

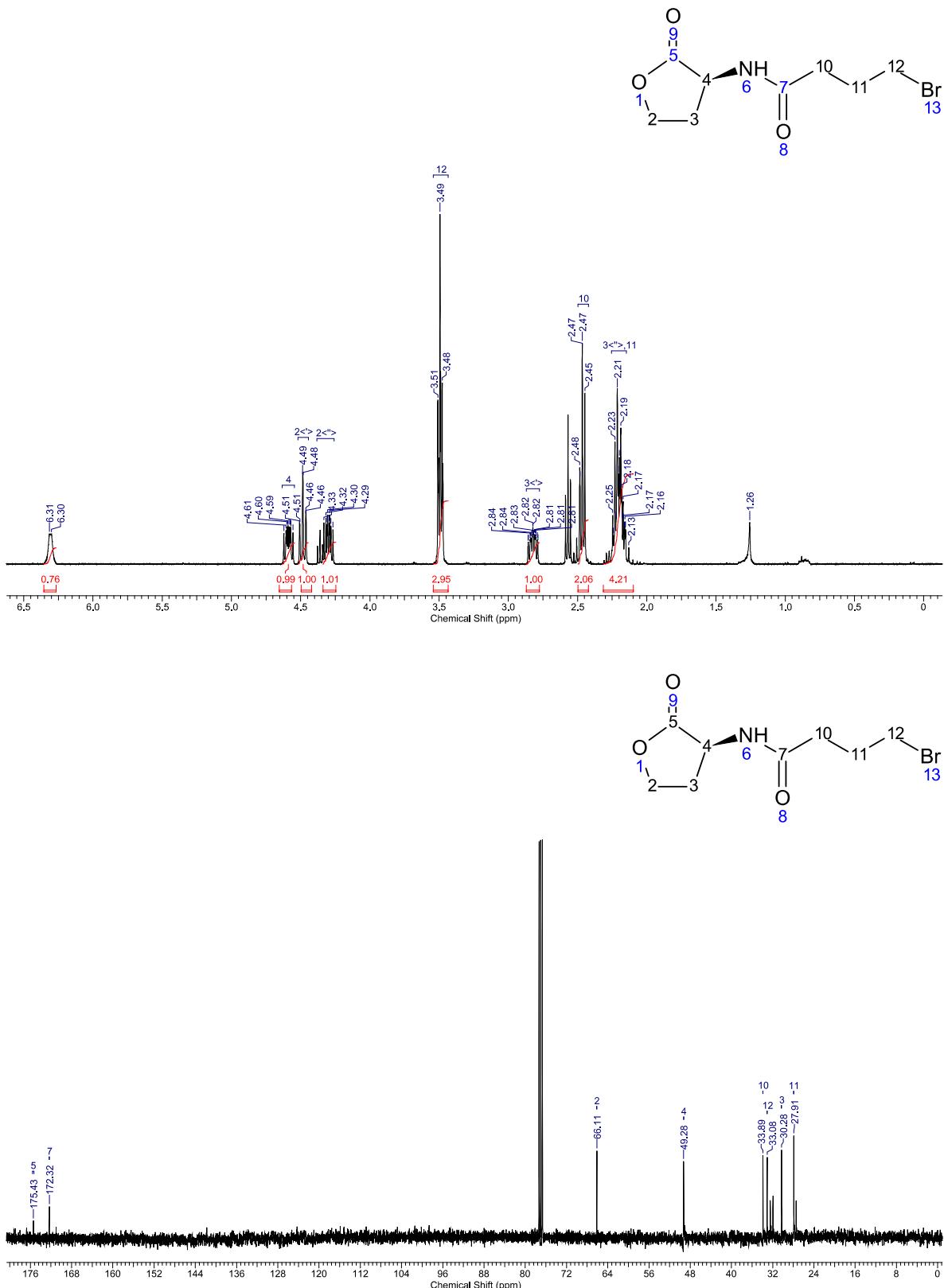
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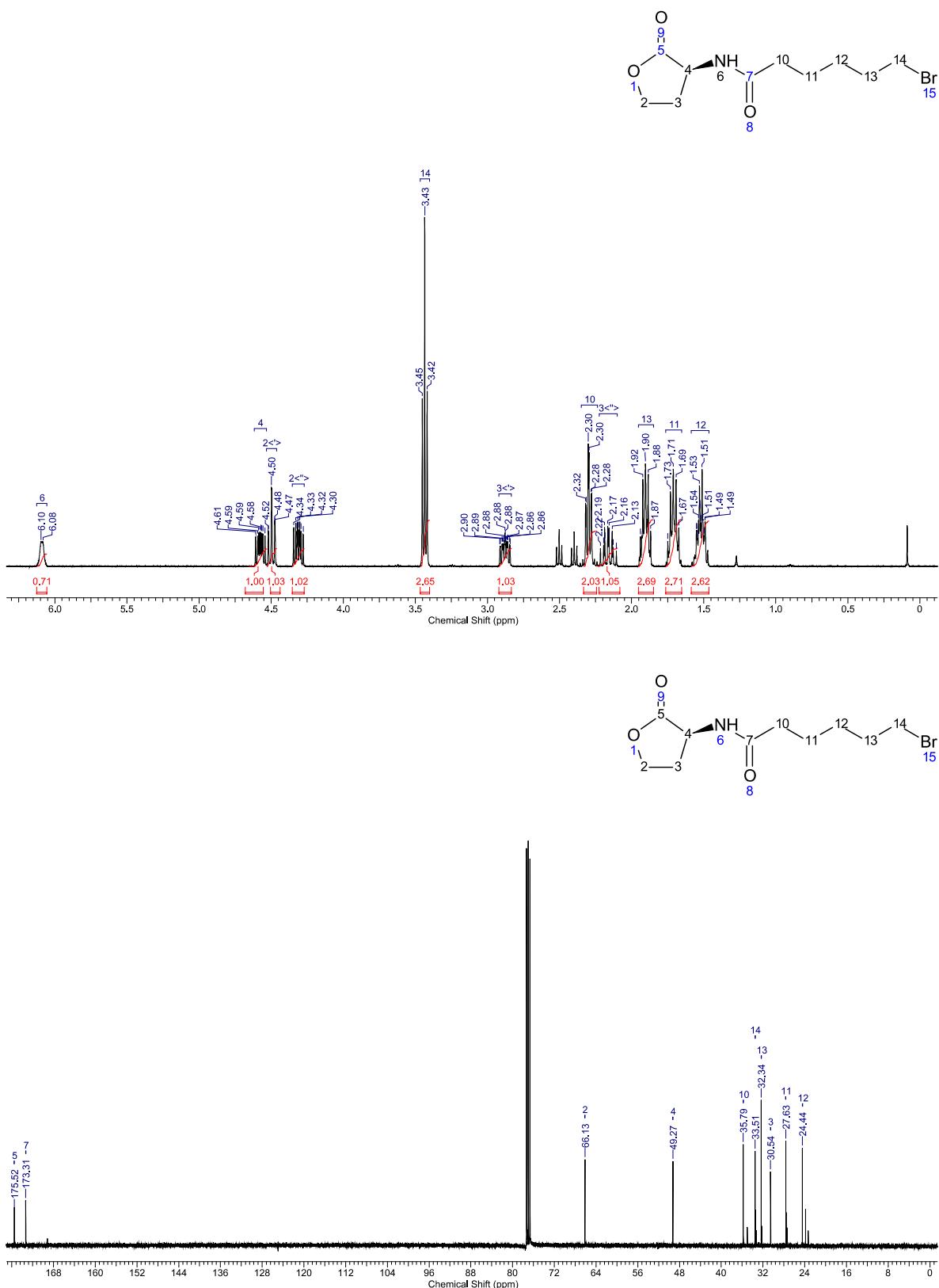
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1 NMR spectra

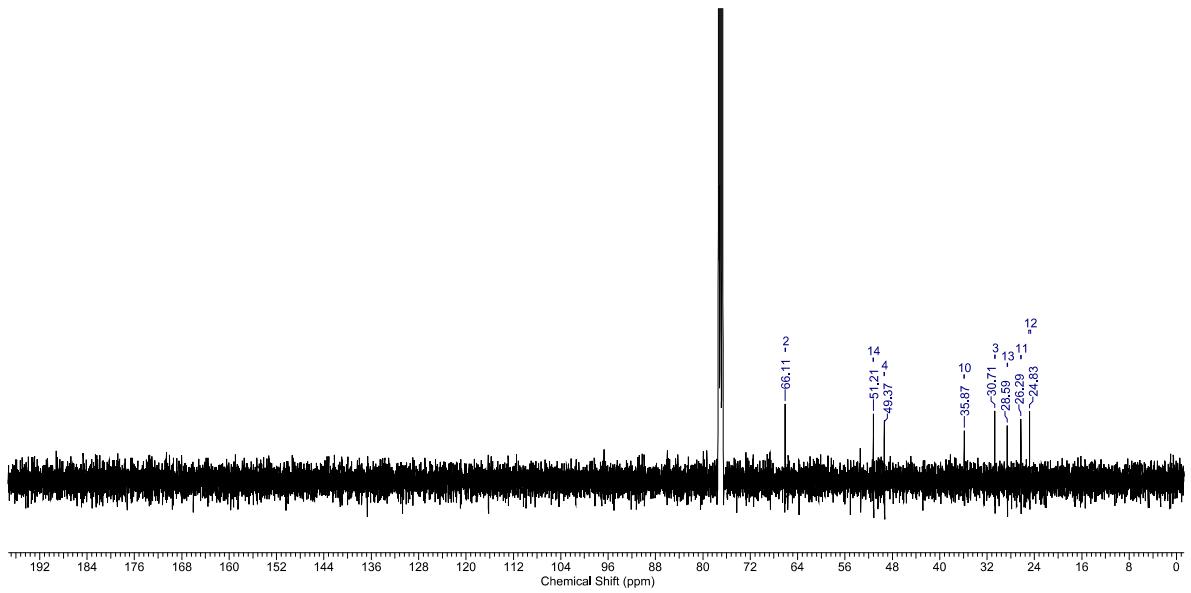
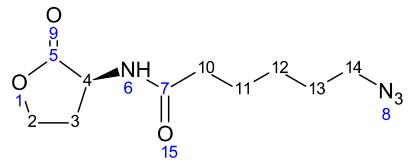
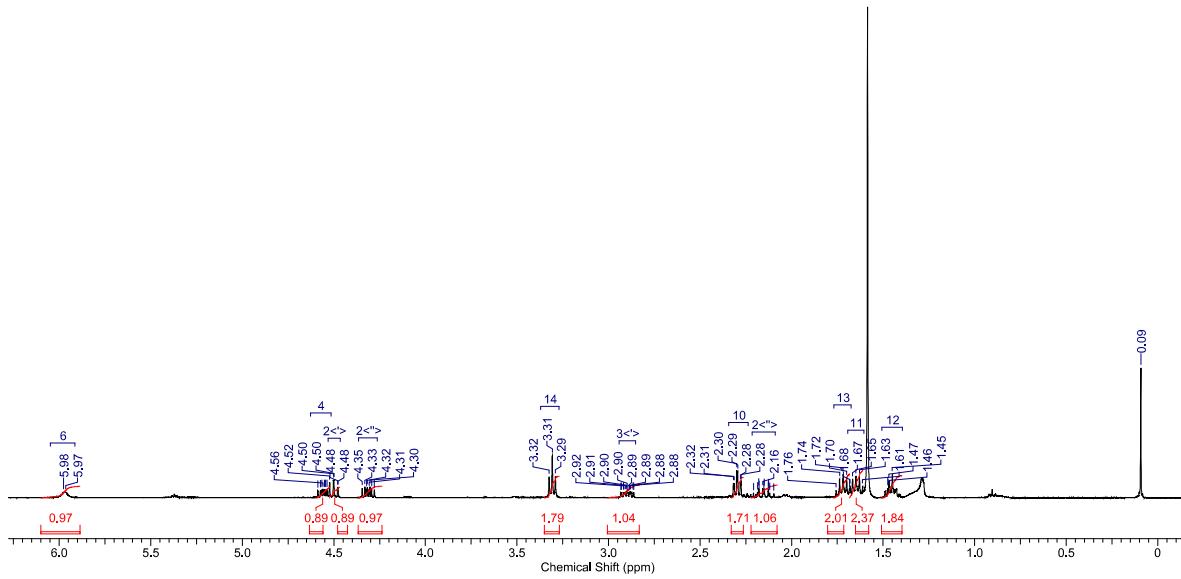
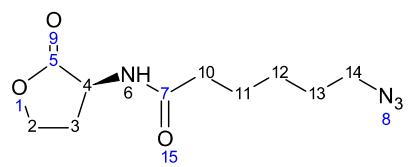
1.1 (*S*)-4-Bromo-*N*-(2-oxotetrahydrofuran-3-yl)butanamide 44



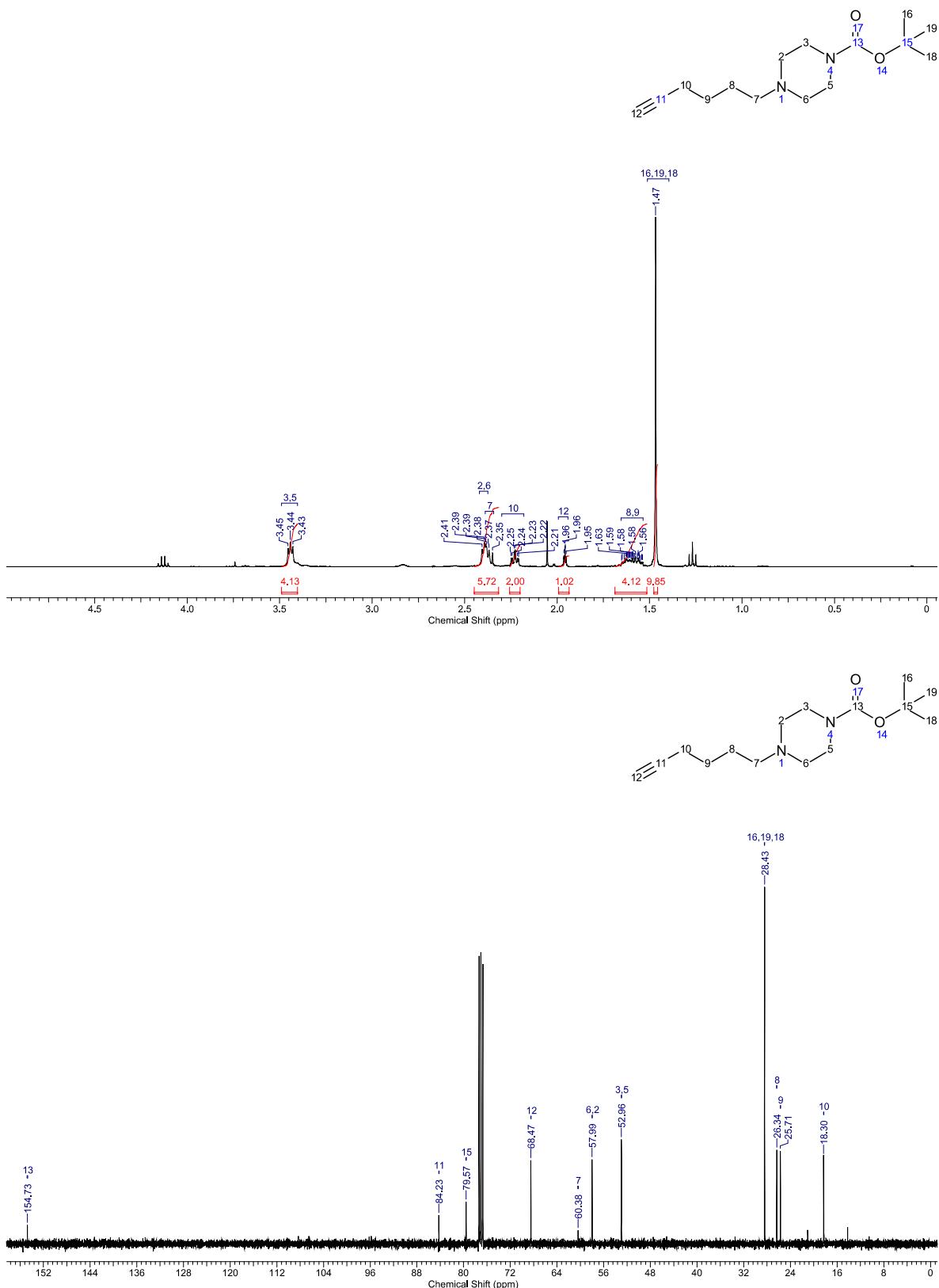
1.2 (*S*)-6-Bromo-*N*-(2-oxotetrahydrofuran-3-yl)hexanamide 45



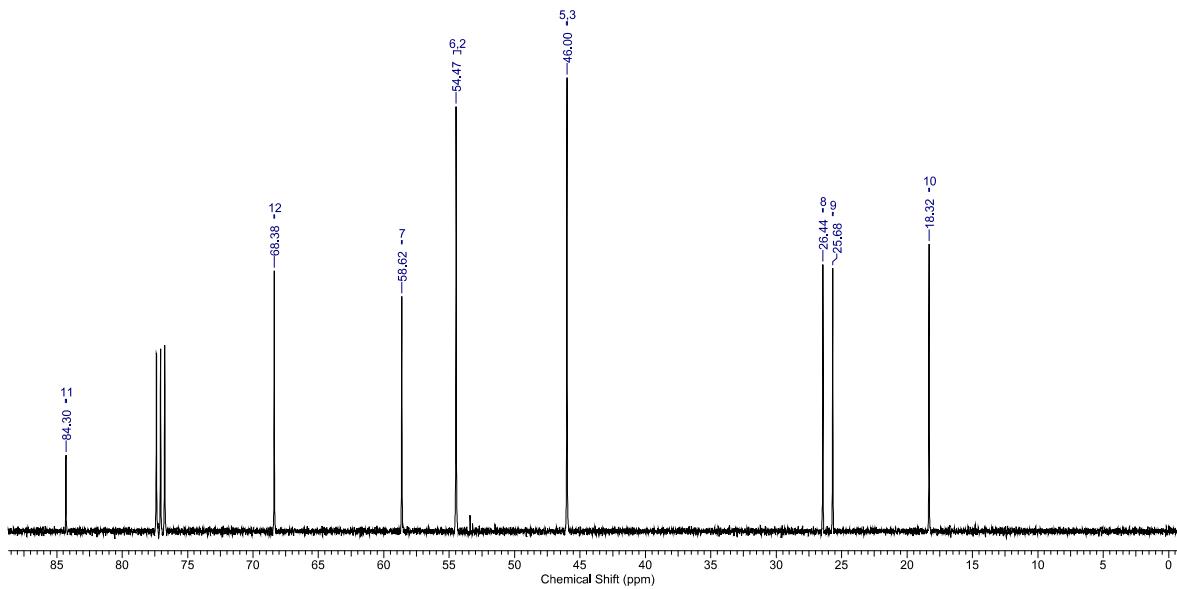
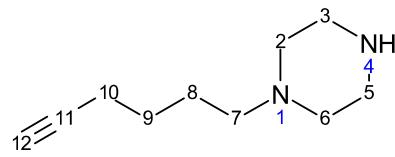
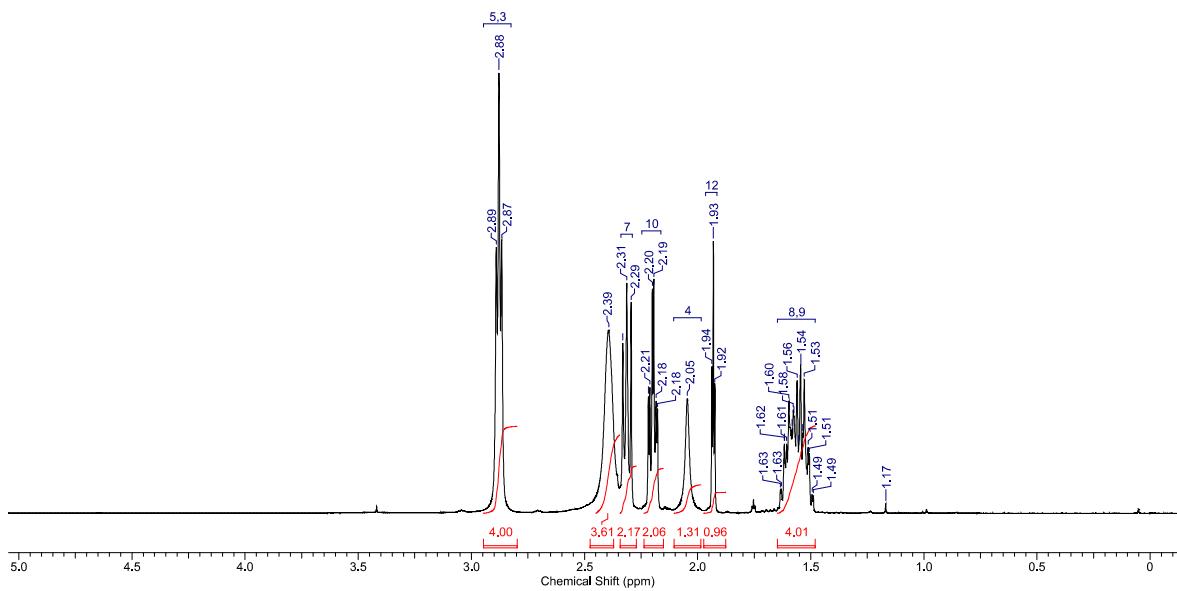
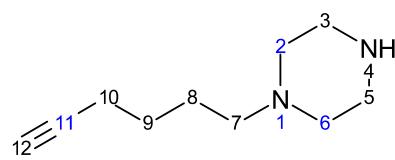
1.3 (S)-6-Azido-*N*-(2-oxotetrahydrofuran-3-yl)hexanamide 47



