Supporting Information

Diversity oriented synthesis of *β*-carbolinone and indolo-pyrazinone analogues based on an Ugi four component reaction and subsequent cyclisation of the resulting indole intermediate

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Scheme 1: **Synthesis of N-alkyl indole-2-carboxylic acid precursors.**

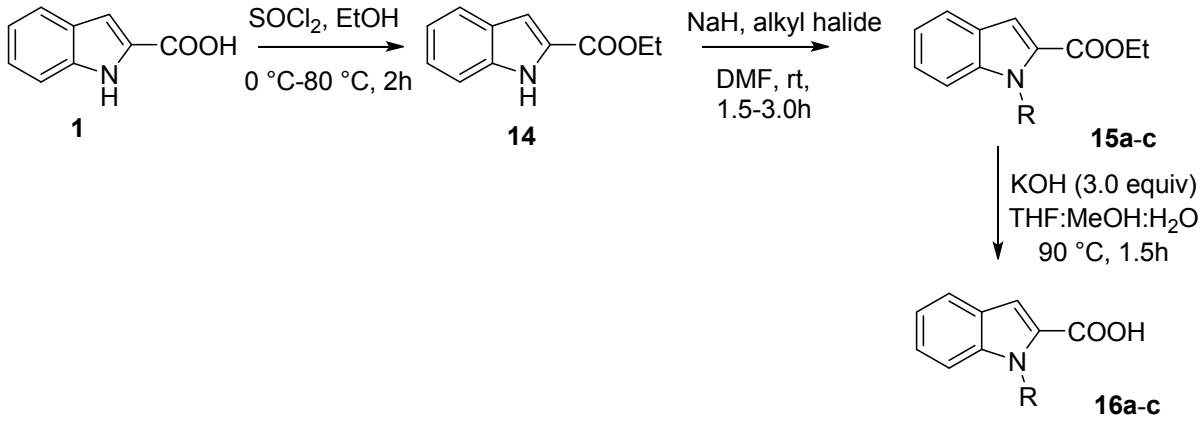
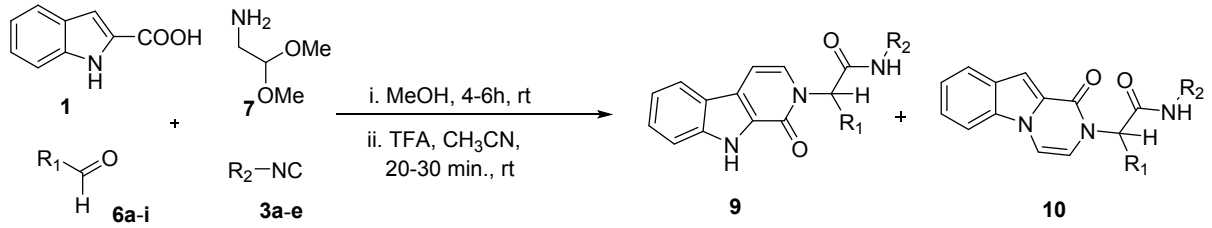
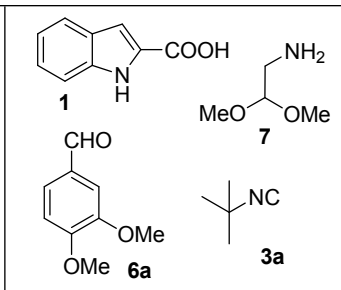
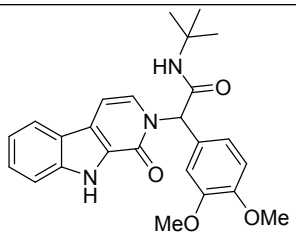
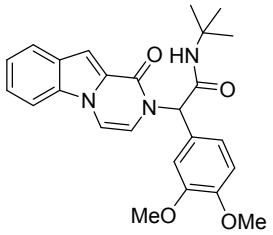
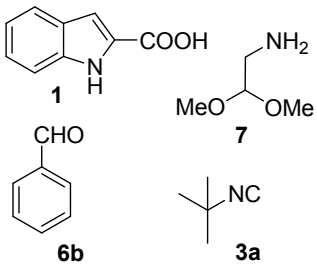
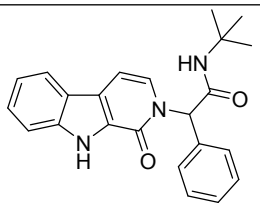
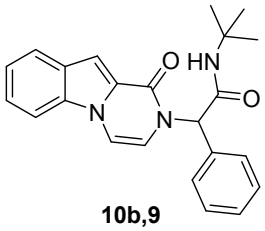
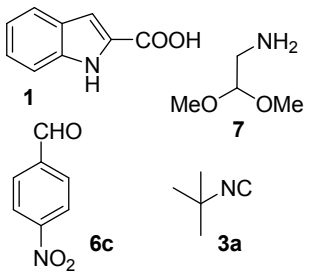
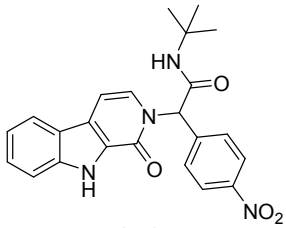
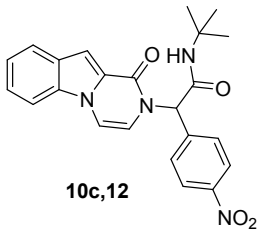
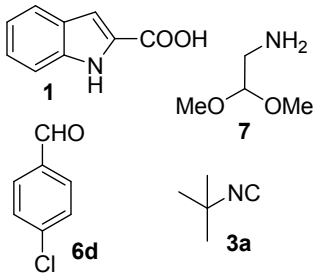
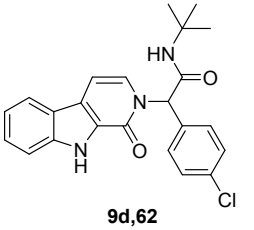
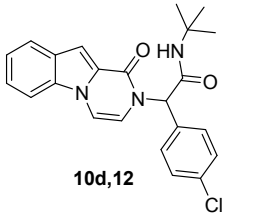
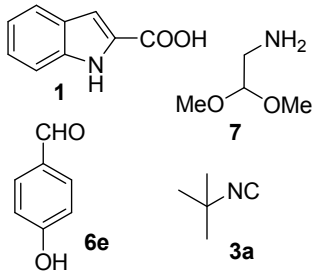
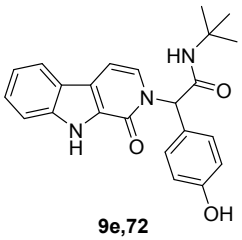
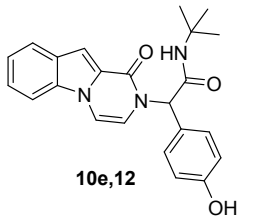


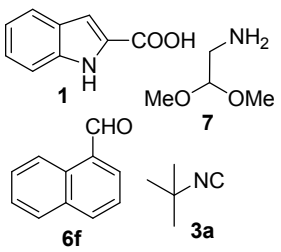
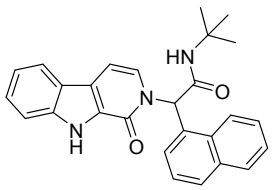
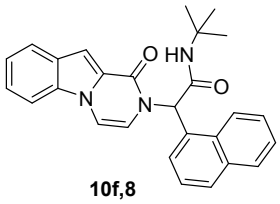
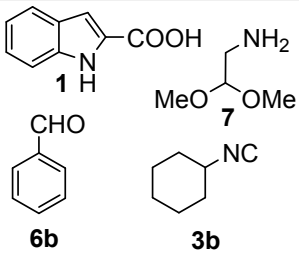
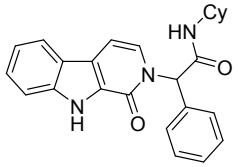
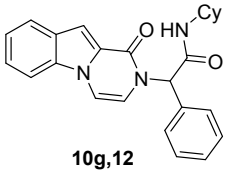
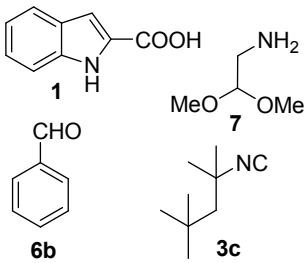
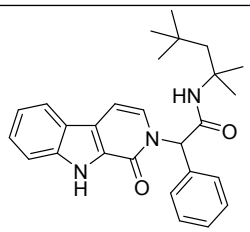
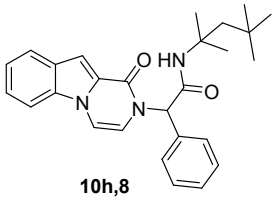
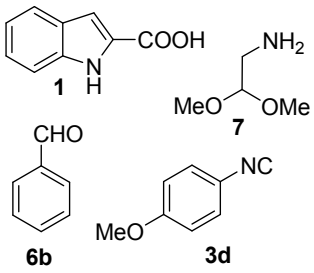
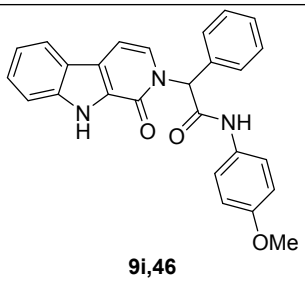
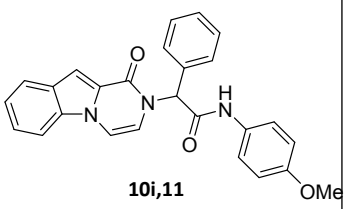
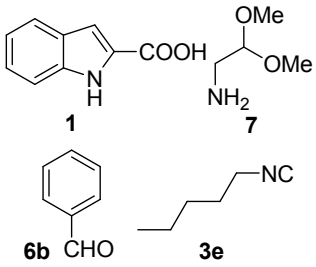
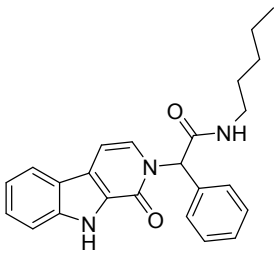
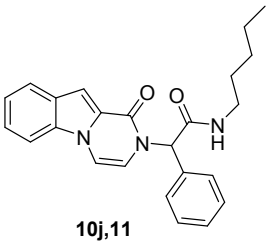
Table 1: One pot, two-Step Synthesis of *ß*-carbolinones (9a-9ab) and pyrazinones (10a-10ab)derivative[a].

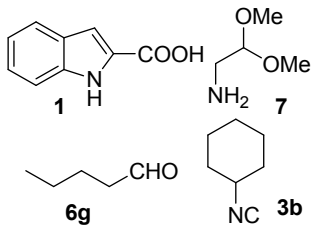
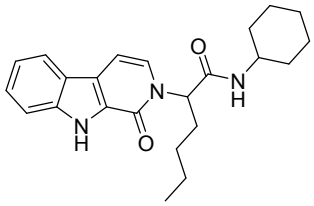
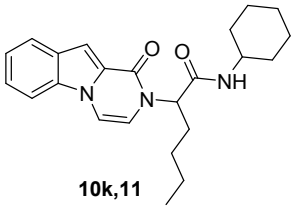
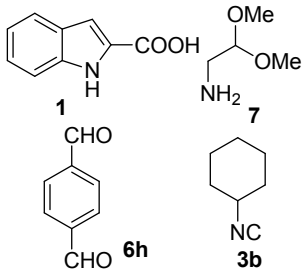
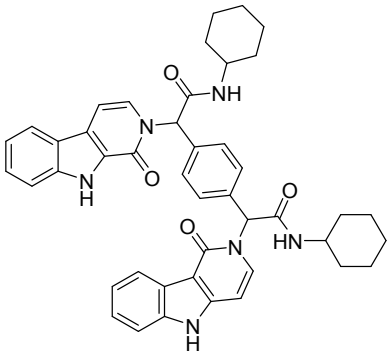
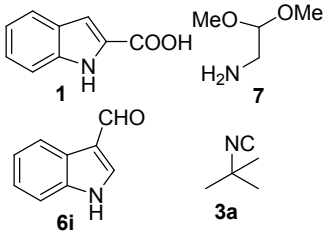
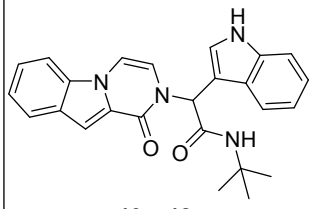
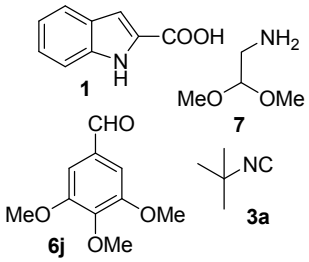
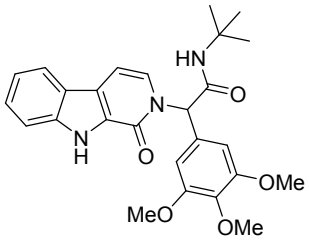
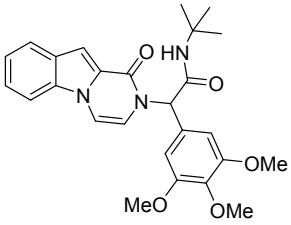


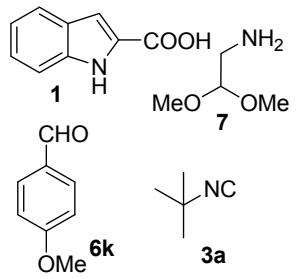
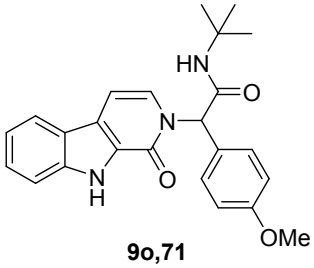
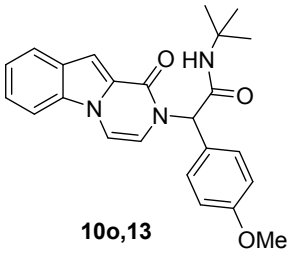
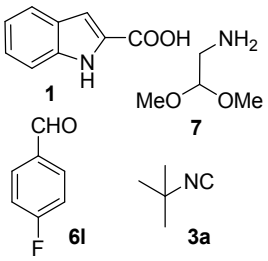
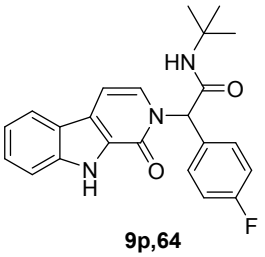
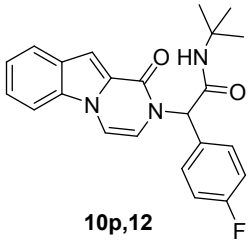
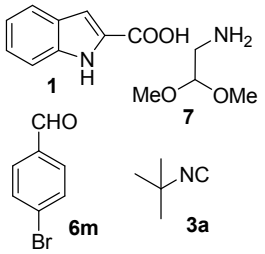
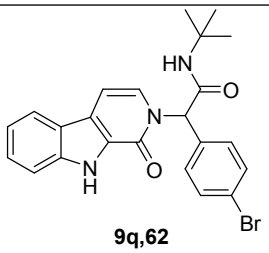
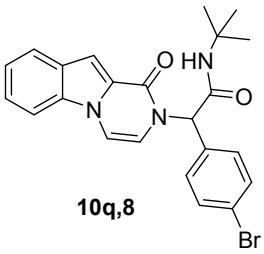
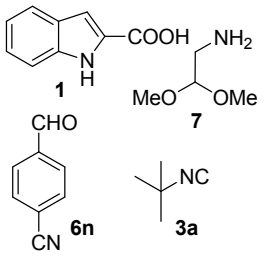
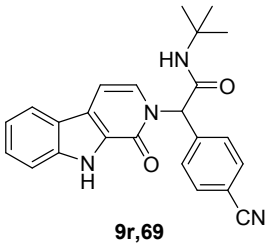
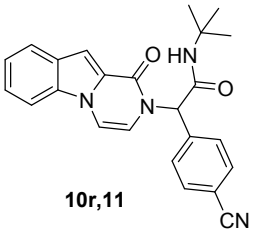
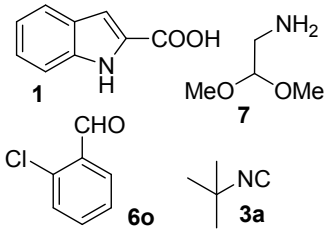
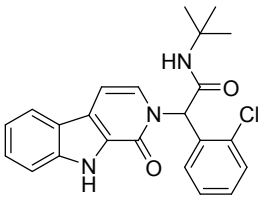
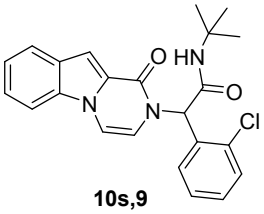
R_1 = aryl, aliphatic
R_2= tert-butyl, n-pentyl, 1,1,3,3 tetra methyl butyl, 4-methoxy phenyl, cyclohexyl

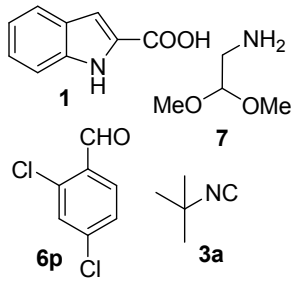
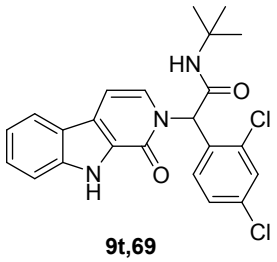
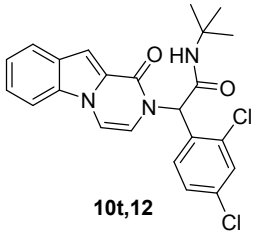
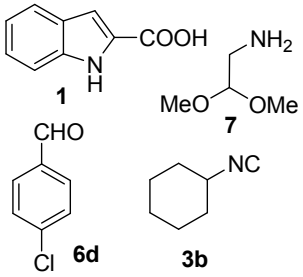
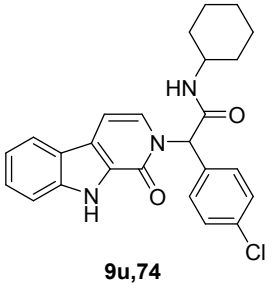
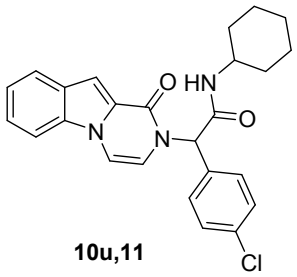
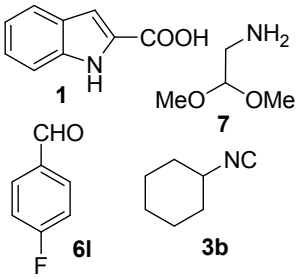
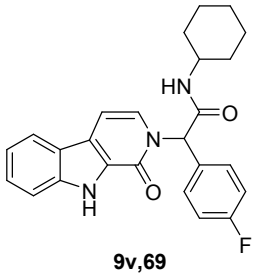
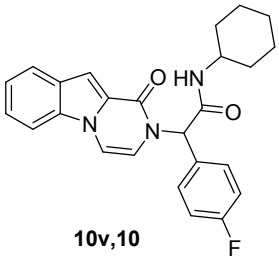
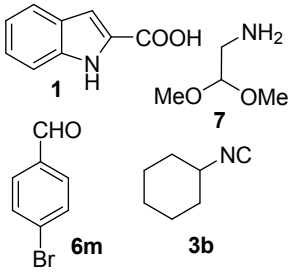
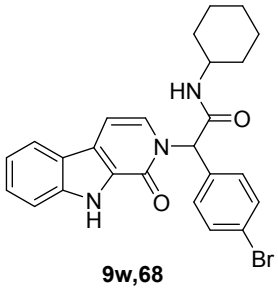
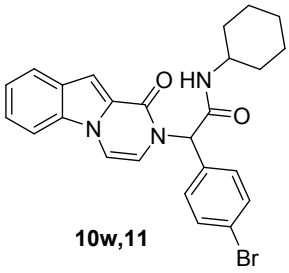
entry	Starting material	Cyclised product Yield%[b]	
		9	10

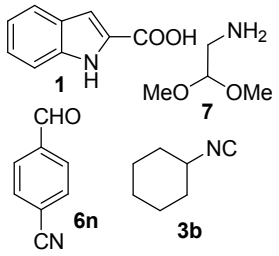
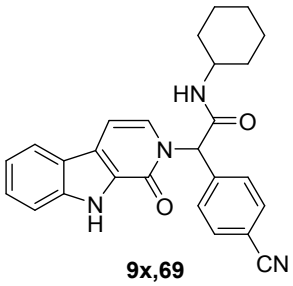
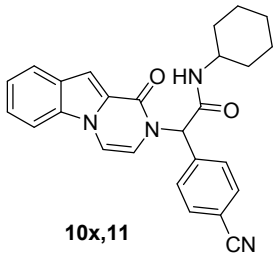
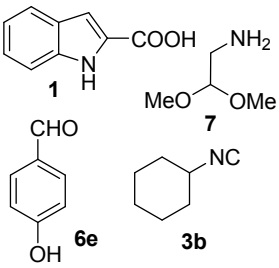
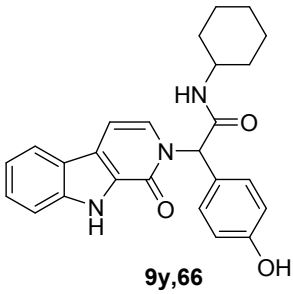
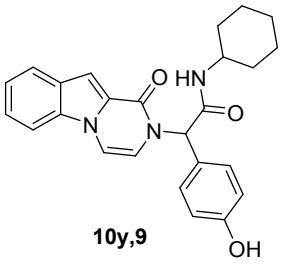
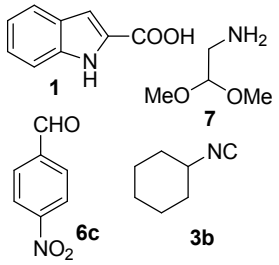
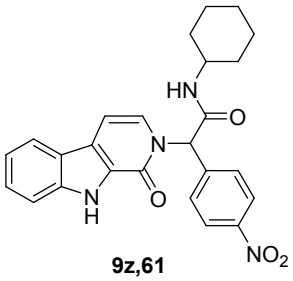
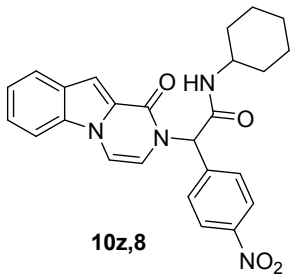
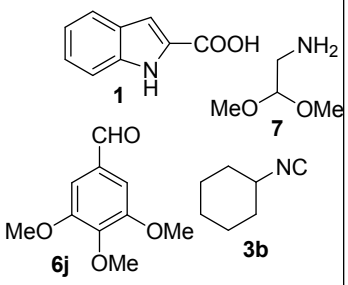
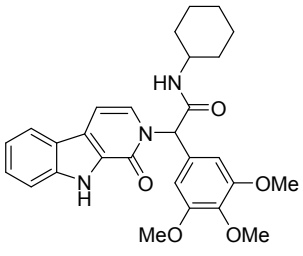
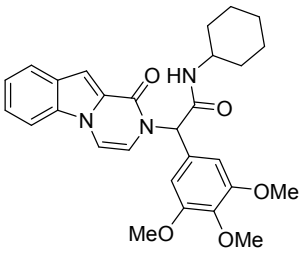
1		 **9a,79**	 **10a,11**
2		 **9b,64**	 **10b,9**
3		 **9c,67**	 **10c,12**
4		 **9d,62**	 **10d,12**
5		 **9e,72**	 **10e,12**

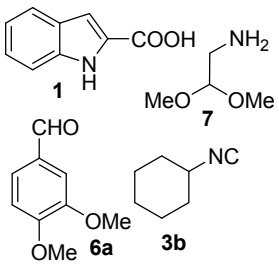
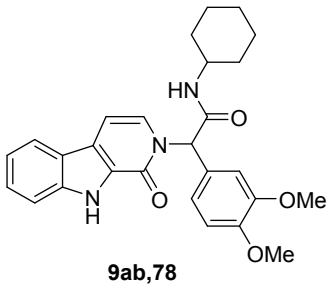
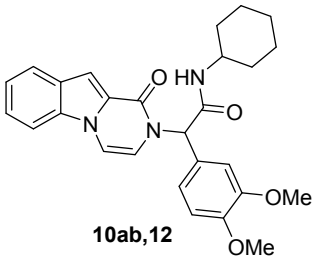
6	 **1** **7** **6f** **3a**	 **9f,74**	 **10f,8**
7	 **1** **7** **6b** **3b**	 **9g,72**	 **10g,12**
8	 **1** **7** **6b** **3c**	 **9h,69**	 **10h,8**
9	 **1** **7** **6b** **3d**	 **9i,46**	 **10i,11**
10	 **1** **7** **6b** **3e**	 **9j,72**	 **10j,11**

11	 **1** **7** **6g** **3b**	 **9k,73**	 **10k,11**
12	 **1** **7** **6h** **3b**	 **9l,58**	
13	 **1** **7** **6i** **3a**	**9m**[c]	 **10m,12**
14	 **1** **7** **6j** **3a**	 **9n,68**	 **10n,12**

15		 **9o,71**	 **10o,13**
16		 **9p,64**	 **10p,12**
17		 **9q,62**	 **10q,8**
18		 **9r,69**	 **10r,11**
19		 **9s,68**	 **10s,9**

20	 **1** **7** **6p** **3a**	 **9t,69**	 **10t,12**
21	 **1** **7** **6d** **3b**	 **9u,74**	 **10u,11**
22	 **1** **7** **6l** **3b**	 **9v,69**	 **10v,10**
23	 **1** **7** **6m** **3b**	 **9w,68**	 **10w,11**

24		 **9x,69**	 **10x,11**
25		 **9y,66**	 **10y,9**
26		 **9z,61**	 **10z,8**
27		 **9aa,76**	 **10aa,8**

28		 **9ab,78**	 **10ab,12**

[a] Reaction conditions: step 1: indole 2-carboxylic acid (**1**) (1 mmol), aryl or alkyl aldehyde (**6a-p**) (1 mmol), aminoacetaldehyde dimethyl acetal **(7)** (1.1 mmol), alkyl or aryl isocyanide (**3a-e**) (1.1 mmol) in 3 mL solvent at rt (35℃). Step 2: substrate Ugi adducts **8a-8ab** (1 mmol), TFA (1 equiv.), CH_3CN (2 mL), reaction time (20-30 min.), rt (35℃), [b] Isolated yield.[c] Inseparable from reaction mixture.

