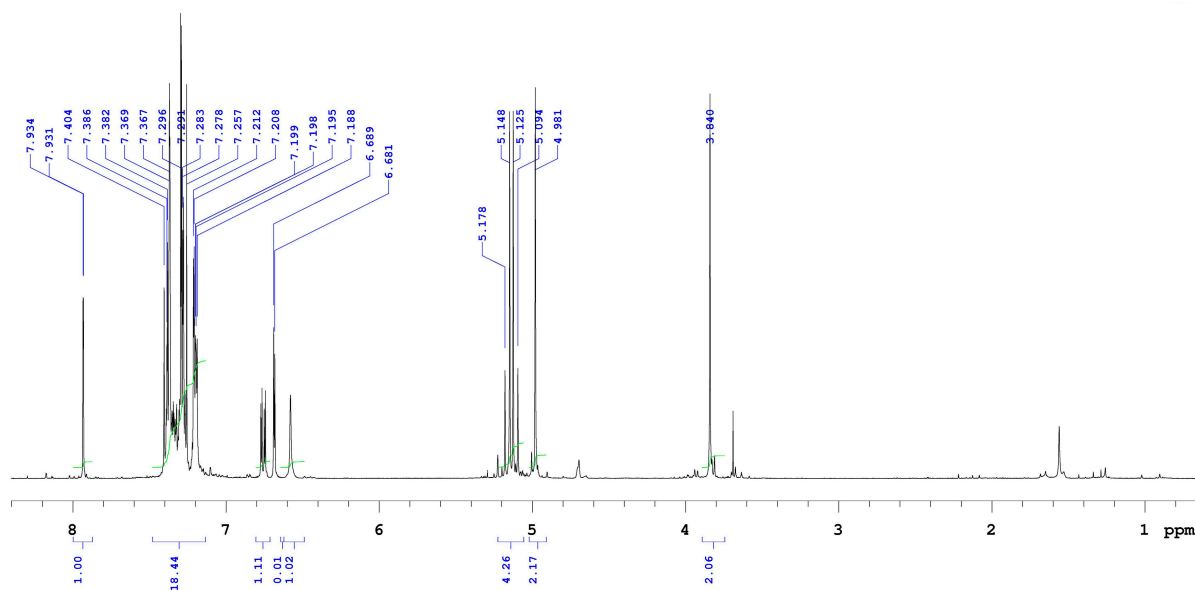
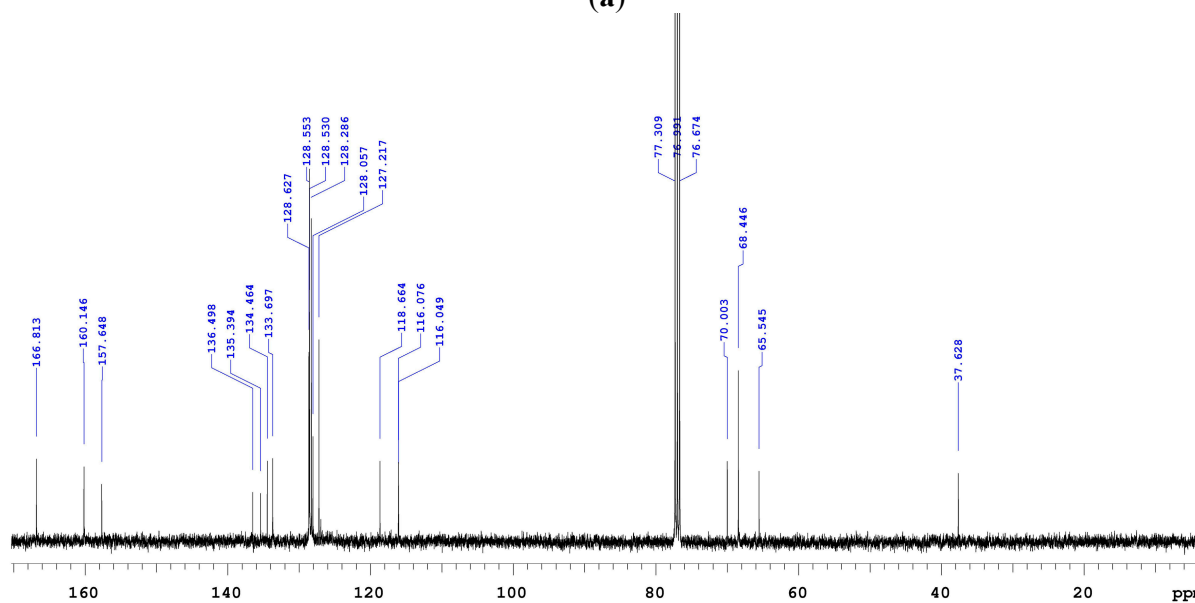


Supplementary Materials

Figure S1. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl 2-(2-bromo-5-benzyloxybenzyl)-2-formamidomalonate **3a**.



(a)



(b)

Figure S2. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl 2-(3-benzyloxy-4-bromobenzyl)-2-formamidomalonate **3b**.

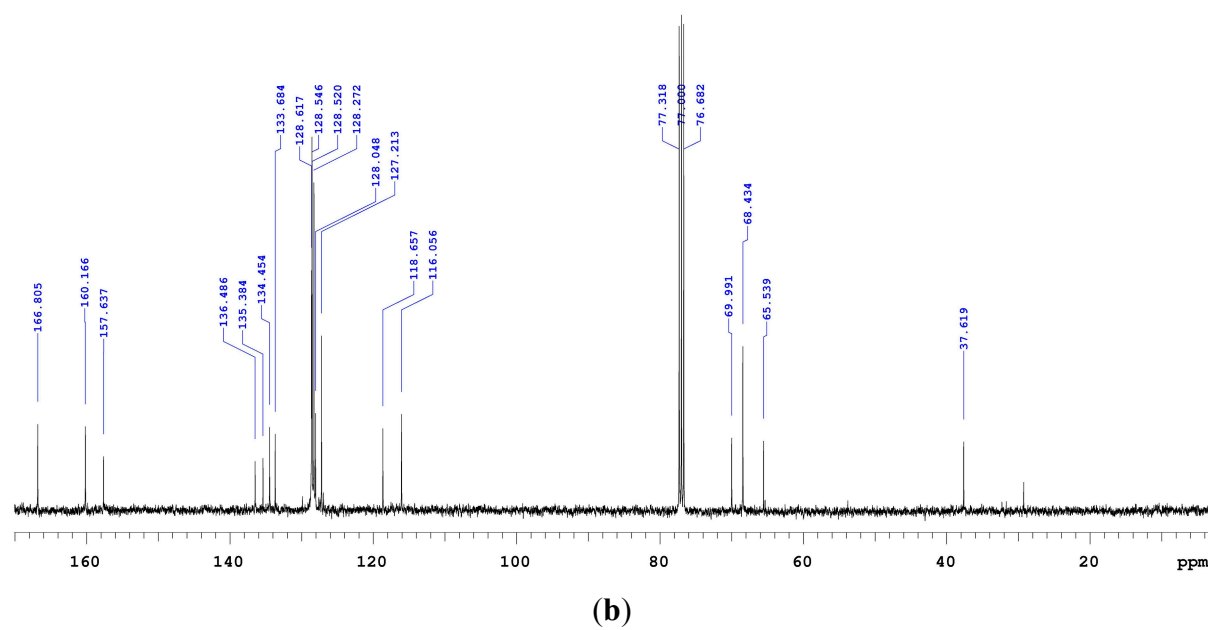
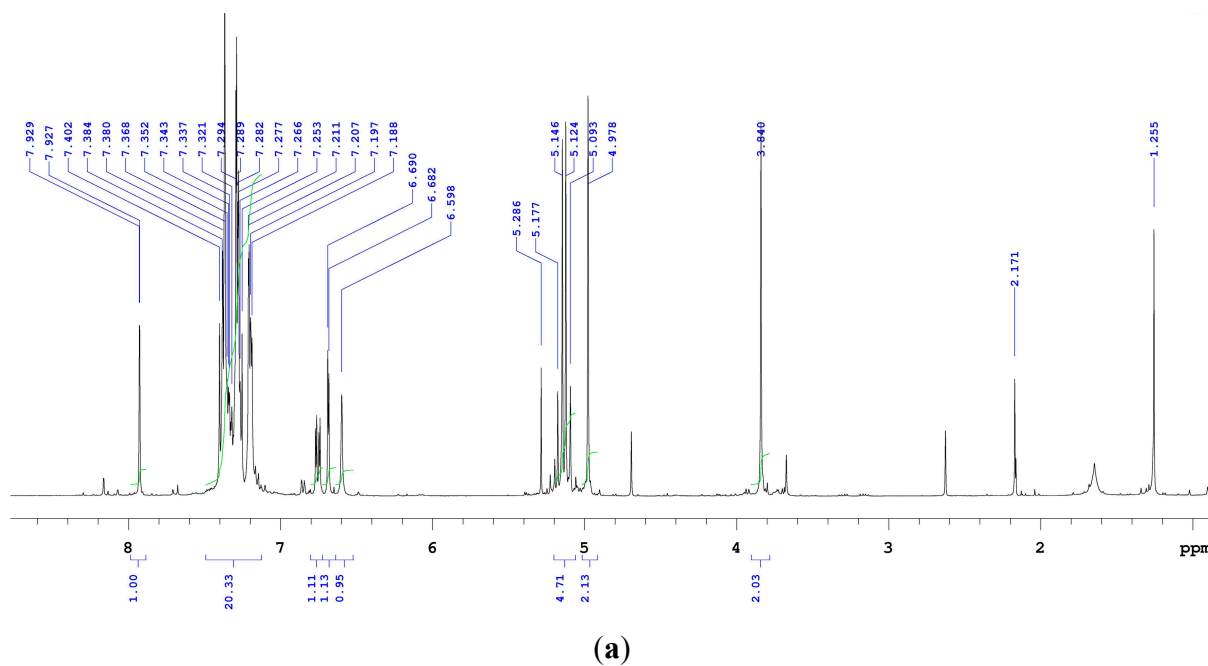
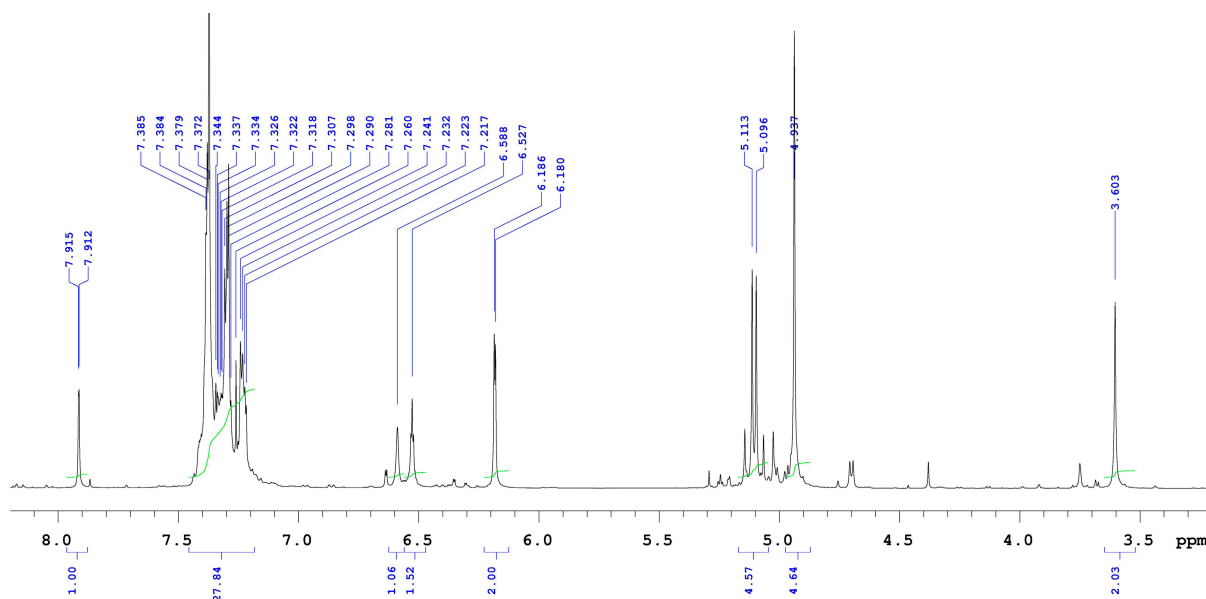
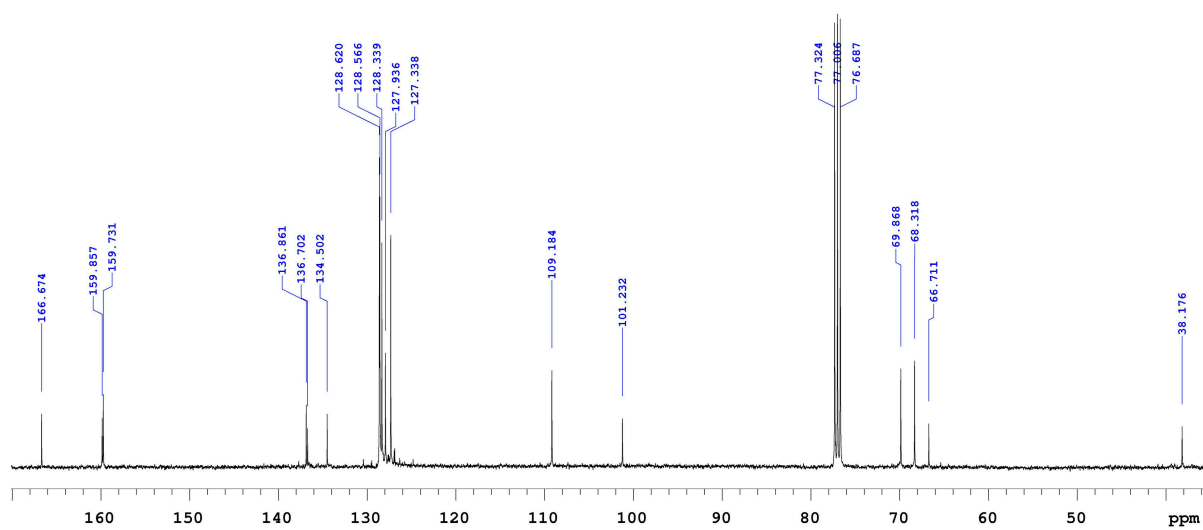


Figure S3. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl (3,5-dibenzyloxybenzyl)-2-formamidomalonate **3c**.

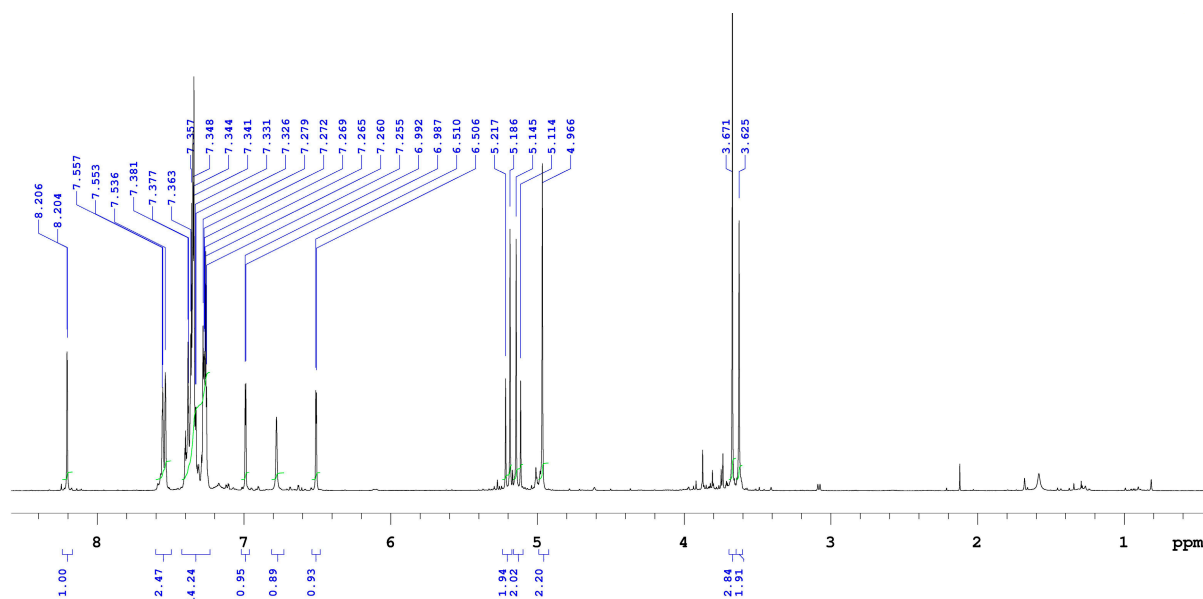


(a)

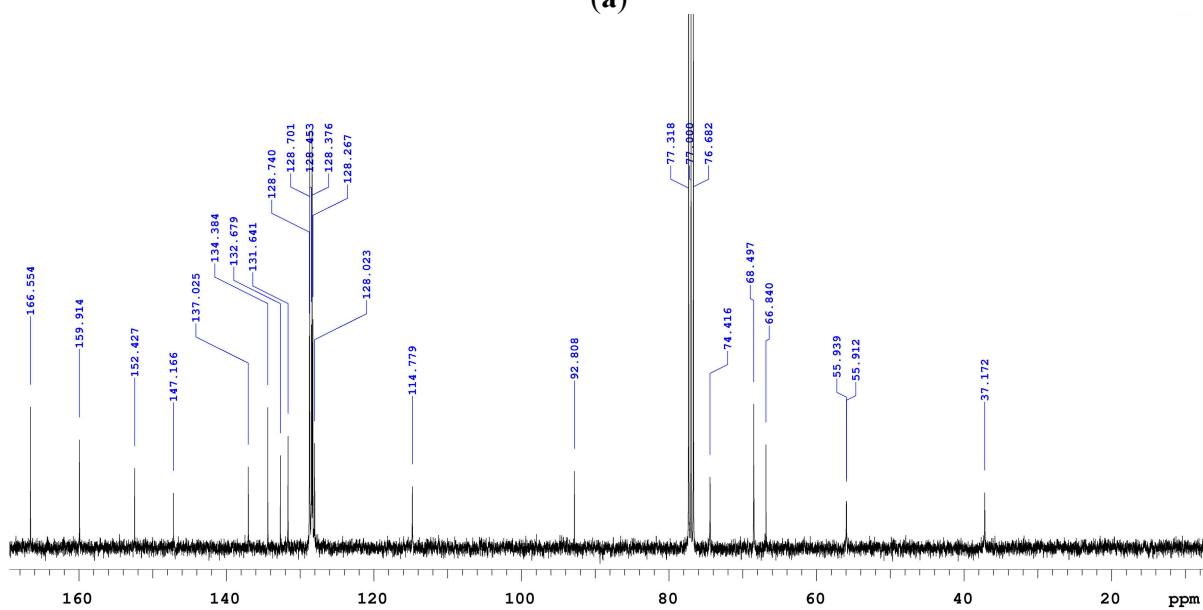


(b)

Figure S4. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl 2-(3-iodo-4-benzyloxy-5-methoxybenzyl)-2-formamidomalonate **3d**.

