

Figure S1. The cytotoxicities of quinazolinamine derivatives **3-5**, **12-14**, and **18-33** on H460 cell lines.

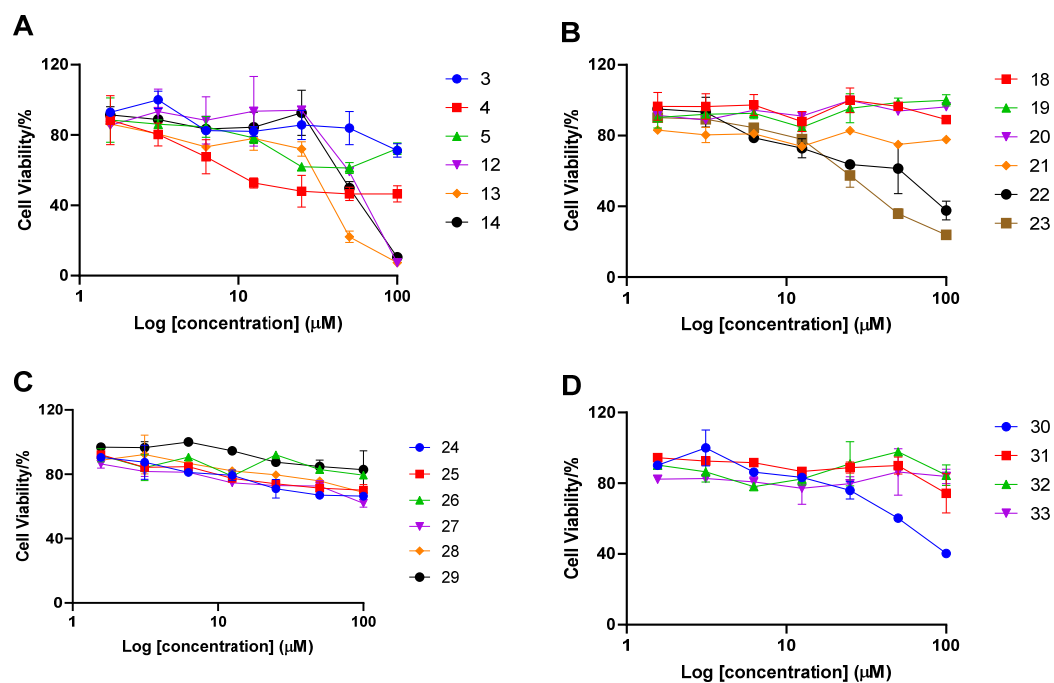


Figure S2. The cytotoxicities of quinazolinamine derivatives **3-5**, **12-14**, and **18-33** on H460/MX20 cell lines.

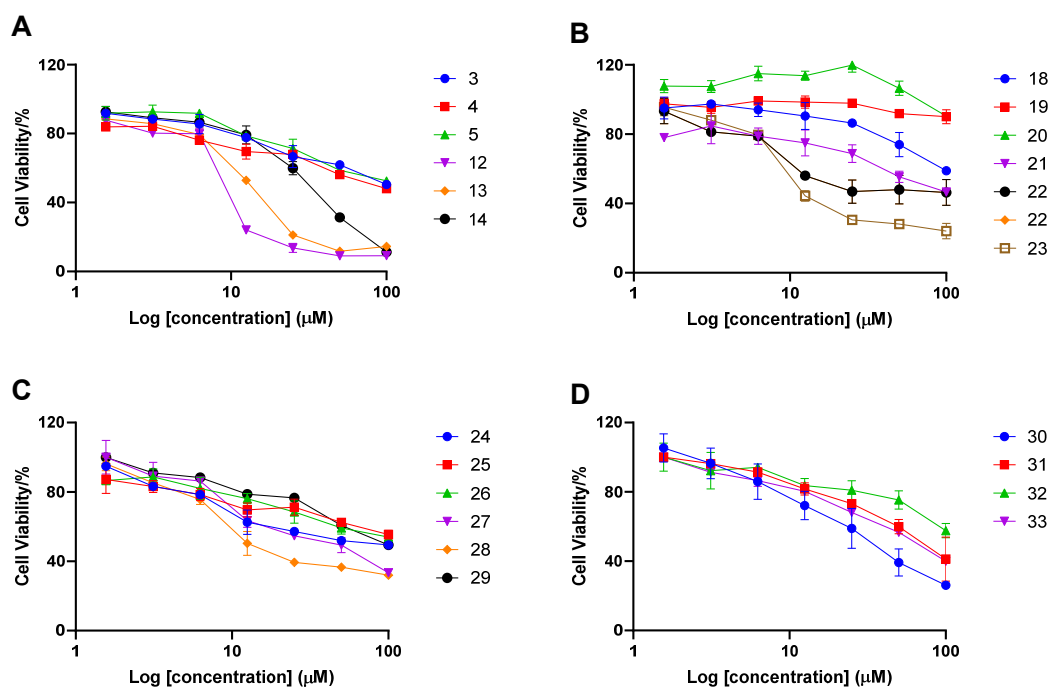


Figure S3. The cytotoxicities of quinazolinamine derivatives **3-5**, **12-14**, and **18-33** on KB-3-1 cell lines.

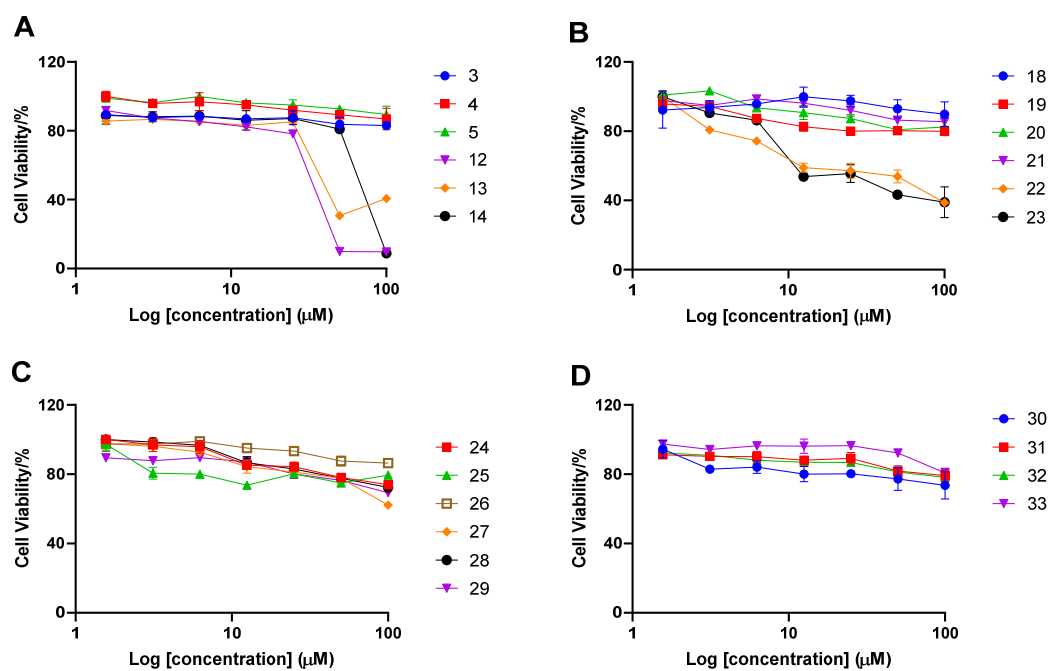


Figure S4. The cytotoxicities of quinazolinamine derivatives **3-5**, **12-14**, and **18-33** on KB-C2 cell lines.