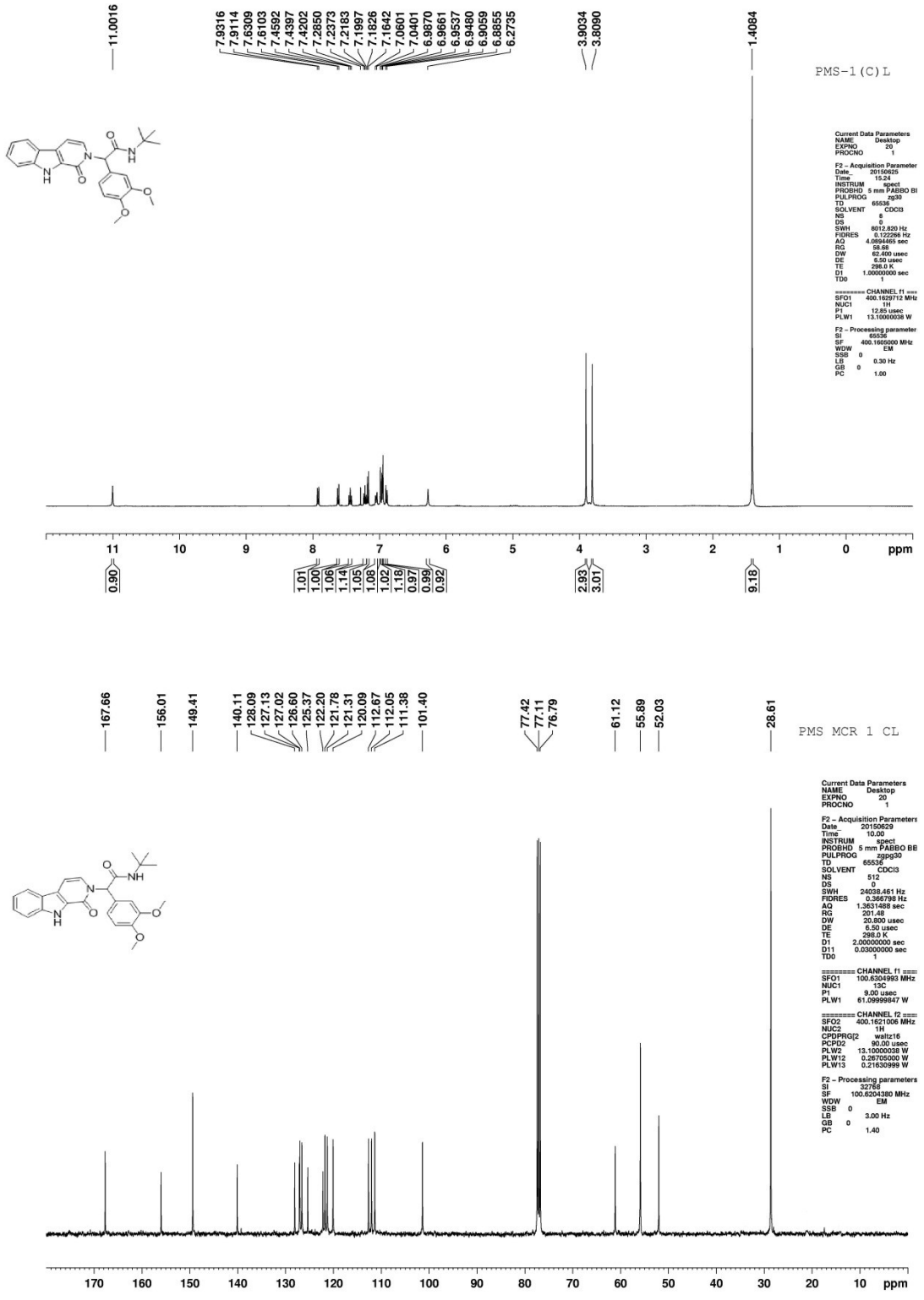


Figure 1. ^{1}H and ^{13}C spectra of compound **9a**

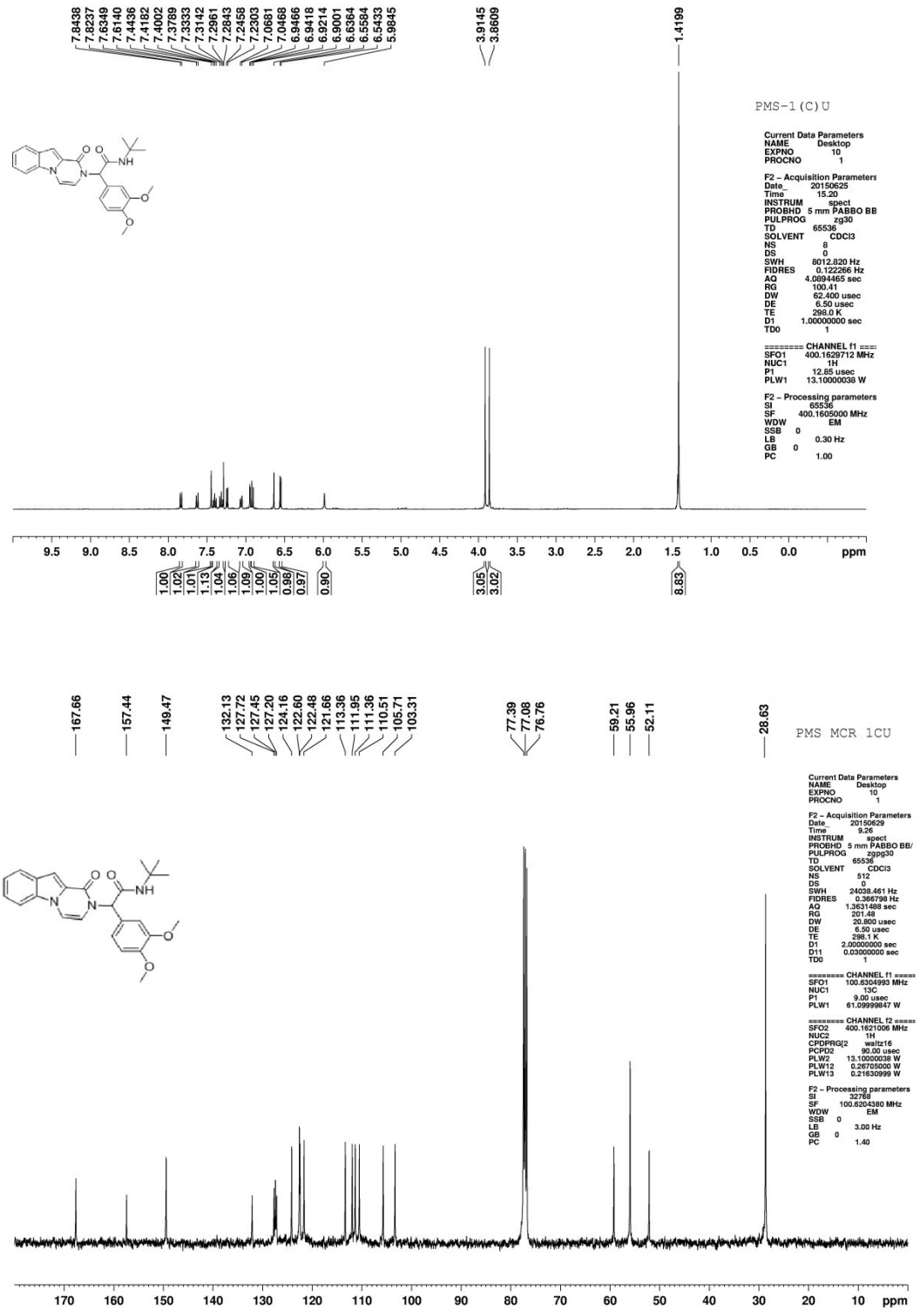


Figure 2. ^{1}H and ^{13}C spectra of compound **10a**

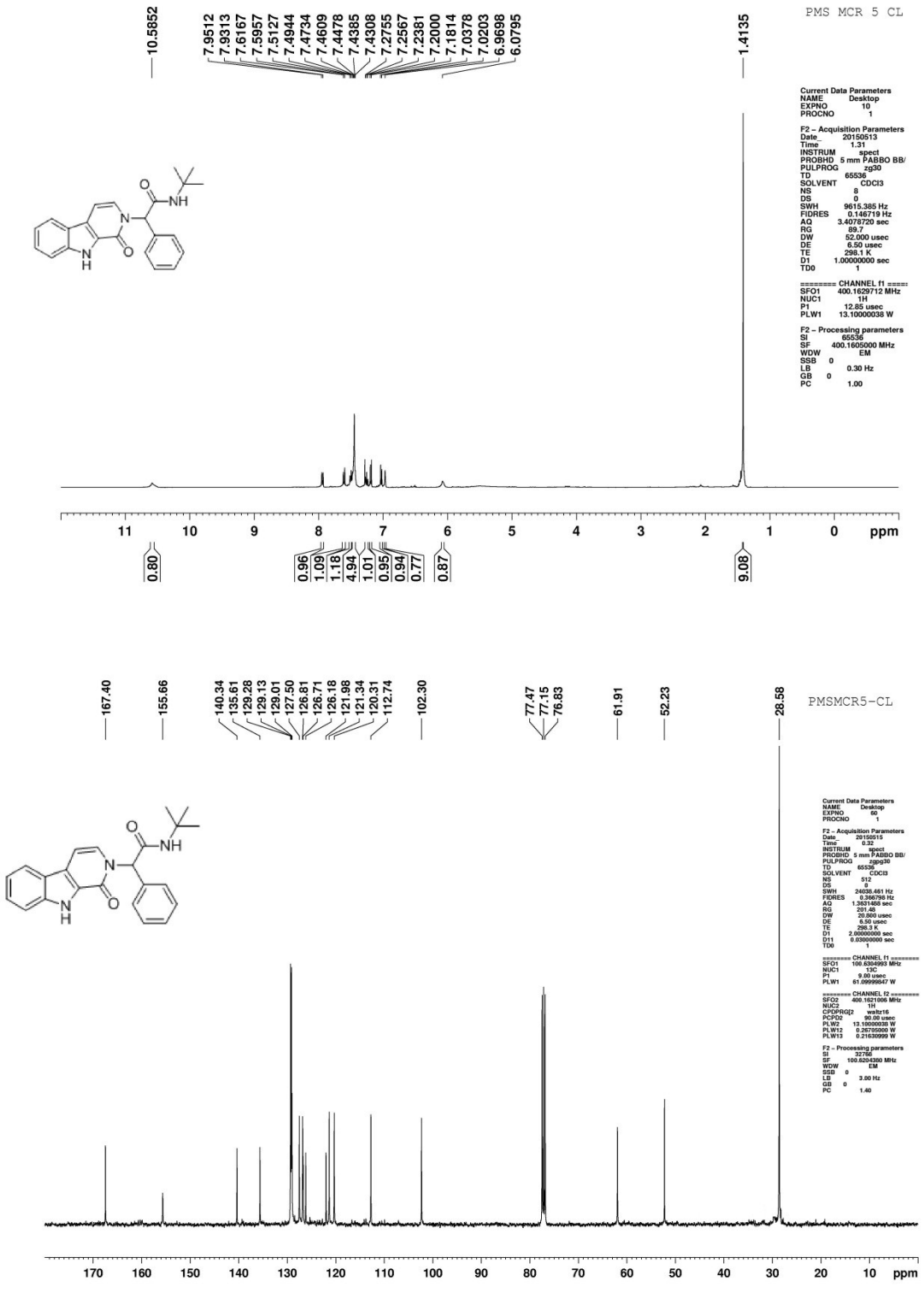


Figure 3. ^{1}H and ^{13}C spectra of compound **9b**

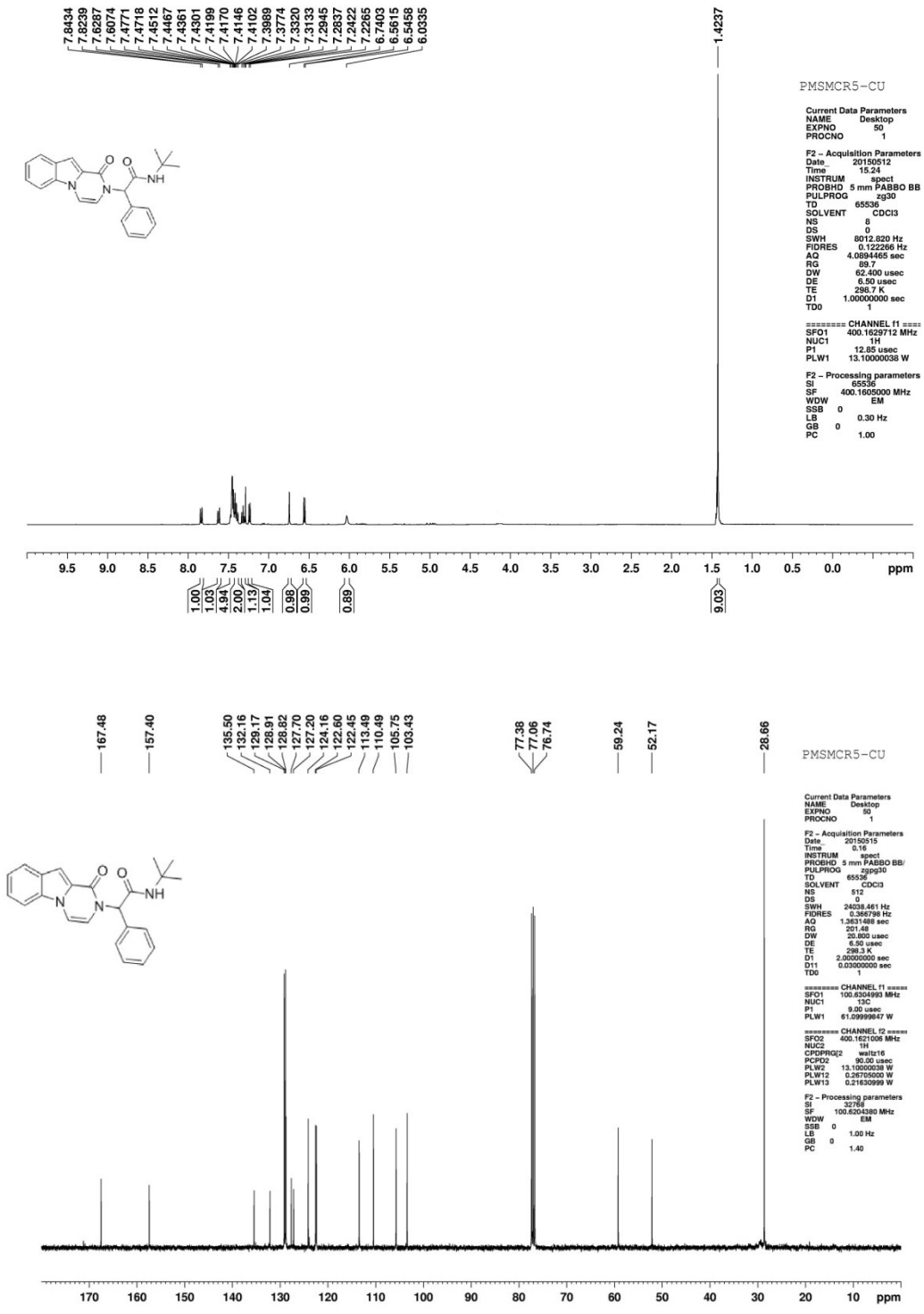


Figure 4. ^{1}H and ^{13}C spectra of compound **10b**

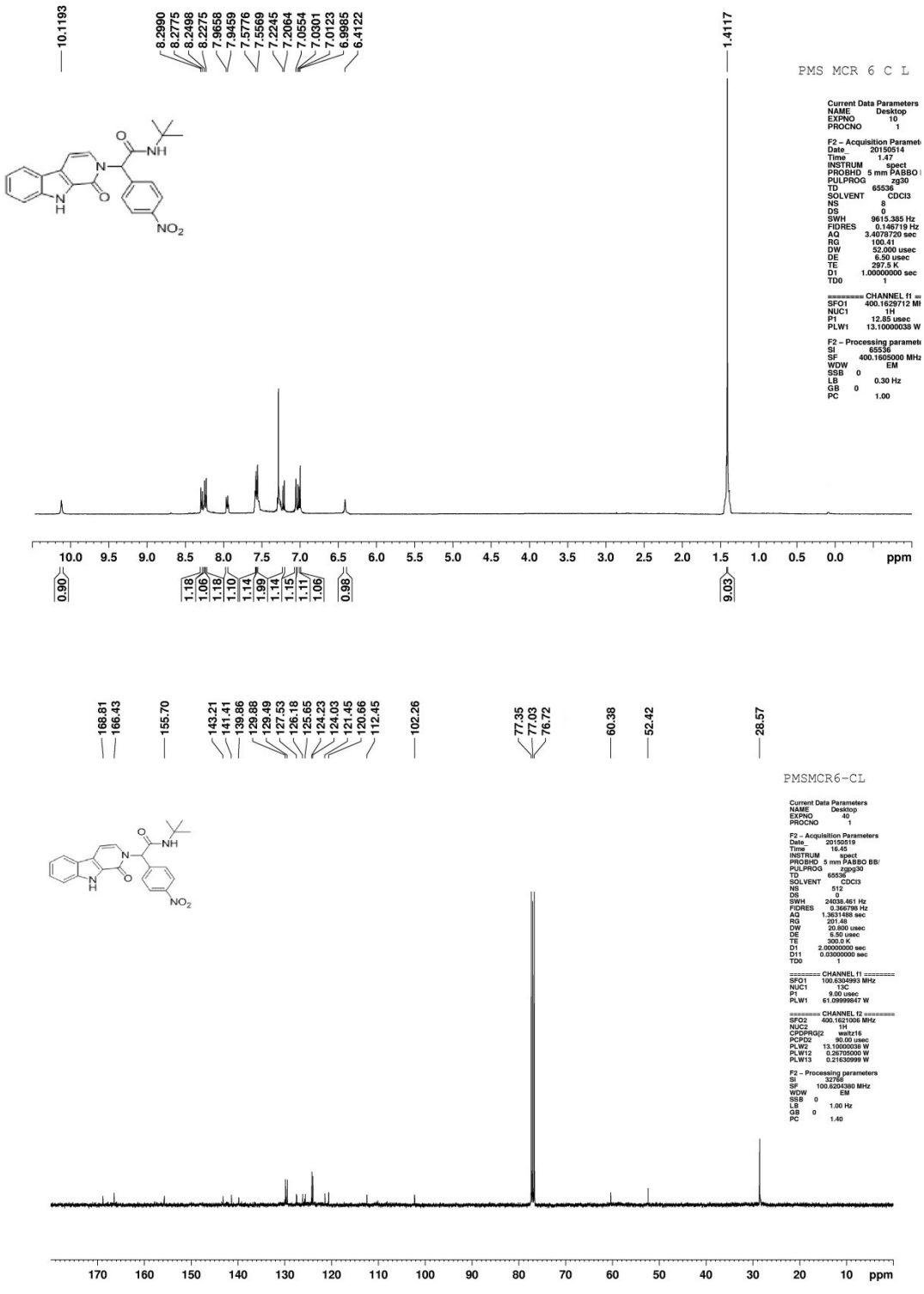


Figure 5. ^{1}H and ^{13}C spectra of compound **9c**

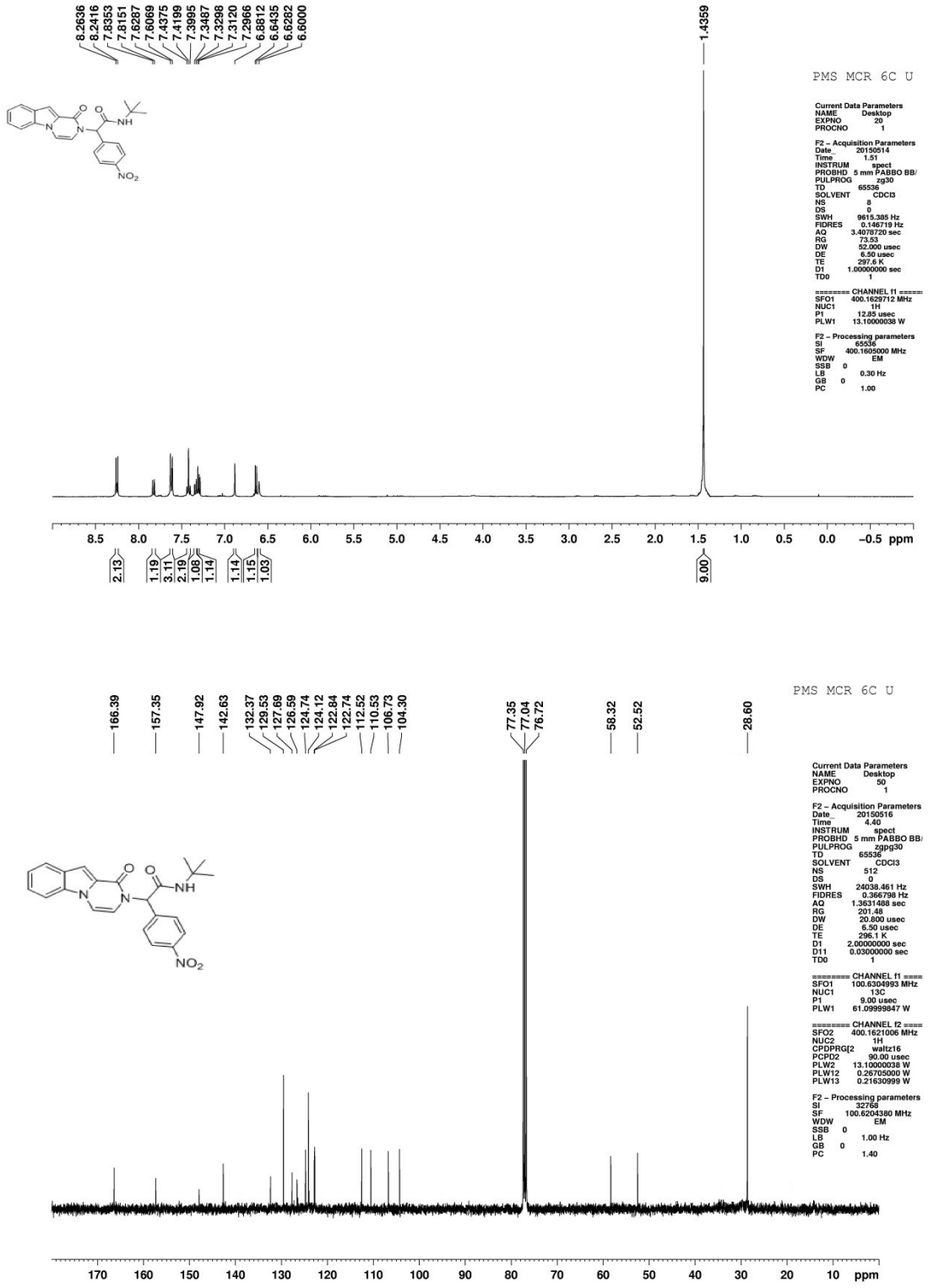


Figure 6. ^{1}H and ^{13}C spectra of compound **10c**

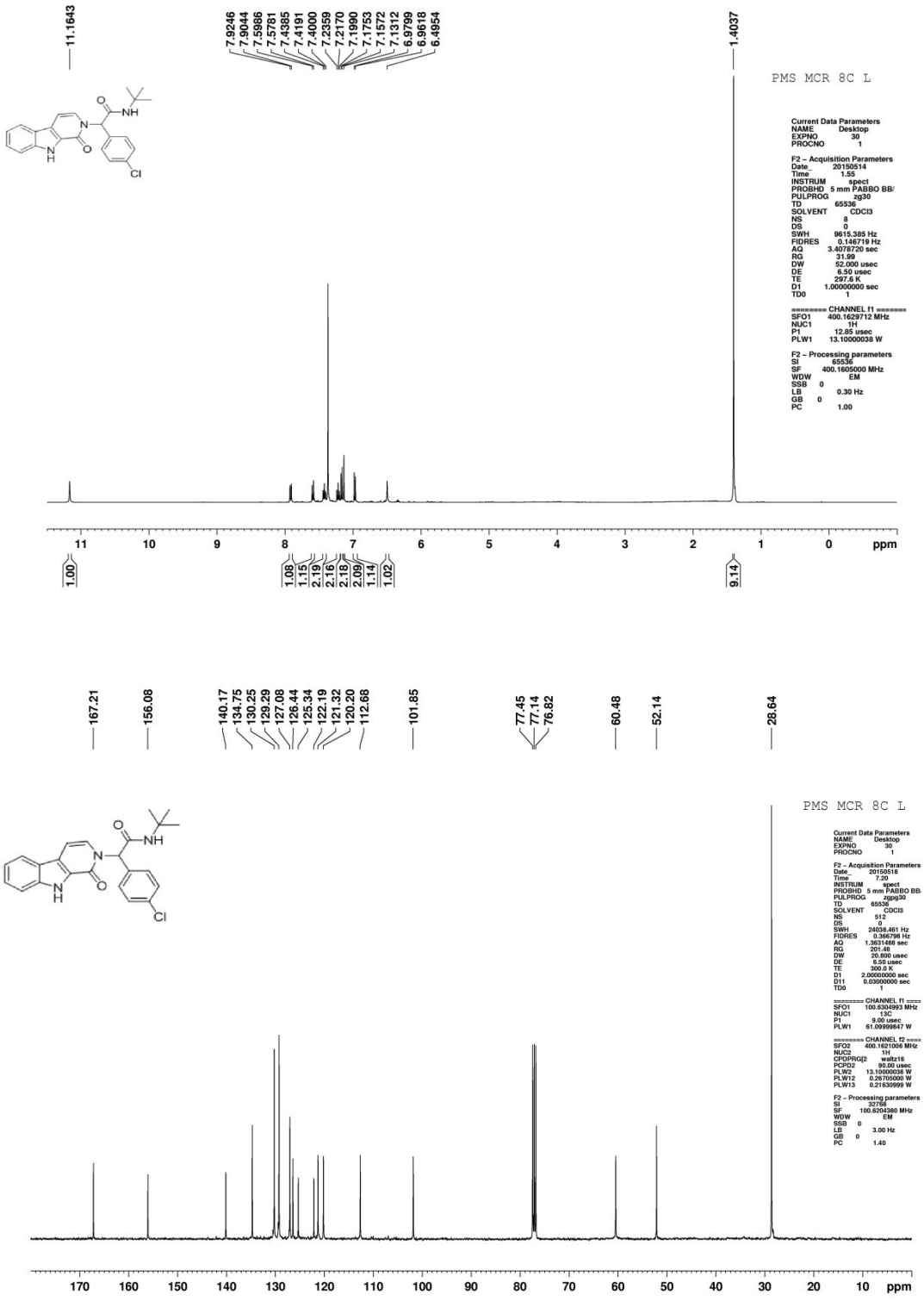


Figure 7. ^{1}H and ^{13}C spectra of compound **9d**

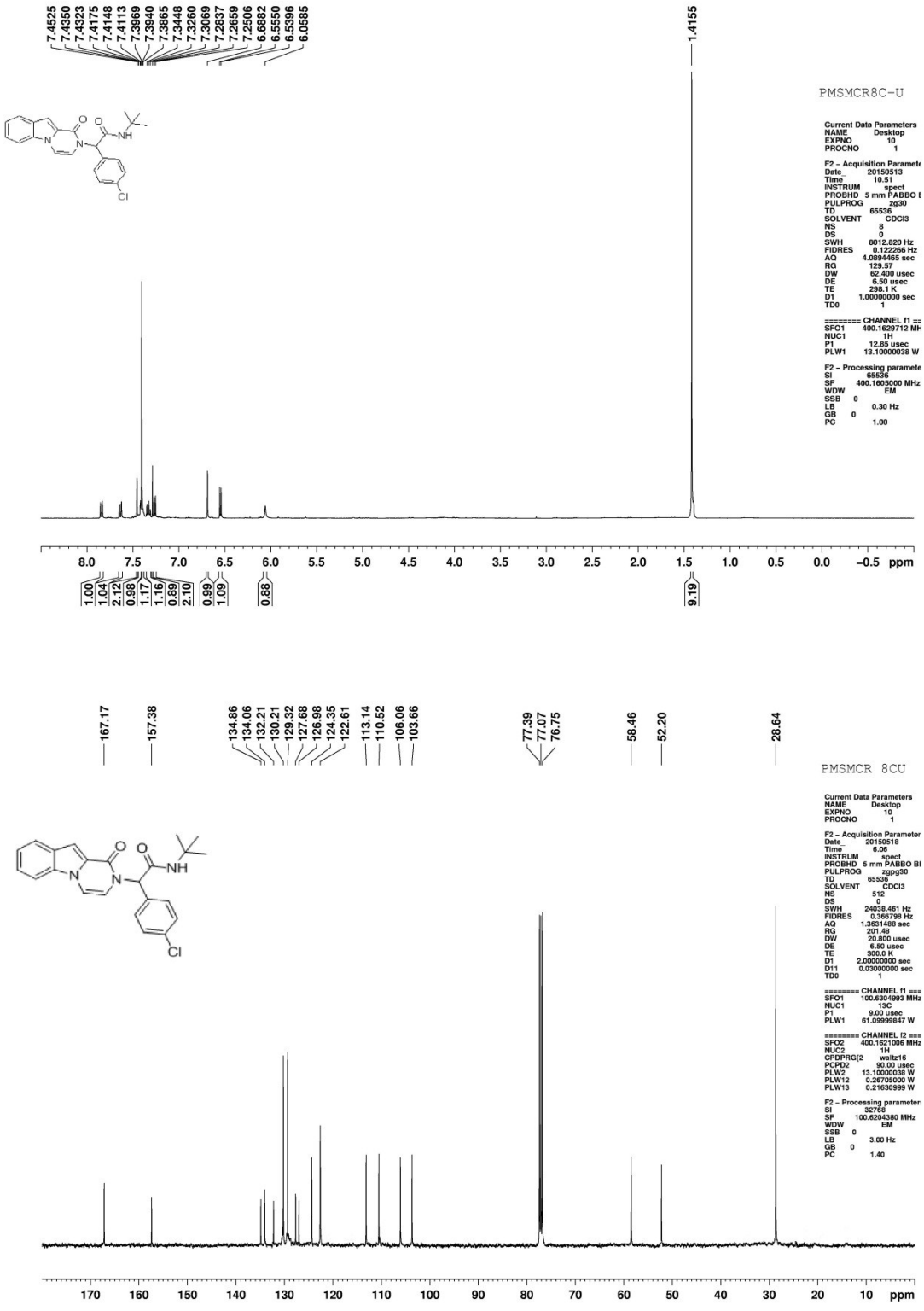


Figure 8. ^{1}H and ^{13}C spectra of compound **10d**

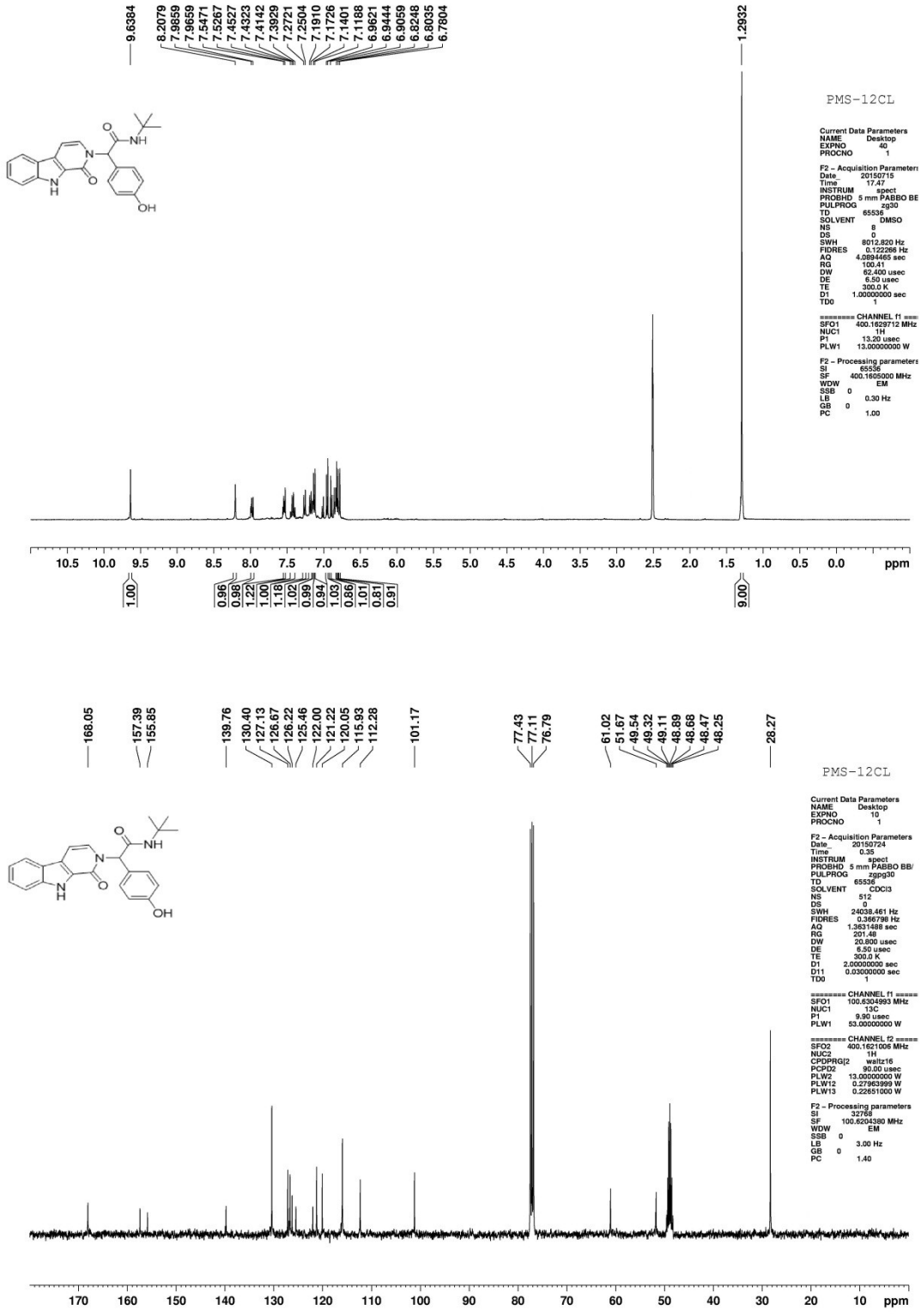


Figure 9. ^{1}H and ^{13}C spectra of compound **9e**

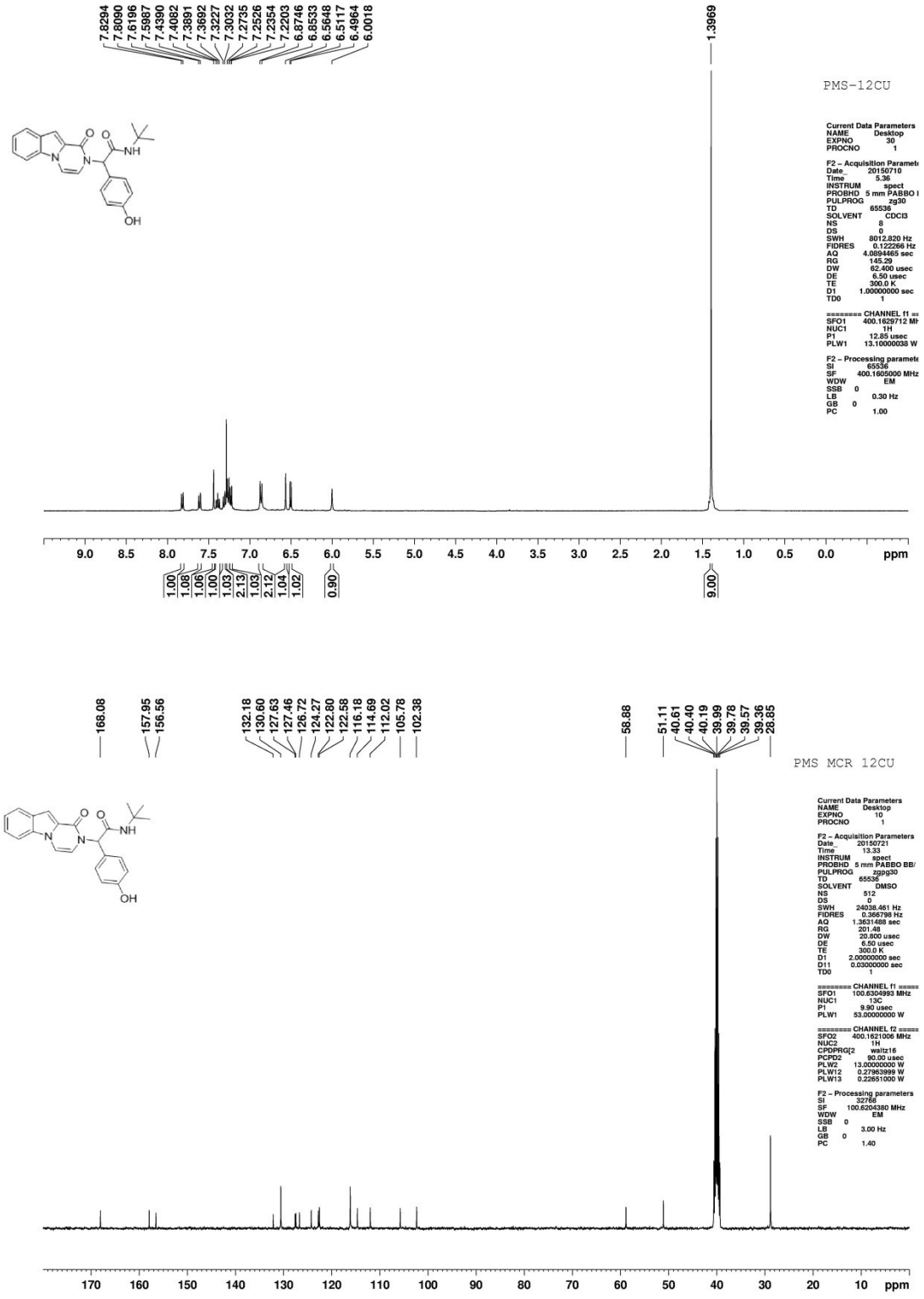


Figure 10. ^{1}H and ^{13}C spectra of compound **10e**