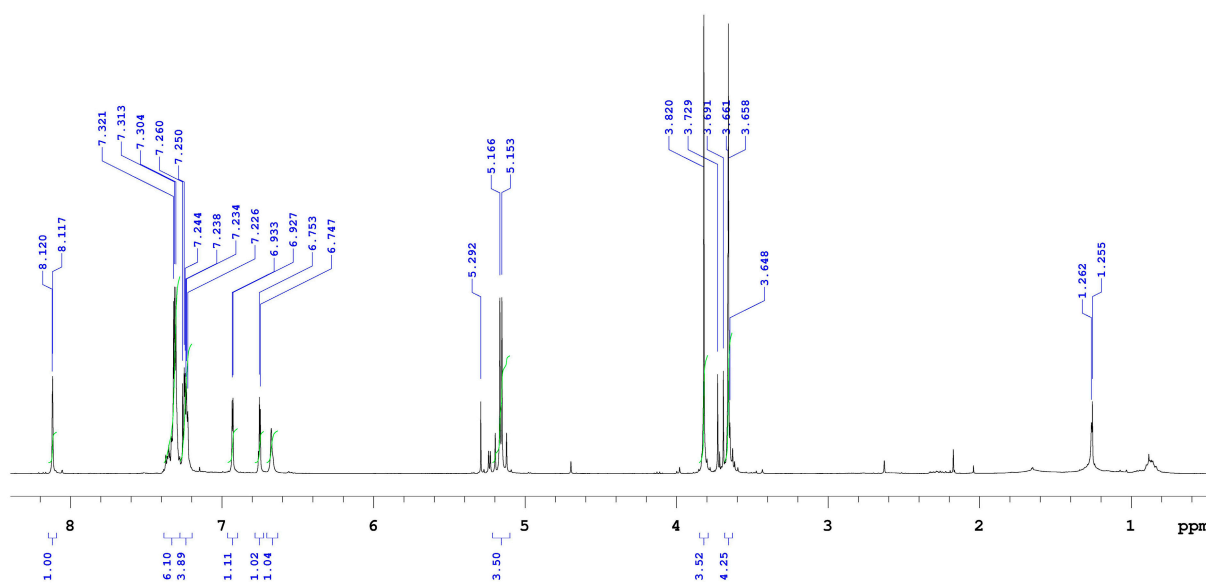


(a)

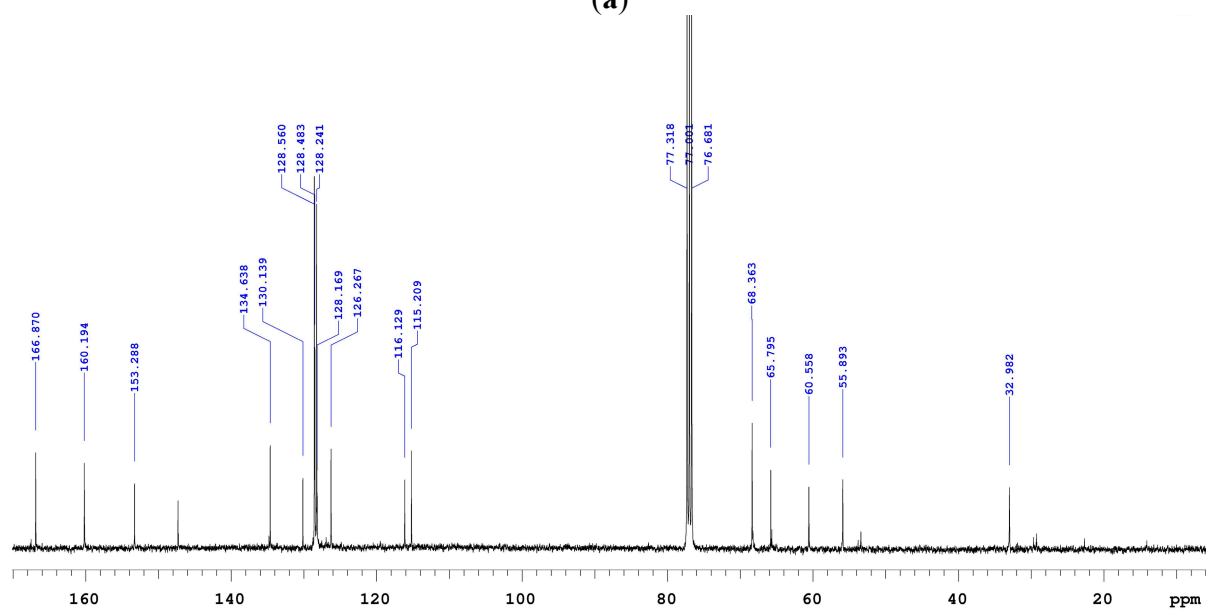


(b)

Figure S5. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl 2-(5-bromo-2,3-dimethoxybenzyl)-2-formamidomalonate **3f**.



(a)



(b)

Figure S6. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl 2-(3-bromo-4,5-dimethoxybenzyl)-2-formamidomalonate **3g**.

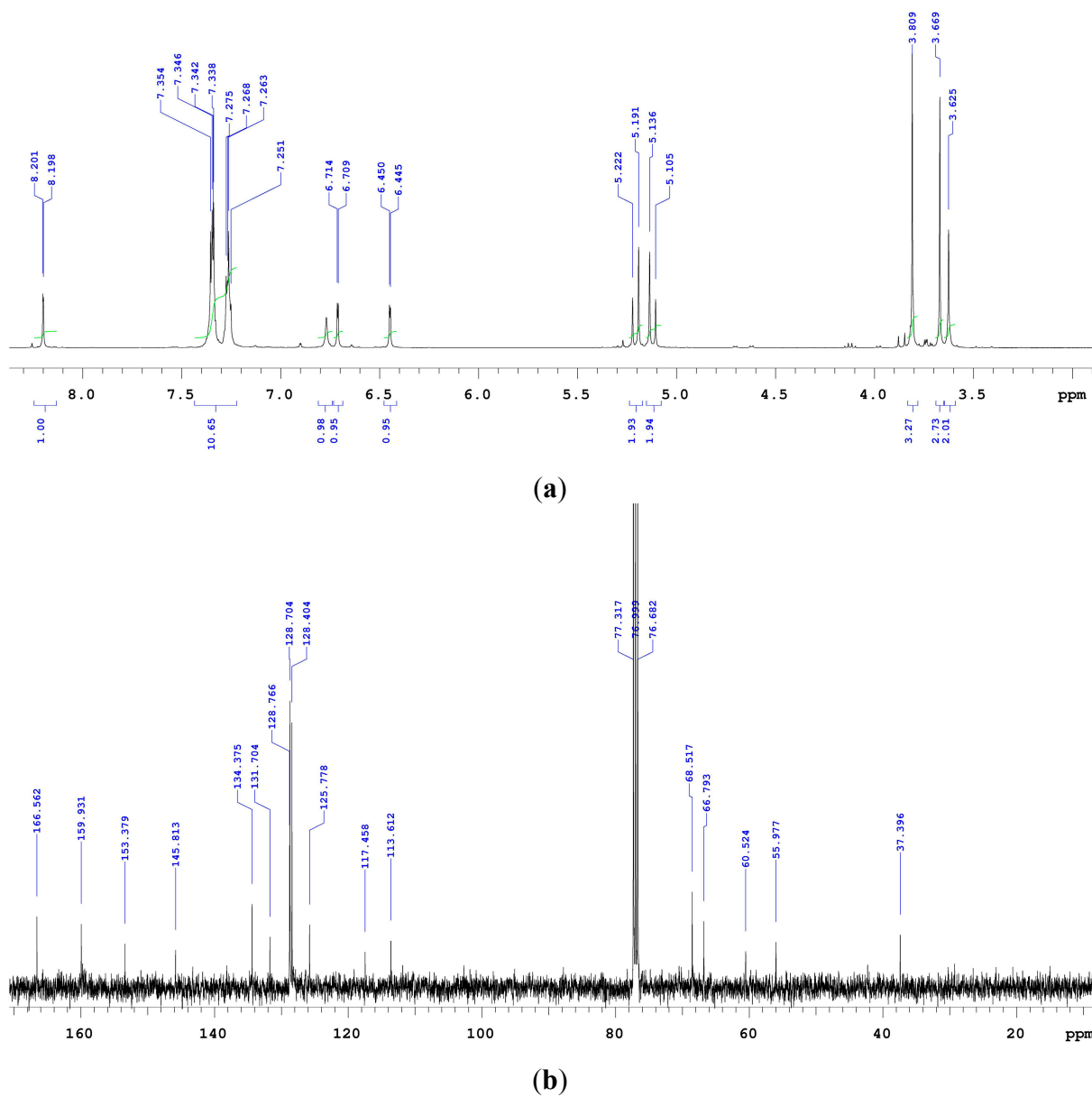
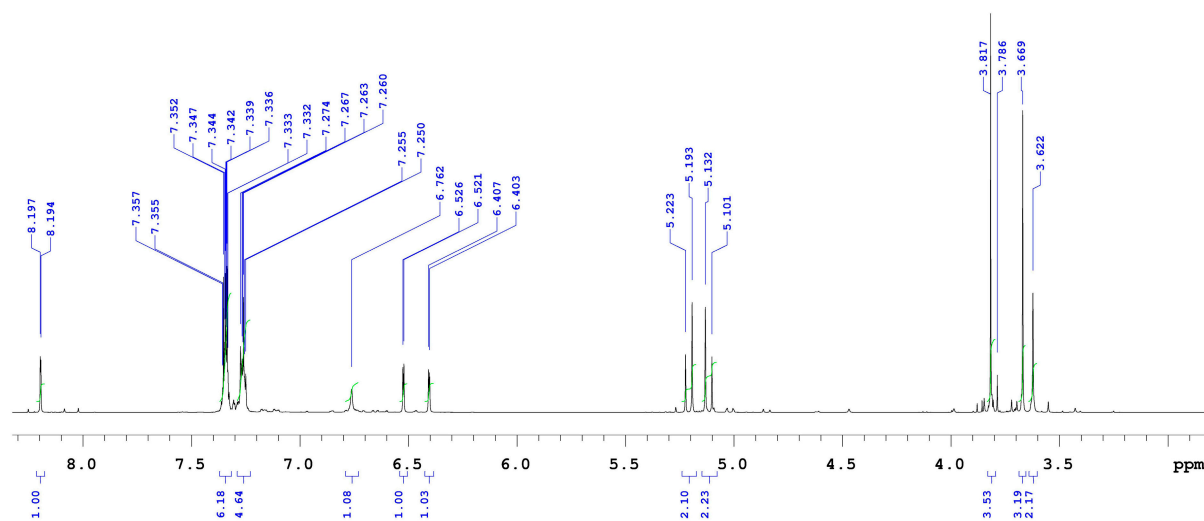
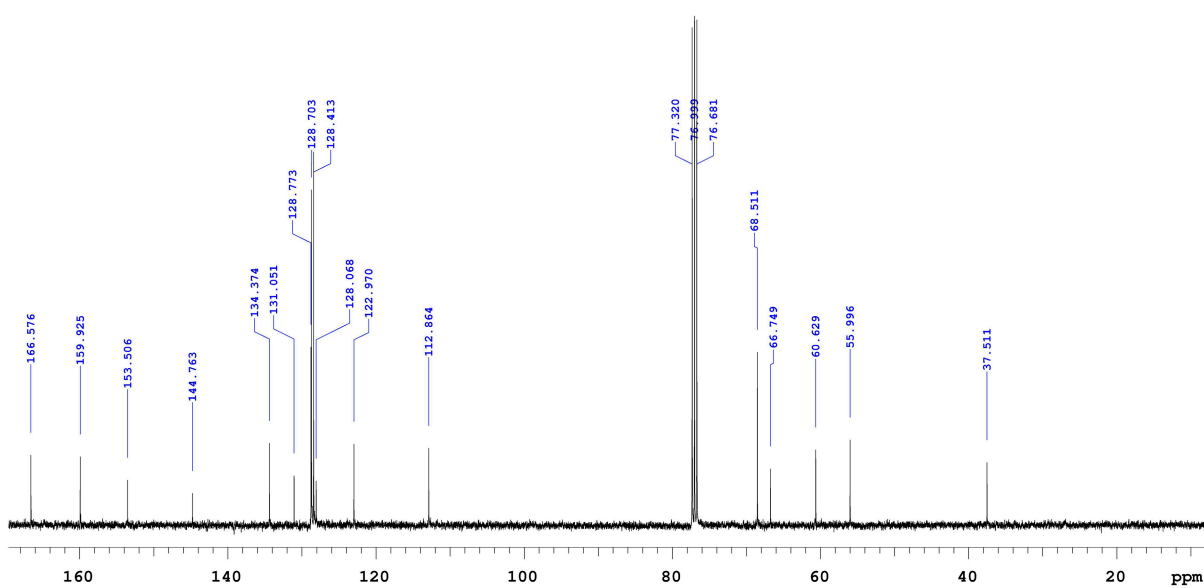


Figure S7. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl 2-(3-chloro-4,5-dimethoxybenzyl)-2-formamidomalonate **3h**.



(a)



(b)

Figure S8. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl 2-(3,4,5-trimethoxybenzyl)-2-formamidomalonate **3i**.

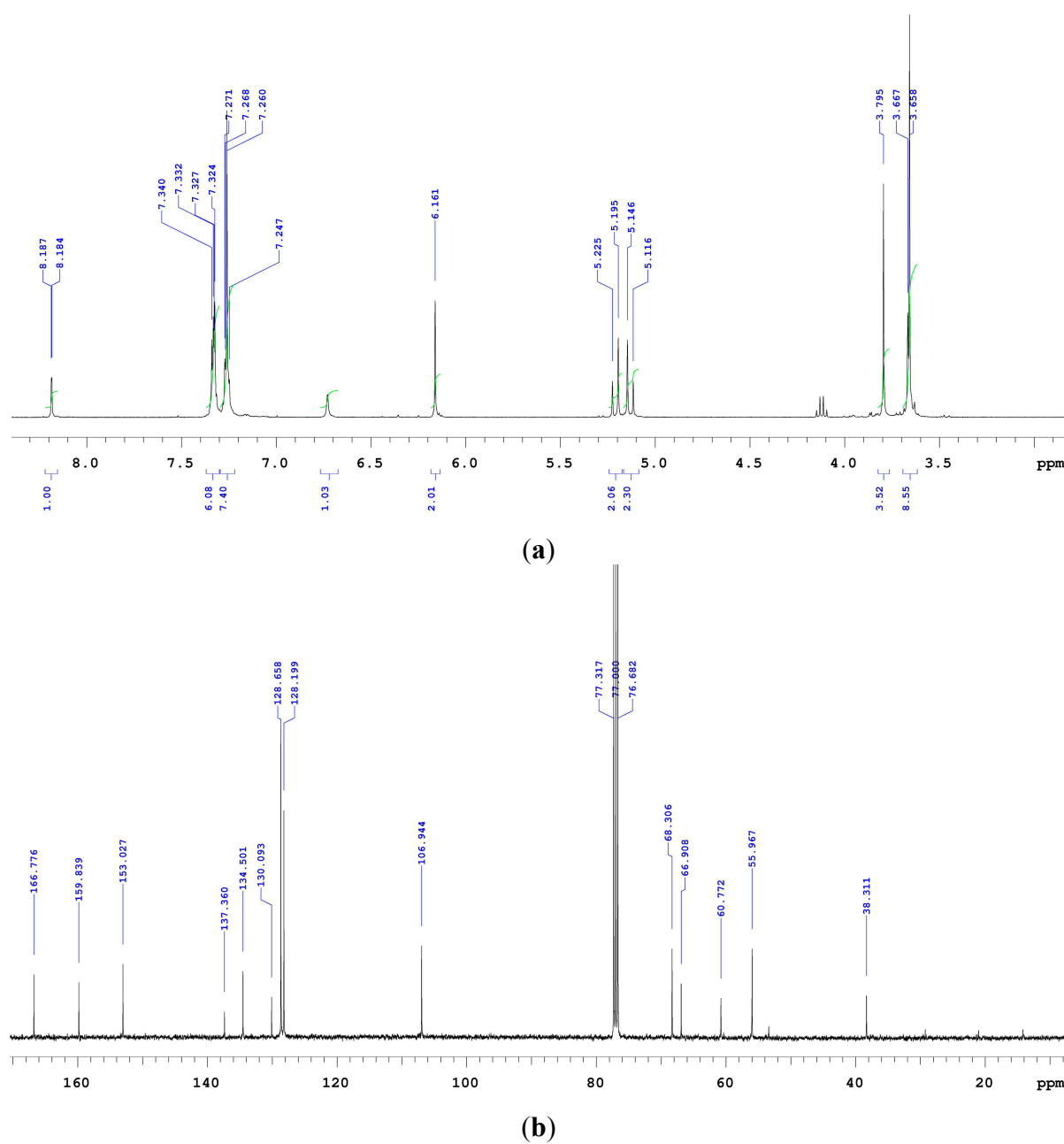
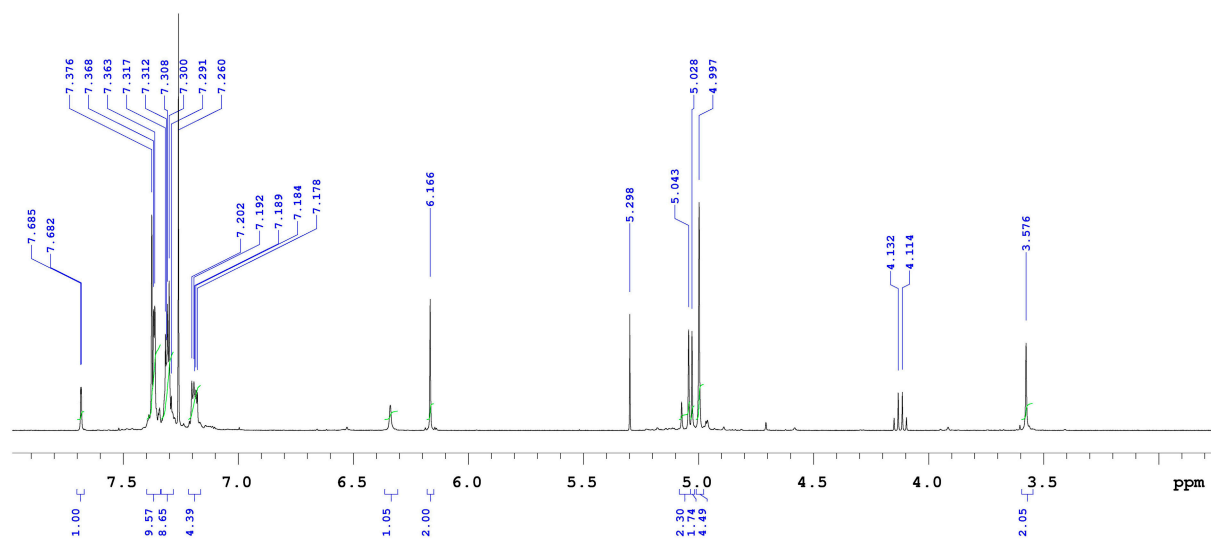
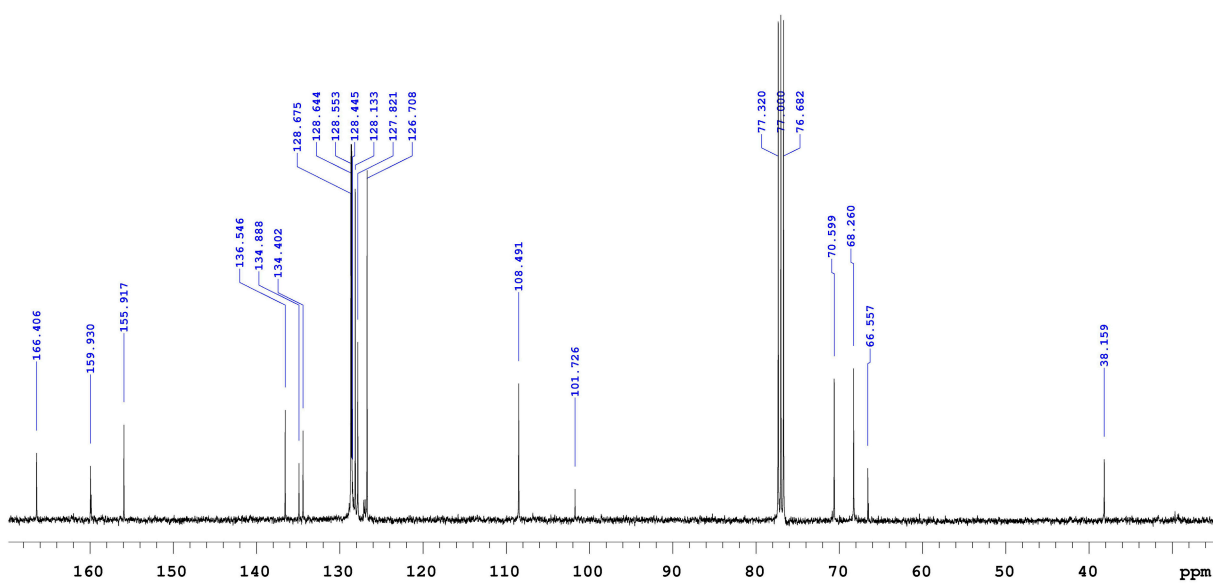


Figure S9. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl 2-(4-bromo-3,5-dibenzoyloxybenzyl)-2-formamidomalonate **3j**.