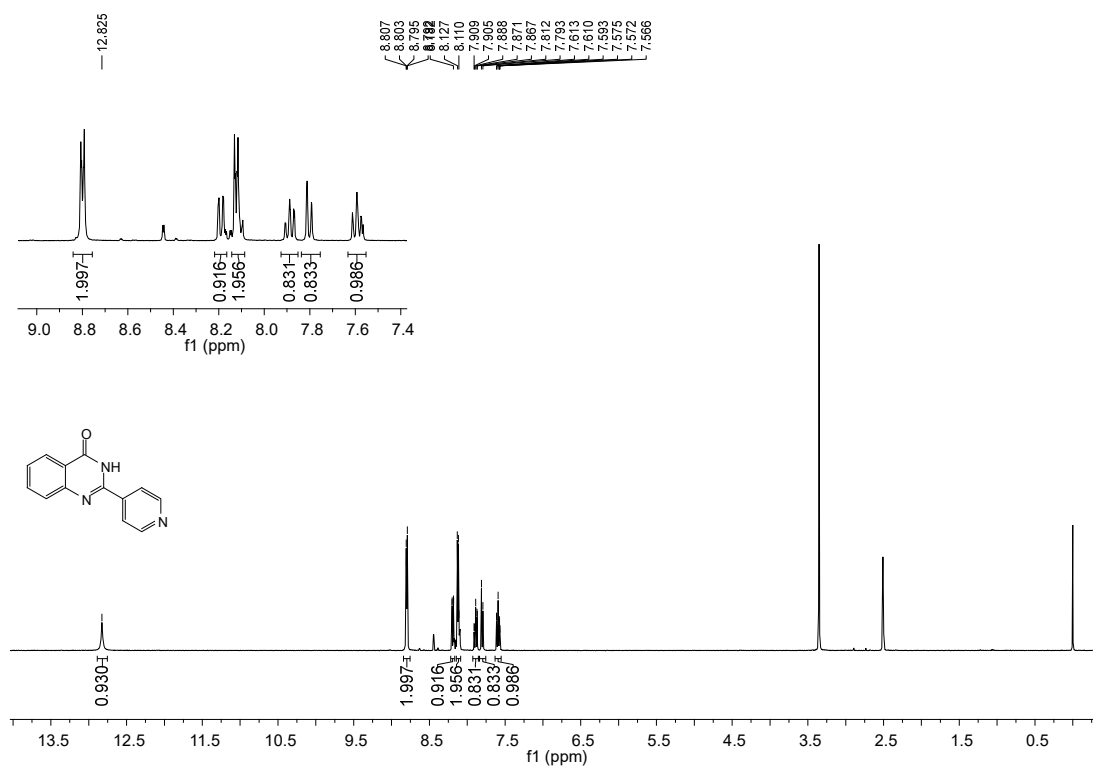


Figure S5. ¹H NMR (400 MHz, *d*₆-DMSO) of **1**

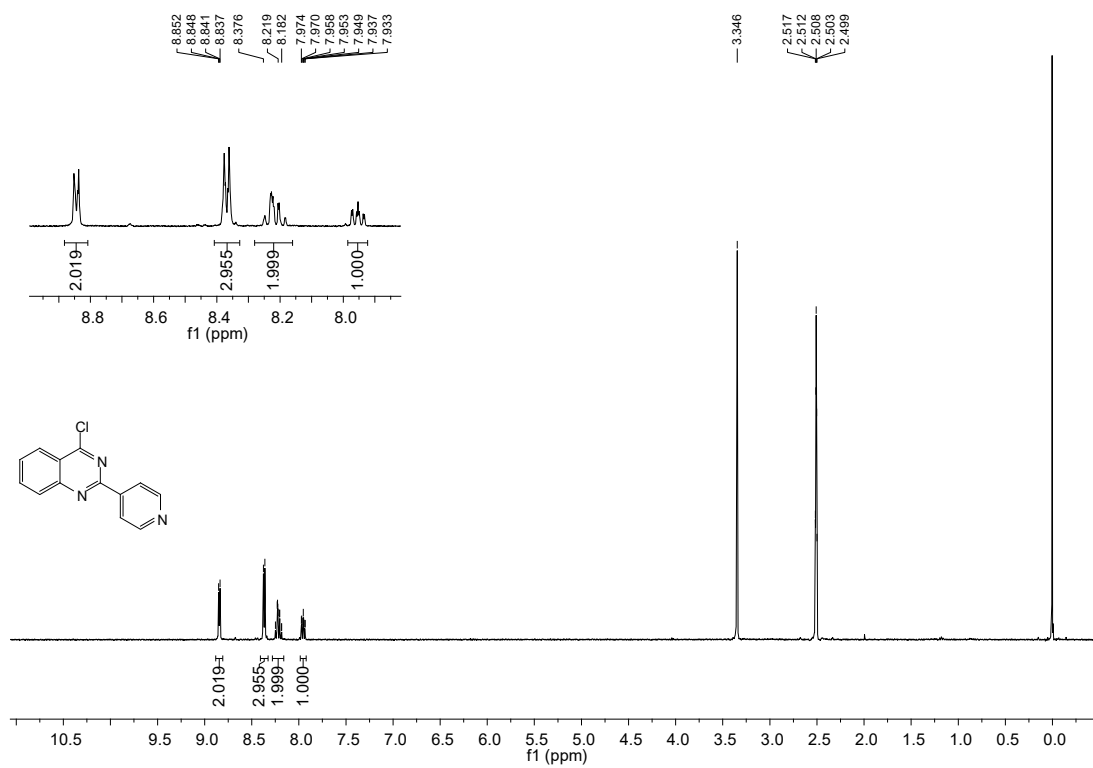


Figure S6. ¹H NMR (400 MHz, *d*₆-DMSO) of **2**

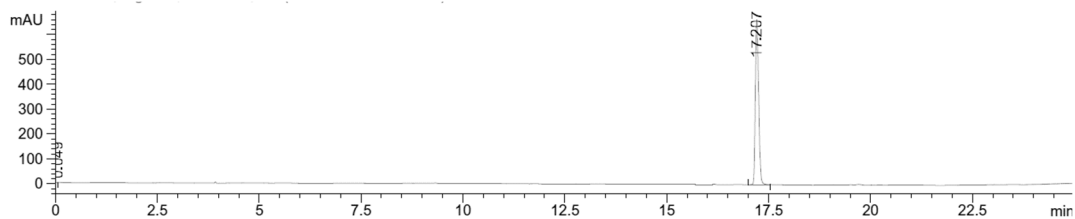


Figure S7. HPLC analysis of **3**

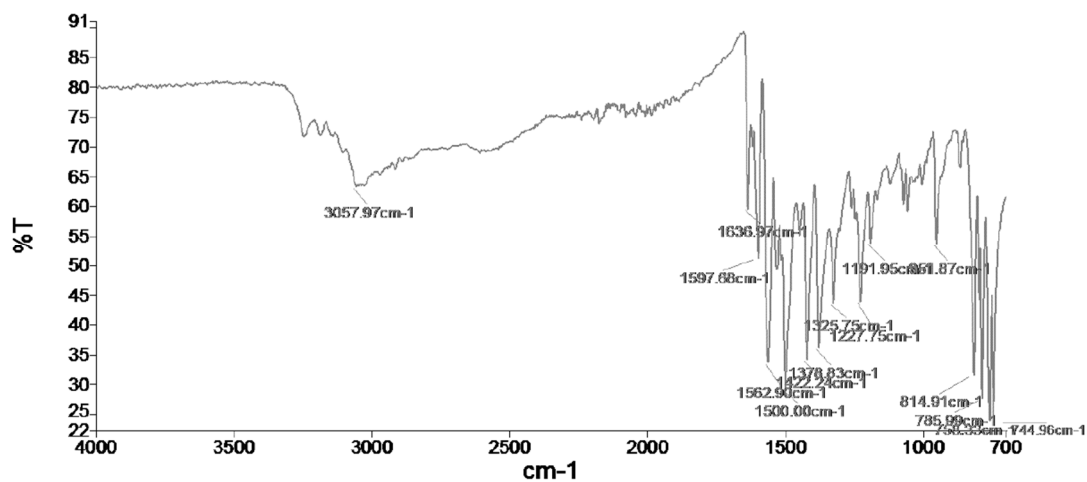


Figure S8. IR spectra of **3**

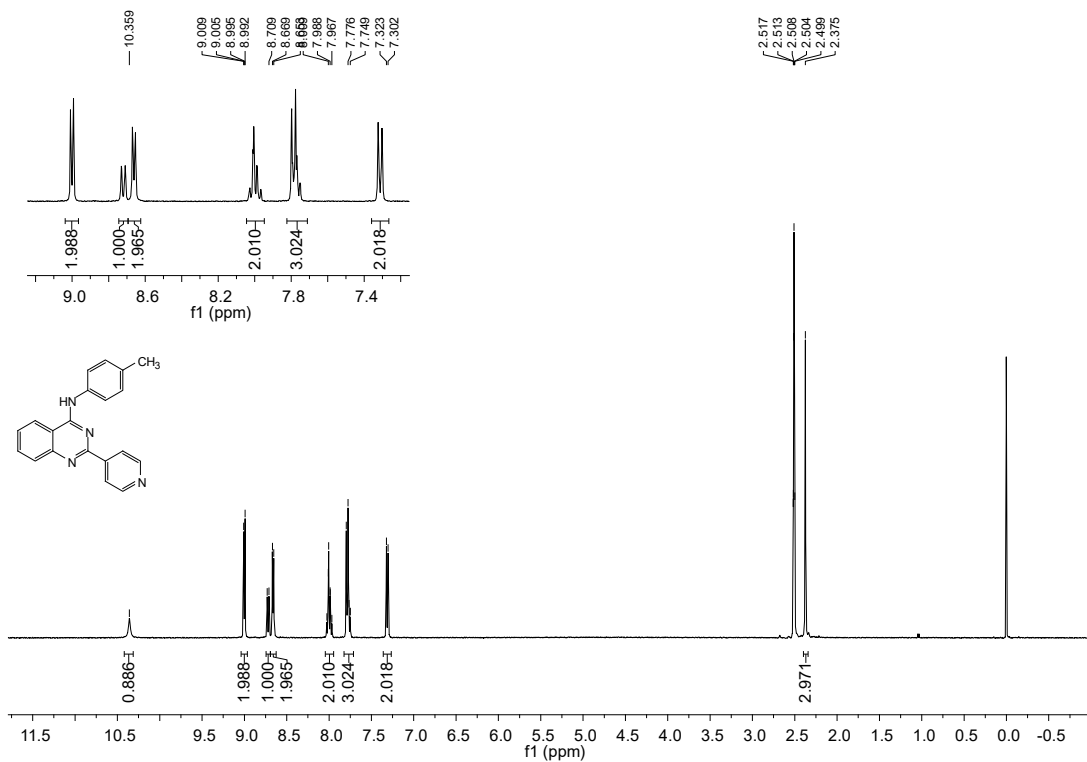


Figure S9. ¹H NMR (400 MHz, d₆-DMSO) of **3**

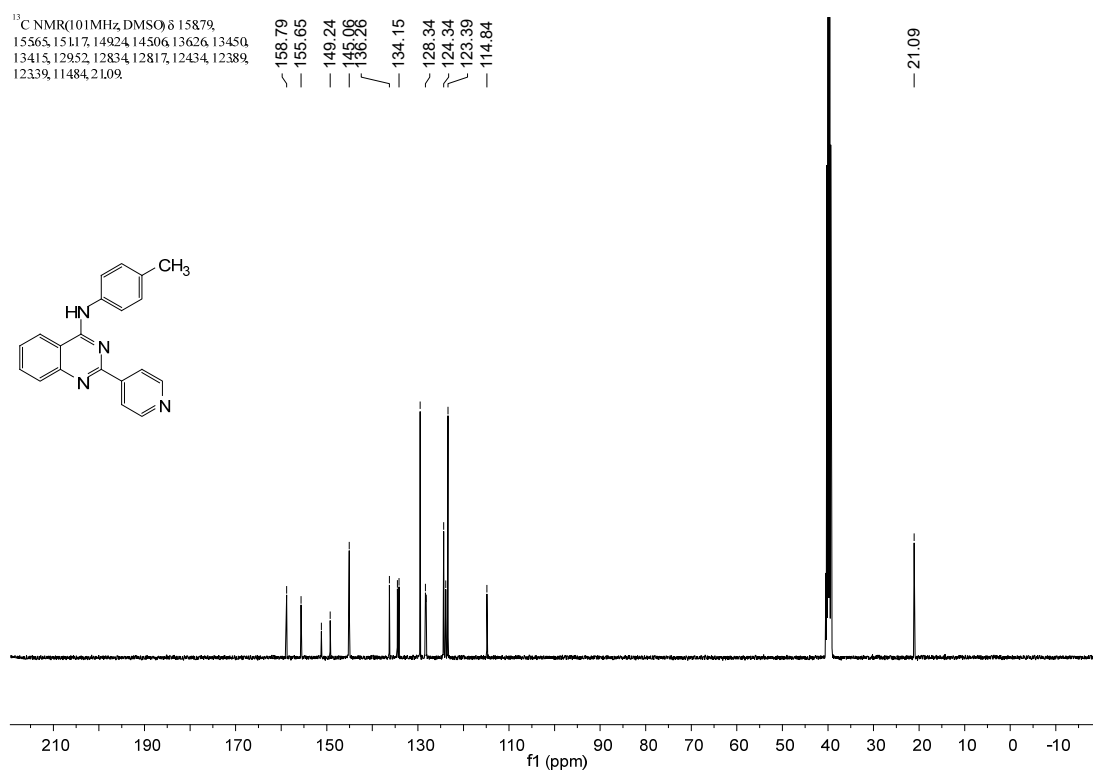


Figure S10. ¹³C NMR (101 MHz, *d*₆-DMSO) of 3

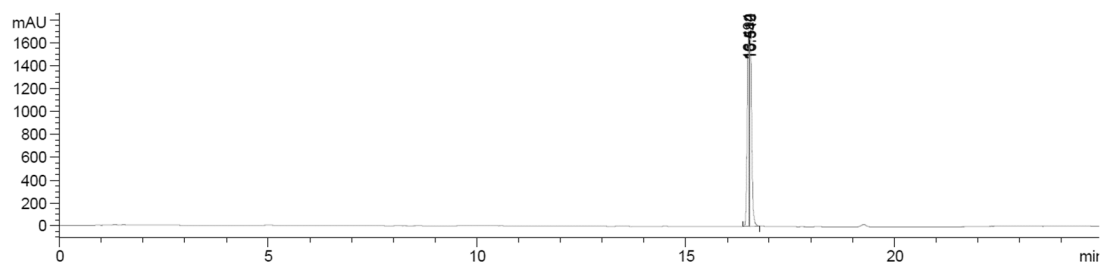