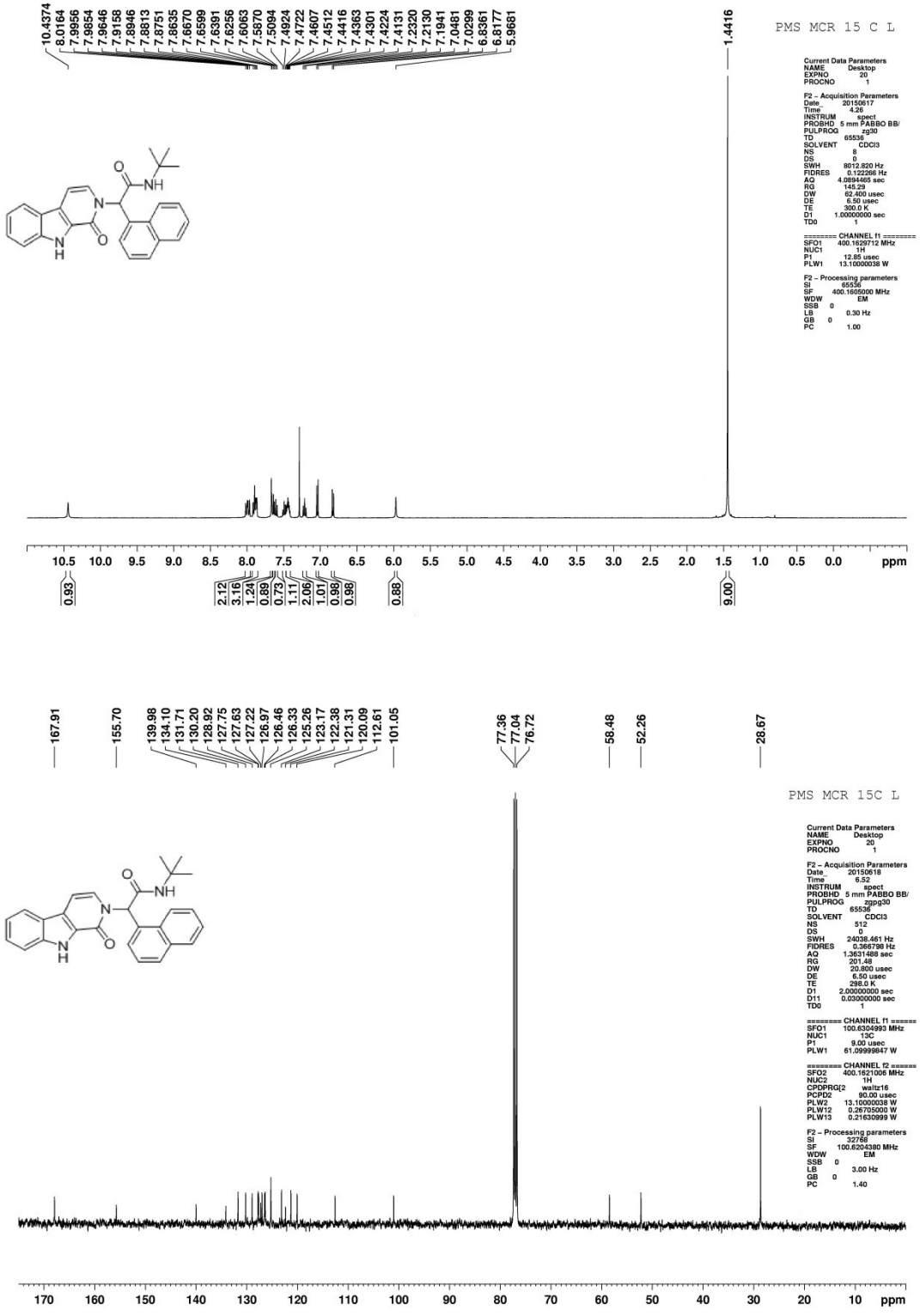


Figure 11. ^{1}H and ^{13}C spectra of compound **9f**

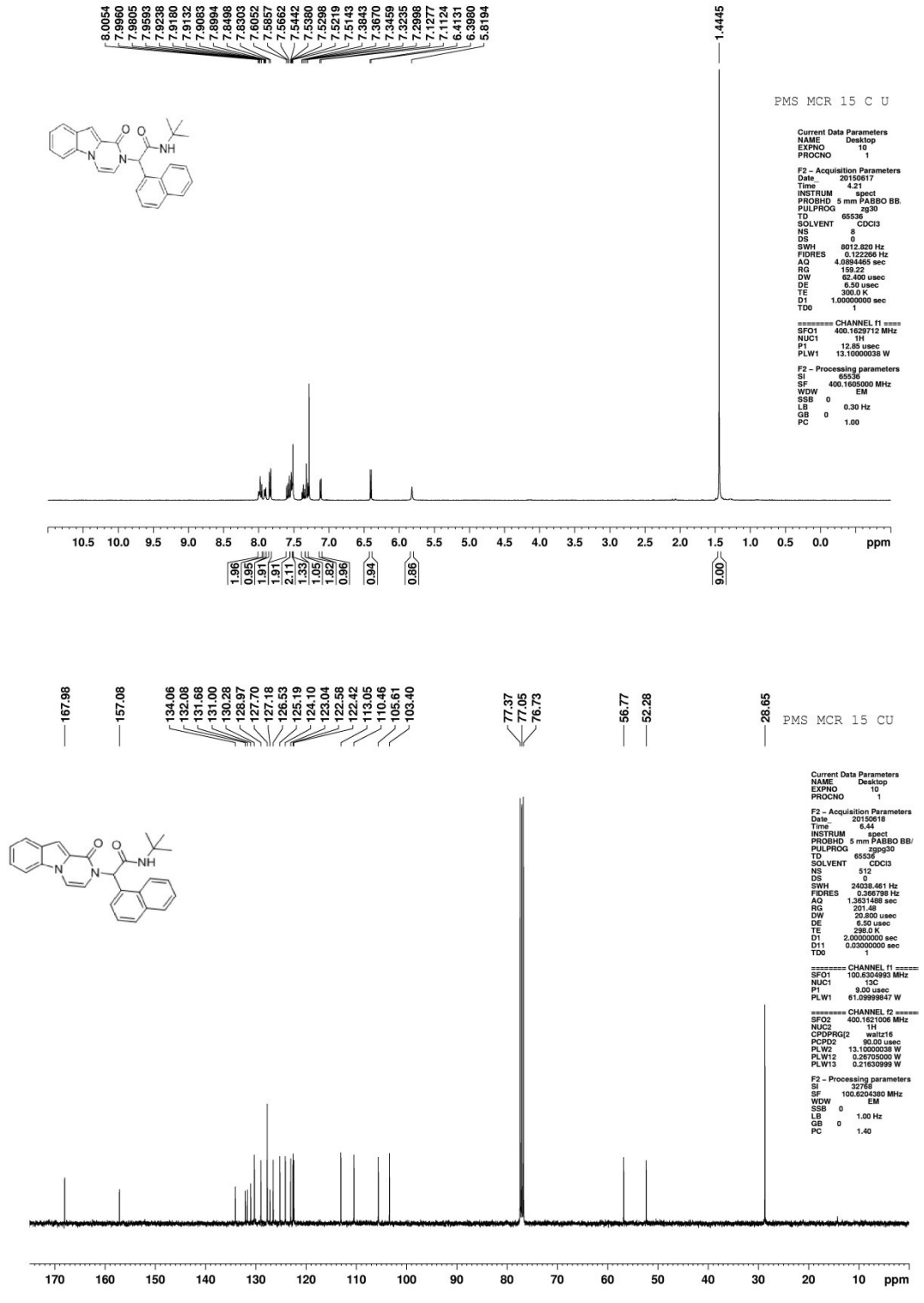


Figure 12. ^{1}H and ^{13}C spectra of compound **10f**

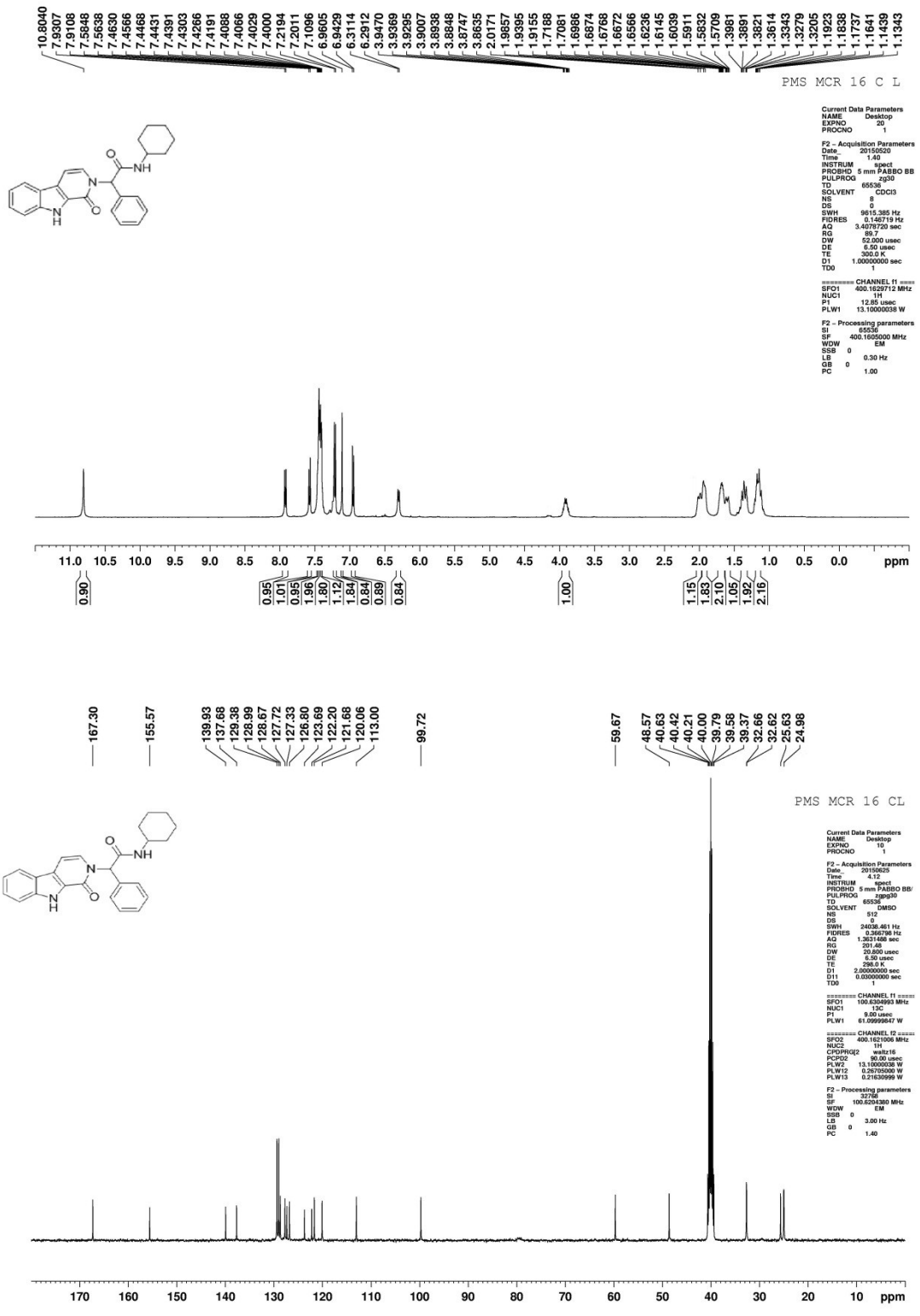


Figure 13. ^{1}H and ^{13}C spectra of compound **9g**

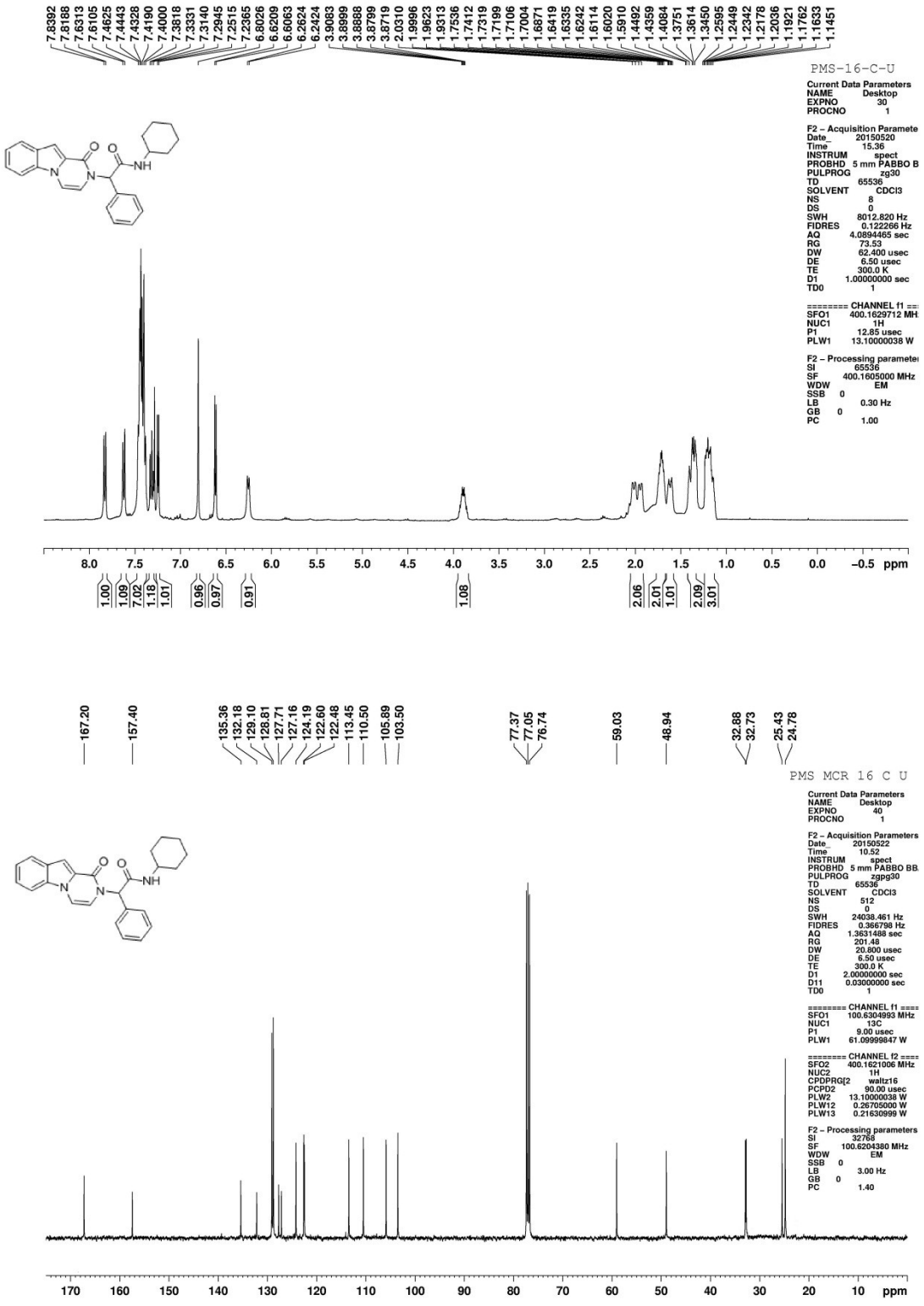


Figure 14. ^{1}H and ^{13}C spectra of compound **10g**

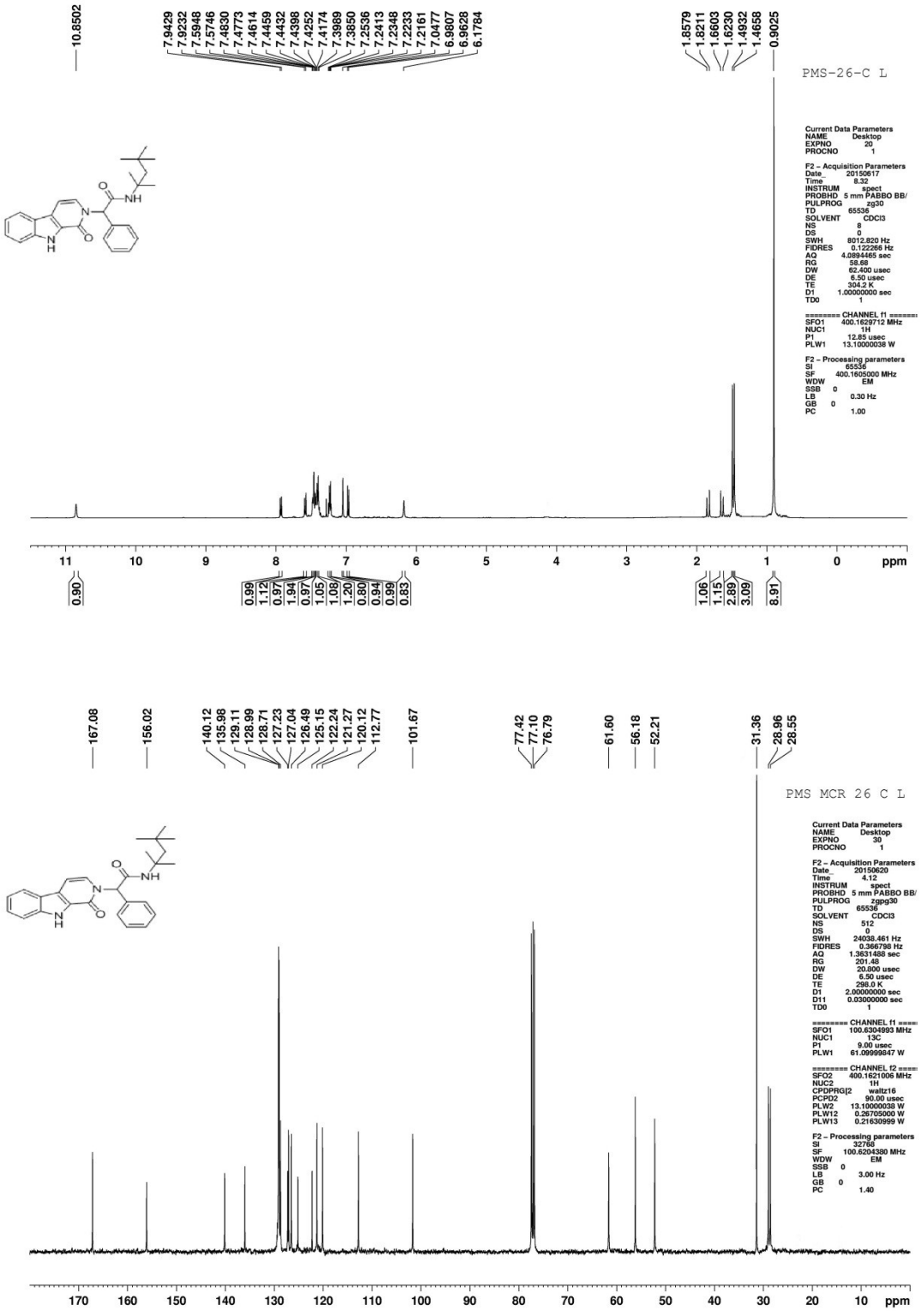


Figure 15. ^{1}H and ^{13}C spectra of compound **9h**

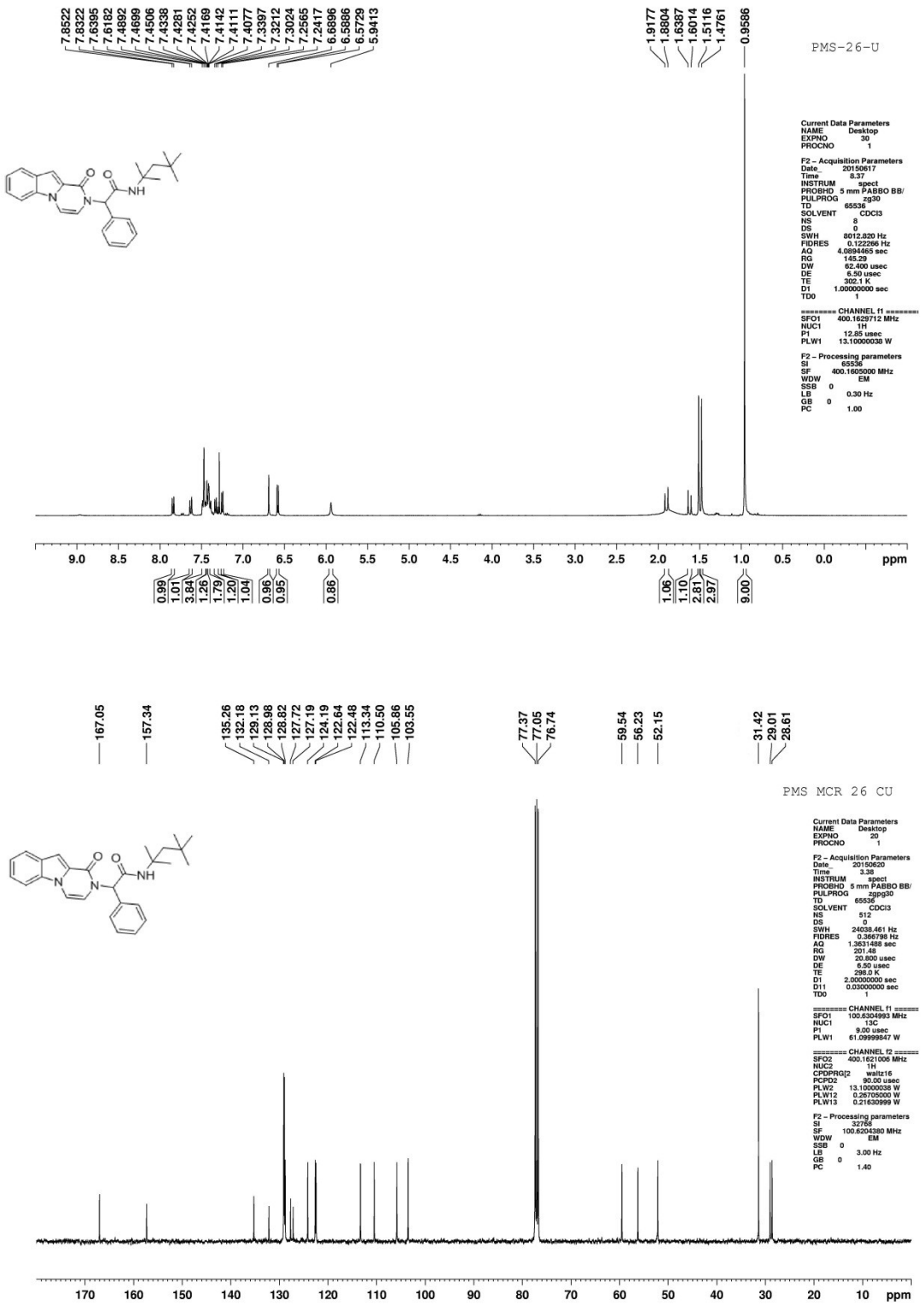


Figure 16. ^{1}H and ^{13}C spectra of compound **10h**

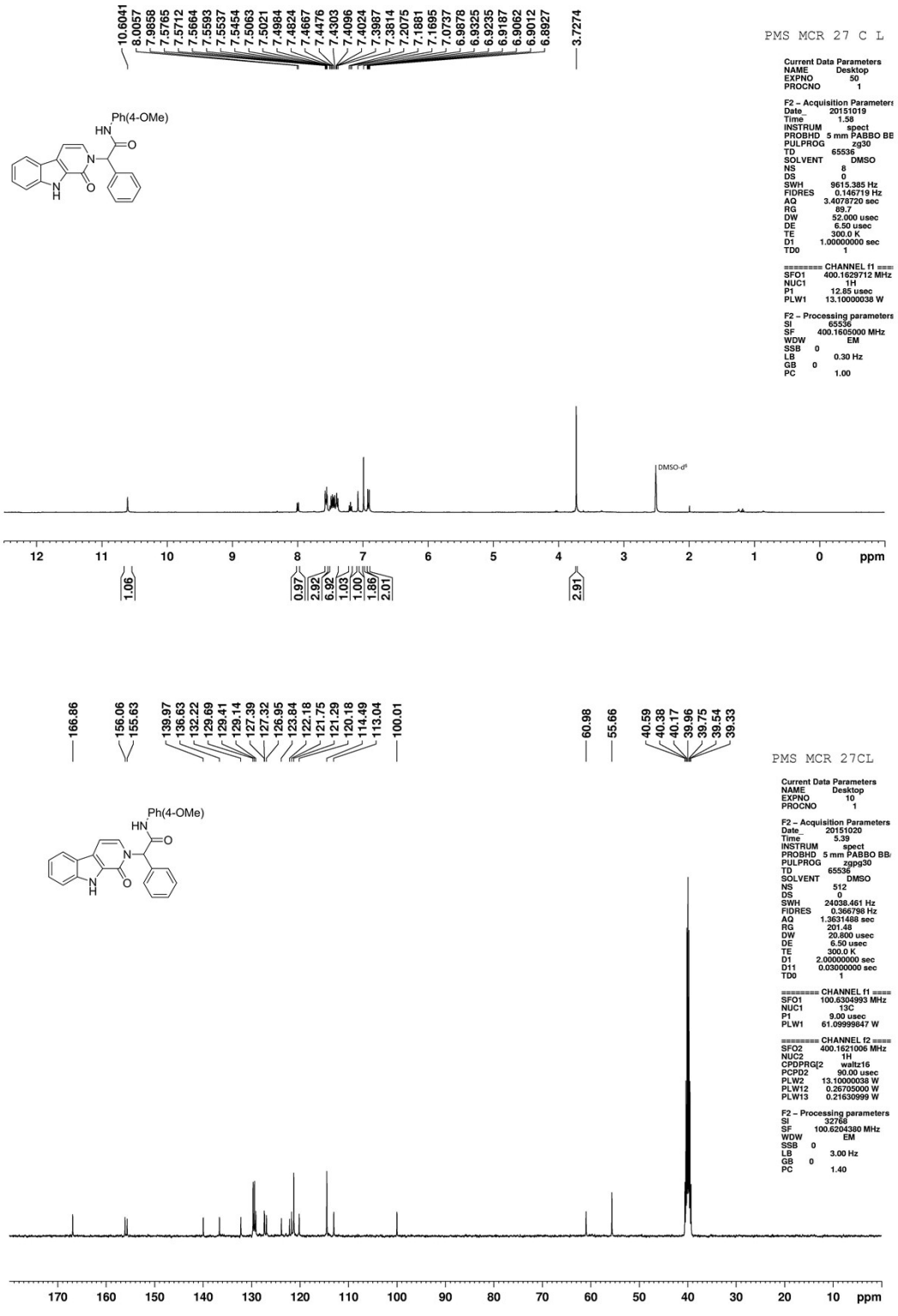


Figure 17. ^{1}H and ^{13}C spectra of compound **9i**

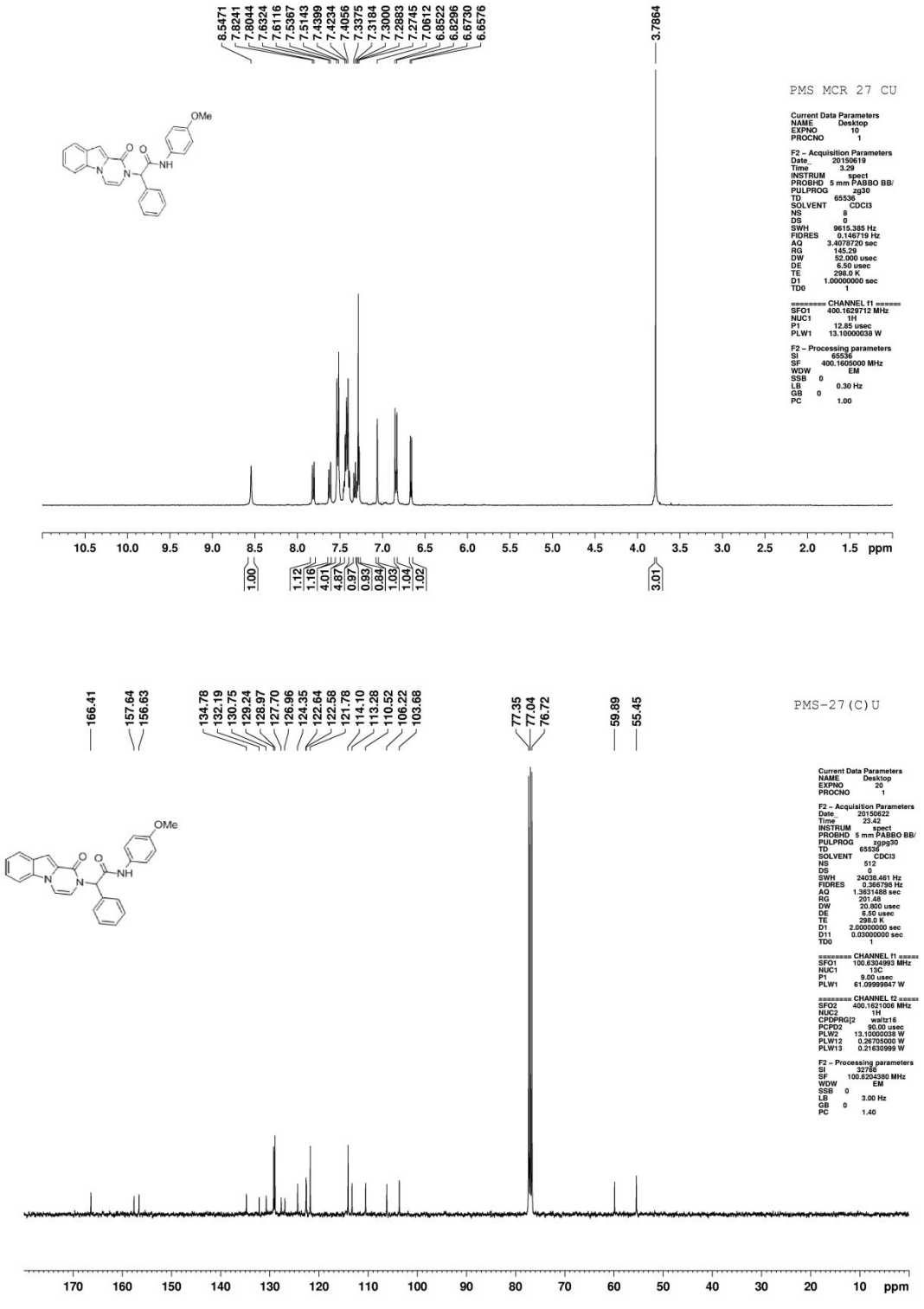


Figure 18. ^{1}H and ^{13}C spectra of compound **10i**

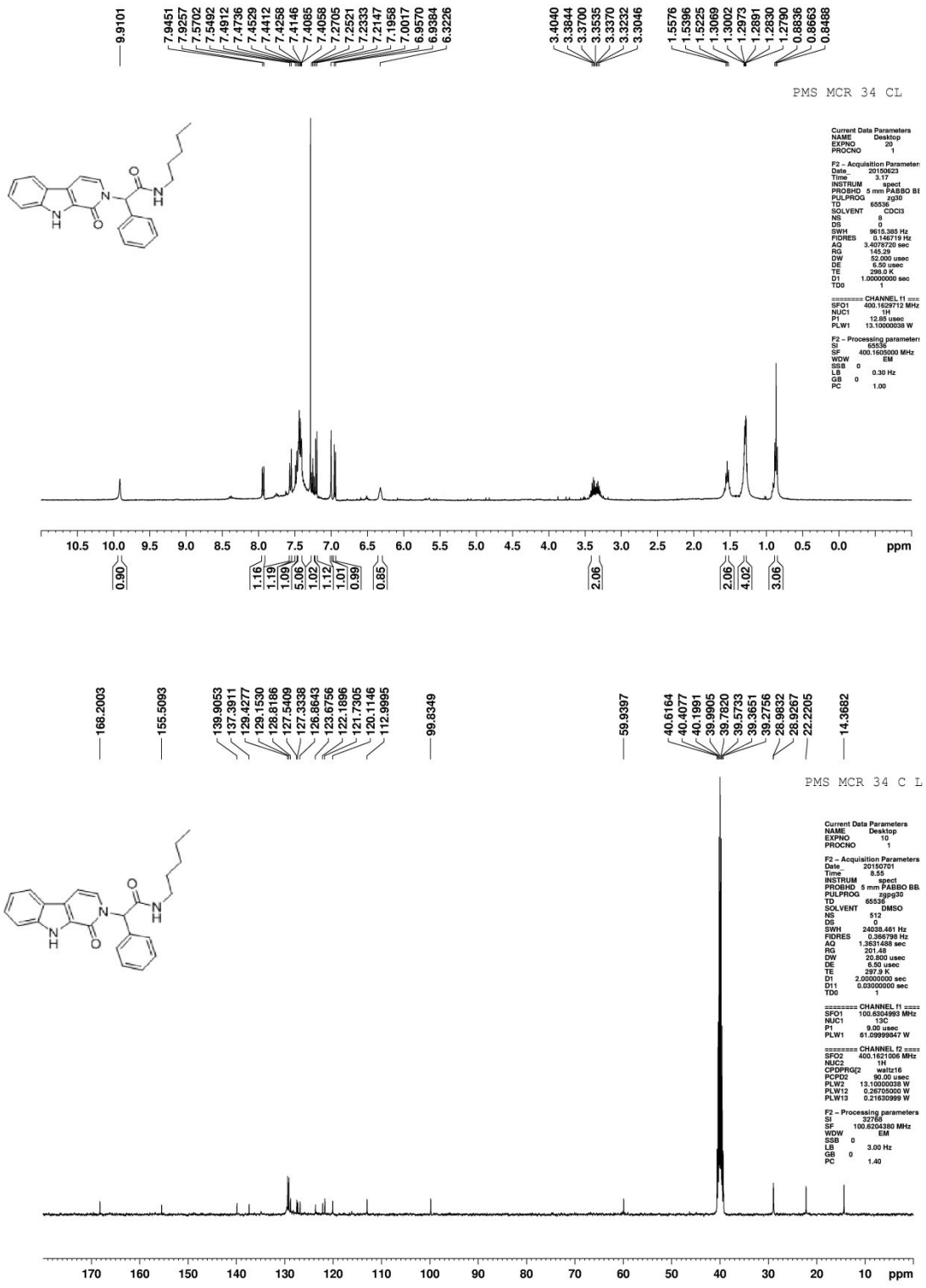


Figure 19. ^{1}H and ^{13}C spectra of compound **9j**

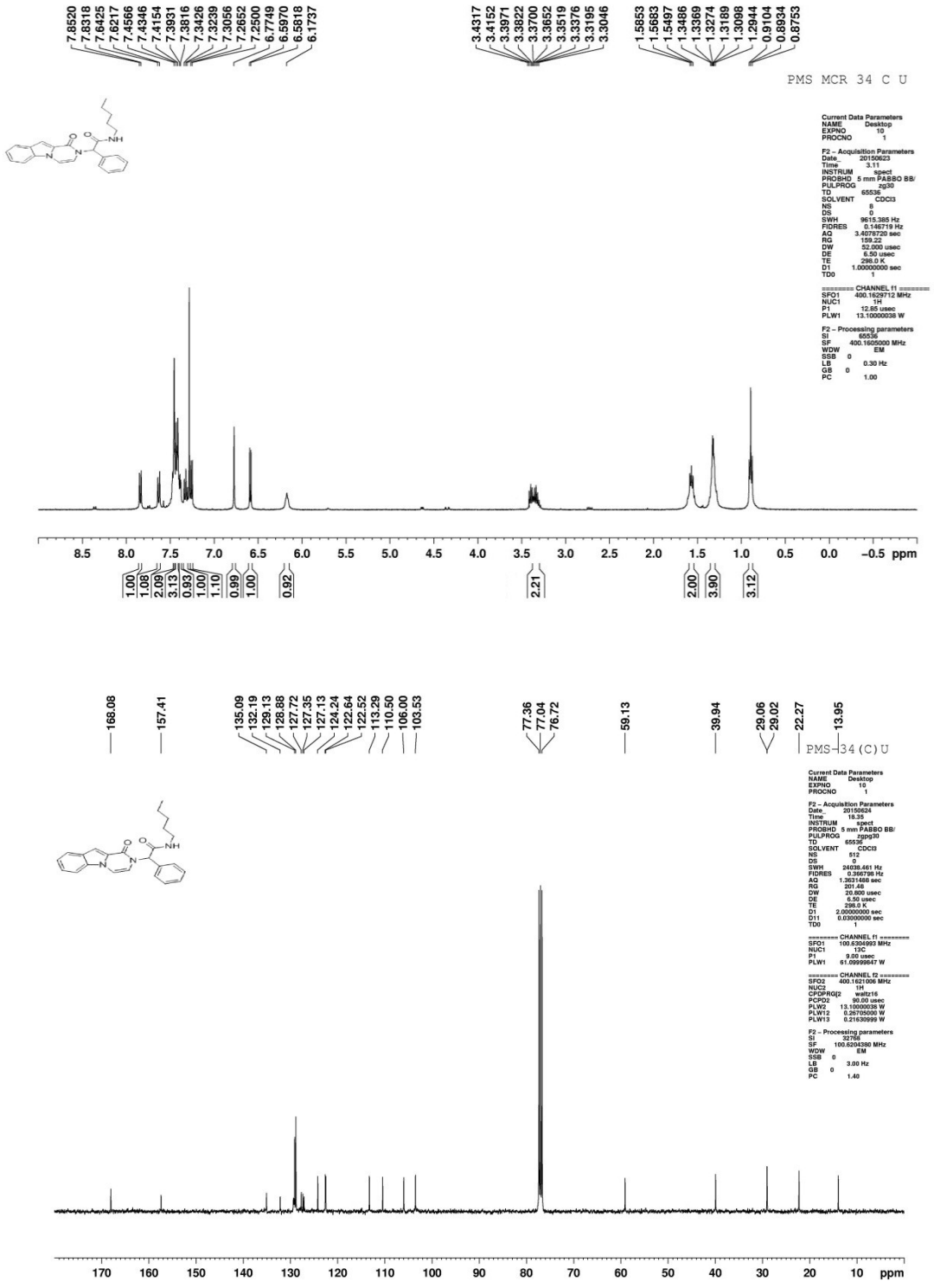


