

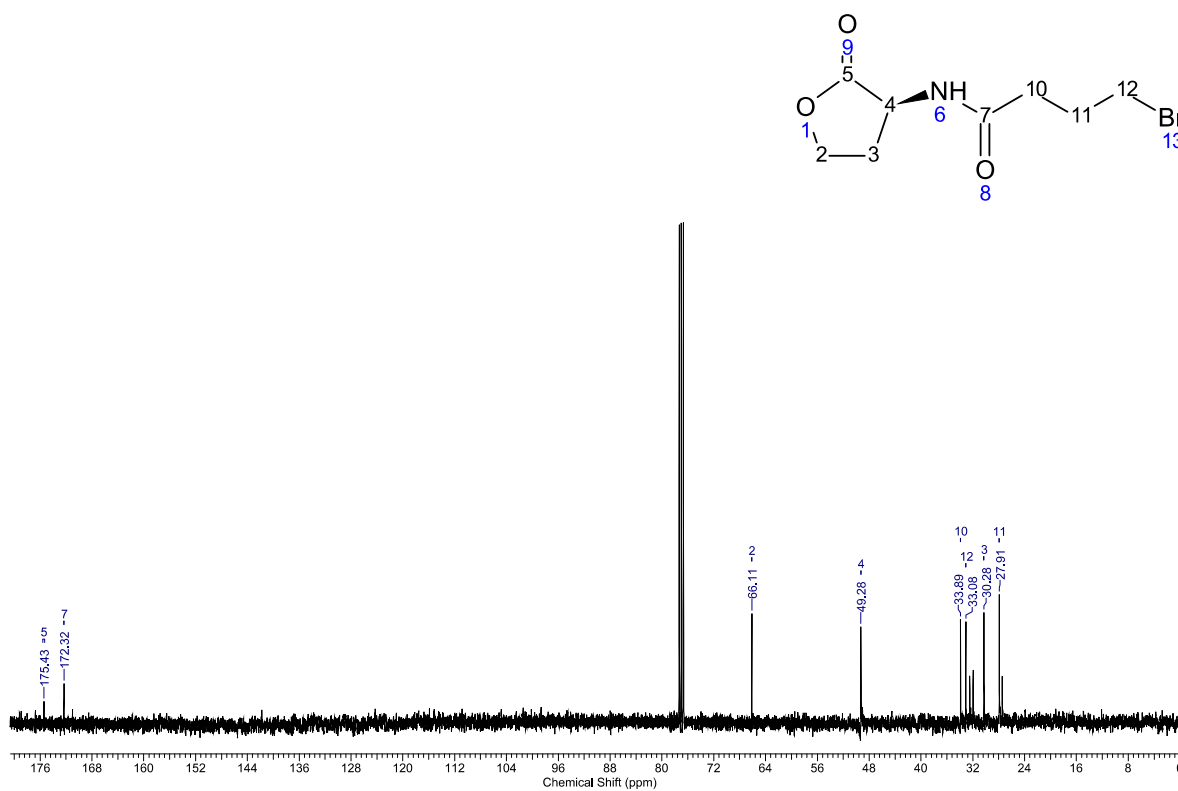
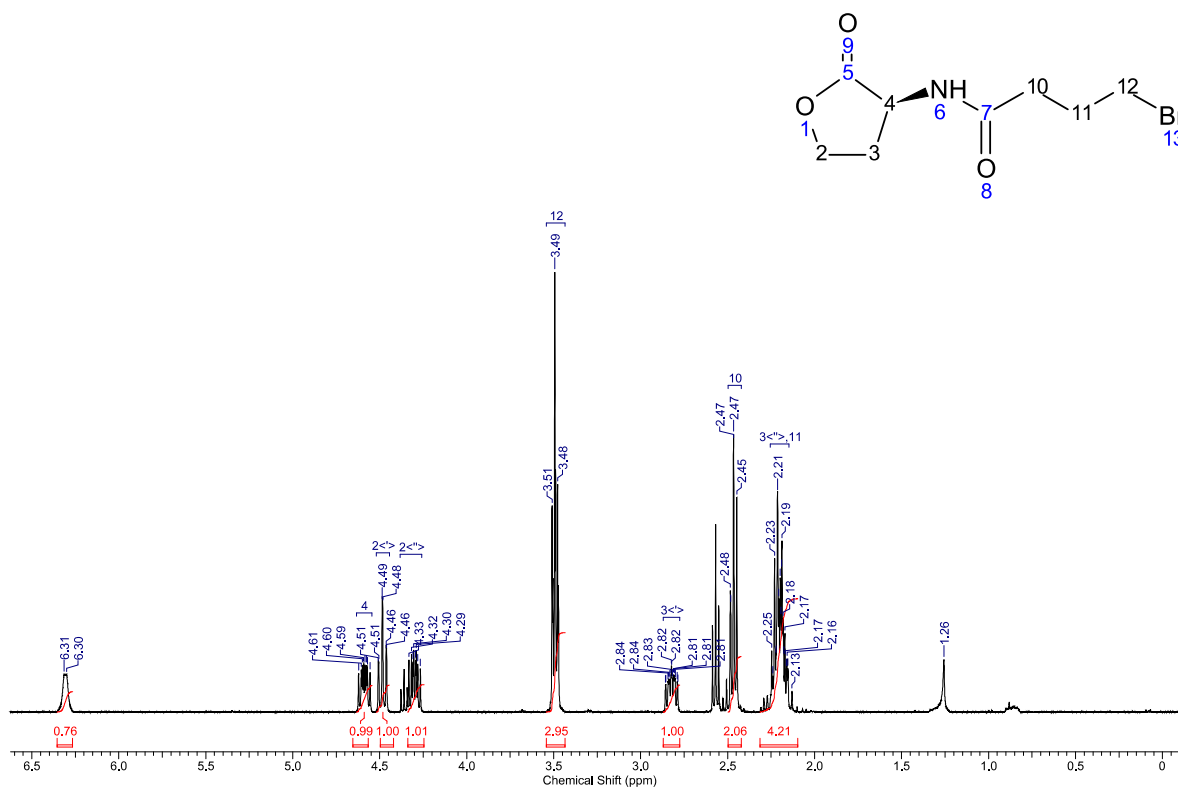
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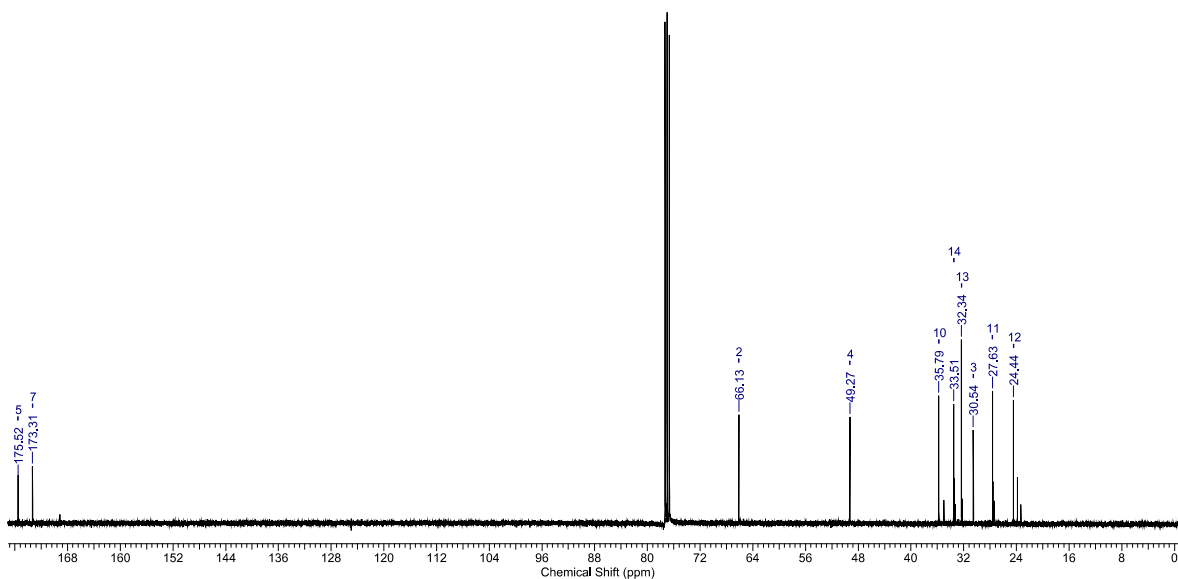
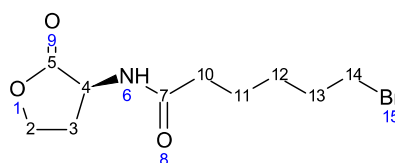
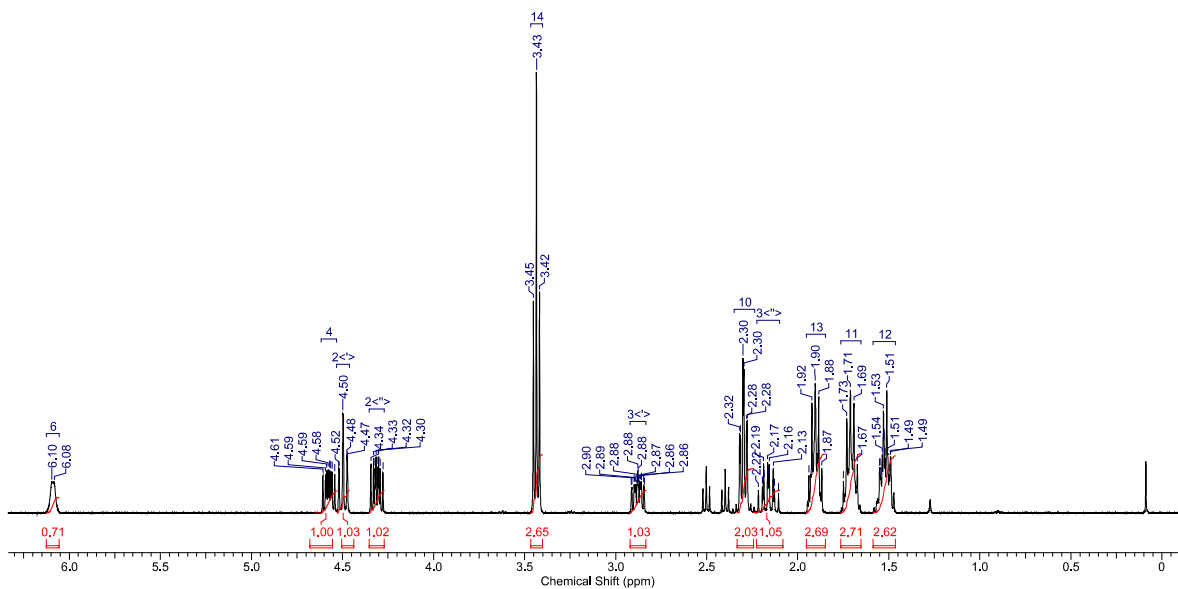
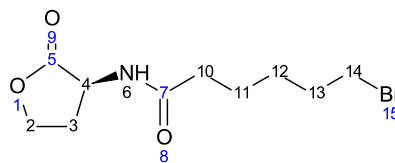
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# 1 NMR spectra