Figure S11. HPLC analysis of 4

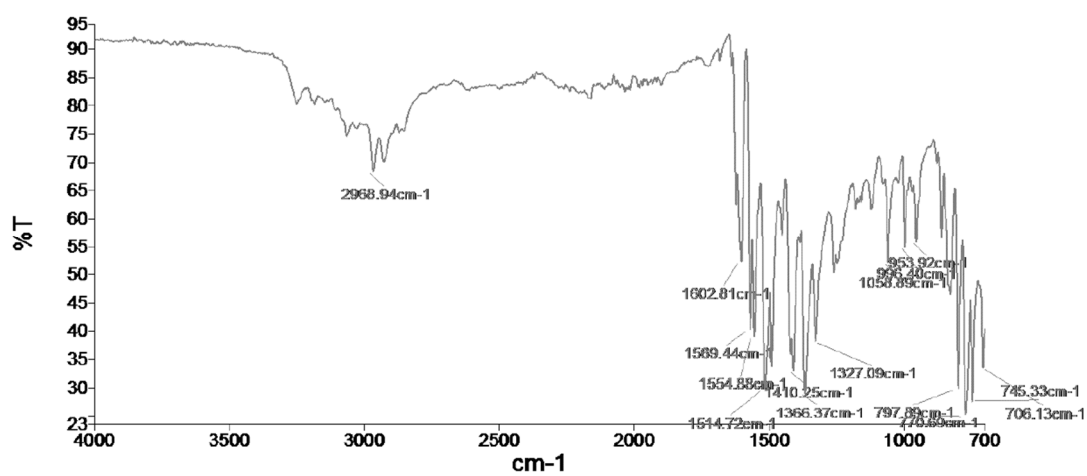


Figure S12. IR spectra of 4

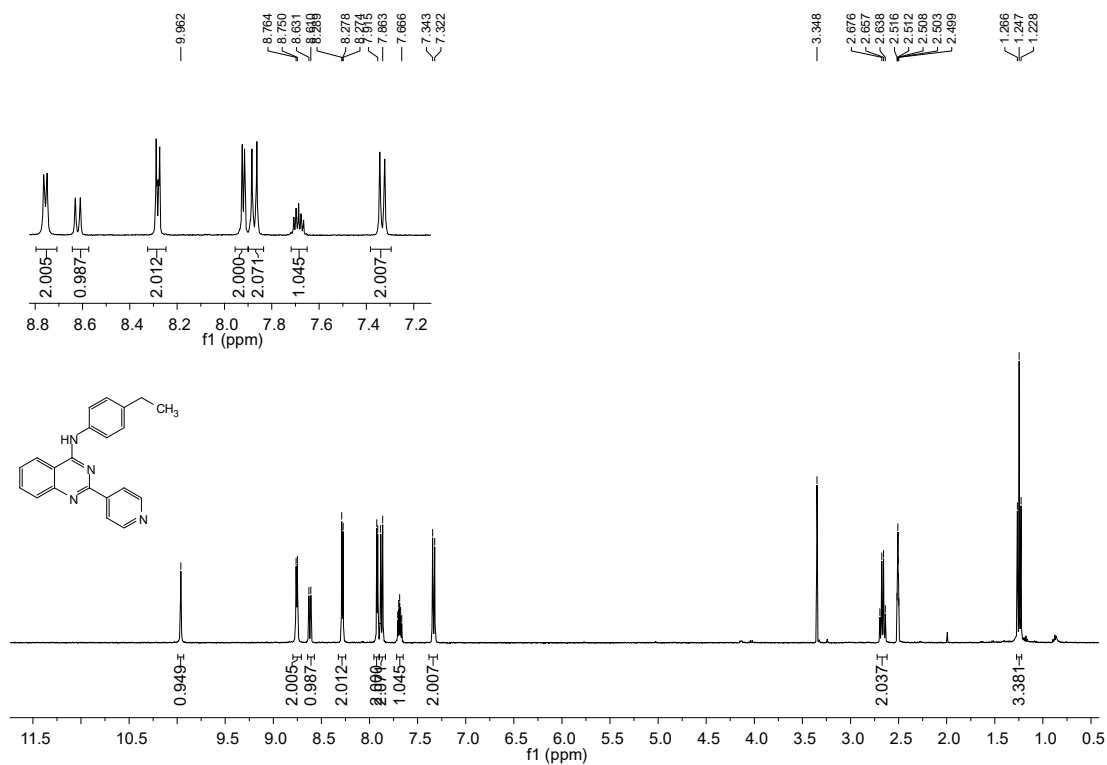


Figure S13. ¹H NMR (400 MHz, *d*₆-DMSO) of 4

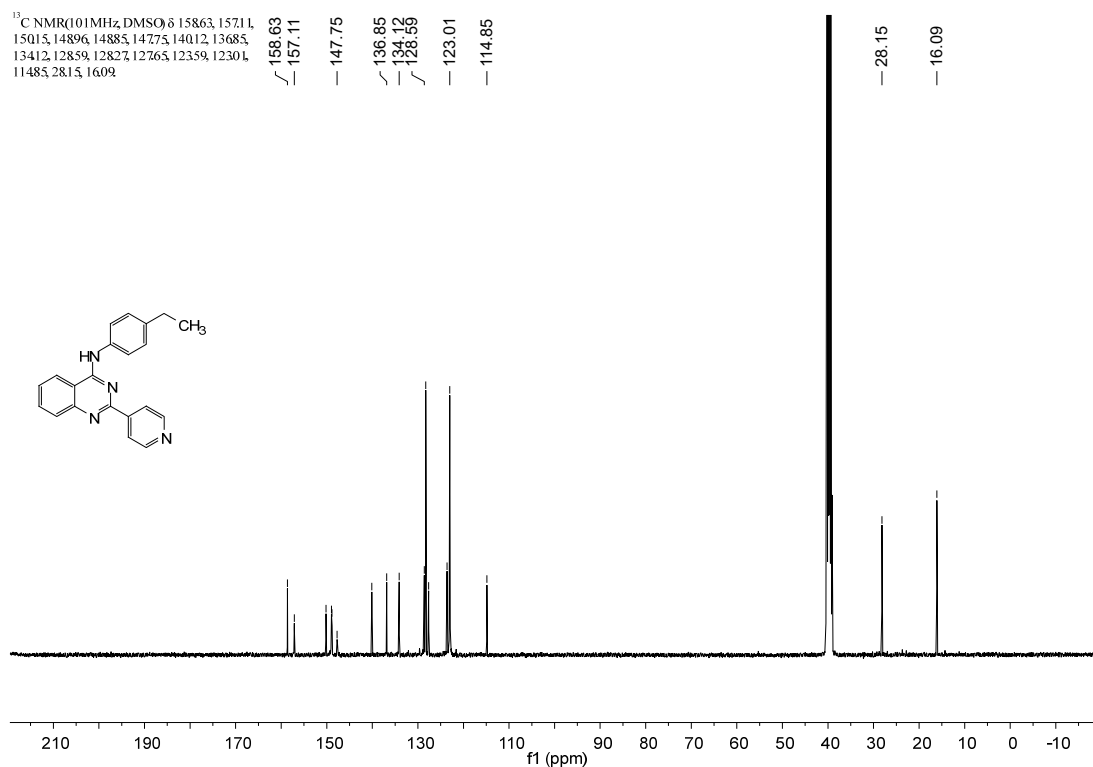


Figure S14. ¹³C NMR (101 MHz, *d*₆-DMSO) of 4

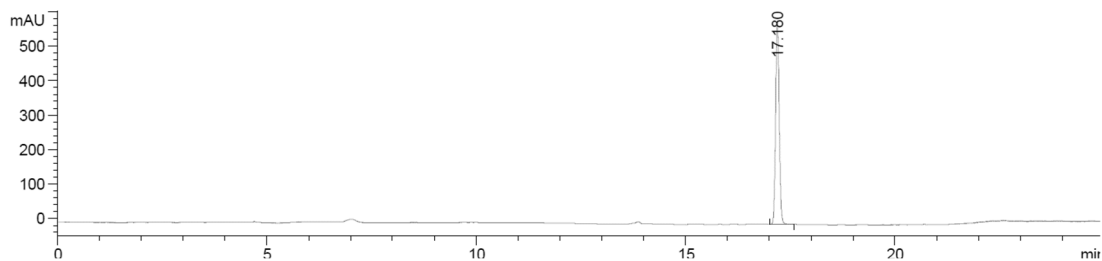


Figure S15. HPLC analysis of **5**

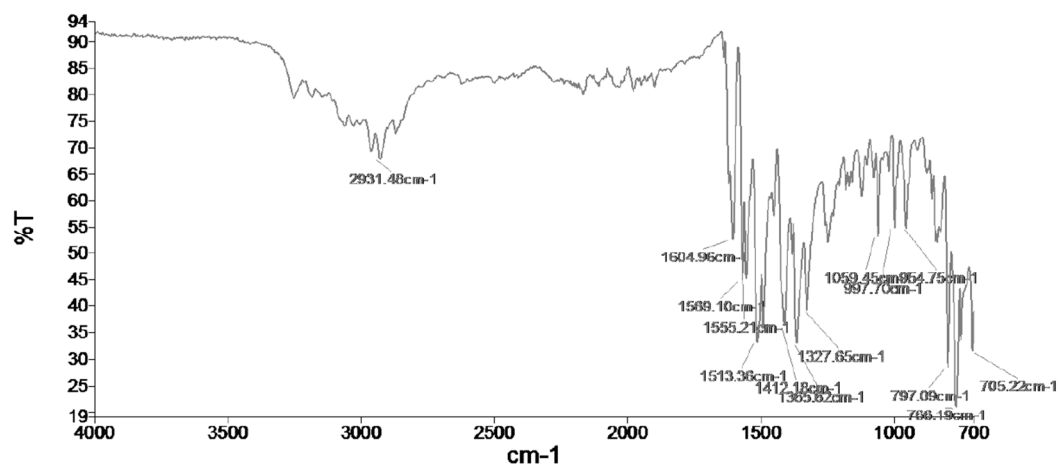


Figure S16. IR spectra of **5**

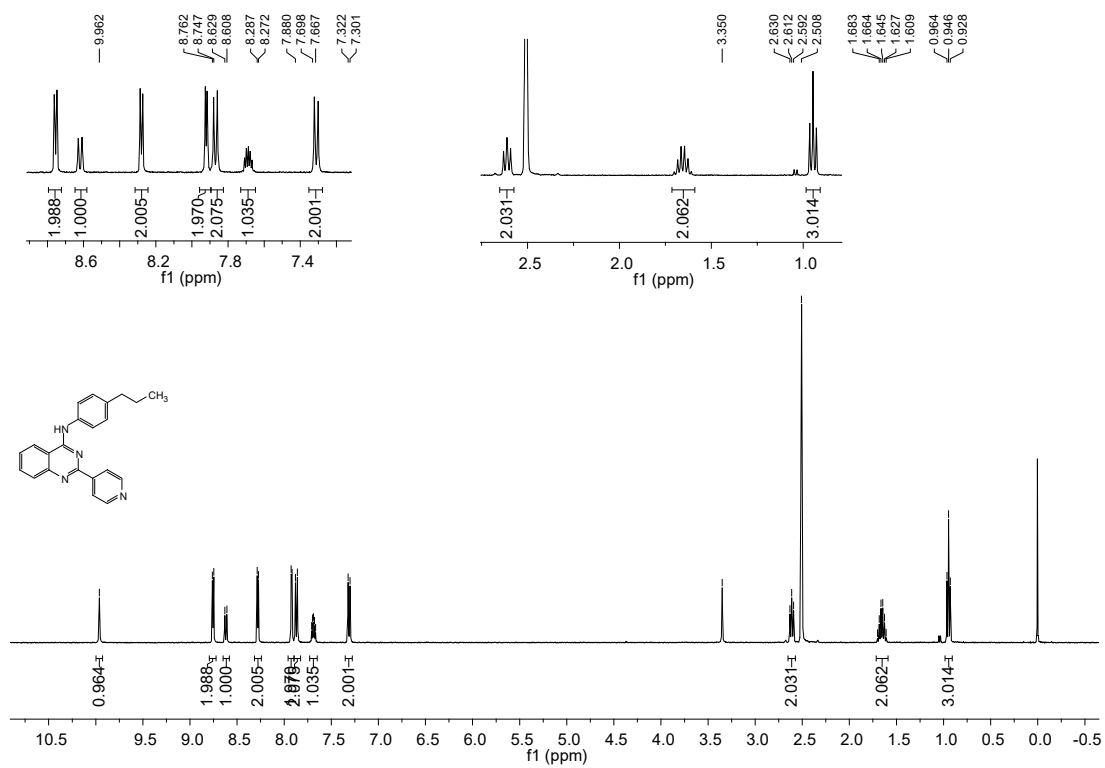
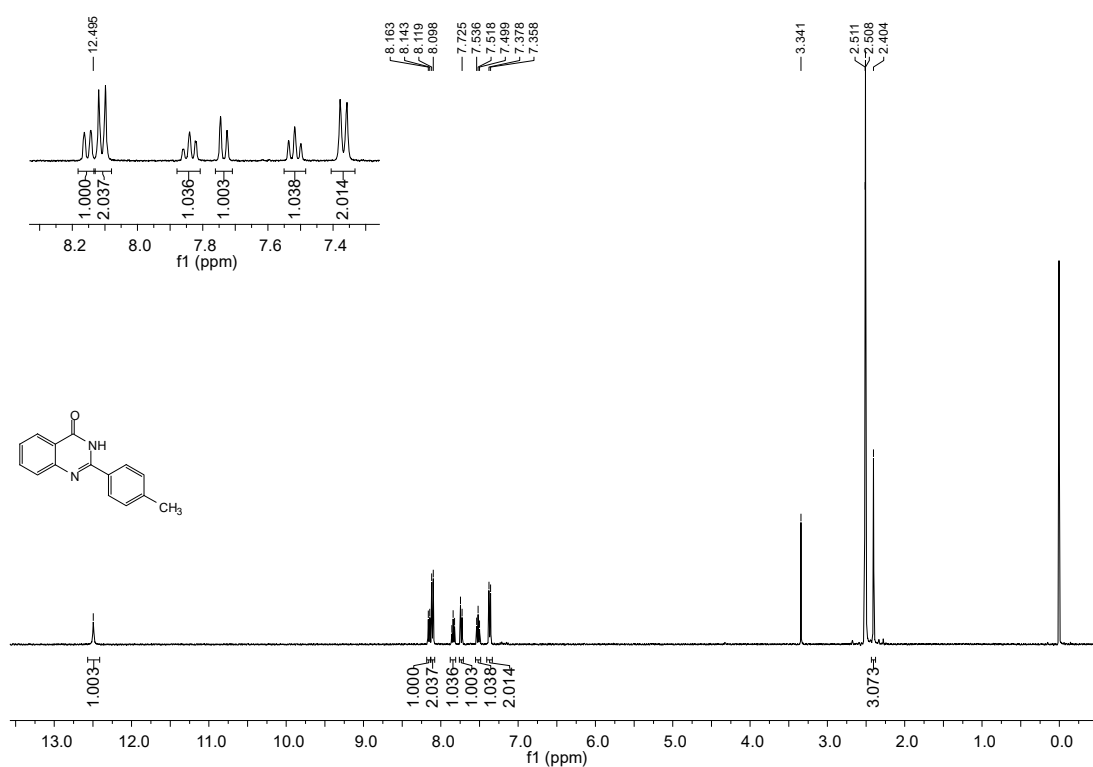
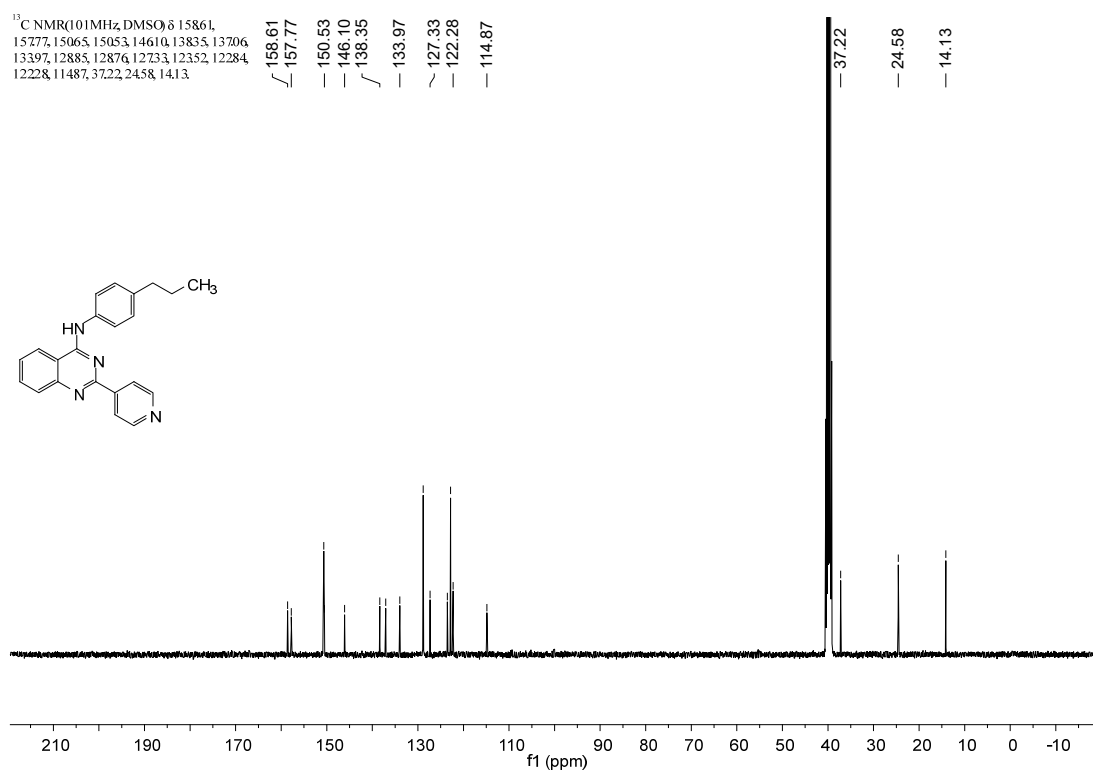


