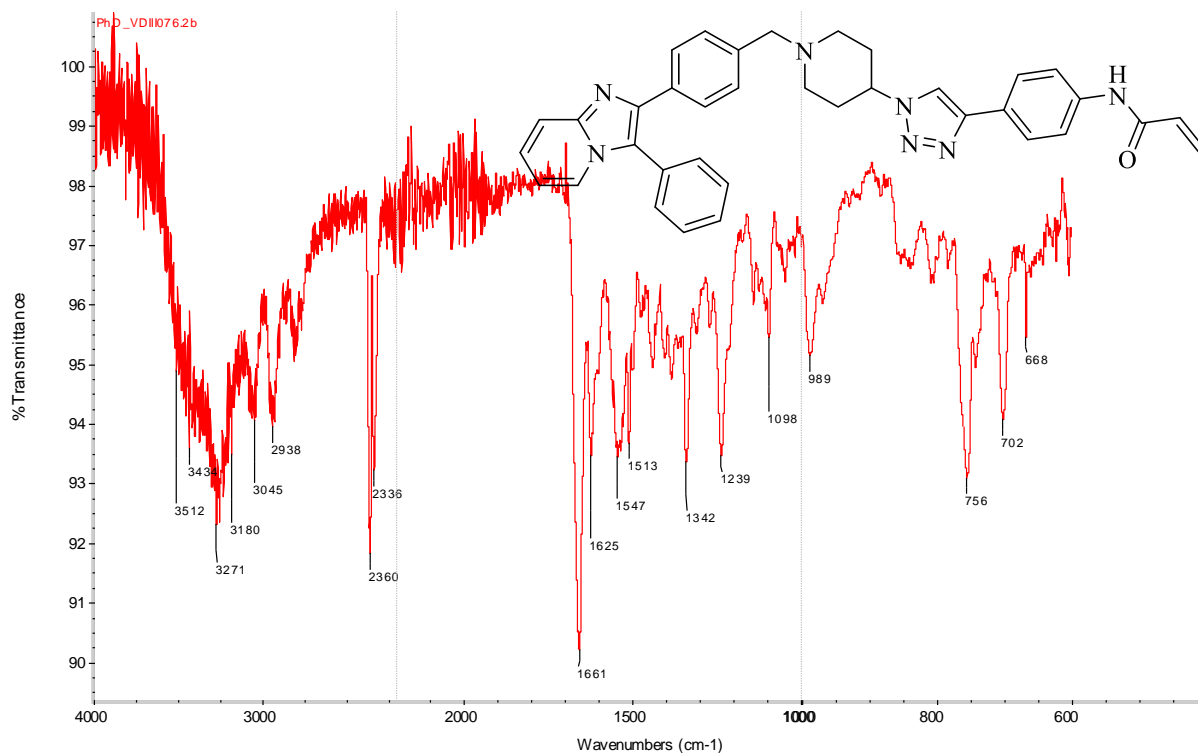
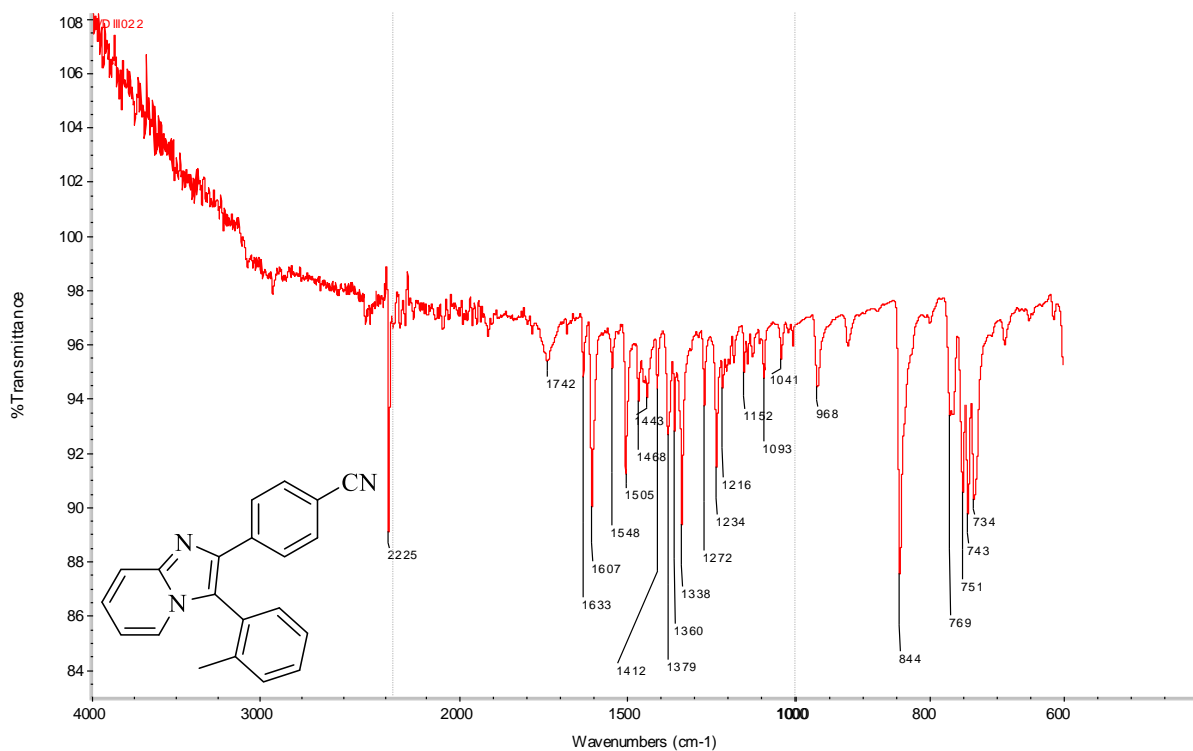
A.1.8 *N*-(3-Ethynylphenyl)propionamide – 3.2A.1.9 *N*-[3-(1-{1-[4-(3-Phenylimidazo[1,2-*a*]pyridin-2-yl)benzyl]piperidin-4-yl}-1*H*-1,2,3-triazol-4-yl)phenyl]propionamide – 2.68

## A IR spectra

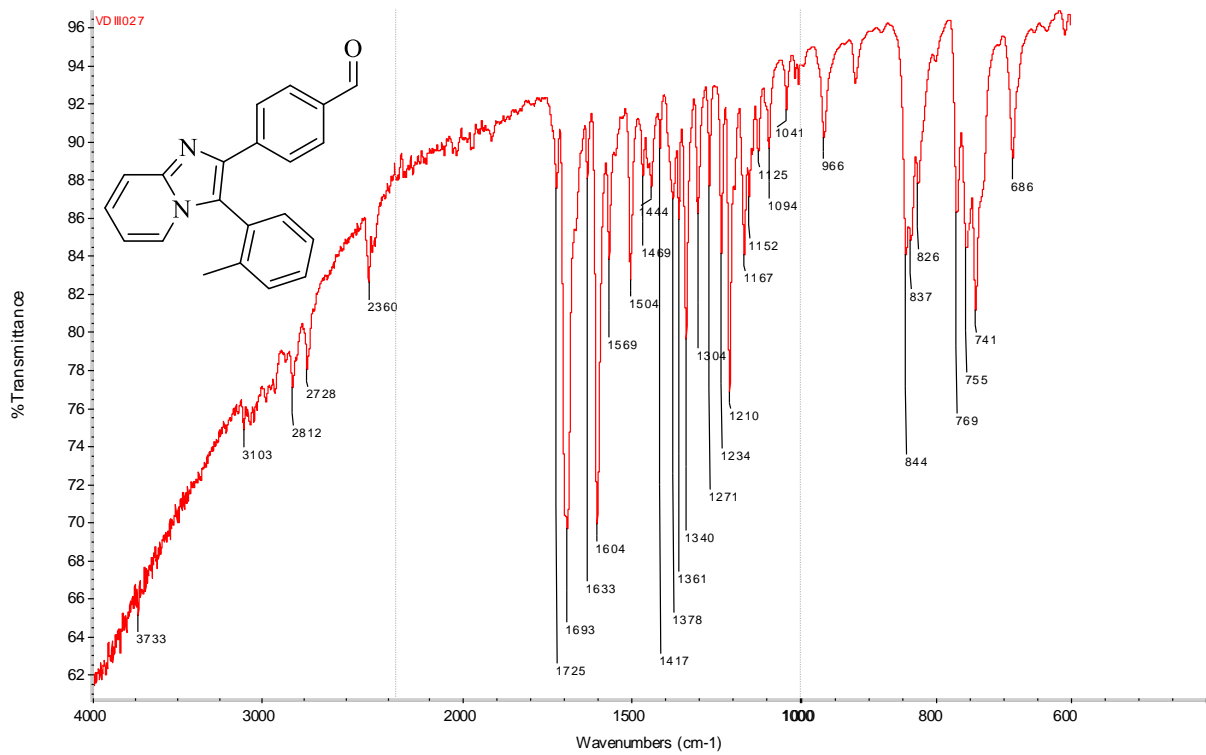
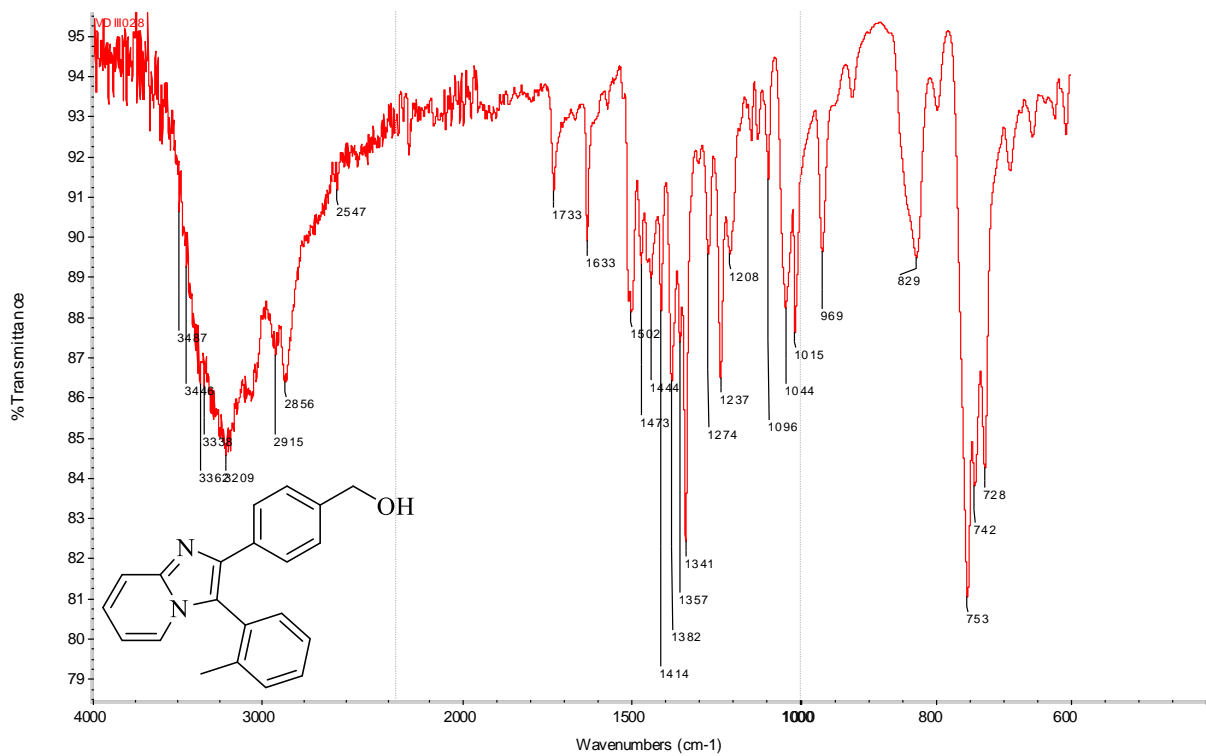
**A.1.10 *N*-[(1-{1-[4-(3-Phenylimidazo[1,2-*a*]pyridin-2-yl)benzyl]piperidin-4-yl}-1*H*-1,2,3-triazol-4-yl)methyl]acrylamide – 2.69****A.1.11 *N*-(4-Ethynylphenyl)acrylamide – 3.26**



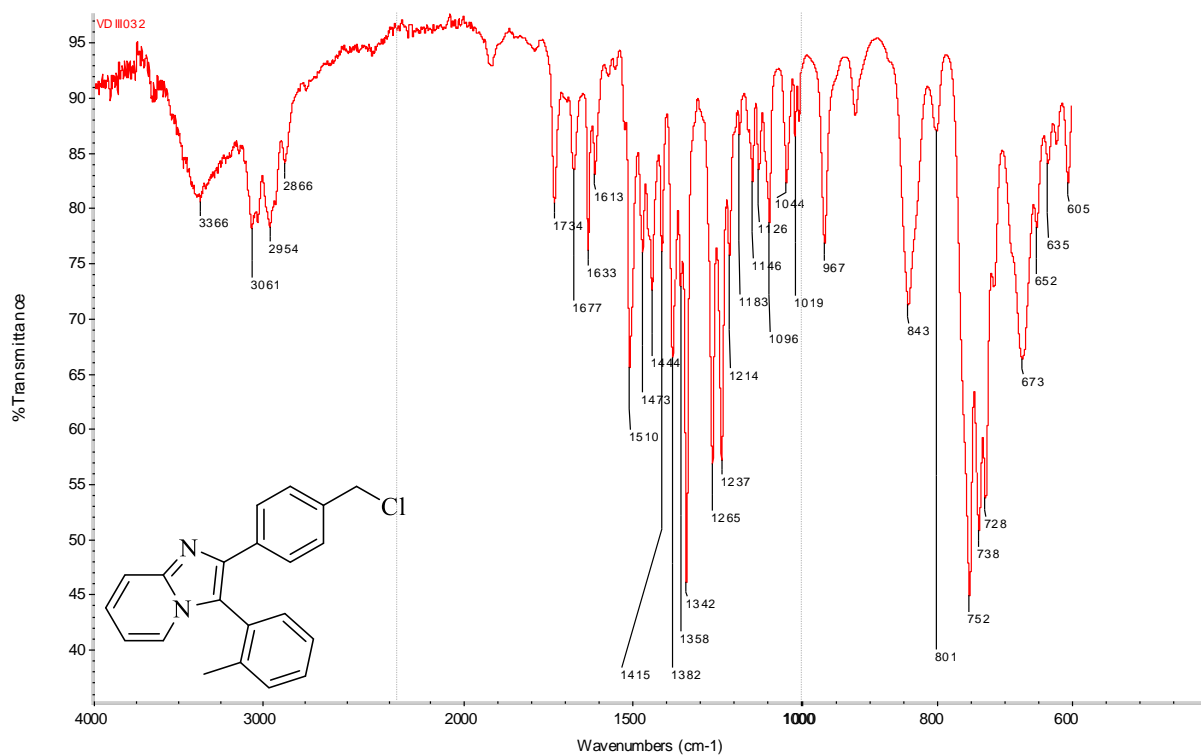
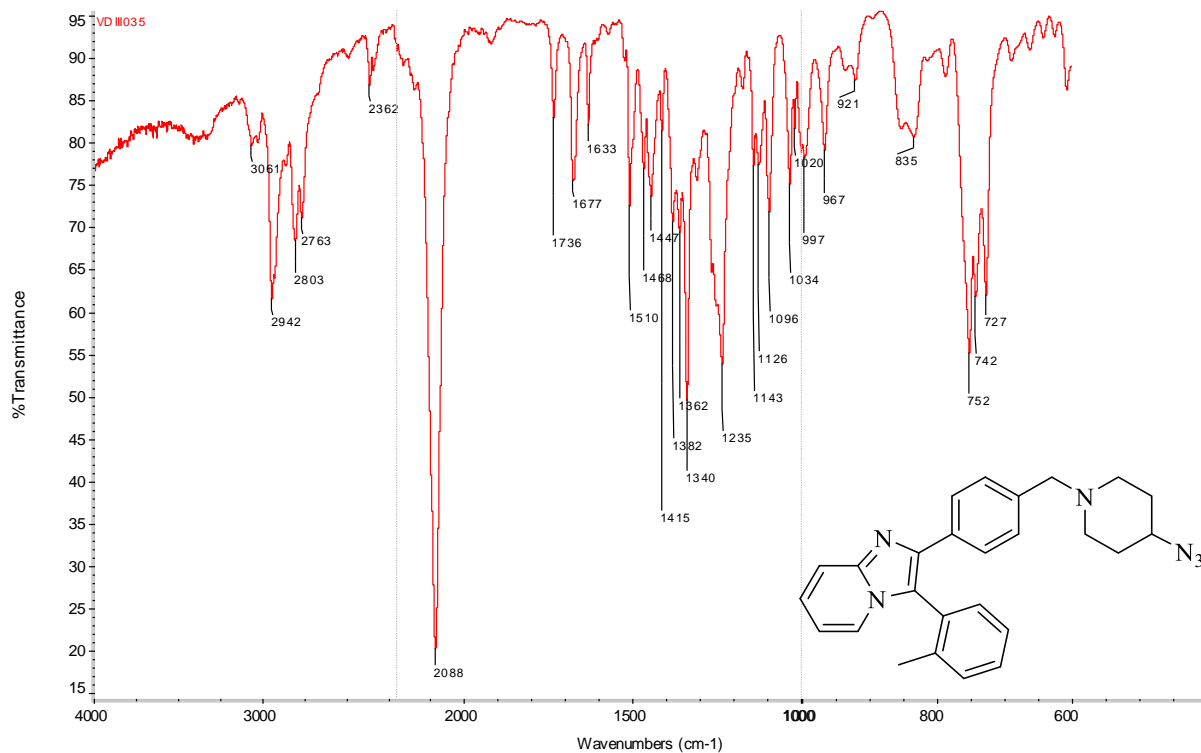
## A IR spectra

**A.1.12 *N*-[4-(1-[4-(3-phenylimidazo[1,2-*a*]pyridin-2-yl)benzyl]piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl]phenyl]acrylamide – 2.64****A.2 Chapter 4 compounds****A.2.1 4-[3-(*o*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]benzonitrile – 4.7**

## A IR spectra

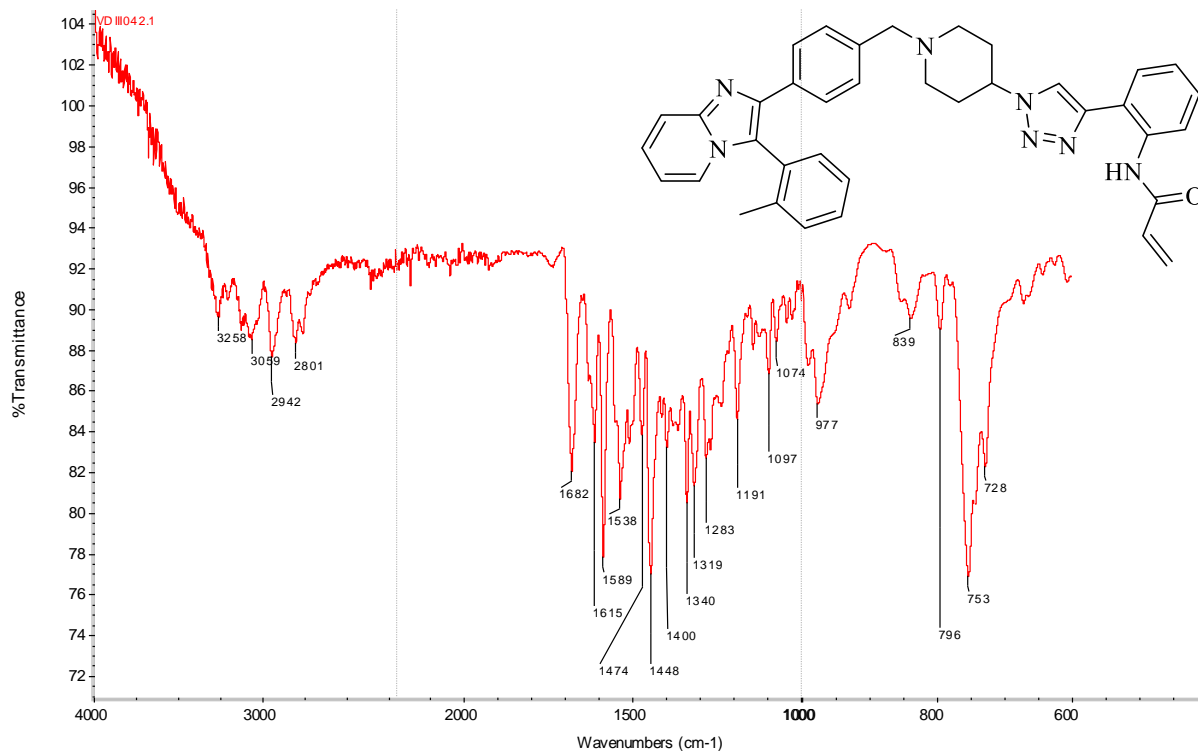
A.2.2 4-[3-(*o*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]benzaldehyde – 4.11A.2.3 {4-[3-(*o*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]phenyl}methanol – 4.12

## A IR spectra

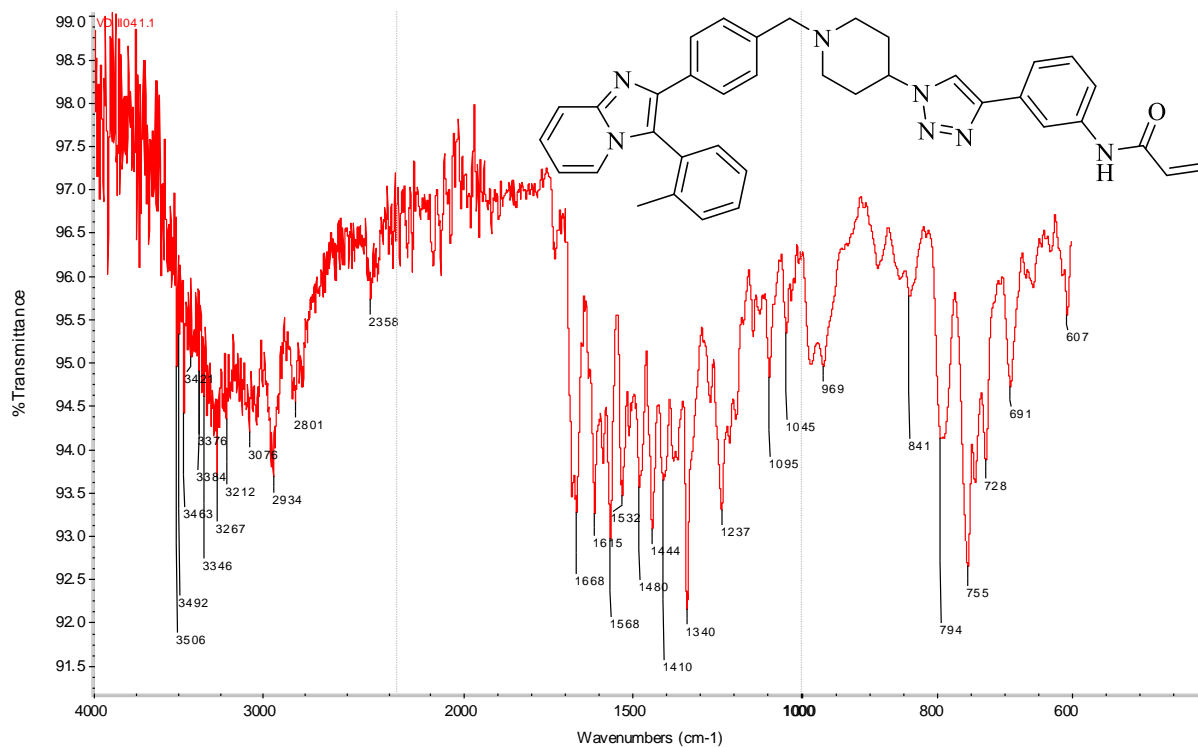
A.2.4 2-[4-(Chloromethyl)phenyl]-3-(*o*-tolyl)imidazo[1,2-*a*]pyridine – 4.8A.2.5 2-[4-[(4-Azidopiperidin-1-yl)methyl]phenyl]-3-(*o*-tolyl)-imidazo[1,2-*a*]pyridine – 4.9

## A IR spectra

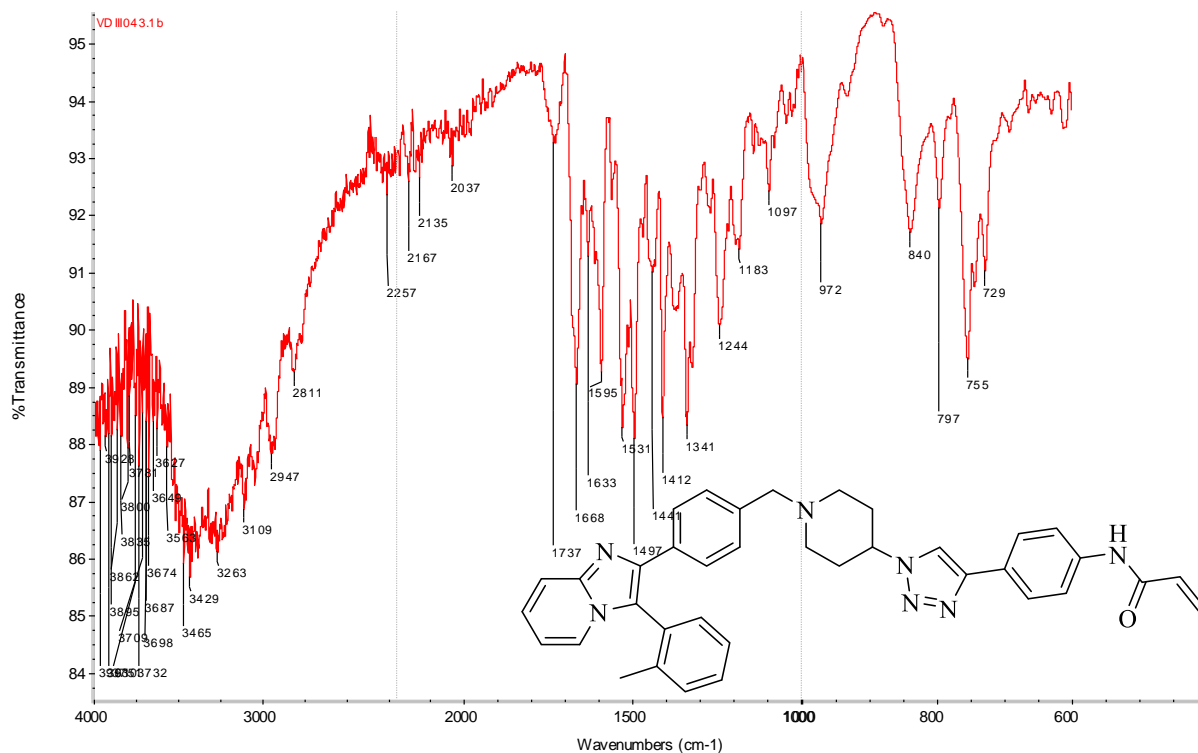
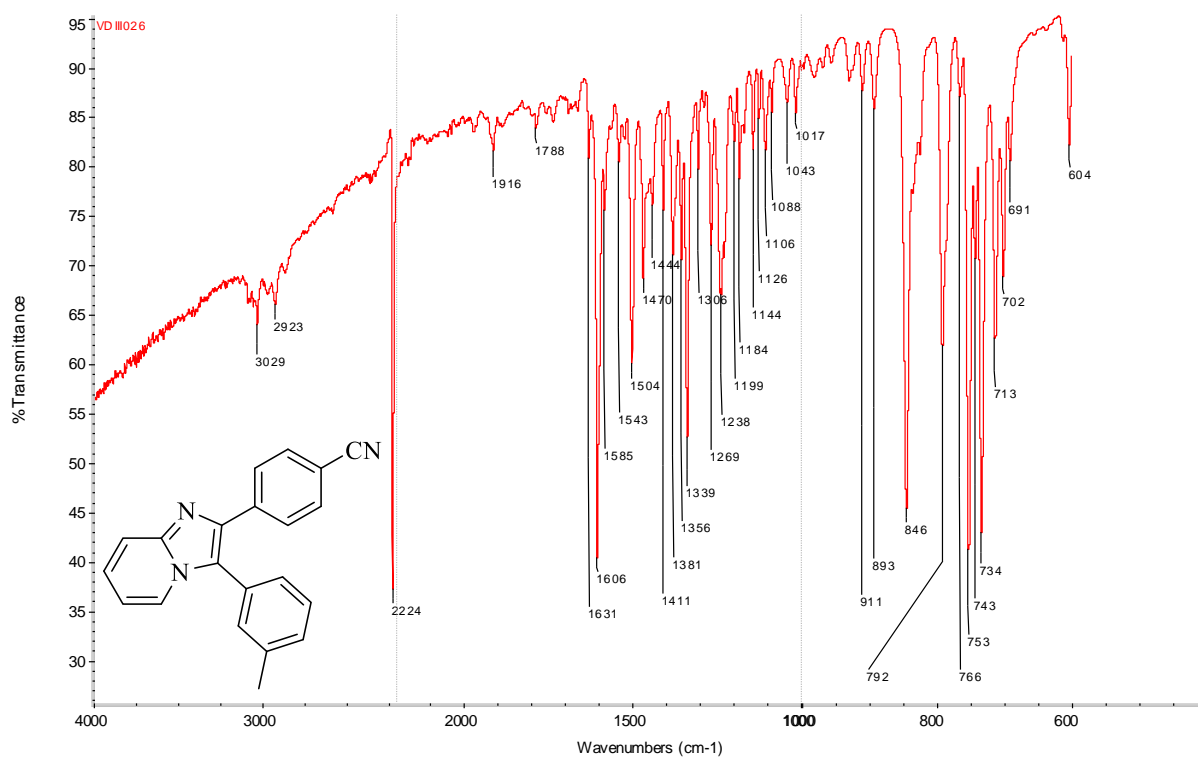
**A.2.6 N-{2-[1-(1-{4-[3-(*o*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]benzyl}piperidin-4-yl)-1H-1,2,3-triazol-4-yl]phenyl}acrylamide – 4.1**



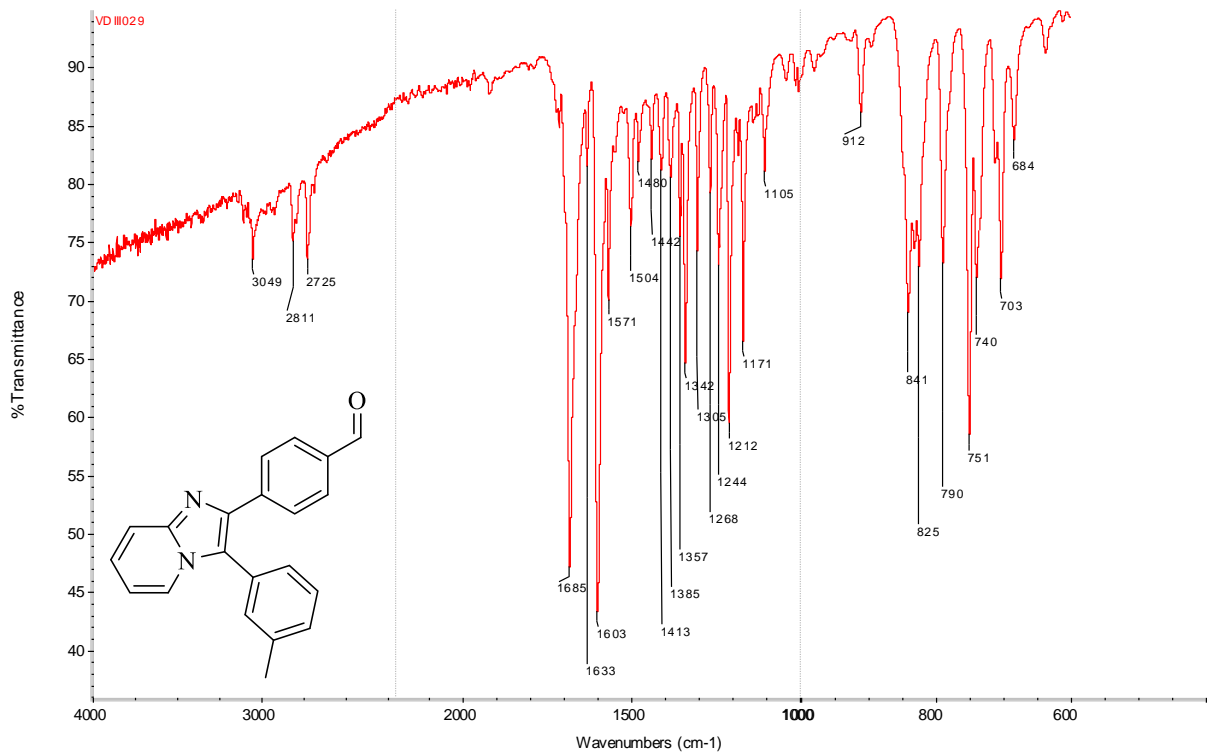
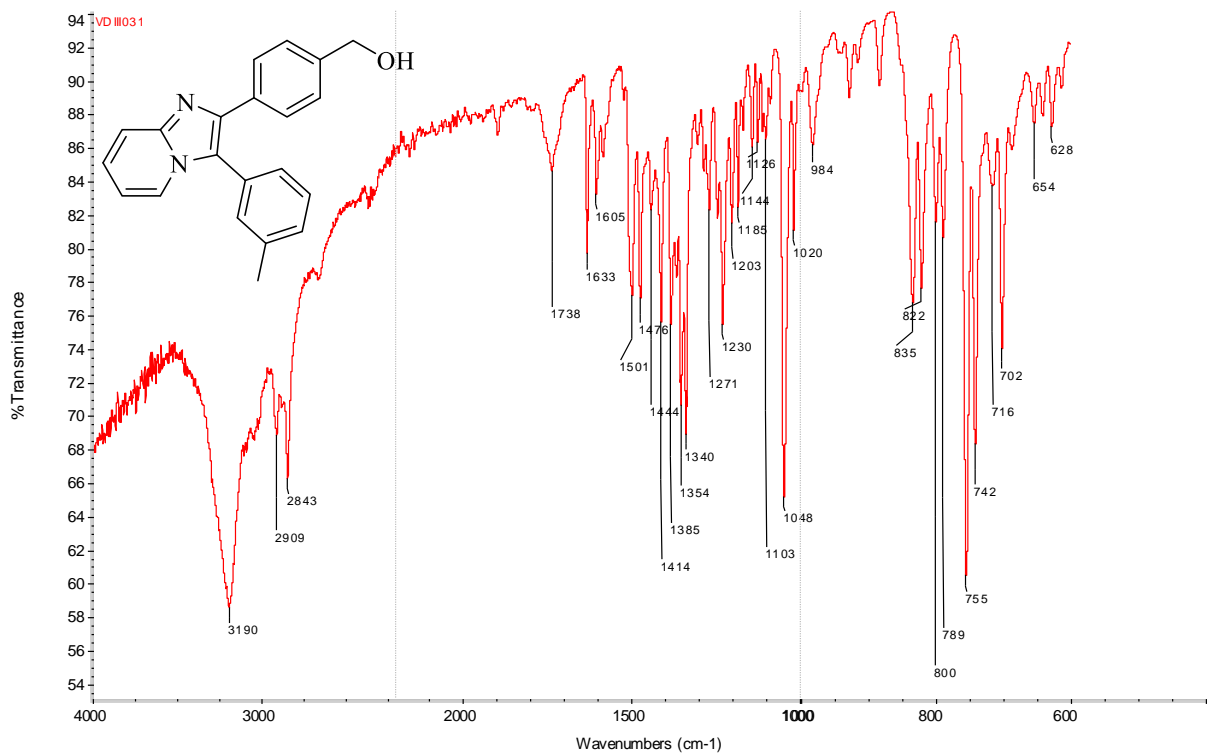
**A.2.7 N-{3-[1-(1-{4-[3-(*o*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]benzyl}piperidin-4-yl)-1H-1,2,3-triazol-4-yl]phenyl}acrylamide – 4.2**



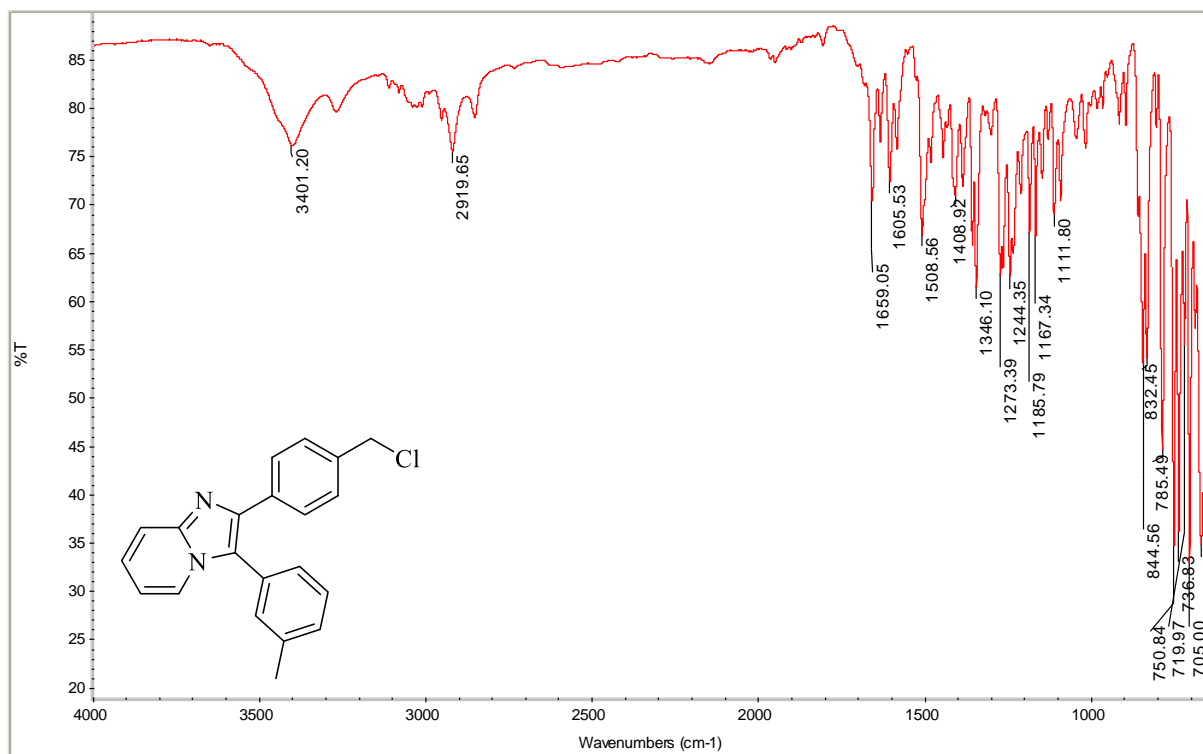
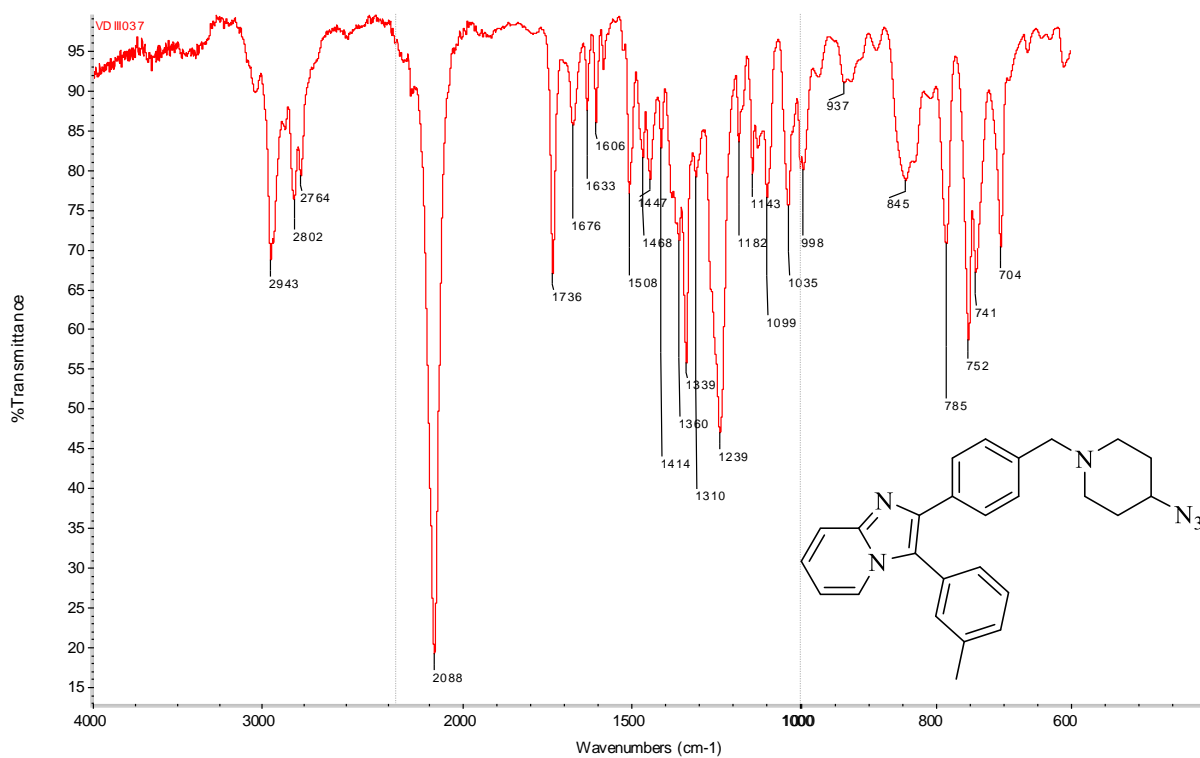
## A IR spectra

**A.2.8 *N*-{4-[1-(1-[4-[3-(*o*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl)benzyl]-piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl]phenyl}acrylamide – 4.3****A.2.9 4-[3-(*m*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]benzonitrile – 4.16**

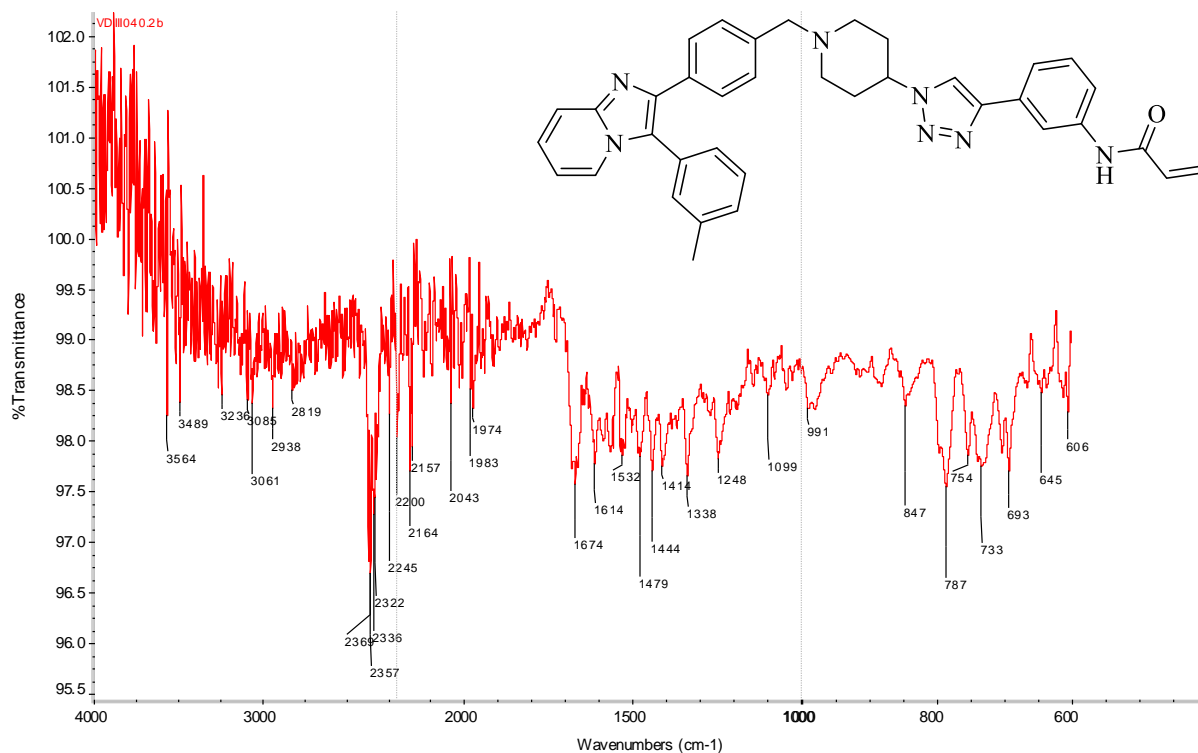
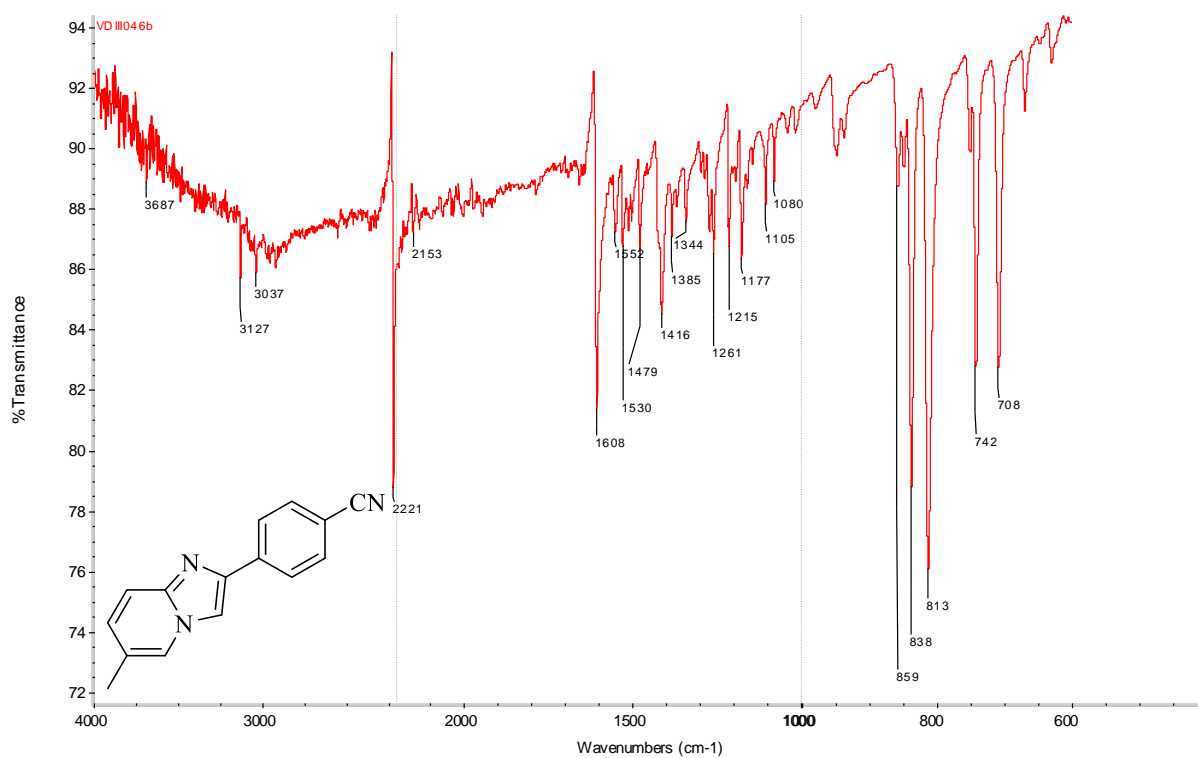
## A IR spectra

A.2.10 4-[3-(*m*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]benzaldehyde – 4.17A.2.11 {4-[3-(*m*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]phenyl}methanol – 4.18

## A IR spectra

A.2.12 2-[4-(Chloromethyl)phenyl]-3-(*m*-tolyl)imidazo[1,2-*a*]pyridine – 4.19A.2.13 2-{4-[(4-Azidopiperidin-1-yl)methyl]phenyl}-3-(*m*-tolyl)-imidazo[1,2-*a*]pyridine – 4.20

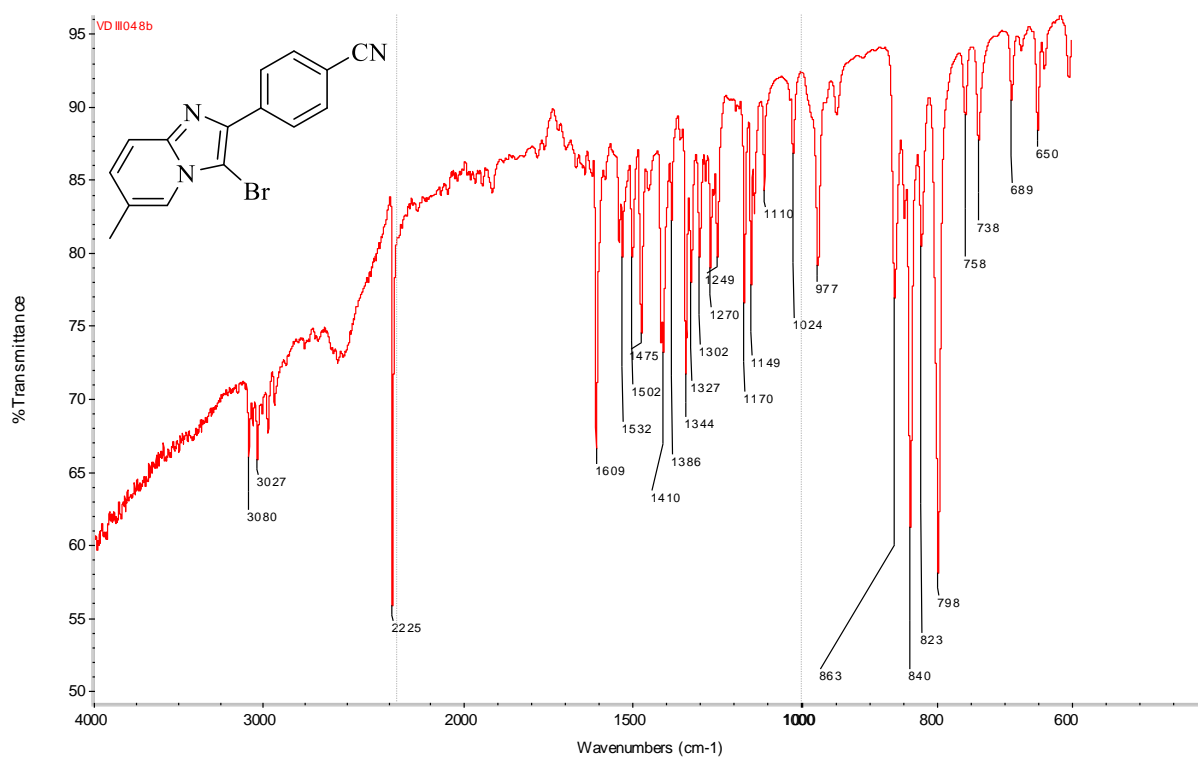
## A IR spectra

**A.2.14 *N*-{3-[1-(1-{4-[3-(*m*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl)benzyl]-piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl]phenyl}acrylamide – 4.4****A.2.15 4-(6-Methylimidazo[1,2-*a*]pyridin-2-yl)benzonitrile – 4.22**

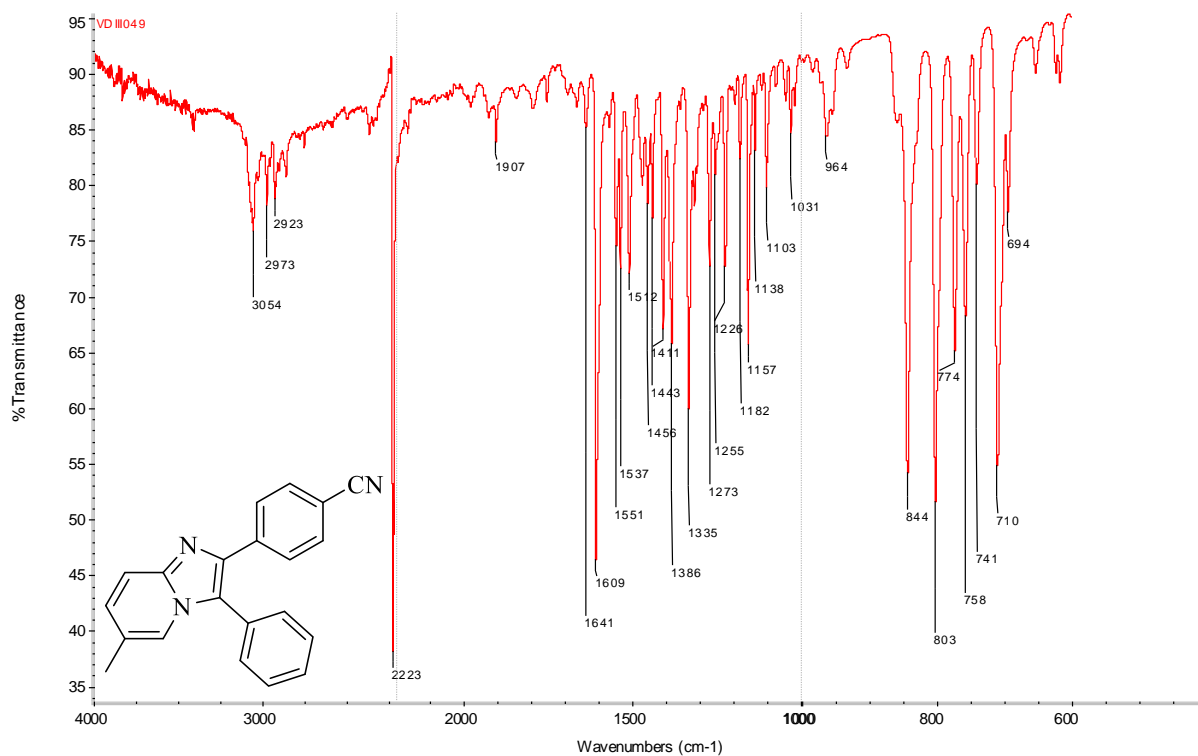


## A IR spectra

## A.2.16 4-(3-Bromo-6-methylimidazo[1,2-a]pyridin-2-yl)benzonitrile – 4.25

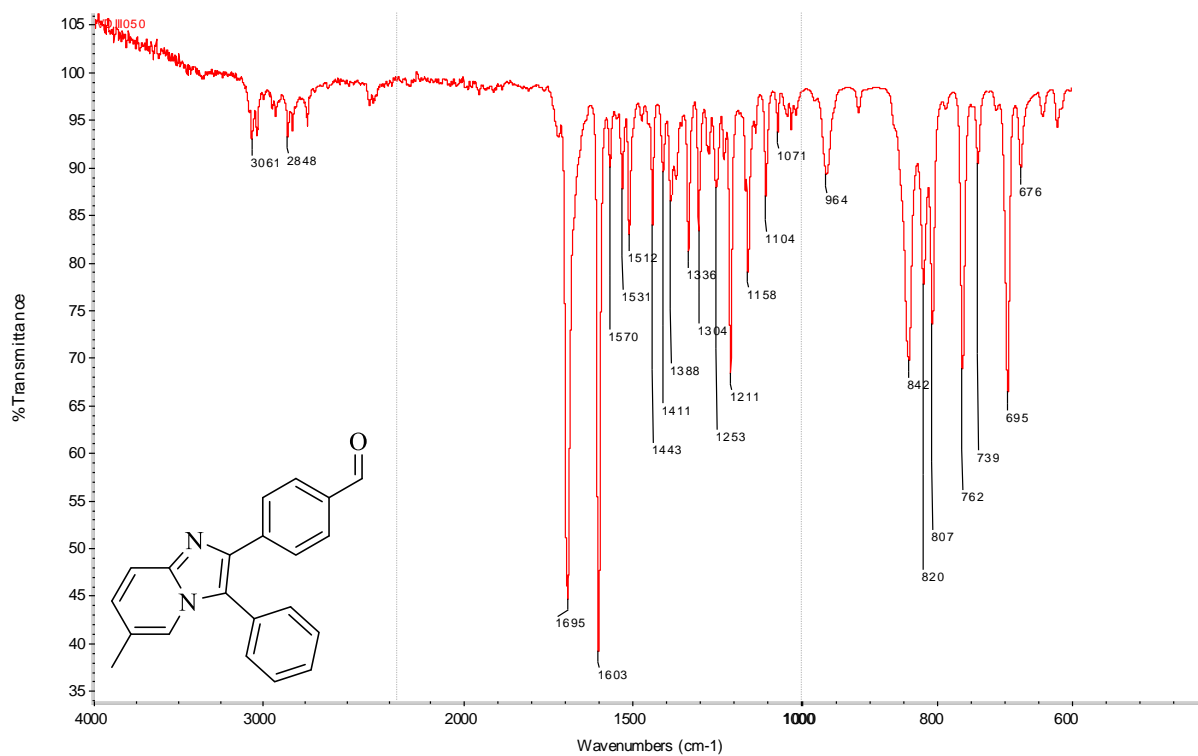


## A.2.17 4-(6-Methyl-3-phenylimidazo[1,2-a]pyridin-2-yl)benzonitrile – 4.26

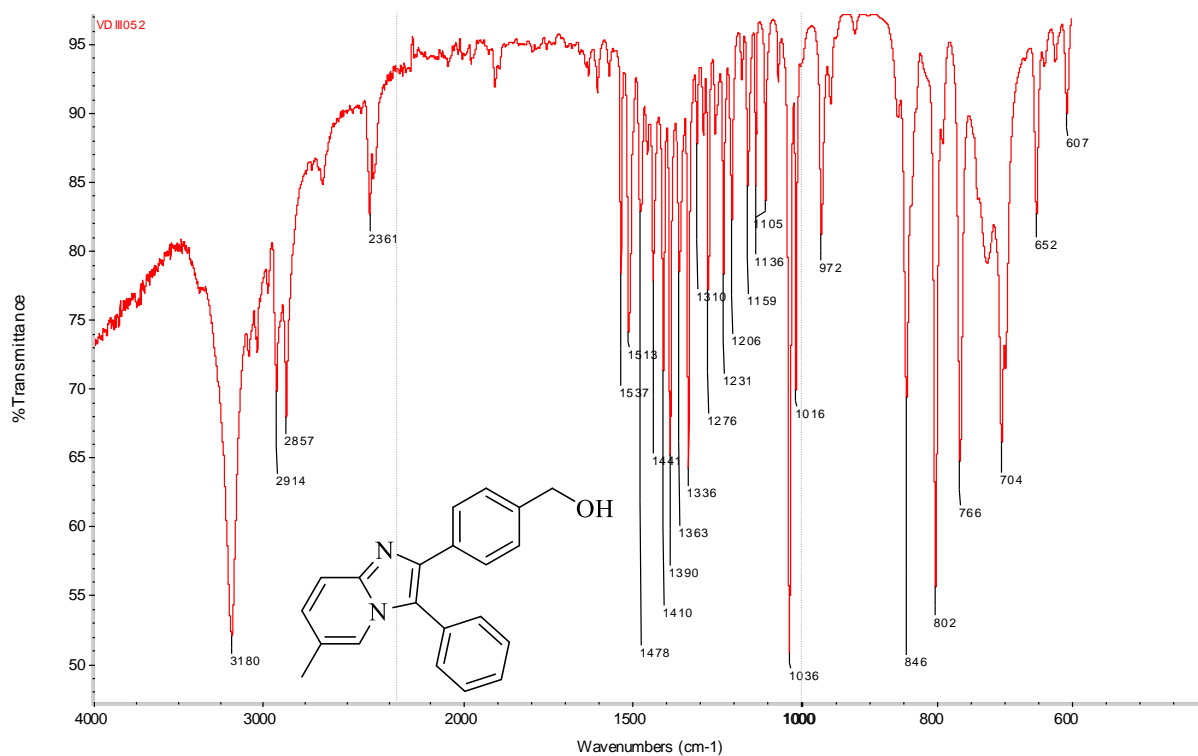


## A IR spectra

## A.2.18 4-(6-Methyl-3-phenylimidazo[1,2-a]pyridin-2-yl)benzaldehyde – 4.27



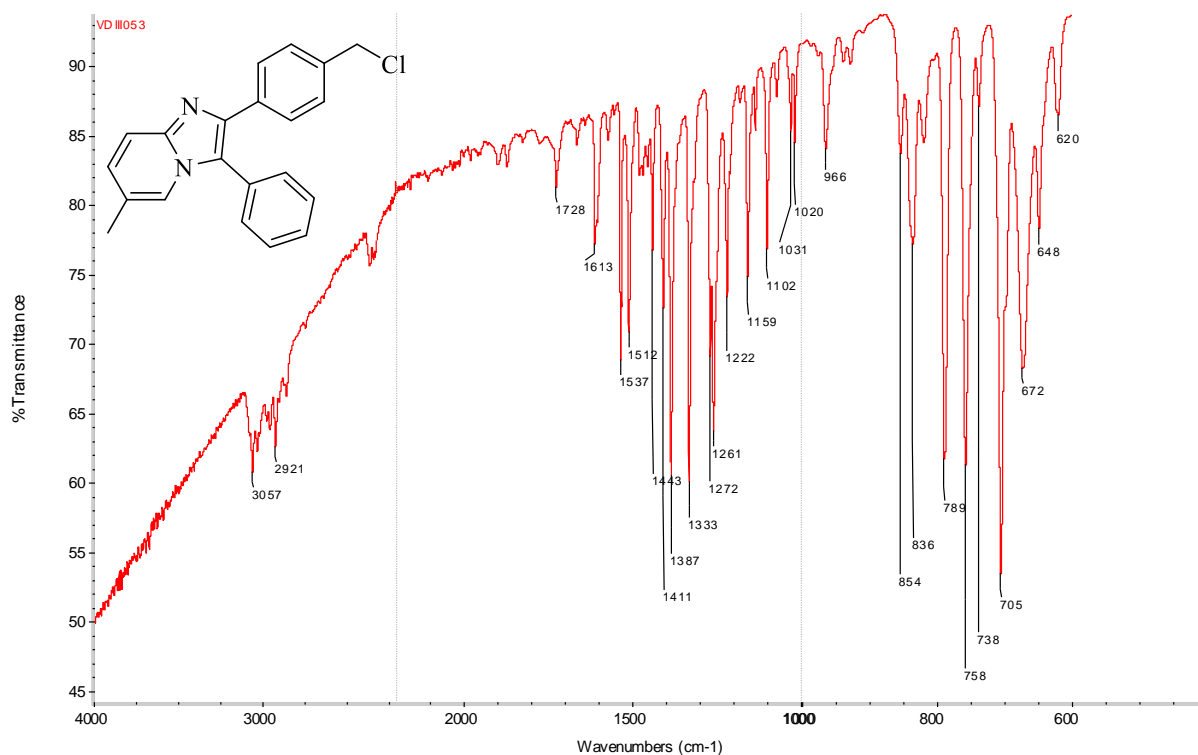
## A.2.19 [4-(6-Methyl-3-phenylimidazo[1,2-a]pyridin-2-yl)phenyl]methanol – 4.28



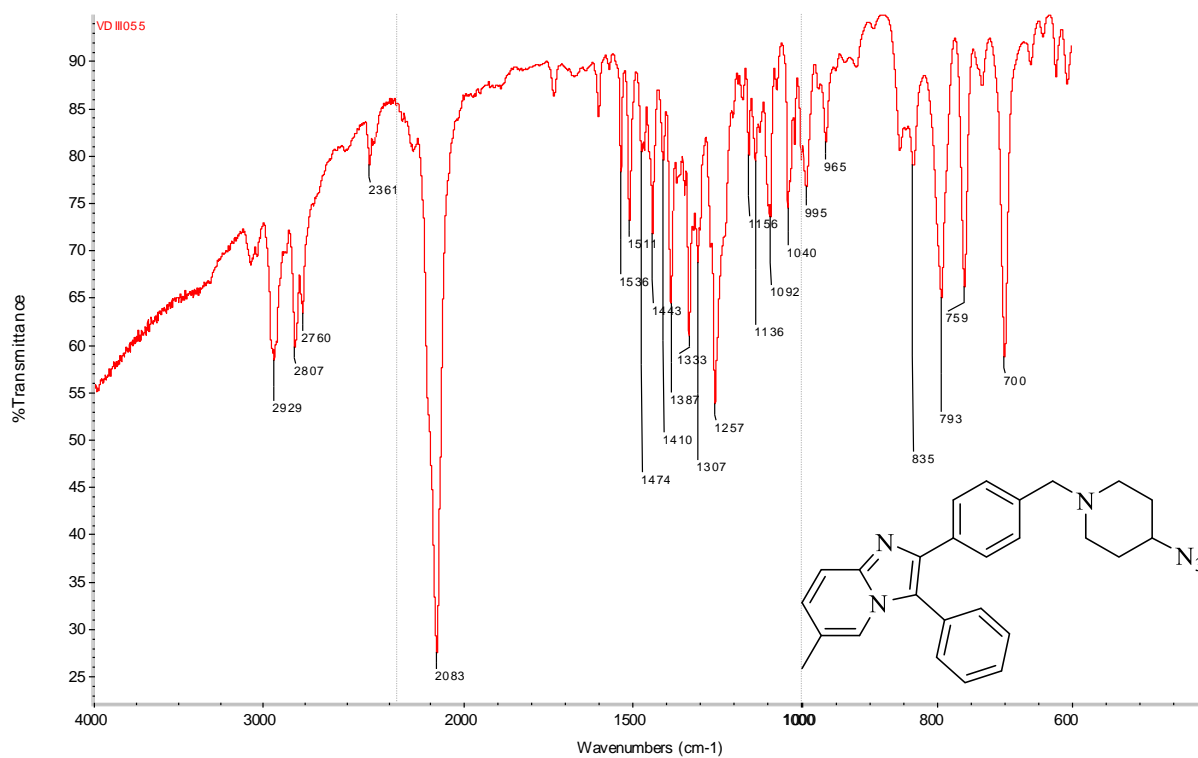
## A IR spectra

A.2.20 2-[4-(Chloromethyl)phenyl]-6-methyl-3-phenylimidazo[1,2-*a*]pyridine –

4.23

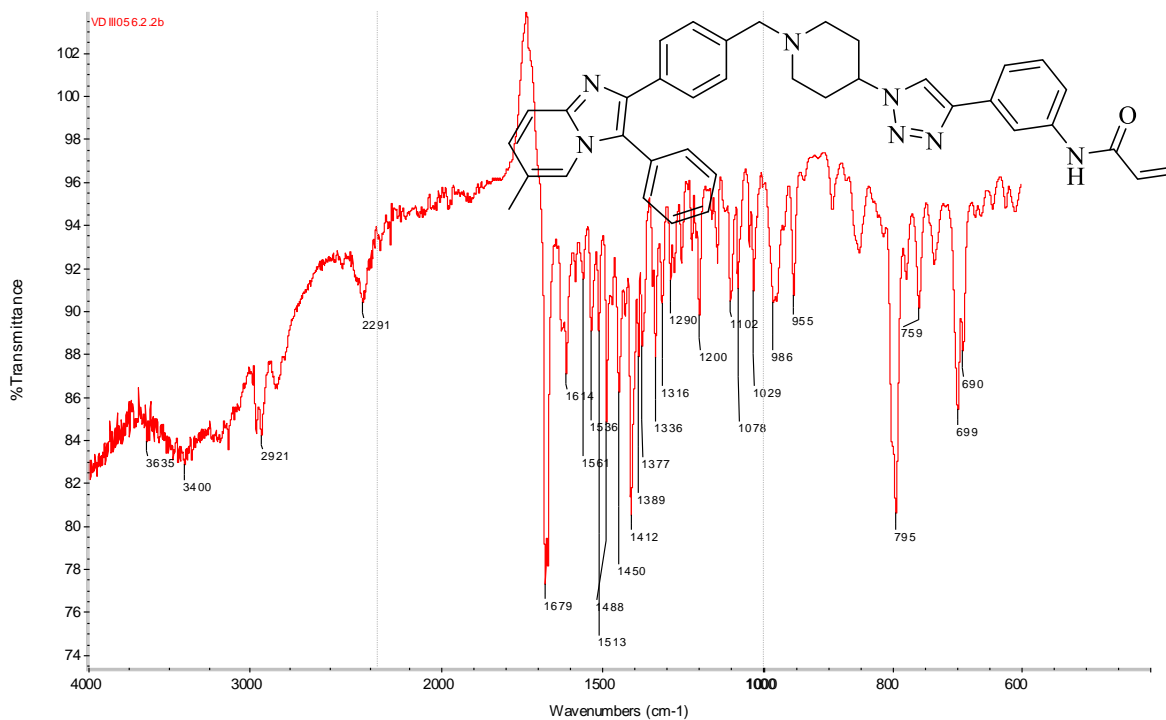


## A.2.21 2-[4-[(4-Azidopiperidin-1-yl)methyl]phenyl]-6-methyl-

3-phenylimidazo[1,2-*a*]pyridine – 4.24

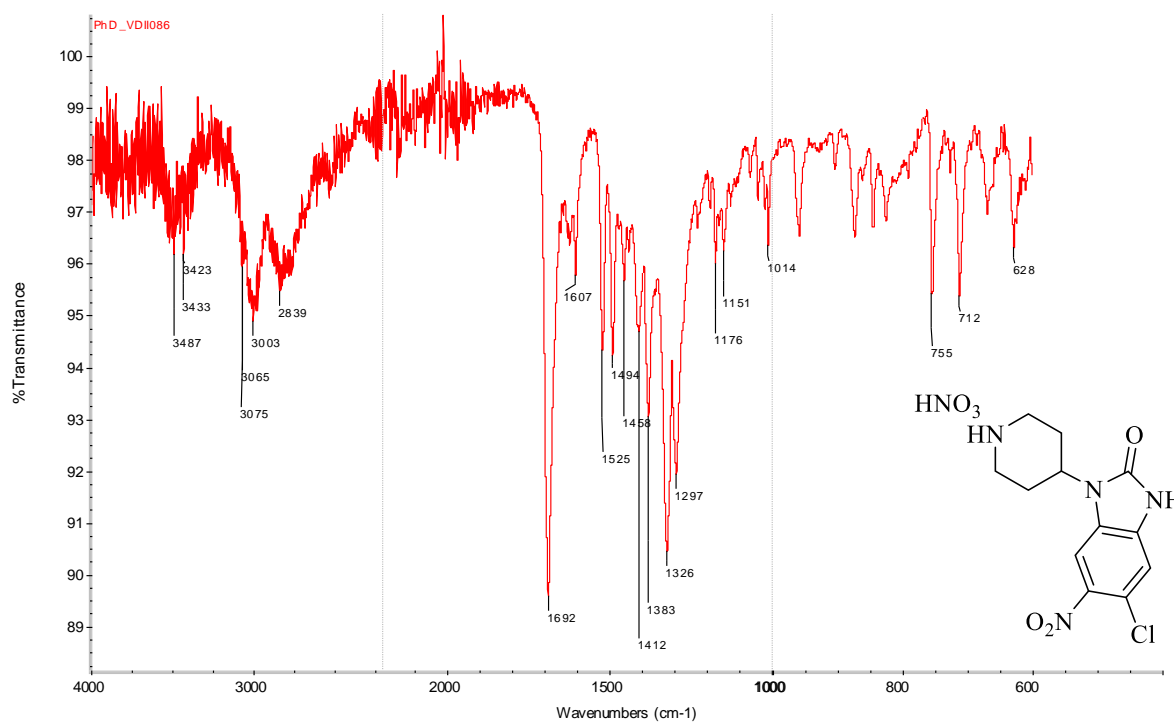
## A IR spectra

**A.2.22 N-[3-(1-{1-[4-(6-Methyl-3-phenylimidazo[1,2-a]pyridin-2-yl)benzyl]-piperidin-4-yl}-1H-1,2,3-triazol-4-yl)phenyl]acrylamide – 4.5**



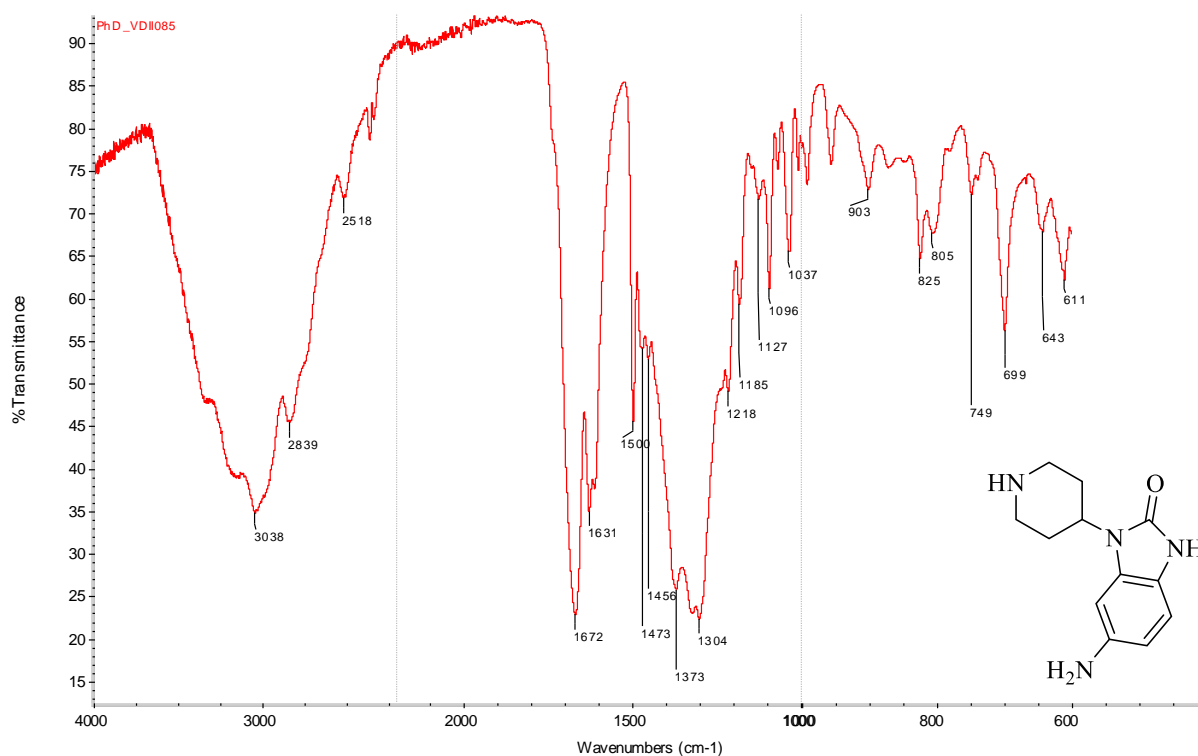
## A.3 Chapter 5 compounds

**A.3.1 4-(5-Chloro-6-nitro-2-oxo-2,3-dihydro-1H-benzo[d]imidazol-1-yl)-piperidin-1-ium nitrate – 5.5**

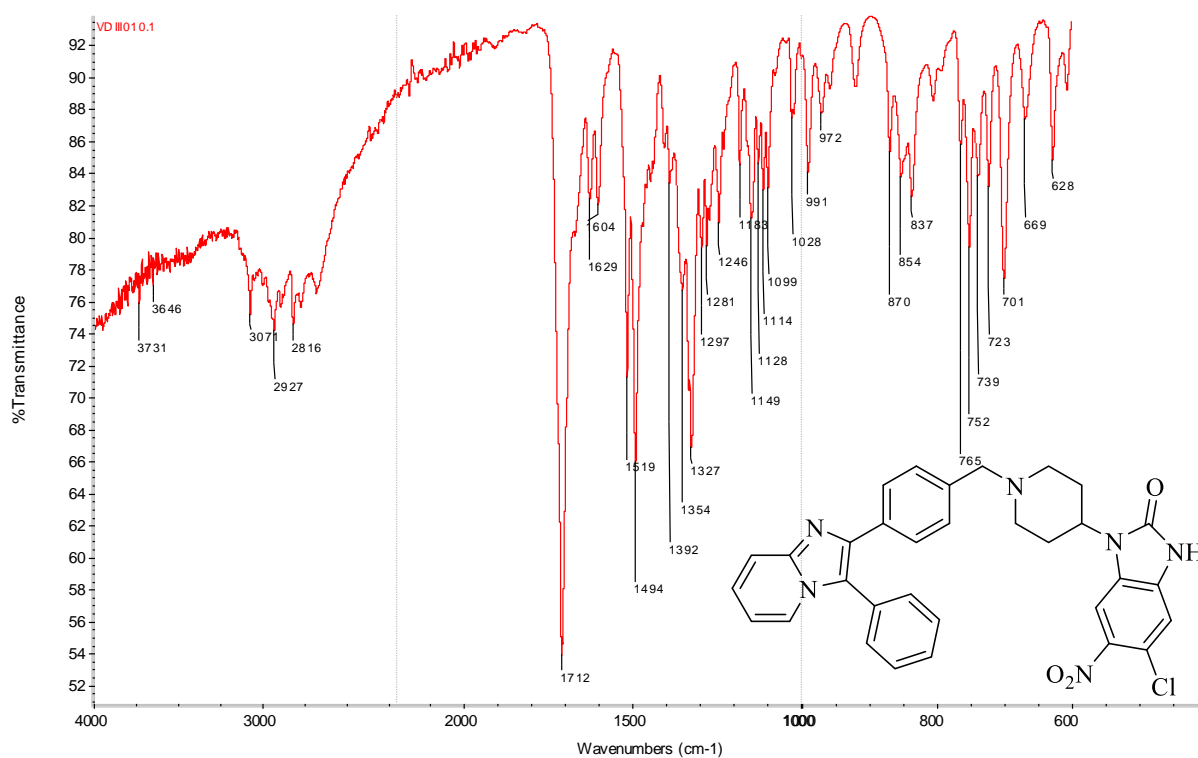


## A IR spectra

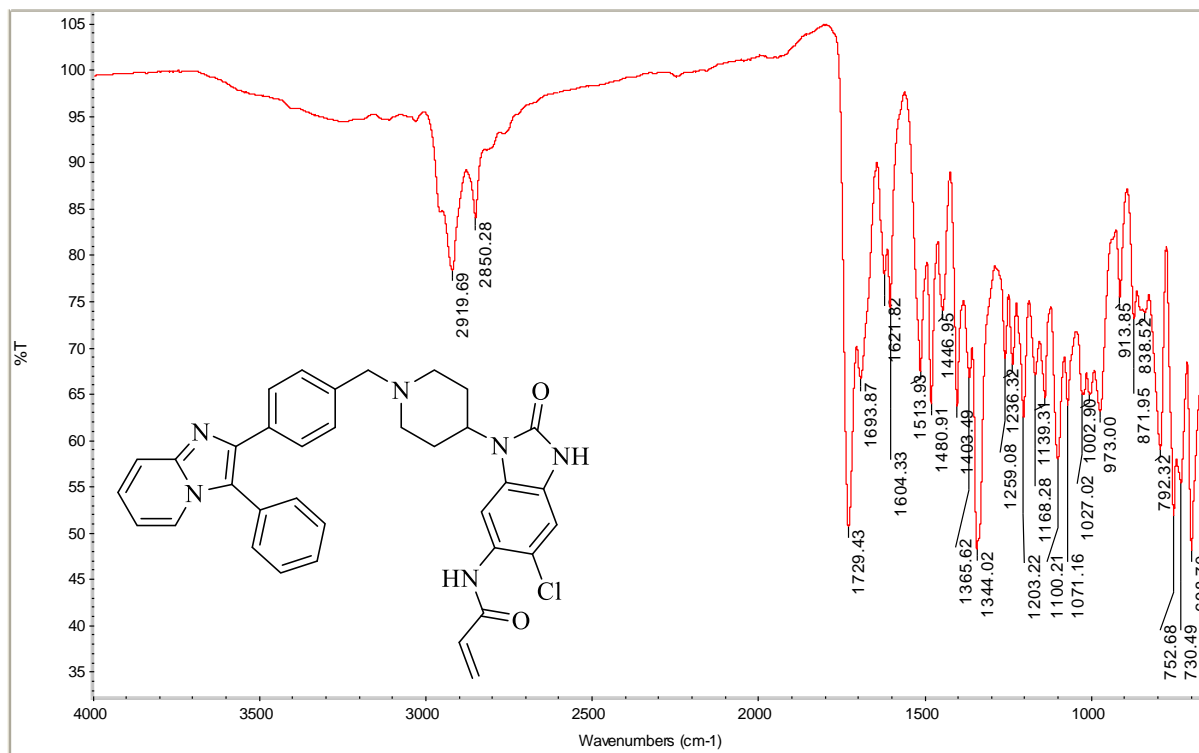
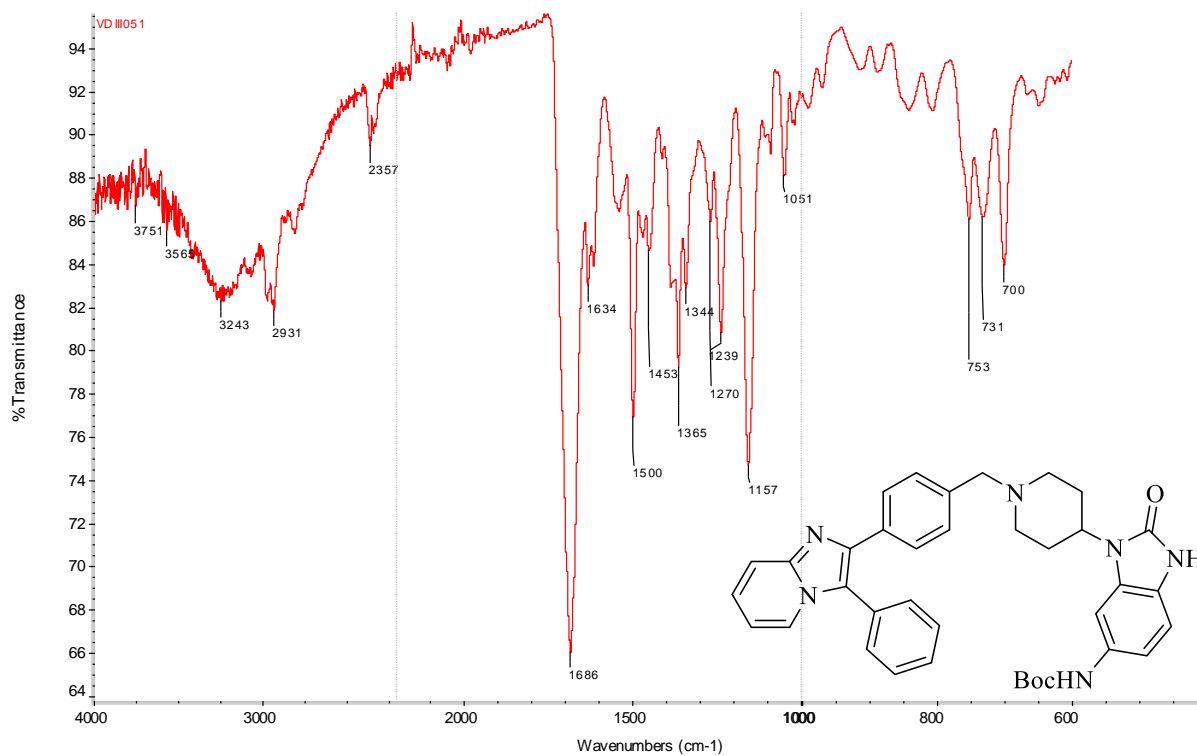
## A.3.2 6-Amino-1-(piperidin-4-yl)-1,3-dihydro-2H-benzo[d]imidazol-2-one – 5.6