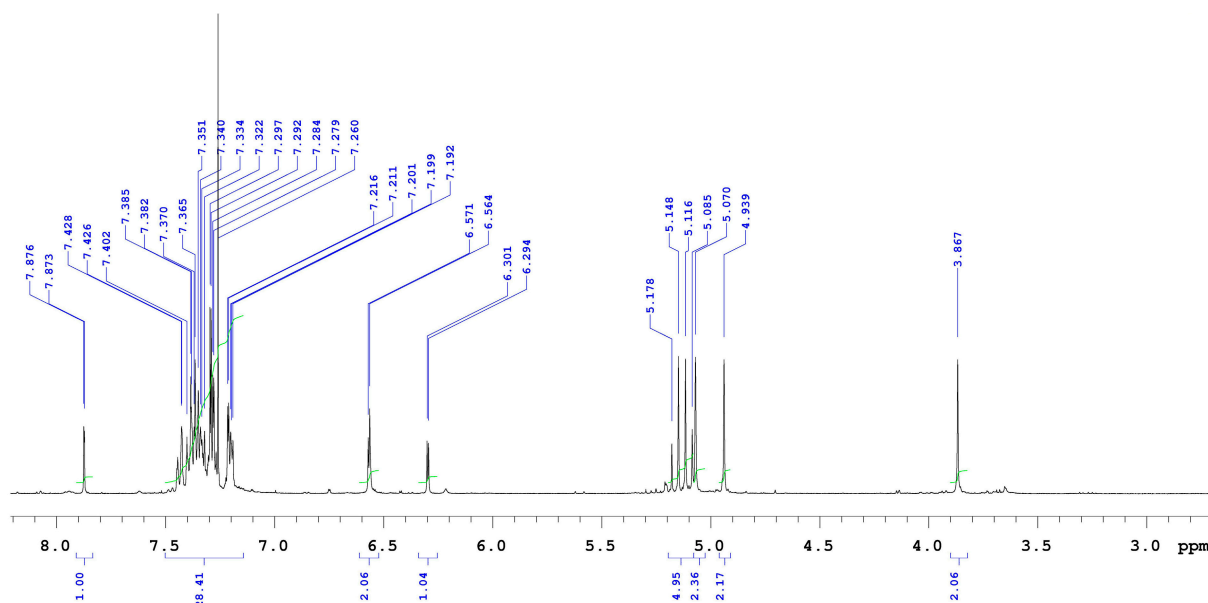


(a)

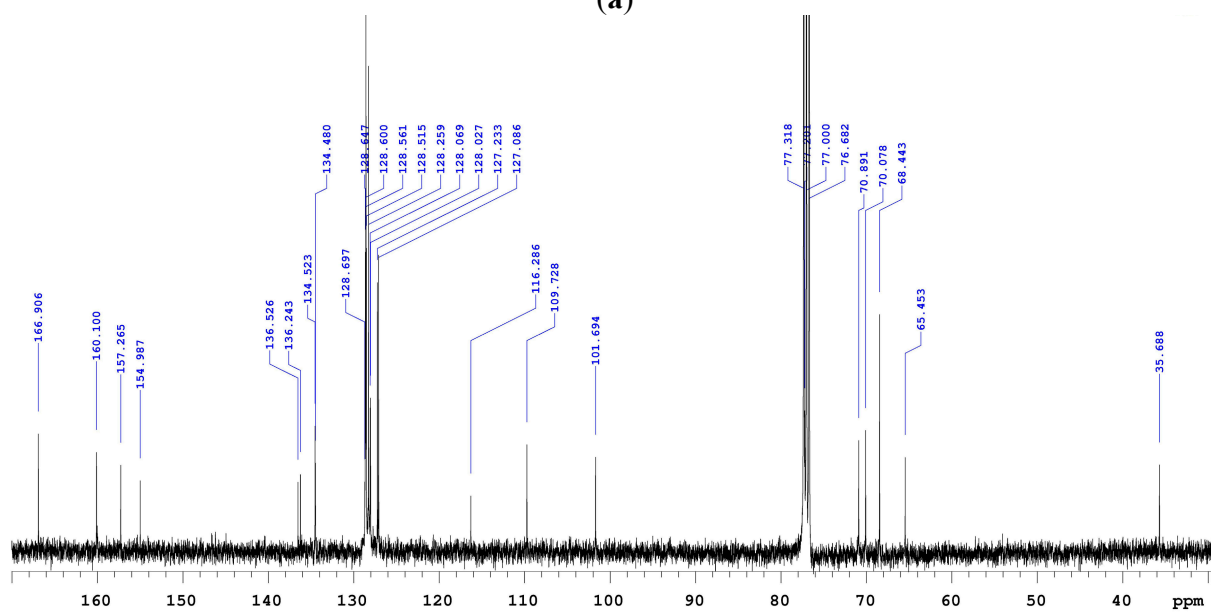


(b)

Figure S10. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl (2-chloro-3,5-dibenzyloxybenzyl)-2-formamidomalonate **3k**.

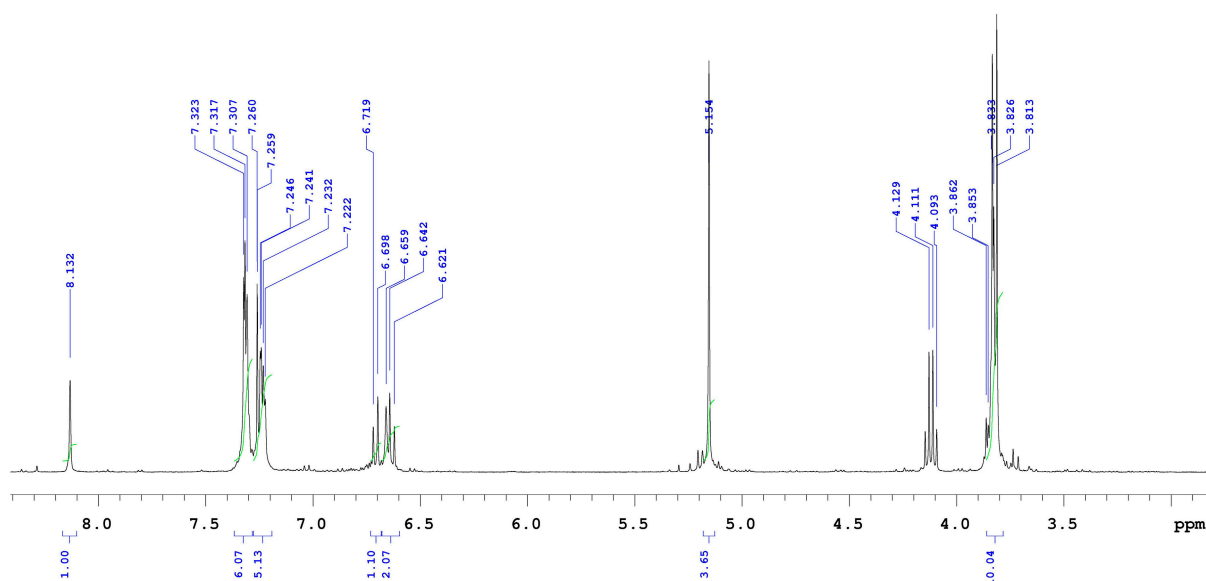


(a)

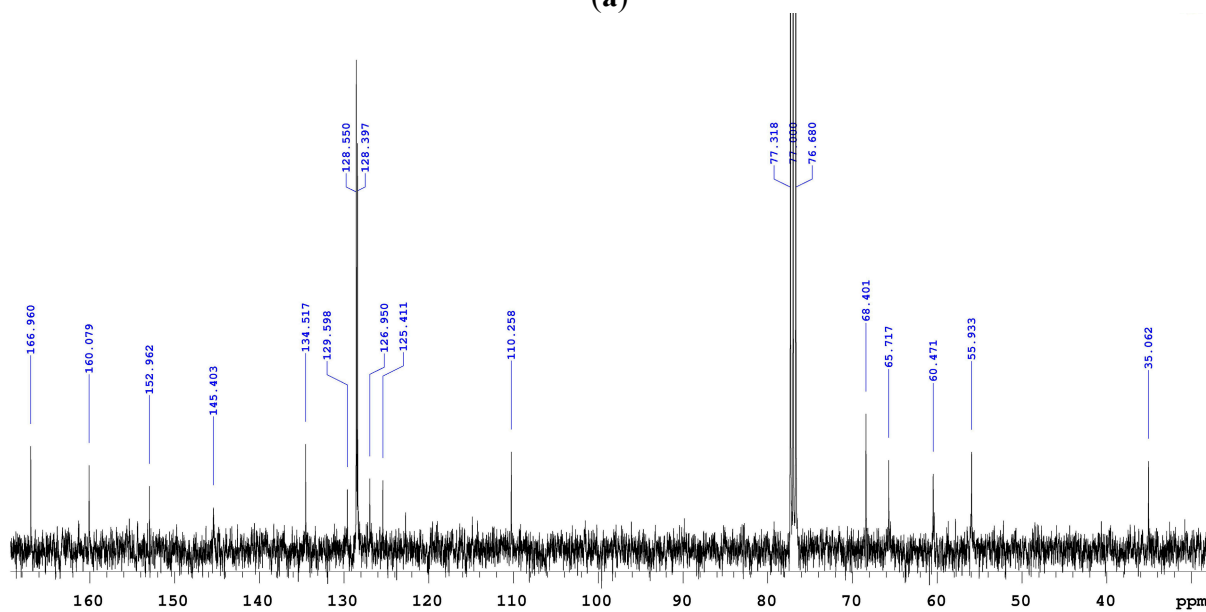


(b)

Figure S11. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl 2-(2-chloro-3,4-dimethoxybenzyl)-2-formamidomalonate **31**.



(a)



(b)

Figure S12. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl 5-bromo-8-benzyloxy-3,4-dihydroisoquinolin-3,3-dicarboxylate **4a**.

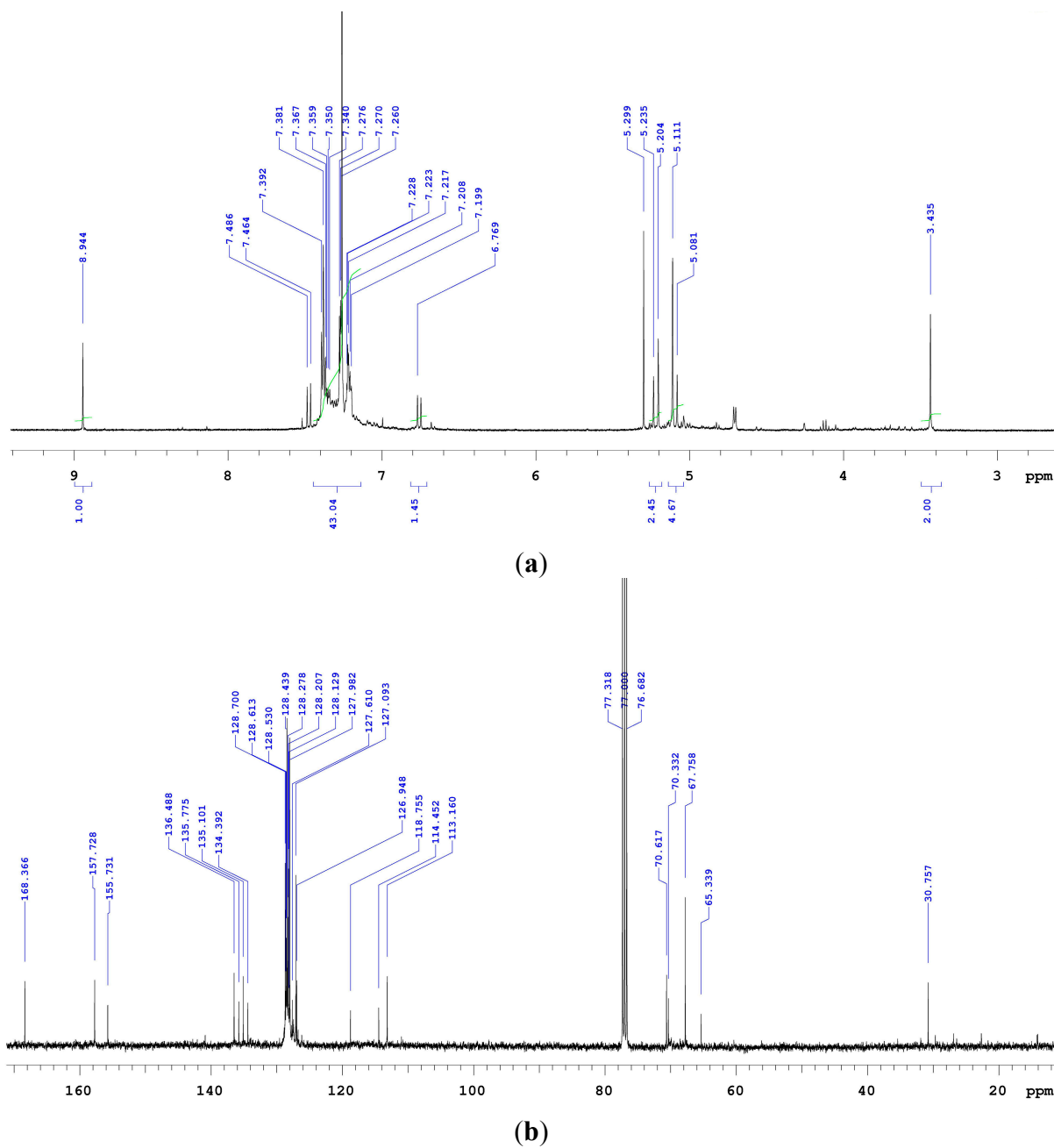
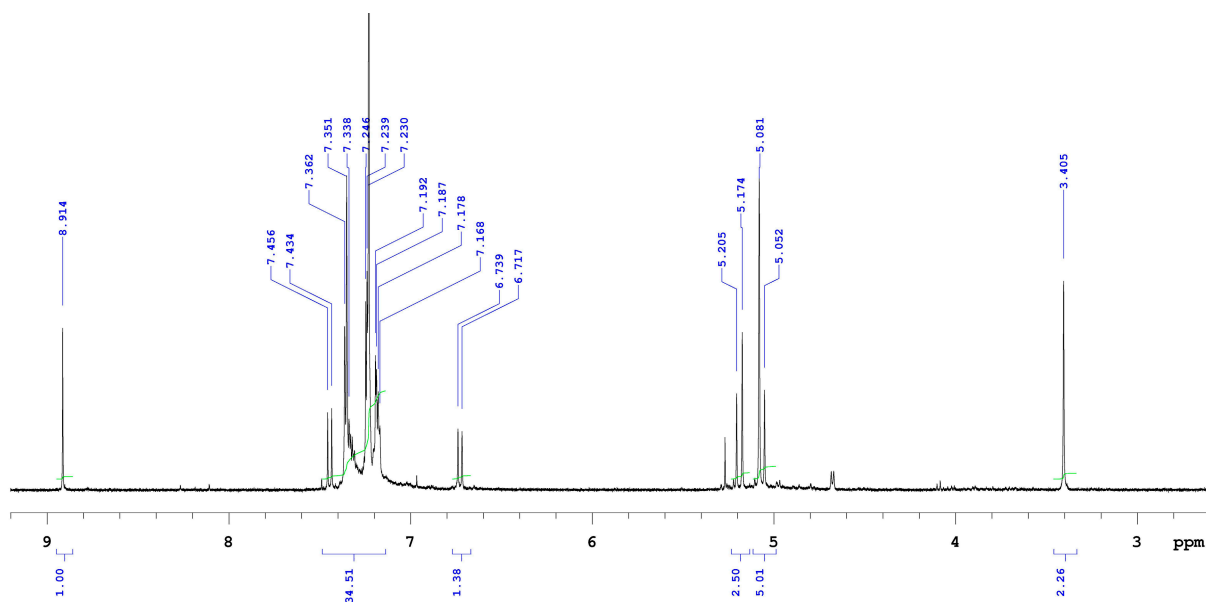
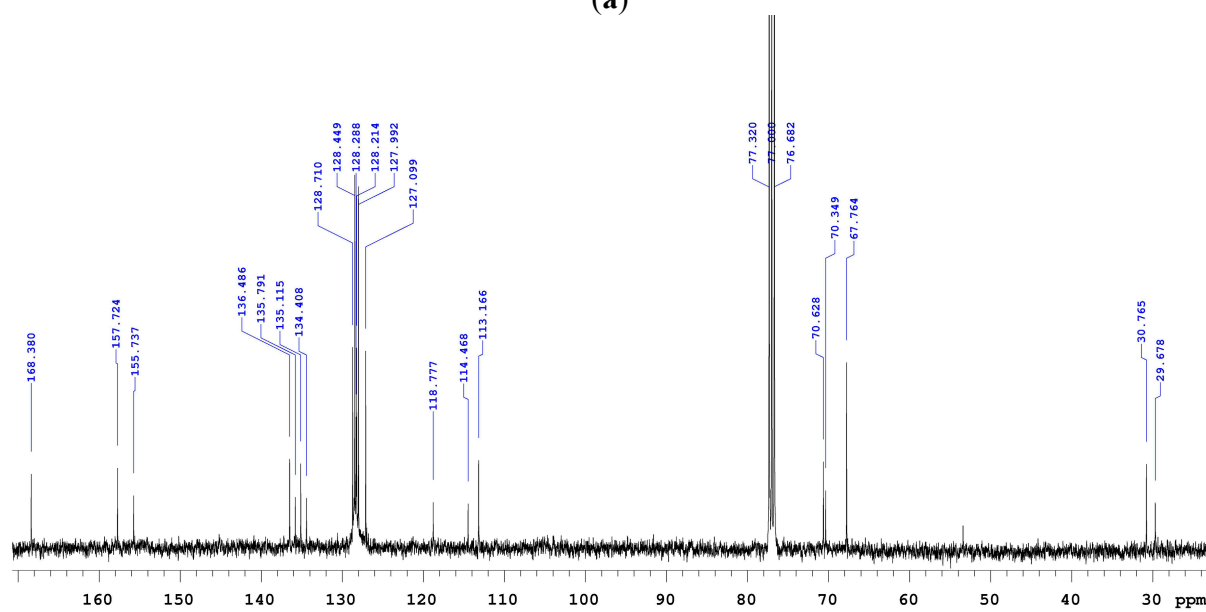


Figure S13. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl 8-benzyloxy-7-bromo-3,4-dihydroisoquinolin-3,3-dicarboxylate **4b**.

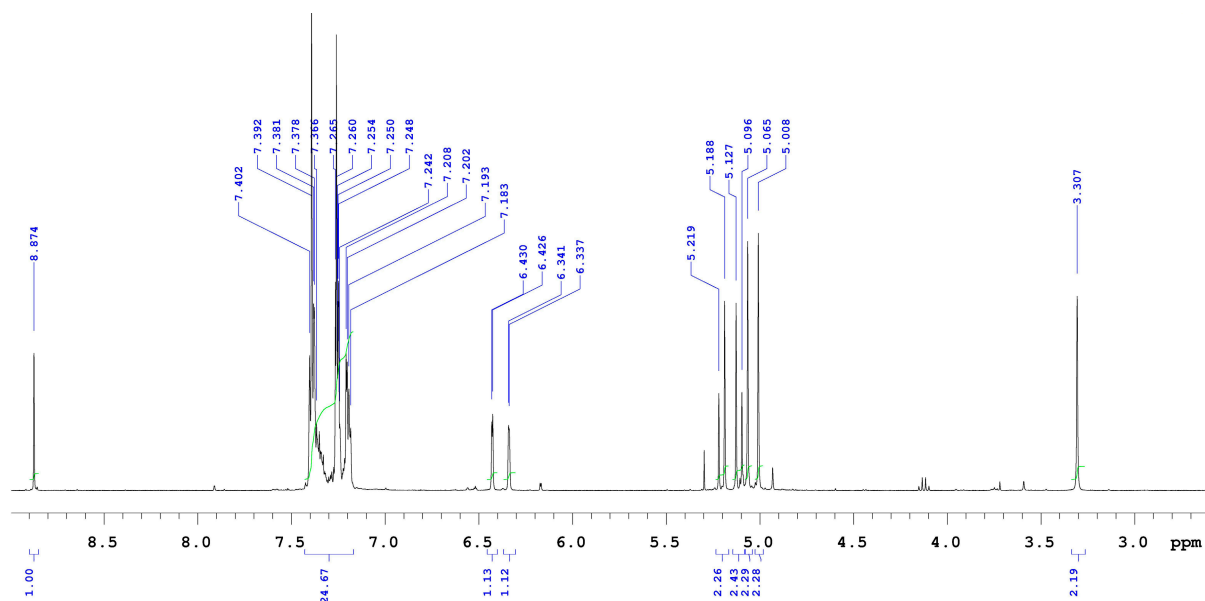


(a)

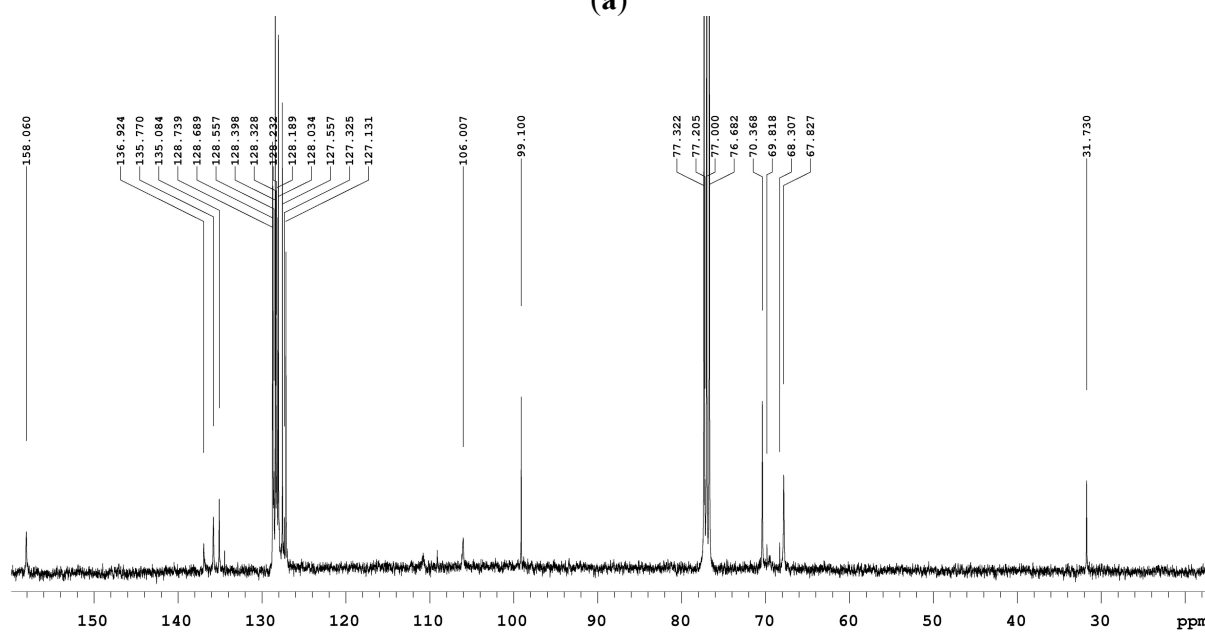


(b)

Figure S14. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl 6,8-dibenzyloxy-3,4-dihydroisoquinolin-3,3-dicarboxylate **4c**.