Figure 20. ^{1}H and ^{13}C spectra of compound **10j**

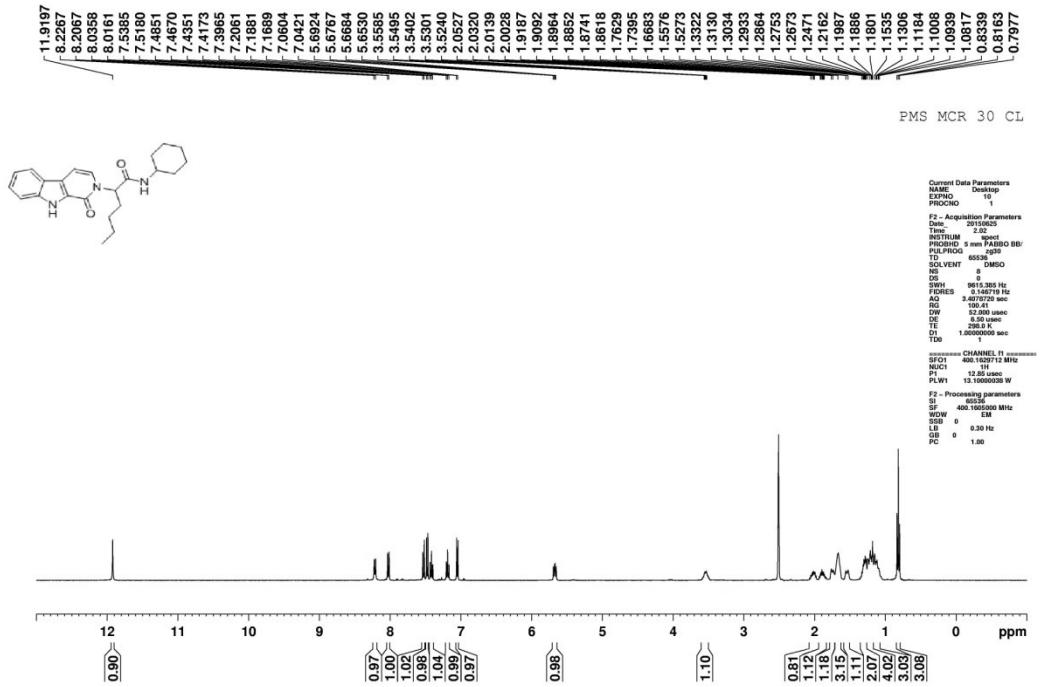


Figure 21. ^{1}H and ^{13}C spectra of compound **9k**

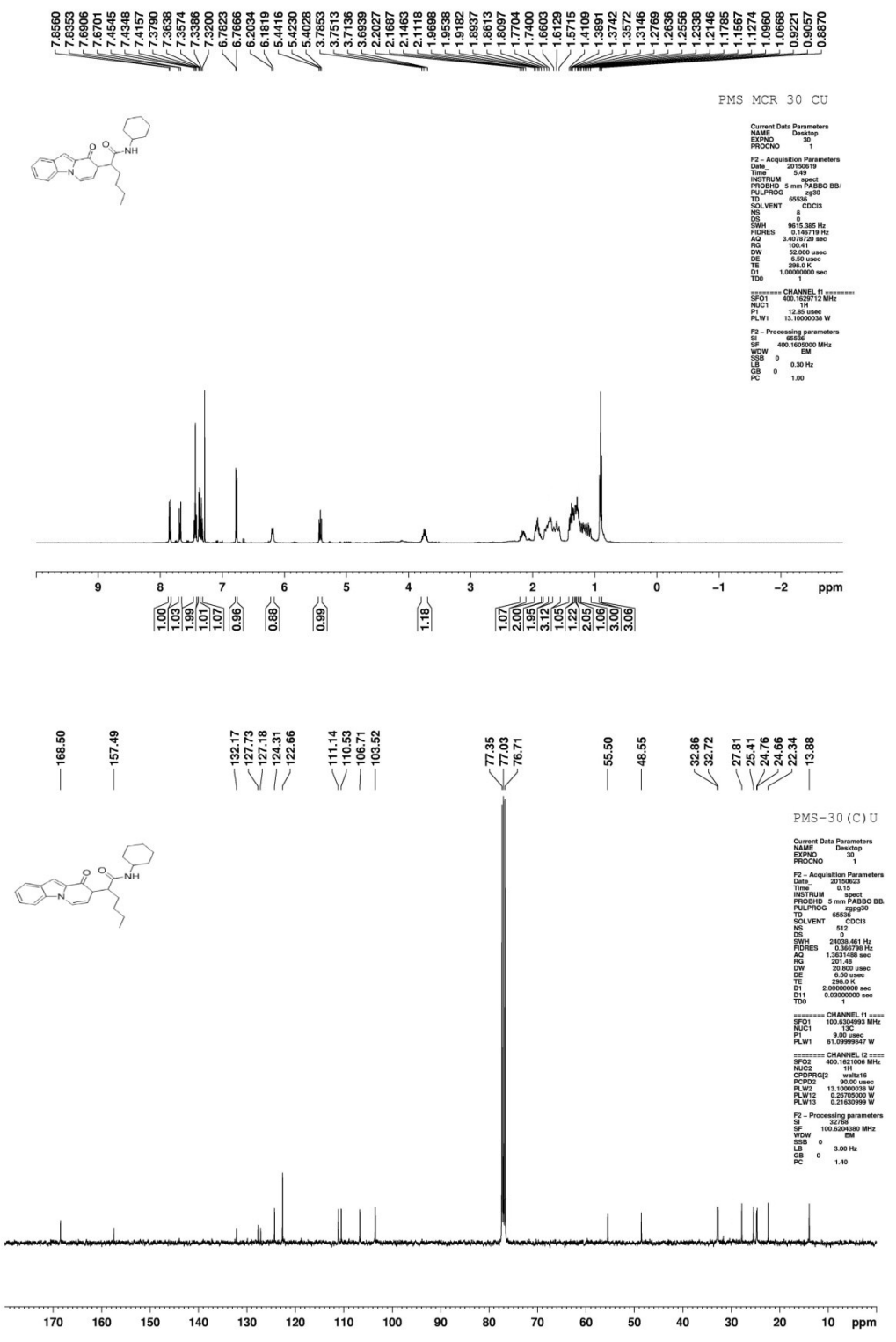


Figure 22. ^{1}H and ^{13}C spectra of compound **10k**

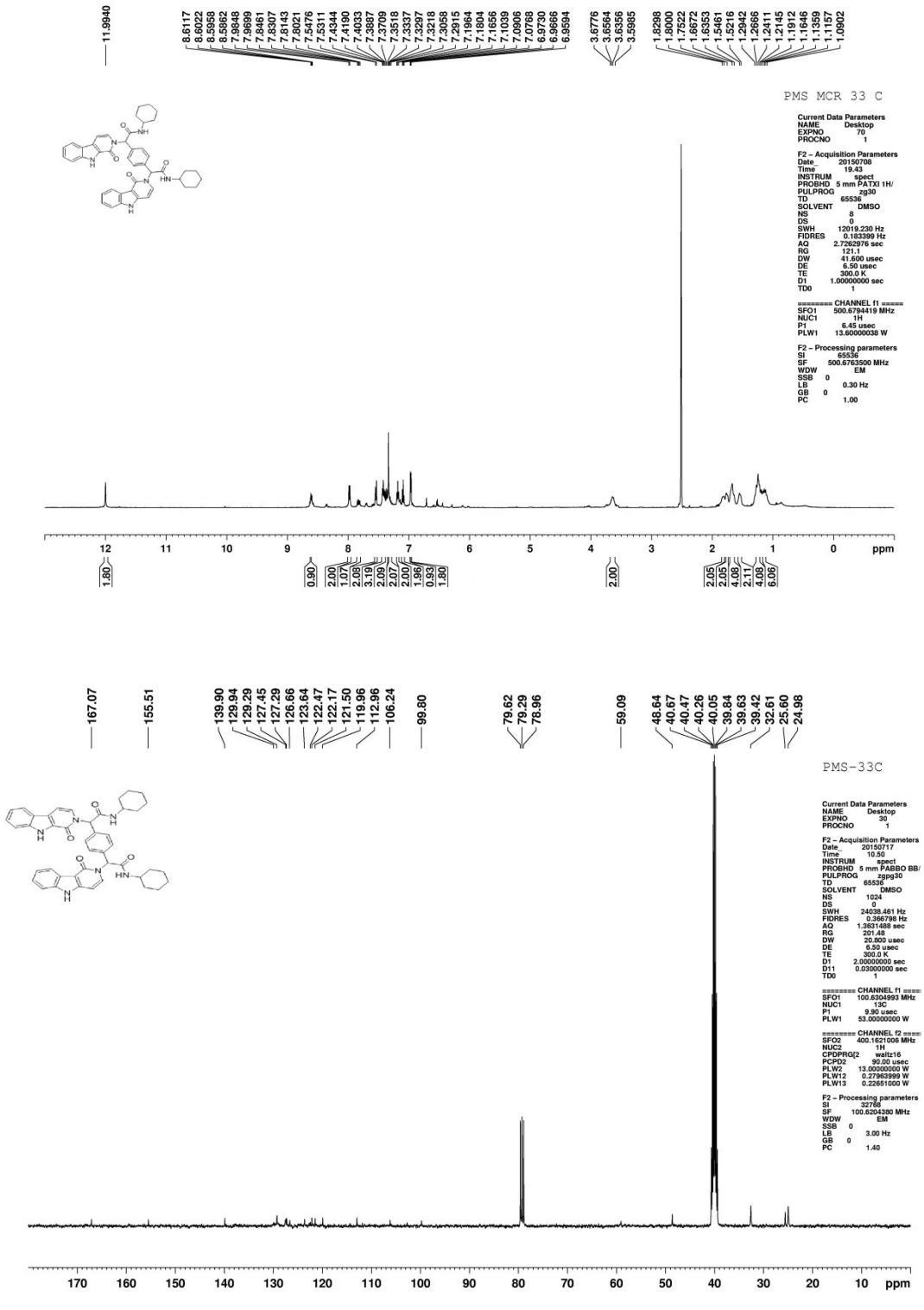


Figure 23. ^{1}H and ^{13}C spectra of compound **9l**

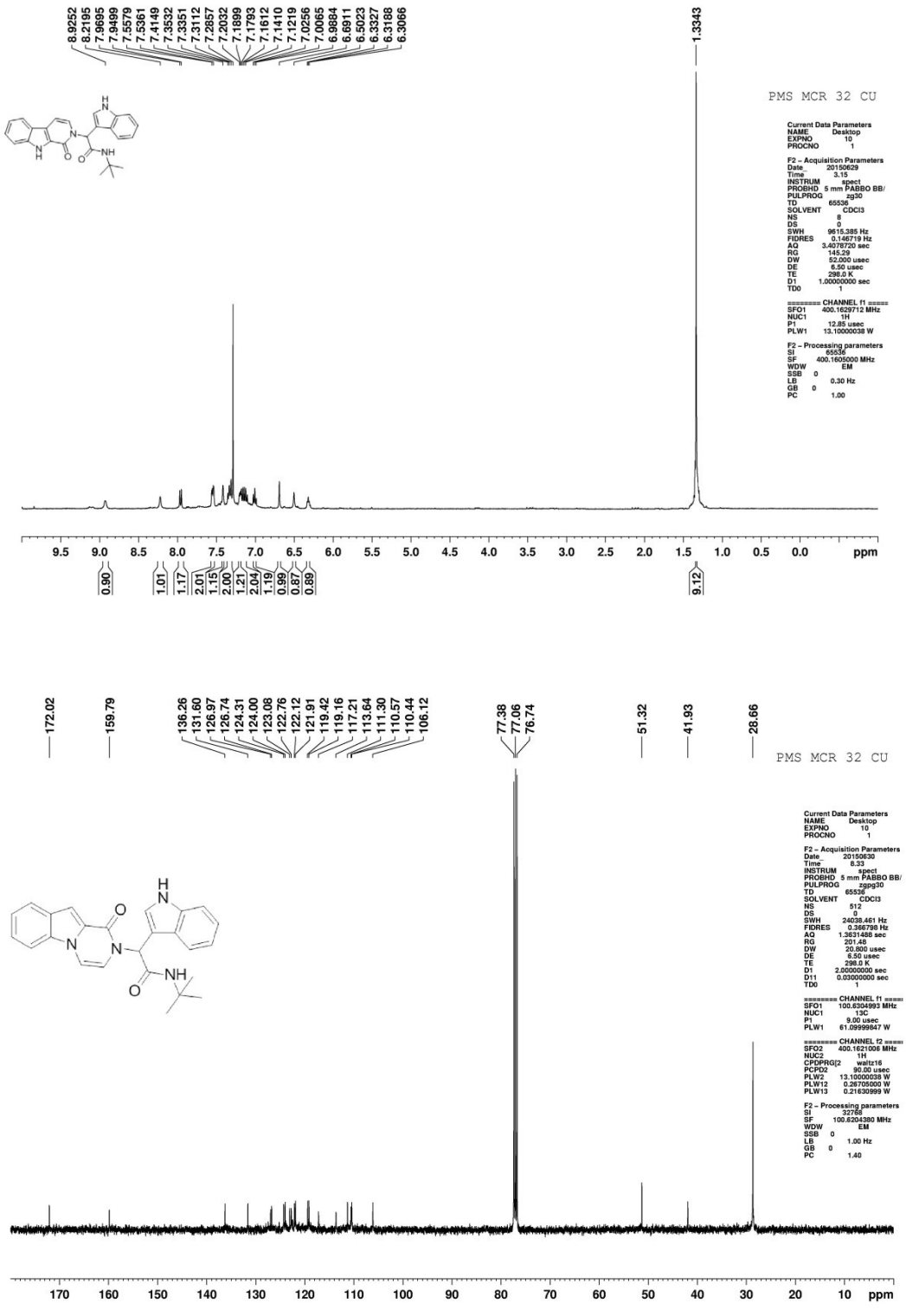


Figure 24. ^{1}H and ^{13}C spectra of compound **10m**

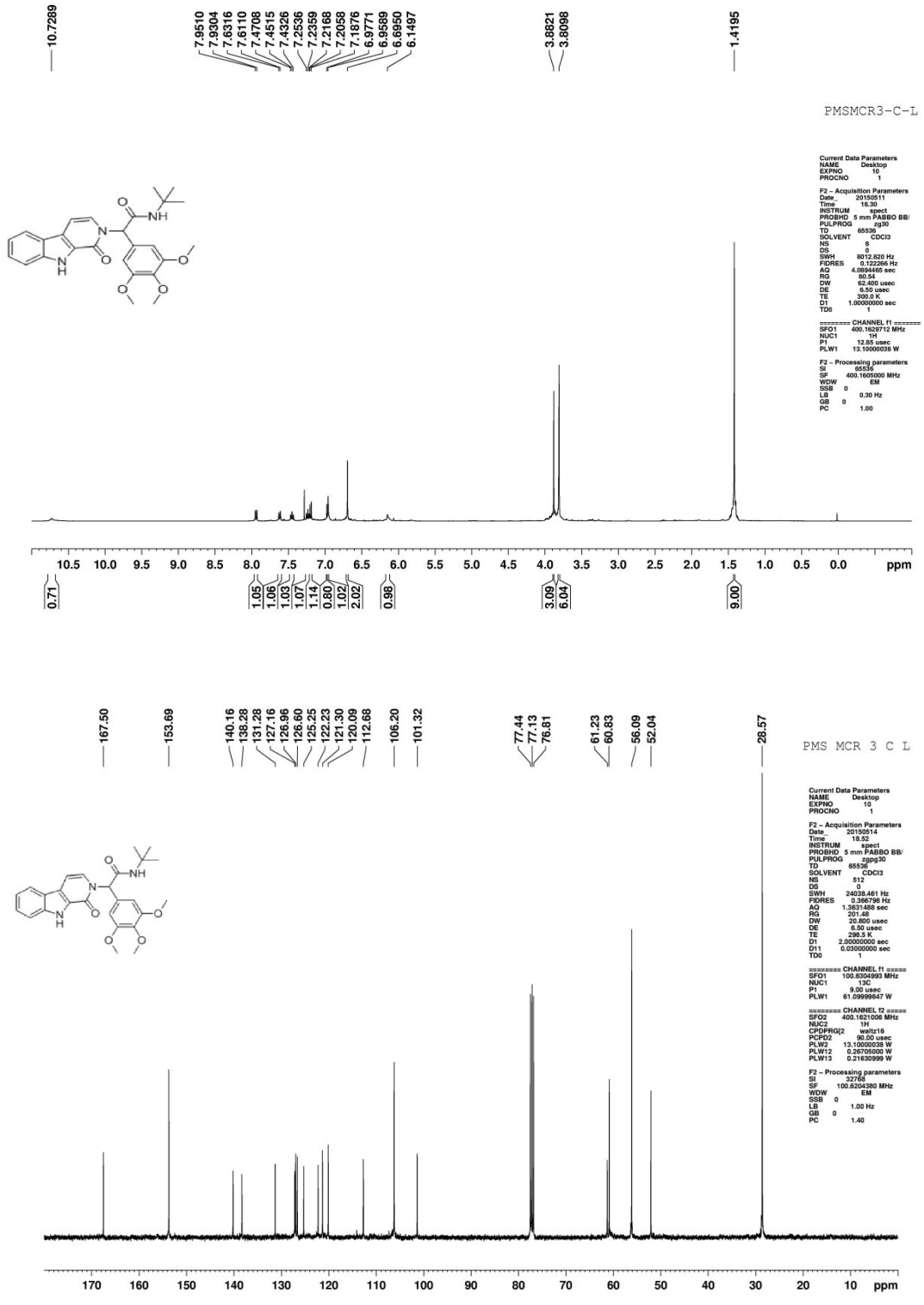


Figure 25. ^{1}H and ^{13}C spectra of compound **9n**

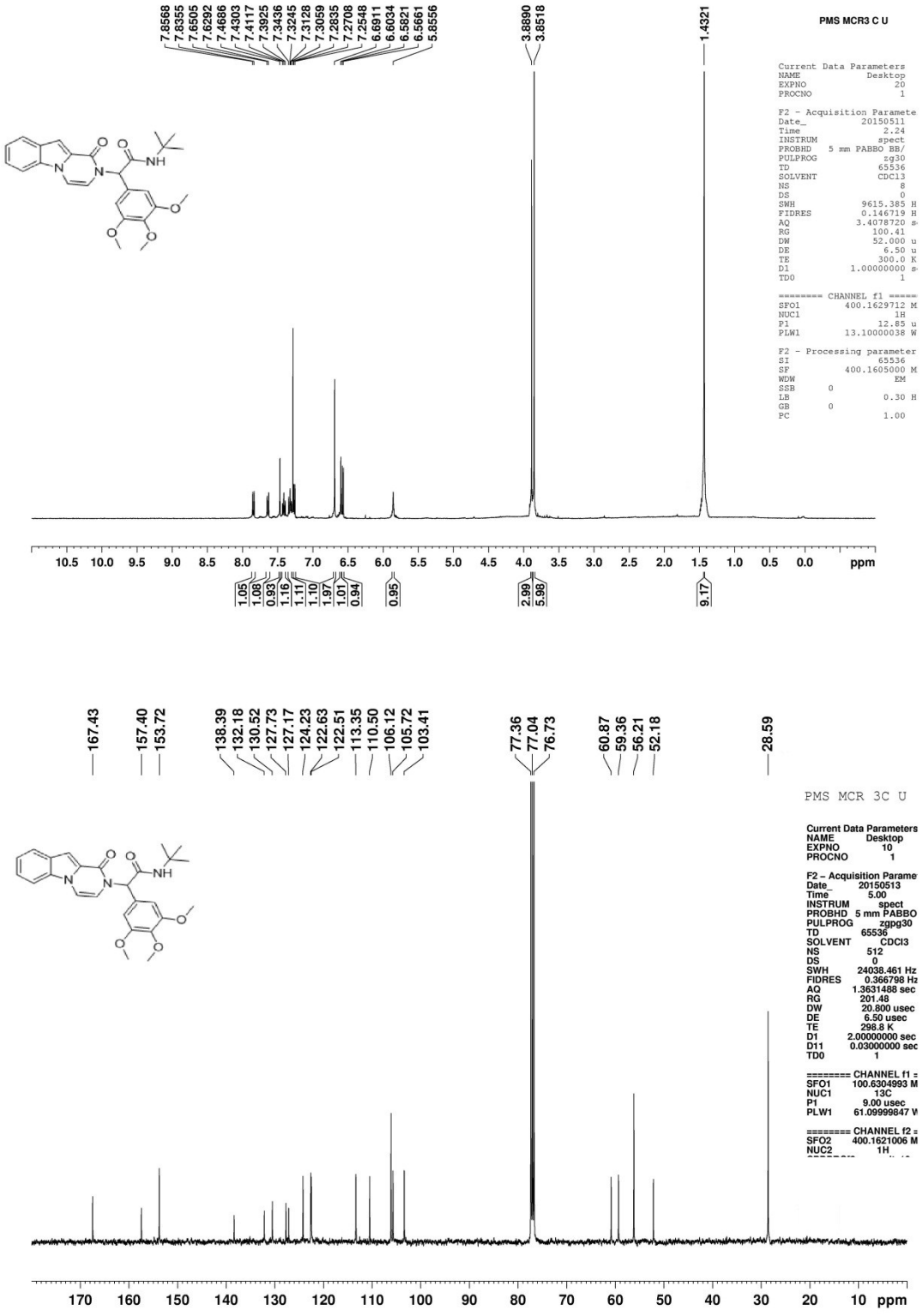


Figure 26. ^{1}H and ^{13}C spectra of compound **10n**

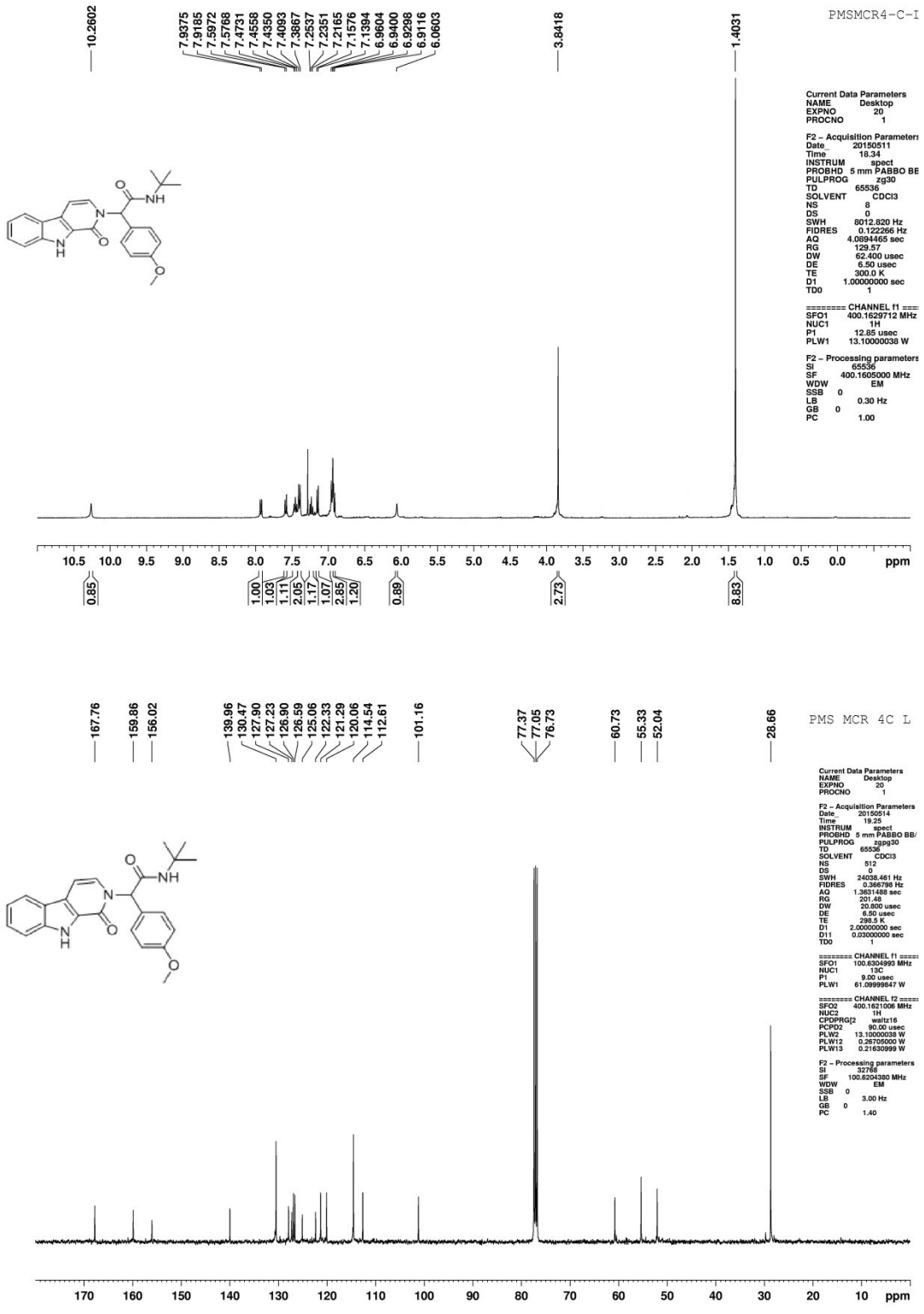


Figure 27. ^{1}H and ^{13}C spectra of compound **9o**

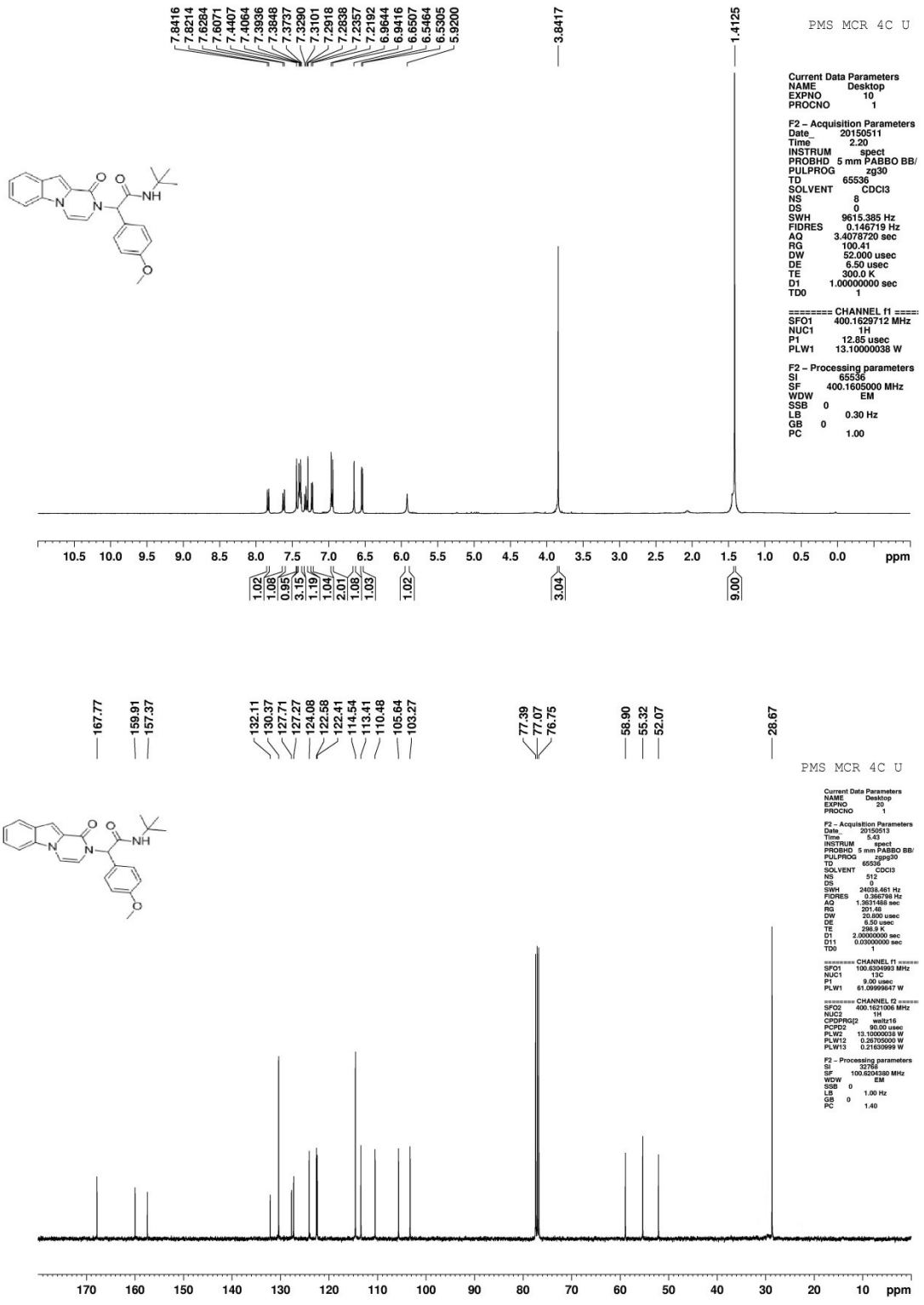


Figure 28. ^{1}H and ^{13}C spectra of compound **10o**

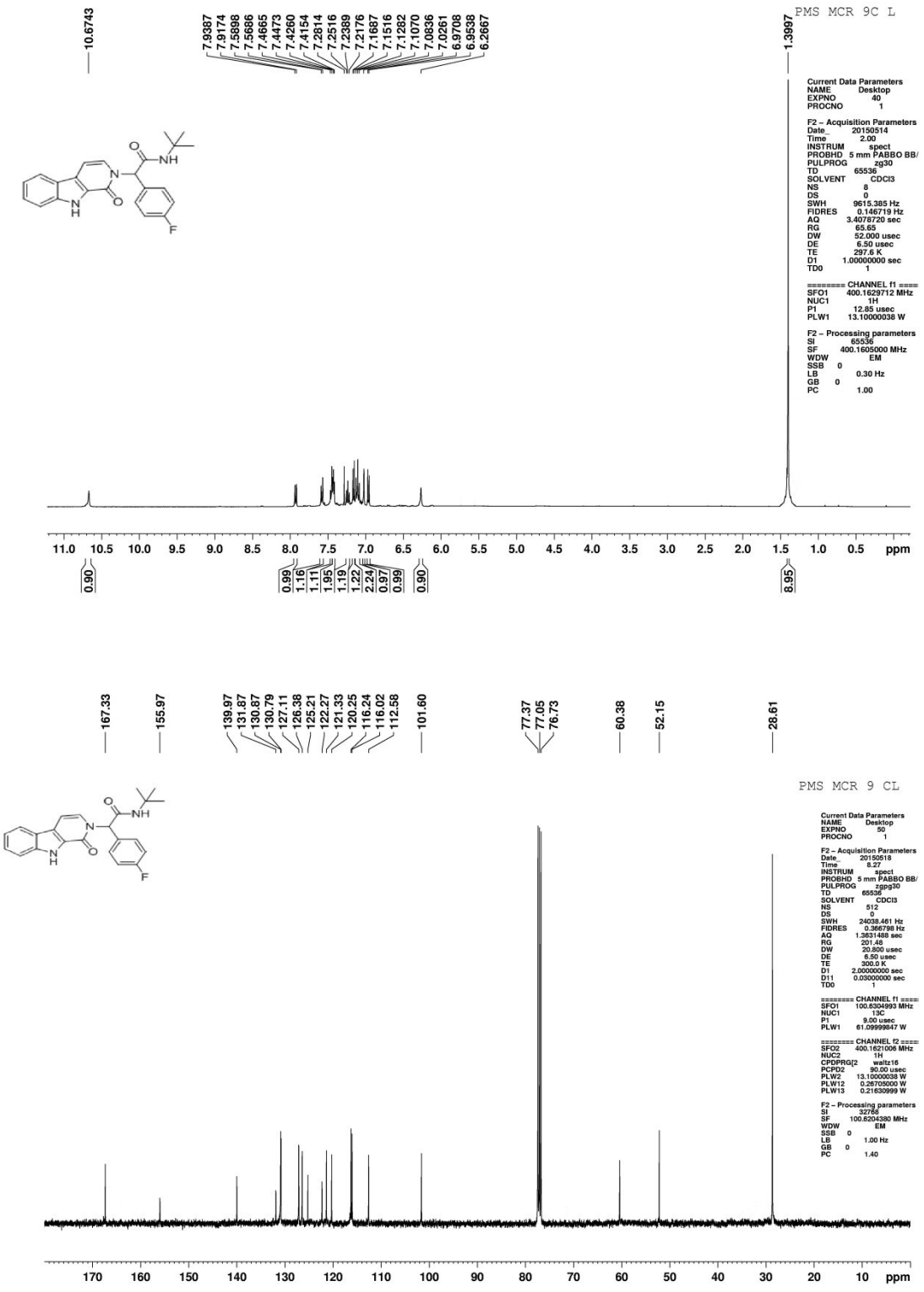


Figure 29. ^{1}H and ^{13}C spectra of compound **9p**

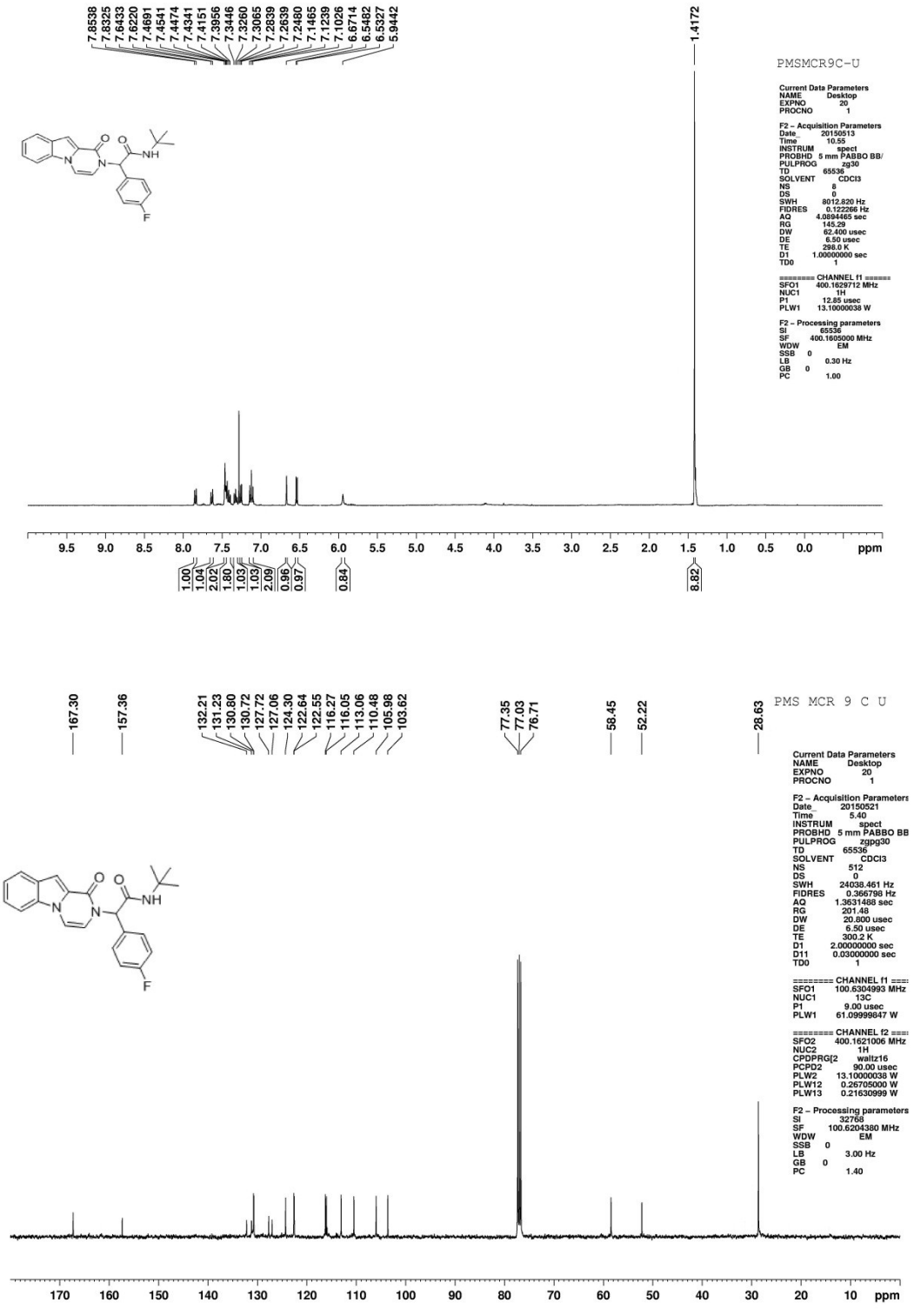