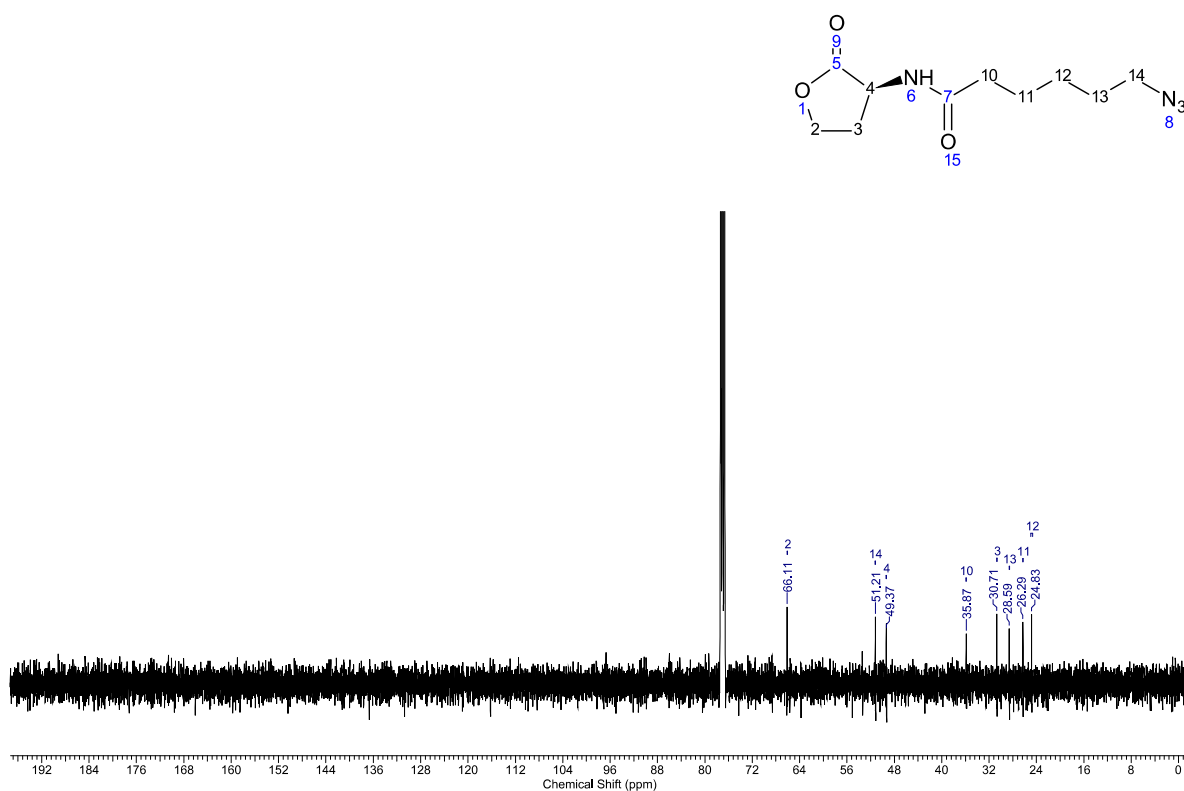
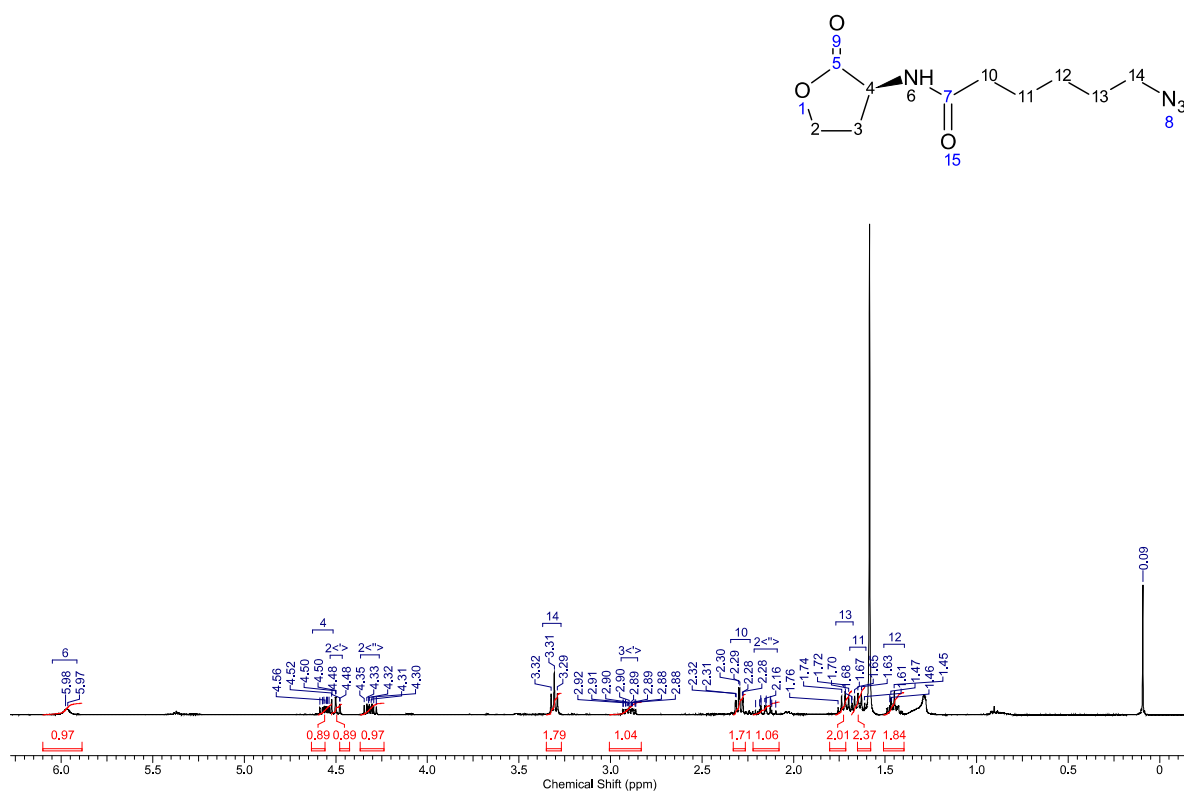
## 1.1 (*S*)-4-Bromo-*N*-(2-oxotetrahydrofuran-3-yl)butanamide 44



