

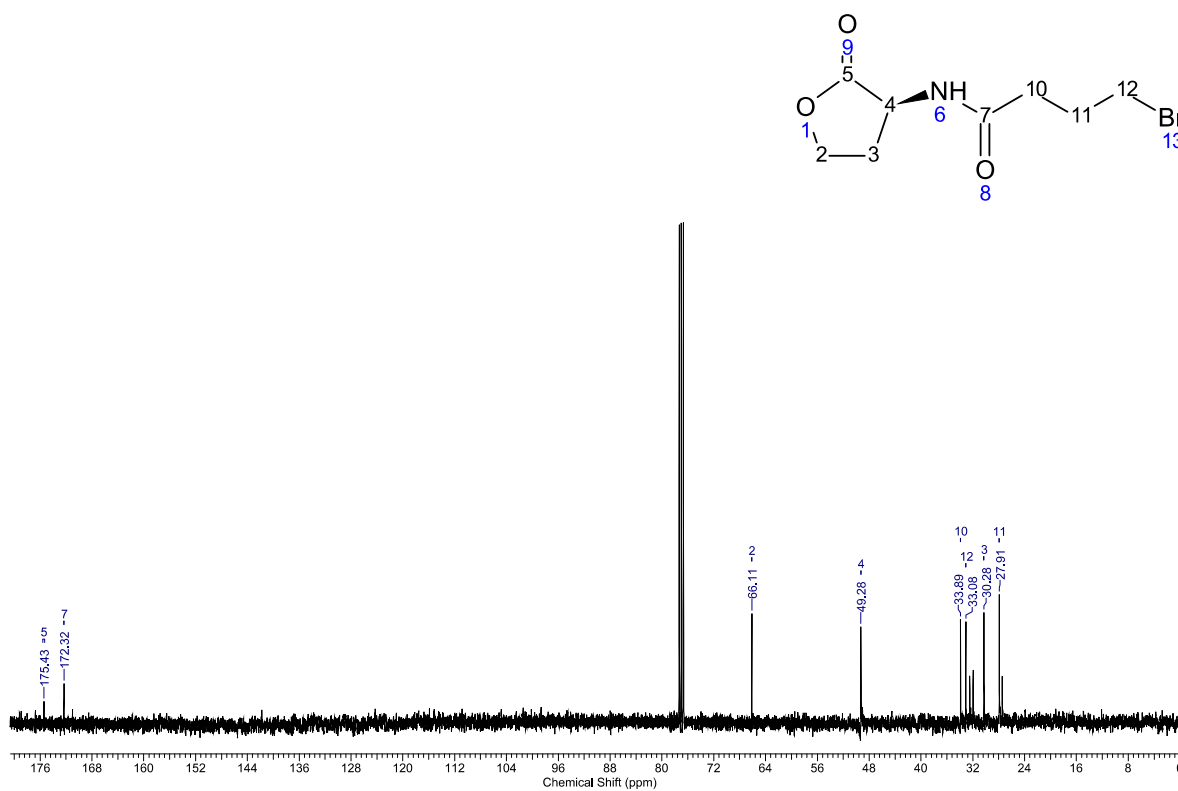
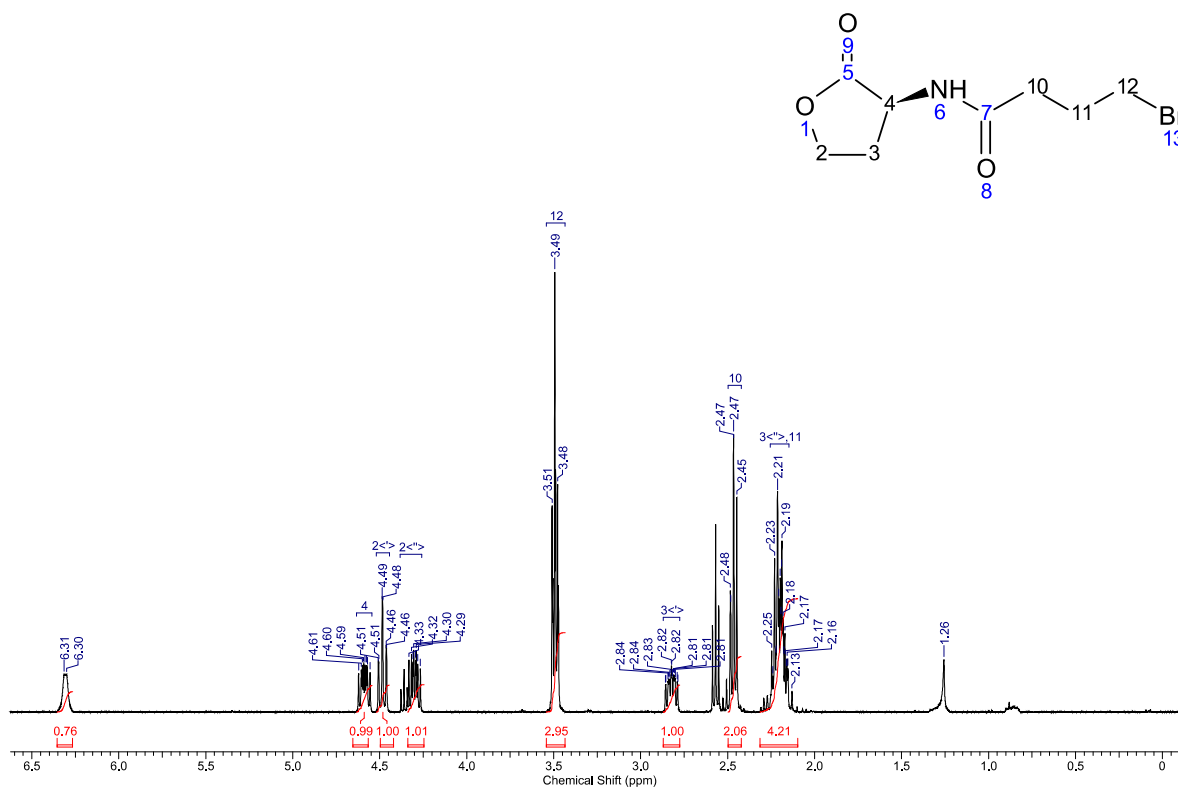
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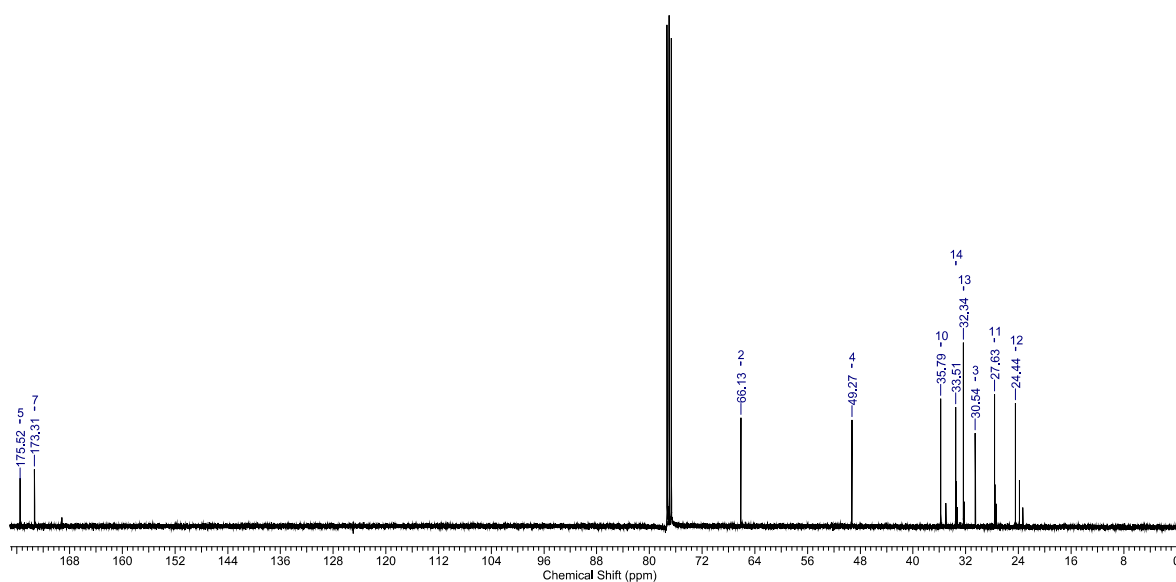
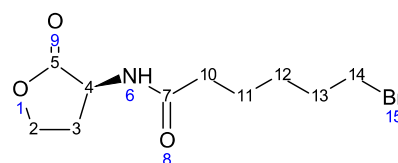
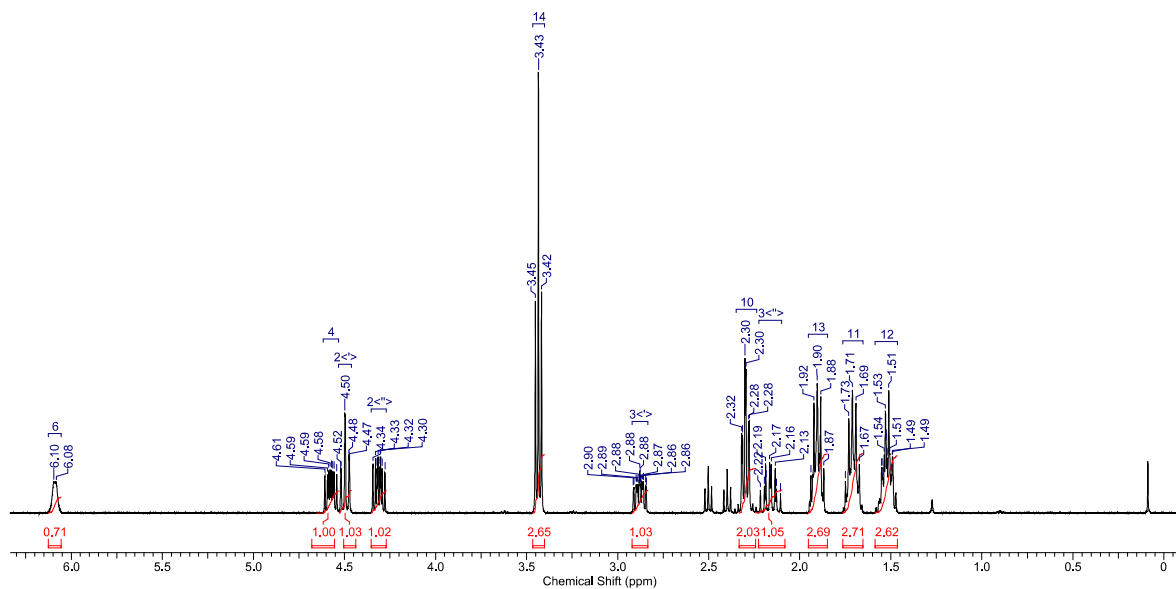
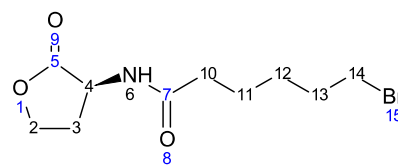
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1 NMR spectra