Figure 30. ^{1}H and ^{13}C spectra of compound **10p**

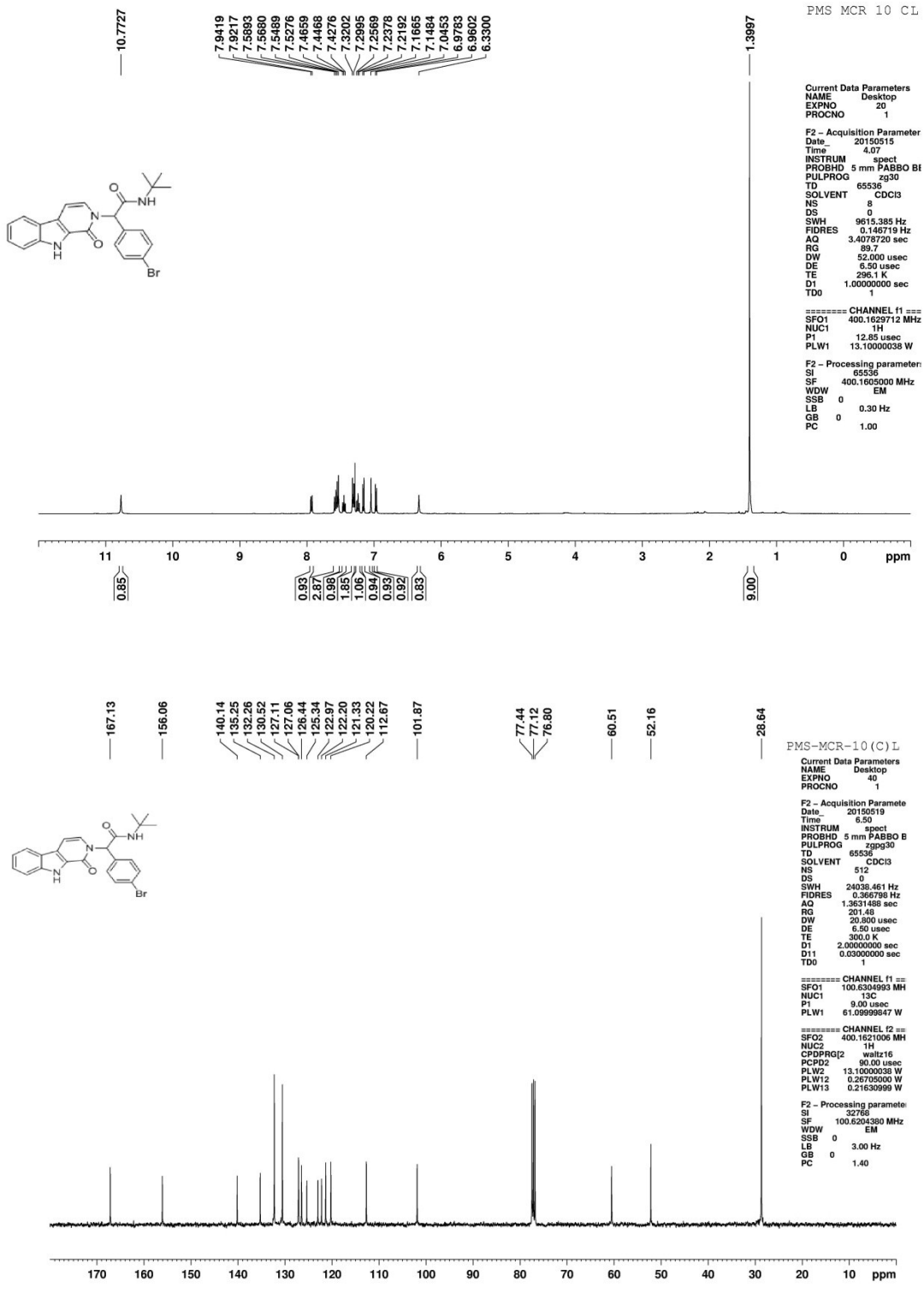


Figure 31. ^{1}H and ^{13}C spectra of compound **9q**

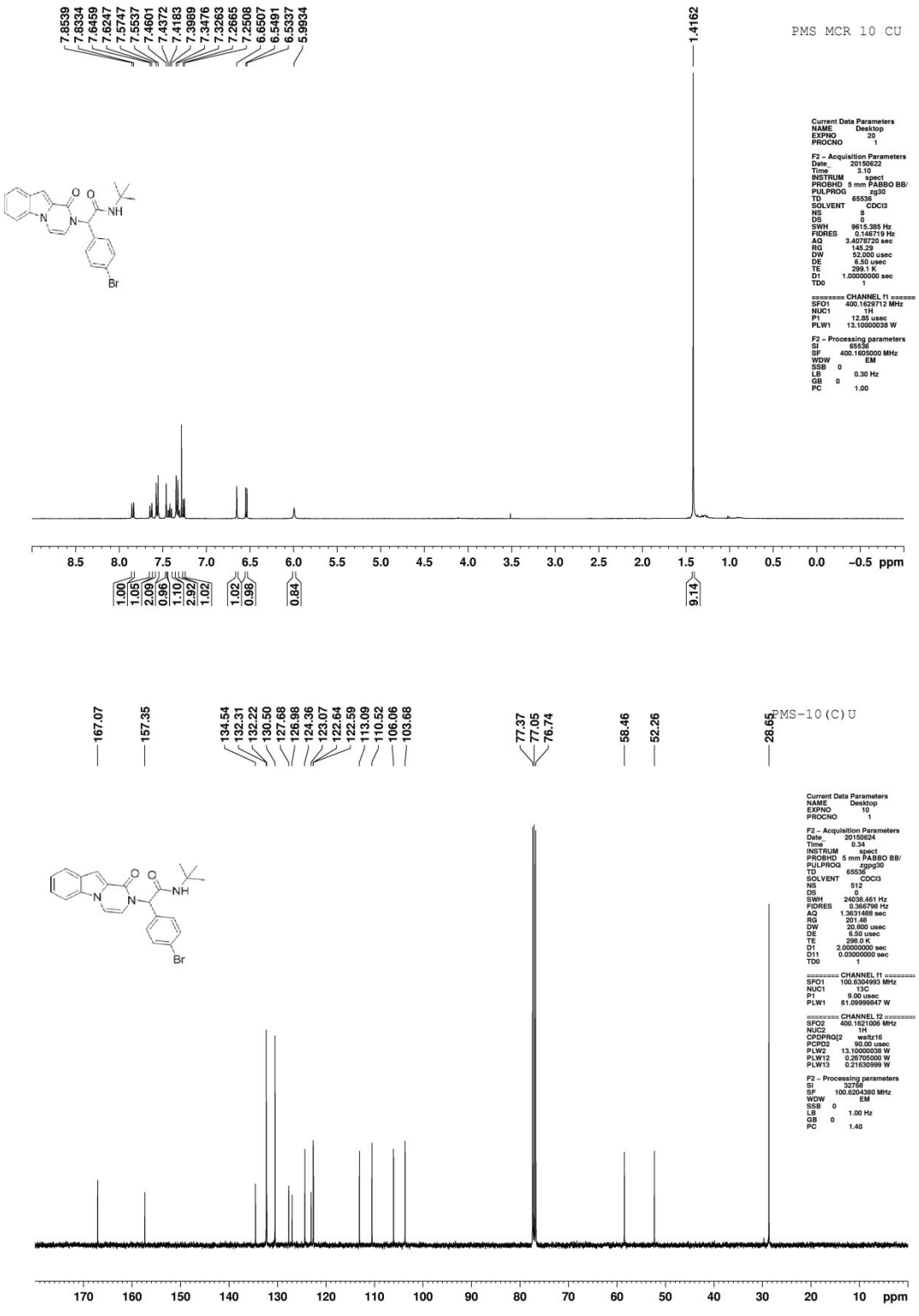


Figure 32. ^{1}H and ^{13}C spectra of compound **10q**

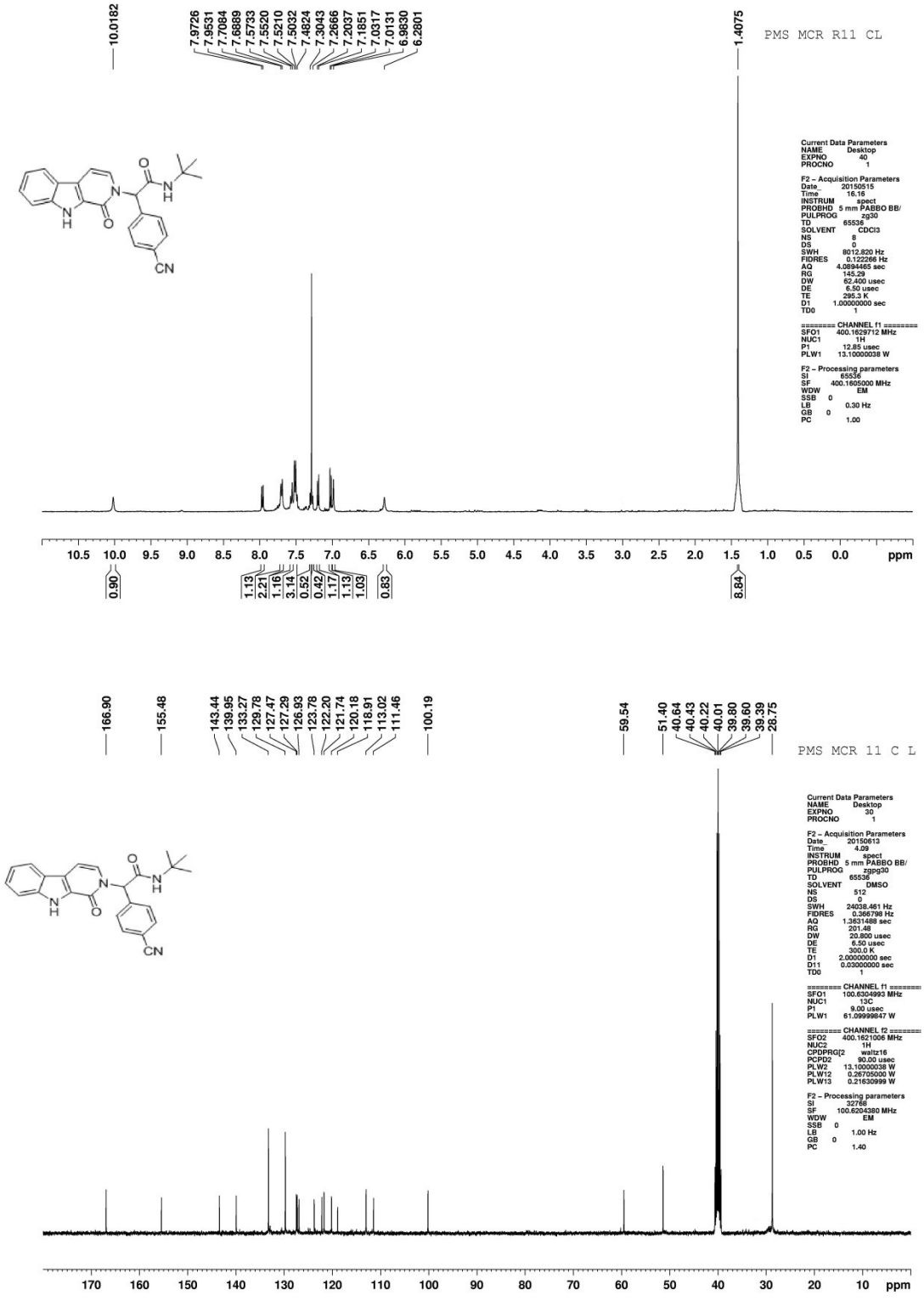


Figure 33. ^{1}H and ^{13}C spectra of compound **9r**

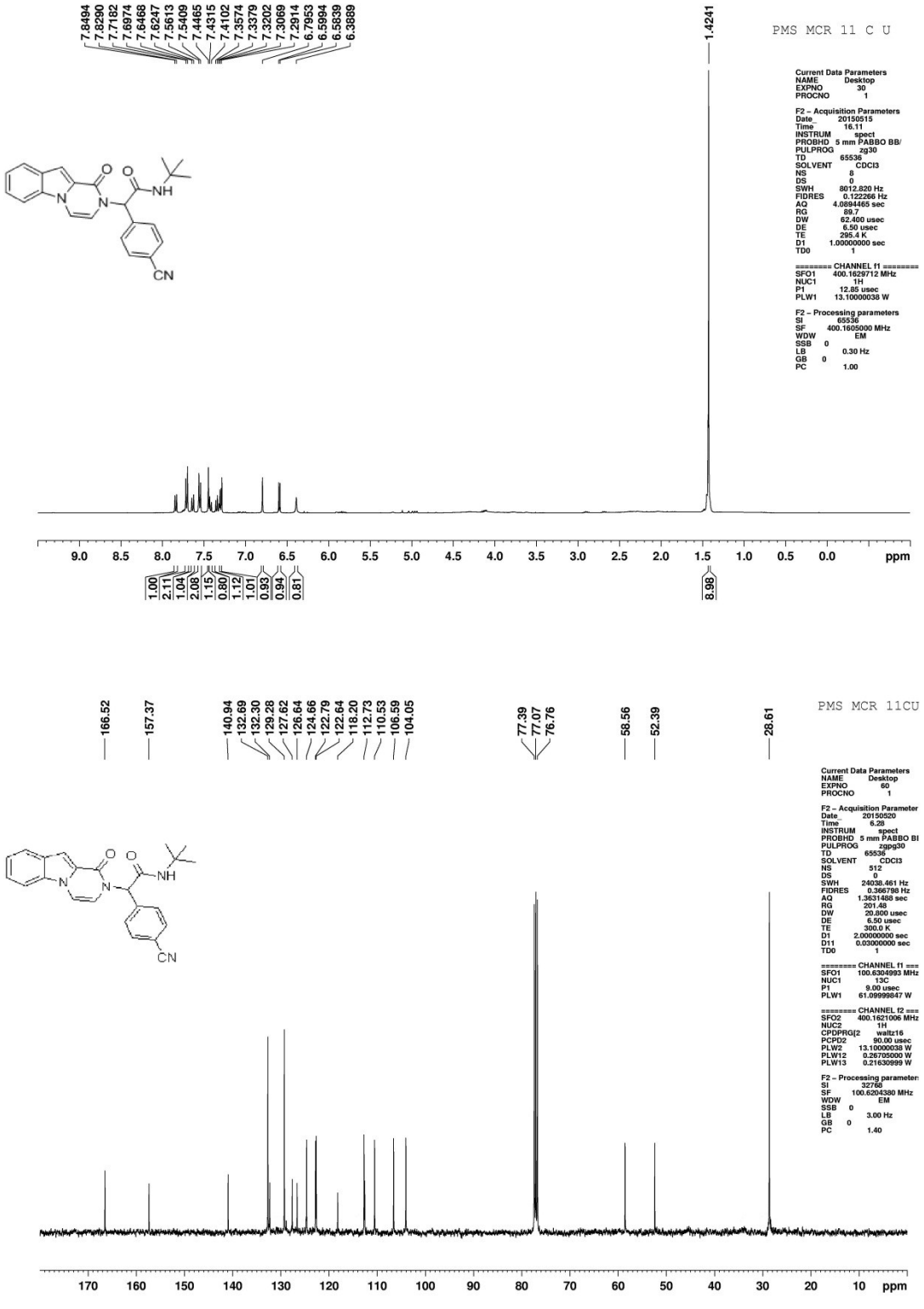


Figure 34. ^{1}H and ^{13}C spectra of compound **10r**

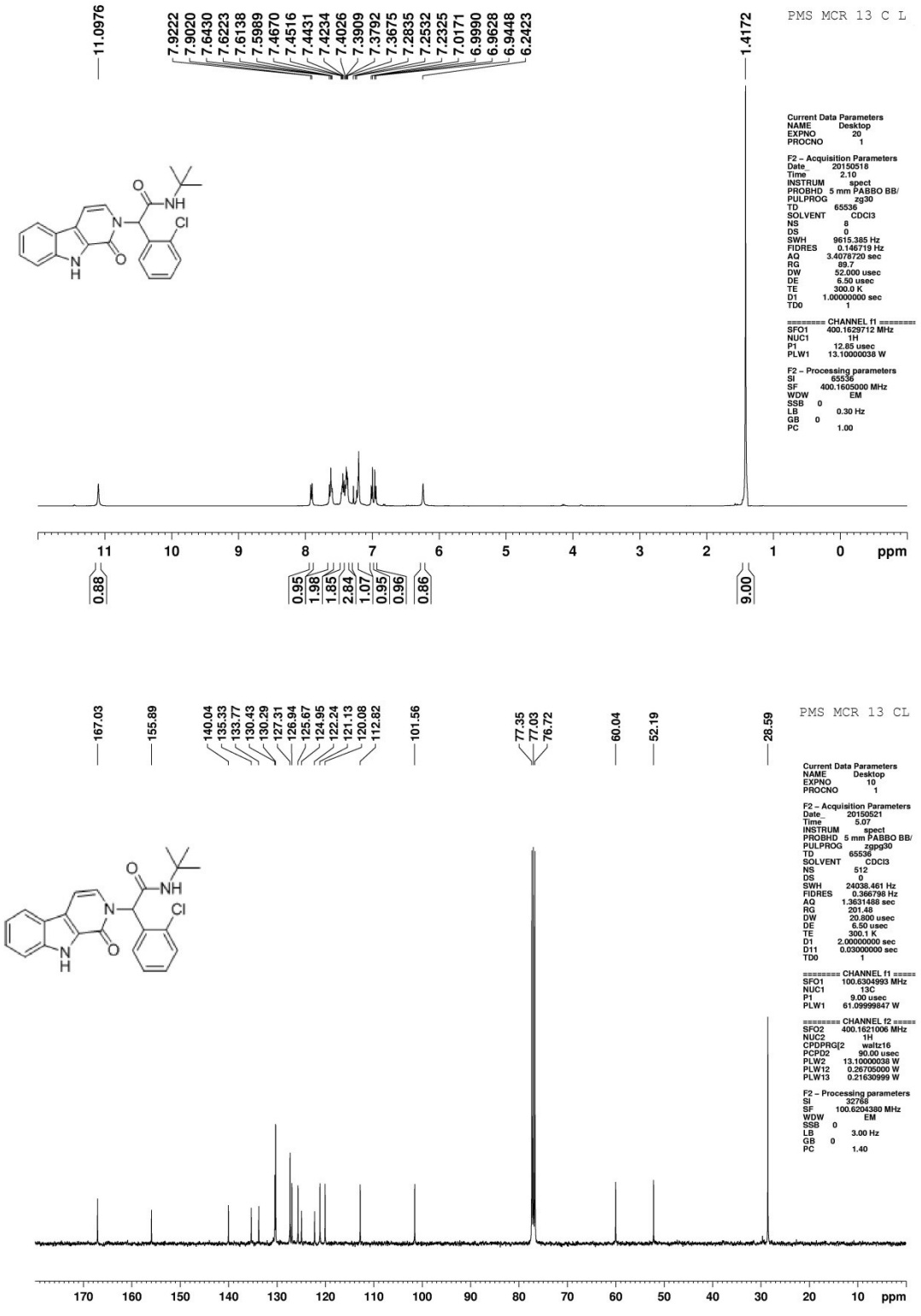


Figure 35. ^{1}H and ^{13}C spectra of compound **9s**

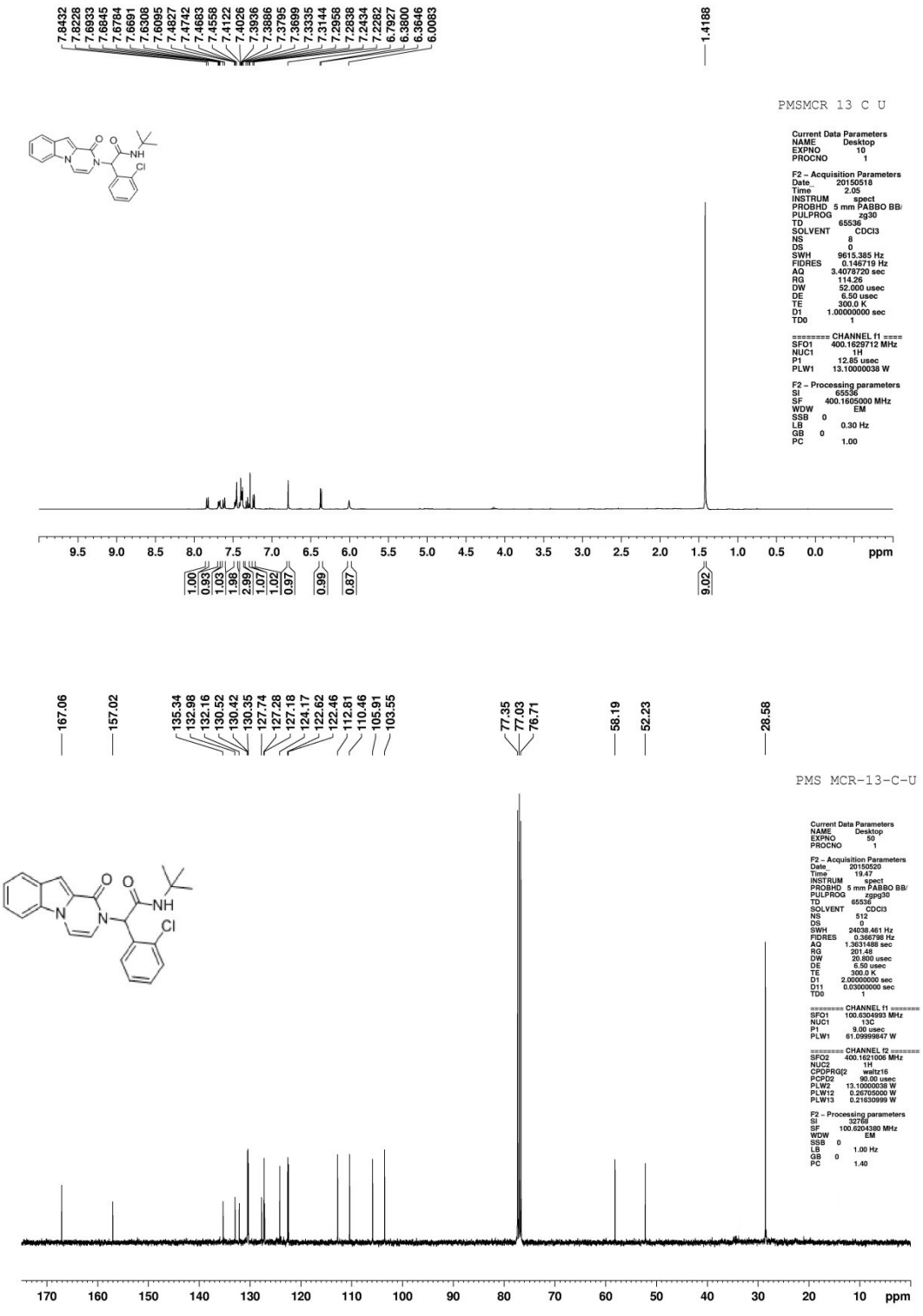


Figure 36. ^{1}H and ^{13}C spectra of compound **10s**

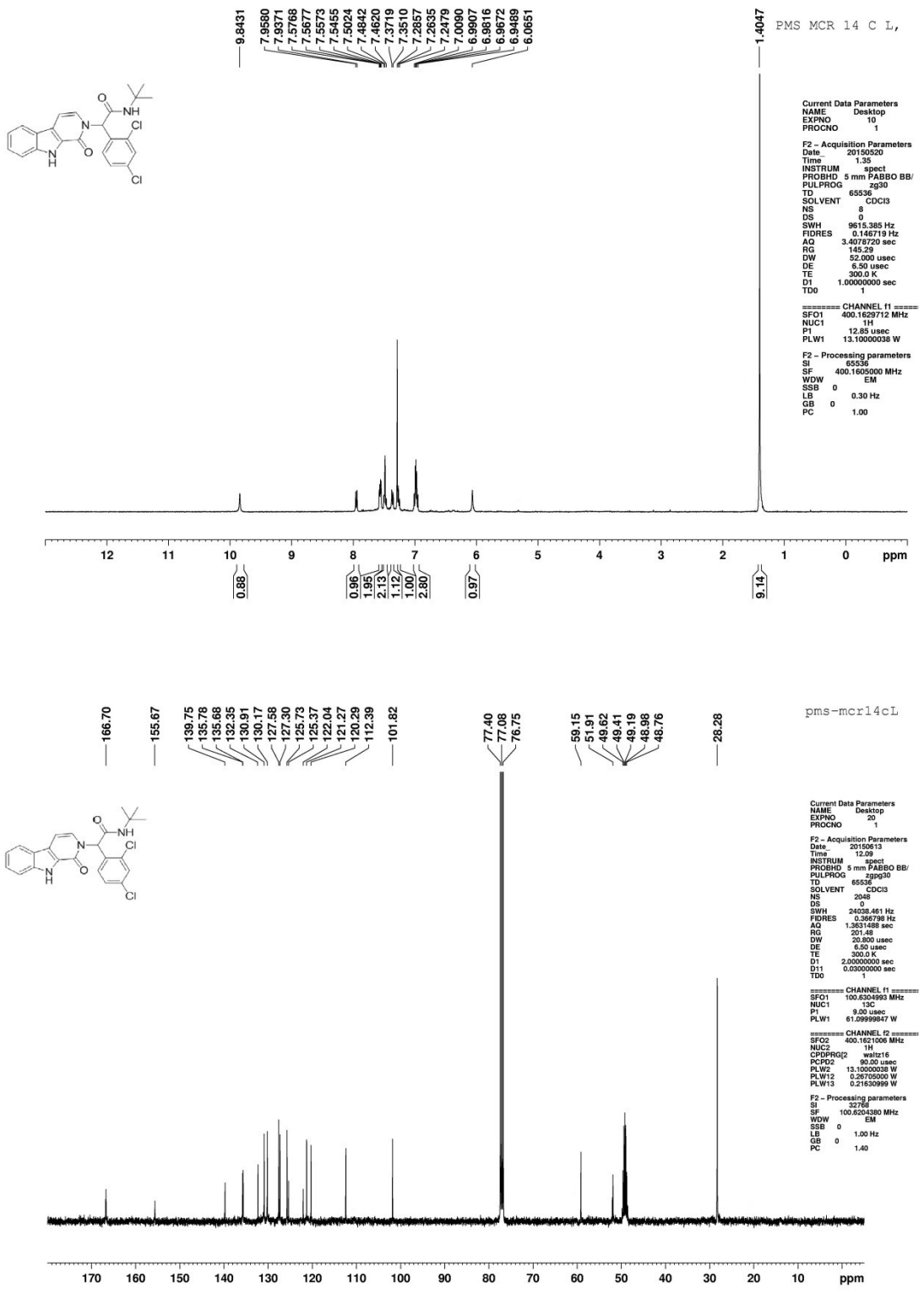


Figure 37. ^{1}H and ^{13}C spectra of compound **9t**

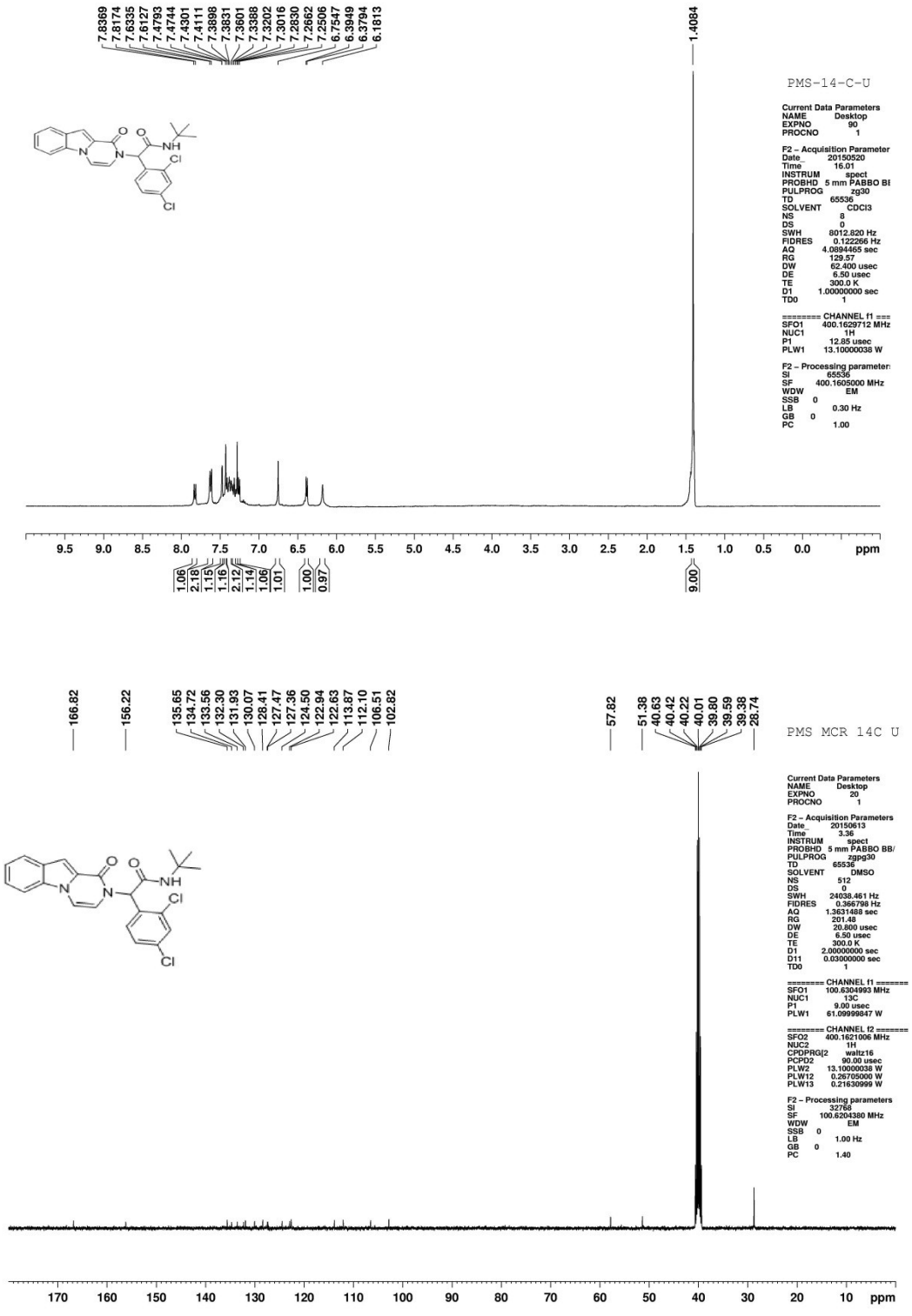


Figure 38. ^{1}H and ^{13}C spectra of compound **10t**

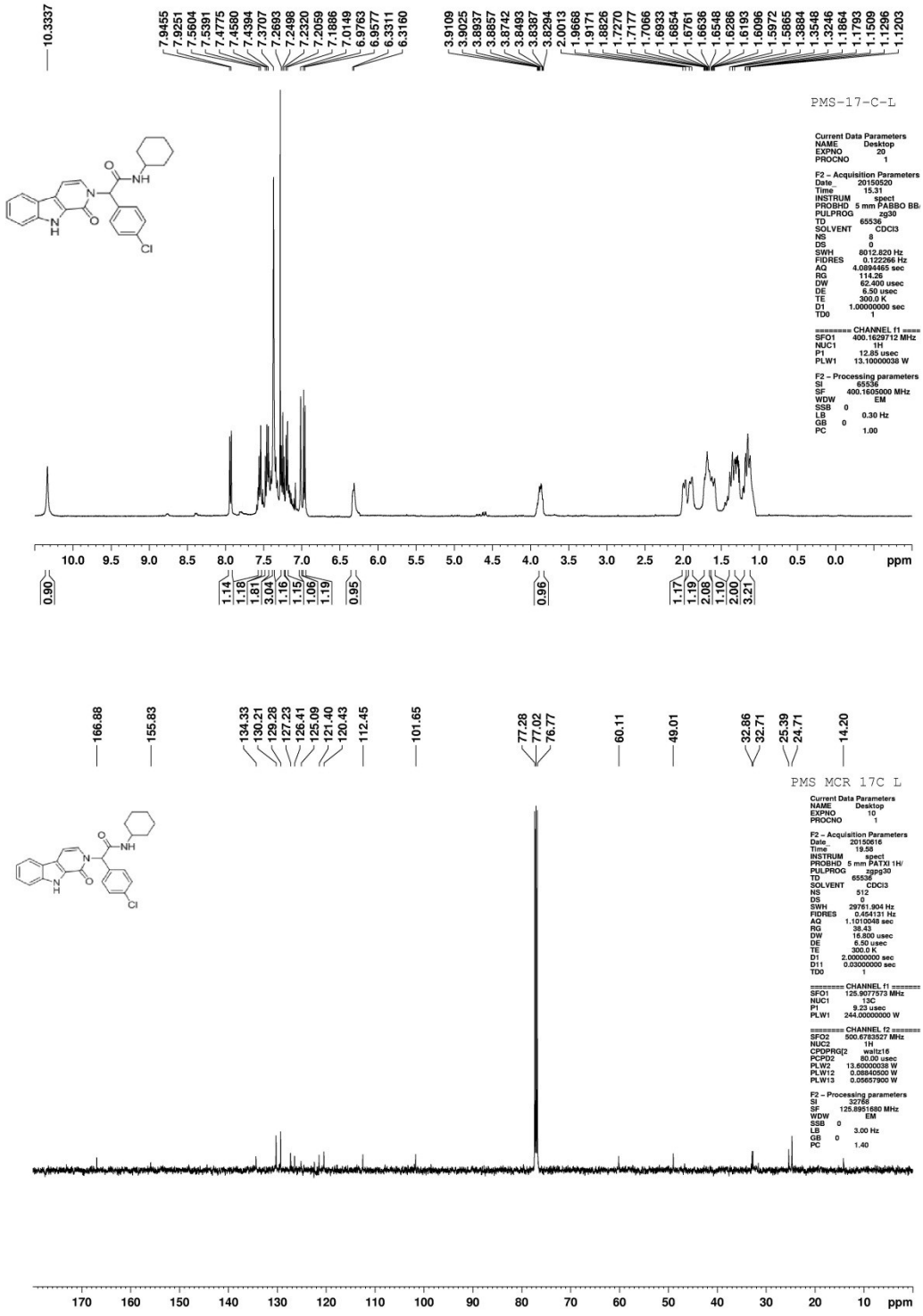


Figure 39. ^{1}H and ^{13}C spectra of compound **9u**

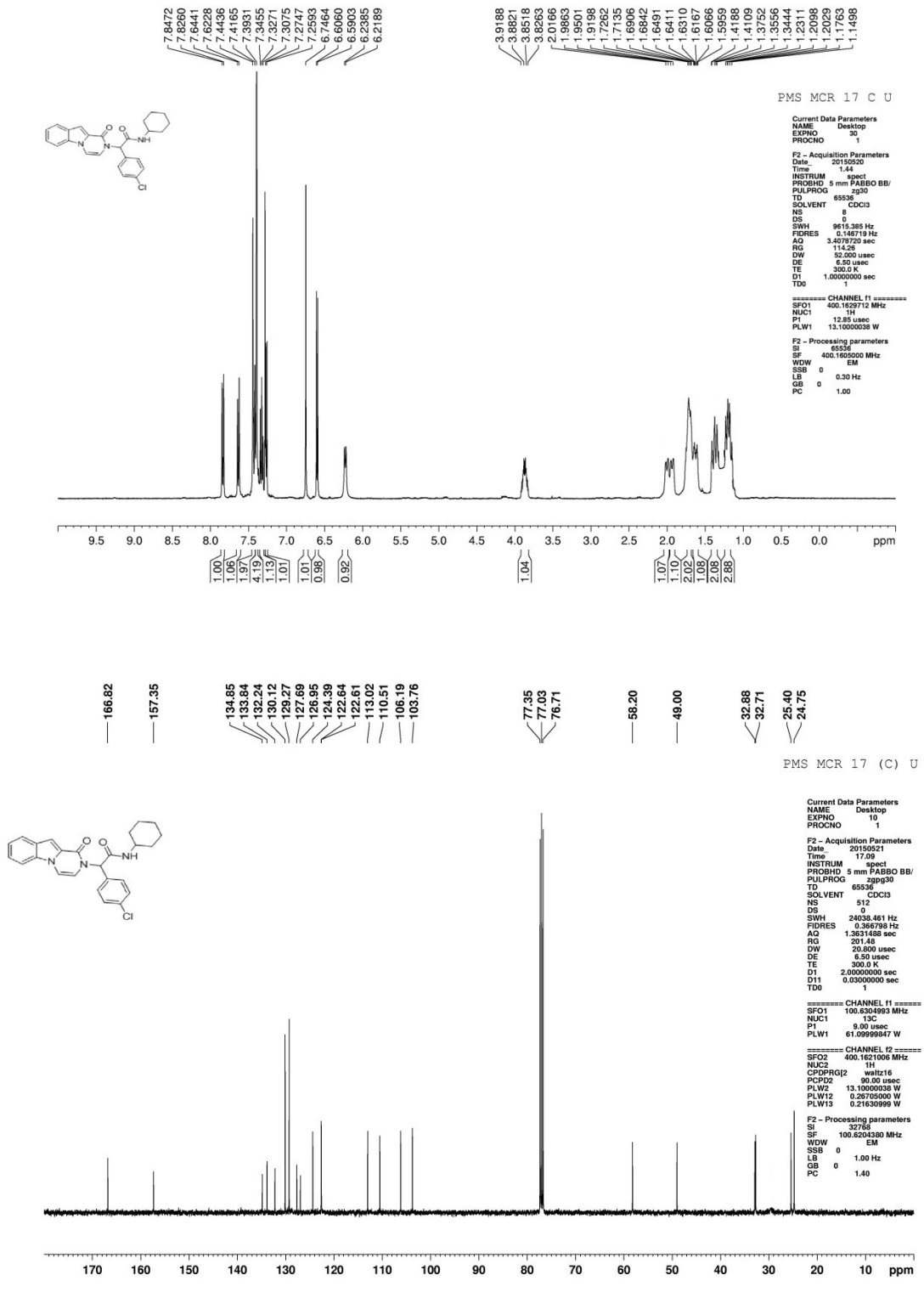


