

# Glycosidase inhibition by novel guanidinium and urea iminosugar derivatives

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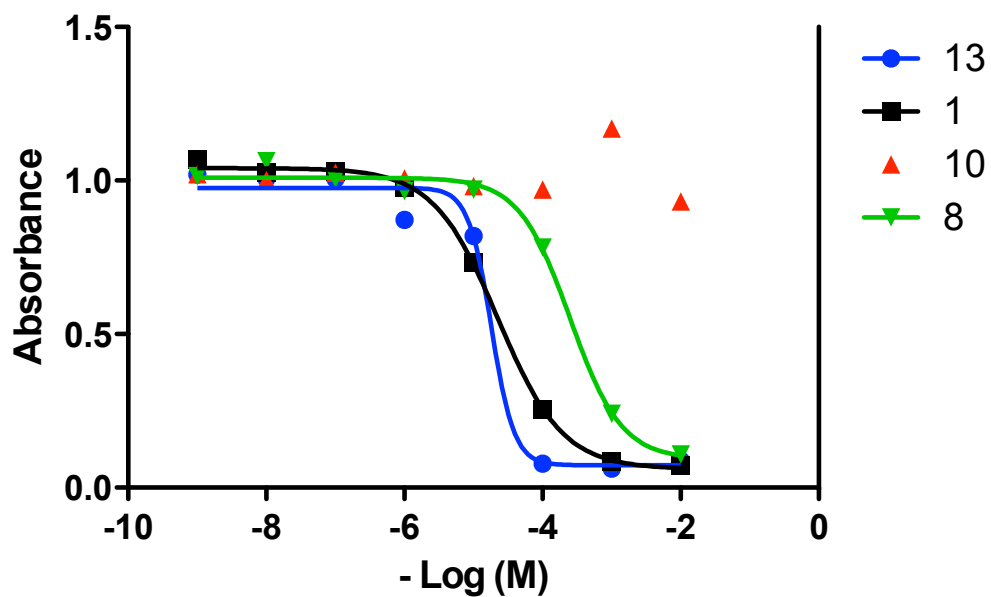
[N.I.Martin@uu.nl](mailto:N.I.Martin@uu.nl), [R.J.Pieters@uu.nl](mailto:R.J.Pieters@uu.nl)

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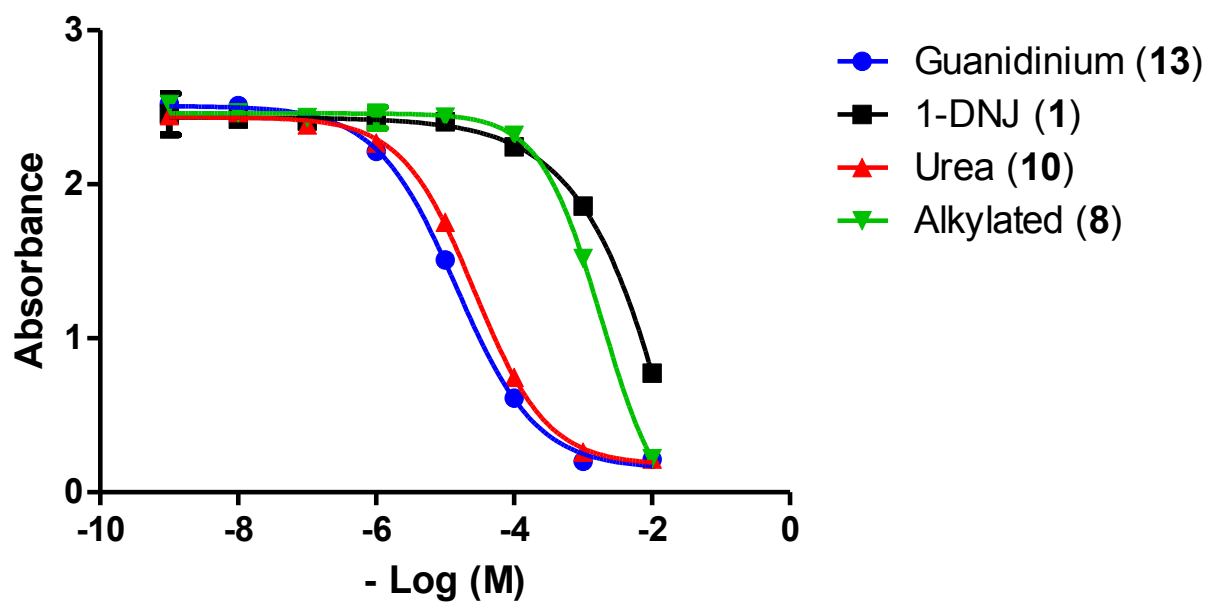
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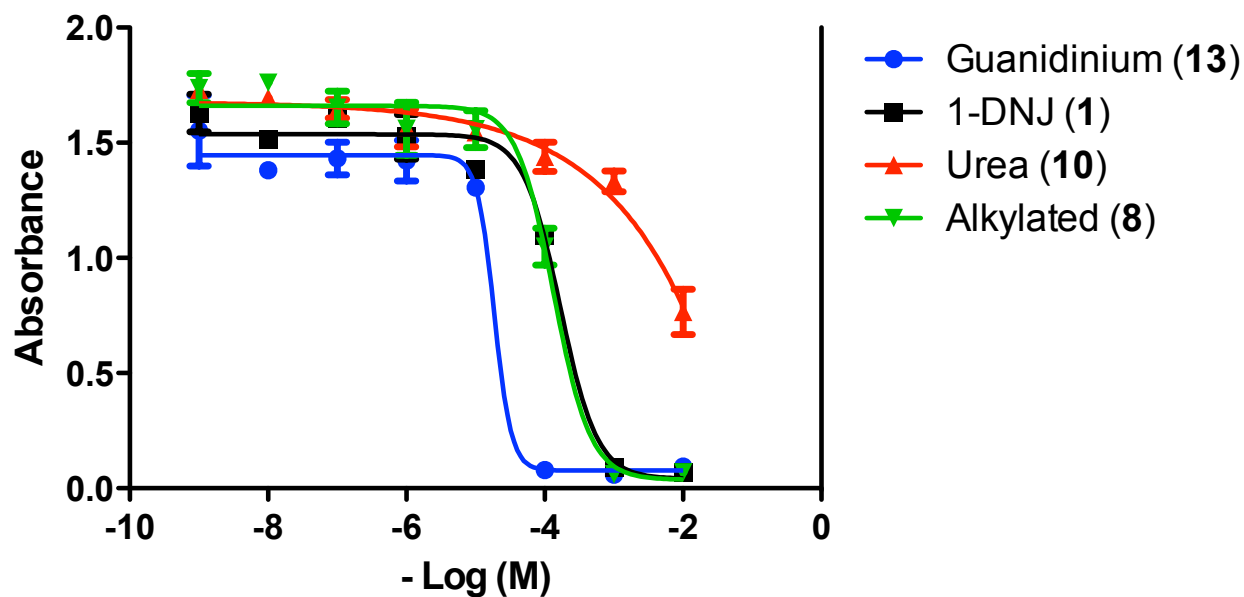
### $\alpha$ -galactosidase (from Green coffee beans)



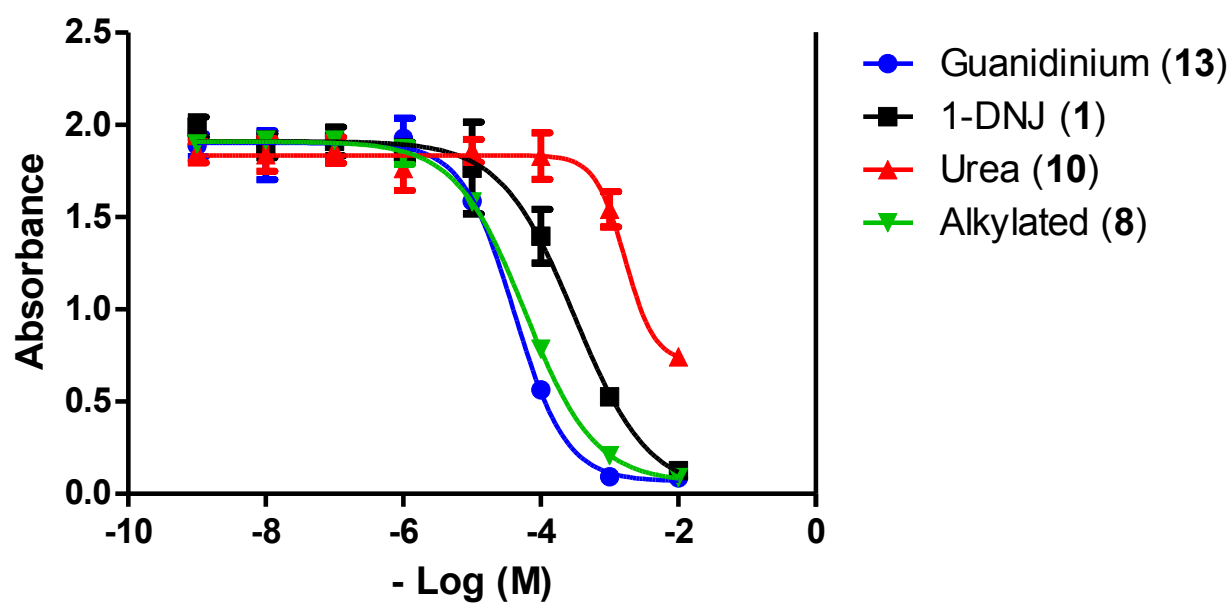
### $\beta$ -galactosidase (from *Bovine liver*)



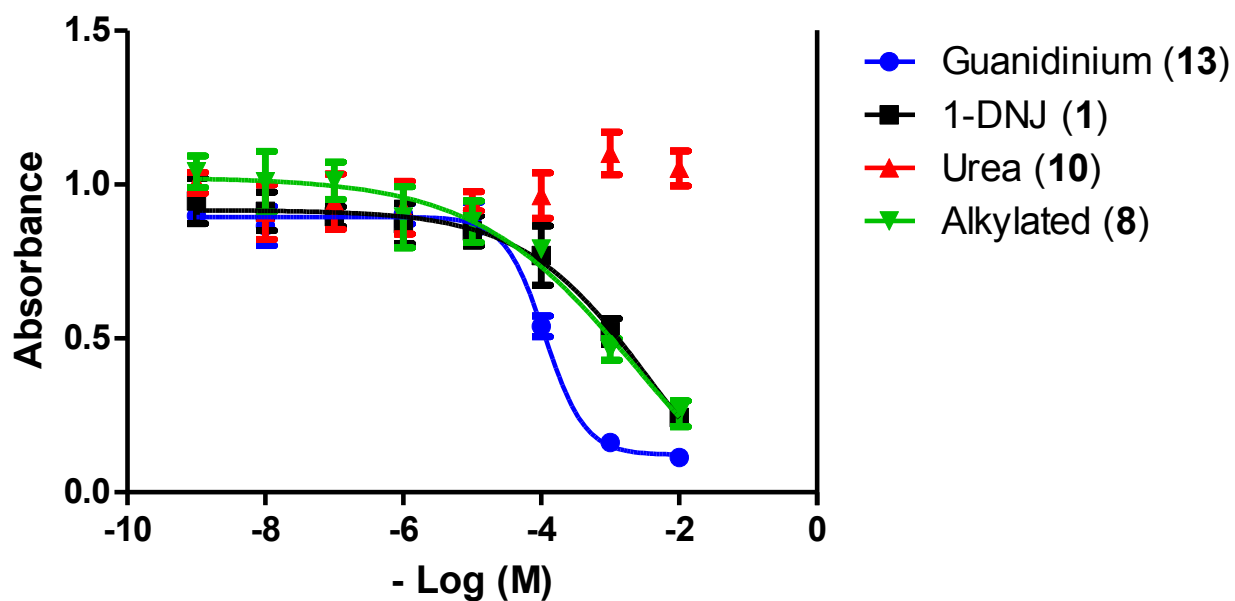
### $\alpha$ -glucosidase (from *Bakers yeast*)



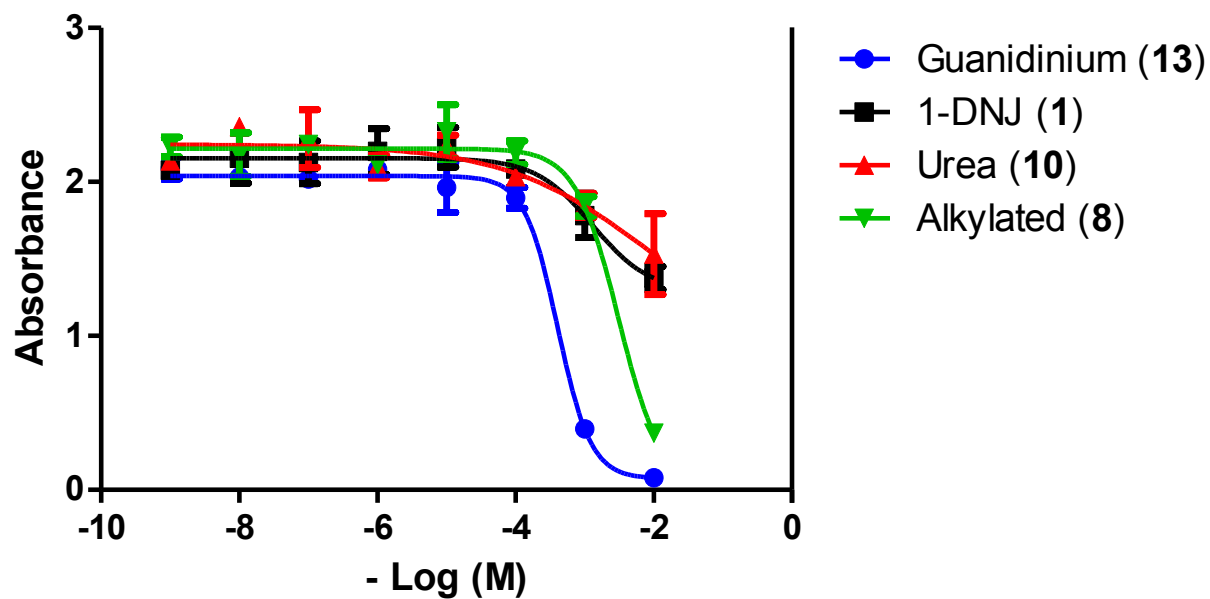
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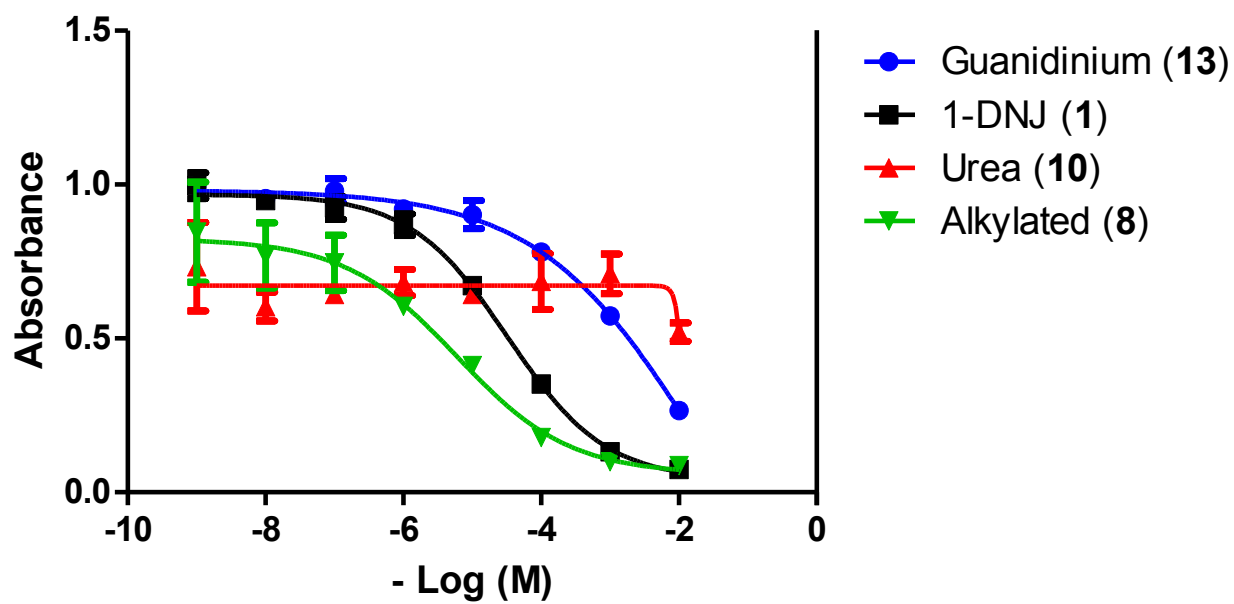
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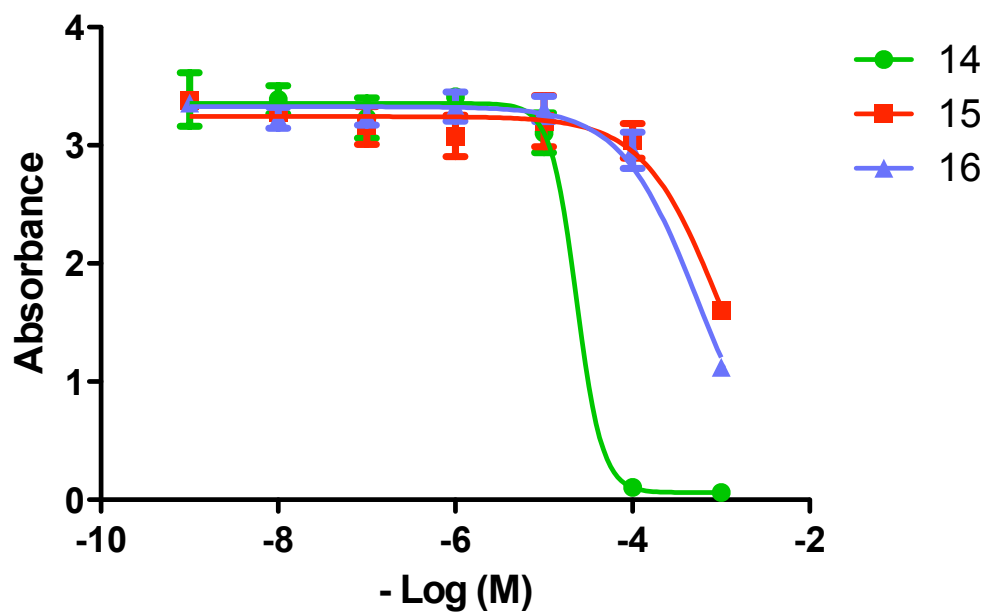
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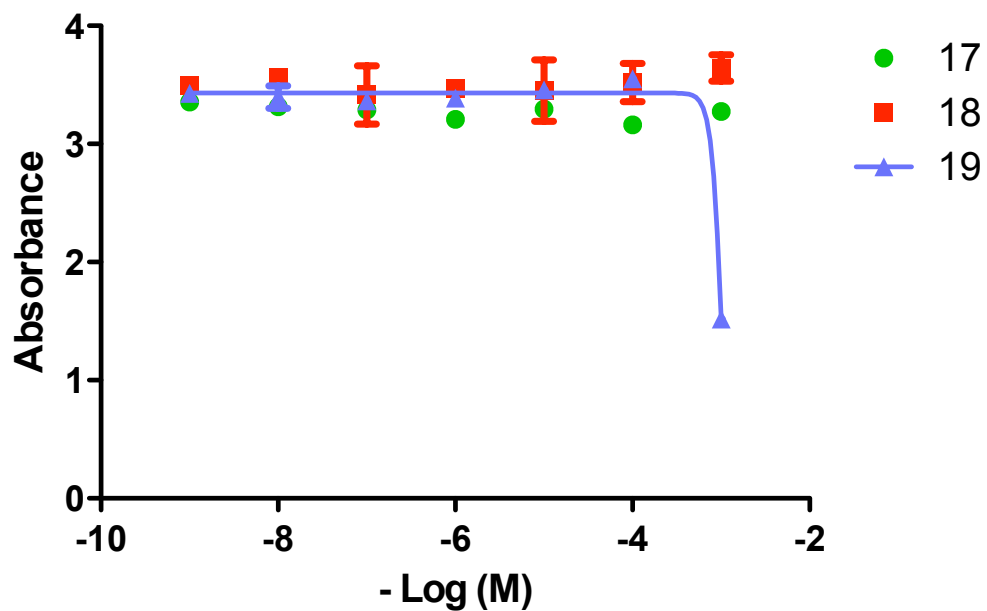
## Naringinase (from *Penicillium decumbens*)



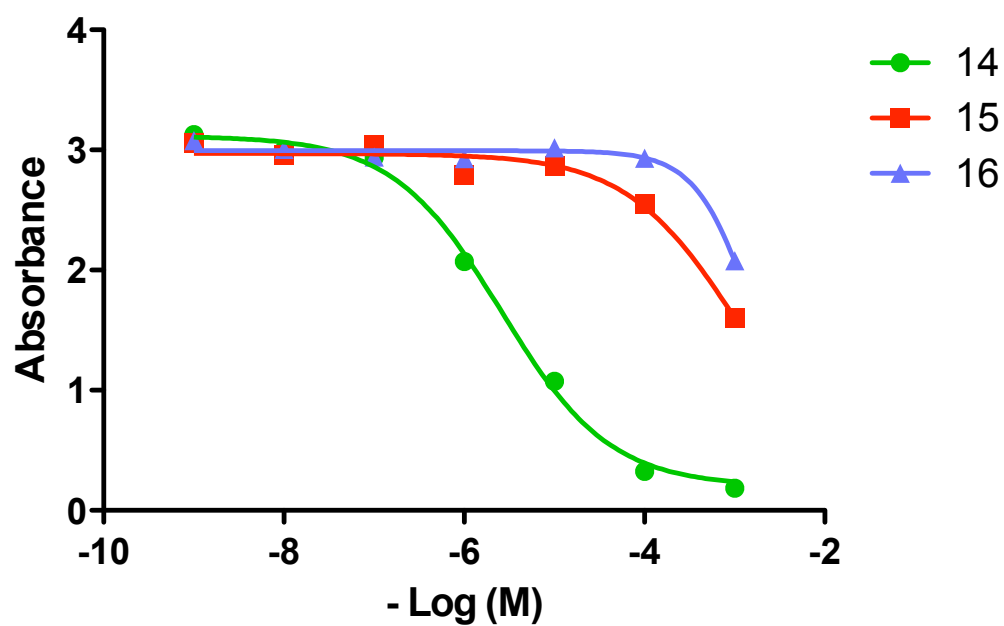
### $\alpha$ -galactosidase (from Green coffee beans)



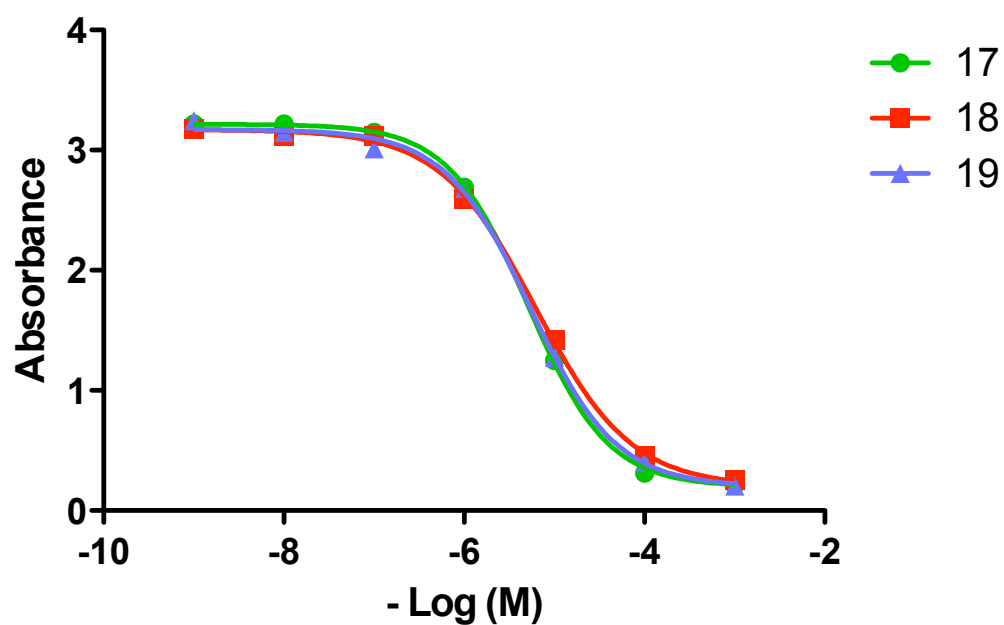
### $\alpha$ -galactosidase (from Green coffee beans)