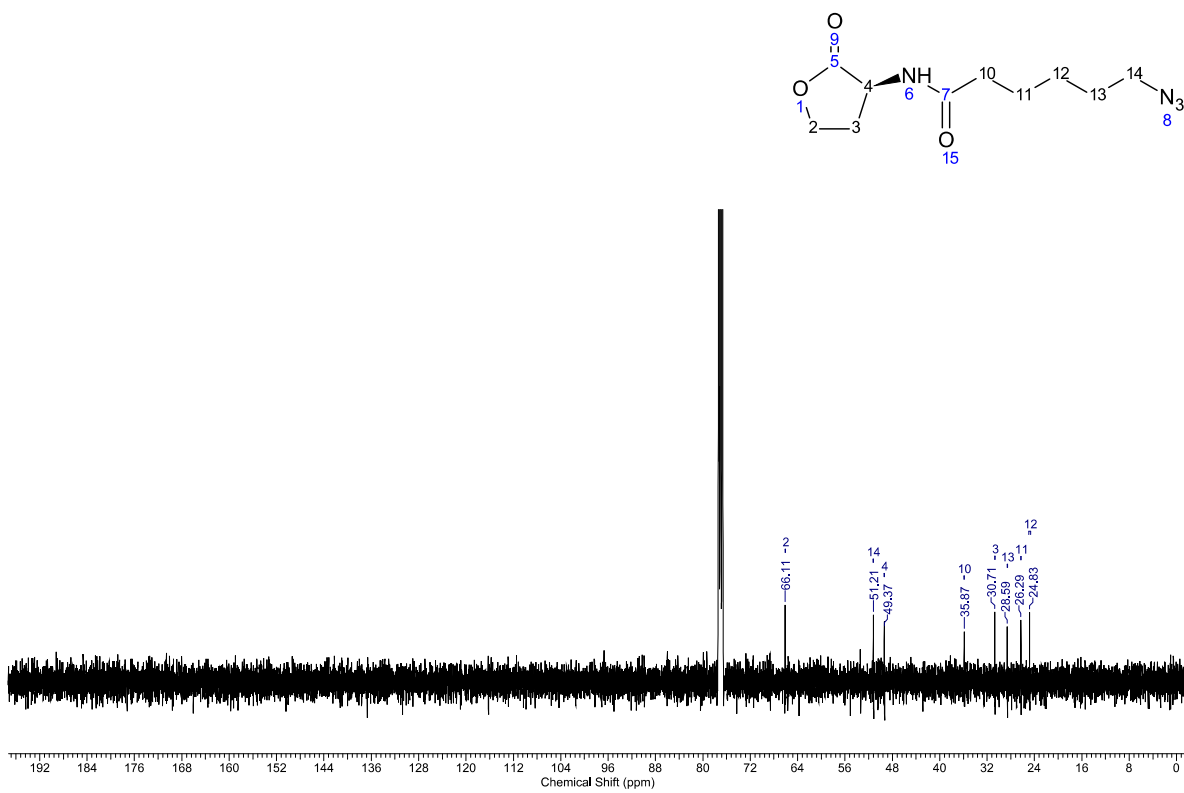
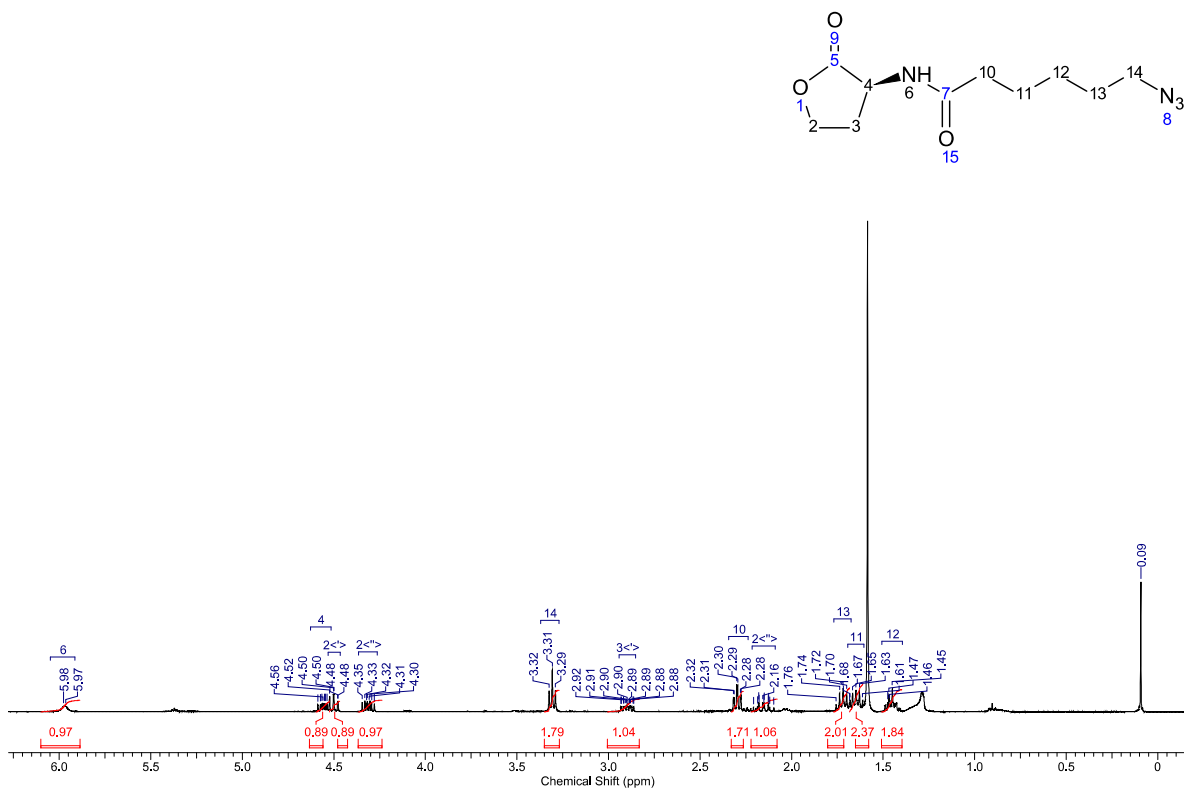
1.1 (*S*)-4-Bromo-*N*-(2-oxotetrahydrofuran-3-yl)butanamide 44



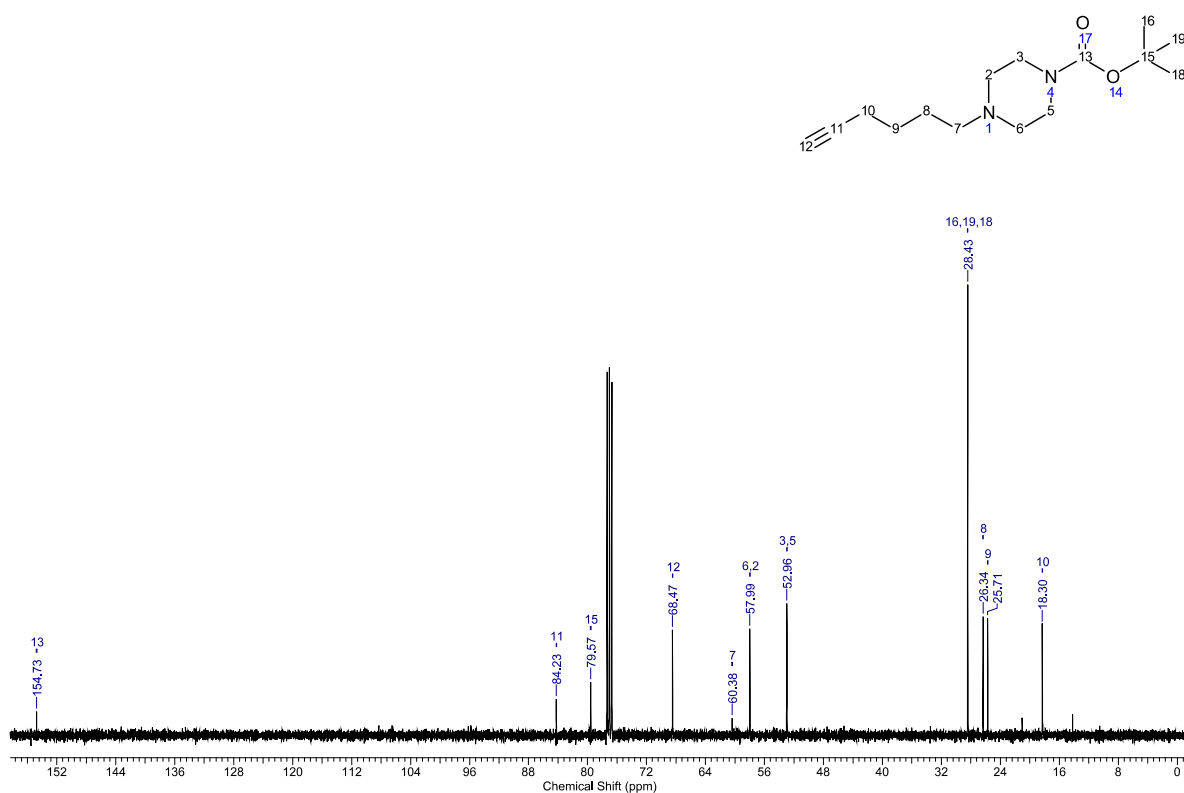
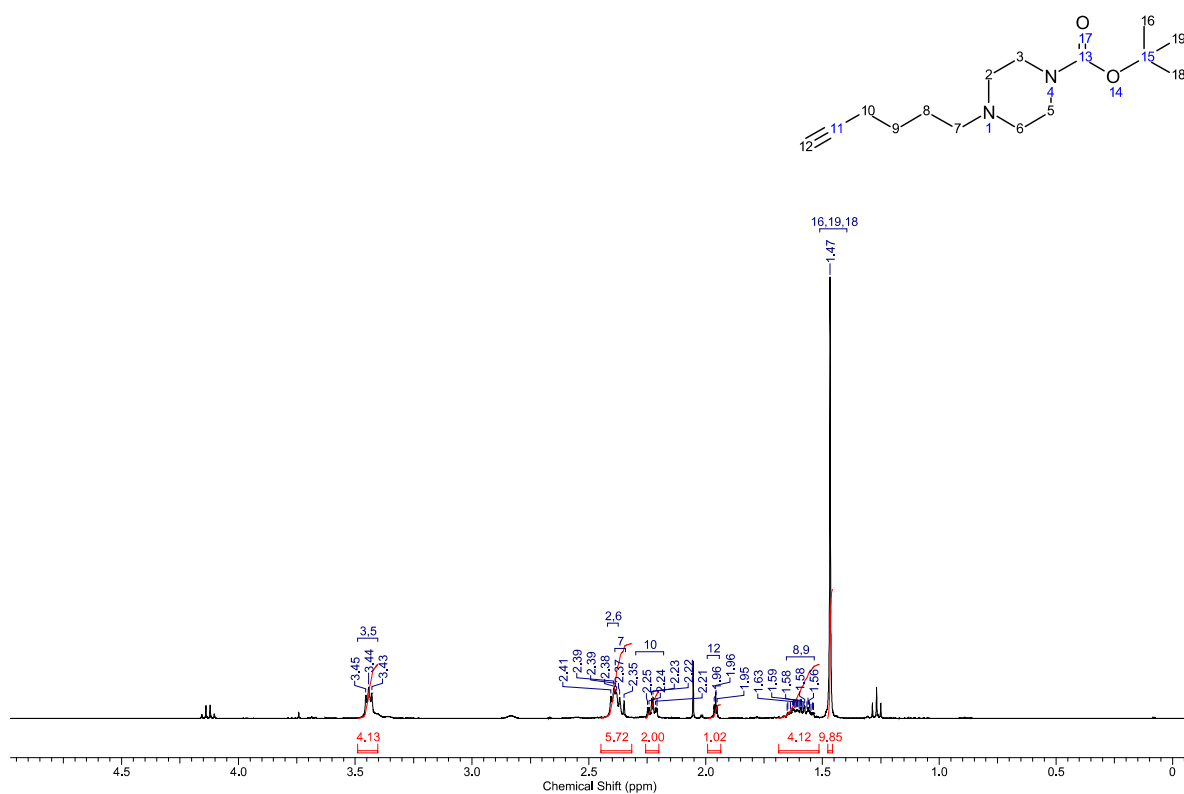
1.2 (*S*)-6-Bromo-*N*-(2-oxotetrahydrofuran-3-yl)hexanamide 45