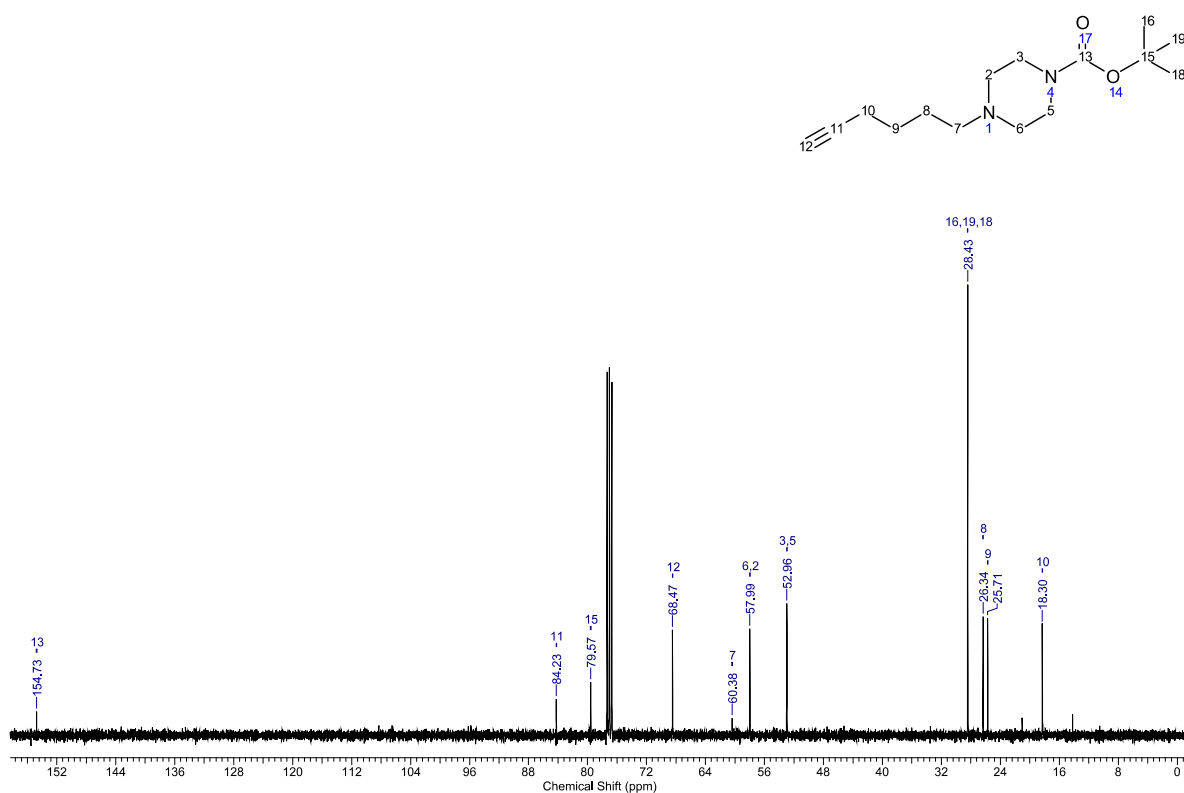
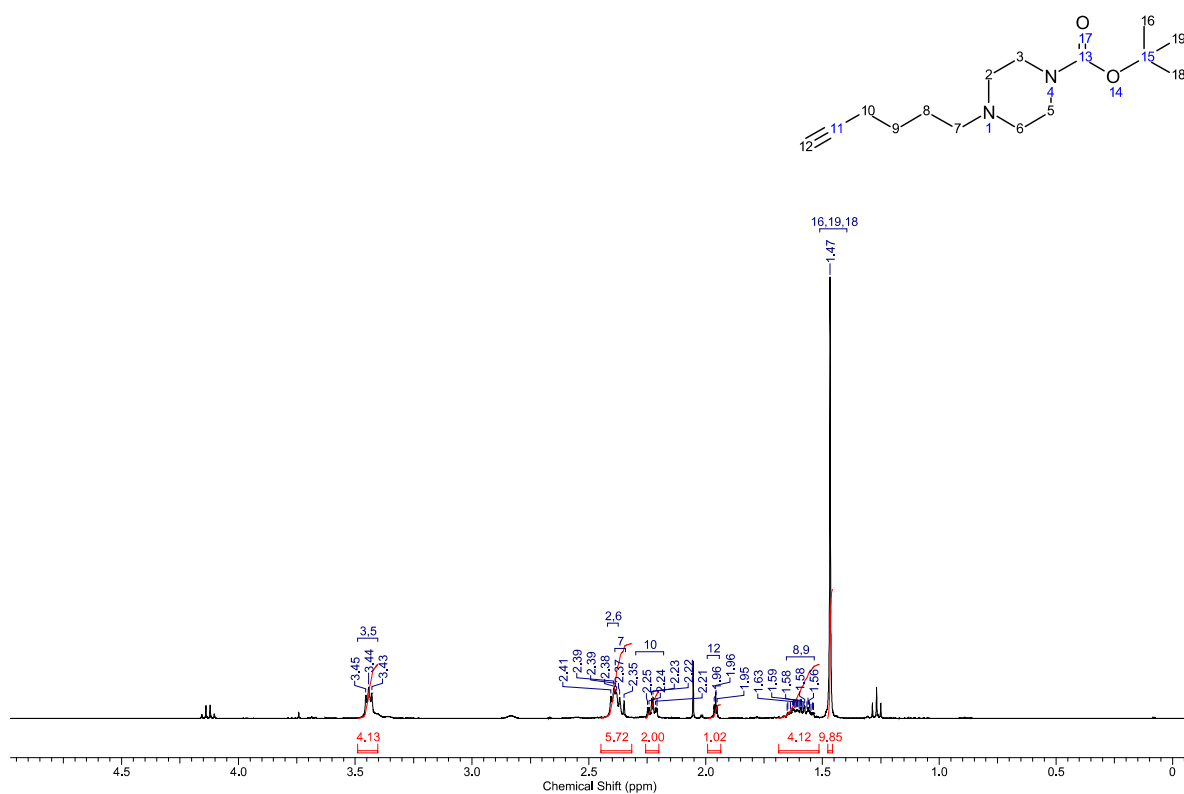
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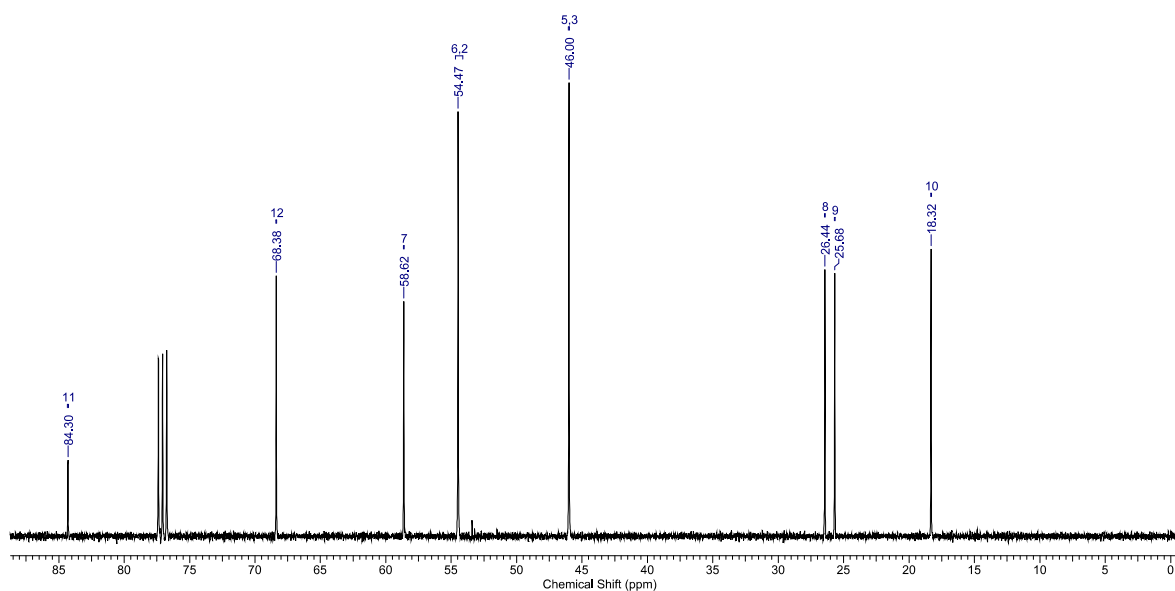
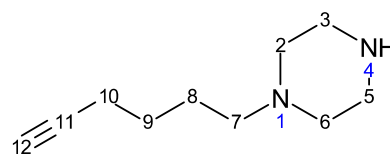
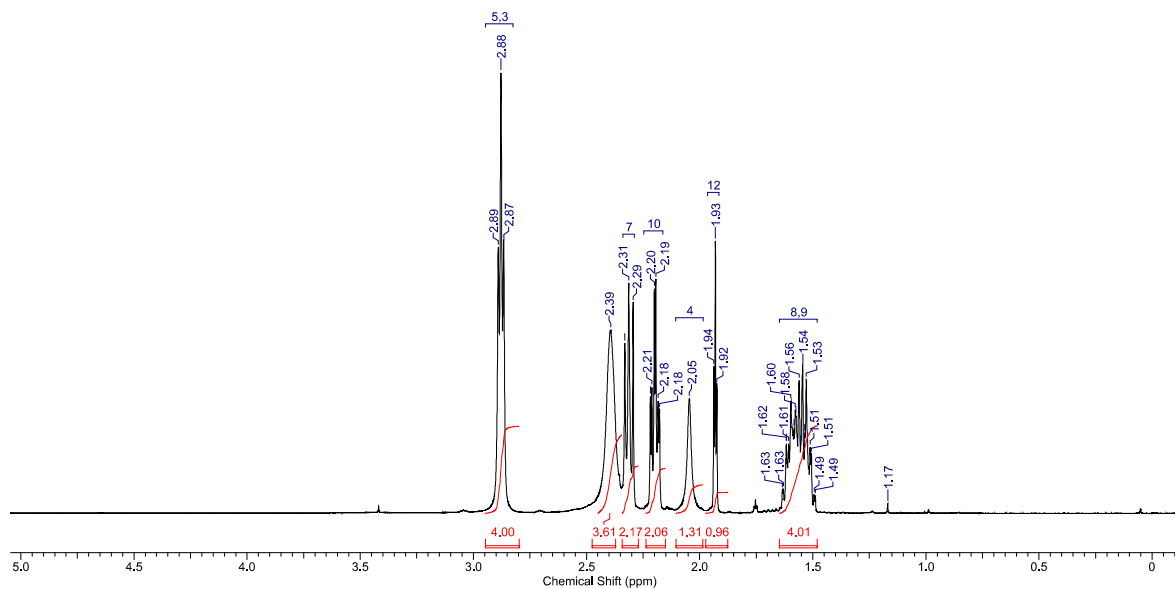
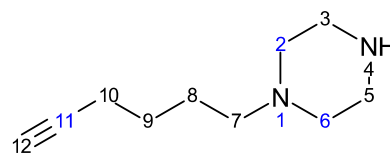
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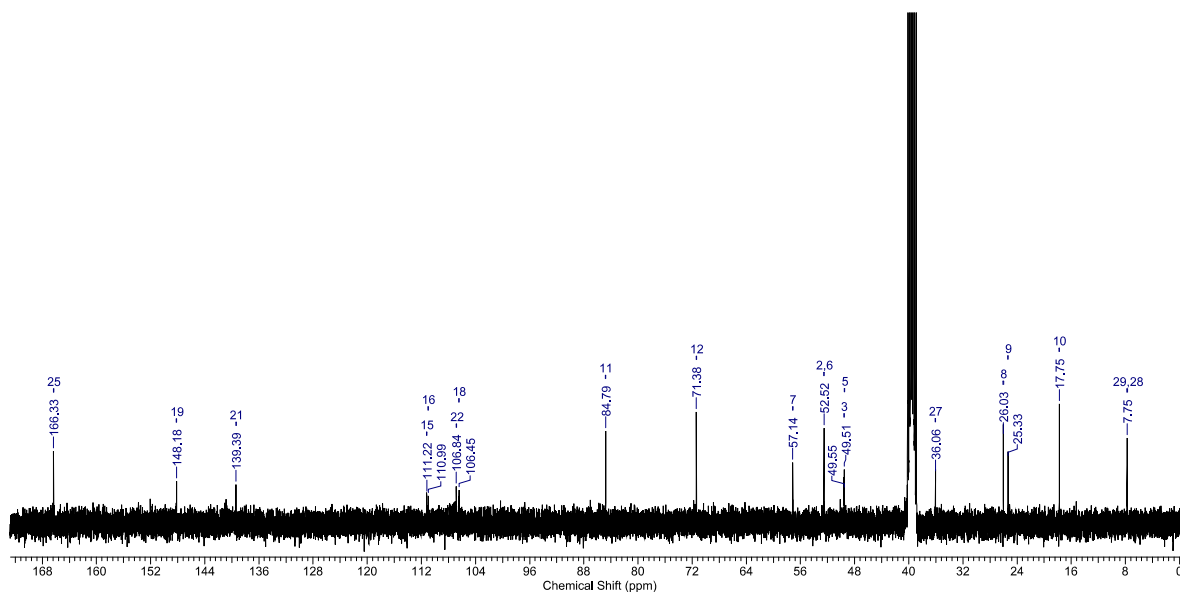
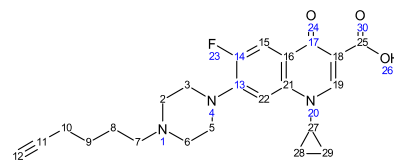
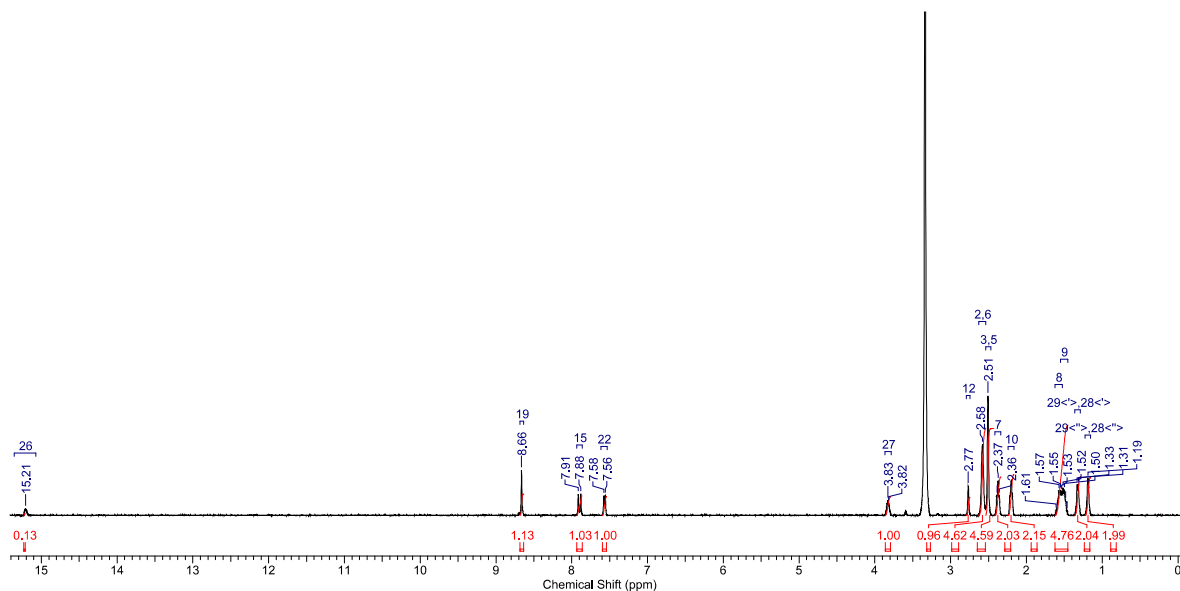
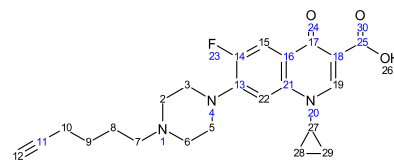
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Y4Tri\_H.pdf