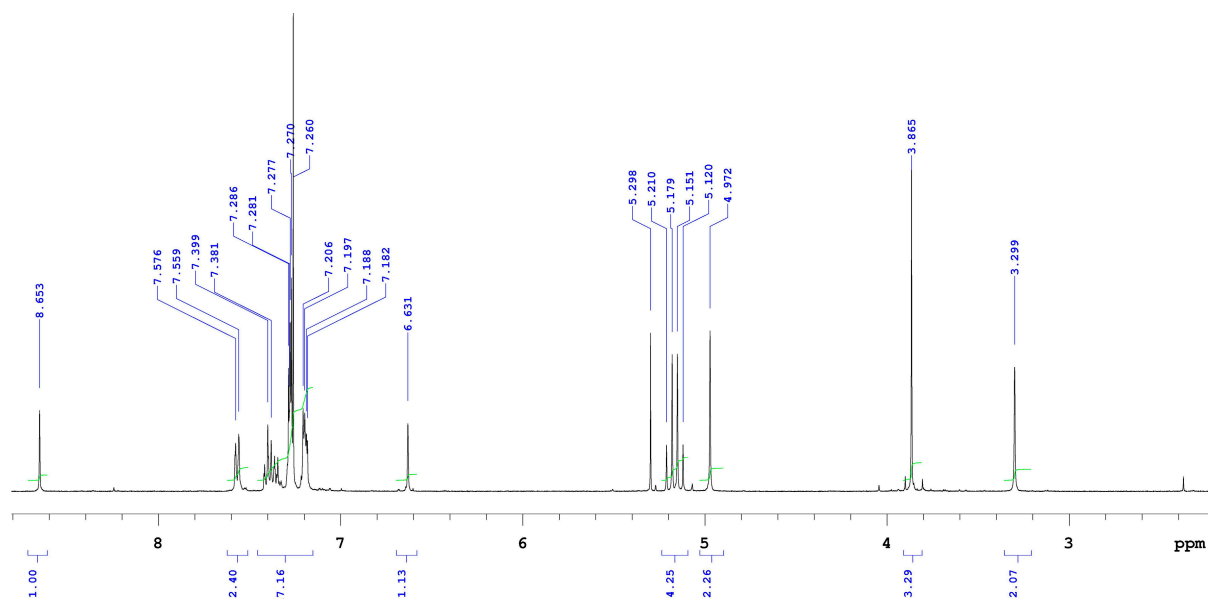


(a)

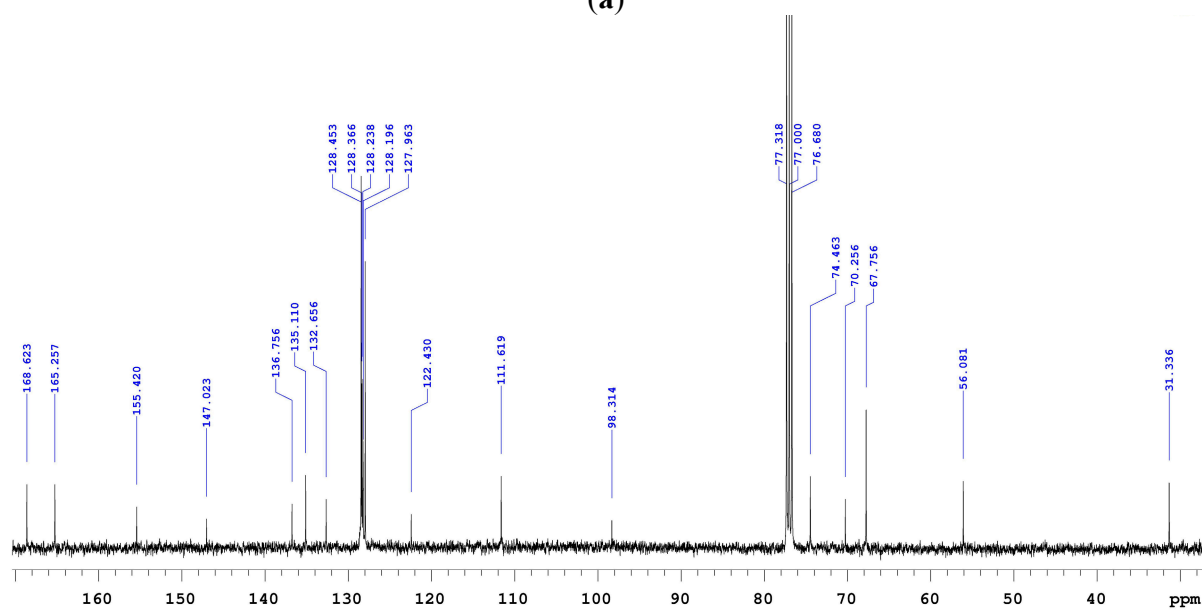


(b)

Figure S15. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl 6-methoxy-7-benzyloxy-8-iodo-3,4-dihydroisoquinolin-3,3-dicarboxylate **4d**.

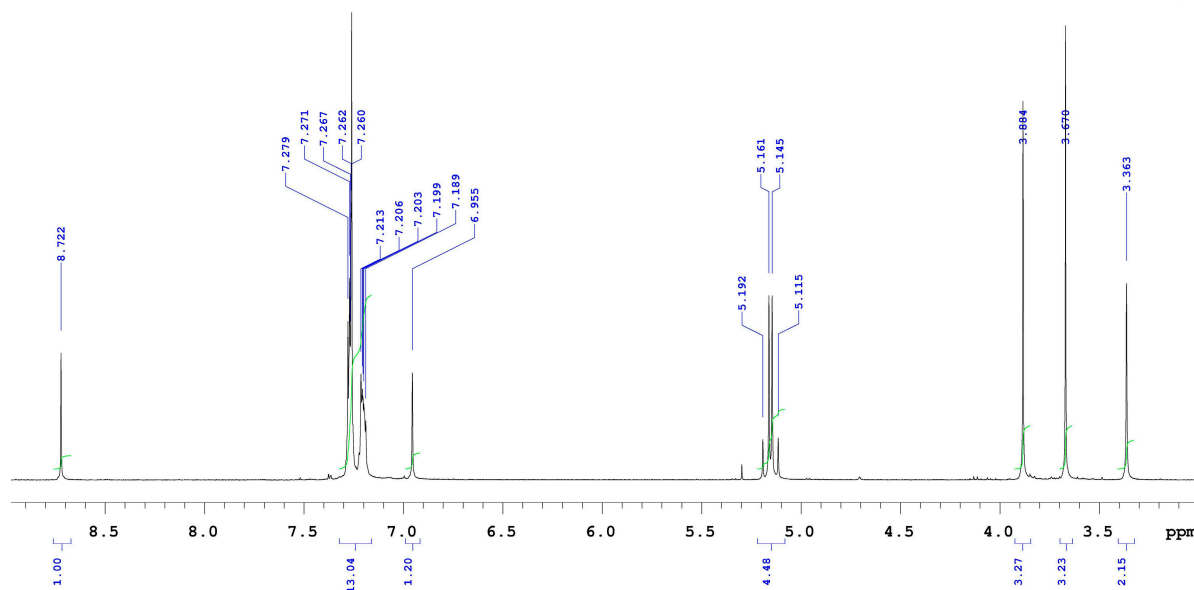


(a)

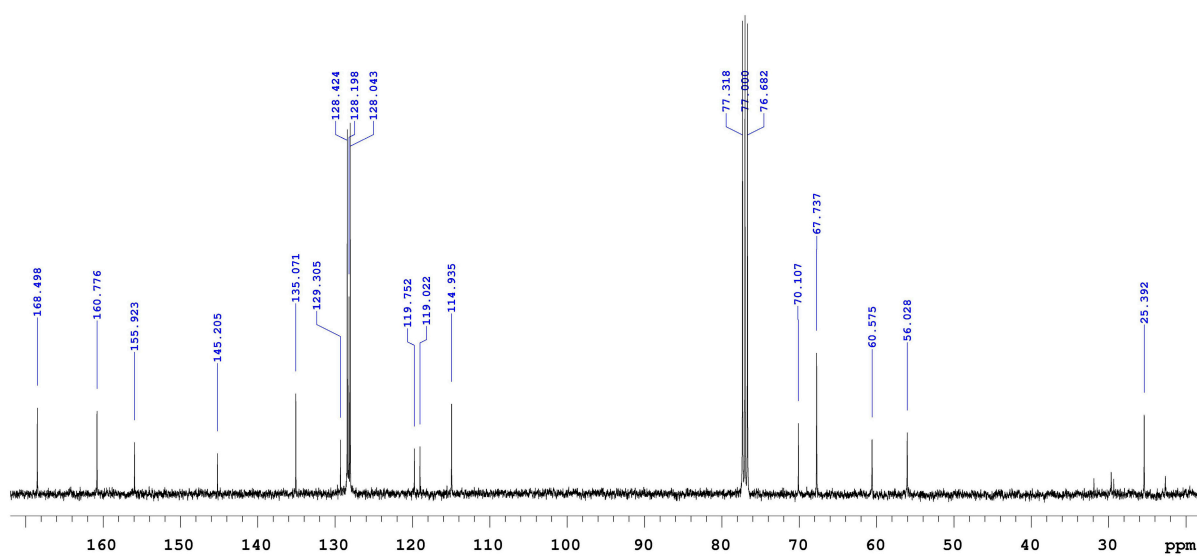


(b)

Figure S16. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl 8-bromo-5,6-dimethoxy-3,4-dihydroisoquinolin-3,3-dicarboxylate **4f**.

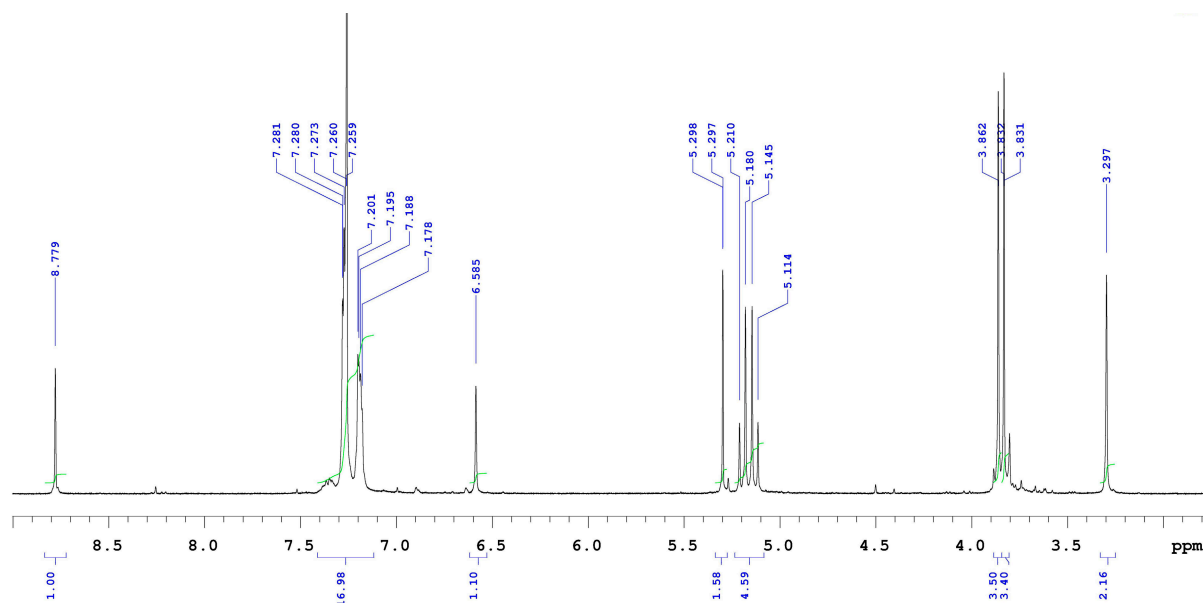


(a)

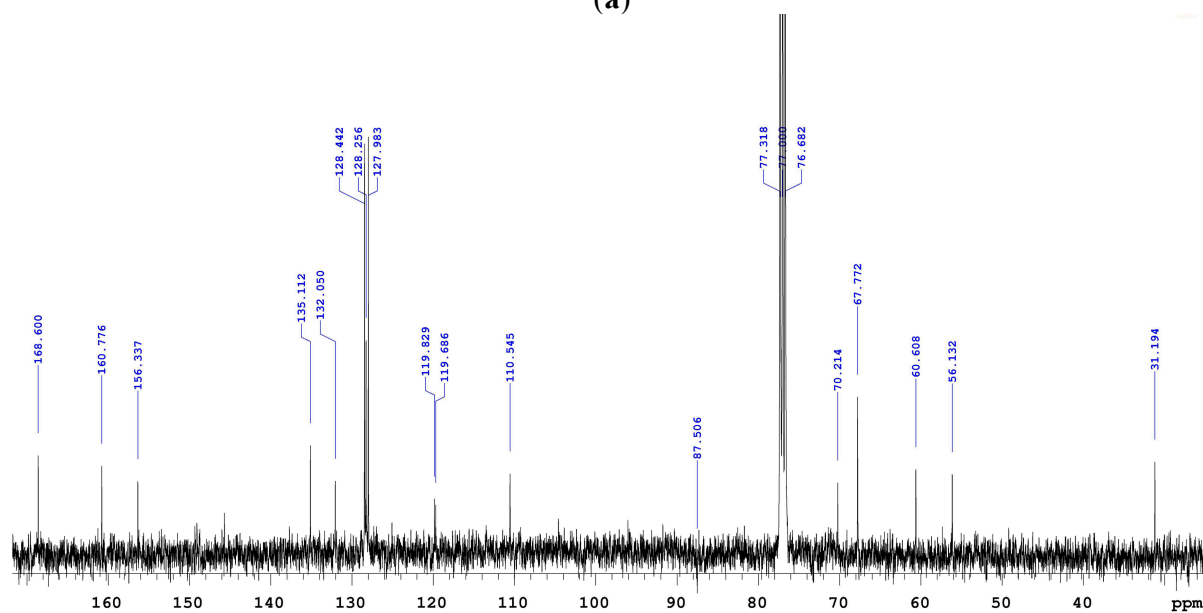


(b)

Figure S17. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl 8-bromo-6,7-dimethoxy-3,4-dihydroisoquinolin-3,3-dicarboxylate **4g**.

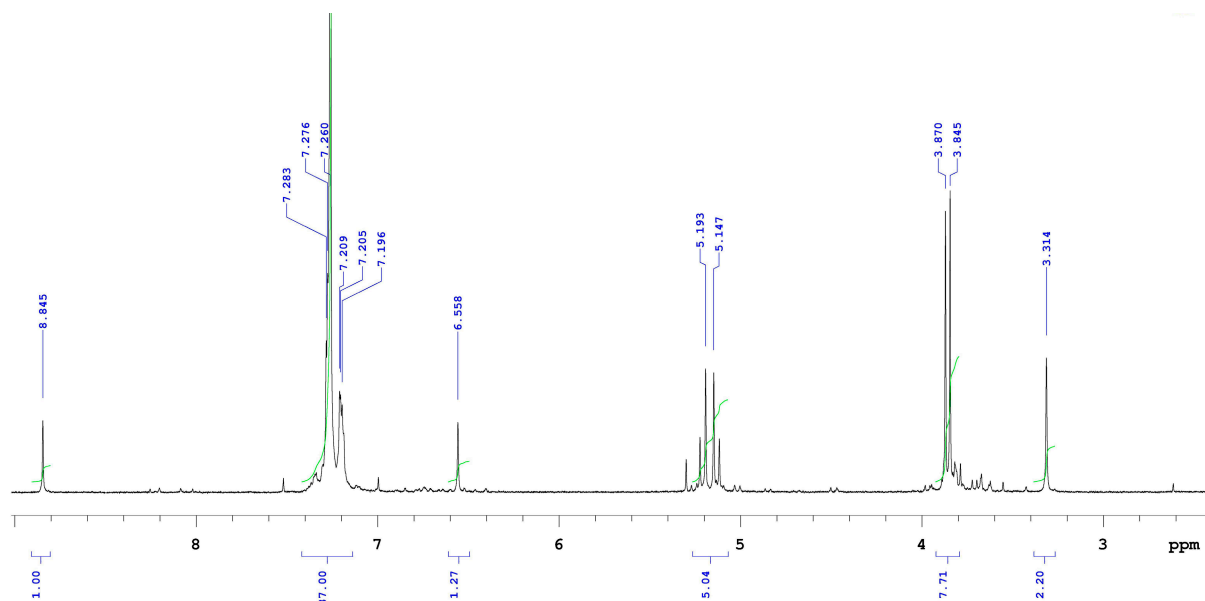


(a)

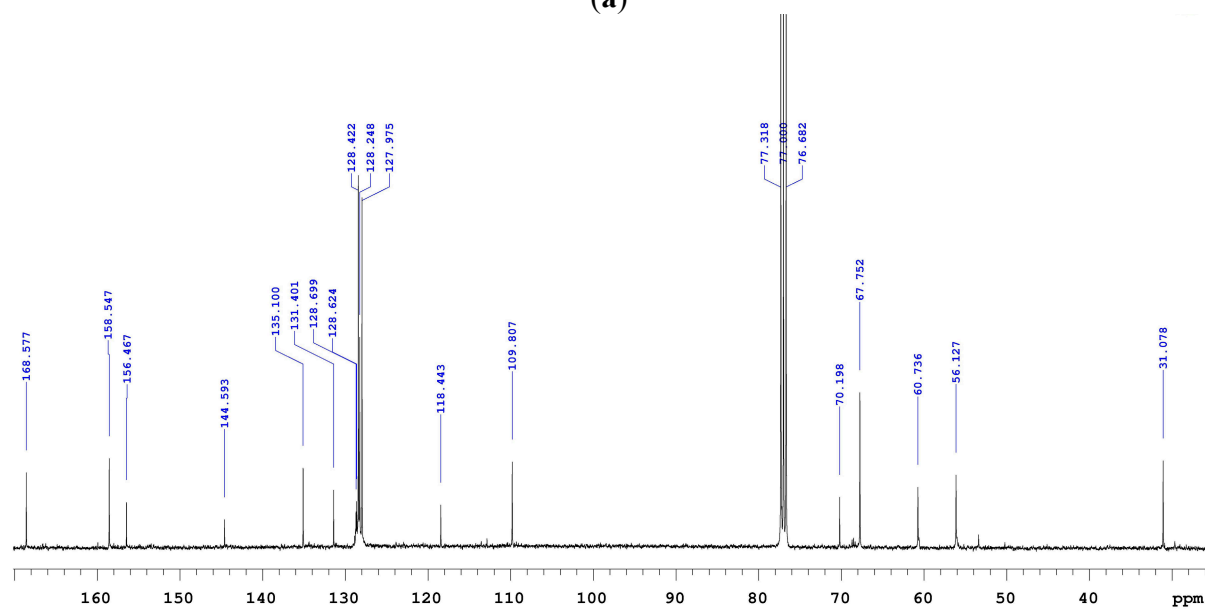


(b)

Figure S18. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl 8-chloro-6,7-dimethoxy-3,4-dihydroisoquinolin-3,3-dicarboxylate **4h**.