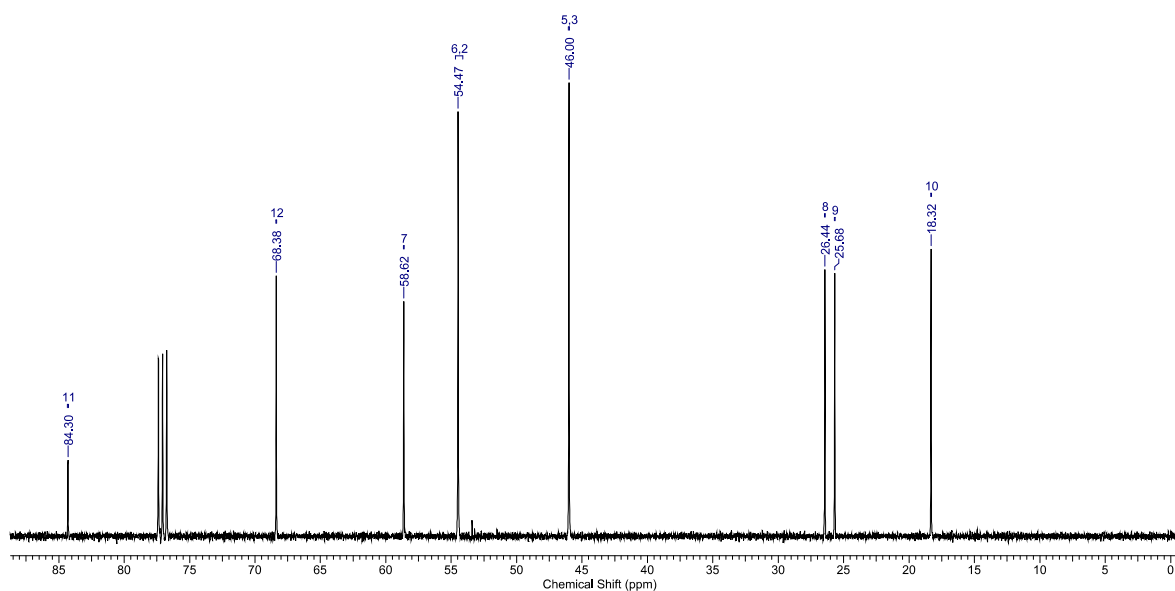
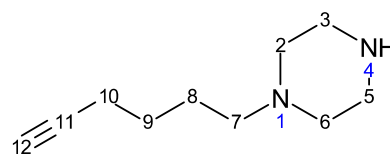
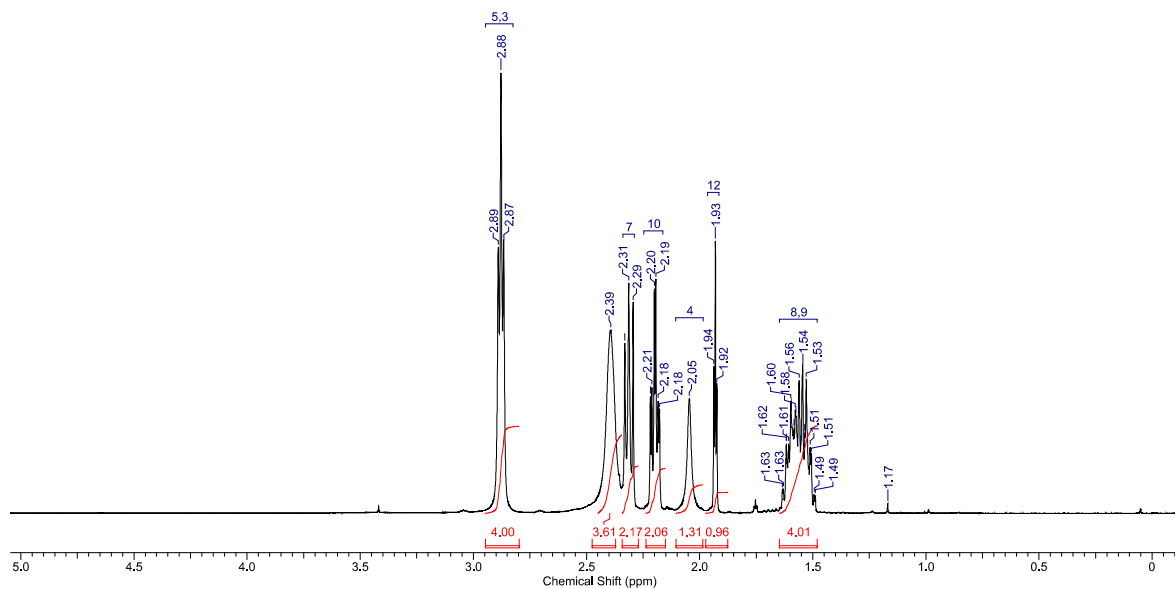
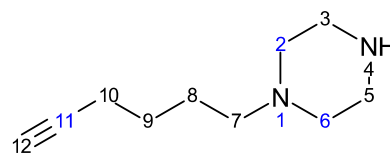
1.3 (S)-6-Azido-N-(2-oxotetrahydrofuran-3-yl)hexanamide 47



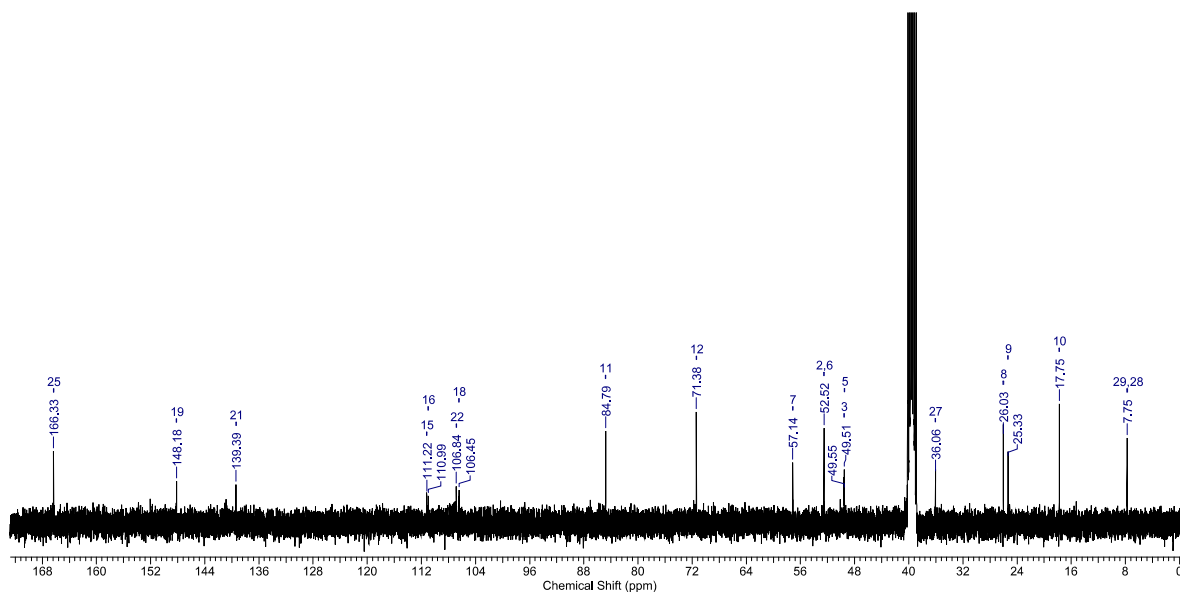
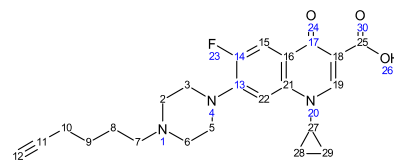
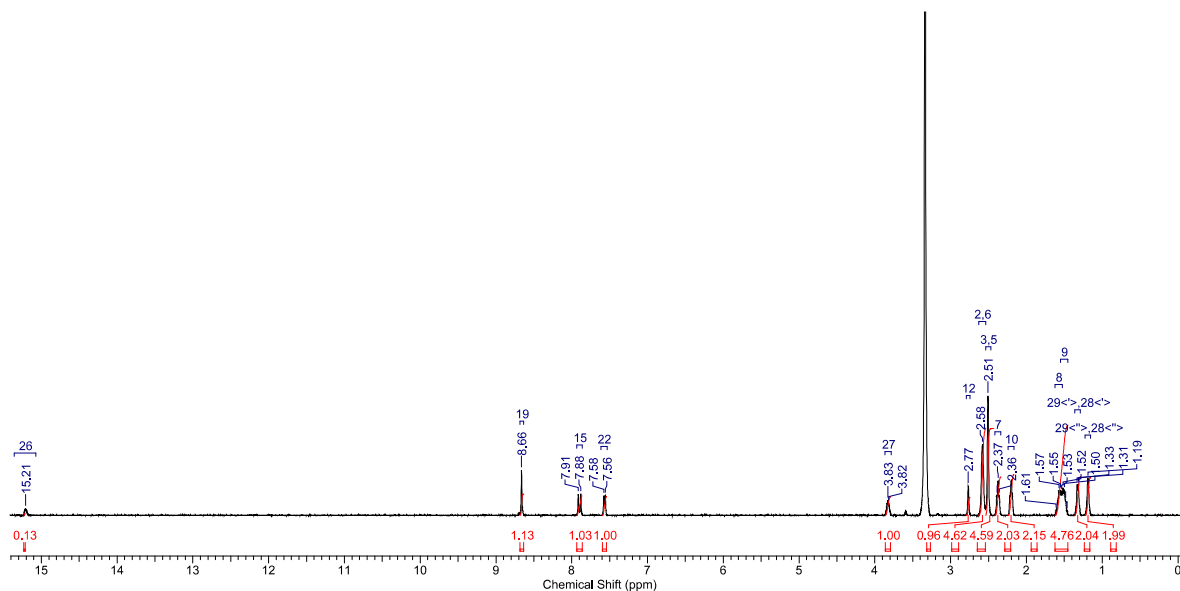
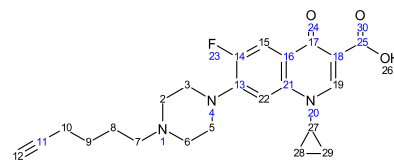
1.4 *tert*-Butyl 4-(hex-5-yn-1-yl)piperazine-1-carboxylate 51



1.5 1-(Hex-5-yn-1-yl)piperazine 52



1.6 1-Cyclopropyl-6-fluoro-7-(4-(hex-5-yn-1-yl)piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 54



1.7 5-(4-(Hex-5-yn-1-yloxy)-3,5-dimethoxybenzyl)pyrimidine-2,4-diamine 124

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

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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

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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

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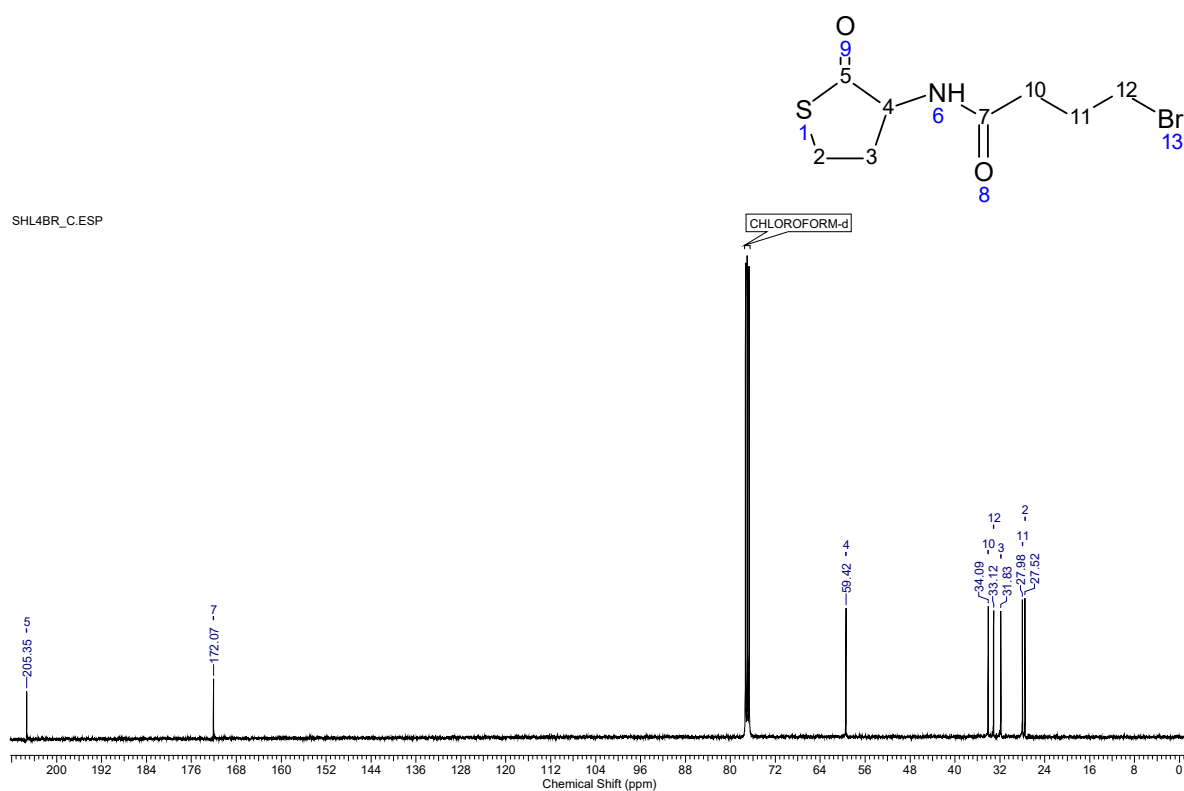
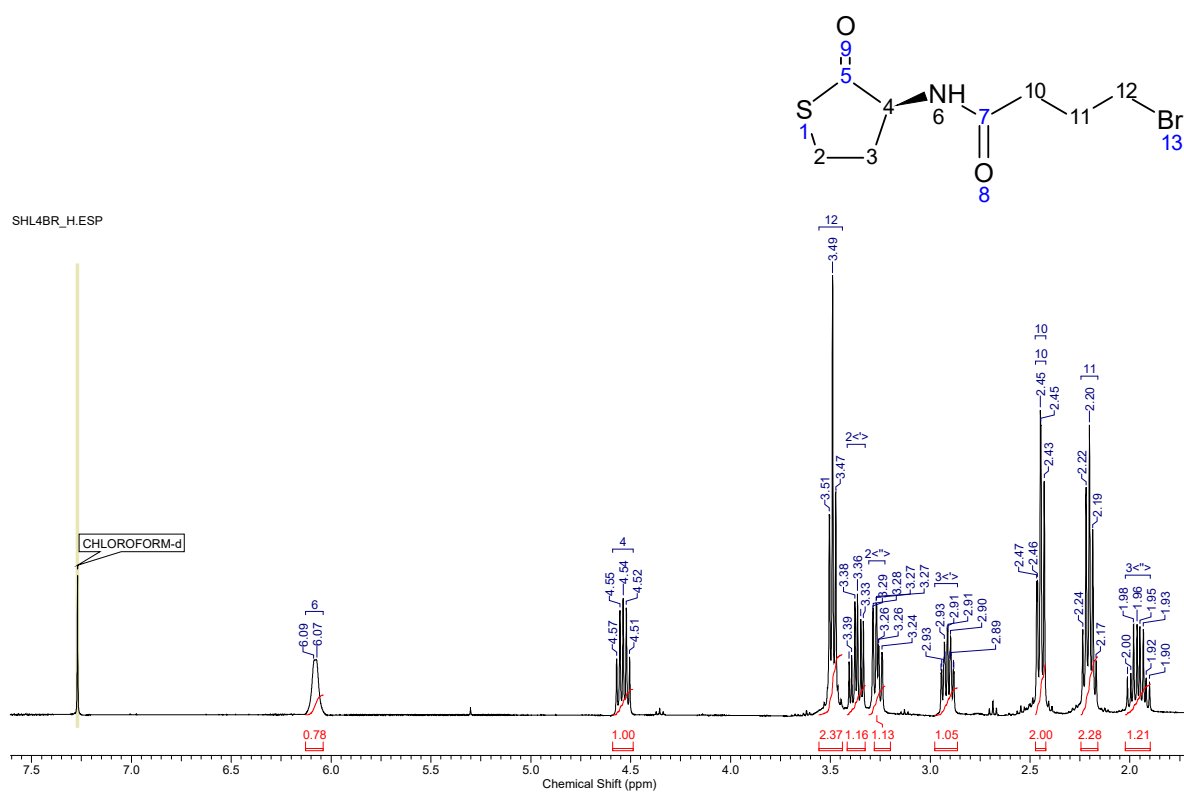
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1.15 2-(6-(4-(4-(4-((2,4-Diaminopyrimidin-5-yl)methyl)-2,6-dimethoxyphenoxy)butyl)-1*H*-1,2,3-triazol-1-yl)hexyl)-3-hydroxyquinolin-4(1*H*)-one 132