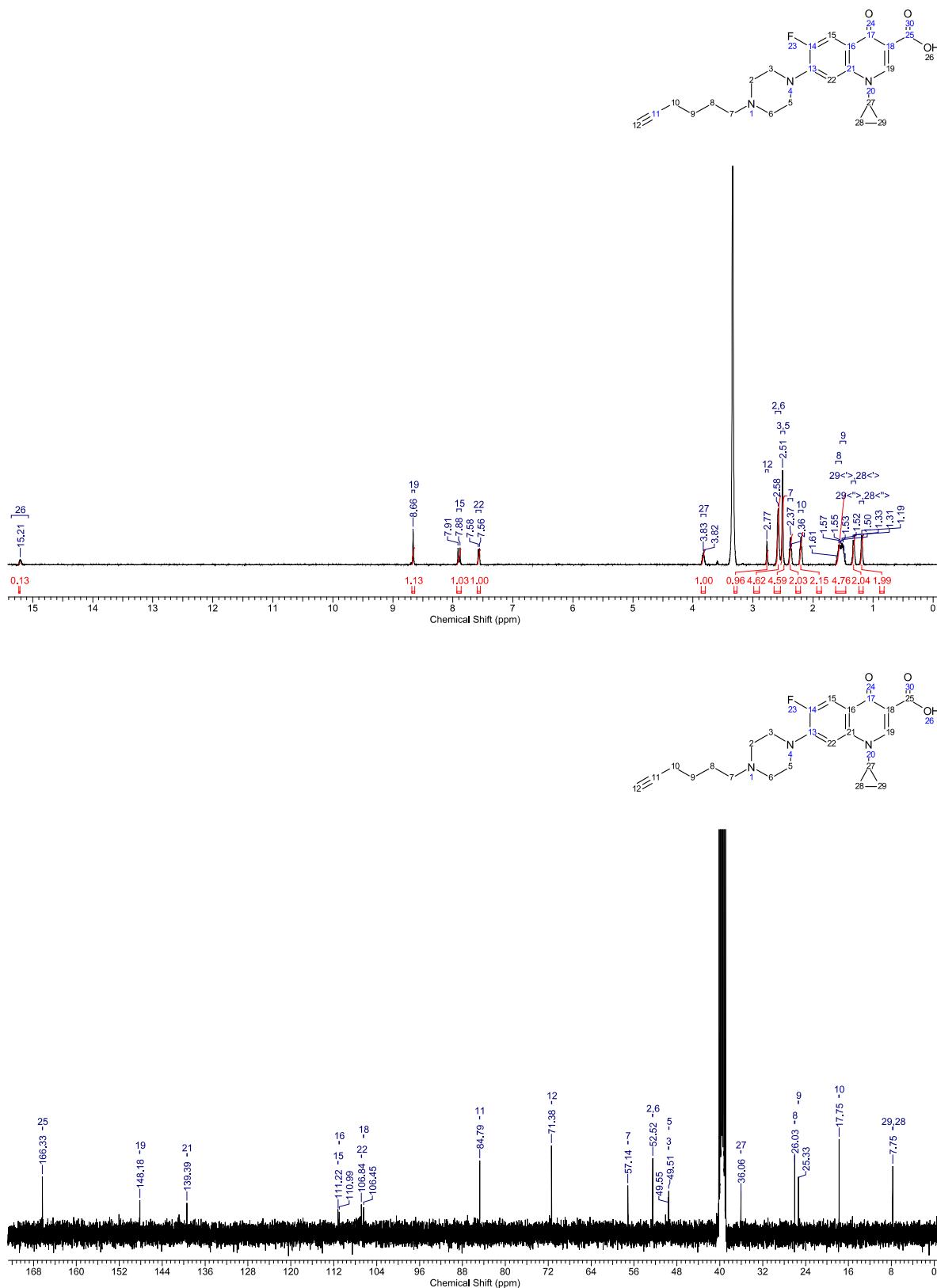
1.4 *tert*-Butyl 4-(hex-5-yn-1-yl)piperazine-1-carboxylate 51



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

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

HL6T4Cip_C.pdf

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

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

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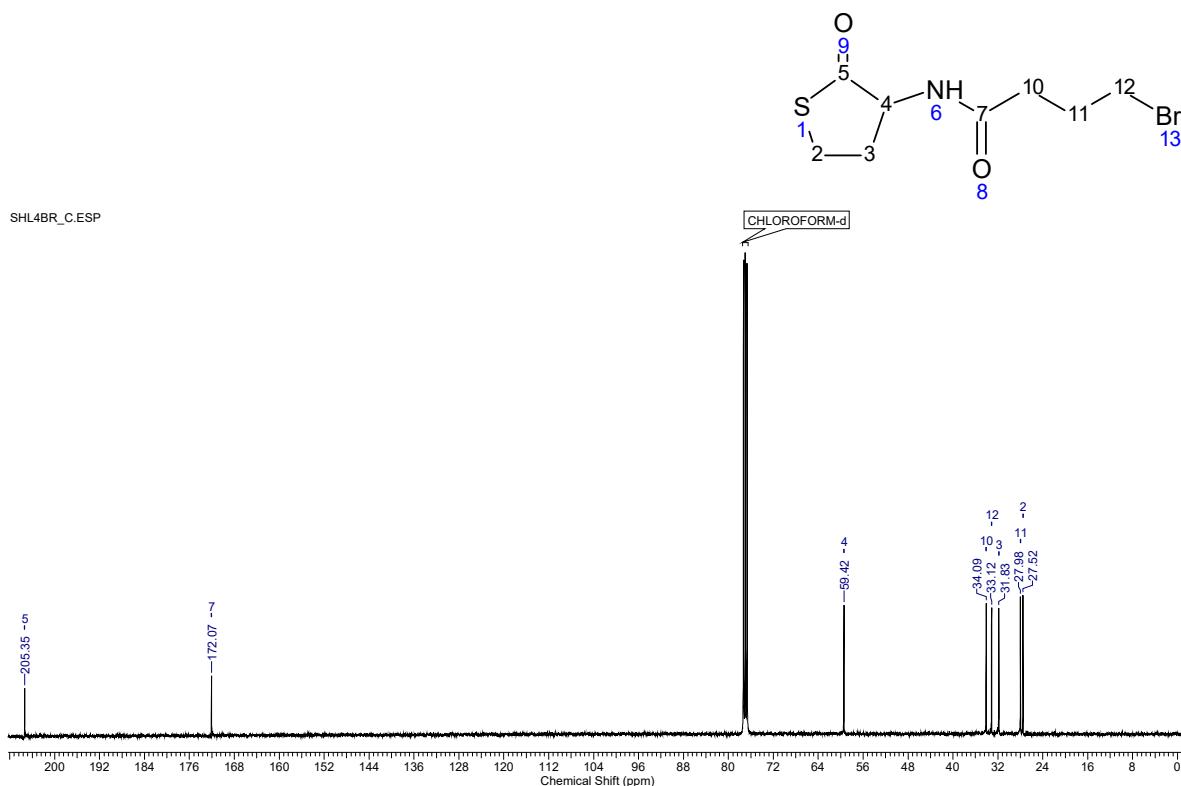
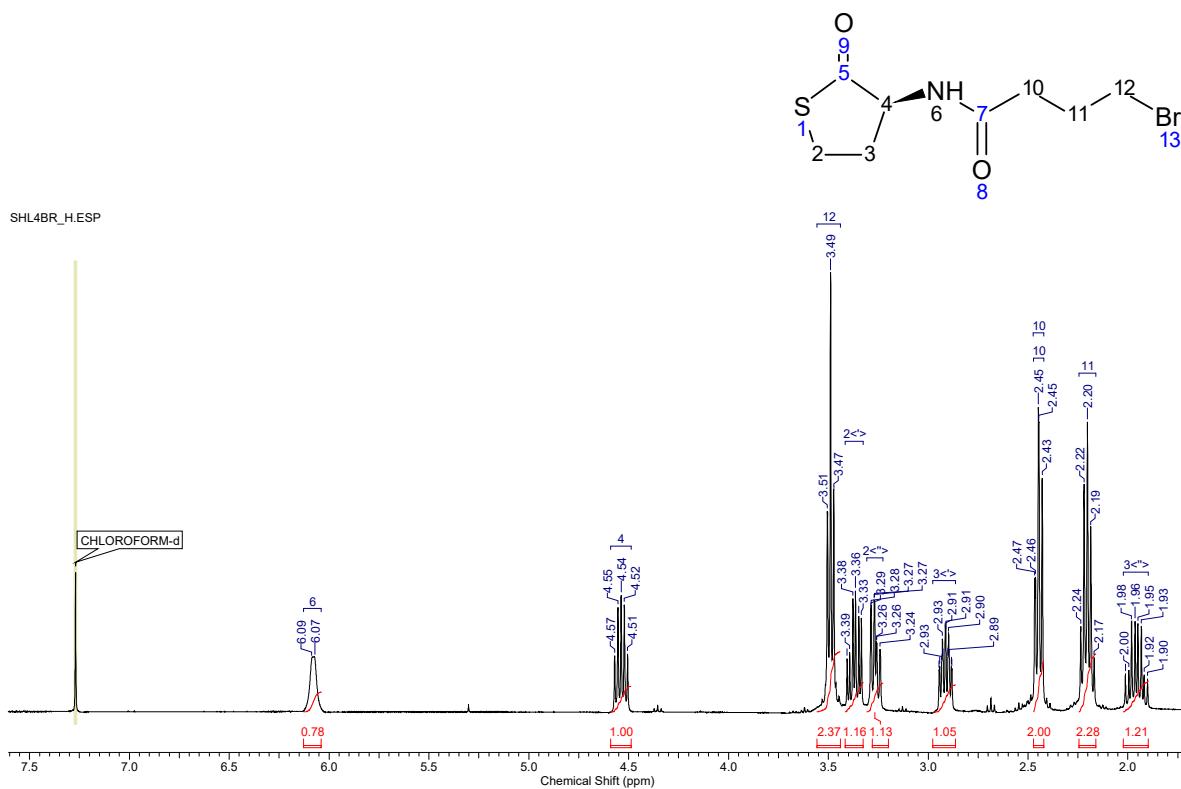
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1.15 2-(6-(4-(4-((2,4-Diaminopyrimidin-5-yl)methyl)-2,6-dimethoxyphenoxy)butyl)-1*H*-1,2,3-triazol-1-yl)hexyl)-3-hydroxyquinolin-4(1*H*)-one 132

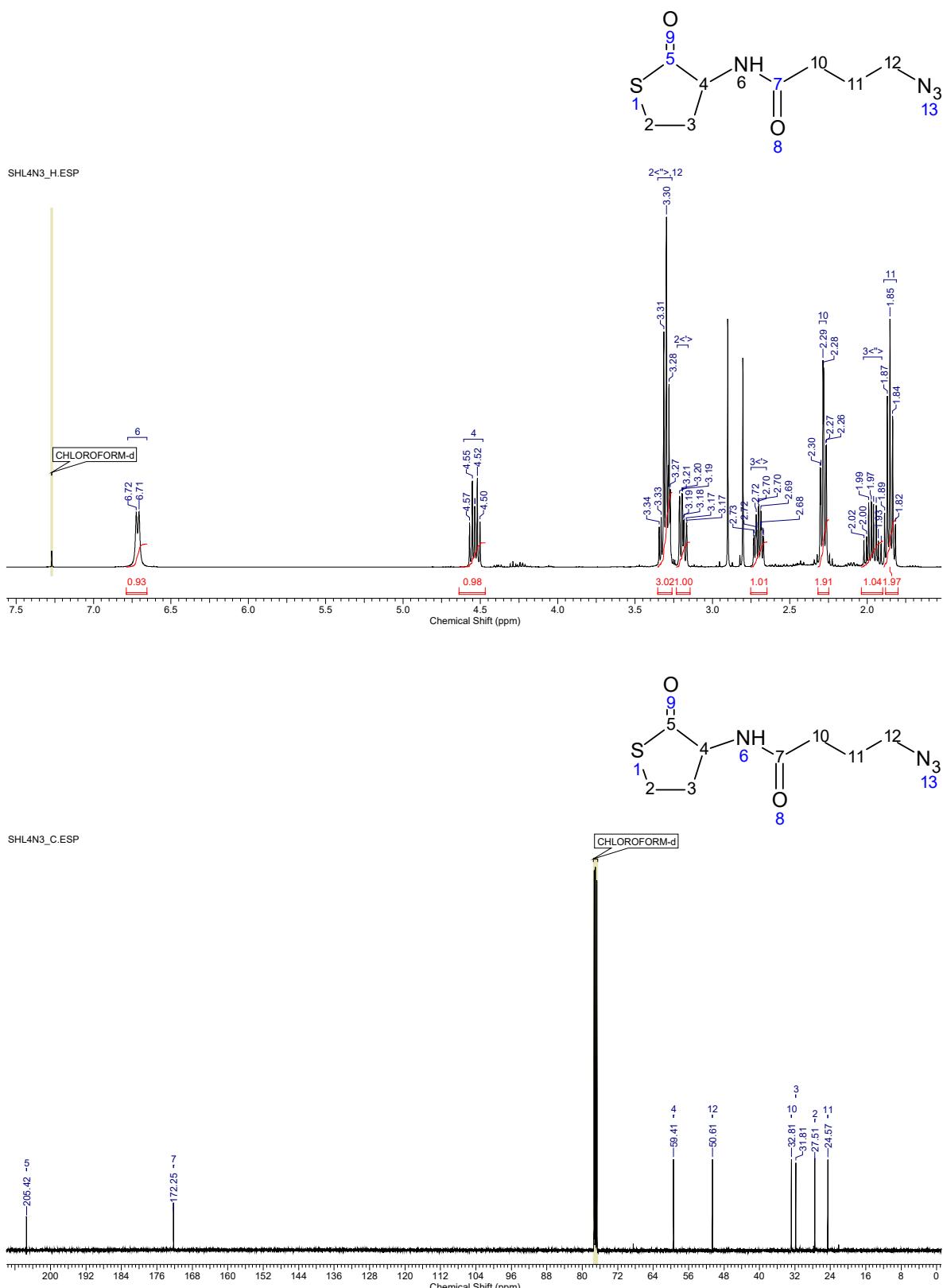
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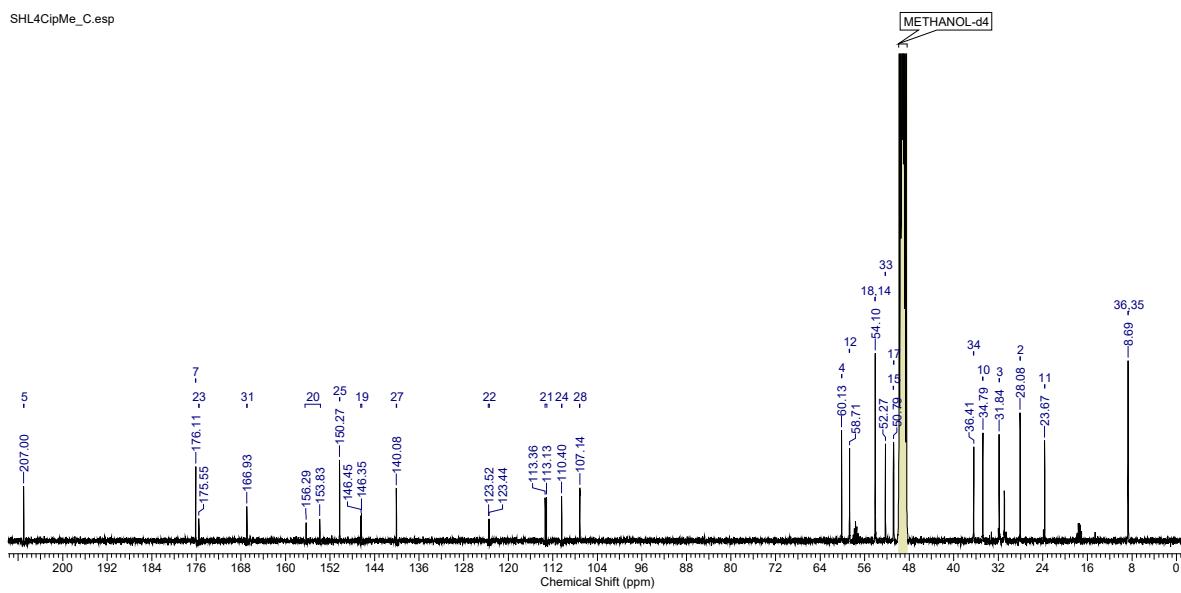
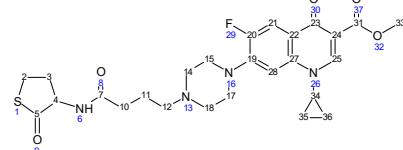
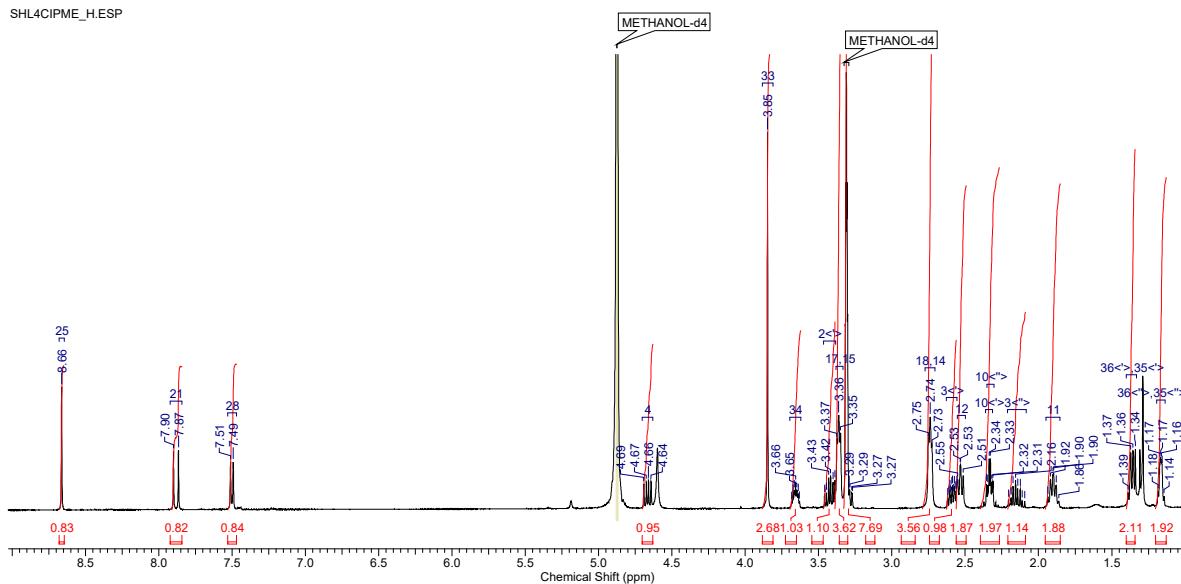
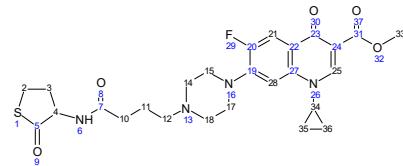
1.16 4-Bromo-*N*-(2-oxotetrahydrothiophen-3-yl)butanamide 133