Figure S17. ¹H NMR (400 MHz, d₆-DMSO) of **5**



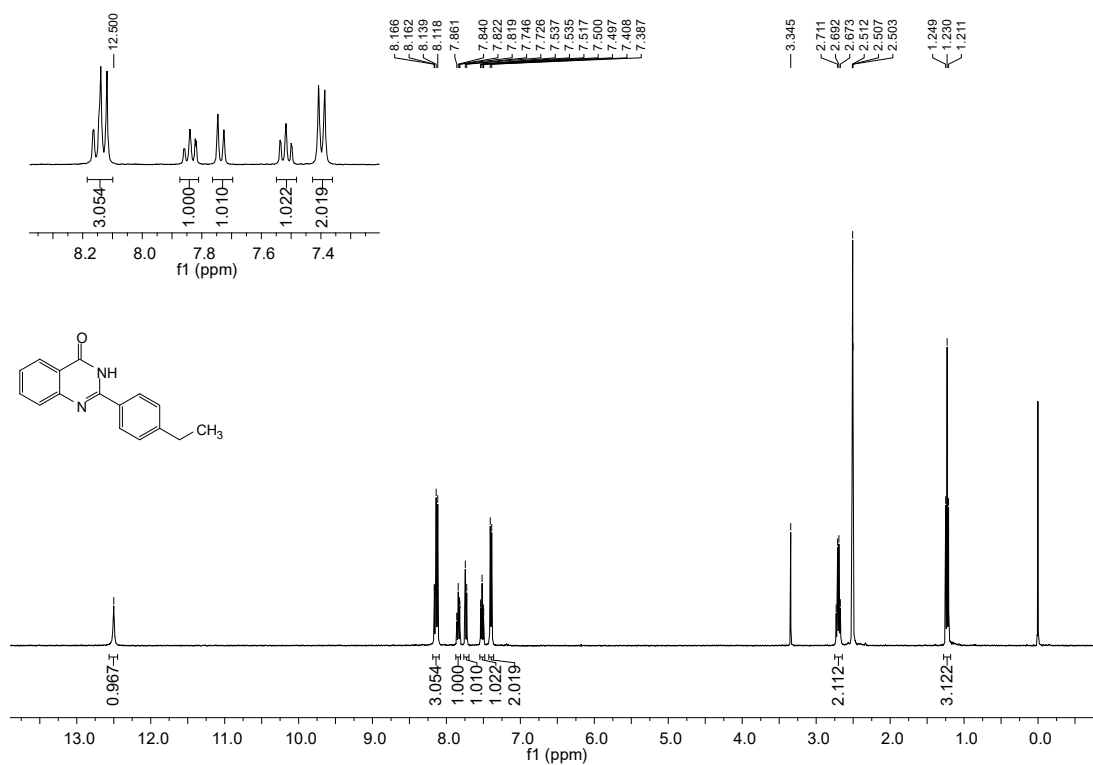


Figure S20. ¹H NMR (400 MHz, *d*₆-DMSO) of 7

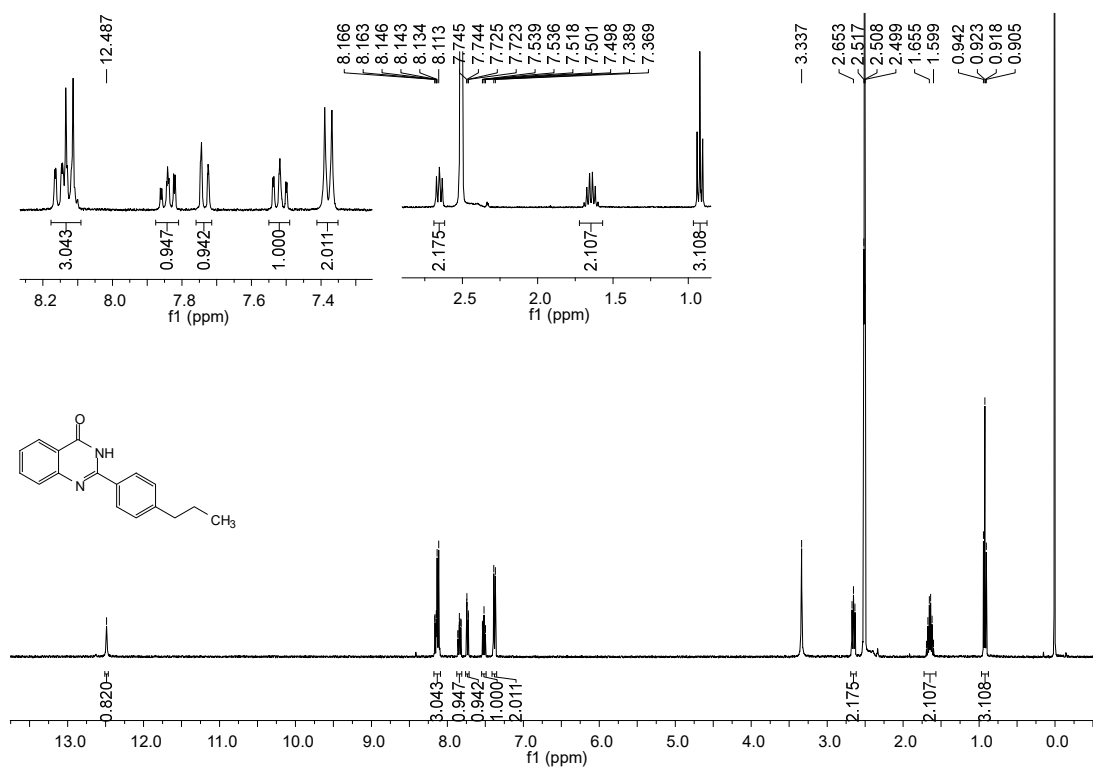


Figure S21. ¹H NMR (400 MHz, *d*₆-DMSO) of 8

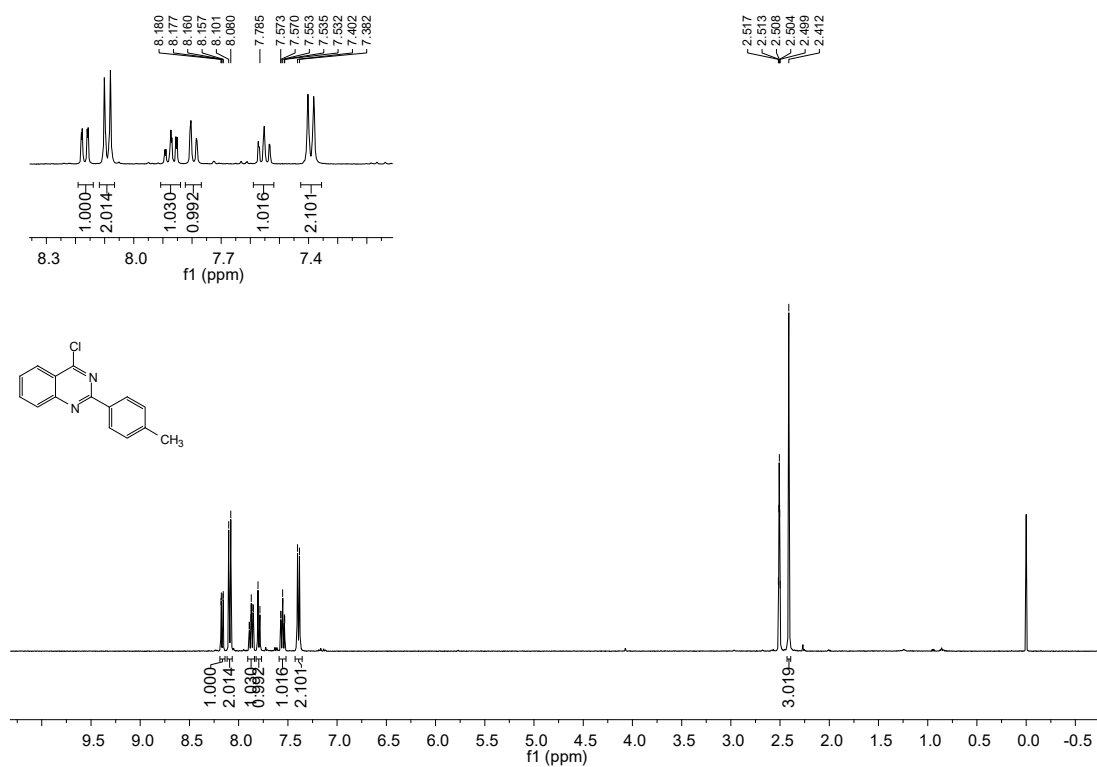


Figure S22. ¹H NMR (400 MHz, *d*₆-DMSO) of **9**

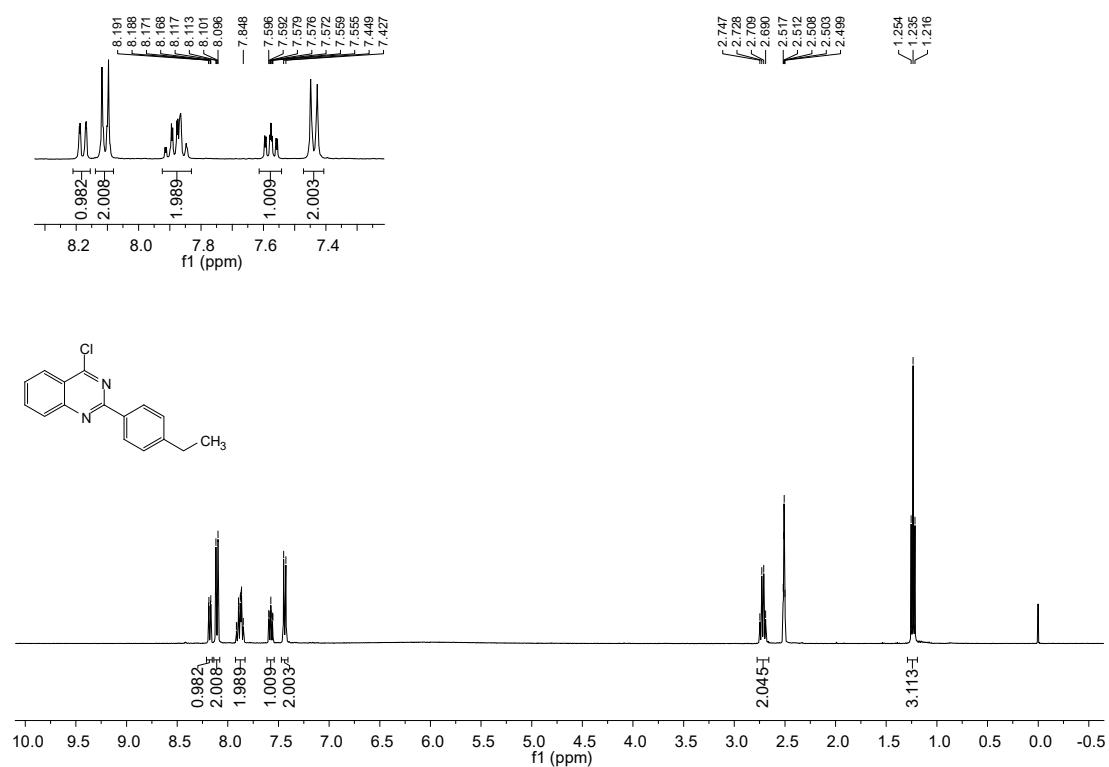


Figure S23. ¹H NMR (400 MHz, *d*₆-DMSO) of **10**

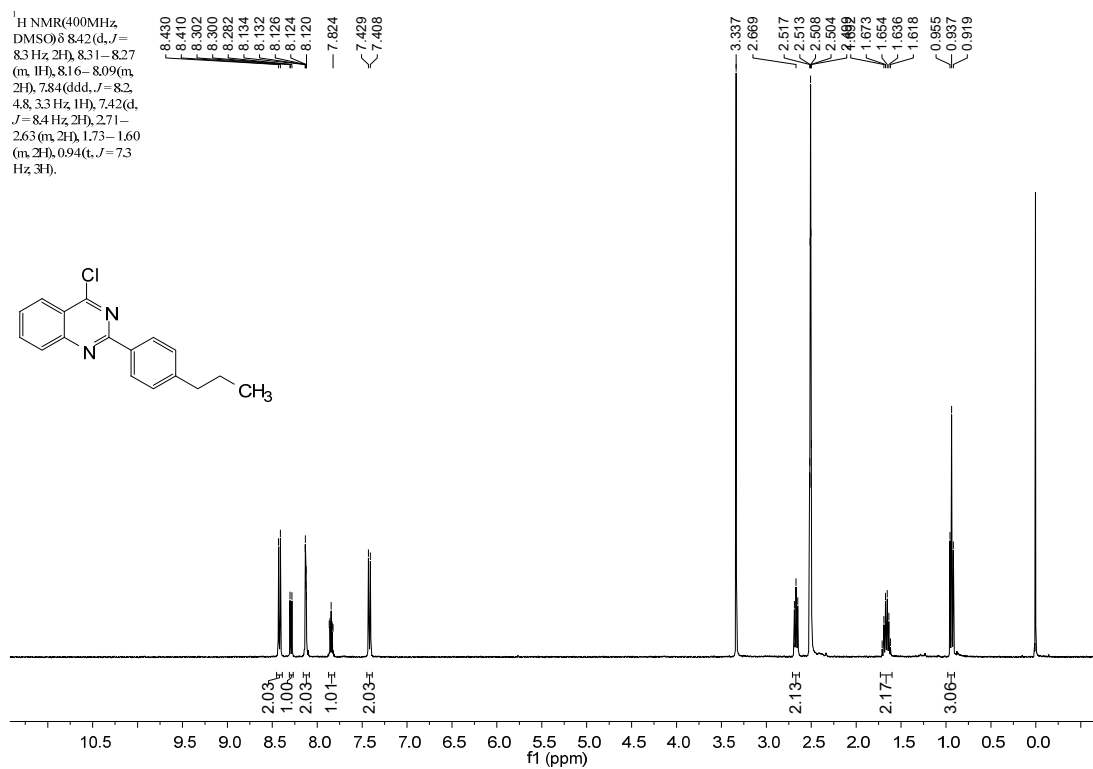


Figure S24. ¹H NMR (400 MHz, *d*₆-DMSO) of **11**

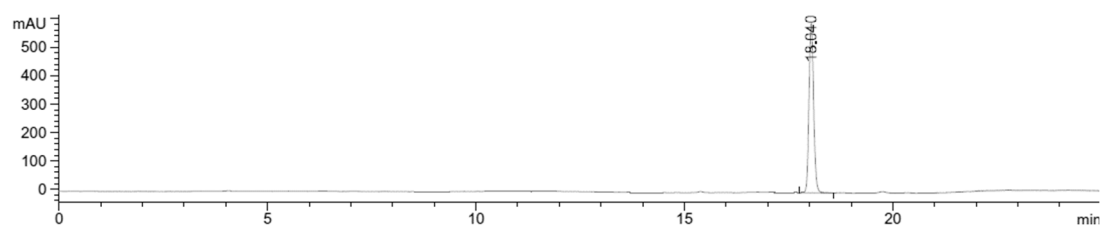


Figure S25. HPLC analysis of **12**

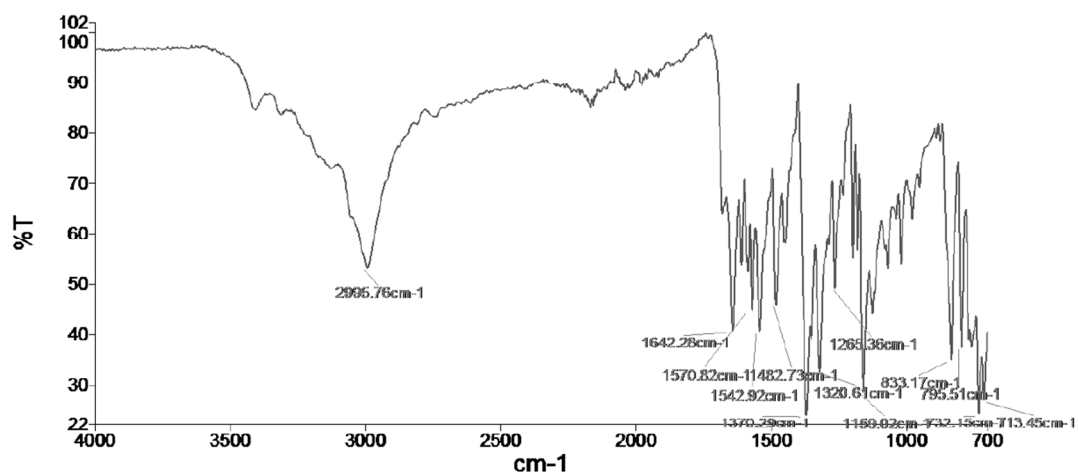


Figure S26. IR spectra of **12**

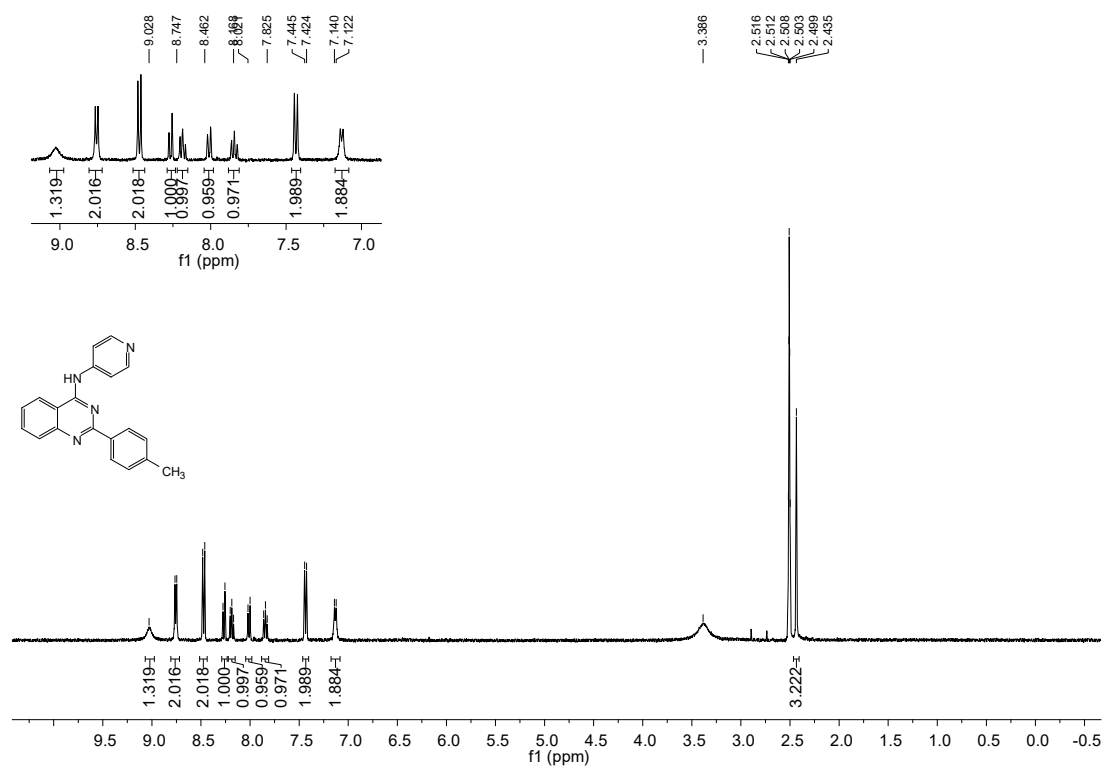


Figure S27. ¹H NMR (400 MHz, *d*₆-DMSO) of **12**

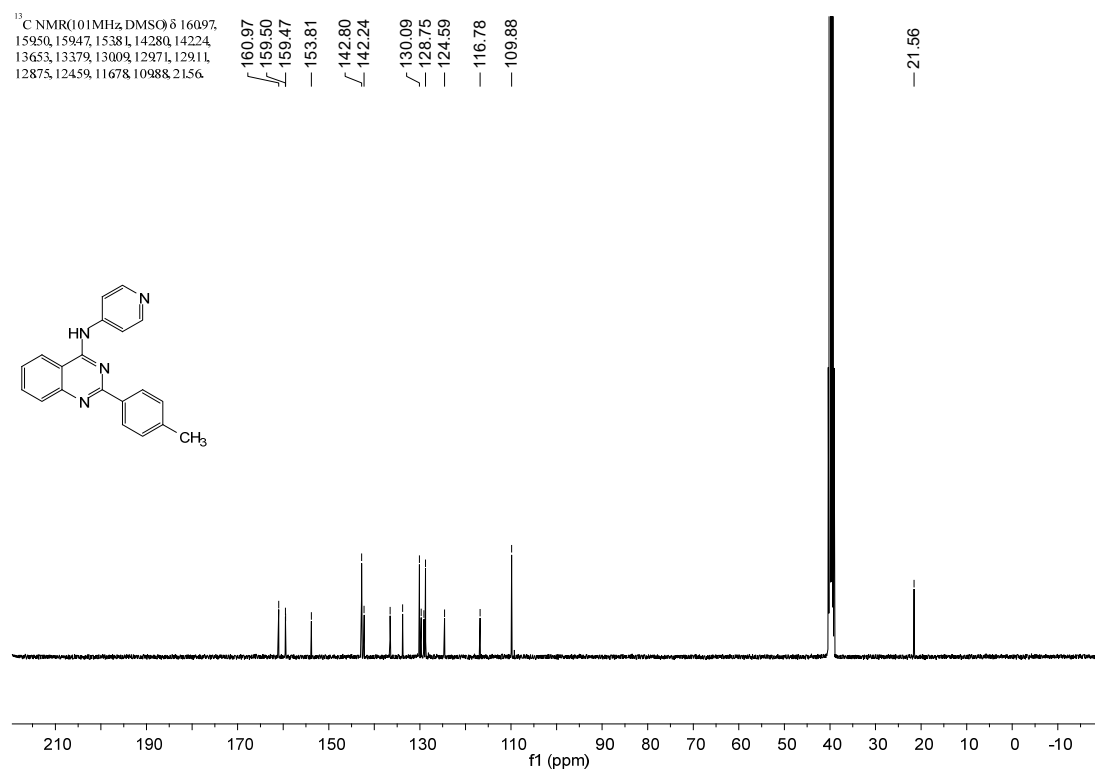


Figure S28. ¹³C NMR (101 MHz, *d*₆-DMSO) of **12**

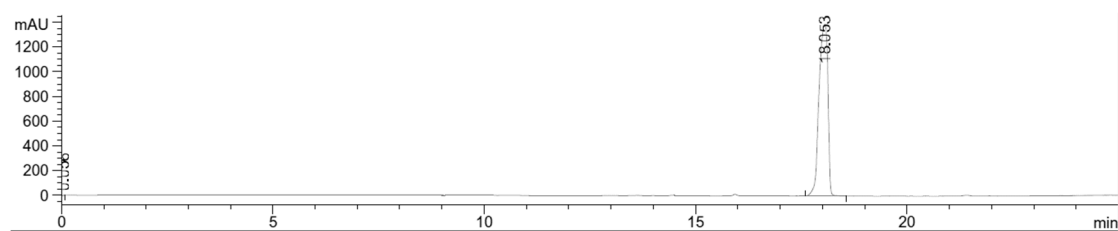


Figure S29. HPLC analysis of **13**