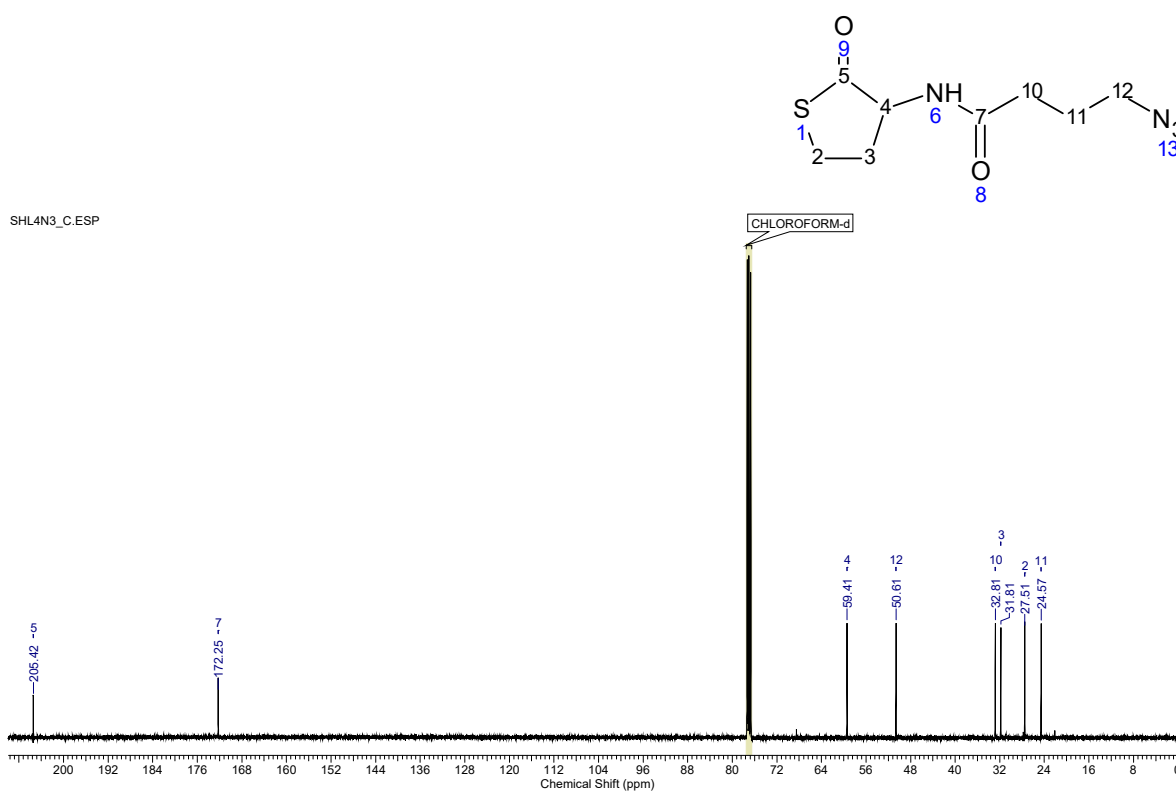
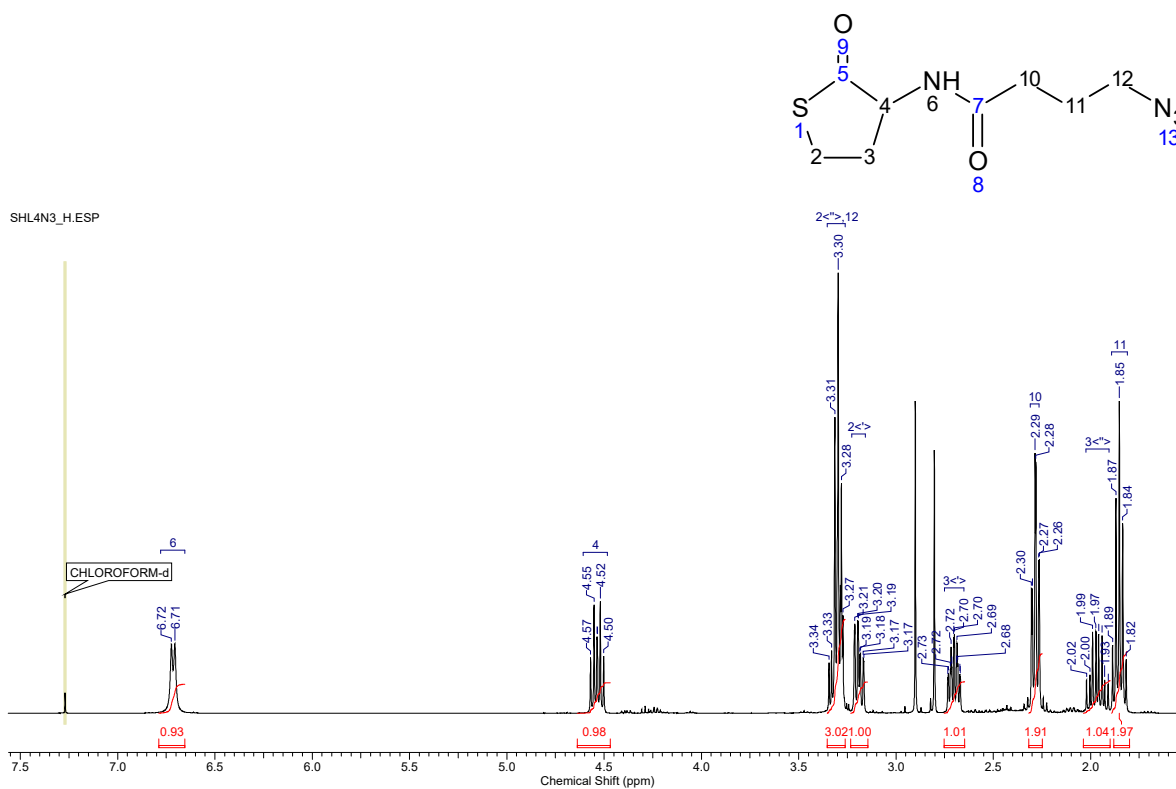
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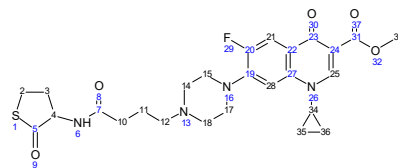
1.16 4-Bromo-*N*-(2-oxotetrahydrothiophen-3-yl)butanamide 133



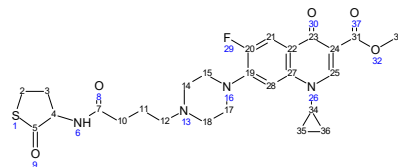
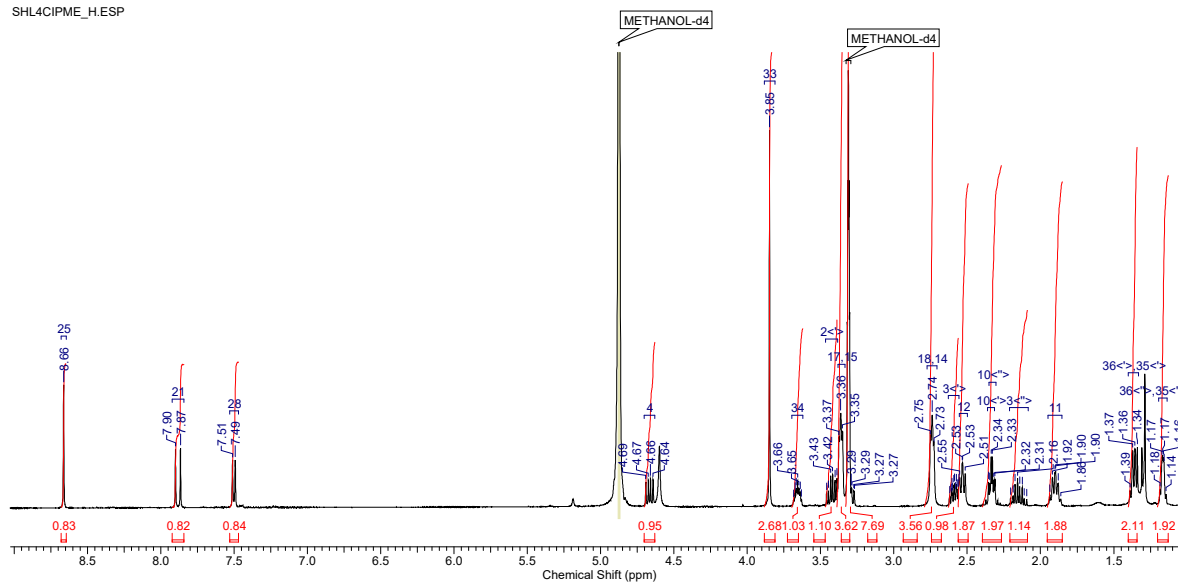
1.17 4-Azido-*N*-(2-oxotetrahydrothiophen-3-yl)butanamide 134