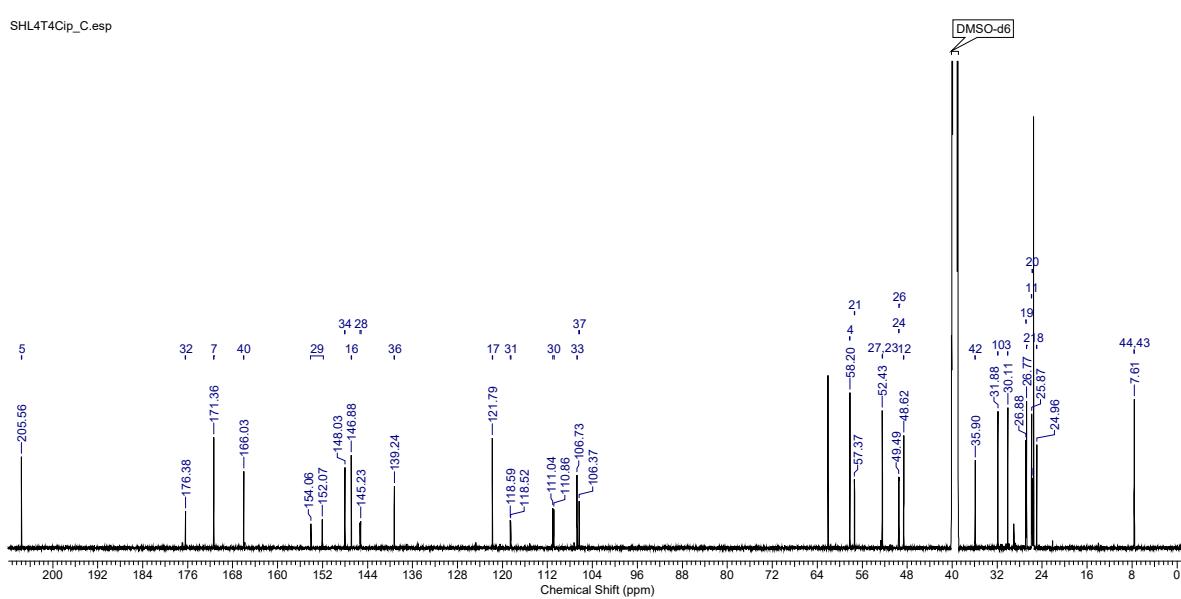
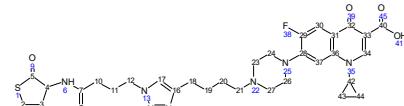
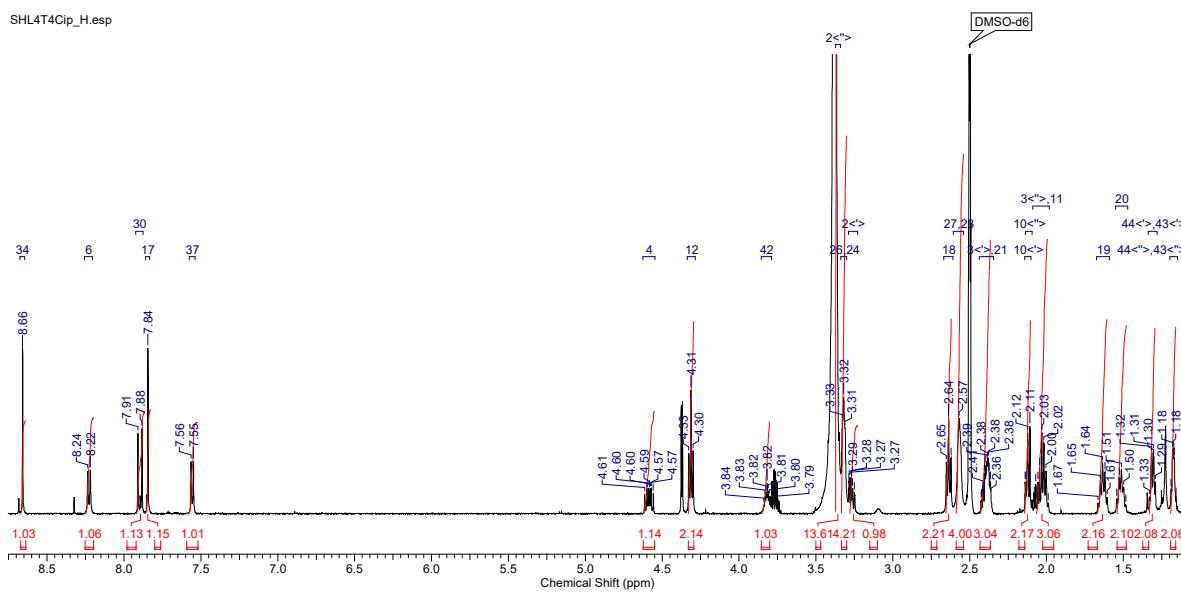
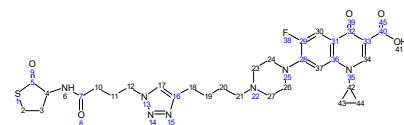
1.17 4-Azido-*N*-(2-oxotetrahydrothiophen-3-yl)butanamide 134



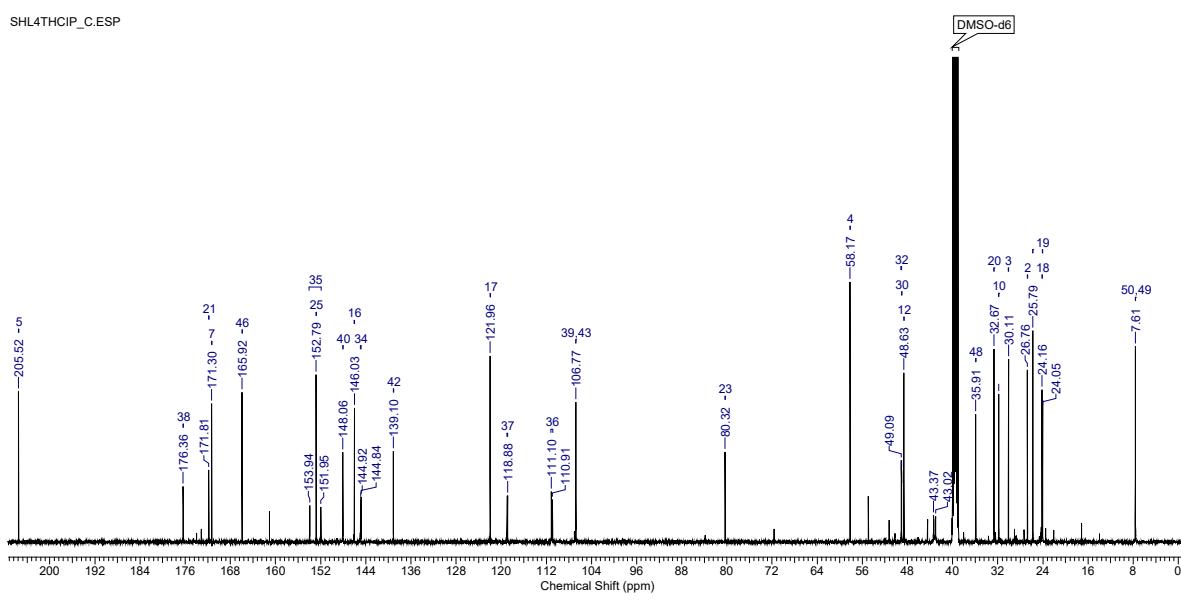
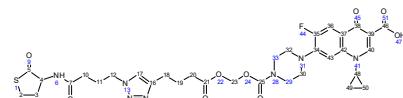
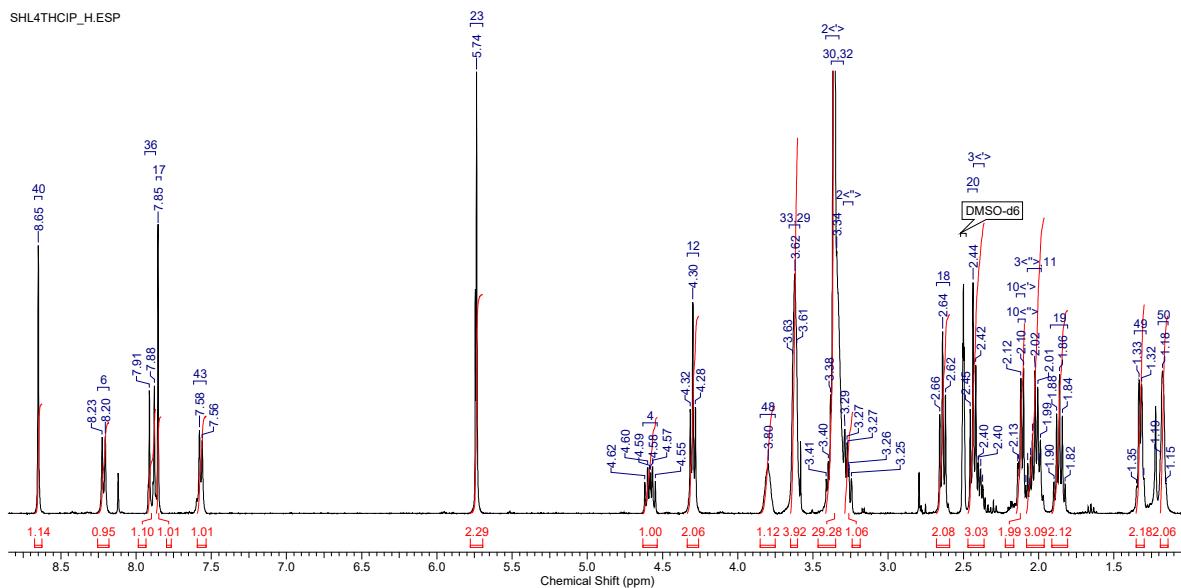
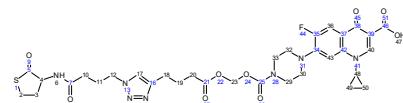
1.18 Methyl 1-cyclopropyl-6-fluoro-4-oxo-7-(4-(4-oxo-4-((2-oxotetrahydrothiophen-3-yl)amino)butyl)piperazin-1-yl)-1,4-dihydroquinoline-3-carboxylate 135



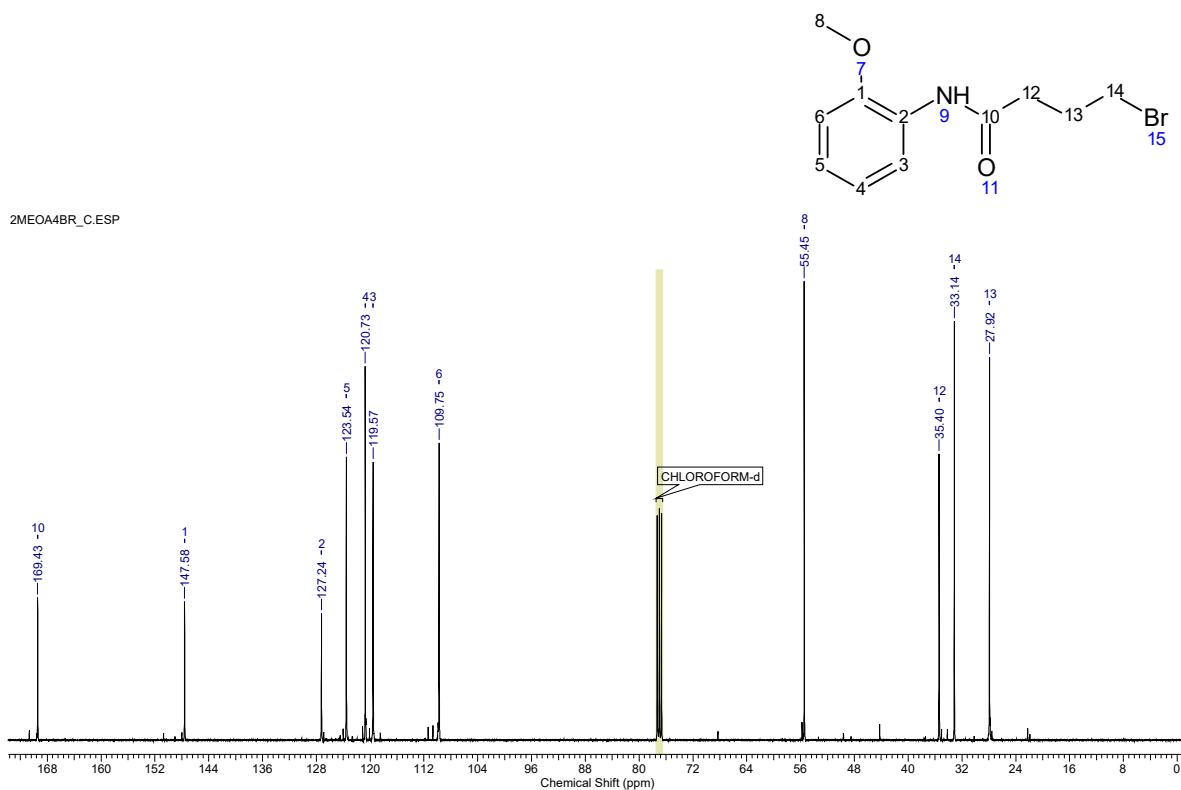
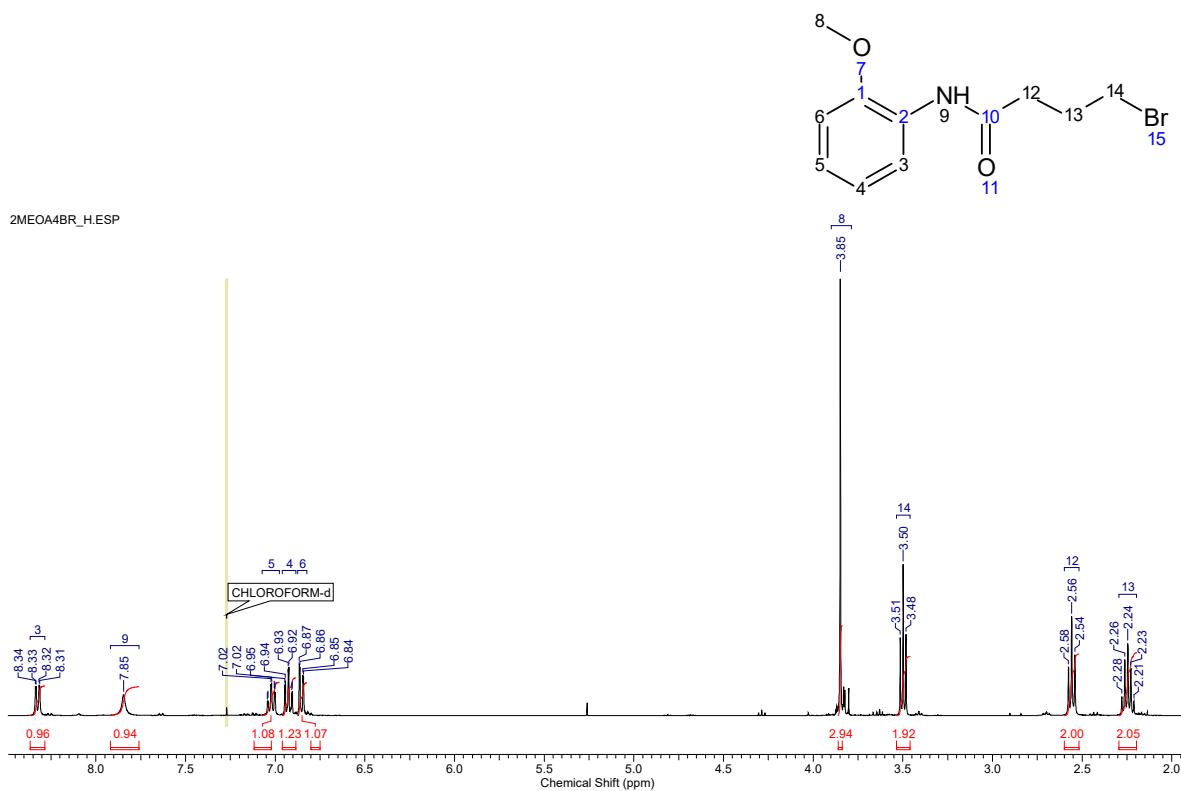
1.19 1-Cyclopropyl-6-fluoro-4-oxo-7-(4-(1-(4-oxo-4-((2-oxotetrahydrothiophen-3-yl)amino)butyl)-1H-1,2,3-triazol-4-yl)butyl)piperazin-1-yl)-1,4-dihydroquinoline-3-carboxylic acid 136



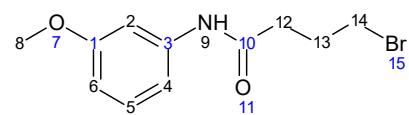
1.20 1-Cyclopropyl-6-fluoro-4-oxo-7-(((4-((1-(4-oxo-4-((2-oxotetrahydrothiophen-3-yl)amino)butyl)-1H-1,2,3-triazol-4-yl)butanoyl)oxy)methoxy)carbonyl)piperazin-1-yl)-1,4-dihydroquinoline-3-carboxylic acid 137