## A.3.3 5-Chloro-6-nitro-1-{1-[4-(3-phenylimidazo[1,2-a]pyridin-2-yl)benzyl]-piperidin-4-yl}-1,3-dihydro-2H-benzo[d]imidazol-2-one – 5.10

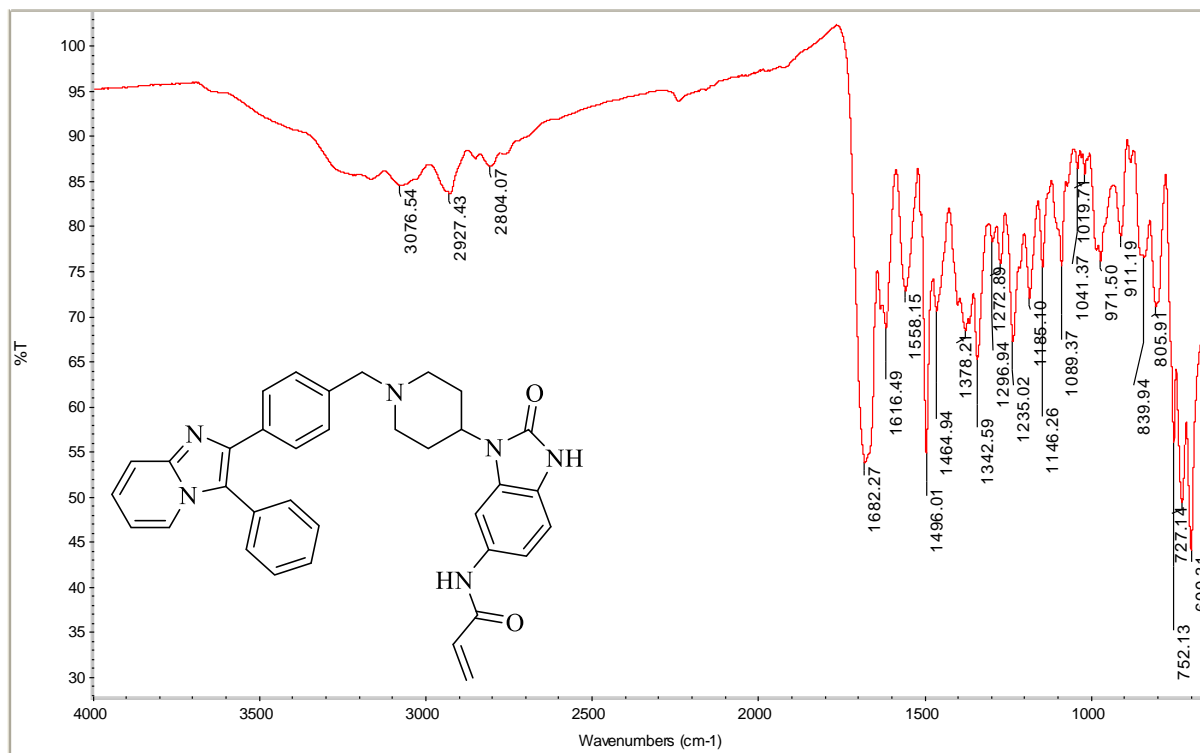


## A IR spectra

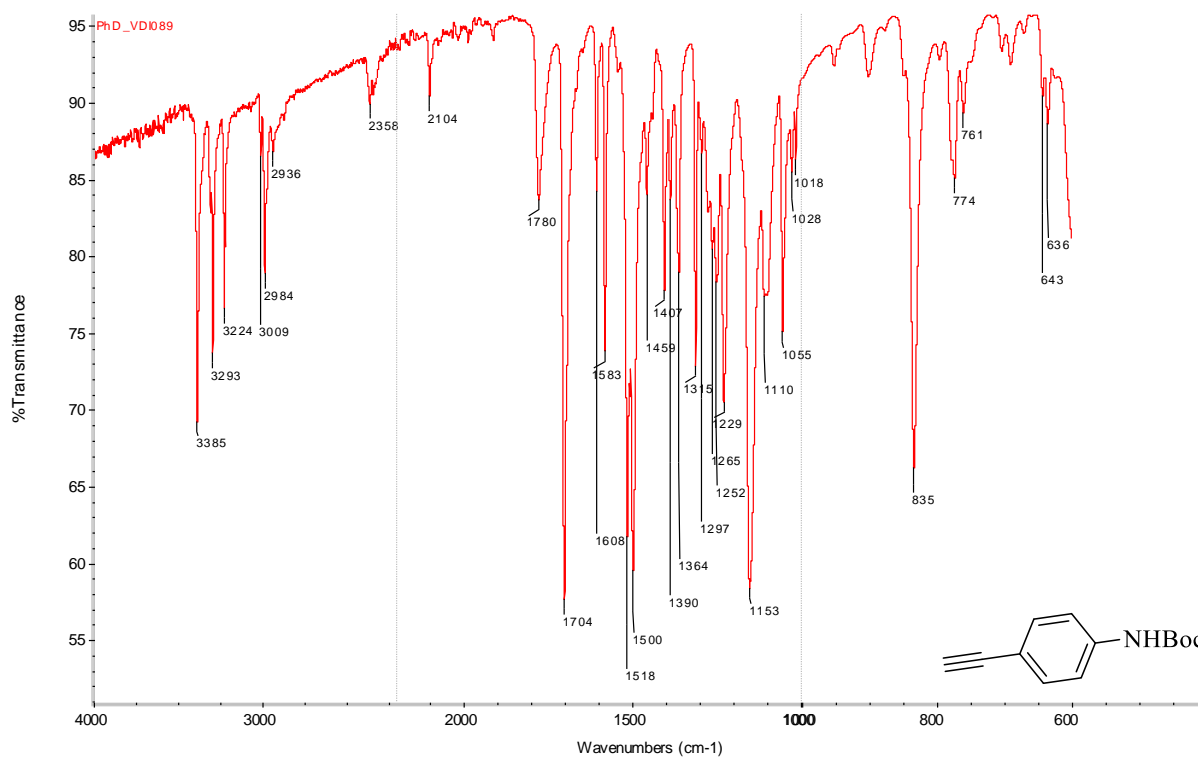
**A.3.4 *N*-(6-Chloro-2-oxo-3-{1-[4-(3-phenylimidazo[1,2-*a*]pyridin-2-yl)benzyl]-piperidin-4-yl}-2,3-dihydro-1*H*-benzo[*d*]imidazol-5-yl)acrylamide – 5.13**

**A.3.5 *tert*-Butyl (2-oxo-3-{1-[4-(3-phenylimidazo[1,2-*a*]pyridin-2-yl)benzyl]-piperidin-4-yl}-2,3-dihydro-1*H*-benzo[*d*]imidazol-5-yl)carbamate – 5.8**


## A IR spectra

**A.3.6 N-(2-Oxo-3-{1-[4-(3-phenylimidazo[1,2-a]pyridin-2-yl)benzyl]-piperidin-4-yl}-2,3-dihydro-1H-benzo[d]imidazol-5-yl)acrylamide – 2.60**



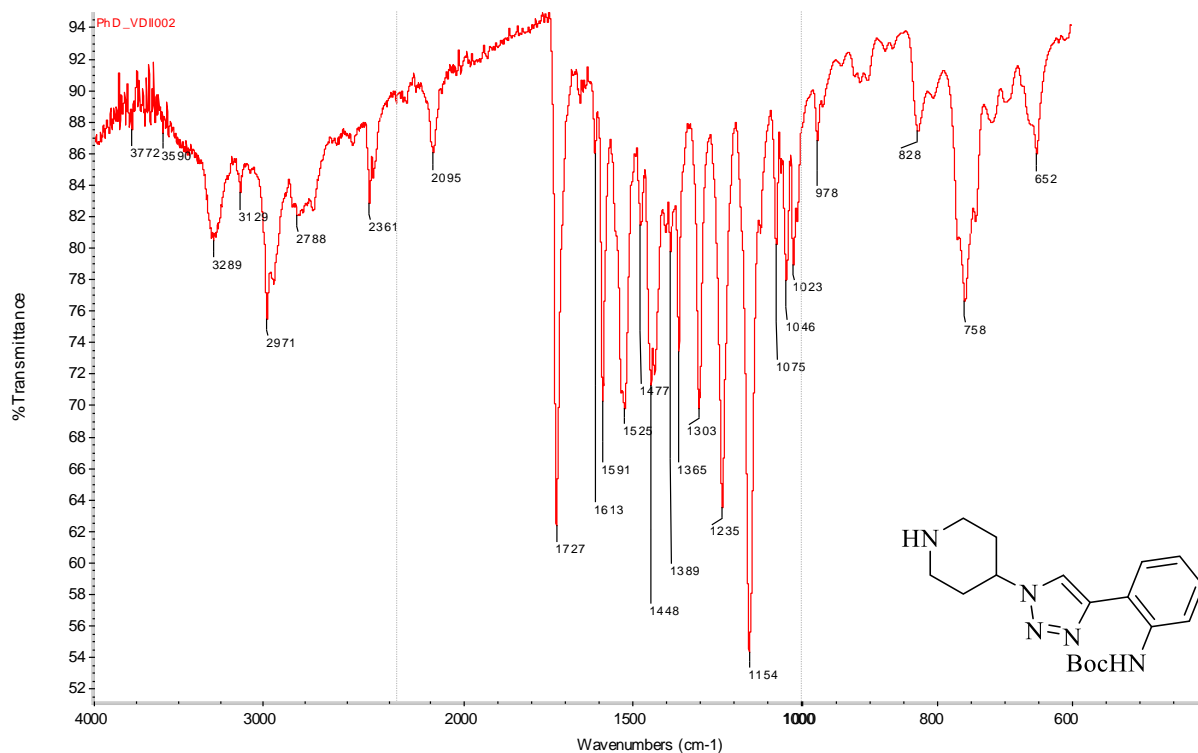
**A.3.7 tert-Butyl (4-ethynylphenyl)carbamate – 5.20**



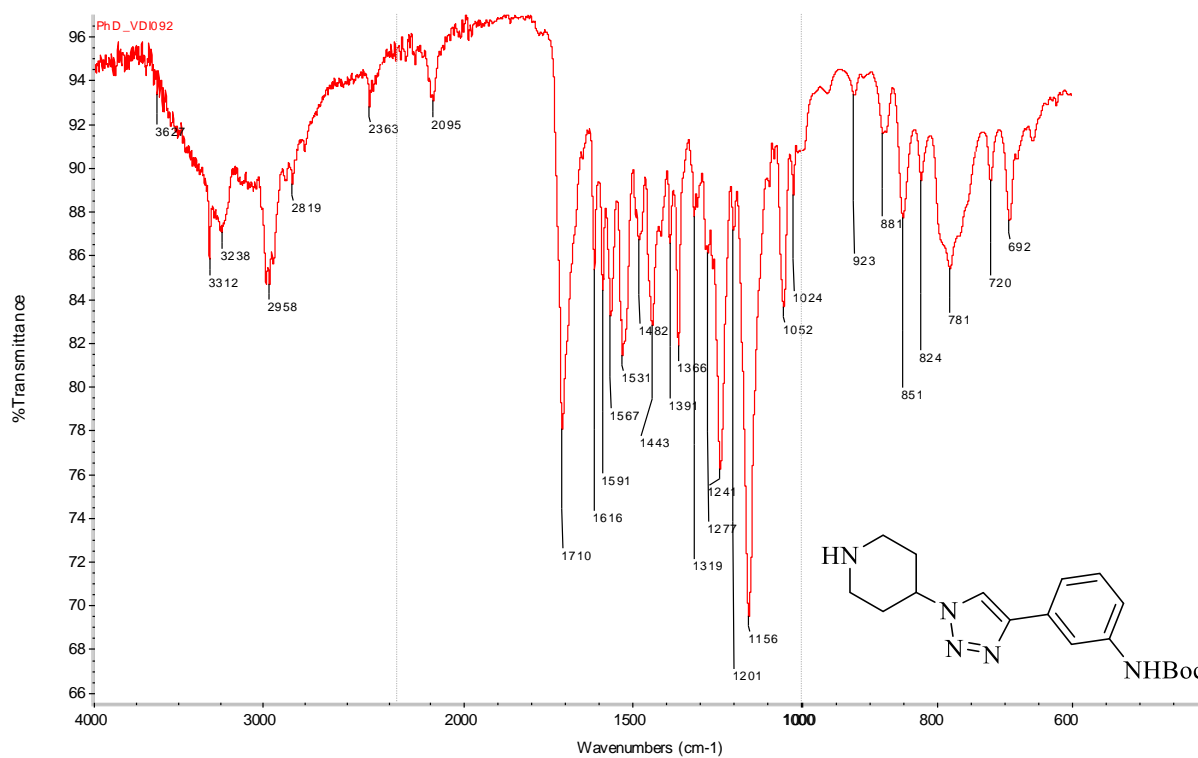
## A IR spectra

A.3.8 *tert*-Butyl {2-[1-(piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl]phenyl}carbamate –

5.21

A.3.9 *tert*-Butyl {3-[1-(piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl]phenyl}carbamate –

5.15

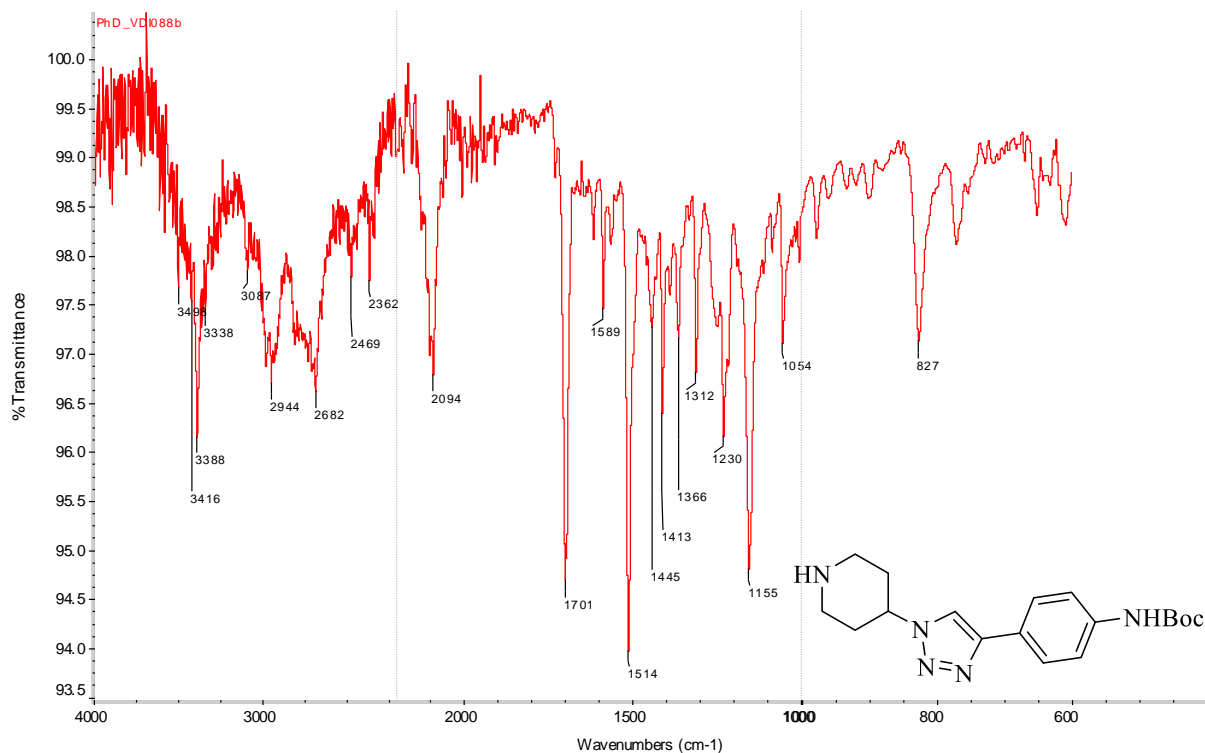




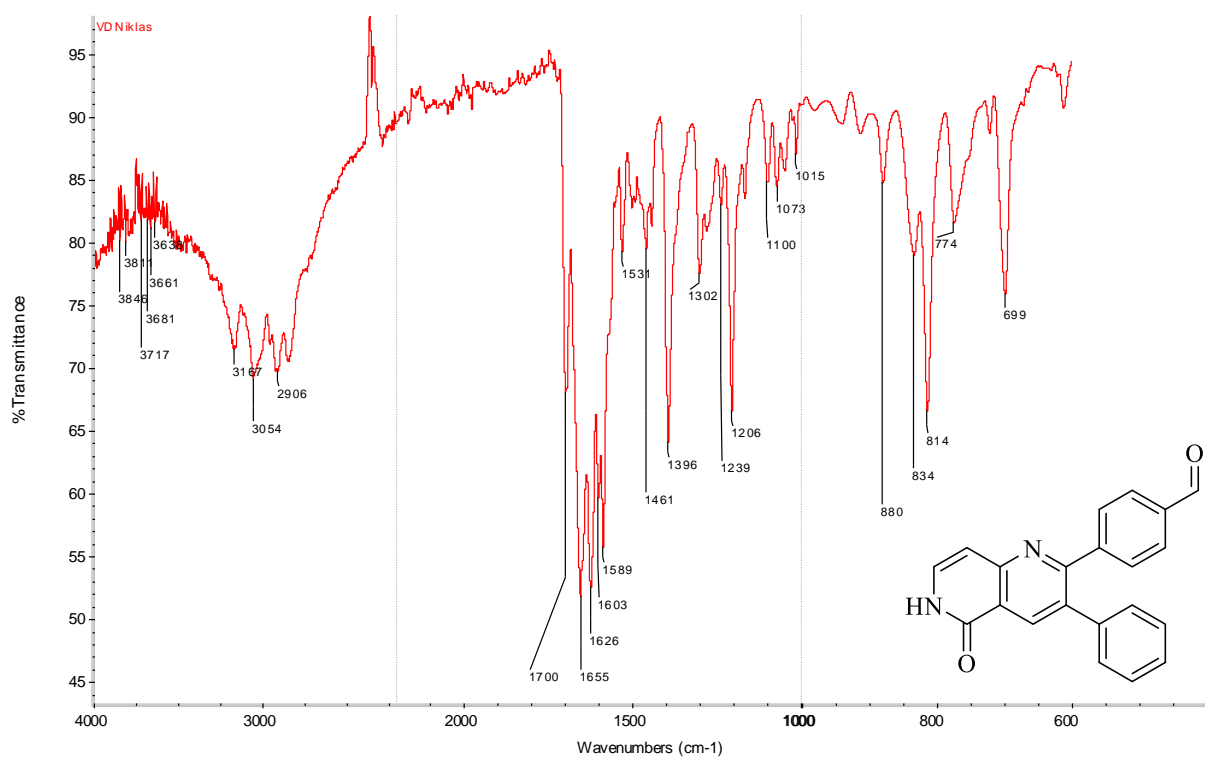
## A IR spectra

A.3.10 *tert*-Butyl {4-[1-(piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl]phenyl}carbamate –

5.22



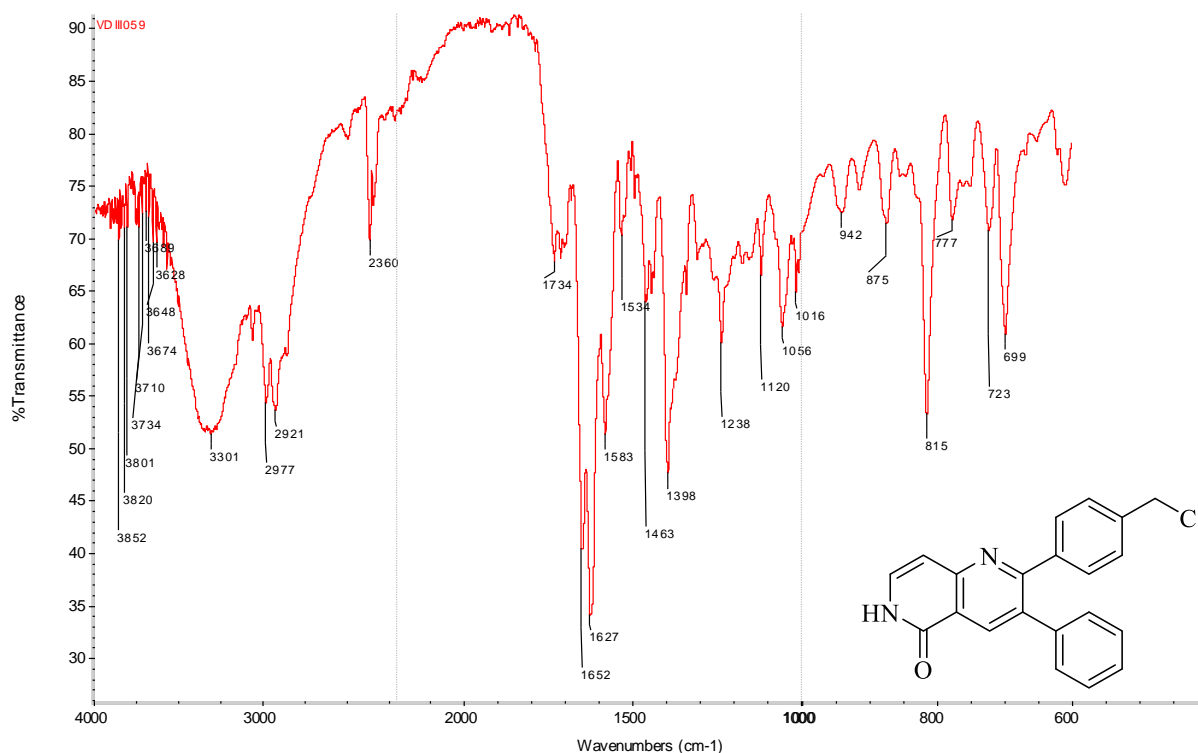
## A.3.11 4-(5-Oxo-3-phenyl-5,6-dihydro-1,6-naphthyridin-2-yl)benzaldehyde – 5.14



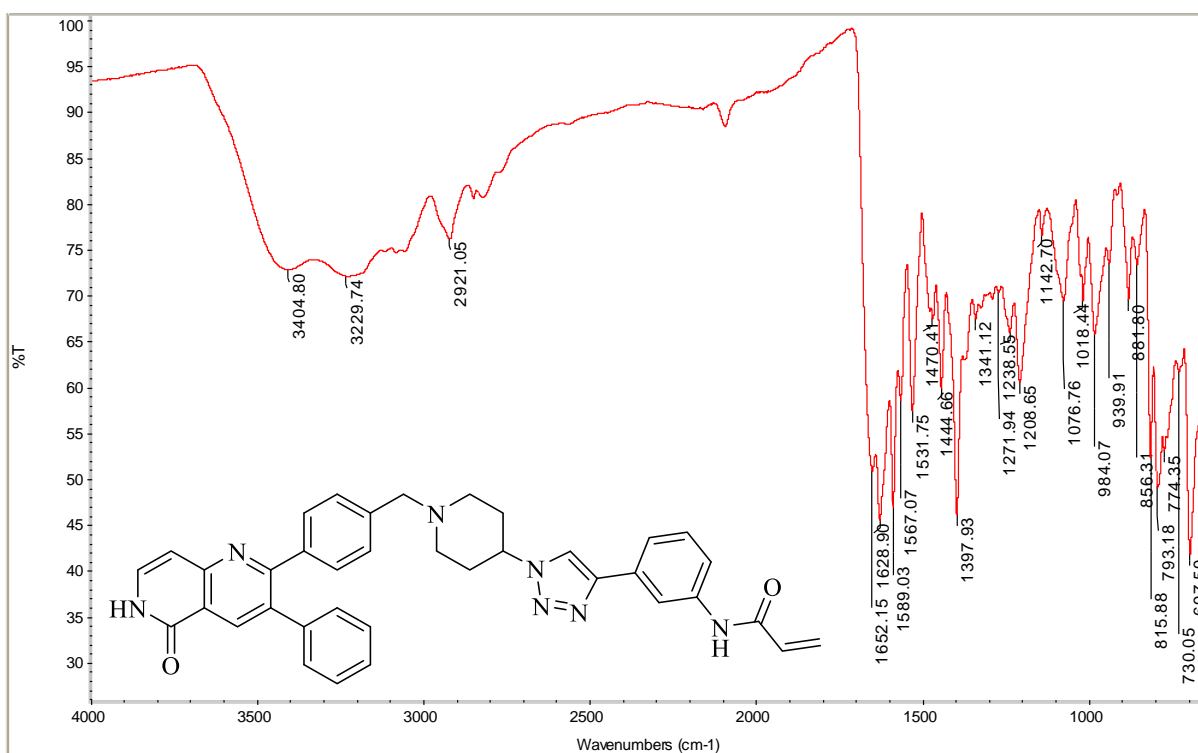
## A IR spectra

## A.3.12 2-[4-(Chloromethyl)phenyl]-3-phenyl-1,6-naphthyridin-5(6H)-one – 5.24

IR spectrum of the recovered crude material, indicating compound 5.23.



A.3.13 *N*-[3-(1-{1-[4-(5-Oxo-3-phenyl-5,6-dihydro-1,6-naphthyridin-2-yl)benzyl]piperidin-4-yl}-1*H*-1,2,3-triazol-4-yl)phenyl]acrylamide – 5.1



## A IR spectra

### A.4 References

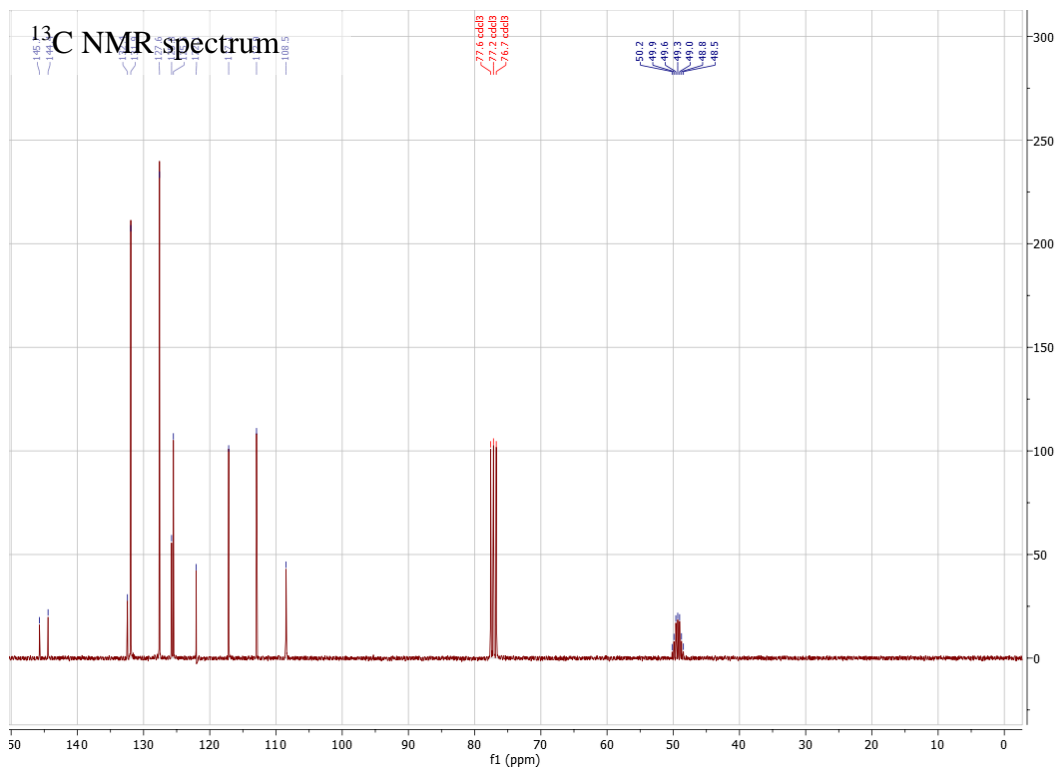
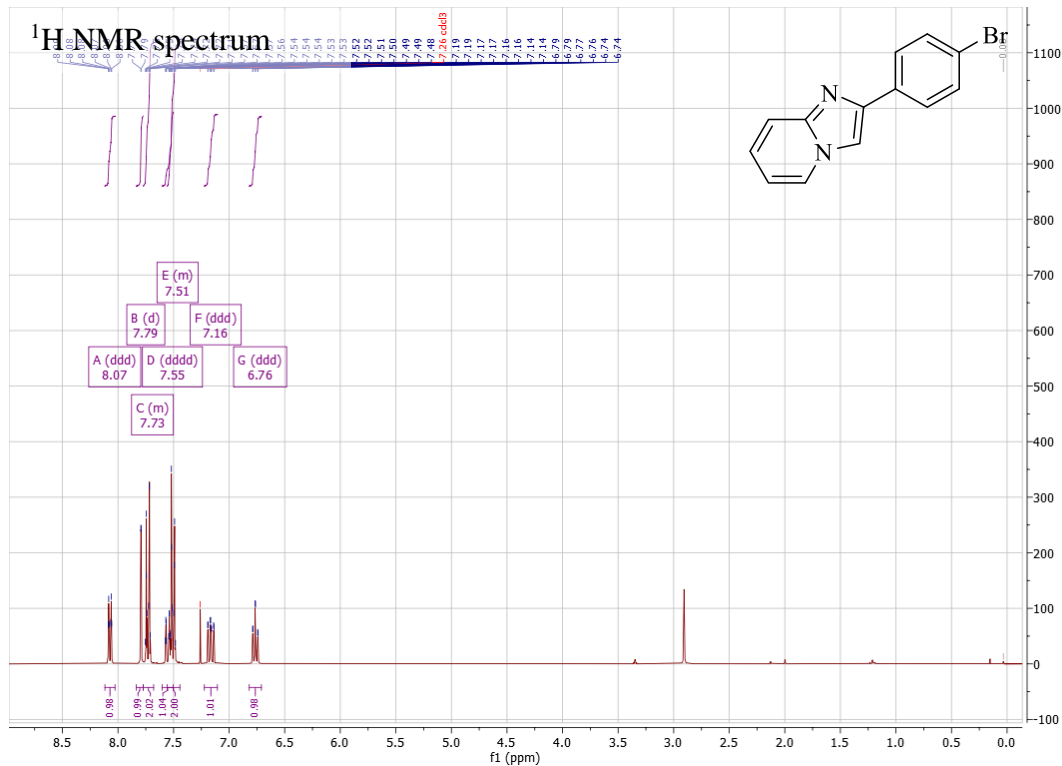
- 1 L. van der Westhuizen, MSc dissertation title: Synthesis of potentially irreversible Akt inhibitors, Stellenbosch University, 2014.

B NMR spectra

B NMR spectra

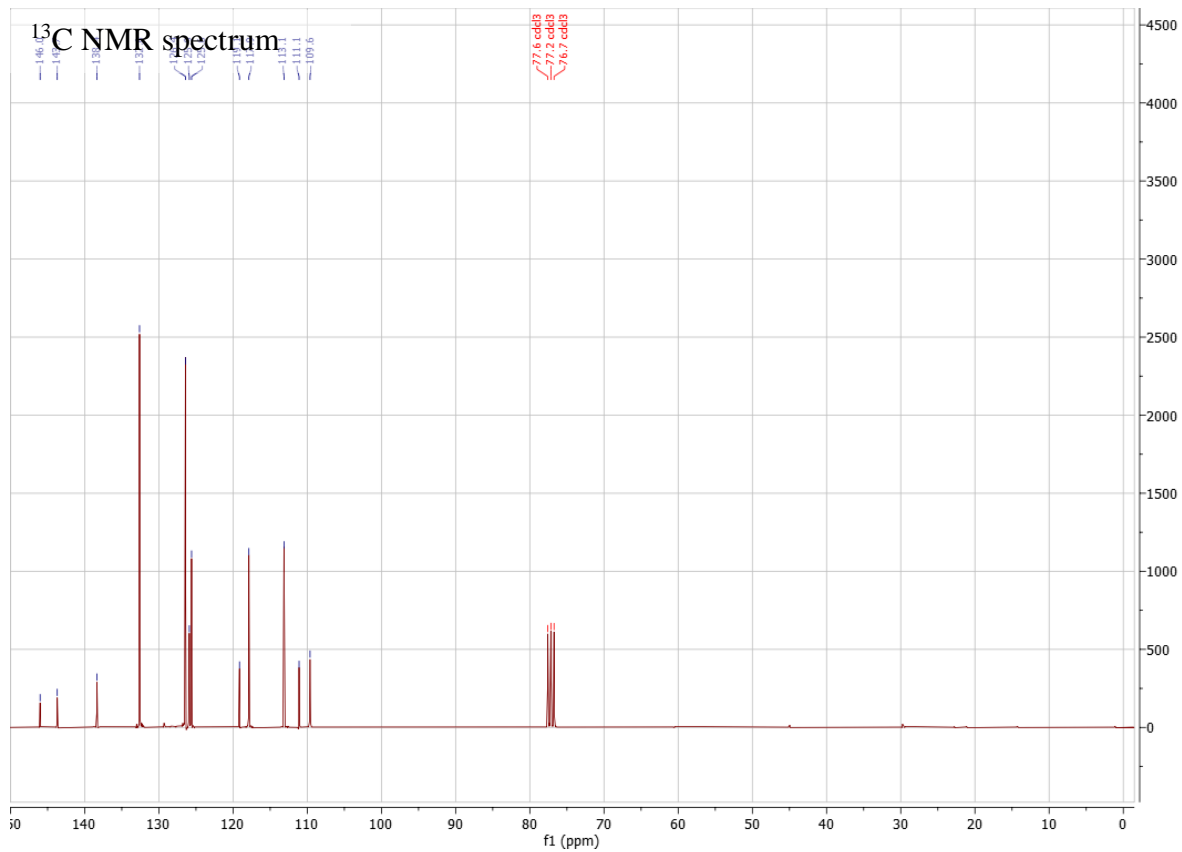
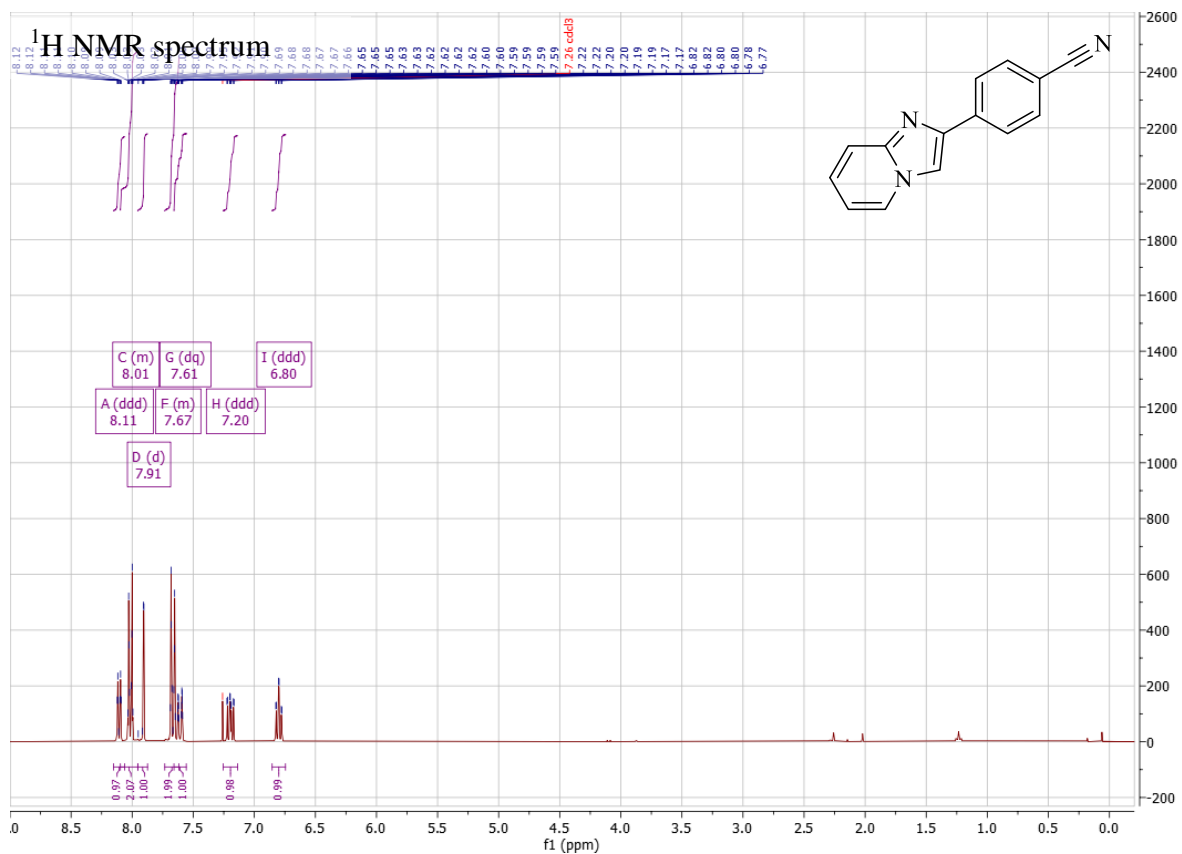
B.1 Chapter 3 compounds

B.1.1 2-(4-Bromophenyl)imidazo[1,2-a]pyridine – 3.9



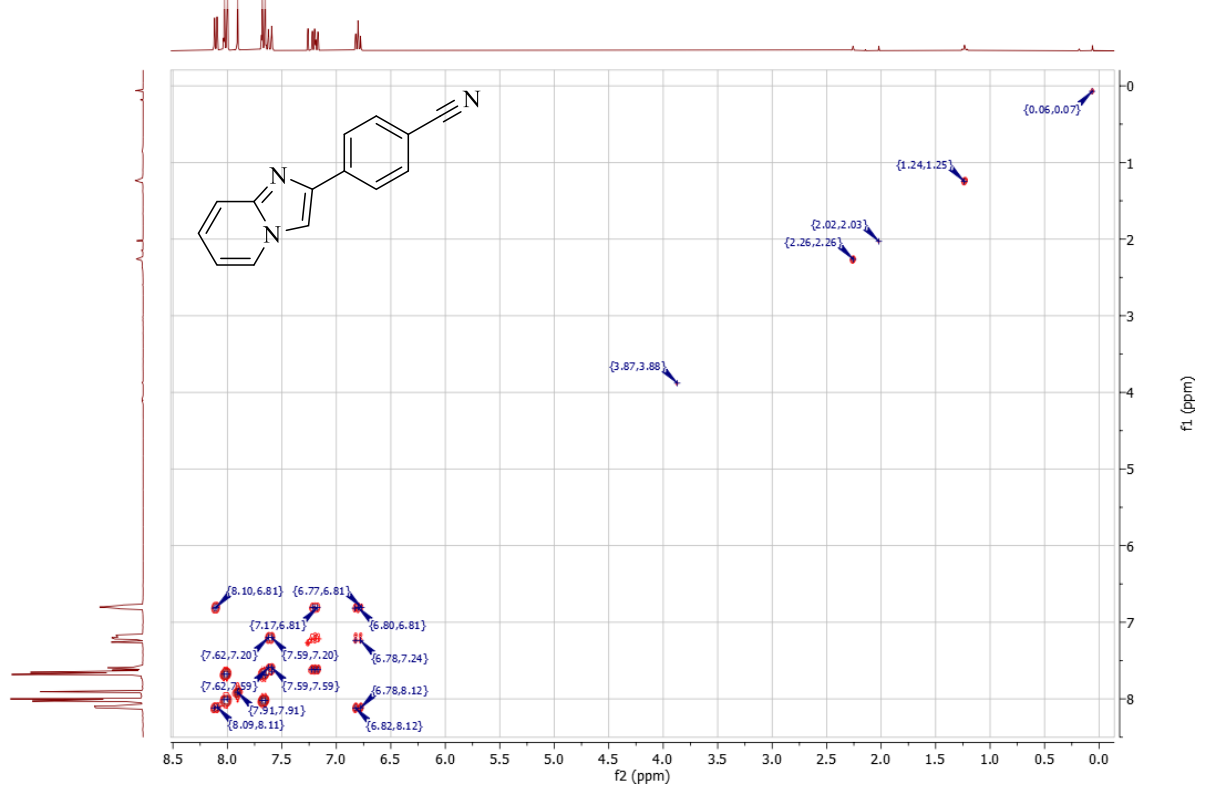
B NMR spectra

B.1.2 4-(Imidazo[1,2-a]pyridin-2-yl)benzonitrile – 3.8

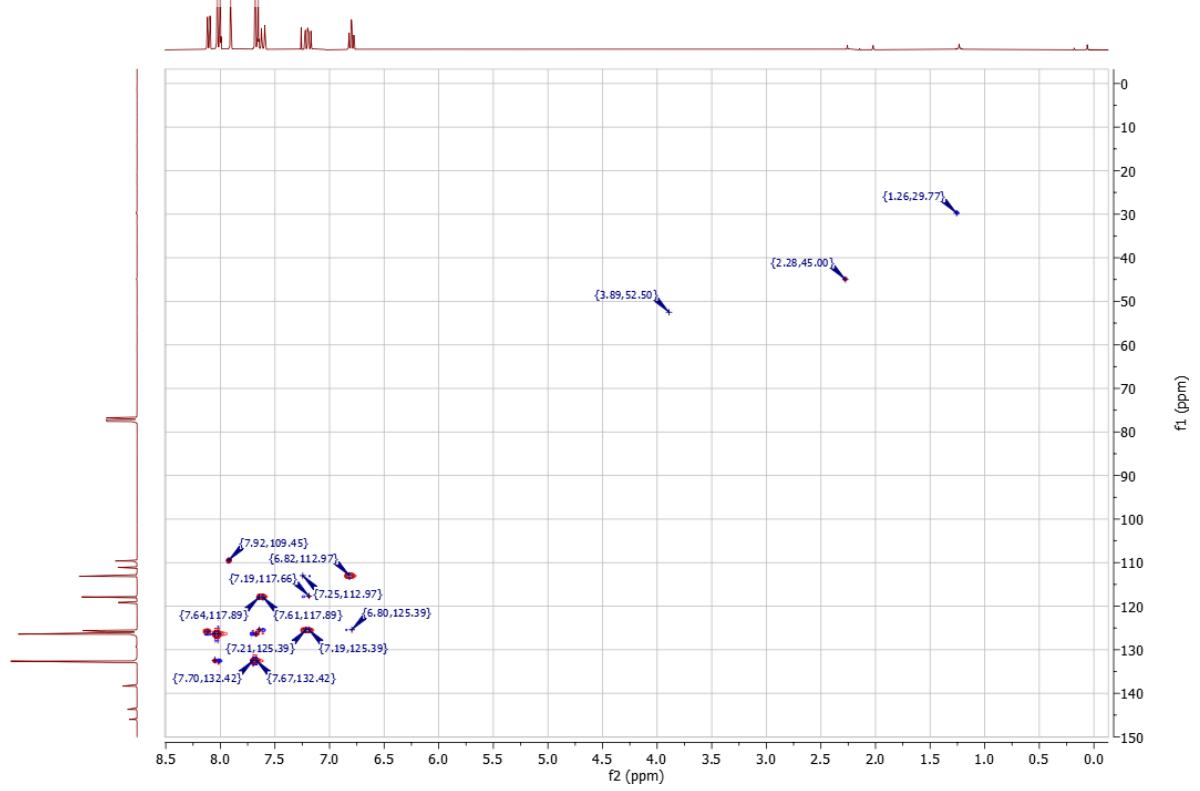


**B NMR spectra**

COSY NMR spectrum

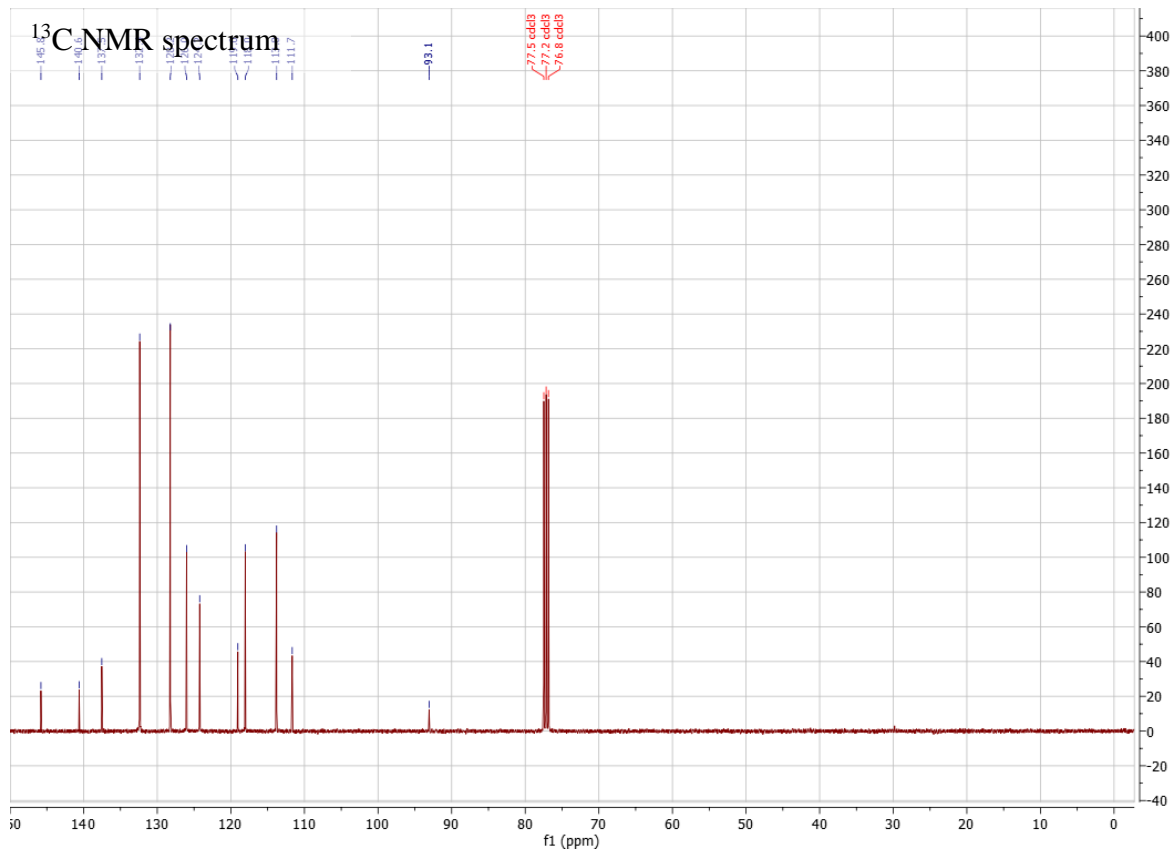
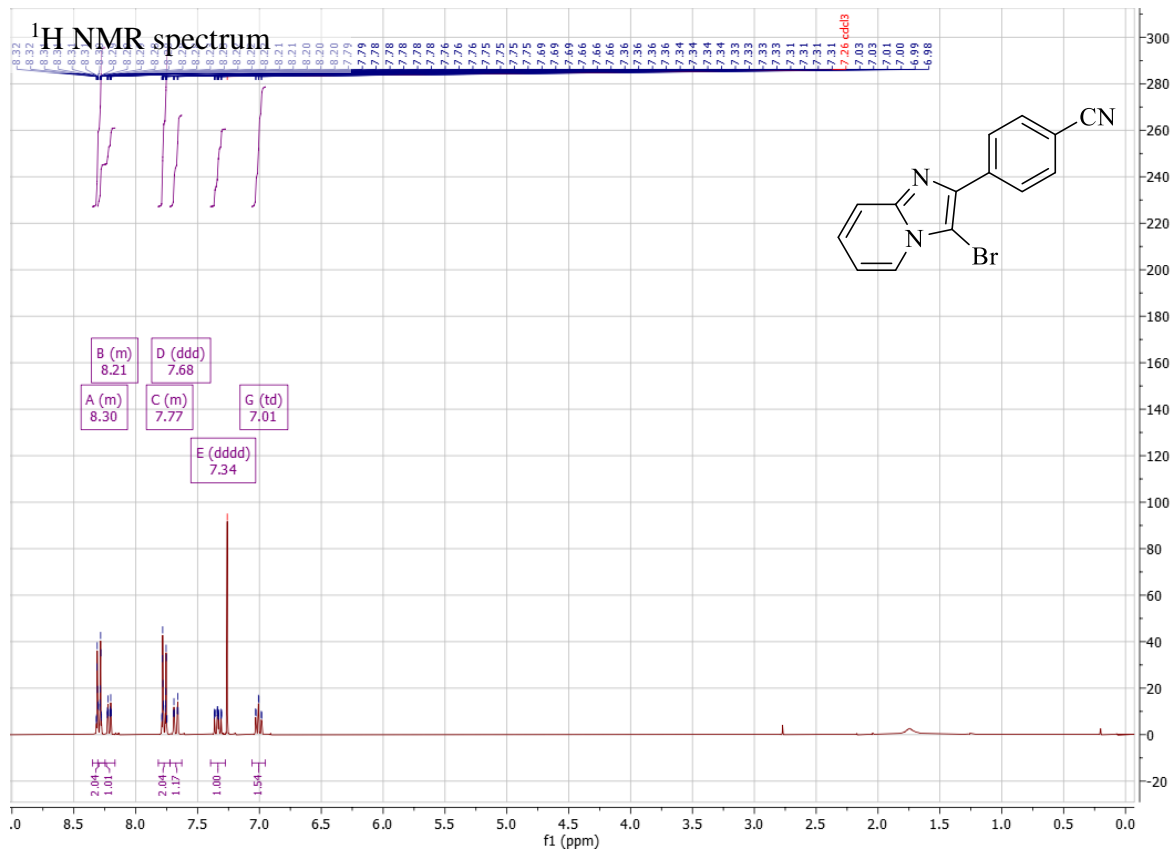


HSQC NMR spectrum



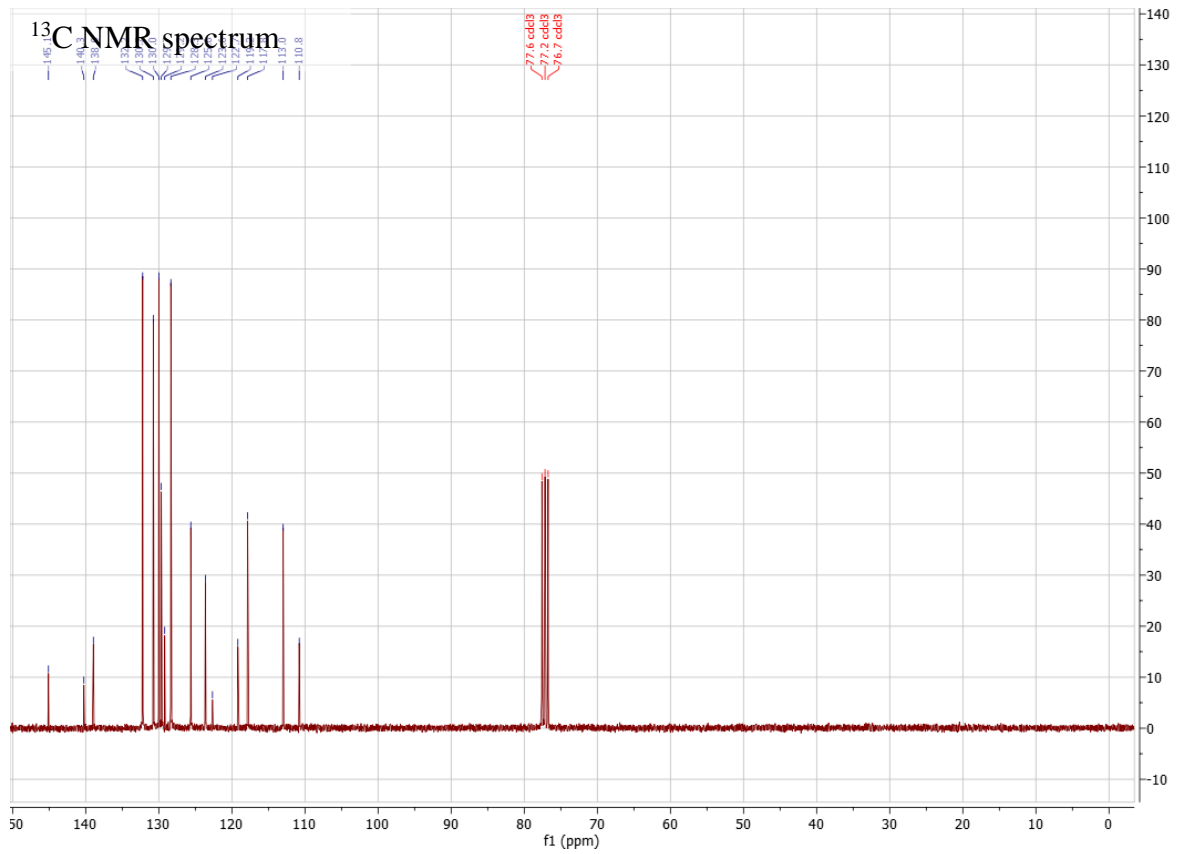
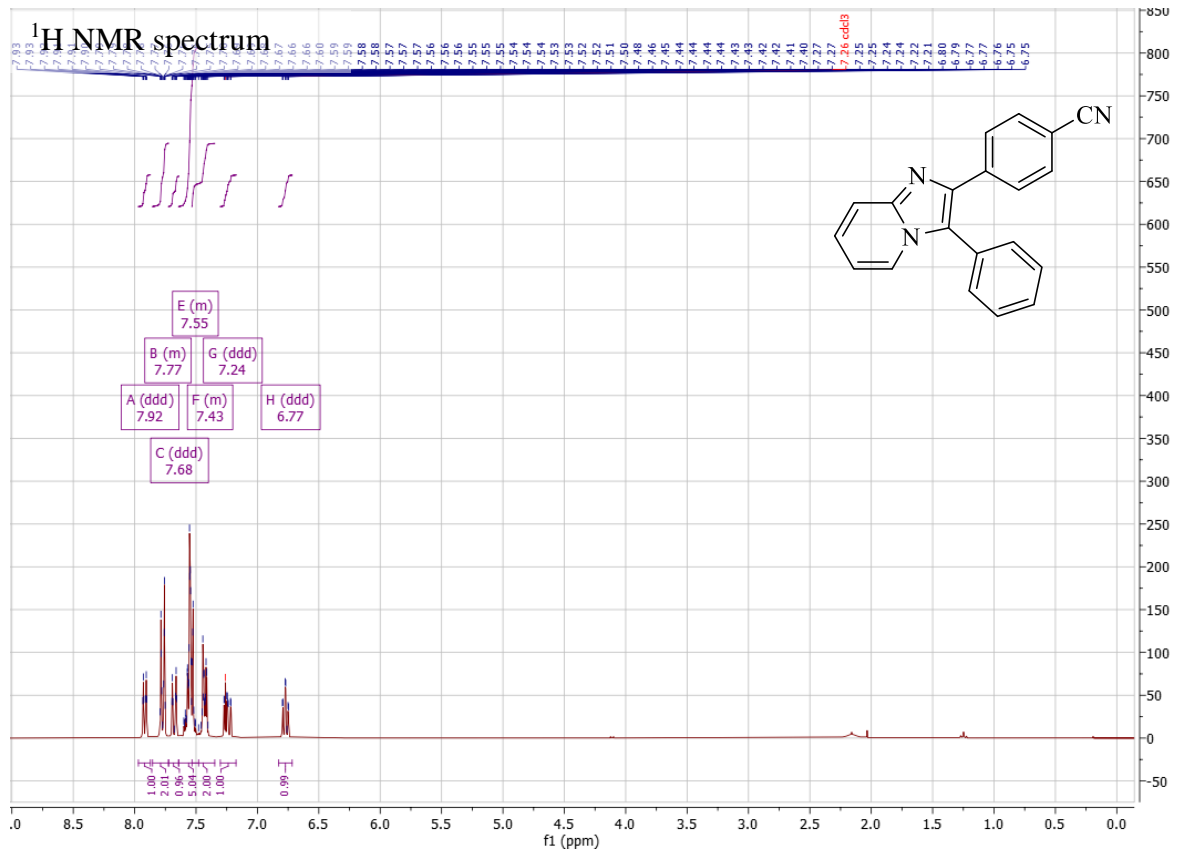
## B NMR spectra

## B.1.3 4-(3-Bromoimidazo[1,2-a]pyridin-2-yl)benzonitrile – 3.6



B NMR spectra

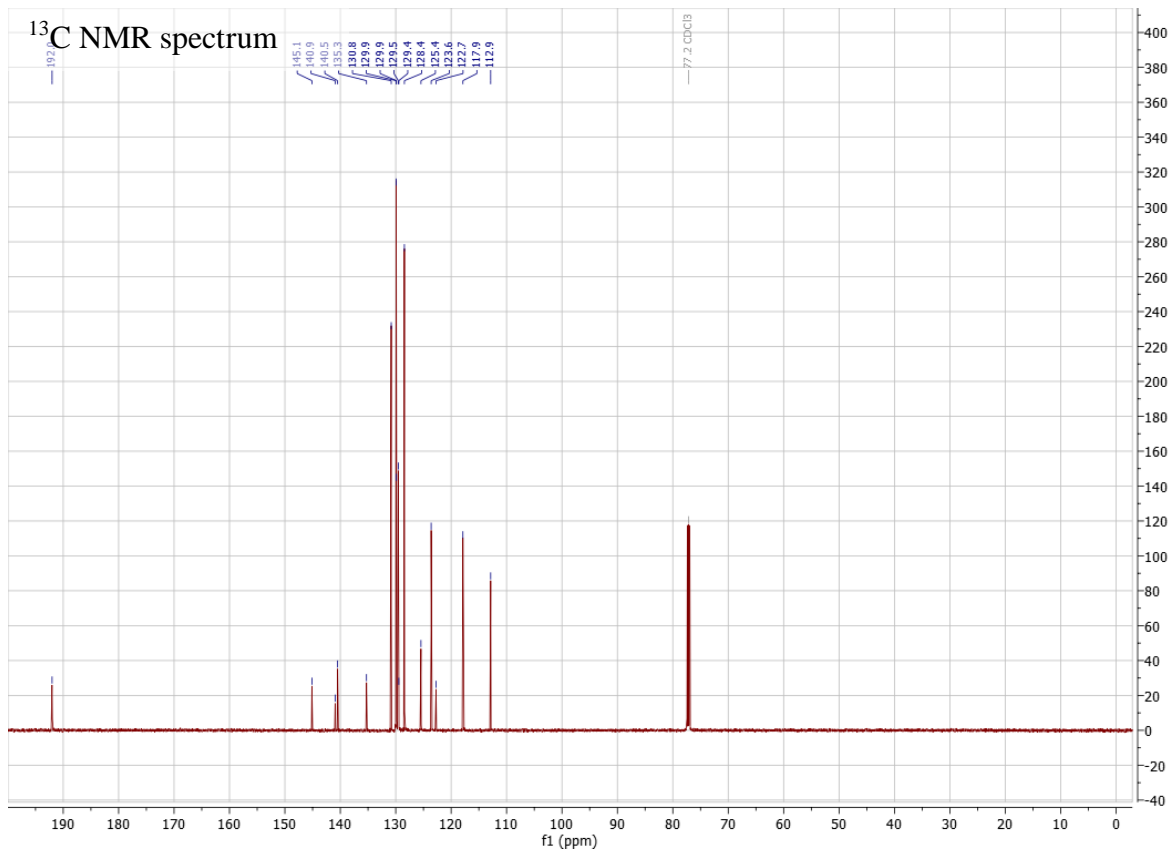
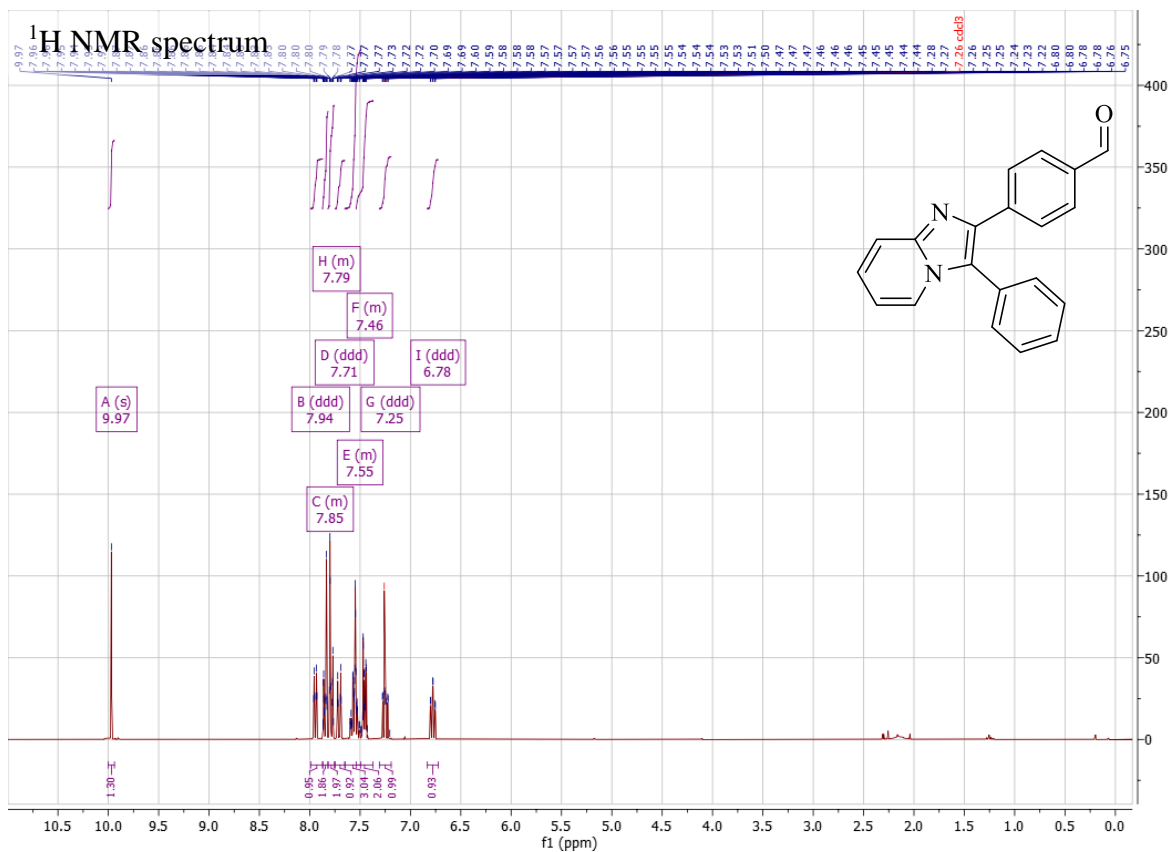
B.1.4 4-(3-Phenylimidazo[1,2-a]pyridin-2-yl)benzonitrile – 3.5



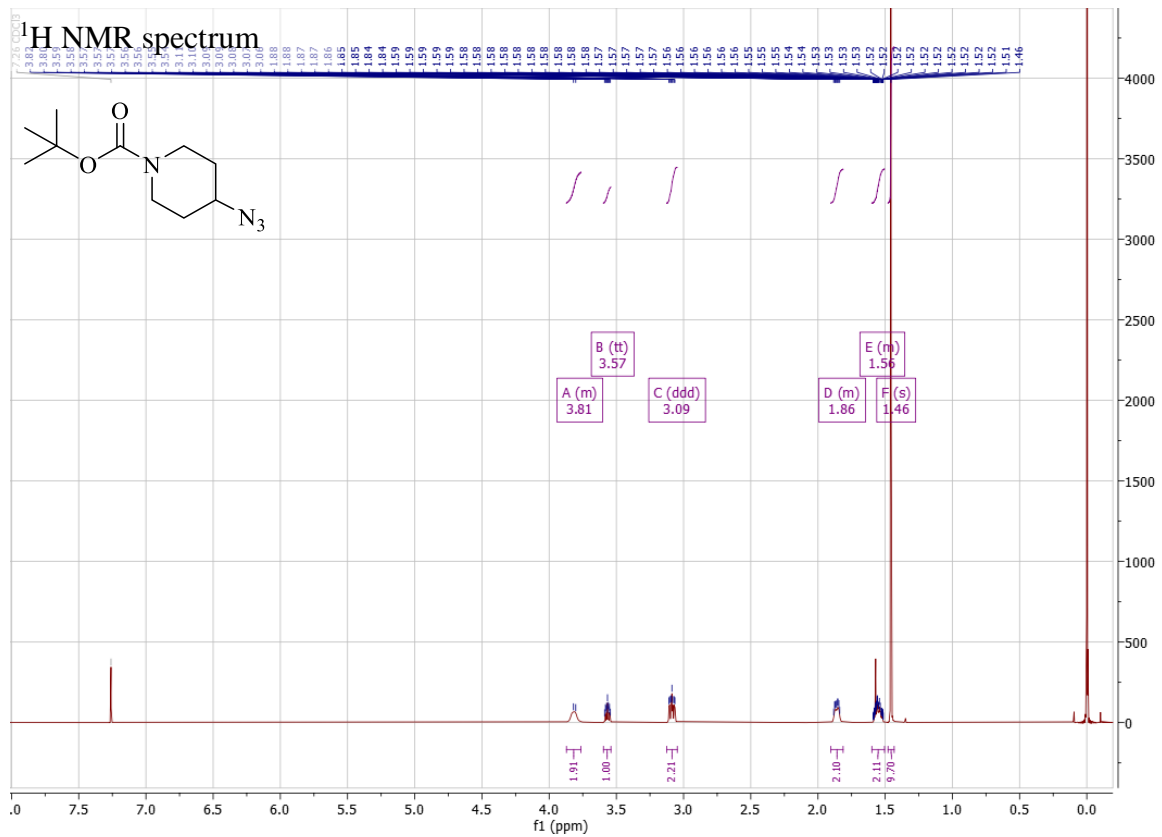
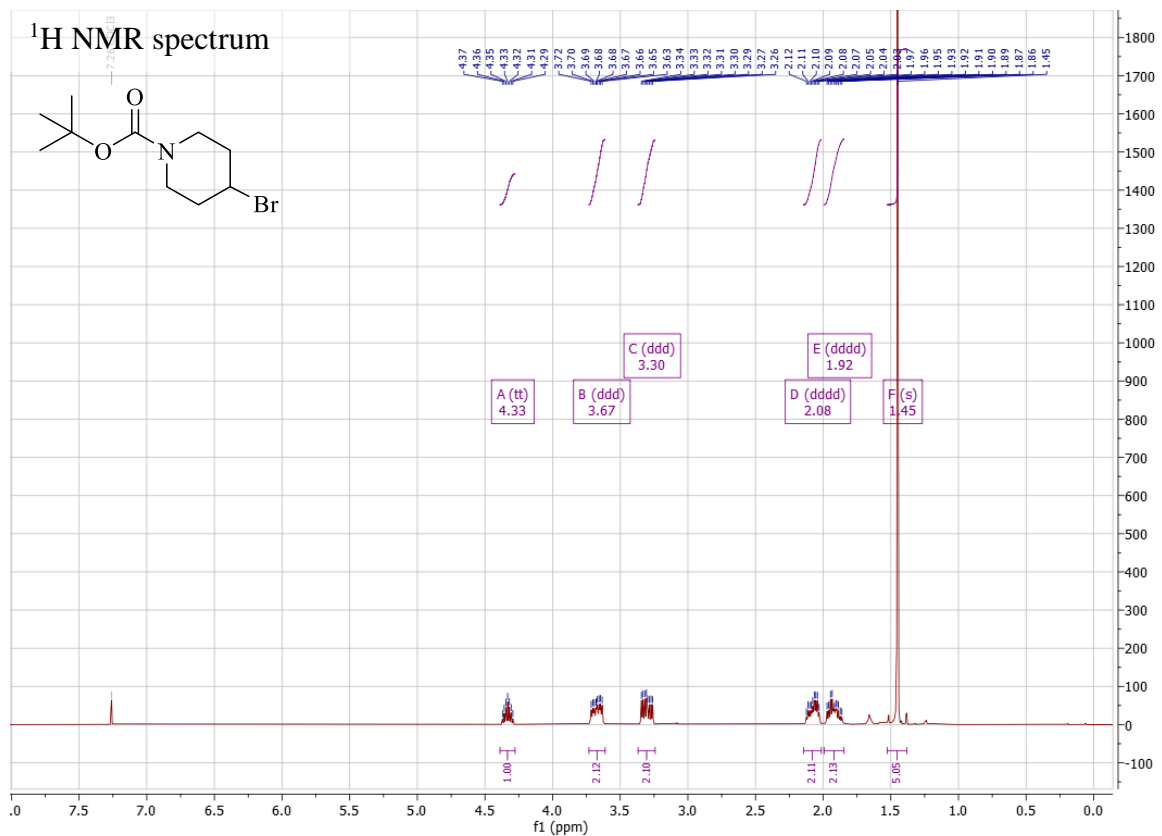


## B NMR spectra

## B.1.5 4-(3-Phenylimidazo[1,2-a]pyridin-2-yl)benzaldehyde – 3.3

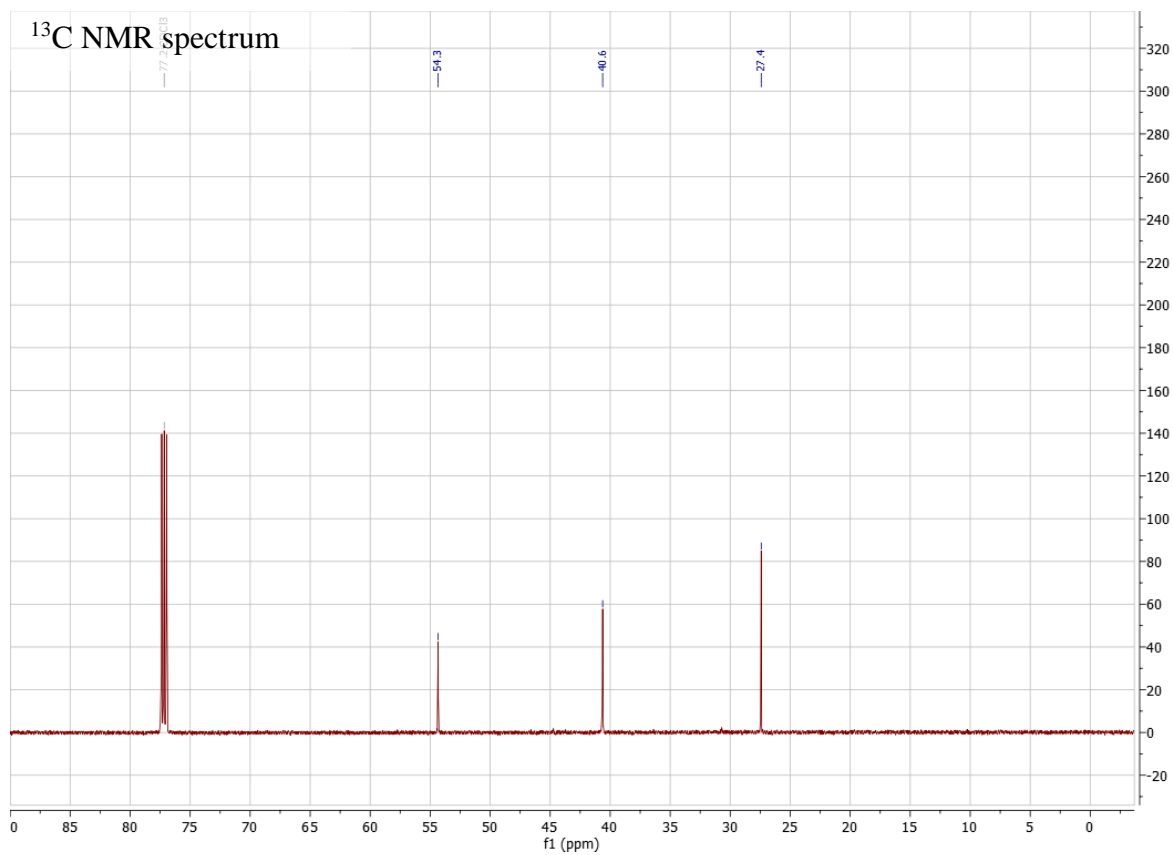
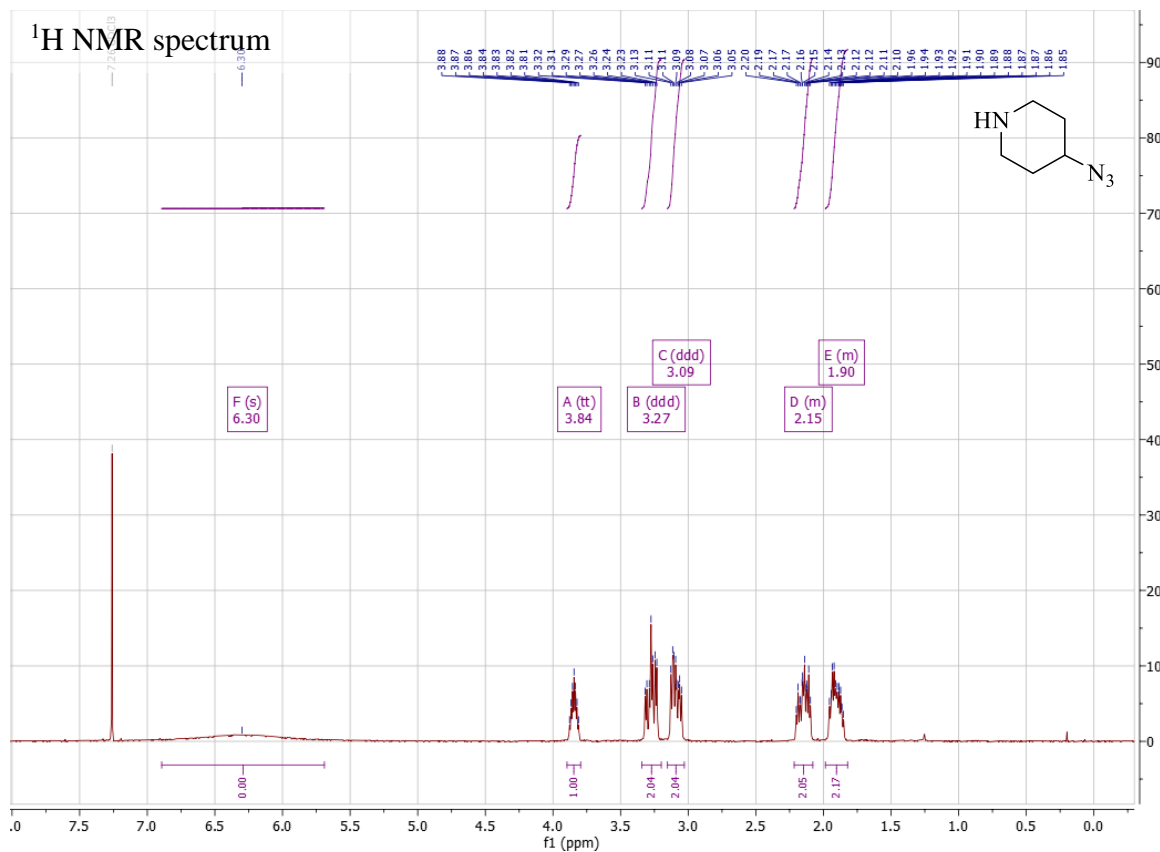


## B NMR spectra

B.1.6 *tert*-Butyl 4-azidopiperidine-1-carboxylate – 3.12B.1.7 *tert*-Butyl 4-bromopiperidine-1-carboxylate – 3.13

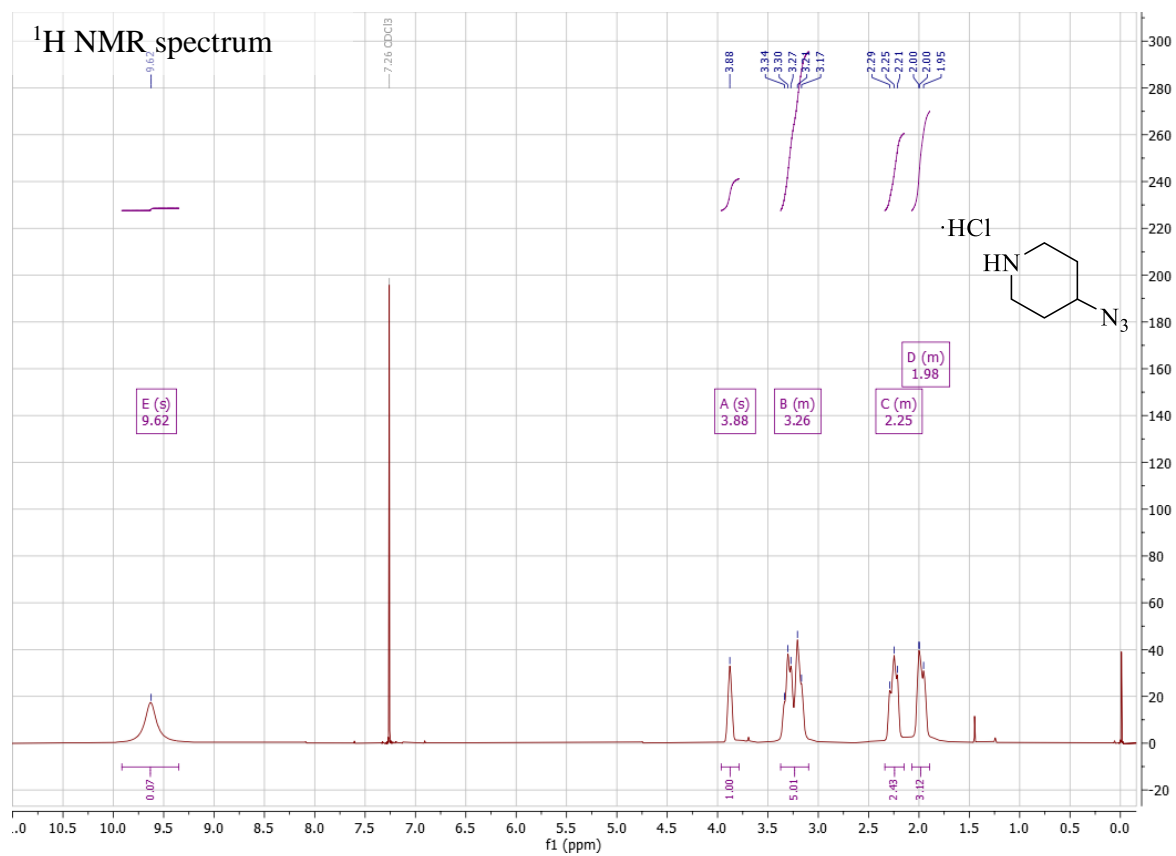
B NMR spectra

B.1.8 4-Azidopiperidine – 3.4



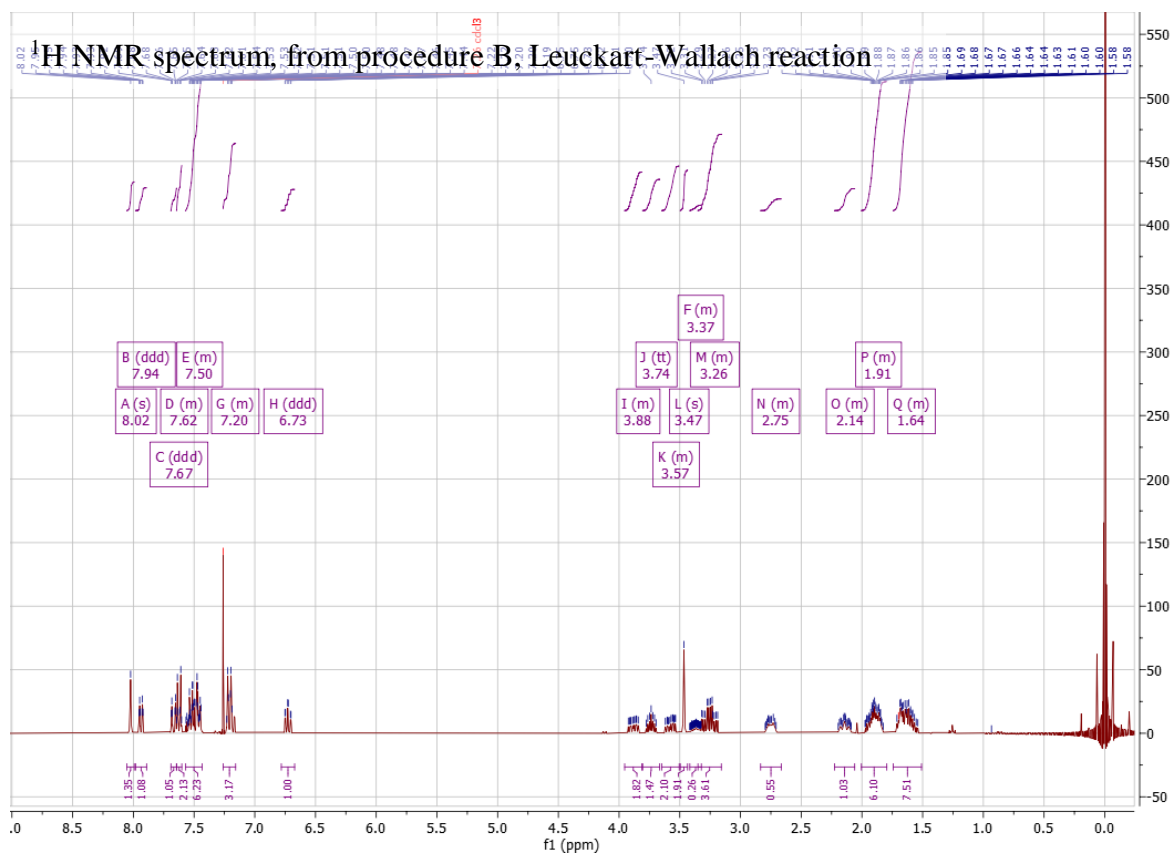
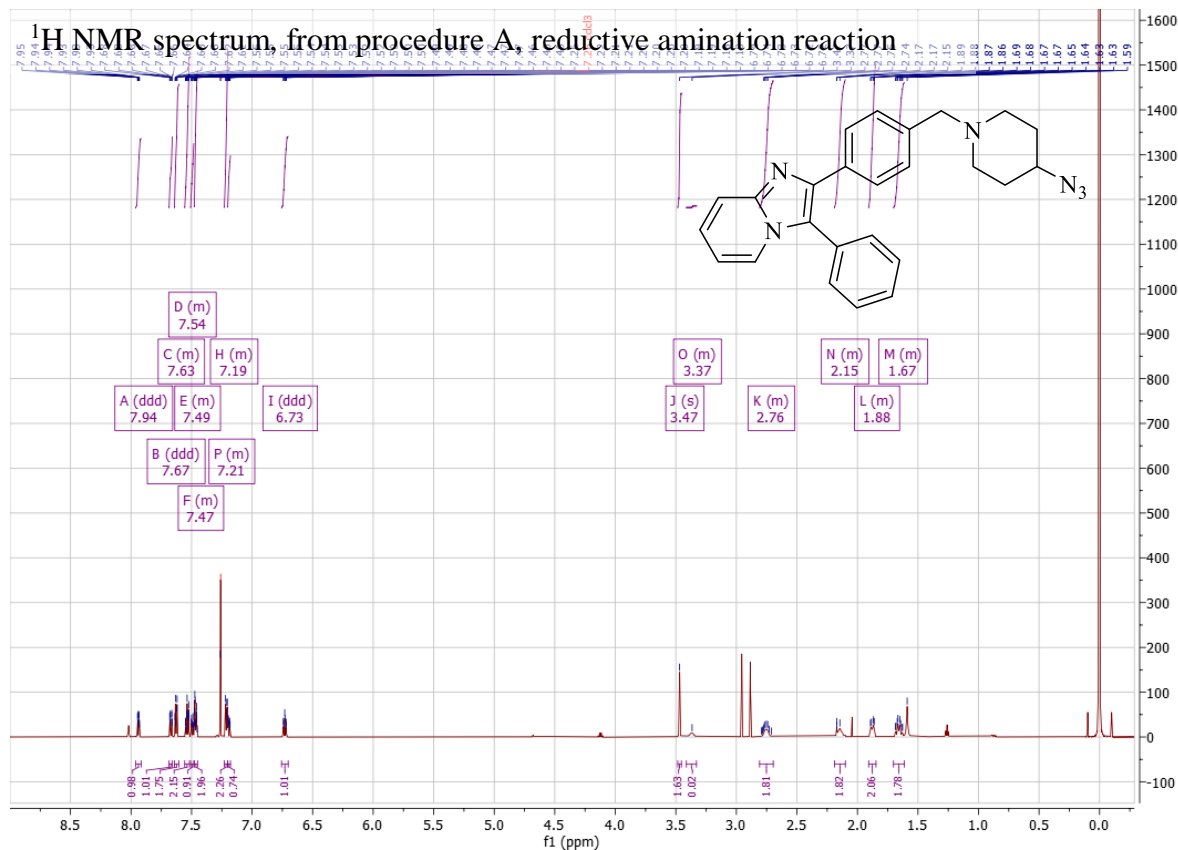
## B NMR spectra