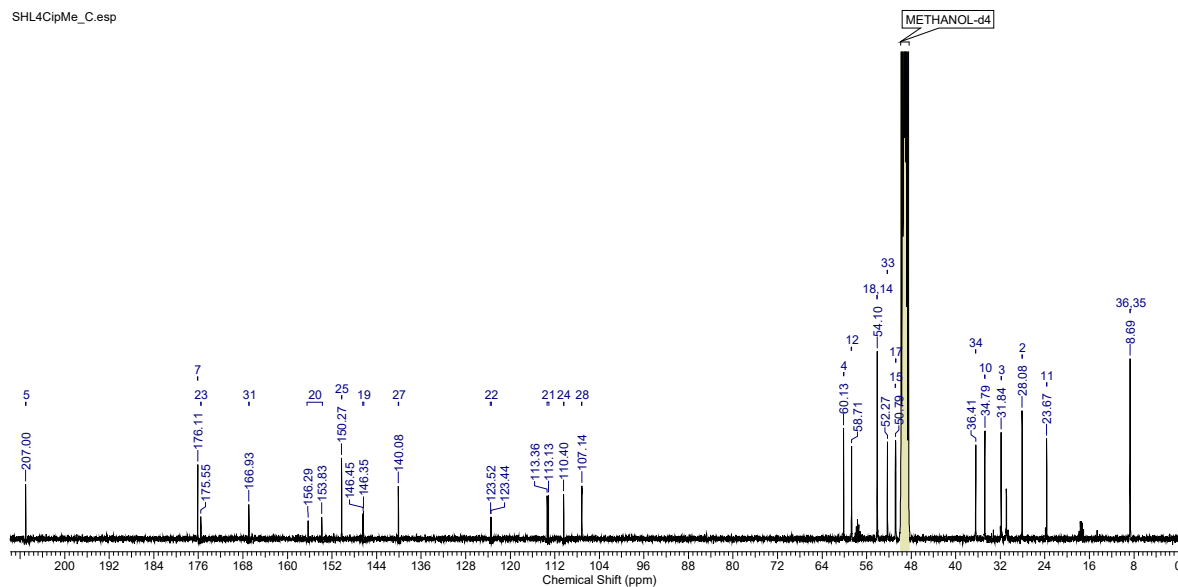
3-yl)amino)butyl)piperazin-1-yl)-1,4-dihydroquinoline-3-carboxylate 135



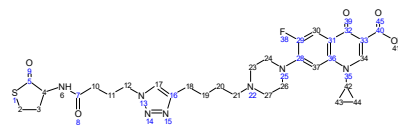
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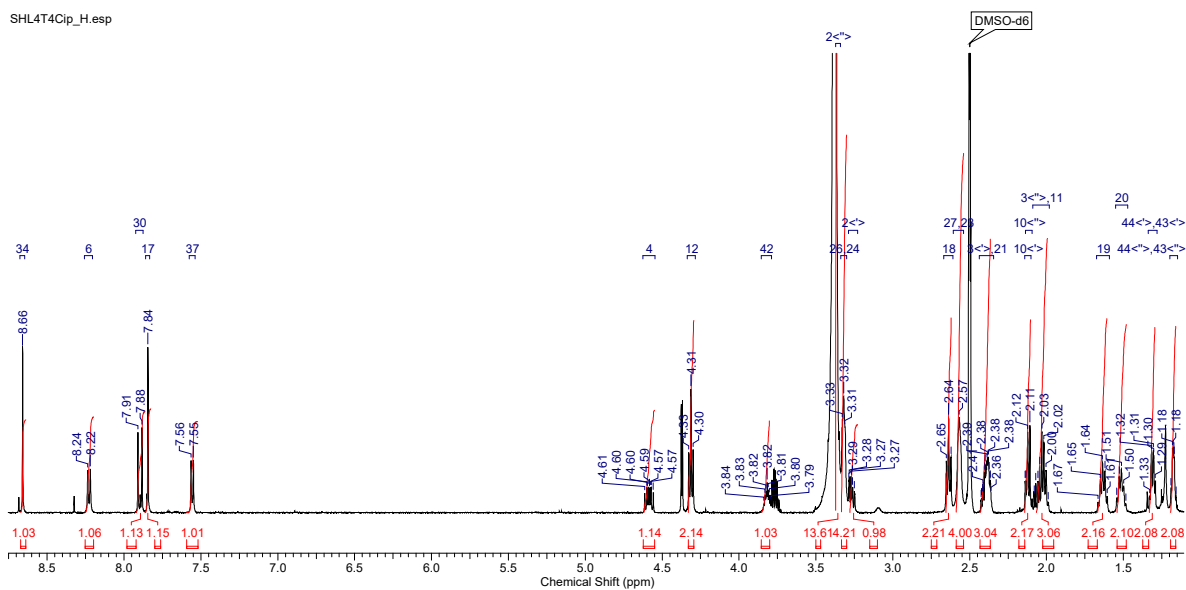
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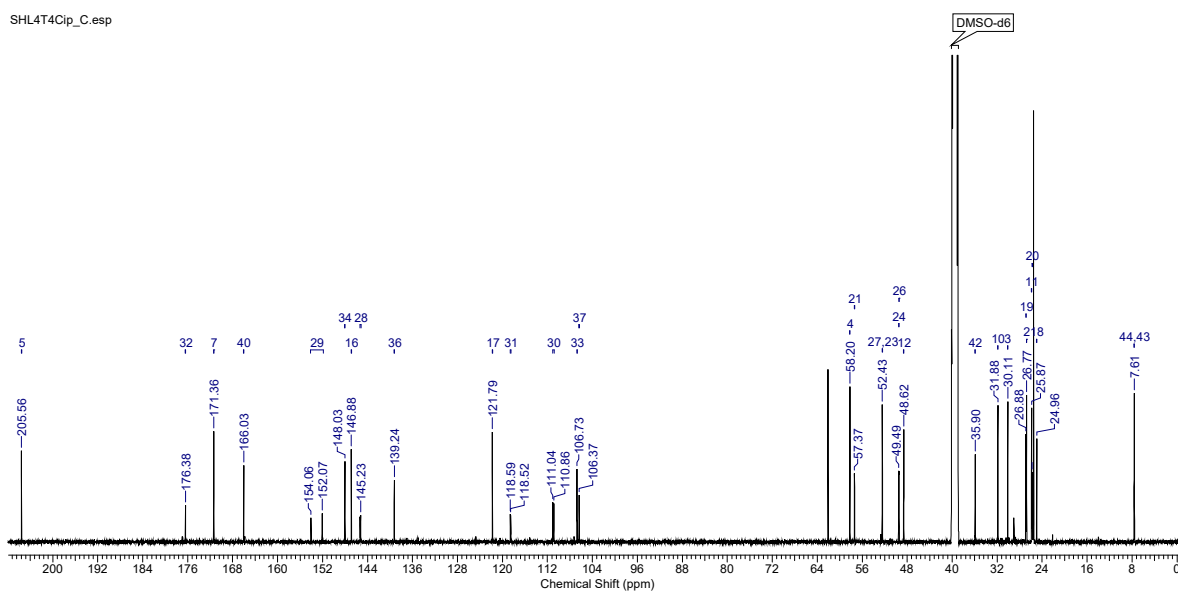
1.19 1-Cyclopropyl-6-fluoro-4-oxo-7-(4-(4-(1-(4-oxo-4-((2-oxotetrahydrothiophen-3-yl)amino)butyl)-1H-1,2,3-triazol-4-yl)butyl)piperazin-1-yl)-1,4-dihydroquinoline-3-carboxylic acid 136