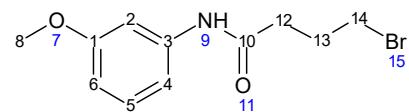
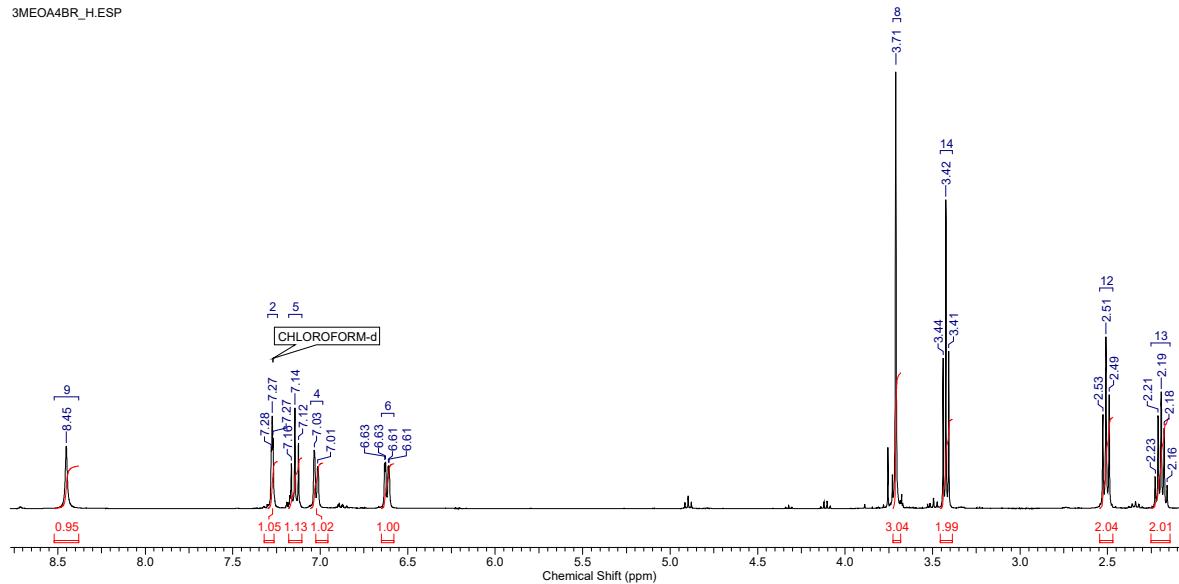
1.21 4-Bromo-N-(2-methoxyphenyl)butanamide 138



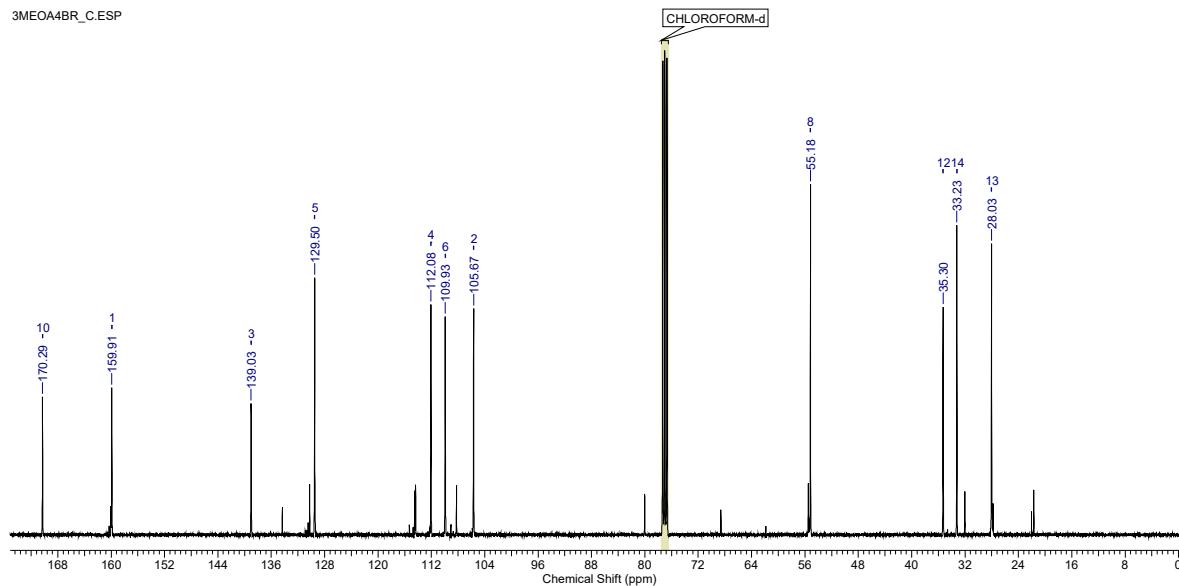
1.22 4-Bromo-N-(3-methoxyphenyl)butanamide 139



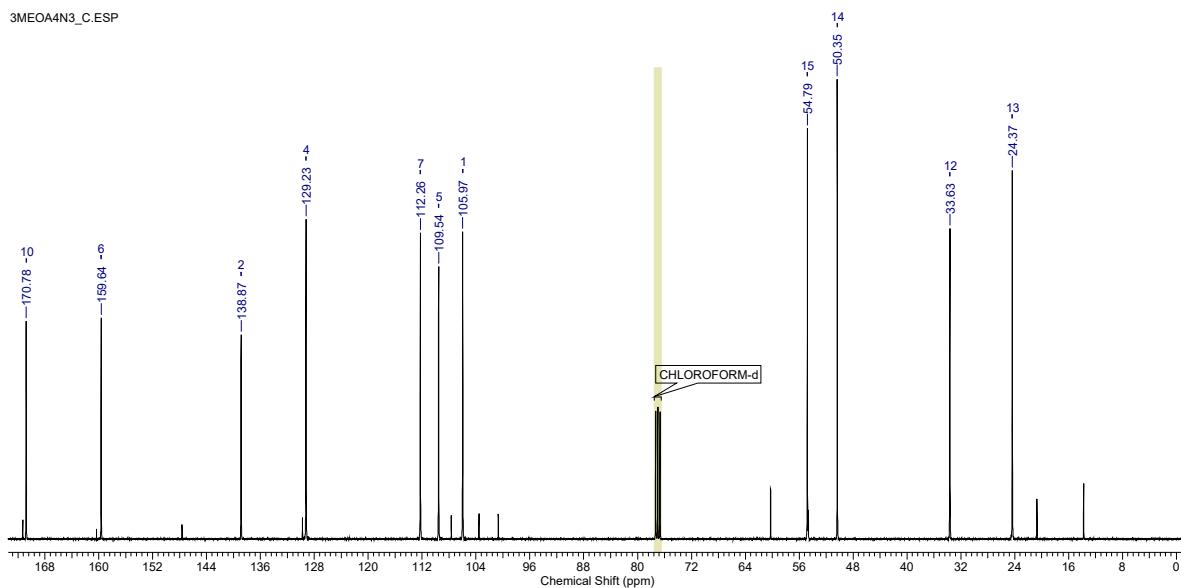
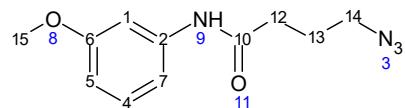
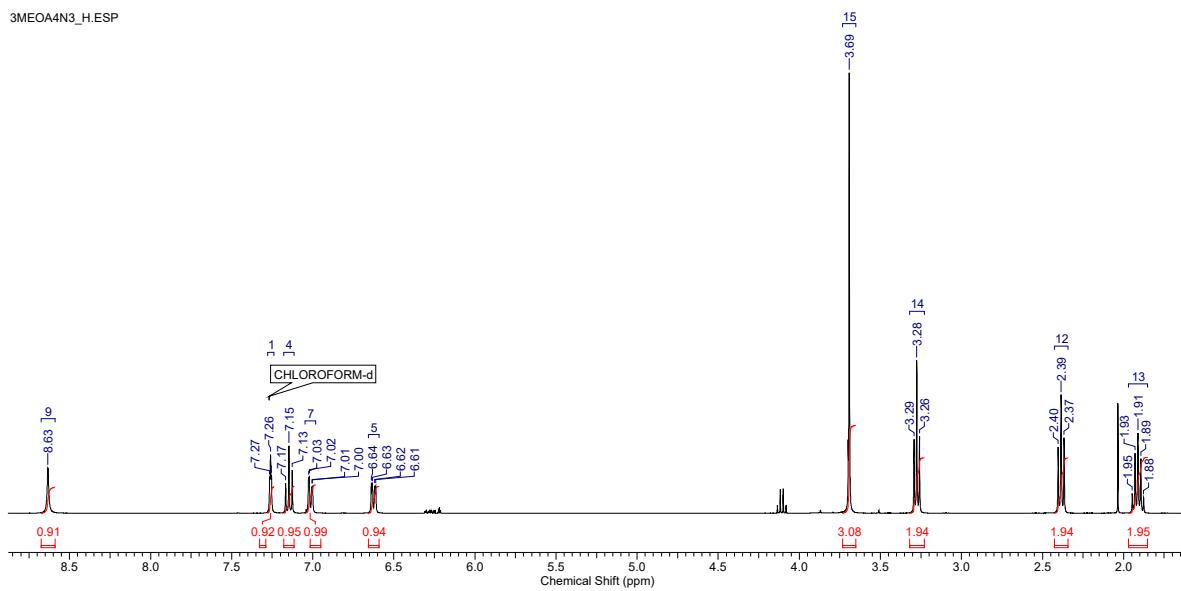
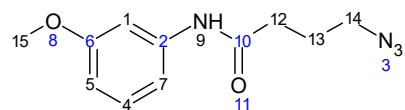
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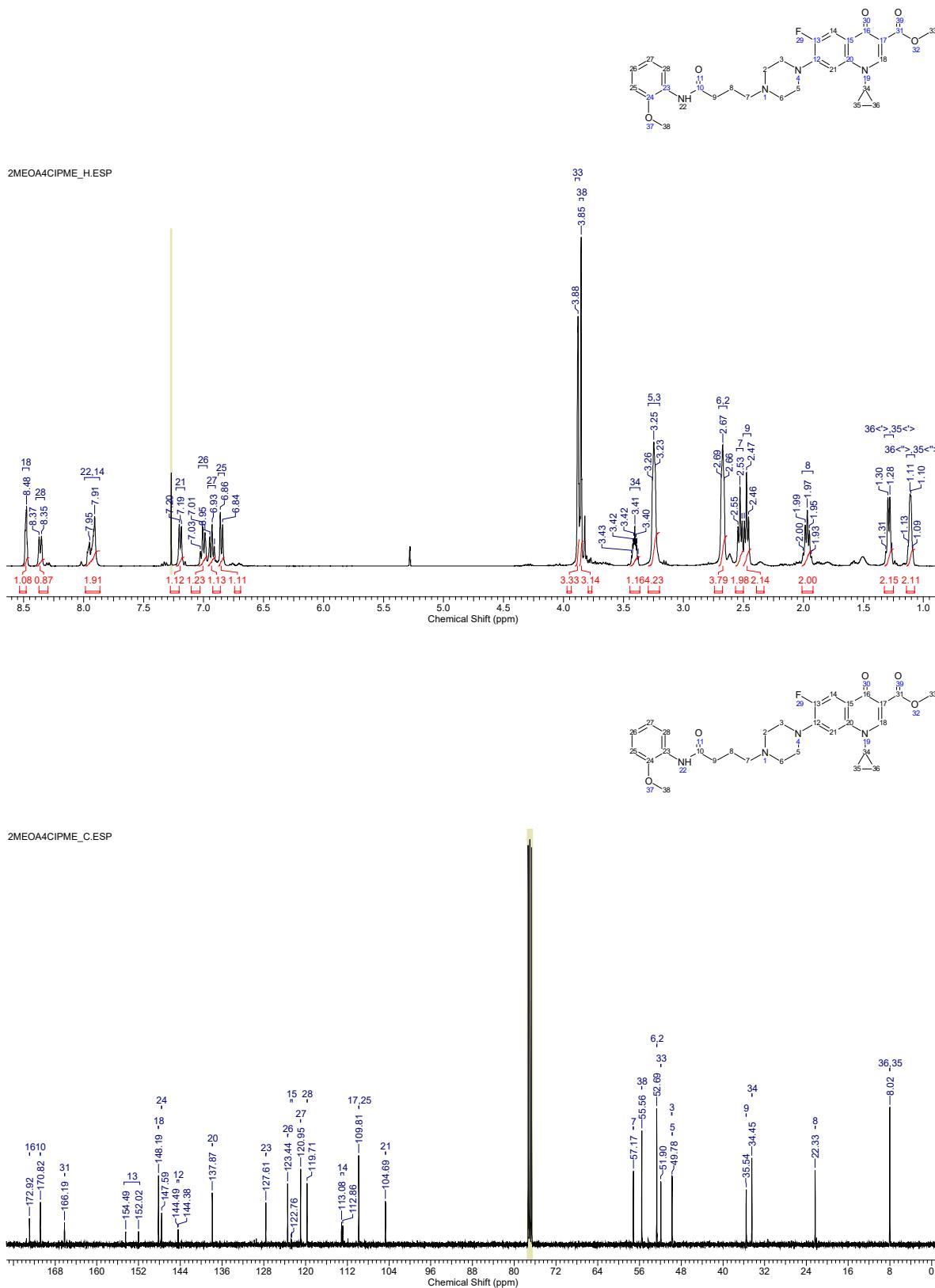
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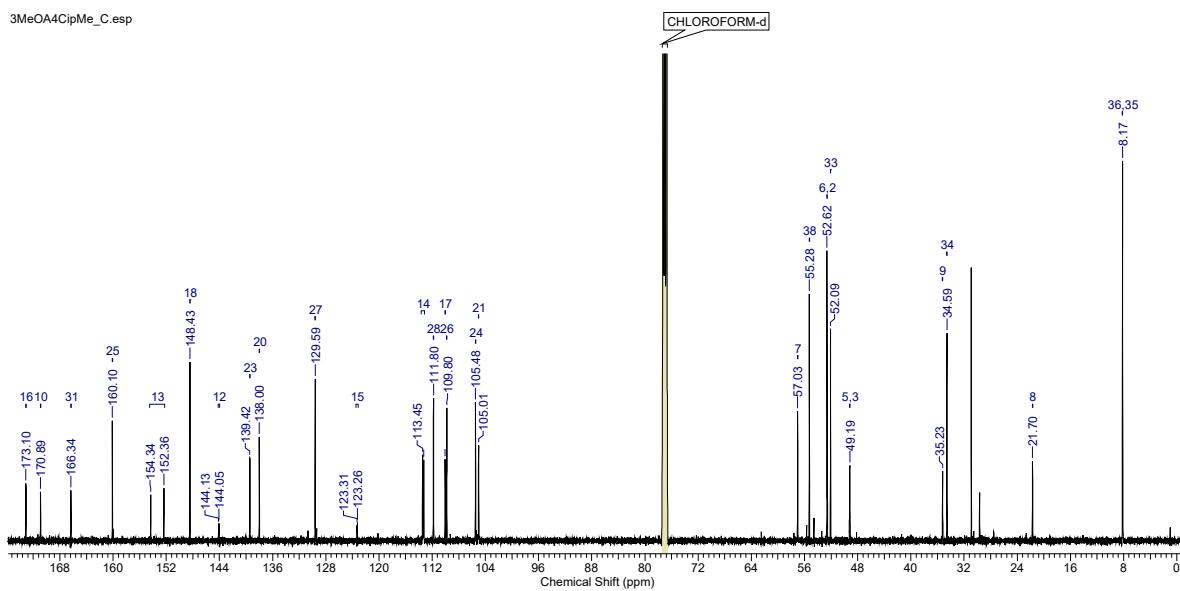
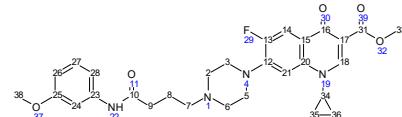
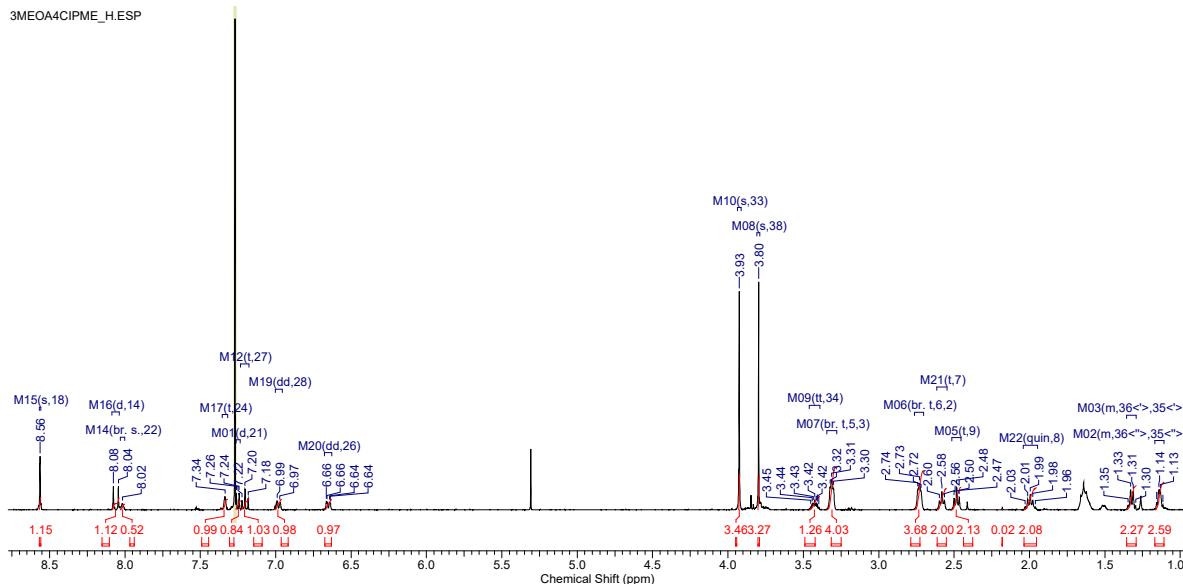
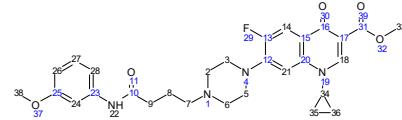
1.23 4-Azido-*N*-(3-methoxyphenyl)butanamide 140