## B.1.9 4-Azidopiperidin-1-ium chloride – 3.17



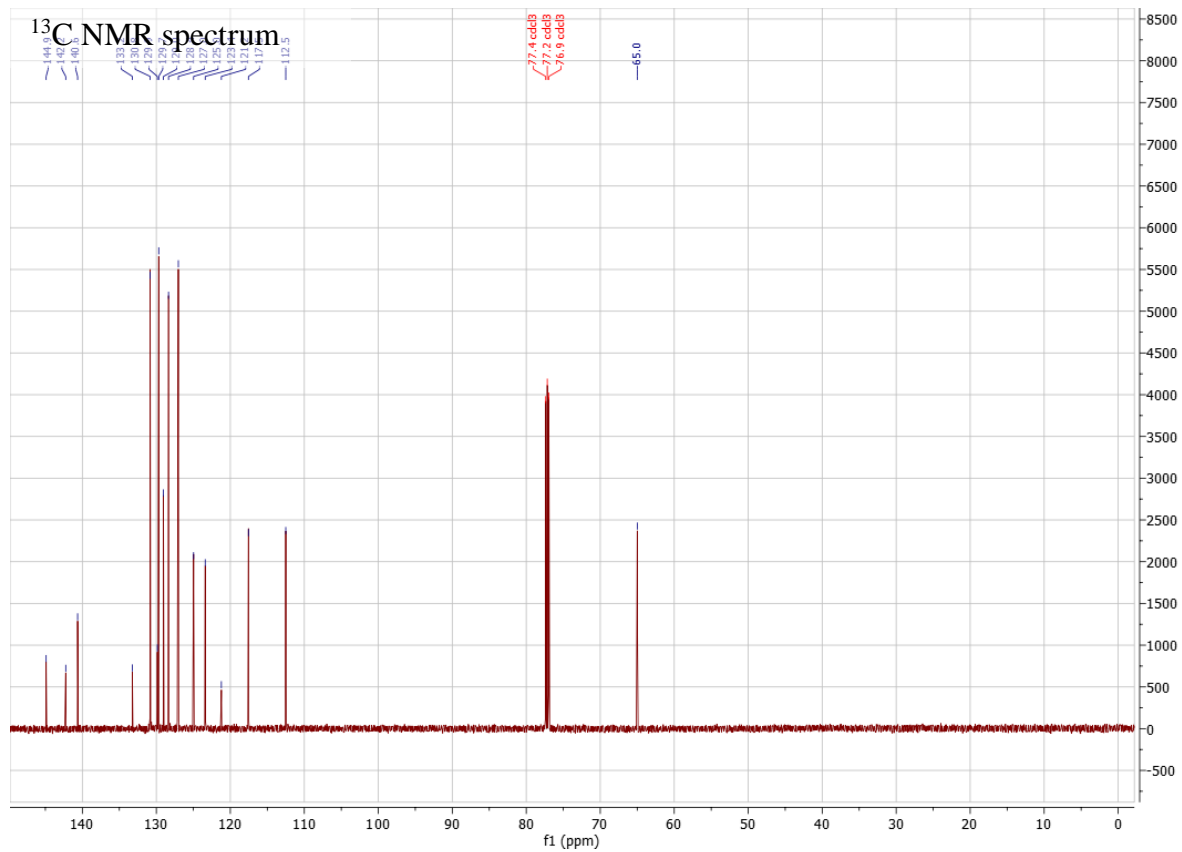
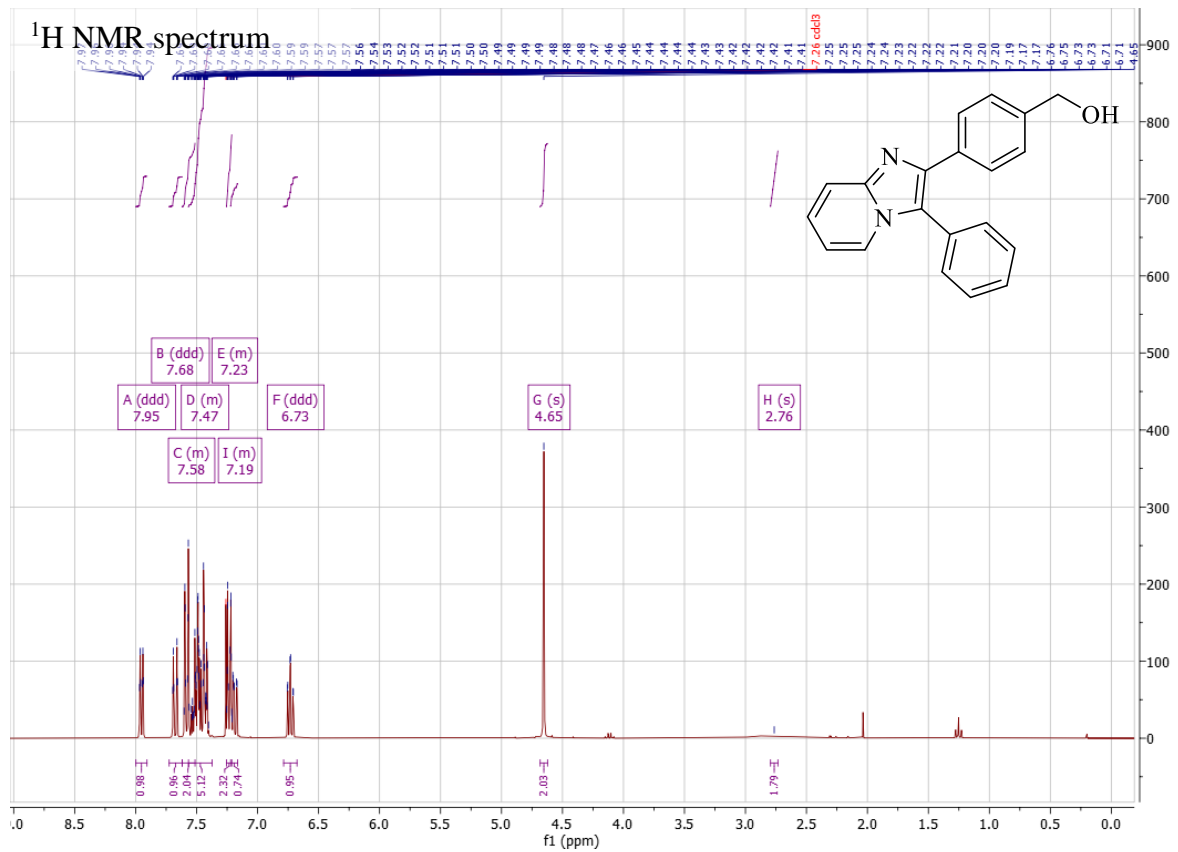
## B NMR spectra

### B.1.10 2-{4-[(4-Azidopiperidin-1-yl)methyl]phenyl}- 3-phenylimidazo[1,2-a]pyridine – 3.1



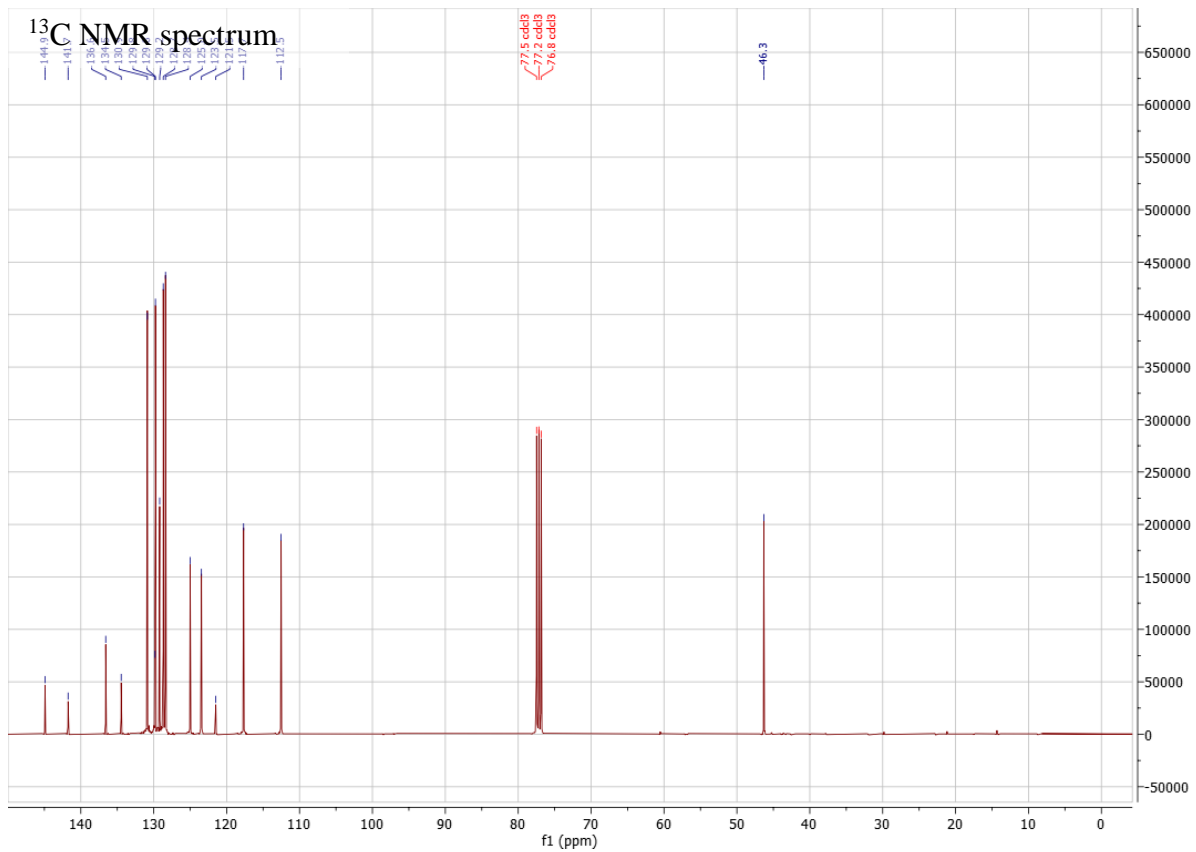
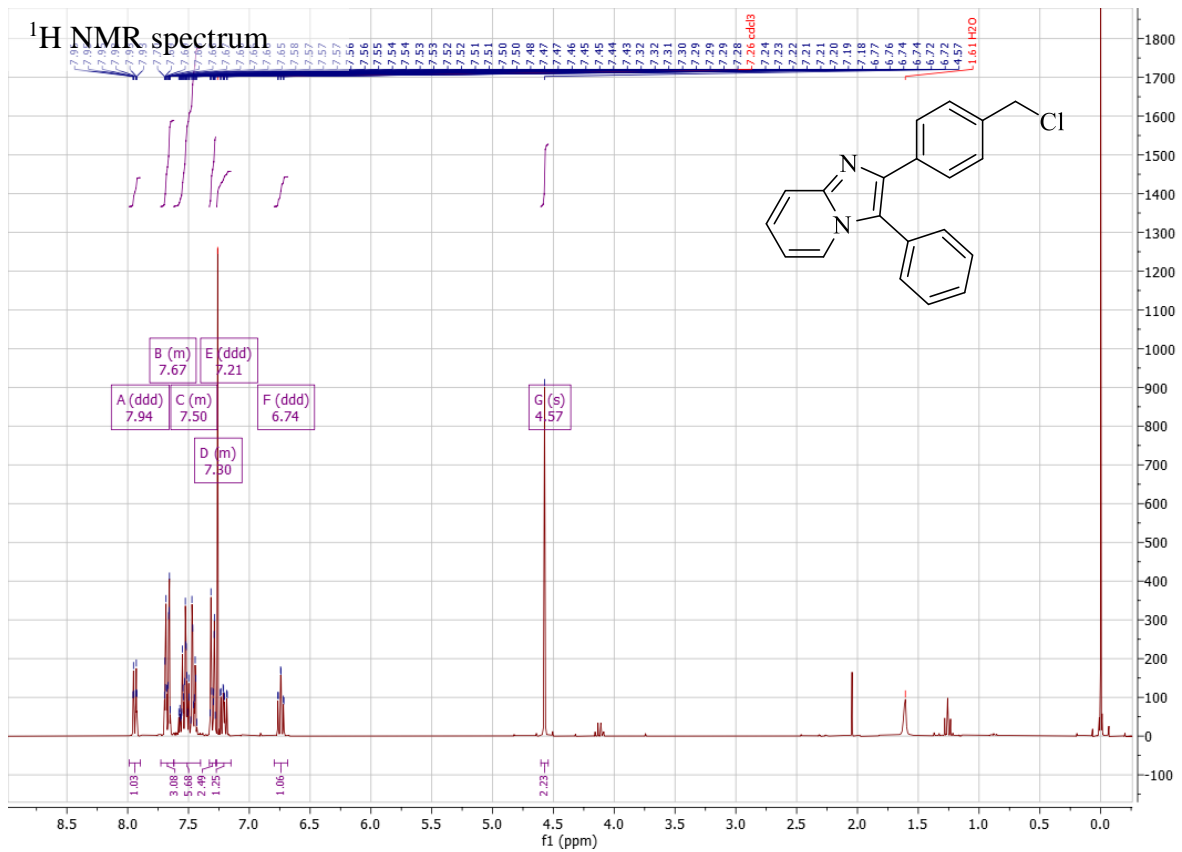
## B NMR spectra

## B.1.11 [4-(3-Phenylimidazo[1,2-a]pyridin-2-yl)phenyl]methanol – 3.18

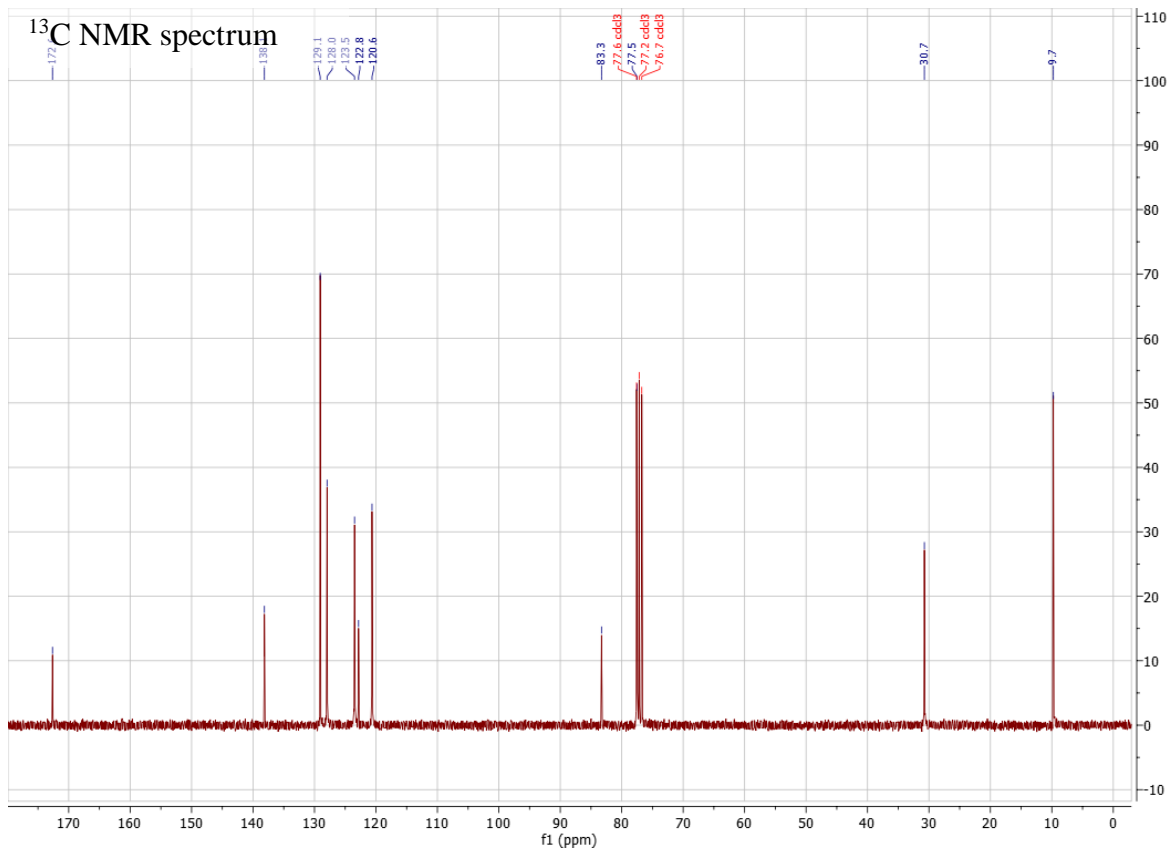
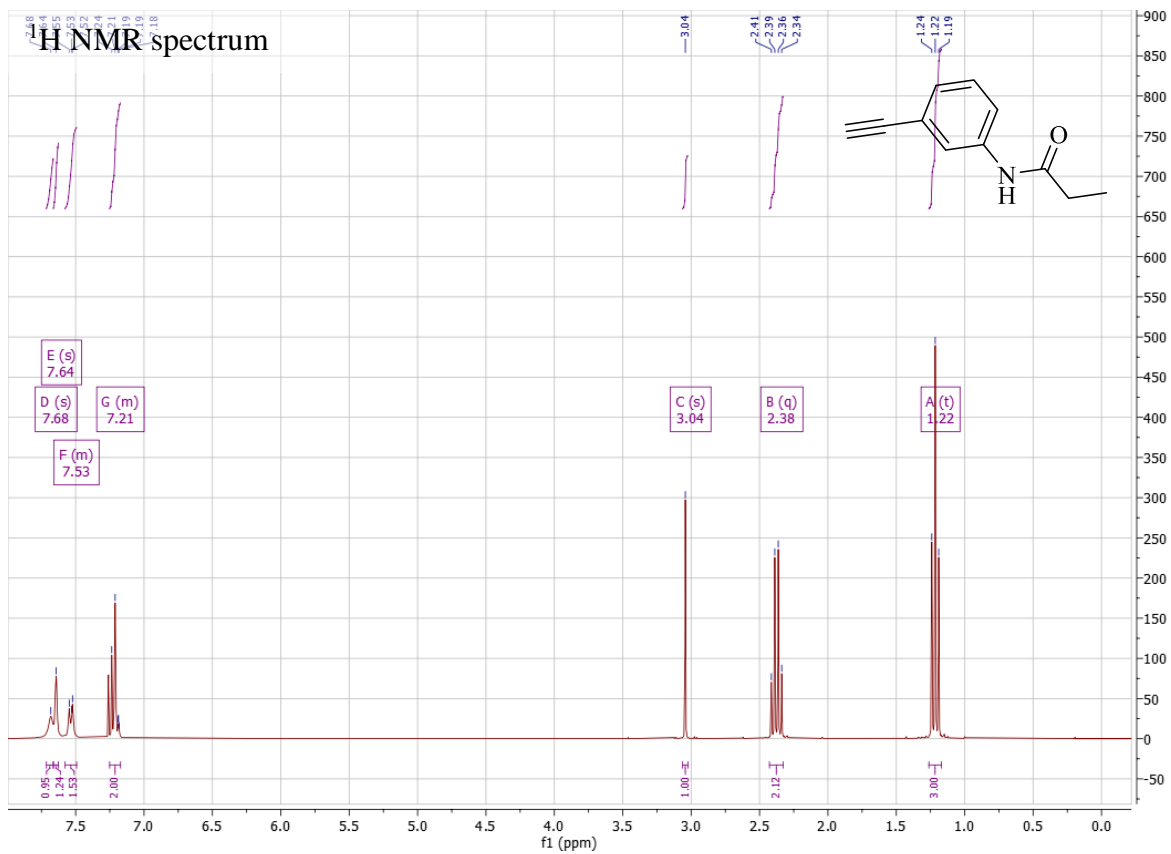


B NMR spectra

B.1.12 2-[4-(Chloromethyl)phenyl]-3-phenylimidazo[1,2-a]pyridine – 3.20

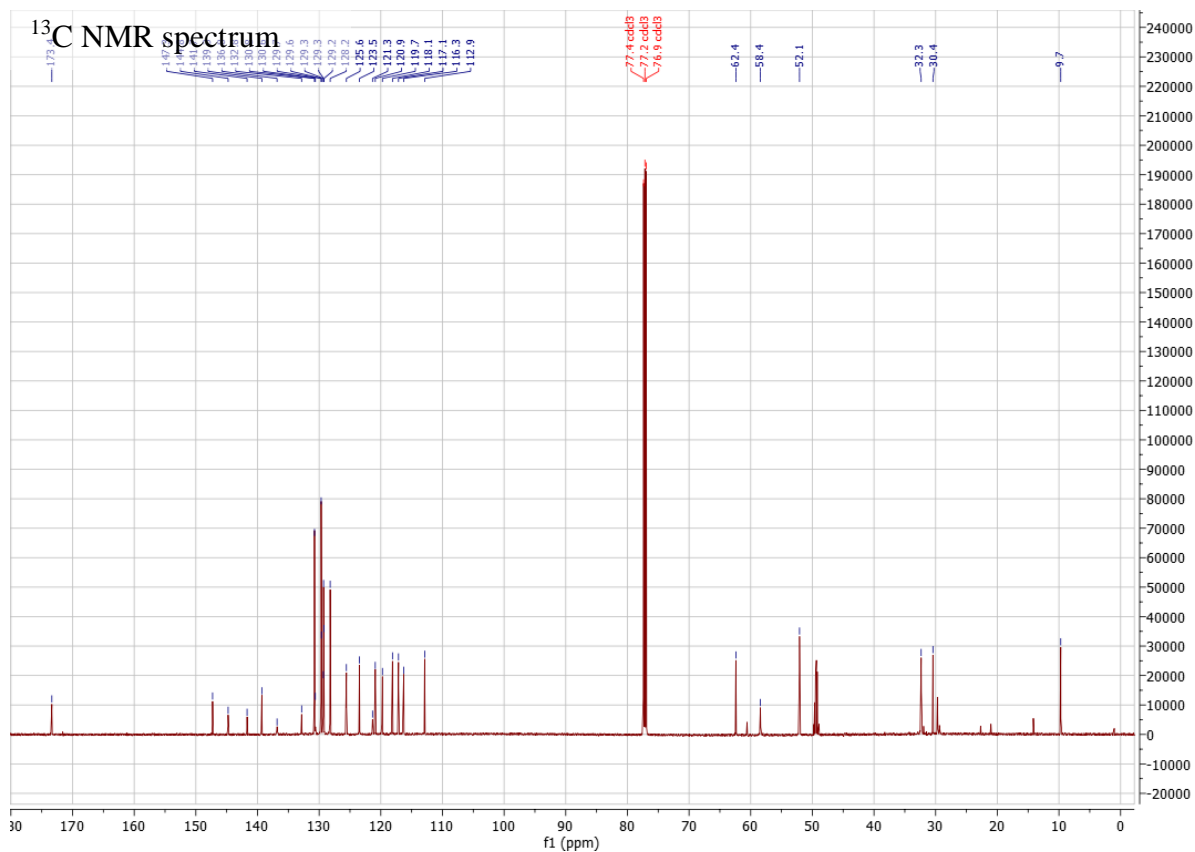
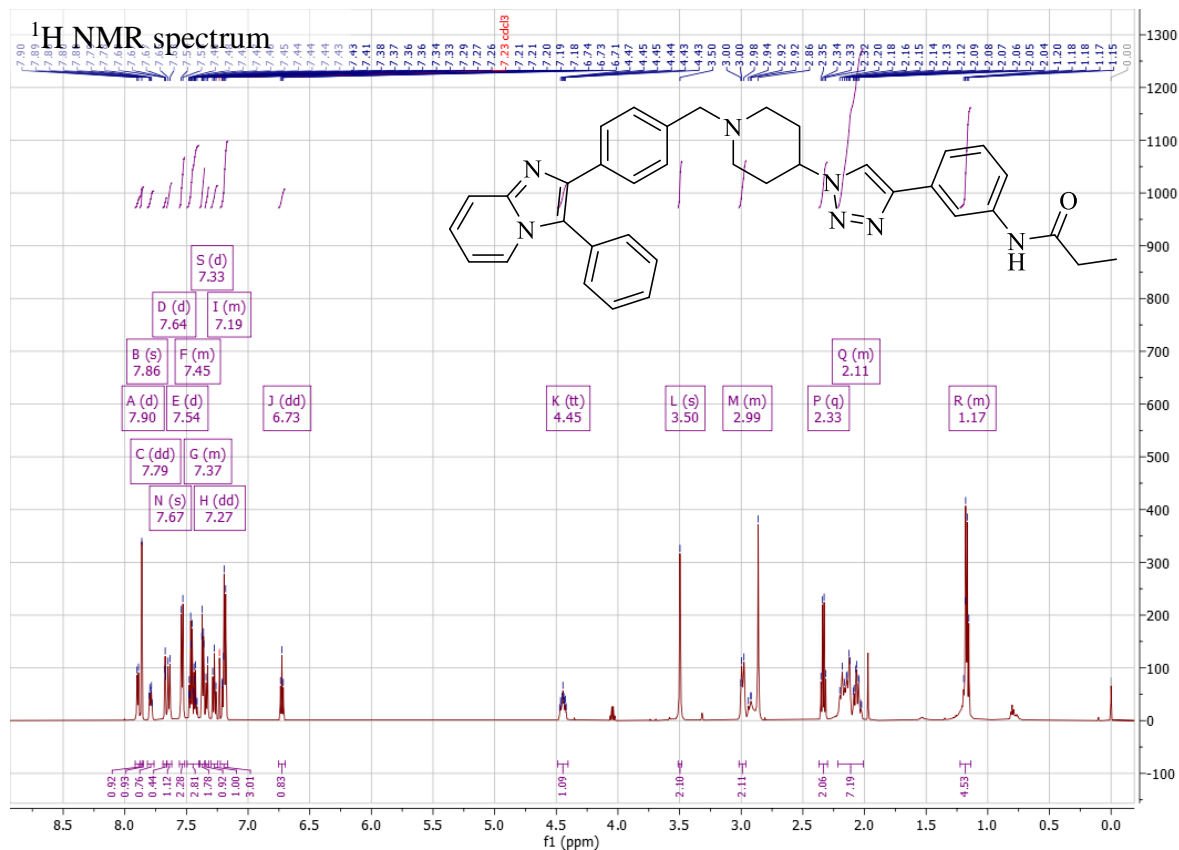


## B NMR spectra

B.1.13 *N*-(3-Ethynylphenyl)propionamide – 3.2

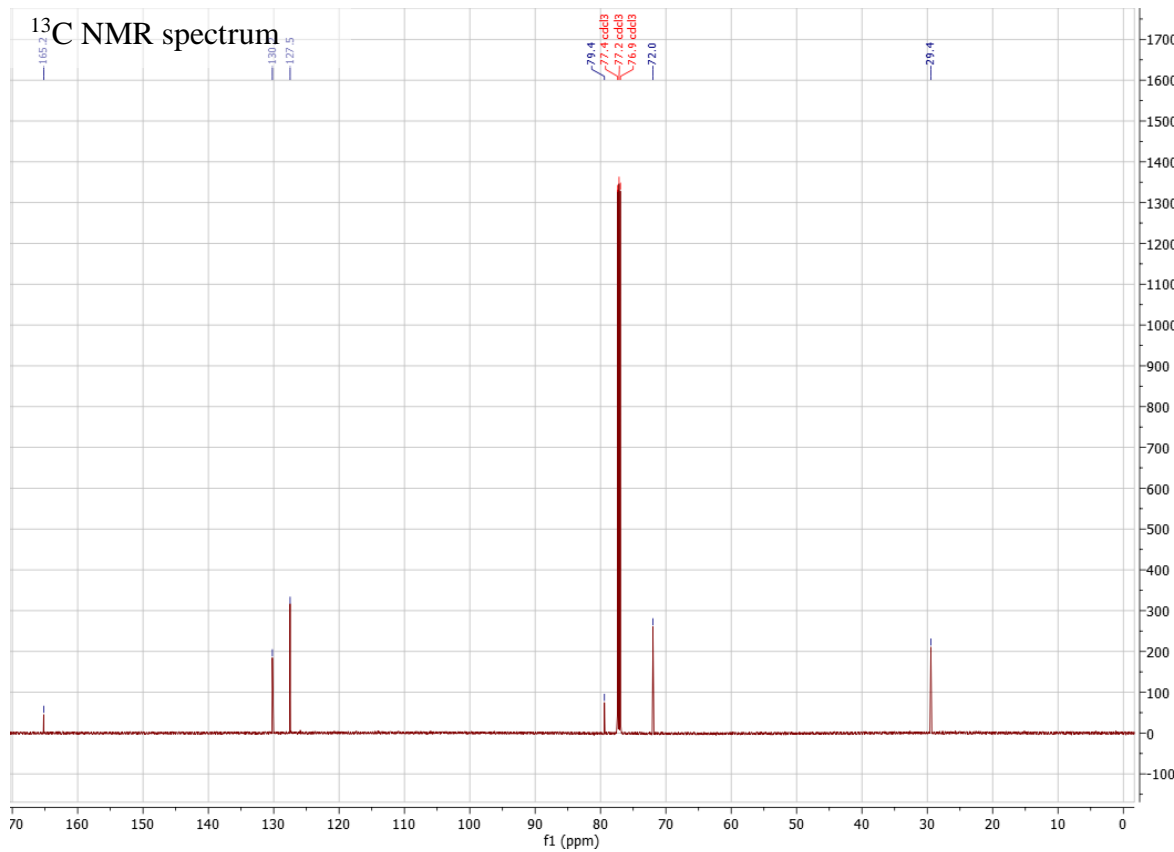
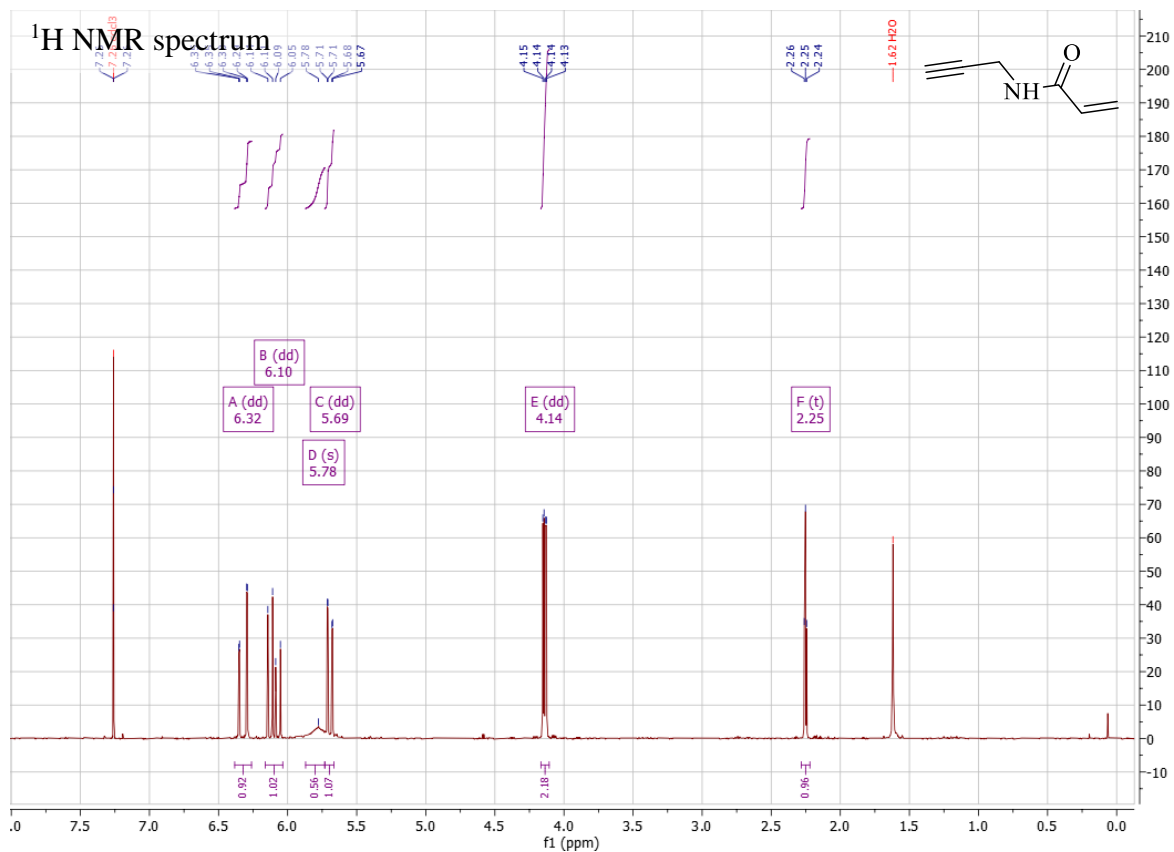


## B NMR spectra

**B.1.14 N-[3-(1-[1-[4-(3-Phenylimidazo[1,2-a]pyridin-2-yl)benzyl]piperidin-4-yl)-1H-1,2,3-triazol-4-yl)phenyl]propionamide – 2.68**

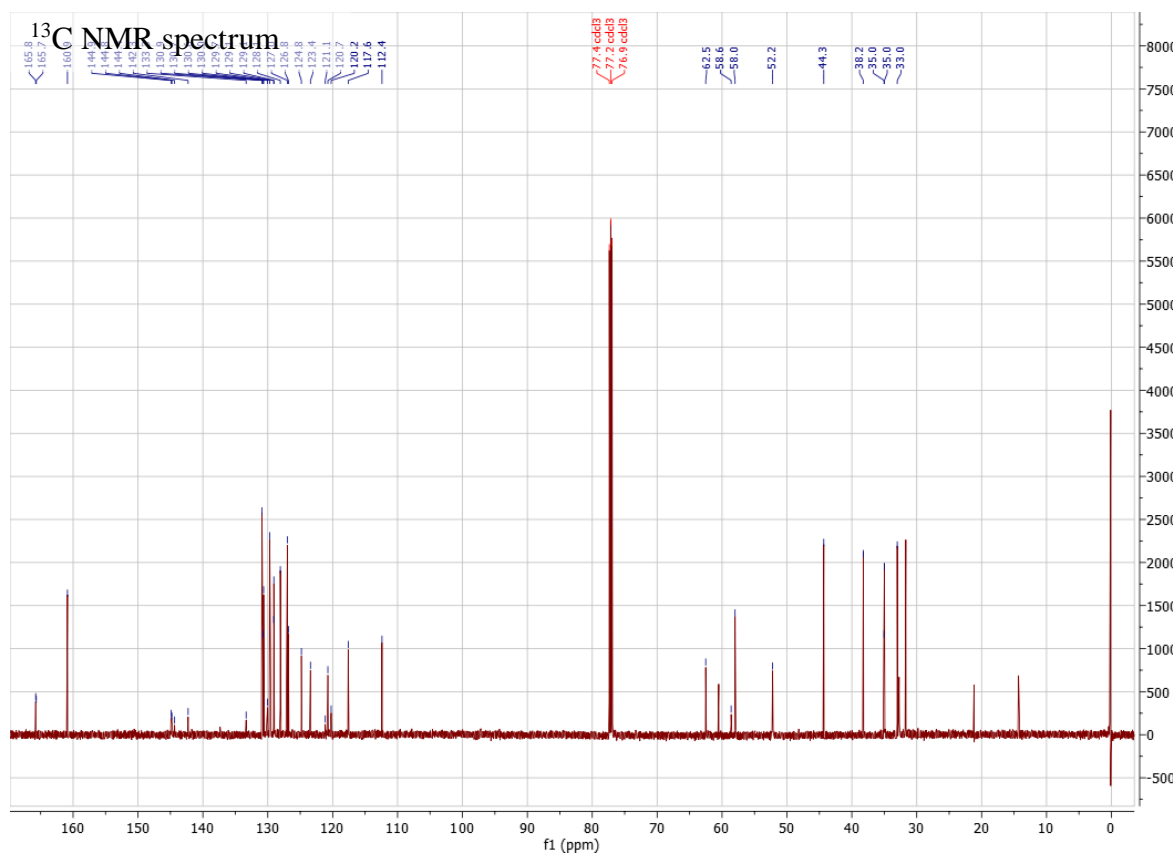
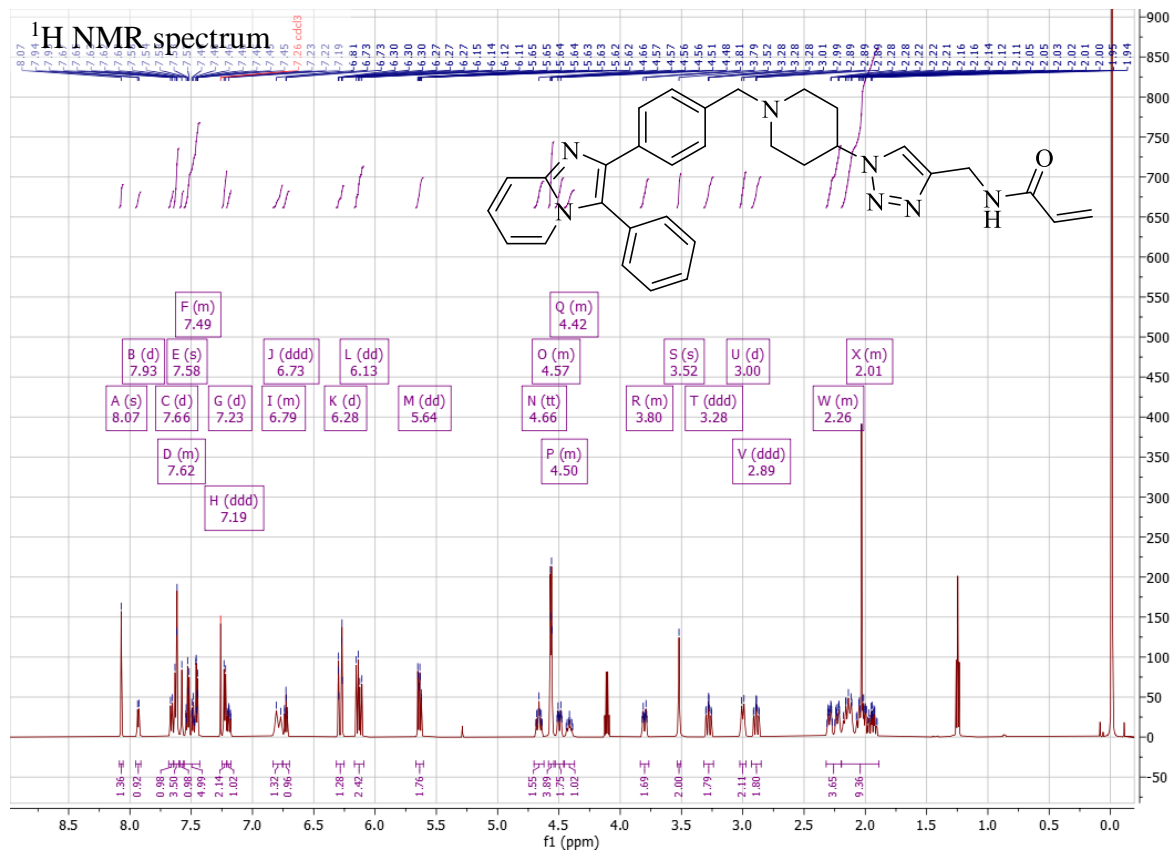
B NMR spectra

B.1.15 N-(Prop-2-yn-1-yl)acrylamide – 3.23

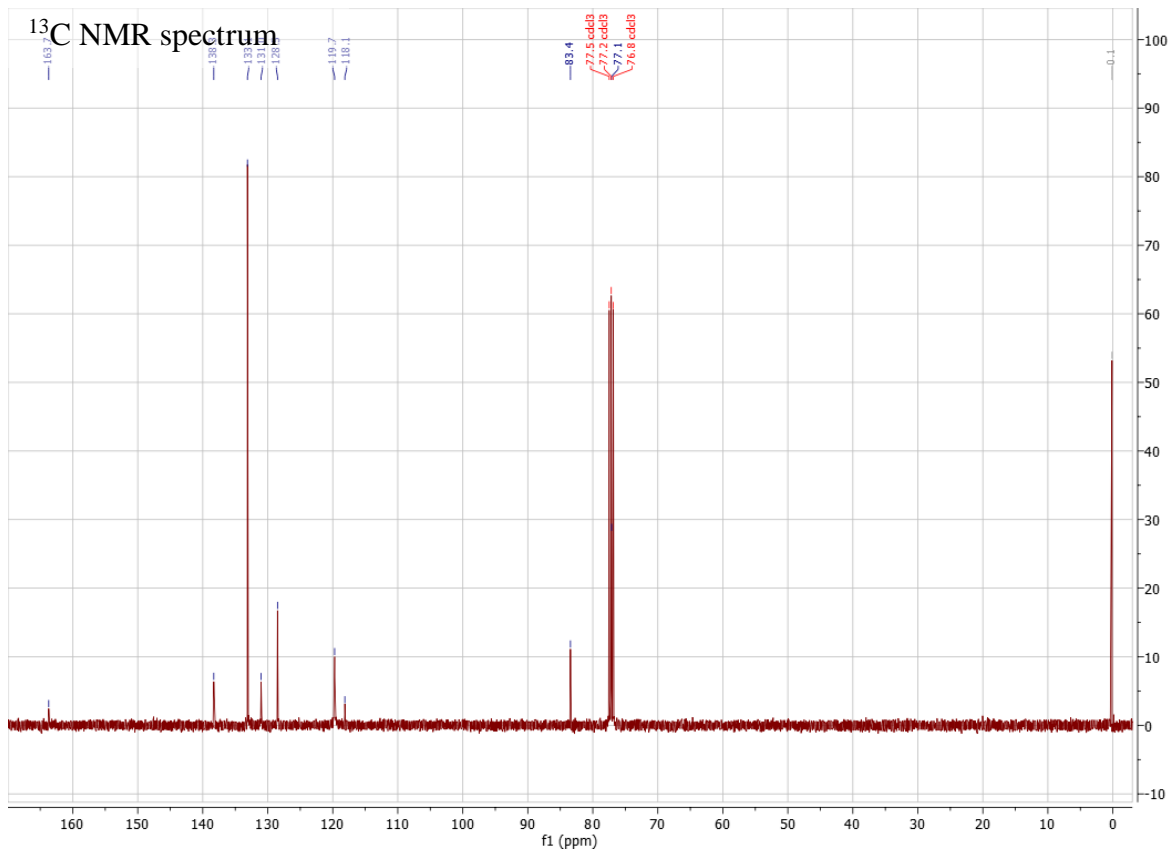
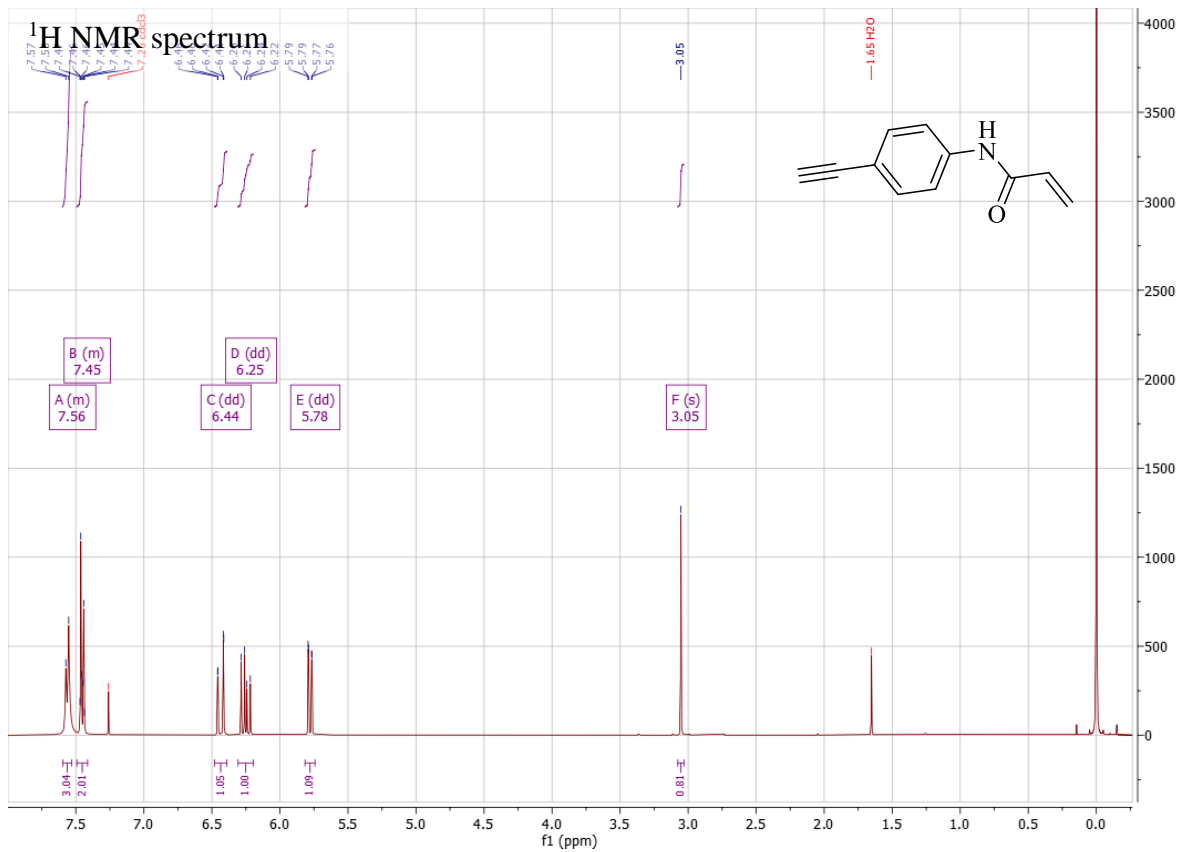


## B NMR spectra

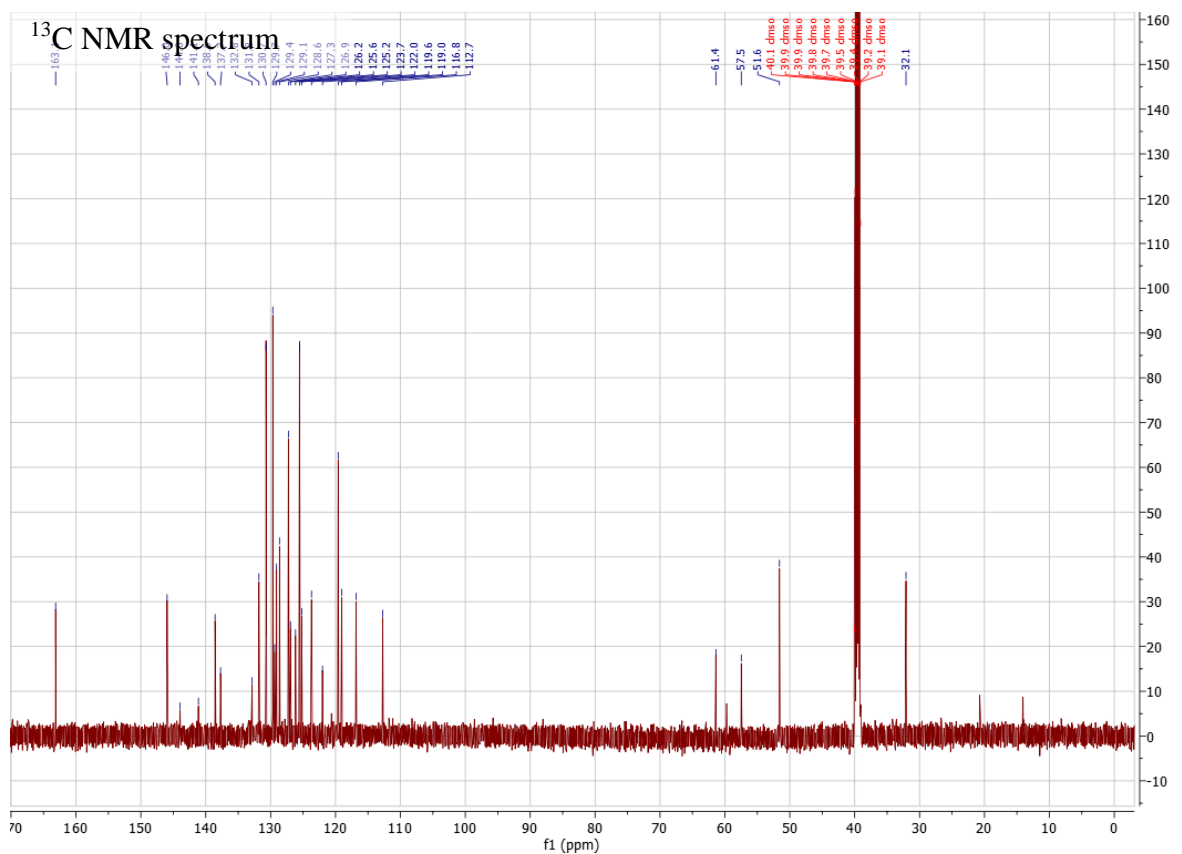
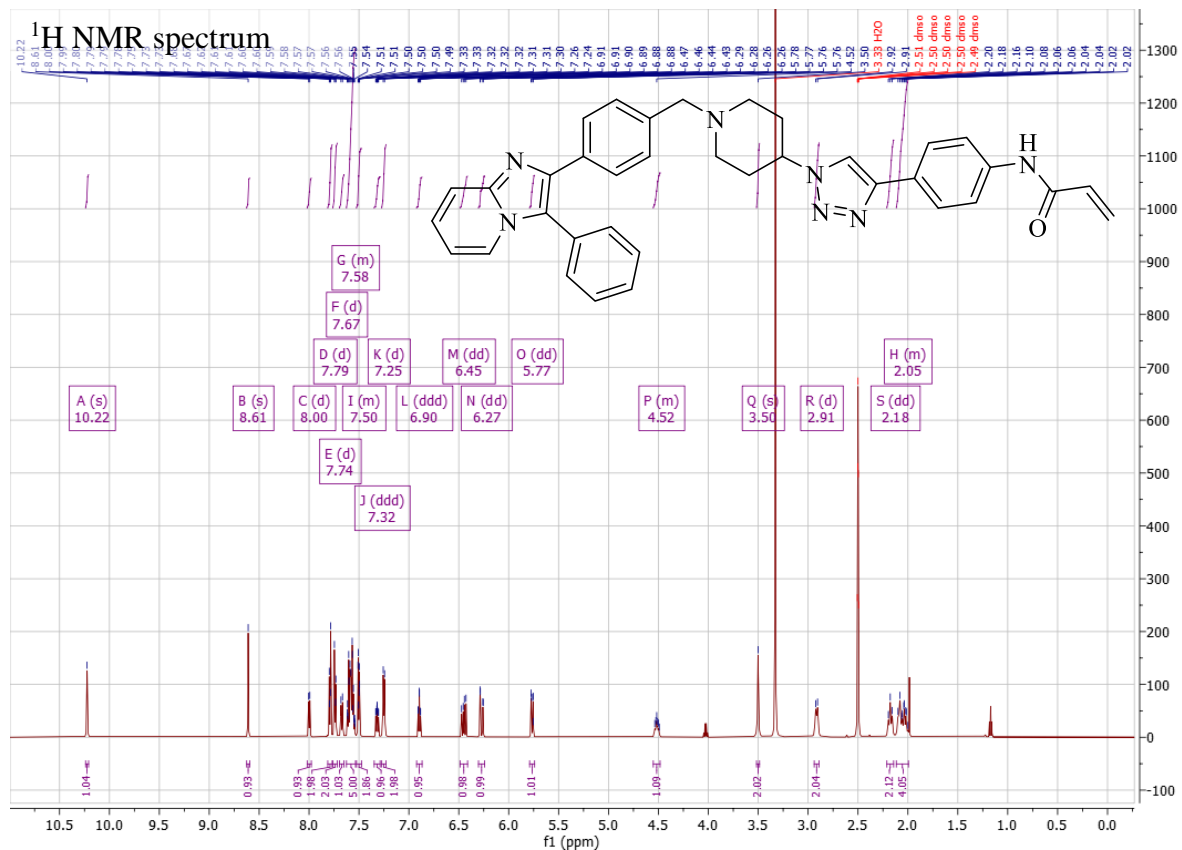
**B.1.16 N-[(1-{1-[4-(3-Phenylimidazo[1,2-a]pyridin-2-yl)benzyl]piperidin-4-yl}-1H-1,2,3-triazol-4-yl)methyl]acrylamide – 2.69**



## B NMR spectra

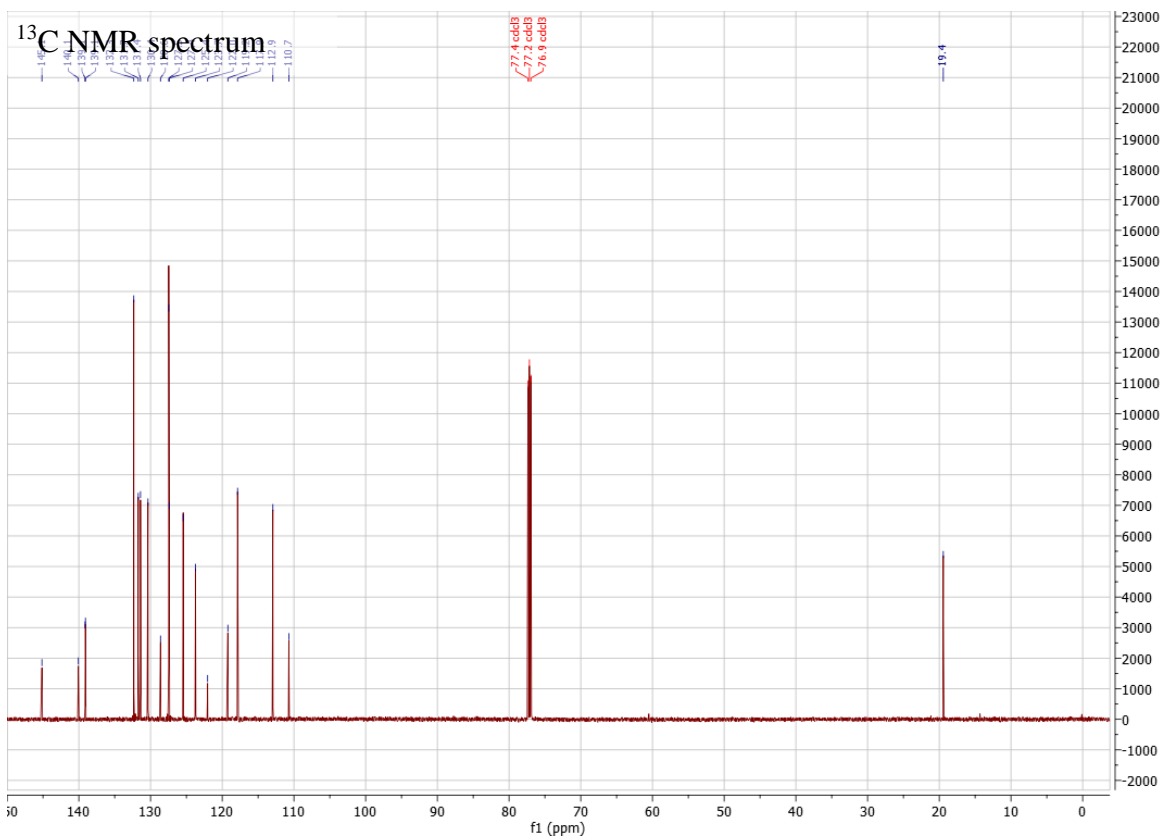
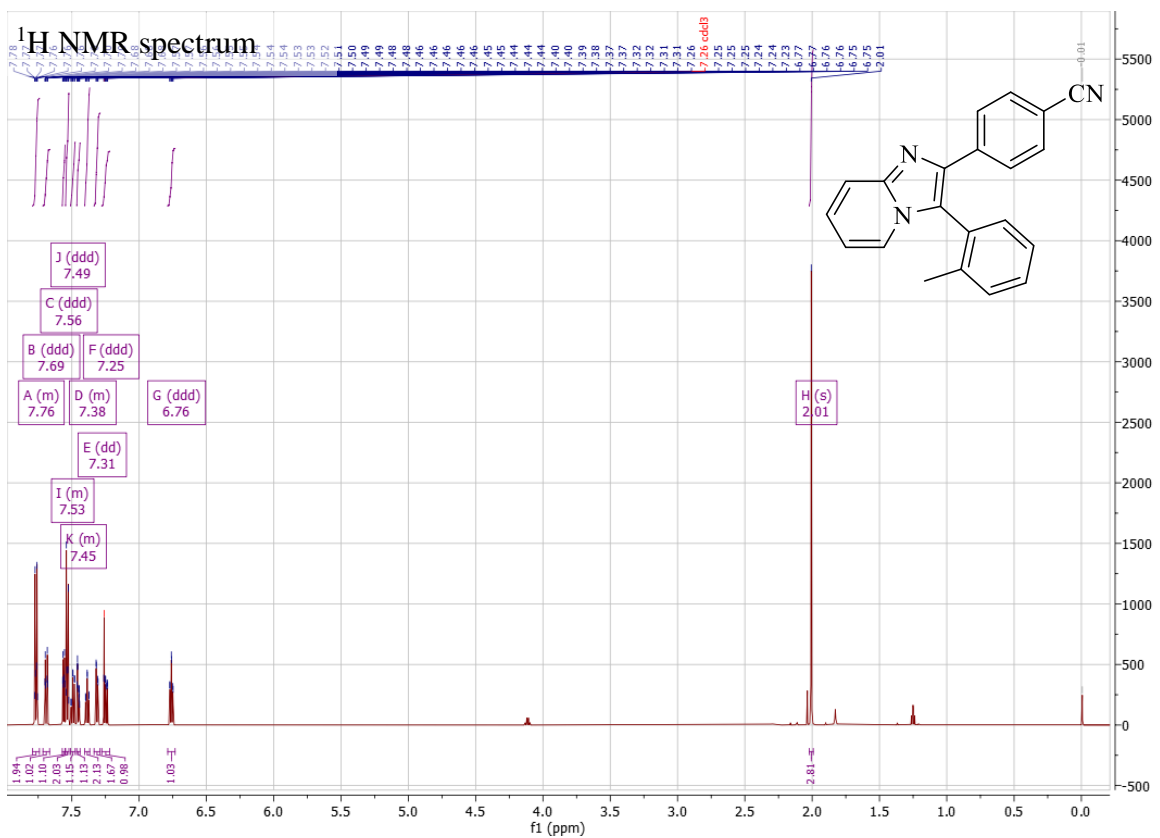
B.1.17 *N*-(4-Ethynylphenyl)acrylamide – 3.26

## B NMR spectra

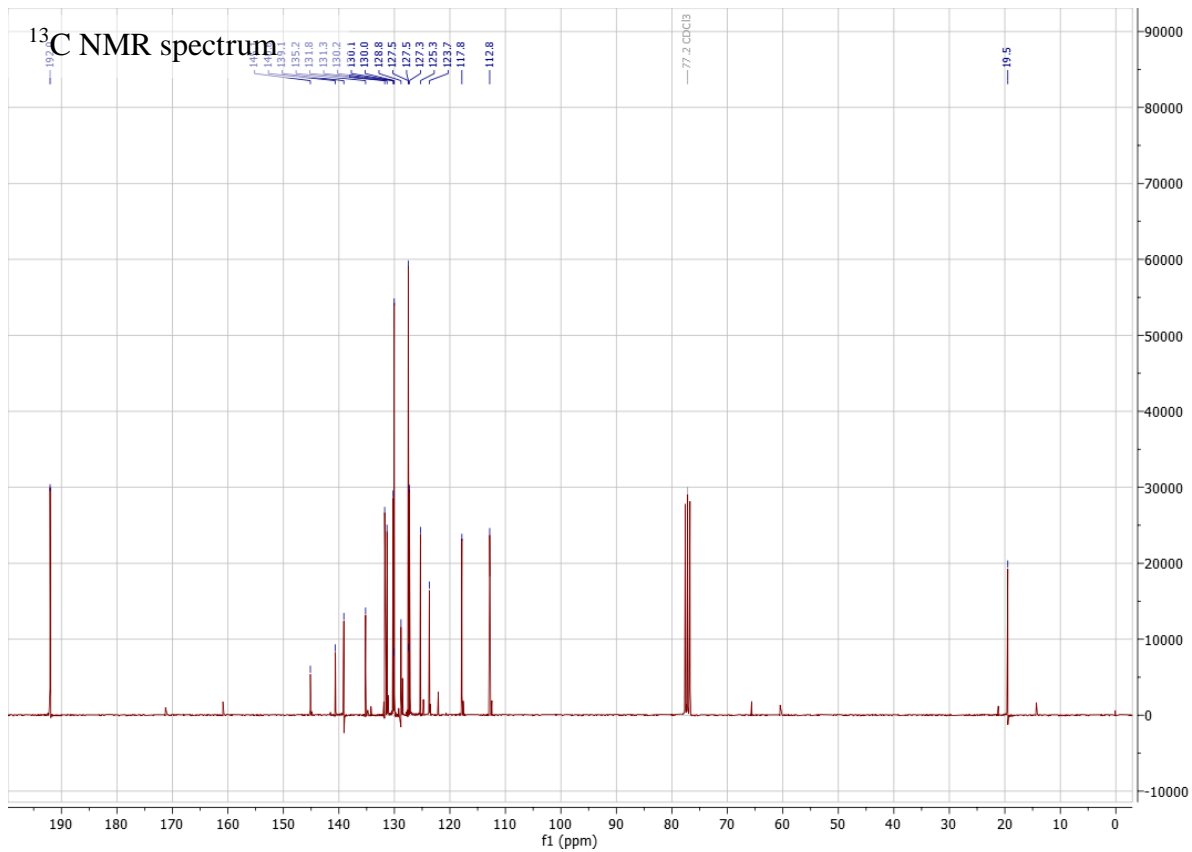
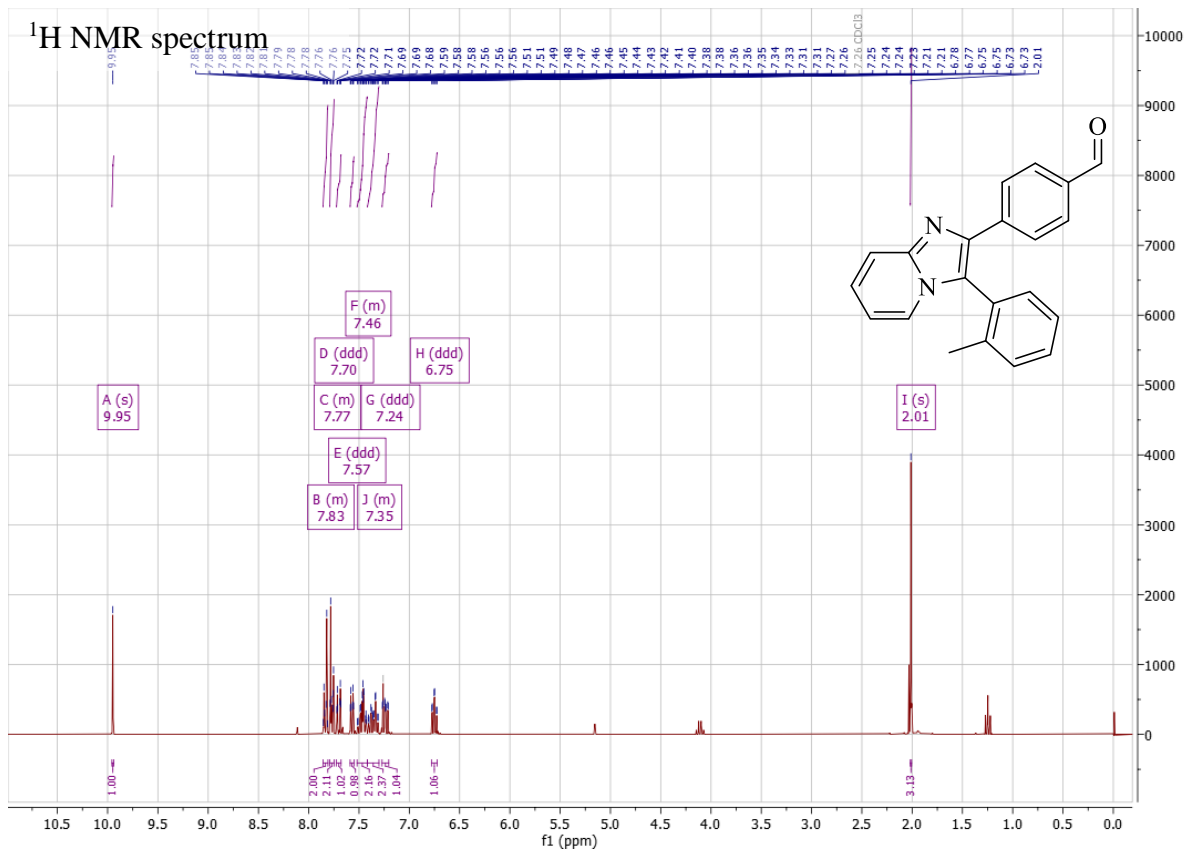
**B.1.18 *N*-[4-(1-[4-(3-phenylimidazo[1,2-*a*]pyridin-2-yl)benzyl]piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl]phenyl]acrylamide – 2.64**

## B NMR spectra

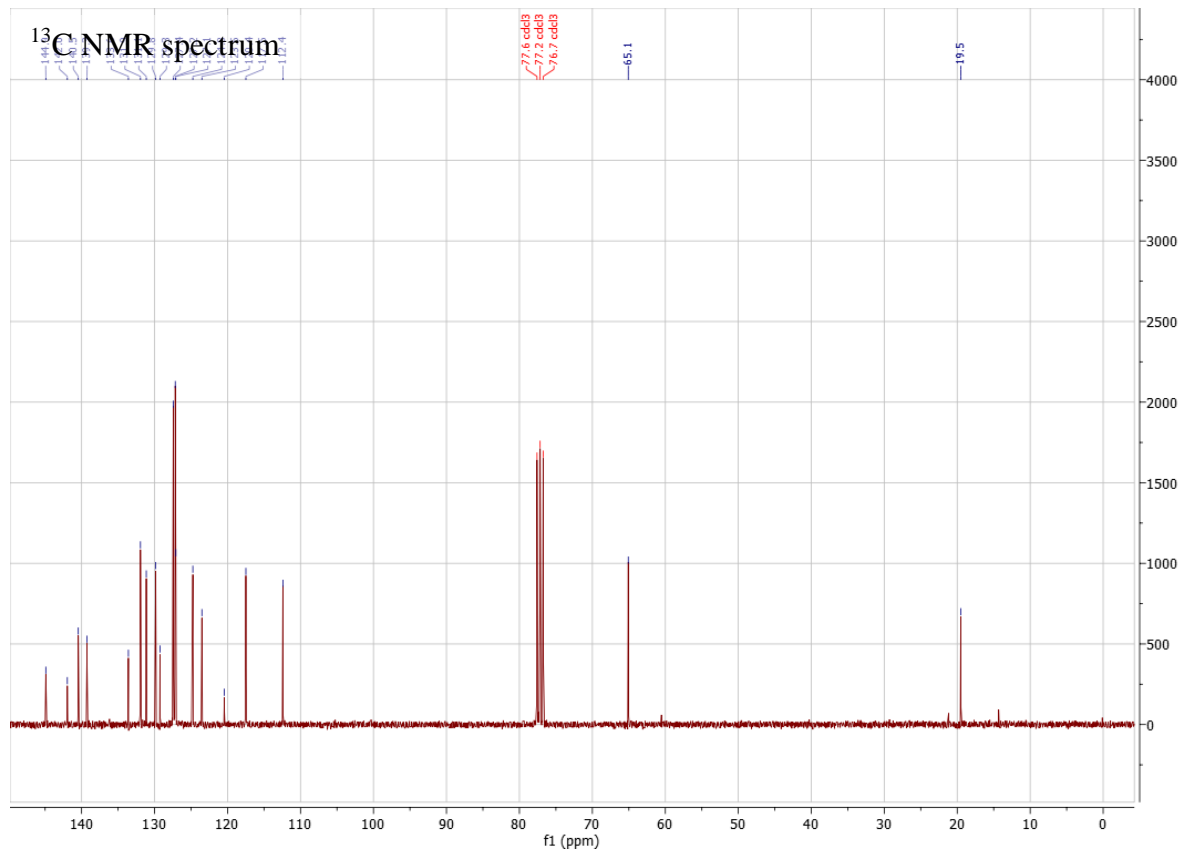
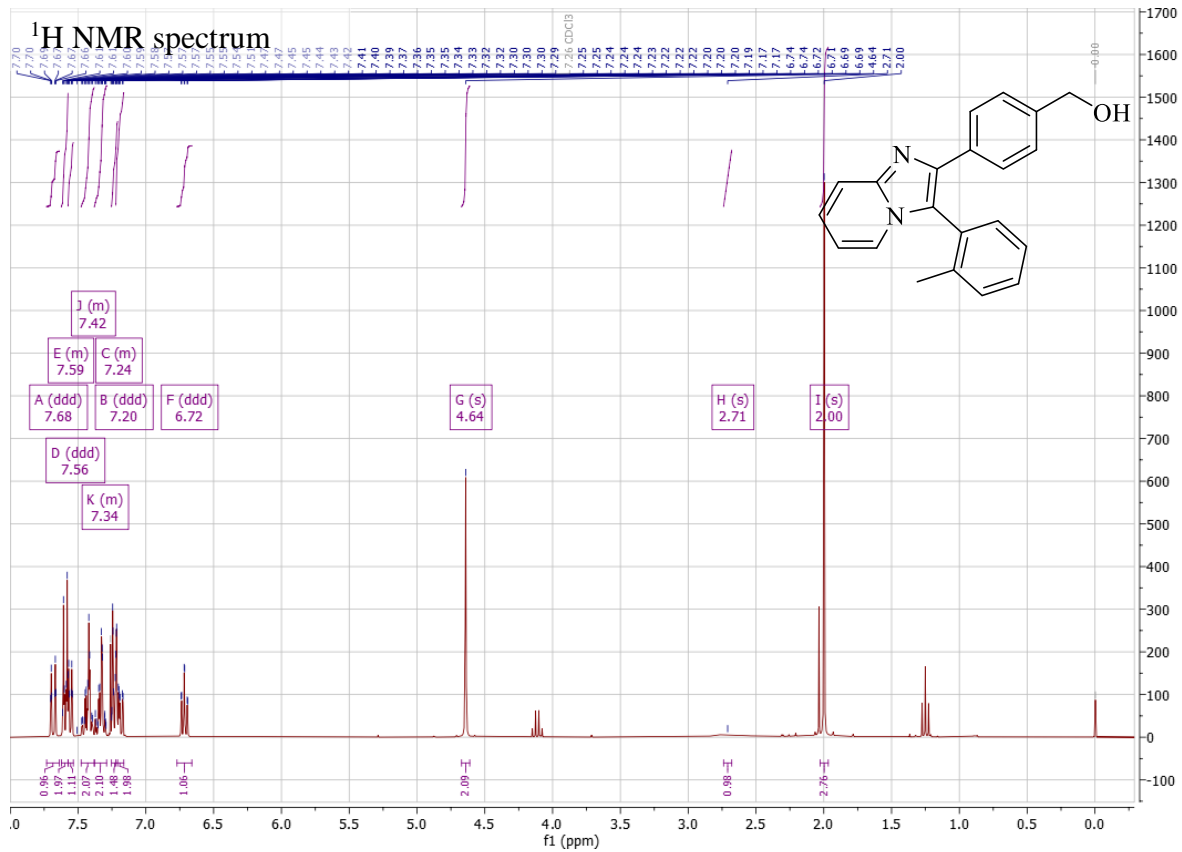
## B.2 Chapter 4 compounds

B.2.1 4-[3-(*o*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]benzonitrile – 4.7

## B NMR spectra

B.2.2 4-[3-(*o*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]benzaldehyde – 4.11

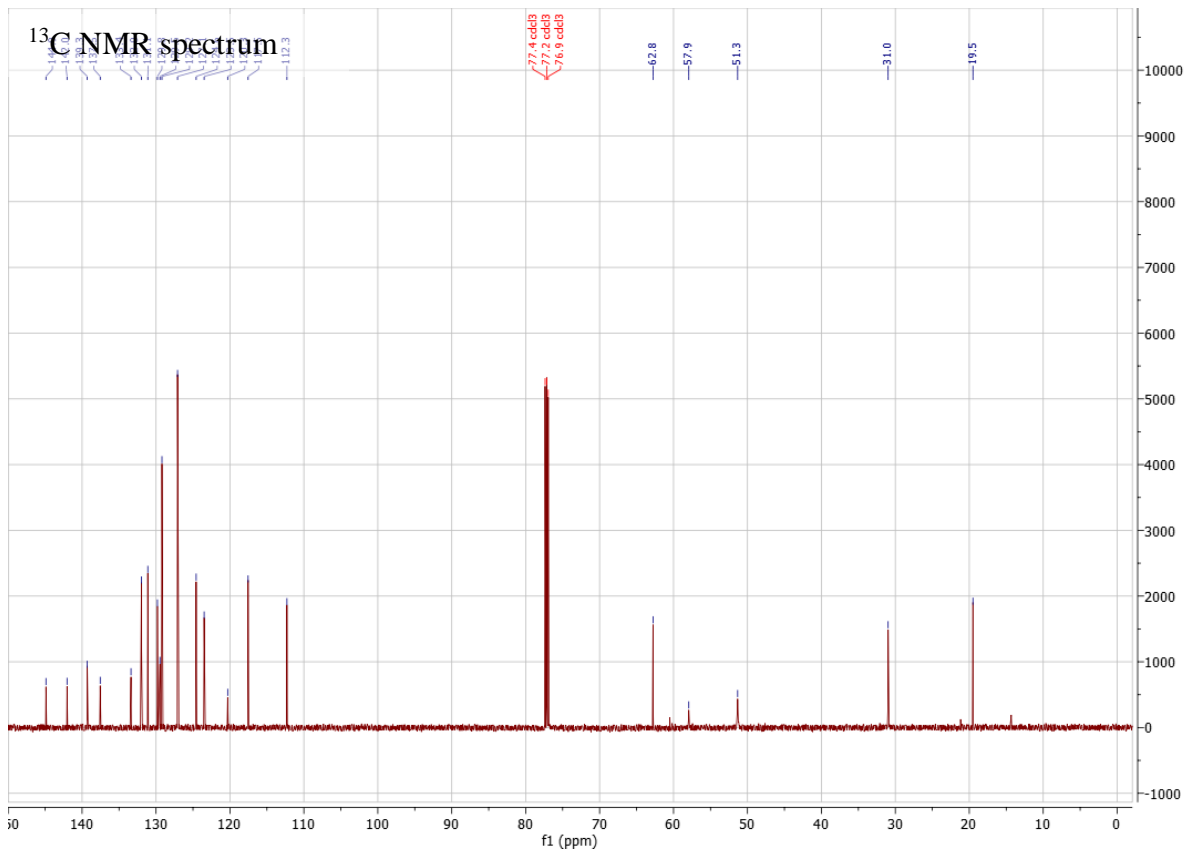
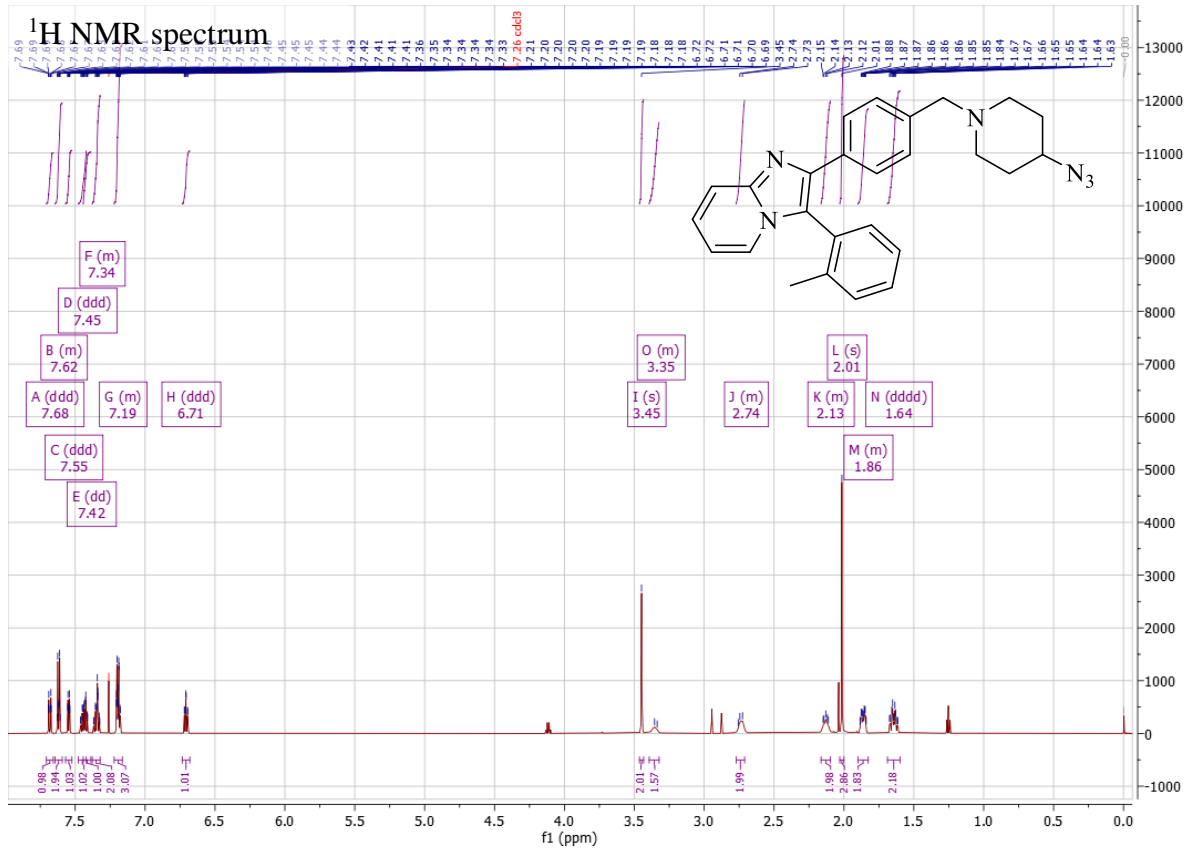
## B NMR spectra

B.2.3 {4-[3-(*o*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]phenyl}methanol – 4.12

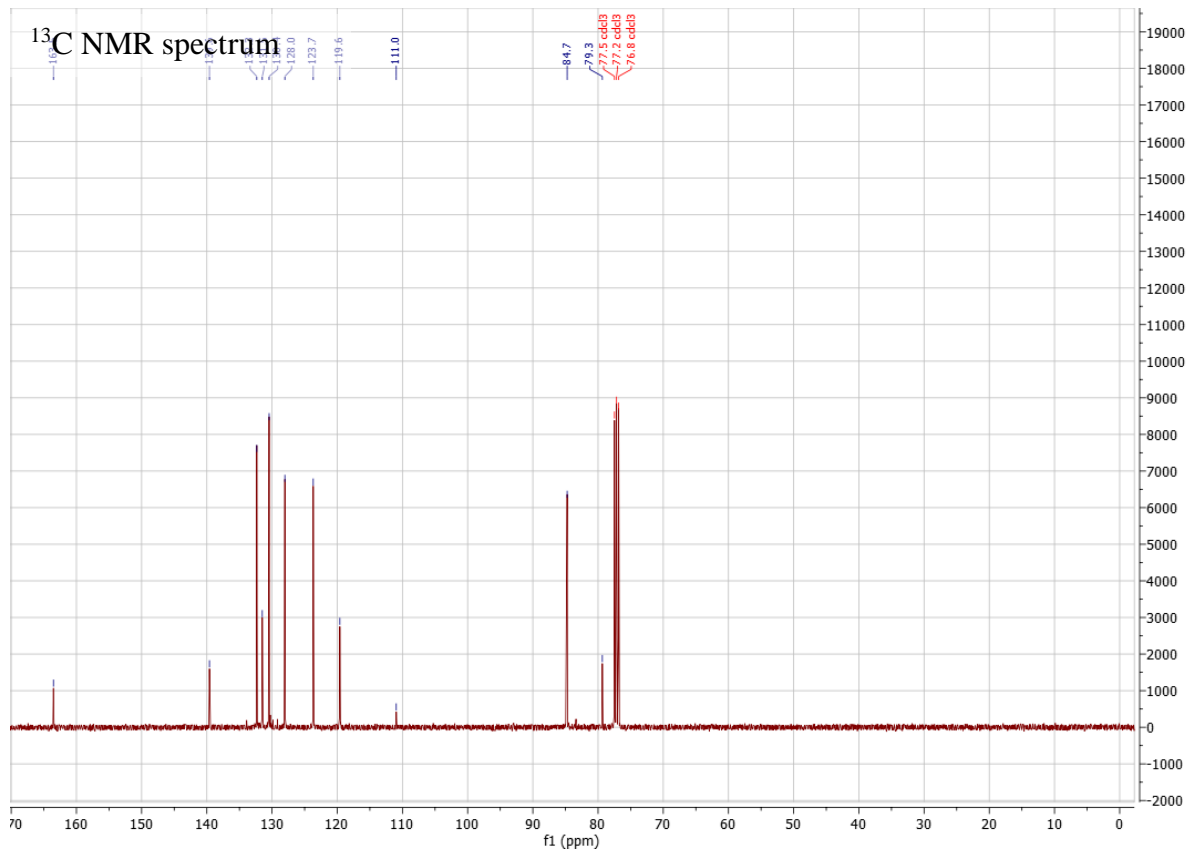
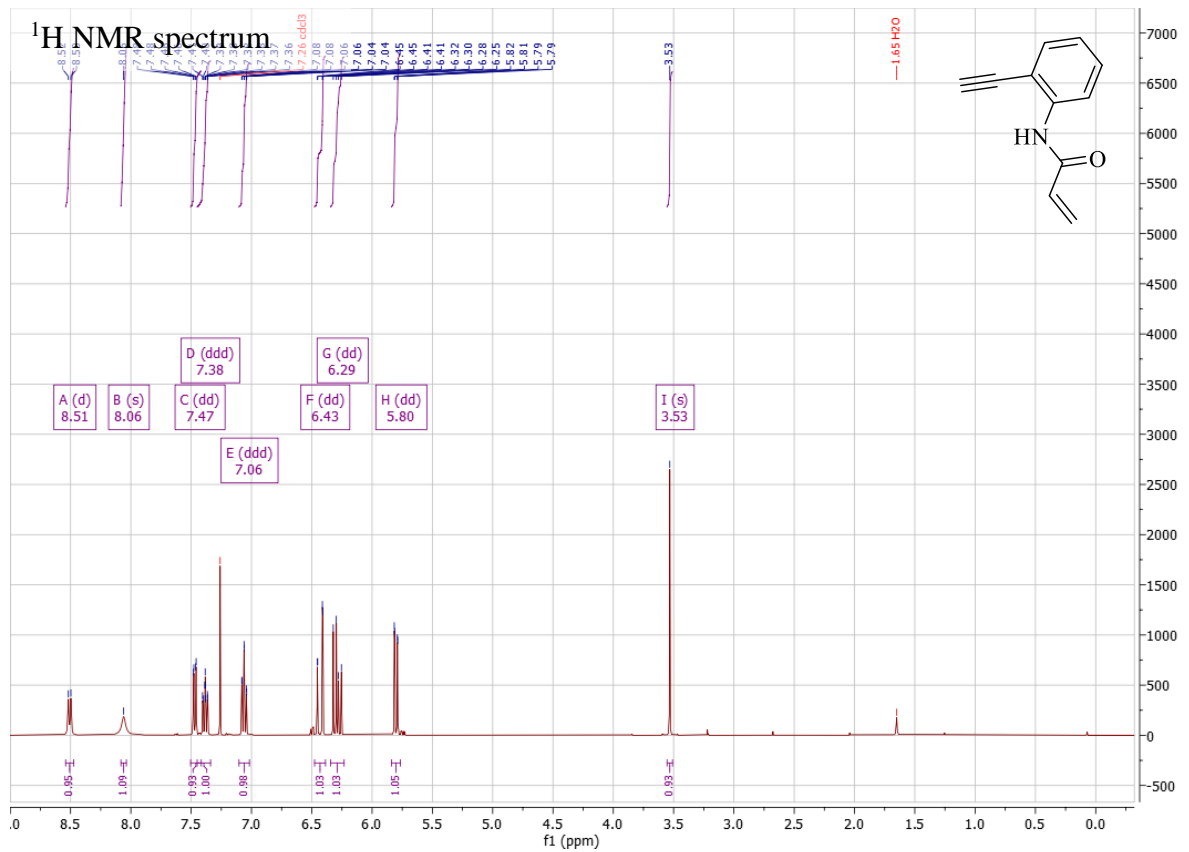