Y4Tri\_C.pdf

**1.8 (S)-1-Cyclopropyl-6-fluoro-4-oxo-7-(4-(4-(1-(2-oxo-2-((2-oxotetrahydrofuran-3-yl)amino)ethyl)-1*H*-1,2,3-triazol-4-yl)butyl)piperazin-1-yl)-1,4-dihydroquinoline-3-carboxylic acid 125**

HL2T4Cip\_H.pdf

HL2T4Cip\_C.pdf

**1.9 (S)-1-Cyclopropyl-6-fluoro-4-oxo-7-(4-(4-(1-(4-oxo-4-((2-oxotetrahydrofuran-3-yl)amino)butyl)-1*H*-1,2,3-triazol-4-yl)butyl)piperazin-1-yl)-1,4-dihydroquinoline-3-carboxylic acid 126**

HL4T4Cip\_H.pdf

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**1.10 (S)-1-Cyclopropyl-6-fluoro-4-oxo-7-(4-(4-(1-(6-oxo-6-((2-oxotetrahydrofuran-3-yl)amino)hexyl)-1*H*-1,2,3-triazol-4-yl)butyl)piperazin-1-yl)-1,4-dihydroquinoline-3-carboxylic acid 127**

HL6T4Cip\_H.pdf

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**1.11 1-Cyclopropyl-6-fluoro-7-(4-(4-(1-(2-heptyl-4-oxo-1,4-dihydroquinolin-6-yl)-1H-1,2,3-triazol-4-yl)butyl)piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 128**

6HHQT4Cip\_H.pdf

6HHQT4Cip\_C.pdf

**1.12 (S)-4-(4-(4-(4-((2,4-Diaminopyrimidin-5-yl)methyl)-2,6-dimethoxyphenoxy)butyl)-1*H*-1,2,3-triazol-1-yl)-*N*-(2-oxotetrahydrofuran-3-yl)butanamide 129**

HL4T4Tri\_H.pdf

HL4T4Tri\_C.pdf

**1.13 (S)-6-(4-(4-(4-((2,4-Diaminopyrimidin-5-yl)methyl)-2,6-dimethoxyphenoxy)butyl)-1*H*-1,2,3-triazol-1-yl)-*N*-(2-oxotetrahydrofuran-3-yl)hexanamide 130**

HL6T4Tri\_H.pdf

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**1.14 6-(4-(4-(4-((2,4-Diaminopyrimidin-5-yl)methyl)-2,6-dimethoxyphenoxy)butyl)-1*H*-1,2,3-triazol-1-yl)-2-heptylquinolin-4(1*H*)-one 131**