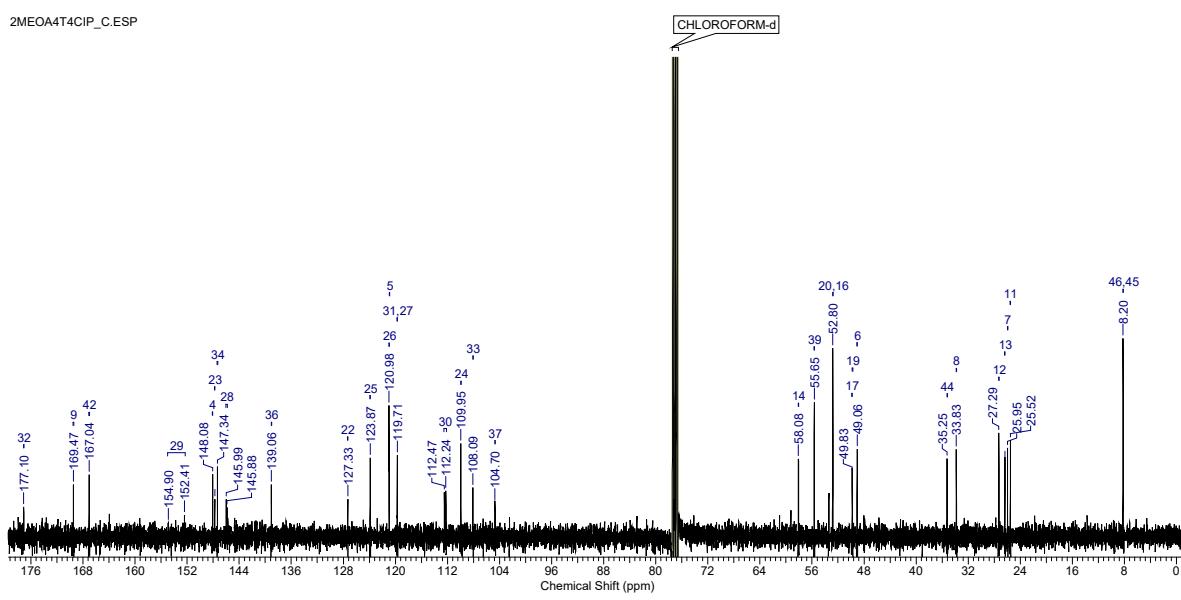
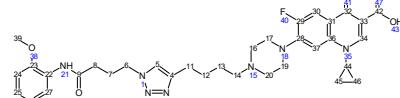
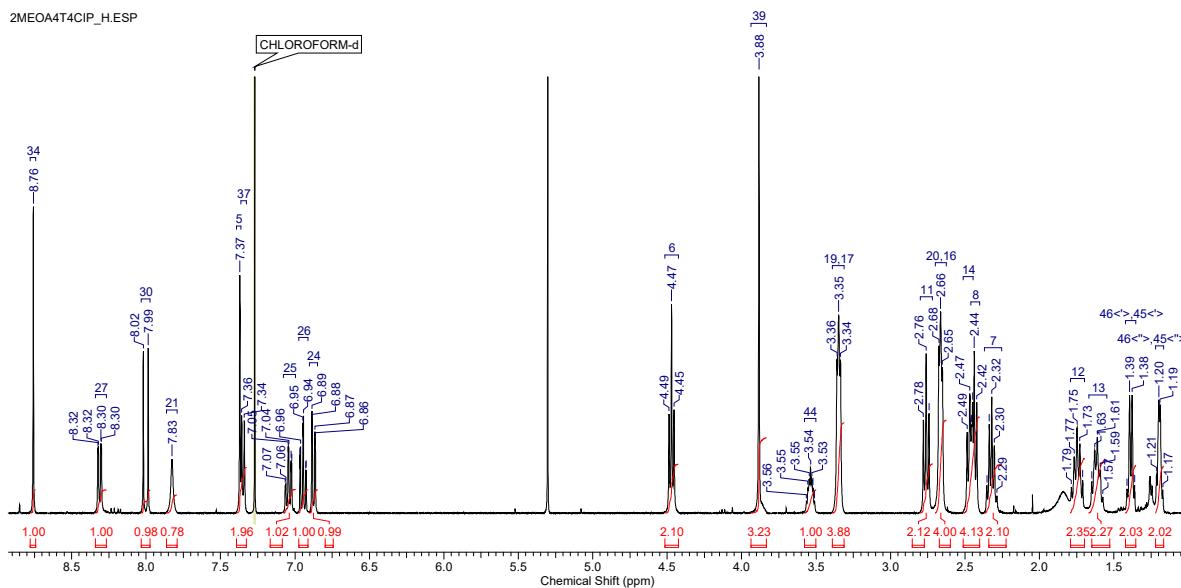
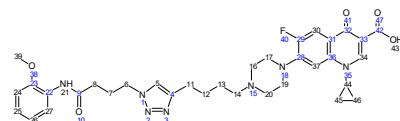
1.24 Methyl 1-cyclopropyl-6-fluoro-7-(4-((2-methoxyphenyl)amino)-4-oxobutyl)-piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylate 141



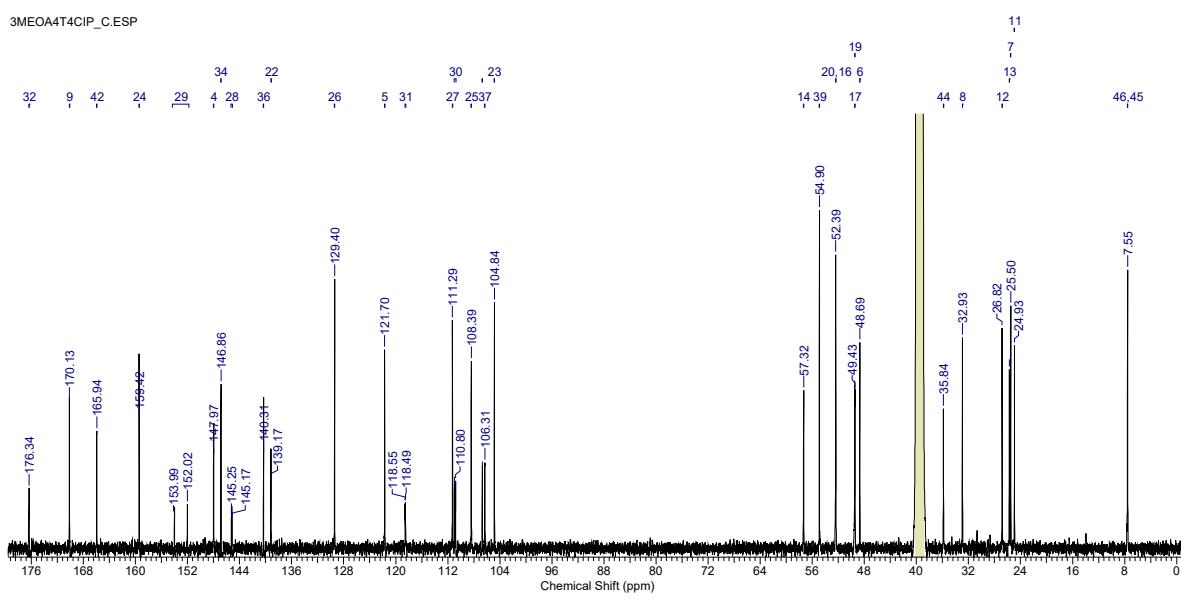
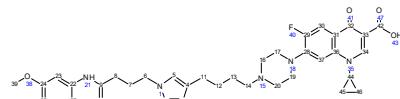
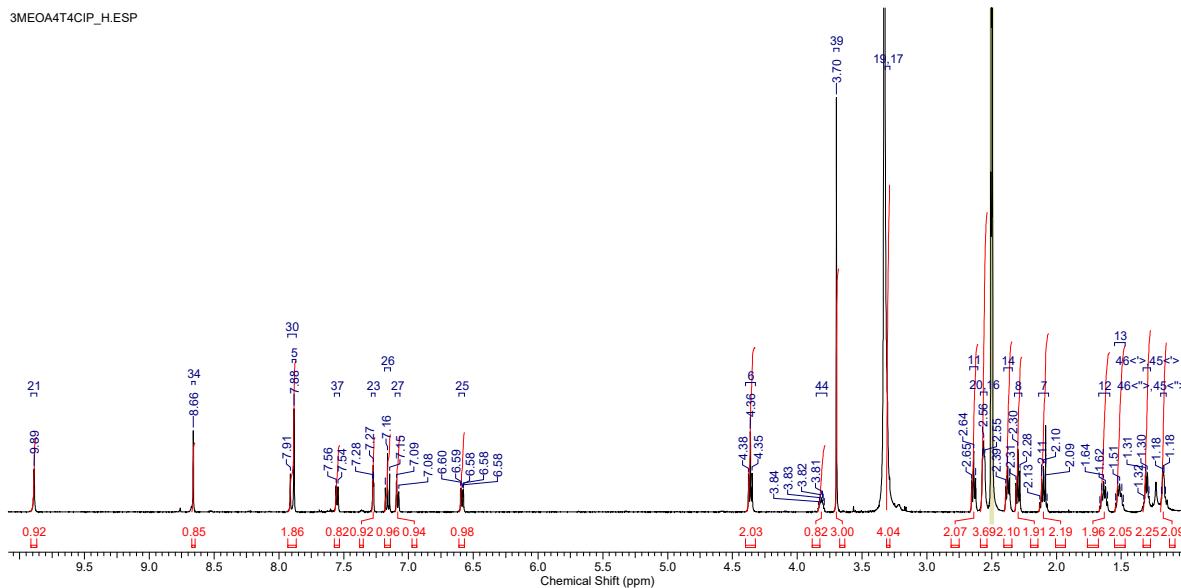
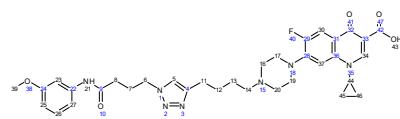
1.25 Methyl 1-cyclopropyl-6-fluoro-7-(4-((3-methoxyphenyl)amino)-4-oxobutyl)-piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylate 142



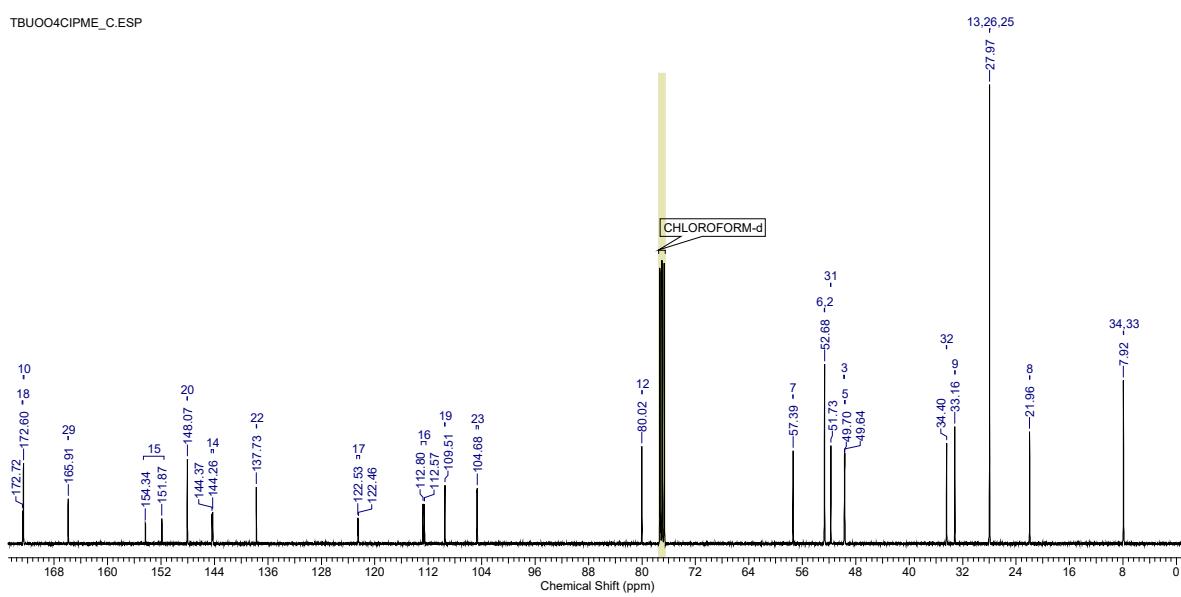
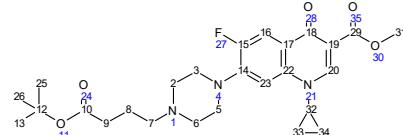
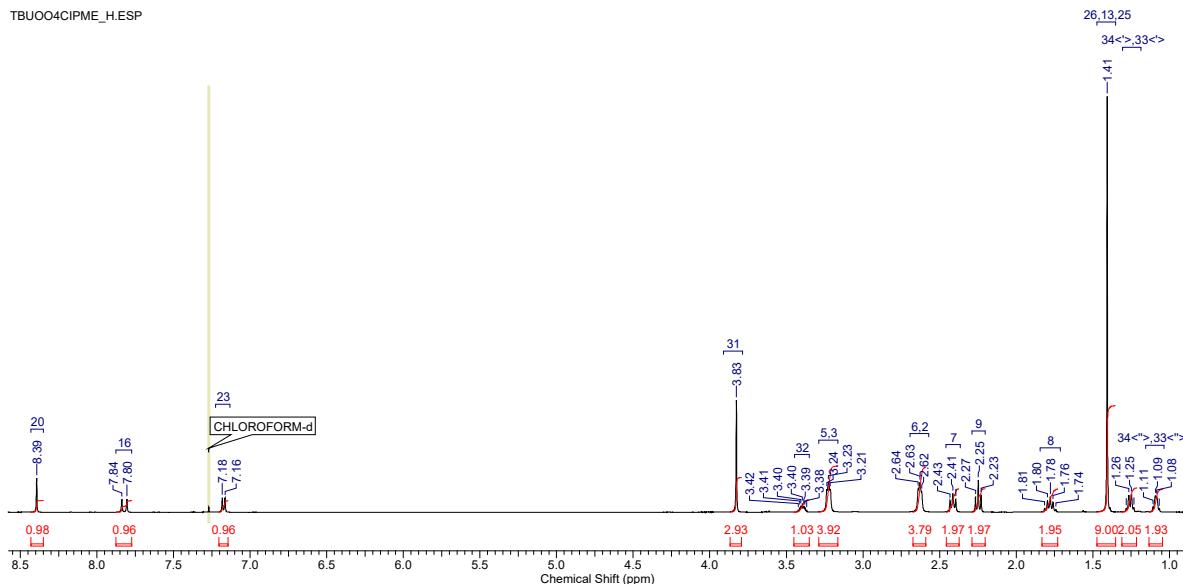
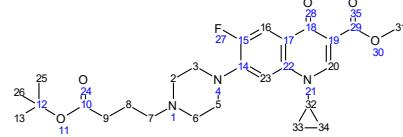
1.26 1-Cyclopropyl-6-fluoro-7-(4-(1-(4-((2-methoxyphenyl)amino)-4-oxobutyl)-1H-1,2,3-triazol-4-yl)butyl)piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 143



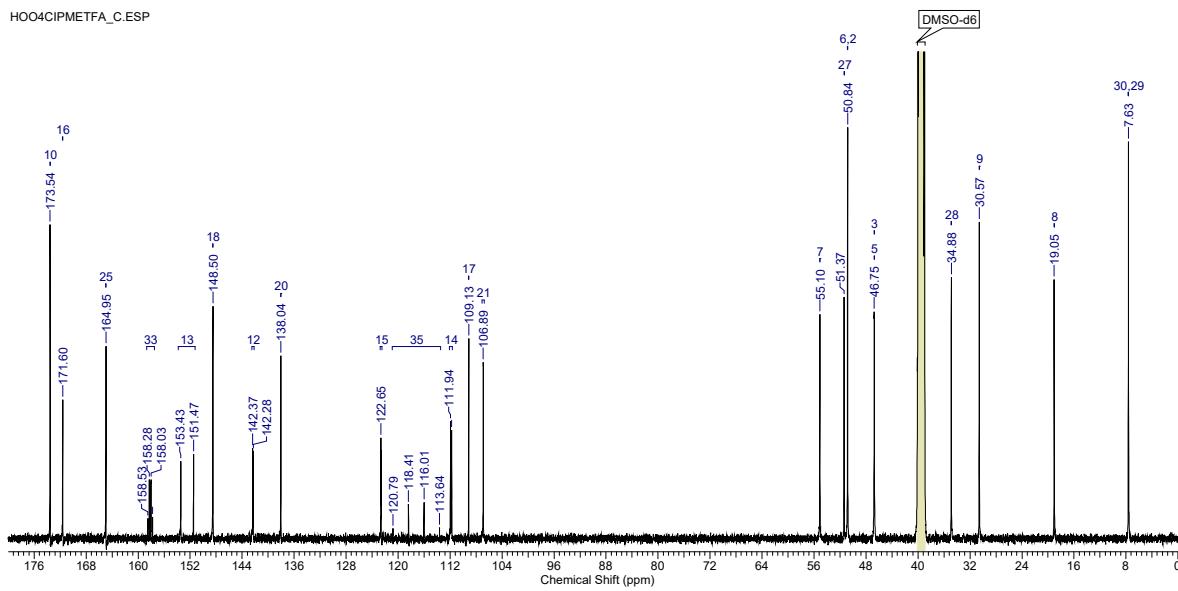
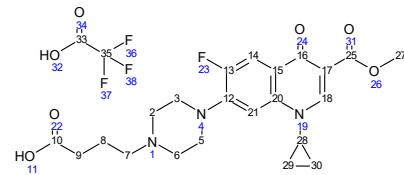
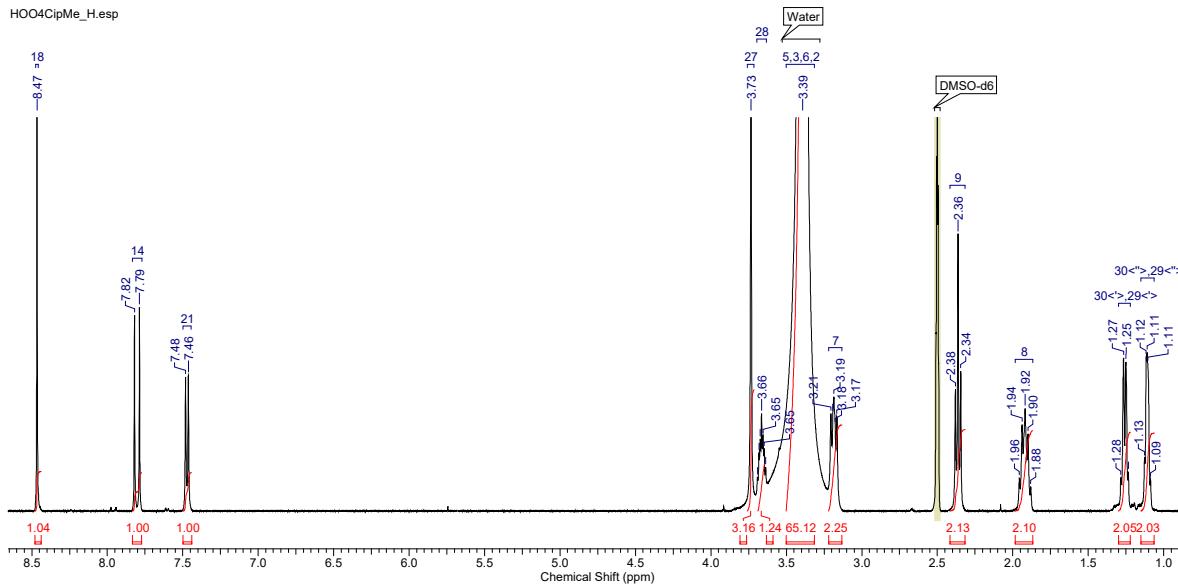
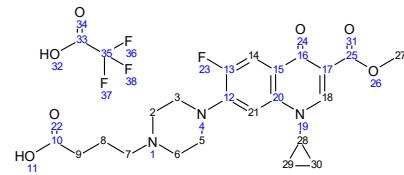
1.27 1-Cyclopropyl-6-fluoro-7-(4-(1-(4-((3-methoxyphenyl)amino)-4-oxobutyl)-1H-1,2,3-triazol-4-yl)butyl)piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 144