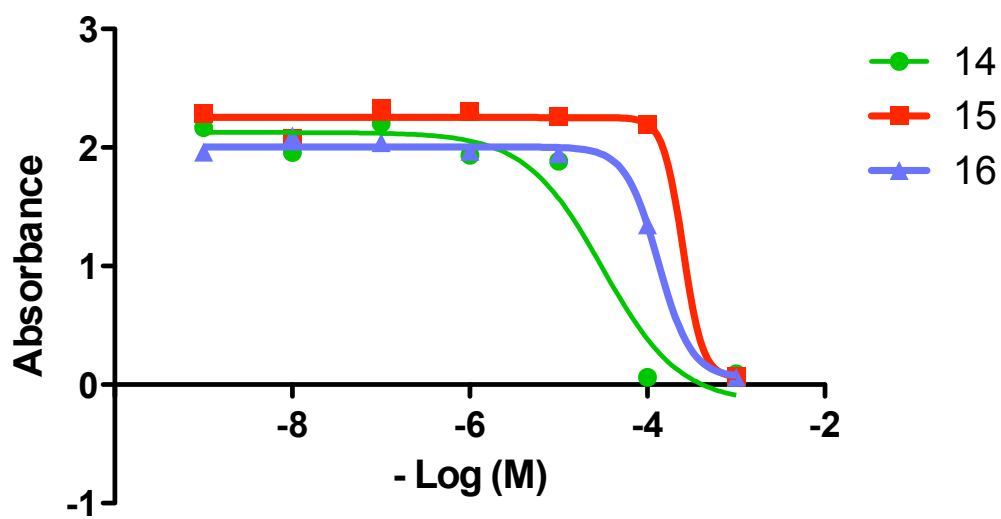
### $\beta$ -galactosidase (from Bovine liver)



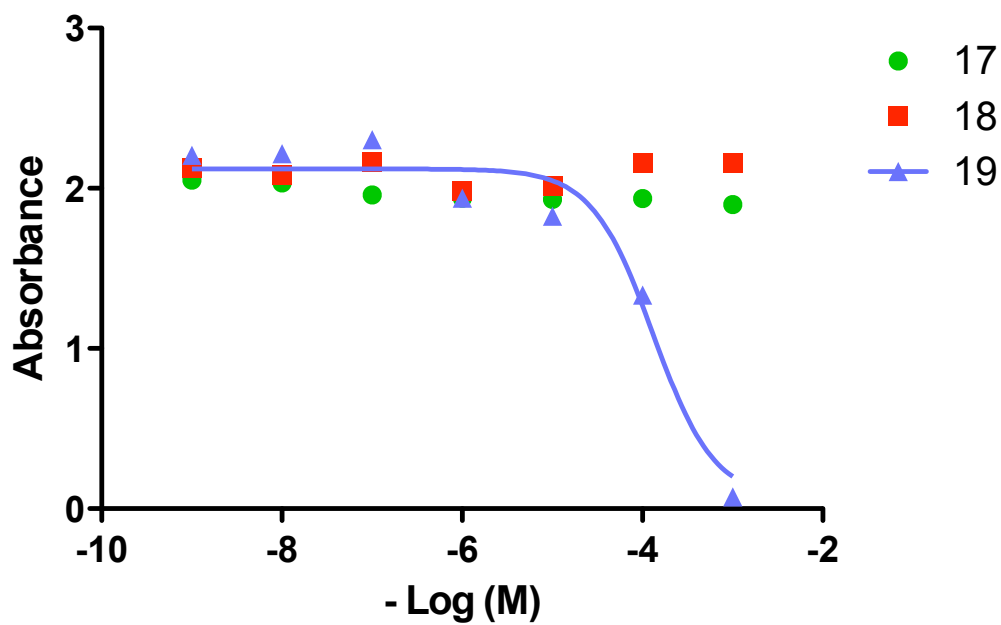
### $\beta$ -galactosidase (from Bovine liver)



### $\alpha$ -glucosidase (from Bakers yeast)

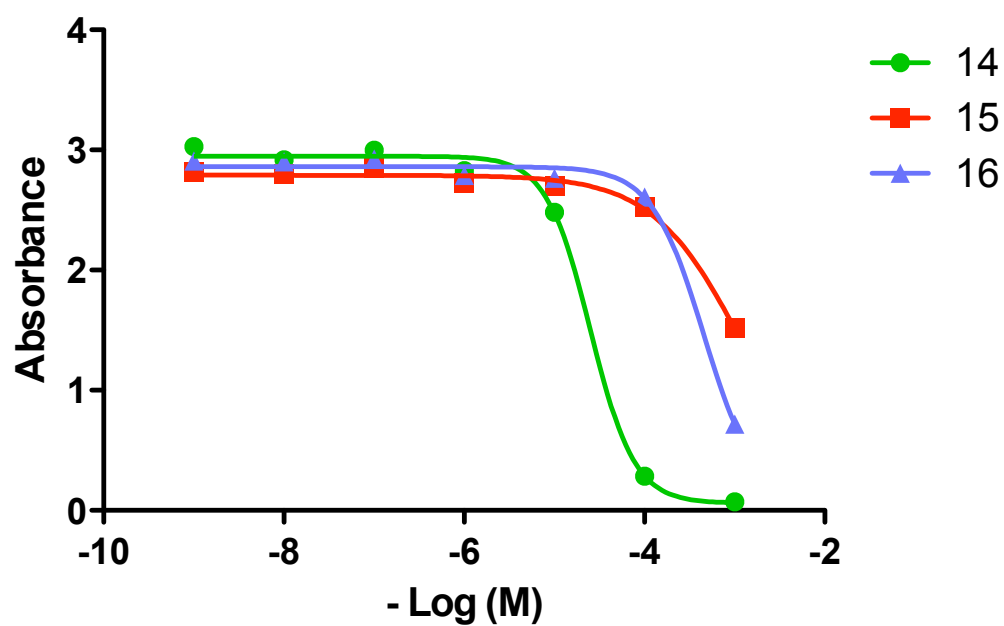


### $\alpha$ -glucosidase (from Bakers yeast)

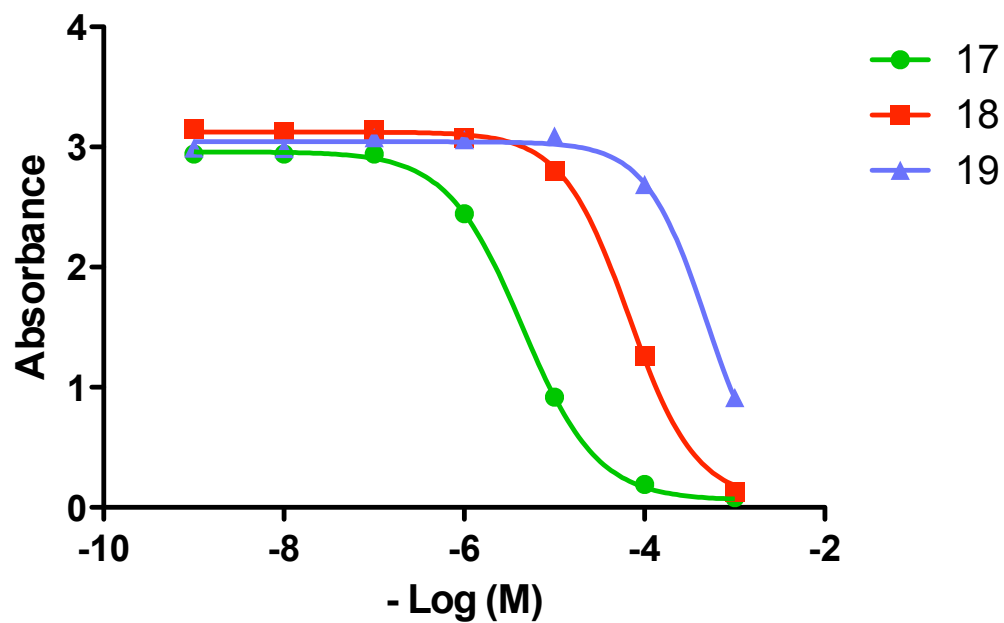


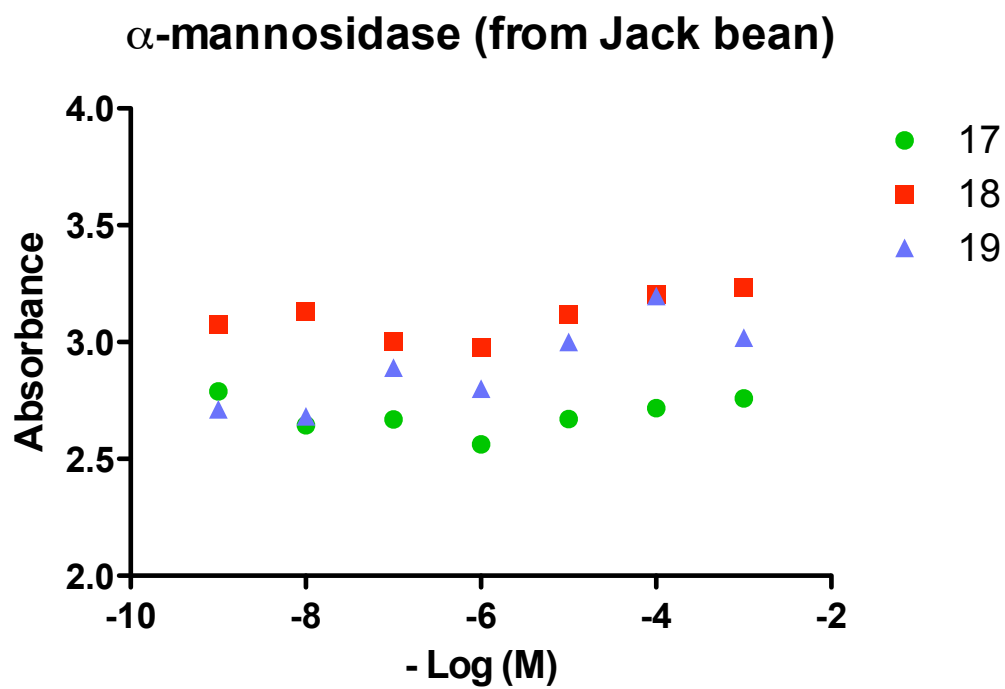
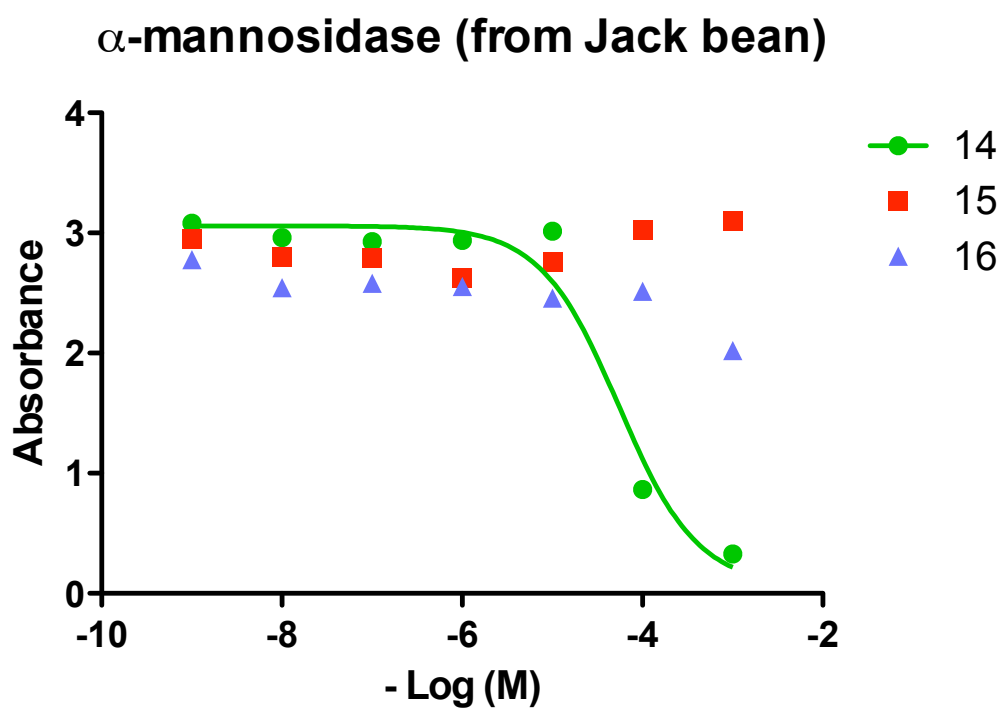


### $\beta$ -glucosidase (from Almonds)

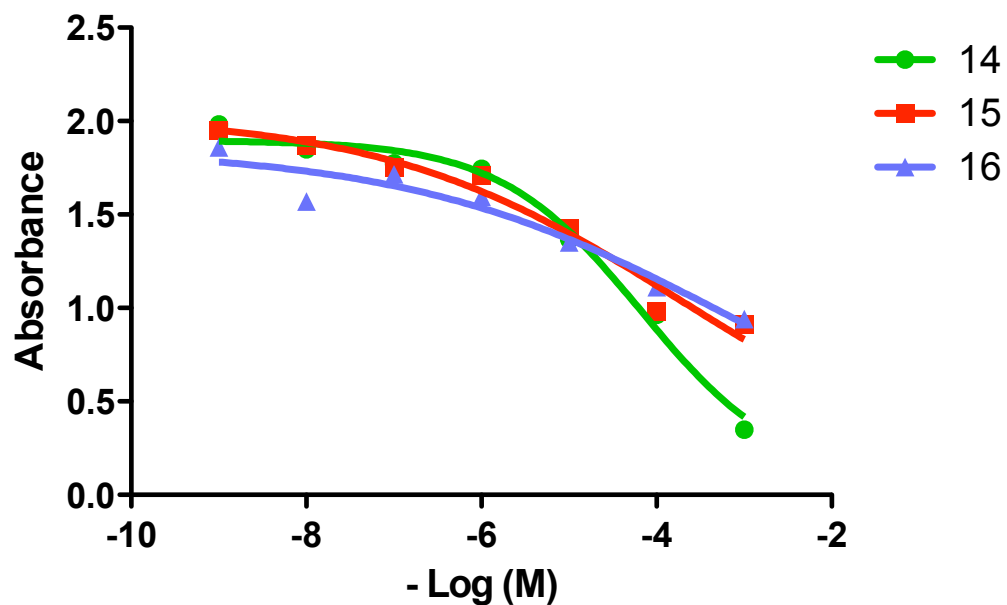


### $\beta$ -glucosidase (from Almonds)

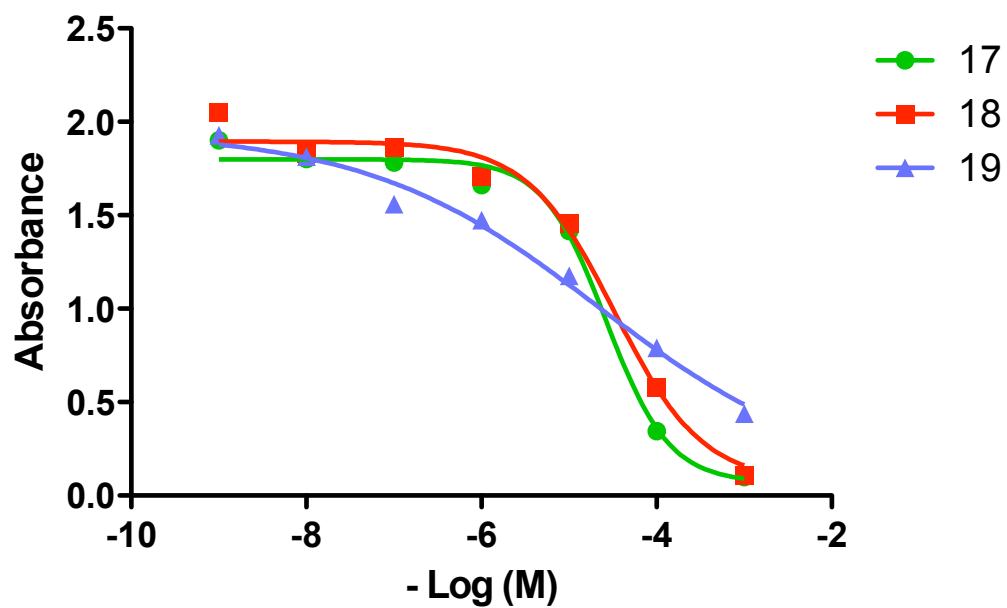




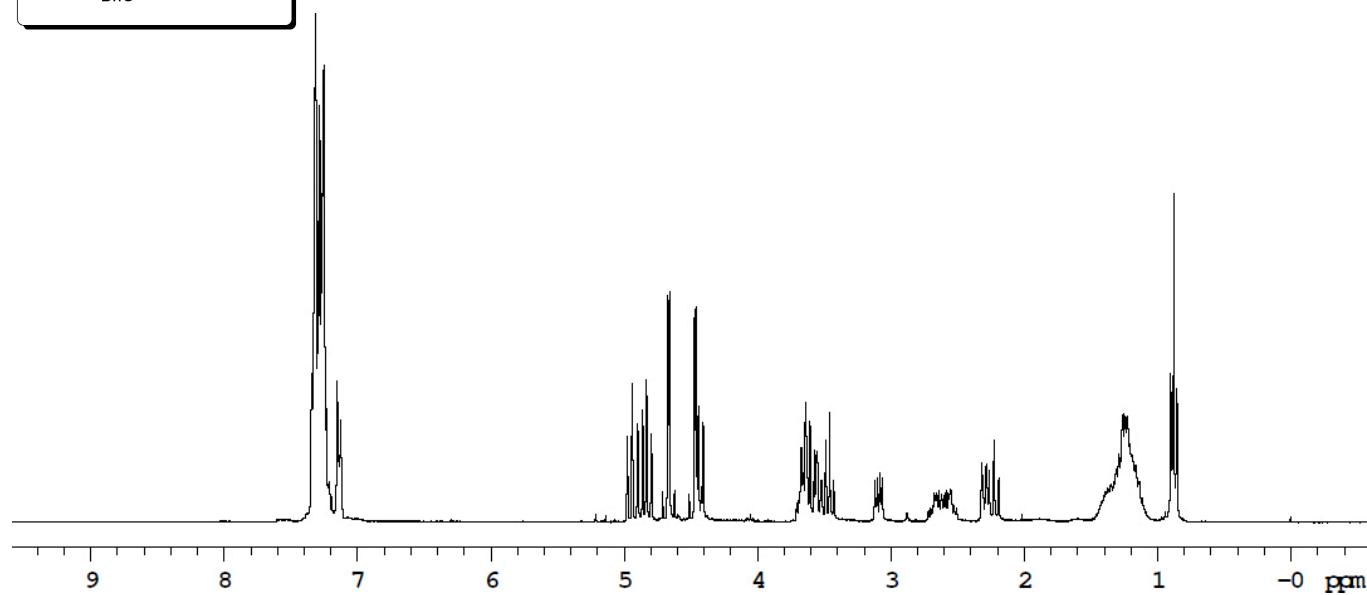
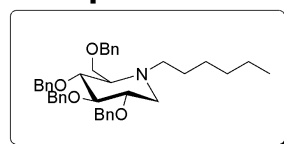
### Naringinase (from *Penicillium decumbens*)



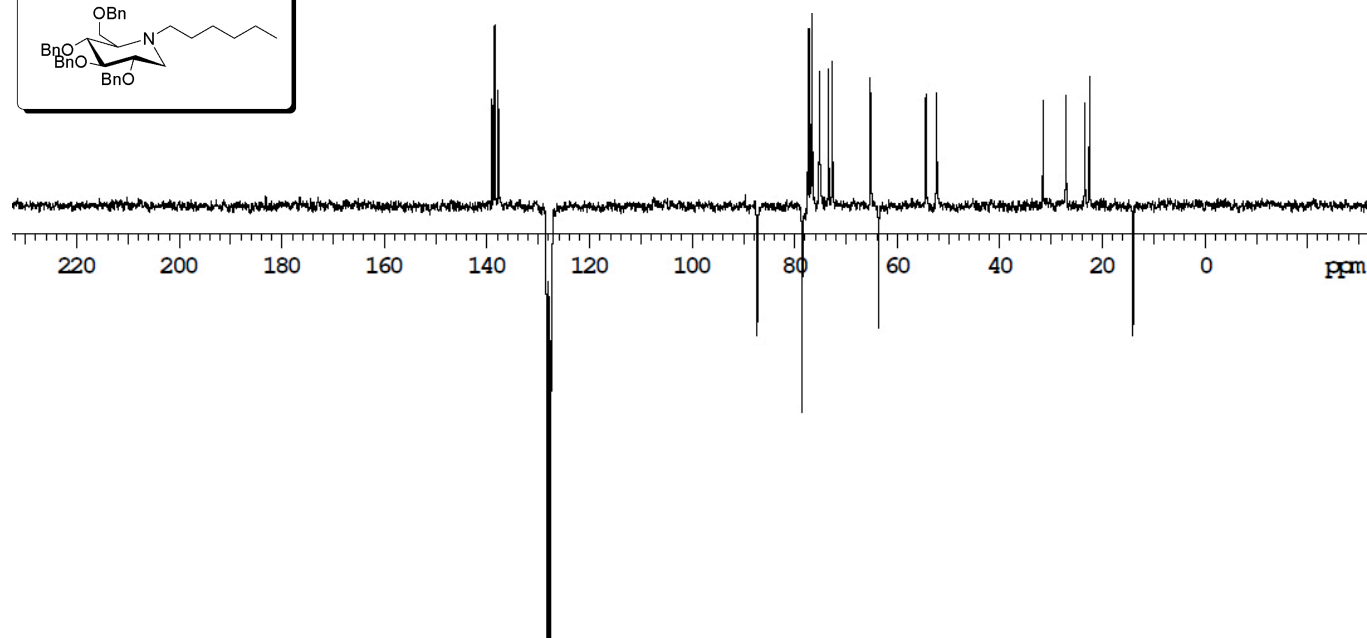
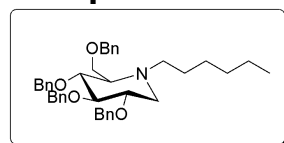
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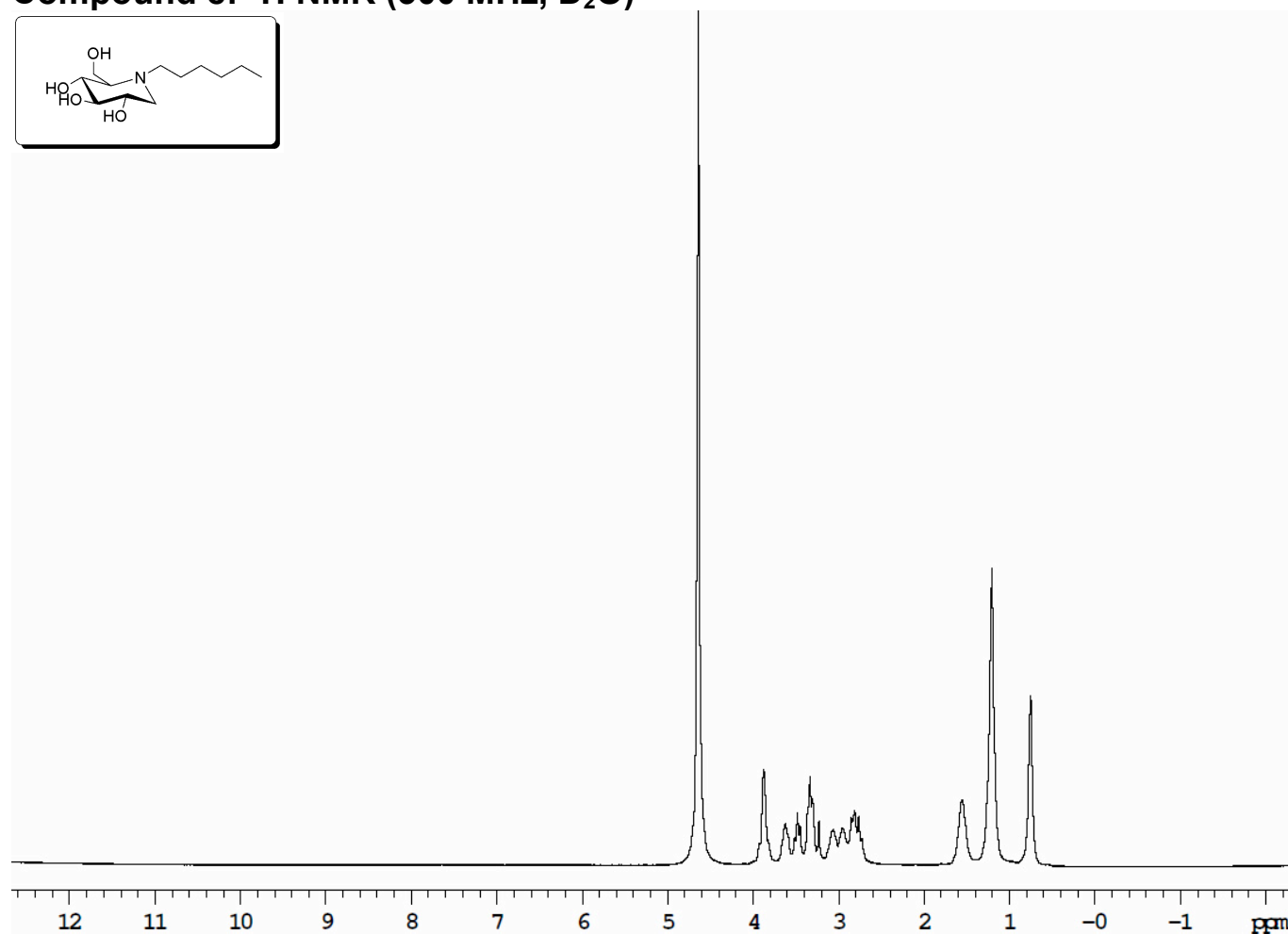
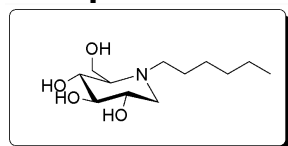
### Compound 7: $^1\text{H}$ NMR (300 MHz, $\text{CDCl}_3$ )