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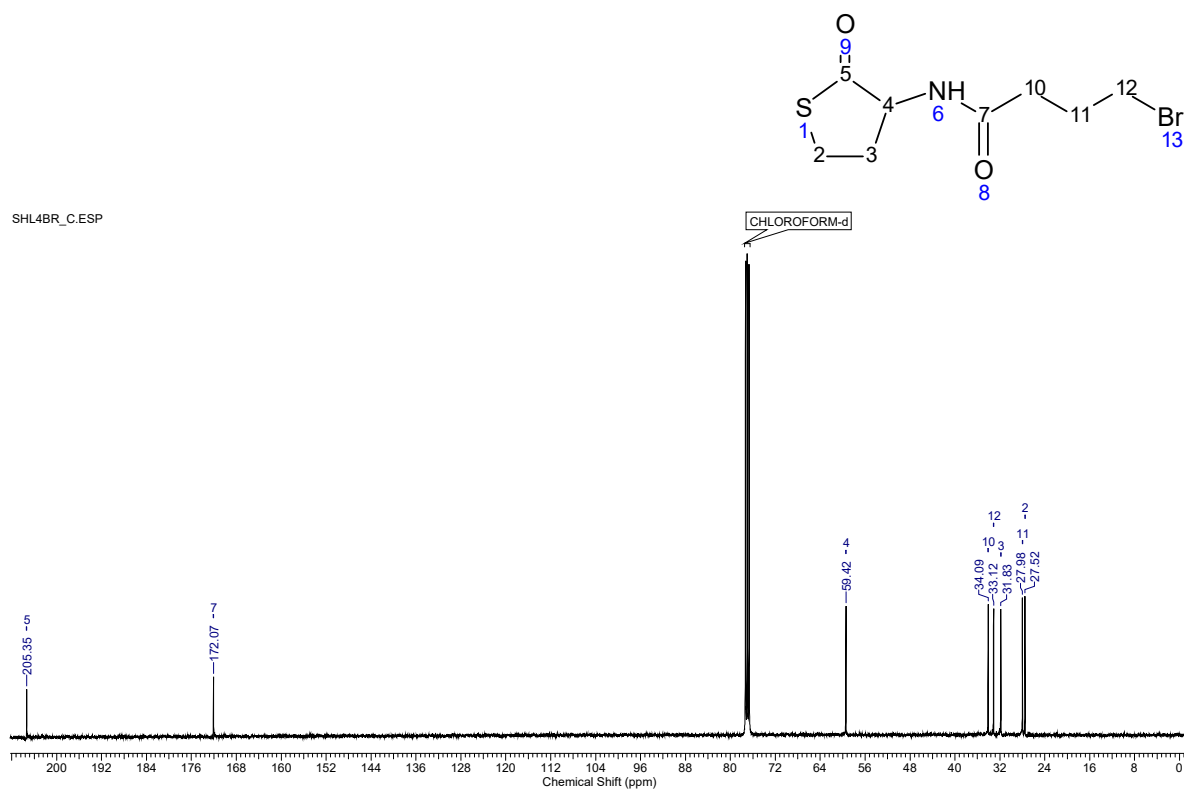
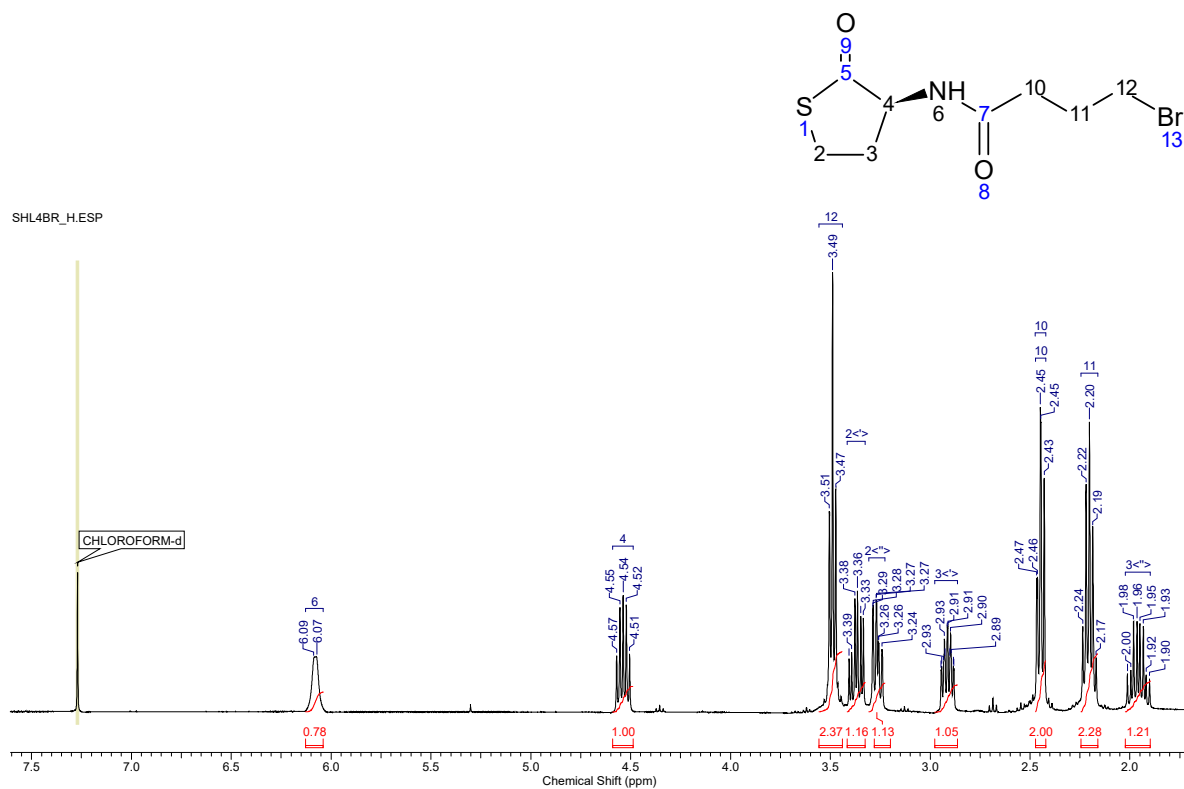


**1.15 2-(6-(4-(4-(4-((2,4-Diaminopyrimidin-5-yl)methyl)-2,6-dimethoxyphenoxy)butyl)-1*H*-1,2,3-triazol-1-yl)hexyl)-3-hydroxyquinolin-4(1*H*)-one 132**