## B NMR spectra

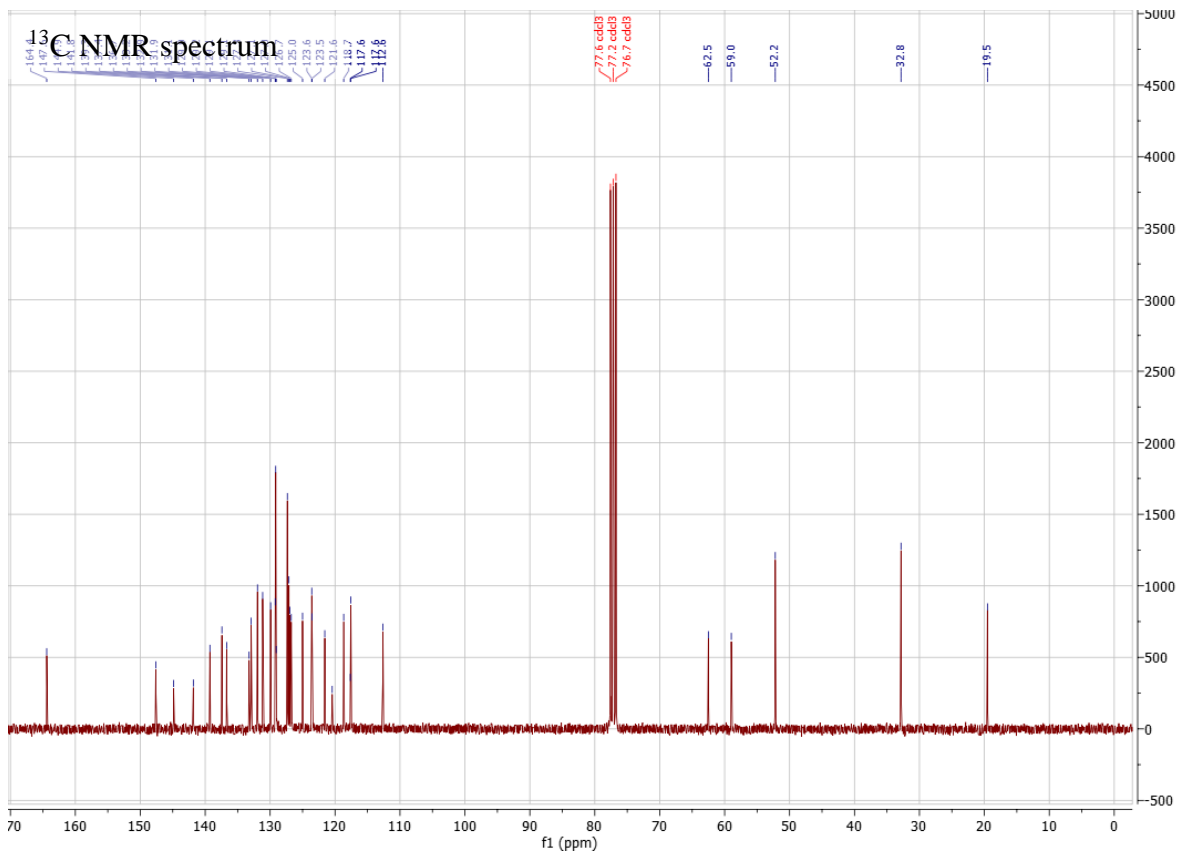
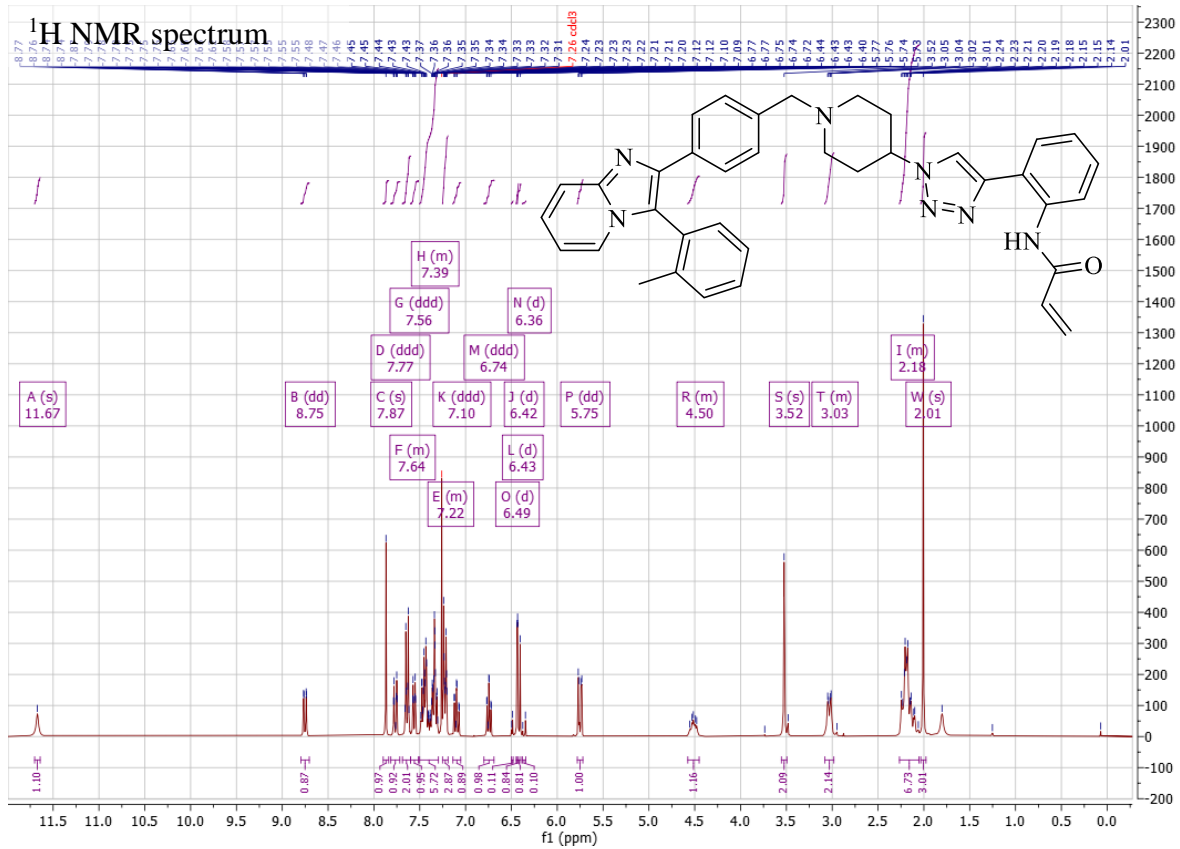
**B.2.5 2-[4-[(4-Azidopiperidin-1-yl)methyl]phenyl]-3-(*o*-tolyl)-imidazo[1,2-*a*]pyridine – 4.9**

## B NMR spectra

B.2.6 *N*-(2-Ethynylphenyl)acrylamide – 4.14

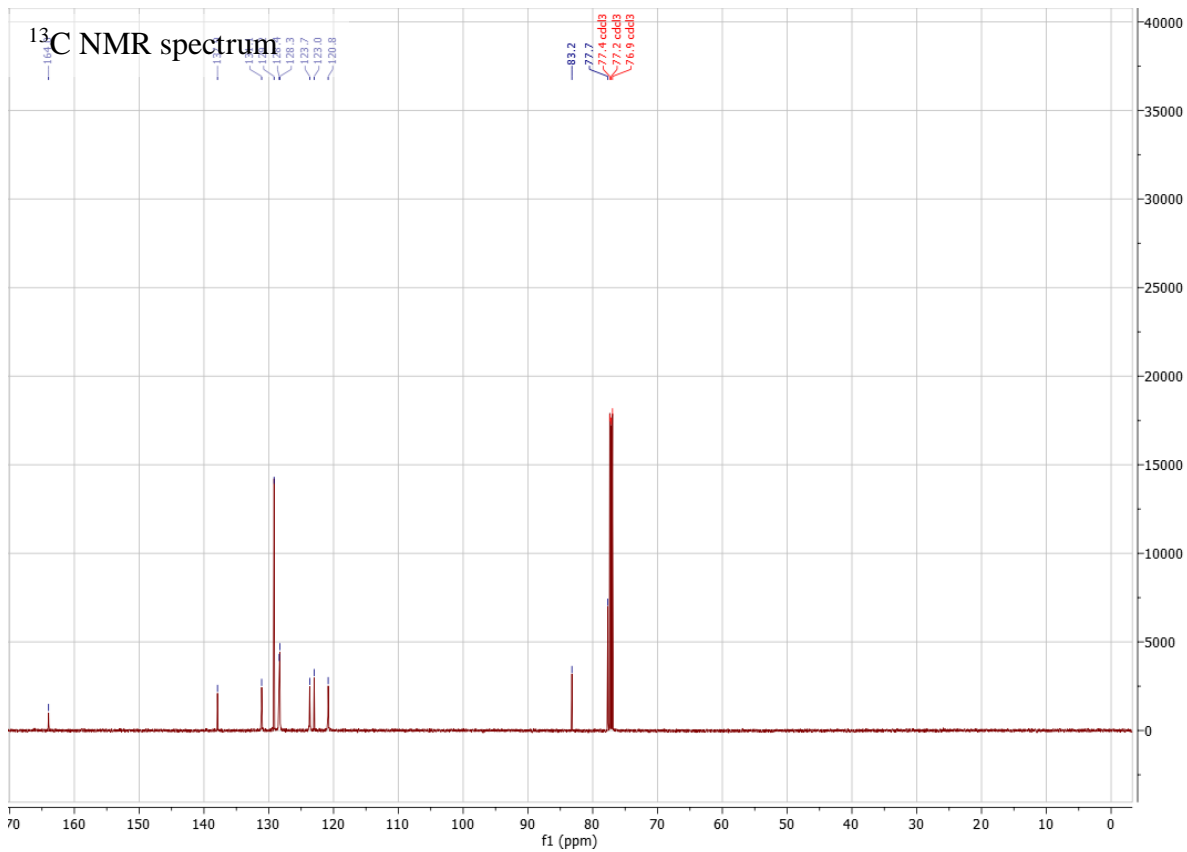
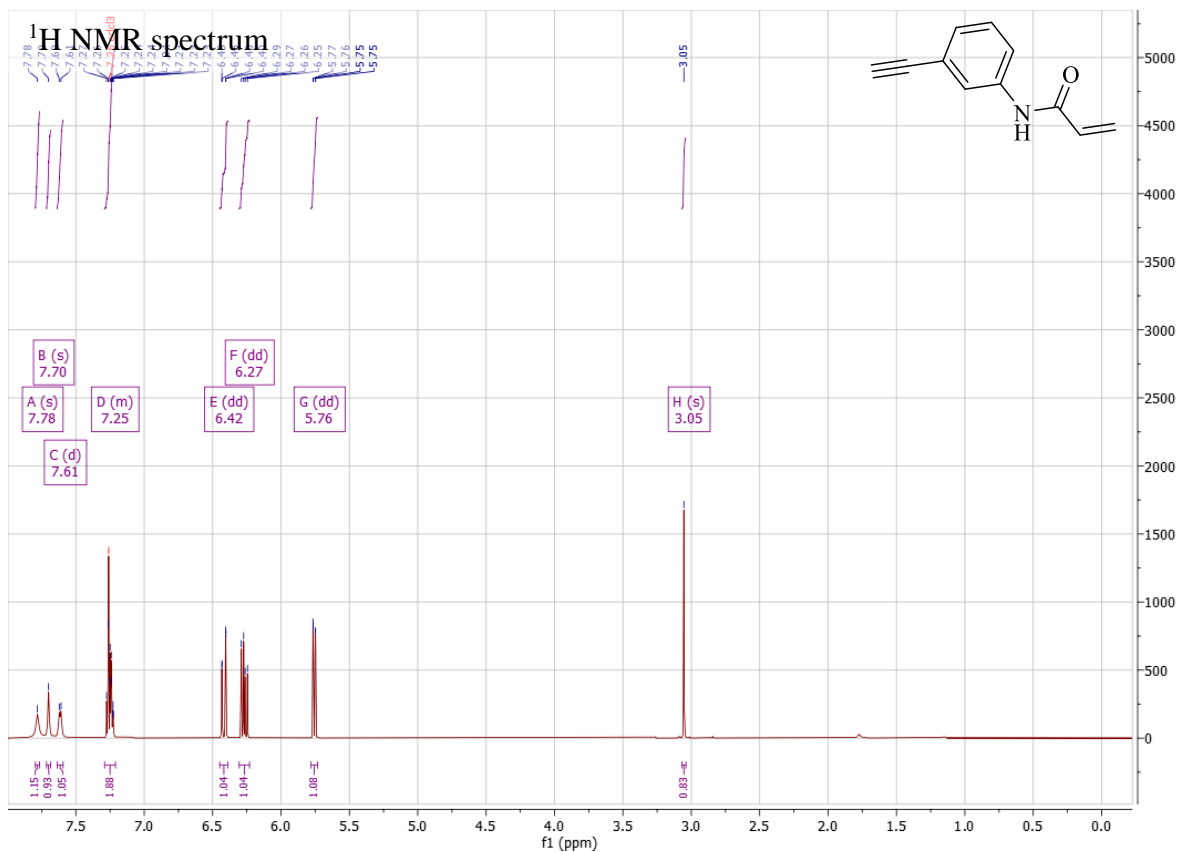
B NMR spectra

**B.2.7 N-{2-[1-(1-{4-[3-(*o*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]benzyl)piperidin-4-yl]-1*H*-1,2,3-triazol-4-yl]phenyl}acrylamide – 4.1**

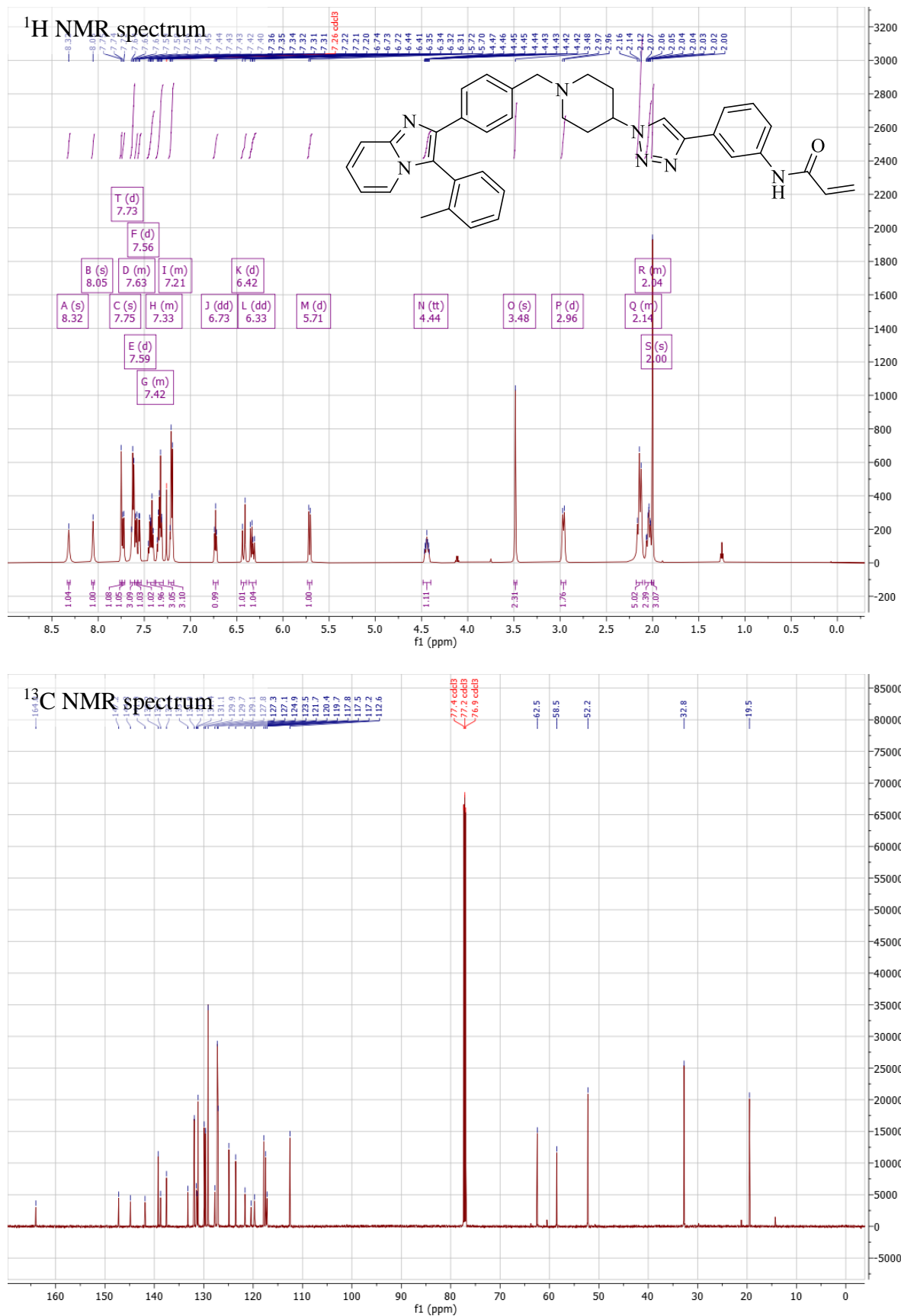


B NMR spectra

B.2.8 *N*-(3-Ethynylphenyl)acrylamide – 4.10

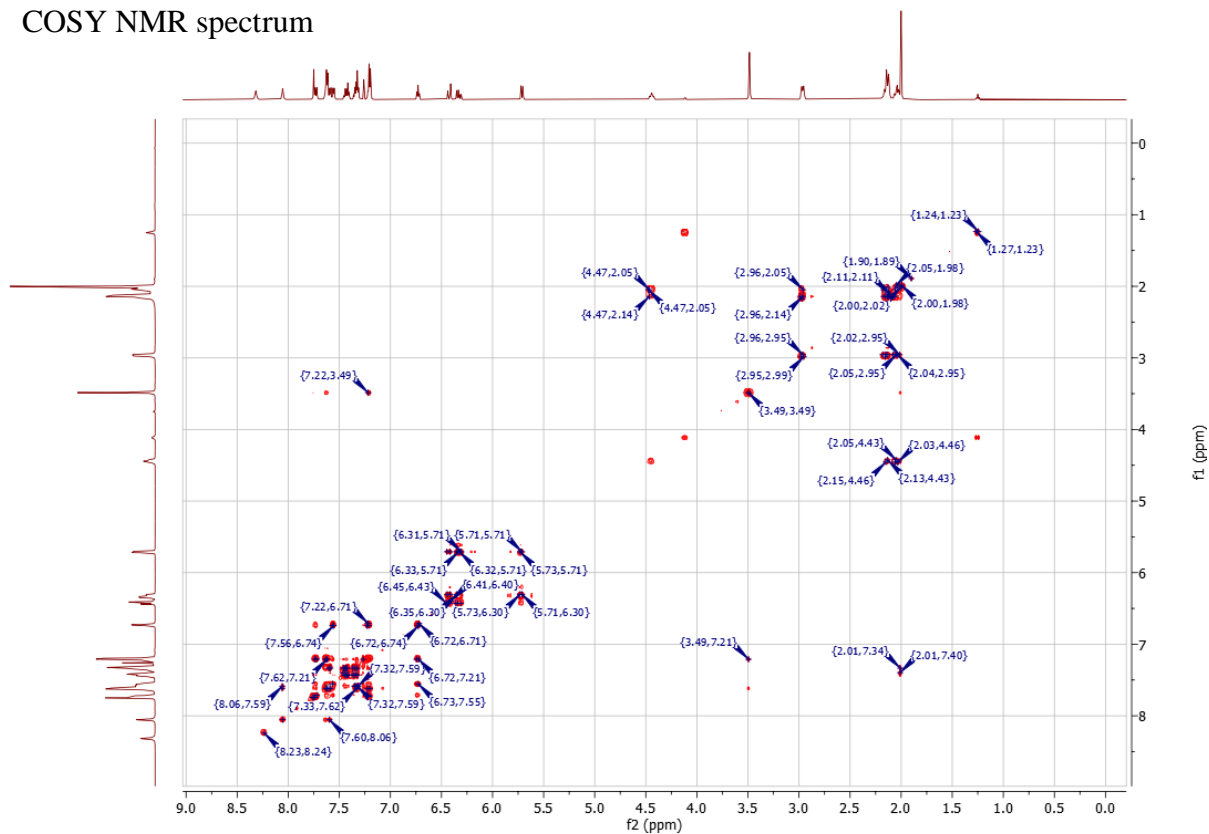


## B NMR spectra

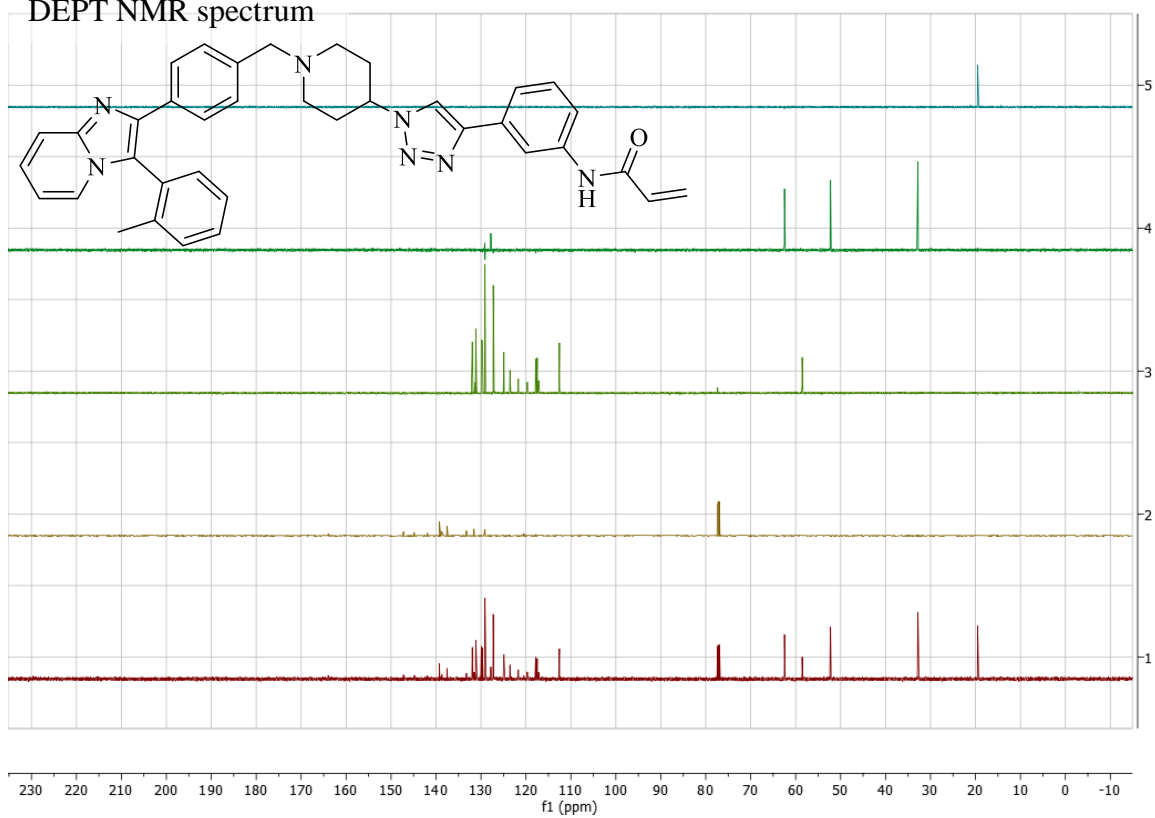
**B.2.9 N-{3-[1-(1-{4-[3-(*o*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl)benzyl]piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl]phenyl}acrylamide – 4.2**

### B NMR spectra

COSY NMR spectrum

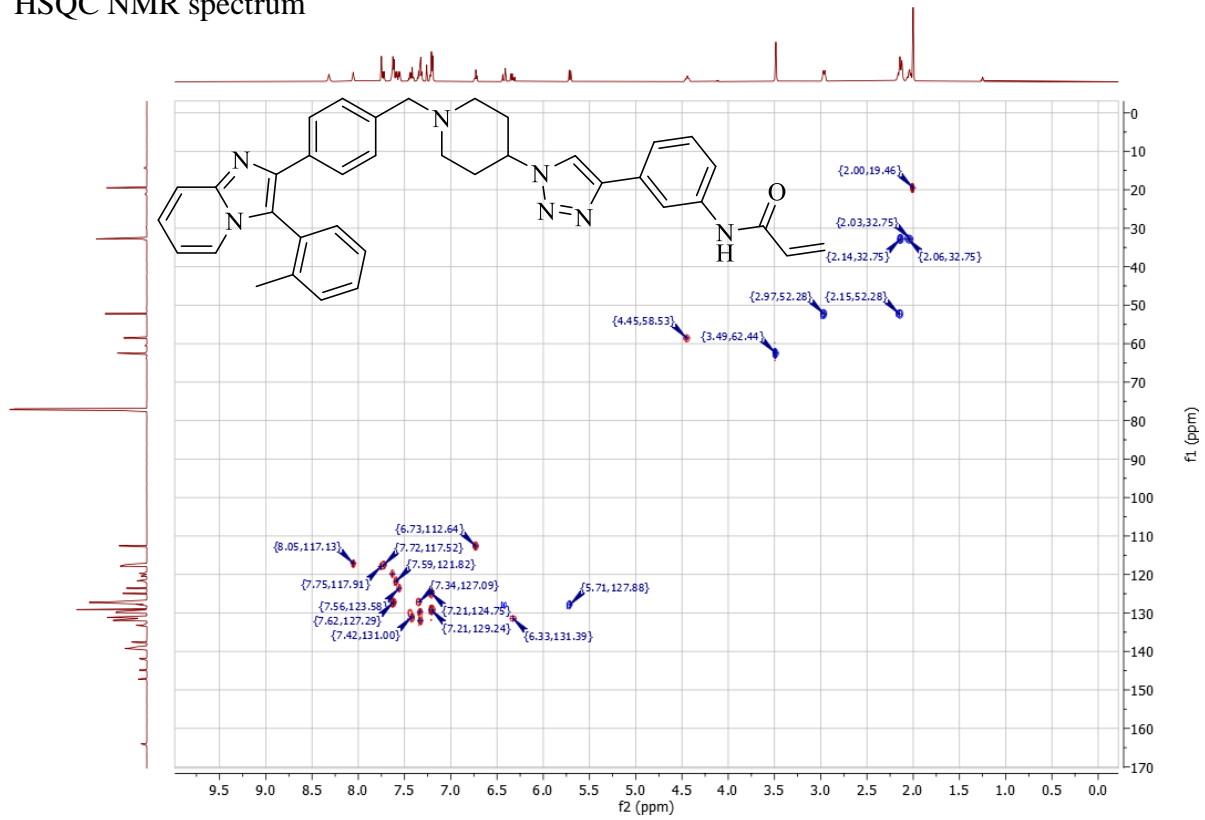


DEPT NMR spectrum

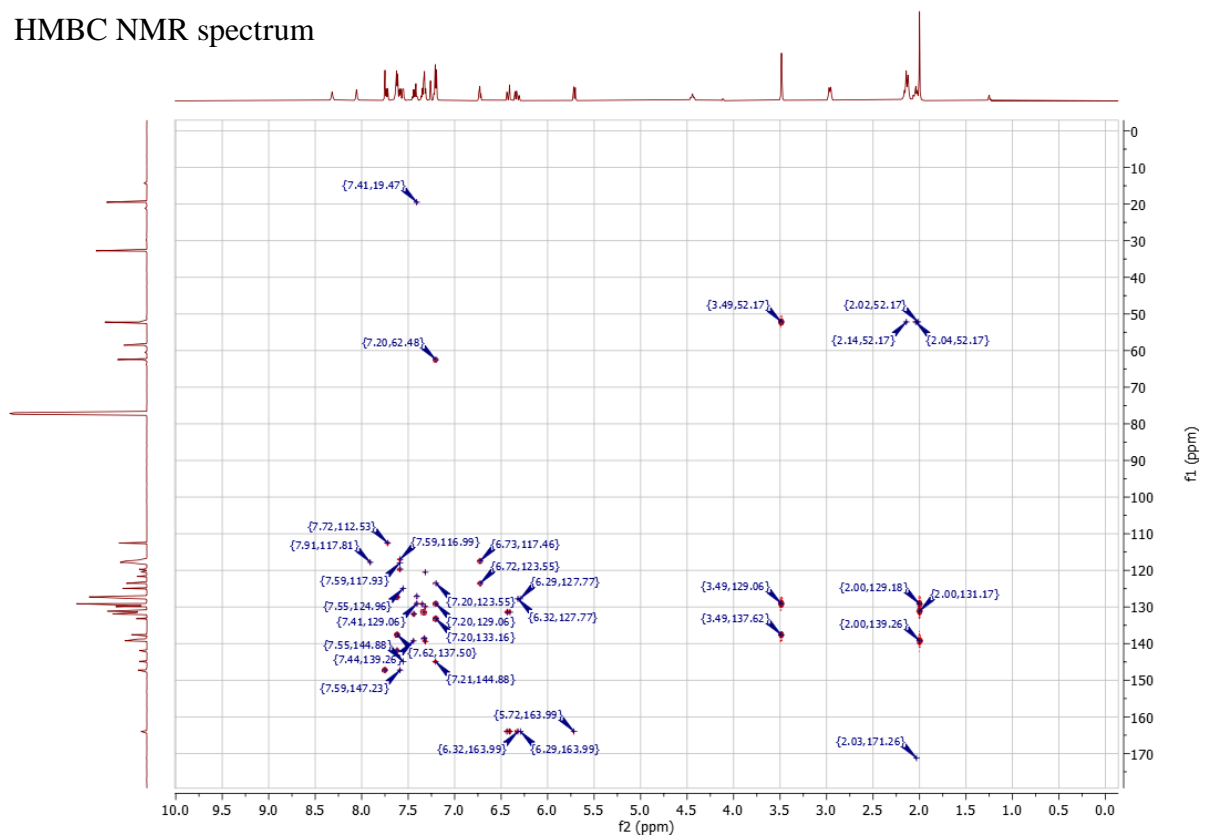


B NMR spectra

HSQC NMR spectrum



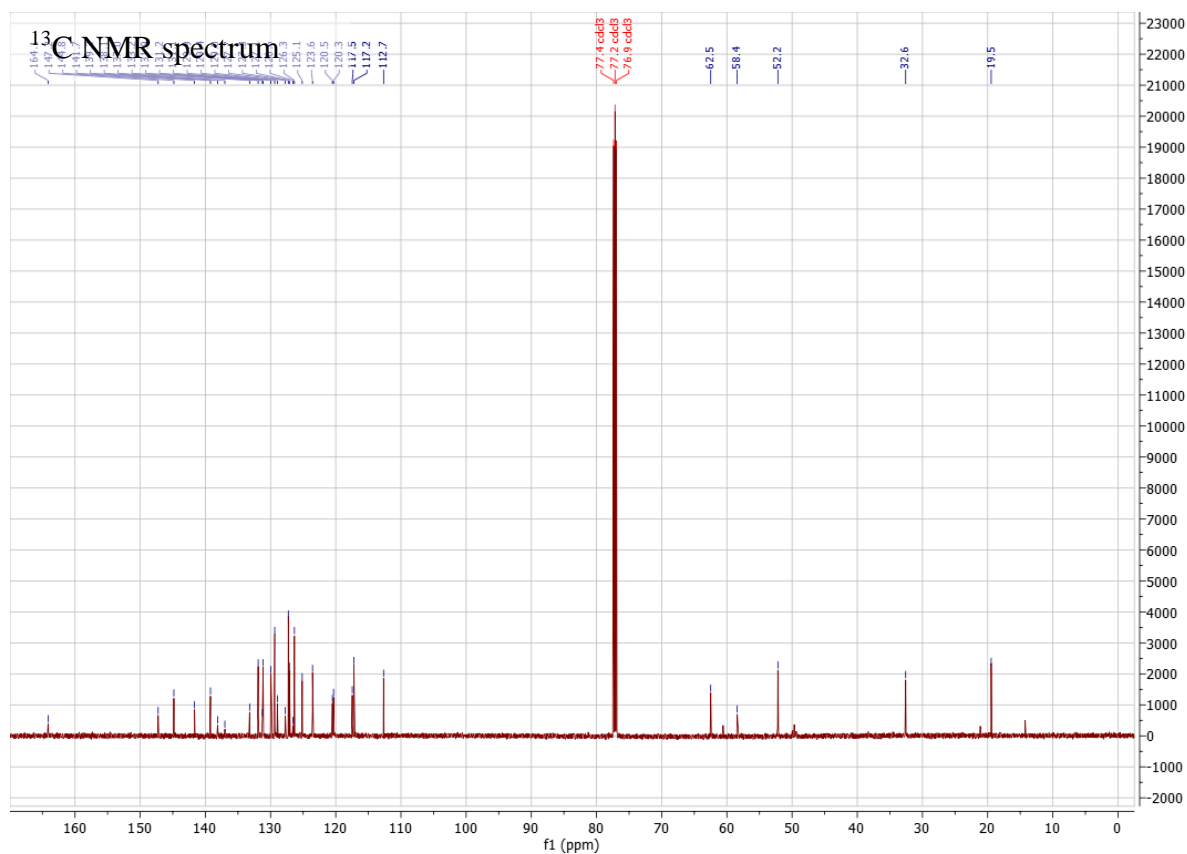
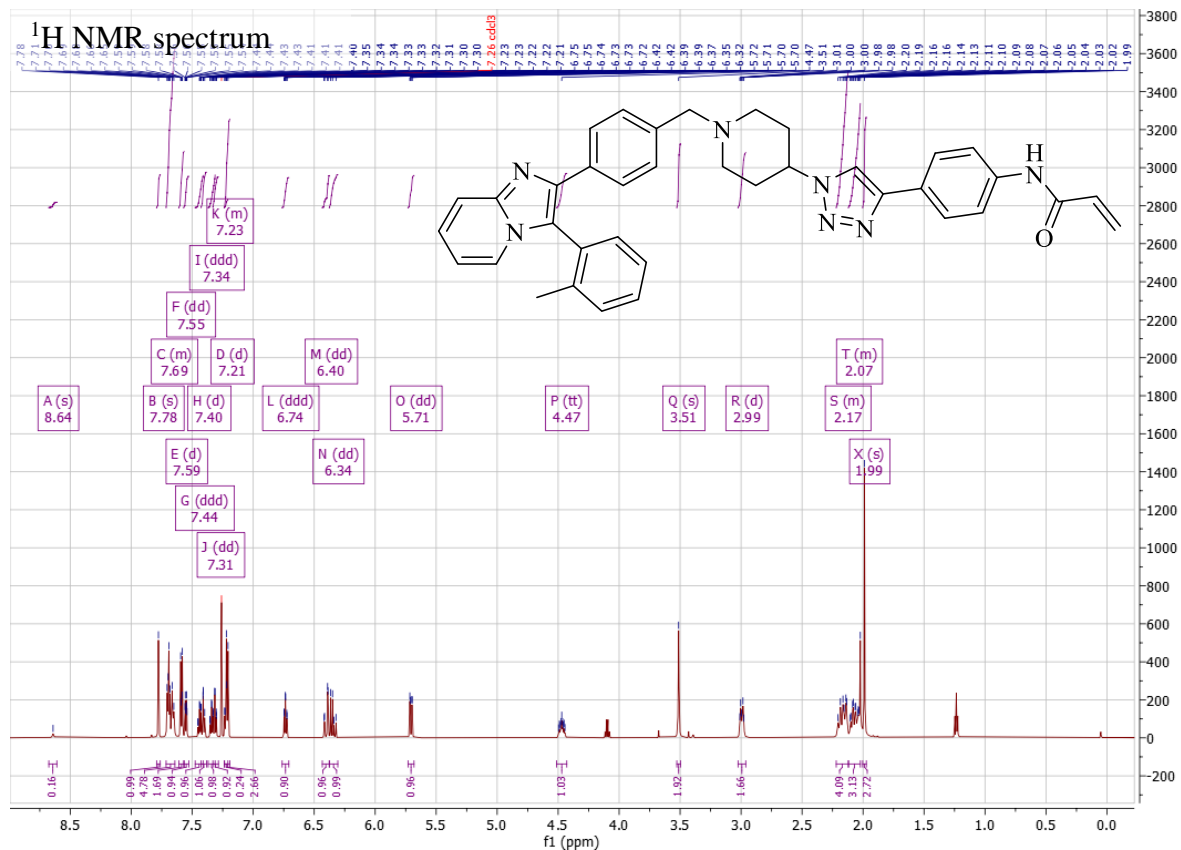
HMBC NMR spectrum





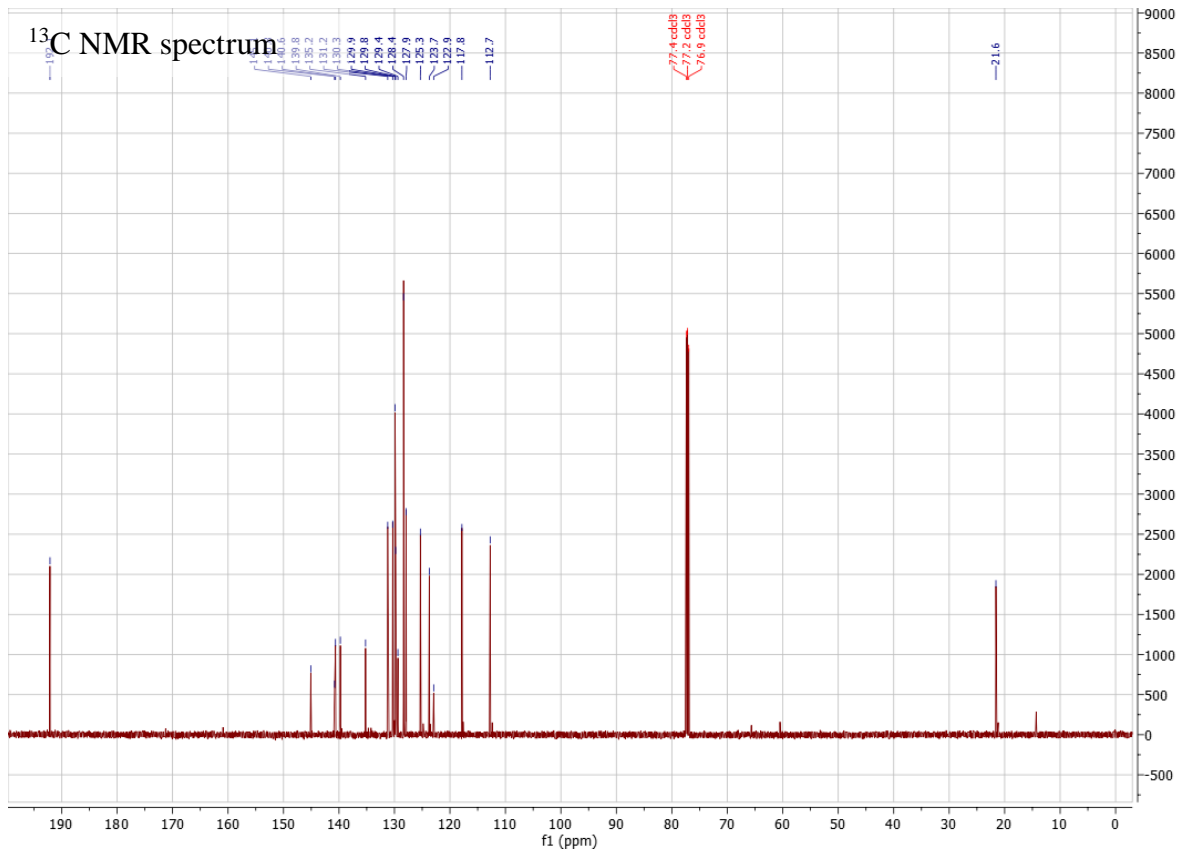
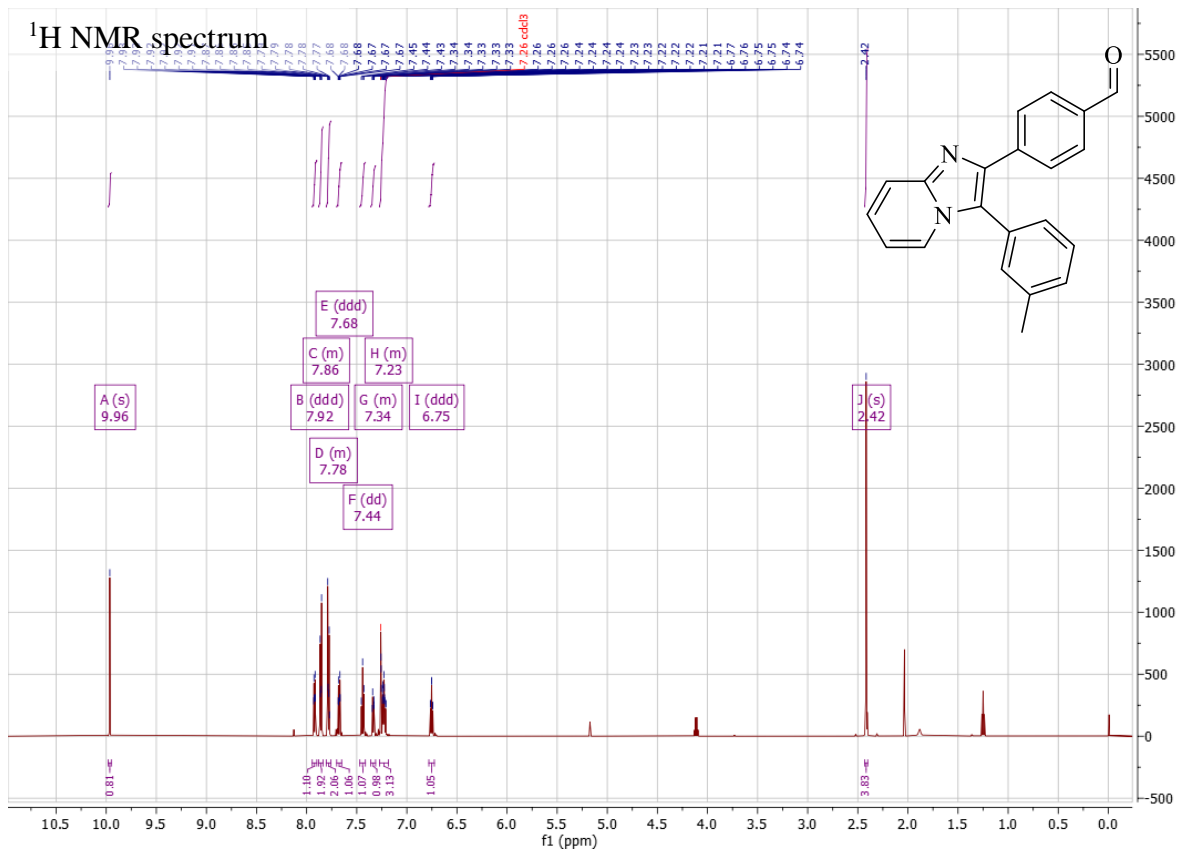
## B NMR spectra

**B.2.10 *N*-{4-[1-(1-{4-[3-(*o*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl)benzyl]-piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl]phenyl}acrylamide – 4.3**

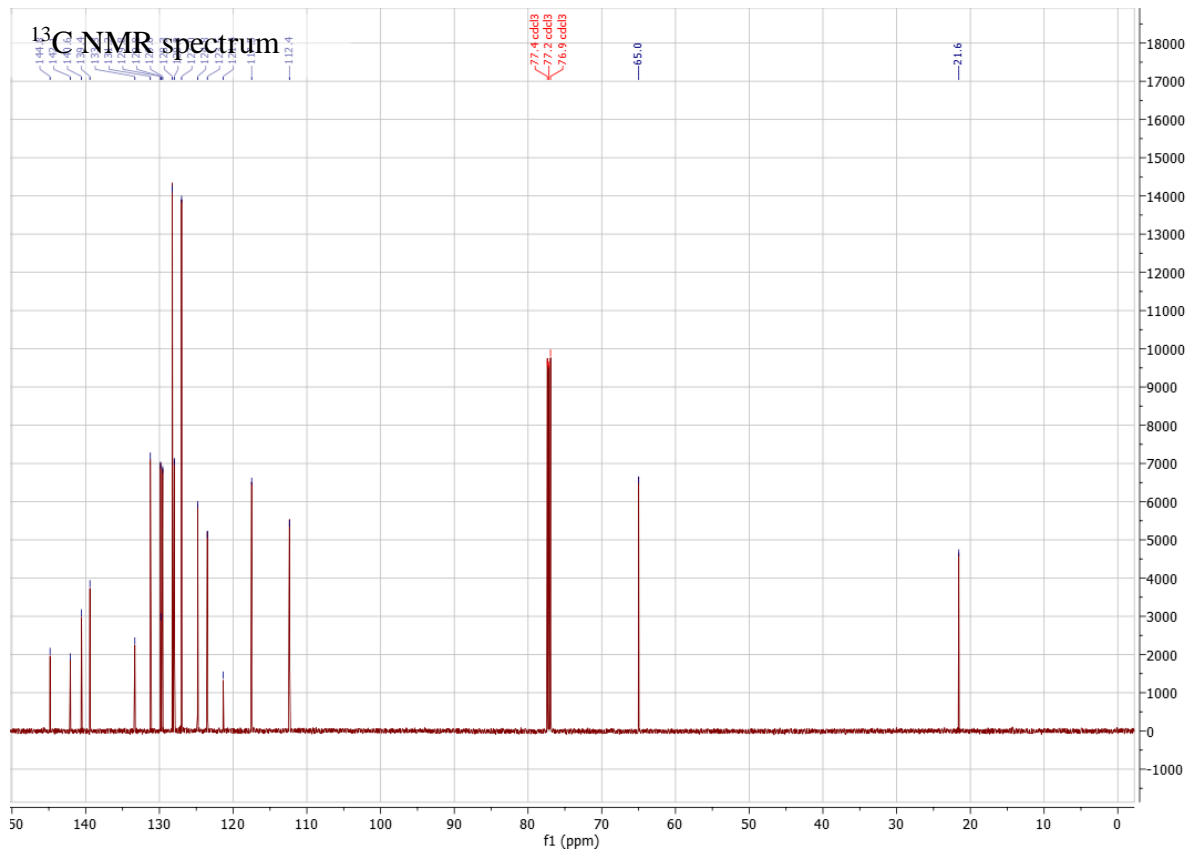
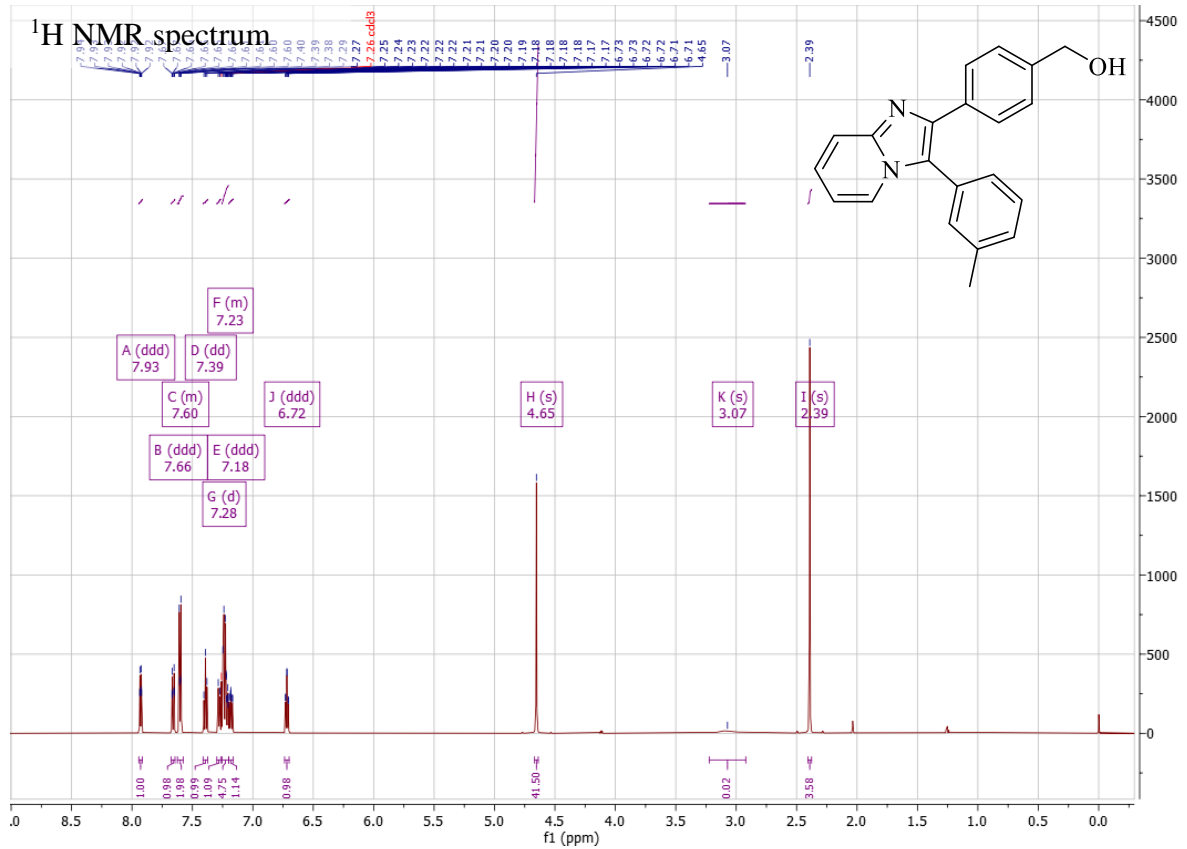




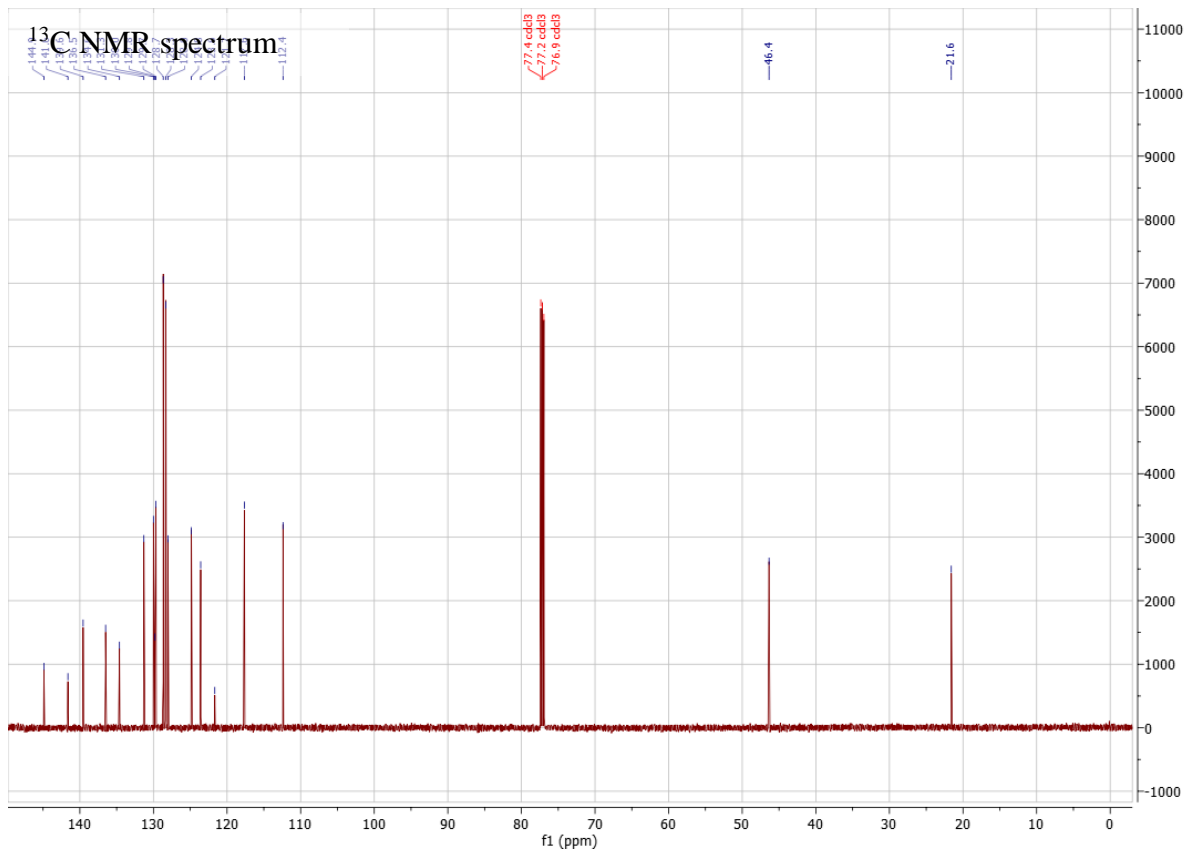
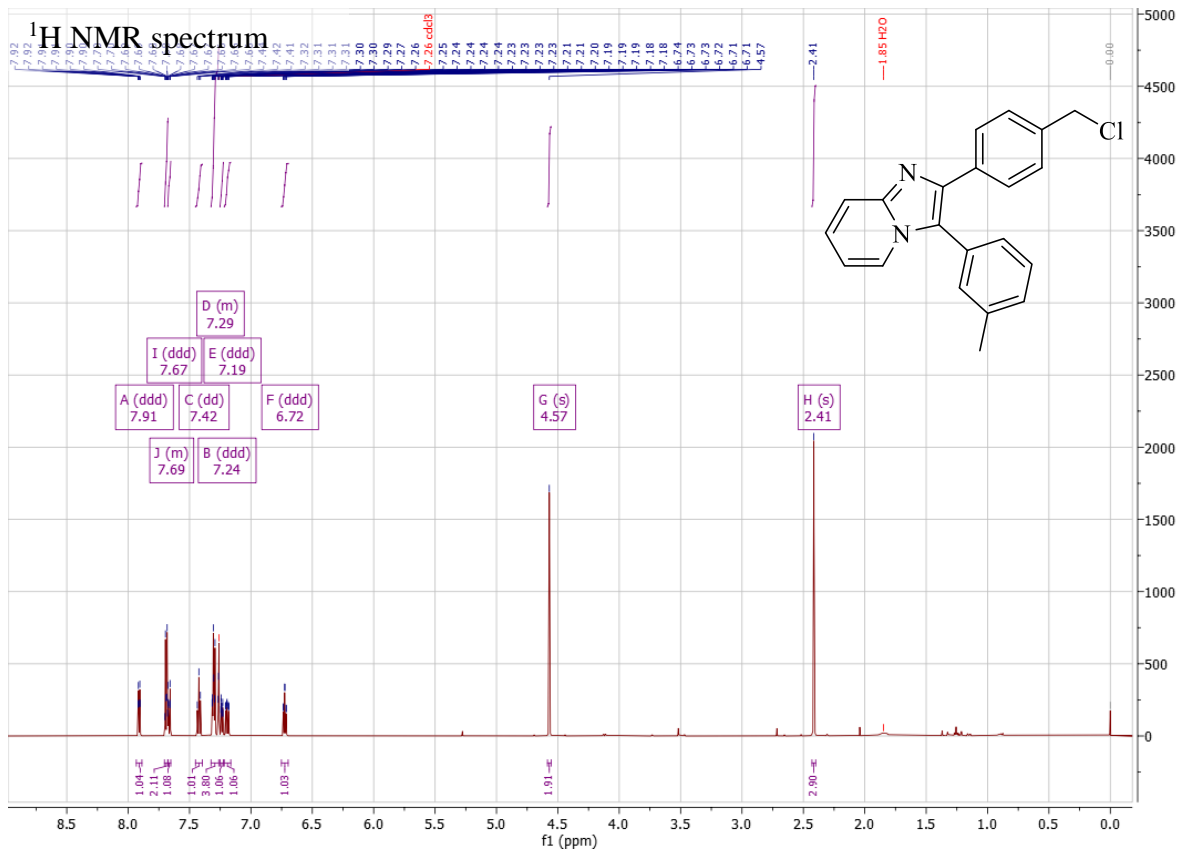
## B NMR spectra

B.2.12 4-[3-(*m*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]benzaldehyde – 4.17

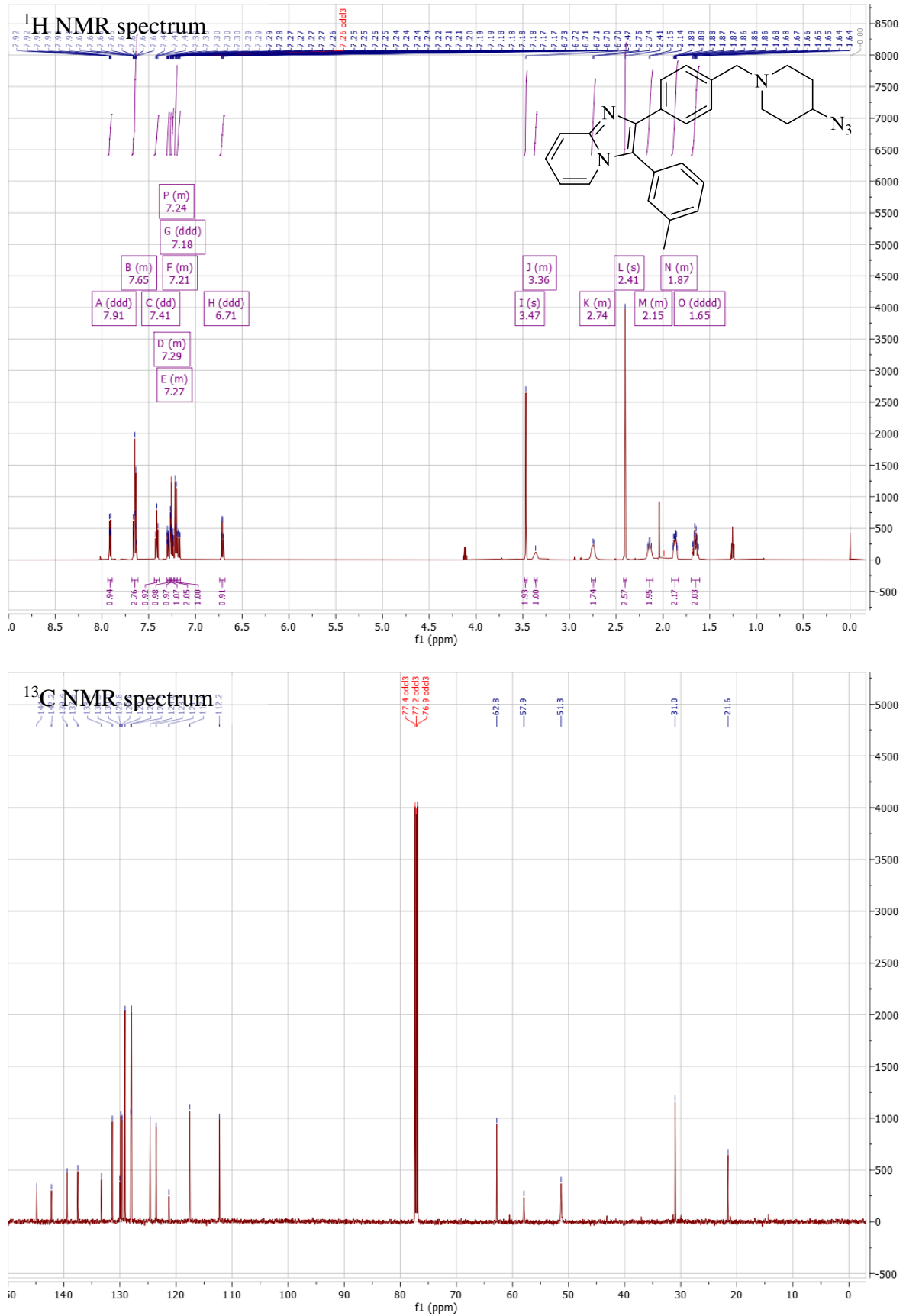
## B NMR spectra

B.2.13 {4-[3-(*m*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]phenyl}methanol – 4.18

## B NMR spectra

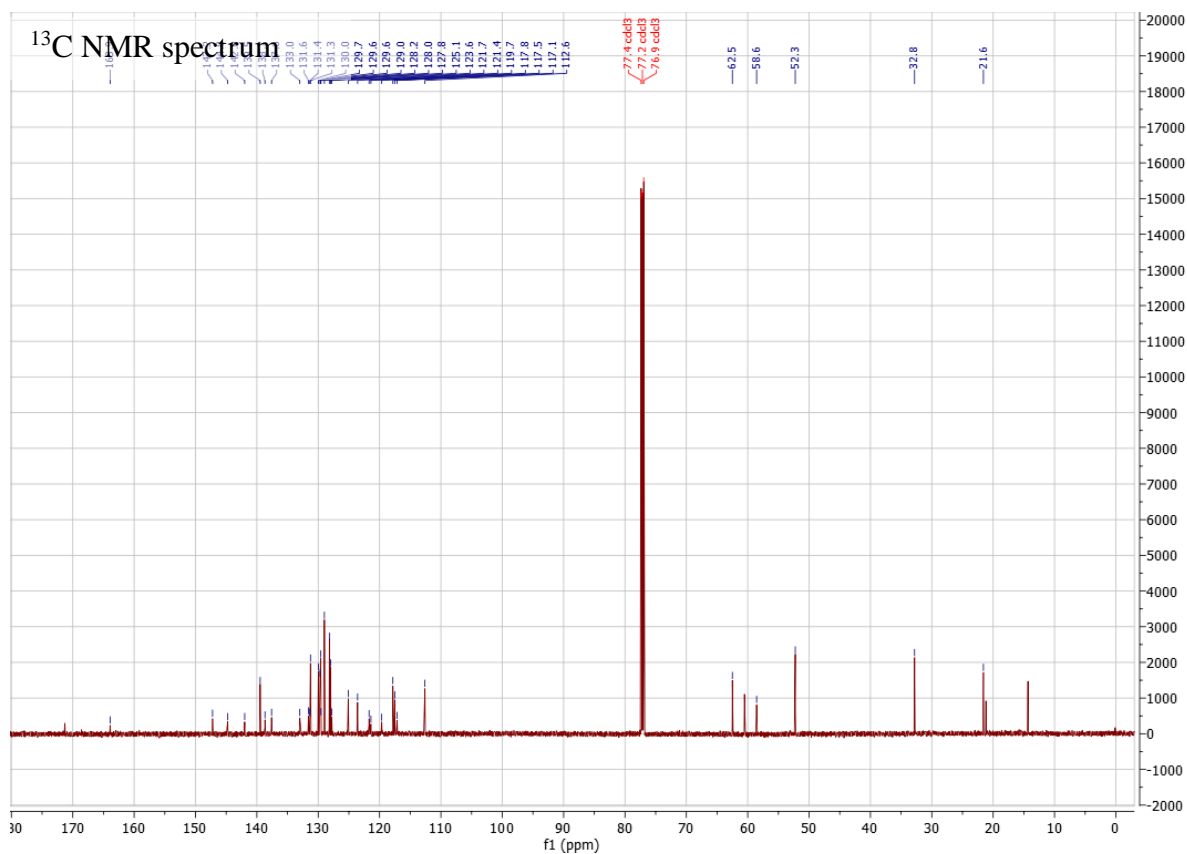
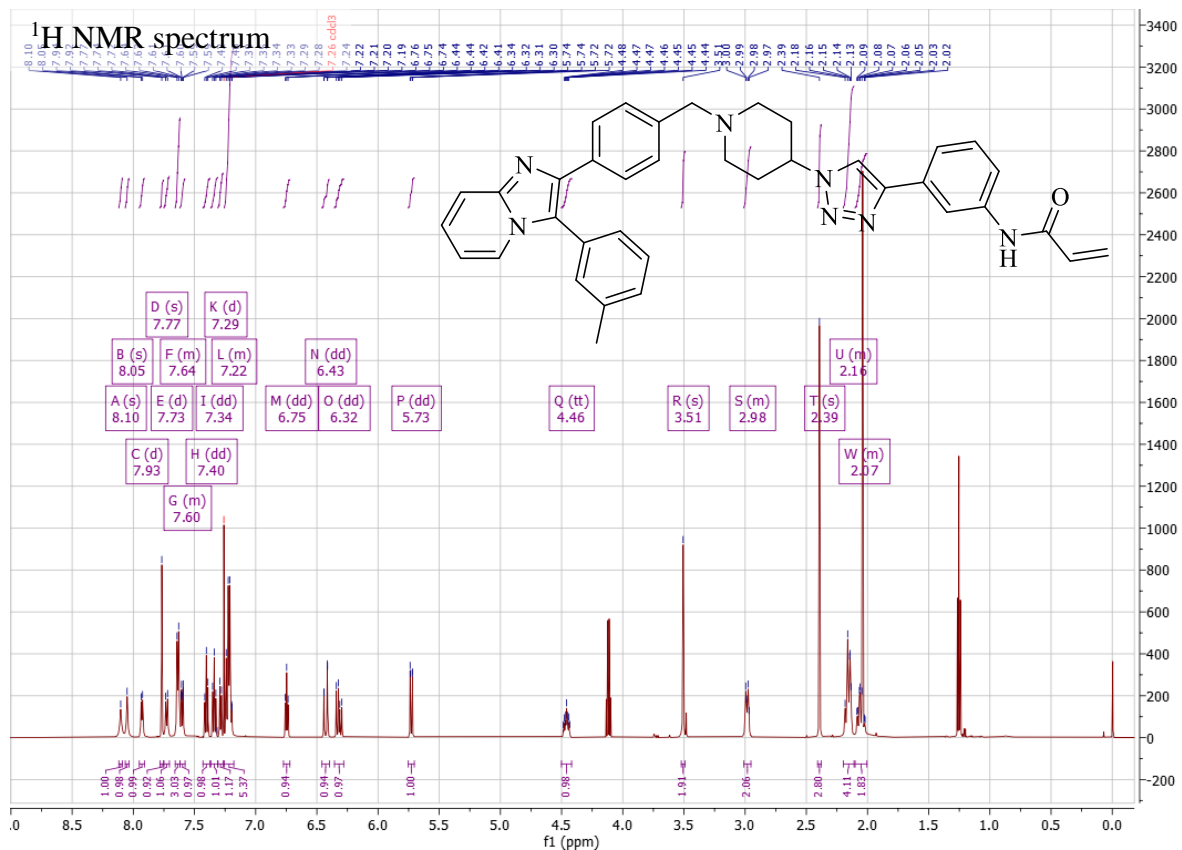
B.2.14 2-[4-(Chloromethyl)phenyl]-3-(*m*-tolyl)imidazo[1,2-*a*]pyridine – 4.19

## B NMR spectra

B.2.15 2-{4-[(4-Azidopiperidin-1-yl)methyl]phenyl}-3-(*m*-tolyl)-imidazo[1,2-*a*]pyridine – 4.20

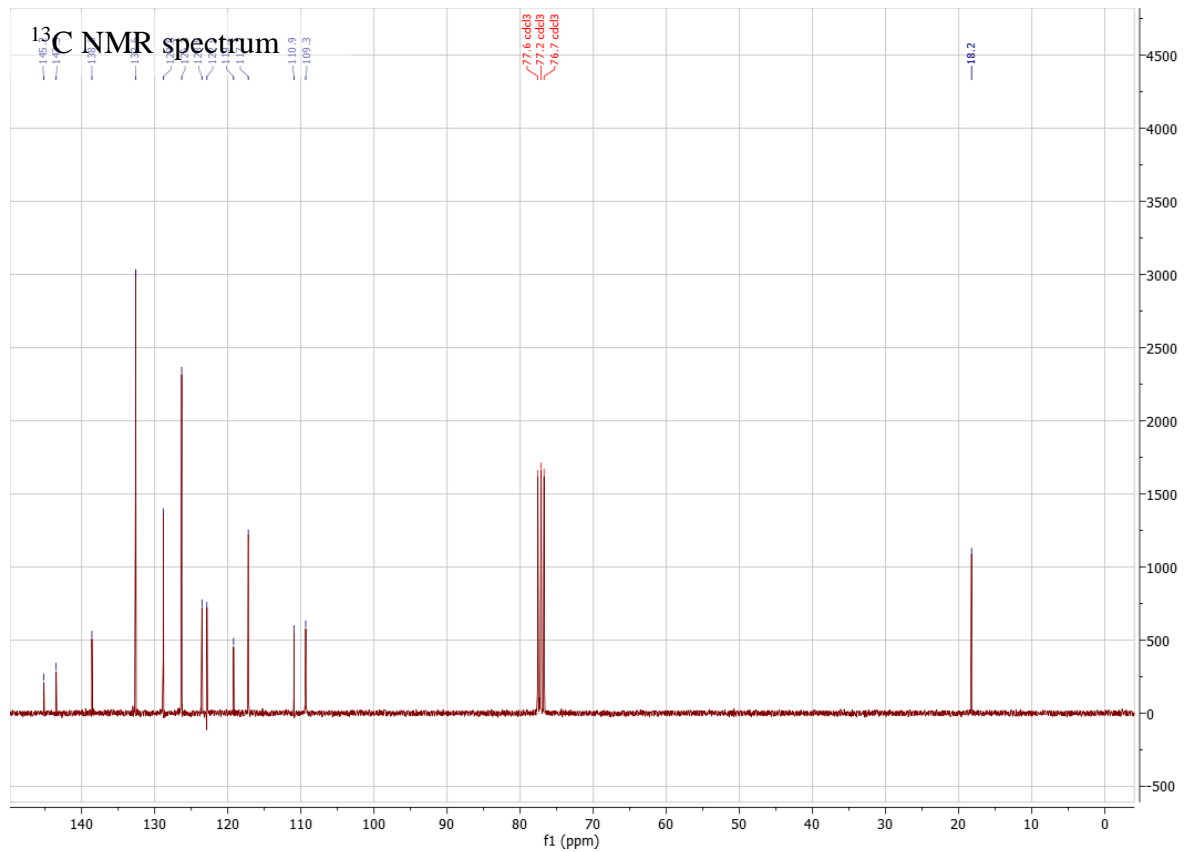
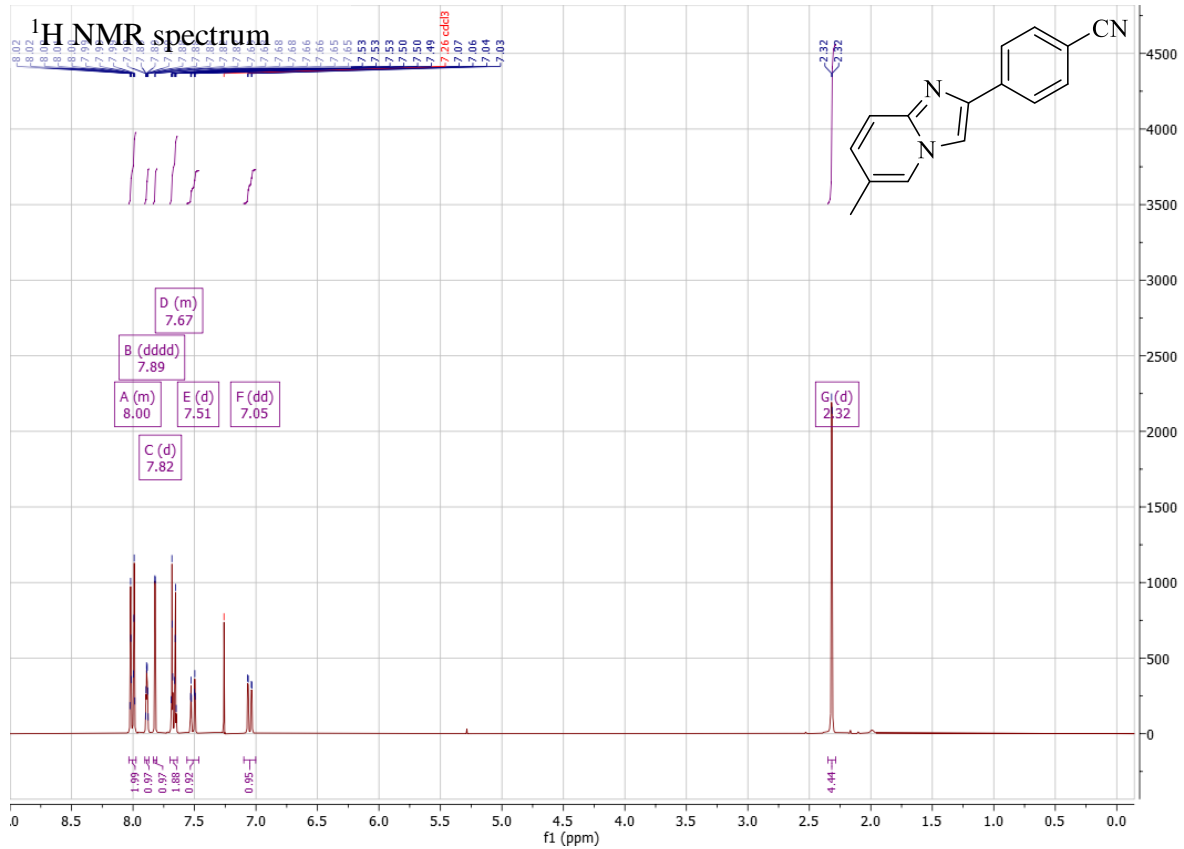
## B NMR spectra

**B.2.16 *N*-{3-[1-(1-{4-[3-(*m*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl)benzyl]-piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl]phenyl}acrylamide – 4.4**



## B NMR spectra

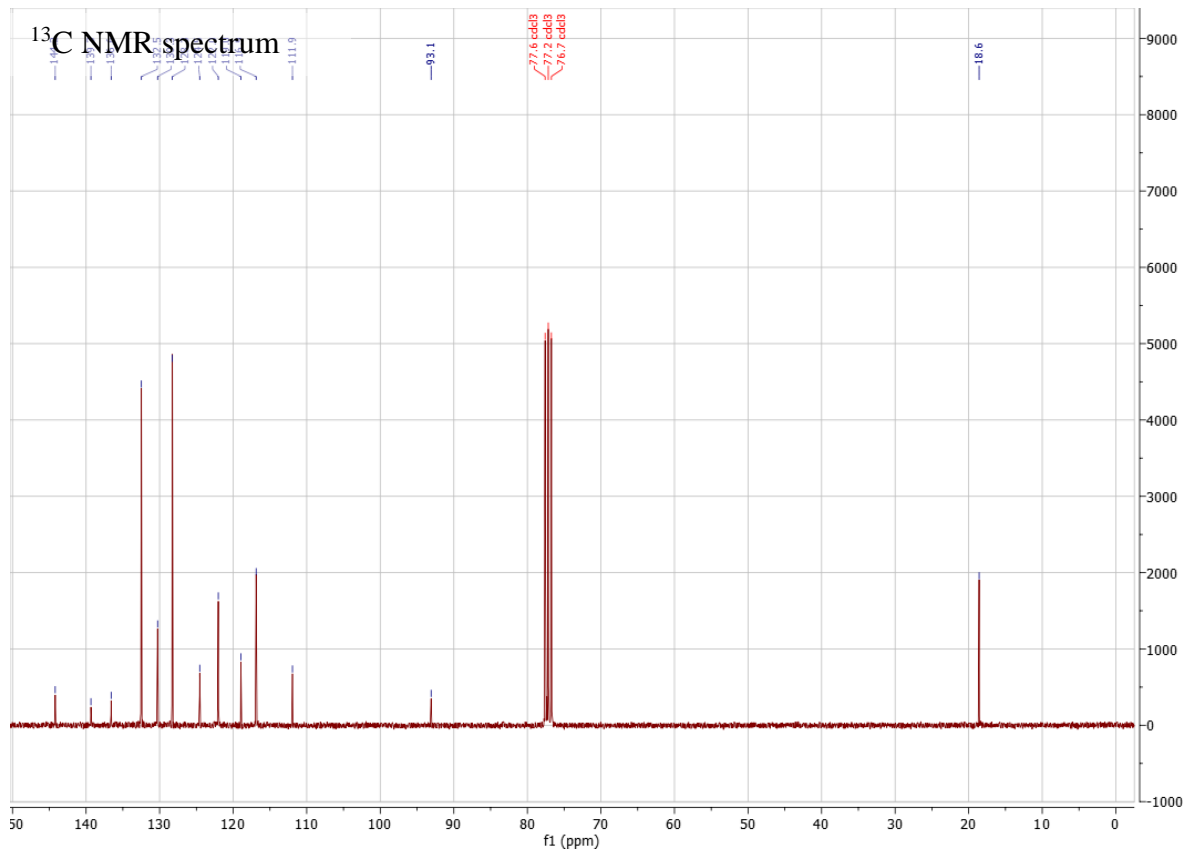
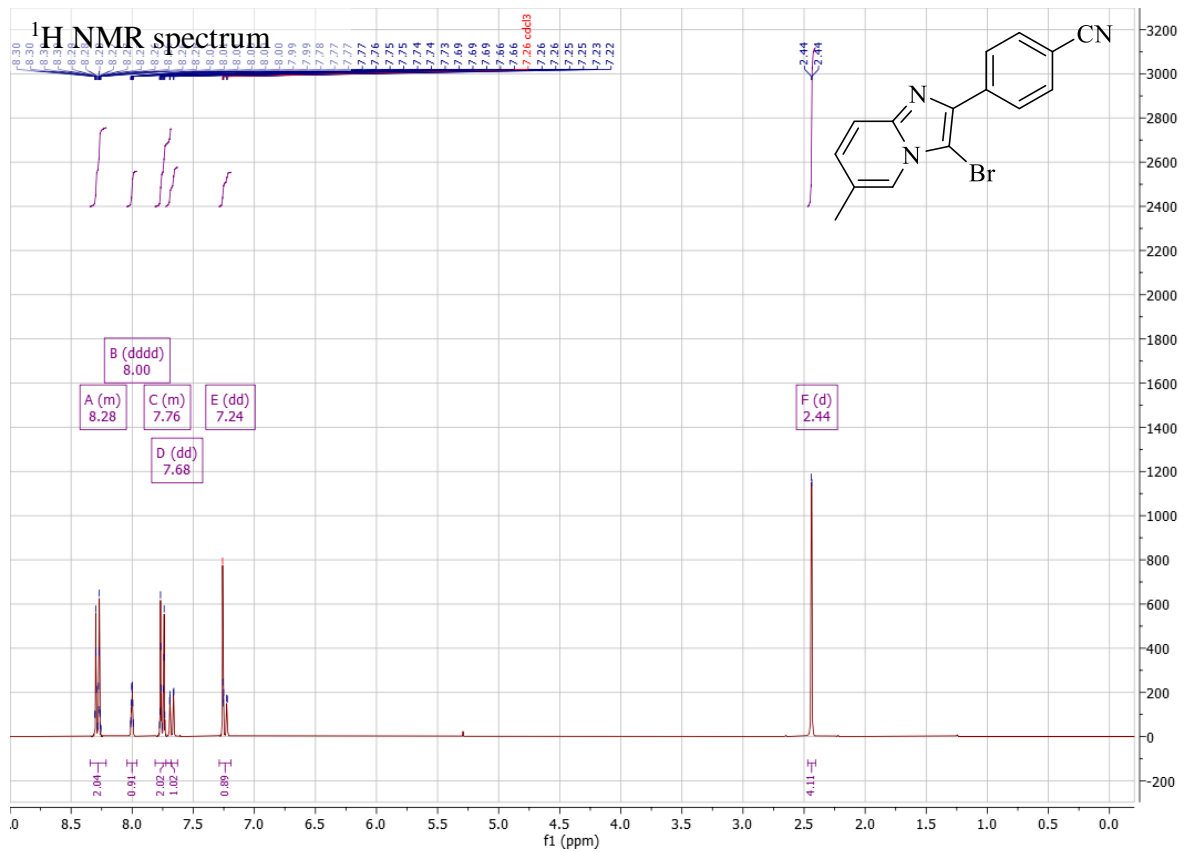
## B.2.17 4-(6-Methylimidazo[1,2-a]pyridin-2-yl)benzonitrile – 4.22