Figure 40. ^{1}H and ^{13}C spectra of compound **10u**

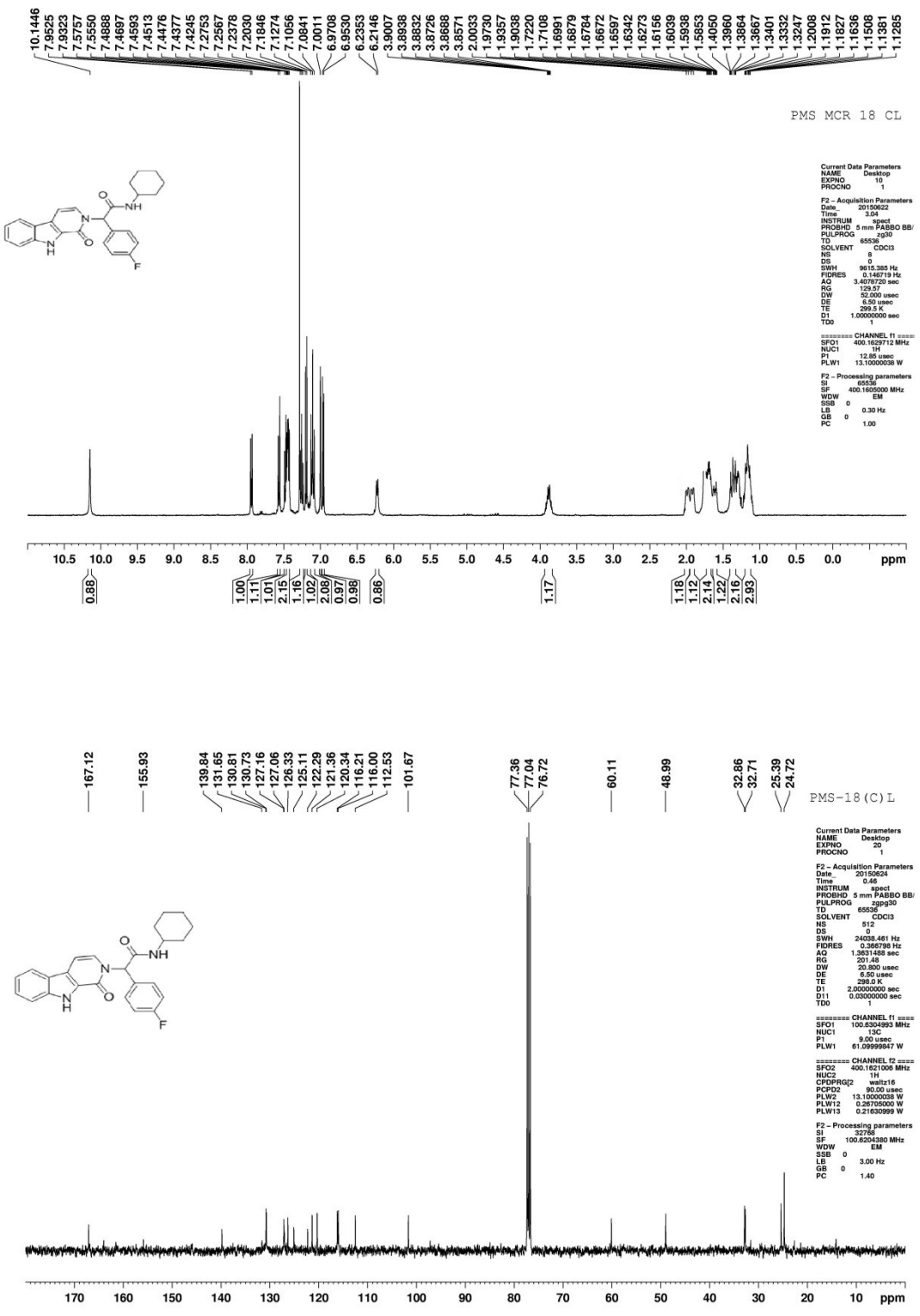


Figure 41. ^{1}H and ^{13}C spectra of compound **9v**

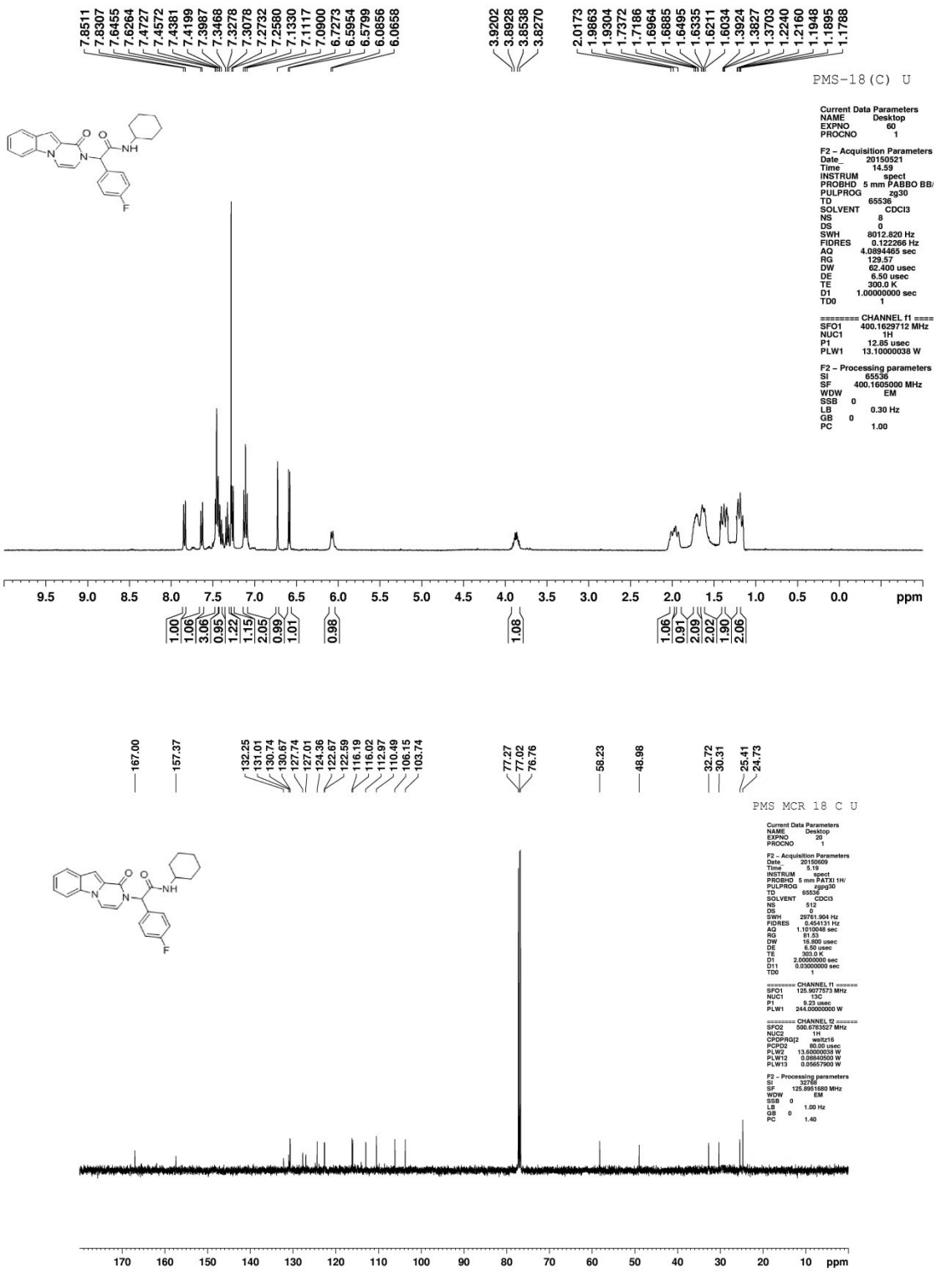


Figure 42. ^{1}H and ^{13}C spectra of compound **10v**

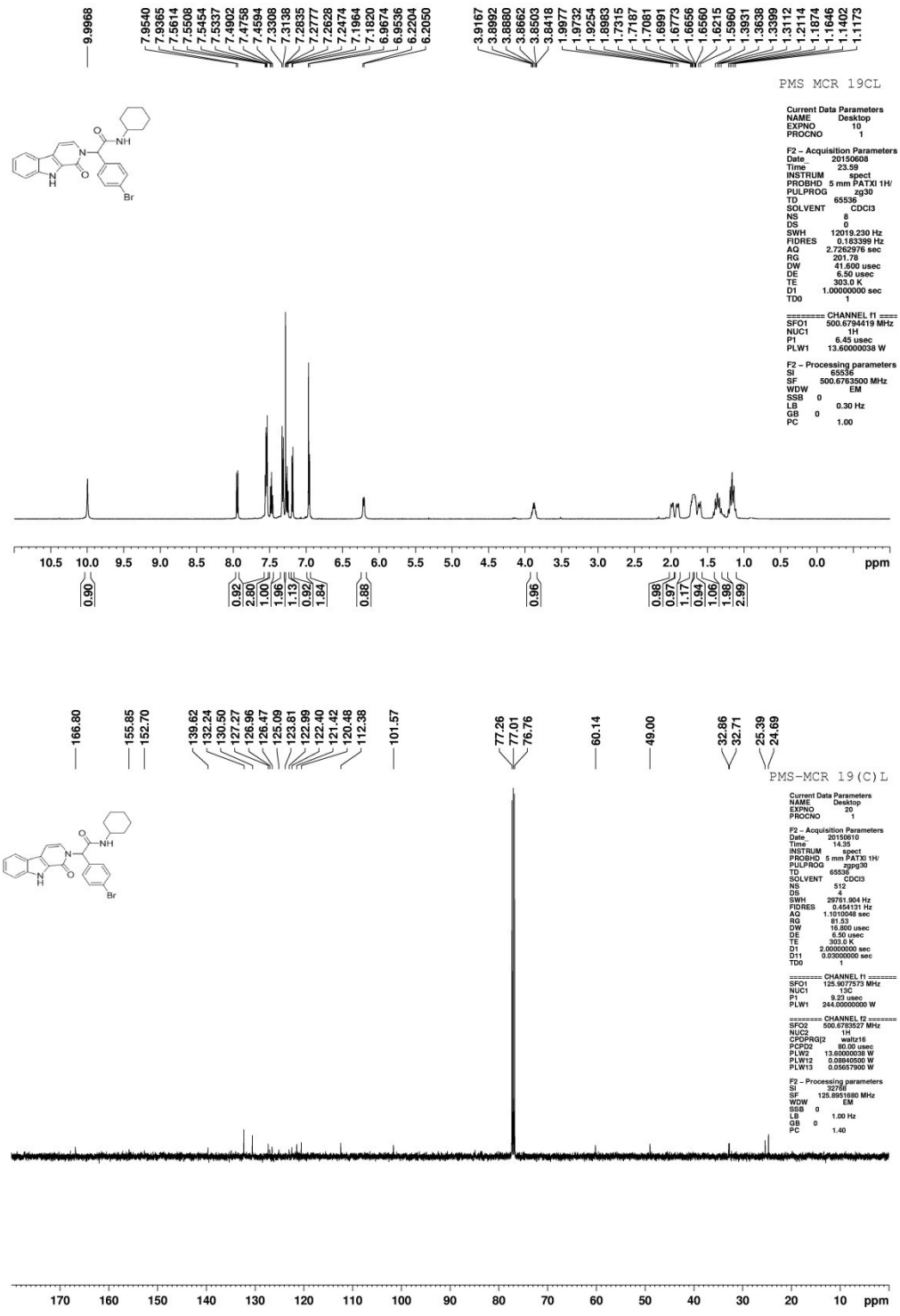


Figure 43. ^{1}H and ^{13}C spectra of compound **9w**

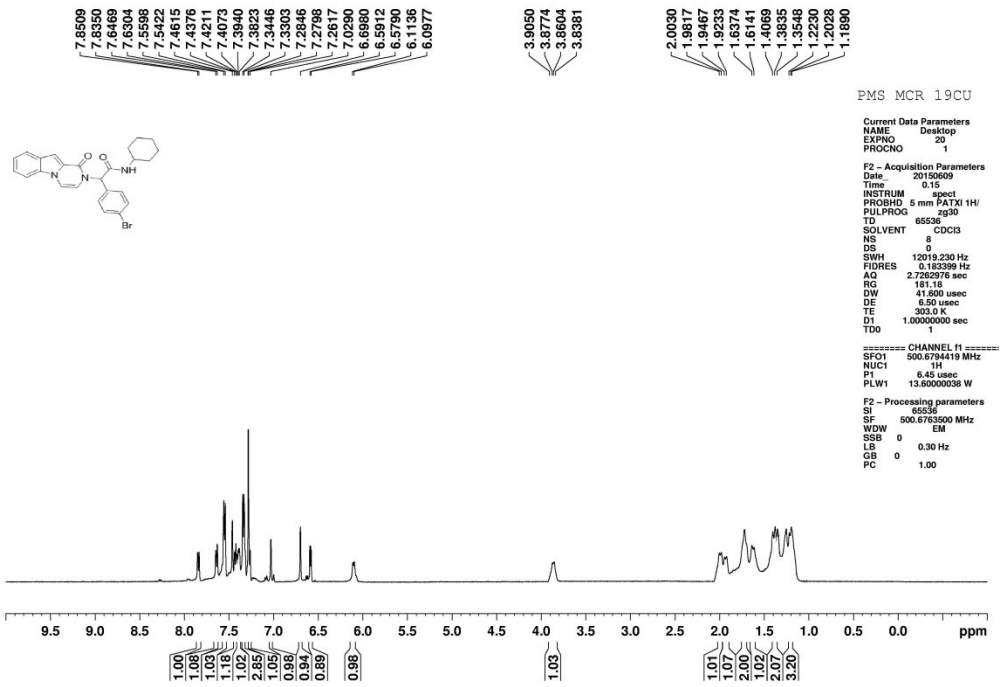


Figure 44. ^{1}H spectra of compound **10w**

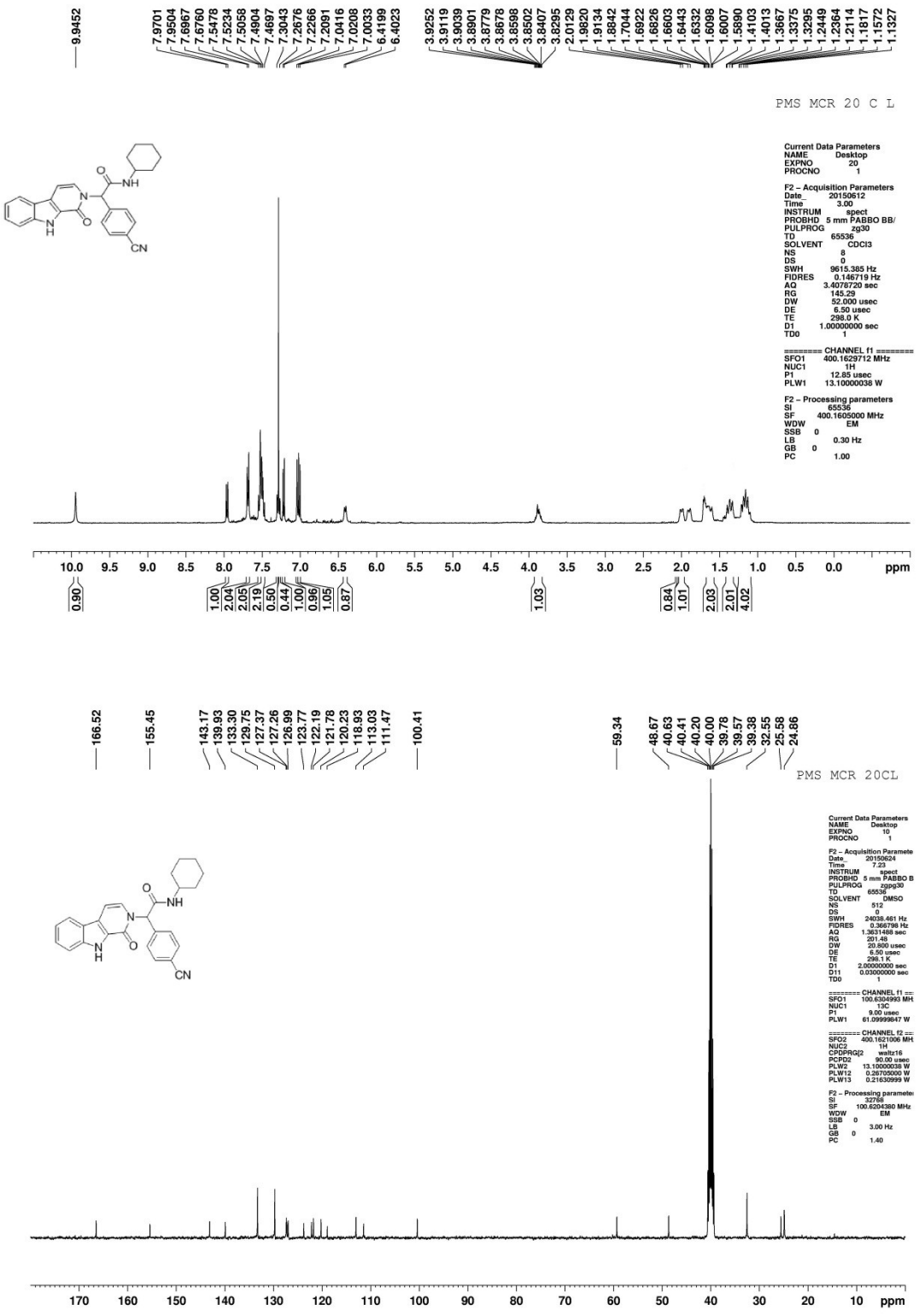


Figure 45. ^{1}H and ^{13}C spectra of compound **9x**

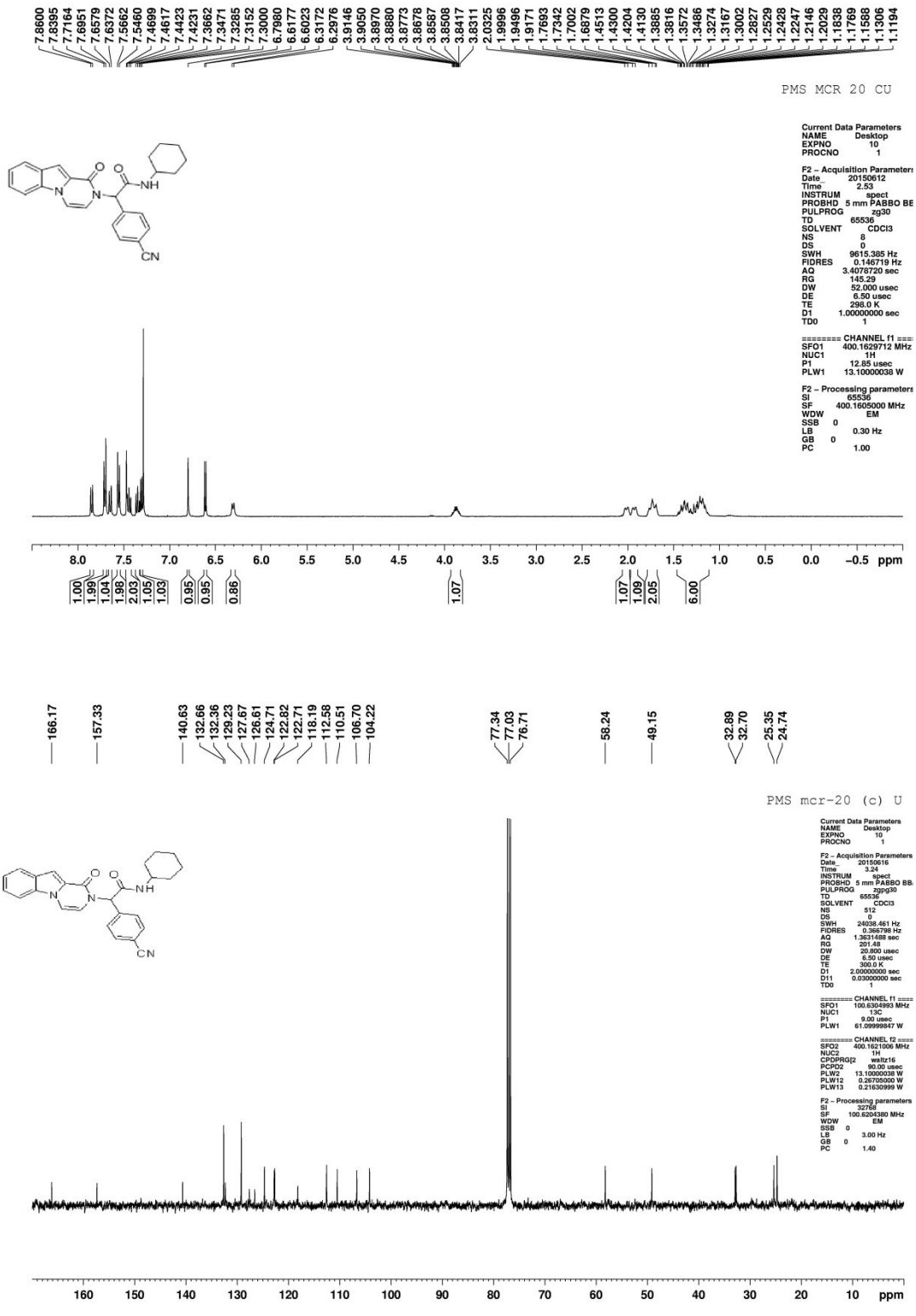


Figure 46. ^{1}H and ^{13}C spectra of compound **10x**

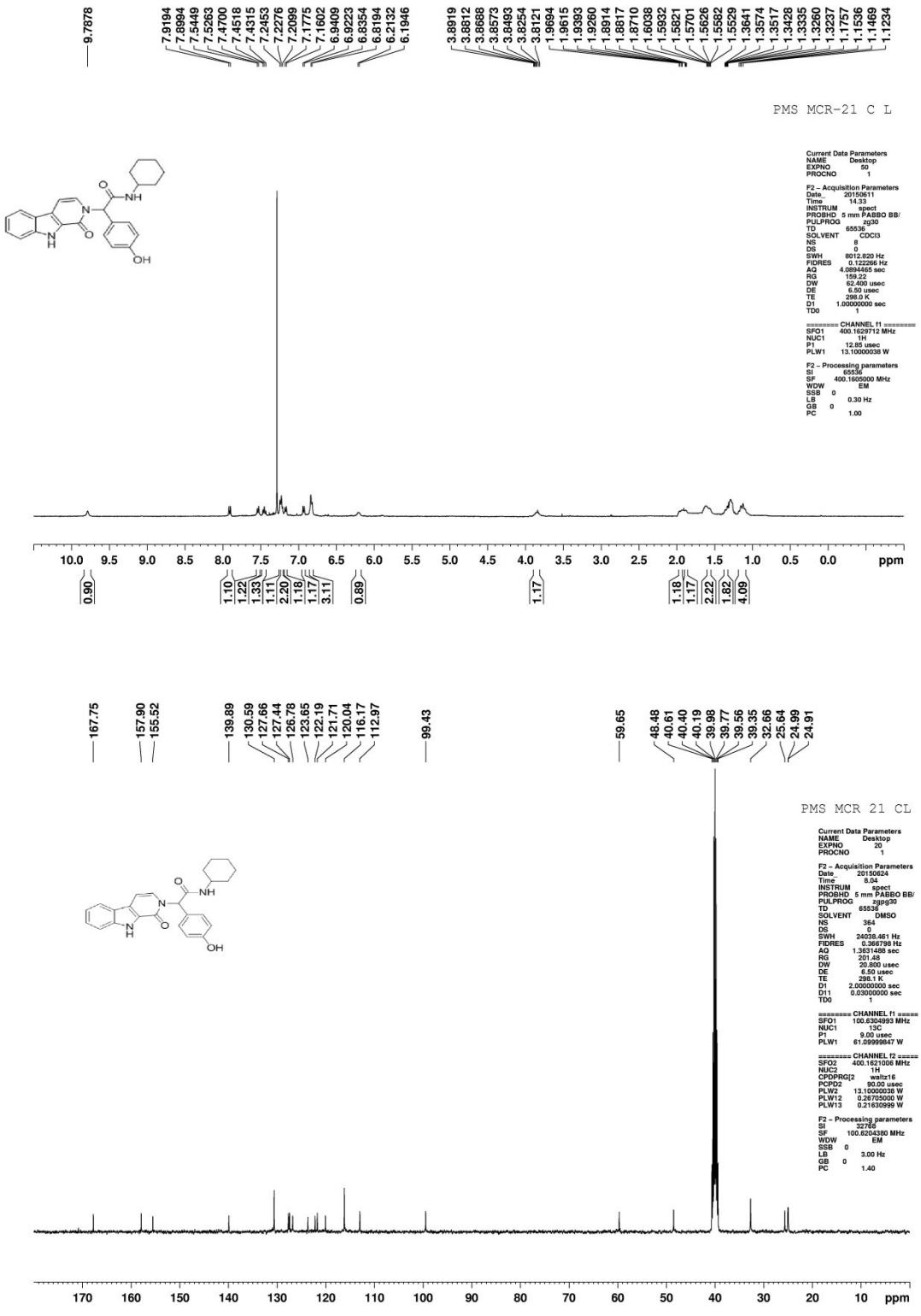


Figure 47. ^{1}H and ^{13}C spectra of compound **9y**

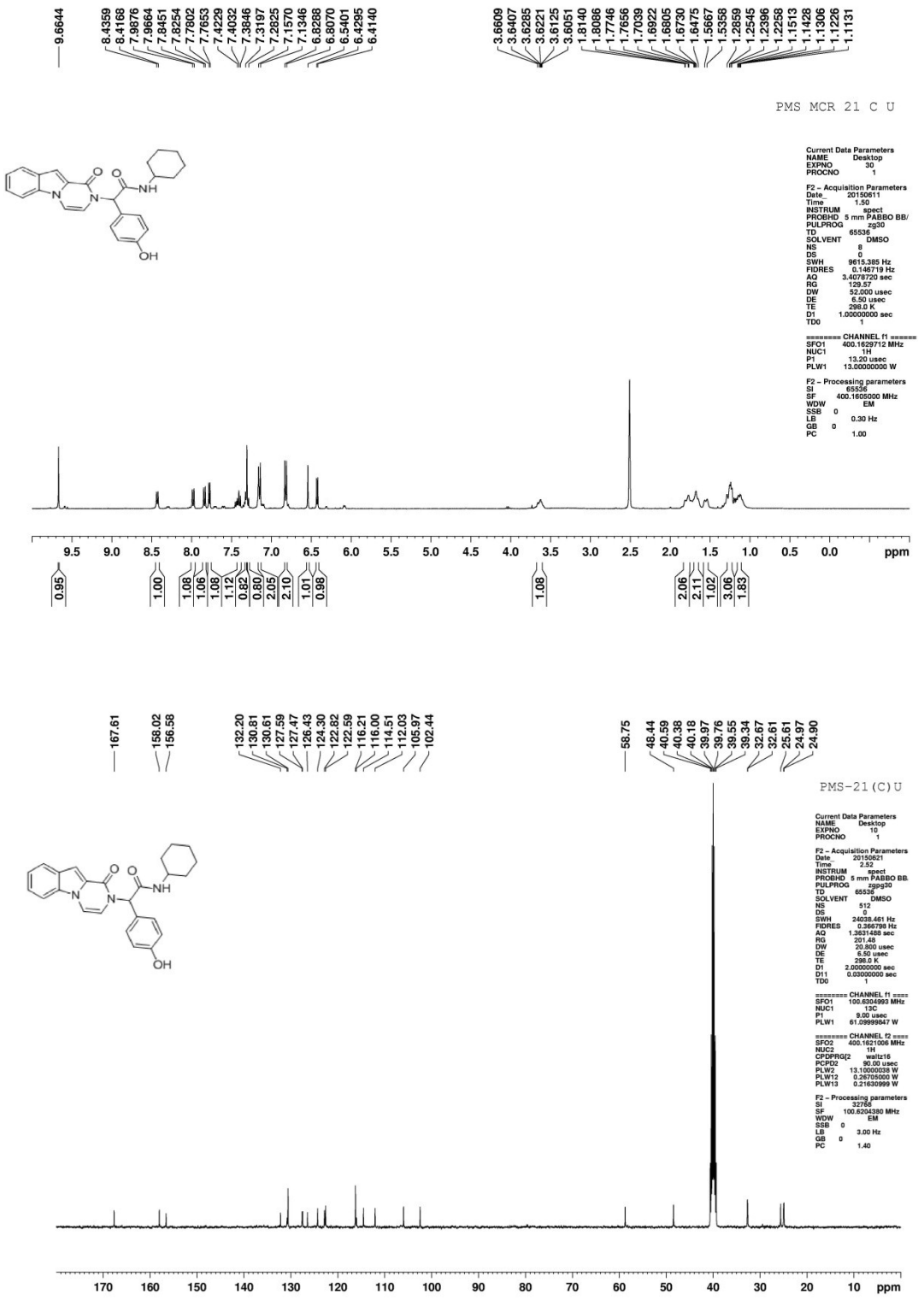


Figure 48. ^{1}H and ^{13}C spectra of compound **10y**

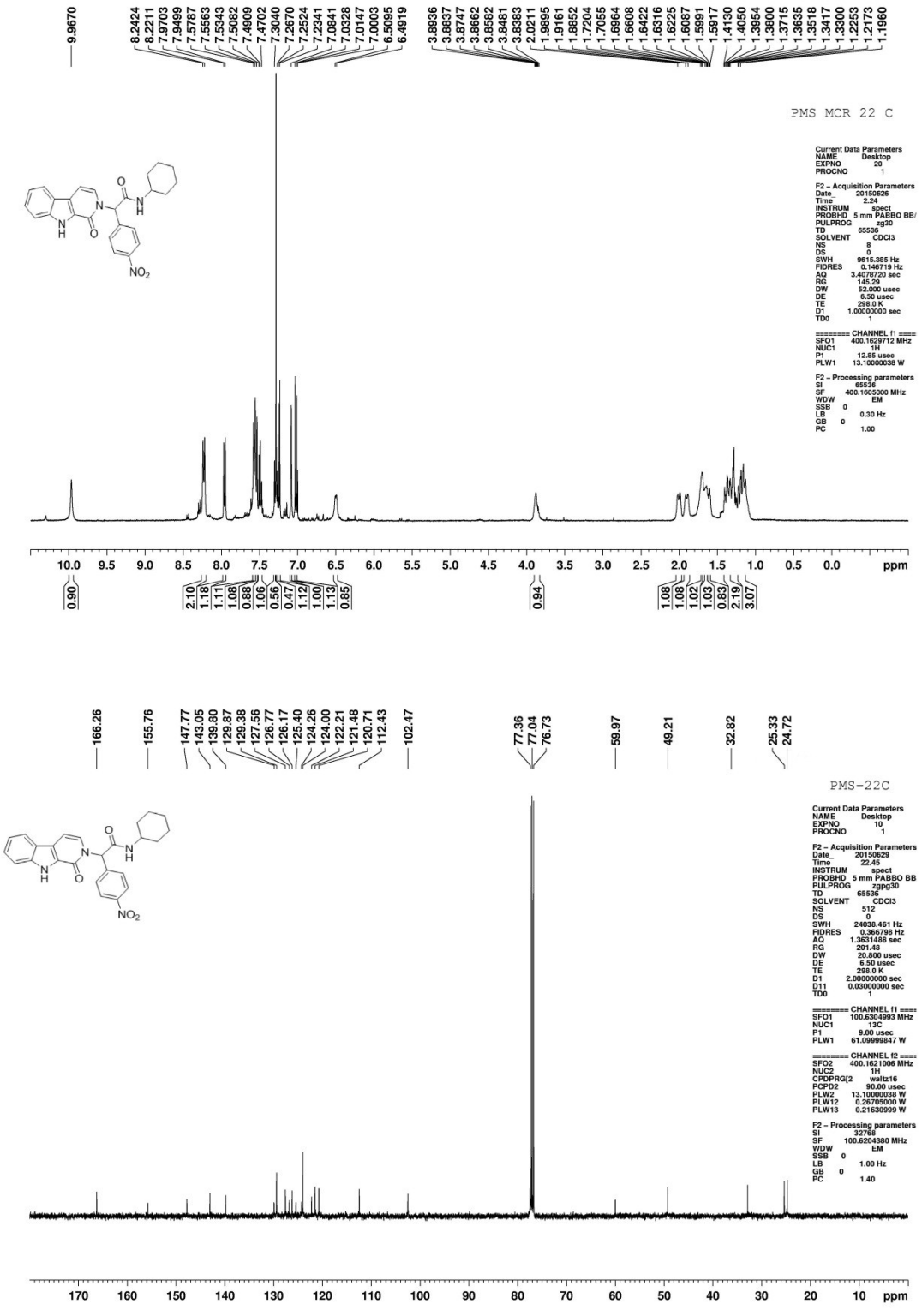


Figure 49. ^{1}H and ^{13}C spectra of compound **9z**