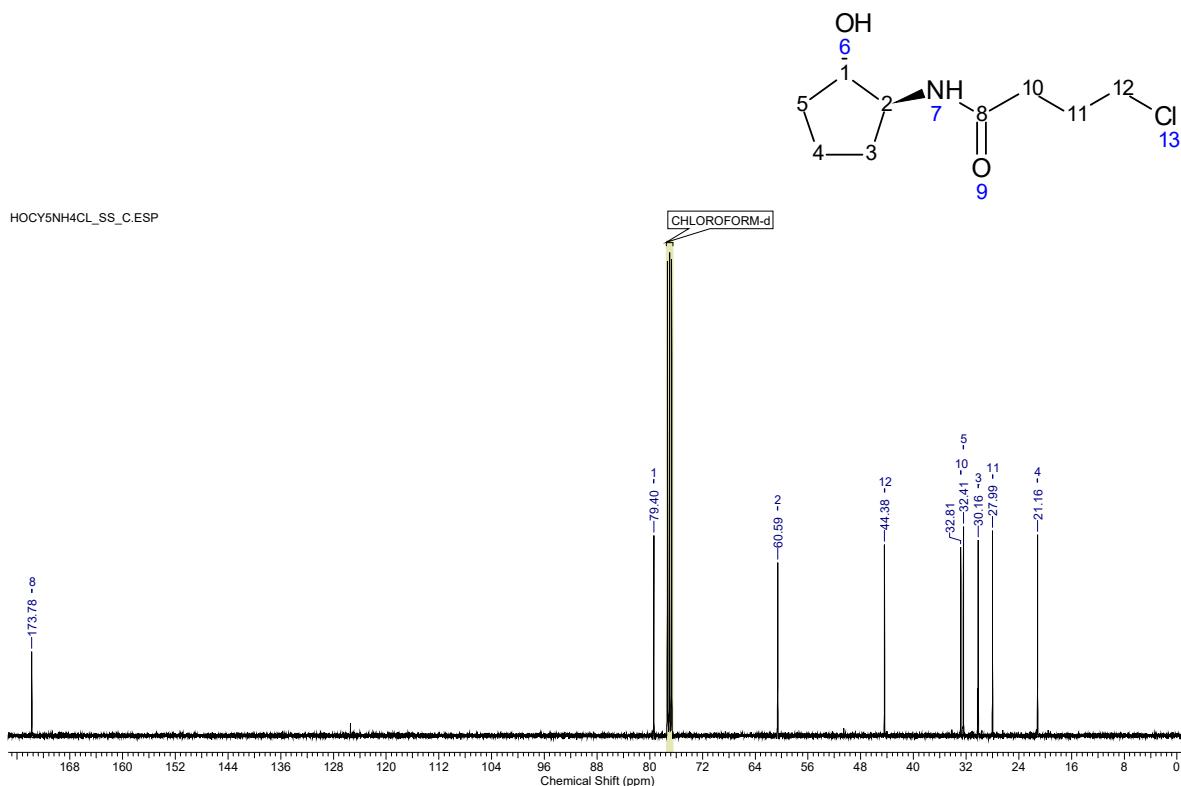
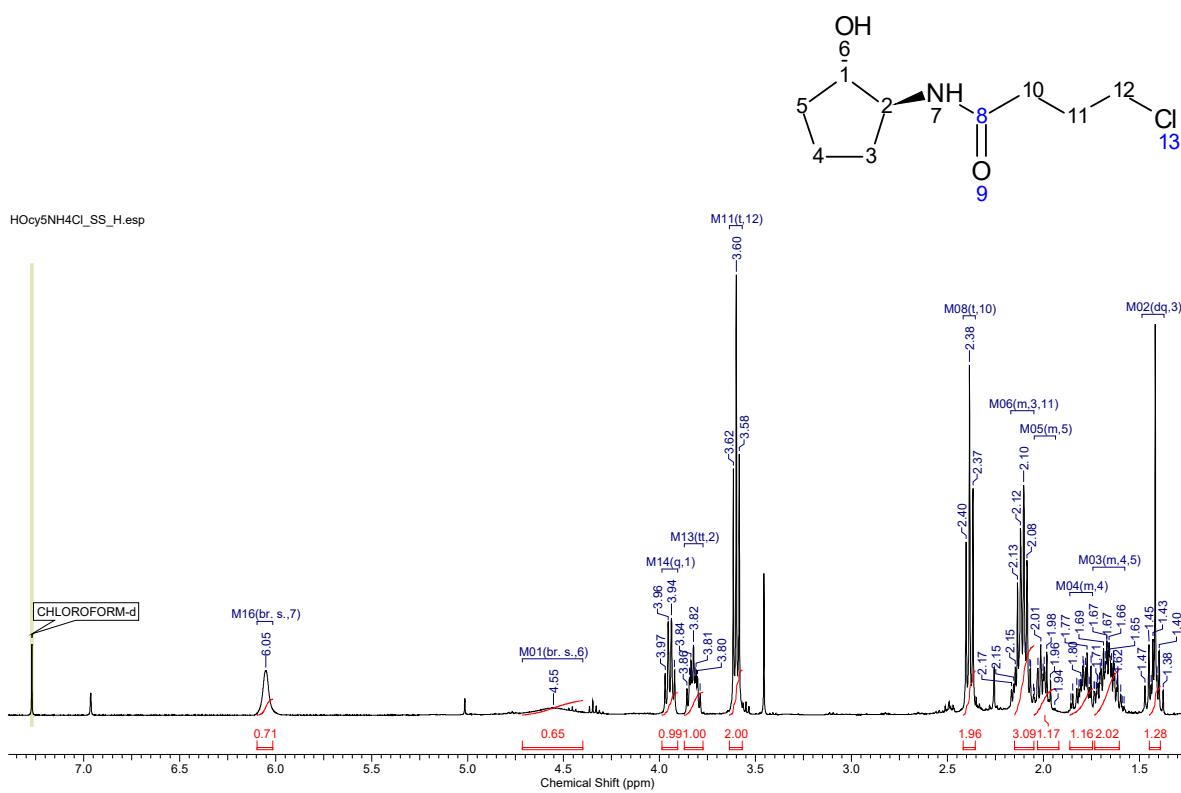
1.28 Methyl 7-(4-(*tert*-butoxy)-4-oxobutyl)piperazin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylate 145



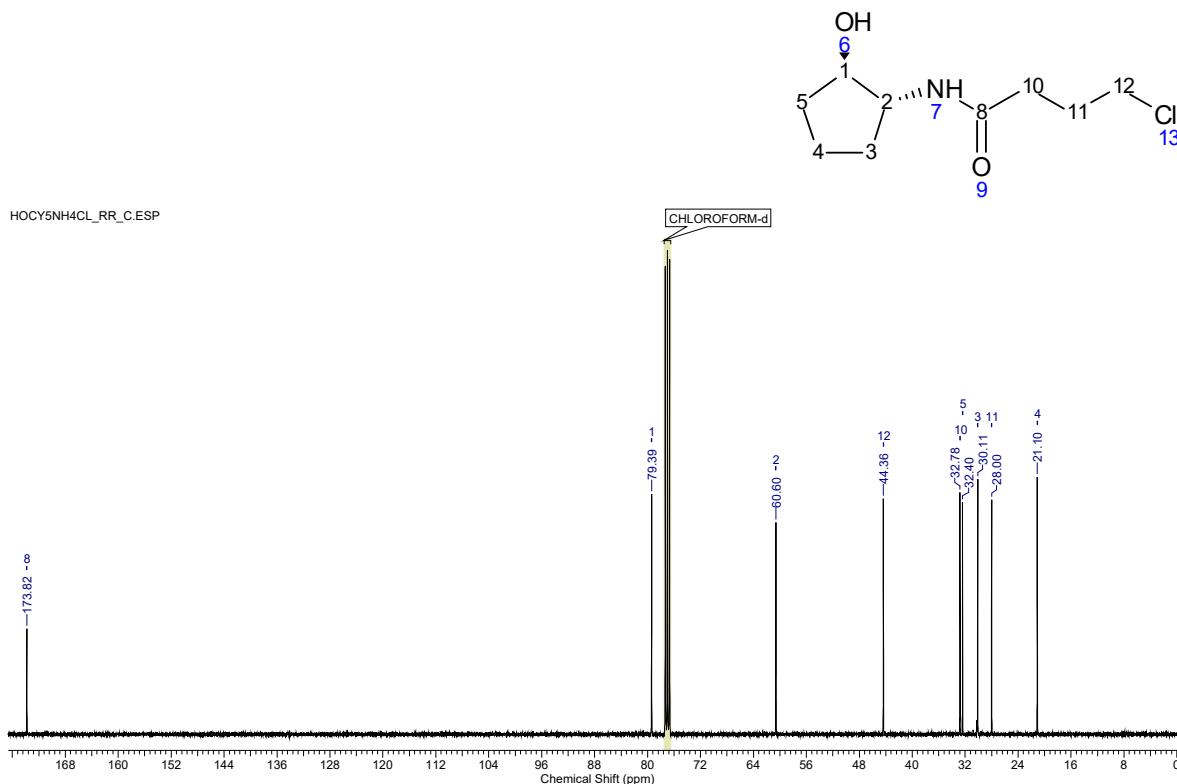
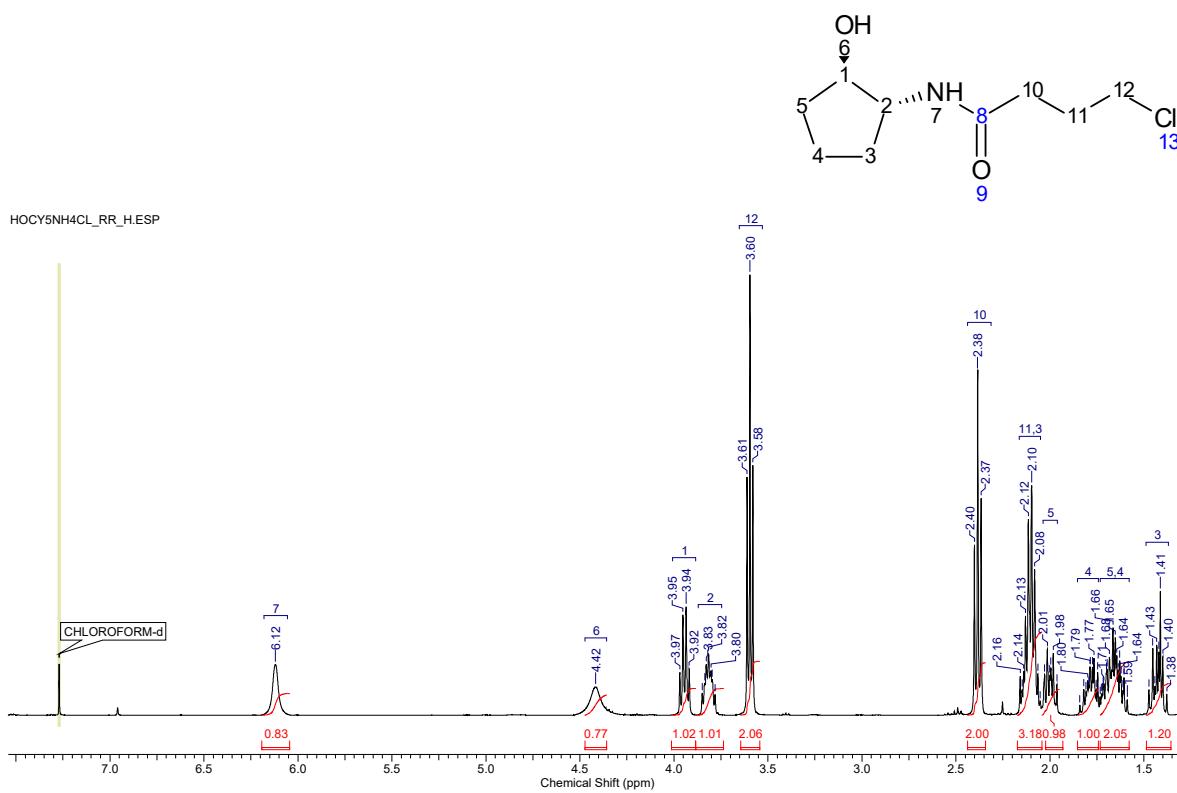
1.29 4-(4-(1-Cyclopropyl-6-fluoro-3-(methoxycarbonyl)-4-oxo-1,4-dihydroquinolin-7-yl)piperazin-1-yl)butanoic acid, trifluoroacetic acid salt 146



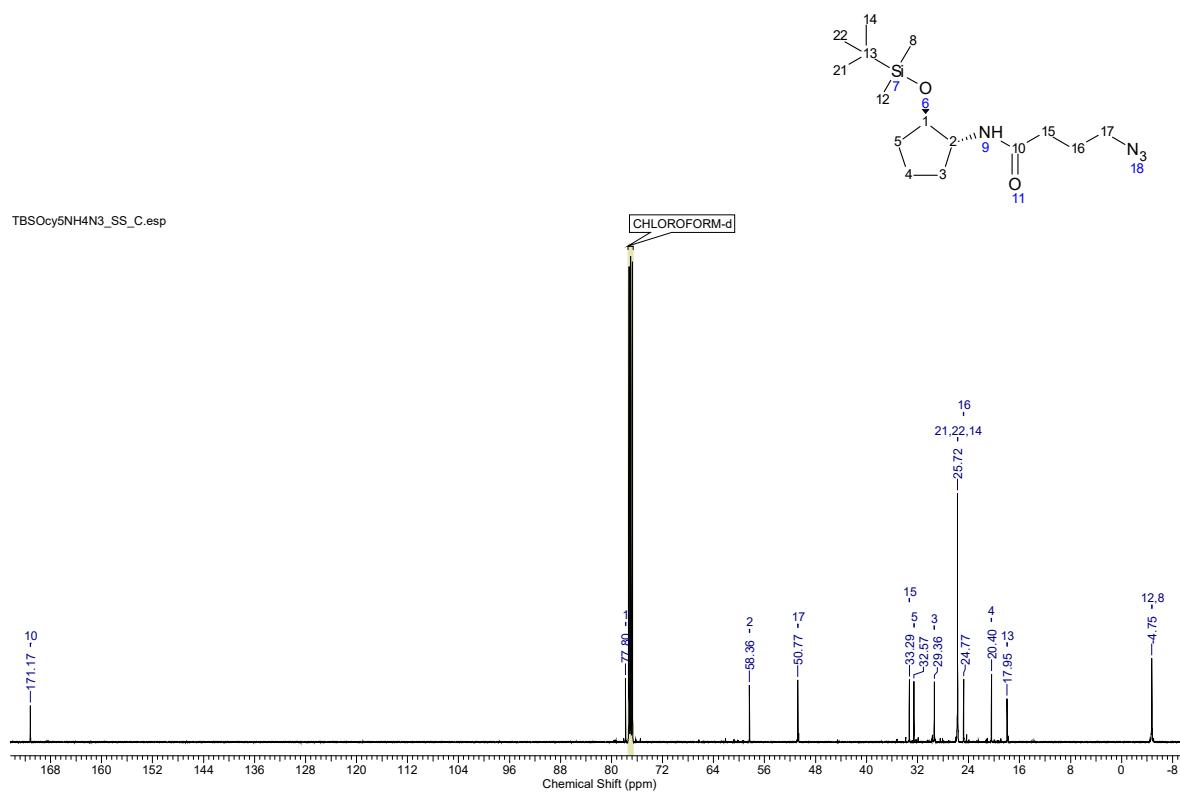
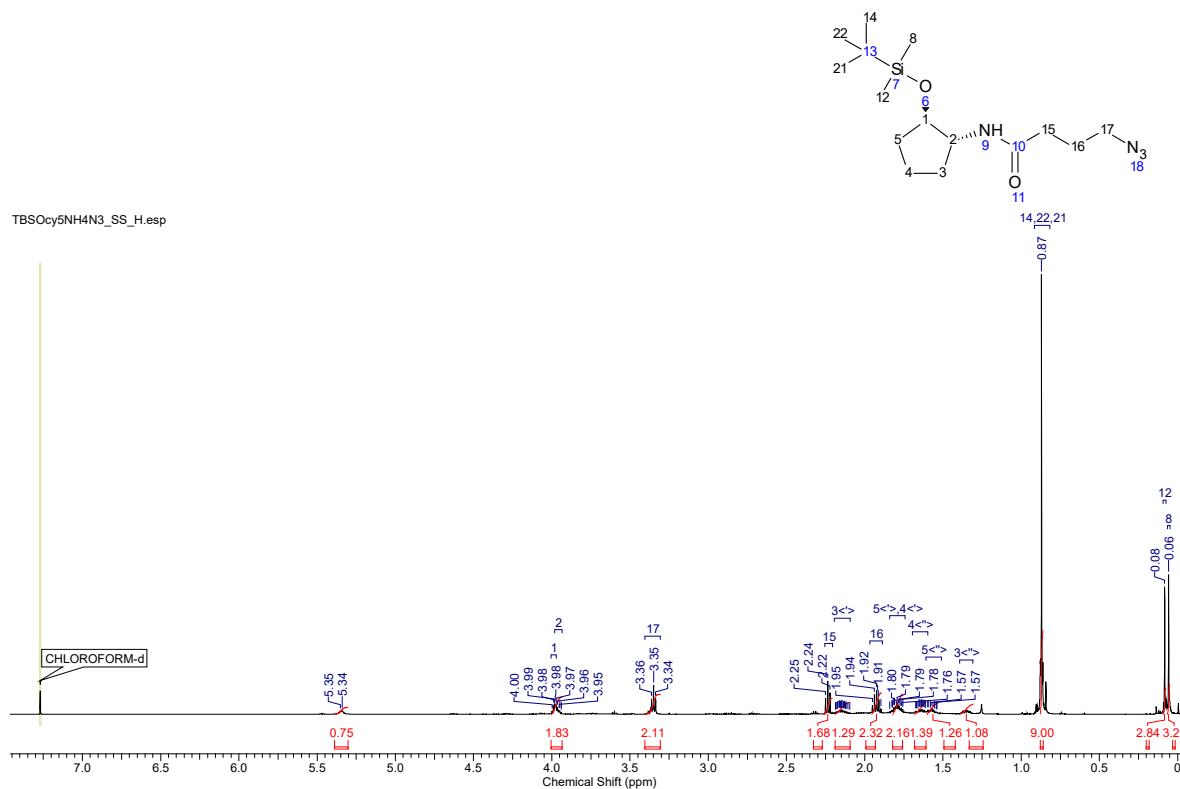
1.30 4-Chloro-*N*-(*(1S,2S)*-2-hydroxycyclopentyl)butanamide 147



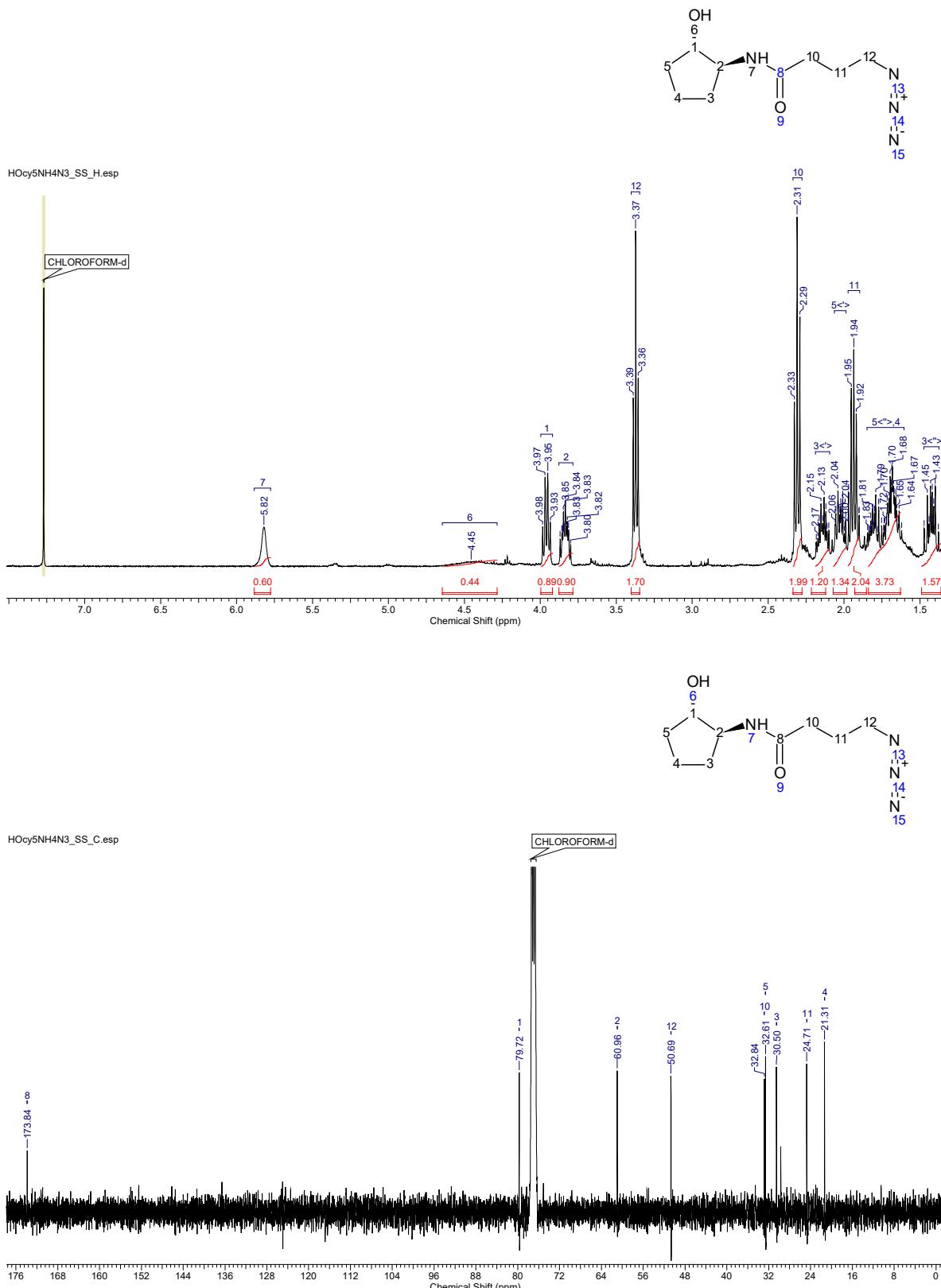
1.31 4-Chloro-*N*-(*1R,2R*)-2-hydroxycyclopentyl)butanamide 148