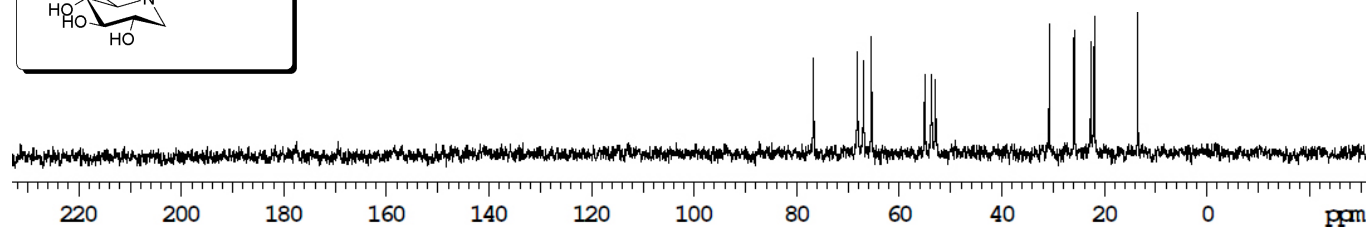
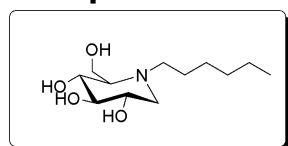
### Compound 7: $^{13}\text{C}$ NMR (75.5 MHz, $\text{CDCl}_3$ )



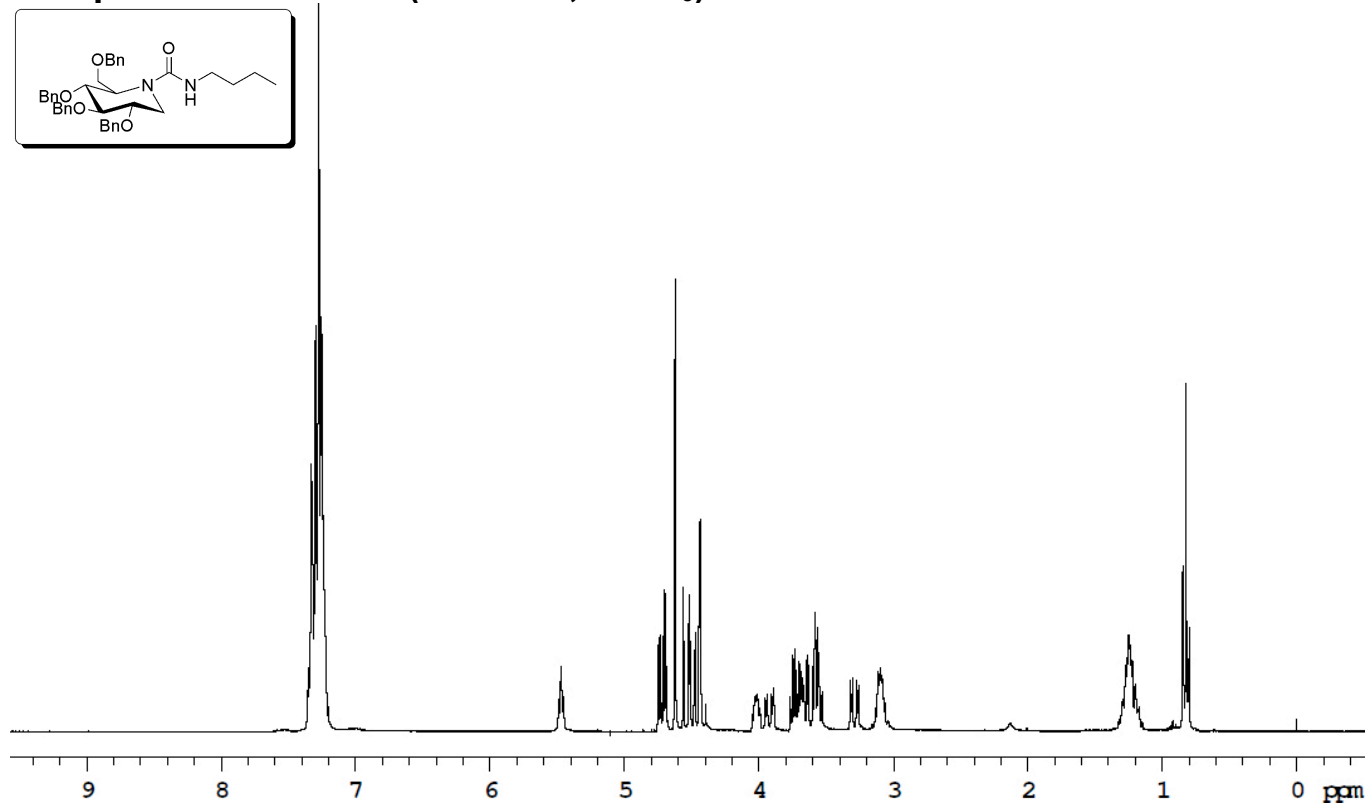
### Compound 8: $^1\text{H}$ NMR (300 MHz, $\text{D}_2\text{O}$ )



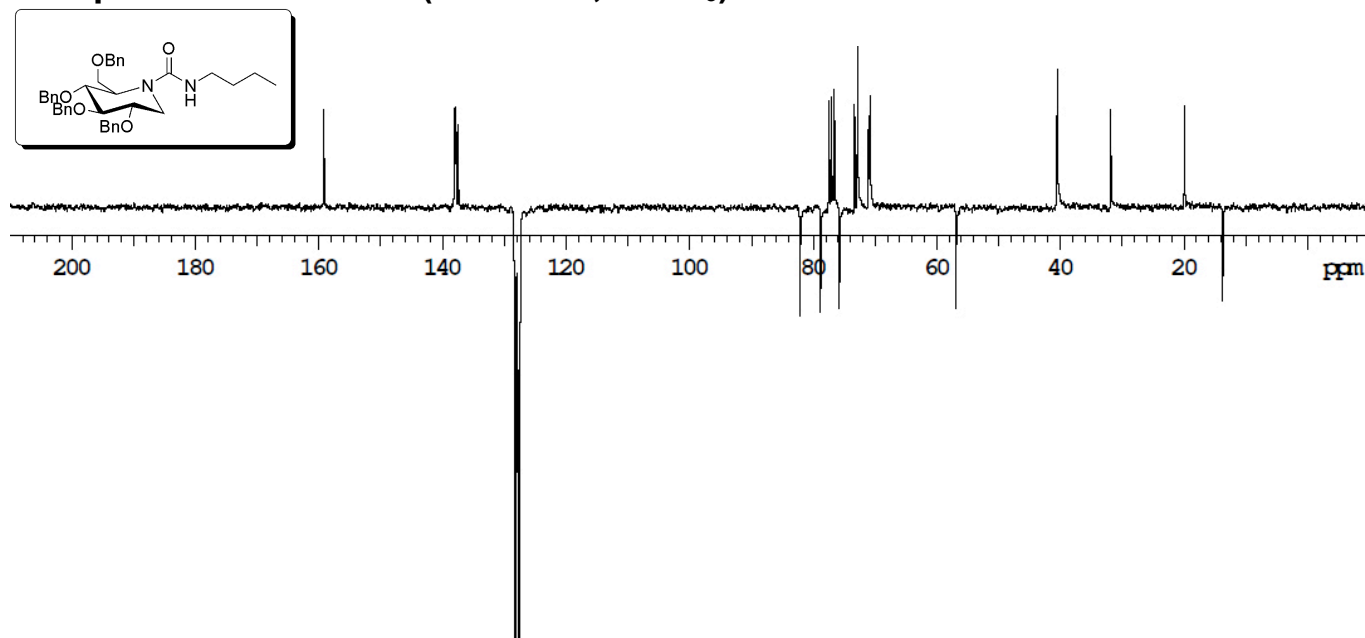
### Compound 8: $^{13}\text{C}$ NMR (75.5 MHz, $\text{D}_2\text{O}$ )



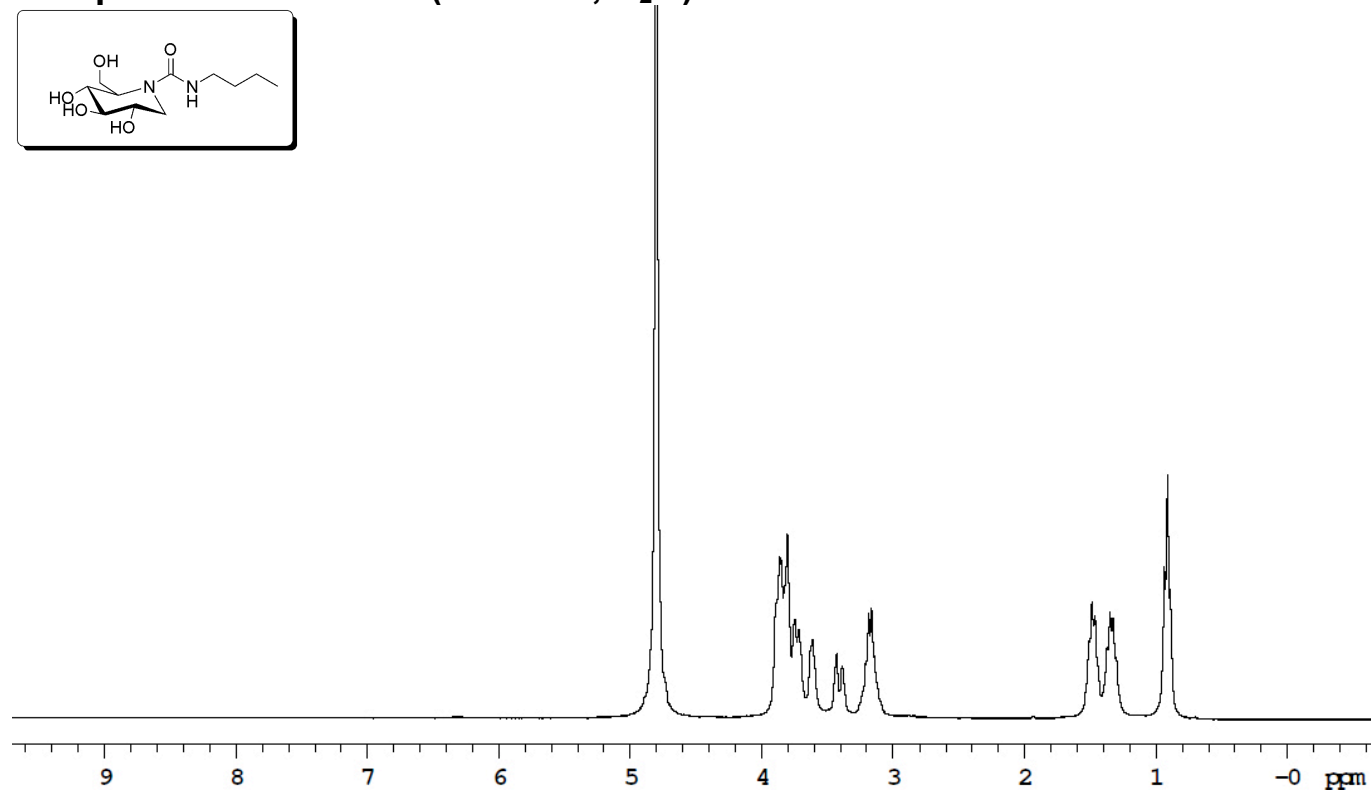
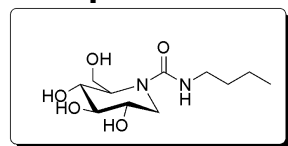
### Compound 9: $^1\text{H}$ NMR (300 MHz, $\text{CDCl}_3$ )



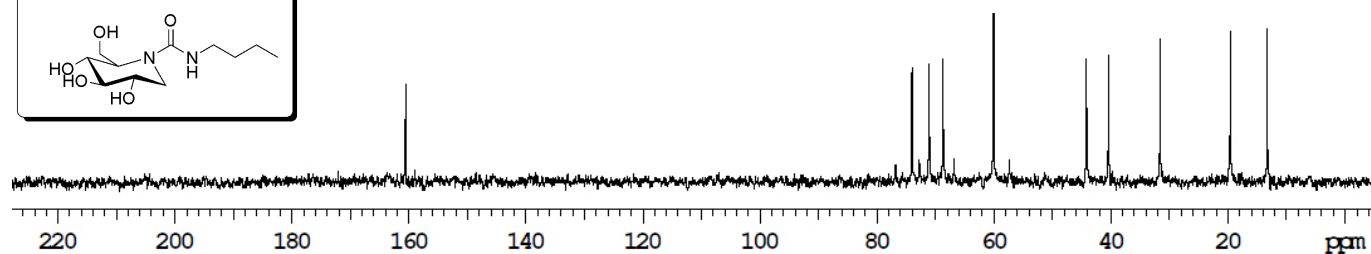
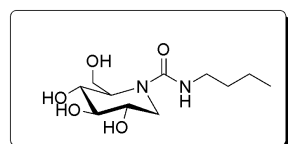
### Compound 9: $^{13}\text{C}$ NMR (75.5 MHz, $\text{CDCl}_3$ )



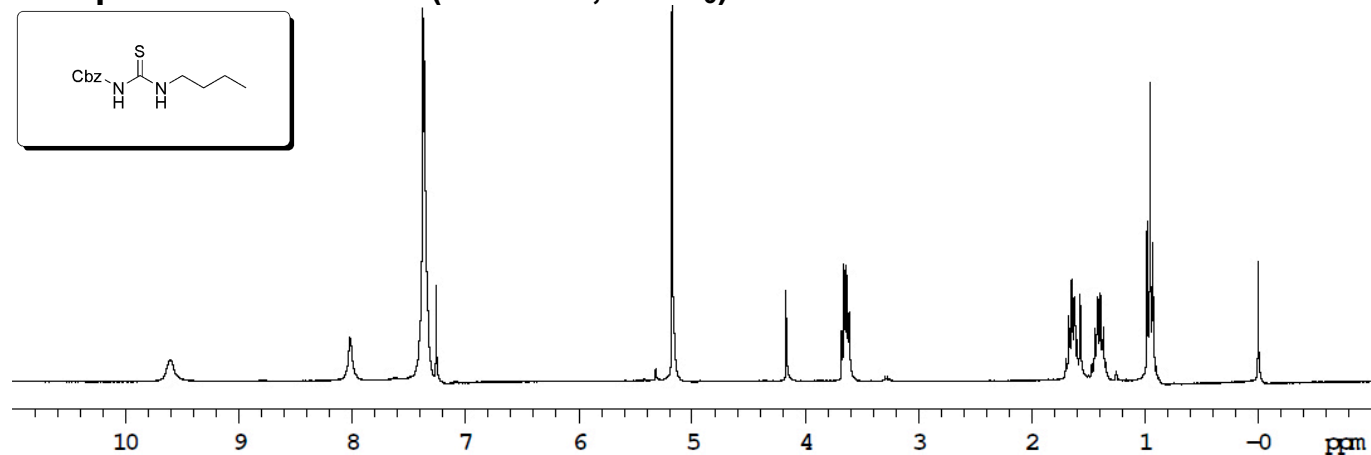
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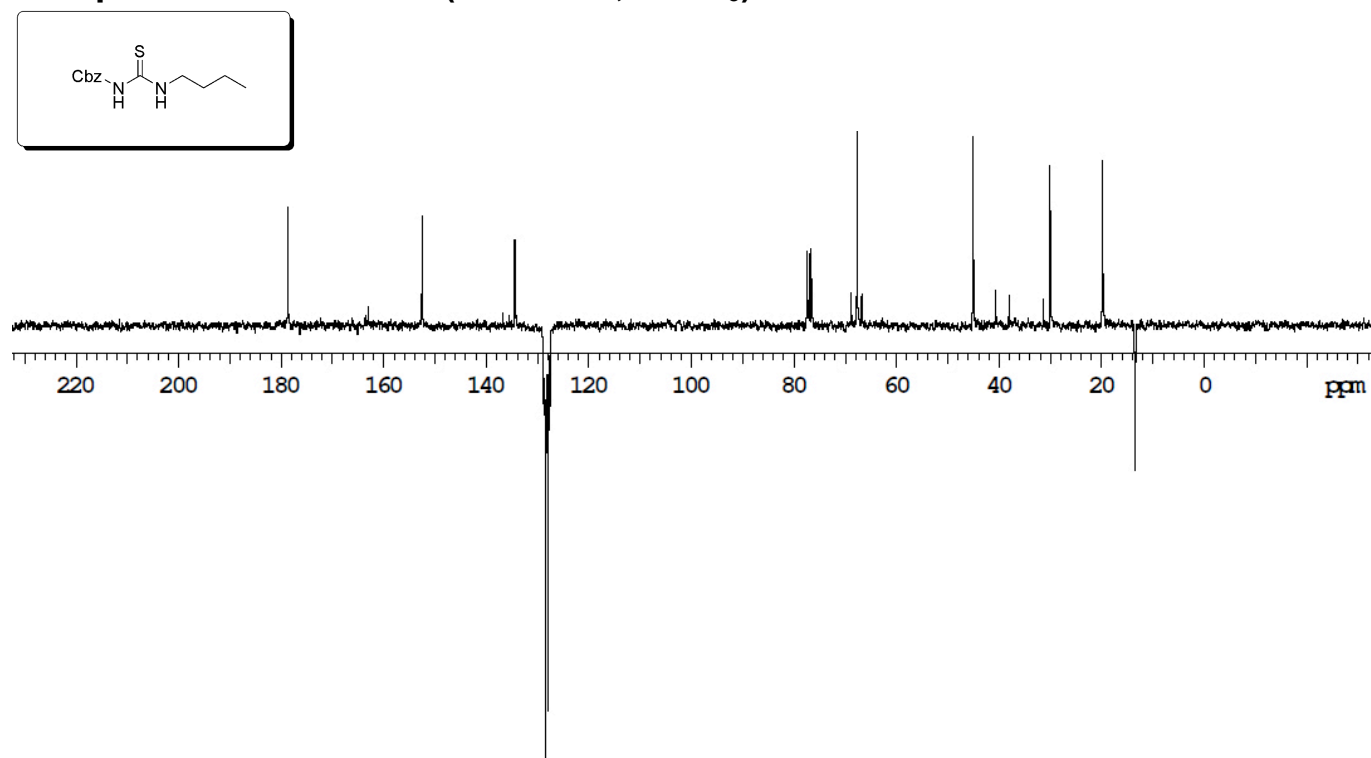
### Compound 10: $^{13}\text{C}$ NMR (75.5 MHz, $\text{D}_2\text{O}$ )



**Compound 11:  $^1\text{H}$  NMR (300 MHz,  $\text{CDCl}_3$ )**

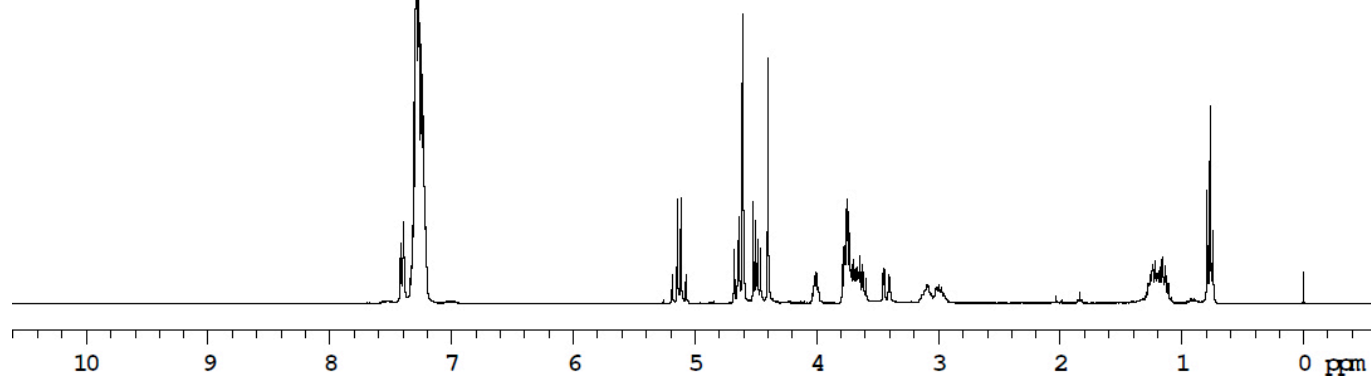
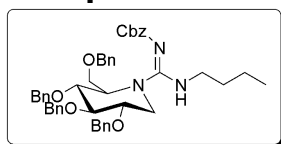


**Compound 11:  $^{13}\text{C}$  NMR (75.5 MHz,  $\text{CDCl}_3$ )**

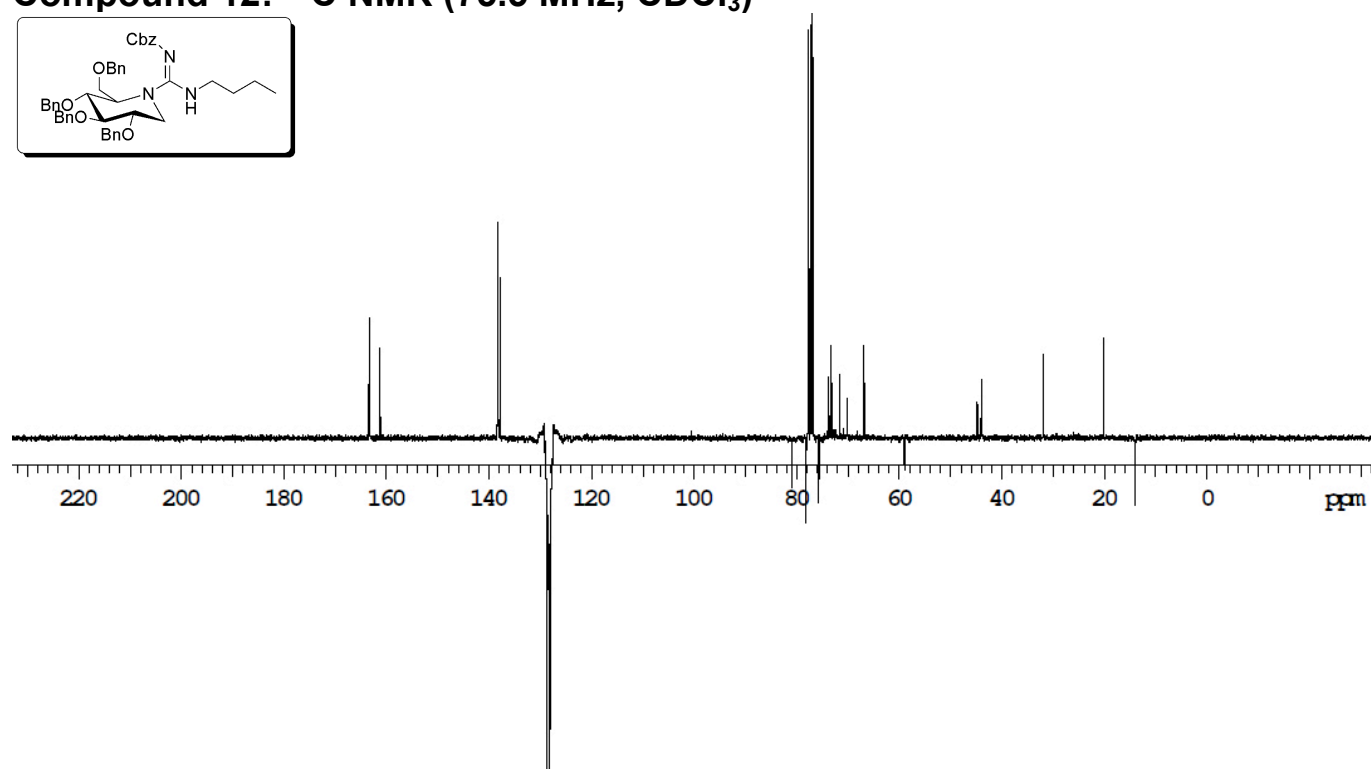
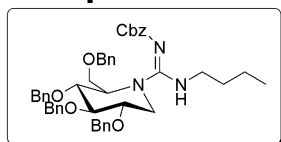