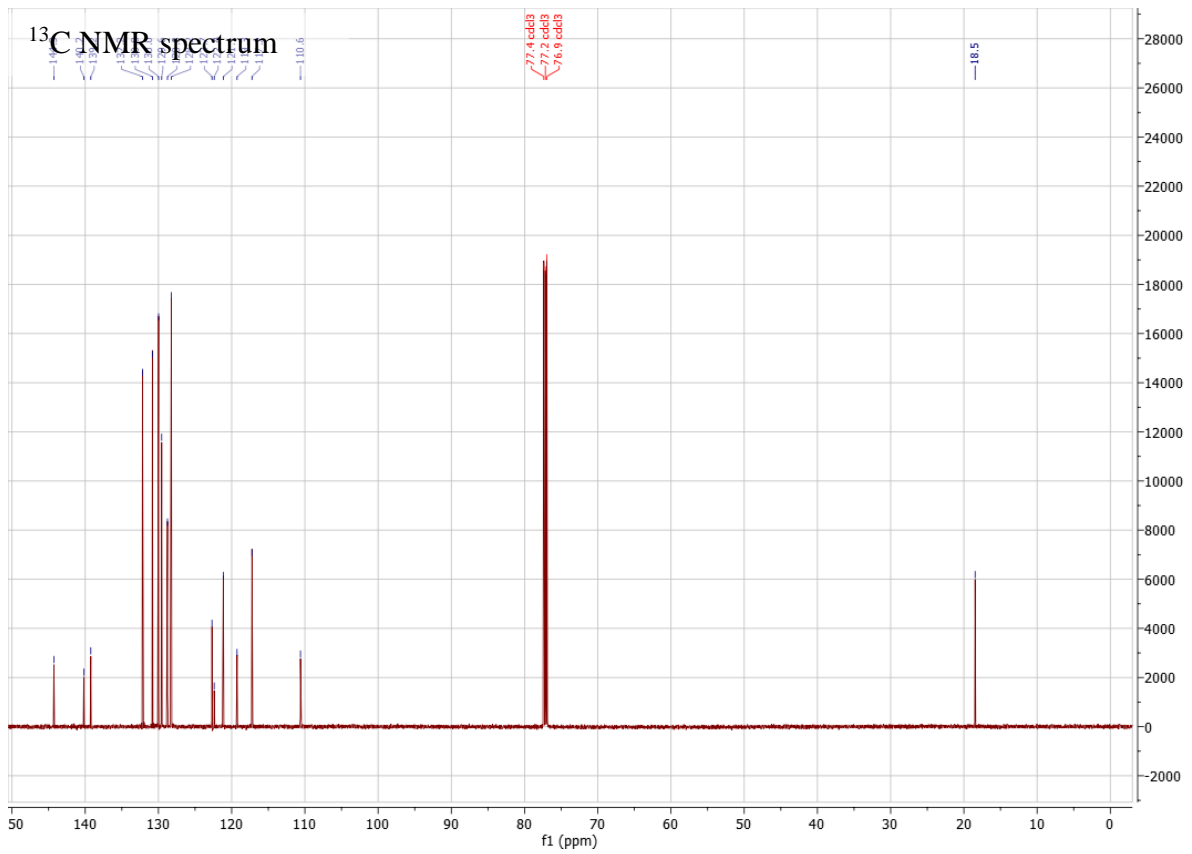
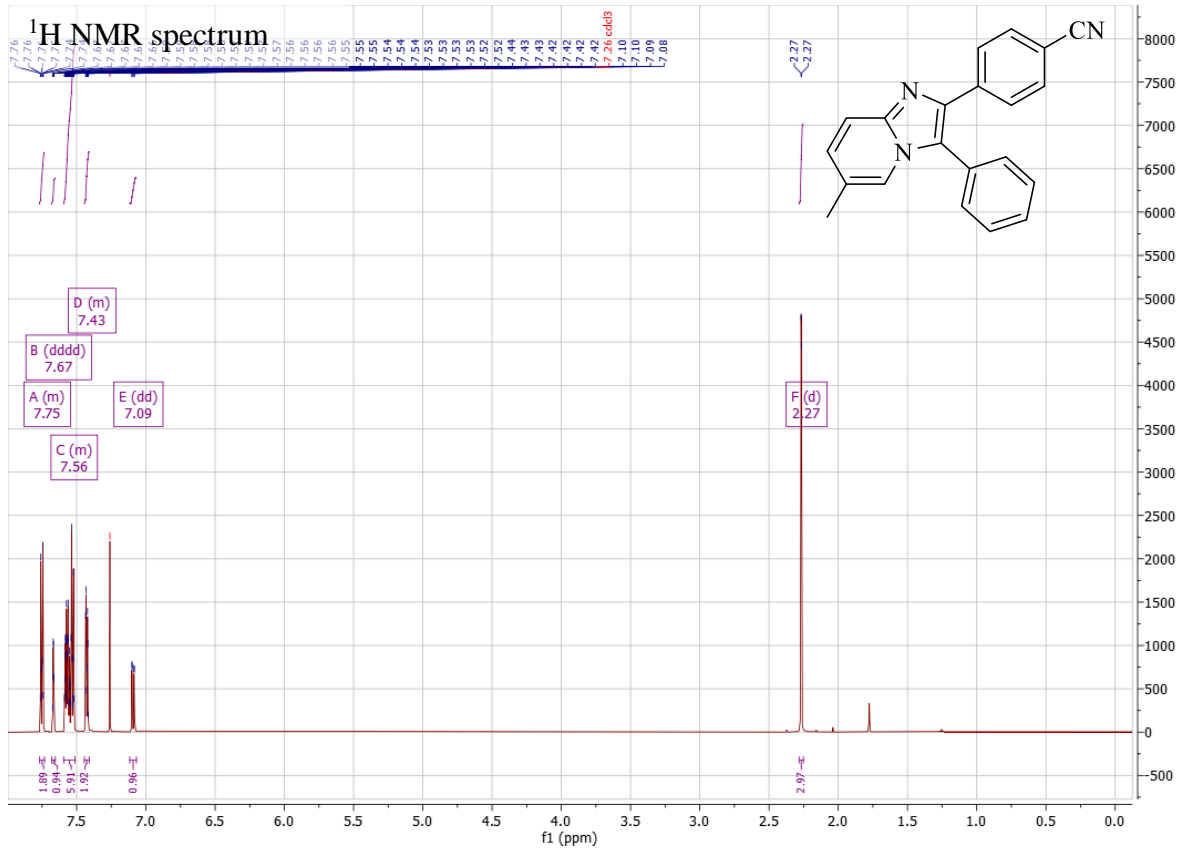
## B NMR spectra

## B.2.18 4-(3-Bromo-6-methylimidazo[1,2-a]pyridin-2-yl)benzonitrile – 4.25



## B NMR spectra

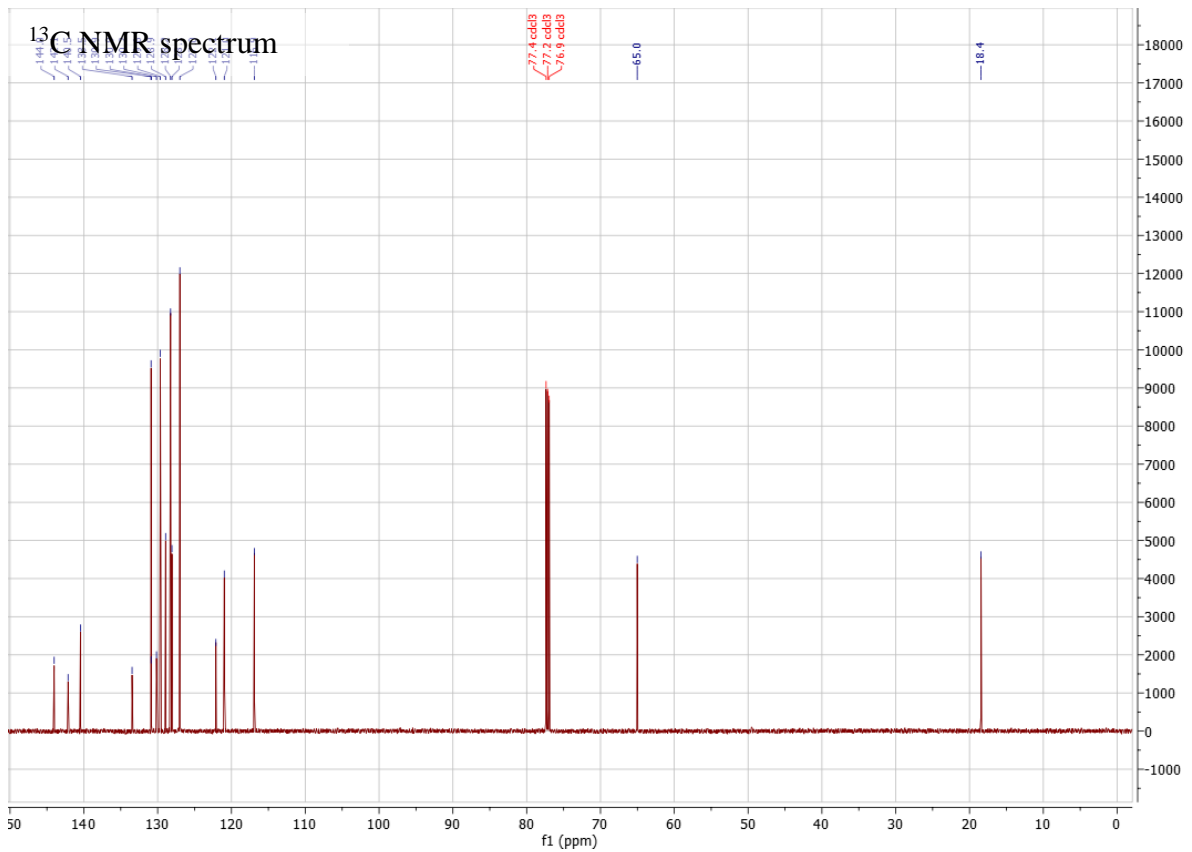
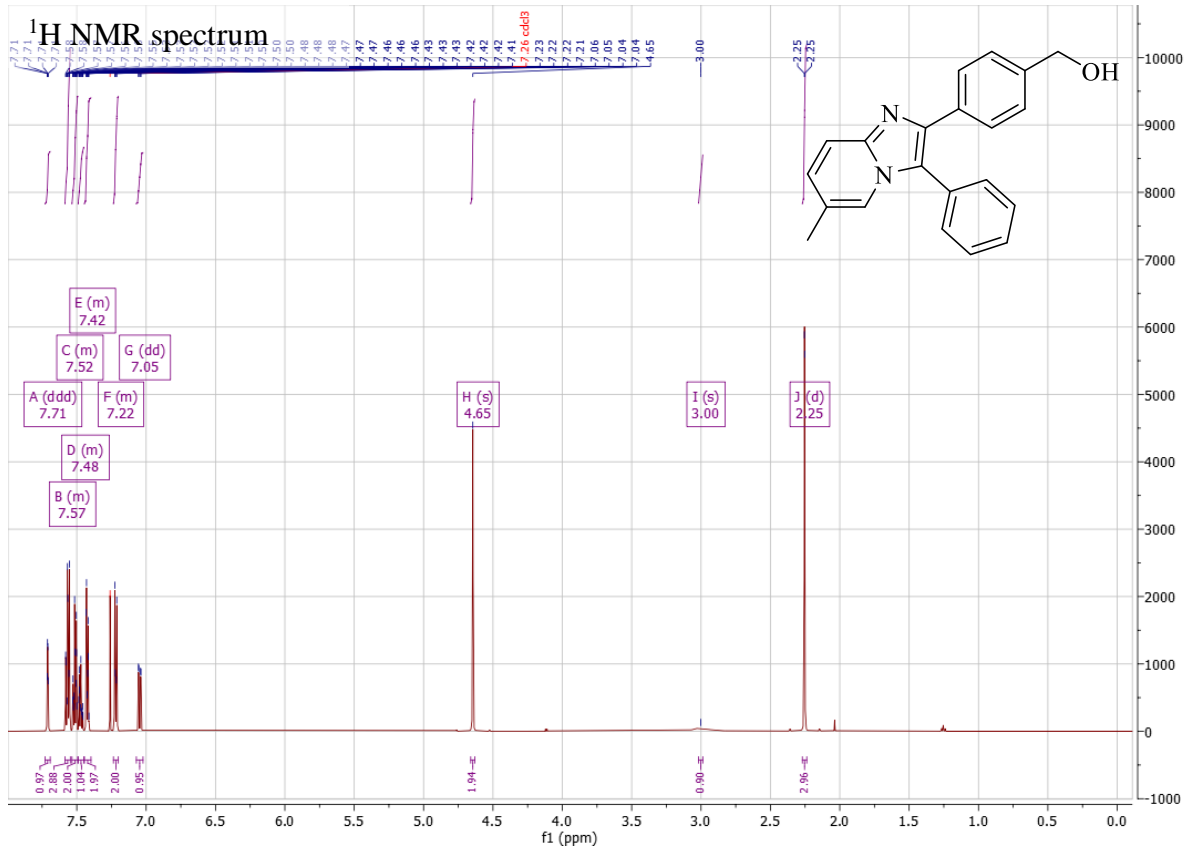
## B.2.19 4-(6-Methyl-3-phenylimidazo[1,2-a]pyridin-2-yl)benzonitrile – 4.26



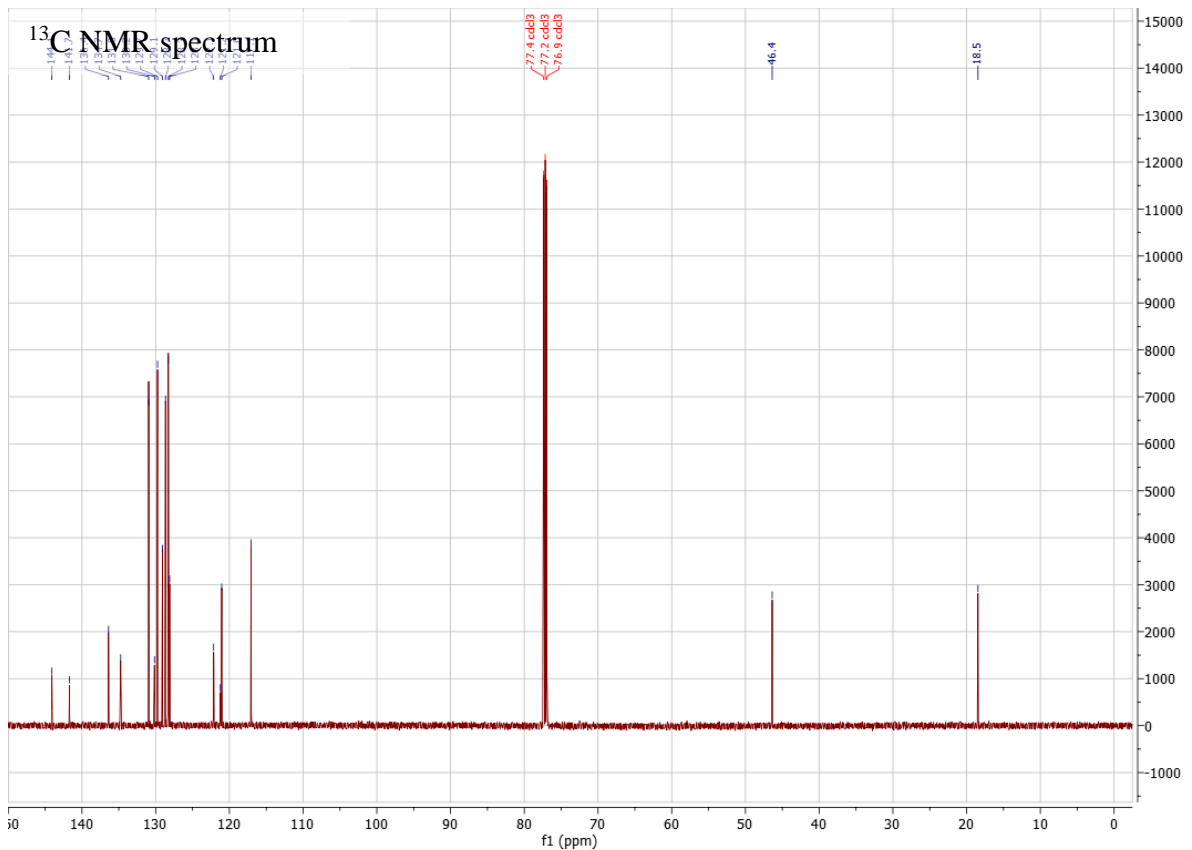
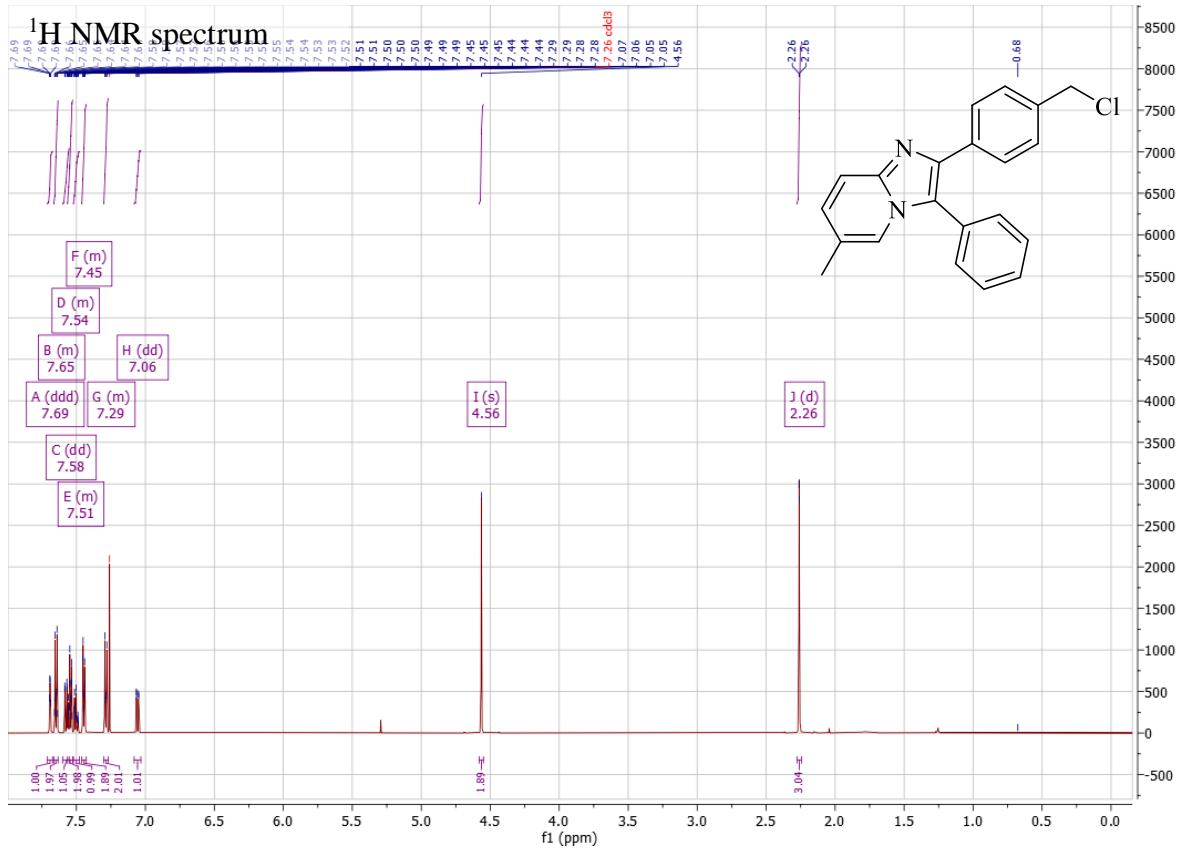


B NMR spectra

B.2.21 [4-(6-Methyl-3-phenylimidazo[1,2-a]pyridin-2-yl)phenyl]methanol – 4.28

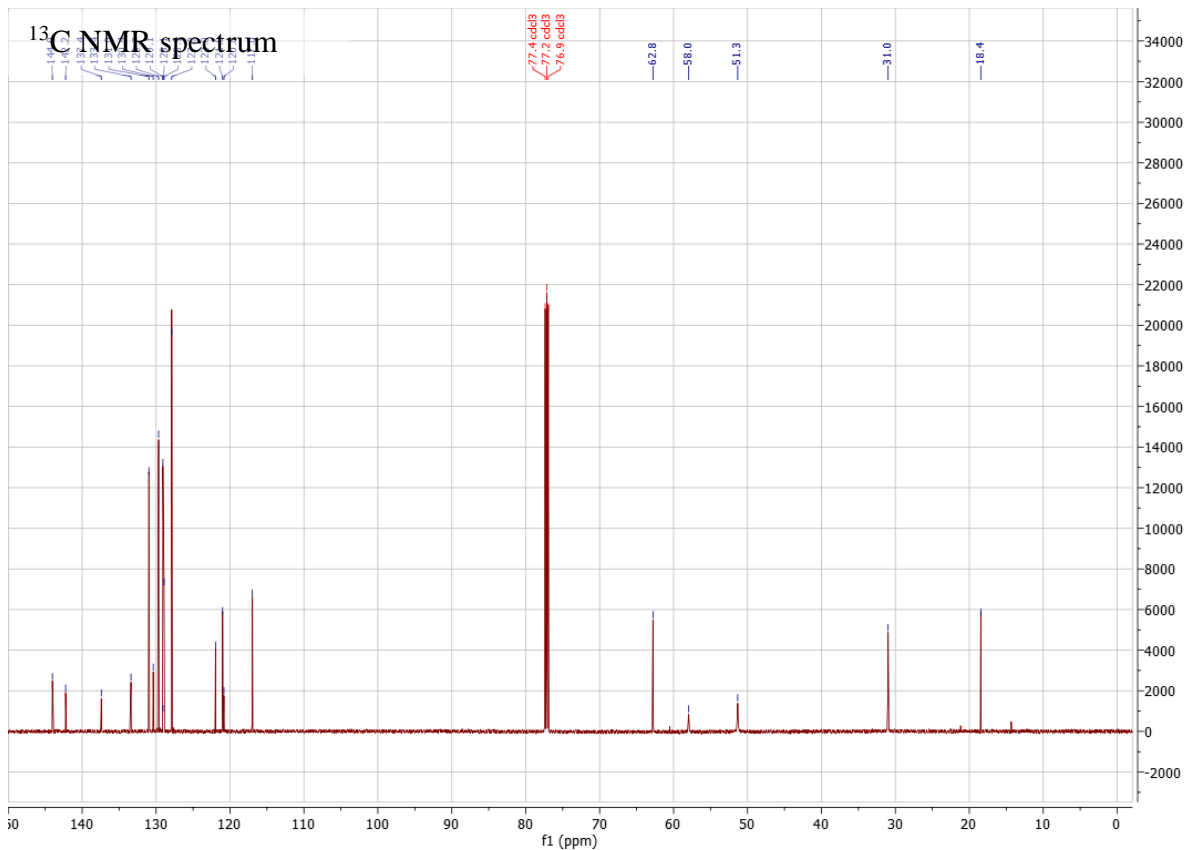
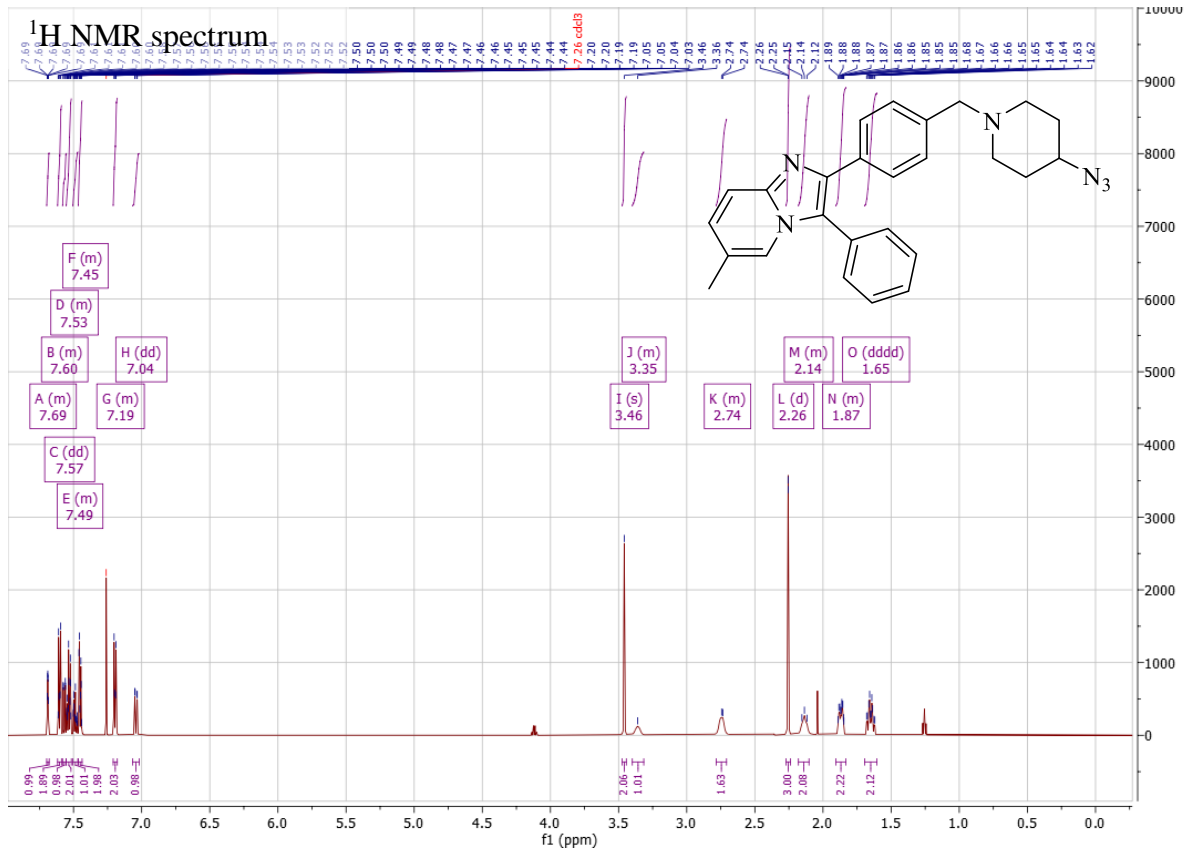


## B NMR spectra

**B.2.22 2-[4-(Chloromethyl)phenyl]-6-methyl-3-phenylimidazo[1,2-a]pyridine –  
4.23**

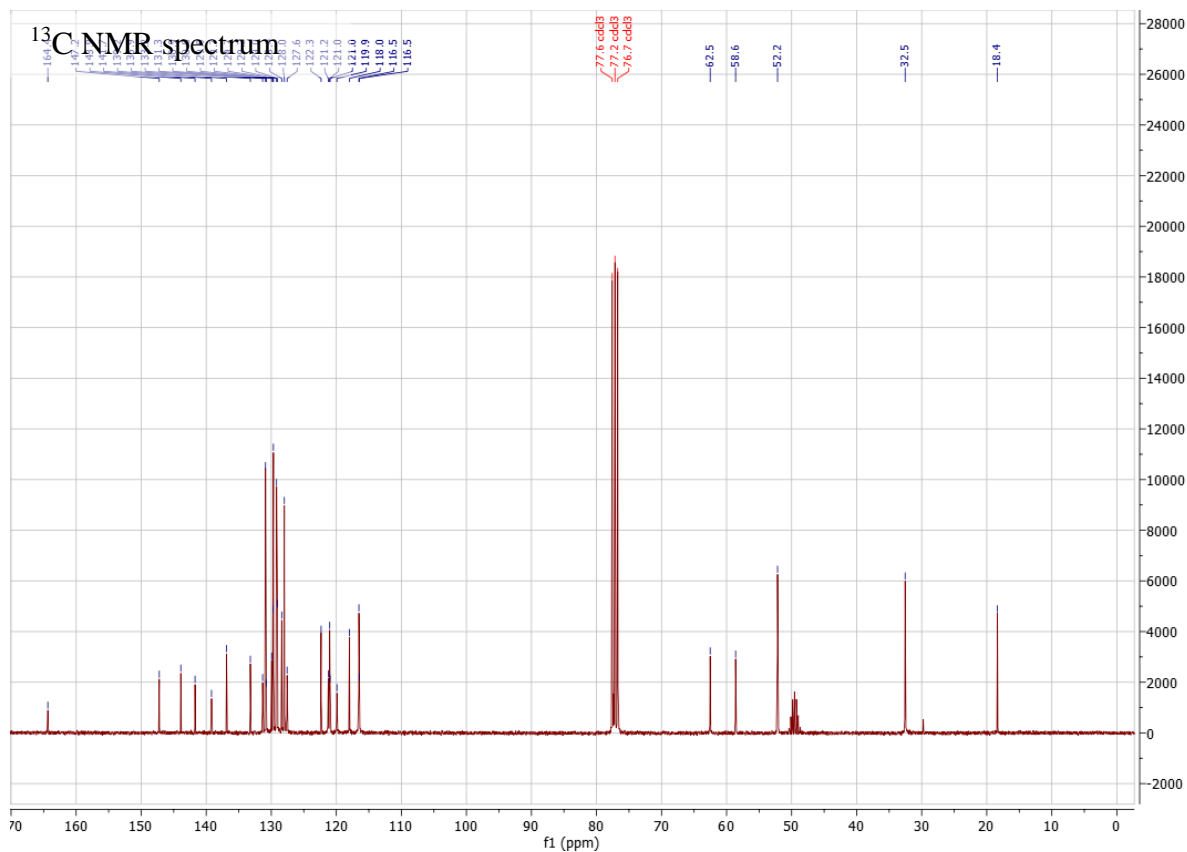
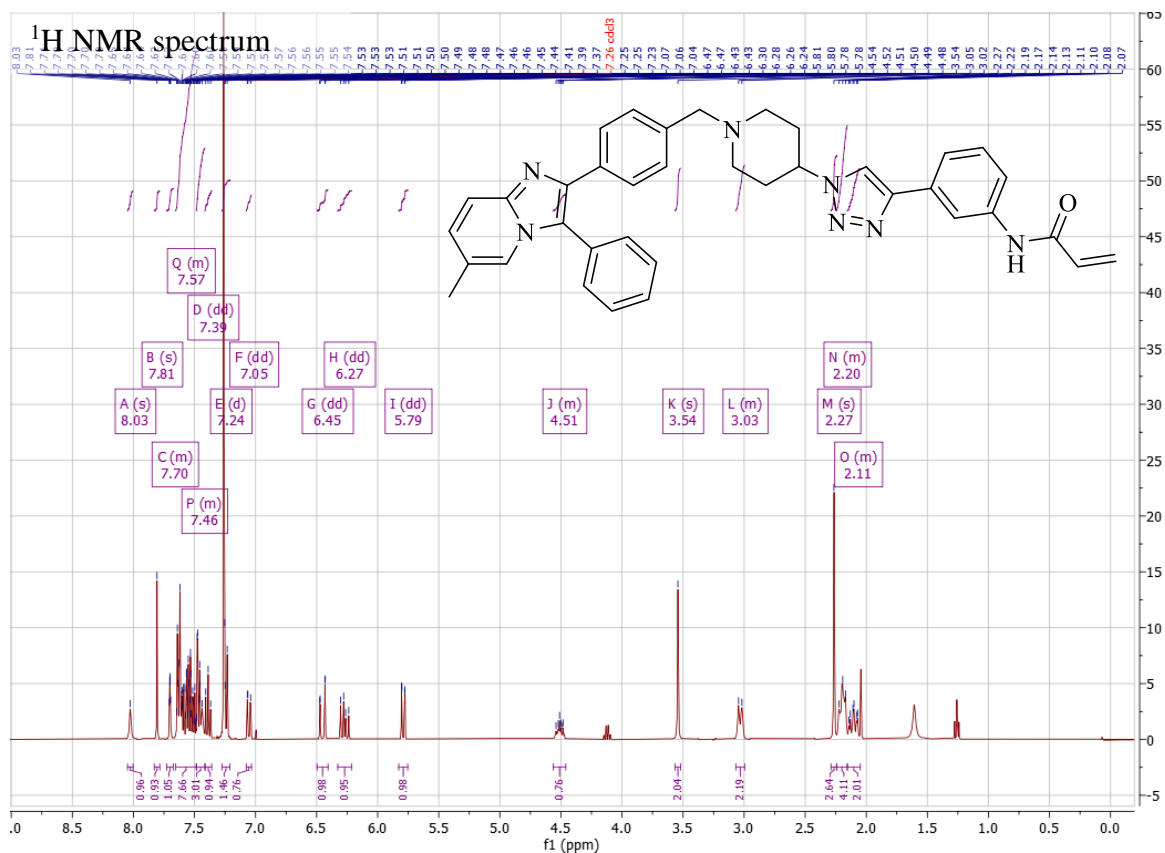
B NMR spectra

B.2.23 2-{4-[(4-Azidopiperidin-1-yl)methyl]phenyl}-6-methyl-3-phenylimidazo[1,2-a]pyridine – 4.24



## B NMR spectra

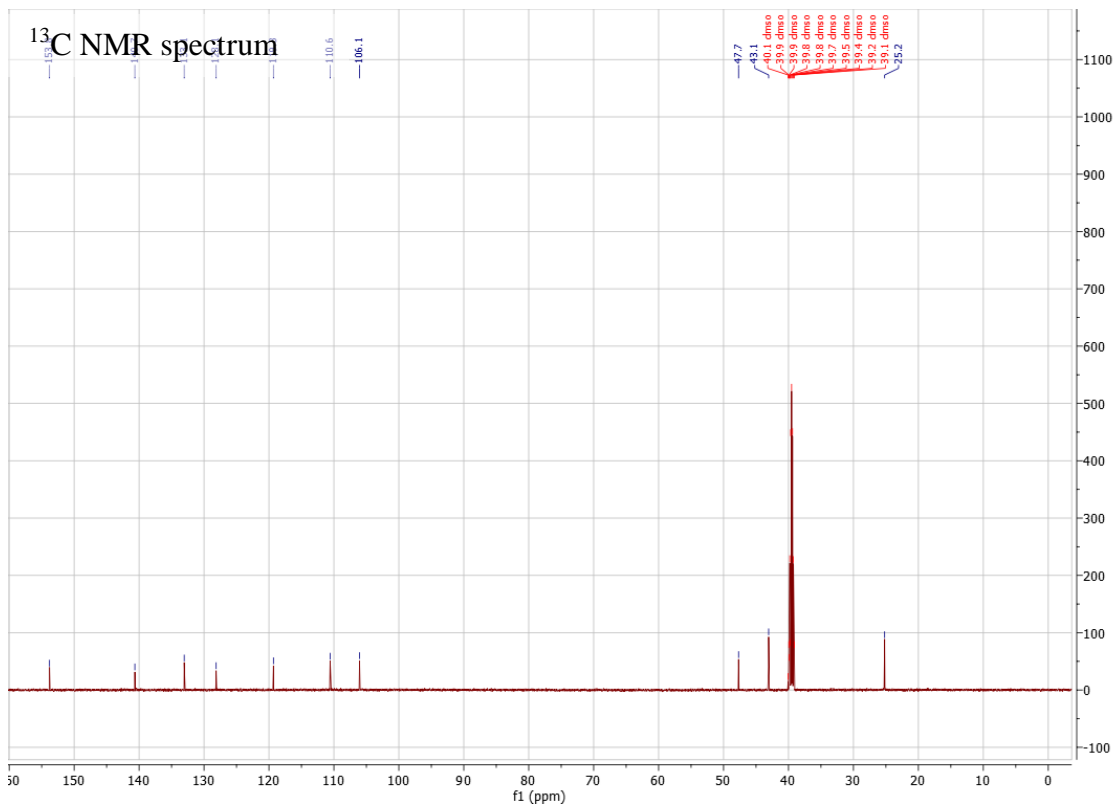
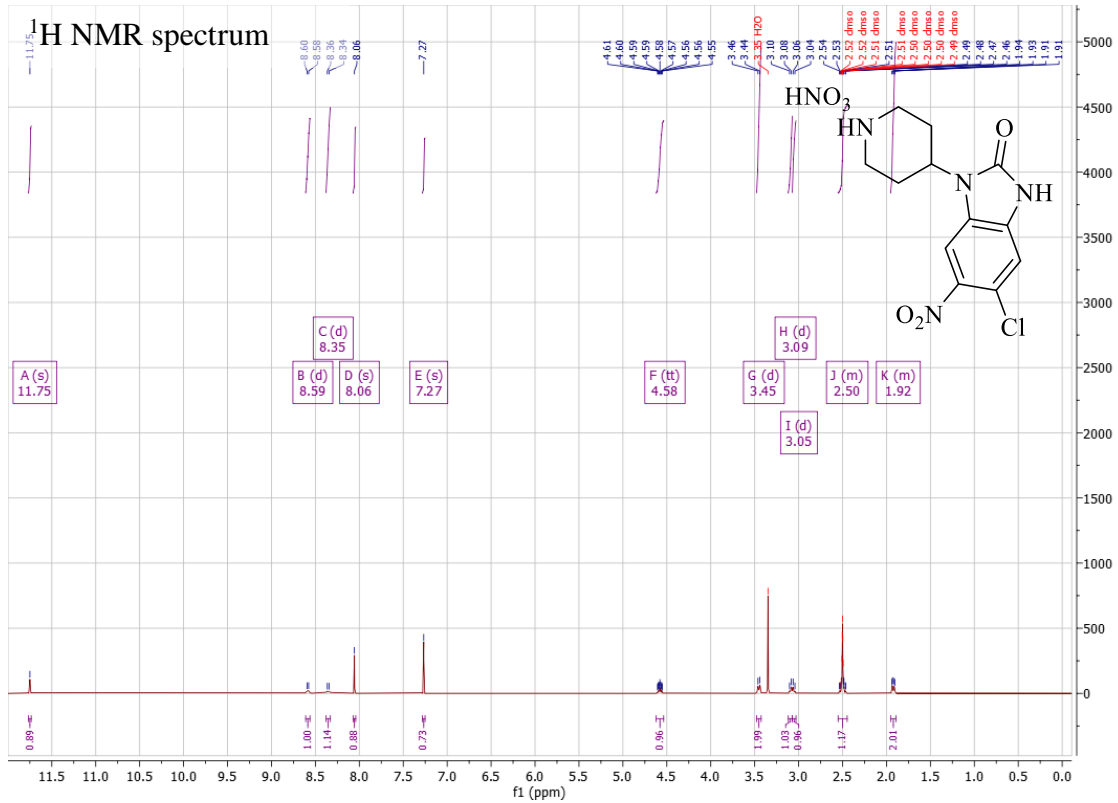
**B.2.24 N-[3-(1-{1-[4-(6-Methyl-3-phenylimidazo[1,2-a]pyridin-2-yl)benzyl]-piperidin-4-yl]-1H-1,2,3-triazol-4-yl)phenyl]acrylamide – 4.5**



## B NMR spectra

## B.3 Chapter 5 compounds

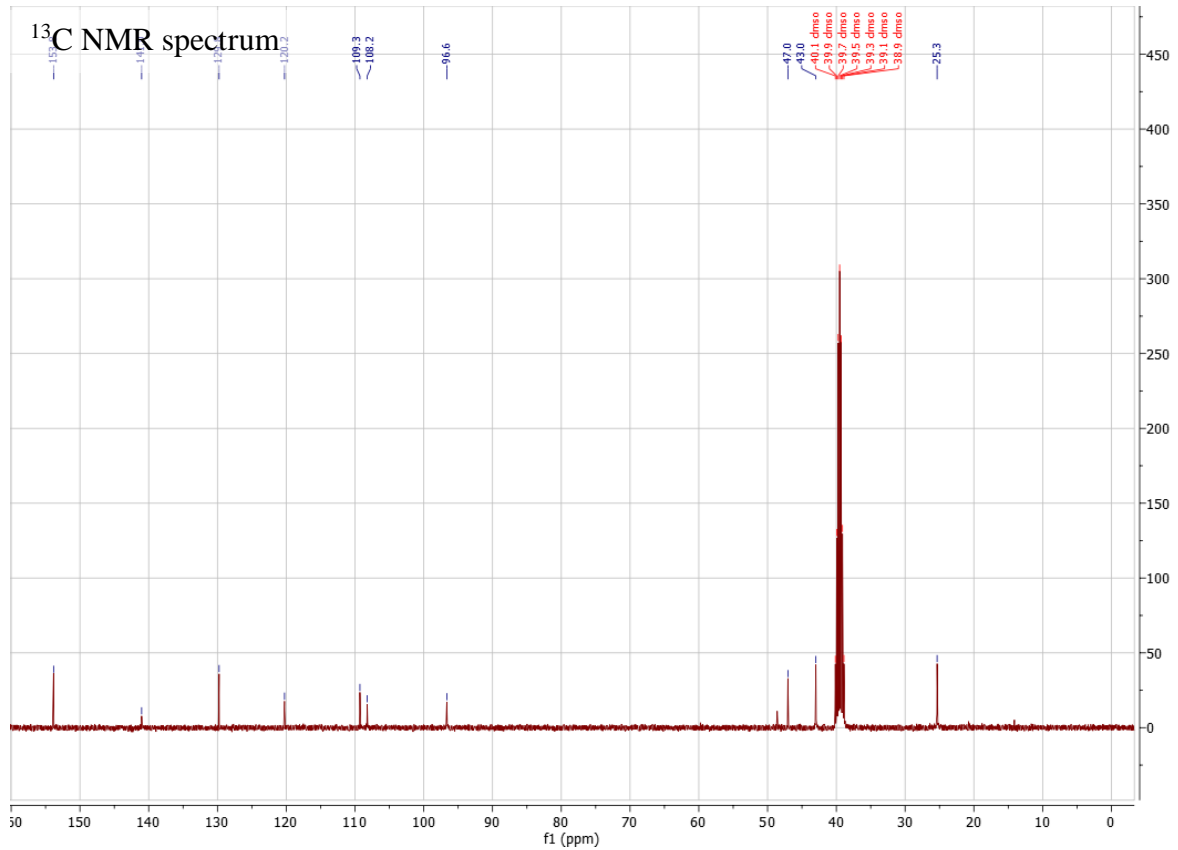
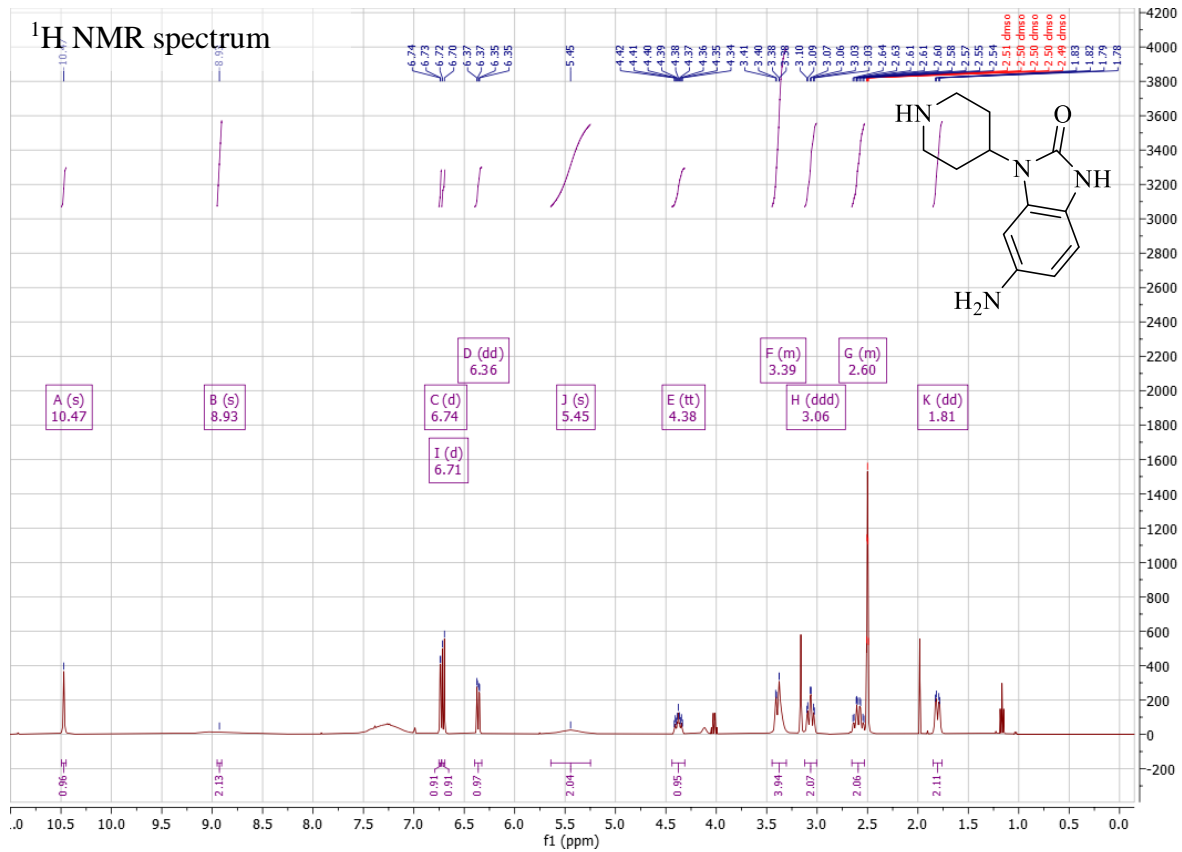
## B.3.1 4-(5-Chloro-6-nitro-2-oxo-2,3-dihydro-1H-benzo[d]imidazol-1-yl)-piperidin-1-ium nitrate – 5.5





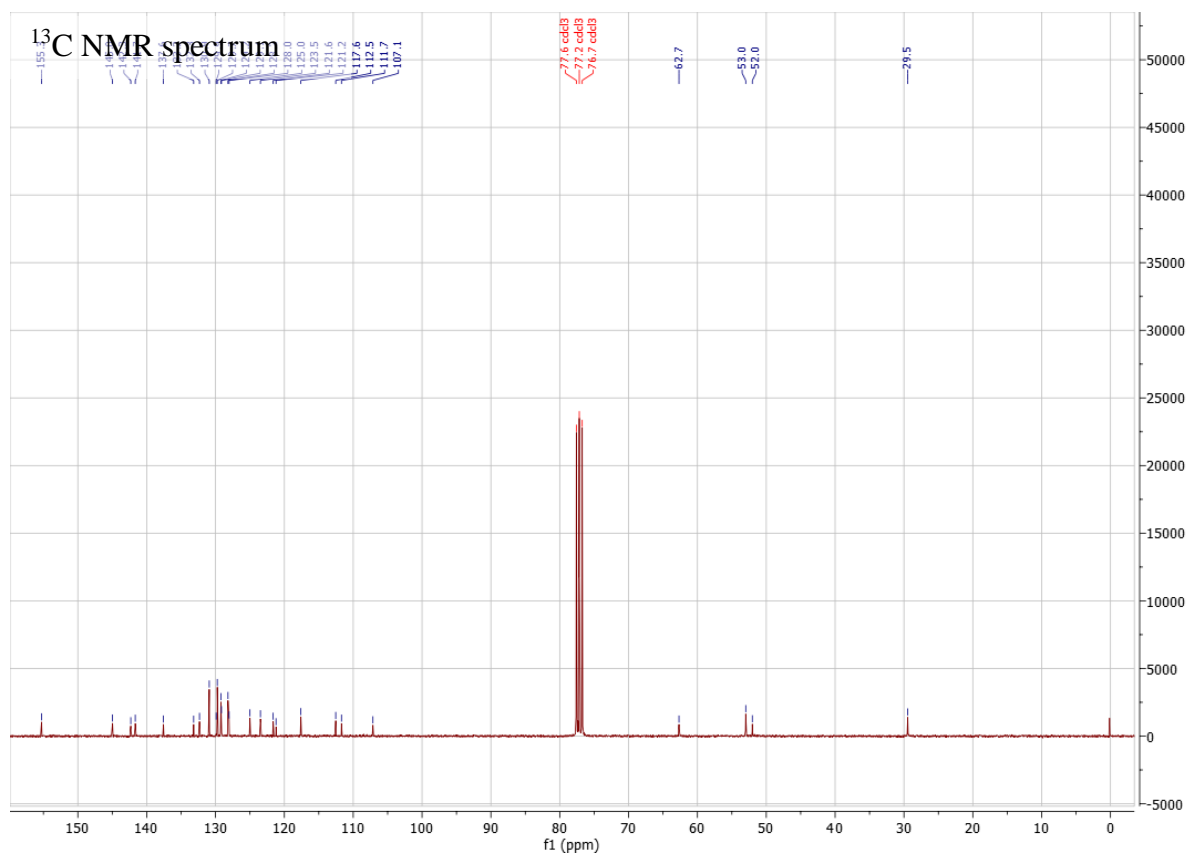
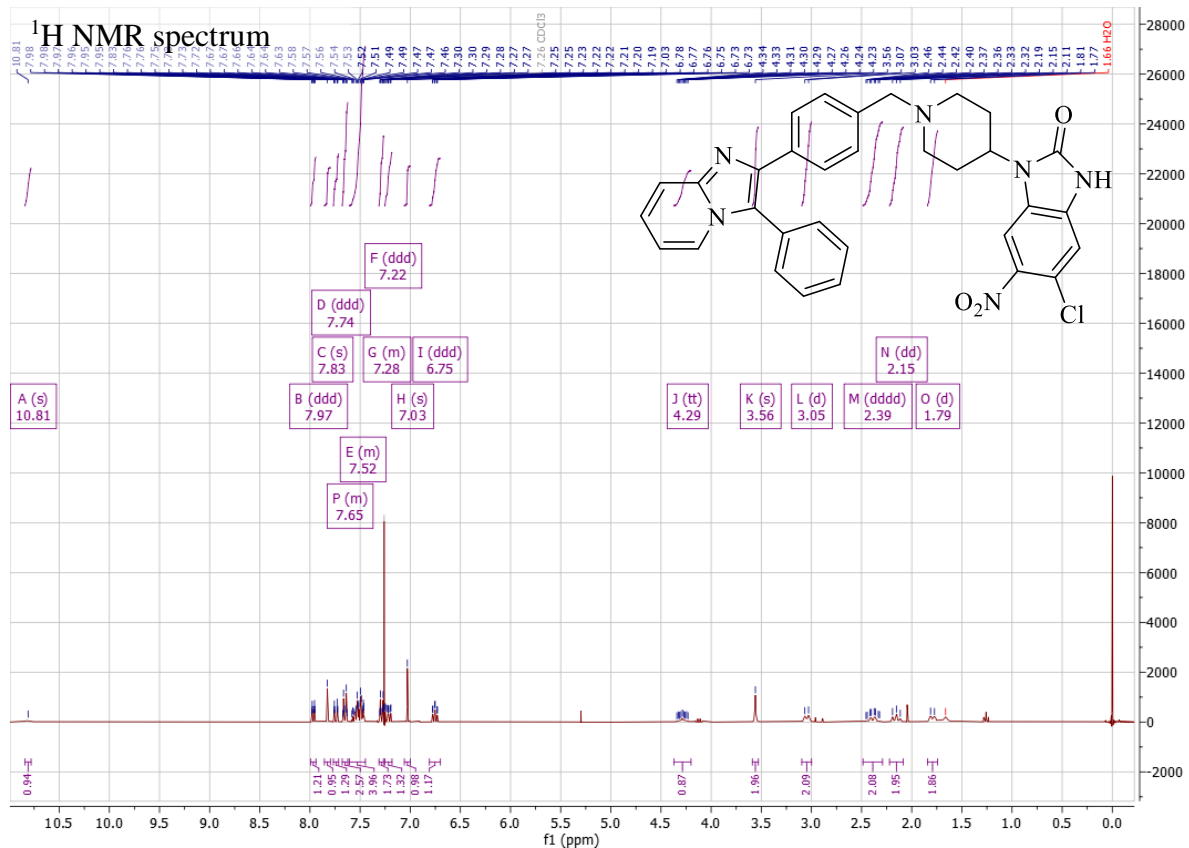
## B NMR spectra

## B.3.2 6-Amino-1-(piperidin-4-yl)-1,3-dihydro-2H-benzo[d]imidazol-2-one – 5.6



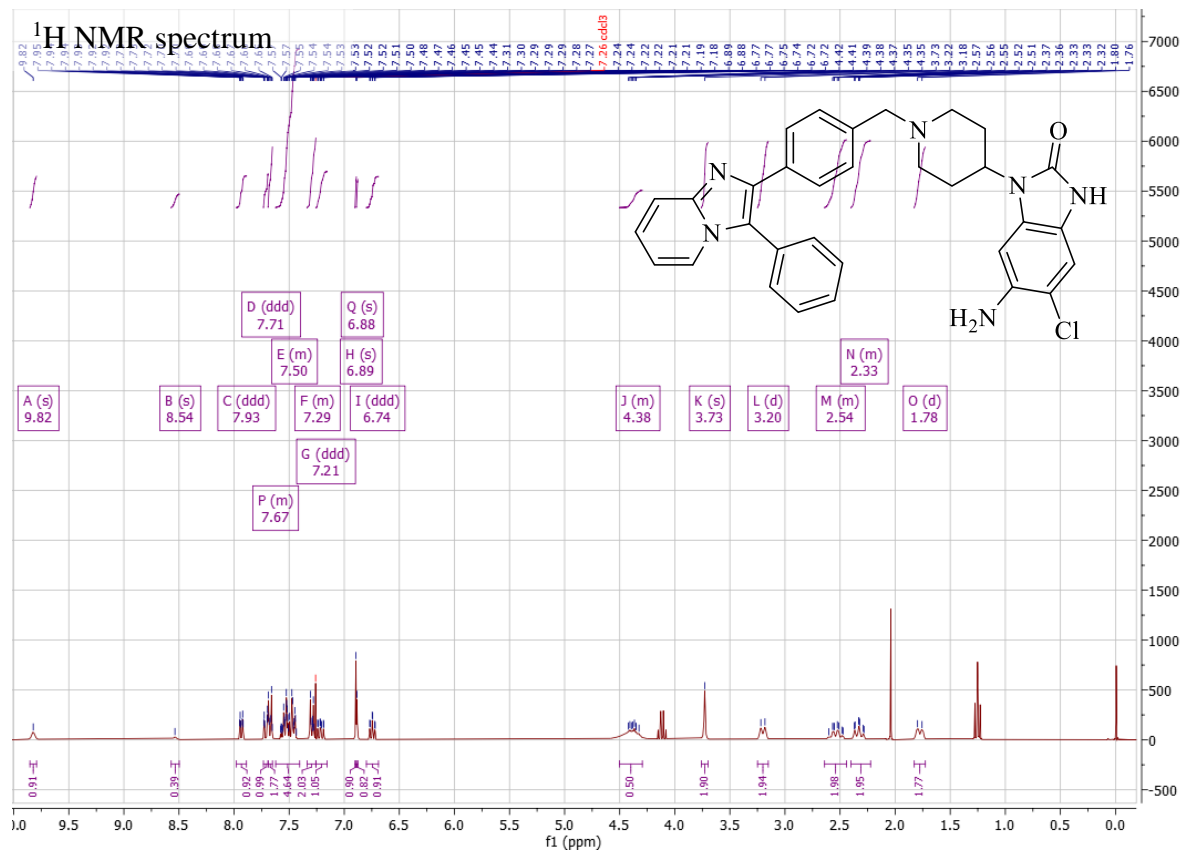
B NMR spectra

**B.3.3 5-Chloro-6-nitro-1-{1-[4-(3-phenylimidazo[1,2-*a*]pyridin-2-yl)benzyl]piperidin-4-yl}-1,3-dihydro-2*H*-benzo[*d*]imidazol-2-one – 5.10**

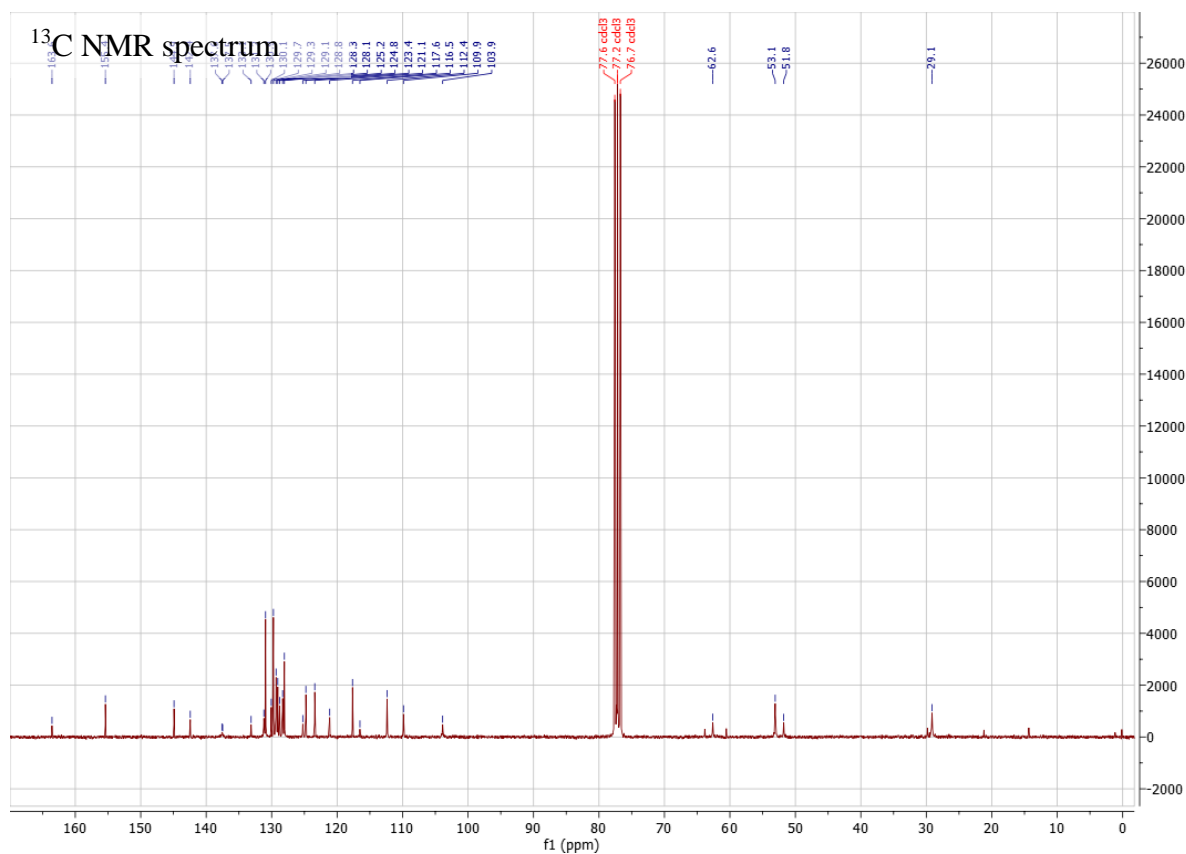
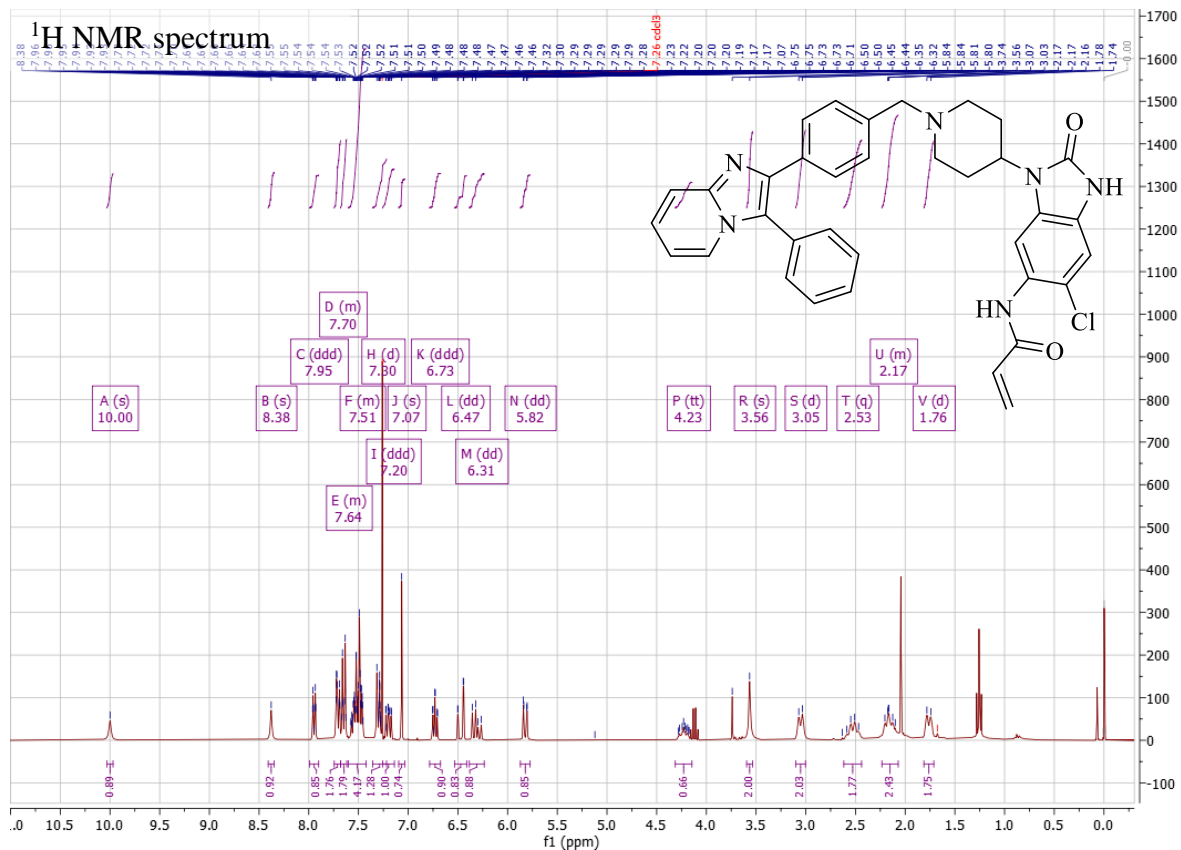


## B NMR spectra

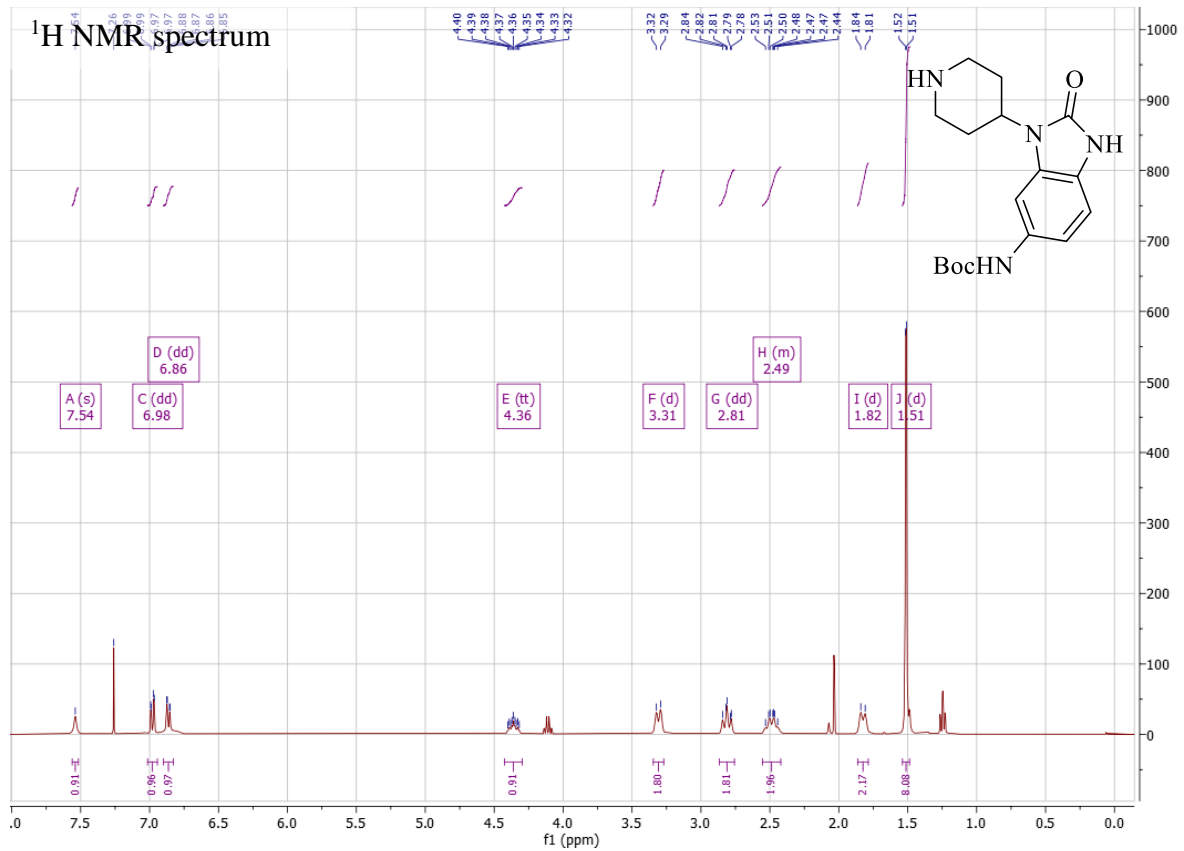
## B.3.4 6-Amino-5-chloro-1-{1-[4-(3-phenylimidazo[1,2-a]pyridin-2-yl)benzyl]-piperidin-4-yl}-1,3-dihydro-2H-benzo[d]imidazol-2-one – 5.11



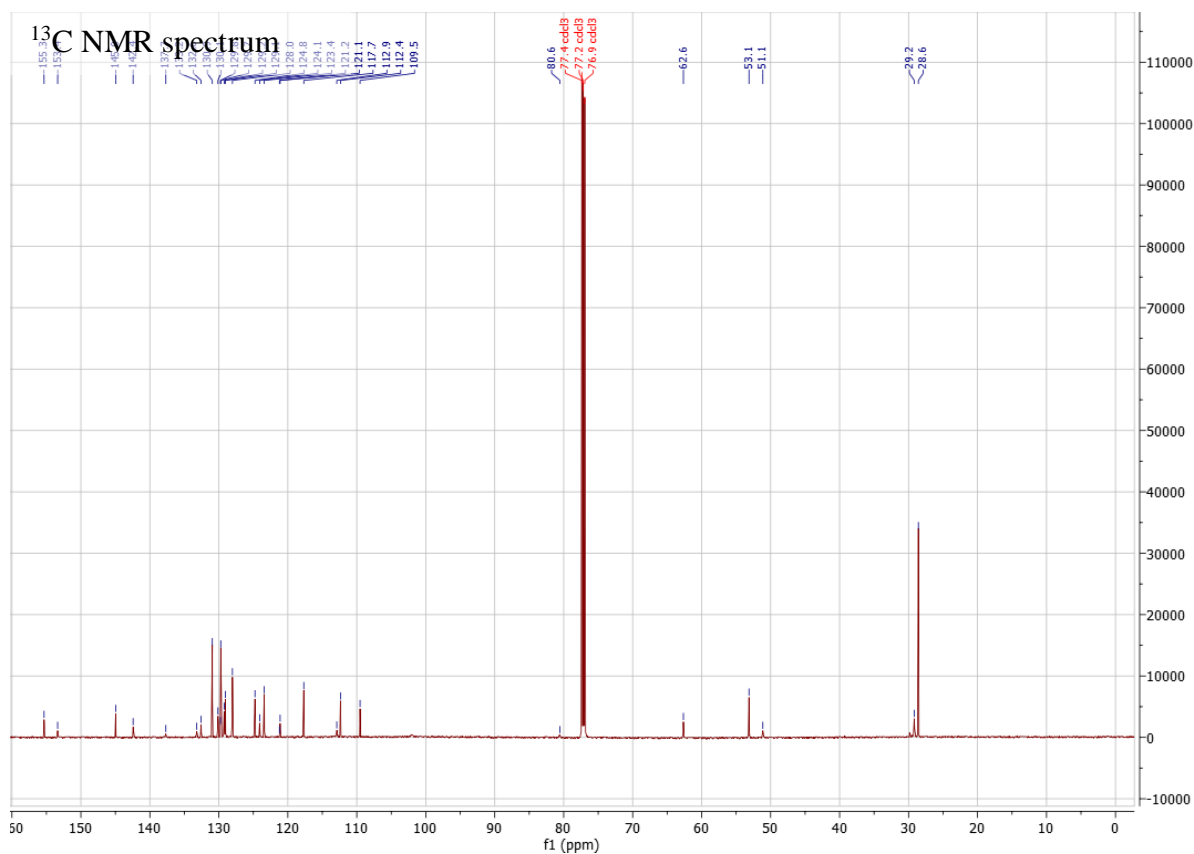
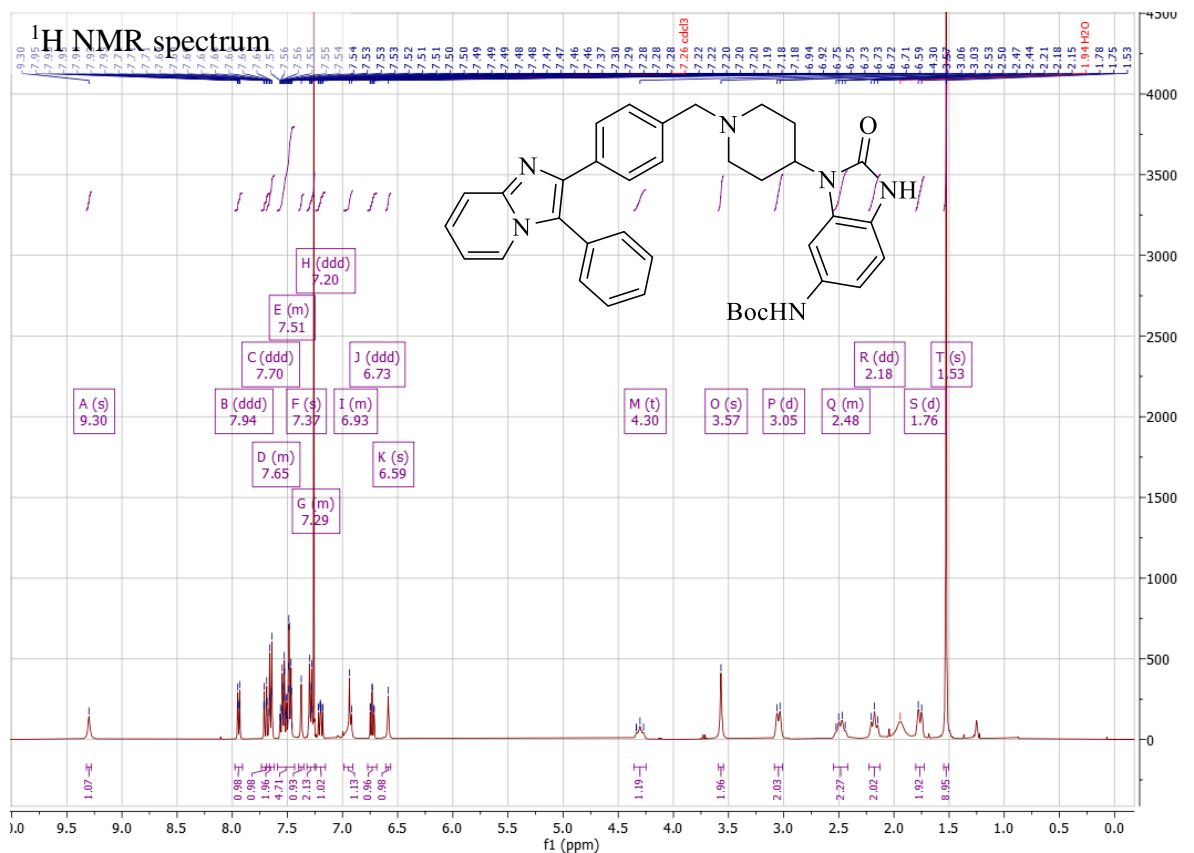
## B NMR spectra

**B.3.5 N-(6-Chloro-2-oxo-3-{1-[4-(3-phenylimidazo[1,2-a]pyridin-2-yl)benzyl]-piperidin-4-yl}-2,3-dihydro-1H-benzo[d]imidazol-5-yl)acrylamide – 5.13**

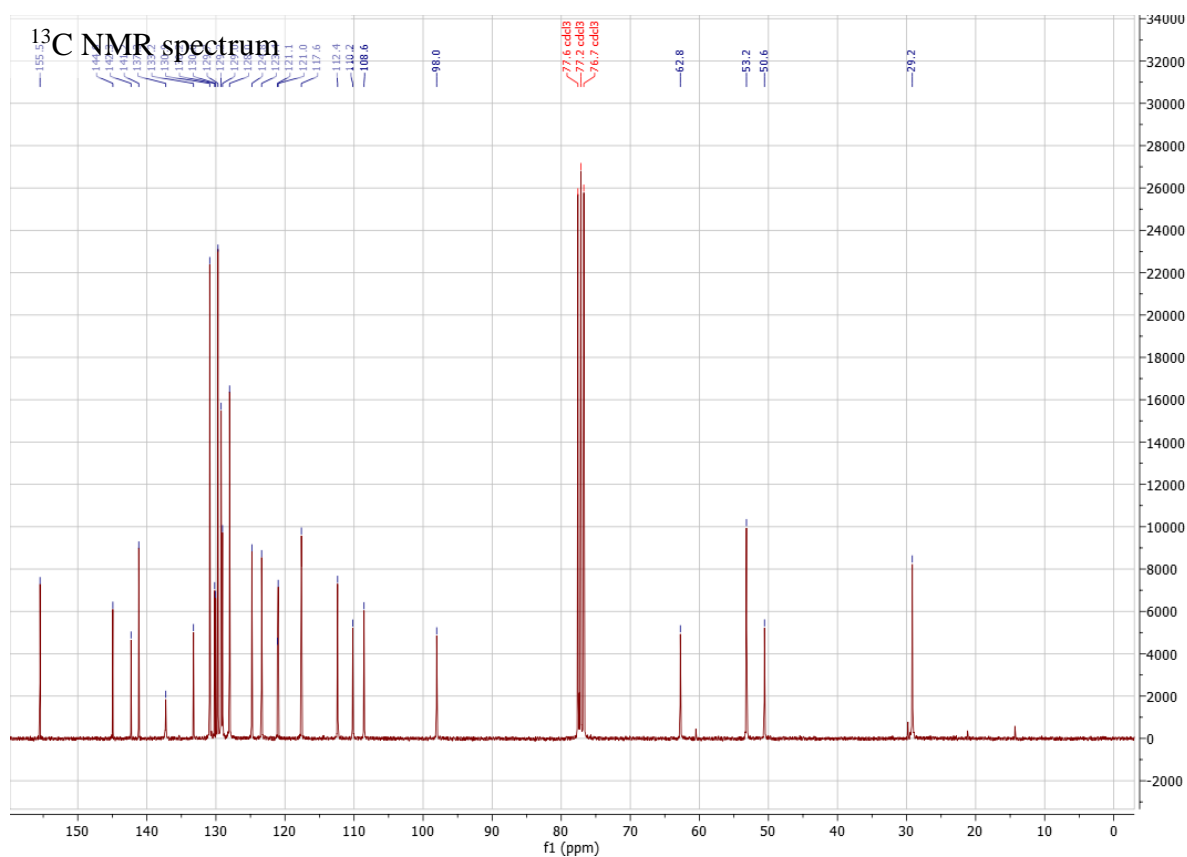
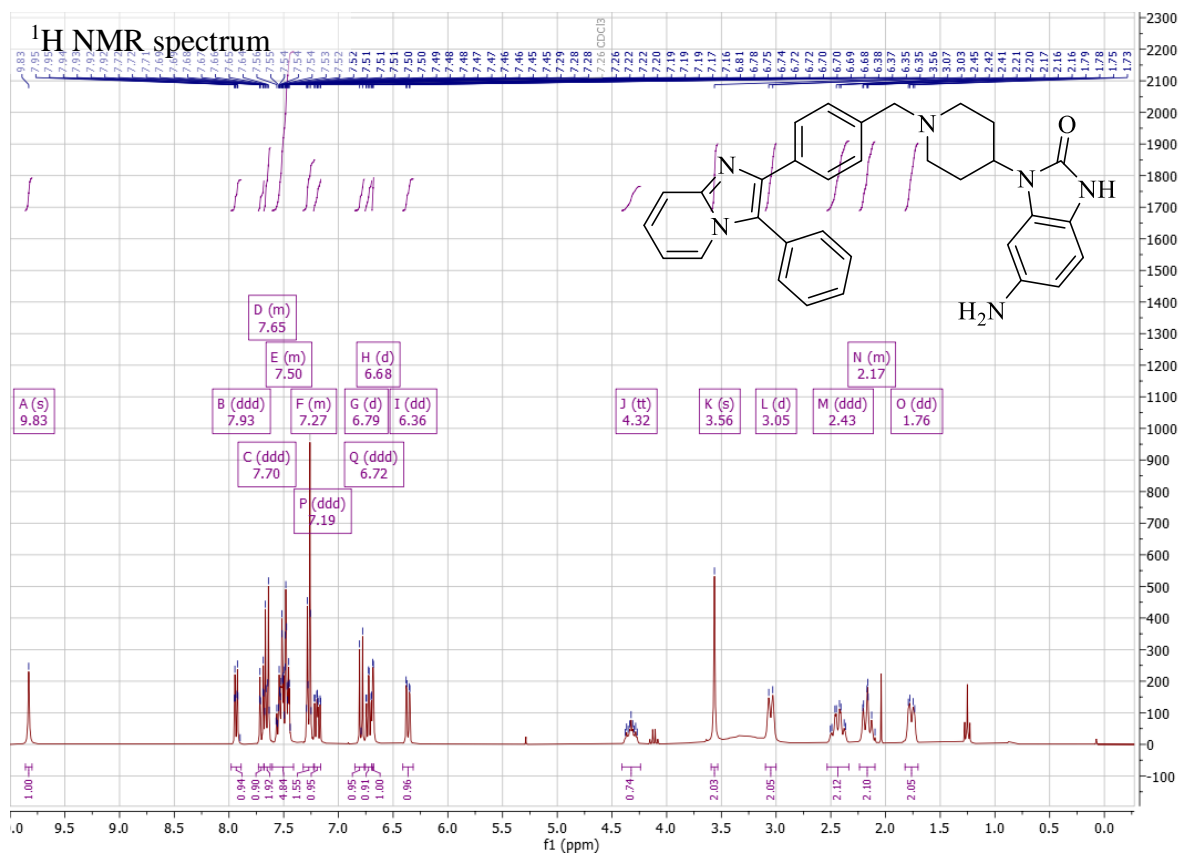
## B NMR spectra

B.3.6 *tert*-Butyl [2-oxo-3-(piperidin-4-yl)-2,3-dihydro-1*H*-benzo[*d*]imidazol-5-yl]-  
carbamate – 5.7

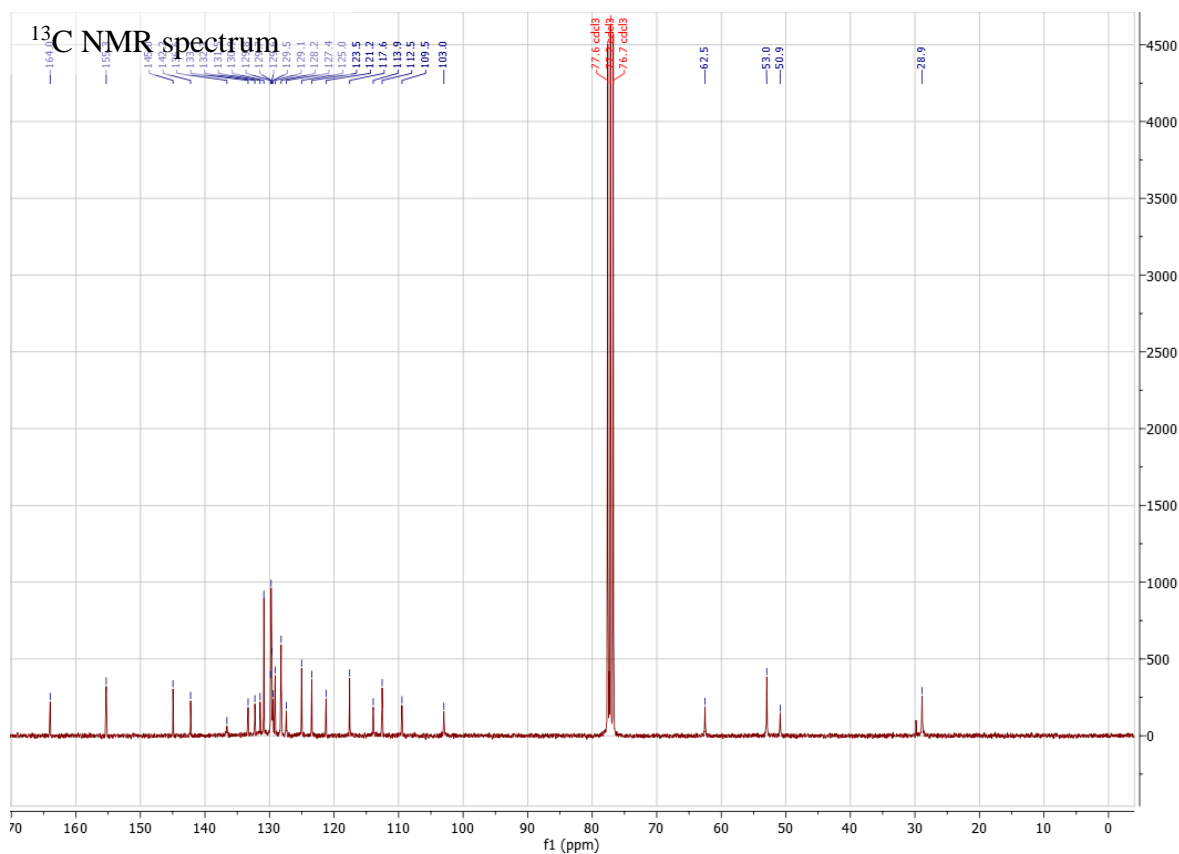
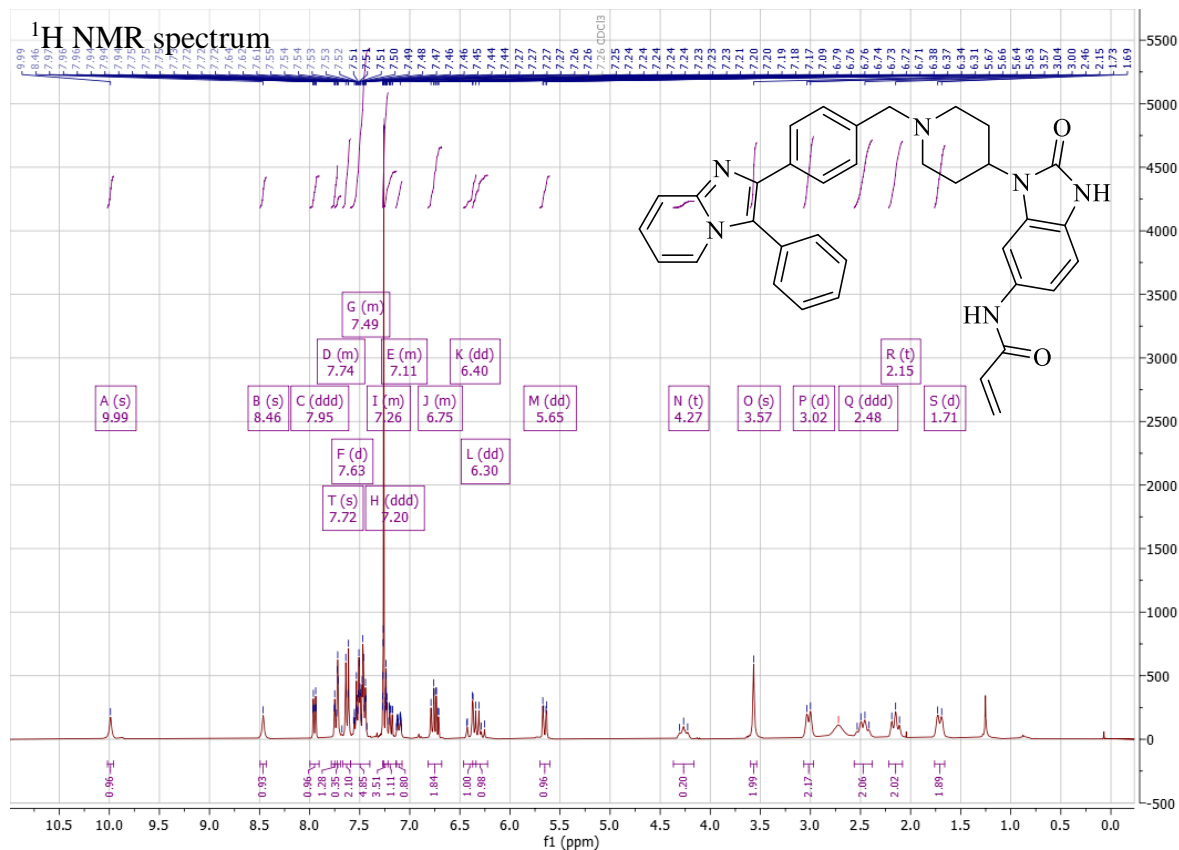
## B NMR spectra