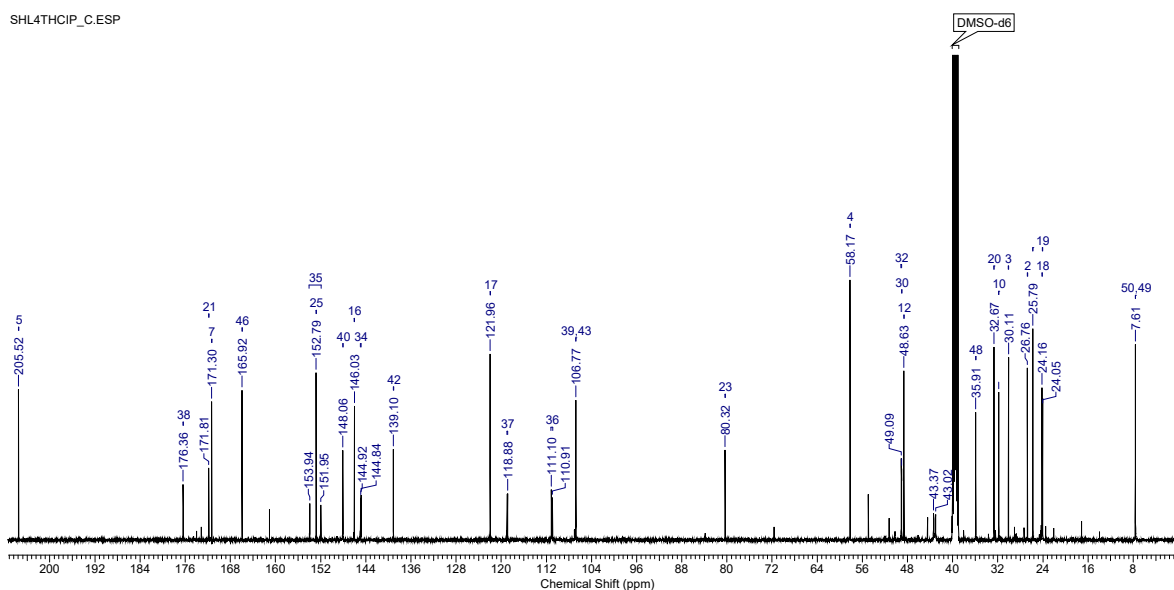
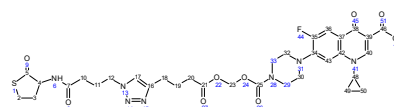
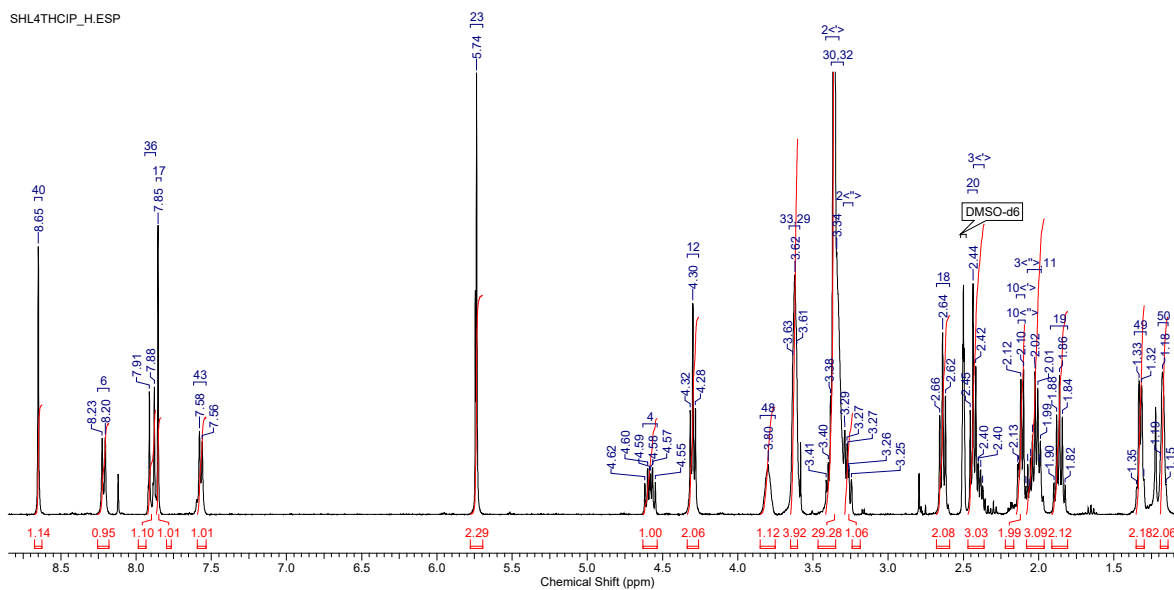
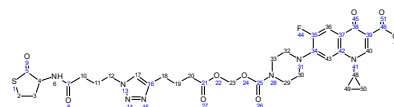
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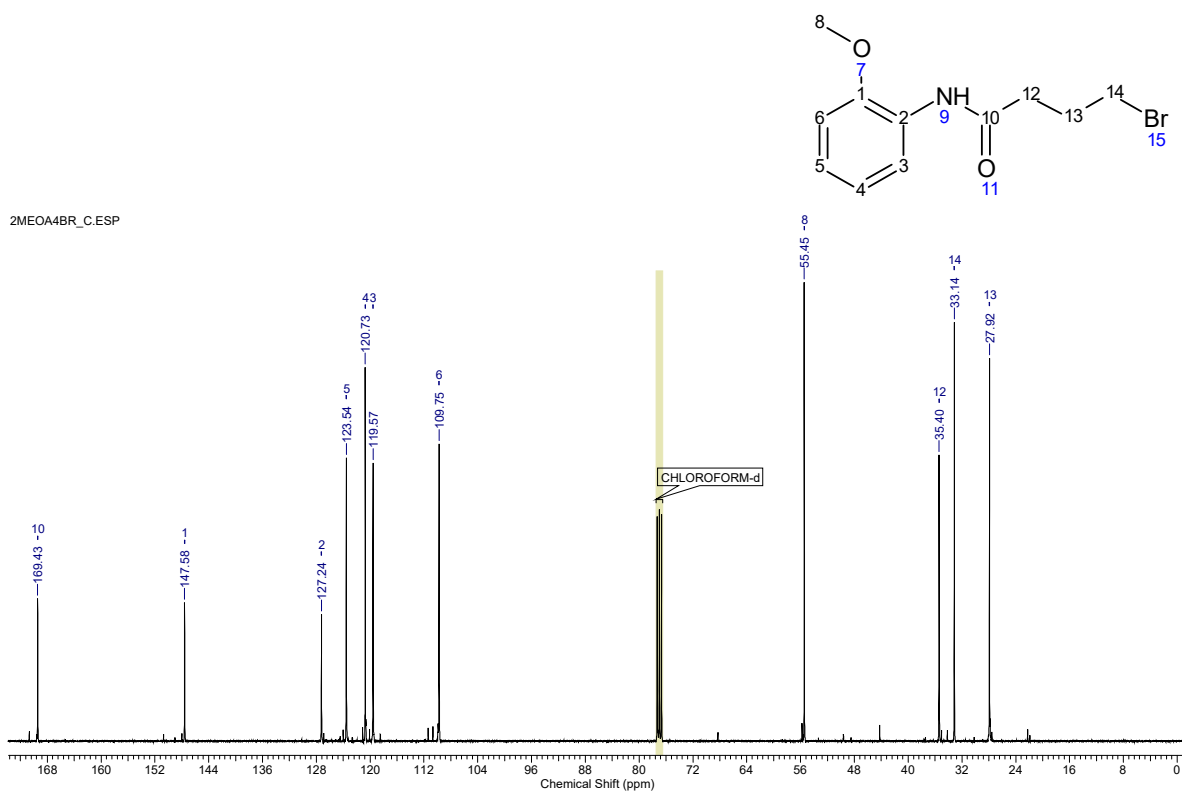
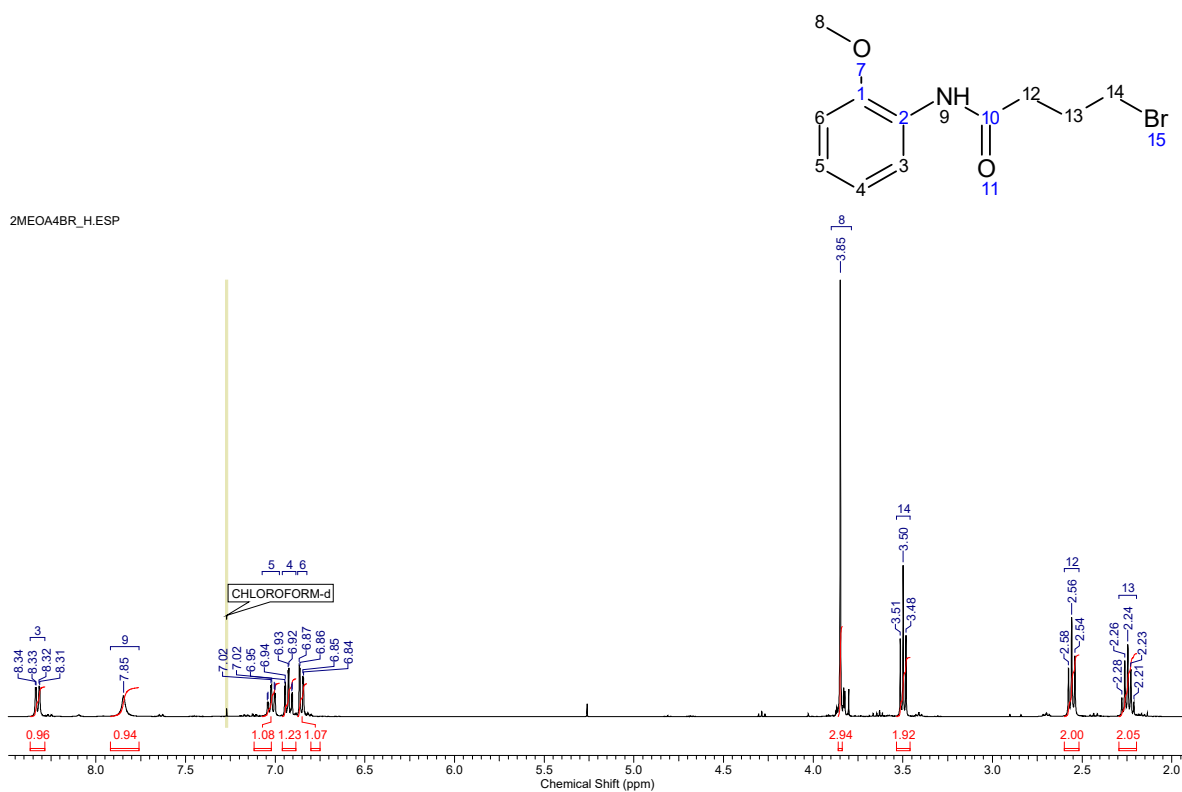
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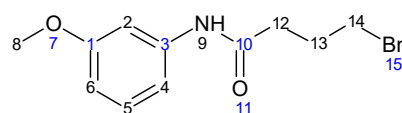
1.20 1-Cyclopropyl-6-fluoro-4-oxo-7-(4-((((4-(1-(4-oxo-4-((2-oxotetrahydrothiophen-3-yl)amino)butyl)-1H-1,2,3-triazol-4-yl)butanoyl)oxy)methoxy)carbonyl)piperazin-1-yl)-1,4-dihydroquinoline-3-carboxylic acid 137



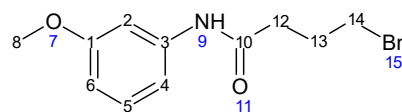
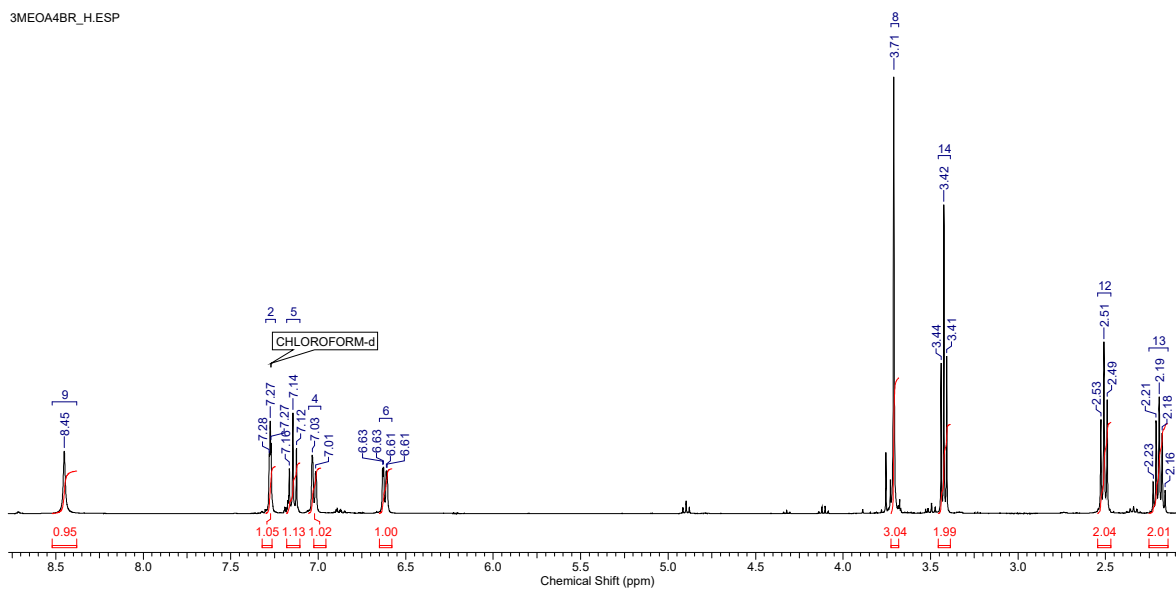
1.21 4-Bromo-*N*-(2-methoxyphenyl)butanamide 139



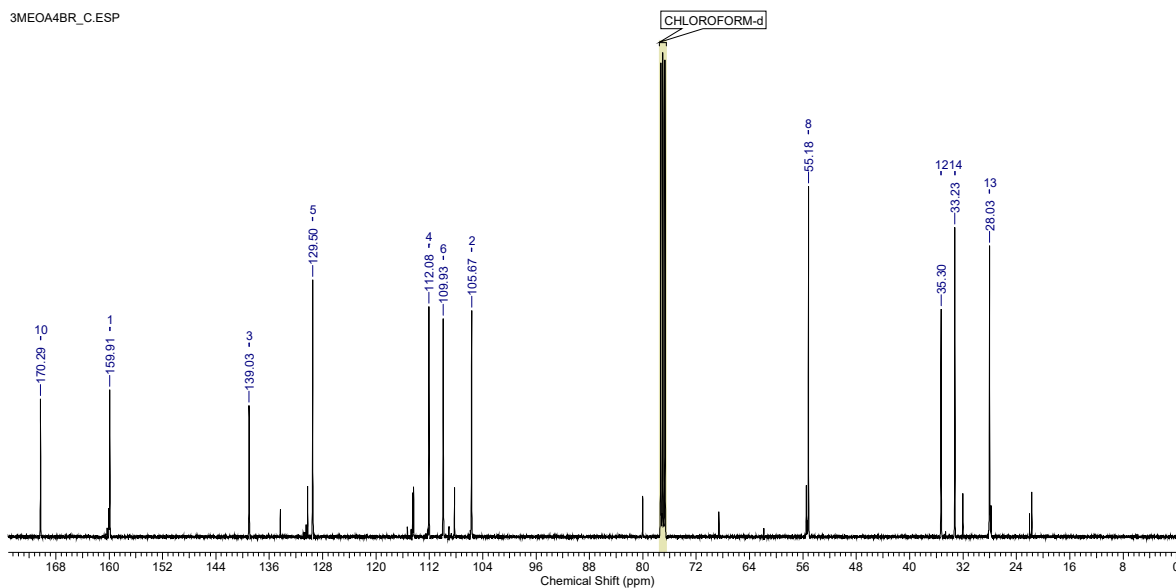
1.22 4-Bromo-*N*-(3-methoxyphenyl)butanamide 140



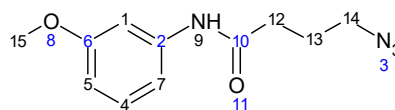
3MEOA4BR_H.ESP



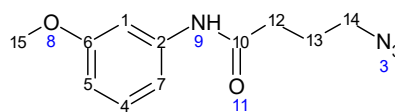
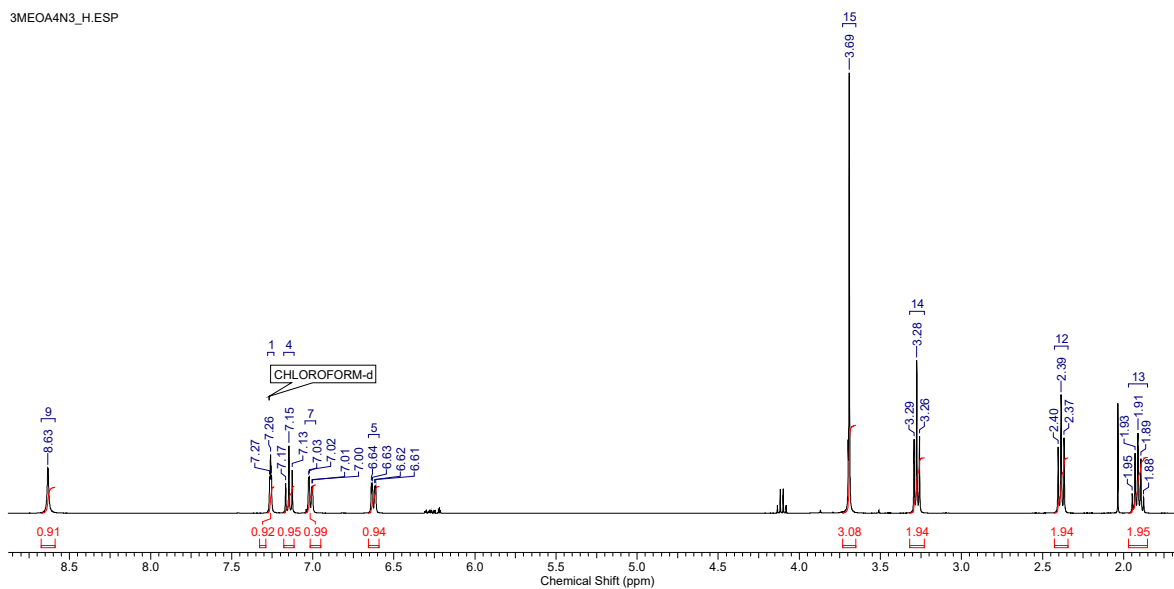
3MEOA4BR_C.ESP