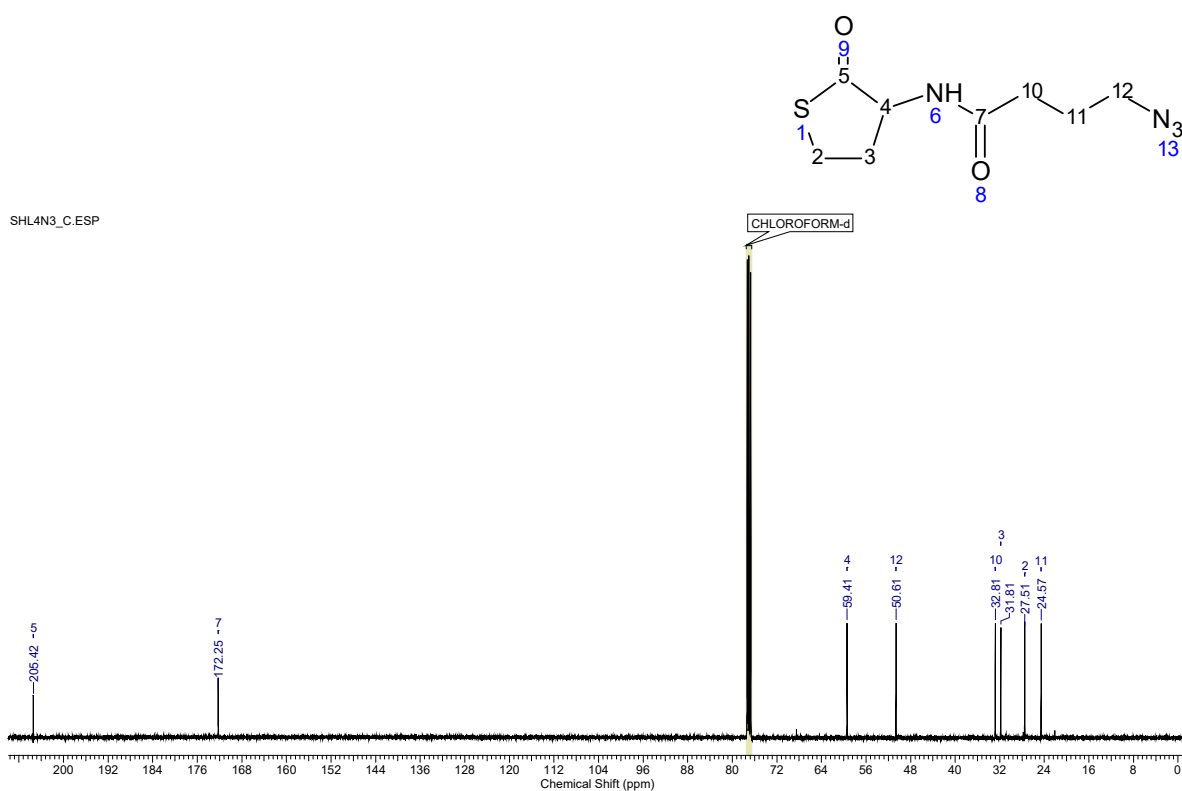
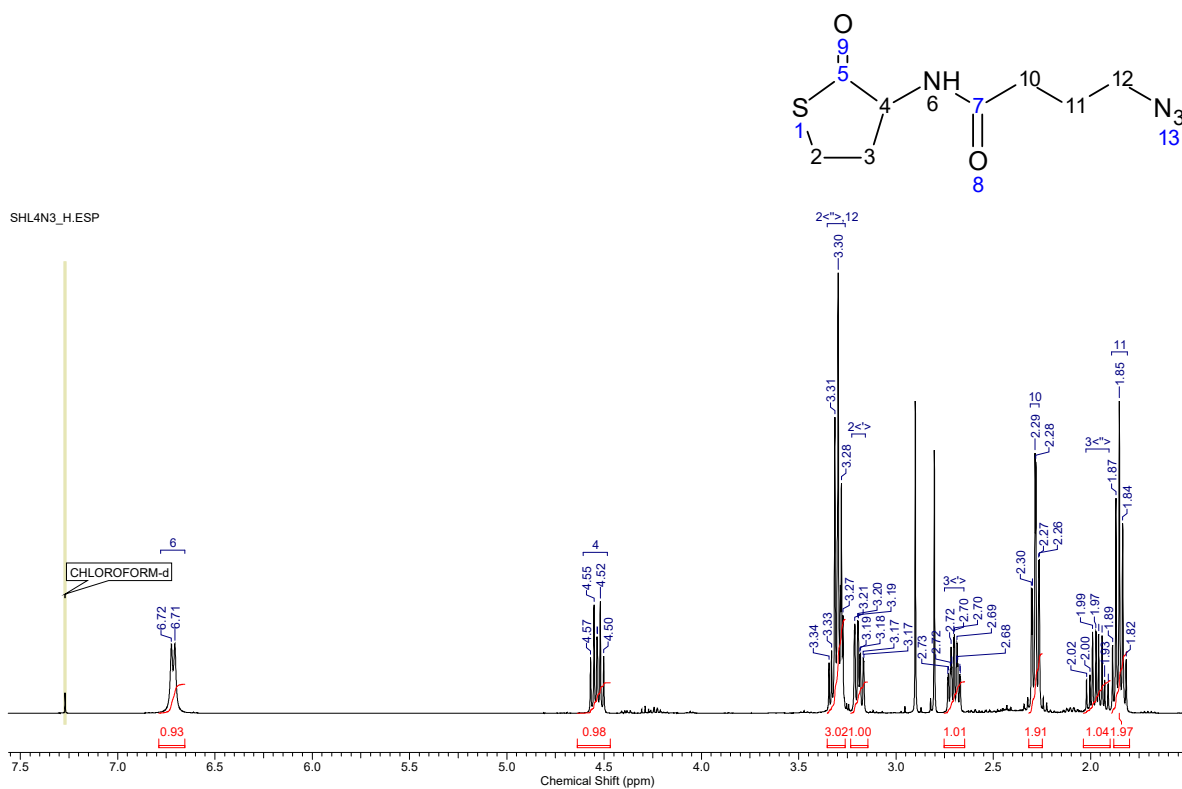
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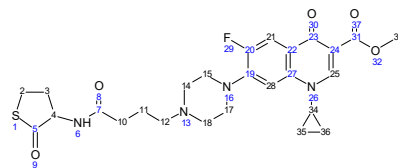
# 1.16 4-Bromo-*N*-(2-oxotetrahydrothiophen-3-yl)butanamide 133



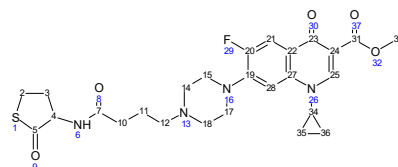
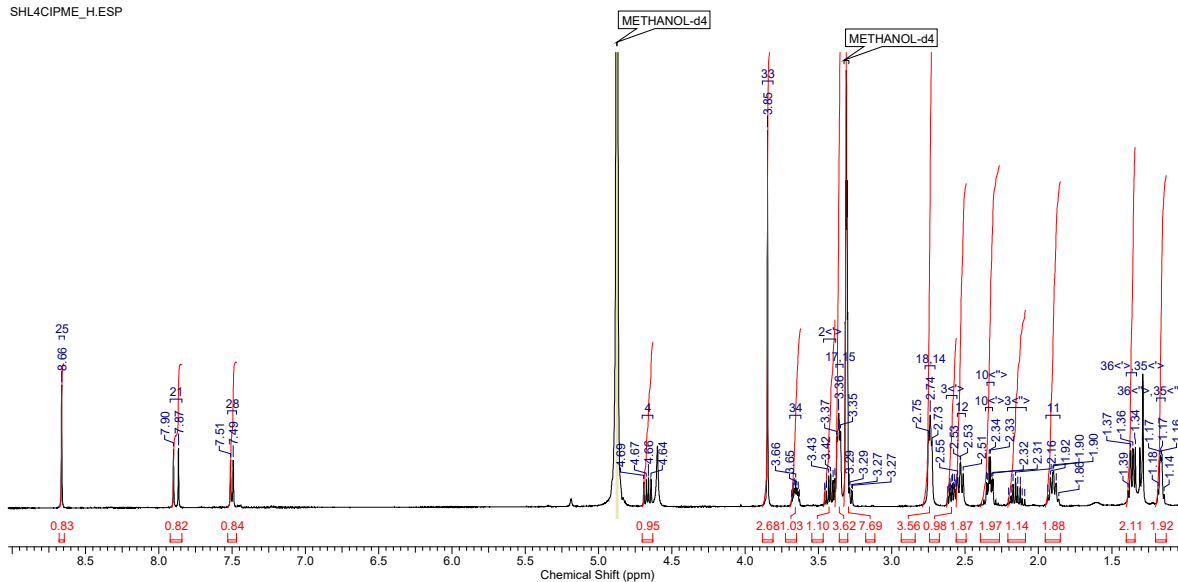
# 1.17 4-Azido-*N*-(2-oxotetrahydrothiophen-3-yl)butanamide 134



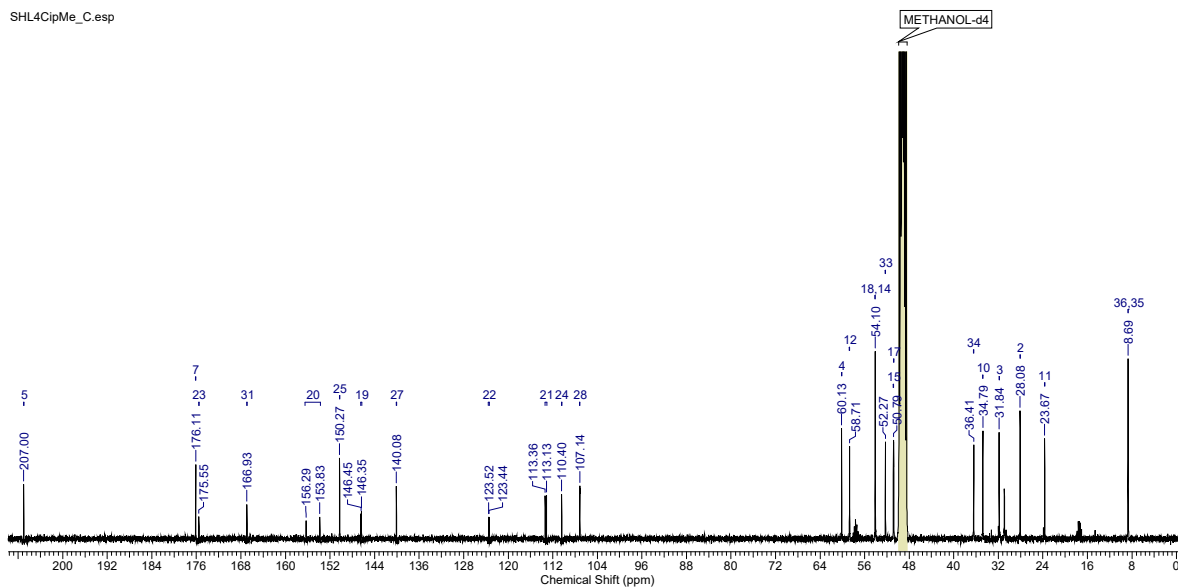
# 1.18 Methyl 1-cyclopropyl-6-fluoro-4-oxo-7-(4-(4-oxo-4-((2-oxotetrahydrothiophen-3-yl)amino)butyl)piperazin-1-yl)-1,4-dihydroquinoline-3-carboxylate 135