**B.3.7 *tert*-Butyl (2-oxo-3-{1-[4-(3-phenylimidazo[1,2-*a*])pyridin-2-yl]benzyl}-piperidin-4-yl)-2,3-dihydro-1*H*-benzo[*d*]imidazol-5-yl)carbamate – 5.8**

## B NMR spectra

B.3.8 6-Amino-1-{1-[4-(3-phenylimidazo[1,2-*a*]pyridin-2-yl)benzyl]-piperidin-4-yl}-1,3-dihydro-2*H*-benzo[*d*]imidazol-2-one – 5.9

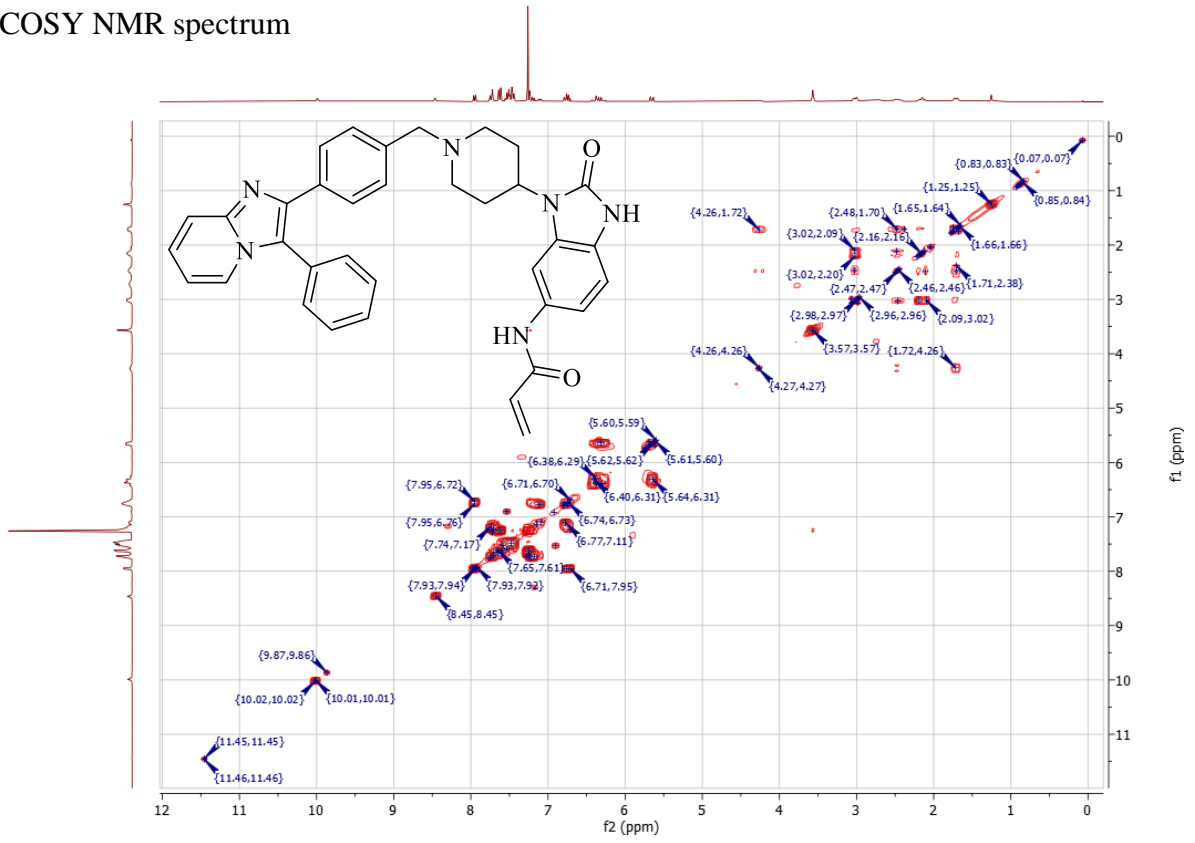
## B NMR spectra

**B.3.9 N-(2-Oxo-3-{1-[4-(3-phenylimidazo[1,2-a]pyridin-2-yl)benzyl]-piperidin-4-yl}-2,3-dihydro-1H-benzo[d]imidazol-5-yl)acrylamide – 2.60**

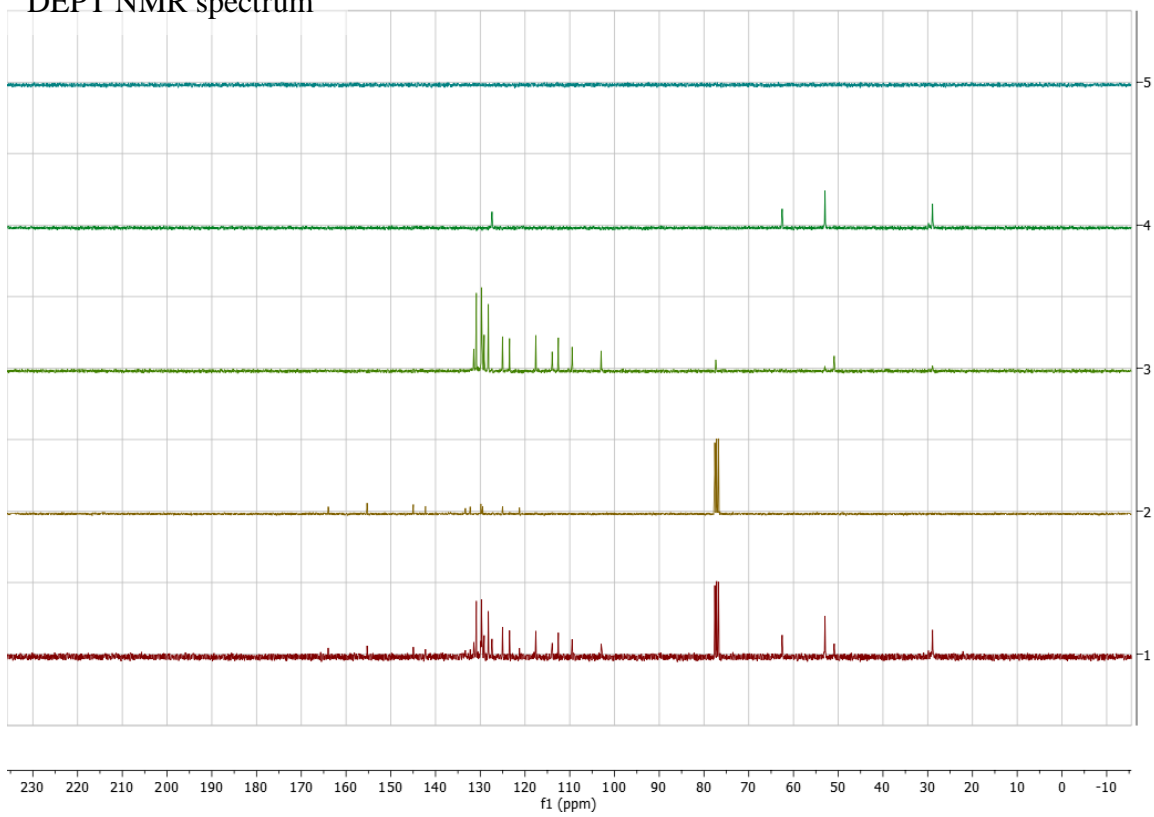


### B NMR spectra

COSY NMR spectrum

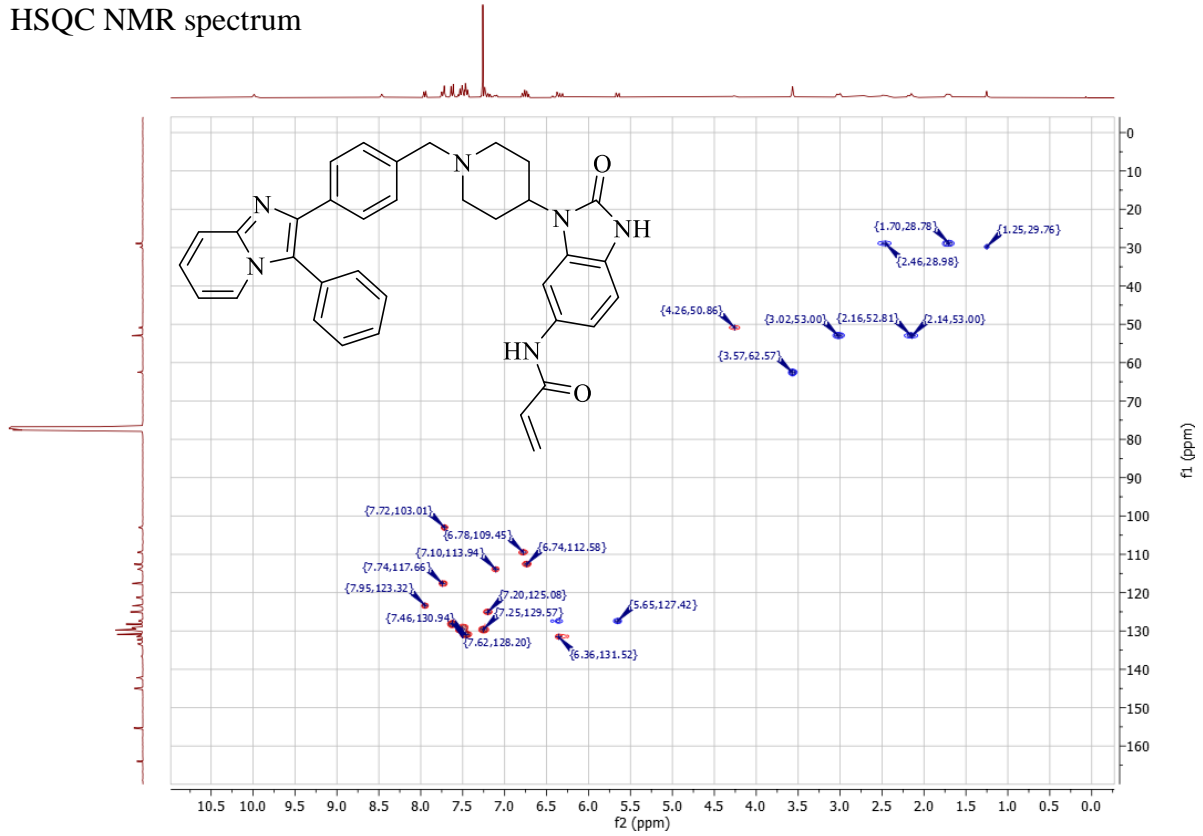


DEPT NMR spectrum

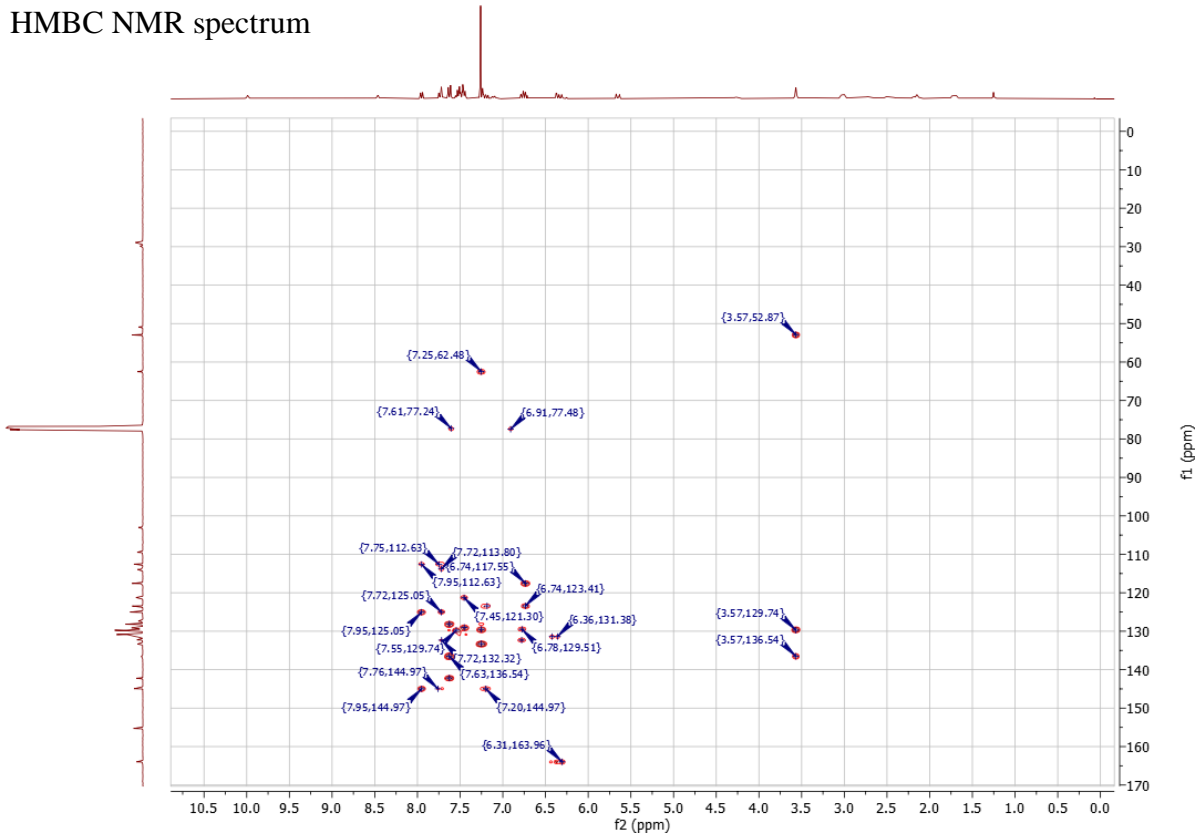


**B NMR spectra**

HSQC NMR spectrum

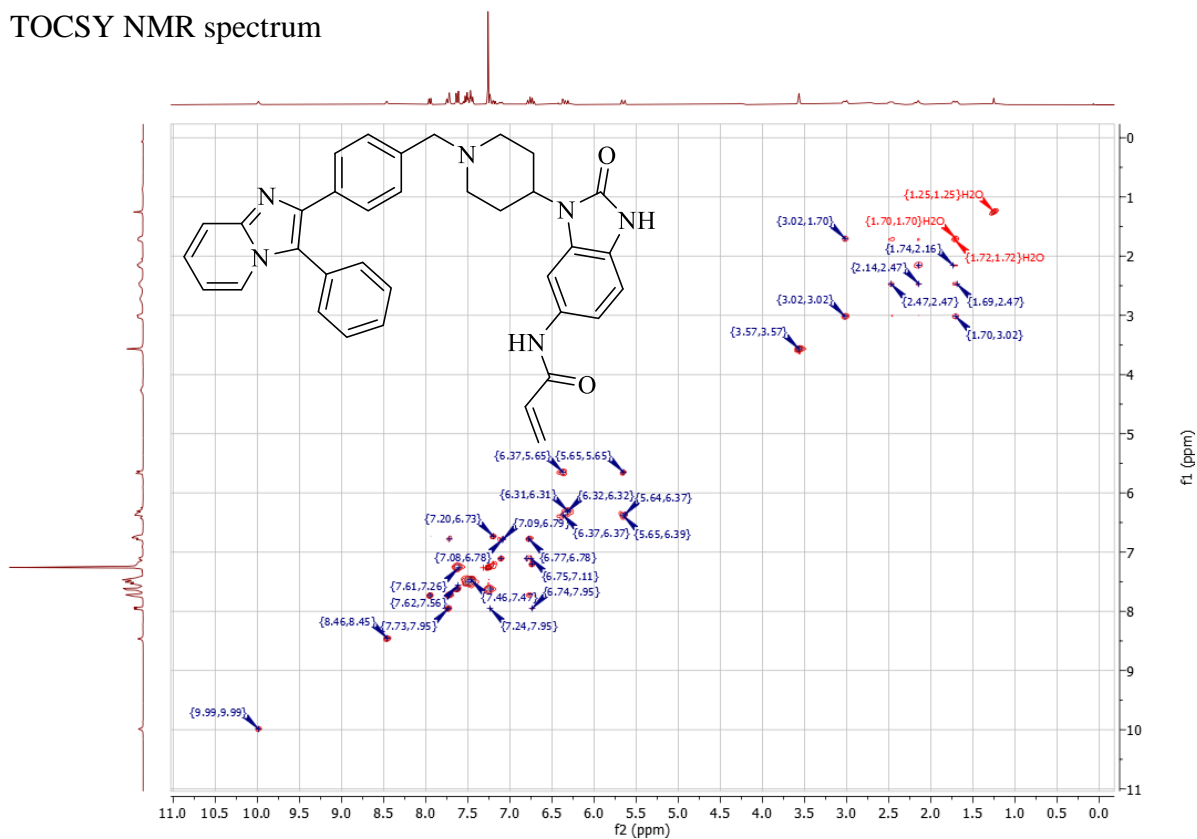


HMBC NMR spectrum



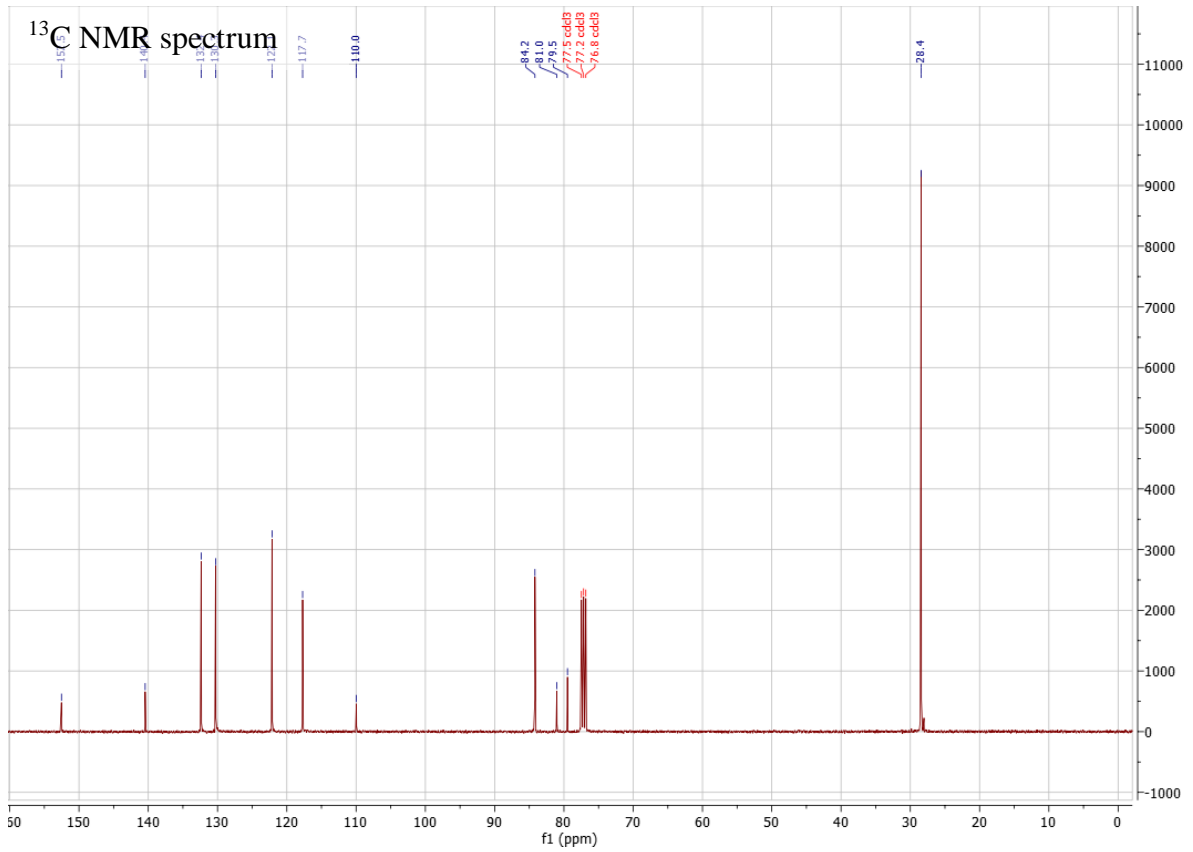
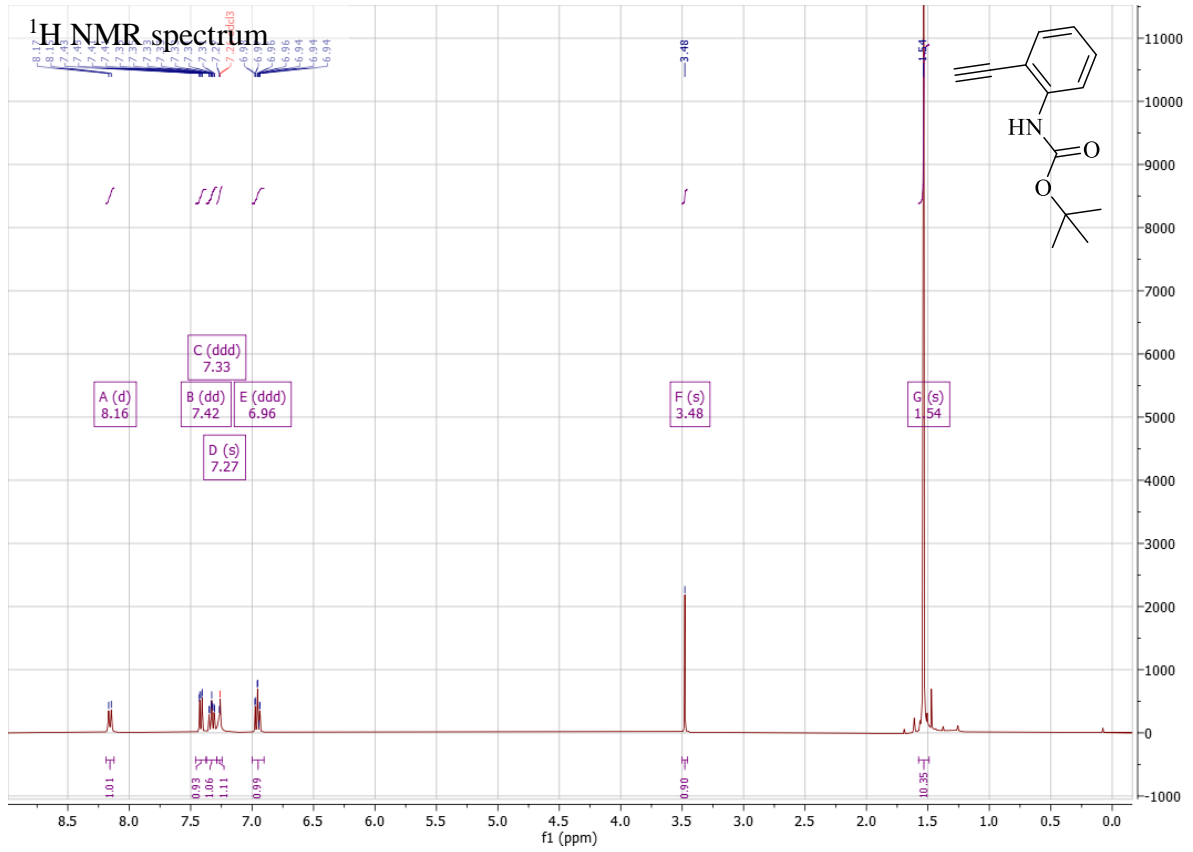
**B NMR spectra**

TOCSY NMR spectrum

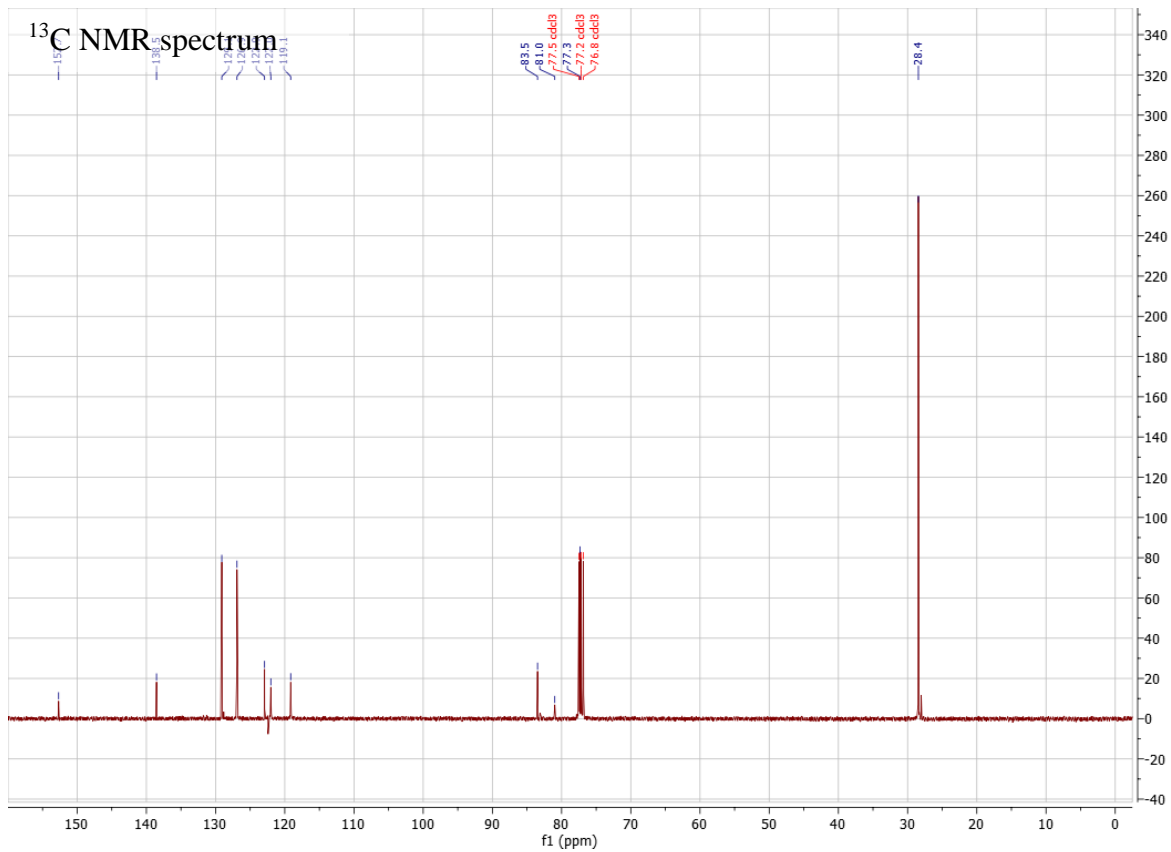
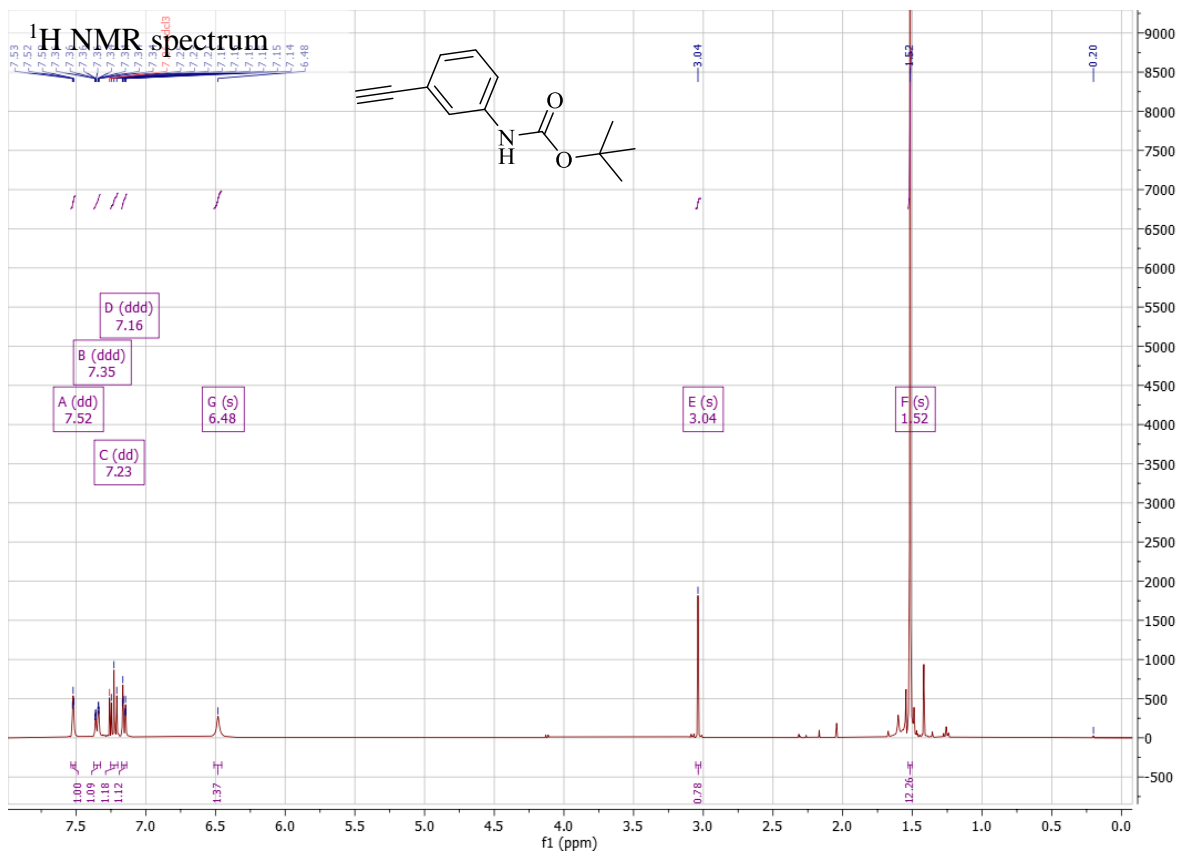


B NMR spectra

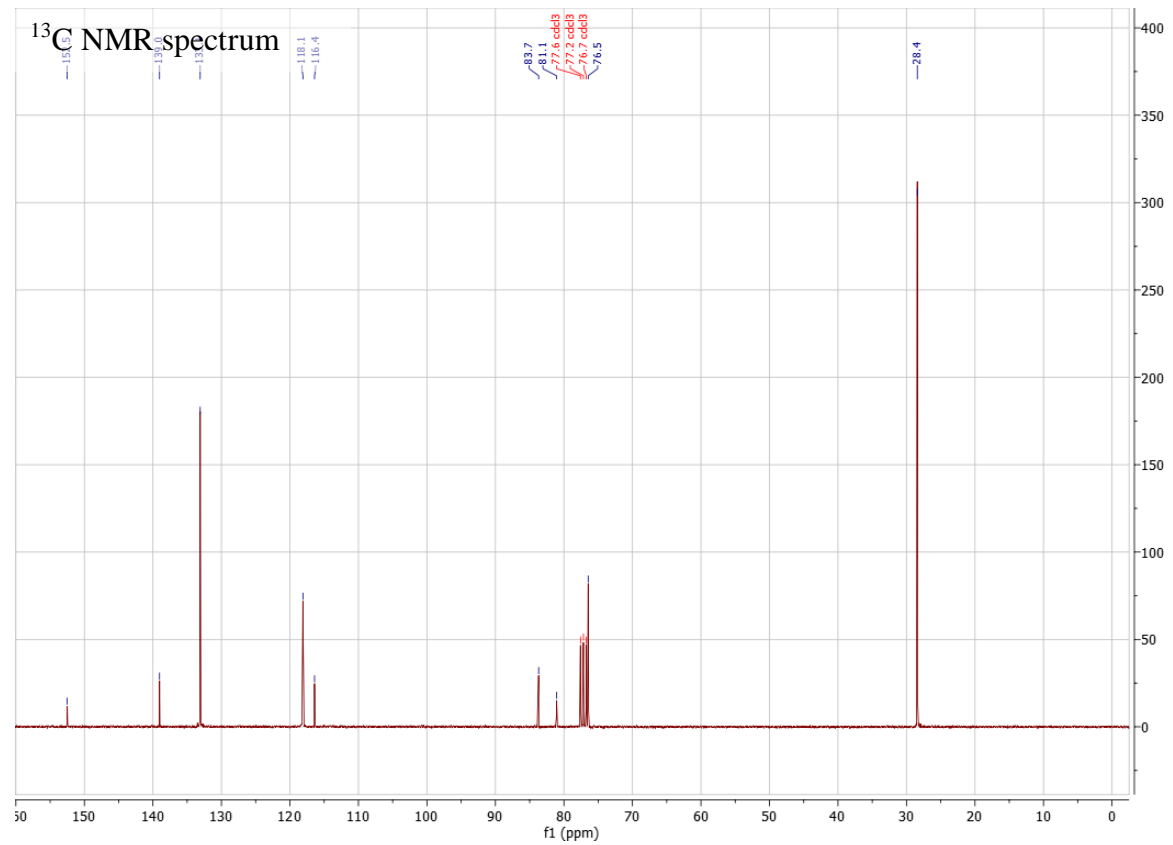
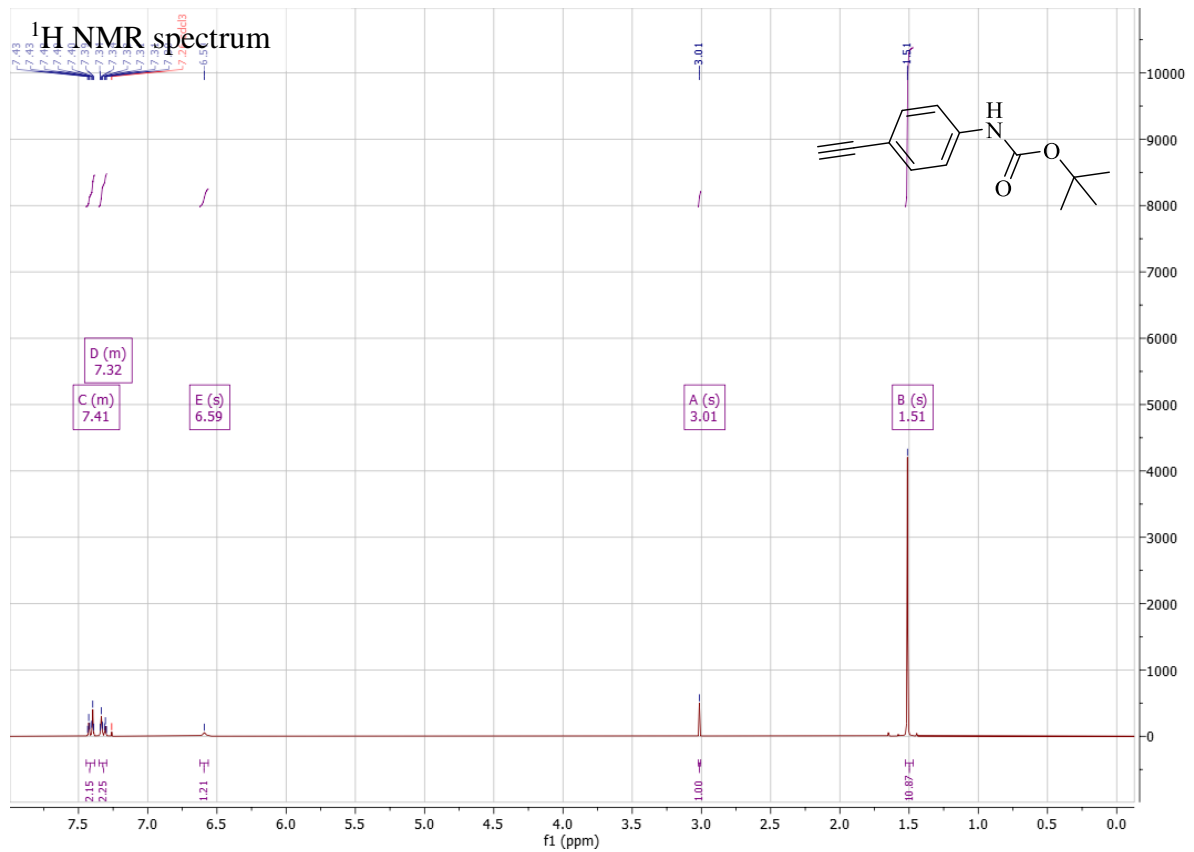
B.3.10 *tert*-Butyl (2-ethynylphenyl)carbamate – 5.19



## B NMR spectra

B.3.11 *tert*-Butyl (3-ethynylphenyl)carbamate – 5.18

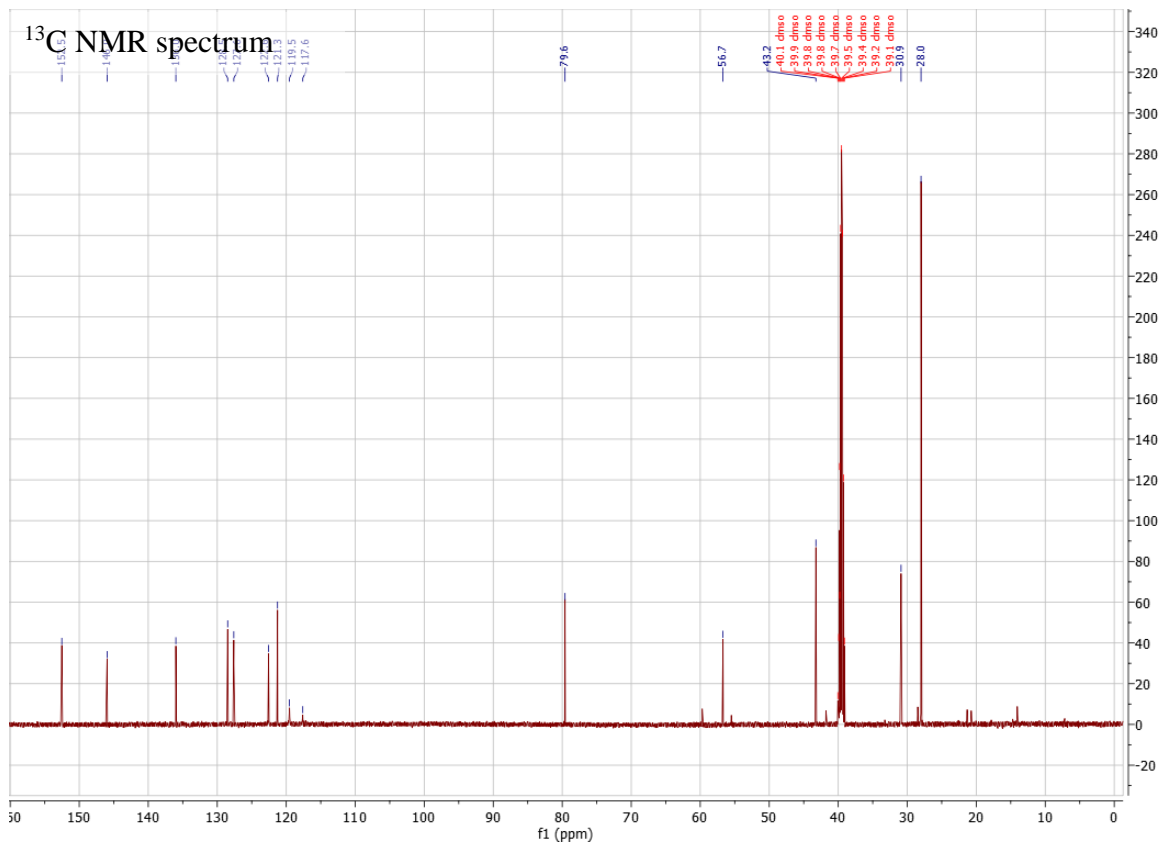
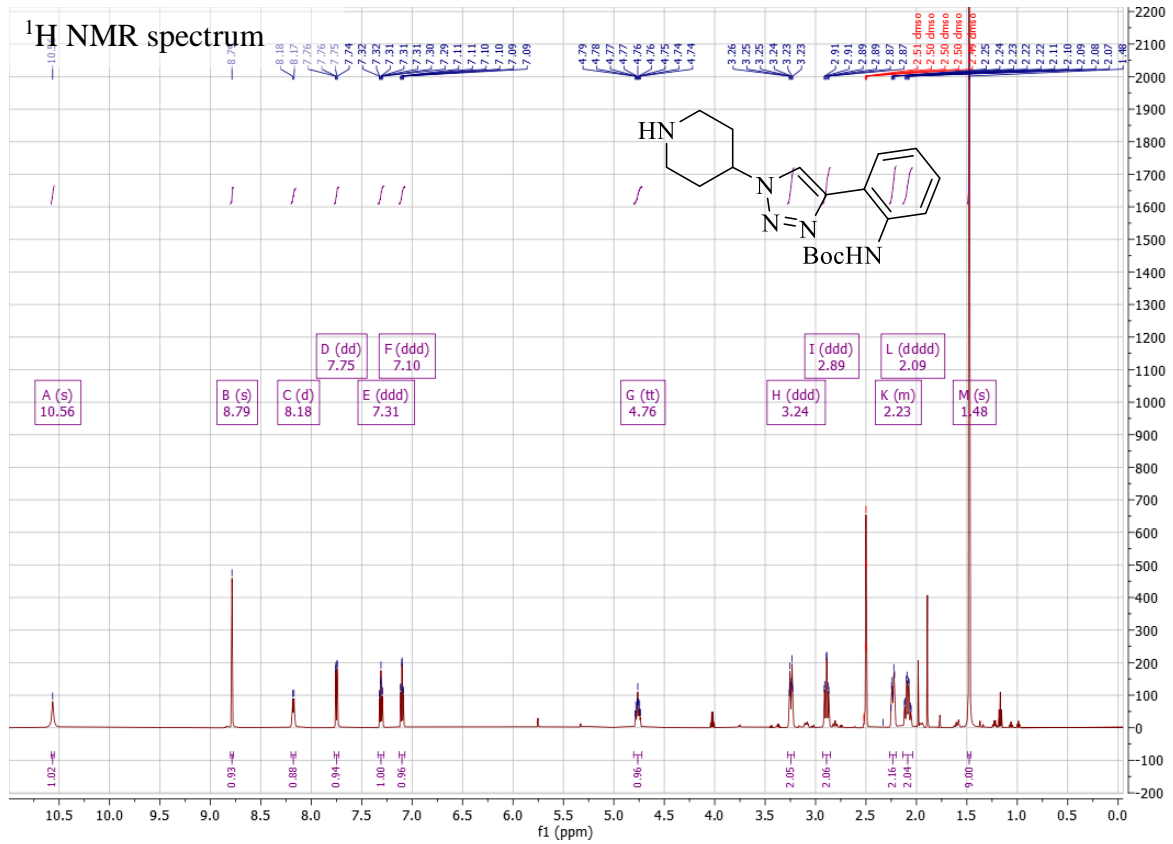
## B NMR spectra

B.3.12 *tert*-Butyl (4-ethynylphenyl)carbamate – 5.20

B NMR spectra

B.3.13 *tert*-Butyl {2-[1-(piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl]phenyl}carbamate –

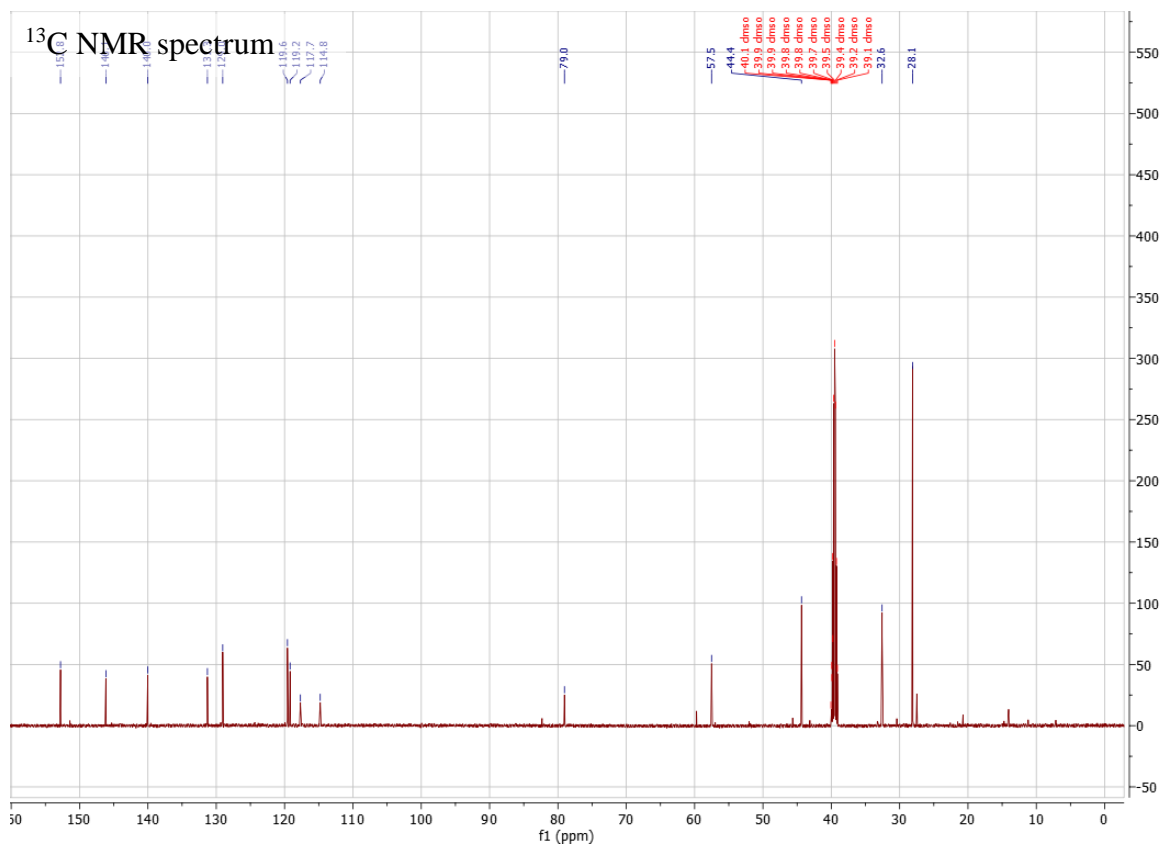
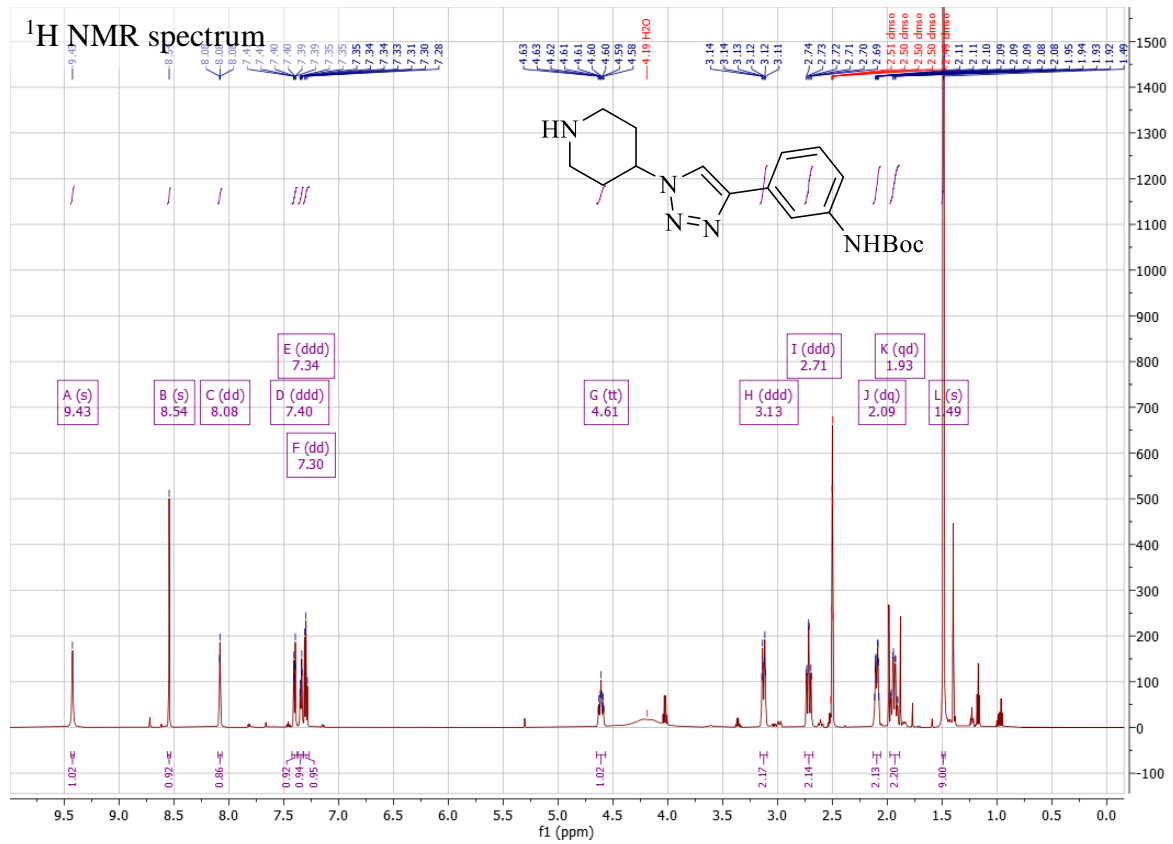
5.21



## B NMR spectra

B.3.14 *tert*-Butyl {3-[1-(piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl]phenyl}carbamate –

## 5.15

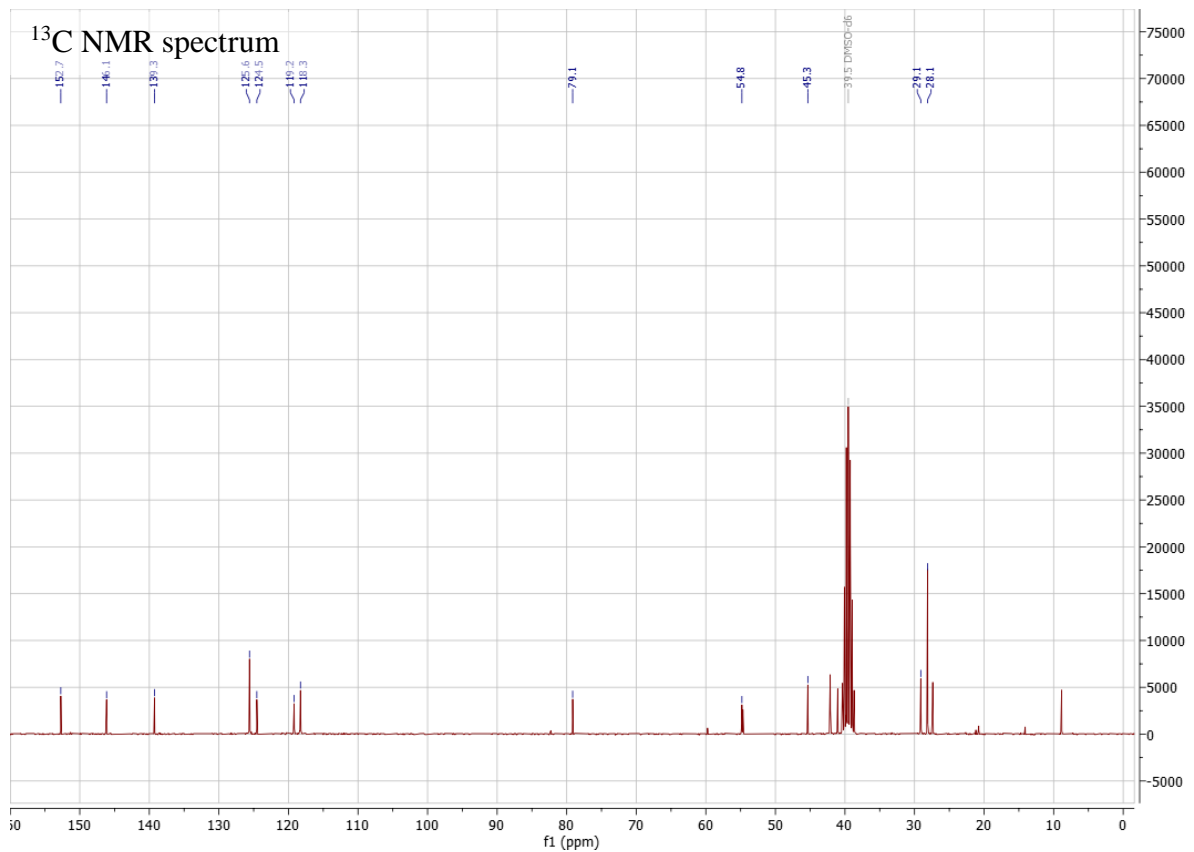
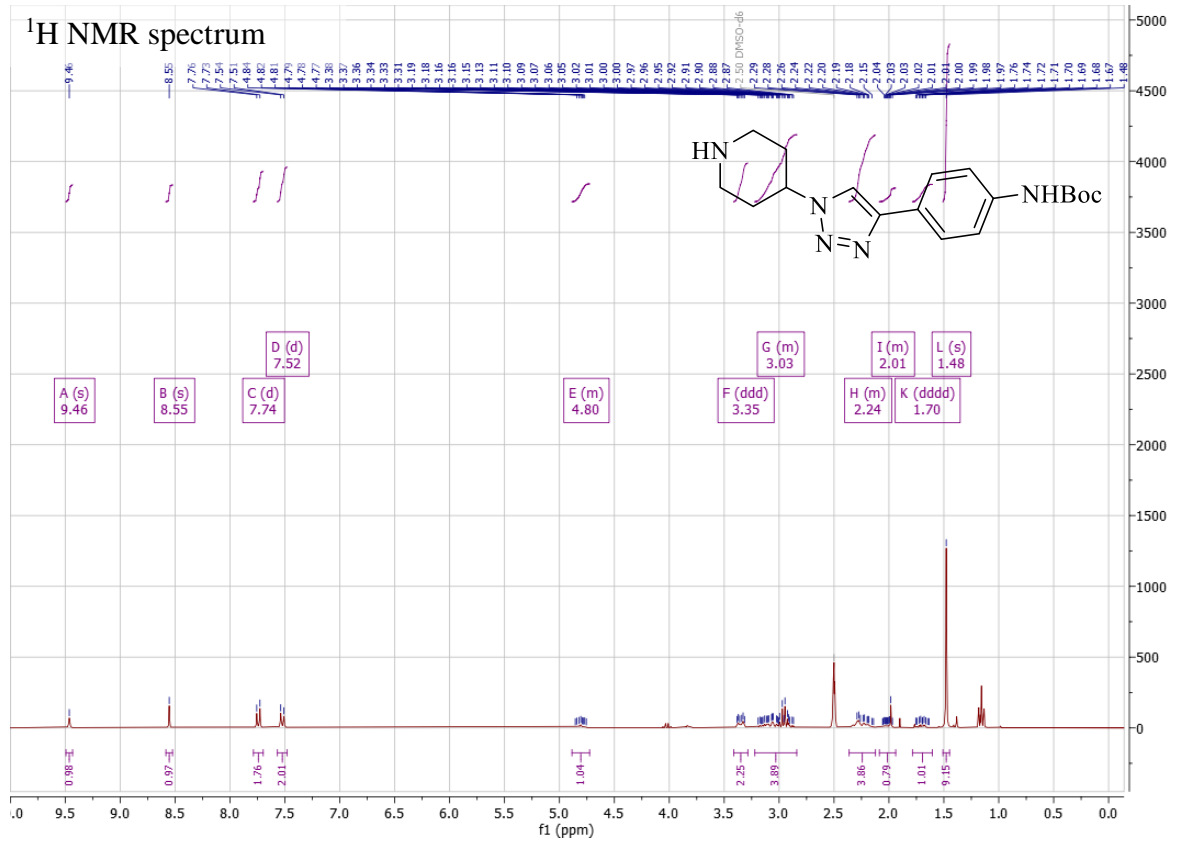




## B NMR spectra

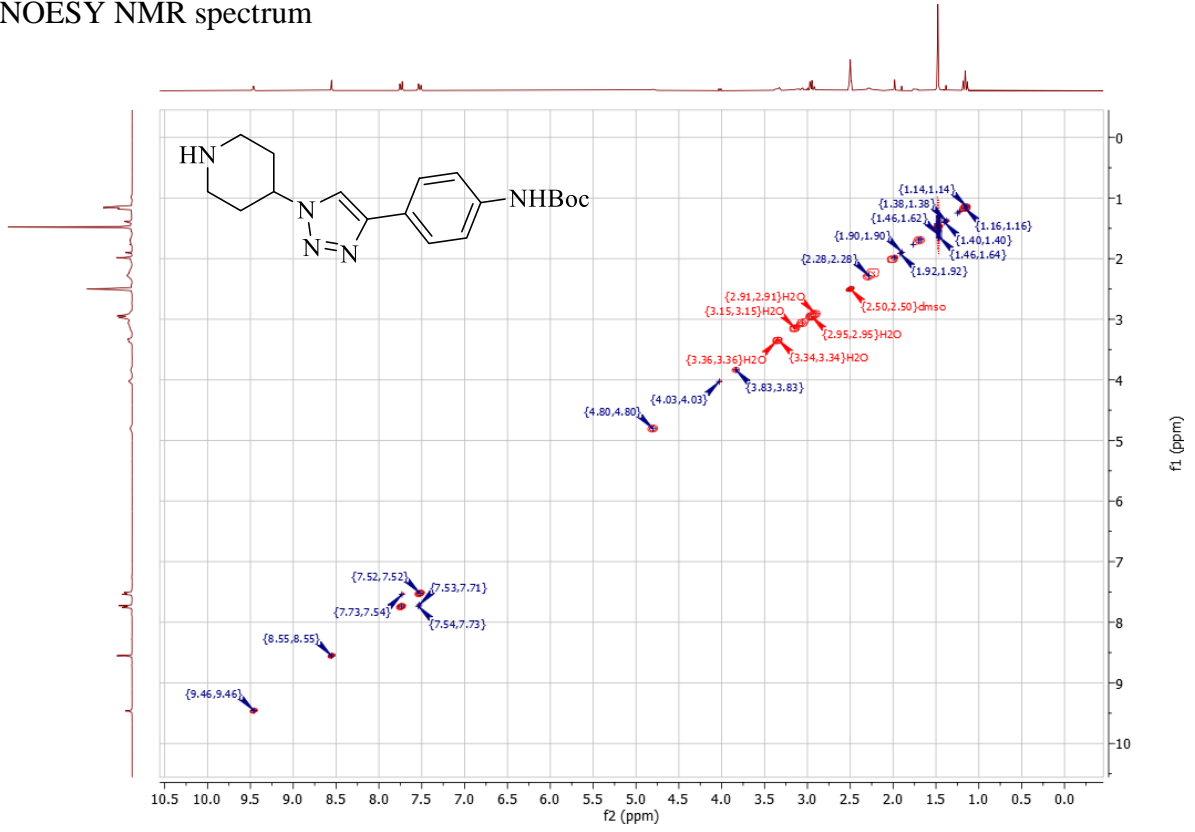
B.3.15 *tert*-Butyl {4-[1-(piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl]phenyl}carbamate –

## 5.22



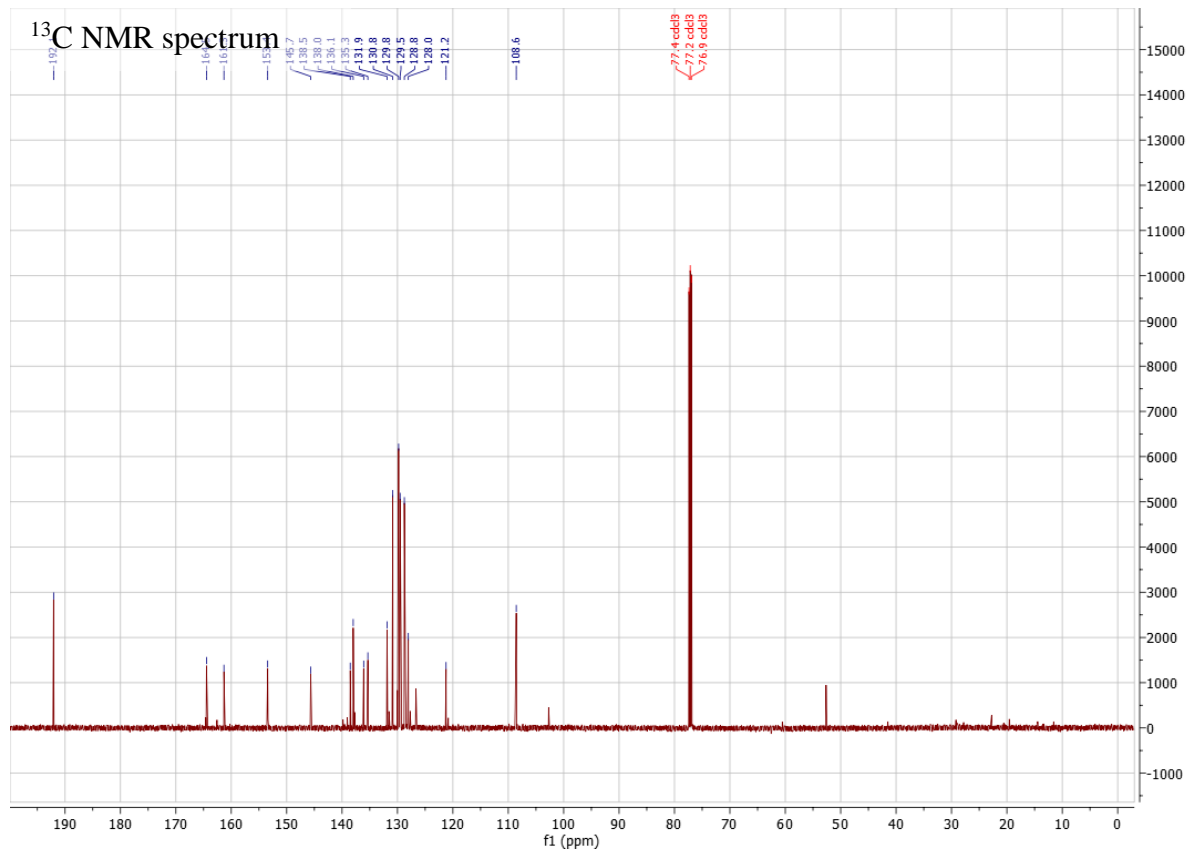
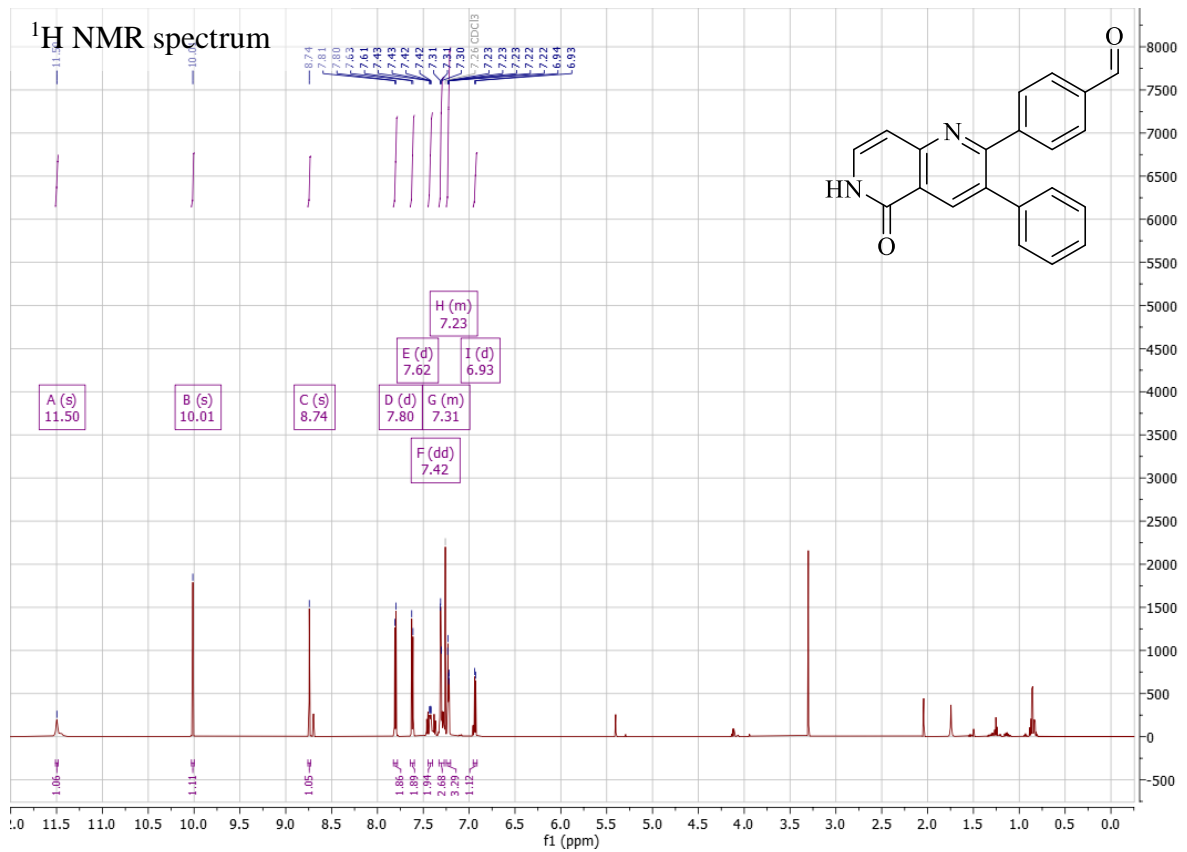
**B NMR spectra**

NOESY NMR spectrum



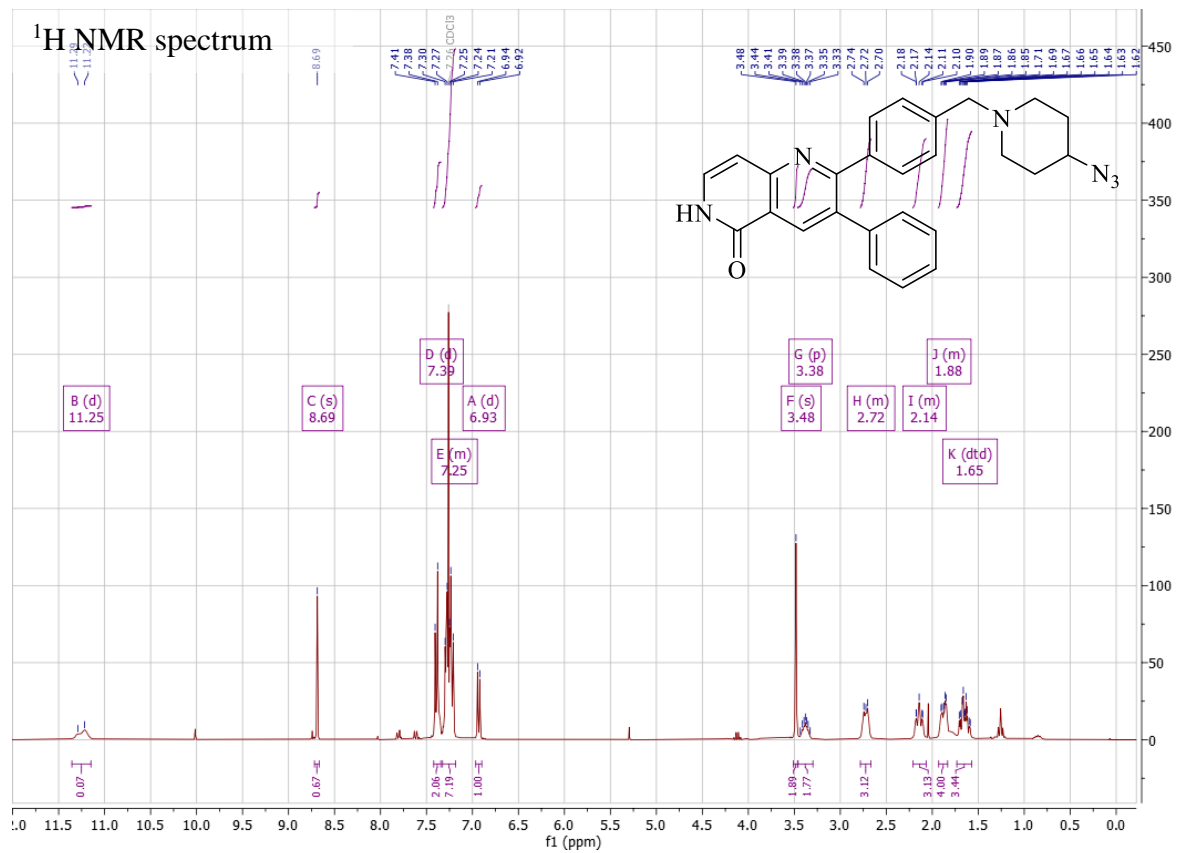
## B NMR spectra

## B.3.16 4-(5-Oxo-3-phenyl-5,6-dihydro-1,6-naphthyridin-2-yl)benzaldehyde – 5.14

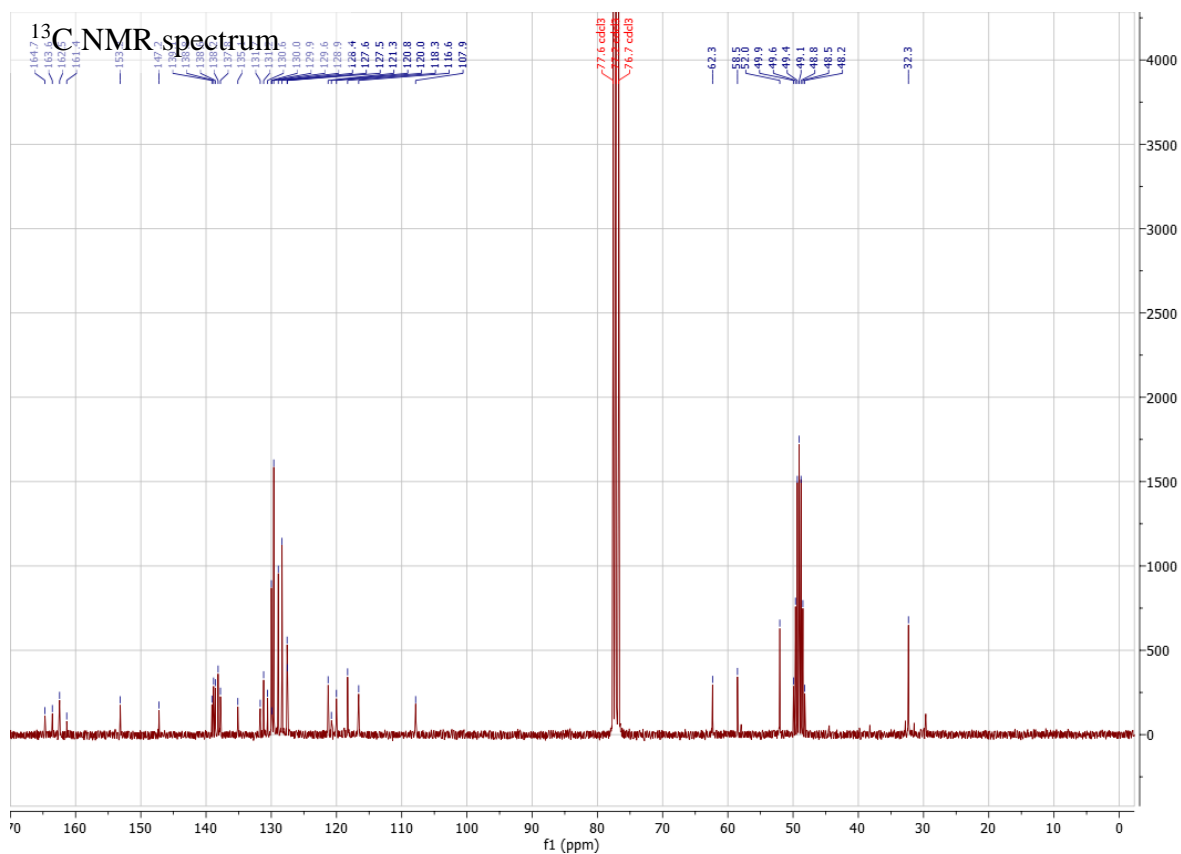
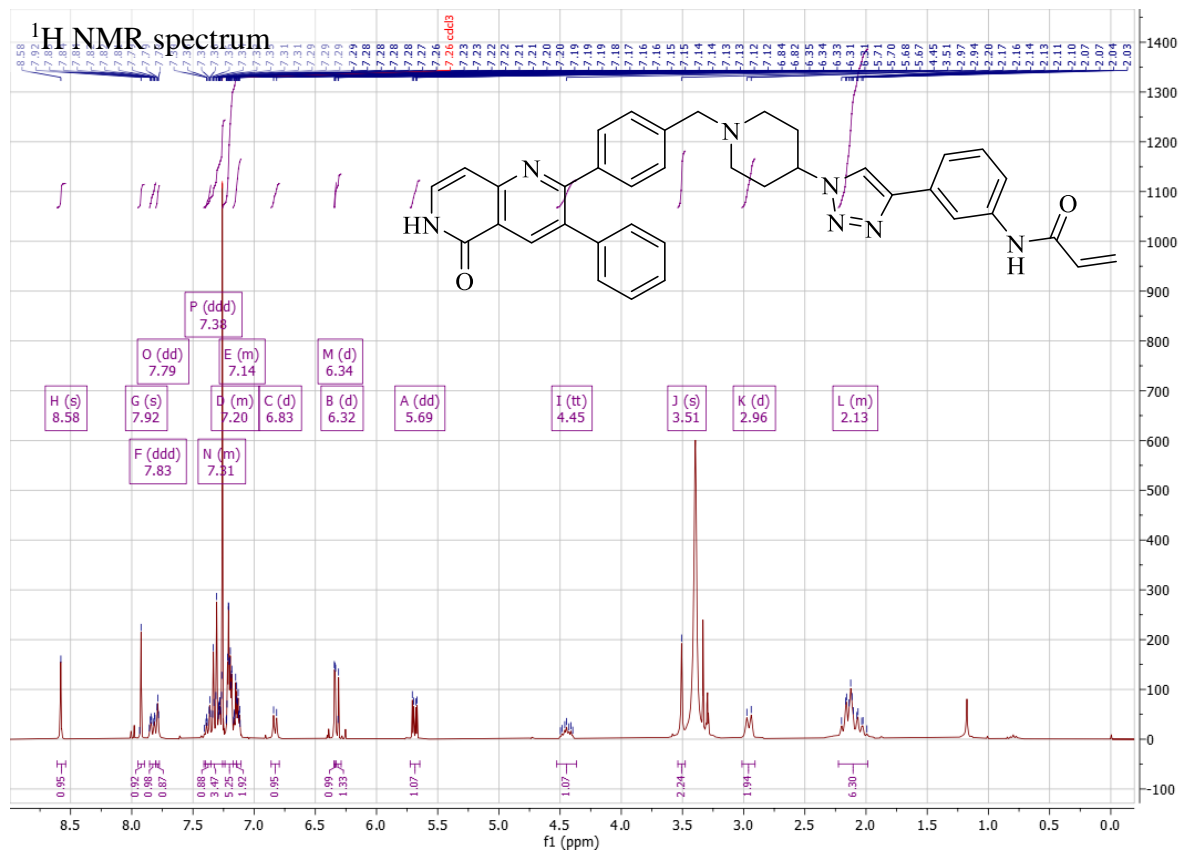




## B NMR spectra

**B.3.18 2-{4-[(4-Azidopiperidin-1-yl)methyl]phenyl}-3-phenyl-1,6-naphthyridin-5(6H)-one – 5.25**

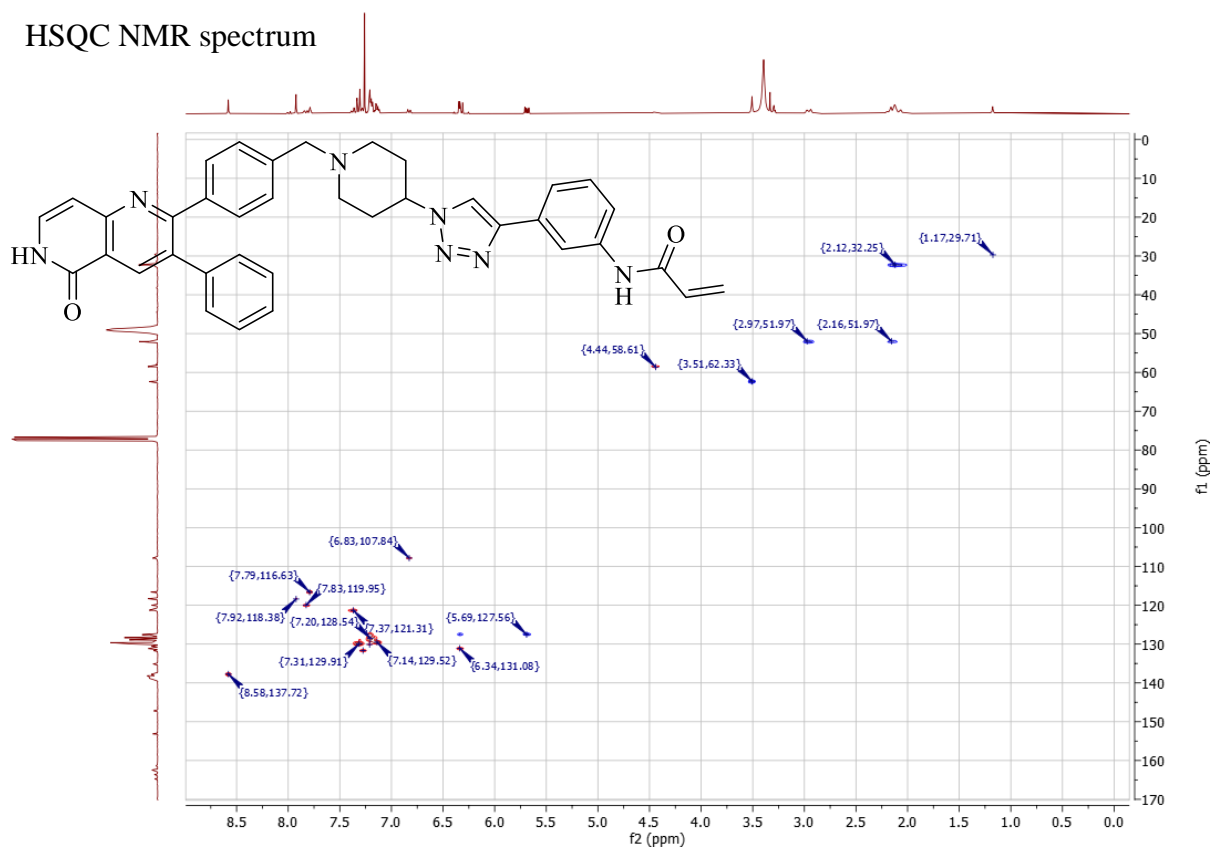
## B NMR spectra

**B.3.19 N-[3-(1-{1-[4-(5-Oxo-3-phenyl-5,6-dihydro-1,6-naphthyridin-2-yl)benzyl]-piperidin-4-yl]-1H-1,2,3-triazol-4-yl)phenyl]acrylamide – 5.1**