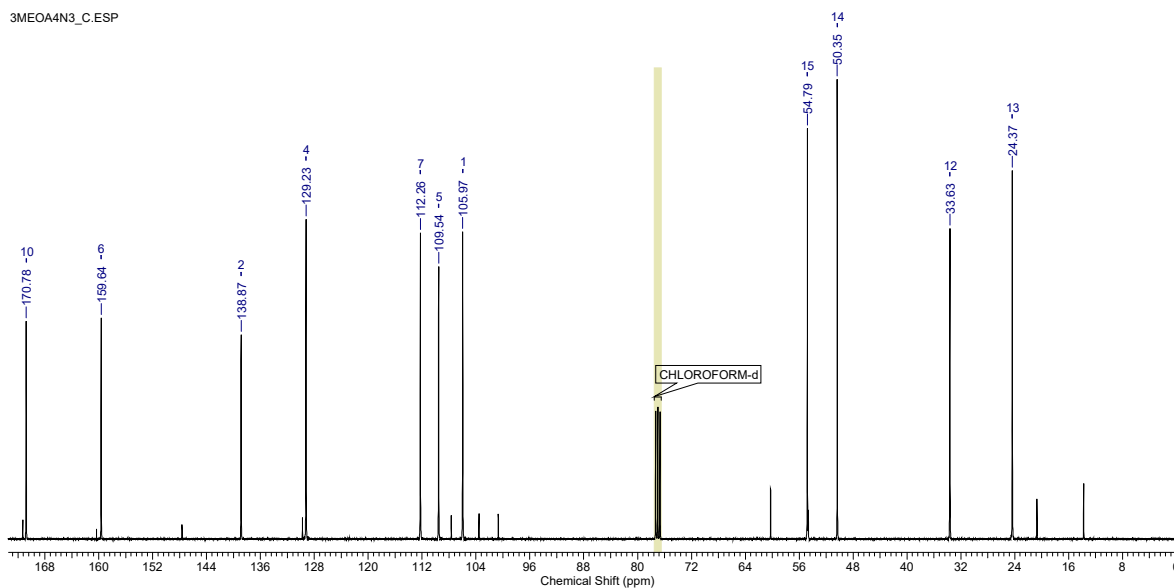
1.23 4-Azido-*N*-(3-methoxyphenyl)butanamide 141



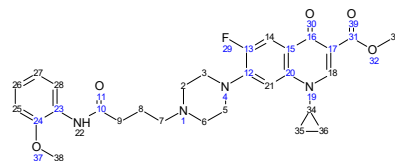
3MEOA4N3_H.ESP



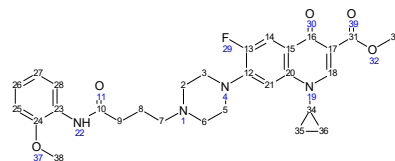
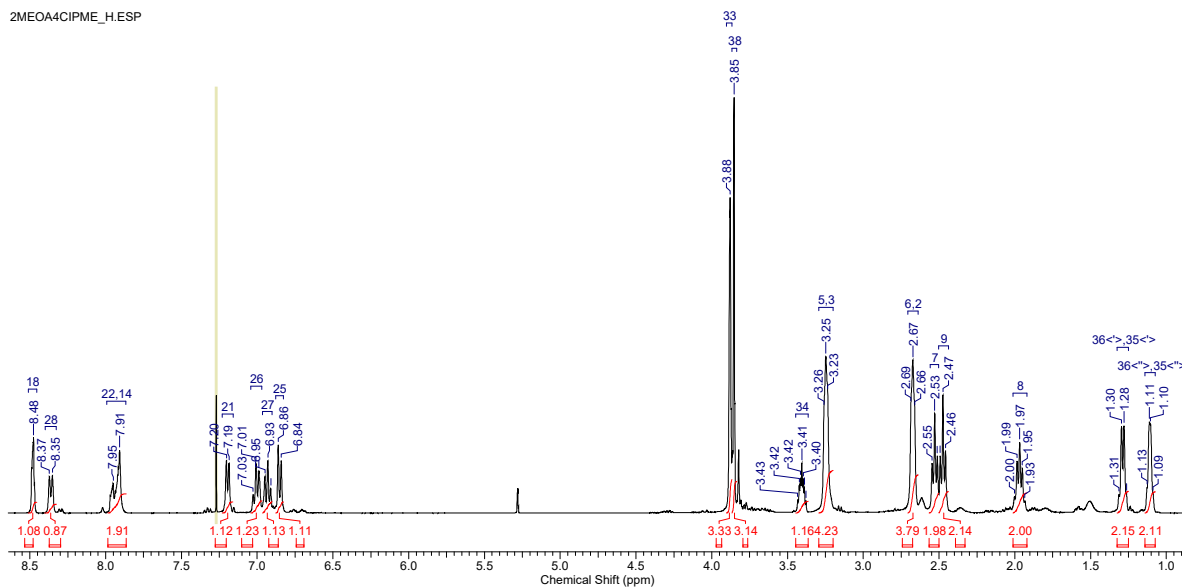
3MEOA4N3_C.ESP



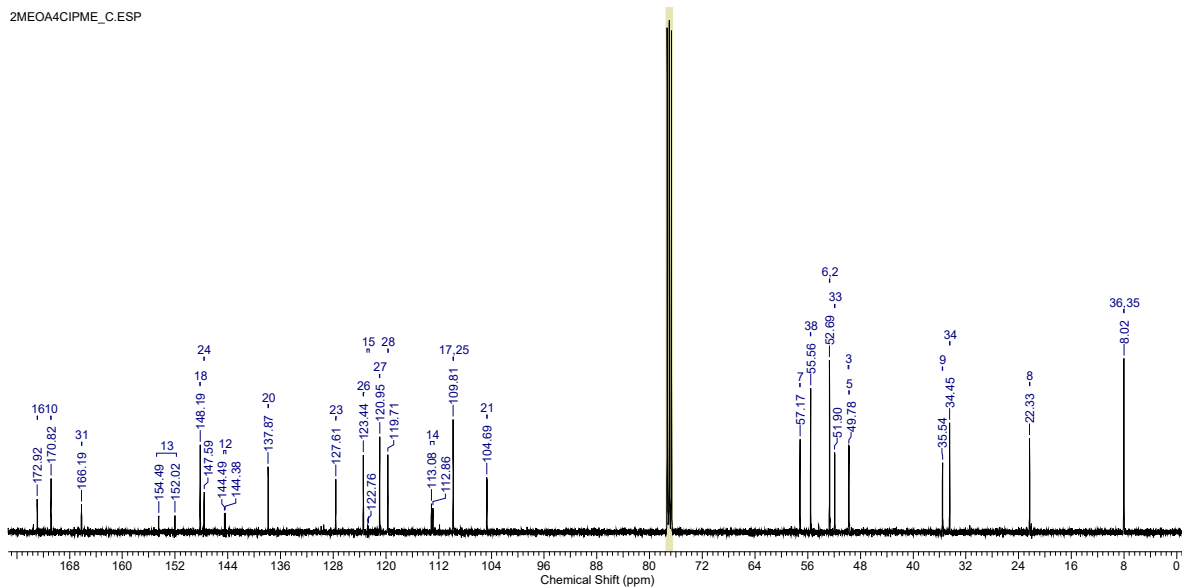
1.24 Methyl 1-cyclopropyl-6-fluoro-7-(4-(4-((2-methoxyphenyl)amino)-4-oxobutyl)-piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylate 142



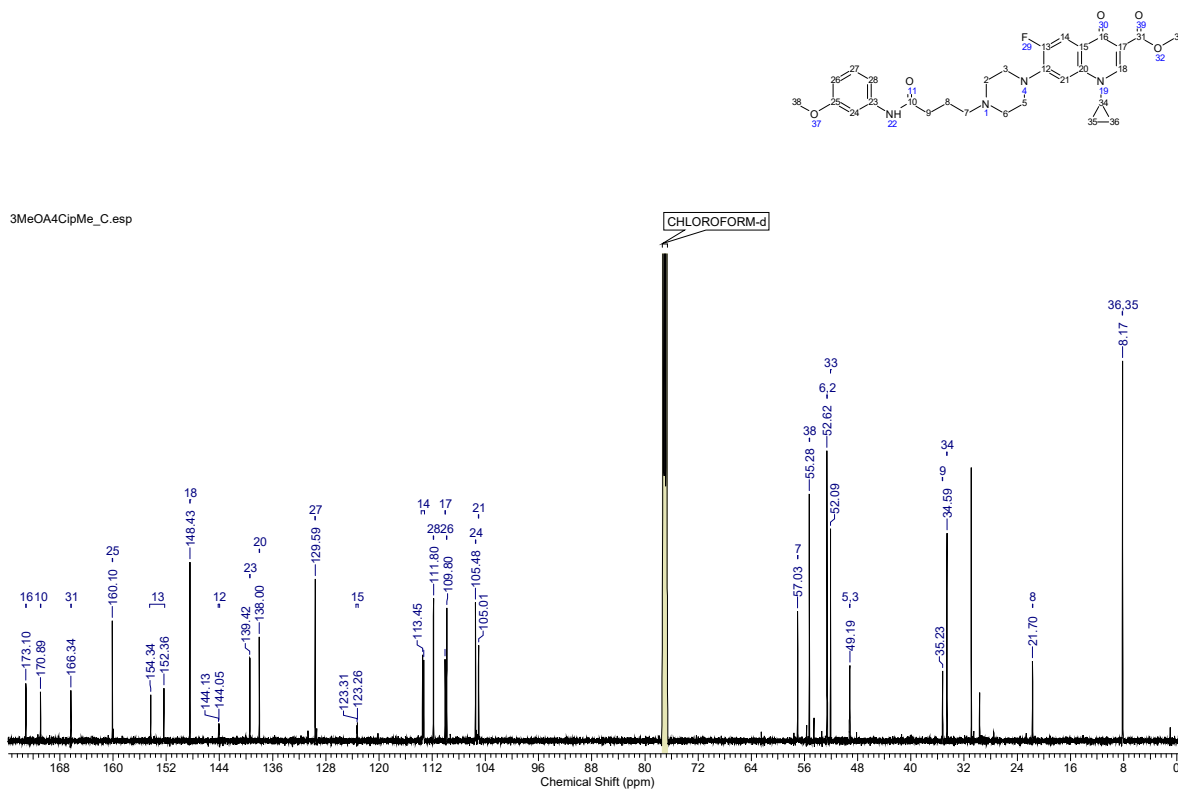
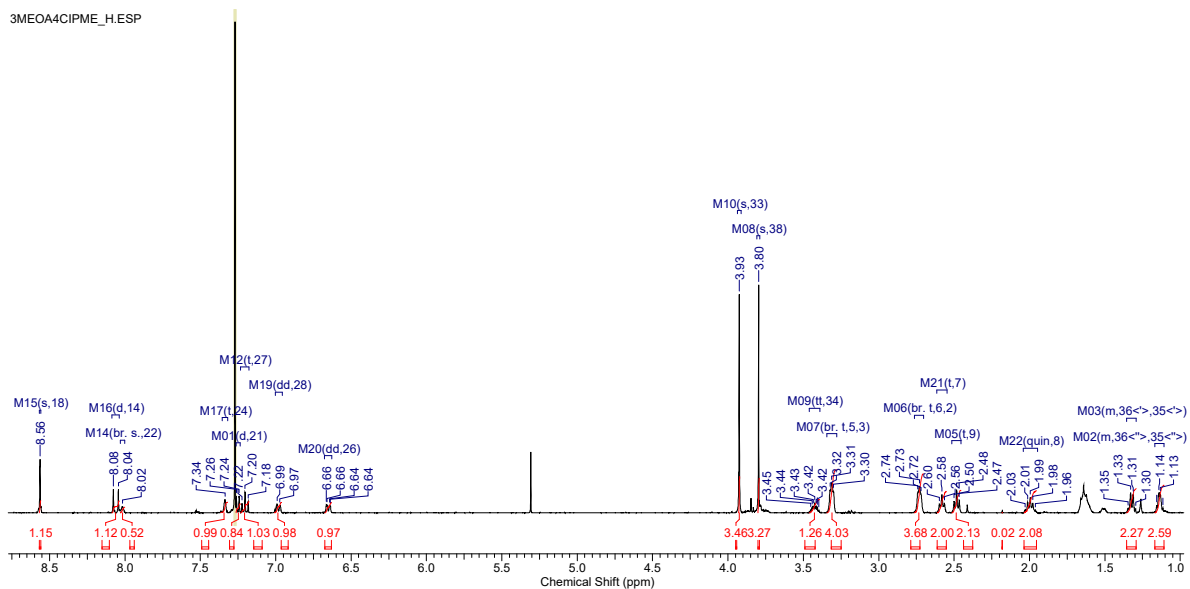
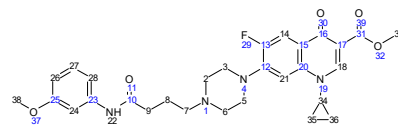
2MEOA4CIPME_H.ESP