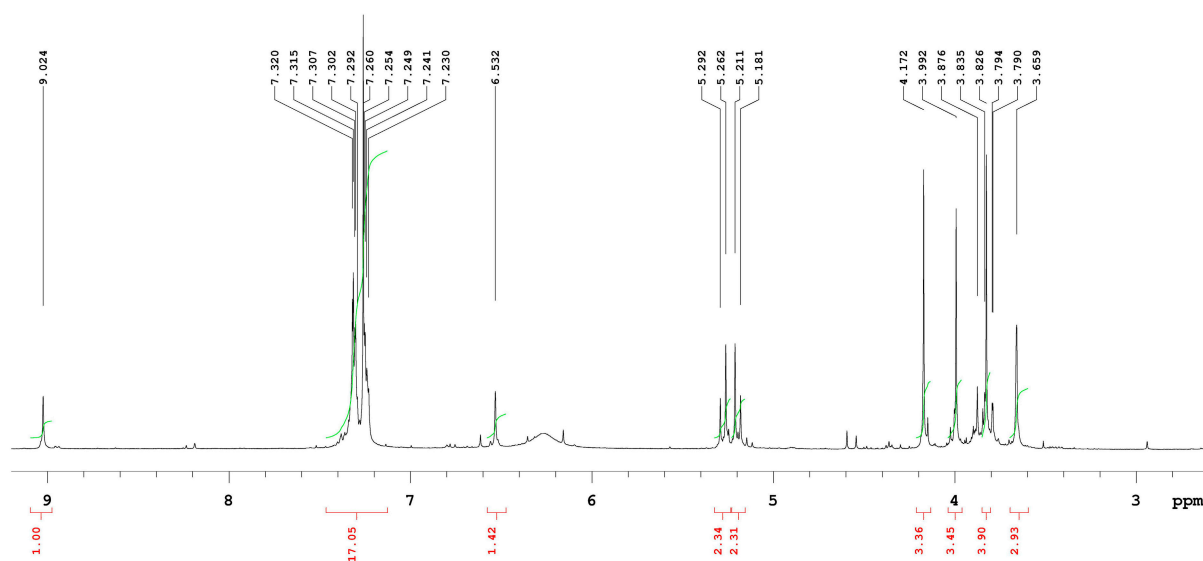


(a)

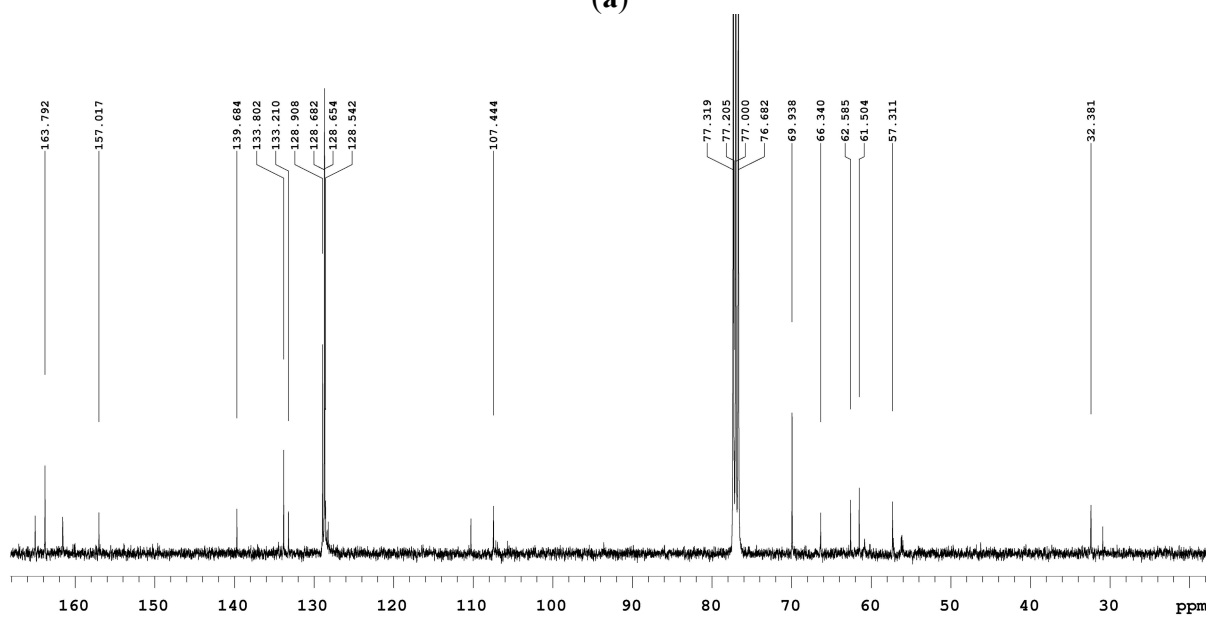


(b)

Figure S19. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl 6,7,8-trimethoxy-3,4-dihydroisoquinolin-3,3-dicarboxylate **4i**.

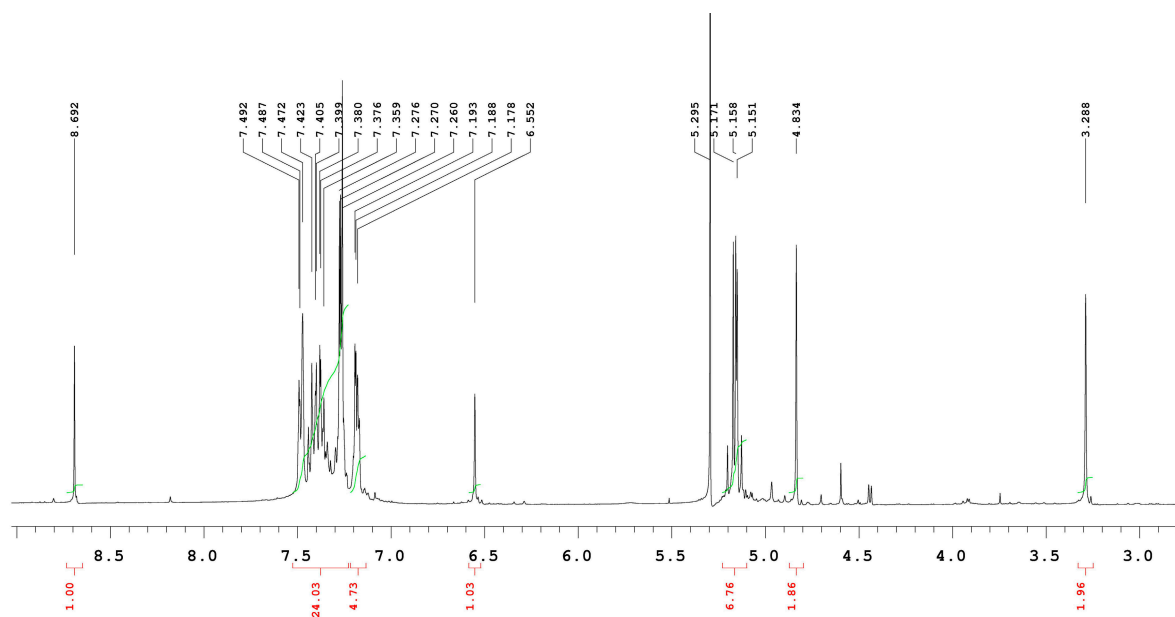


(a)

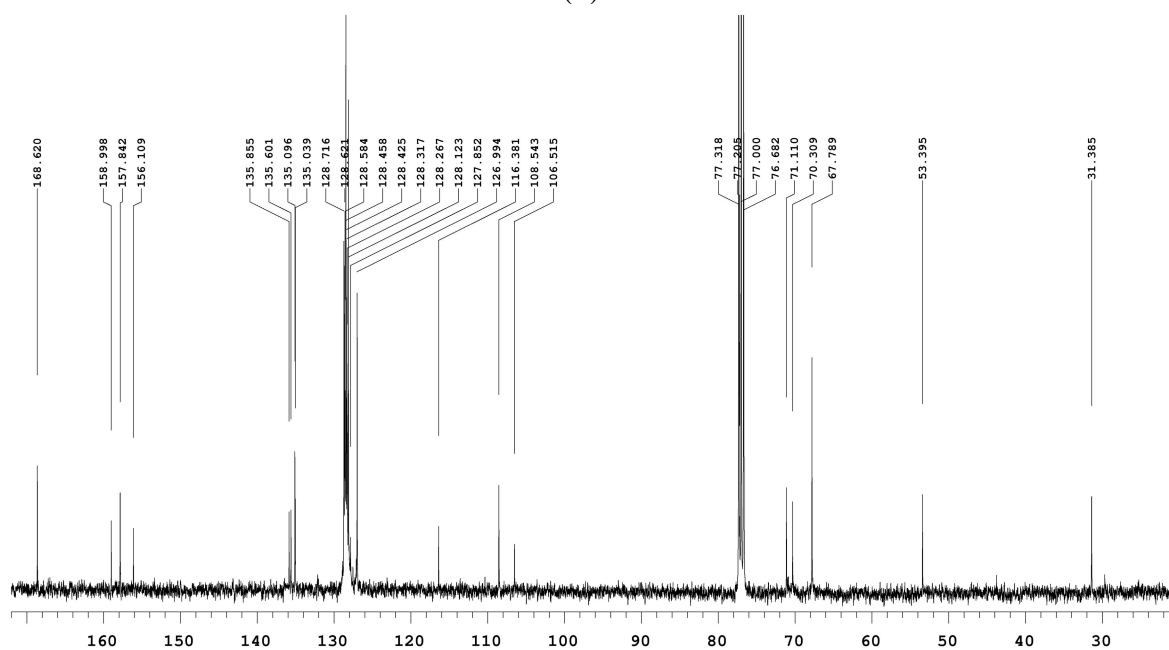


(b)

Figure S20. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl 7-bromo-6,8-dibenzyloxy-3,4-dihydroisoquinolin-3,3-dicarboxylate **4j**.



(a)



(b)

Figure S21. ^1H -NMR spectrum of dibenzyl 5-chloro-6,8-dibenzyloxy-3,4-dihydroisoquinolin-3,3-dicarboxylate **4k**.

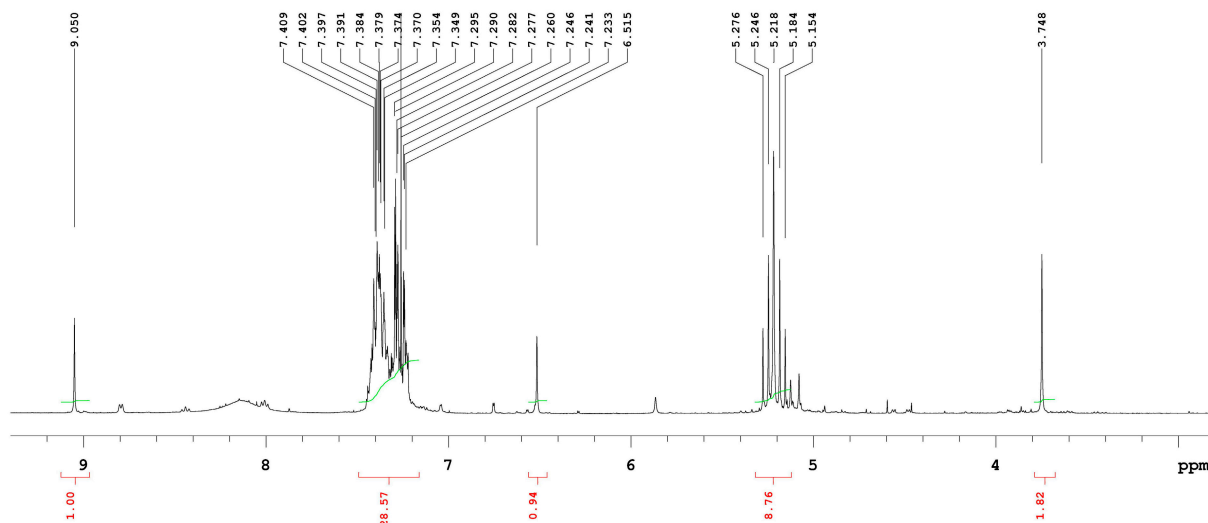


Figure S22. ^1H -NMR spectrum of dibenzyl 5-chloro-6,7-dimethoxy-3,4-dihydroisoquinolin-3,3-dicarboxylate **4l**.

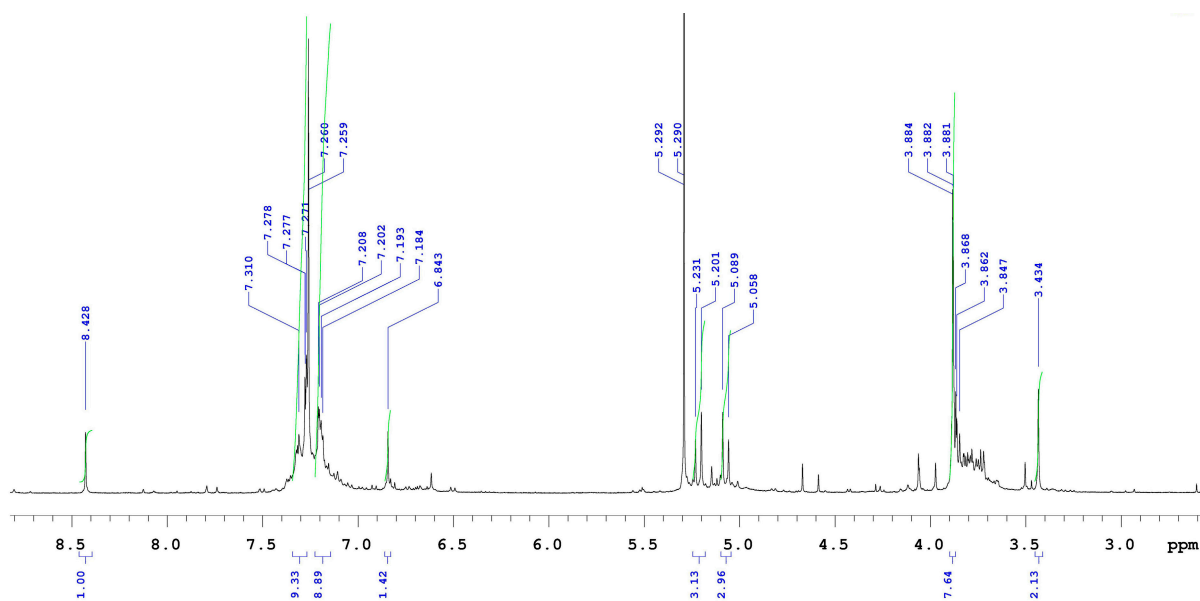


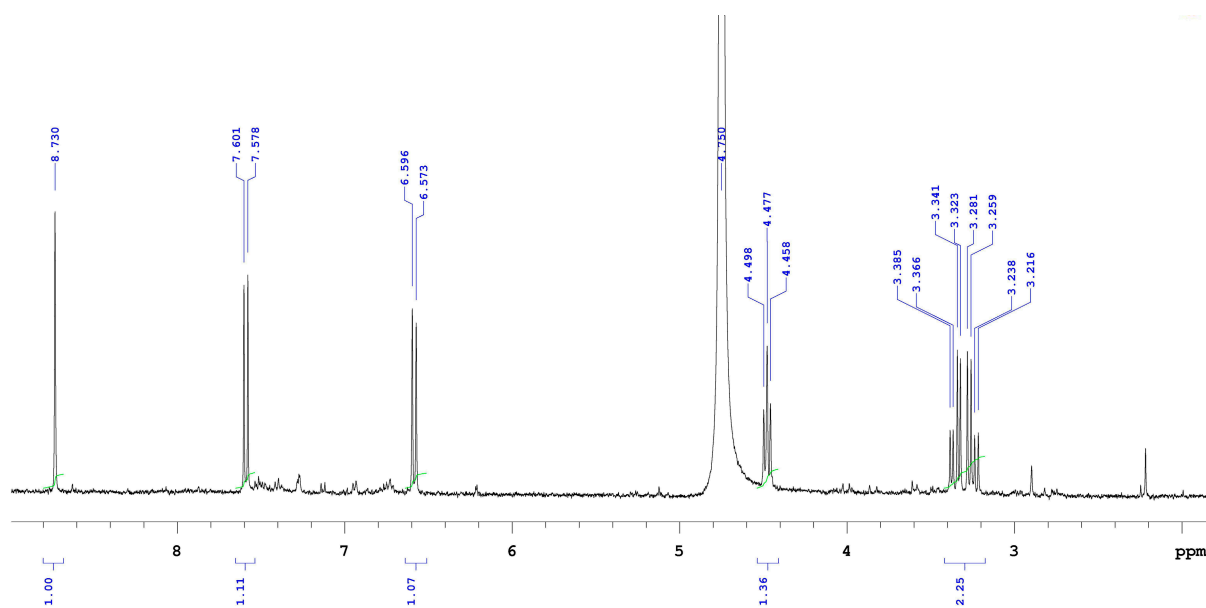
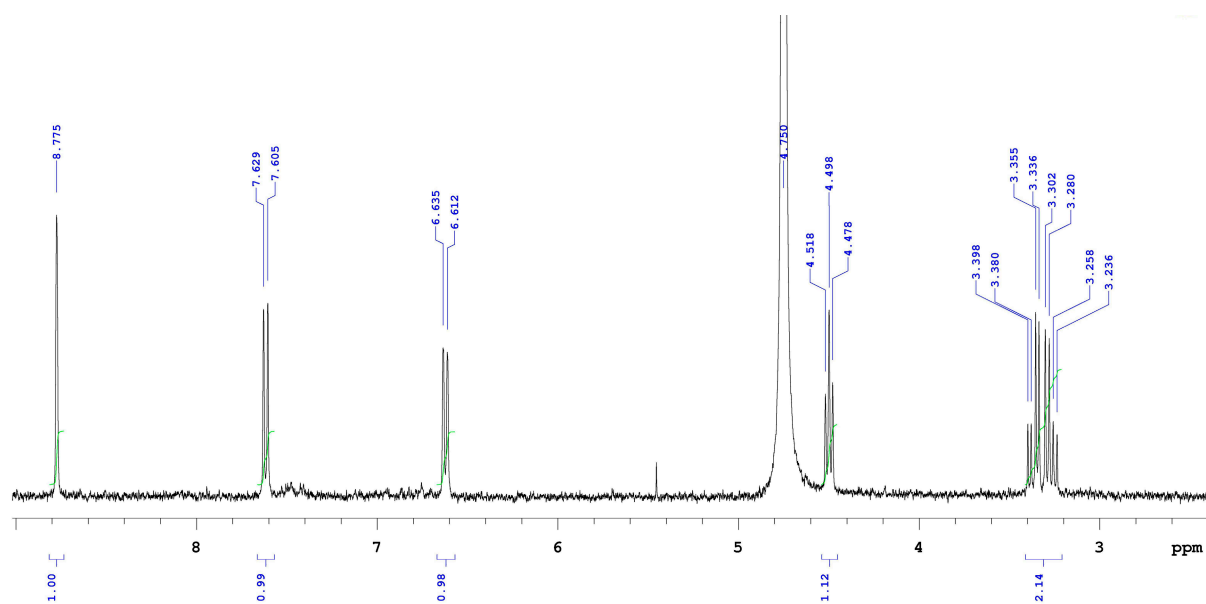
Figure S23. ^1H -NMR spectrum of 5-bromo-8-hydroxy-3,4-dihydroisoquinolin-3-carboxylic acid **5a**.**Figure S24.** ^1H -NMR spectrum of 7-Bromo-8-hydroxy-3,4-dihydroisoquinolin-3-carboxylic acid **5b**.

Figure S25. ^1H -NMR spectrum of 6,8-dihydroxy-3,4-dihydroisoquinolin-3-carboxylic acid **5c**.

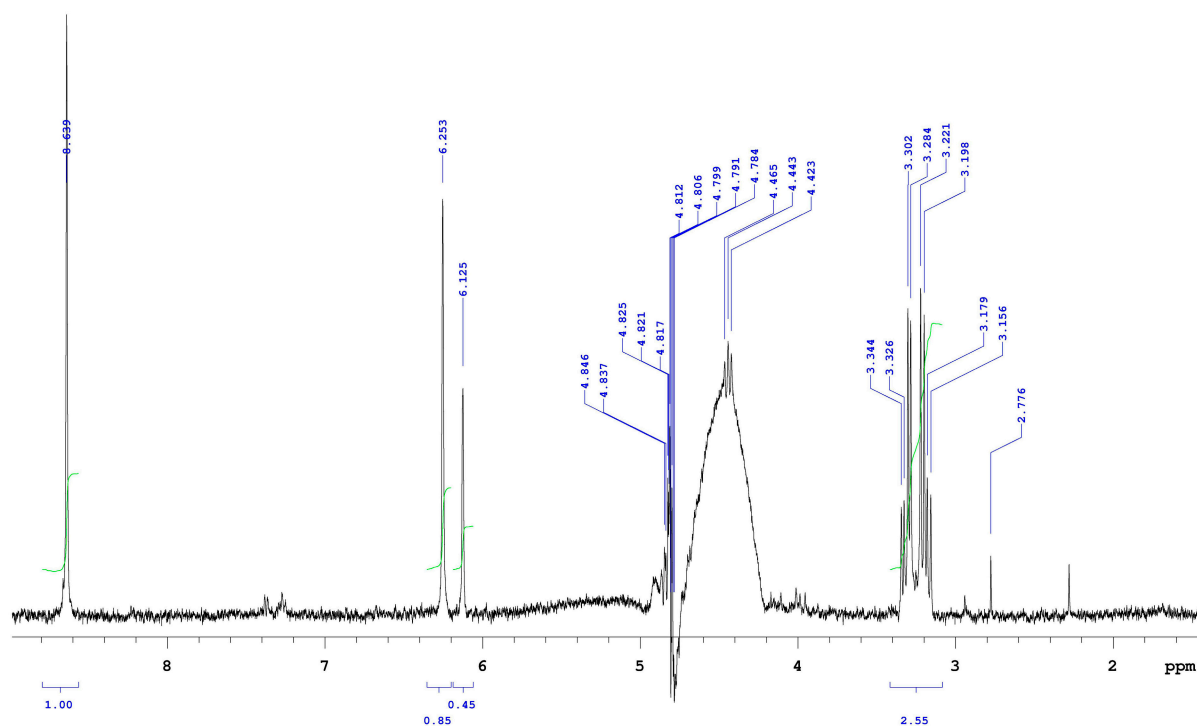


Figure S26. ^1H -NMR spectrum of 6,7-dihydroxy-8-iodo-3,4-dihydroisoquinolin-3-carboxylic acid **5d**.