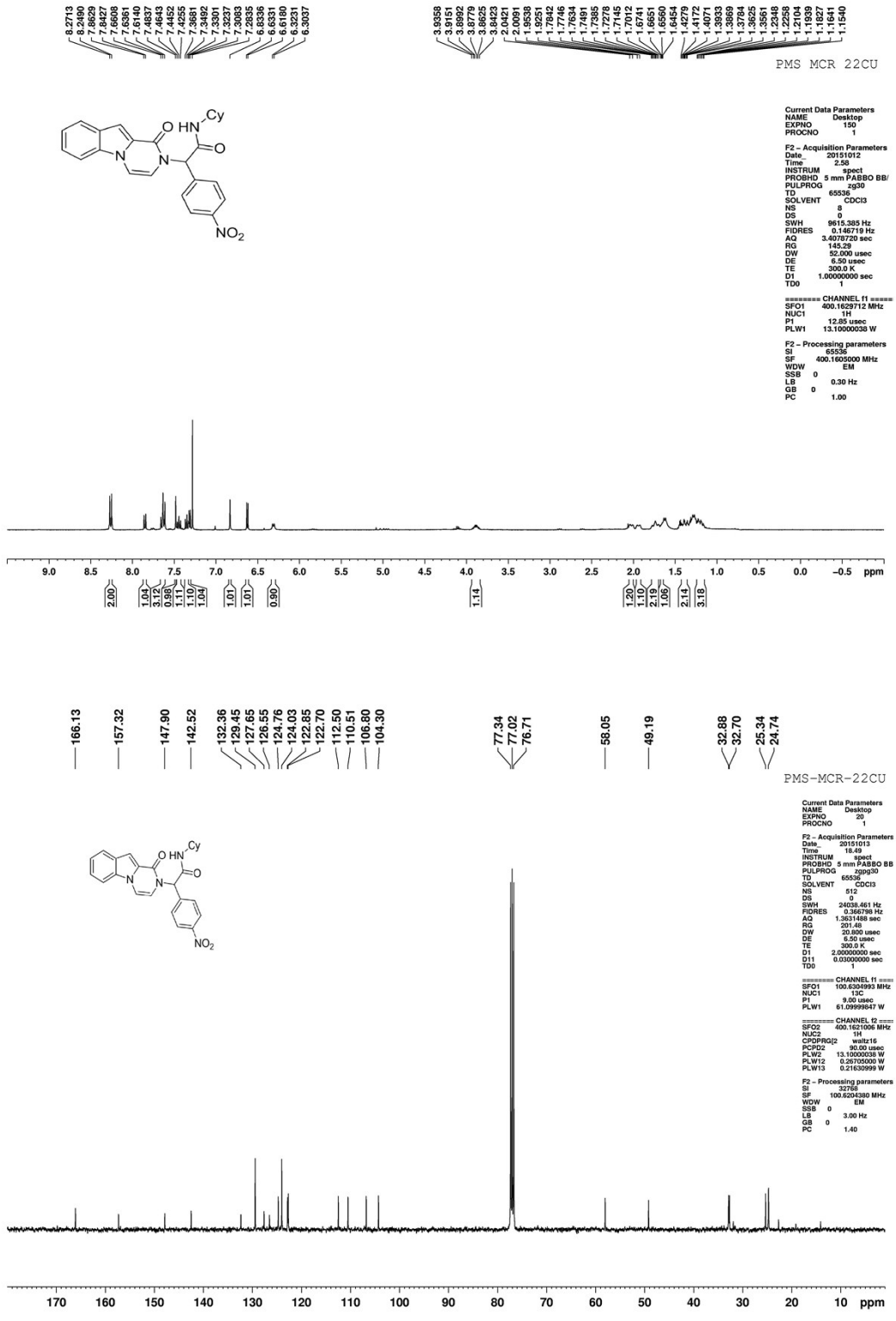


Figure 50. ^{1}H and ^{13}C spectra of compound **10z**

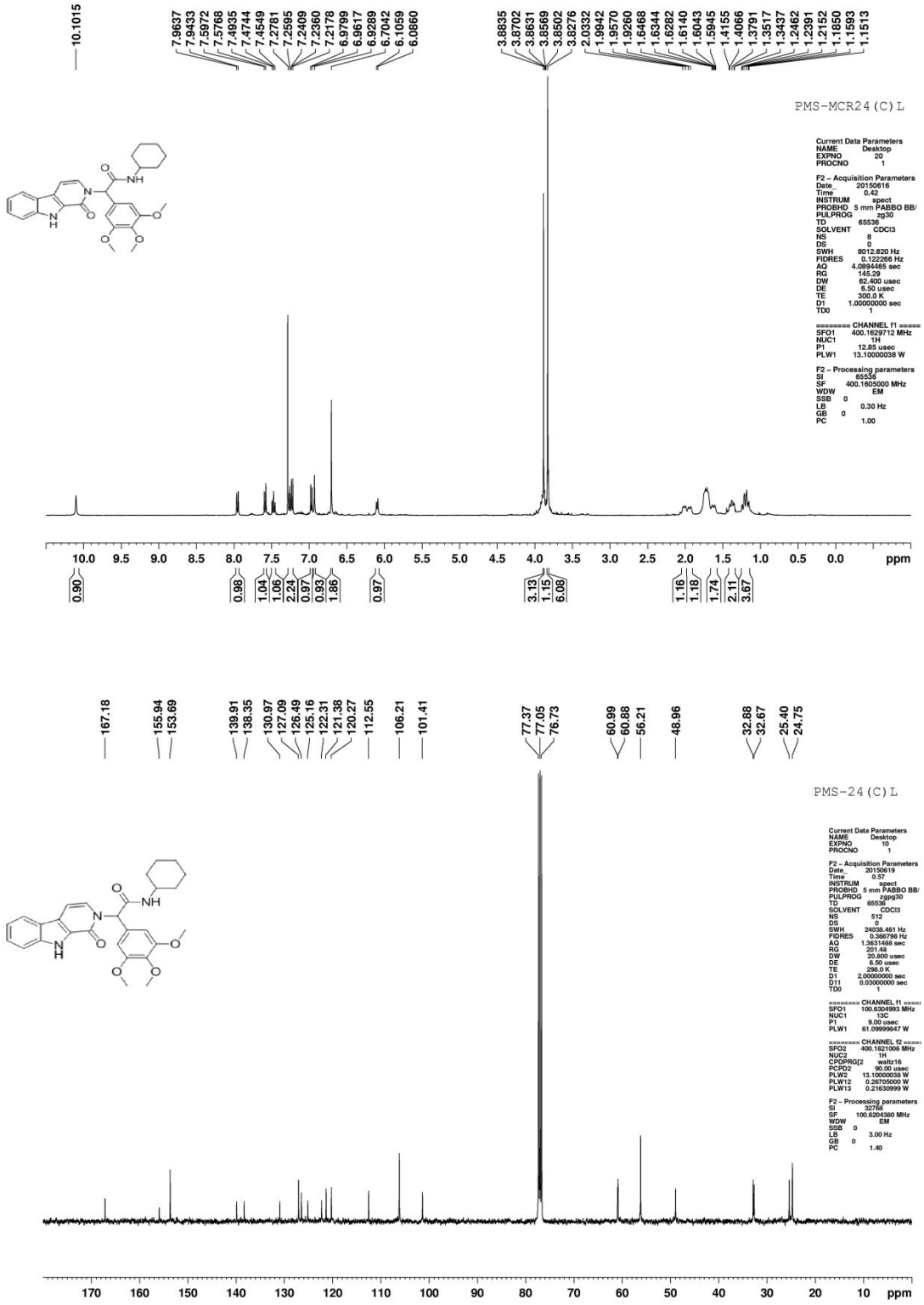


Figure 51. ^{1}H and ^{13}C spectra of compound **9aa**

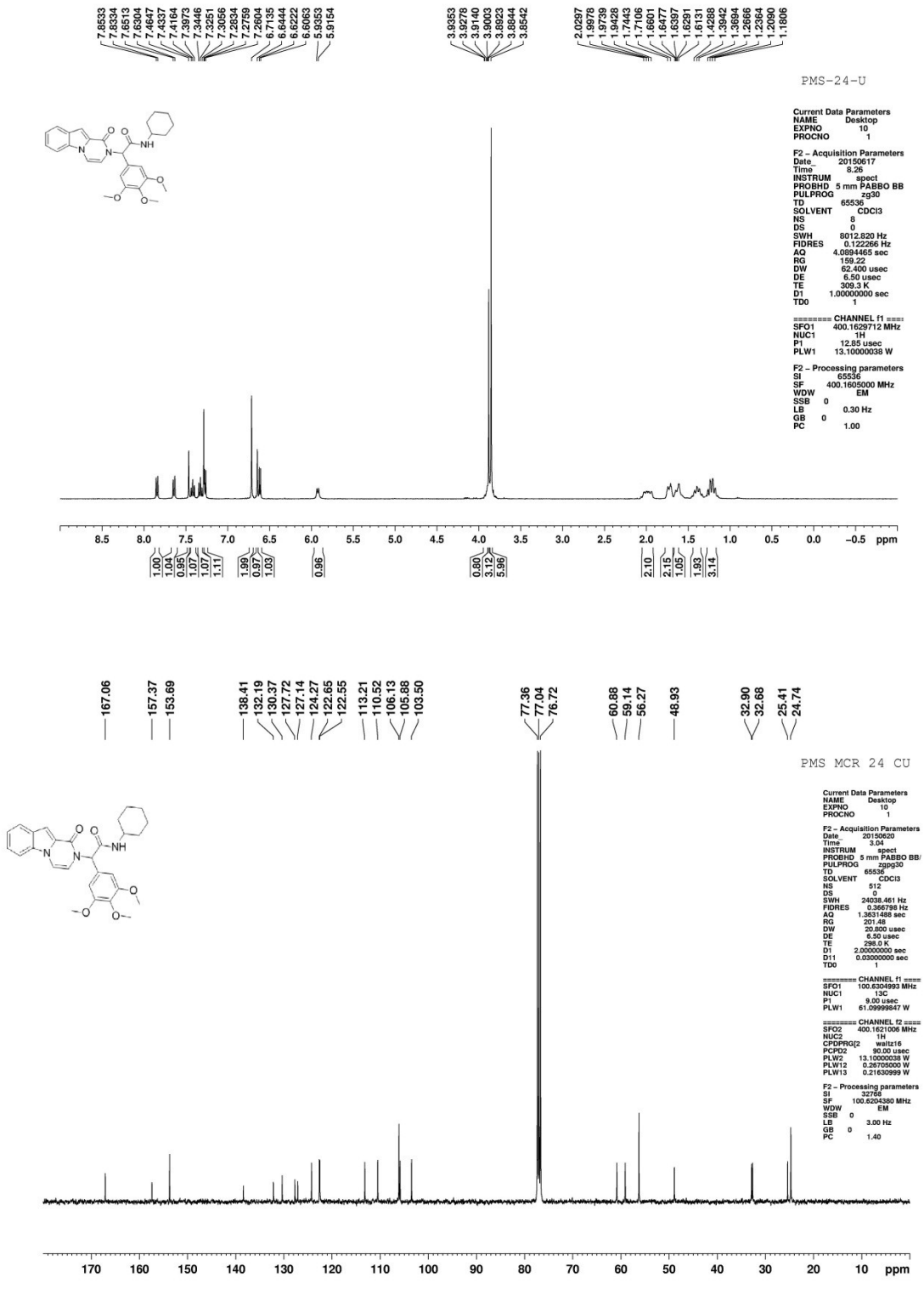


Figure 52. ^{1}H and ^{13}C spectra of compound **10aa**

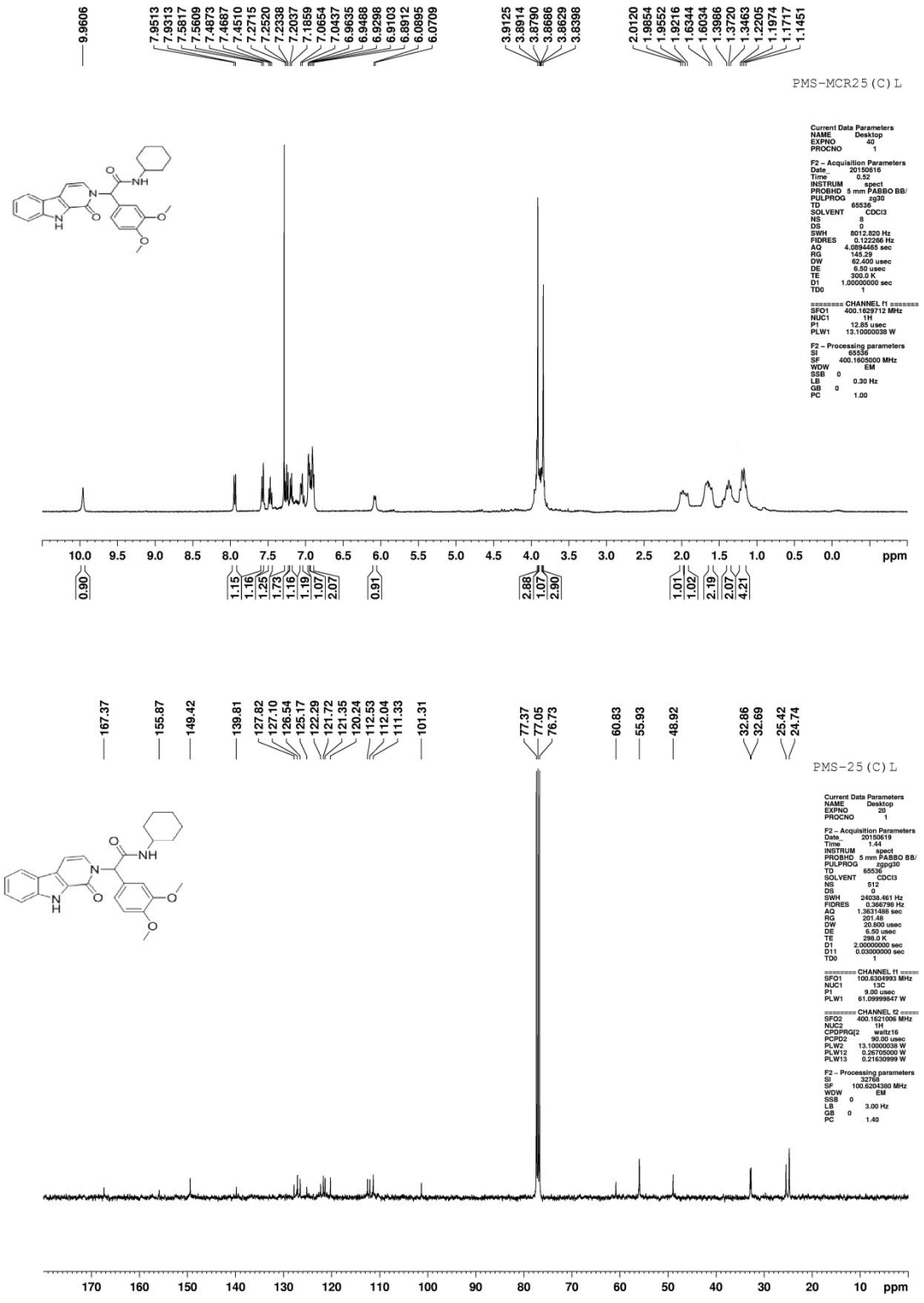


Figure 53. ^{1}H and ^{13}C spectra of compound **9ab**

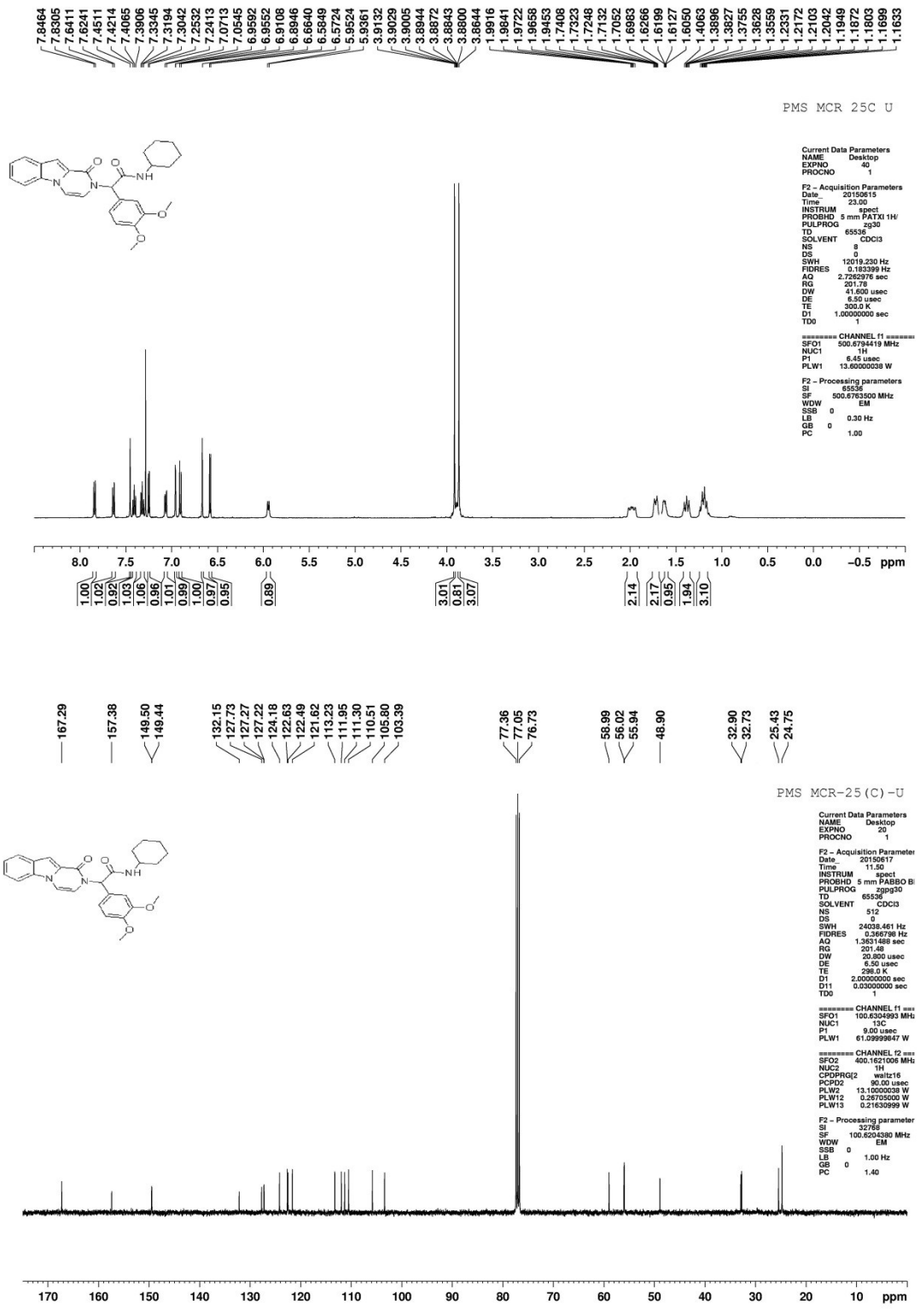


Figure 54. ^{1}H and ^{13}C spectra of compound **10ab**

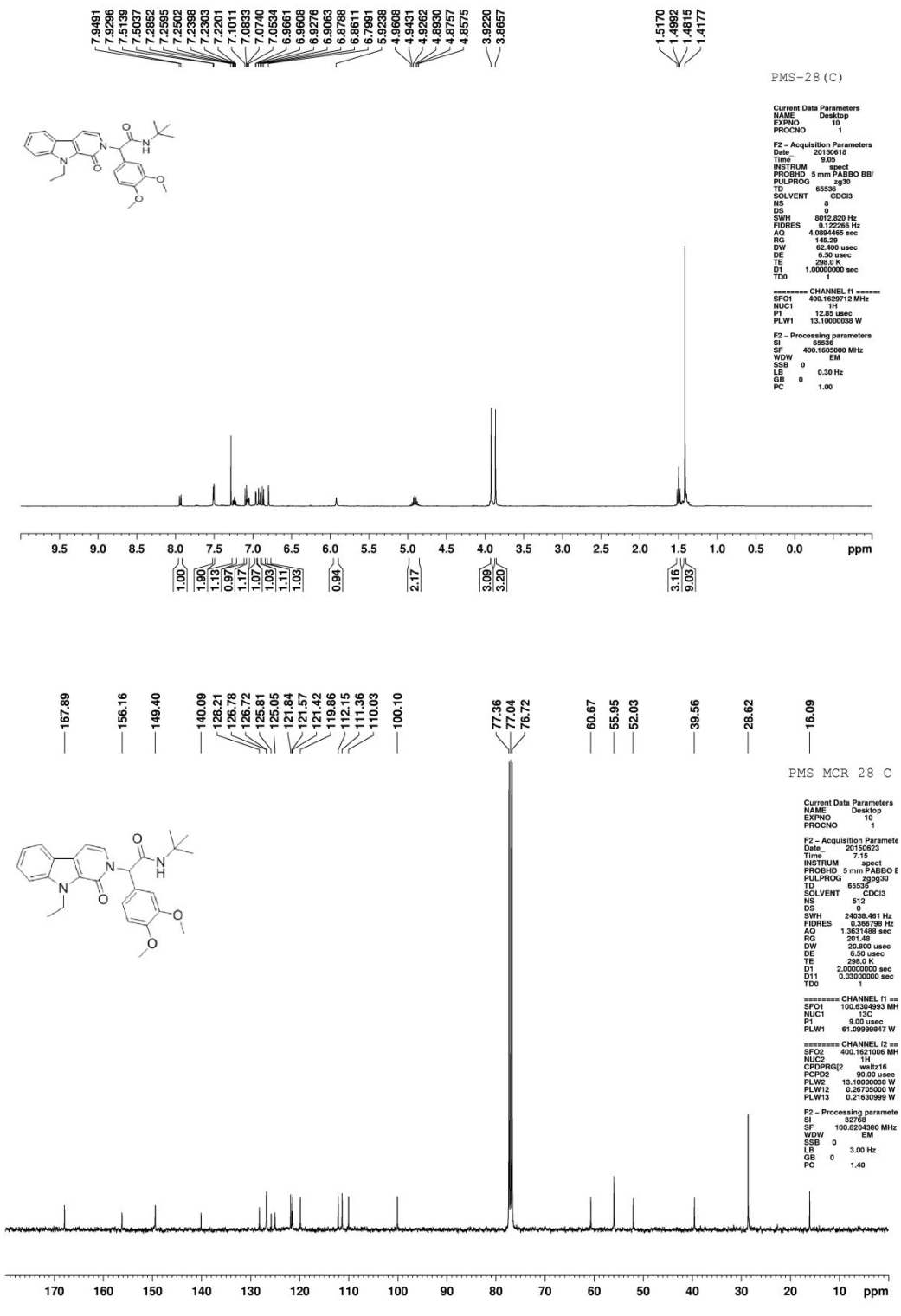


Figure 55. ^{1}H and ^{13}C spectra of compound **18a**

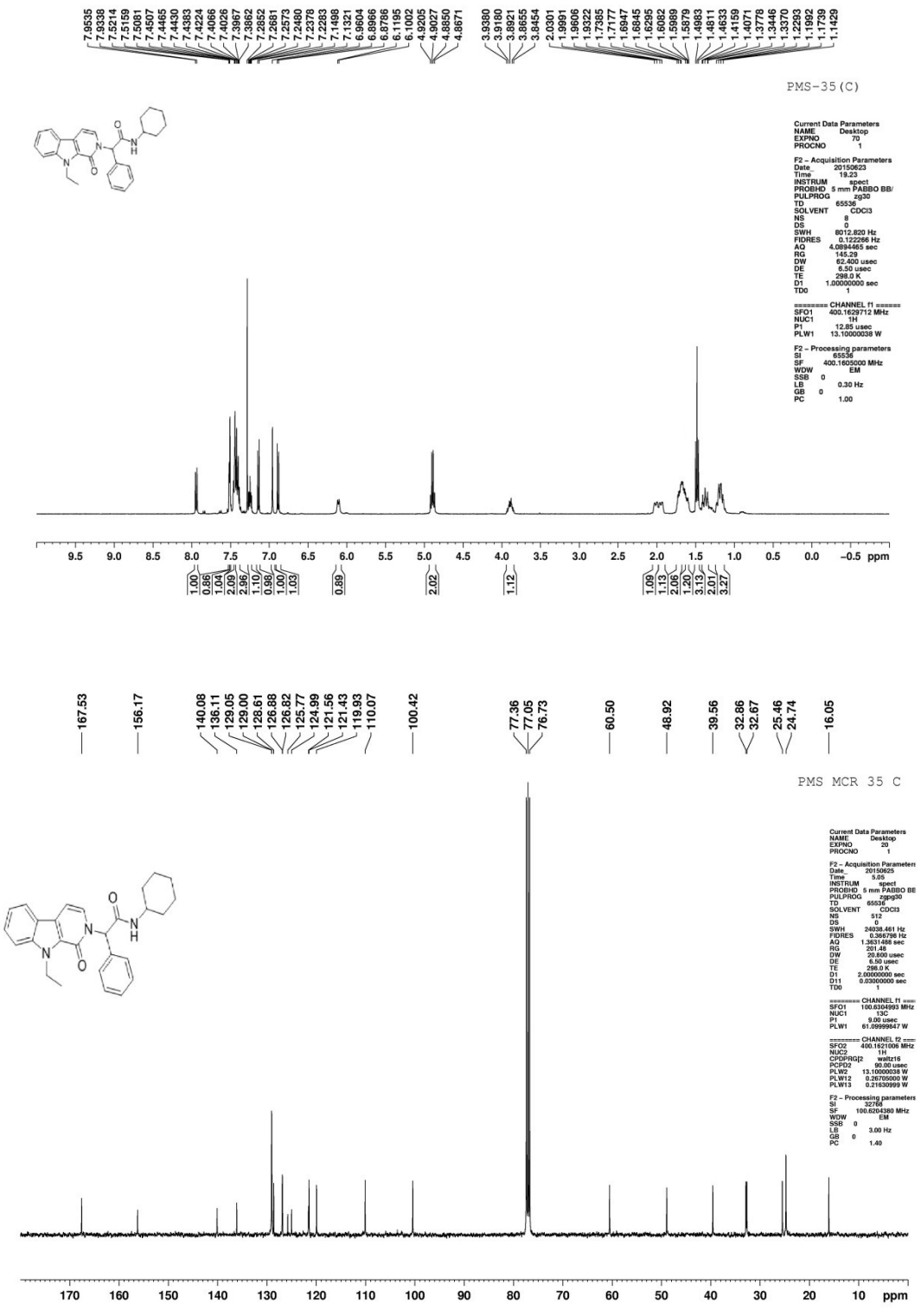


Figure 56. ^{1}H and ^{13}C spectra of compound **18b**

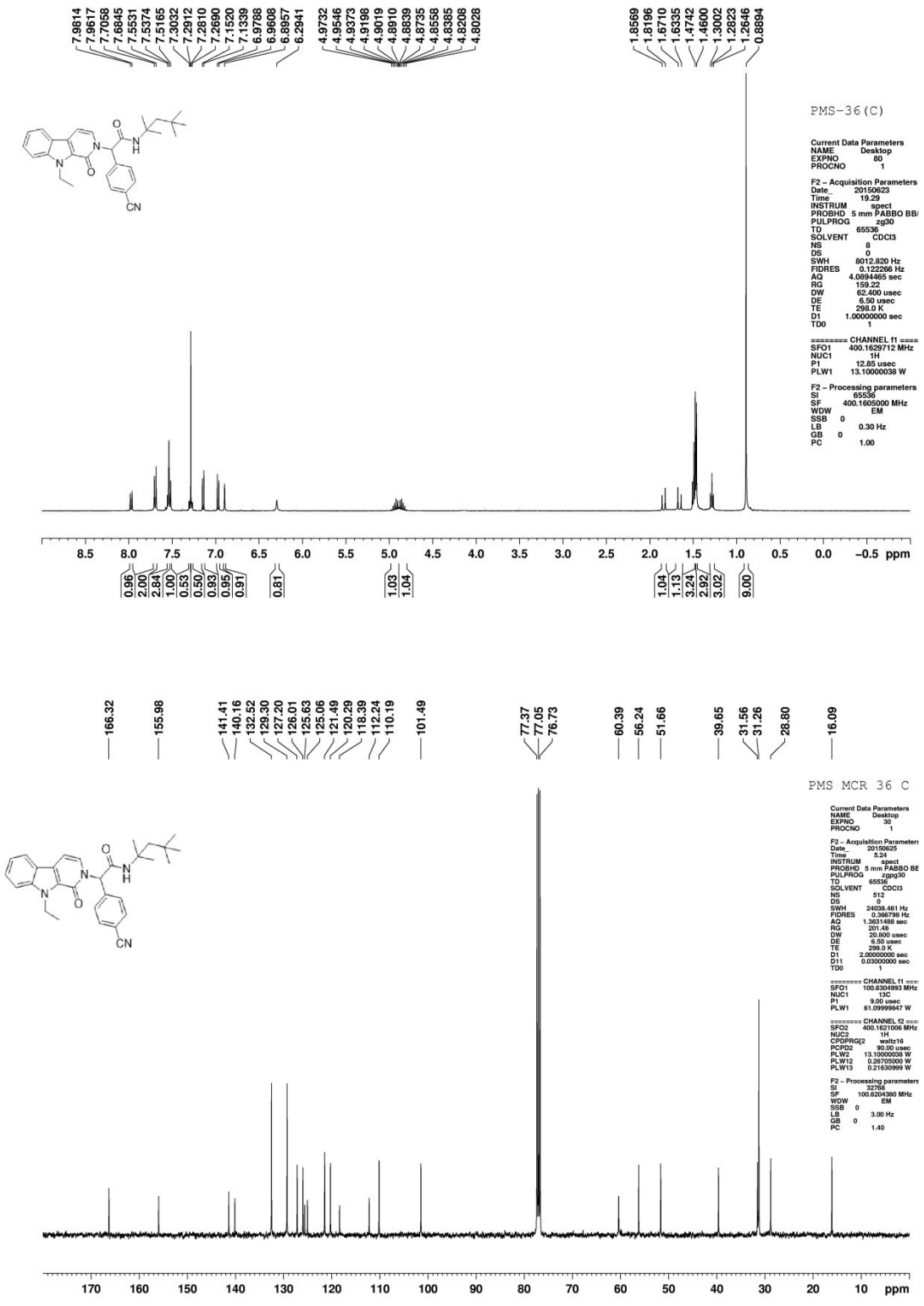


Figure 57. ^{1}H and ^{13}C spectra of compound **18c**

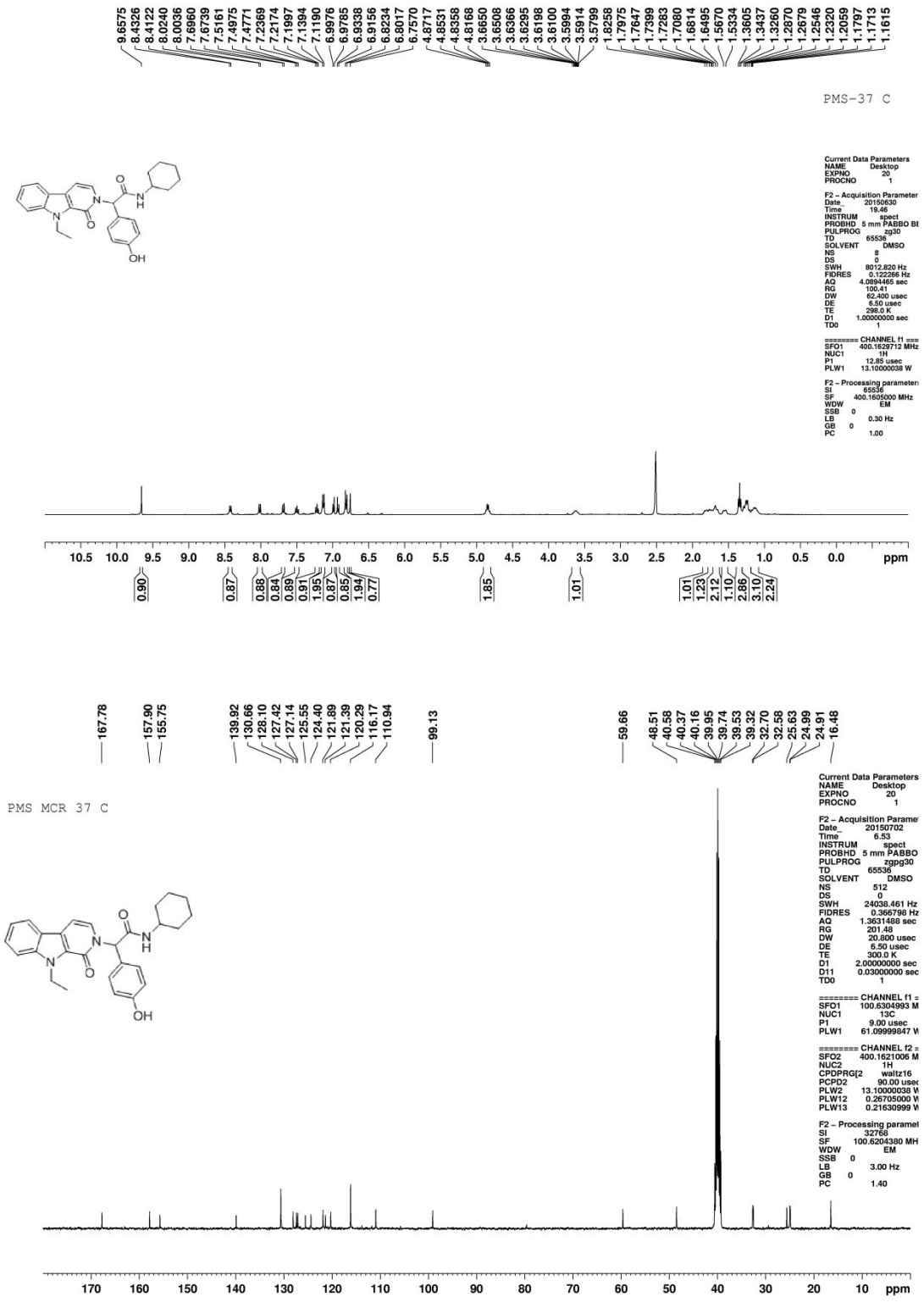


Figure 58. ^{1}H and ^{13}C spectra of compound **18d**

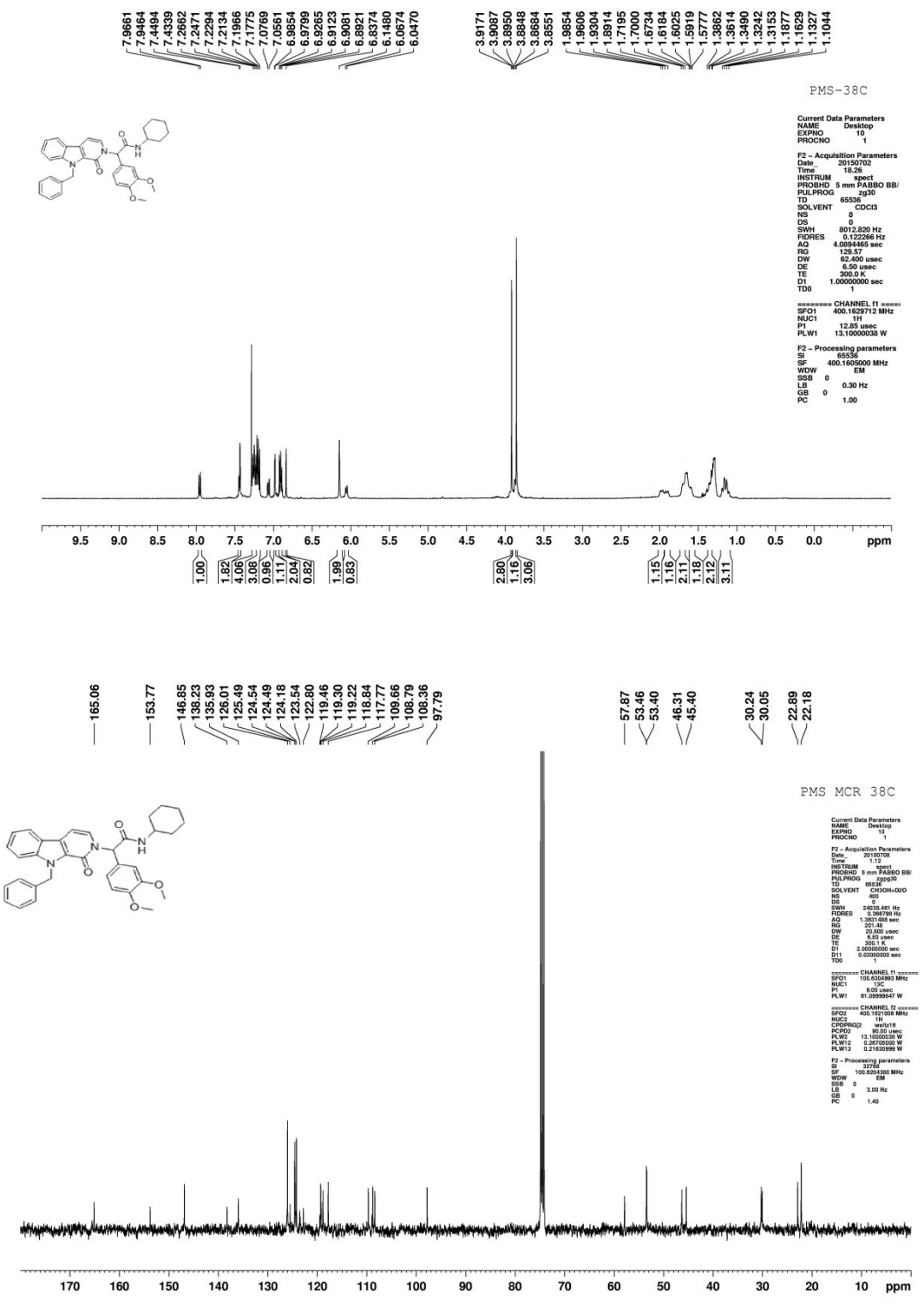


Figure 59. ^{1}H and ^{13}C spectra of compound **18e**