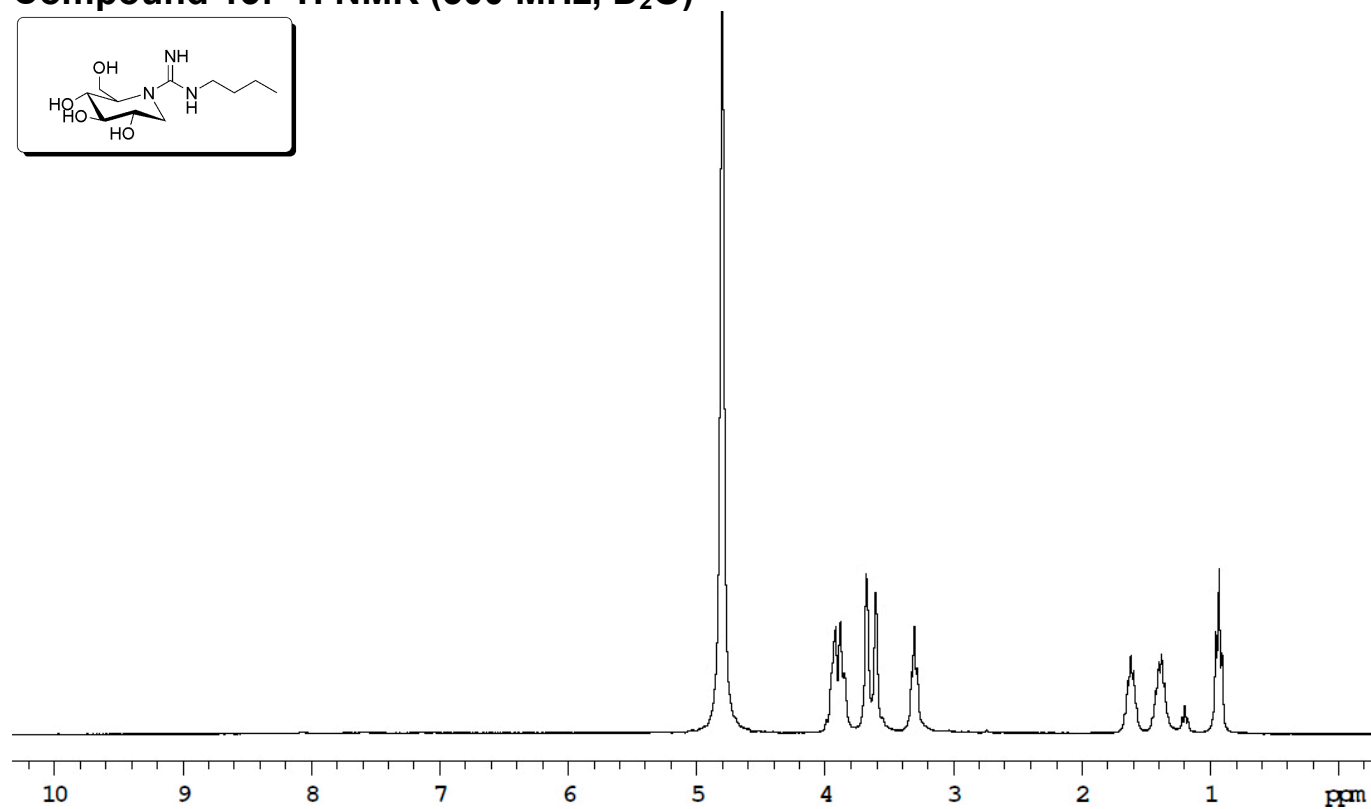
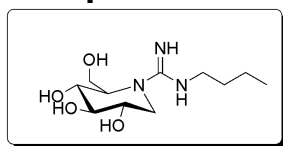
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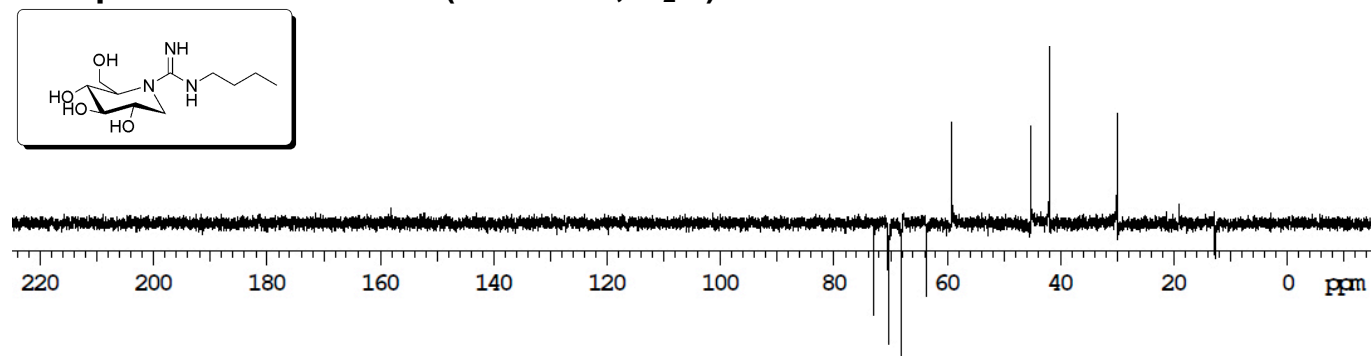
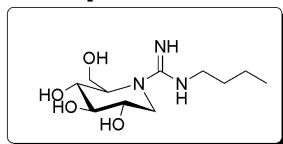
### Compound 12: $^{13}\text{C}$ NMR (75.5 MHz, $\text{CDCl}_3$ )



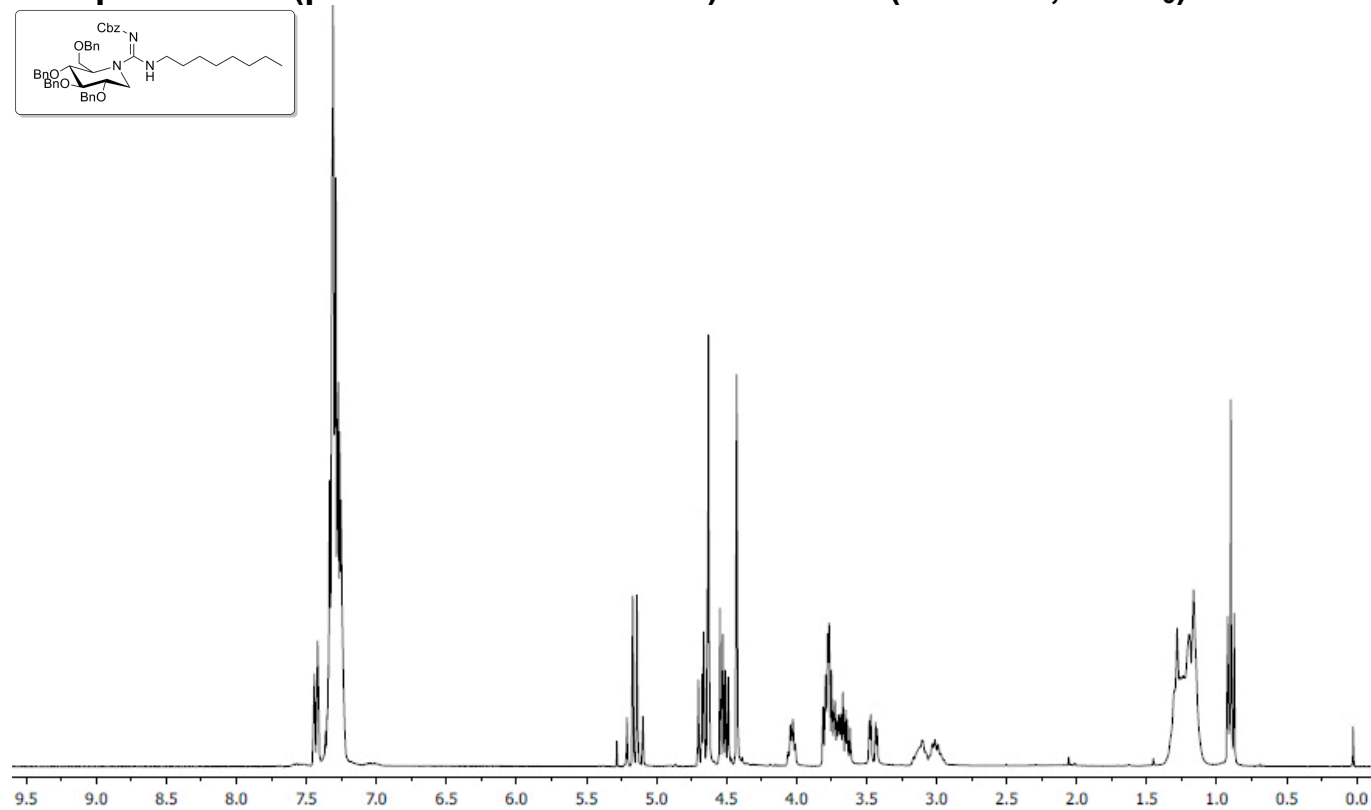
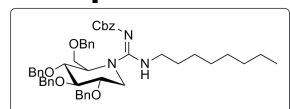
### Compound 13: $^1\text{H}$ NMR (300 MHz, $\text{D}_2\text{O}$ )



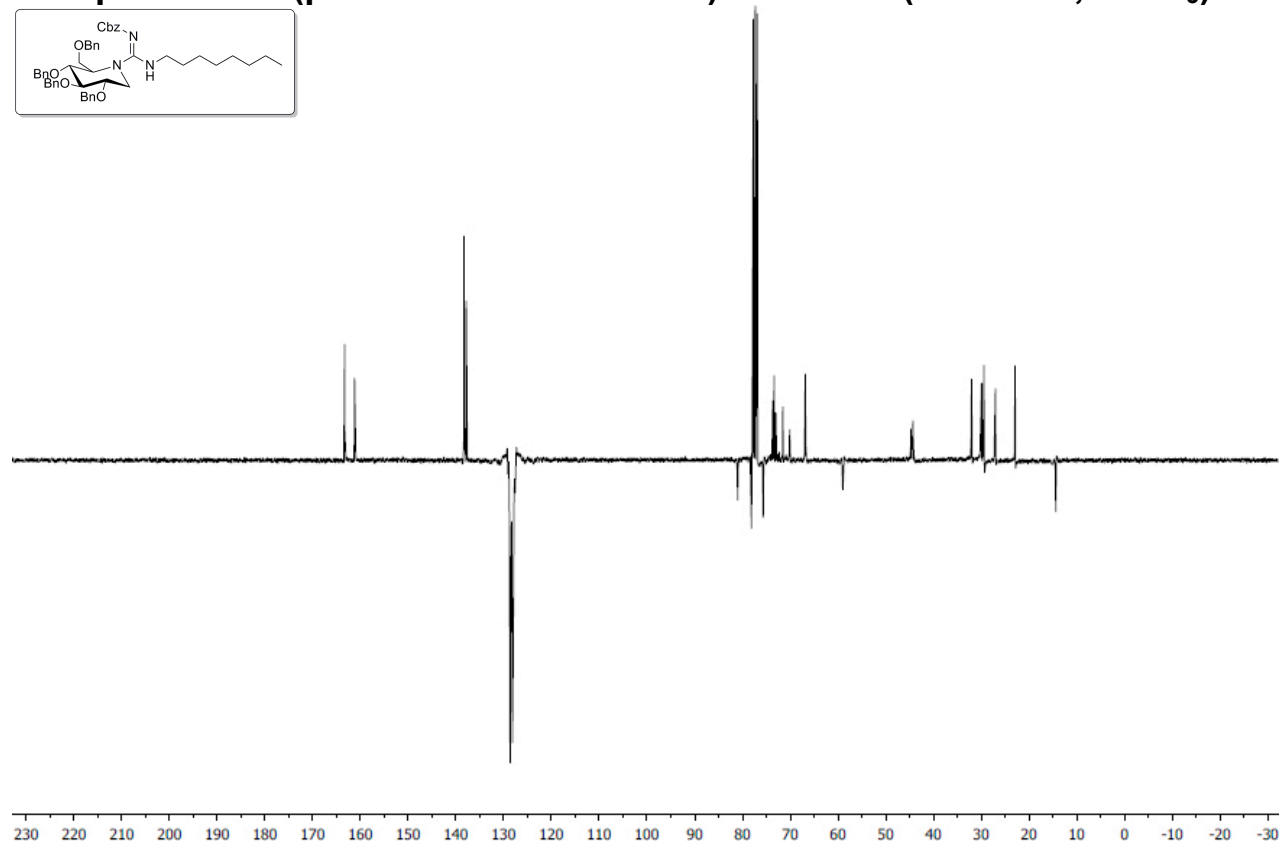
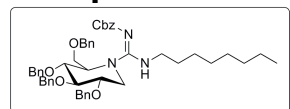
### Compound 13: $^{13}\text{C}$ NMR (75.5 MHz, $\text{D}_2\text{O}$ )



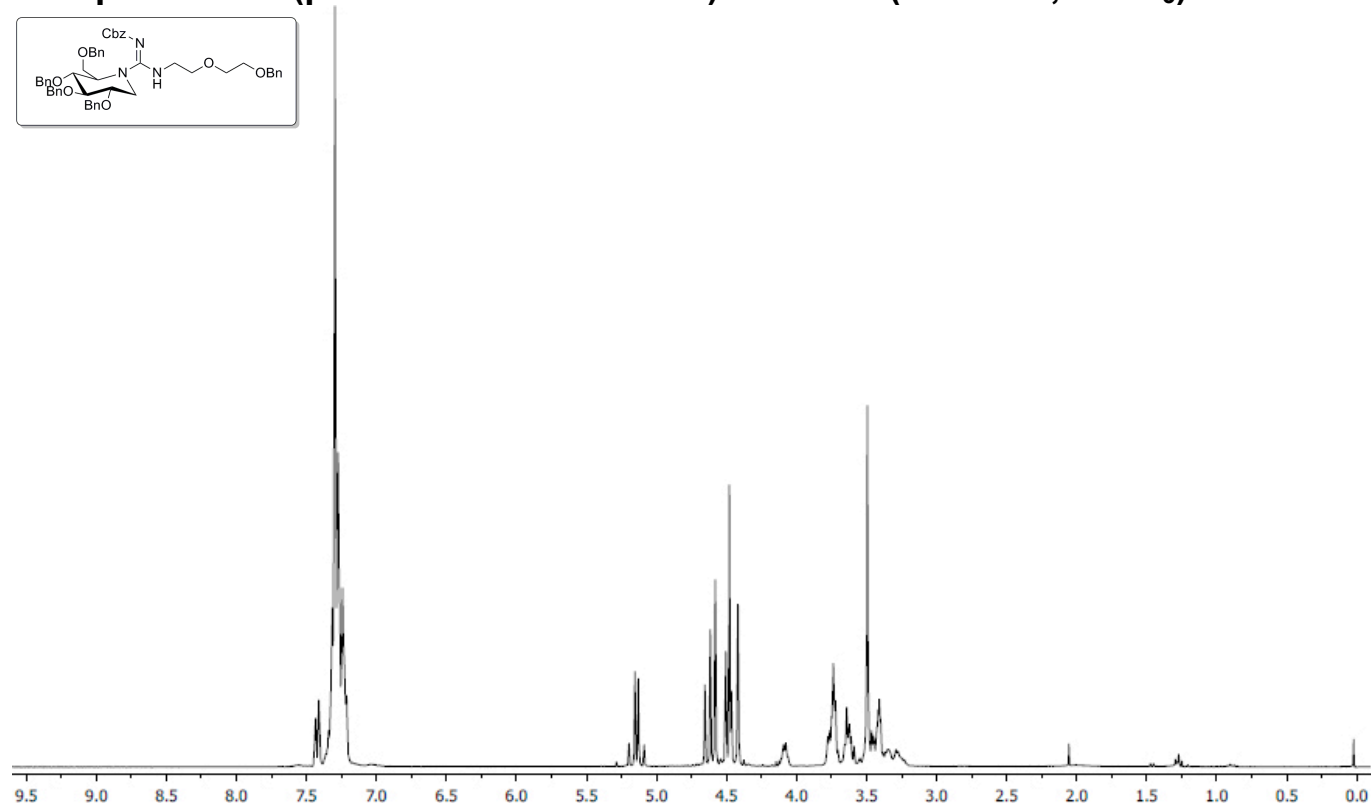
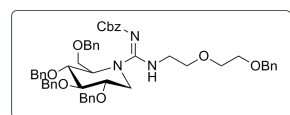
### Compound 14a (protected intermediate): $^1\text{H}$ NMR (300 MHz, $\text{CDCl}_3$ )



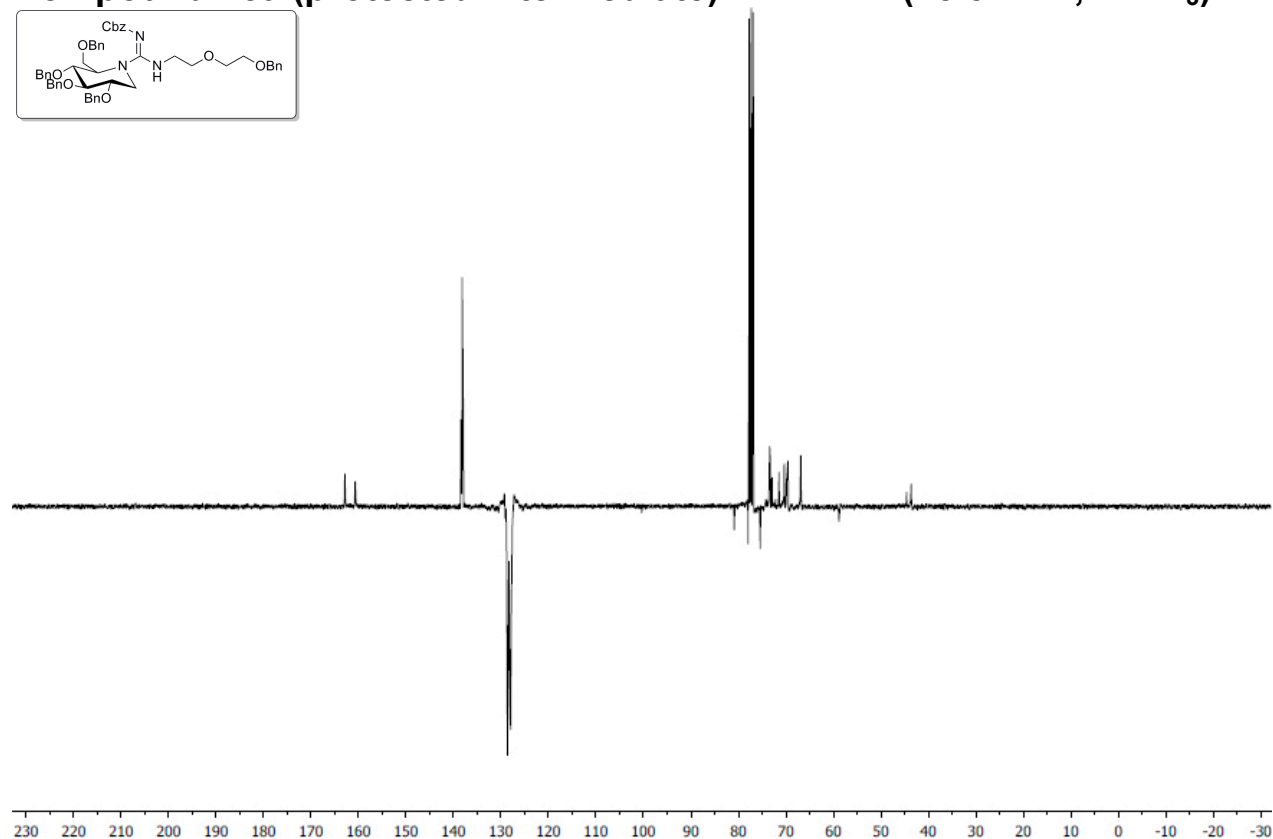
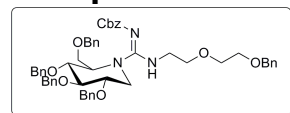
### Compound 14a (protected intermediate): $^{13}\text{C}$ NMR (75.5 MHz, $\text{CDCl}_3$ )



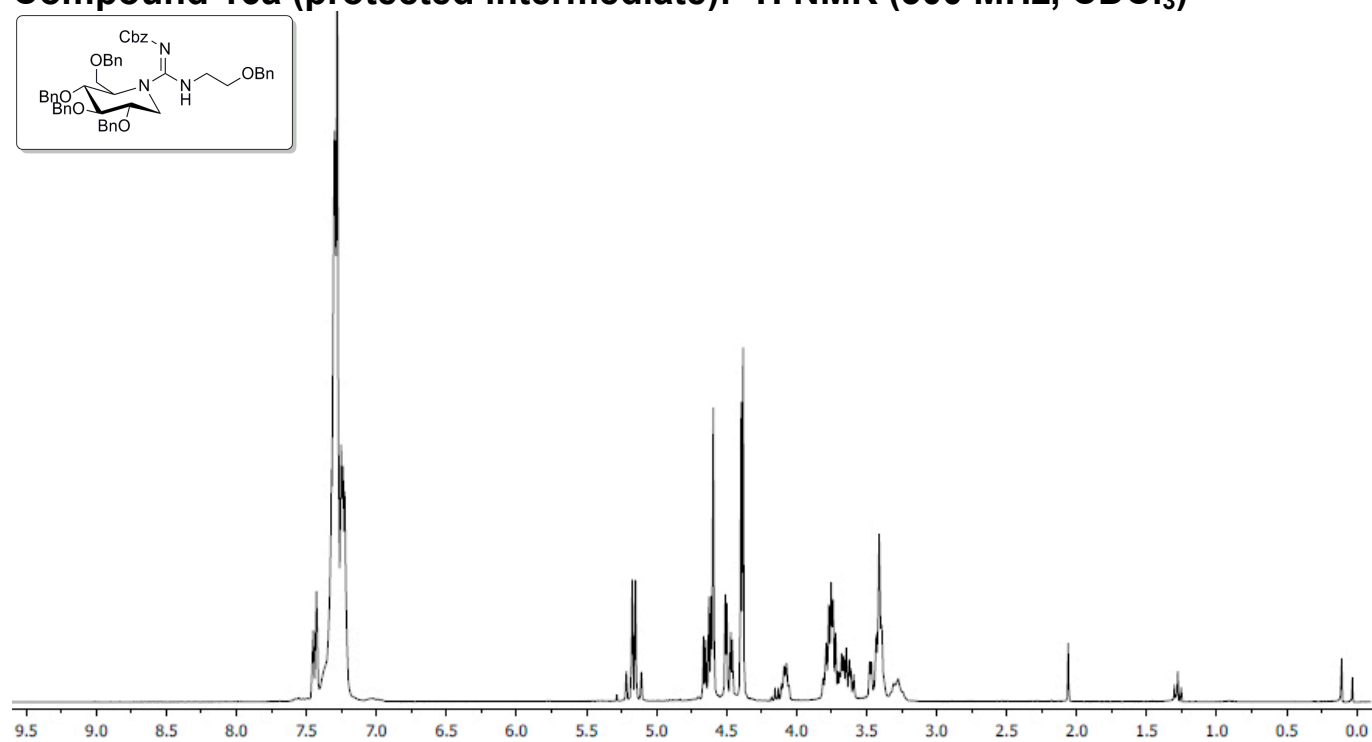
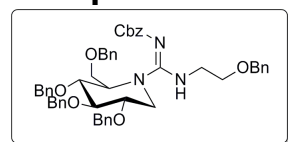
### Compound 15a (protected intermediate): $^1\text{H}$ NMR (300 MHz, $\text{CDCl}_3$ )



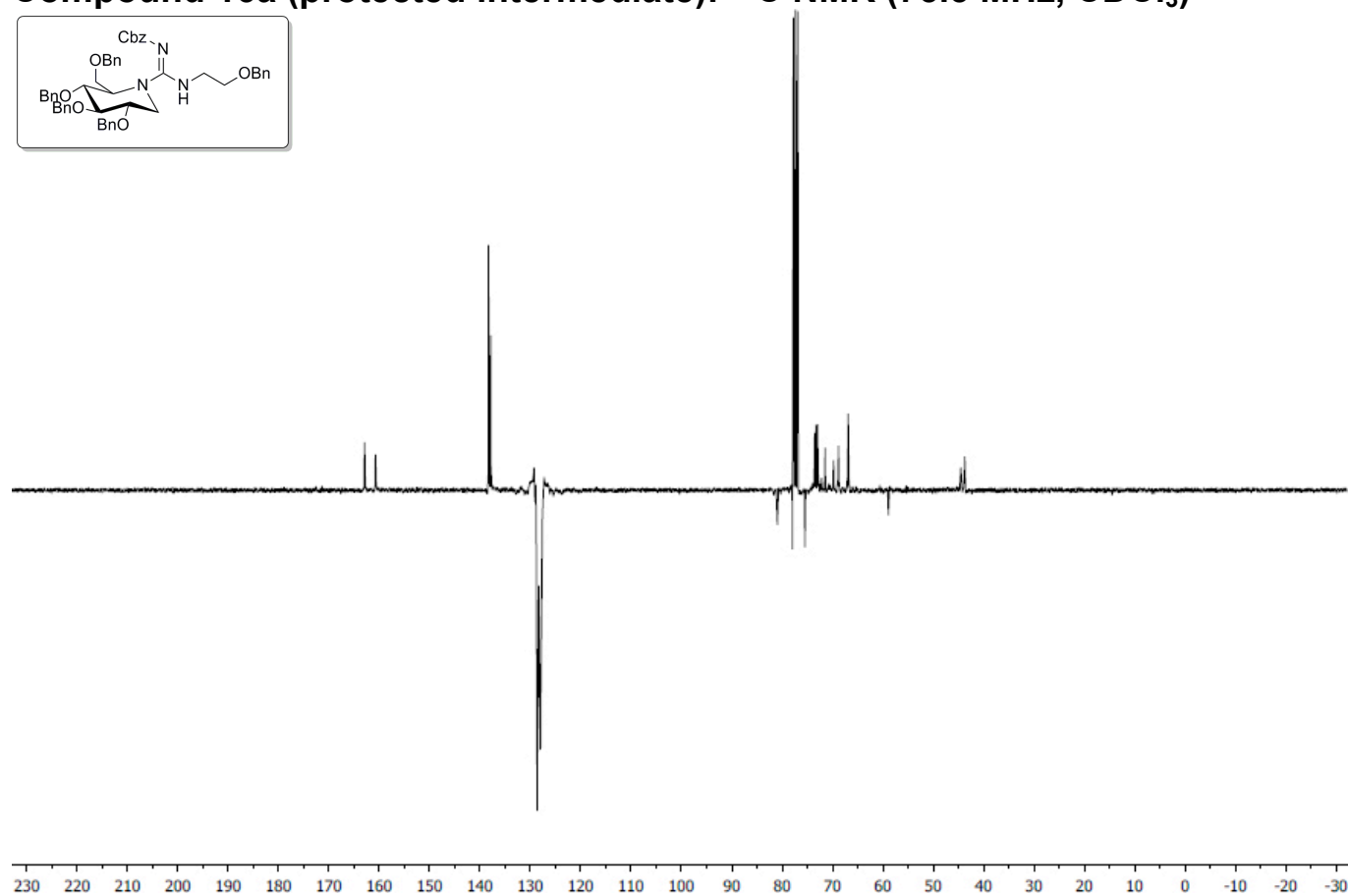
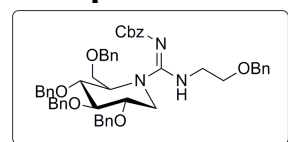
### Compound 15a (protected intermediate): $^{13}\text{C}$ NMR (75.5 MHz, $\text{CDCl}_3$ )