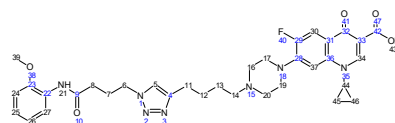
2MEOA4CIPME_C.ESP



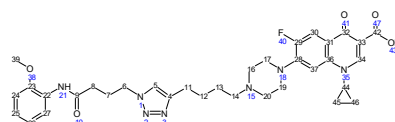
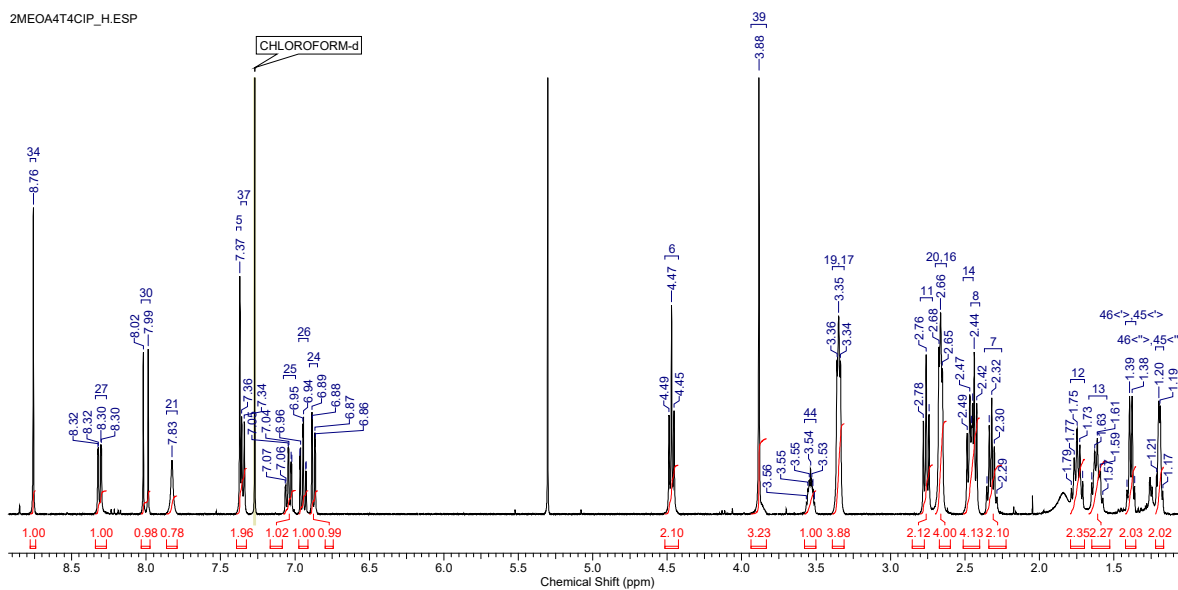
1.25 Methyl 1-cyclopropyl-6-fluoro-7-(4-(4-((3-methoxyphenyl)amino)-4-oxobutyl)-piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylate 143



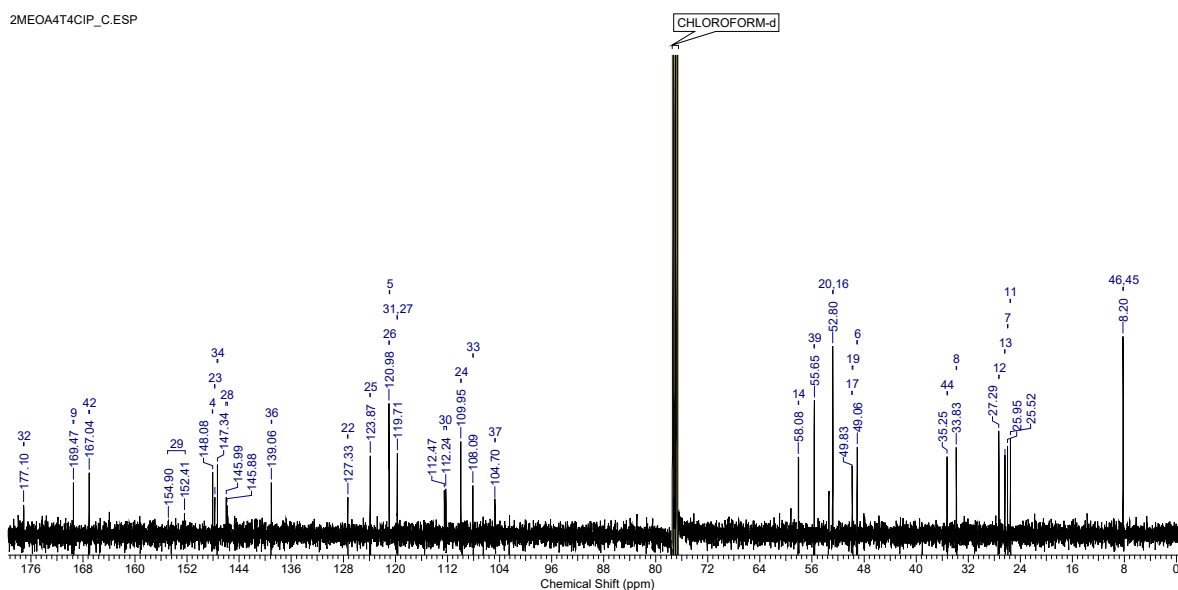
1.26 1-Cyclopropyl-6-fluoro-7-(4-(4-(1-(4-((2-methoxyphenyl)amino)-4-oxobutyl)-1H-1,2,3-triazol-4-yl)butyl)piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 144



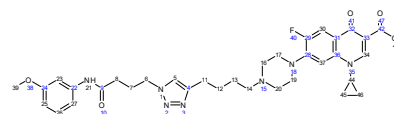
2MEOA4T4CIP_H.ESP



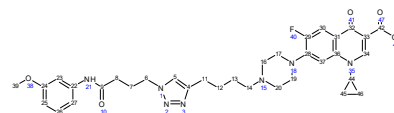
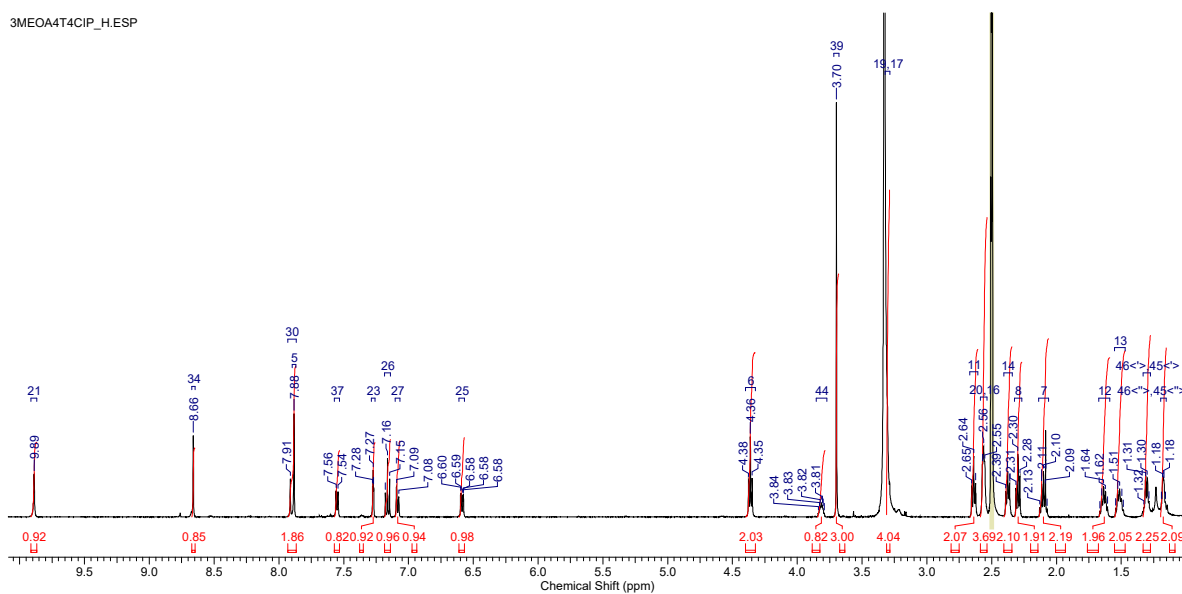
2MEOA4T4CIP_C.ESP



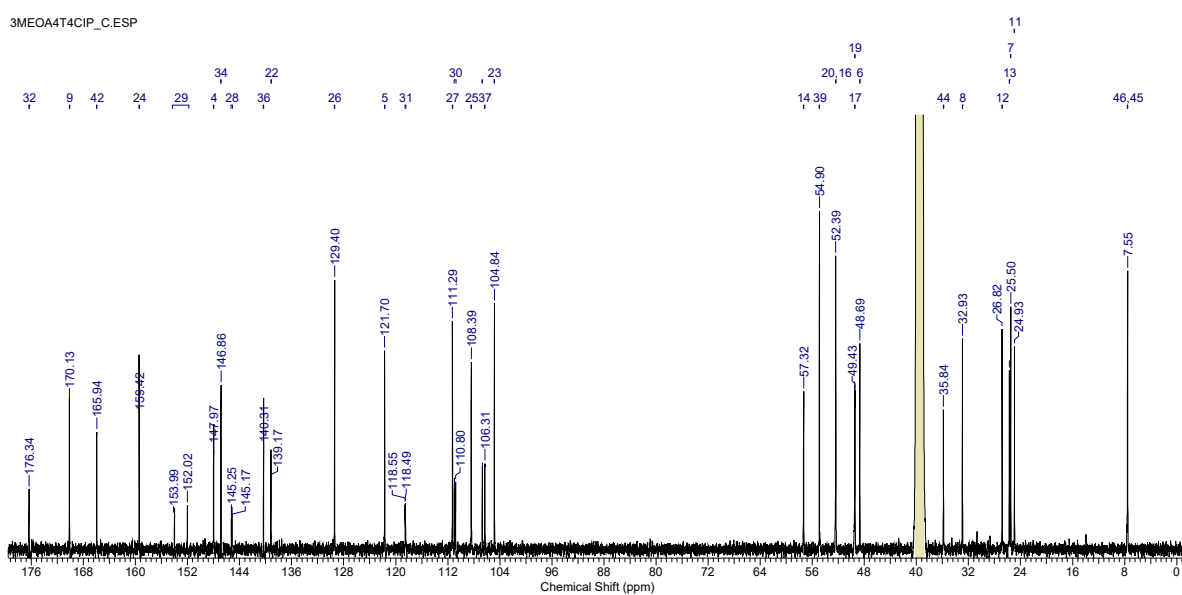
1.27 1-Cyclopropyl-6-fluoro-7-(4-(4-(1-(4-((3-methoxyphenyl)amino)-4-oxobutyl)-1H-1,2,3-triazol-4-yl)butyl)piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 145



3MEOA4T4CIP_H.ESP



3MEOA4T4CIP_C.ESP



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