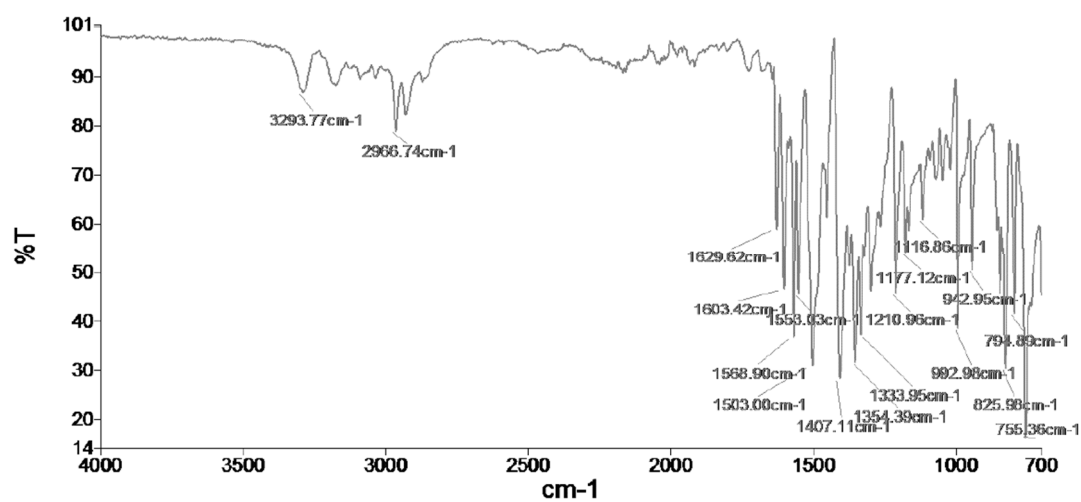


Figure S30. IR spectra of **13**

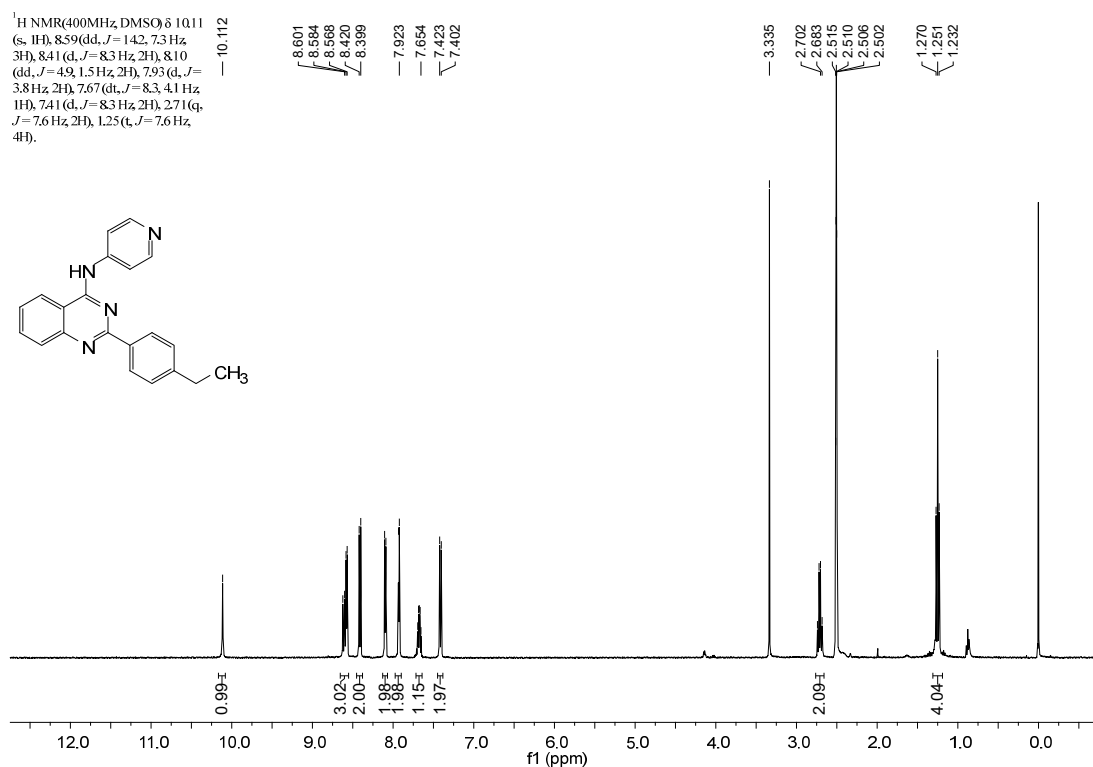


Figure S31. ¹H NMR (400 MHz, *d*₆-DMSO) of **13**

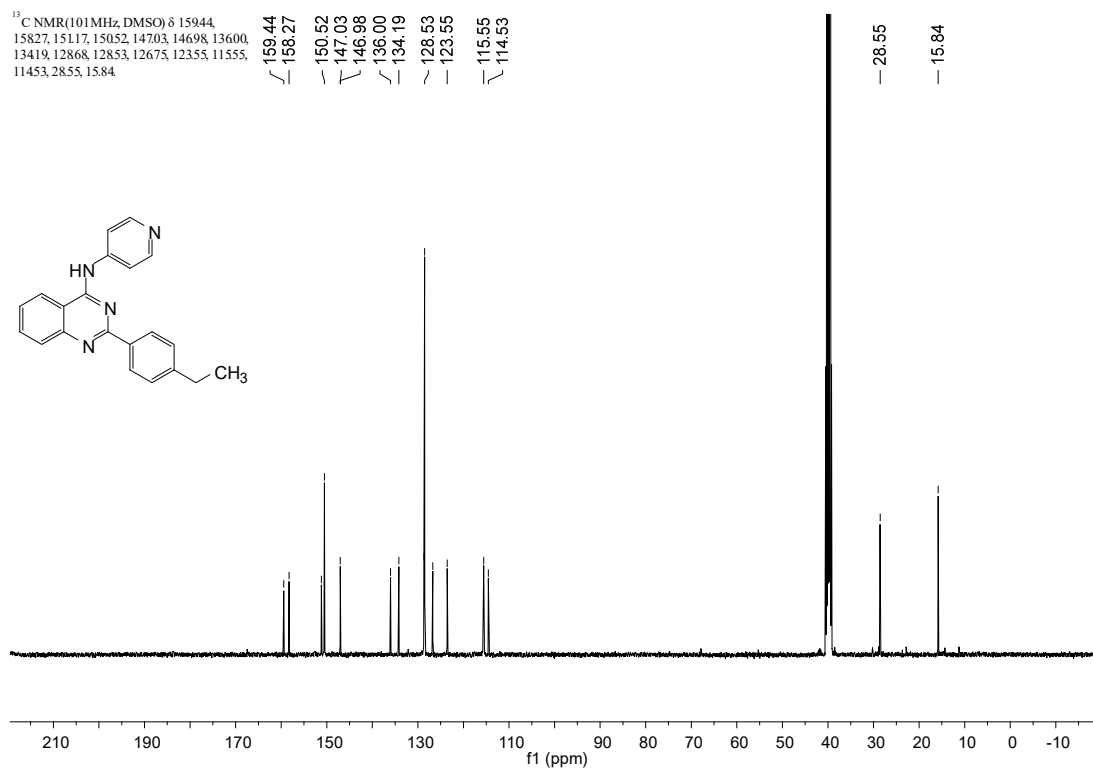


Figure S32. ¹³C NMR (101 MHz, *d*₆-DMSO) of **13**

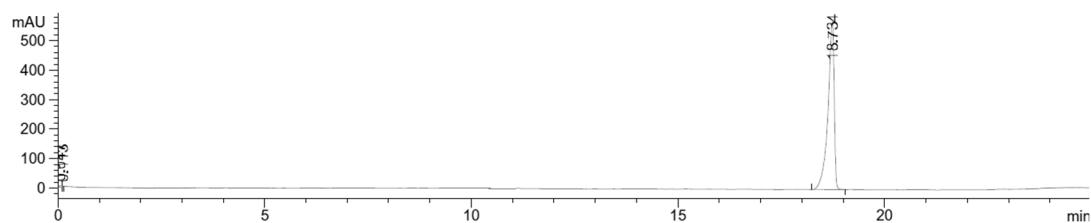


Figure S33. HPLC analysis of **14**

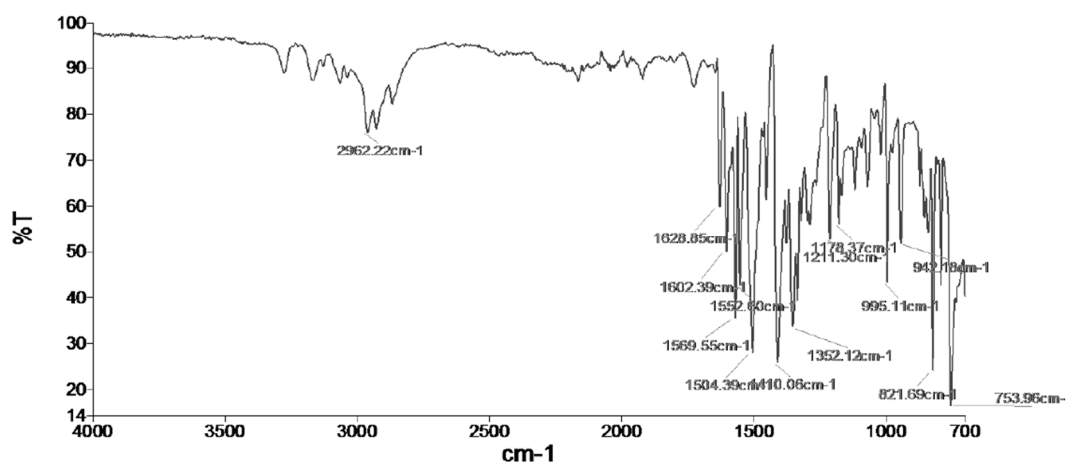


Figure S34. IR spectra of **14**

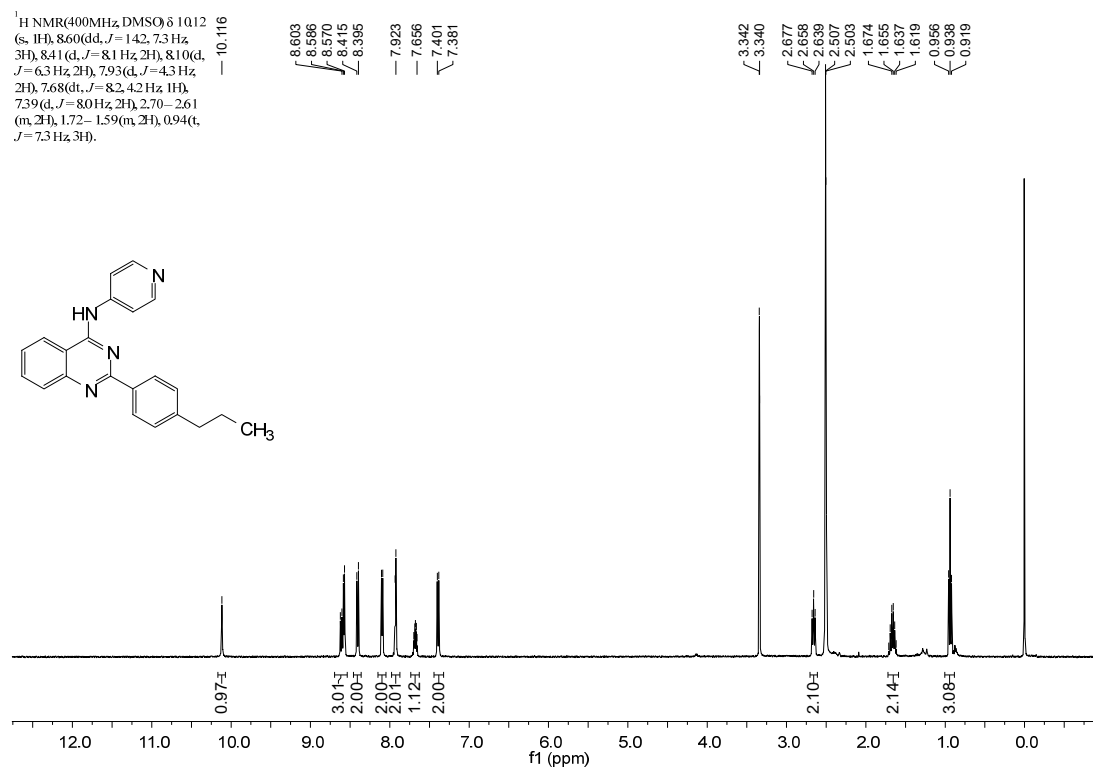


Figure S35. ¹H NMR (400 MHz, *d*₆-DMSO) of **14**

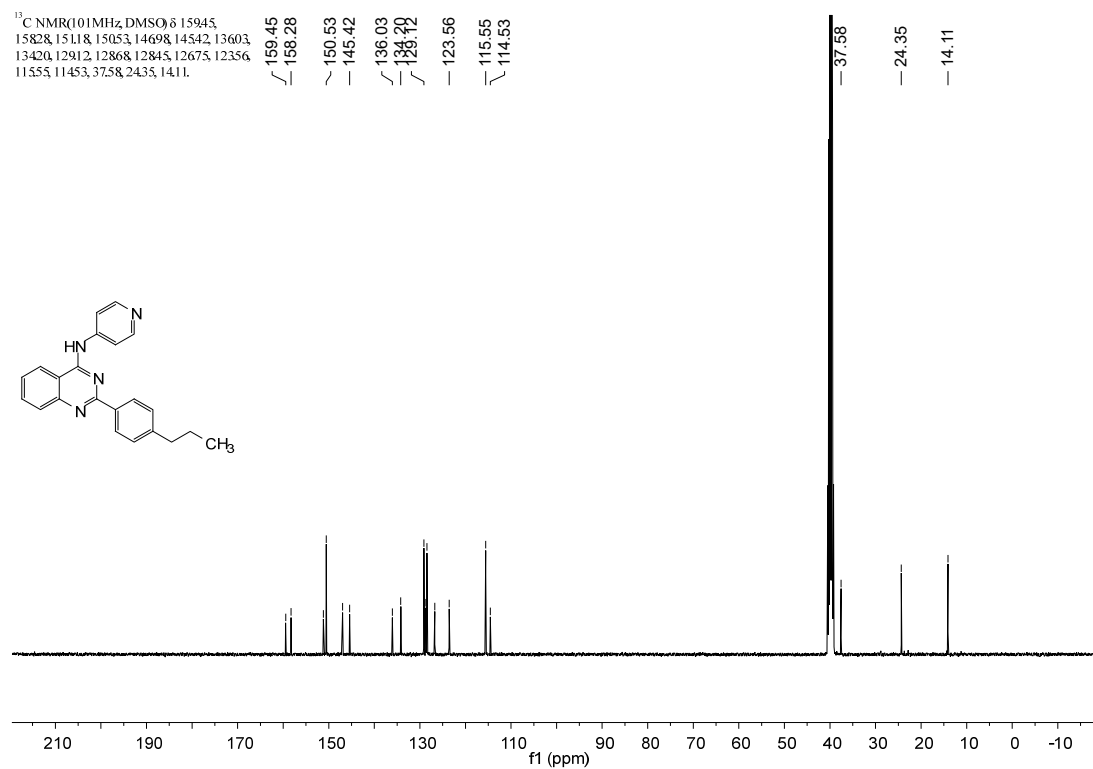


Figure S36. ¹³C NMR (101 MHz, *d*₆-DMSO) of **14**

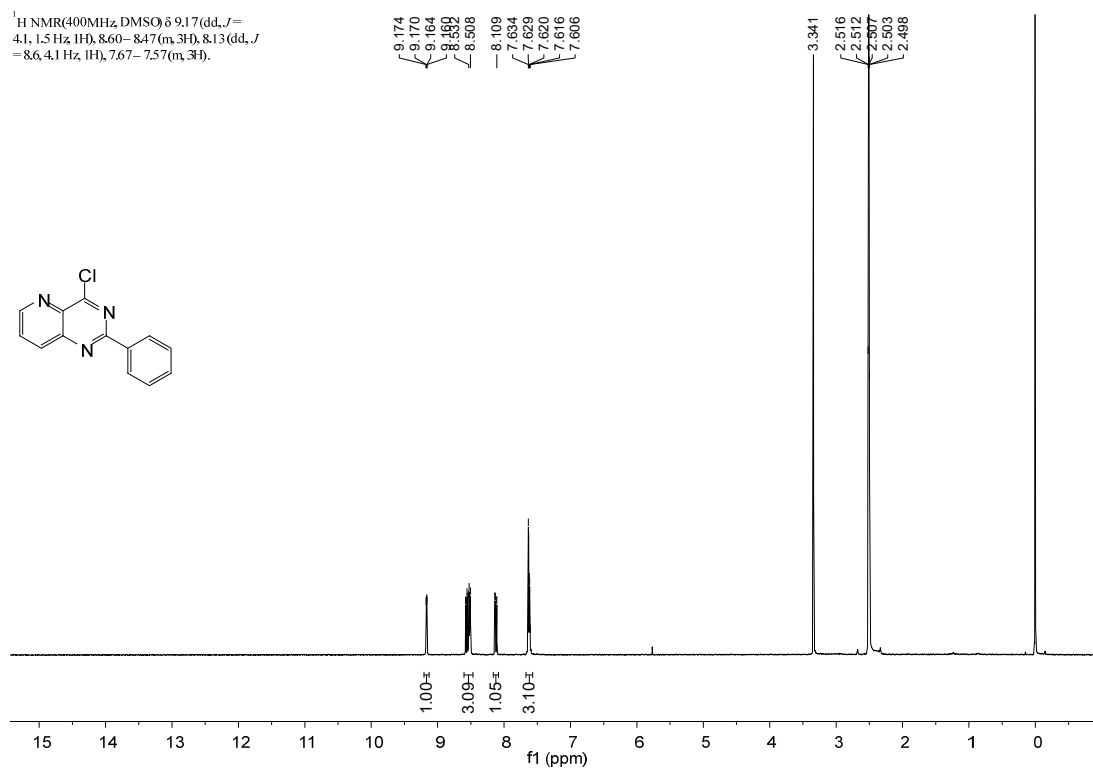


Figure S39. ¹H NMR (400 MHz, *d*₆-DMSO) of 17

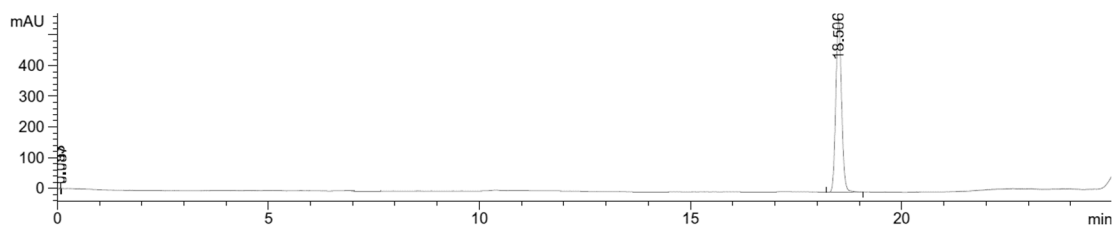


Figure S40. HPLC analysis of 18

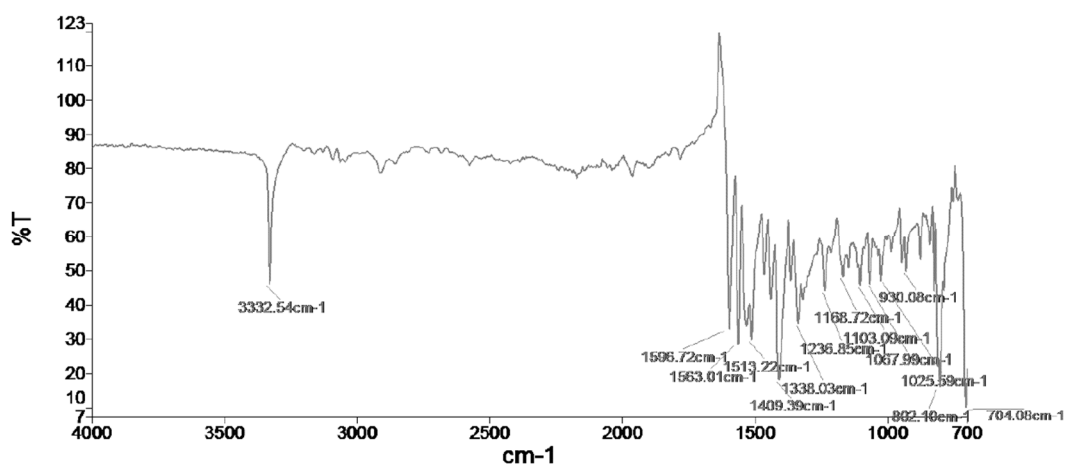
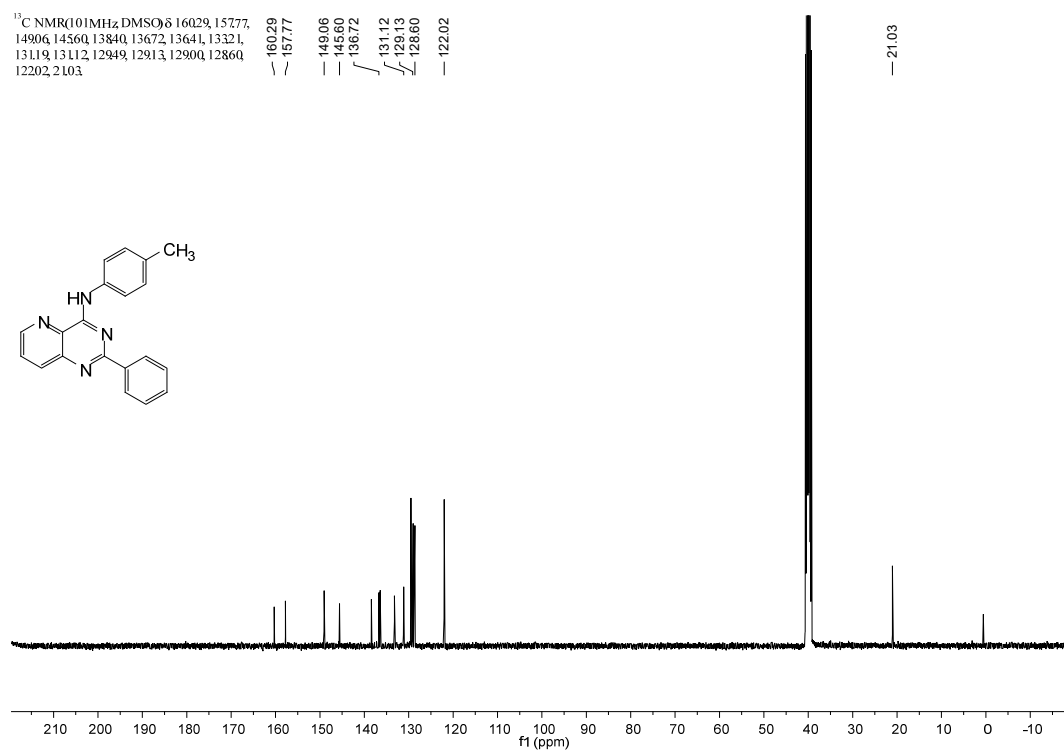
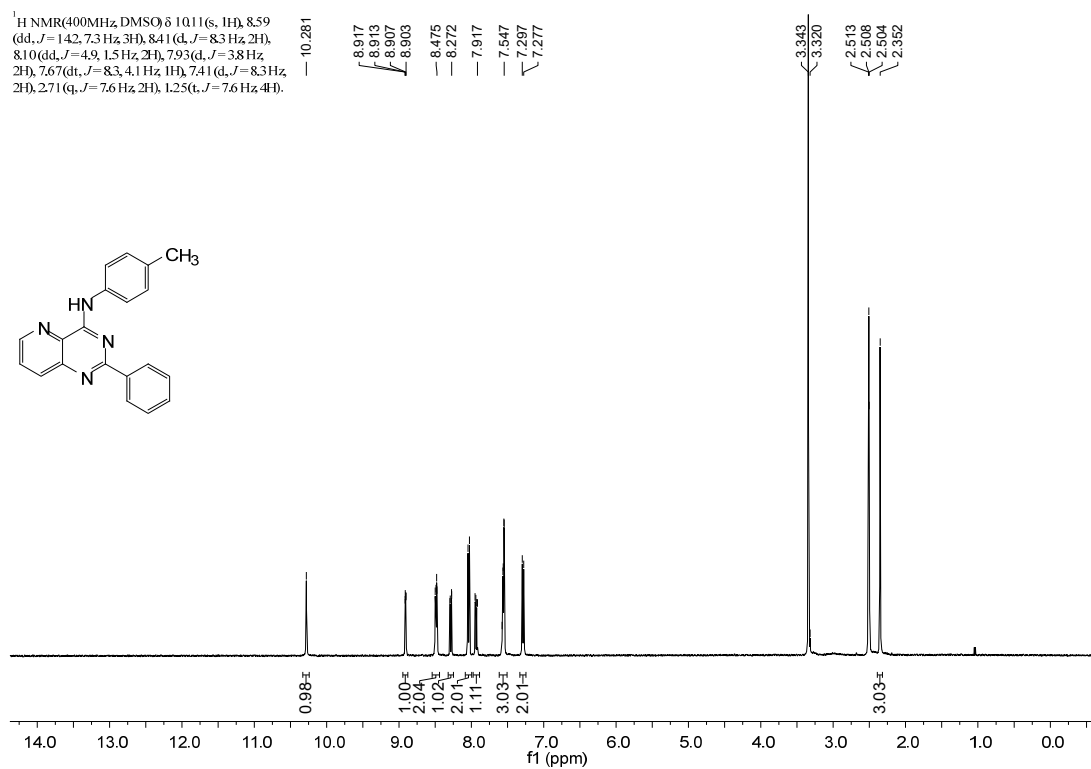