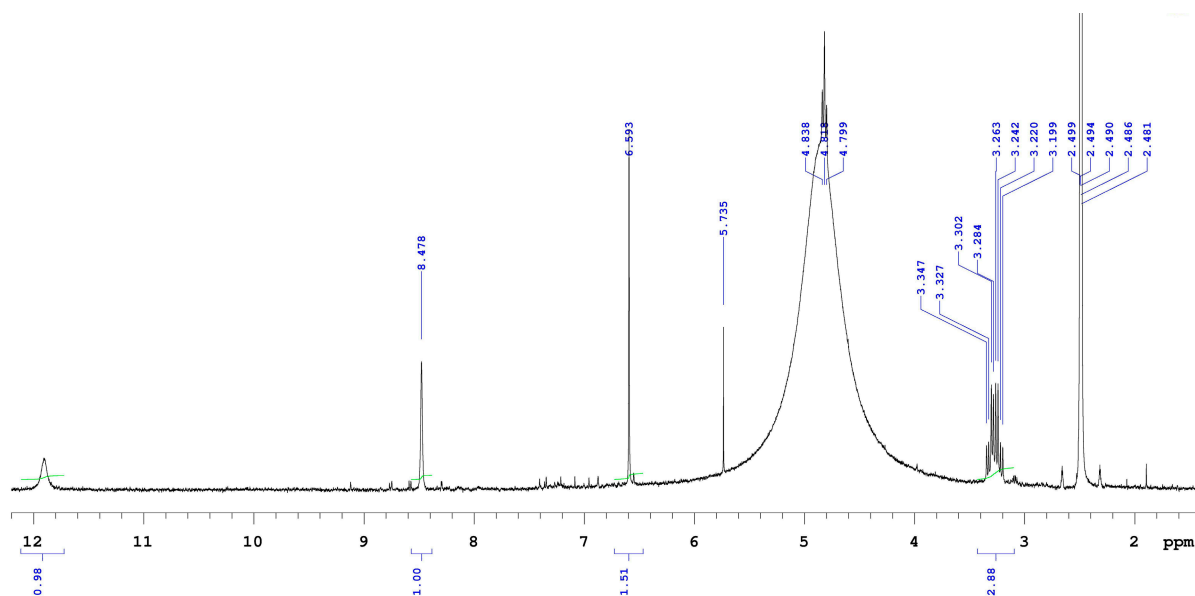


Figure S27. ^1H -NMR spectrum of 6,7-dihydroxy-3,4-dihydroisoquinolin-3-carboxylic acid **5e**.

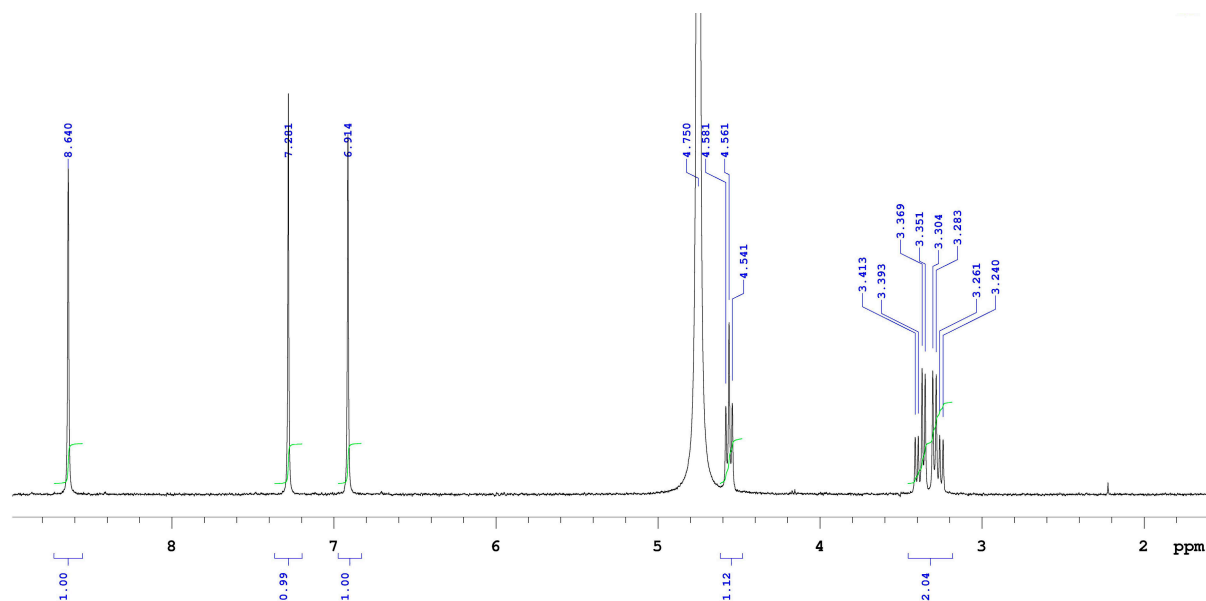
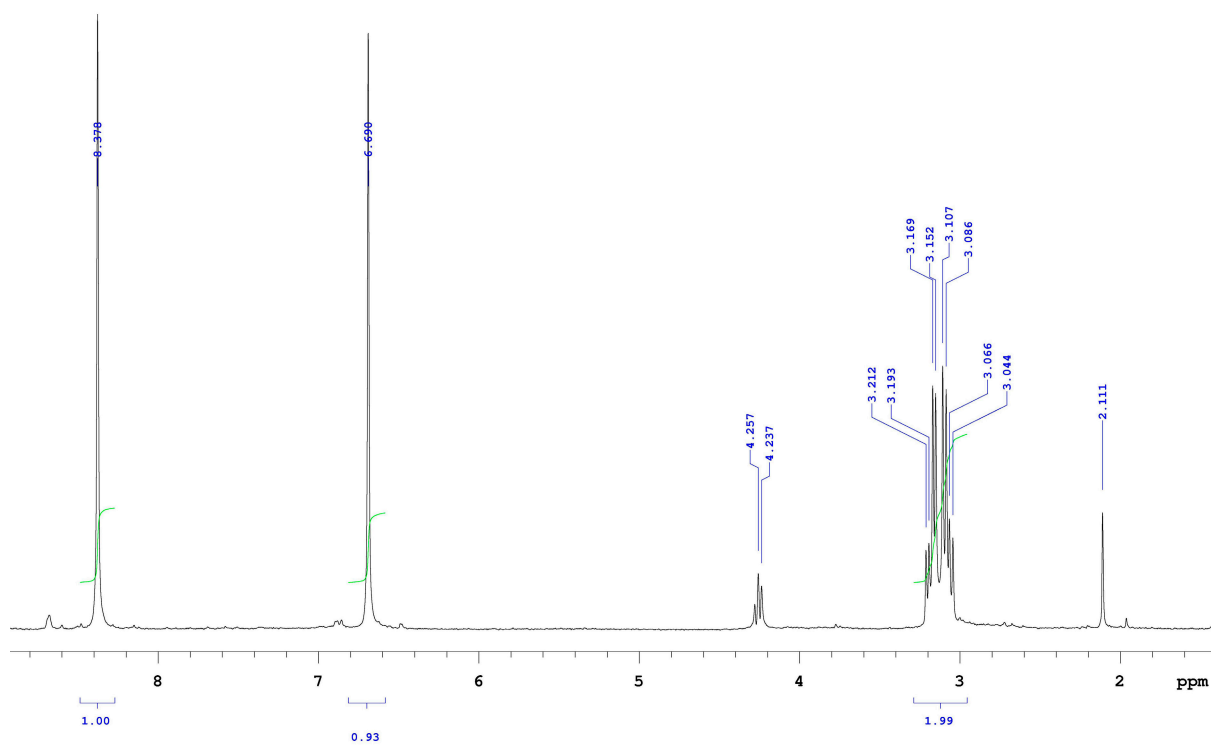
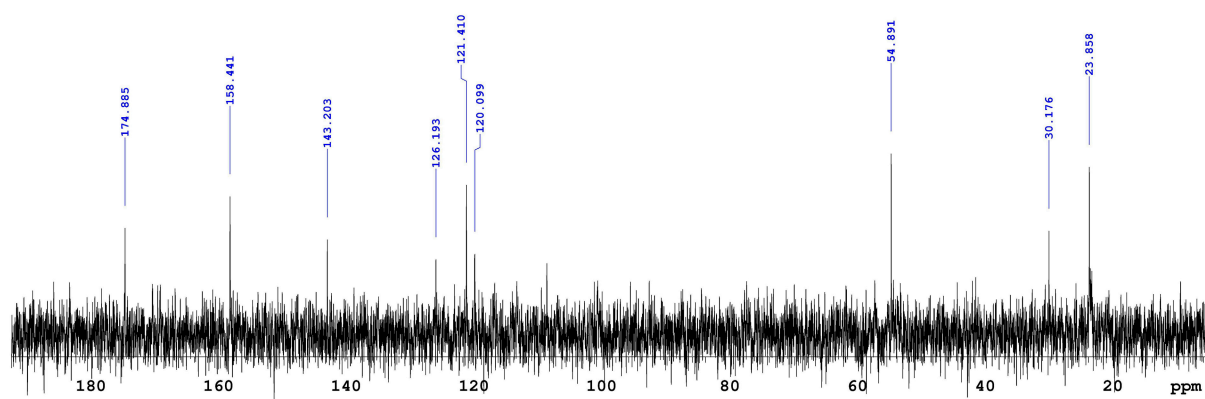


Figure S28. ^1H - (a) and ^{13}C -NMR (b) spectra of 8-bromo-5,6-dihydroxy-3,4-dihydroisoquinolin-3-carboxylic acid **5f**.

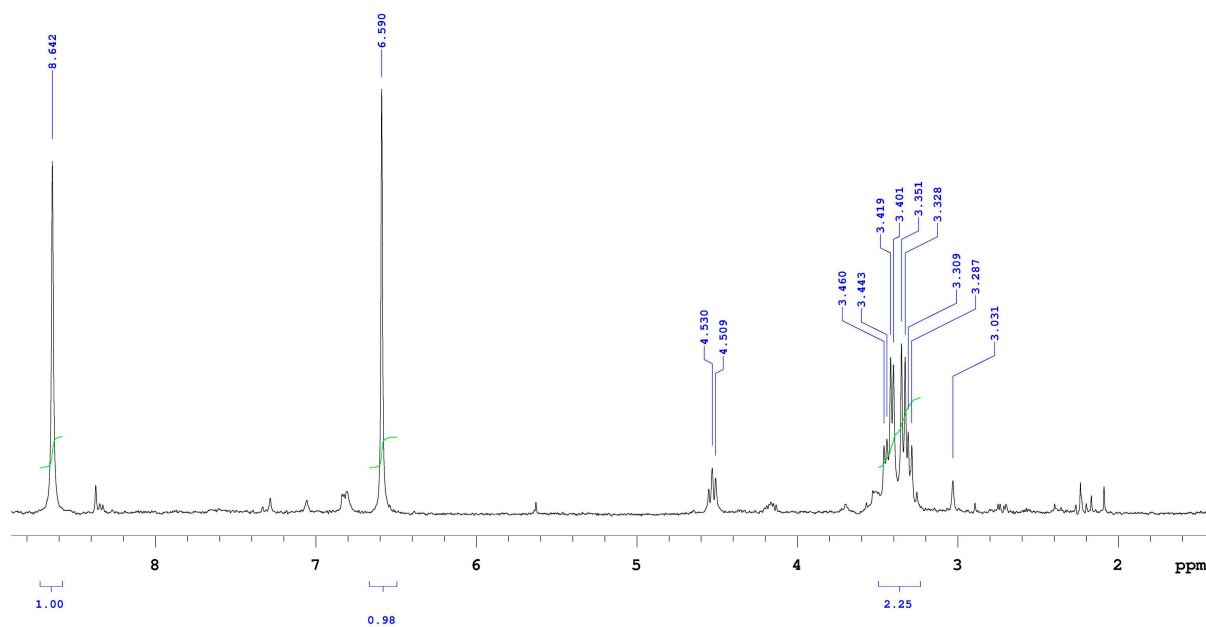


(a)

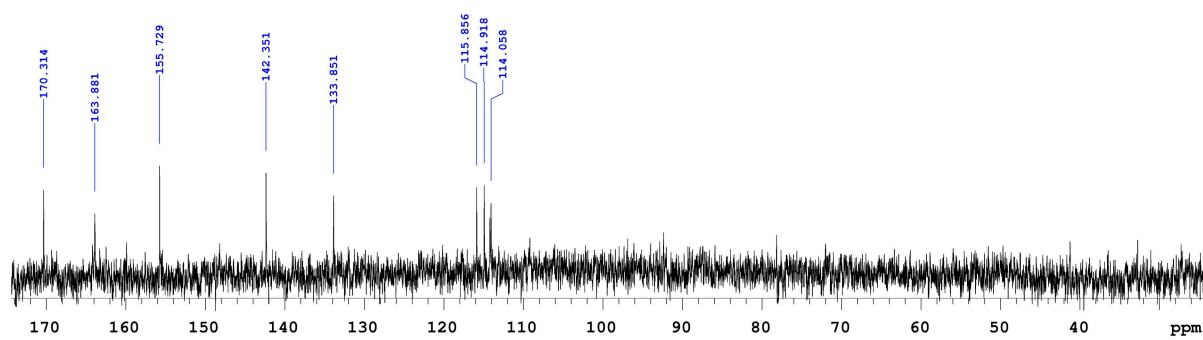


(b)

Figure S29. ^1H - (a) and ^{13}C -NMR (b) spectra of 8-bromo-6,7-dihydroxy-3,4-dihydroisoquinolin-3-carboxylic acid **5g**.



(a)



(b)

Figure S30. ^1H -NMR spectrum of 8-chloro-6,7-dihydroxy-3,4-dihydroisoquinolin-3-carboxylic acid **5h**.

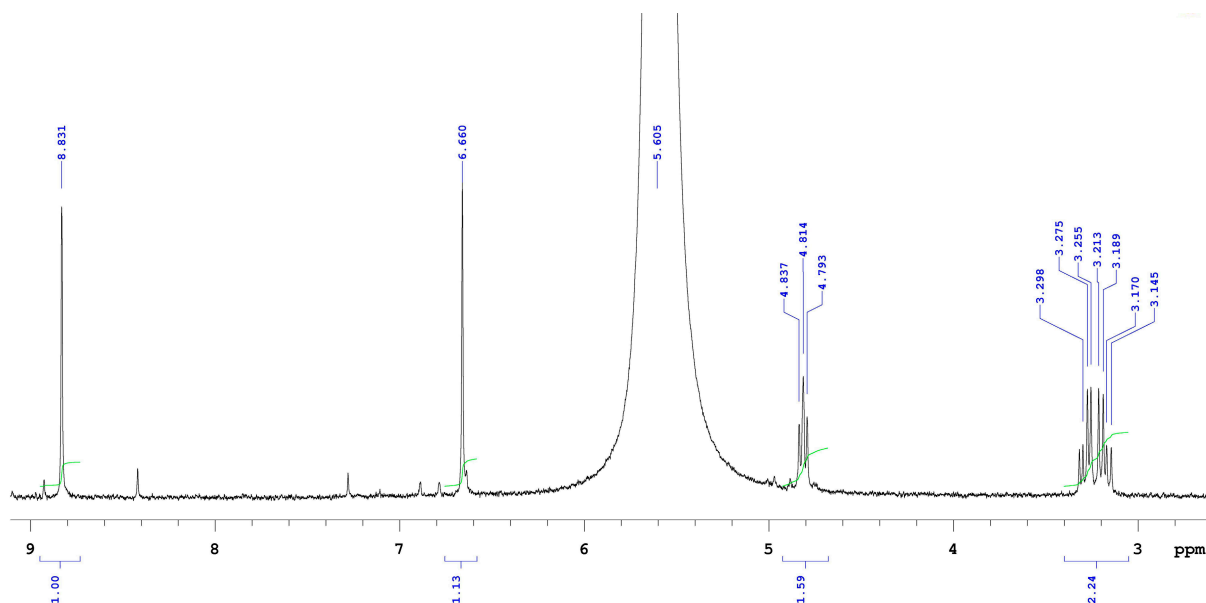


Figure S31. ^1H -NMR spectrum of 7,8-dihydroxy-6-methoxy-3,4-dihydroisoquinolin-3-carboxylic acid **5i**.

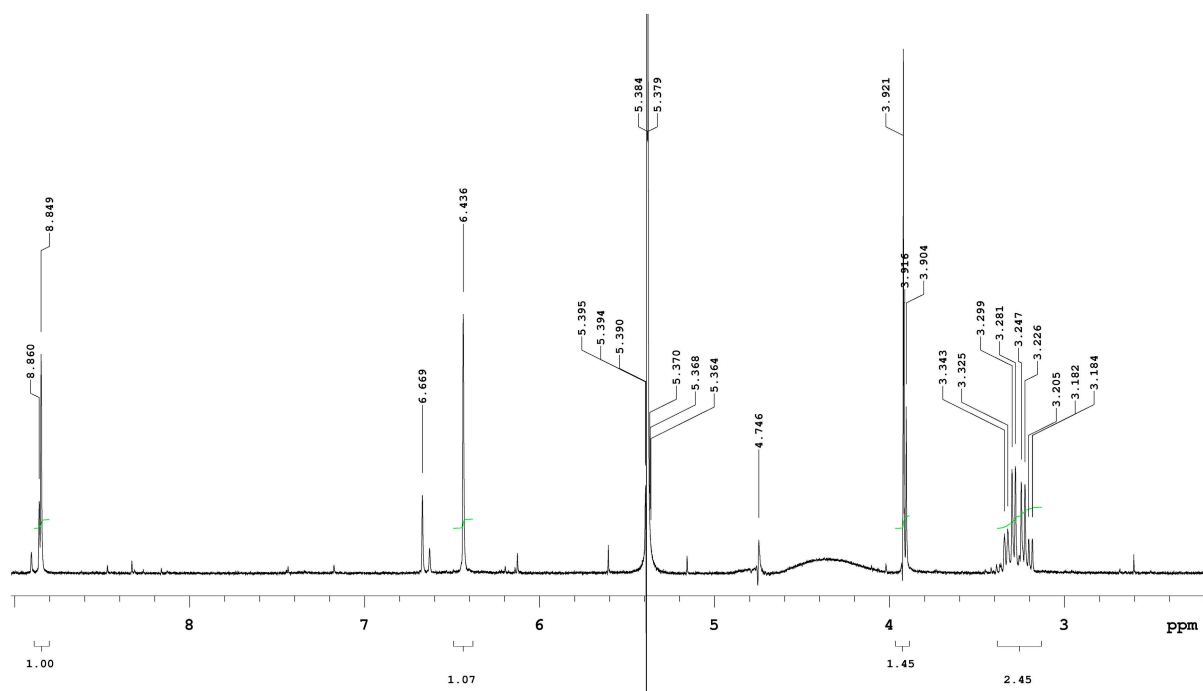


Figure S32. ^1H - (a) and ^{13}C -NMR (b) spectra of 7-bromo-6,8-dihydroxy-3,4-dihydroisoquinolin-3-carboxylic acid **5j**.