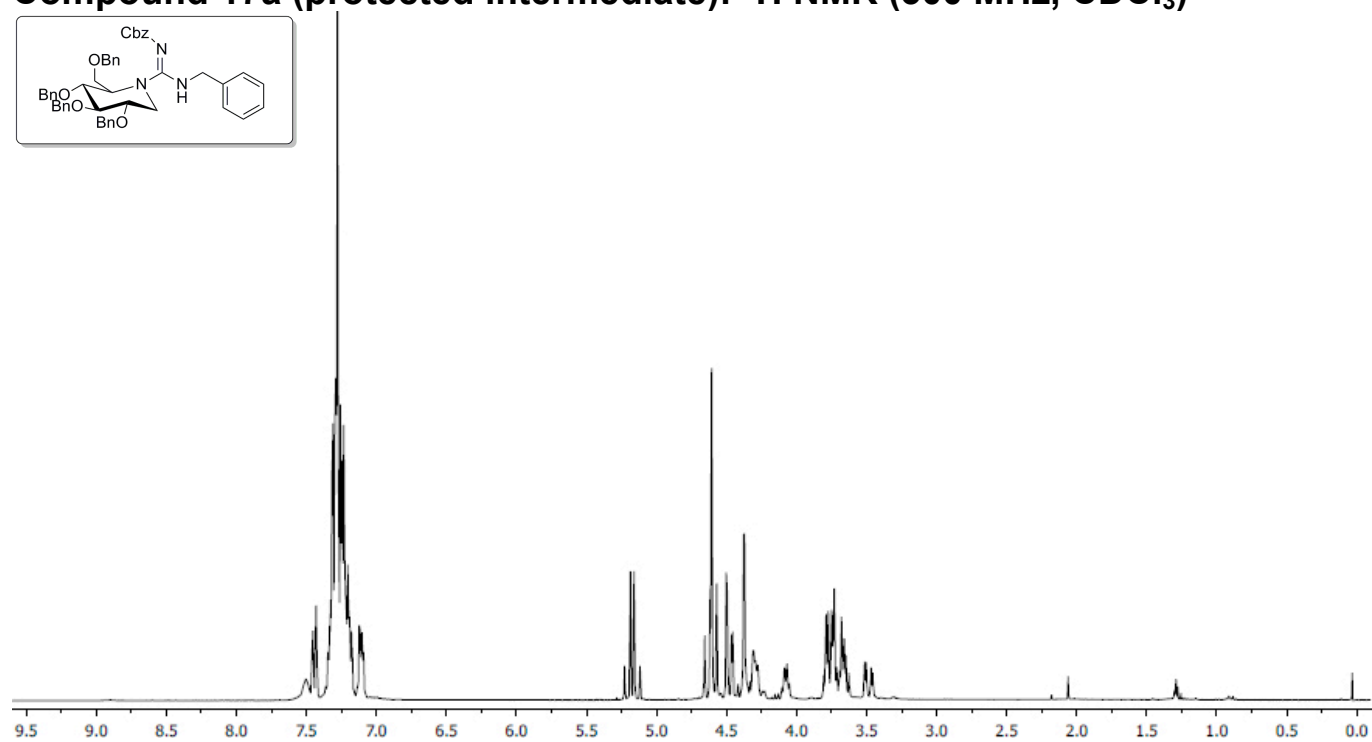
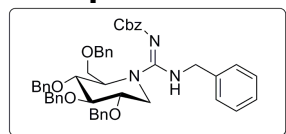
### Compound 16a (protected intermediate): $^1\text{H}$ NMR (300 MHz, $\text{CDCl}_3$ )



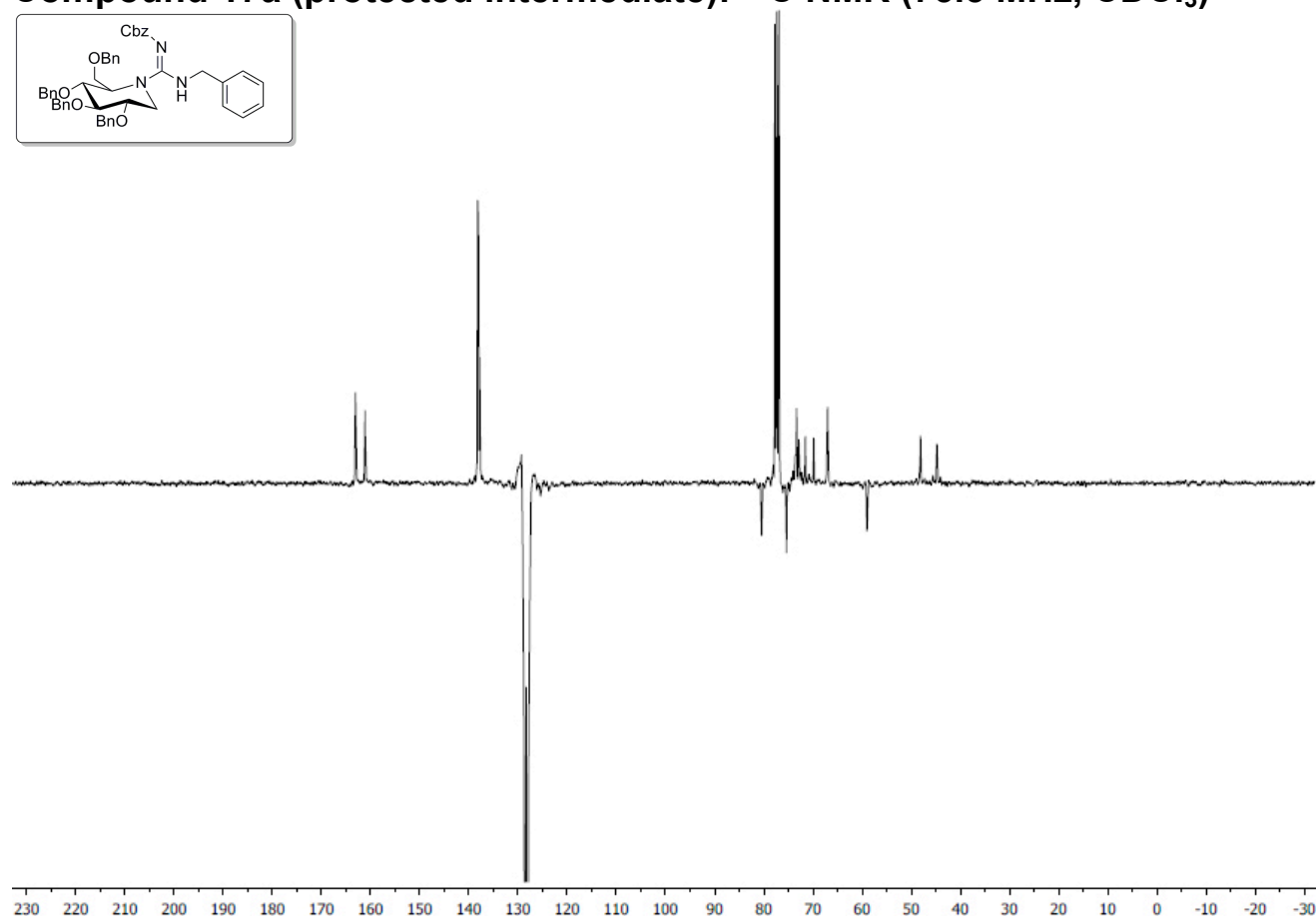
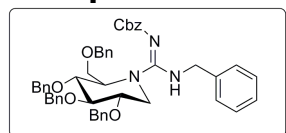
### Compound 16a (protected intermediate): $^{13}\text{C}$ NMR (75.5 MHz, $\text{CDCl}_3$ )



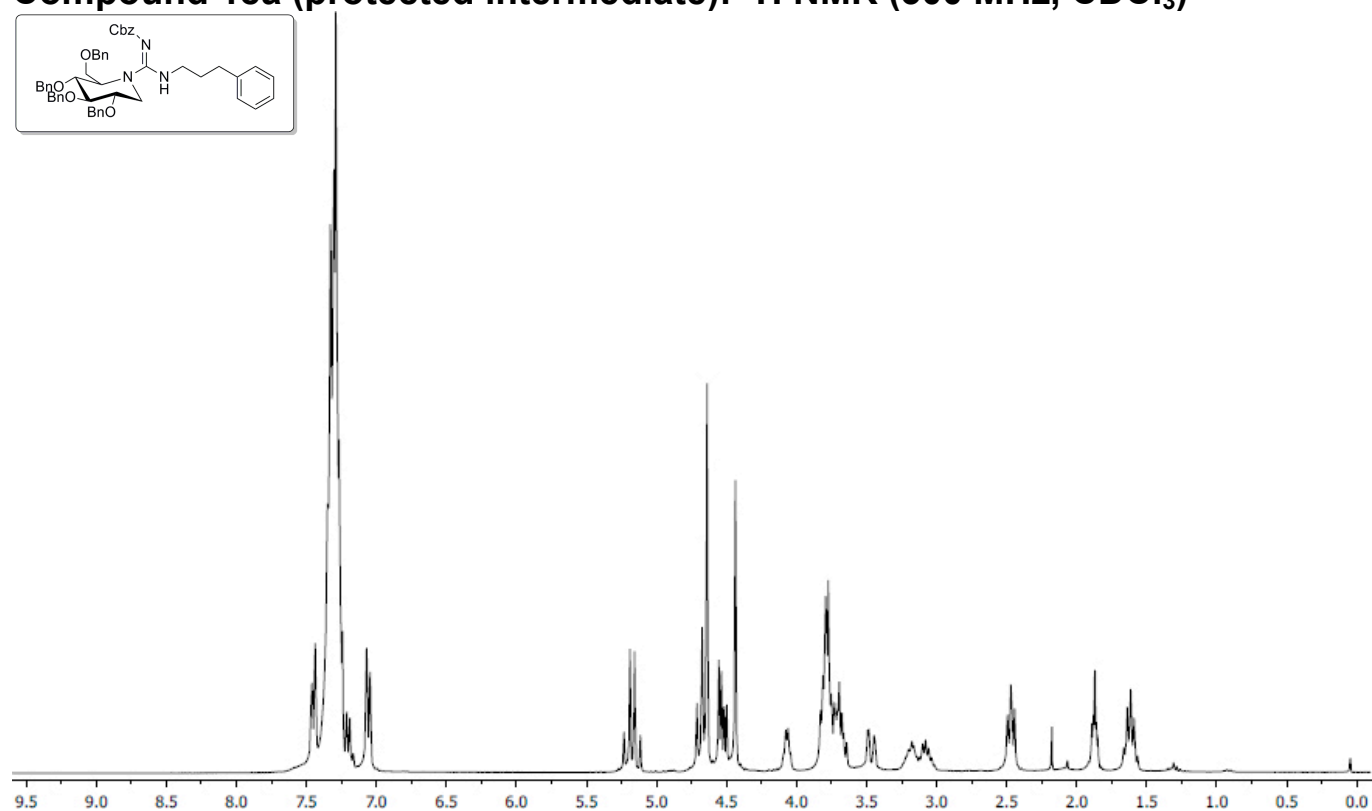
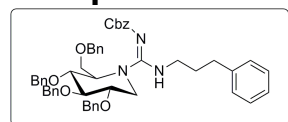
### Compound 17a (protected intermediate): $^1\text{H}$ NMR (300 MHz, $\text{CDCl}_3$ )



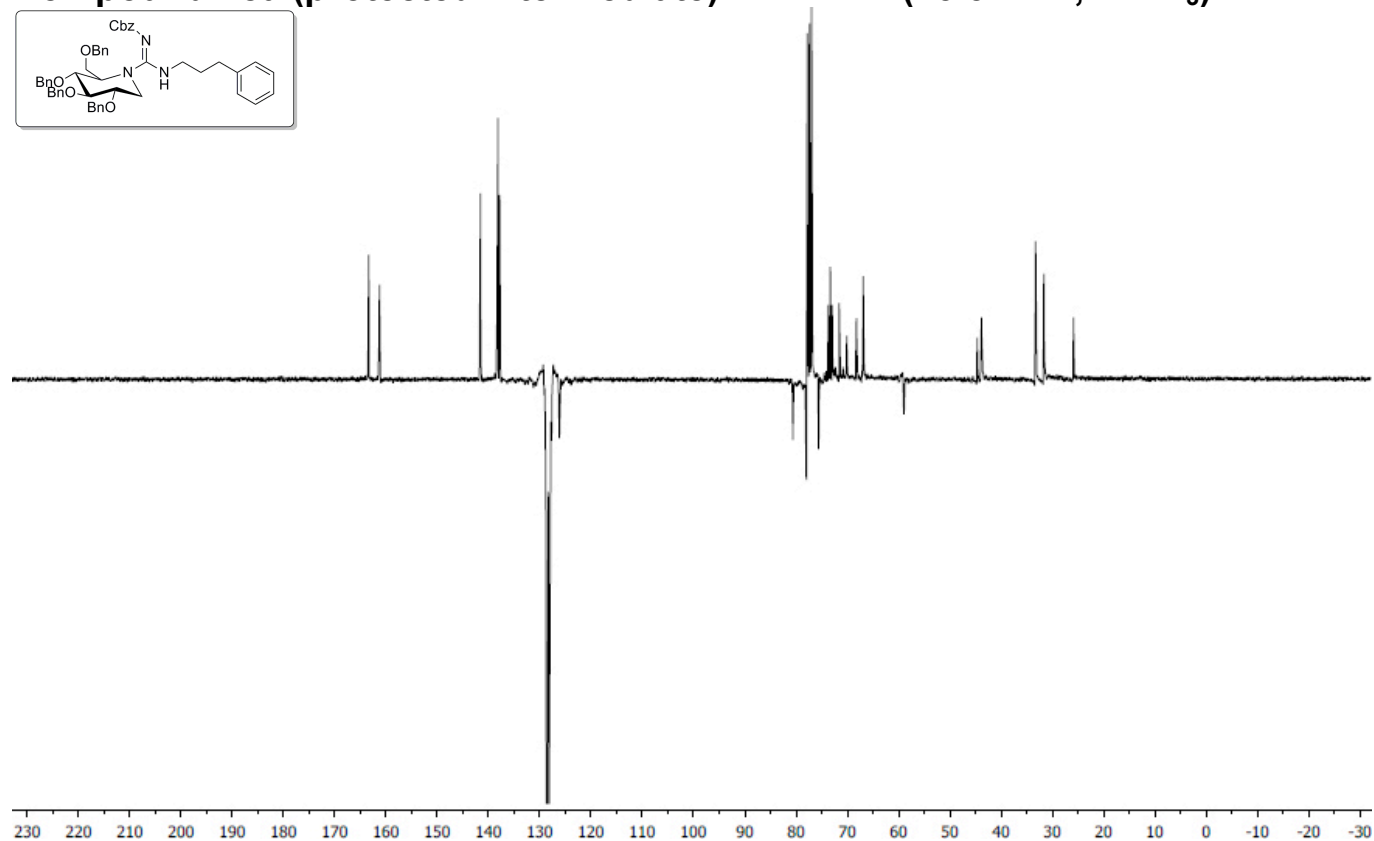
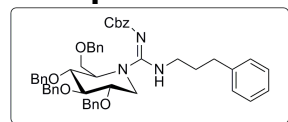
### Compound 17a (protected intermediate): $^{13}\text{C}$ NMR (75.5 MHz, $\text{CDCl}_3$ )



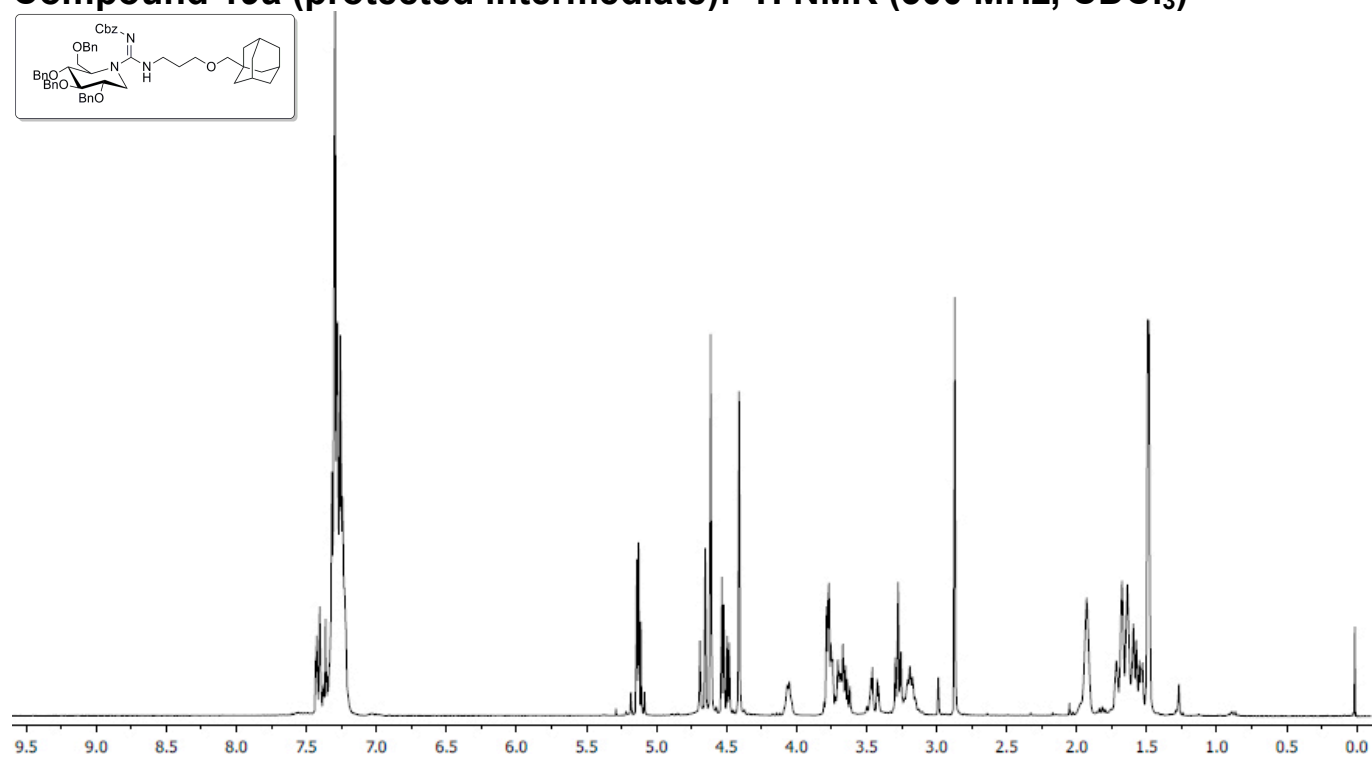
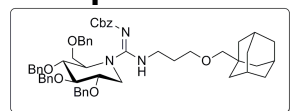
**Compound 18a (protected intermediate):  $^1\text{H}$  NMR (300 MHz,  $\text{CDCl}_3$ )**



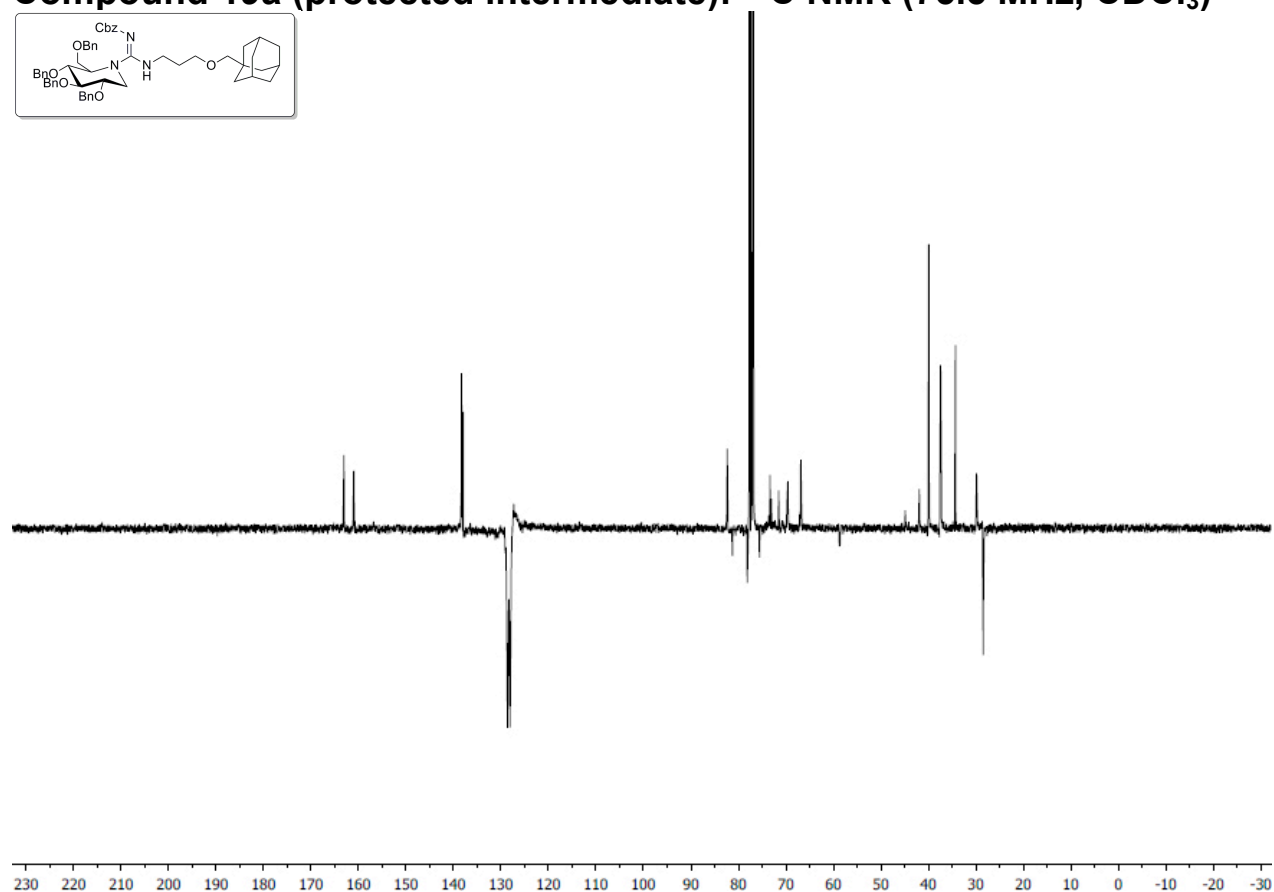
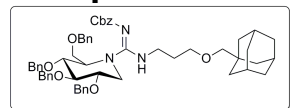
**Compound 18a (protected intermediate):  $^{13}\text{C}$  NMR (75.5 MHz,  $\text{CDCl}_3$ )**