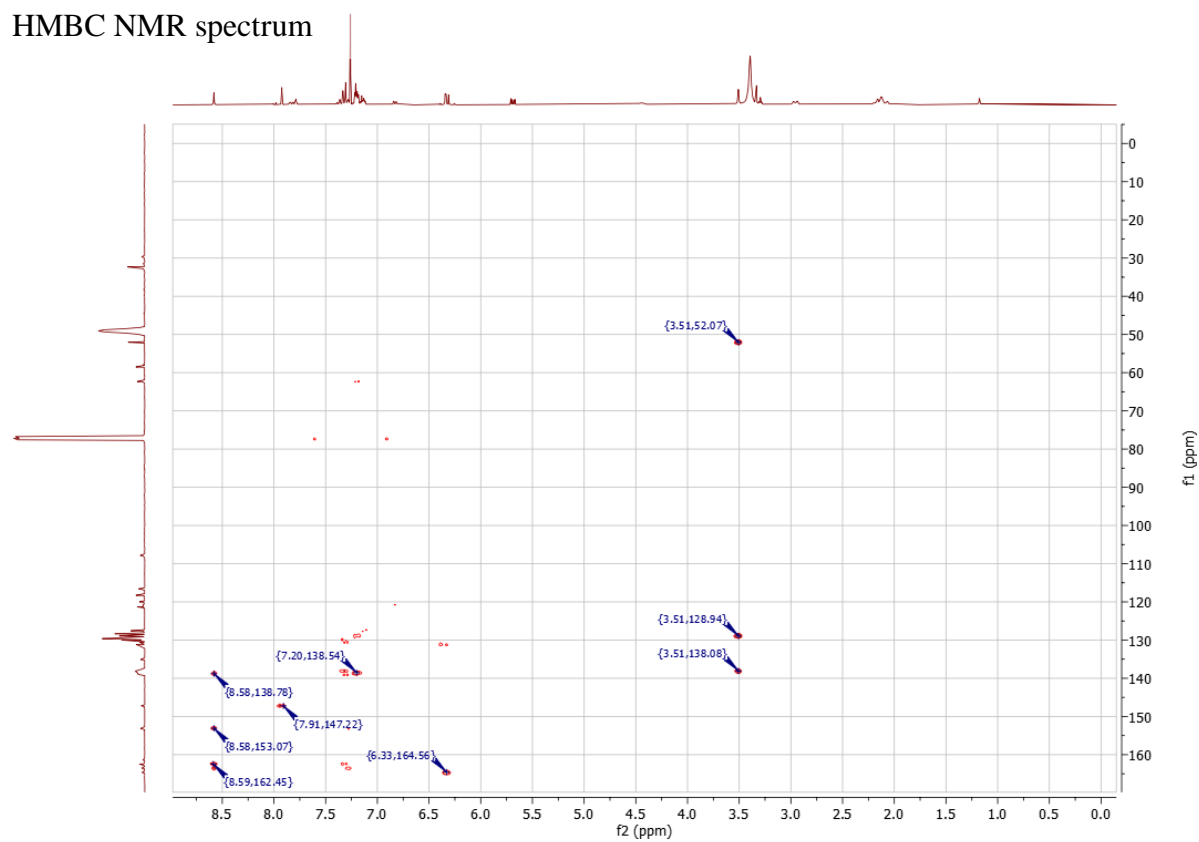


**B NMR spectra**

HSQC NMR spectrum



HMBC NMR spectrum



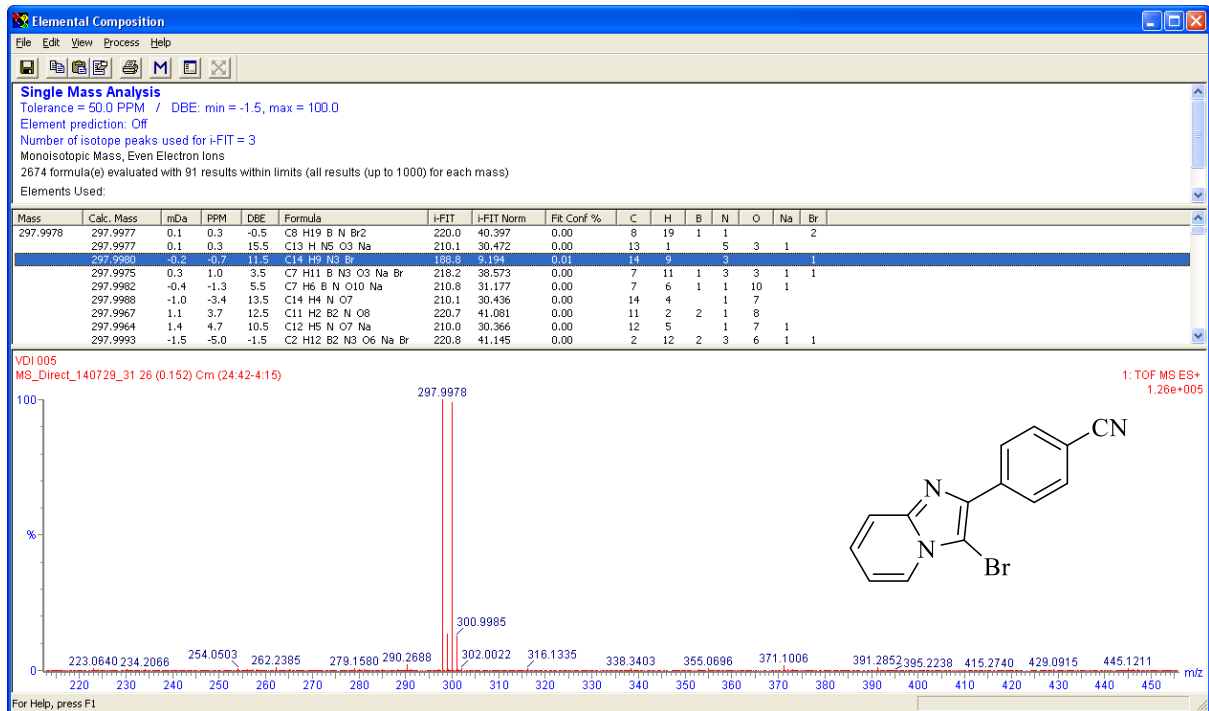


## C MS spectra

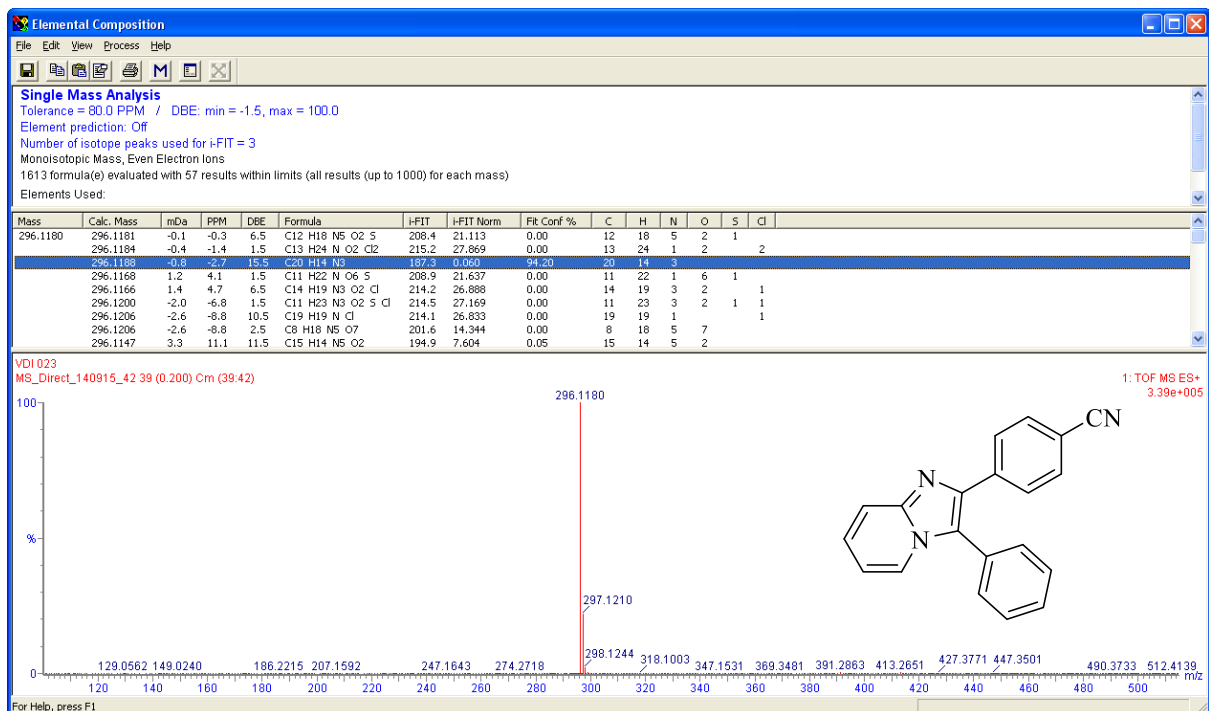
## C MS spectra

## C.1 Chapter 3 compounds

## C.1.1 4-(3-Bromoimidazo[1,2-a]pyridin-2-yl)benzonitrile – 3.6

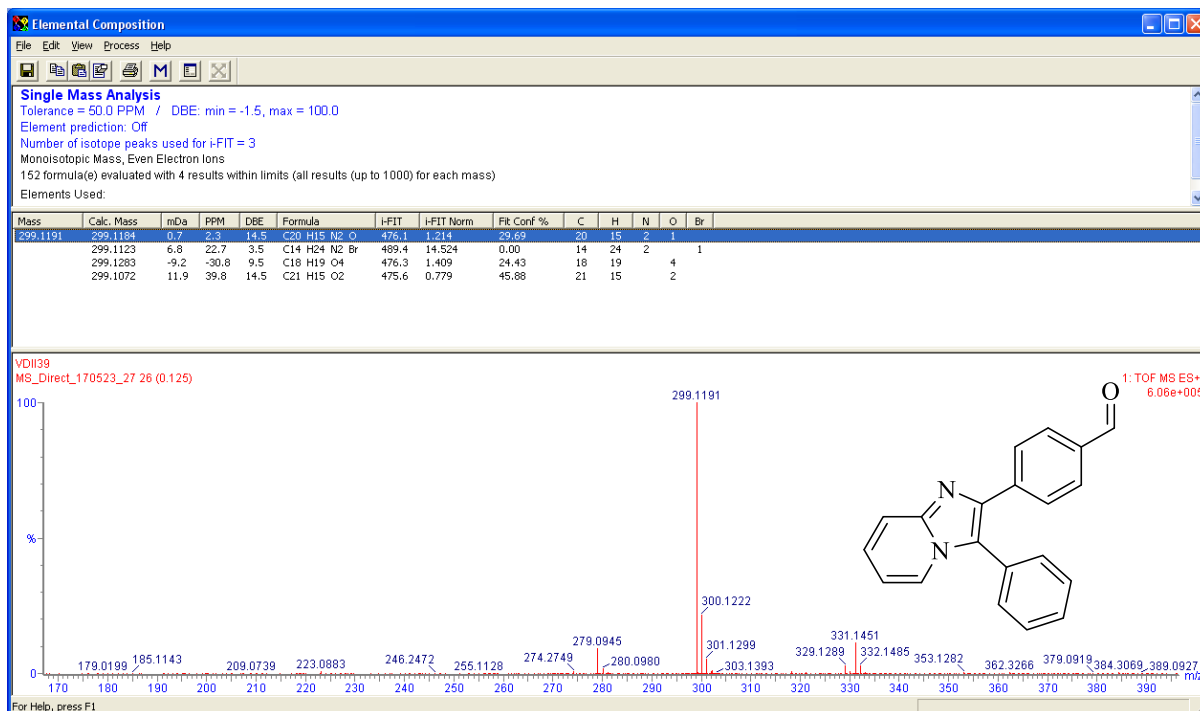


## C.1.2 4-(3-Phenylimidazo[1,2-a]pyridin-2-yl)benzonitrile – 3.5

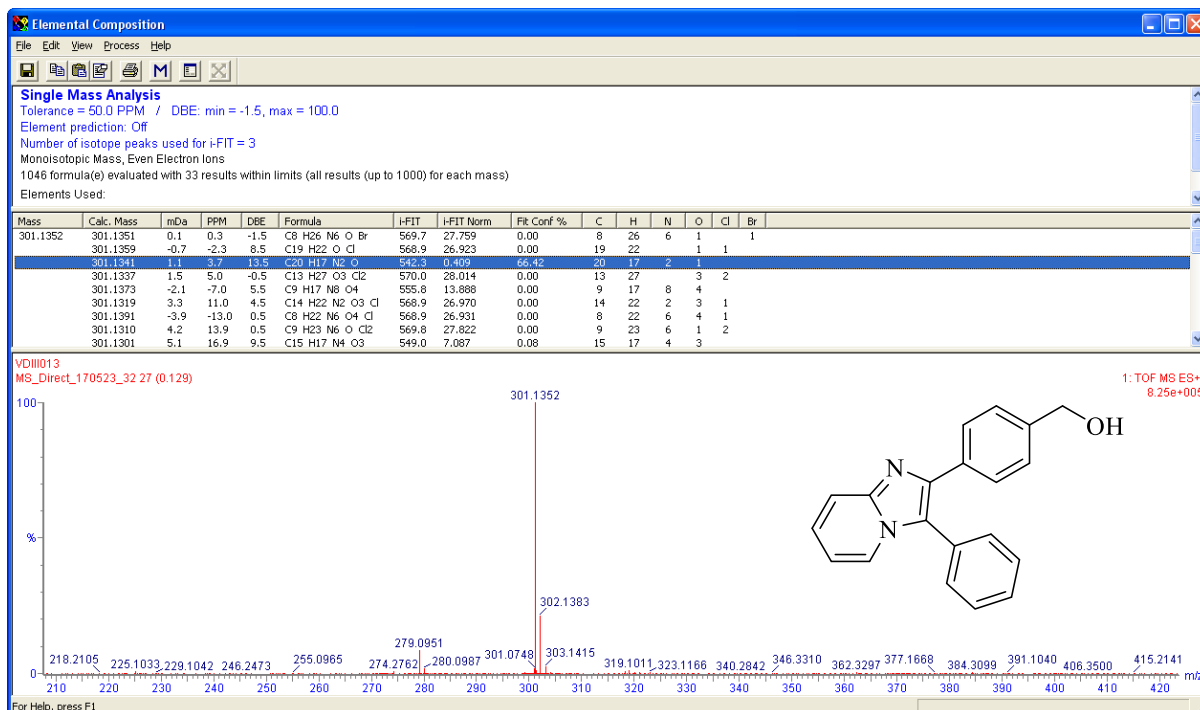


## C MS spectra

## C.1.3 4-(3-Phenylimidazo[1,2-a]pyridin-2-yl)benzaldehyde – 3.3

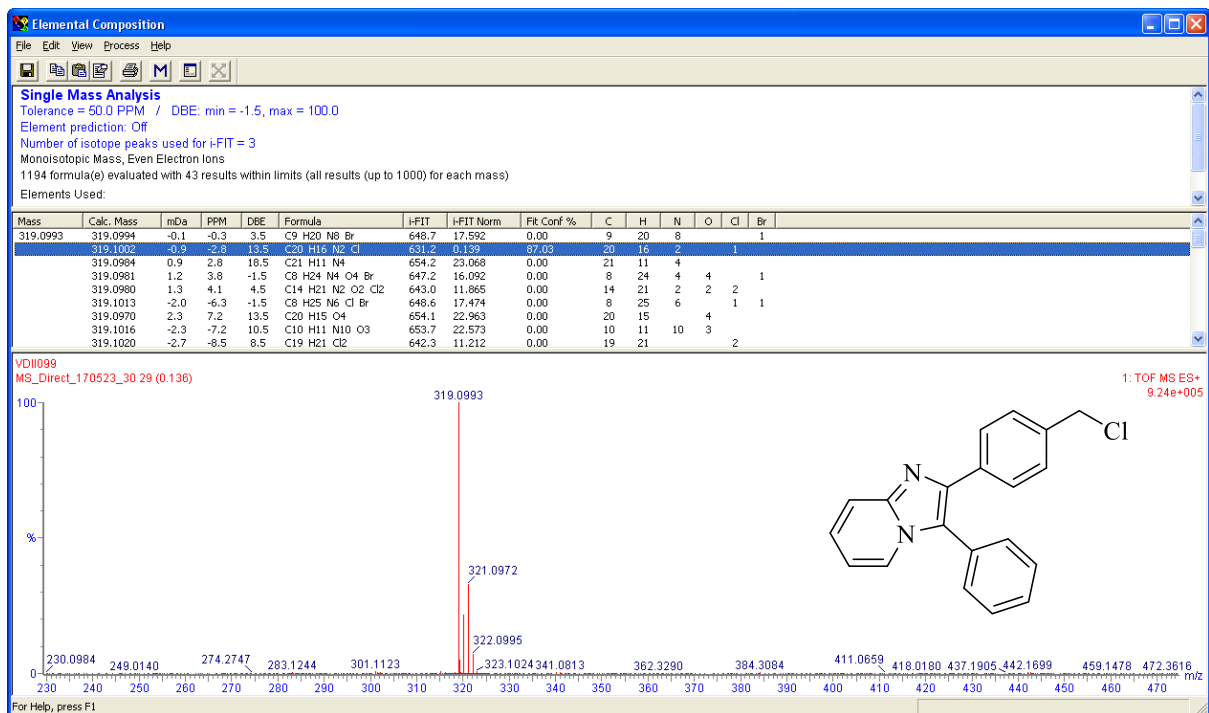


## C.1.4 [4-(3-Phenylimidazo[1,2-a]pyridin-2-yl)phenyl]methanol – 3.18

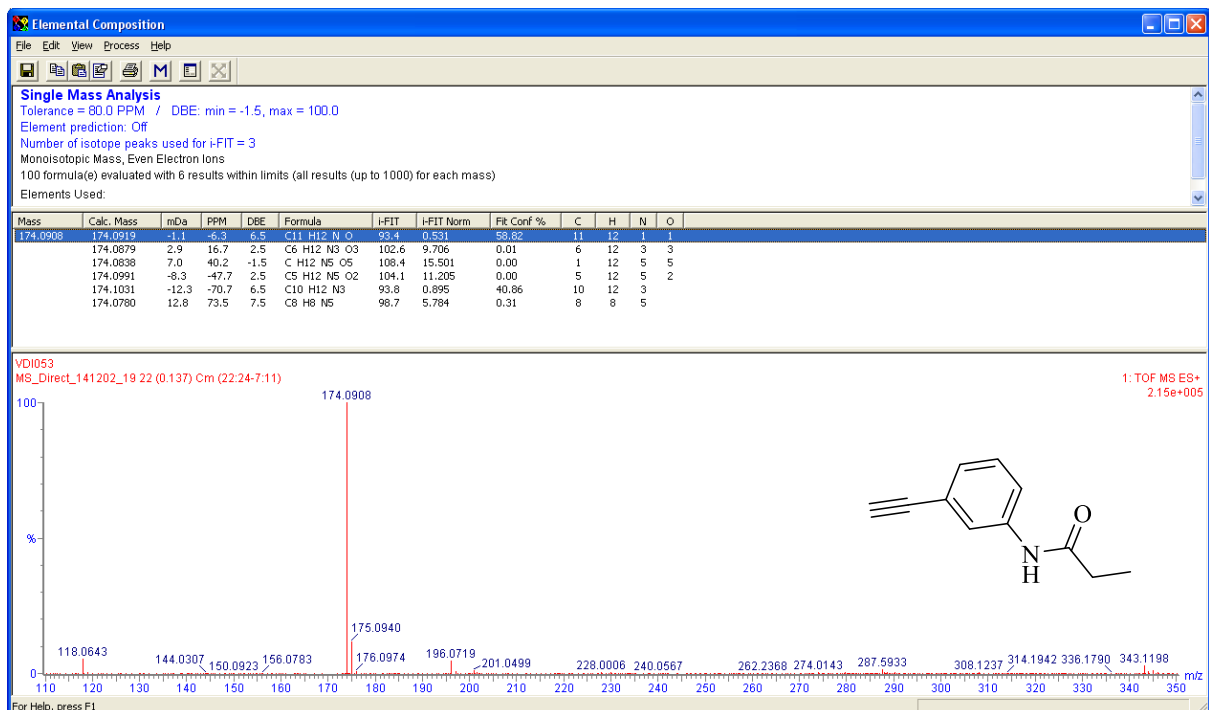


## C MS spectra

## C.1.5 2-[4-(Chloromethyl)phenyl]-3-phenylimidazo[1,2-a]pyridine – 3.20

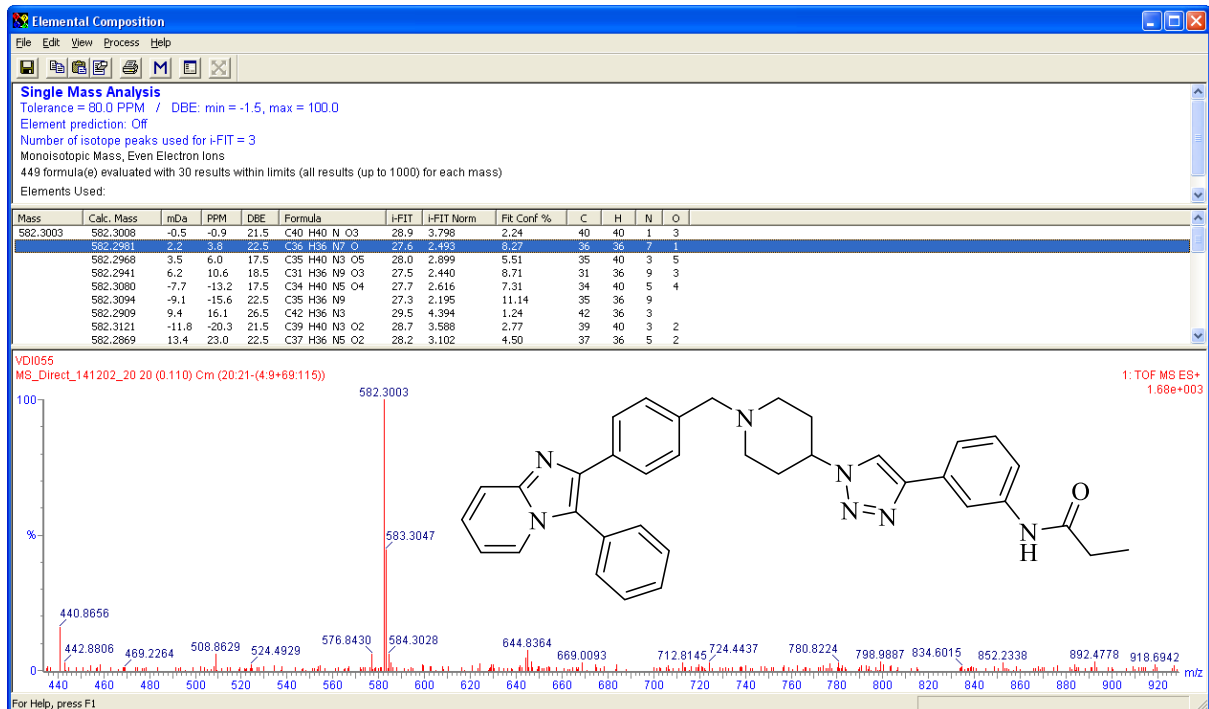


## C.1.6 N-(3-Ethynylphenyl)propionamide – 3.2

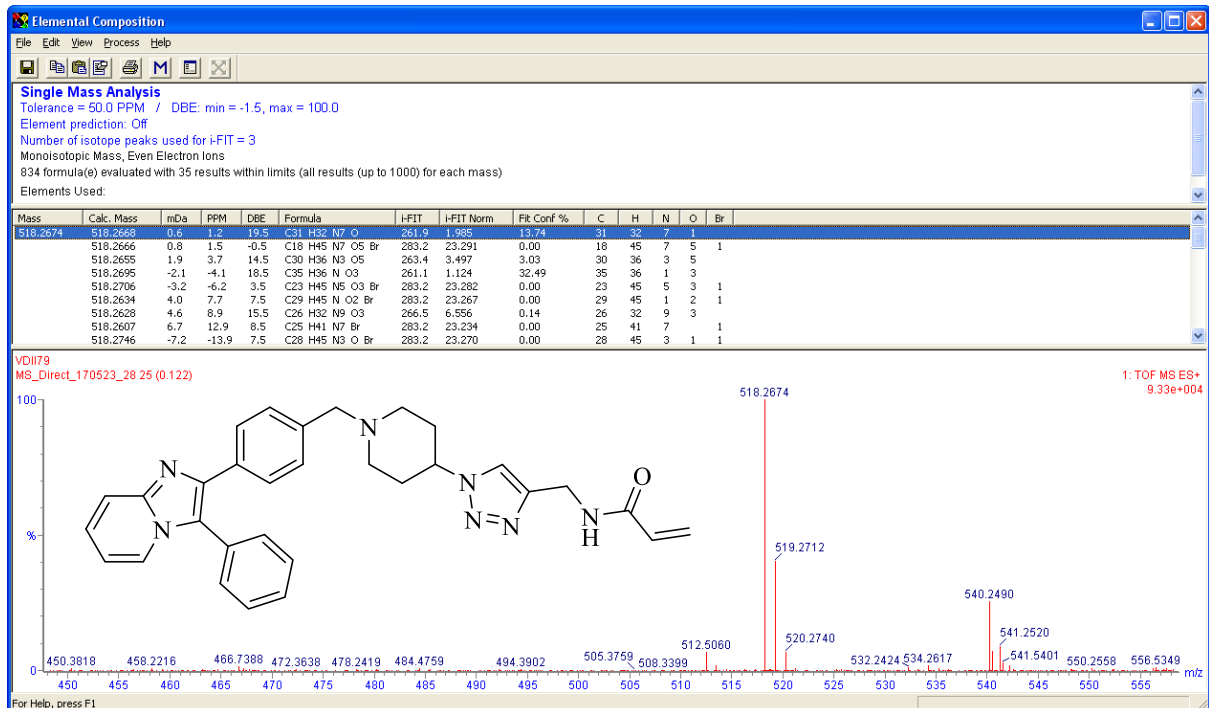


## C MS spectra

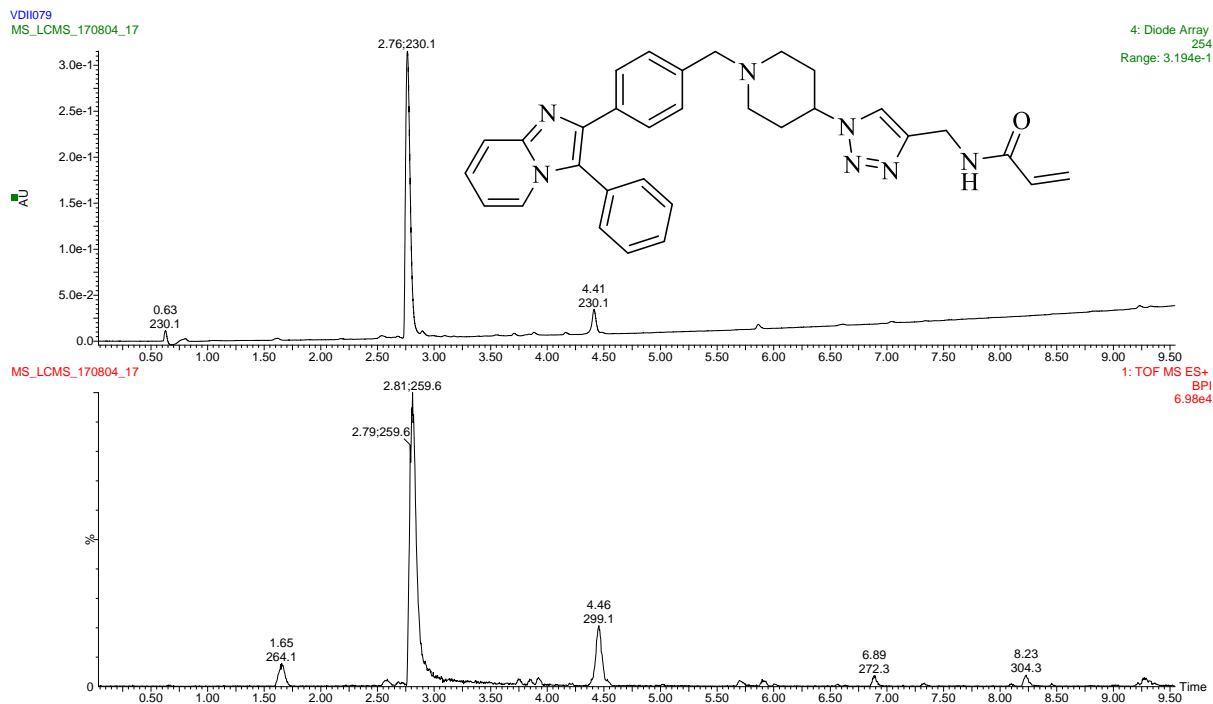
**C.1.7 *N*-[3-(1-{1-[4-(3-Phenylimidazo[1,2-*a*]pyridin-2-yl)benzyl]piperidin-4-yl}-1*H*-1,2,3-triazol-4-yl)phenyl]propionamide – 2.68**



**C.1.8 *N*-[(1-{1-[4-(3-Phenylimidazo[1,2-*a*]pyridin-2-yl)benzyl]piperidin-4-yl}-1*H*-1,2,3-triazol-4-yl)methyl]acrylamide – 2.69**

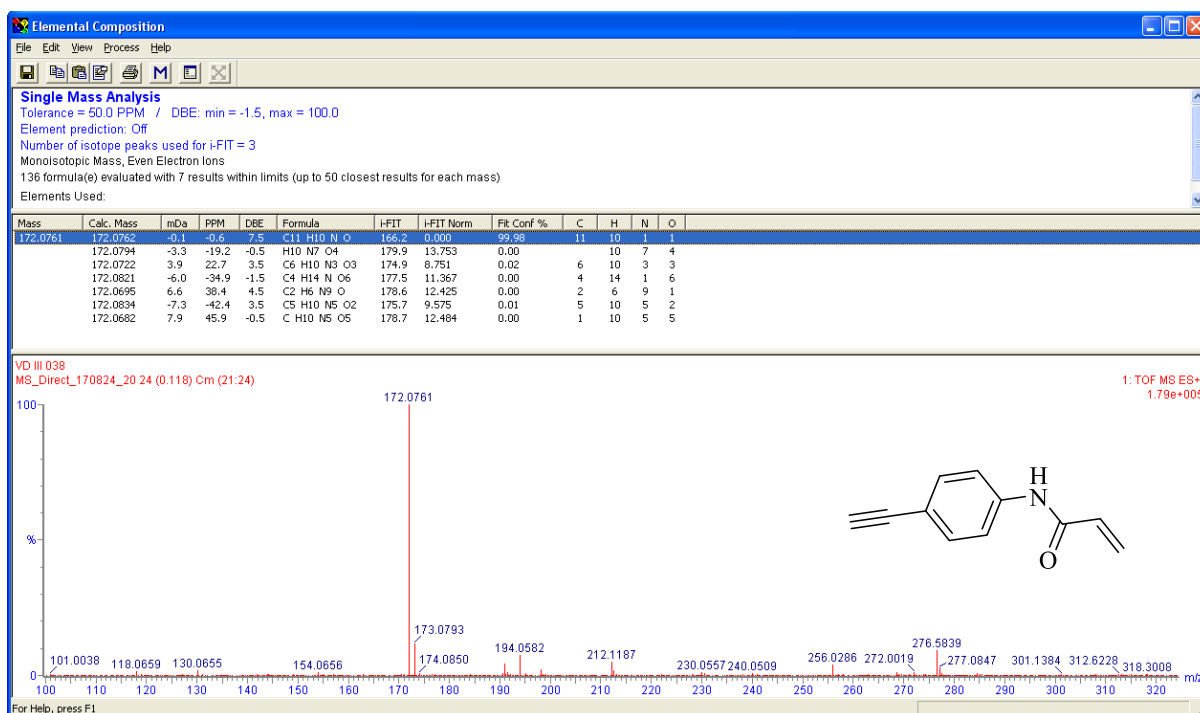


### C MS spectra



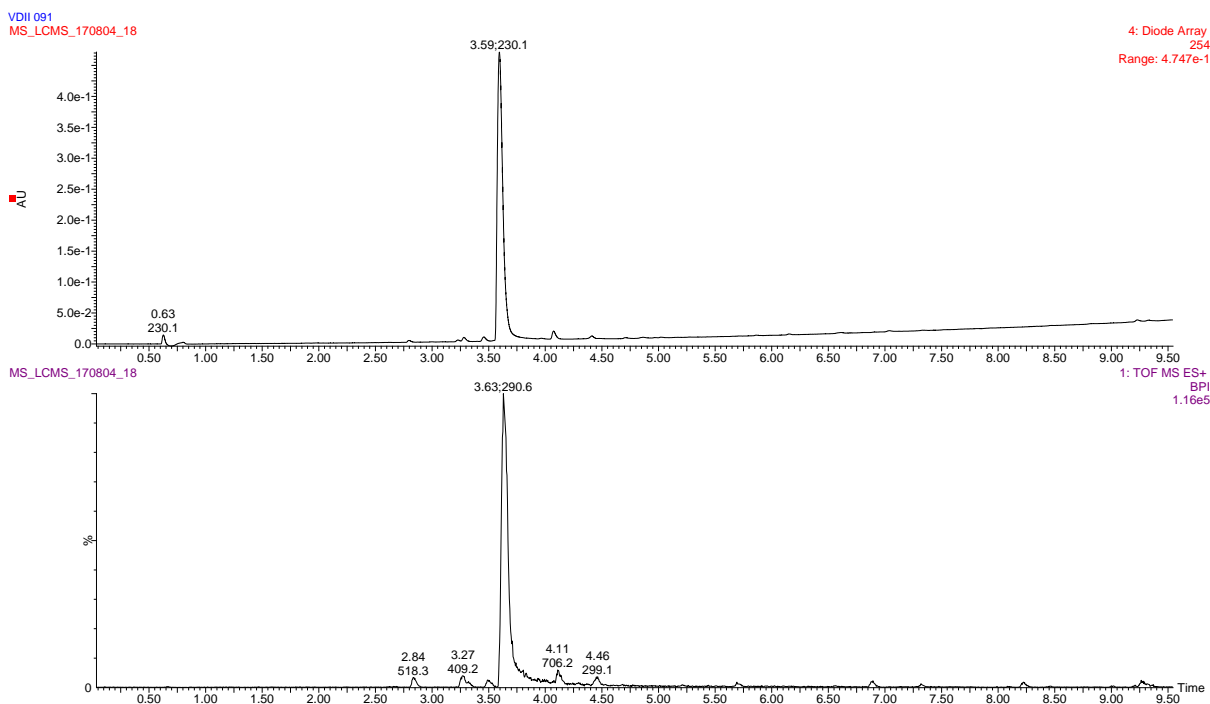
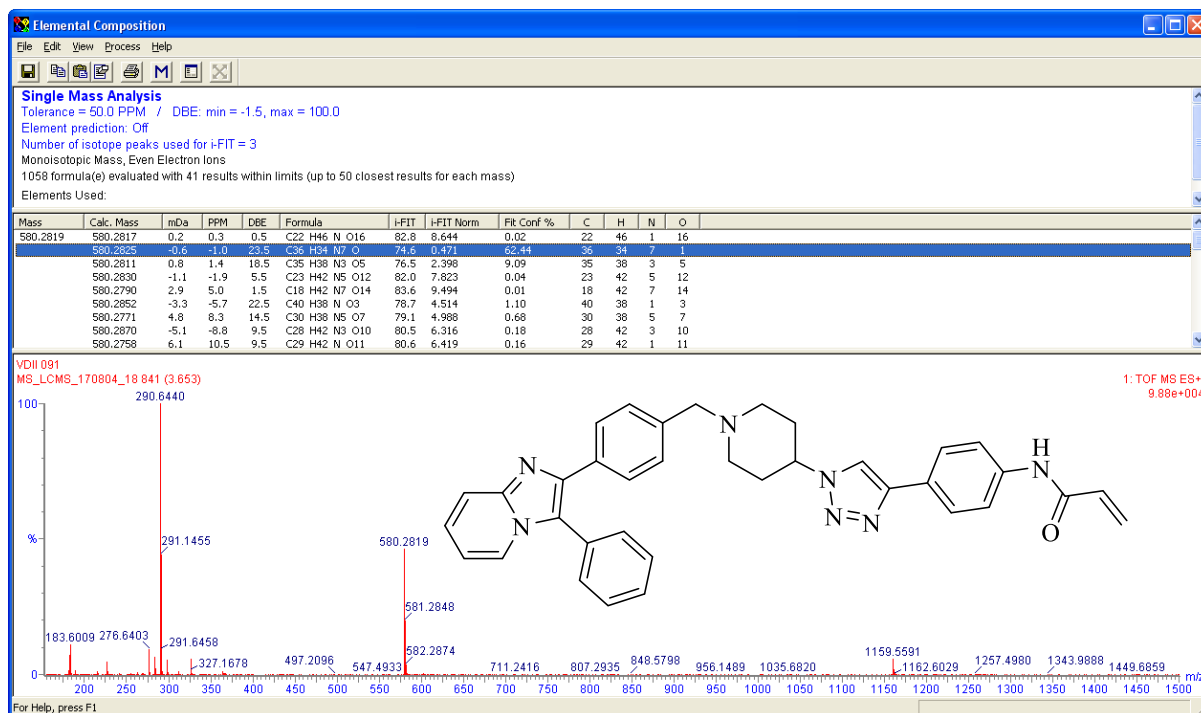
Purity: 88.7%

### C.1.9 N-(4-Ethynylphenyl)acrylamide – 3.26



## C MS spectra

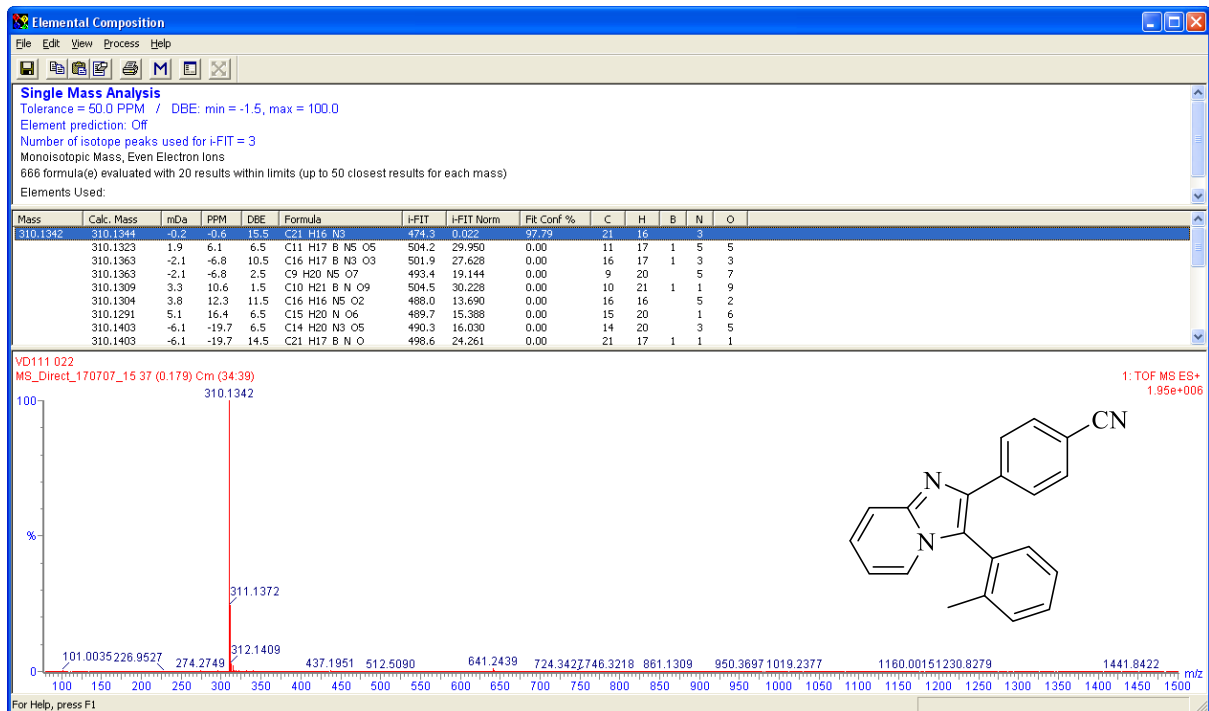
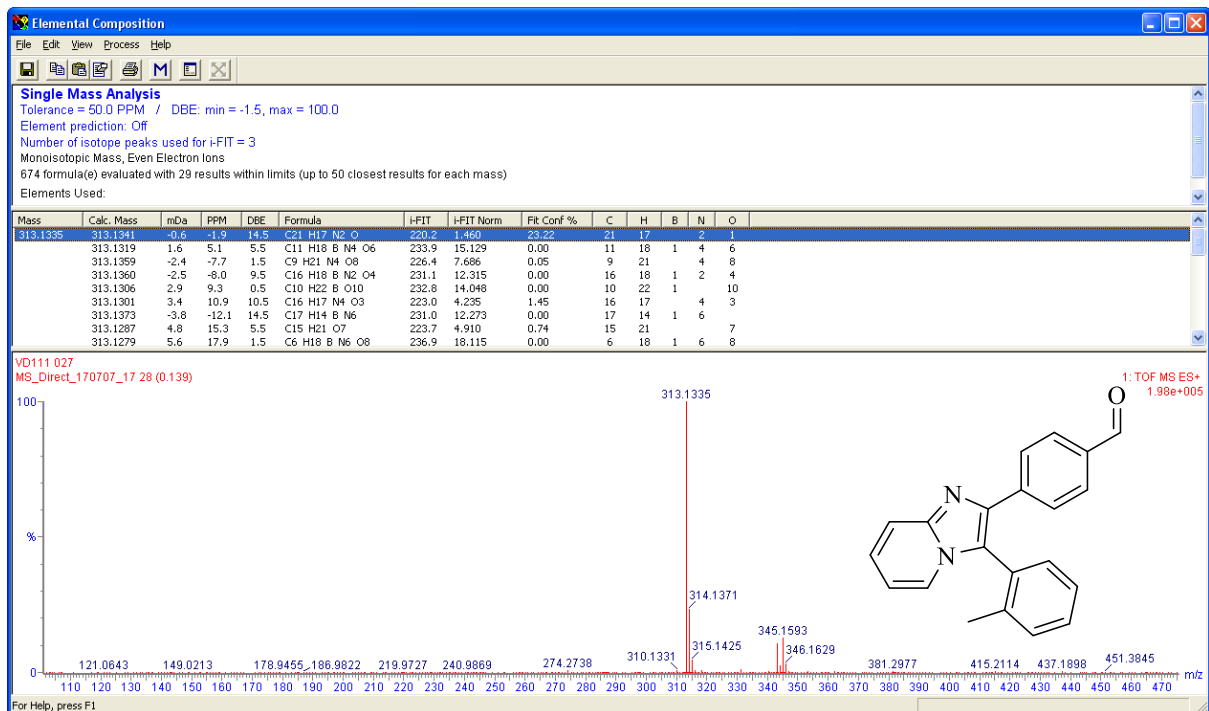
**C.1.10 *N*-[4-(1-[1-[4-(3-phenylimidazo[1,2-*a*]pyridin-2-yl)benzyl]piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl)phenyl]acrylamide – 2.64**



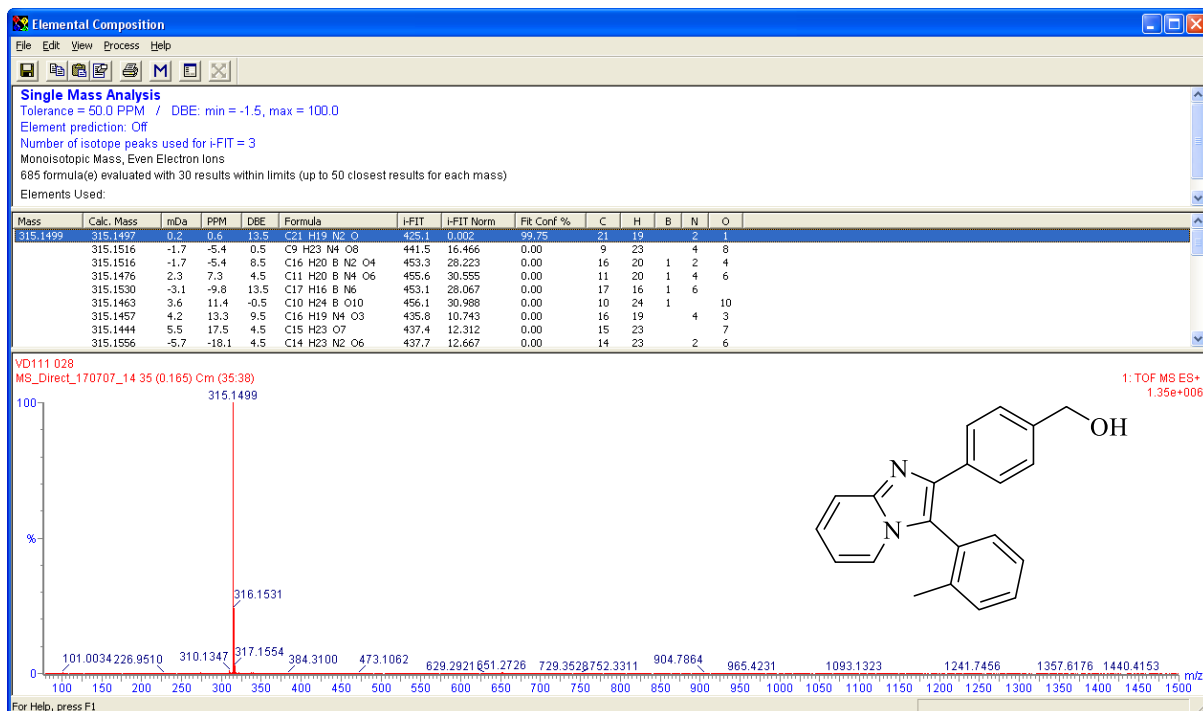
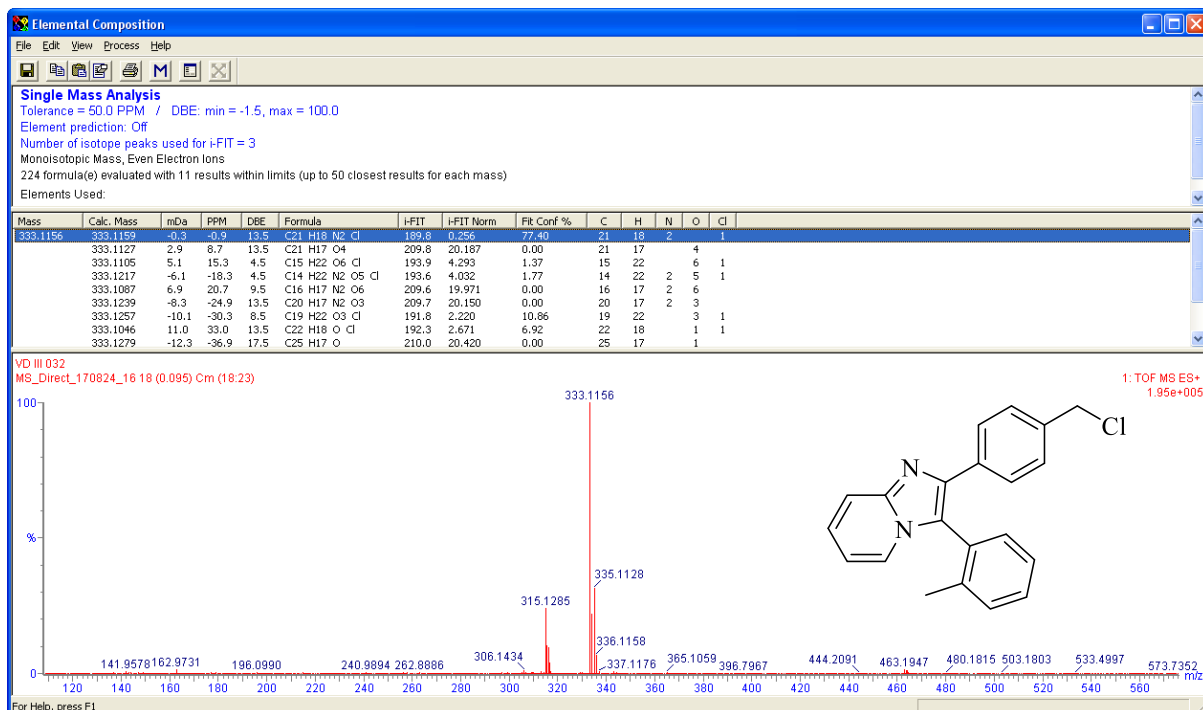
Purity: 95.4%

## C MS spectra

## C.2 Chapter 4 compounds

C.2.1 4-[3-(*o*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]benzonitrile – 4.7C.2.2 4-[3-(*o*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]benzaldehyde – 4.11

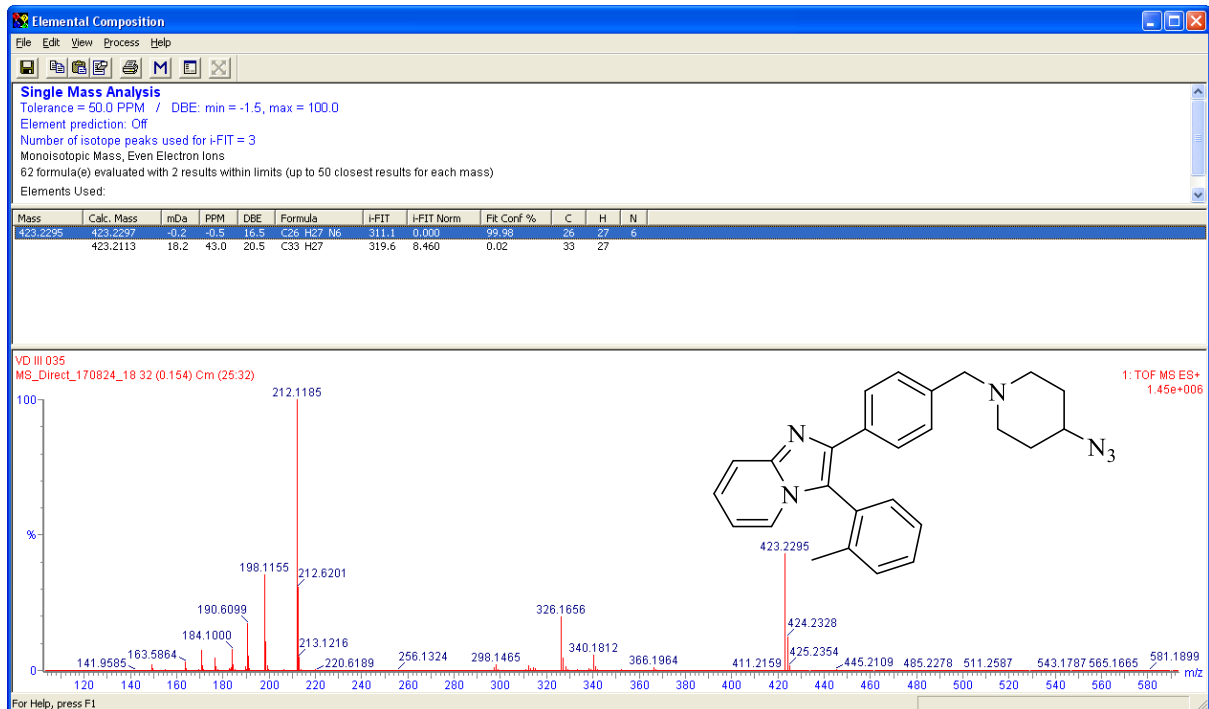
## C MS spectra

C.2.3 {4-[3-(*o*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]phenyl}methanol – 4.12C.2.4 2-[4-(Chloromethyl)phenyl]-3-(*o*-tolyl)imidazo[1,2-*a*]pyridine – 4.8

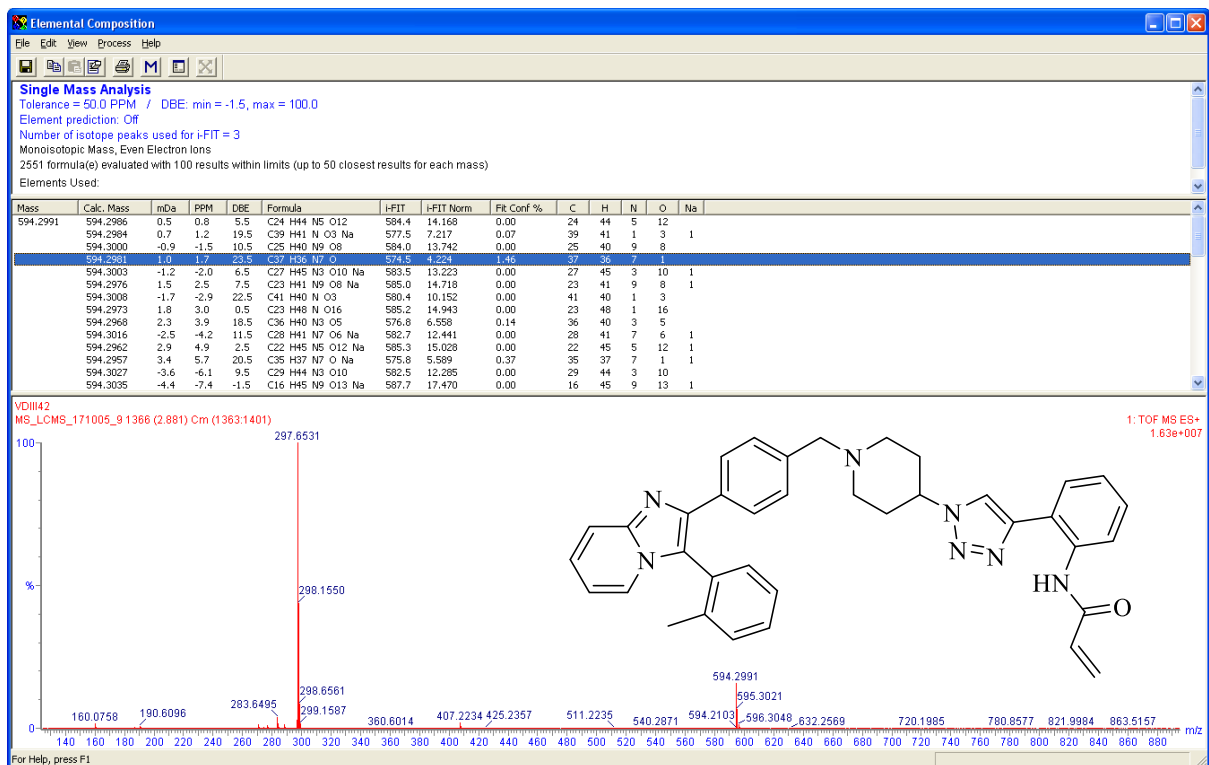


## C MS spectra

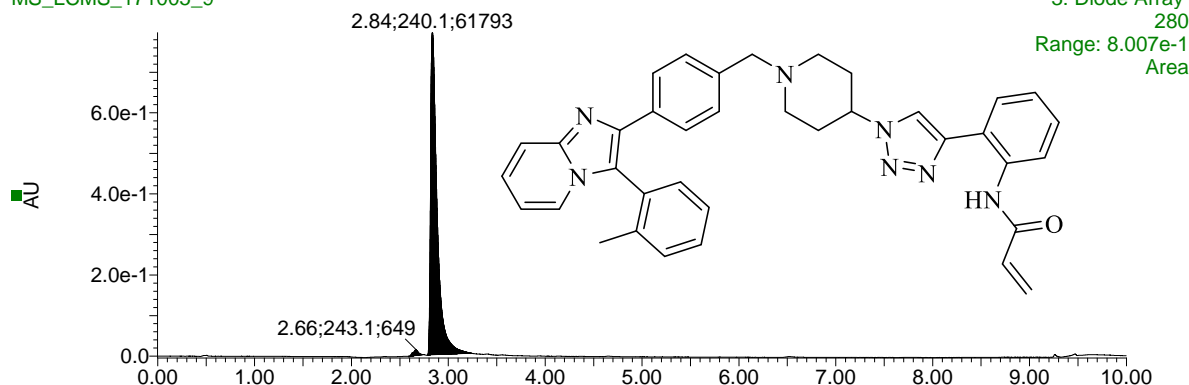
### C.2.5 2-{4-[(4-Azidopiperidin-1-yl)methyl]phenyl}-3-(*o*-tolyl)-imidazo[1,2-*a*]pyridine – 4.9



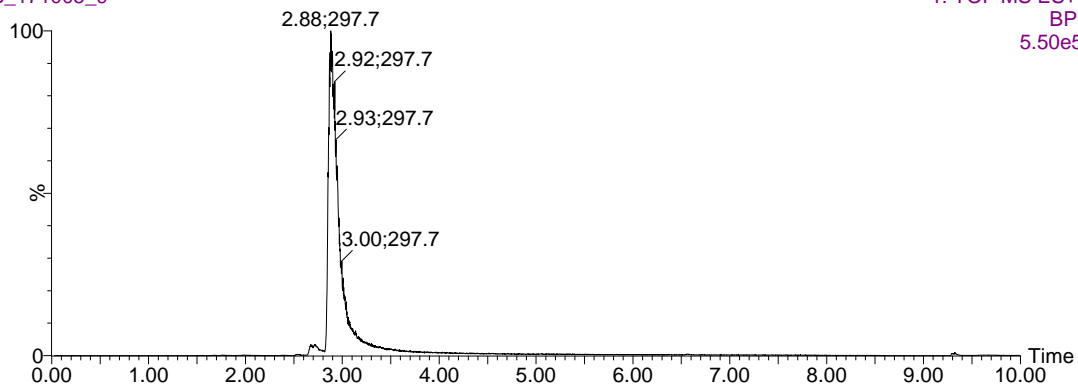
### C.2.6 *N*-{2-[1-(1-{4-[3-(*o*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]benzyl)piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl]phenyl}acrylamide – 4.1



## C MS spectra

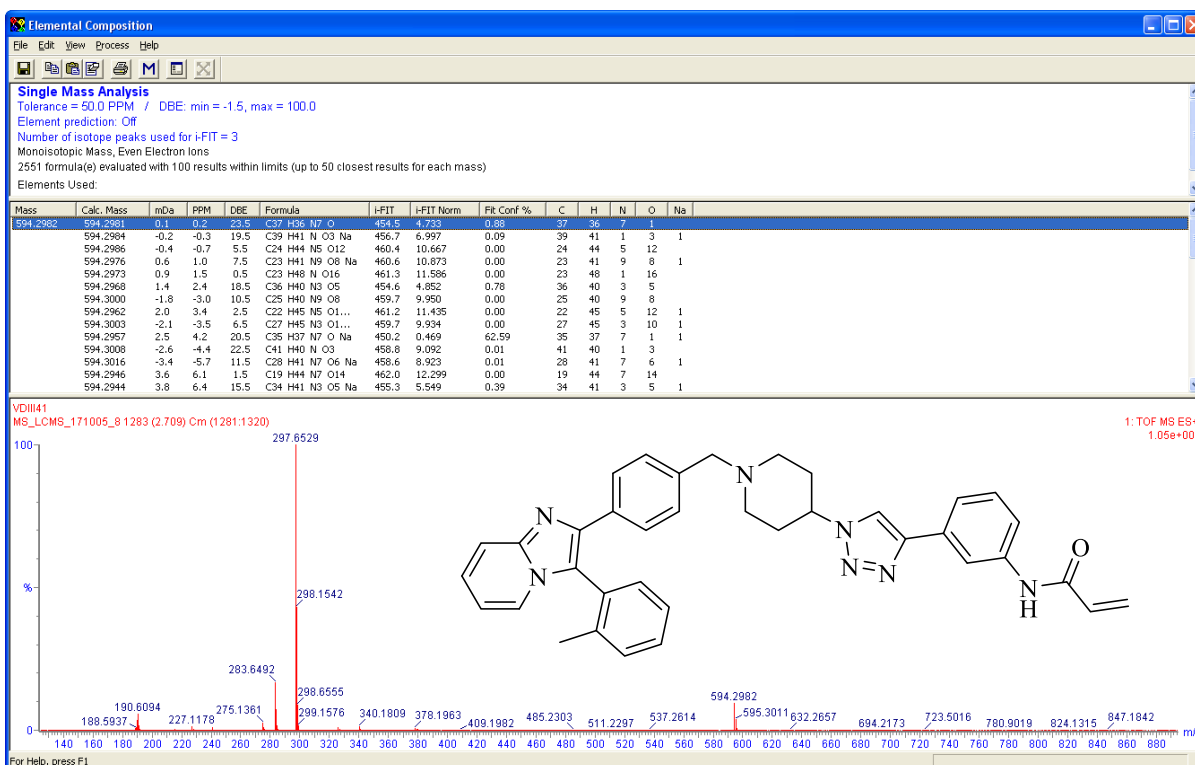
VDIII42  
MS\_LCMS\_171005\_9

MS\_LCMS\_171005\_9



Purity: 98.8%

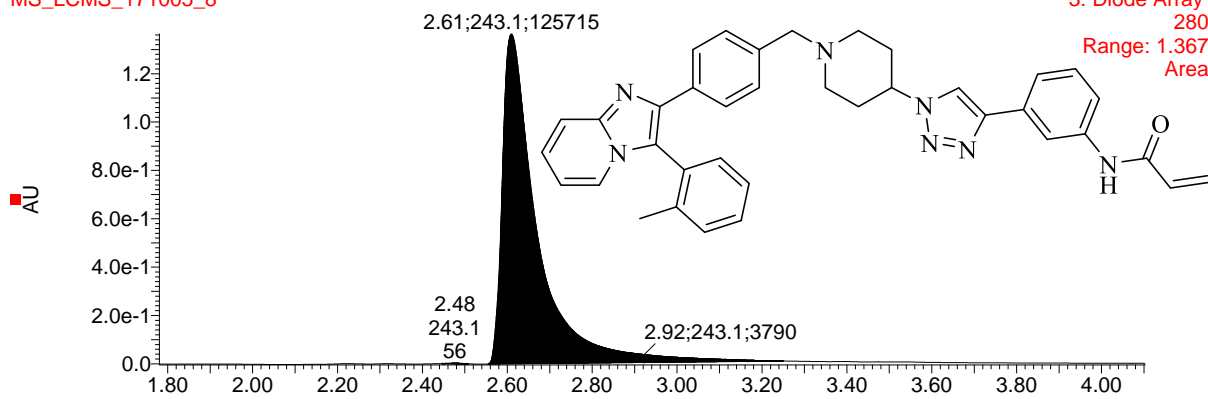
**C.2.7 *N*-{3-[1-(1-{4-[3-(*o*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl)benzyl]piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl]phenyl}acrylamide – 4.2**



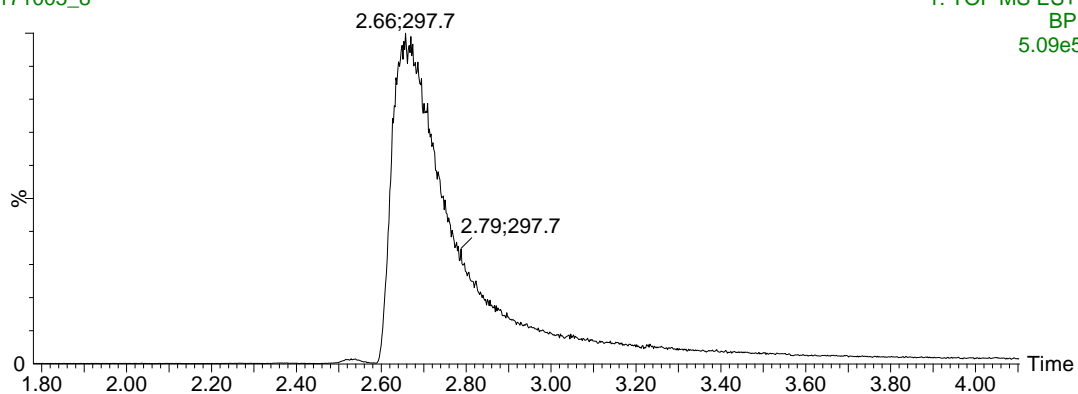
C MS spectra

VDIII41

MS\_LCMS\_171005\_8

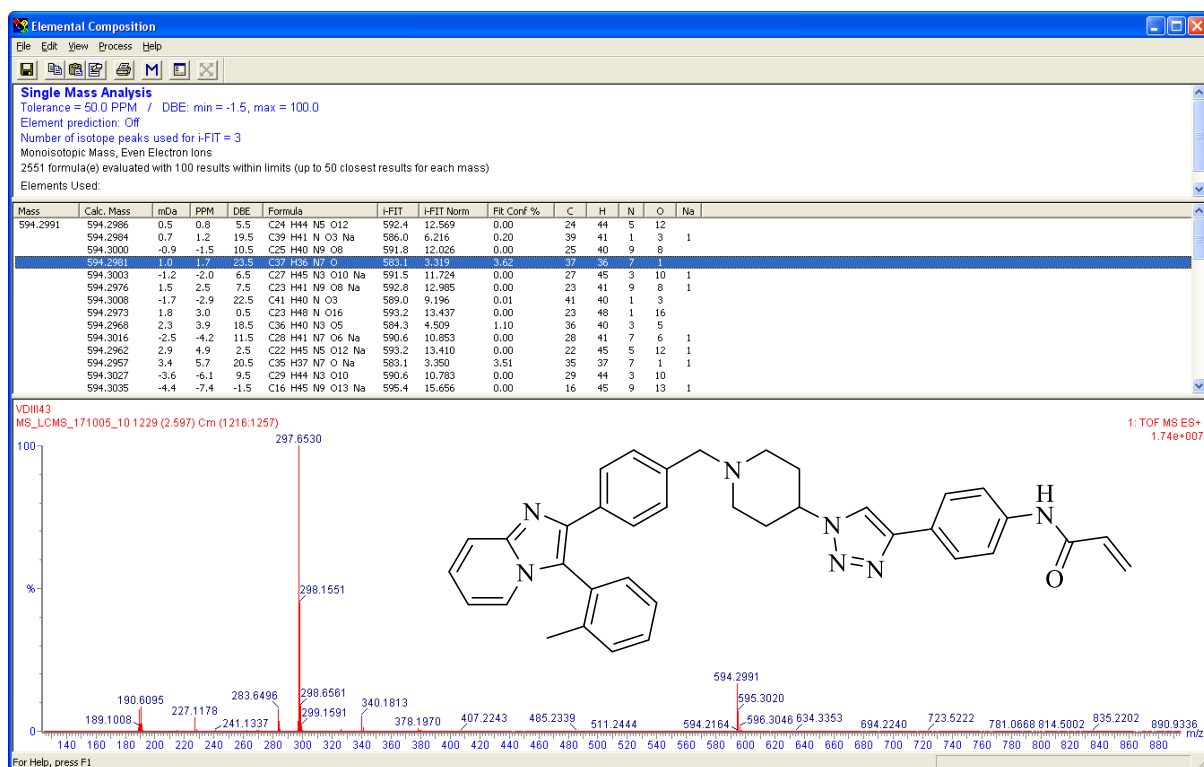


MS\_LCMS\_171005\_8



Purity: 99.9%

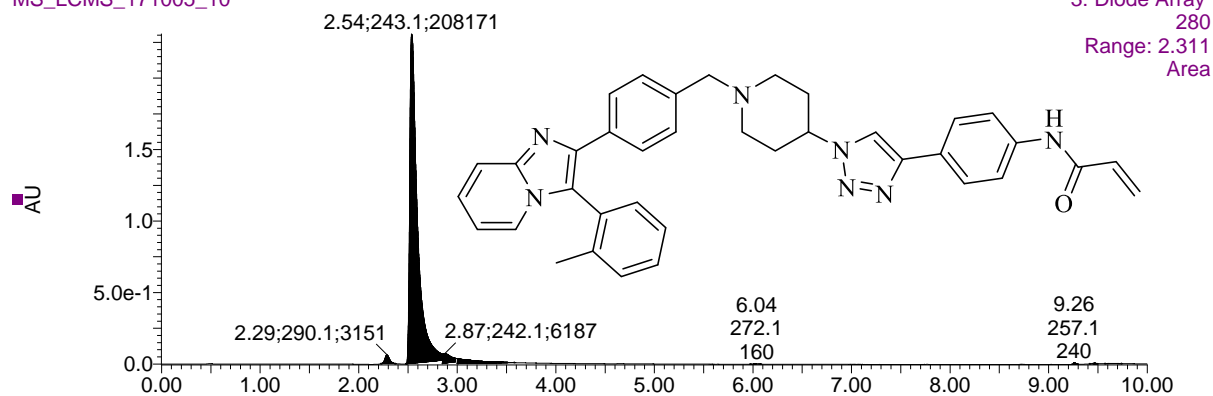
**C.2.8 N-{4-[1-(1-[4-[3-(*o*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl)benzyl]-piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl]phenyl}acrylamide – 4.3**



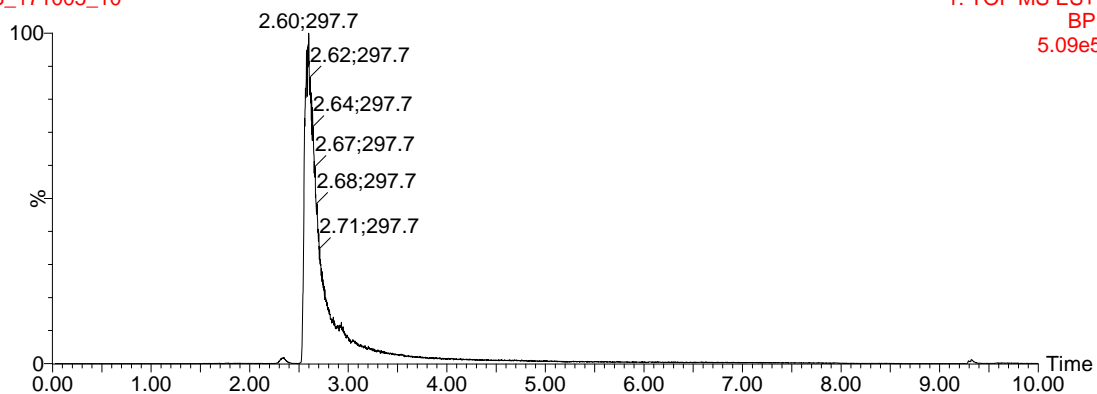
## C MS spectra

VD11143

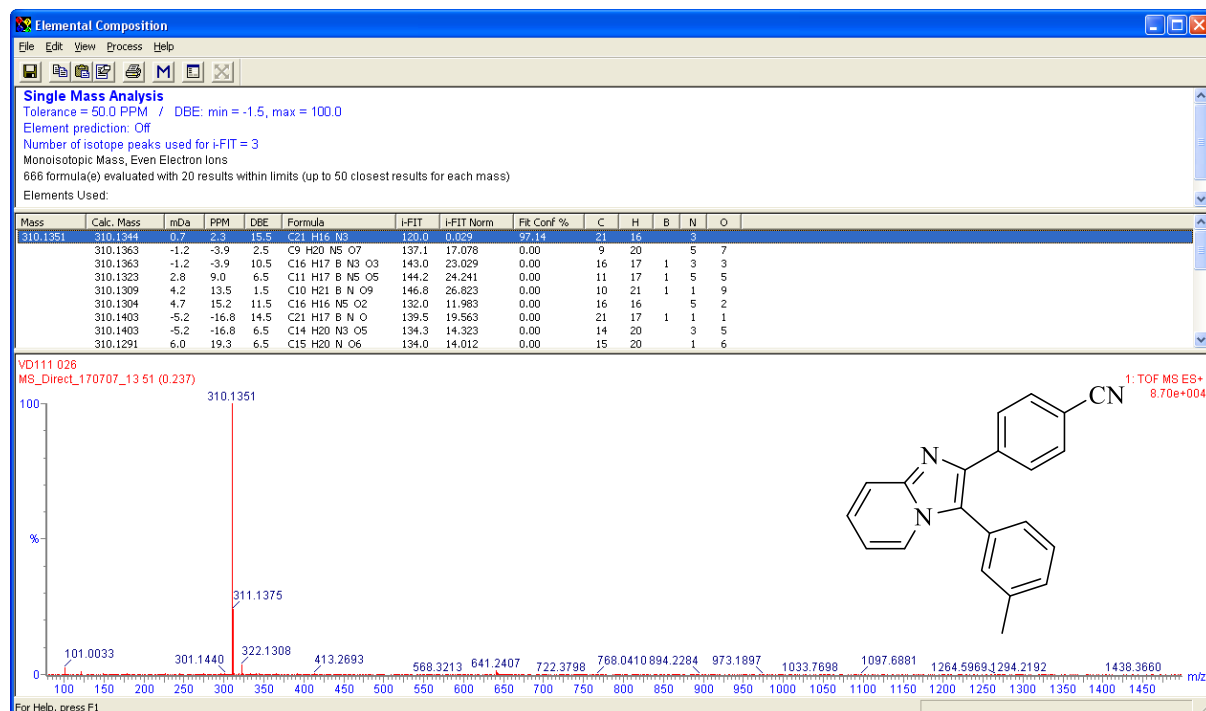
MS\_LCMS\_171005\_10



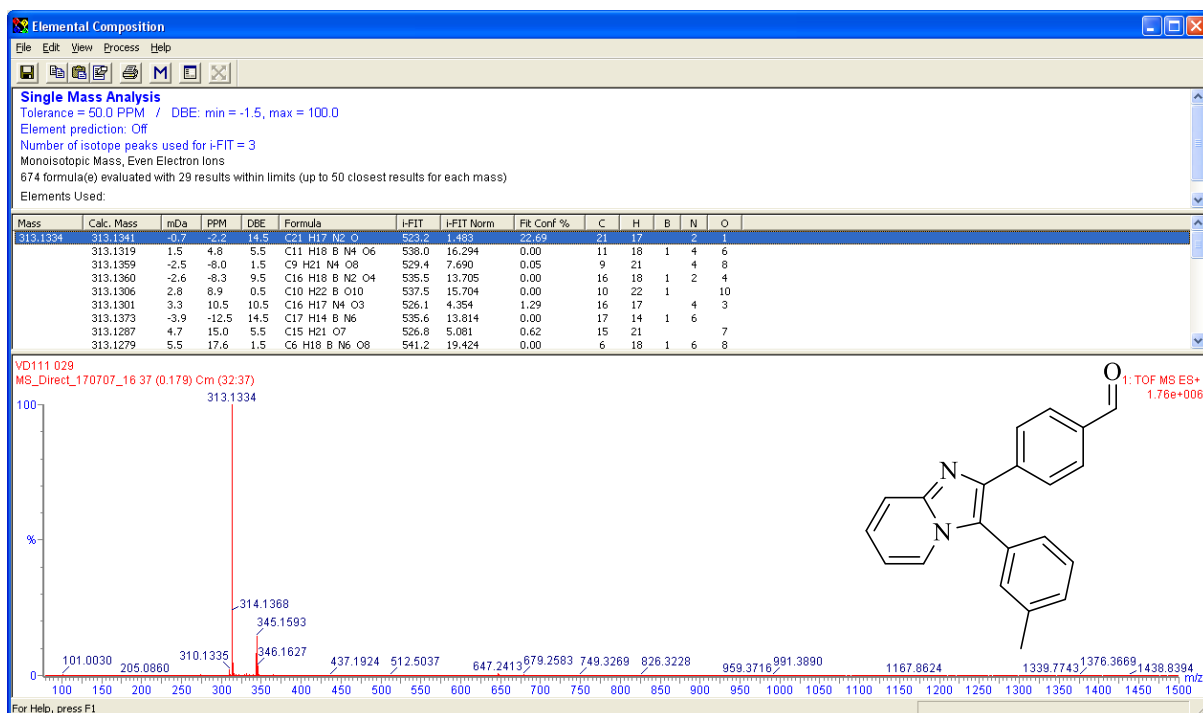
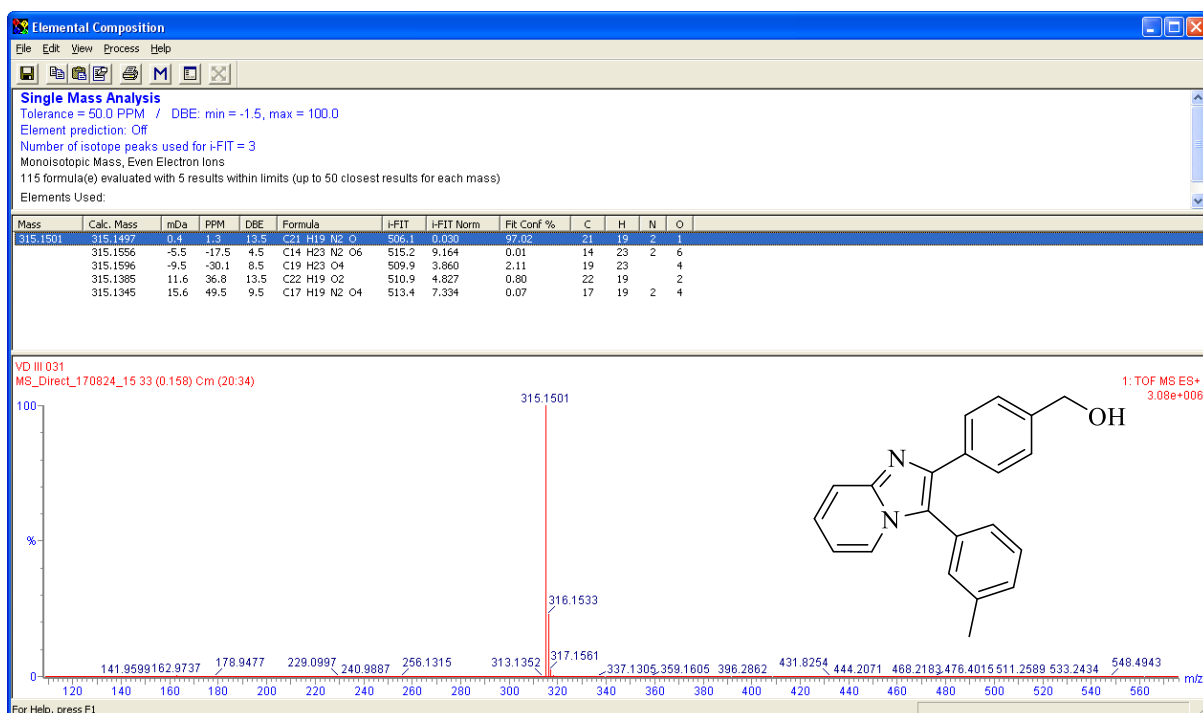
MS\_LCMS\_171005\_10



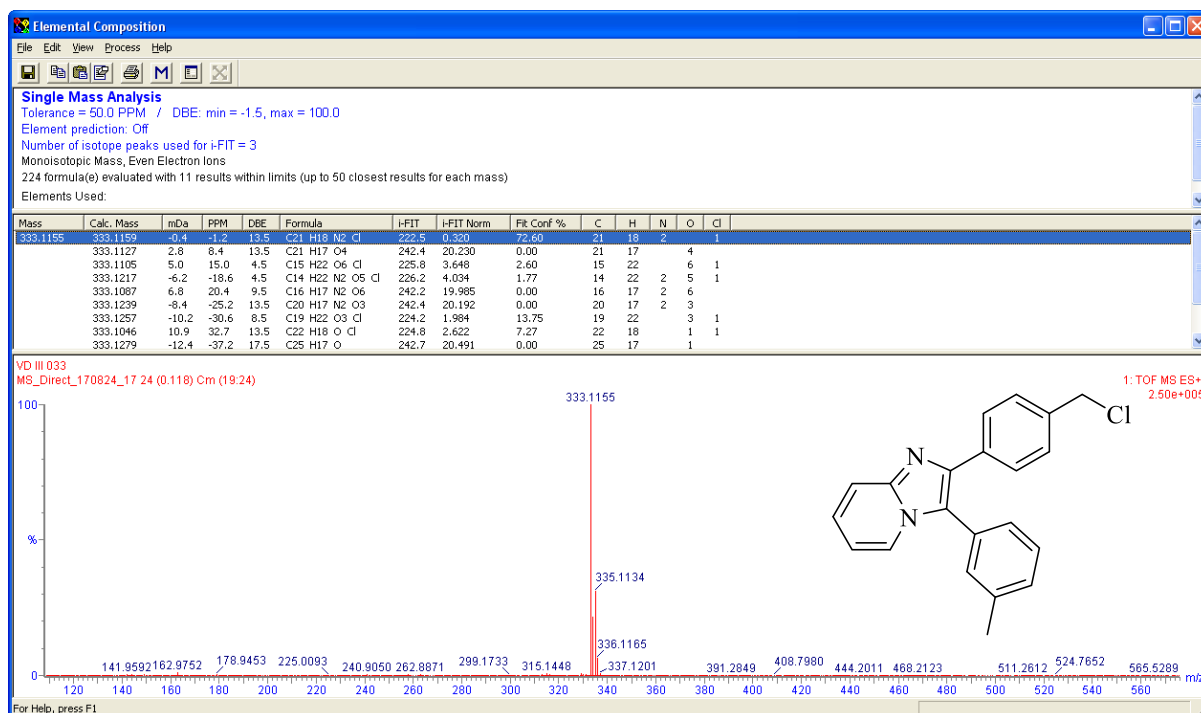
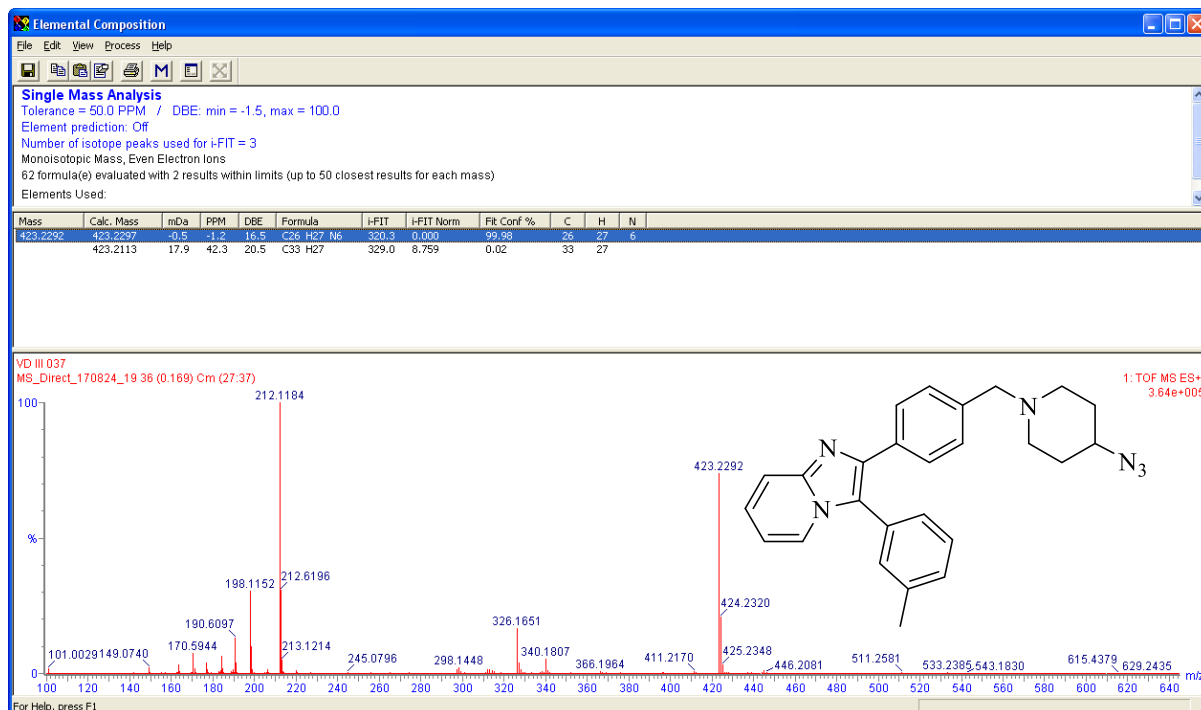
Purity: 92.4%

C.2.9 4-[3-(*m*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]benzonitrile – 4.16

## C MS spectra

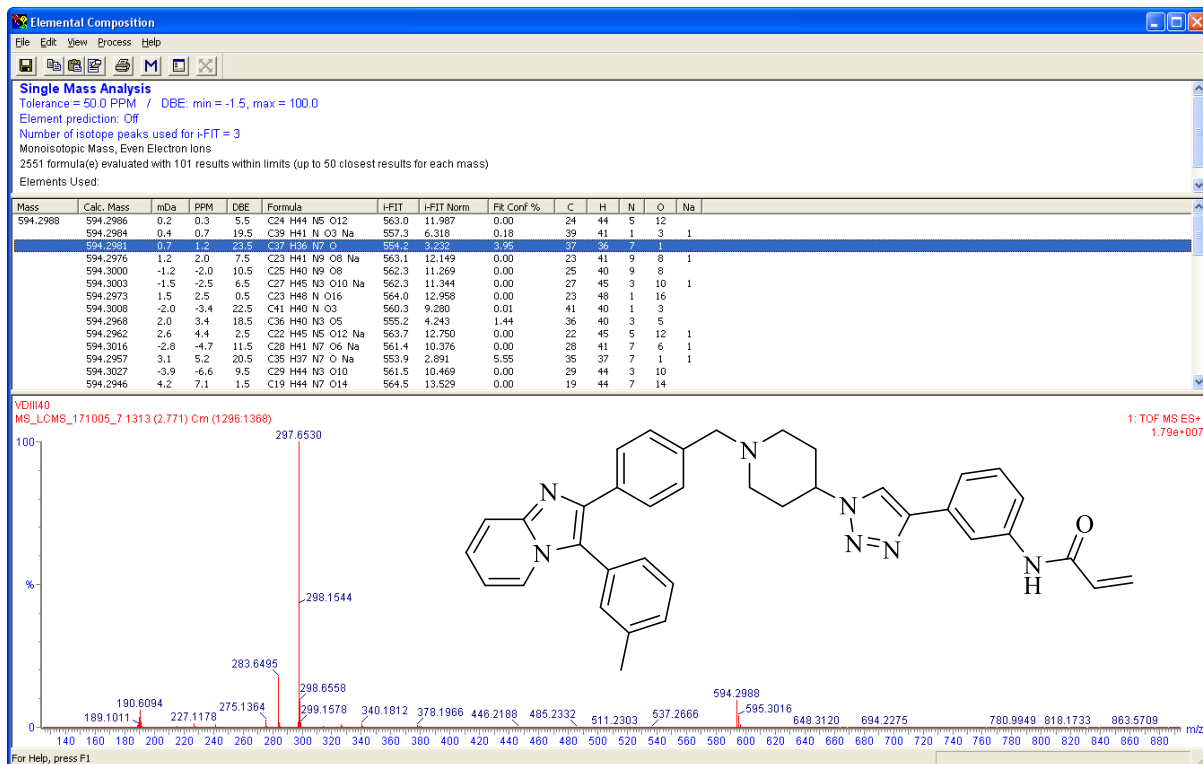
C.2.10 4-[3-(*m*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]benzaldehyde – 4.17C.2.11 {4-[3-(*m*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl]phenyl}methanol – 4.18

## C MS spectra

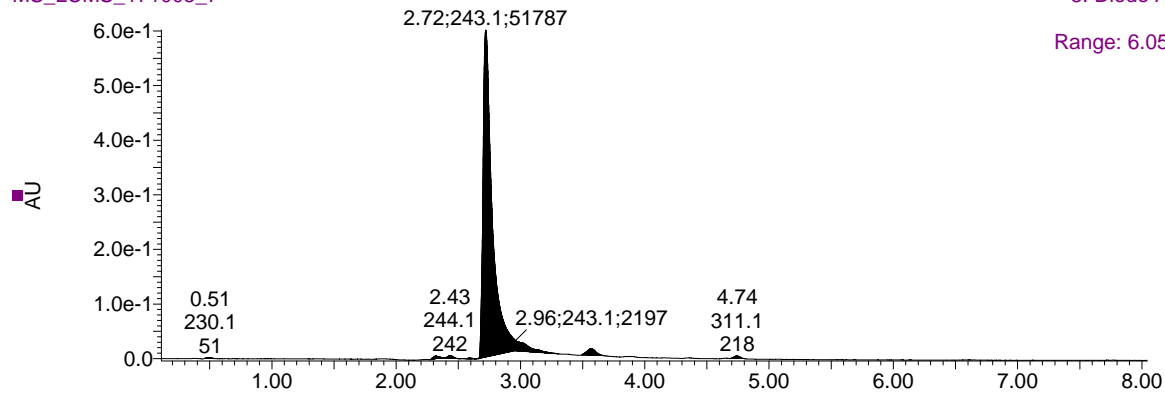
C.2.12 2-[4-(Chloromethyl)phenyl]-3-(*m*-tolyl)imidazo[1,2-*a*]pyridine – 4.19C.2.13 2-[4-[(4-Azidopiperidin-1-yl)methyl]phenyl]-3-(*m*-tolyl)-  
imidazo[1,2-*a*]pyridine – 4.20