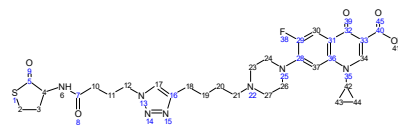
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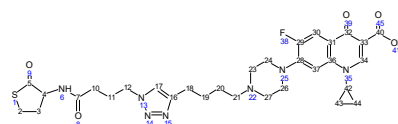
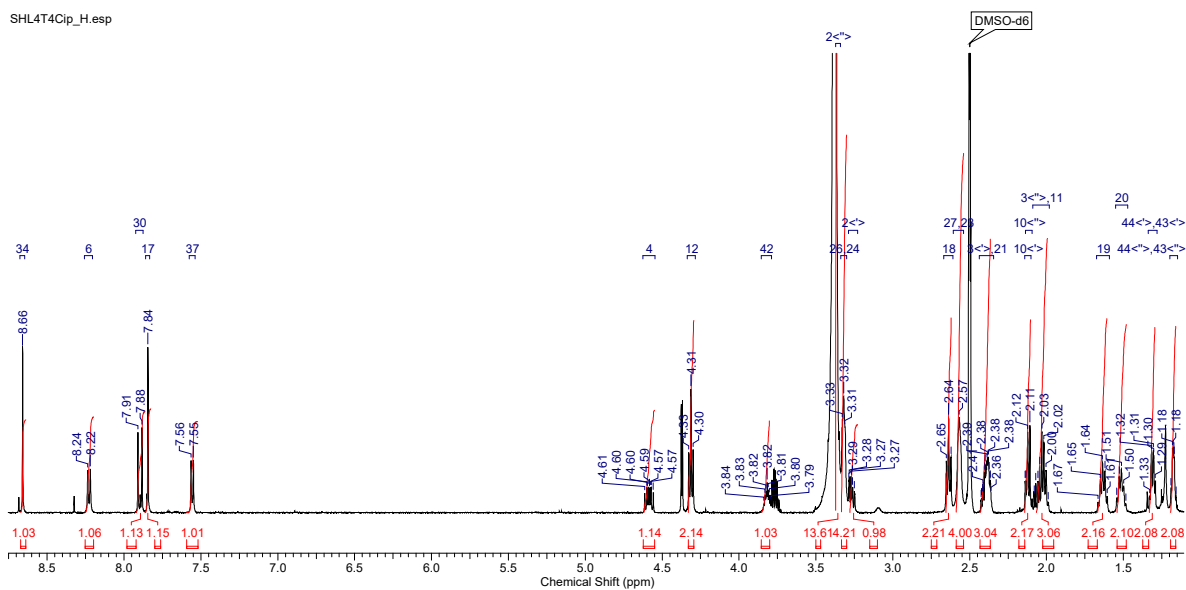
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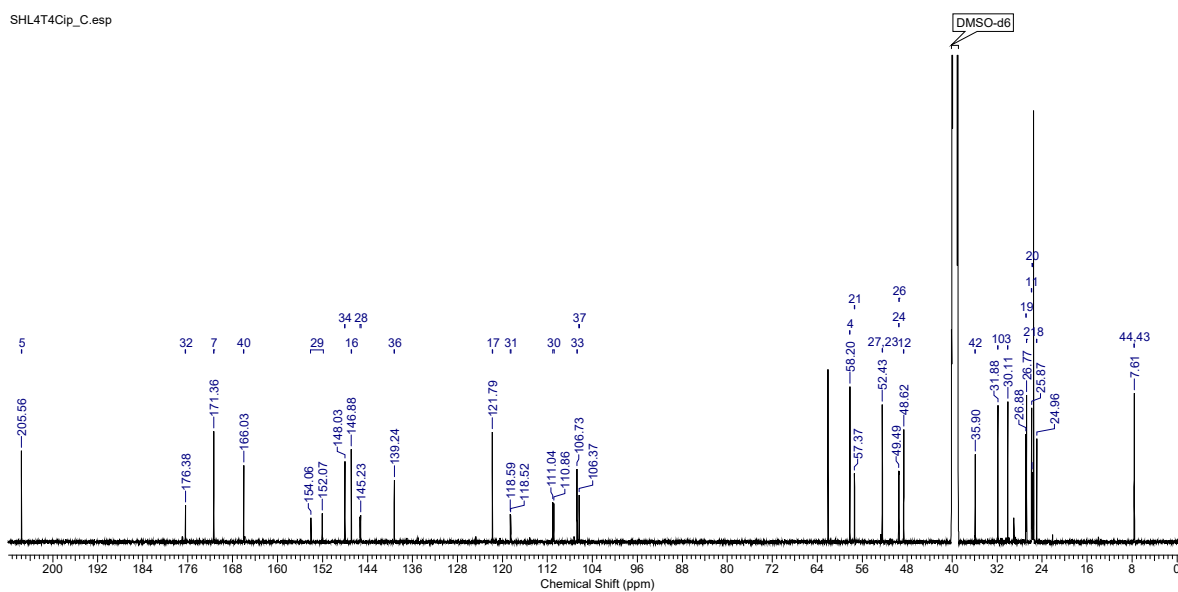
1.19 1-Cyclopropyl-6-fluoro-4-oxo-7-(4-(4-(1-(4-oxo-4-((2-oxotetrahydrothiophen-3-yl)amino)butyl)-1H-1,2,3-triazol-4-yl)butyl)piperazin-1-yl)-1,4-dihydroquinoline-3-carboxylic acid 136



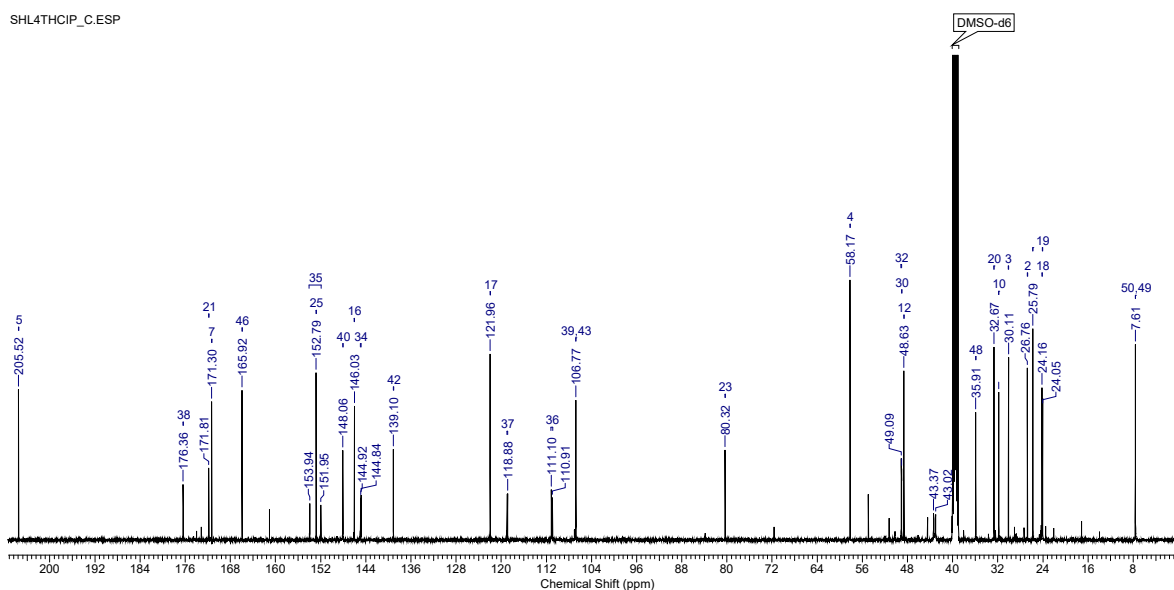
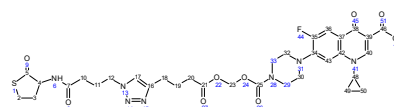
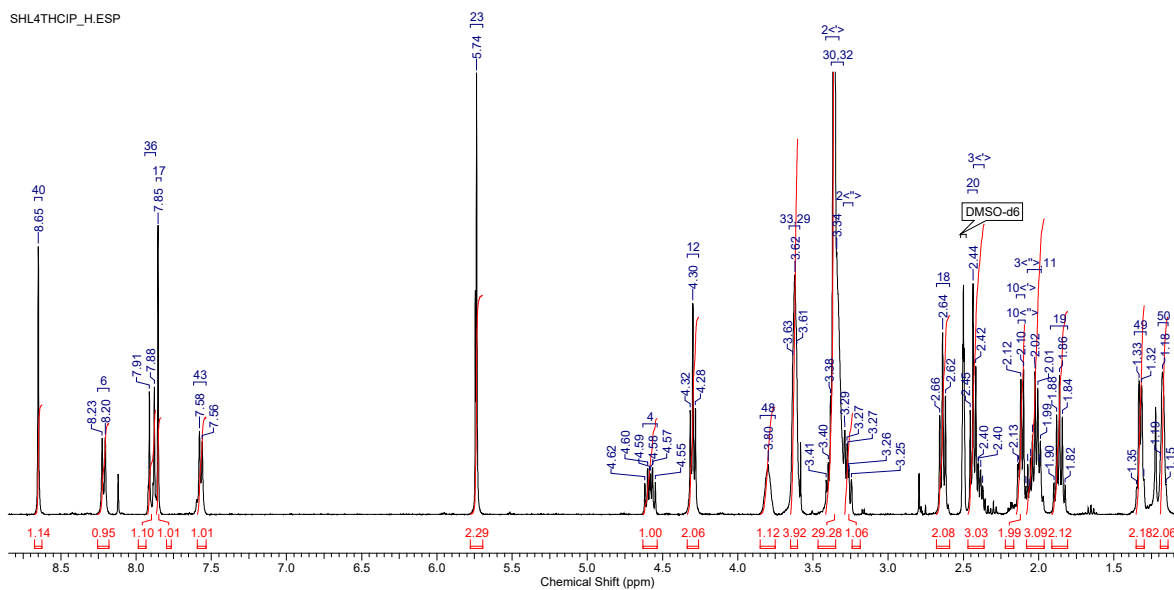
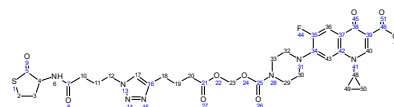
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SHL4T4Cip\_C.esp