### Compound 19a (protected intermediate): $^1\text{H}$ NMR (300 MHz, $\text{CDCl}_3$ )

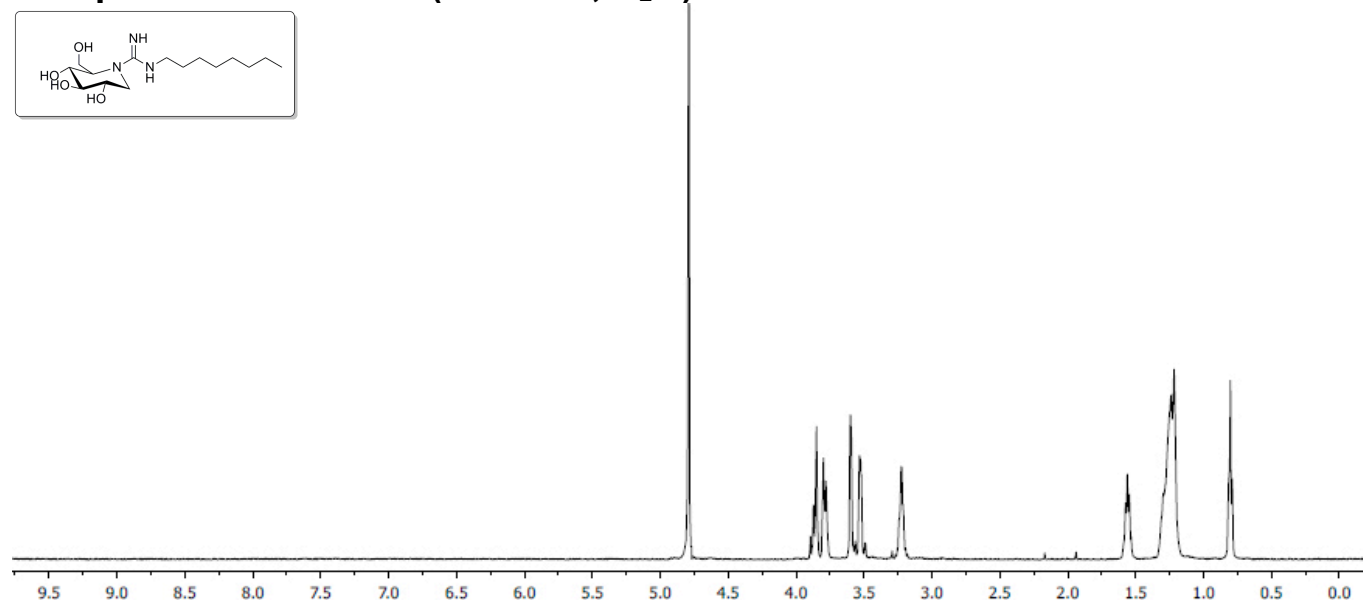
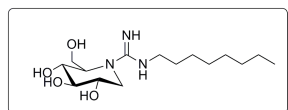


### Compound 19a (protected intermediate): $^{13}\text{C}$ NMR (75.5 MHz, $\text{CDCl}_3$ )

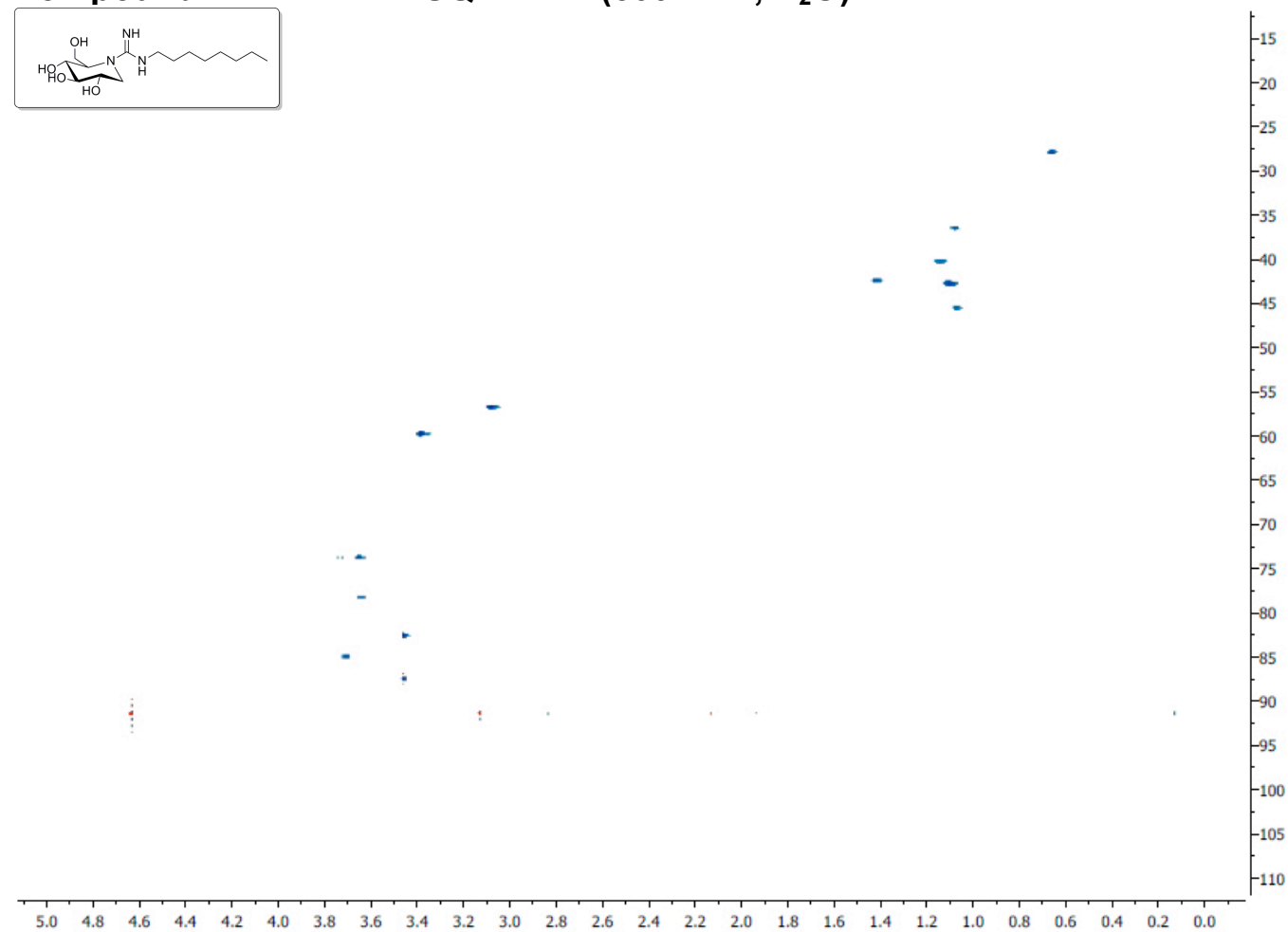
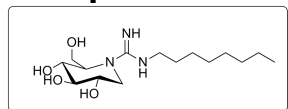




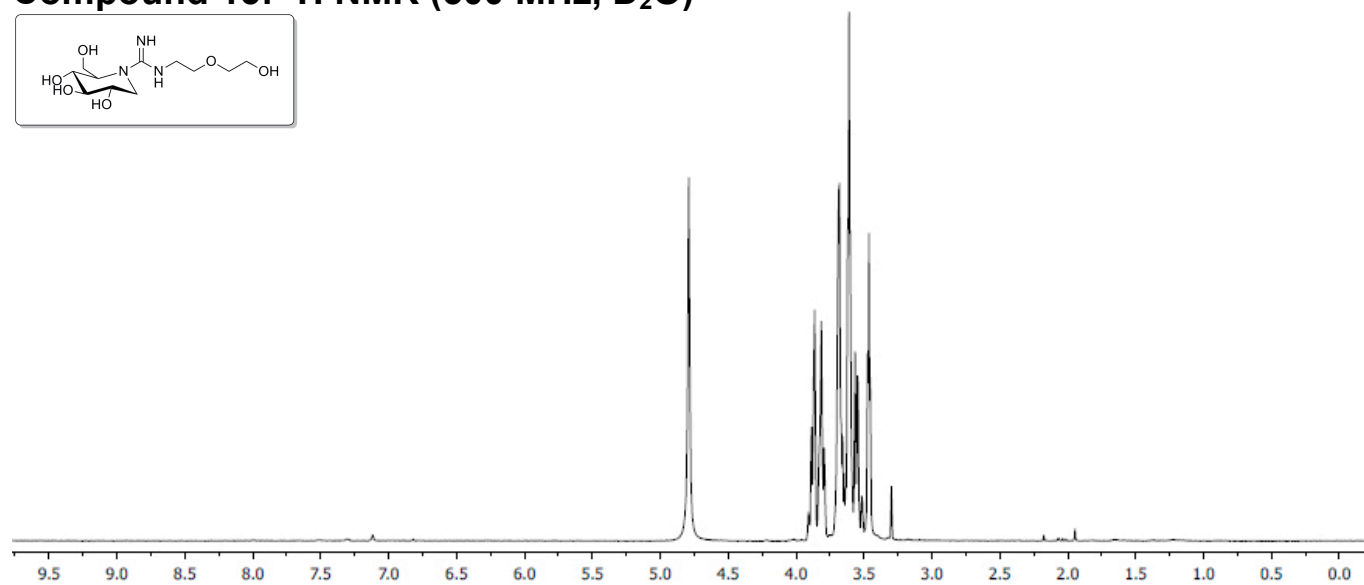
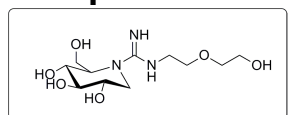
### Compound 14: $^1\text{H}$ NMR (500 MHz, $\text{D}_2\text{O}$ )



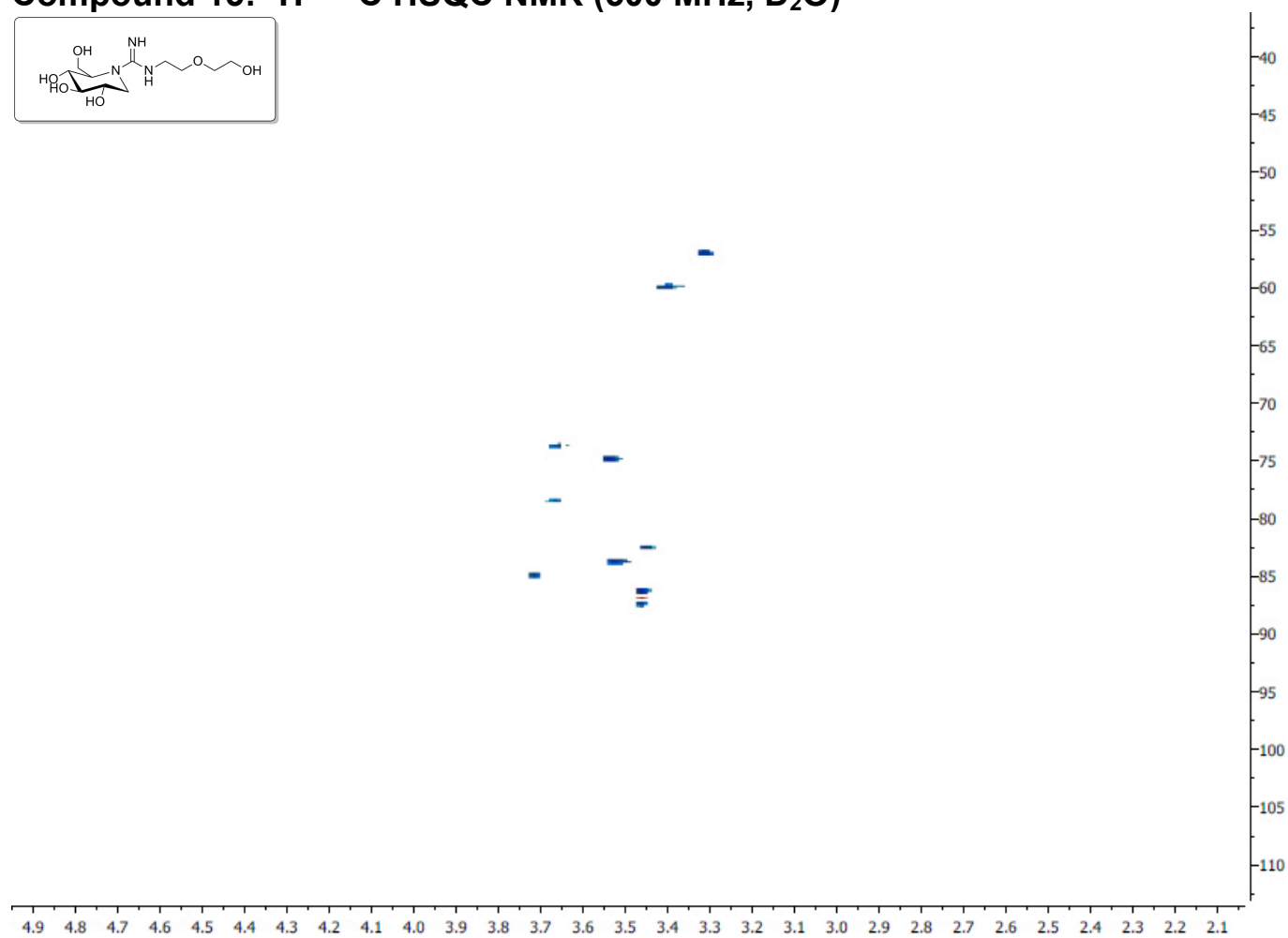
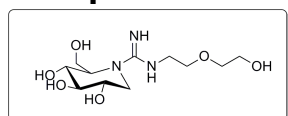
### Compound 14: $^1\text{H}$ - $^{13}\text{C}$ HSQC NMR (500 MHz, $\text{D}_2\text{O}$ )



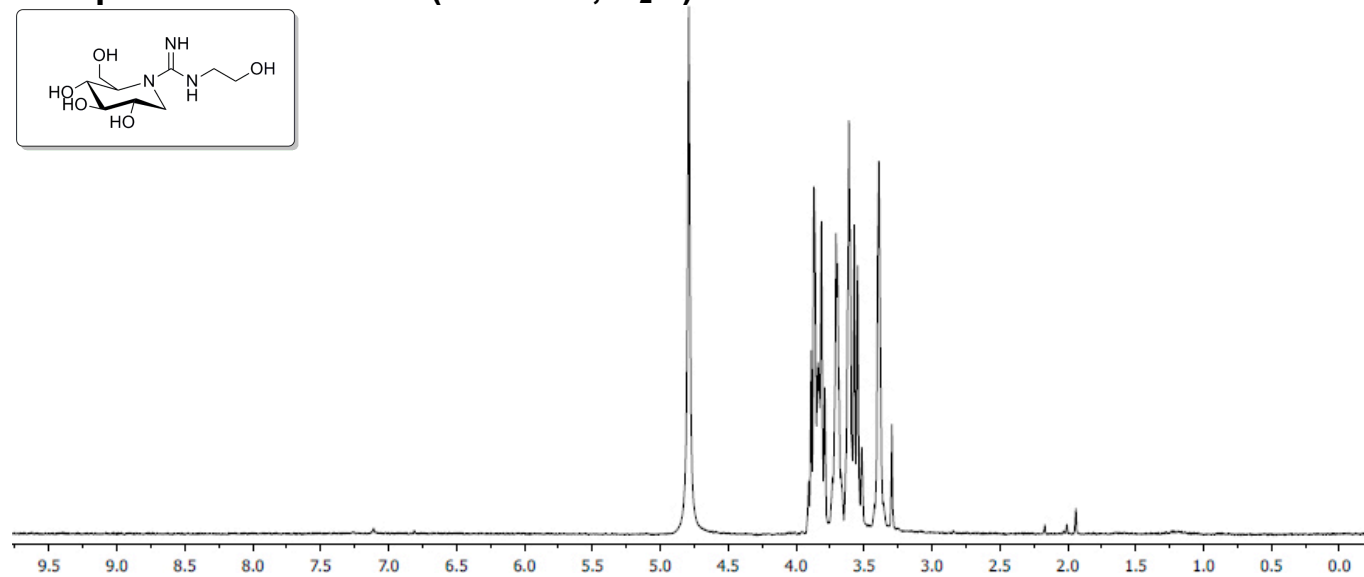
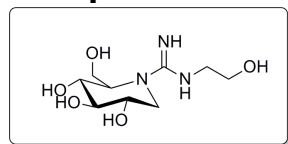
### Compound 15: $^1\text{H}$ NMR (500 MHz, $\text{D}_2\text{O}$ )



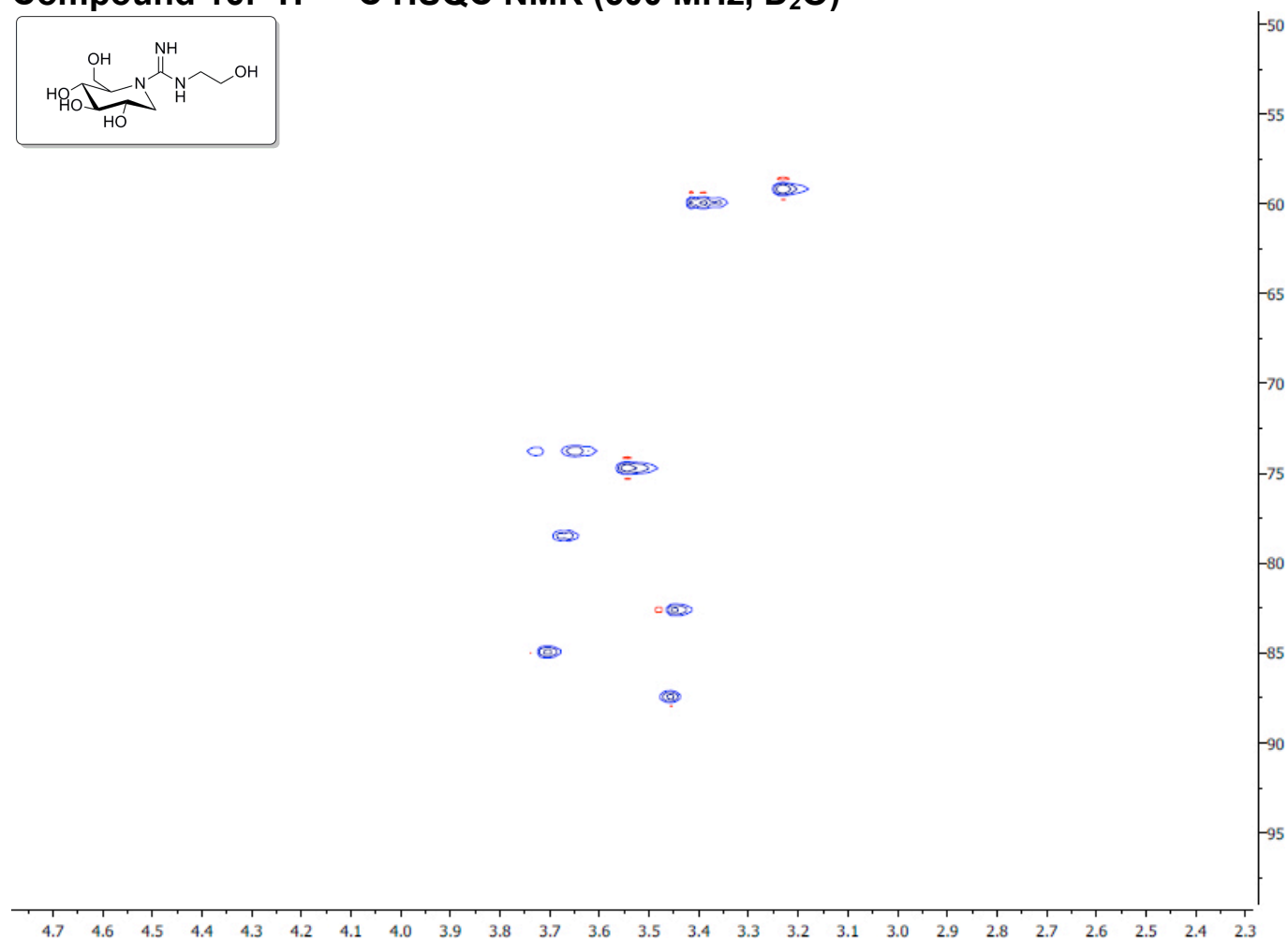
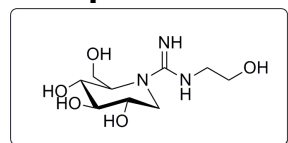
### Compound 15: $^1\text{H}$ - $^{13}\text{C}$ HSQC NMR (500 MHz, $\text{D}_2\text{O}$ )



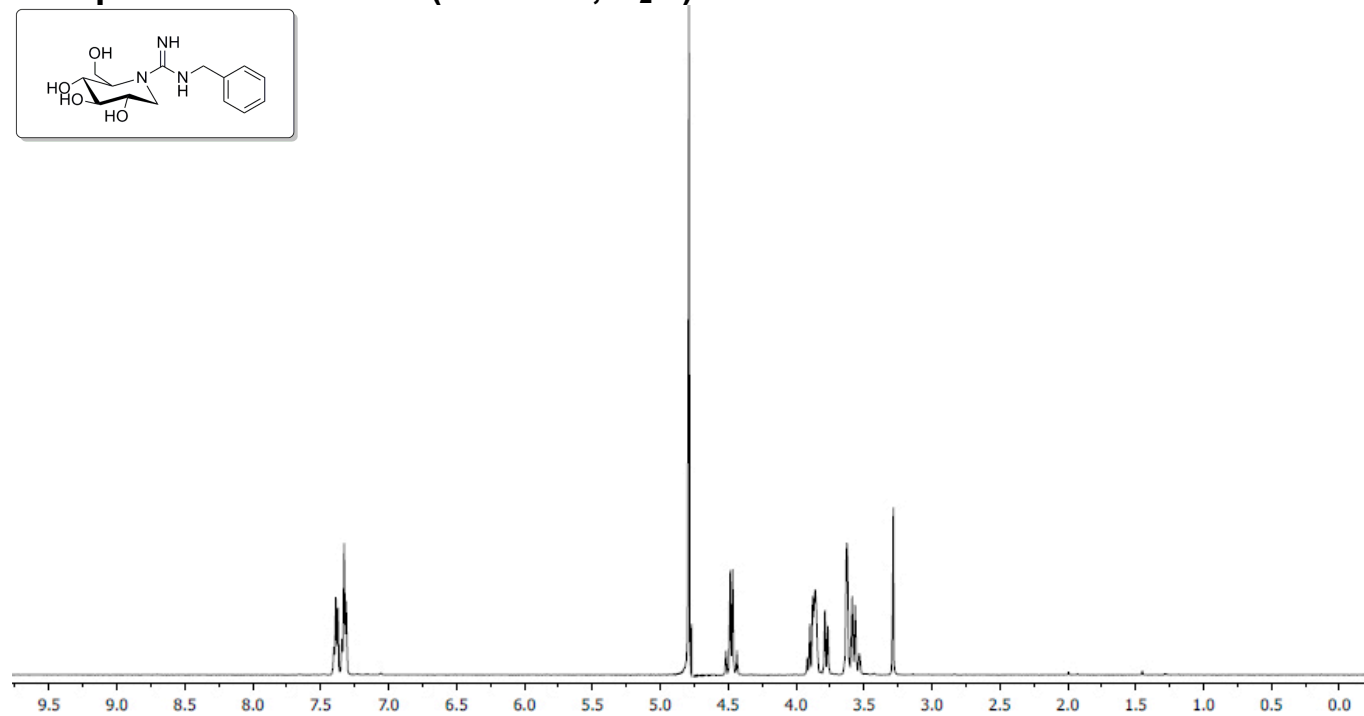
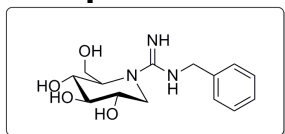
### Compound 16: $^1\text{H}$ NMR (500 MHz, $\text{D}_2\text{O}$ )



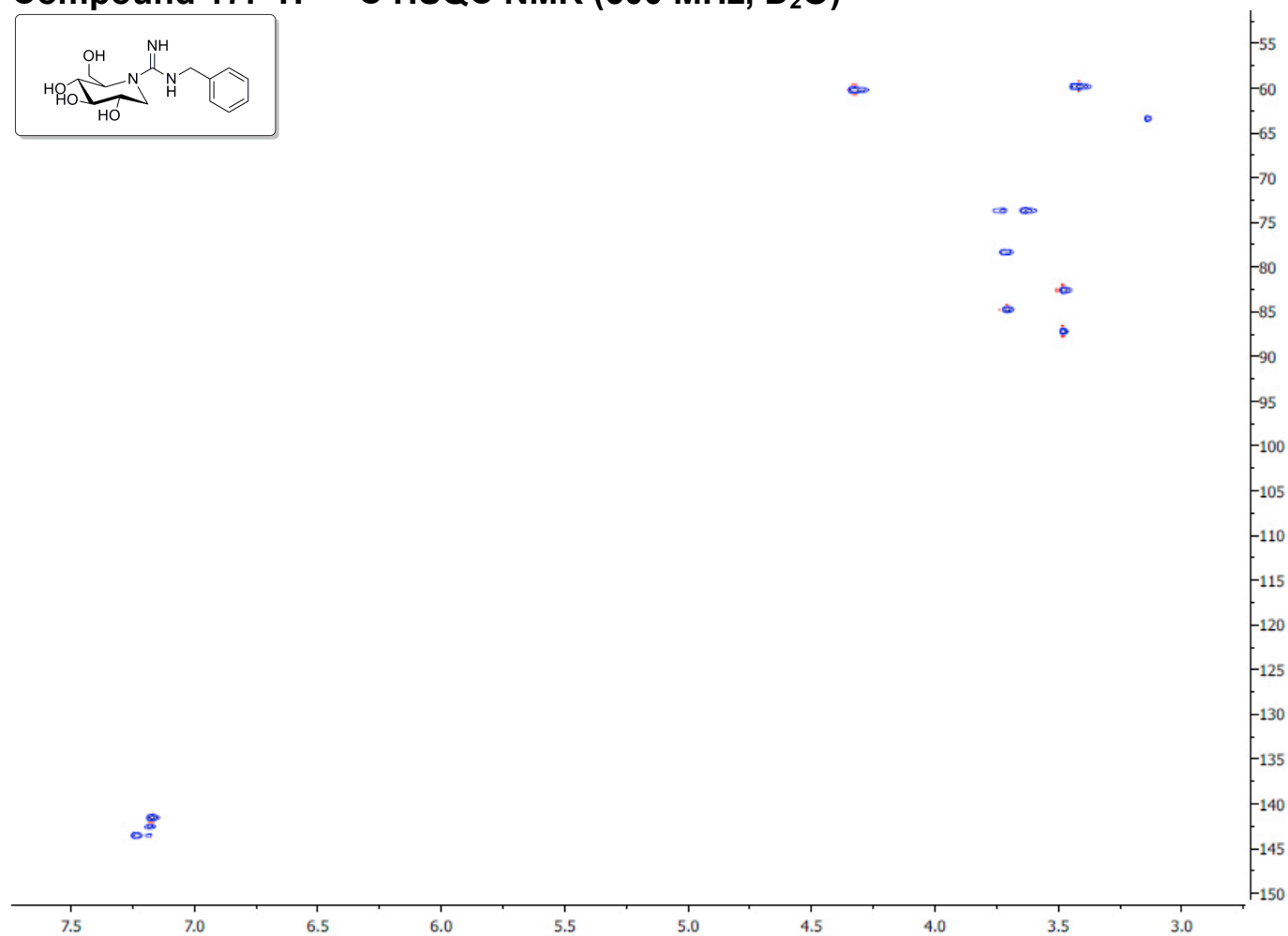
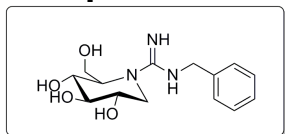
### Compound 16: $^1\text{H}$ - $^{13}\text{C}$ HSQC NMR (500 MHz, $\text{D}_2\text{O}$ )