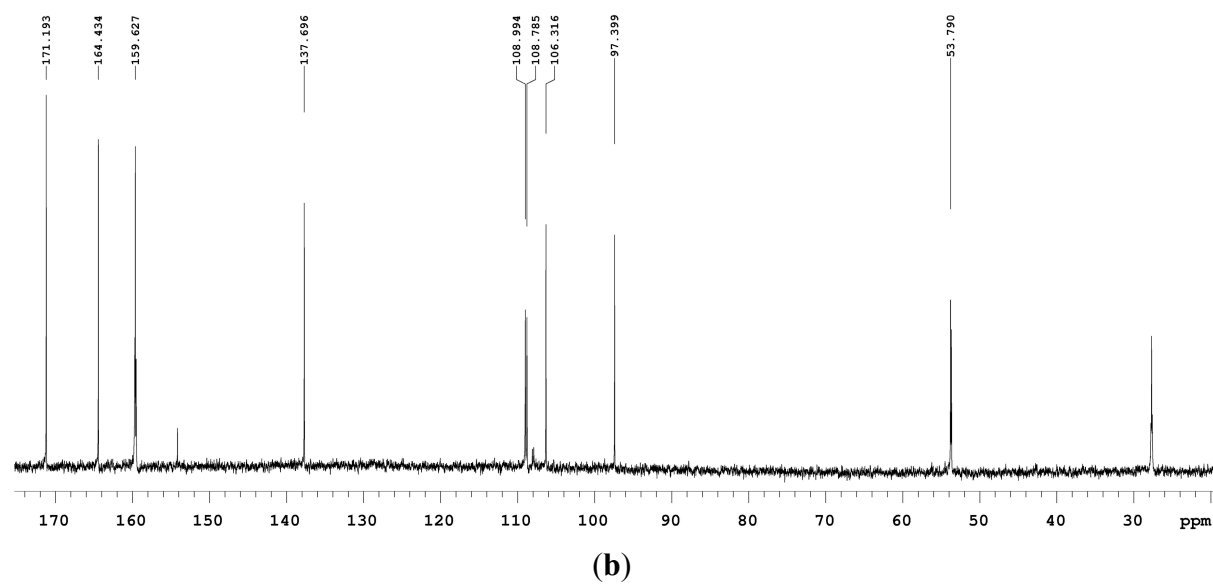
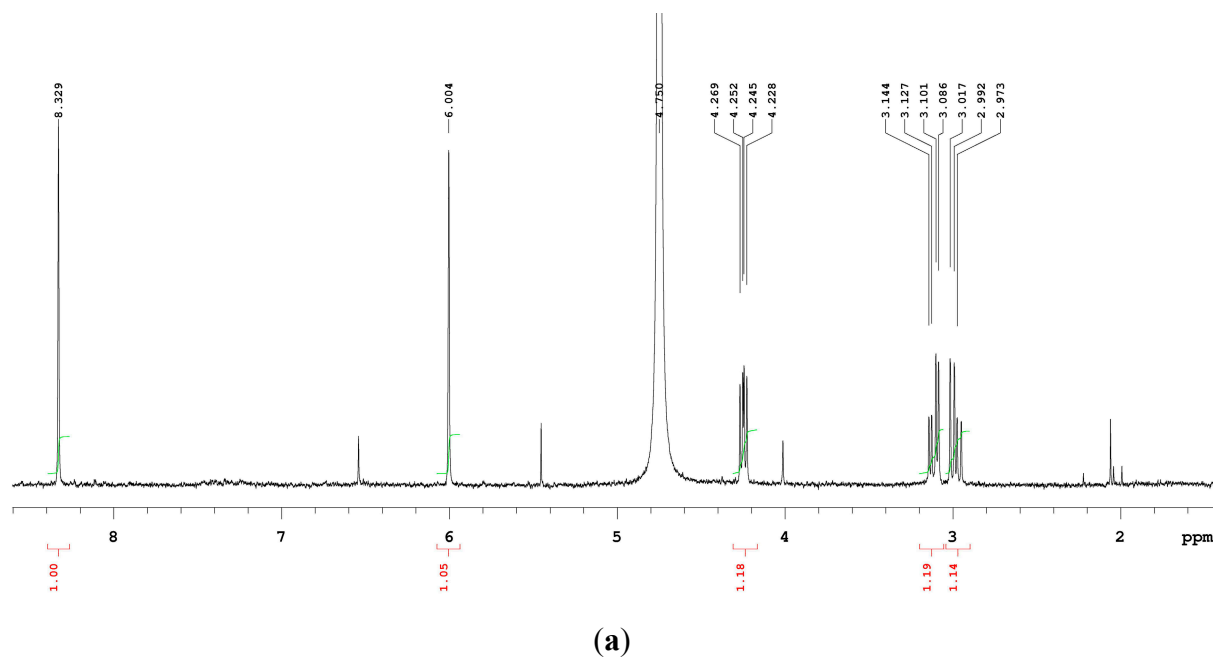


Figure S33. ^1H -NMR spectrum of 5-chloro-6,8-dihydroxy-3,4-dihydroisoquinolin-3-carboxylic acid **5k**.

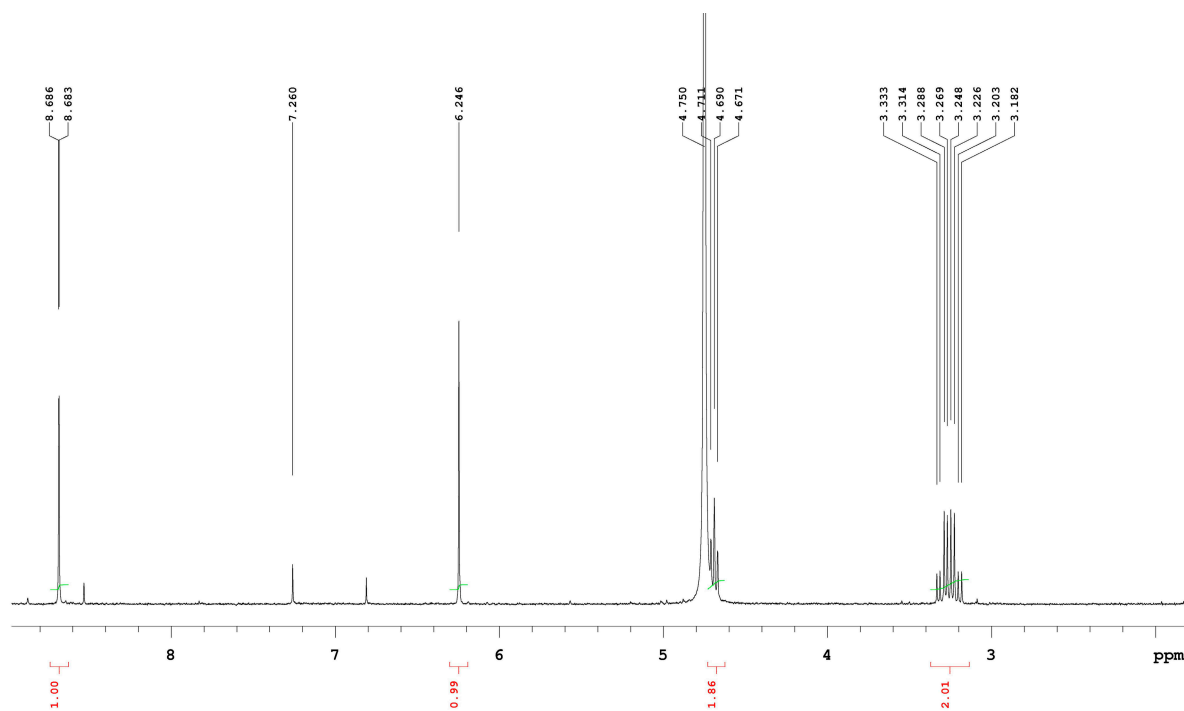


Figure S34. ^1H - (a) and ^{13}C -NMR (b) spectra of 5-chloro-6,7-dihydroxy-3,4-dihydroisoquinolin-3-carboxylic acid **5l**.

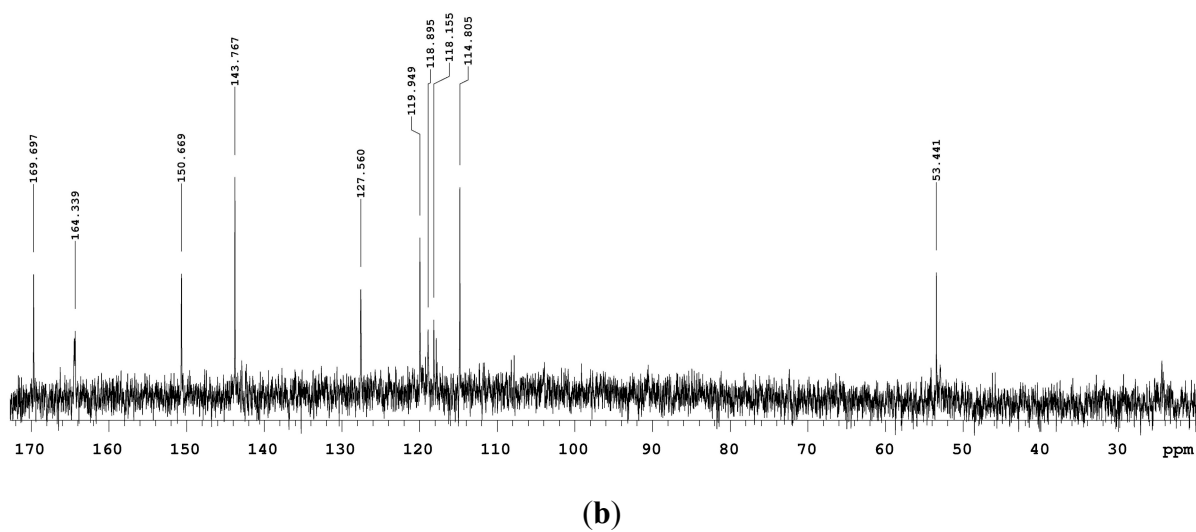
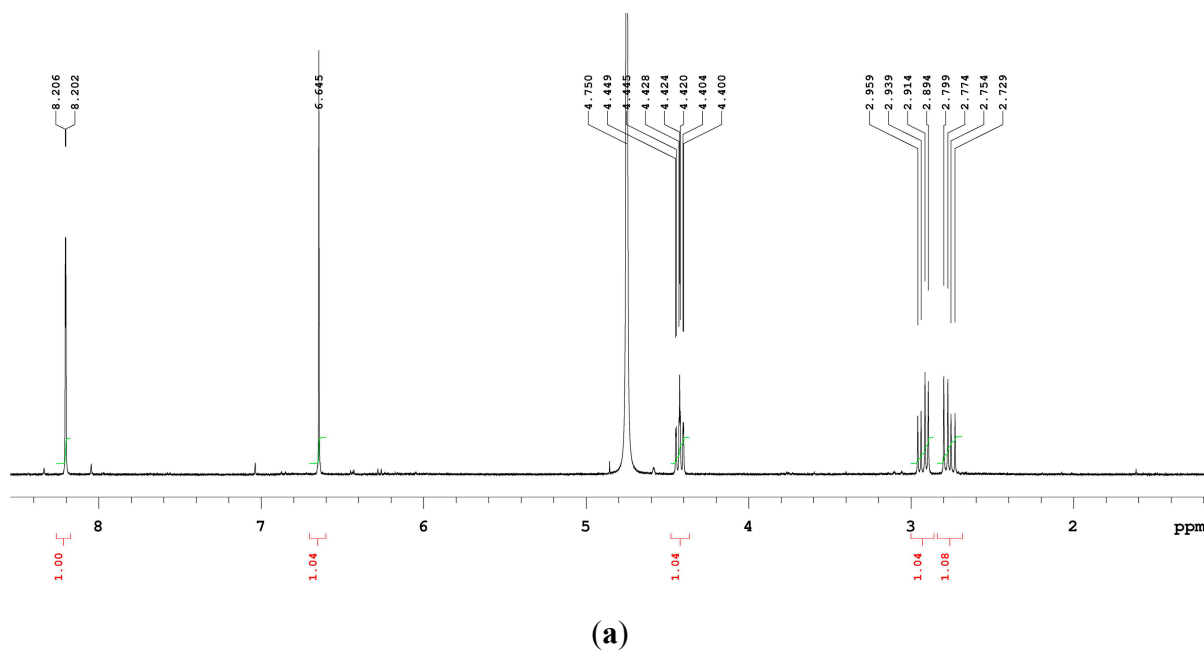


Figure S35. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl 2-[2-(3,4-dimethoxyphenyl)ethyl]-2-formamidomalonate **7**.

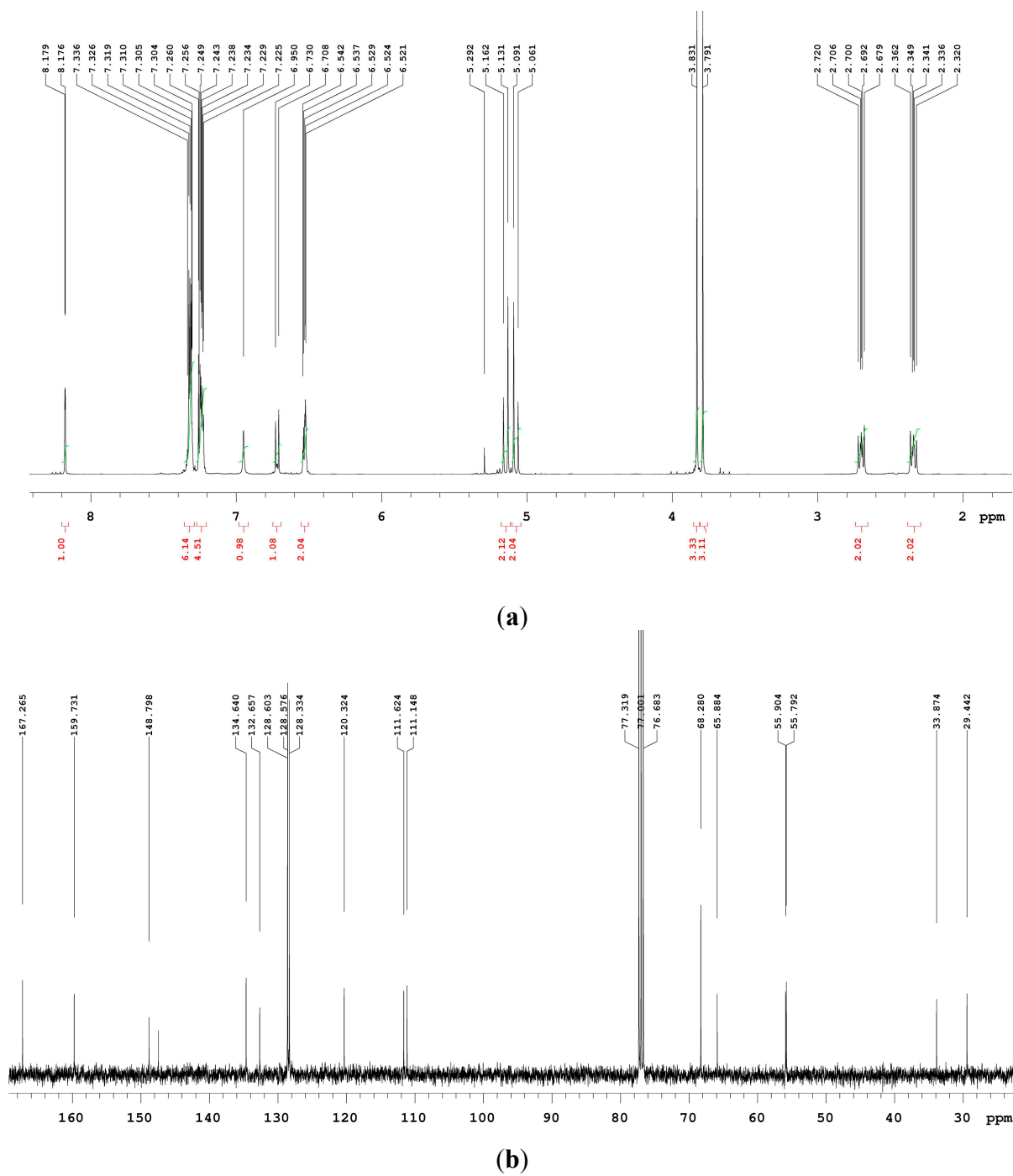


Figure S36. ^1H - (a) and ^{13}C -NMR (b) spectra of dibenzyl 7,8-dimethoxy-4,5-dihydro-3H-2-benzazepine-3,3-dicarboxylate **8**.

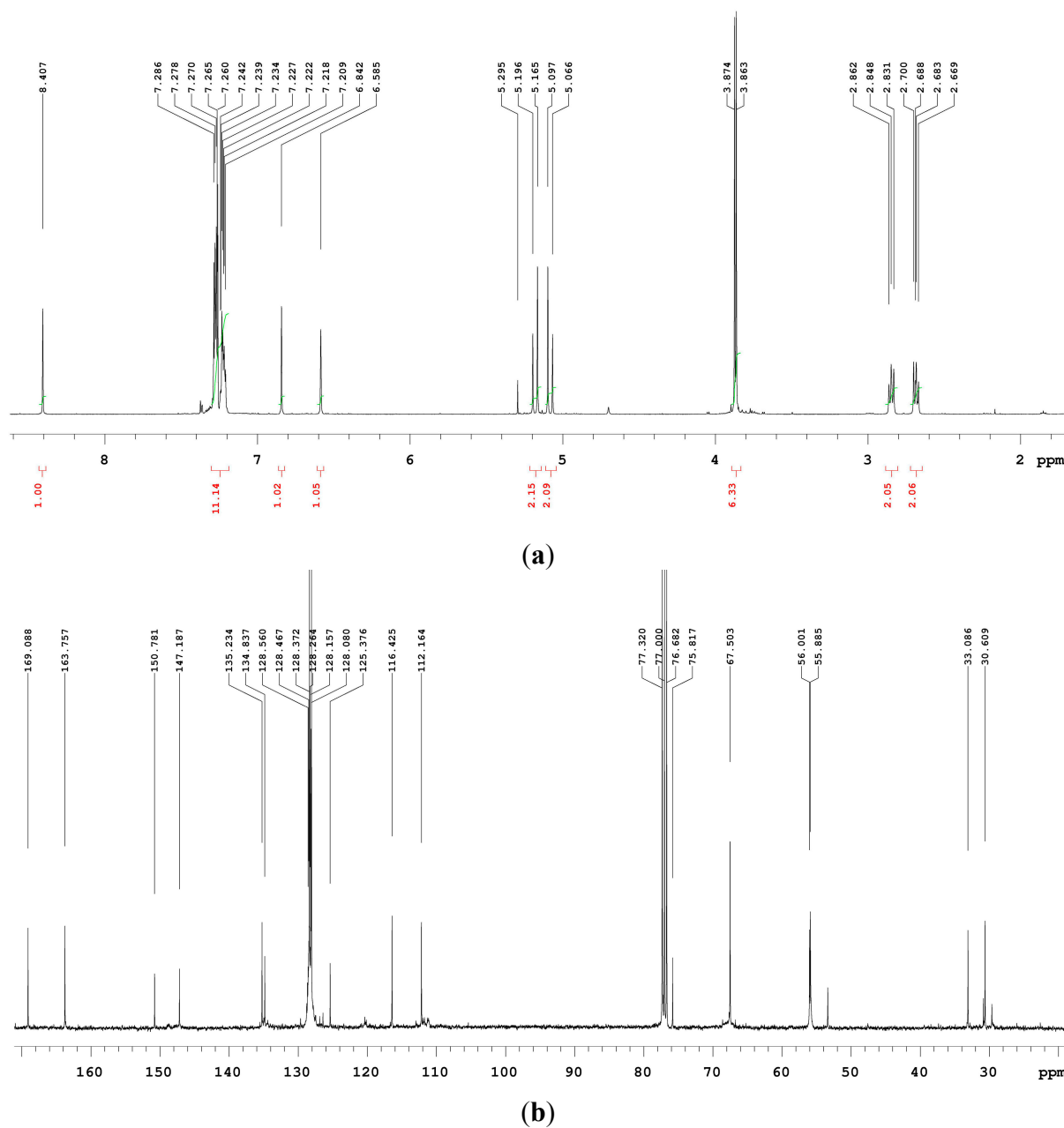


Figure S37. ^1H -NMR spectra of 7,8-dihydroxy-4,5-dihydro-3H-2-benzazepine-3-carboxylic acid **9**.