Figure S41. IR spectra of 18



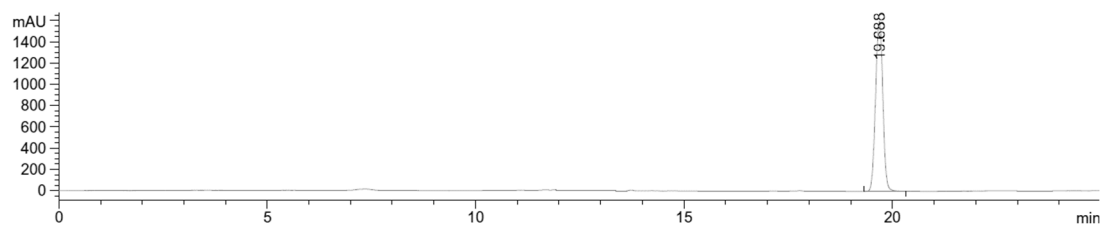


Figure S44. HPLC analysis of **19**

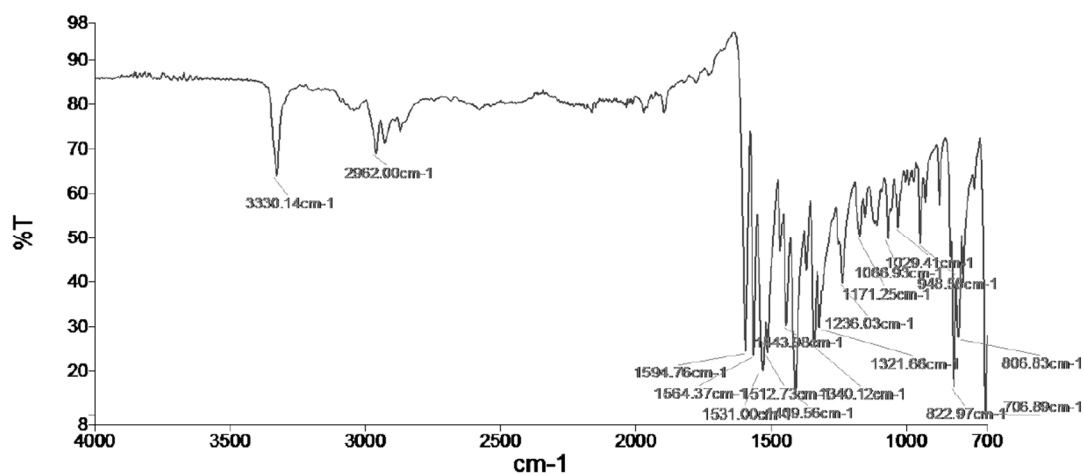


Figure S45. IR spectra of **19**

¹H NMR (400 MHz, DMSO) δ 10.28 (s, 1H), 8.91 (dd, J = 4.2, 1.5 Hz, 1H), 8.55–8.45 (m, 2H), 8.28 (dd, J = 8.5, 1.5 Hz, 1H), 8.07 (d, J = 8.5 Hz, 2H), 7.93 (dd, J = 8.5, 4.2 Hz, 1H), 7.61–7.51 (m, 3H), 7.32 (d, J = 8.5 Hz, 2H), 2.65 (q, J = 7.5 Hz, 2H), 1.23 (t, J = 7.6 Hz, 3H).

8.916

8.912

8.905

8.901

8.479

8.083

7.915

7.548

7.326

7.304

3.344

2.516

2.511

2.507

2.502

2.498

1.252

1.233

1.214

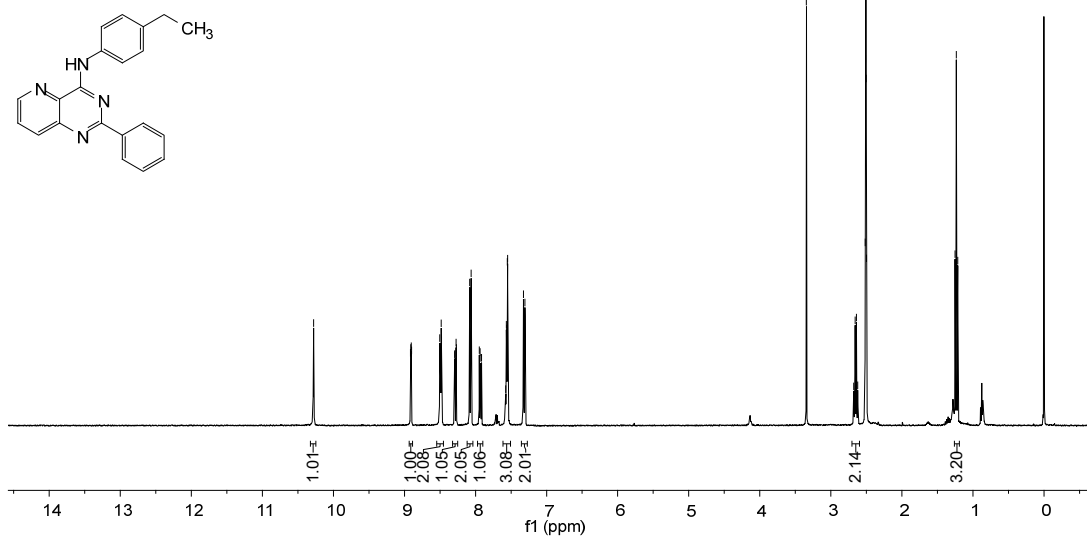


Figure S46. ¹H NMR (400 MHz, d₆-DMSO) of **19**

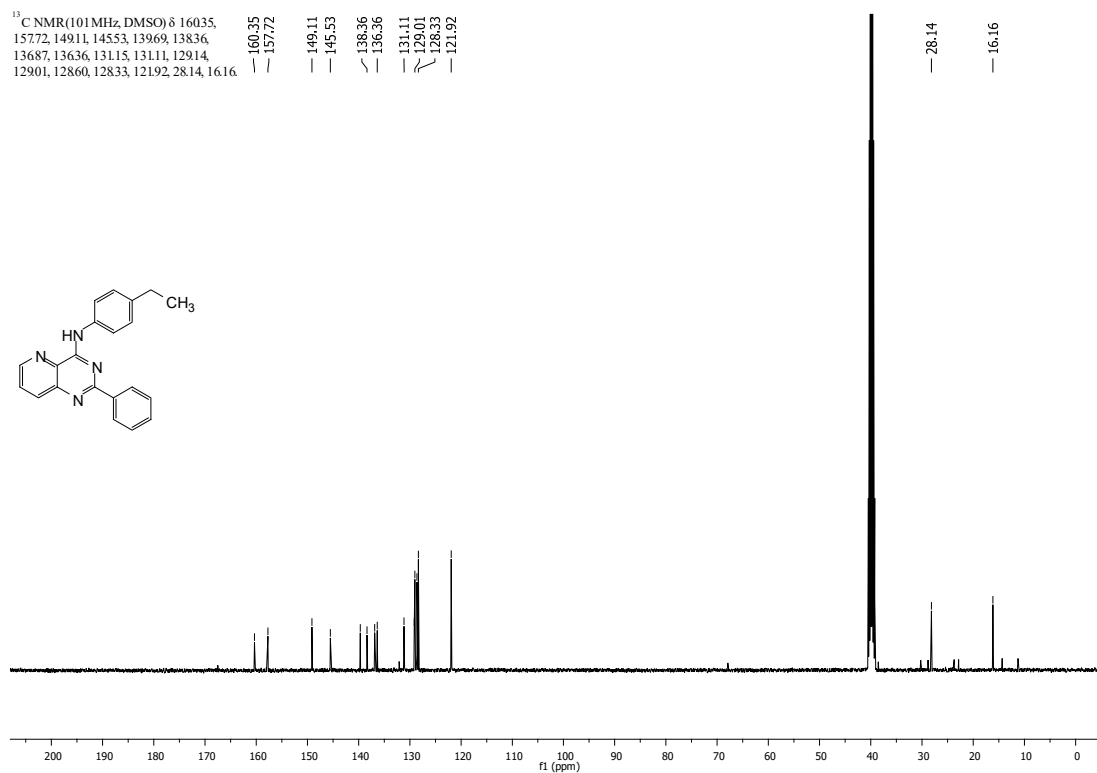


Figure S47. ¹³C NMR (101 MHz, *d*₆-DMSO) of **19**

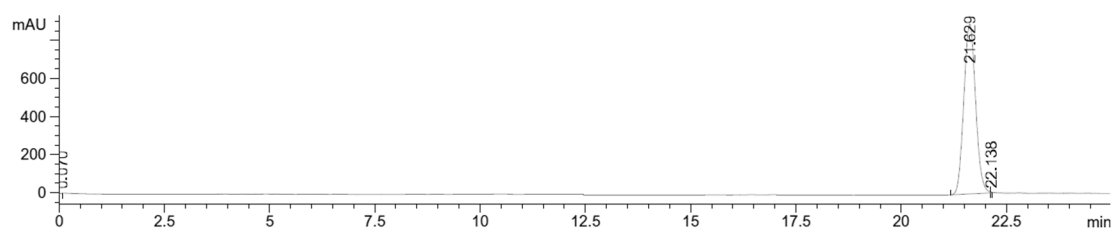


Figure S48. HPLC analysis of **20**

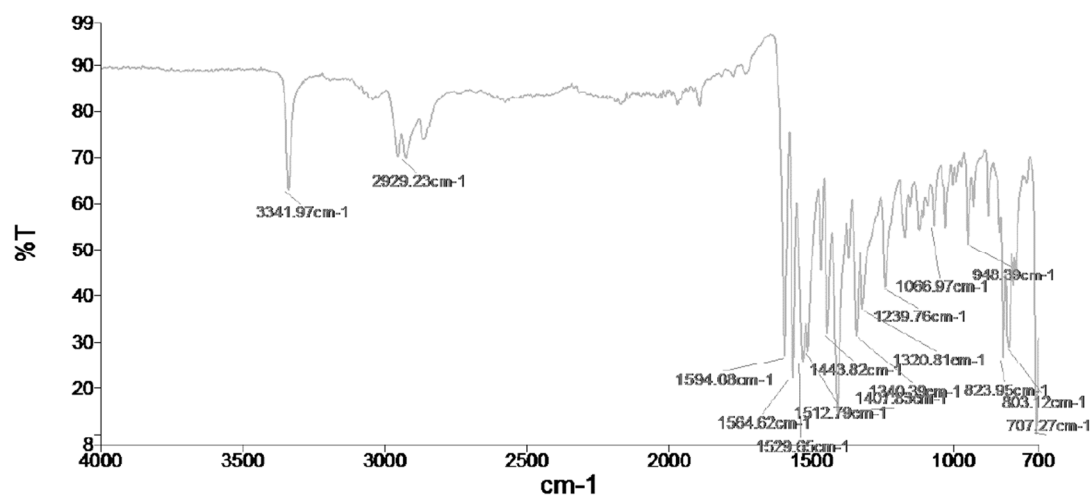
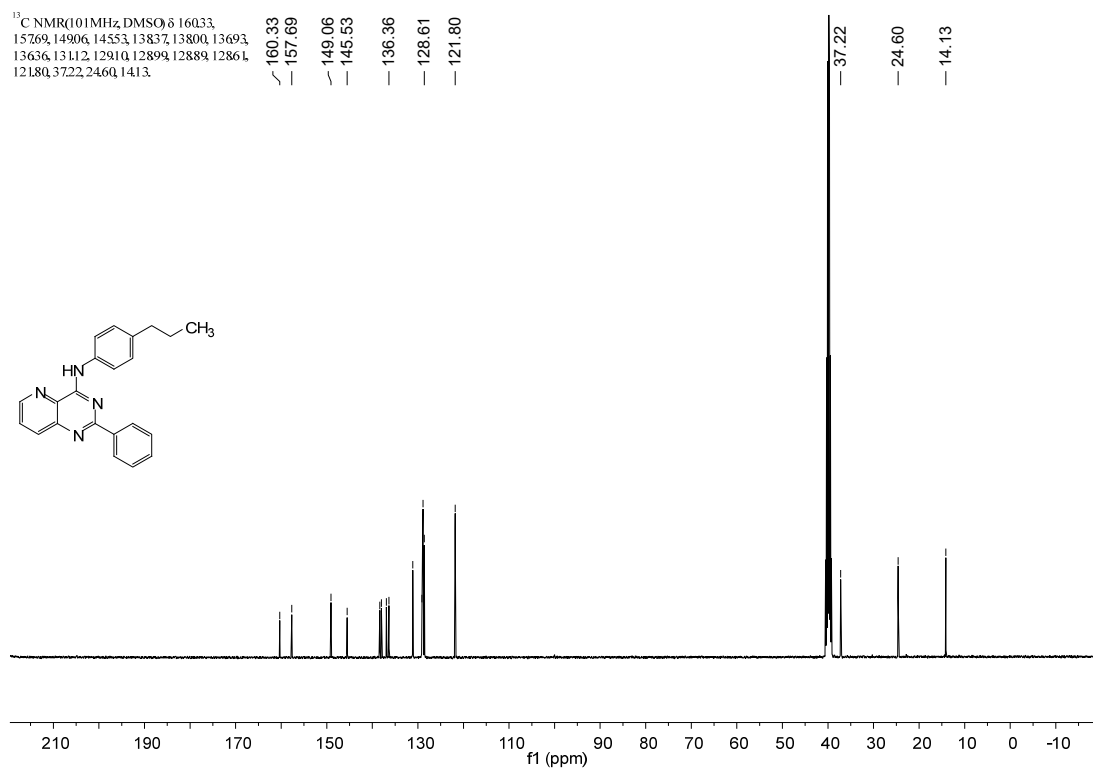
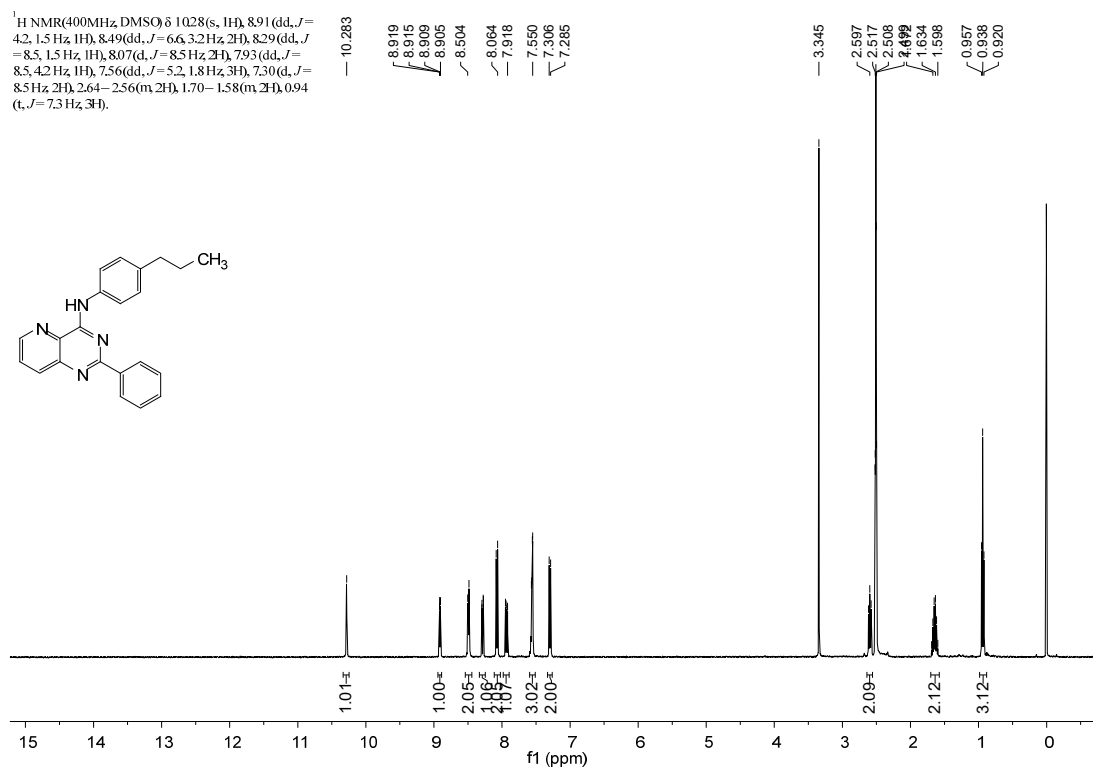