## C MS spectra

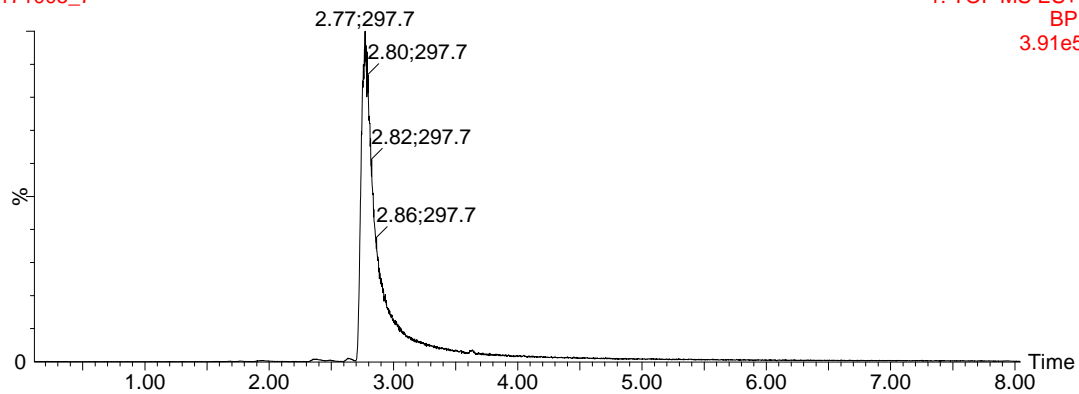
### C.2.14 *N*-{3-[1-(1-{4-[3-(*m*-Tolyl)imidazo[1,2-*a*]pyridin-2-yl)benzyl]-piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl]phenyl}acrylamide – 4.4



VDIII40  
MS\_LCMS\_171005\_7

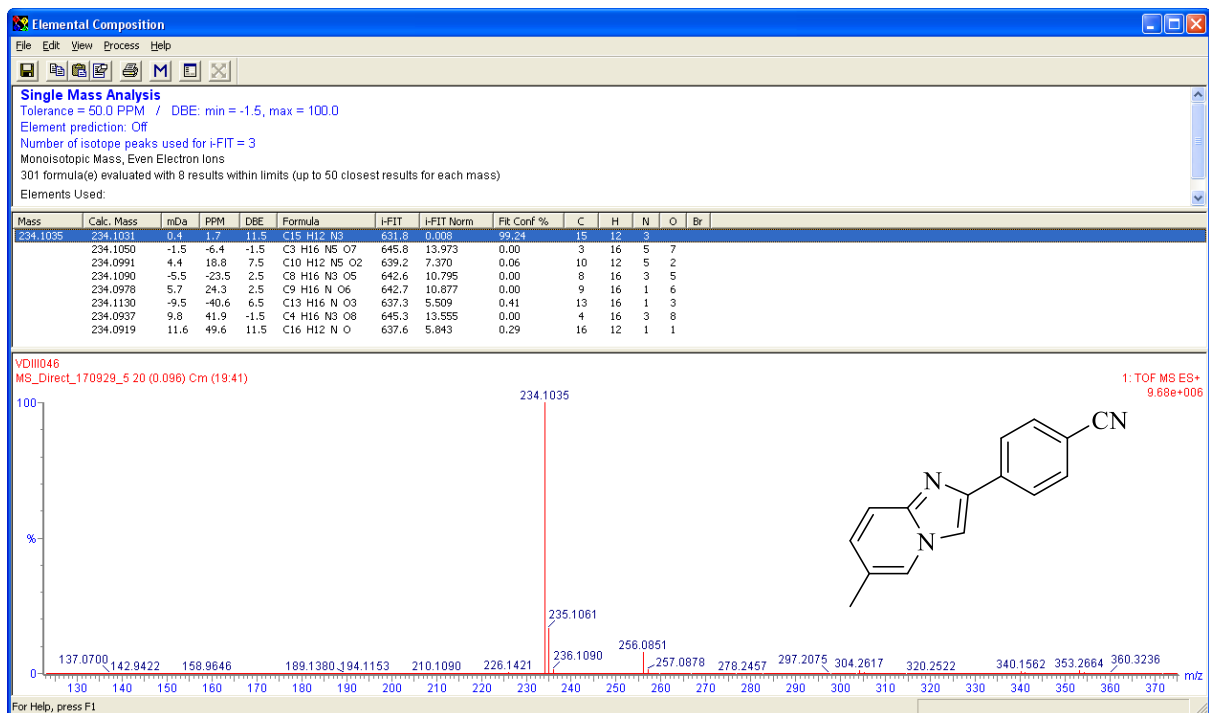
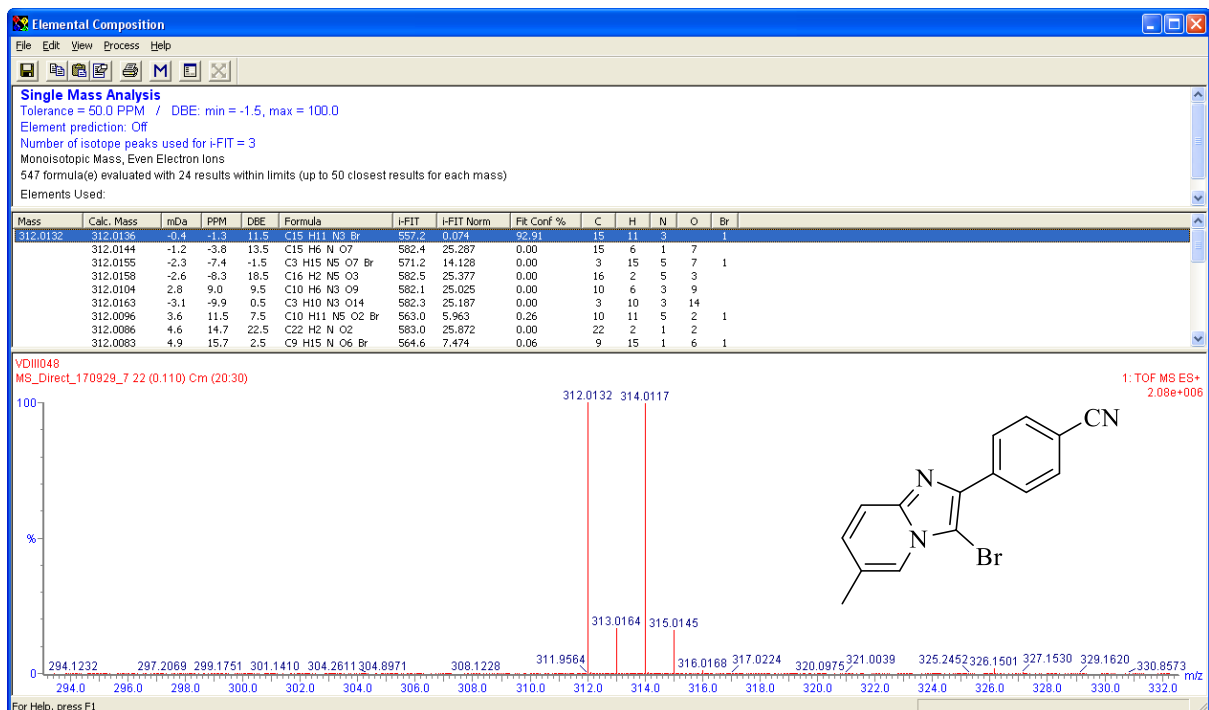


MS\_LCMS\_171005\_7



Purity: 93.0%

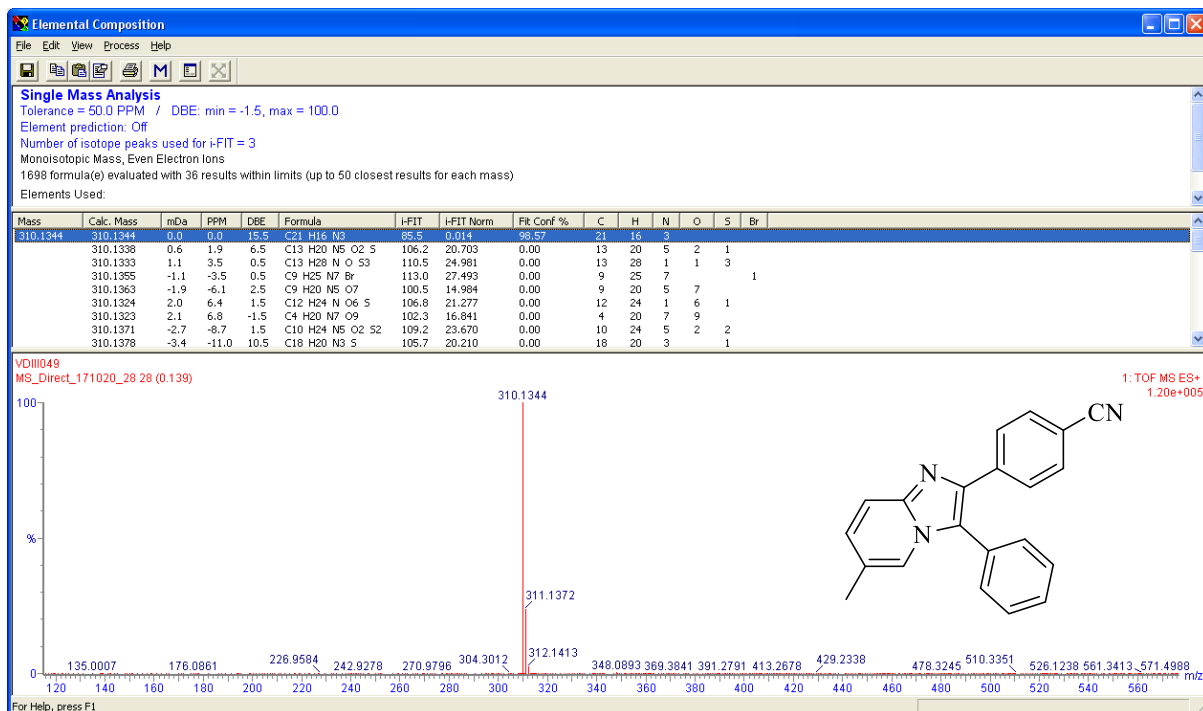
## C MS spectra

C.2.15 4-(6-Methylimidazo[1,2-*a*]pyridin-2-yl)benzotrile – 4.22C.2.16 4-(3-Bromo-6-methylimidazo[1,2-*a*]pyridin-2-yl)benzotrile – 4.25

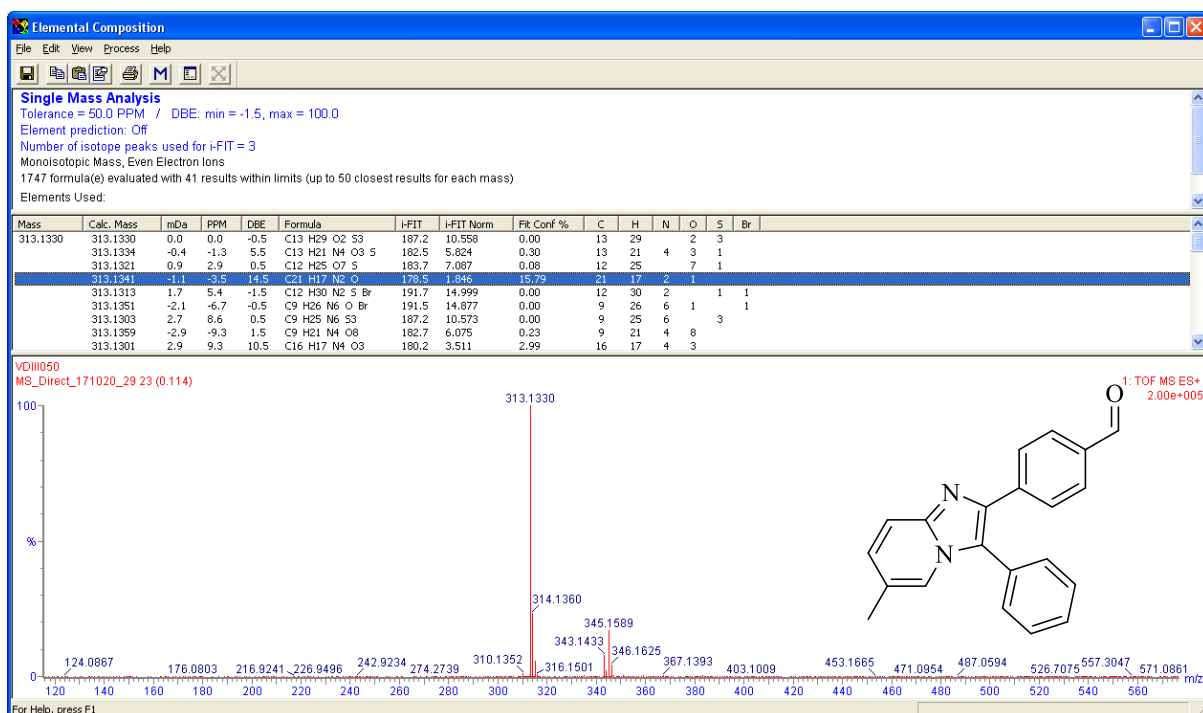


## C MS spectra

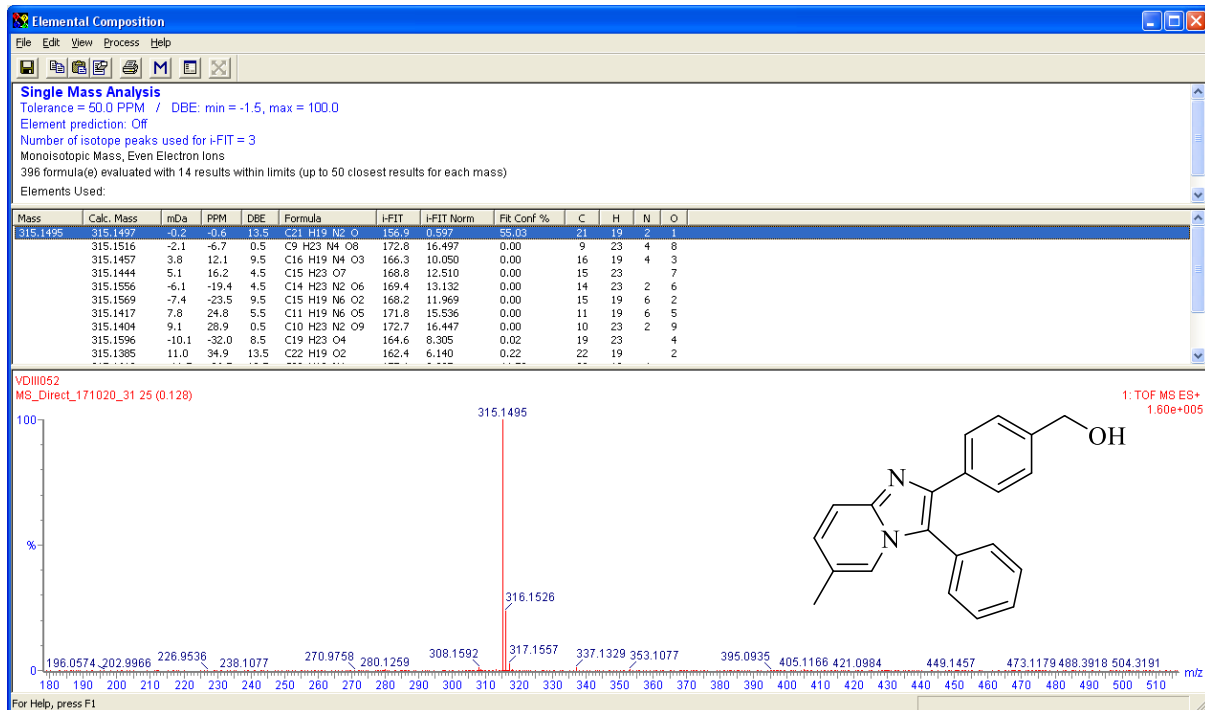
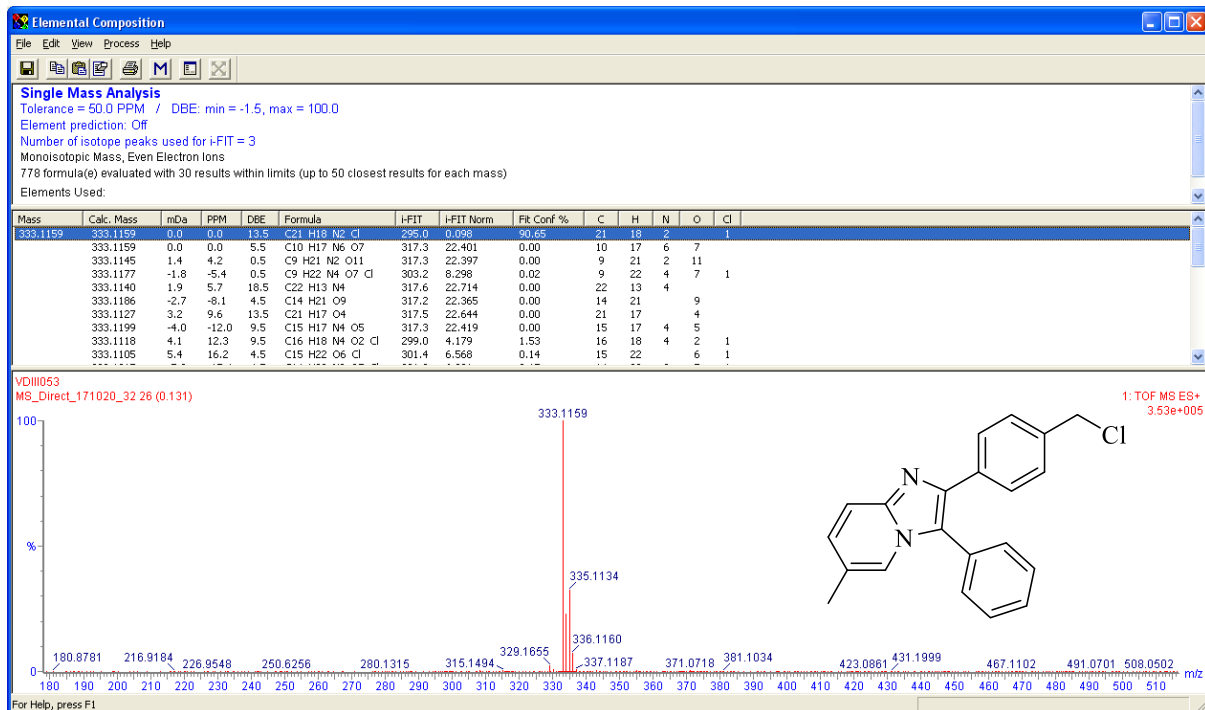
## C.2.17 4-(6-Methyl-3-phenylimidazo[1,2-a]pyridin-2-yl)benzonitrile – 4.26



## C.2.18 4-(6-Methyl-3-phenylimidazo[1,2-a]pyridin-2-yl)benzaldehyde – 4.27

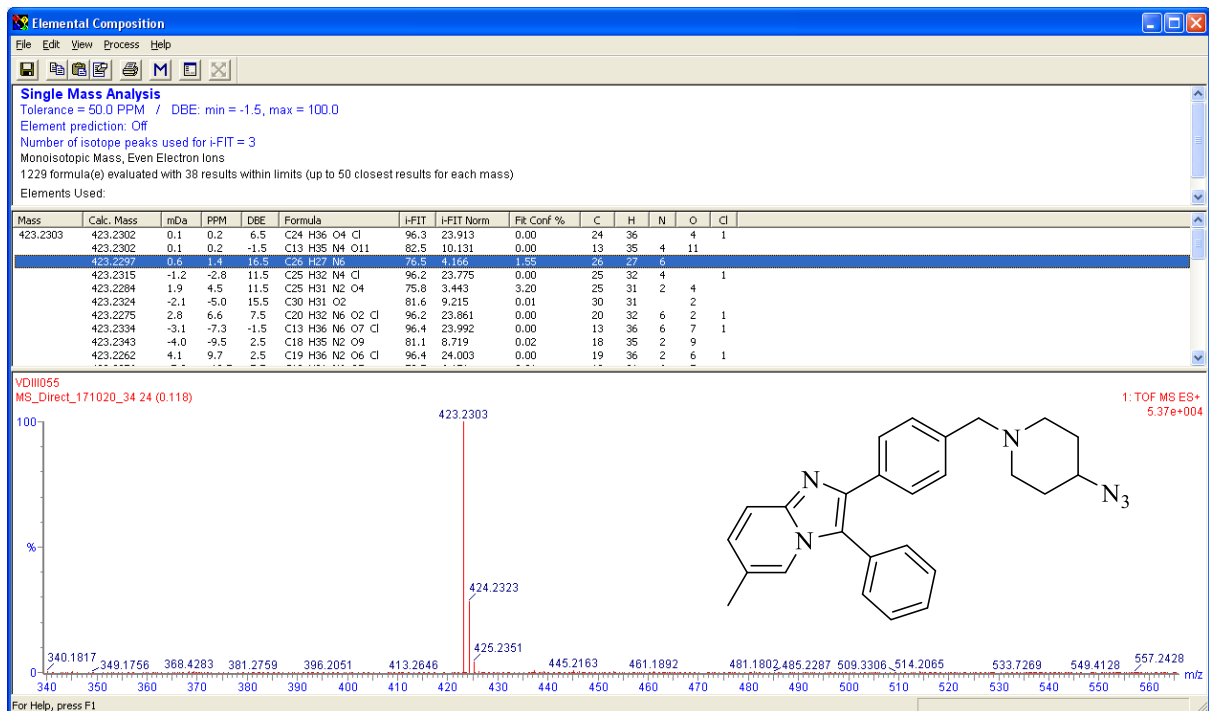


## C MS spectra

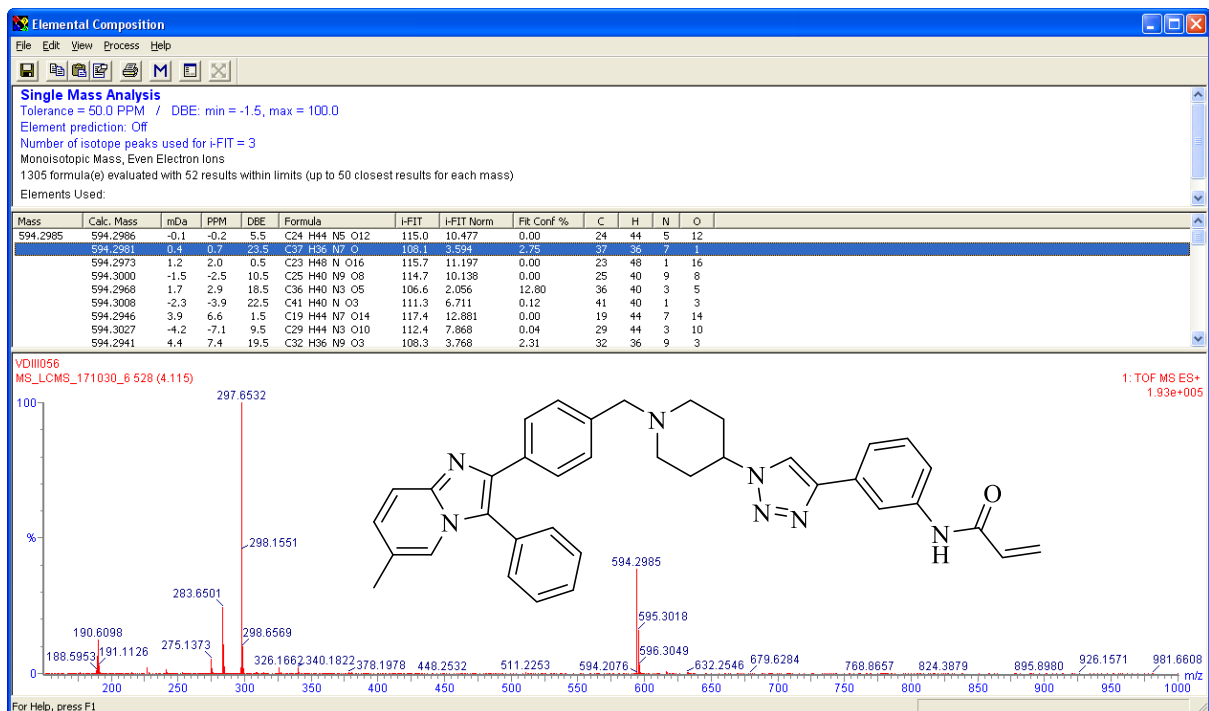
C.2.19 [4-(6-Methyl-3-phenylimidazo[1,2-*a*]pyridin-2-yl)phenyl]methanol – 4.28C.2.20 2-[4-(Chloromethyl)phenyl]-6-methyl-3-phenylimidazo[1,2-*a*]pyridine – 4.23

## C MS spectra

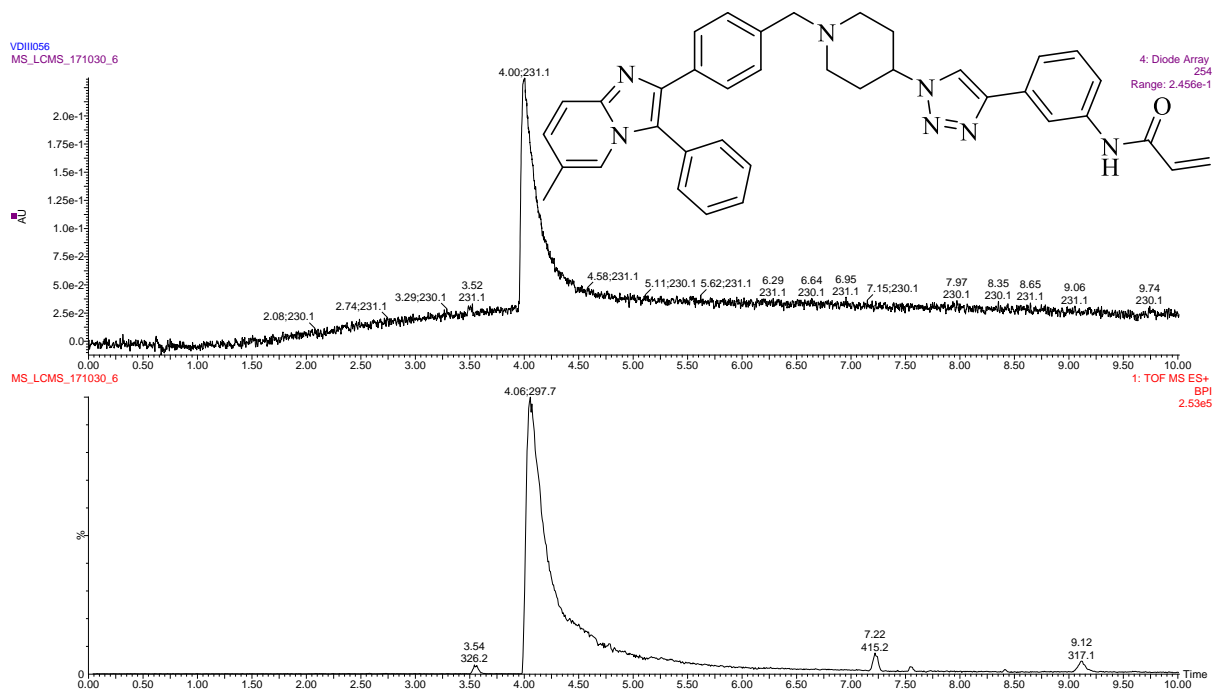
### C.2.21 2-{4-[(4-Azidopiperidin-1-yl)methyl]phenyl}-6-methyl-3-phenylimidazo[1,2-a]pyridine – 4.24



### C.2.22 N-[3-(1-{1-[4-(6-Methyl-3-phenylimidazo[1,2-a]pyridin-2-yl)benzyl]-piperidin-4-yl]-1H-1,2,3-triazol-4-yl)phenyl]acrylamide – 4.5



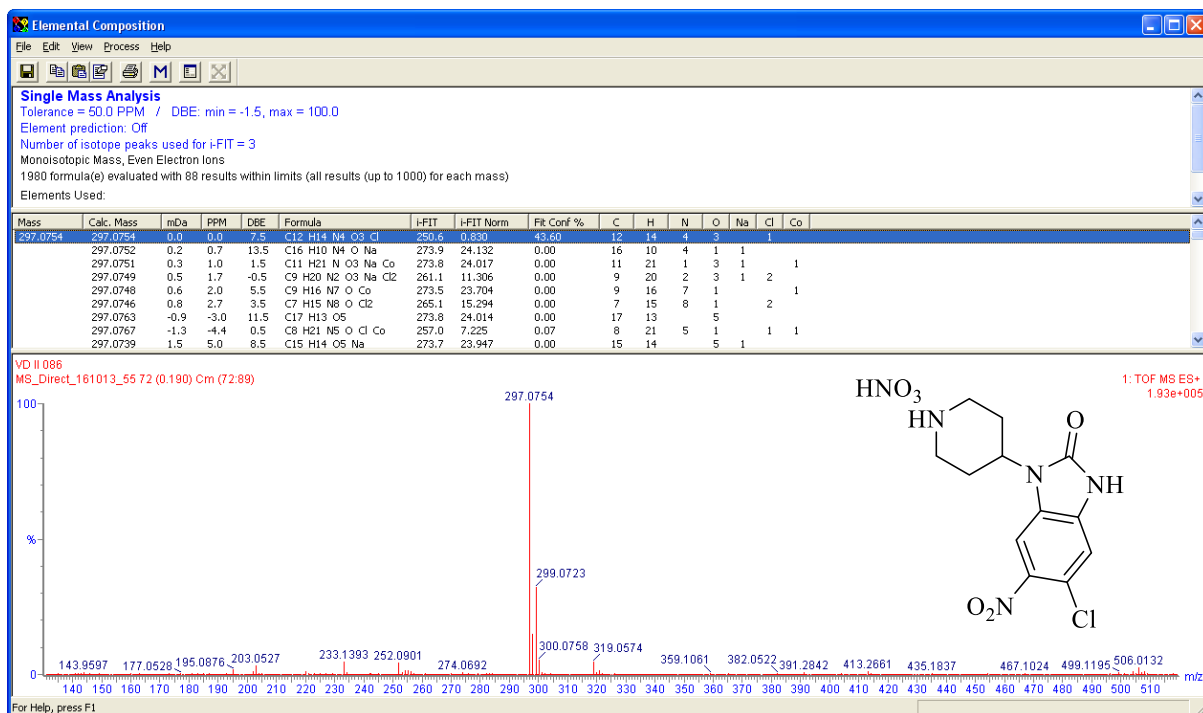
## C MS spectra



Purity: ~98%

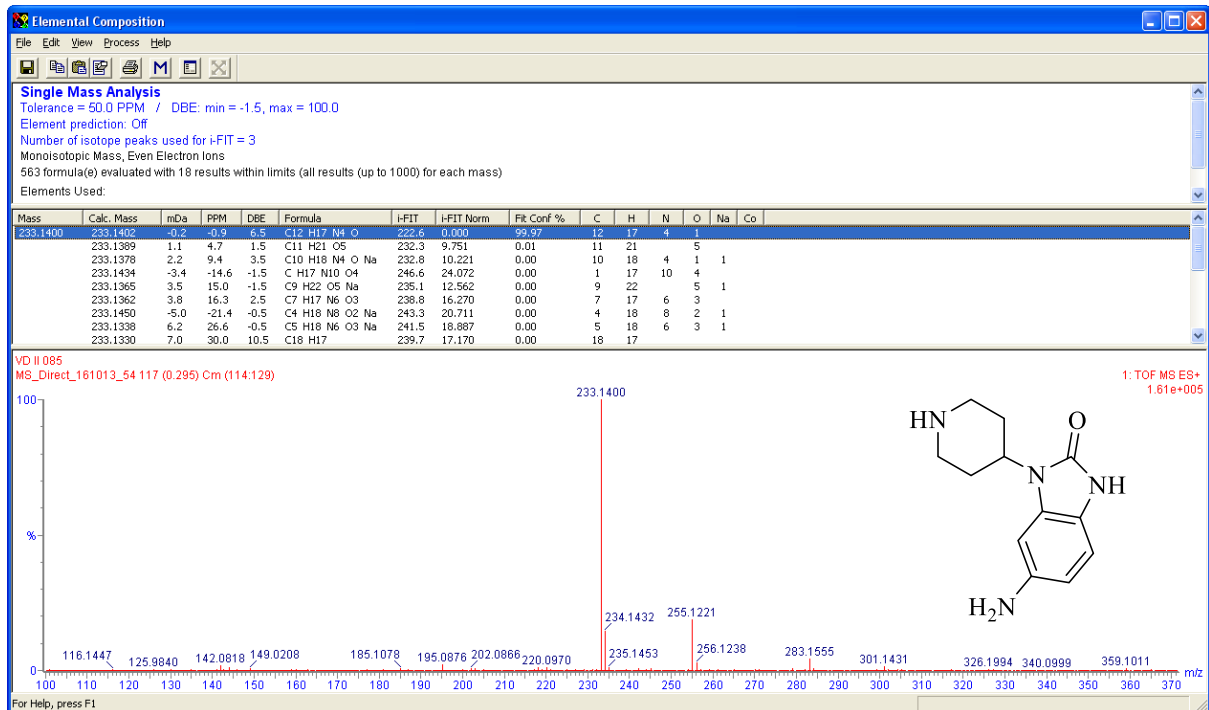
## C.3 Chapter 5 compounds

## C.3.1 4-(5-Chloro-6-nitro-2-oxo-2,3-dihydro-1H-benzo[d]imidazol-1-yl)-piperidin-1-ium nitrate – 5.5

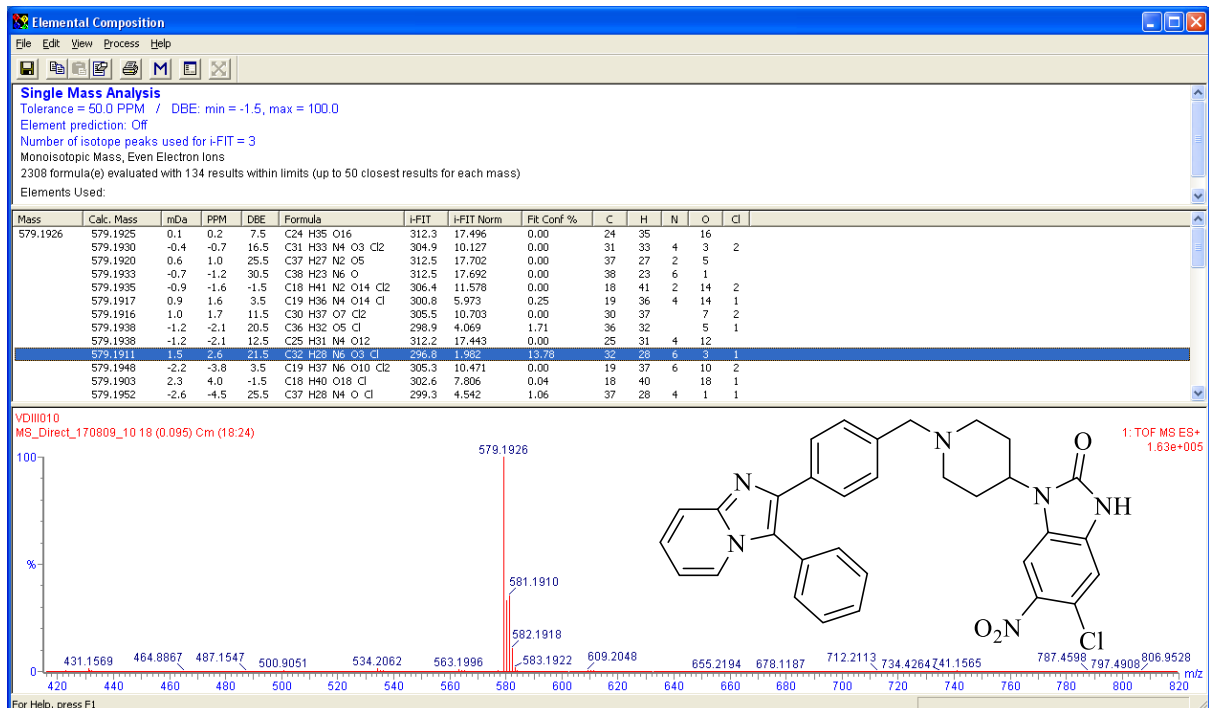


## C MS spectra

## C.3.2 6-Amino-1-(piperidin-4-yl)-1,3-dihydro-2H-benzo[d]imidazol-2-one – 5.6

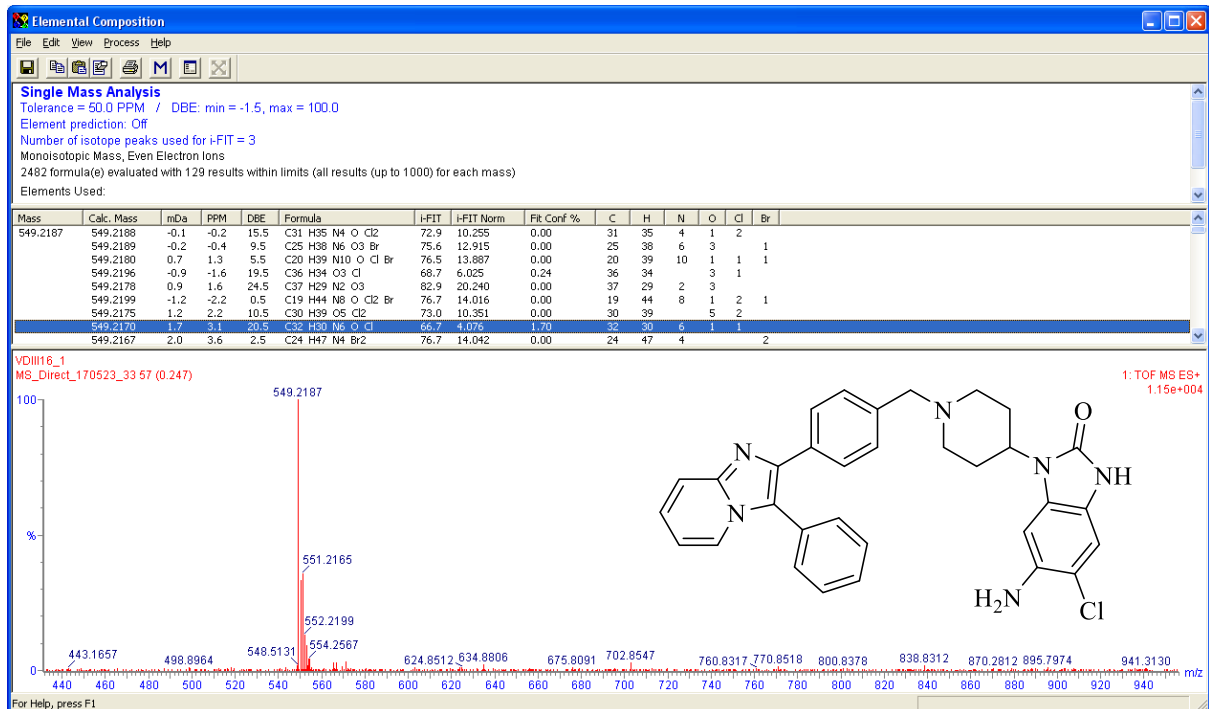


## C.3.3 5-Chloro-6-nitro-1-{1-[4-(3-phenylimidazo[1,2-a]pyridin-2-yl)benzyl]-piperidin-4-yl}-1,3-dihydro-2H-benzo[d]imidazol-2-one – 5.10

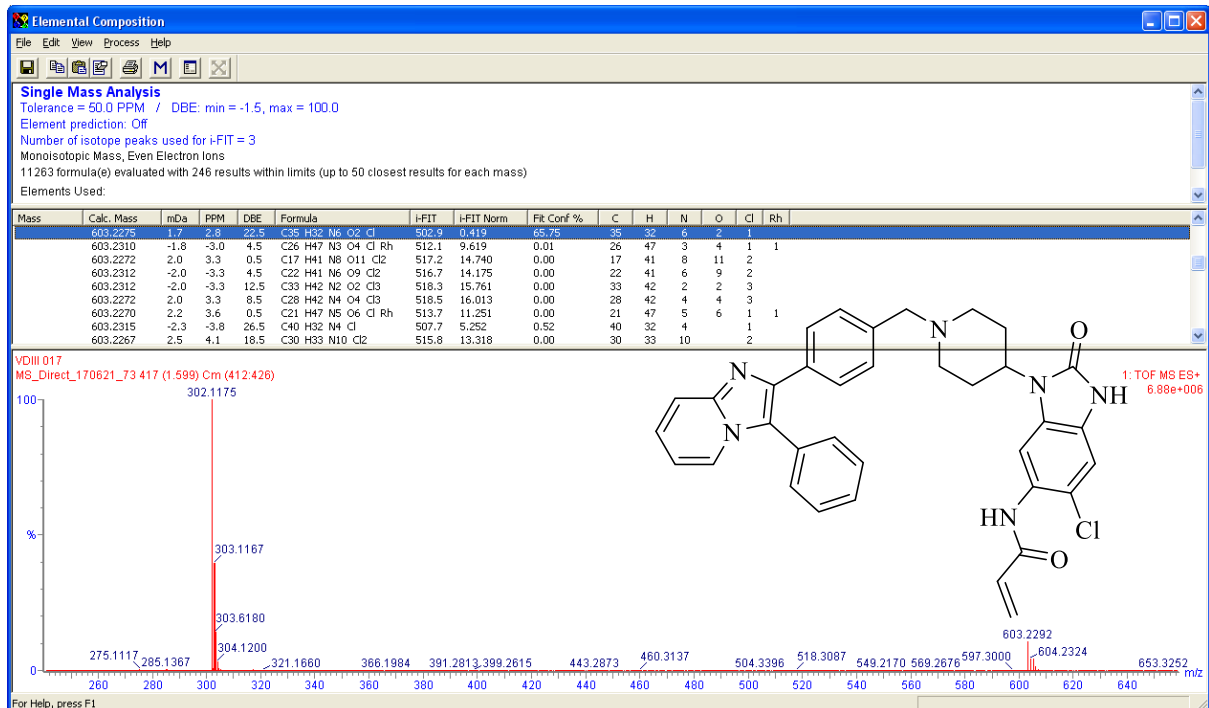


## C MS spectra

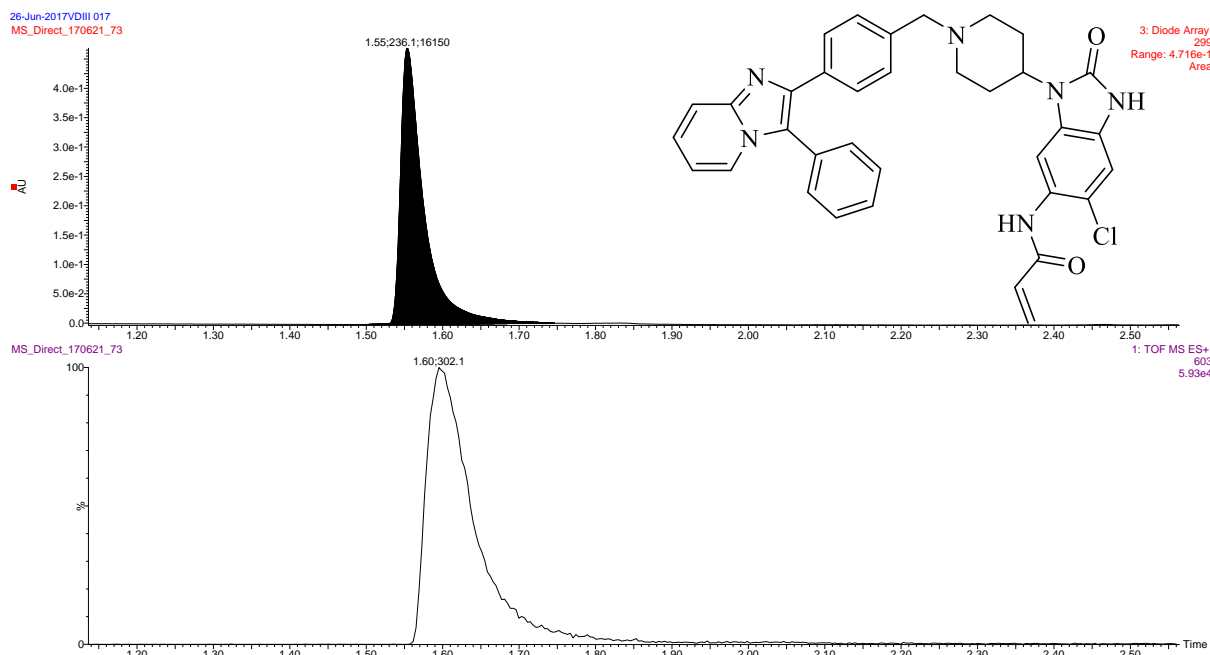
### C.3.4 6-Amino-5-chloro-1-{1-[4-(3-phenylimidazo[1,2-*a*]pyridin-2-yl)benzyl]-piperidin-4-yl}-1,3-dihydro-2*H*-benzo[*d*]imidazol-2-one – 5.11



### C.3.5 *N*-(6-Chloro-2-oxo-3-{1-[4-(3-phenylimidazo[1,2-*a*]pyridin-2-yl)benzyl]-piperidin-4-yl}-2,3-dihydro-1*H*-benzo[*d*]imidazol-5-yl)acrylamide – 5.13

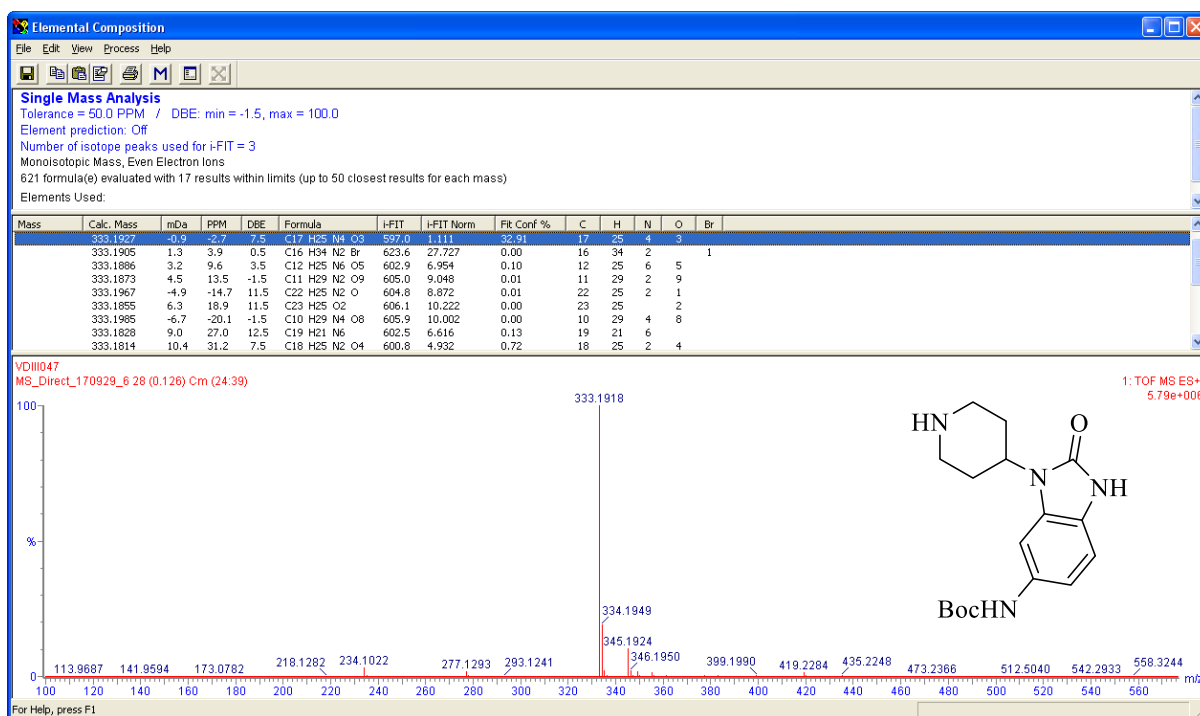


### C MS spectra



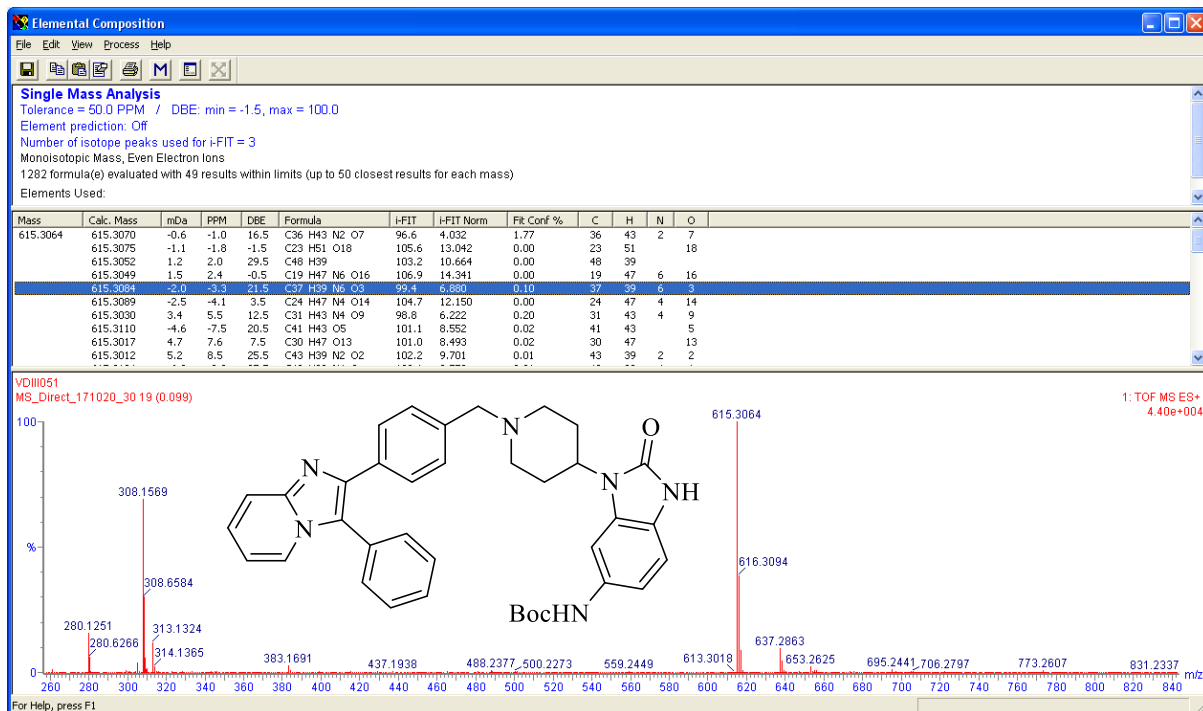
Purity: 99.5%

### C.3.6 *tert*-Butyl [2-oxo-3-(piperidin-4-yl)-2,3-dihydro-1*H*-benzo[*d*]imidazol-5-yl]-carbamate – 5.7

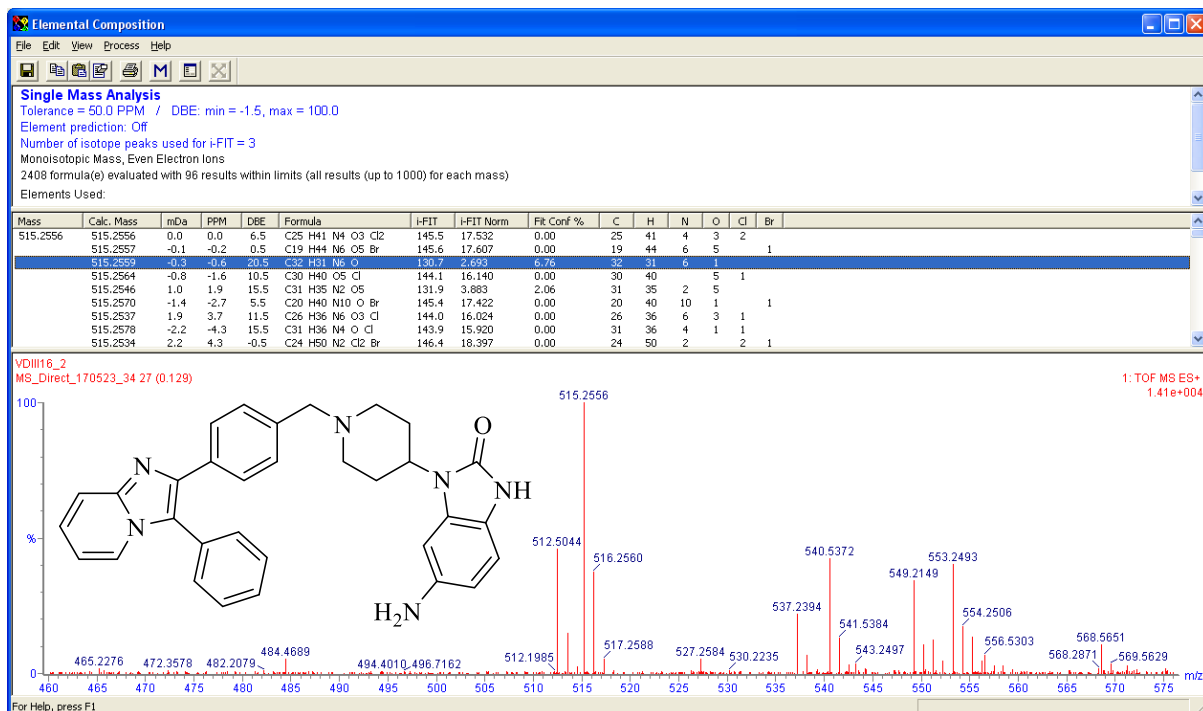


## C MS spectra

### C.3.7 *tert*-Butyl (2-oxo-3-{1-[4-(3-phenylimidazo[1,2-*a*)]pyridin-2-yl)benzyl]-piperidin-4-yl}-2,3-dihydro-1*H*-benzo[*d*]imidazol-5-yl)carbamate – 5.8



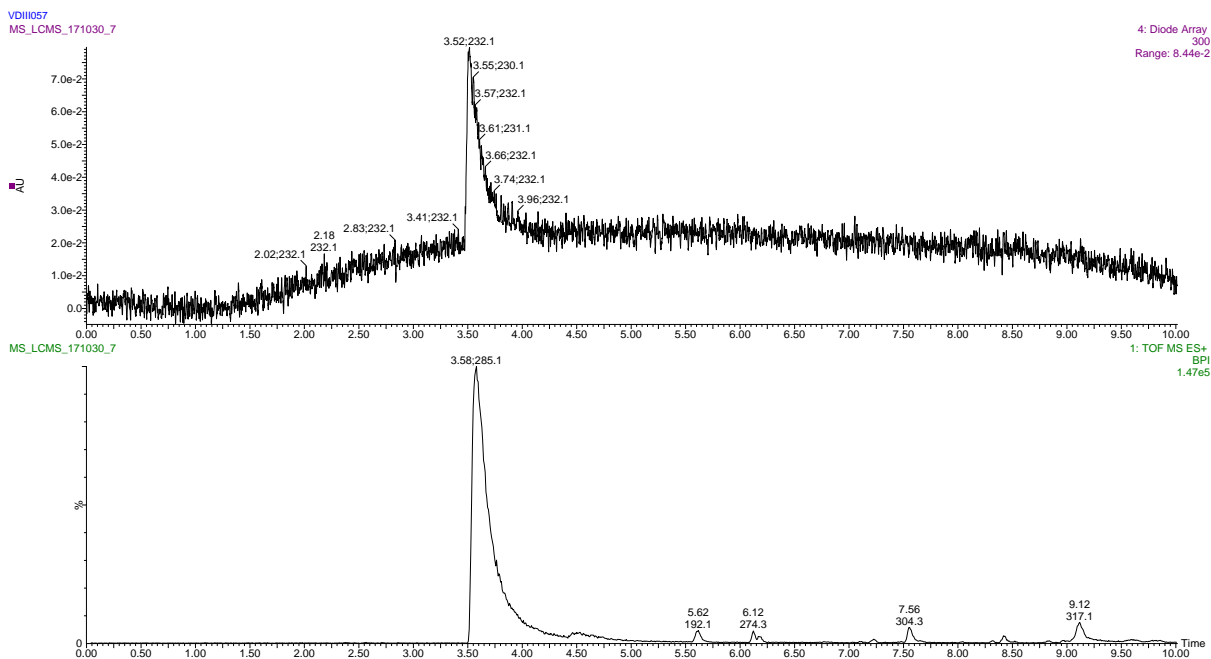
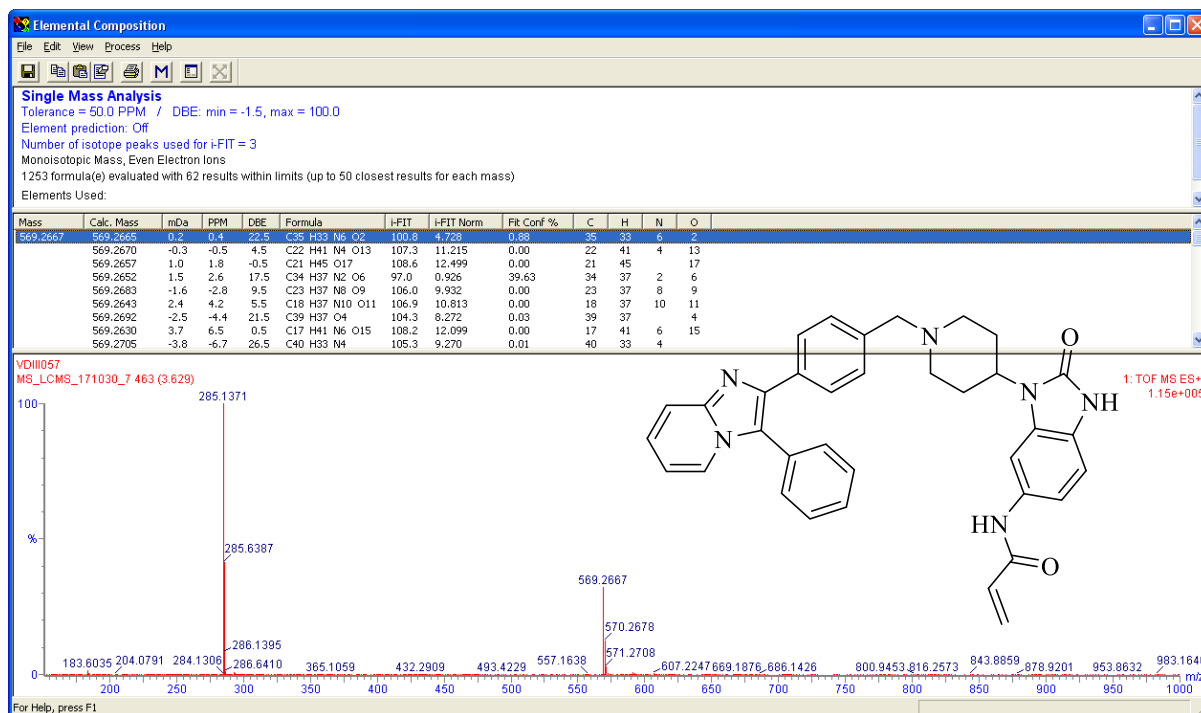
### C.3.8 6-Amino-1-{1-[4-(3-phenylimidazo[1,2-*a*)]pyridin-2-yl)benzyl]-piperidin-4-yl}-1,3-dihydro-2*H*-benzo[*d*]imidazol-2-one – 5.9





## C MS spectra

**C.3.9 N-(2-Oxo-3-{1-[4-(3-phenylimidazo[1,2-a]pyridin-2-yl)benzyl]-piperidin-4-yl}-2,3-dihydro-1H-benzo[d]imidazol-5-yl)acrylamide – 2.60**

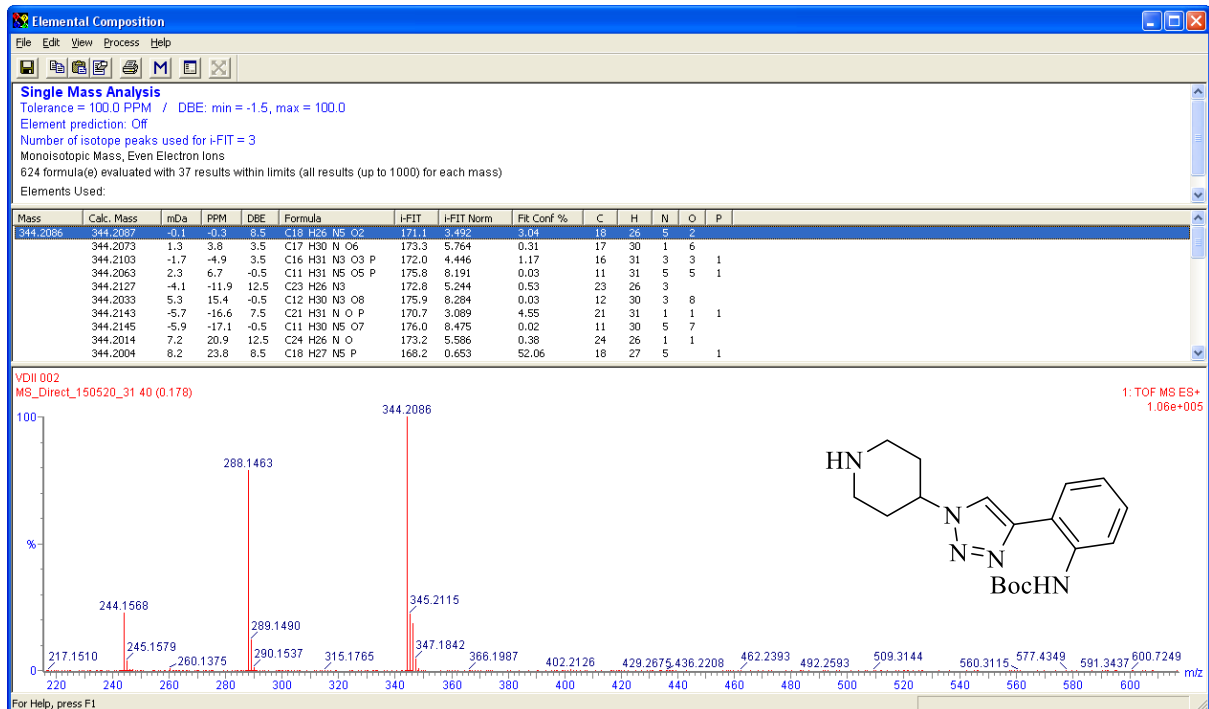


Purity: ~98%

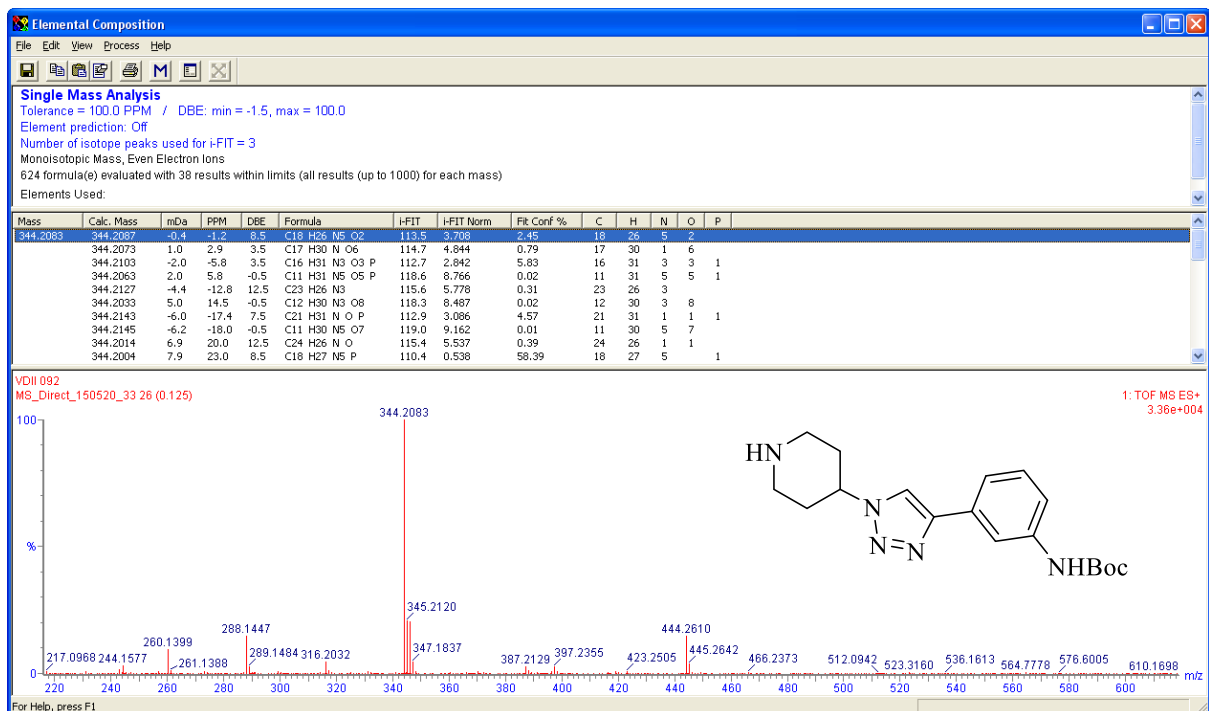
## C MS spectra

C.3.10 *tert*-Butyl {2-[1-(piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl]phenyl}carbamate –

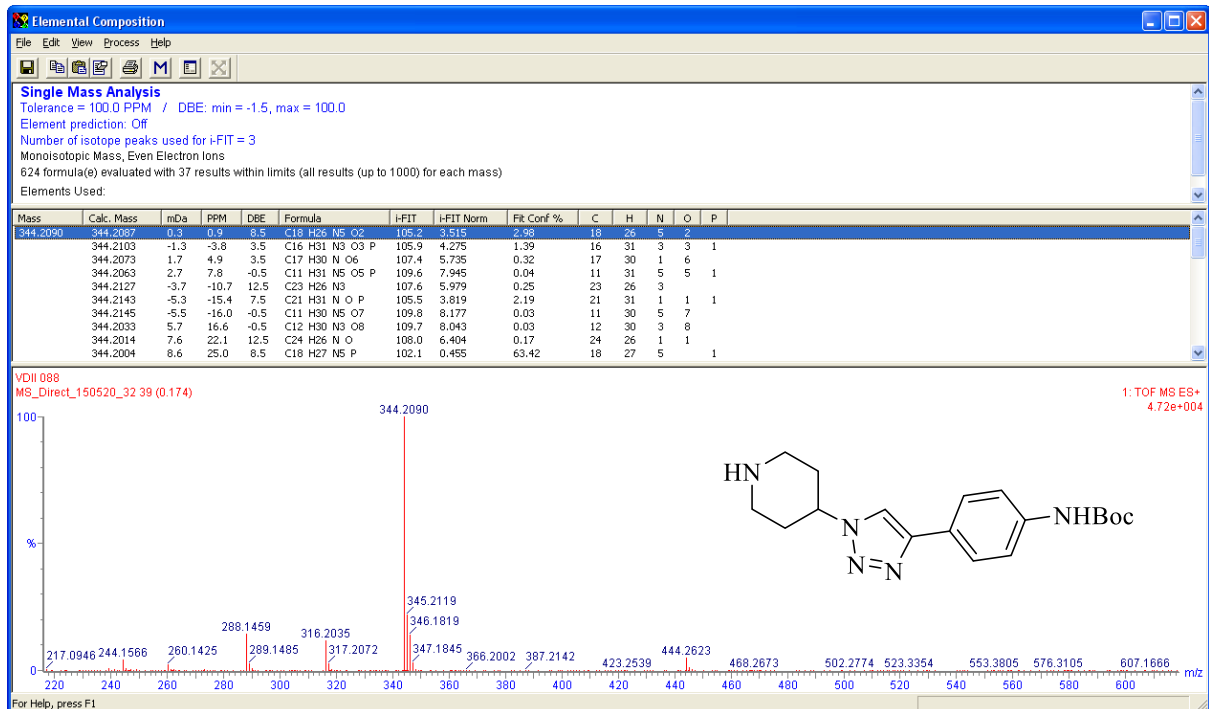
5.21

C.3.11 *tert*-Butyl {3-[1-(piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl]phenyl}carbamate –

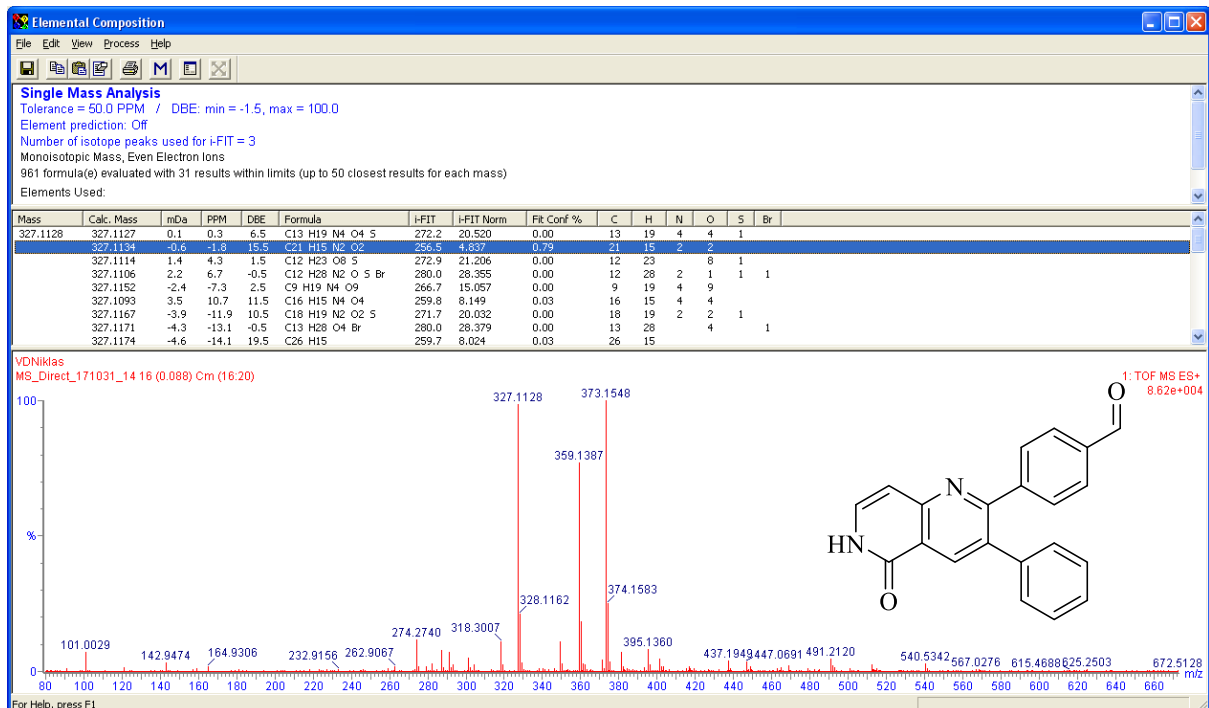
5.15



## C MS spectra

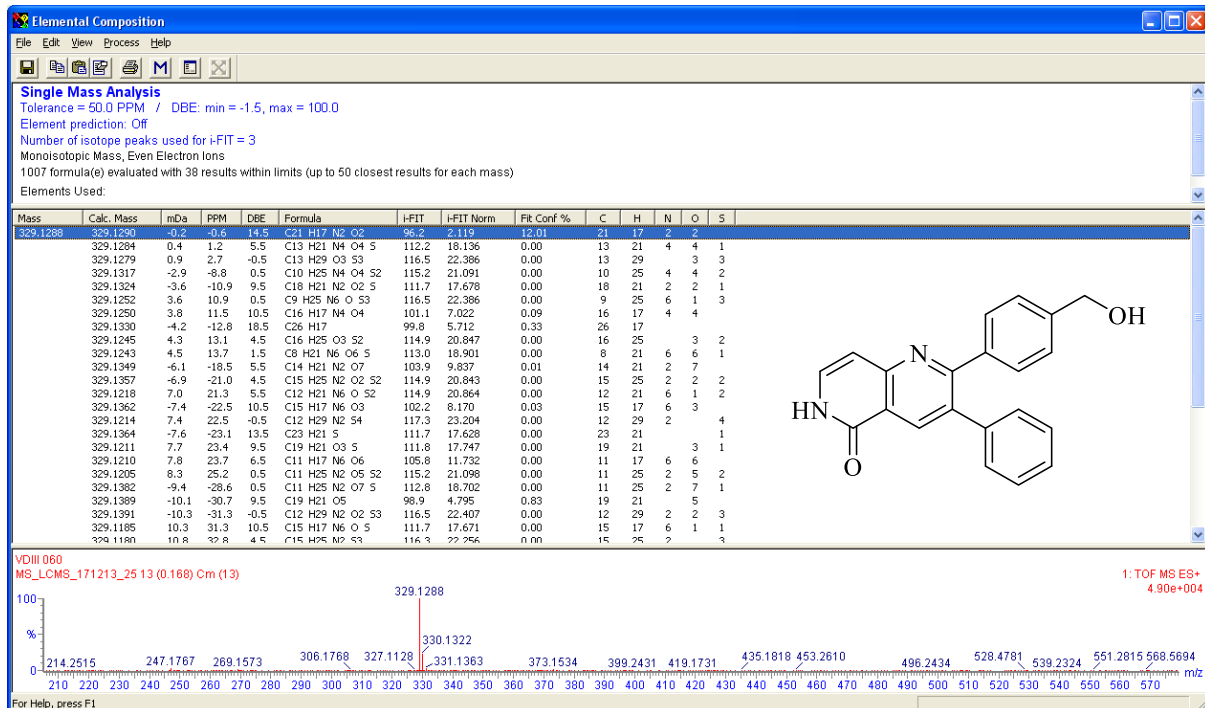
C.3.12 *tert*-Butyl {4-[1-(piperidin-4-yl)-1*H*-1,2,3-triazol-4-yl]phenyl}carbamate – 5.22

## C.3.13 4-(5-Oxo-3-phenyl-5,6-dihydro-1,6-naphthyridin-2-yl)benzaldehyde – 5.14

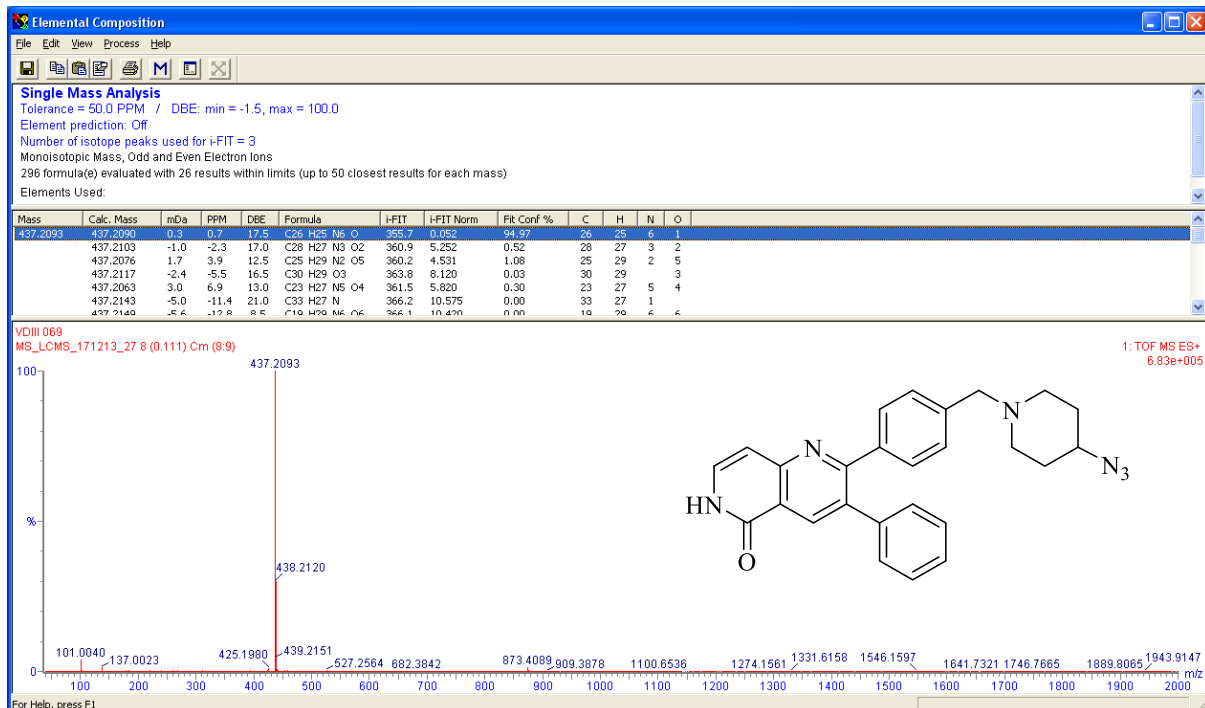


## C MS spectra

## C.3.14 2-[4-(Hydroxymethyl)phenyl]-3-phenyl-1,6-naphthyridin-5(6H)-one – 5.23

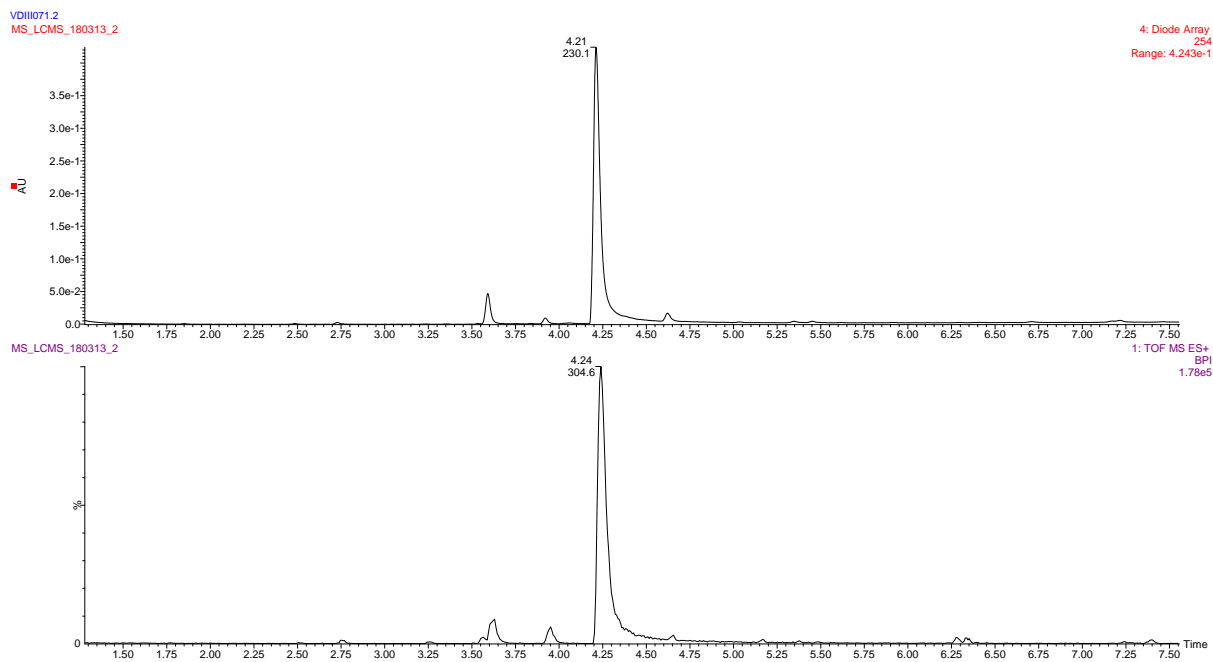
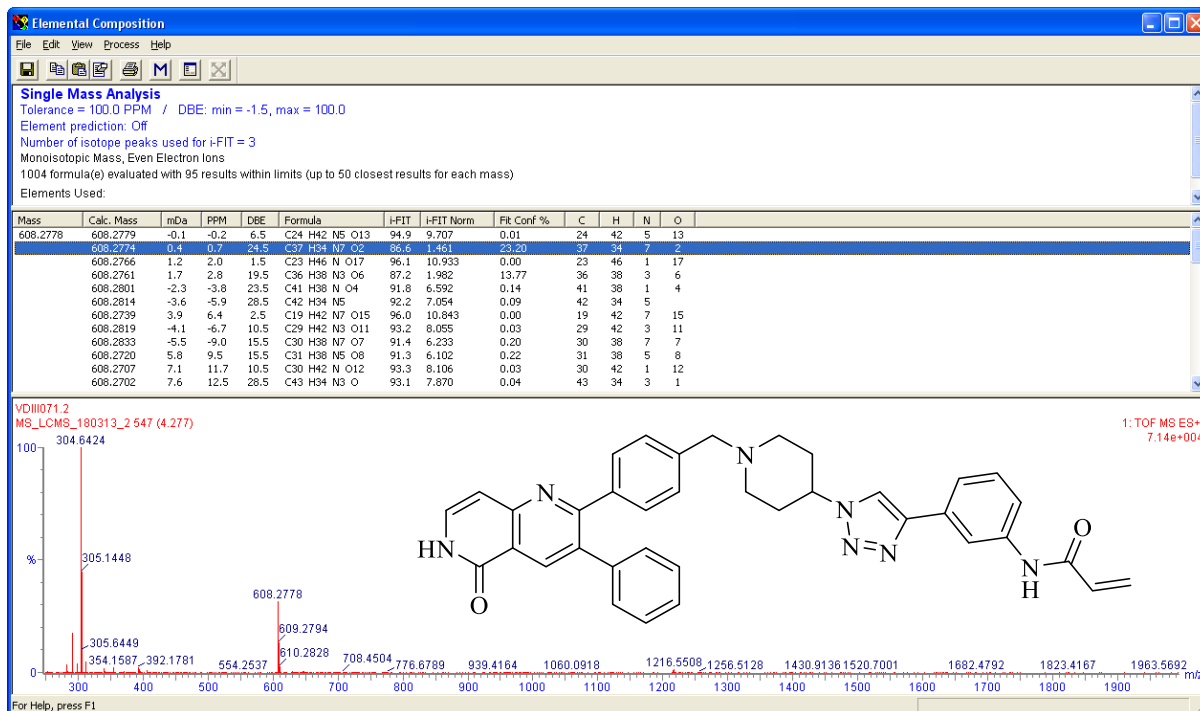


## C.3.15 2-[4-[(4-Azidopiperidin-1-yl)methyl]phenyl]-3-phenyl-1,6-naphthyridin-5(6H)-one – 5.25



## C MS spectra

### C.3.16 *N*-[3-(1-{1-[4-(5-Oxo-3-phenyl-5,6-dihydro-1,6-naphthyridin-2-yl)benzyl]-piperidin-4-yl]-1*H*-1,2,3-triazol-4-yl)phenyl]acrylamide – 5.1



Purity: 88.8%