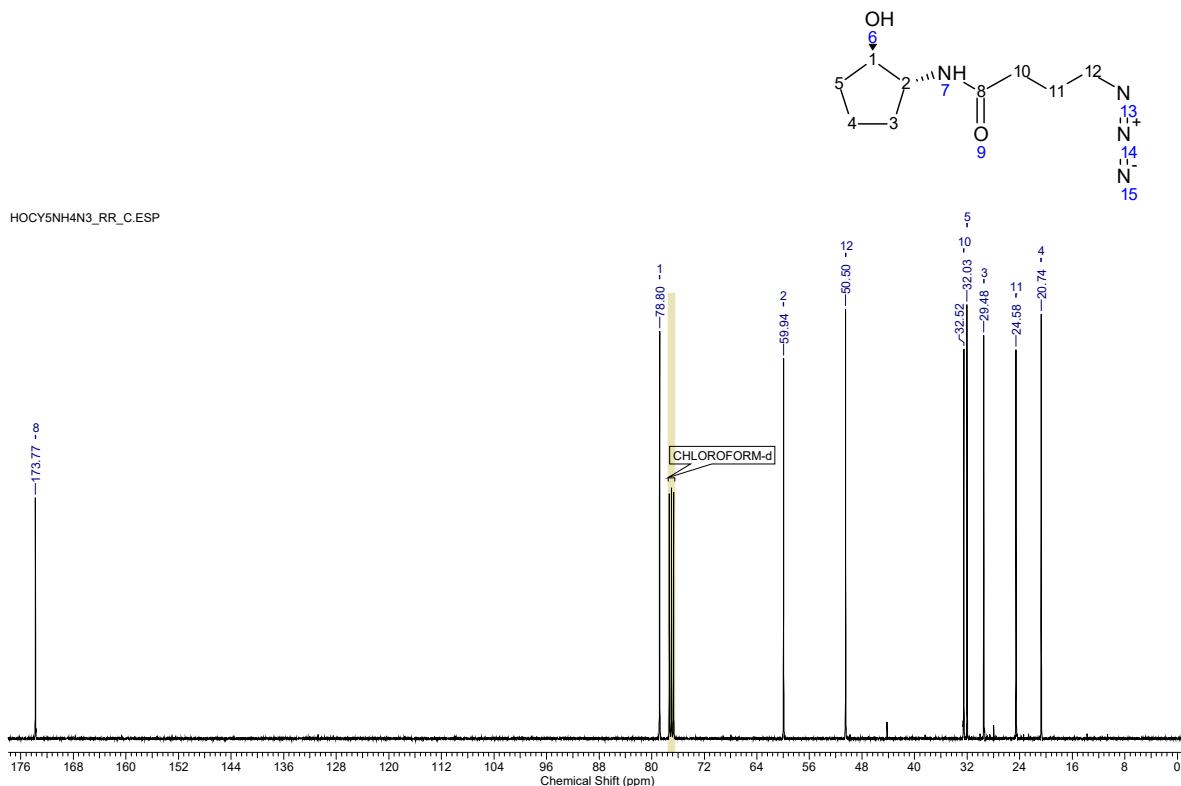
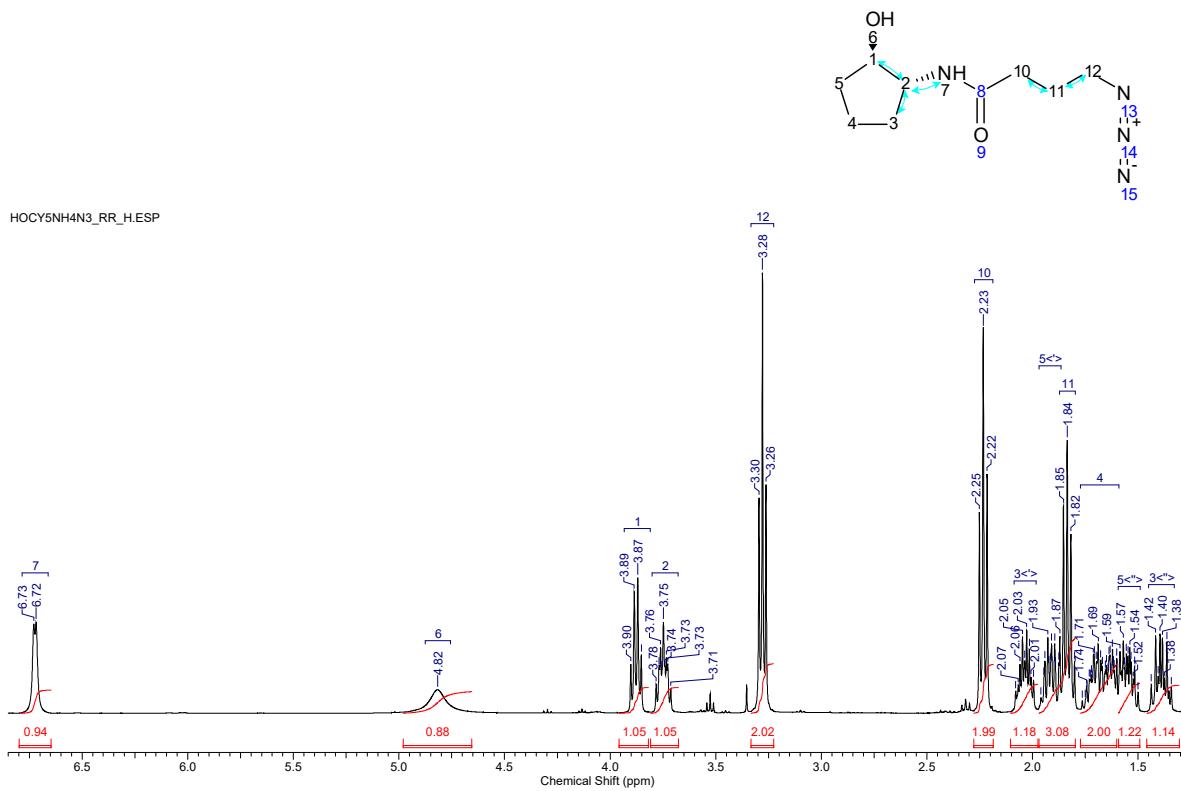
**1.32 4-Azido-*N*-((1*S*,2*S*)-2-((*tert*-butyldimethylsilyl)oxy)cyclopentyl)butanamide
149**



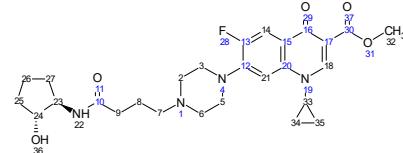
1.33 4-Azido-*N*-(*(1S,2S)*-2-hydroxycyclopentyl)butanamide 150



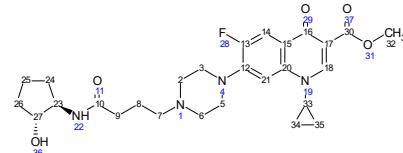
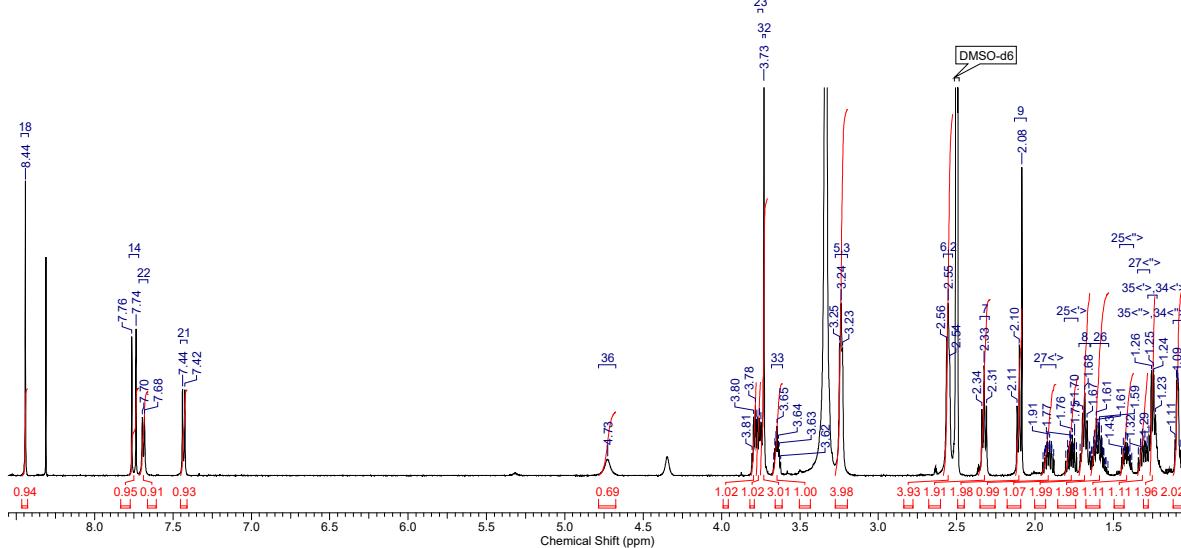
1.34 4-Azido-*N*-(*(1R,2R)*-2-hydroxycyclopentyl)butanamide 151



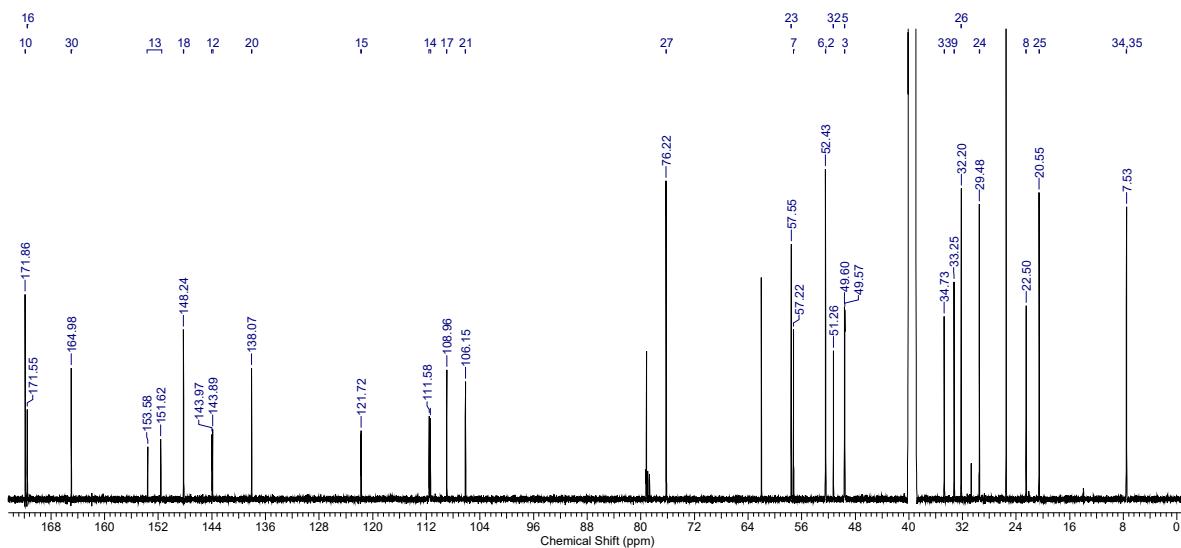
1.35 Methyl 1-cyclopropyl-6-fluoro-7-(4-((1*S*,2*S*)-2-hydroxycyclopentyl)amino)-4-oxobutyl)piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylate 152



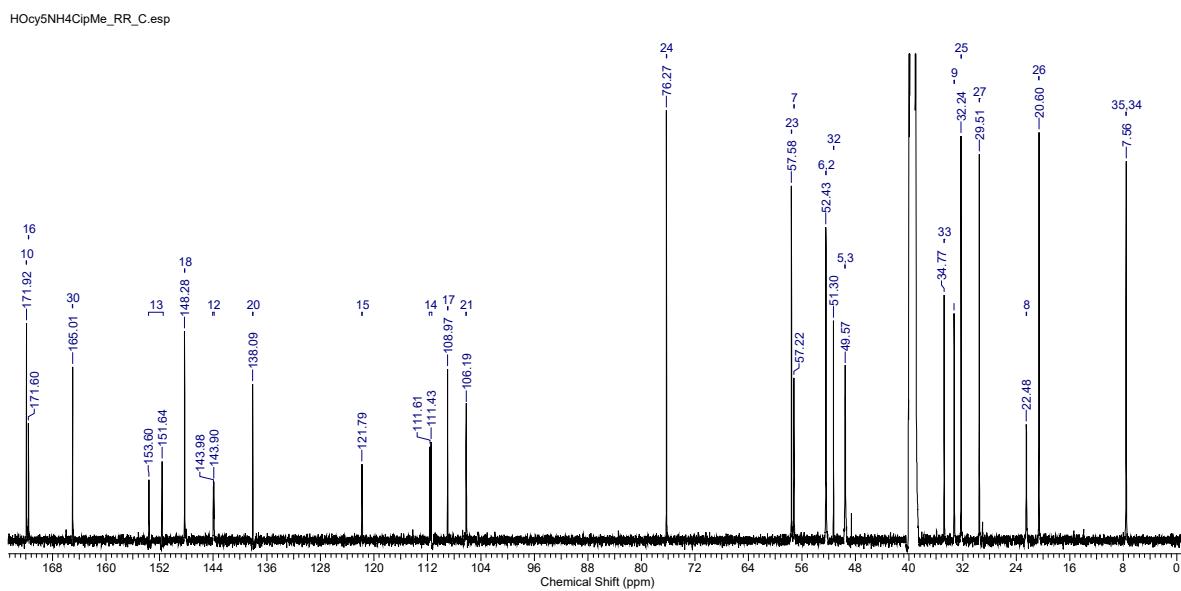
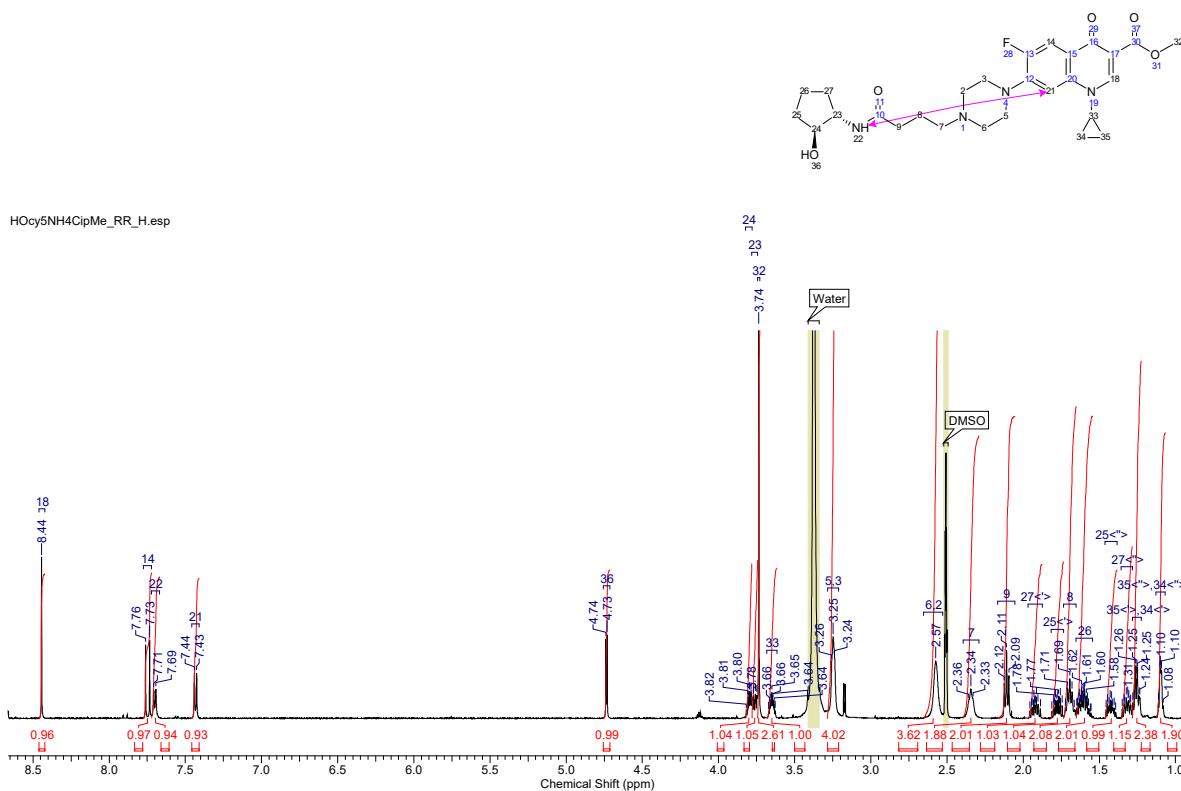
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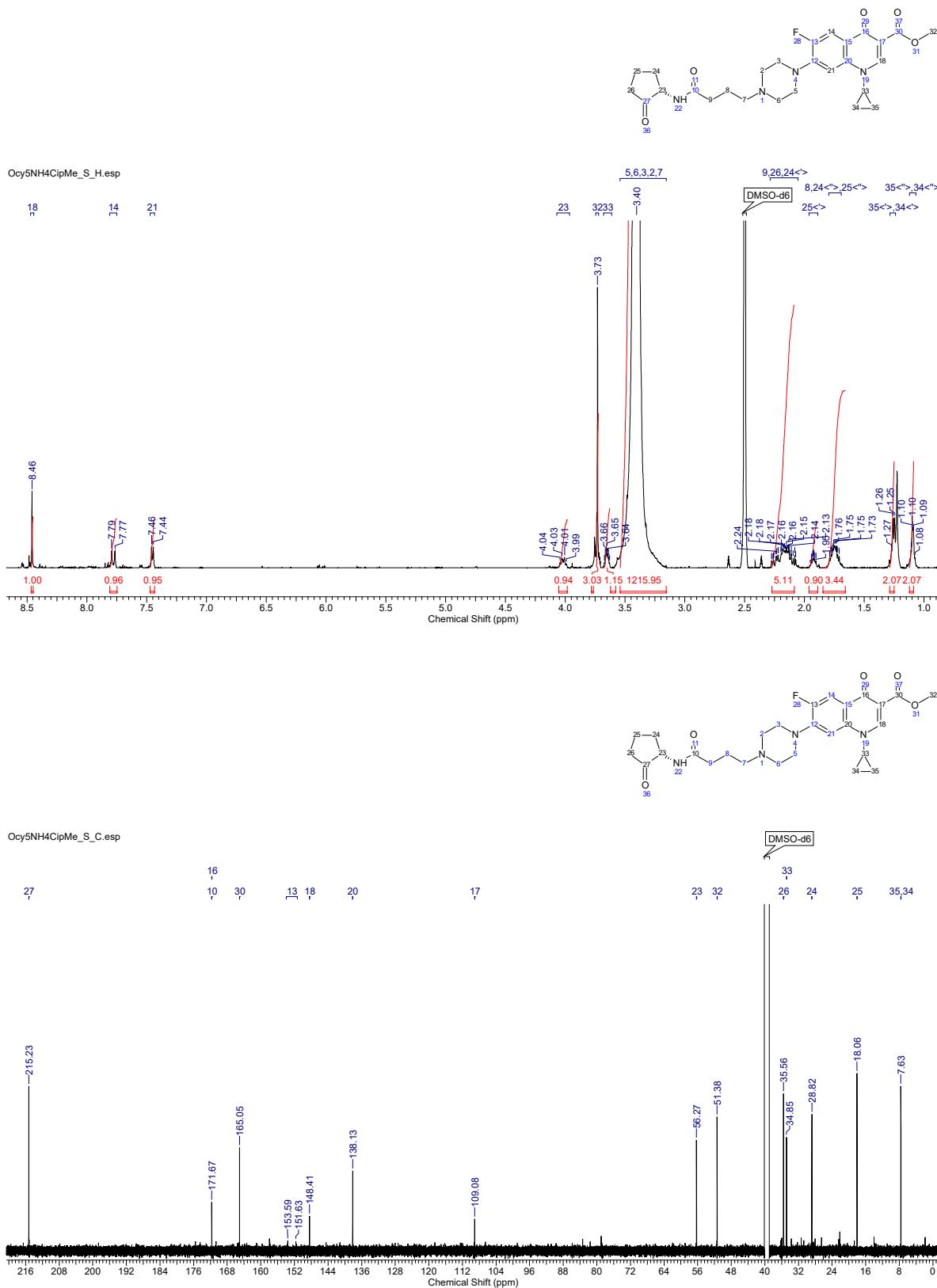
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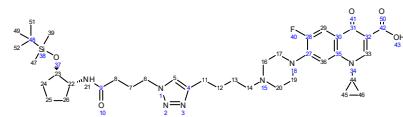
1.36 Methyl 1-cyclopropyl-6-fluoro-7-(4-((1*R*,2*R*)-2-hydroxycyclopentyl)amino)-4-oxobutyl)piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylate 153