Figure S49. IR spectra of **20**



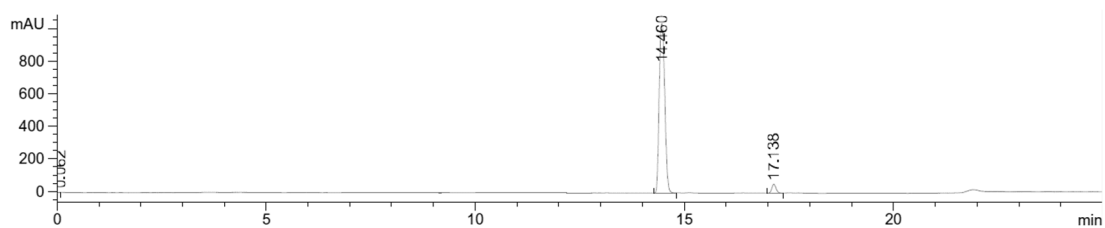


Figure S52. HPLC analysis of **21**

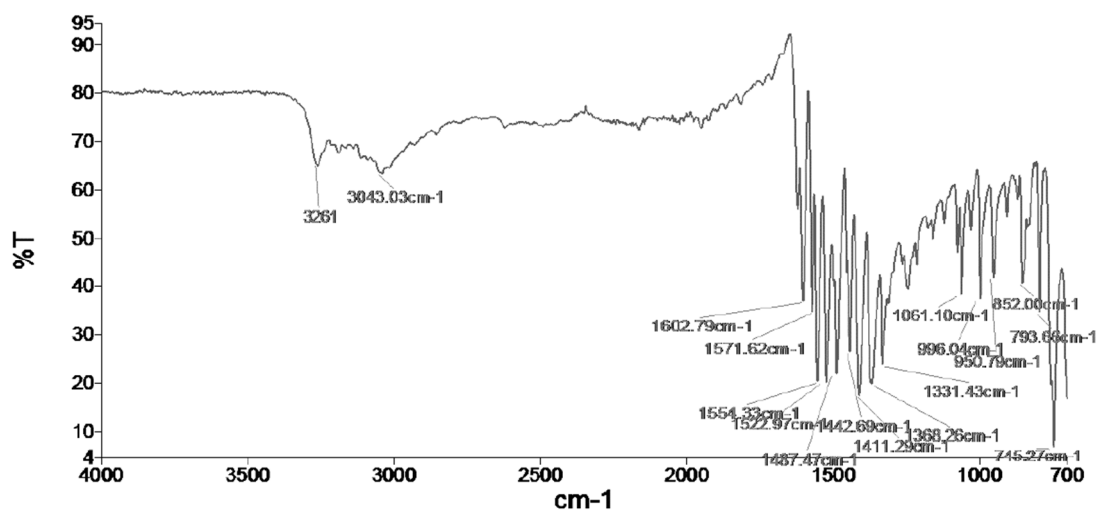


Figure S53. IR spectra of **21**

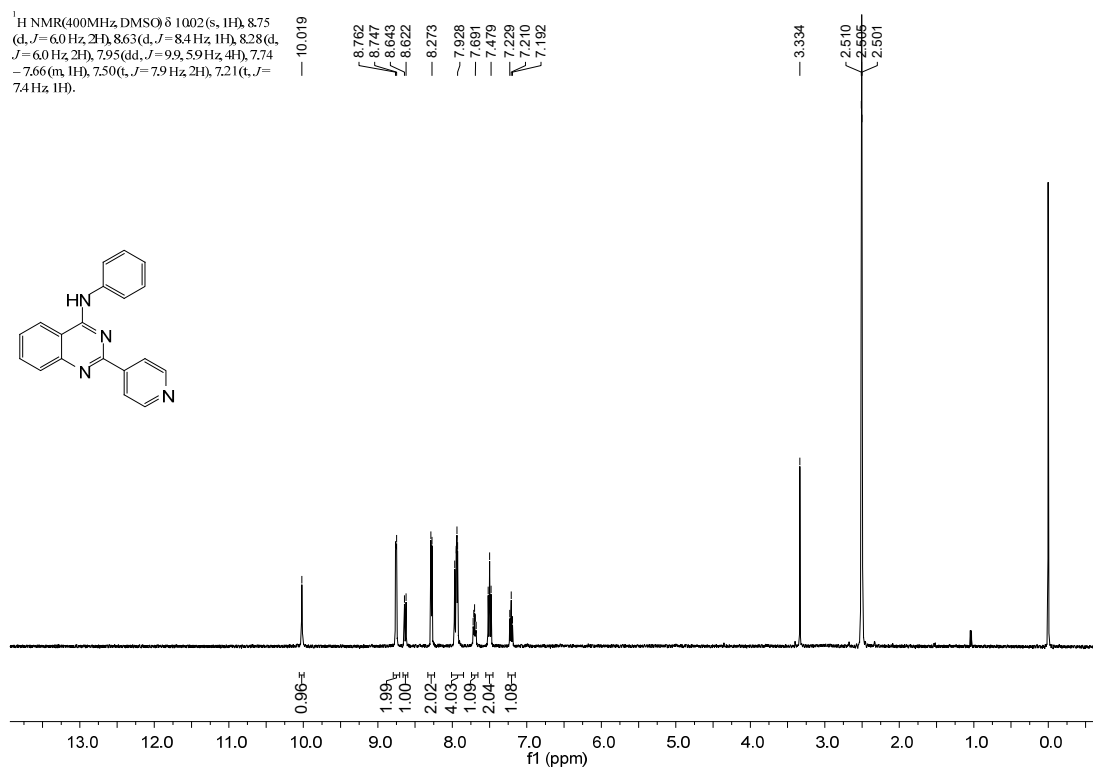
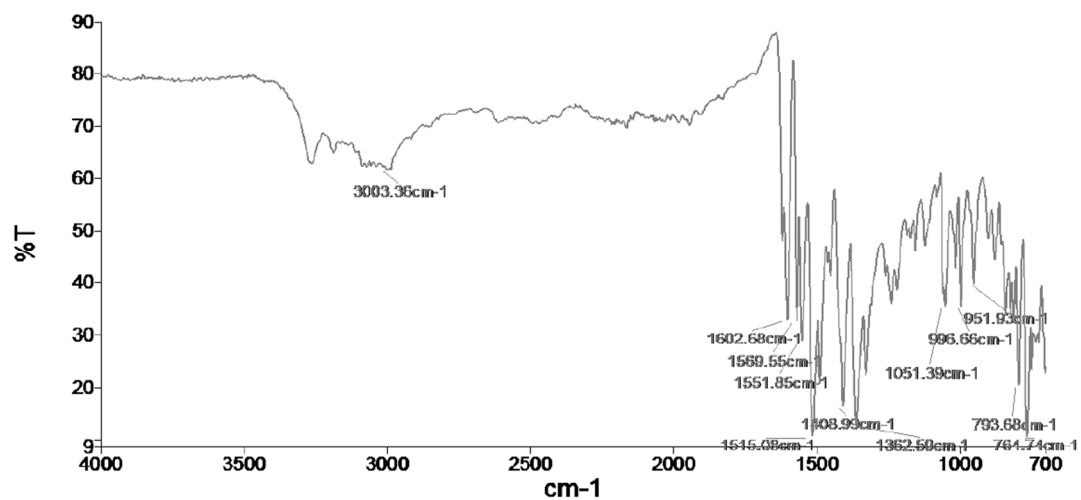
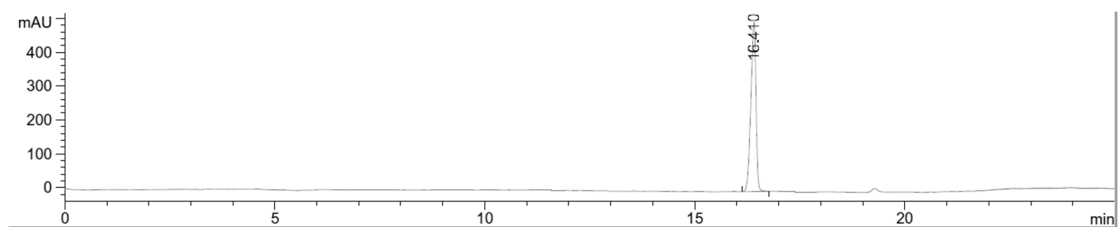
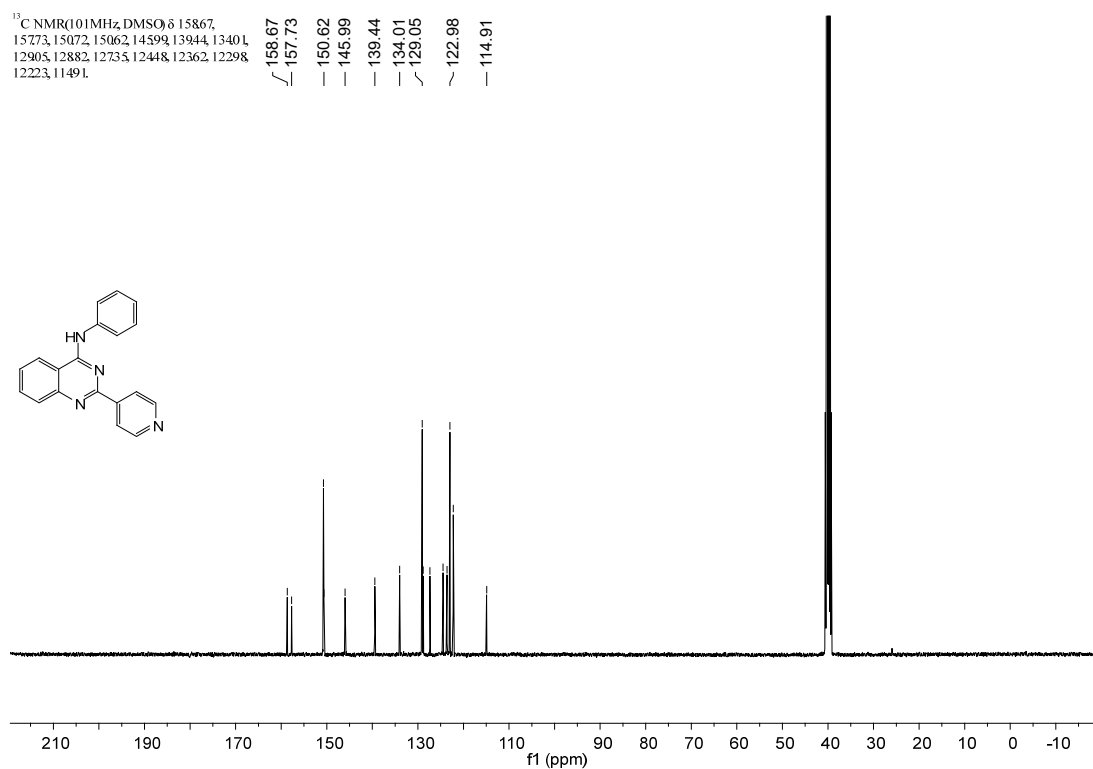