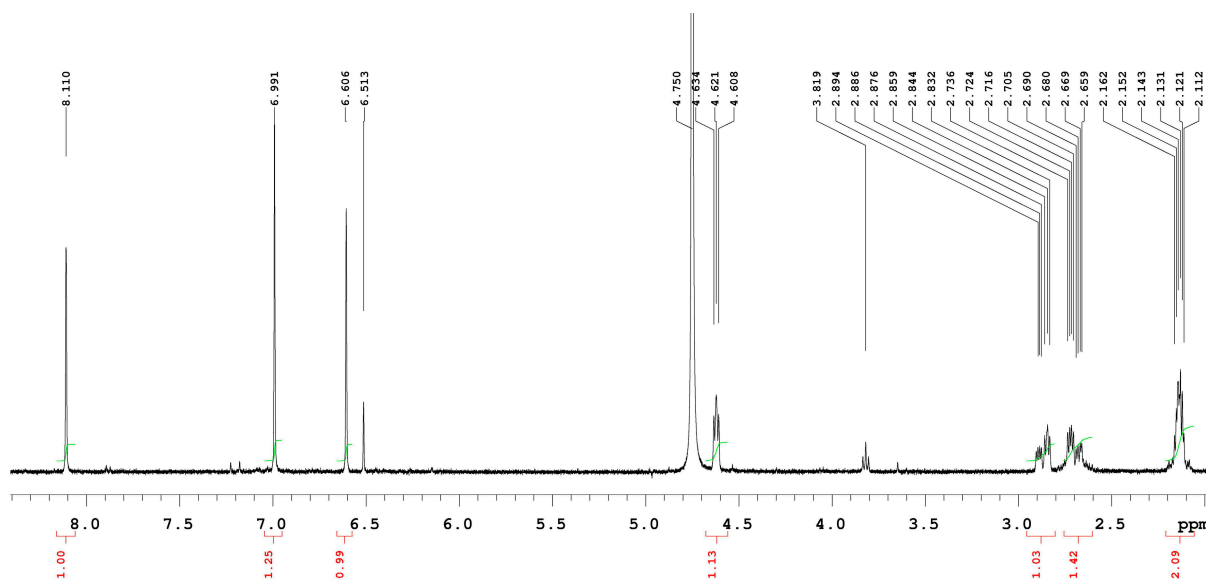
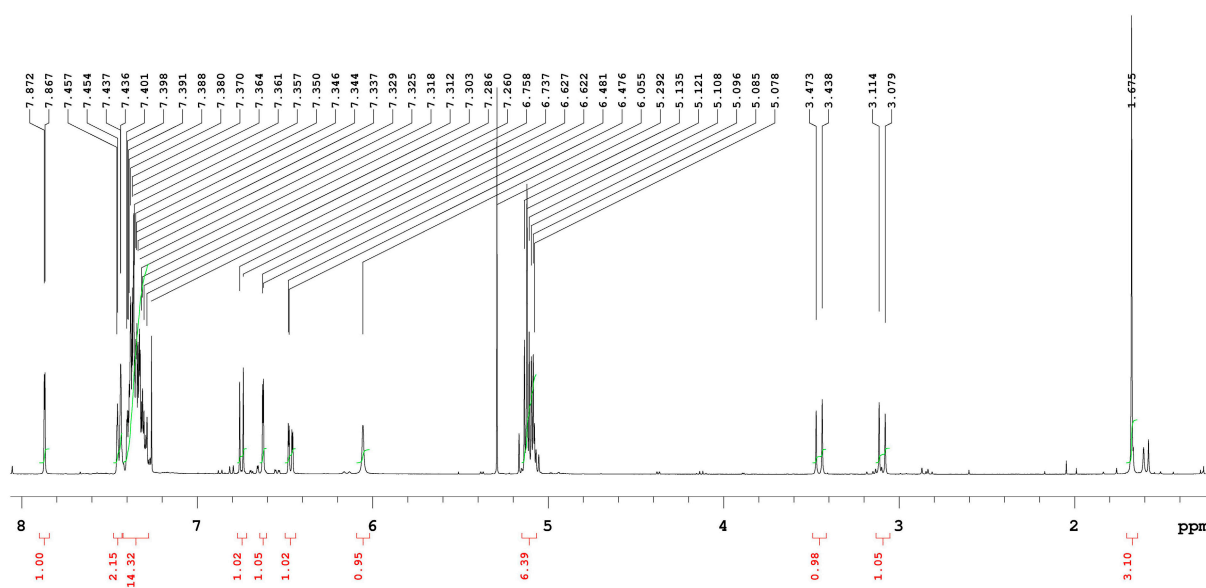
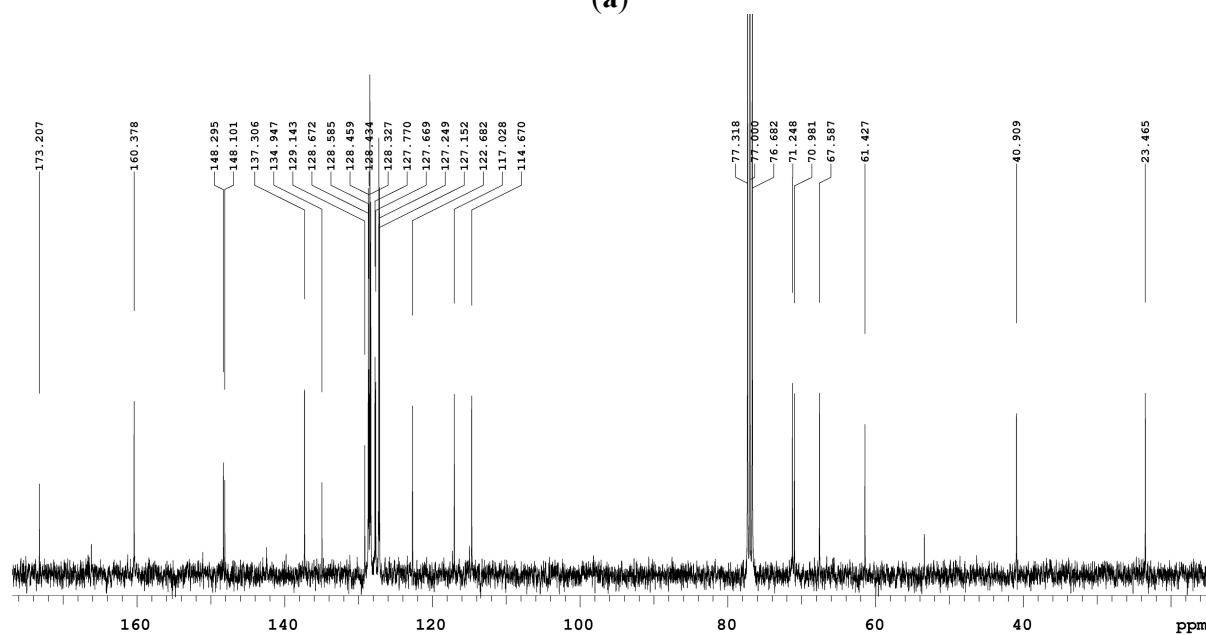


Figure S38. ^1H - (a) and ^{13}C -NMR (b) spectra of (*S*)-benzyl 2-(3,4-dibenzyloxy)benzyl-2-formamidopropanoate **11**.

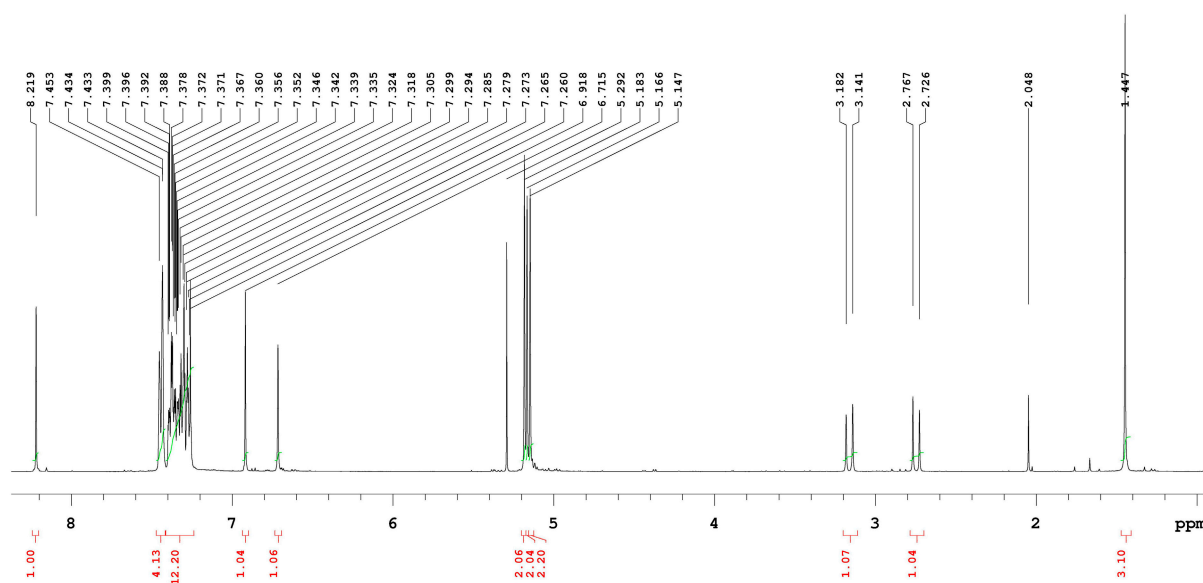


(a)

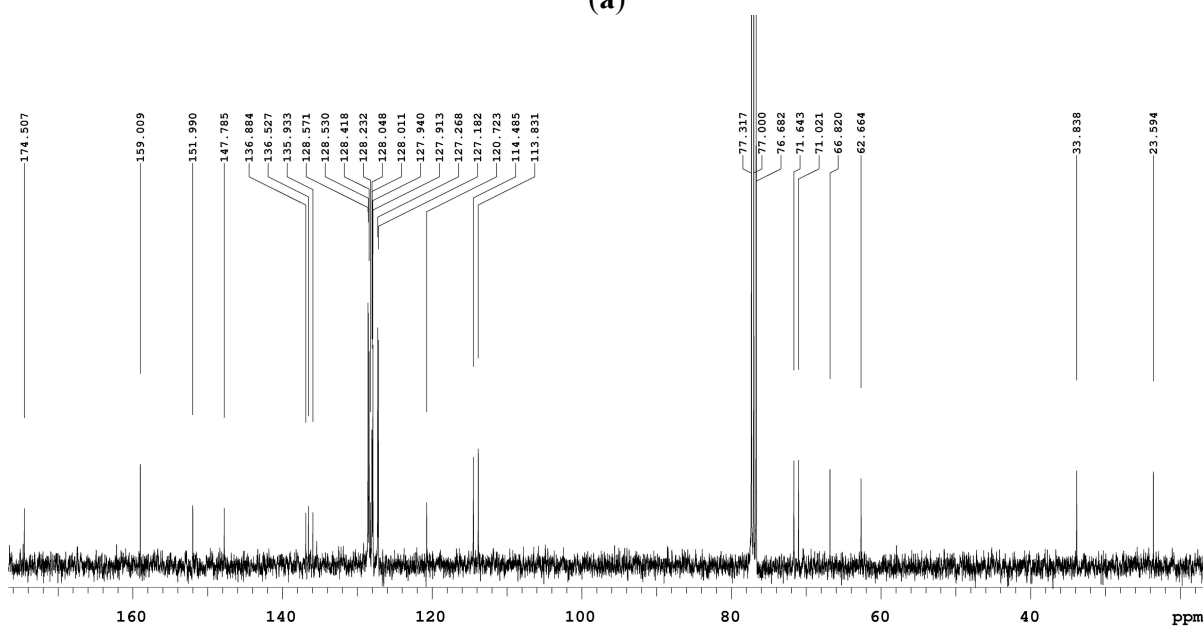


(b)

Figure S39. ^1H - (a) and ^{13}C -NMR (b) spectra of (*S*)-benzyl-6,7-dibenzyloxy-3-methyl-3,4-dihydroisoquinolin-3-carboxylate **12**.



(a)



(b)

Figure S40. ^1H - (a) and ^{13}C -NMR (b) spectra of (*S*)-6,7-dihydroxy-3-methyl-3,4-dihydroisoquinolin-3-carboxylic acid **13**.

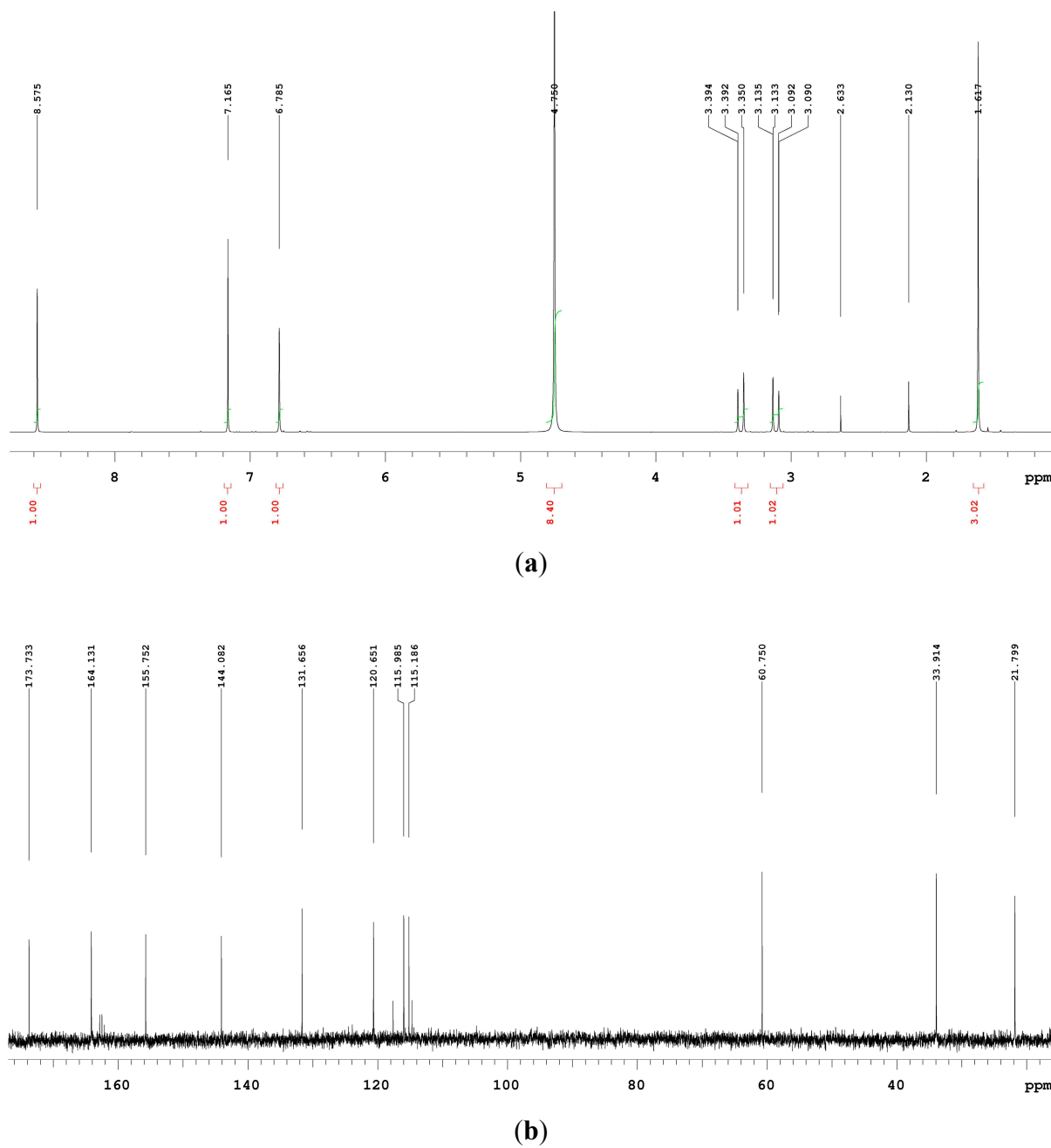


Figure S41. ^1H - (a) and ^{13}C -NMR (b) spectra of benzyl 1-chloro-7,8-dibenzyloxy-4-methyl-2-oxo-1,4,5,9b-tetrahydro-2H-azeto[2,1-a]isoquinoline-4-carboxylate **14**.

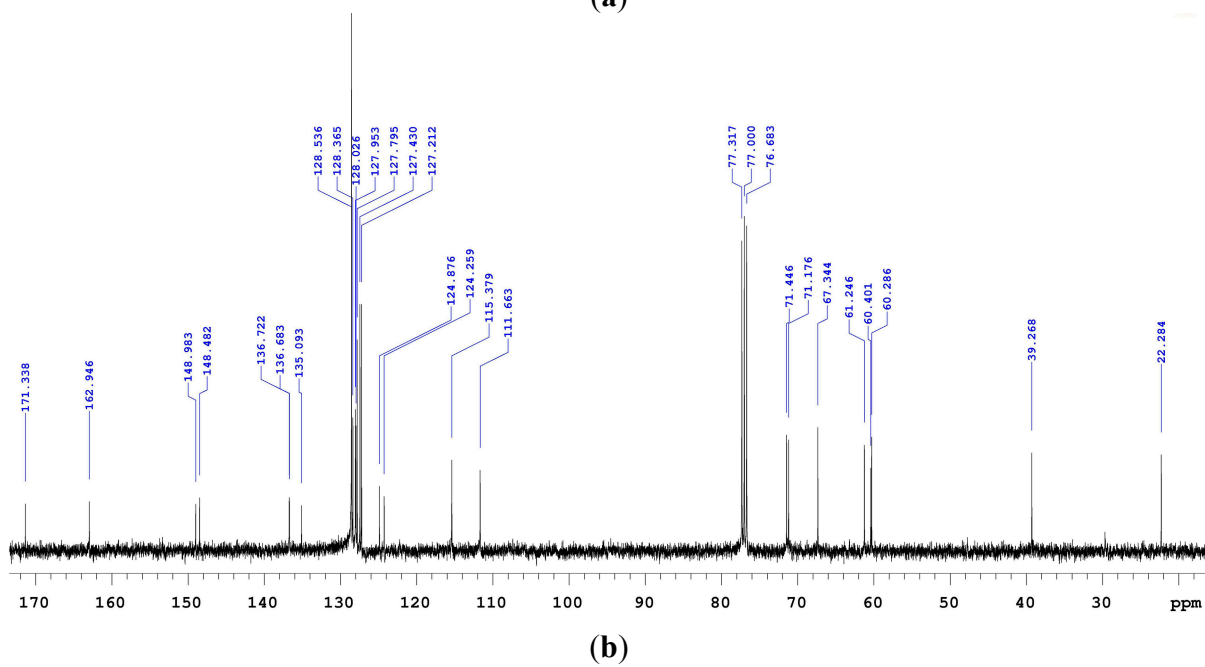
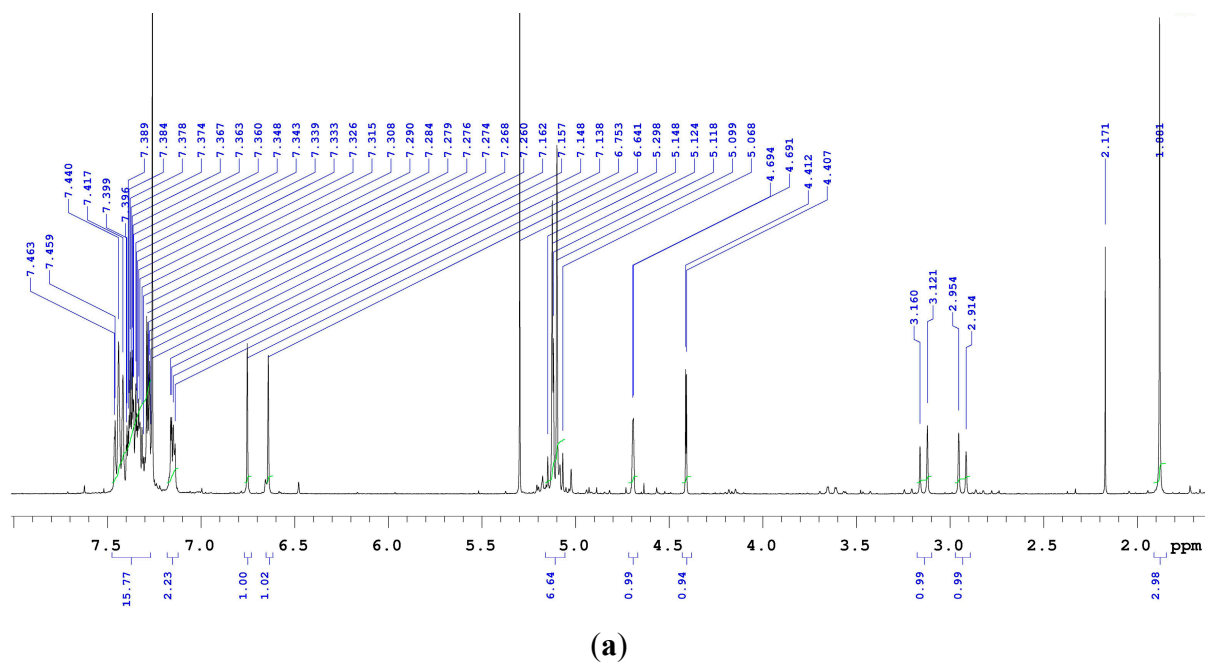


Figure S42. ^1H - (a) and ^{13}C -NMR (b) spectra of 1-chloro-7,8-dihydroxy-4-methyl-2-oxo-1,4,5,9b-tetrahydro-2H-azeto[2,1-a]isoquinoline-4-carboxylic acid **15**.