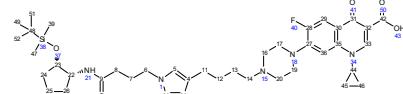
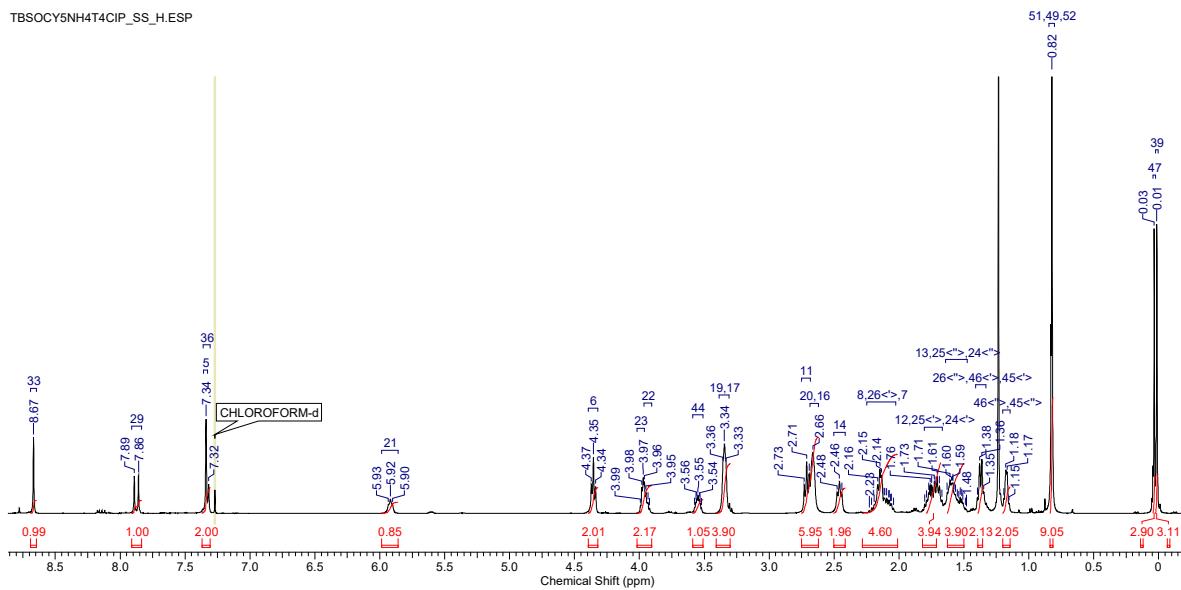
1.37 Methyl (S)-1-cyclopropyl-6-fluoro-4-oxo-7-(4-(4-oxo-4-((2-oxocyclopentyl)amino)butyl)piperazin-1-yl)-1,4-dihydroquinoline-3-carboxylate 154



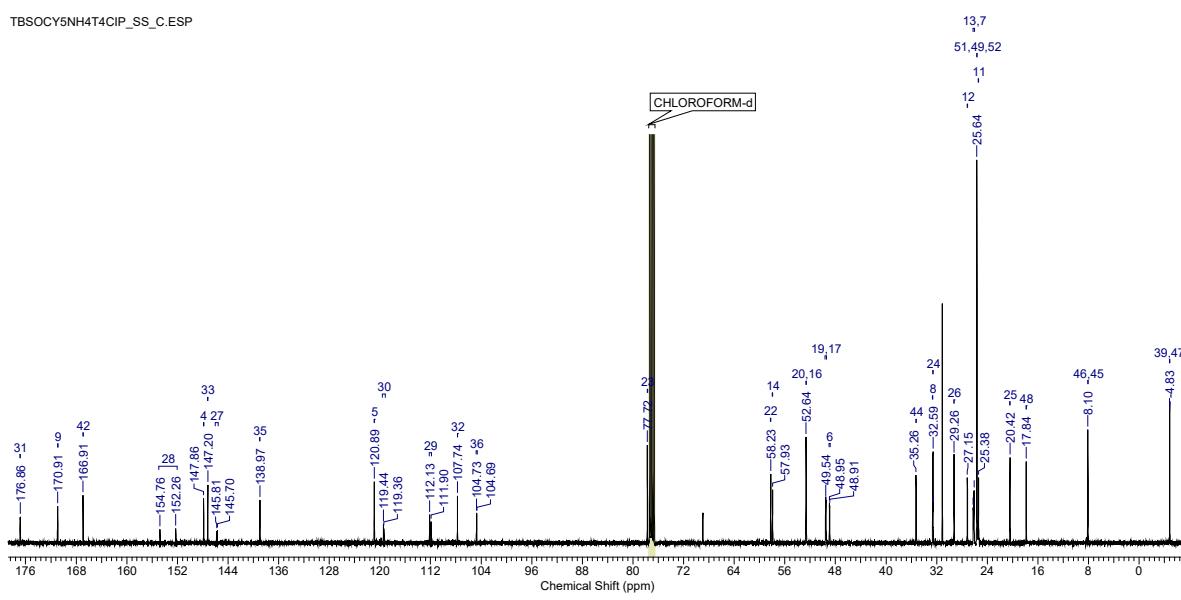
1.38 7-(4-(1-(4-(((1*S*,2*S*)-2-((*tert*-butyldimethylsilyl)oxy)cyclopentyl)amino)-4-oxobutyl)-1*H*-1,2,3-triazol-4-yl)butyl)piperazin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid 155



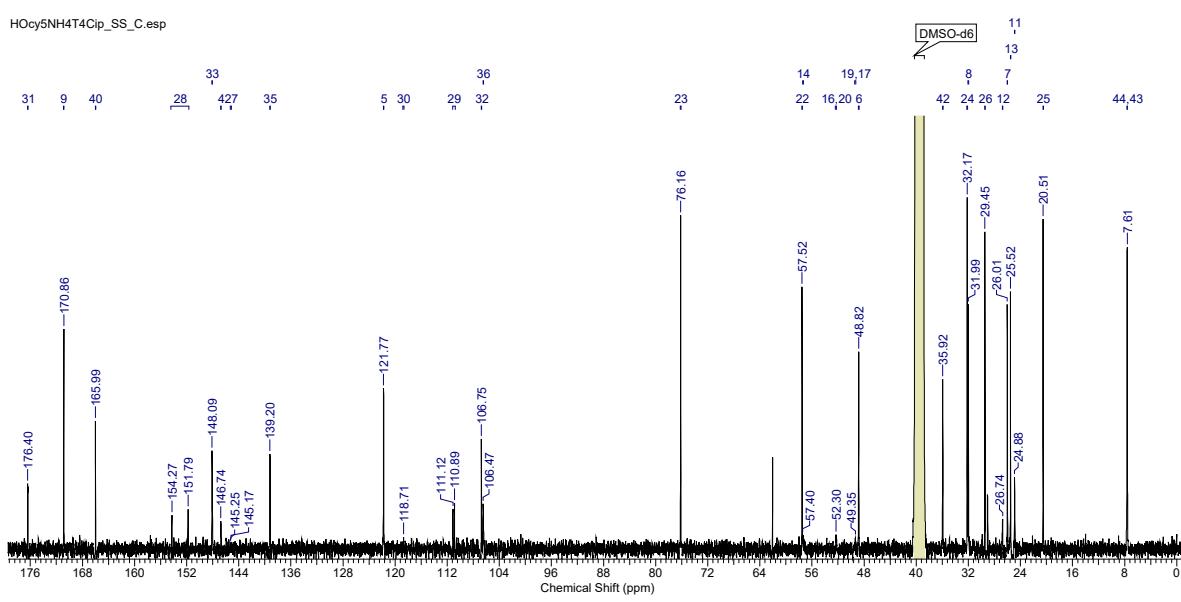
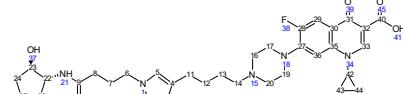
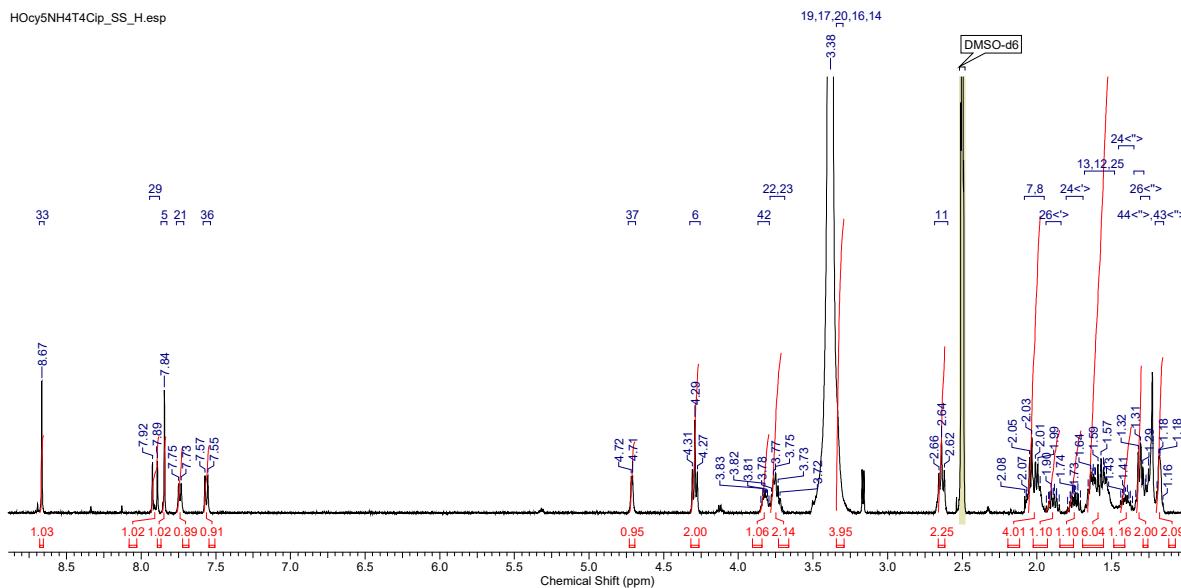
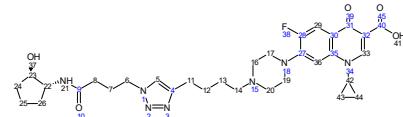
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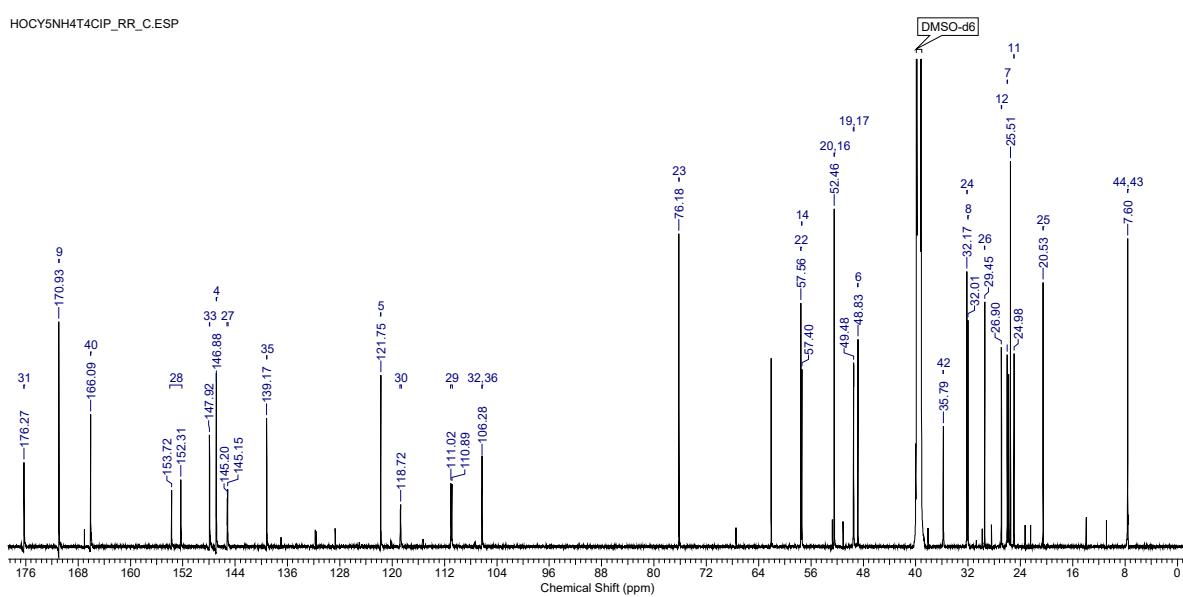
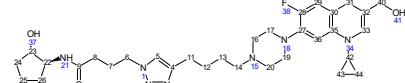
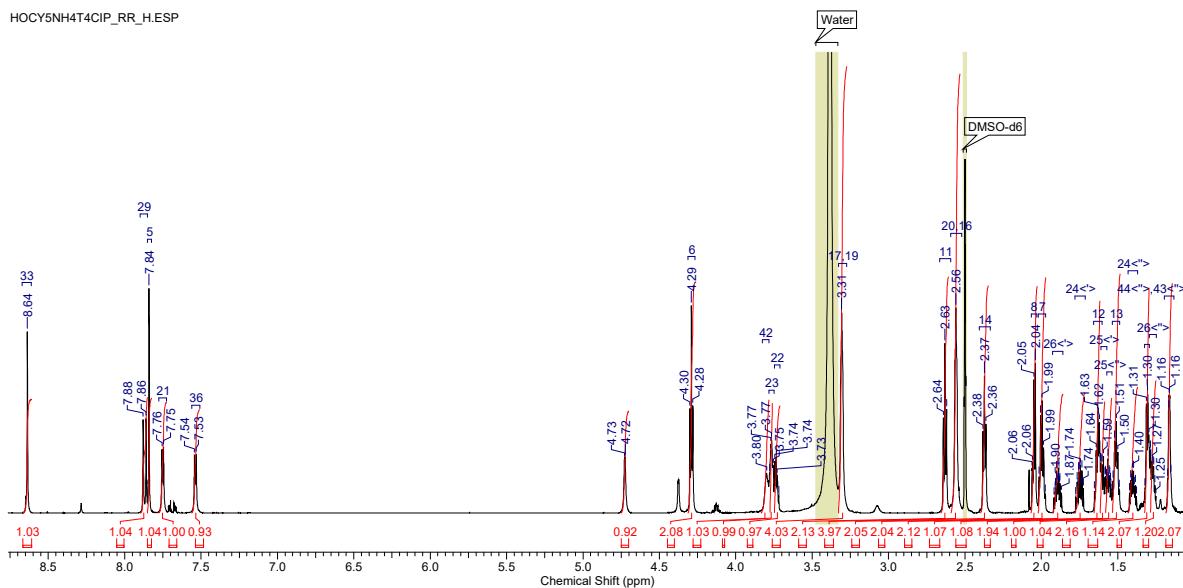
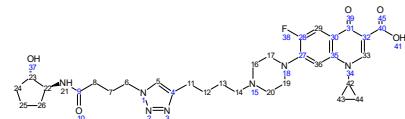
TBSOCY5NH4T4CIP SS C.ESP



1.39 1-Cyclopropyl-6-fluoro-7-(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-dihydroquino-line-3-carboxylic acid 156



1.40 1-Cyclopropyl-6-fluoro-7-(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-dihydroquino-line-3-carboxylic acid 157



1.41 4-Chloro-*N*-((*trans*)-2-hydroxycyclohexyl)butanamide 158

H0cy6NH4Cl_H.pdf

H0cy6NH4Cl_C.pdf

1.42 4-Azido-*N*-((*trans*)-2-hydroxycyclohexyl)butanamide 159

H0cy6NH4N3_H.pdf

H0cy6NH4N3_C.pdf

1.43 Methyl 1-cyclopropyl-6-fluoro-7-(4-((*trans*)-2-hydroxycyclohexyl)amino)-4-oxobutyl)piperazin-1-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylate 160

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 161

0cy6NH4CipMe_H.pdf

0cy6NH4CipMe_C.pdf

1.45 1-Cyclopropyl-6-fluoro-7-(4-(1-(4-((*trans*)-2-hydroxycyclohexyl)amino)-4-oxobutyl)-1H-1,2,3-triazol-4-yl)butyl)piperazin-1-yl)-4-oxo-1,4-dihydroquino-line-3-carboxylic acid 162

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 163

0cy6NH4T4Cip_H.pdf

0cy6NH4T4Cip_C.pdf