1.20 1-Cyclopropyl-6-fluoro-4-oxo-7-(4-((((4-(1-(4-oxo-4-((2-oxotetrahydrothiophen-3-yl)amino)butyl)-1H-1,2,3-triazol-4-yl)butanoyl)oxy)methoxy)carbonyl)piperazin-1-yl)-1,4-dihydroquinoline-3-carboxylic acid 137



### 1.21 4-Bromo-*N*-(2-methoxyphenyl)butanamide 139

2Me0A4Br\_H.pdf

2Me0A4Br\_C.pdf

### 1.22 4-Bromo-*N*-(3-methoxyphenyl)butanamide 140

3Me0A4Br\_H.pdf

3Me0A4Br\_C.pdf

### 1.23 4-Azido-*N*-(3-methoxyphenyl)butanamide 141

3Me0A4N3\_H.pdf

3Me0A4N3\_C.pdf



**1.24 Methyl 1-cyclopropyl-6-fluoro-7-(4-(4-((2-methoxyphenyl)amino)-4-oxobutyl)-piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylate 142**

2Me0A4CipMe\_H.pdf

2Me0A4CipMe\_C.pdf

**1.25 Methyl 1-cyclopropyl-6-fluoro-7-(4-(4-((3-methoxyphenyl)amino)-4-oxobutyl)-piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylate 143**

3Me0A4CipMe\_H.pdf

3Me0A4CipMe\_C.pdf

**1.26 1-Cyclopropyl-6-fluoro-7-(4-(4-(1-(4-((2-methoxyphenyl)amino)-4-oxobutyl)-1H-1,2,3-triazol-4-yl)butyl)piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 144**

2Me0A4T4Cip\_H.pdf

2Me0A4T4Cip\_C.pdf

**1.27 1-Cyclopropyl-6-fluoro-7-(4-(4-(1-(4-((3-methoxyphenyl)amino)-4-oxobutyl)-1H-1,2,3-triazol-4-yl)butyl)piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 145**

3Me0A4T4Cip\_H.pdf

3Me0A4T4Cip\_C.pdf

**1.28 Methyl 7-(4-(4-(*tert*-butoxy)-4-oxobutyl)piperazin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylate 146**

tBu4CipMe\_H.pdf

tBu4CipMe\_C.pdf

**1.29 4-(4-(1-Cyclopropyl-6-fluoro-3-(methoxycarbonyl)-4-oxo-1,4-dihydroquinolin-7-yl)piperazin-1-yl)butanoic acid, trifluoroacetic acid salt 147**

H004CipMeTFA\_H.pdf

H004CipMeTFA\_C.pdf

**1.30 4-Chloro-*N*-((1*S*,2*S*)-2-hydroxycyclopentyl)butanamide 148**

H0cy5NH4Cl(SS)\_H.pdf

H0cy5NH4Cl(SS)\_C.pdf

**1.31 4-Chloro-*N*-((1*R*,2*R*)-2-hydroxycyclopentyl)butanamide 149**

H0cy5NH4Cl(RR)\_H.pdf

H0cy5NH4Cl(RR)\_C.pdf

**1.32 4-Azido-*N*-((1*R*,2*R*)-2-((*tert*-butyldimethylsilyl)oxy)cyclopentyl)butanamide**  
**150**

TBSOcy5NH4N3(RR)\_H.pdf

TBSOcy5NH4N3(RR)\_C.pdf



### 1.33 4-Azido-*N*-((1*S*,2*S*)-2-hydroxycyclopentyl)butanamide 151

H0cy5NH4N3(SS)\_H.pdf

H0cy5NH4N3(SS)\_C.pdf

### 1.34 4-Azido-*N*-((1*R*,2*R*)-2-hydroxycyclopentyl)butanamide 152

:H0cy5NH4N3(RR)\_H.pdf

:H0cy5NH4N3(RR)\_C.pdf

**1.35 Methyl 1-cyclopropyl-6-fluoro-7-(4-(4-(((1*S*,2*S*)-2-hydroxycyclopentyl)amino)-4-oxobutyl)piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylate 153**

H0cy5NH4CipMe(SS)\_H.pdf

H0cy5NH4CipMe(SS)\_C.pdf

**1.36 Methyl 1-cyclopropyl-6-fluoro-7-(4-(4-(((1*R*,2*R*)-2-hydroxycyclopentyl)amino)-4-oxobutyl)piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylate 154**

H0cy5NH4CipMe(RR)\_H.pdf

H0cy5NH4CipMe(RR)\_C.pdf

**1.37 Methyl (*R*)-1-cyclopropyl-6-fluoro-4-oxo-7-(4-(4-oxo-4-((2-oxocyclopentyl)amino)butyl)piperazin-1-yl)-1,4-dihydroquinoline-3-carboxylate 155**

Ocy5NH4CipMe(R)\_H.pdf

Ocy5NH4CipMe(R)\_C.pdf

**1.38 7-(4-(4-(1-(4-(((1*R*,2*R*)-2-((*tert*-butyldimethylsilyl)oxy)cyclopentyl)amino)-4-oxobutyl)-1*H*-1,2,3-triazol-4-yl)butyl)piperazin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 156**

TBSOcy5NH4T4Cip(RR)\_H.pdf

TBSOcy5NH4T4Cip(RR)\_C.pdf

**1.39 1-Cyclopropyl-6-fluoro-7-(4-(4-(1-(4-(((1*S*,2*S*)-2-hydroxycyclopentyl)amino)-4-oxobutyl)-1*H*-1,2,3-triazol-4-yl)butyl)piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 157**

H0cy5NH4T4Cip(SS)\_H.pdf

H0cy5NH4T4Cip(SS)\_C.pdf

**1.40 1-Cyclopropyl-6-fluoro-7-(4-(4-(1-(4-(((1*R*,2*R*)-2-hydroxycyclopentyl)amino)-4-oxobutyl)-1*H*-1,2,3-triazol-4-yl)butyl)piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 158**

H0cy5NH4T4Cip(RR)\_H.pdf

H0cy5NH4T4Cip(RR)\_C.pdf

**1.41 4-Chloro-*N*-((*trans*)-2-hydroxycyclohexyl)butanamide 159**

H0cy6NH4Cl\_H.pdf

H0cy6NH4Cl\_C.pdf

**1.42 4-Azido-*N*-((*trans*)-2-hydroxycyclohexyl)butanamide 160**

H0cy6NH4N3\_H.pdf

H0cy6NH4N3\_C.pdf



**1.43 Methyl 1-cyclopropyl-6-fluoro-7-(4-(4-(((*trans*)-2-hydroxycyclohexyl)amino)-4-oxobutyl)piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylate 161**

H0cy6NH4CipMe\_H.pdf

H0cy6NH4CipMe\_C.pdf

**1.44 Methyl 1-cyclopropyl-6-fluoro-4-oxo-7-(4-(4-oxo-4-((2-oxocyclohexyl)amino)-butyl)piperazin-1-yl)-1,4-dihydroquinoline-3-carboxylate 162**

Ocy6NH4CipMe\_H.pdf

Ocy6NH4CipMe\_C.pdf

**1.45 1-Cyclopropyl-6-fluoro-7-(4-(4-(1-(4-(((*trans*)-2-hydroxycyclohexyl)amino)-4-oxobutyl)-1H-1,2,3-triazol-4-yl)butyl)piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 163**

H0cy6NH4T4Cip\_H.pdf

H0cy6NH4T4Cip\_C.pdf

**1.46 1-Cyclopropyl-6-fluoro-4-oxo-7-(4-(4-(1-(4-oxo-4-((2-oxocyclohexyl)amino)butyl)-1H-1,2,3-triazol-4-yl)butyl)piperazin-1-yl)-1,4-dihydroquinoline-3-carboxylic acid 164**

Ocy6NH4T4Cip\_H.pdf

Ocy6NH4T4Cip\_C.pdf