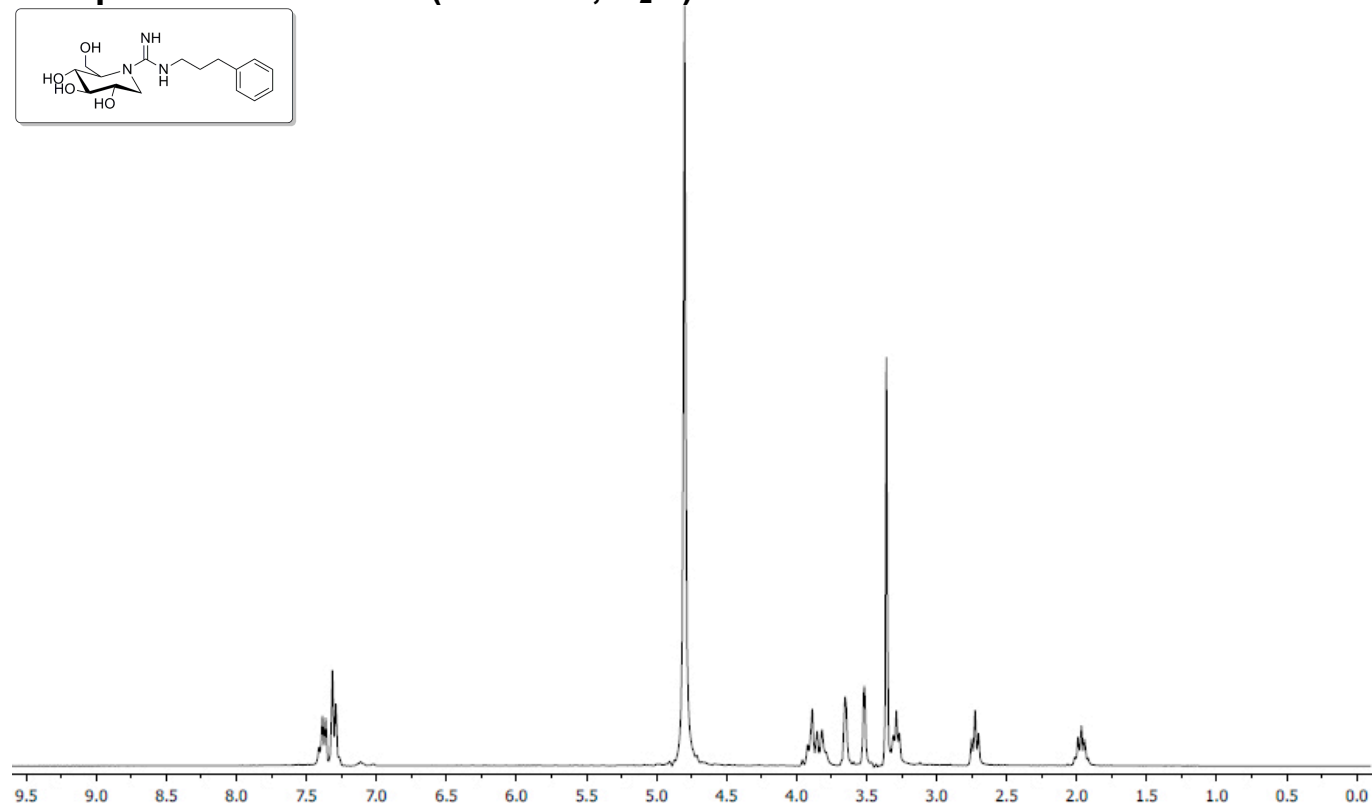
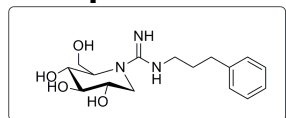
### Compound 17: $^1\text{H}$ NMR (500 MHz, $\text{D}_2\text{O}$ )



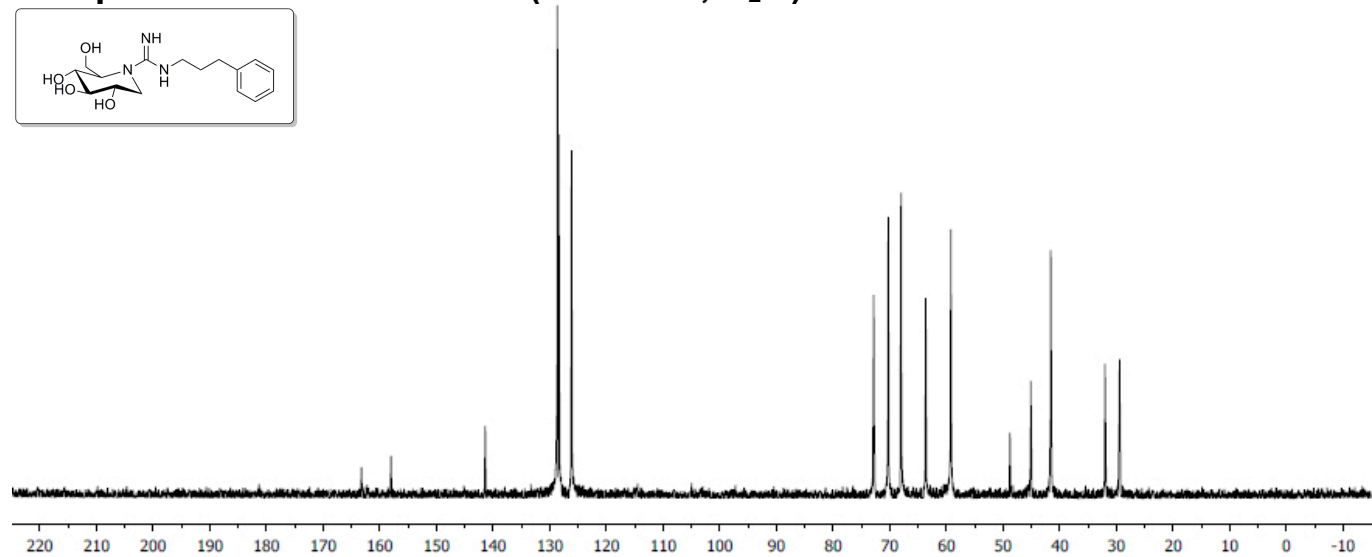
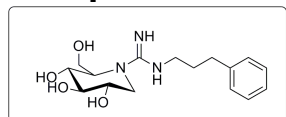
### Compound 17: $^1\text{H}$ - $^{13}\text{C}$ HSQC NMR (500 MHz, $\text{D}_2\text{O}$ )



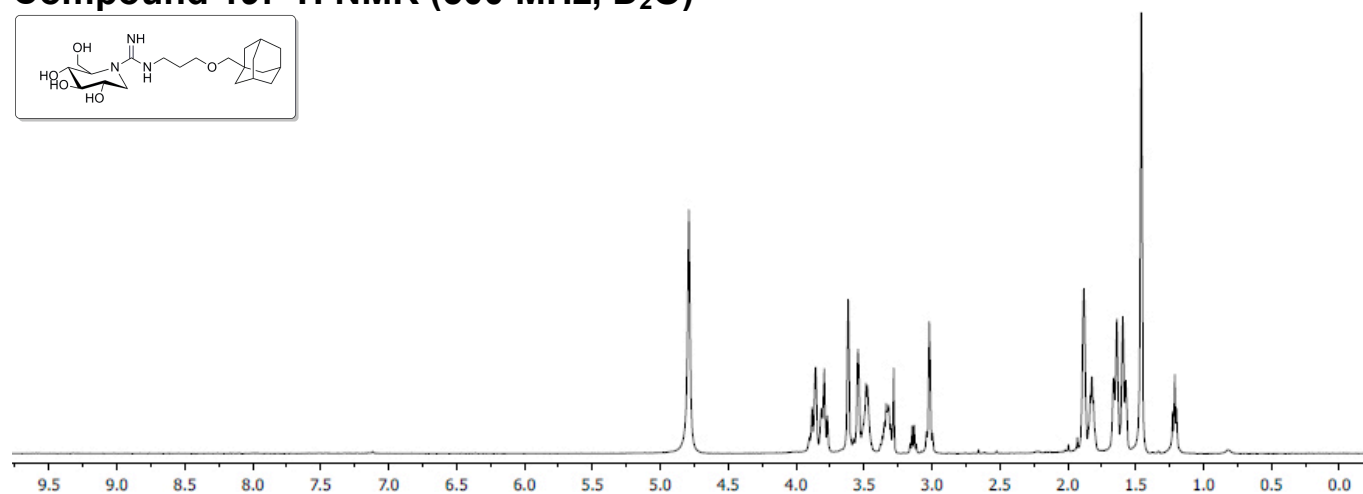
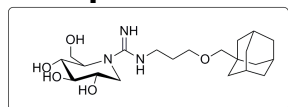
### Compound 18: $^1\text{H}$ NMR (300 MHz, $\text{D}_2\text{O}$ )



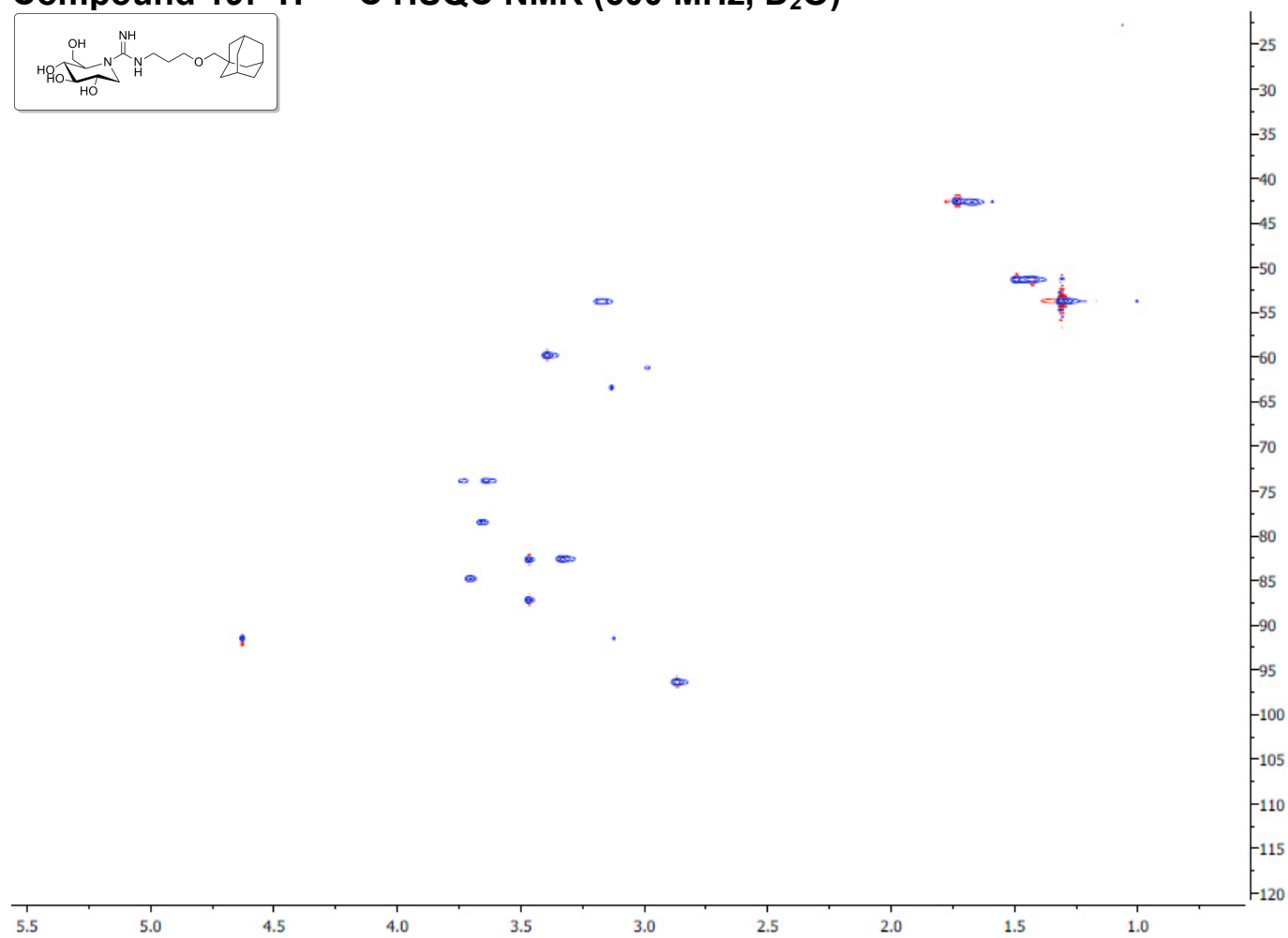
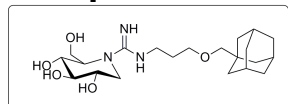
### Compound 18: $^{13}\text{C}$ APT NMR (75.5 MHz, $\text{D}_2\text{O}$ )



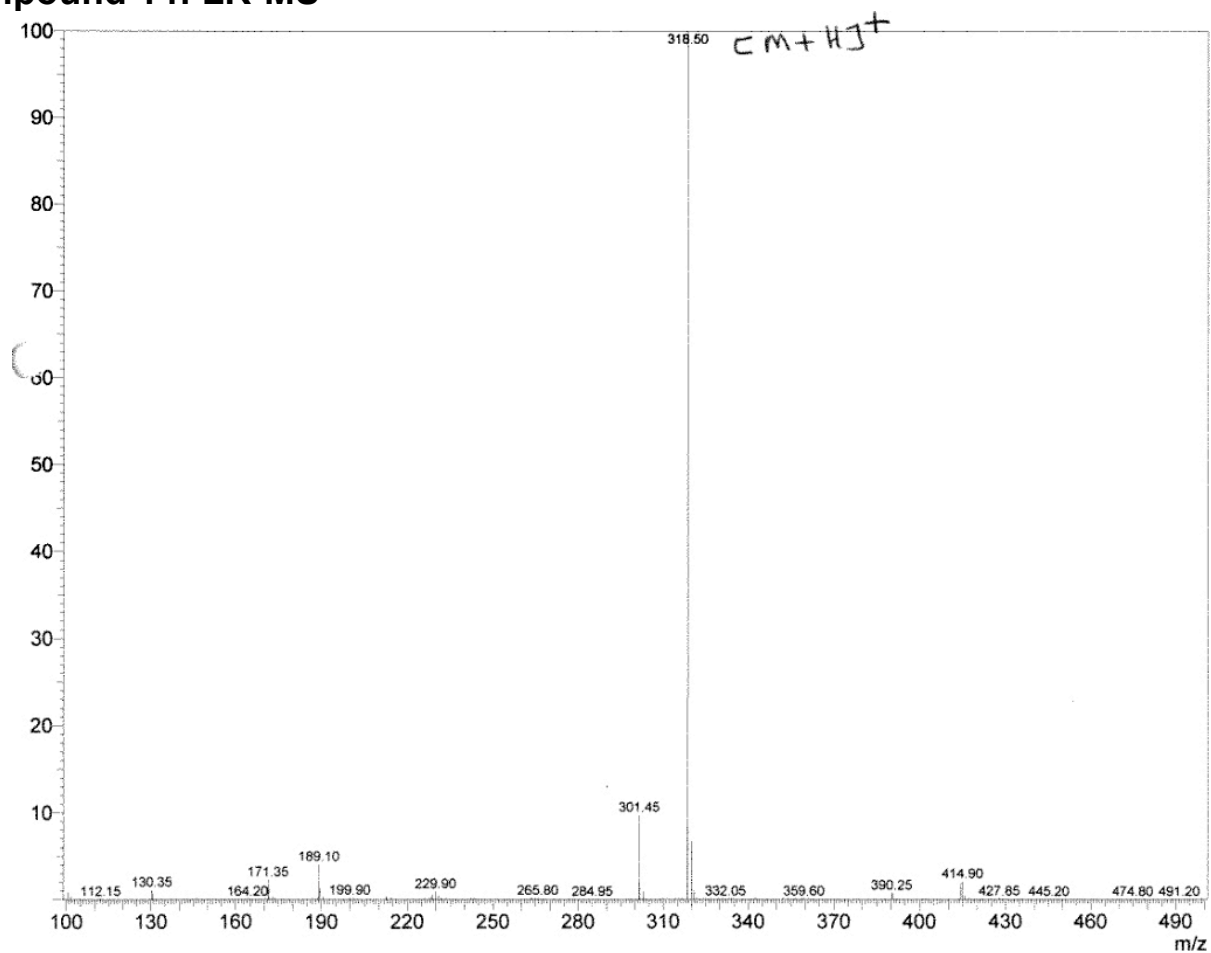
### Compound 19: $^1\text{H}$ NMR (500 MHz, $\text{D}_2\text{O}$ )



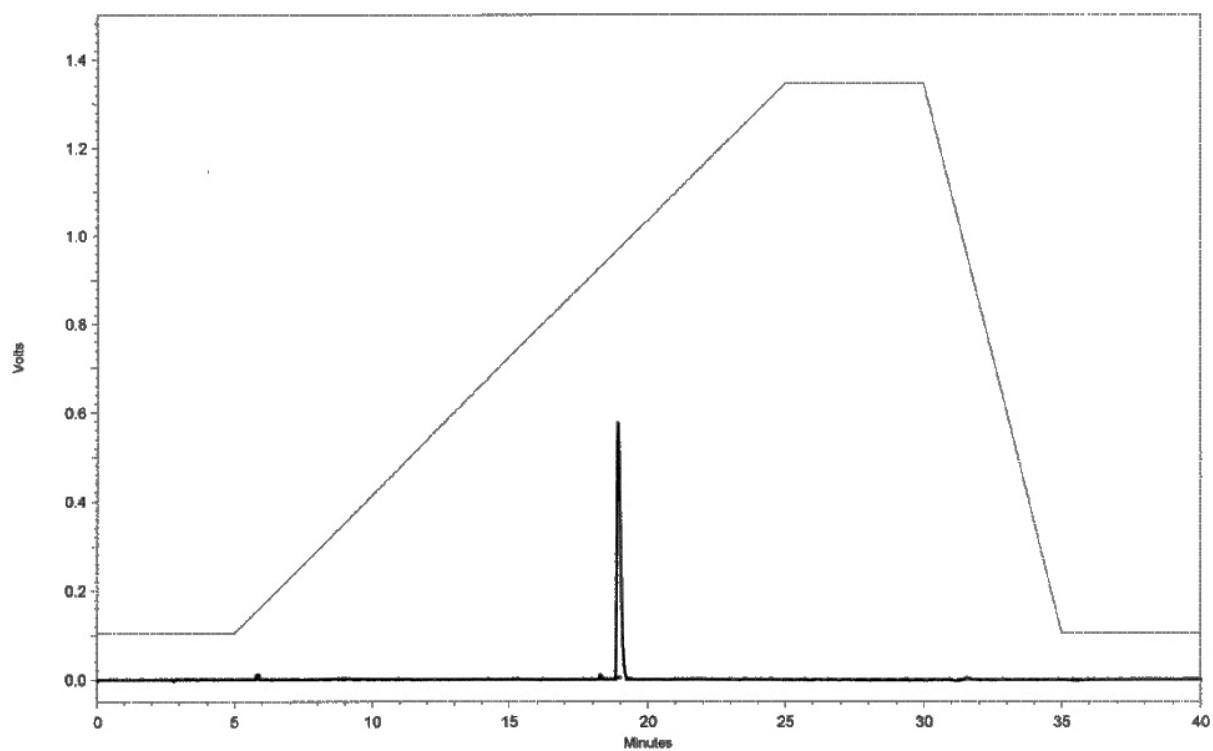
### Compound 19: $^1\text{H}$ - $^{13}\text{C}$ HSQC NMR (500 MHz, $\text{D}_2\text{O}$ )