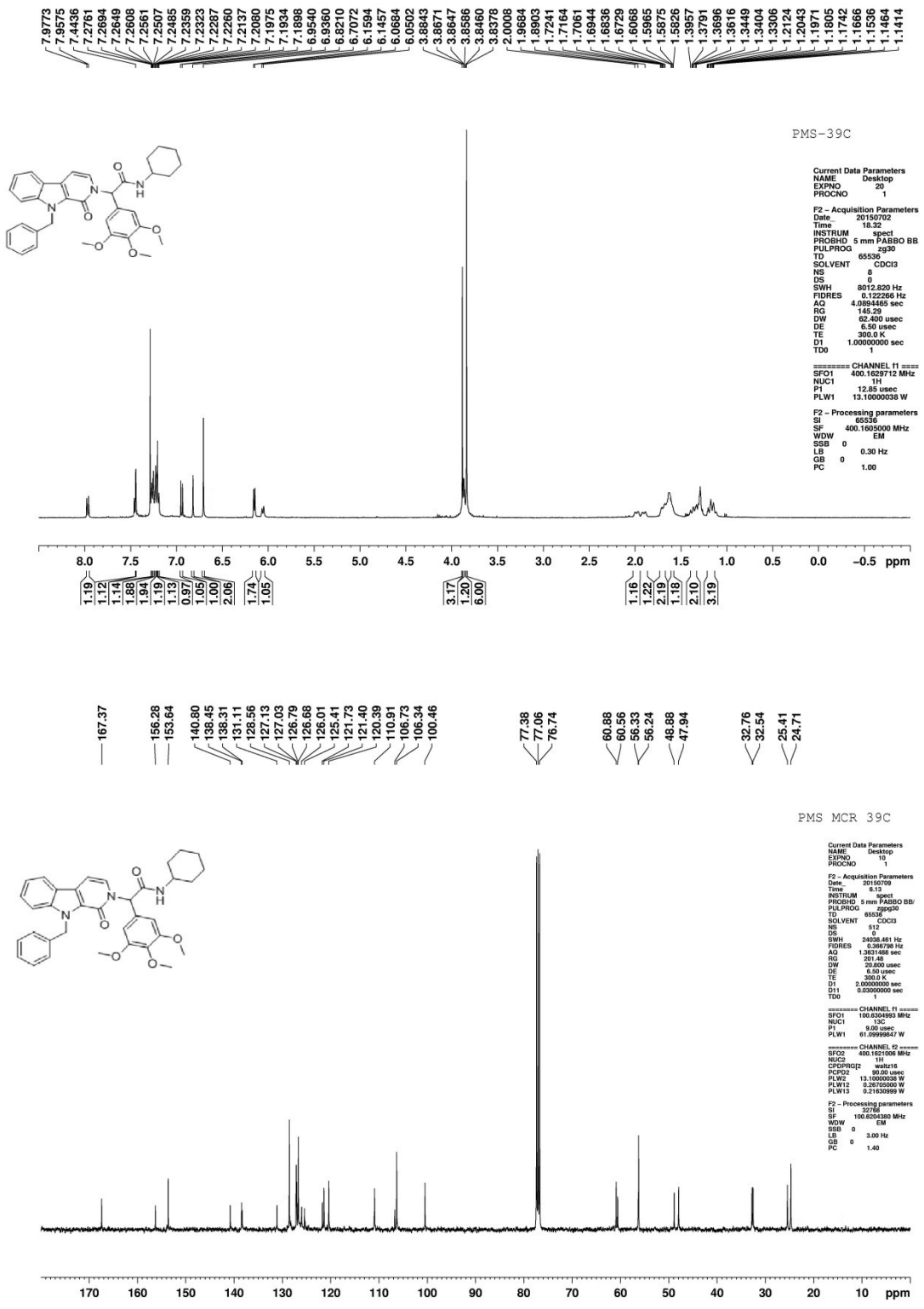


Figure 60. ^{1}H and ^{13}C spectra of compound **18f**

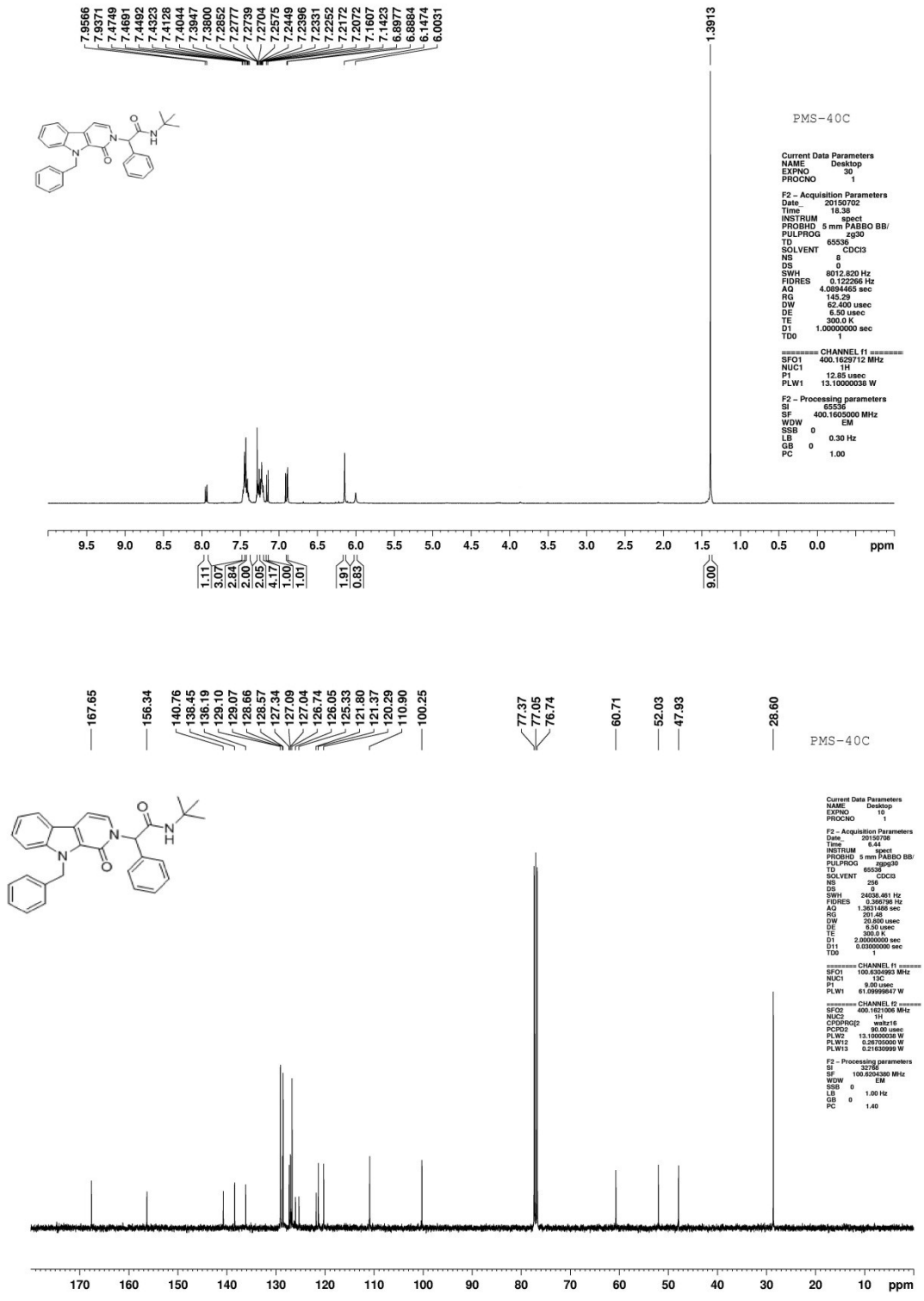


Figure 61. ^{1}H and ^{13}C spectra of compound **18g**

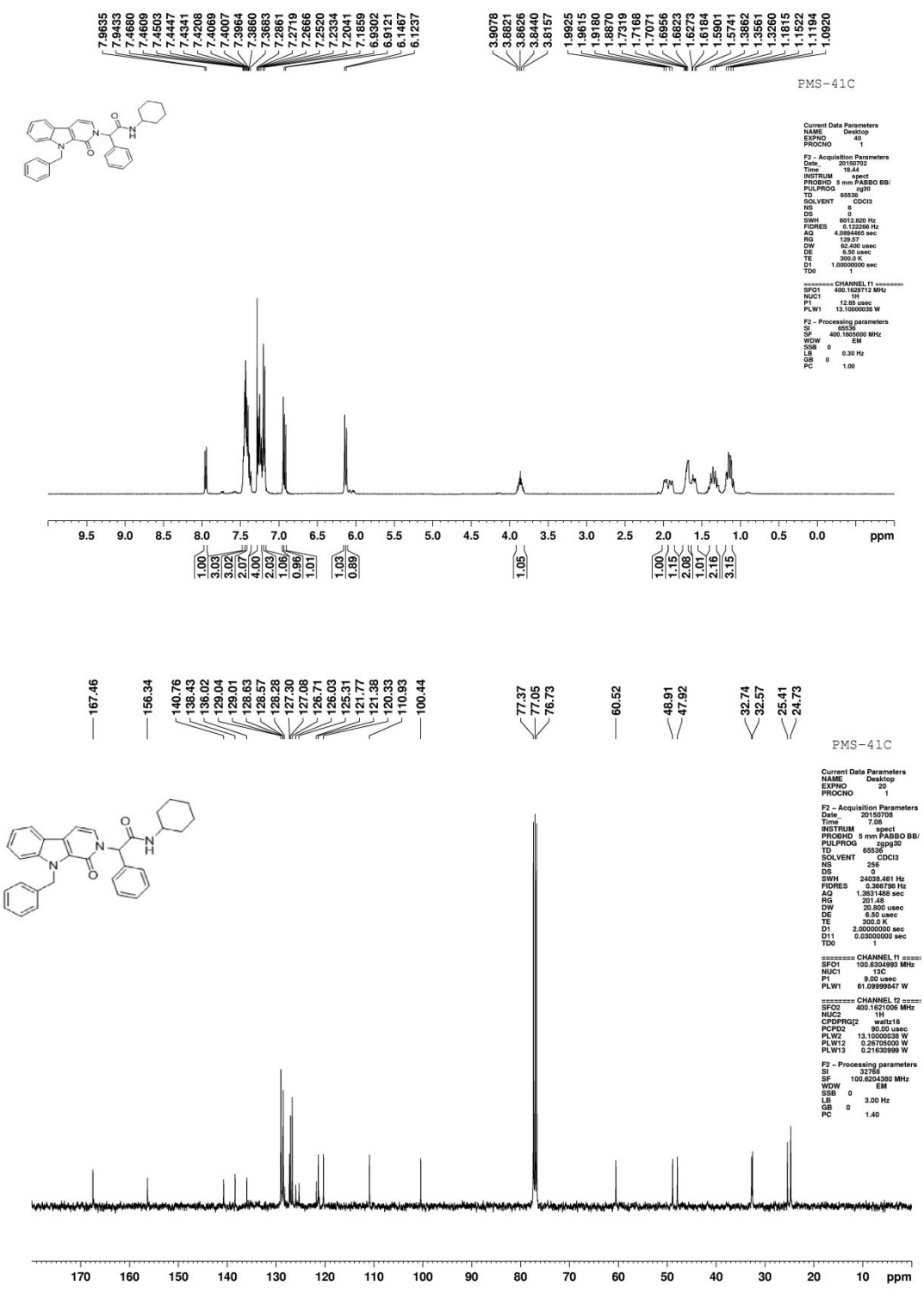


Figure 62. ^{1}H and ^{13}C spectra of compound **18h**

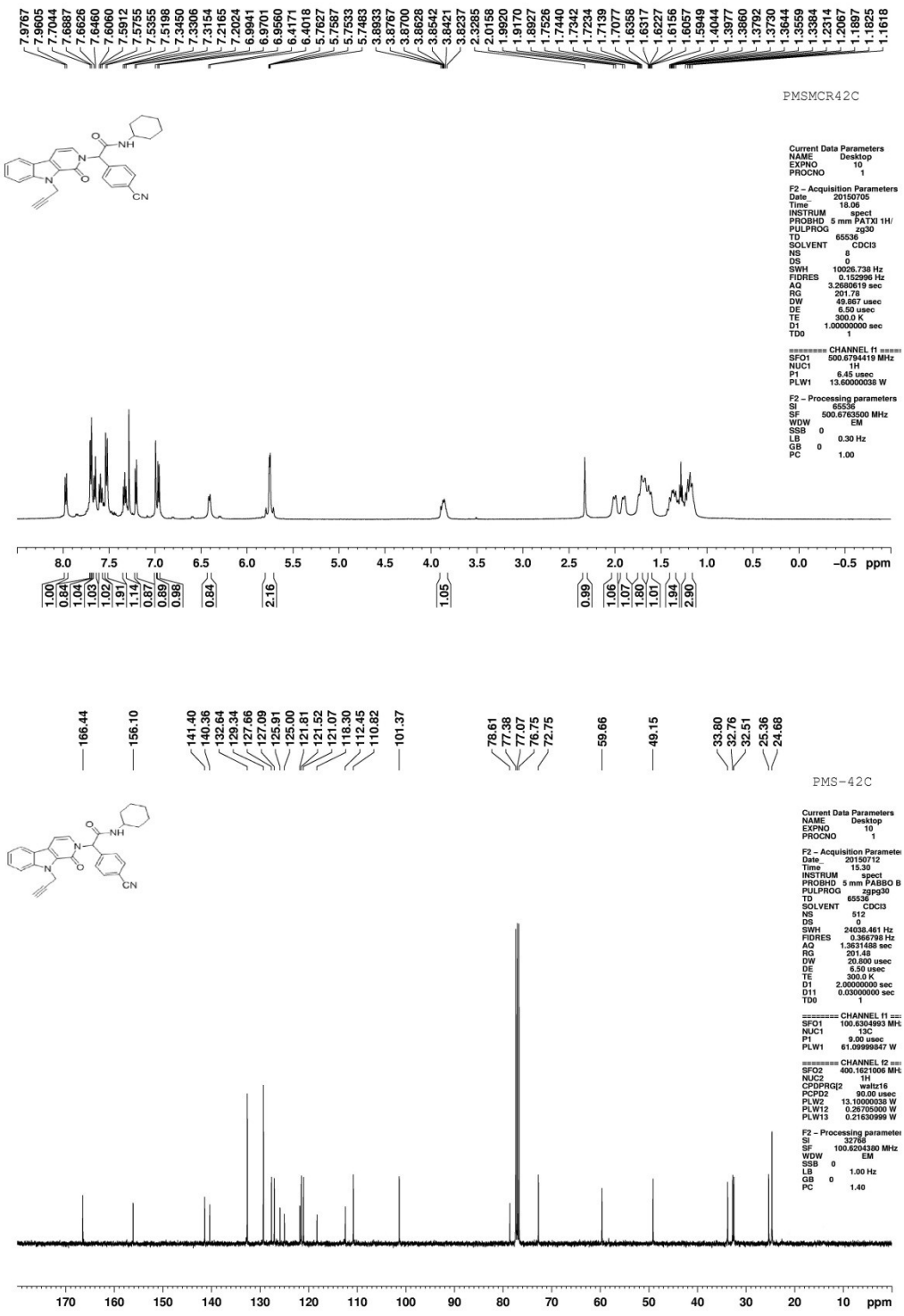


Figure 63. ^{1}H and ^{13}C spectra of compound **18i**

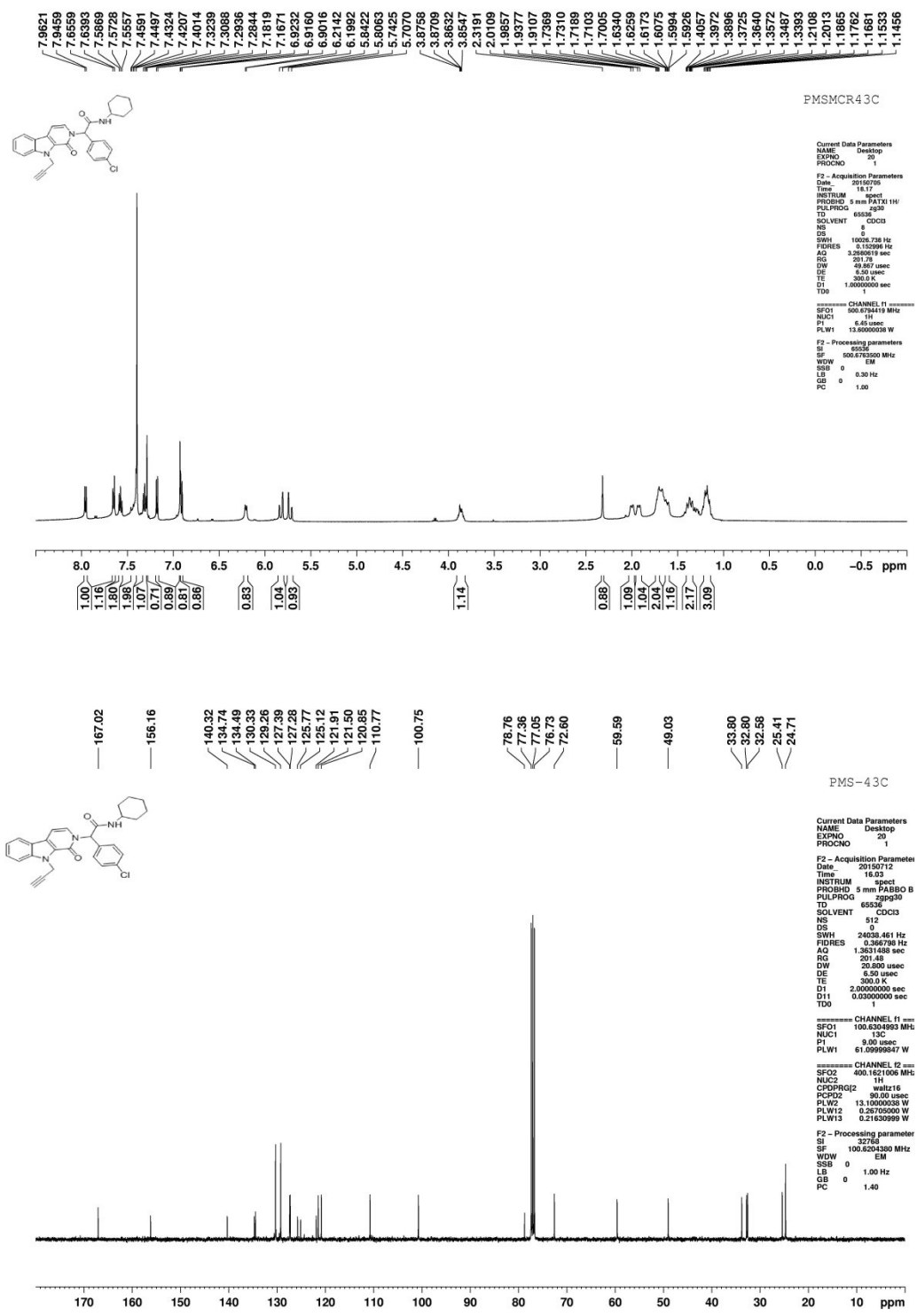


Figure 64. ^{1}H and ^{13}C spectra of compound **18j**

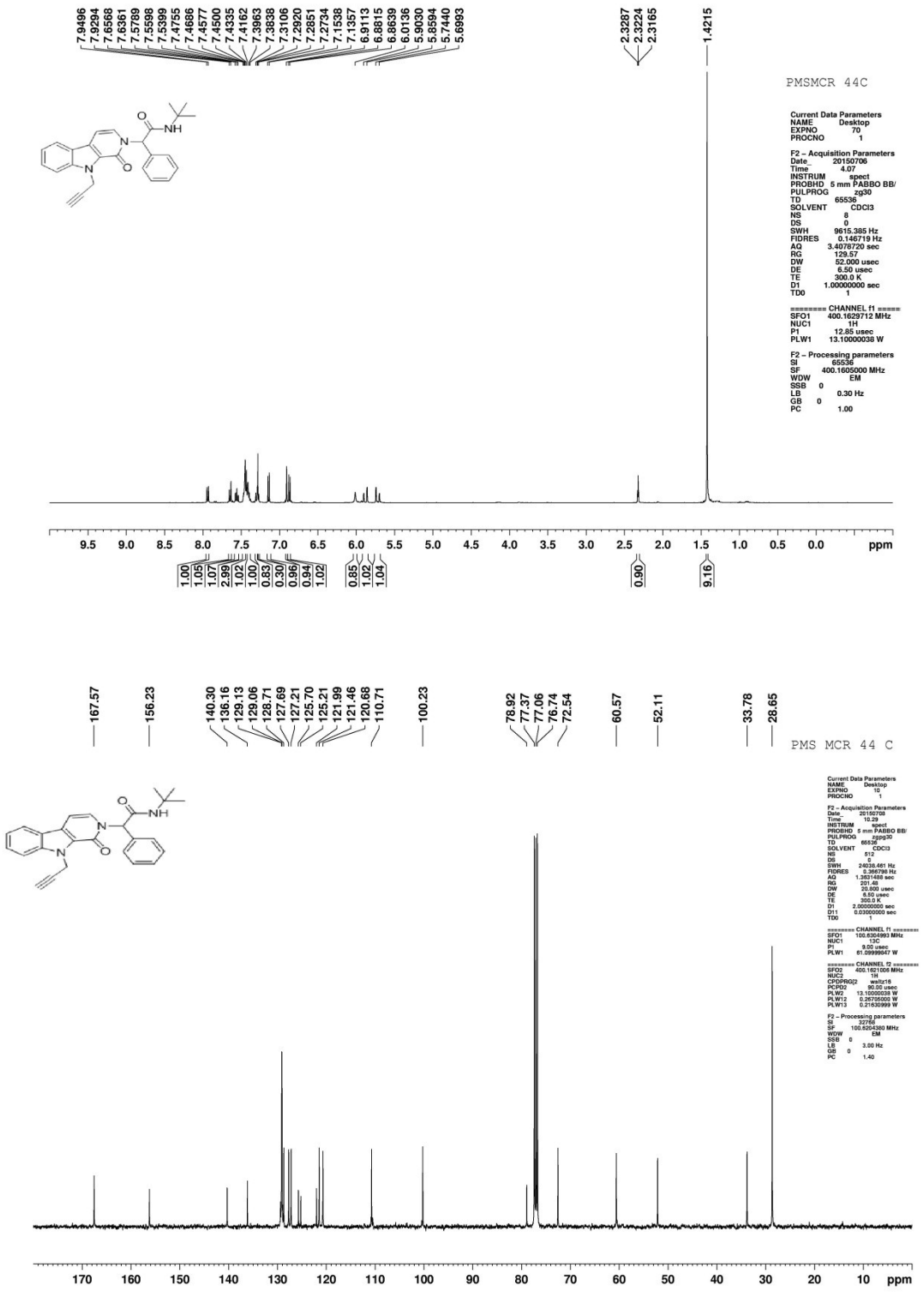


Figure 65. ^{1}H and ^{13}C spectra of compound **18k**

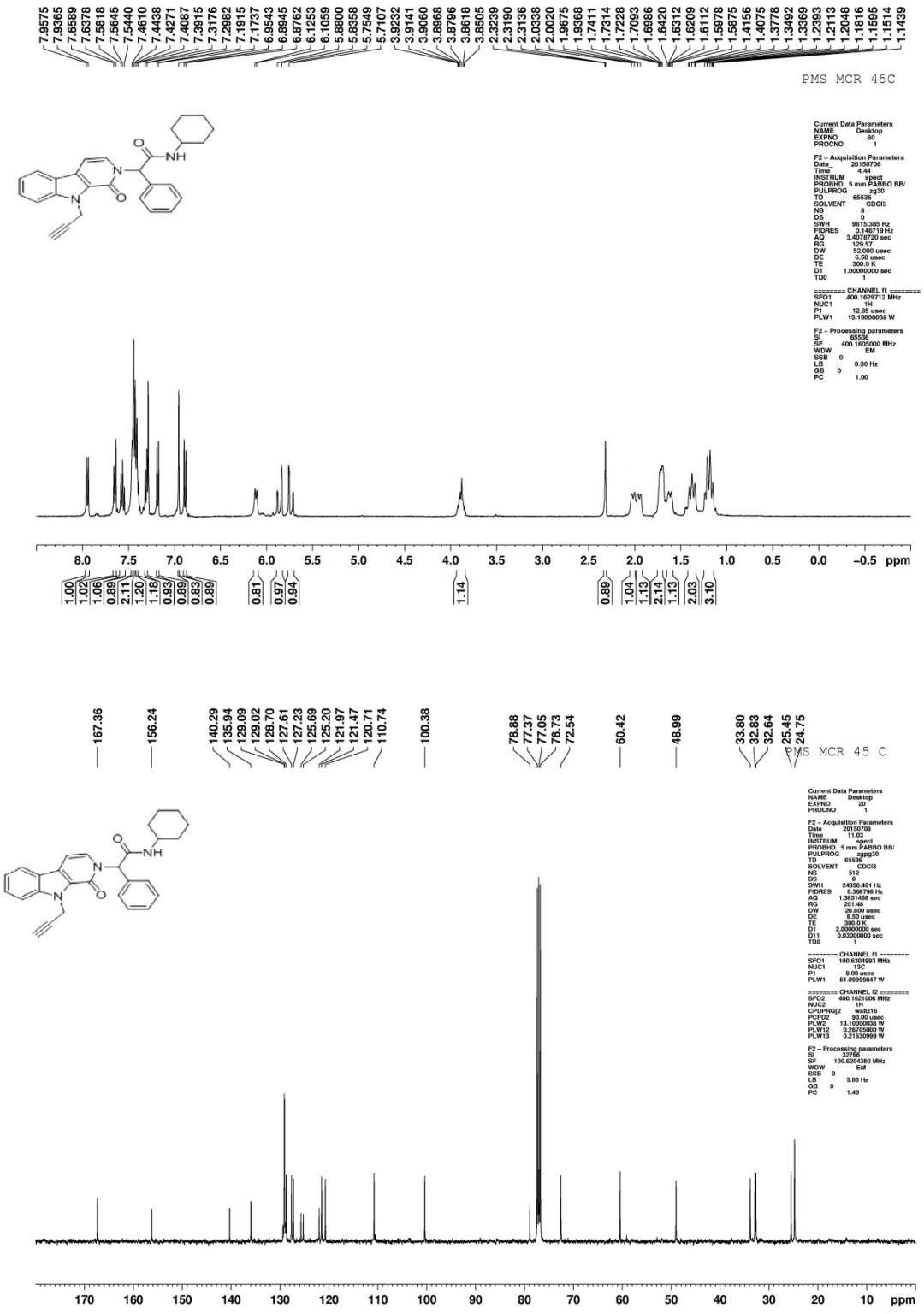


Figure 66. ^{1}H and ^{13}C spectra of compound **18l**

Note: The signal of deuterated solvent $CDCl_3$ at δ 7.25-7.29 (ppm), DMSO-d_6 at δ 2.48-2.51 (ppm) in respective ^{1}H-NMR spectrum and at δ 77.15-77.32 (ppm) for $CDCl_3$, δ 39.45-39.55 (ppm) for DMSO-d_6 in respective ^{13}C-NMR spectrum were observed.