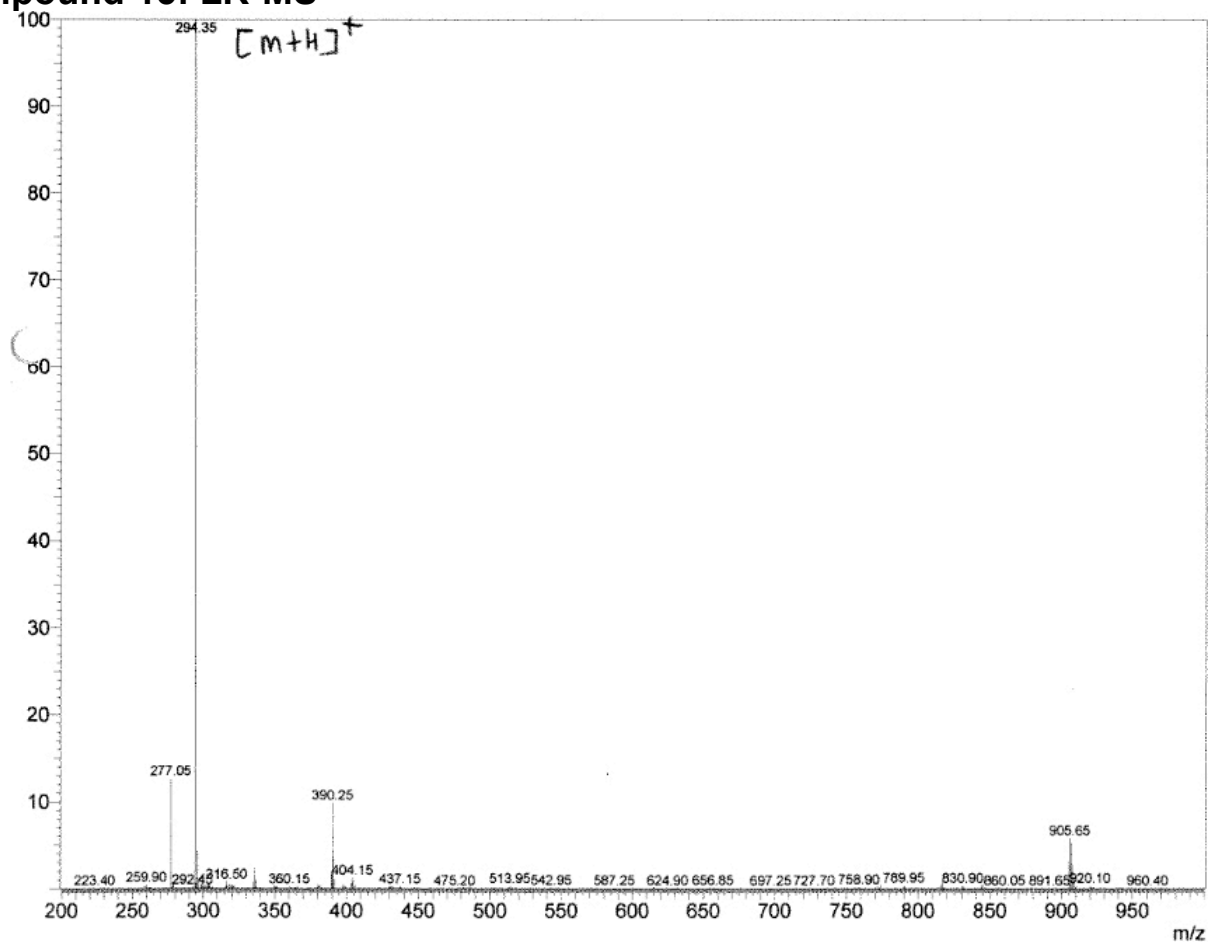
## Compound 14: LR-MS



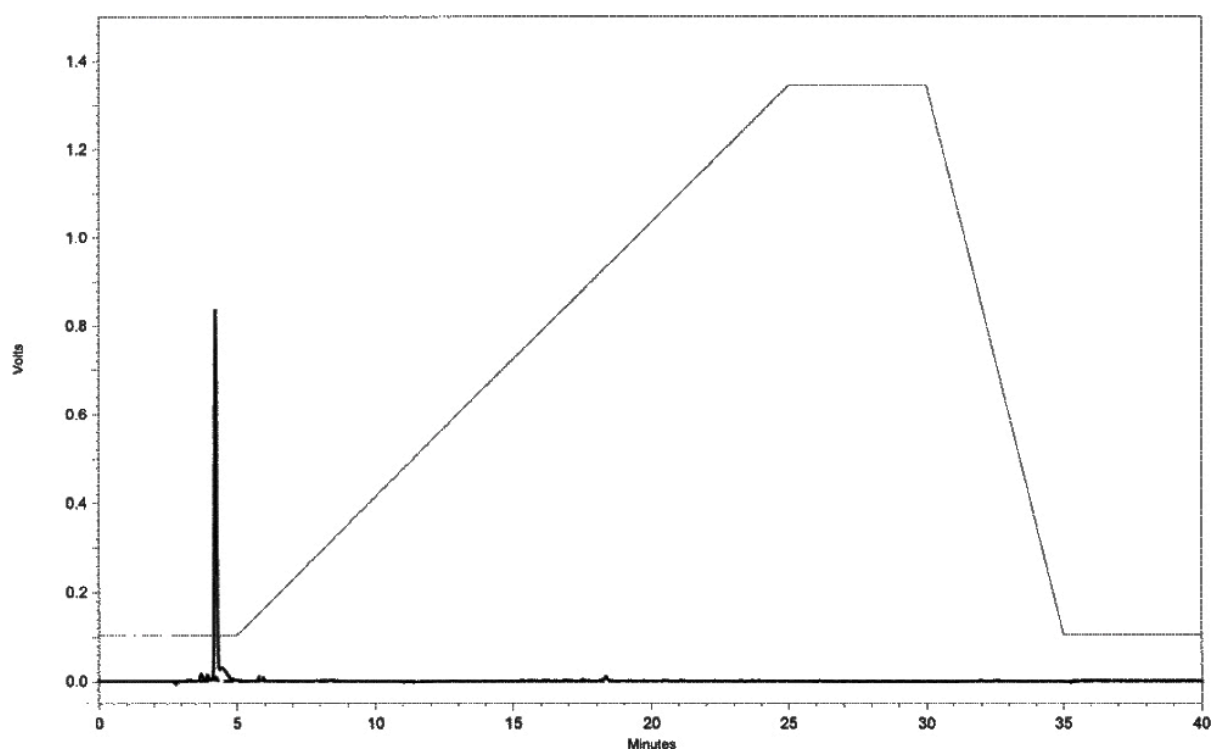
## Compound 14: HPLC Chromatogram



### Compound 15: LR-MS

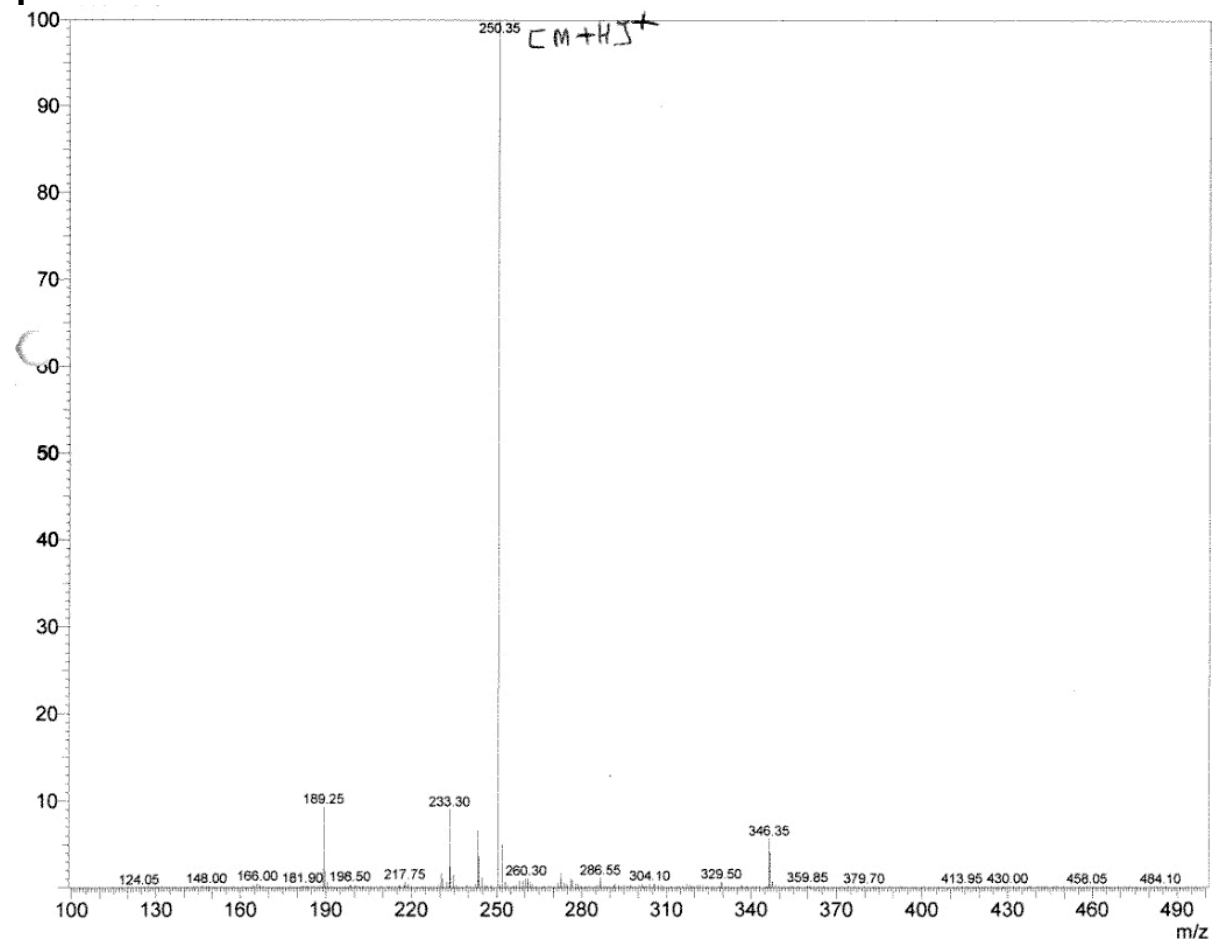


### Compound 15: HPLC Chromatogram

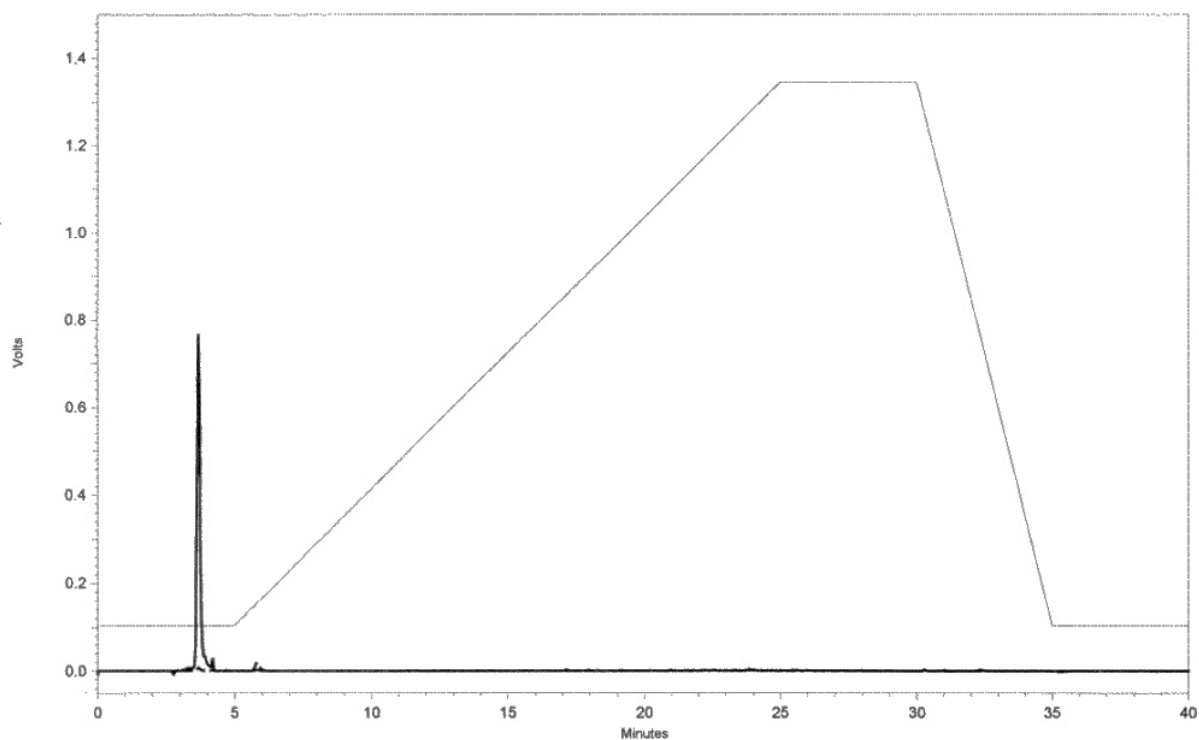




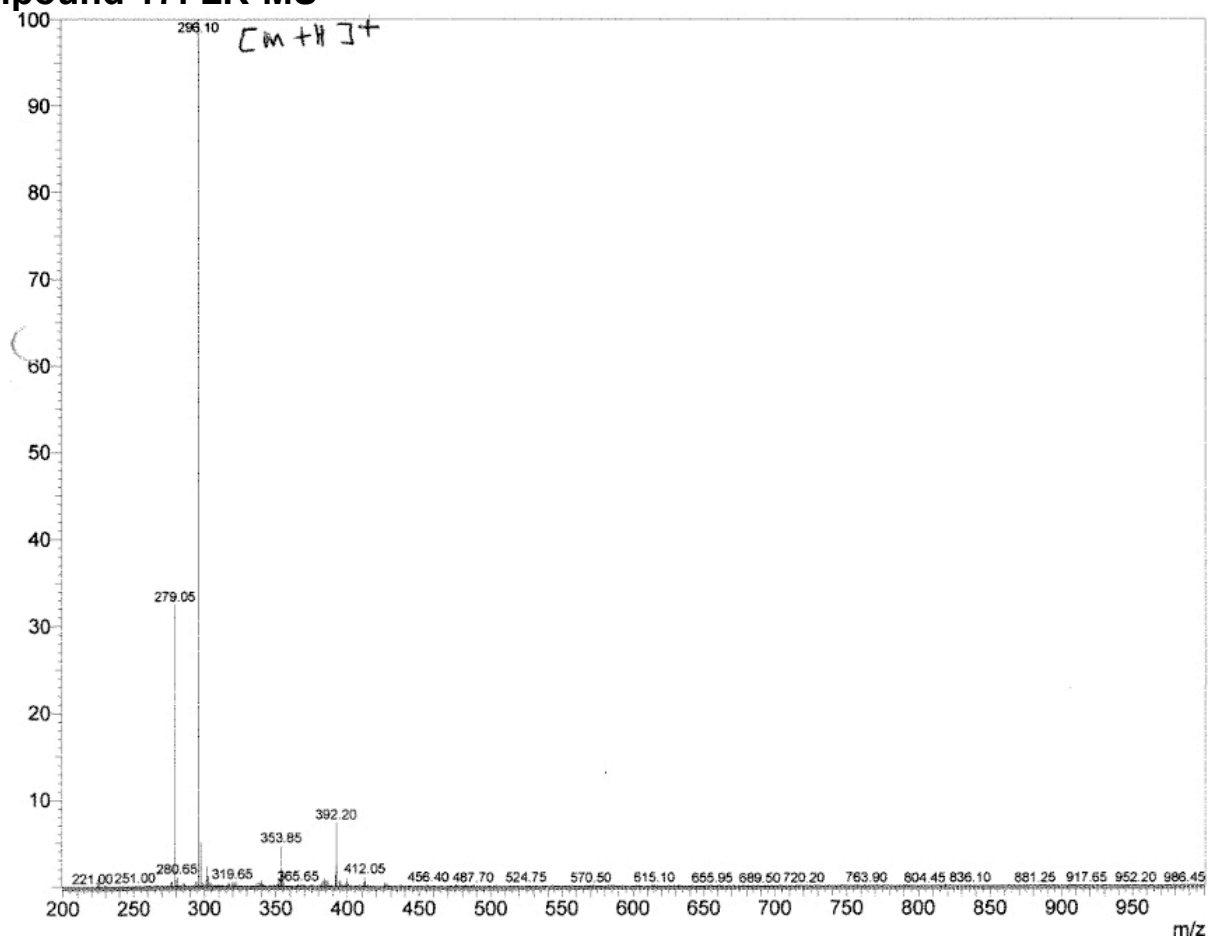
### Compound 16: LR-MS



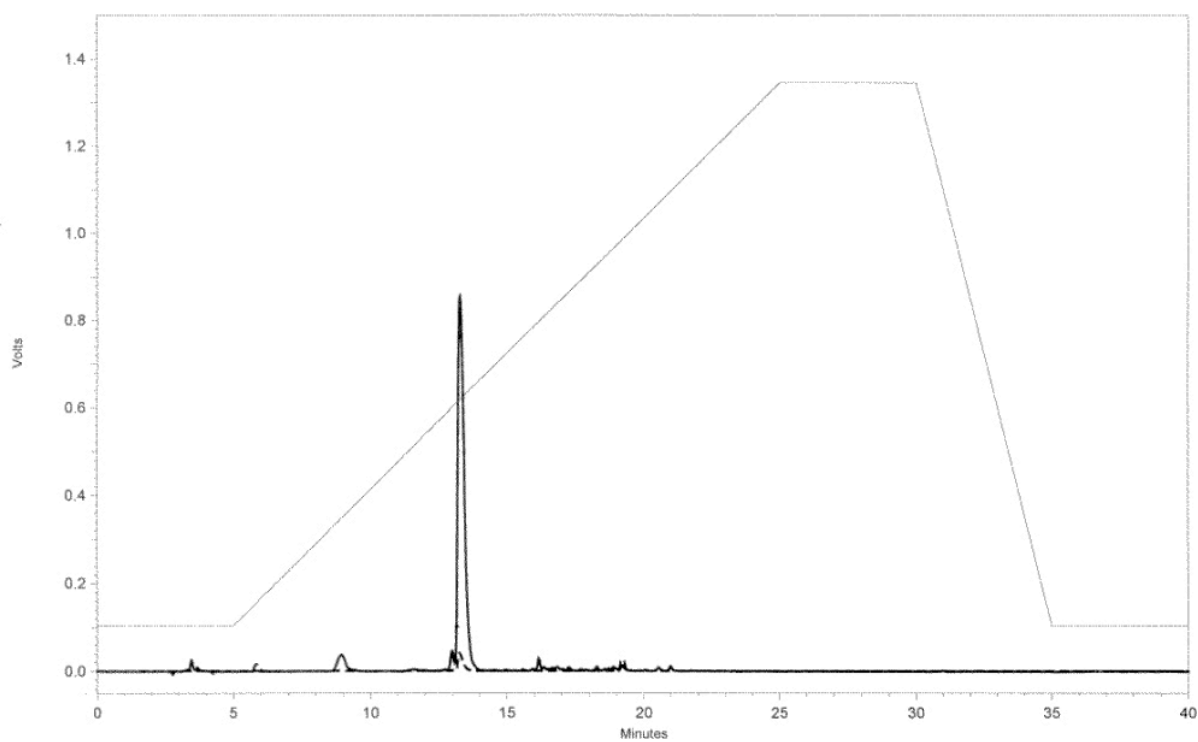
### Compound 16: HPLC Chromatogram



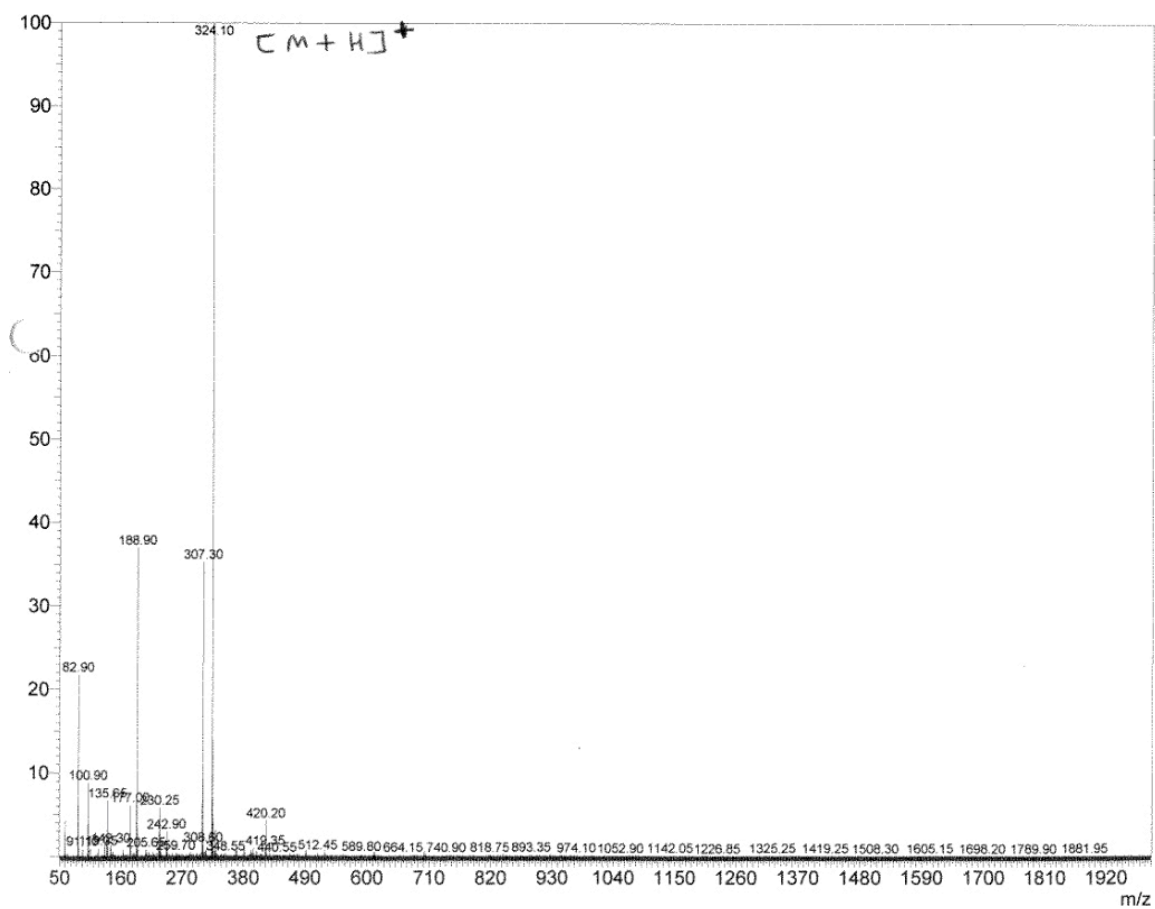
### Compound 17: LR-MS



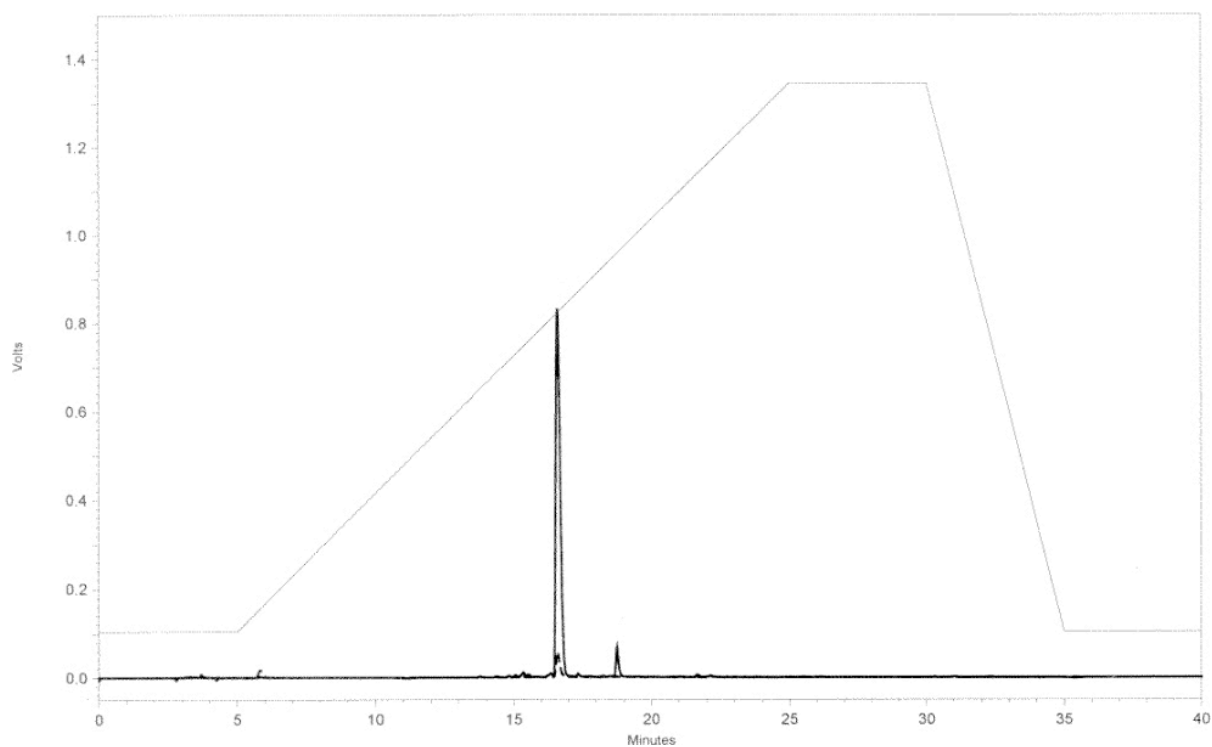
### Compound 17: HPLC Chromatogram



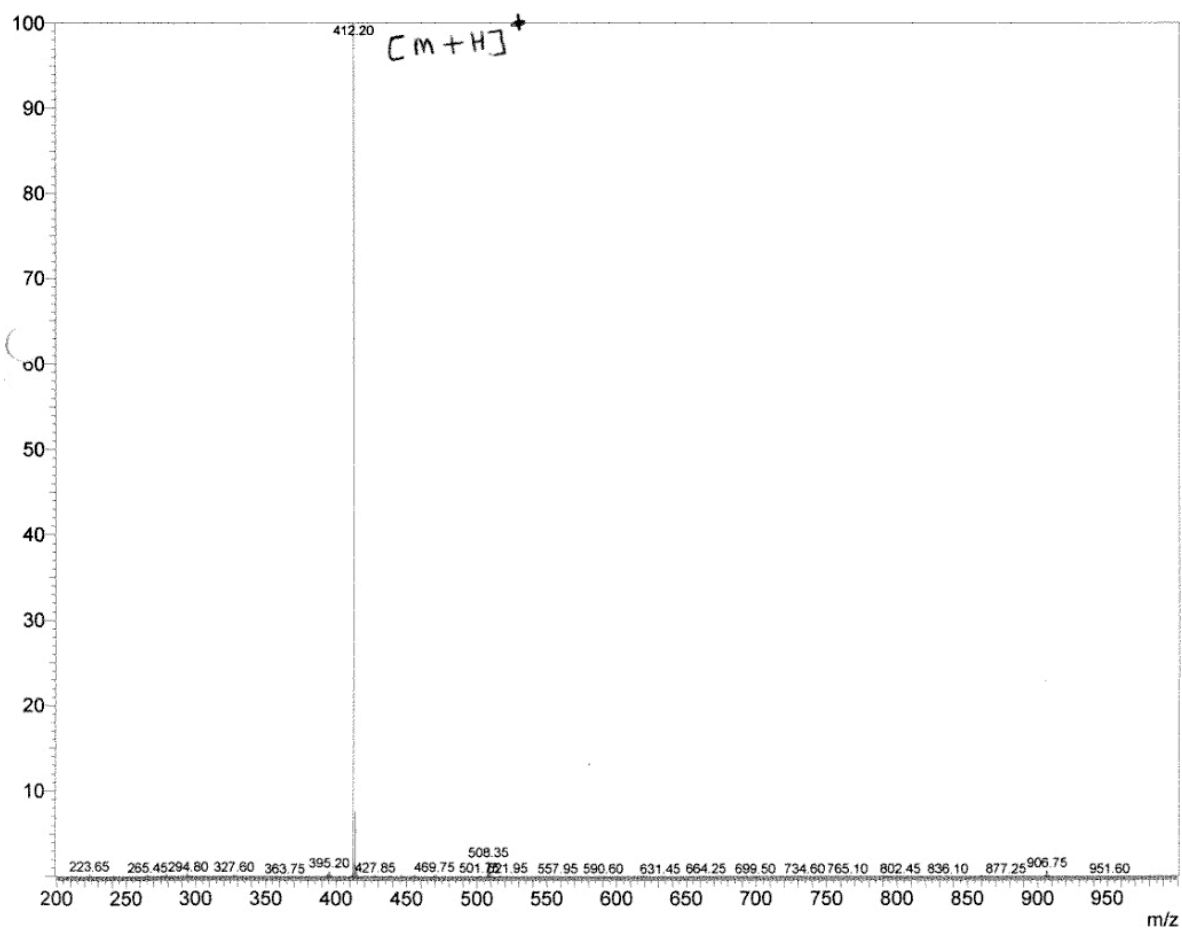
## Compound 18: LR-MS



## Compound 18: HPLC Chromatogram.



## Compound 19: LR-MS



## Compound 19: HPLC Chromatogram