Figure S54. ¹H NMR (400 MHz, *d*₆-DMSO) of **21**



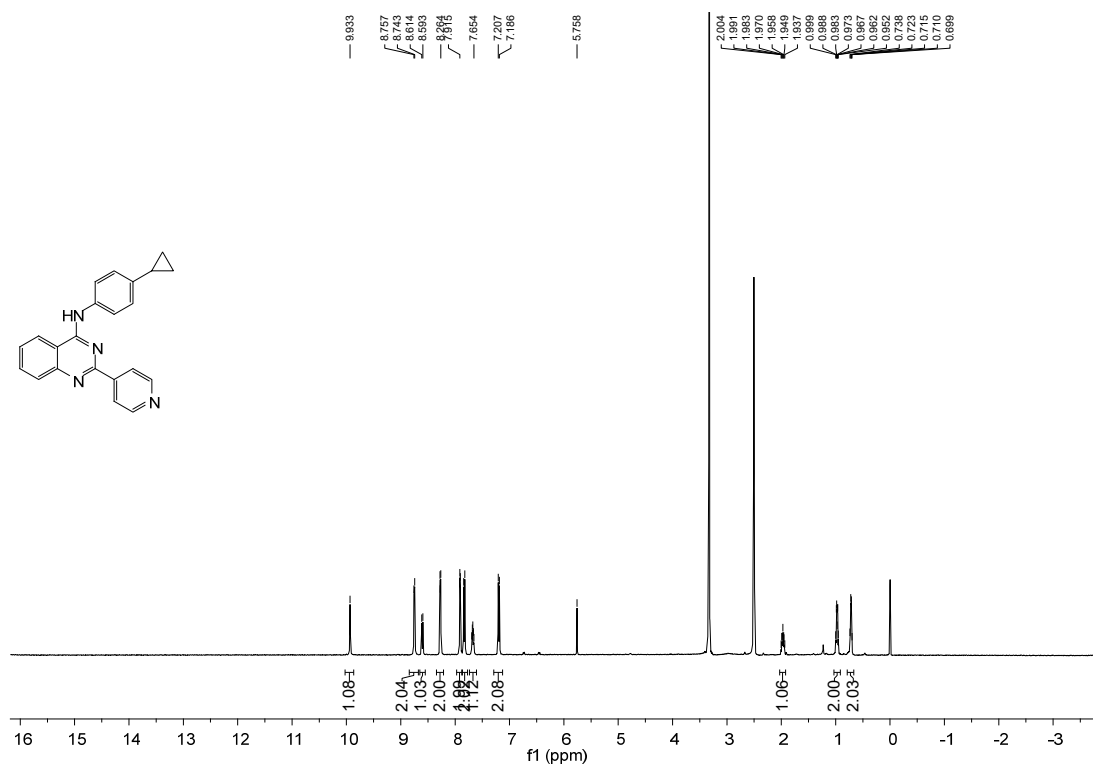


Figure S58. ¹H NMR (400 MHz, *d*₆-DMSO) of **22**

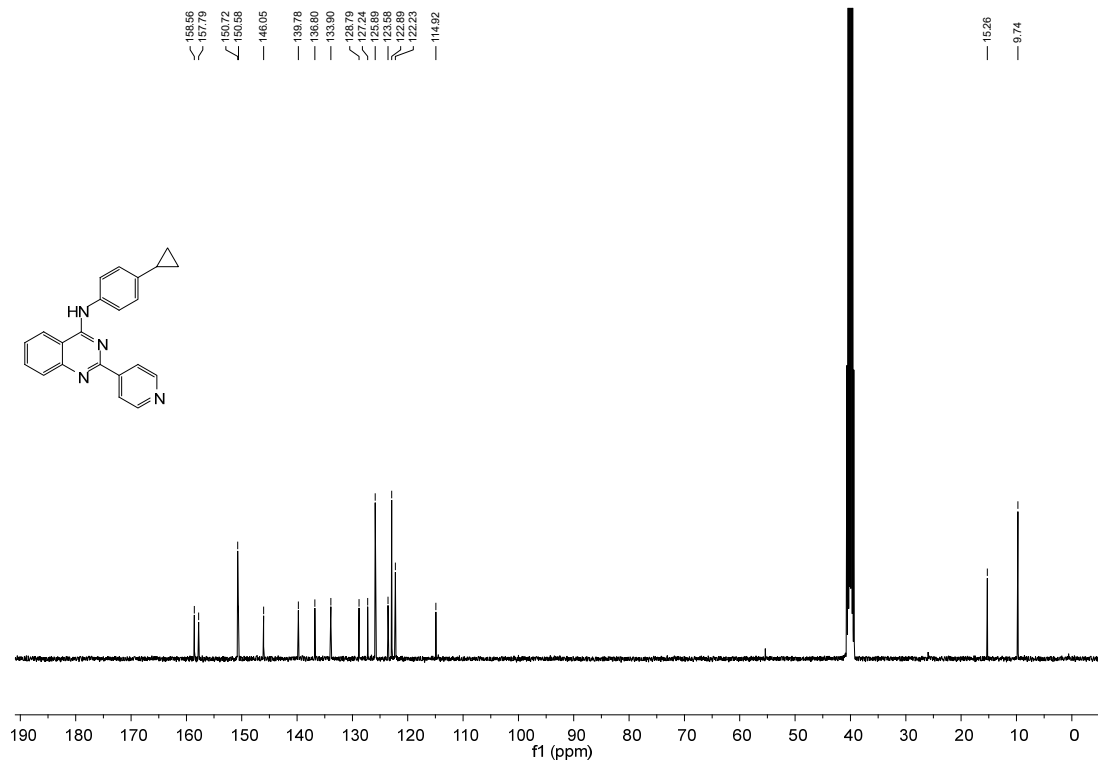


Figure S59. ¹³C NMR (101 MHz, *d*₆-DMSO) of **22**

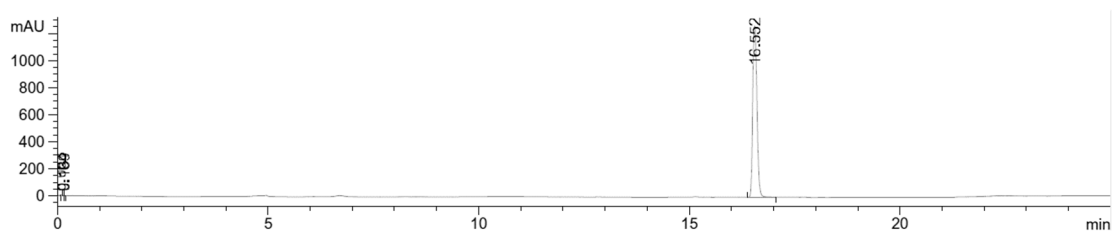


Figure S60. HPLC analysis of **23**

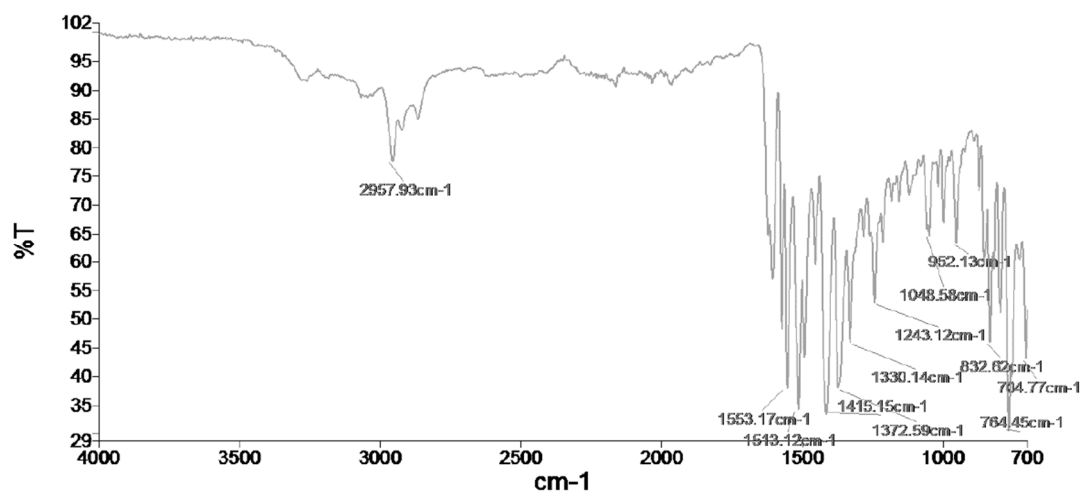


Figure S61. IR spectra of **23**

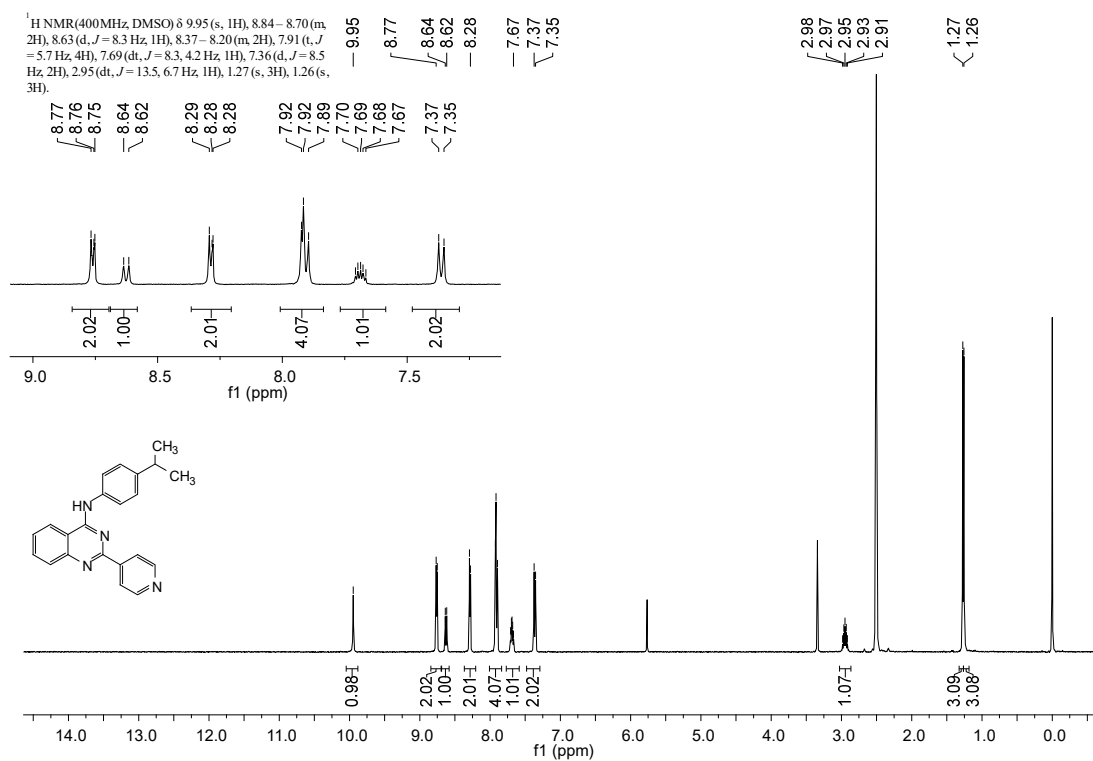


Figure S62. ¹H NMR (400 MHz, *d*₆-DMSO) of **23**

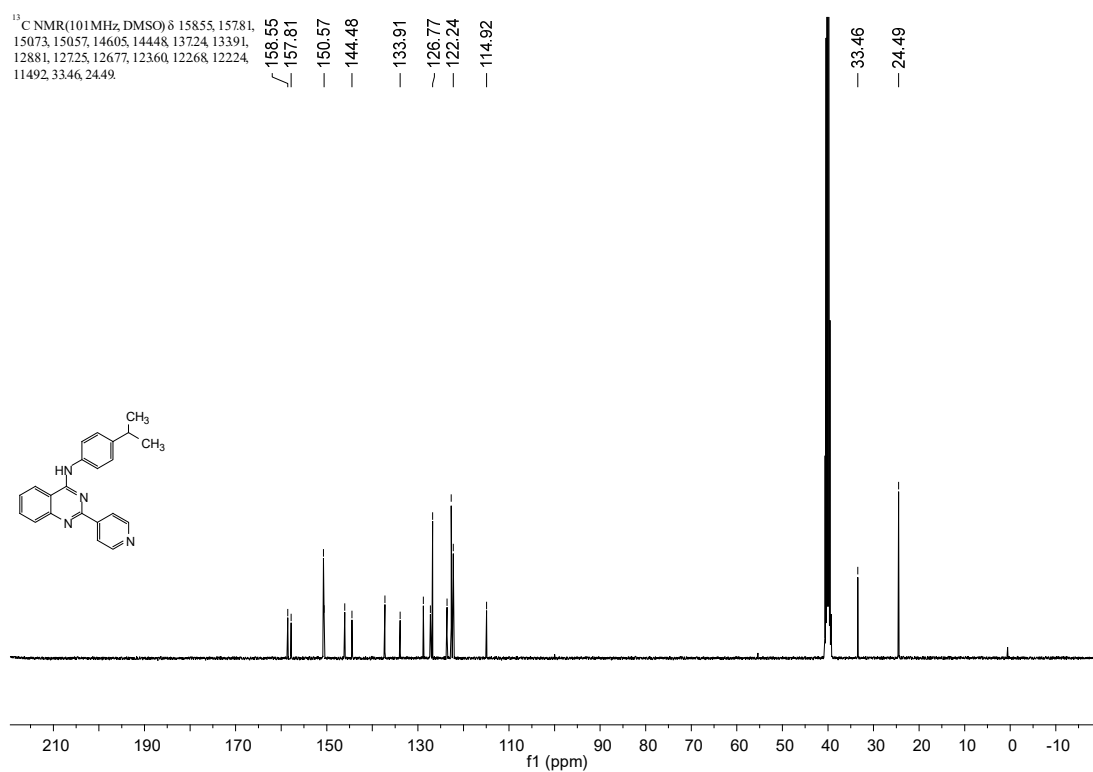


Figure S63. ¹³C NMR (101 MHz, *d*₆-DMSO) of **23**

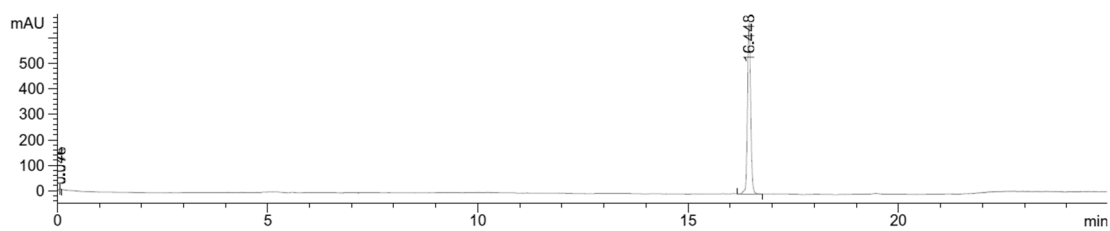


Figure S64. HPLC analysis of **24**

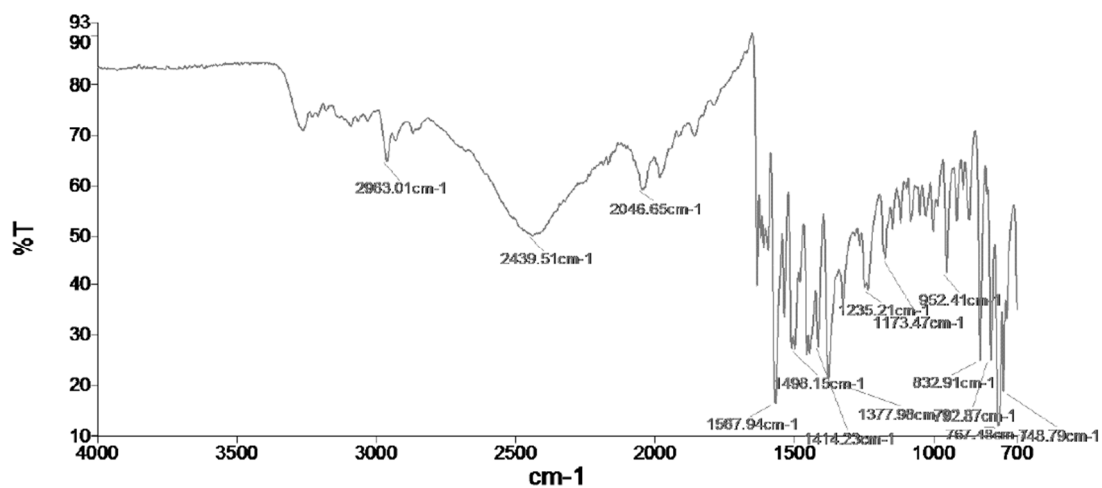


Figure S65. IR spectra of **24**

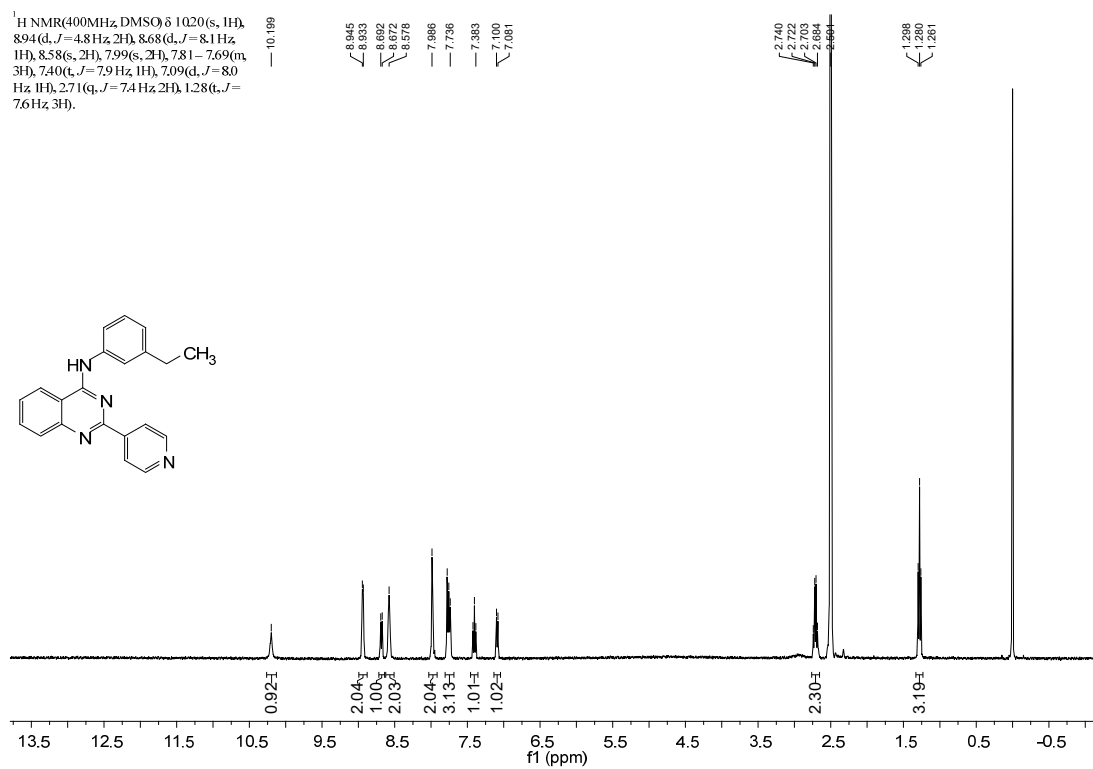


Figure S66. ¹H NMR (400 MHz, *d*₆-DMSO) of **24**

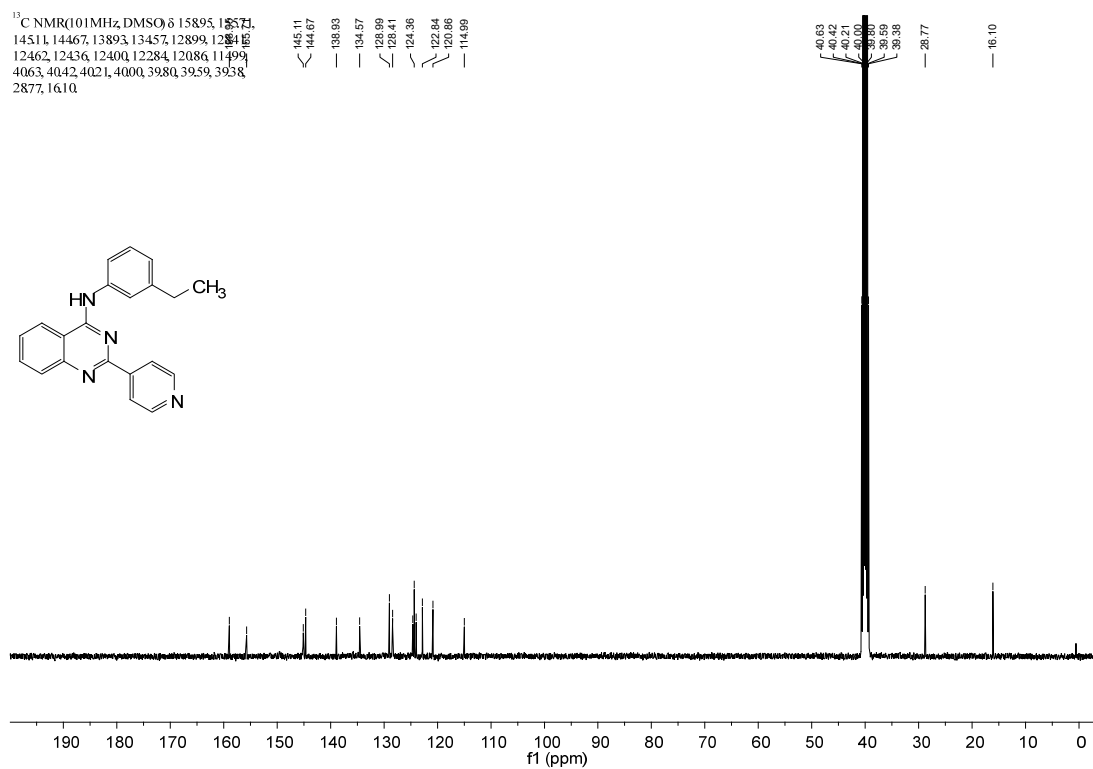


Figure S67. ¹³C NMR (101 MHz, *d*₆-DMSO) of **24**

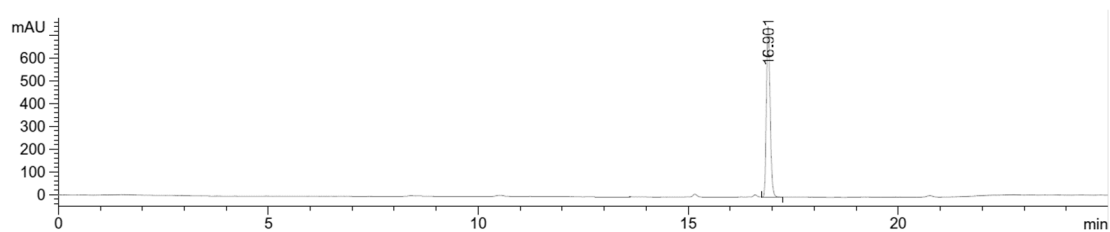


Figure S68. HPLC analysis of **25**

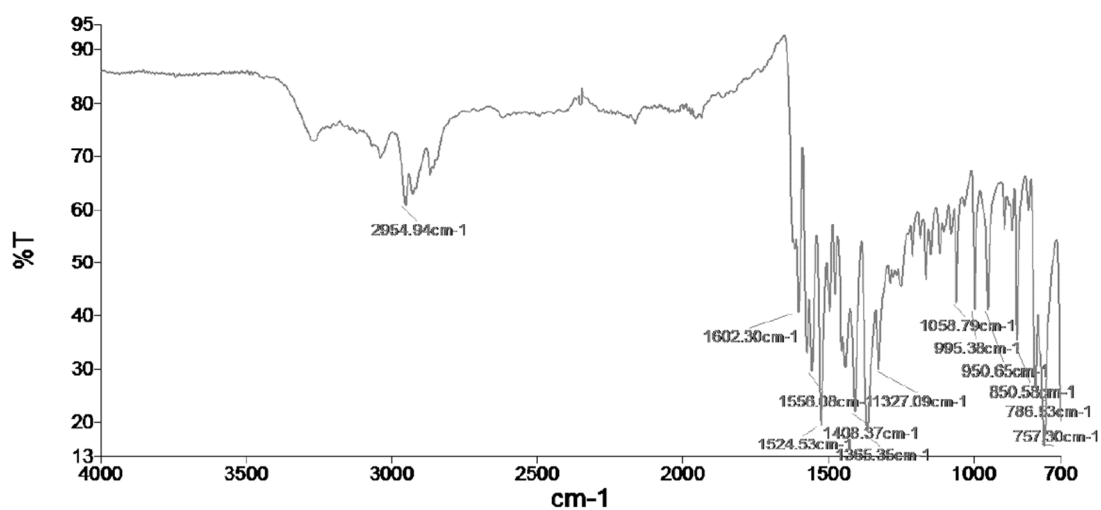


Figure S69. IR spectra of **25**

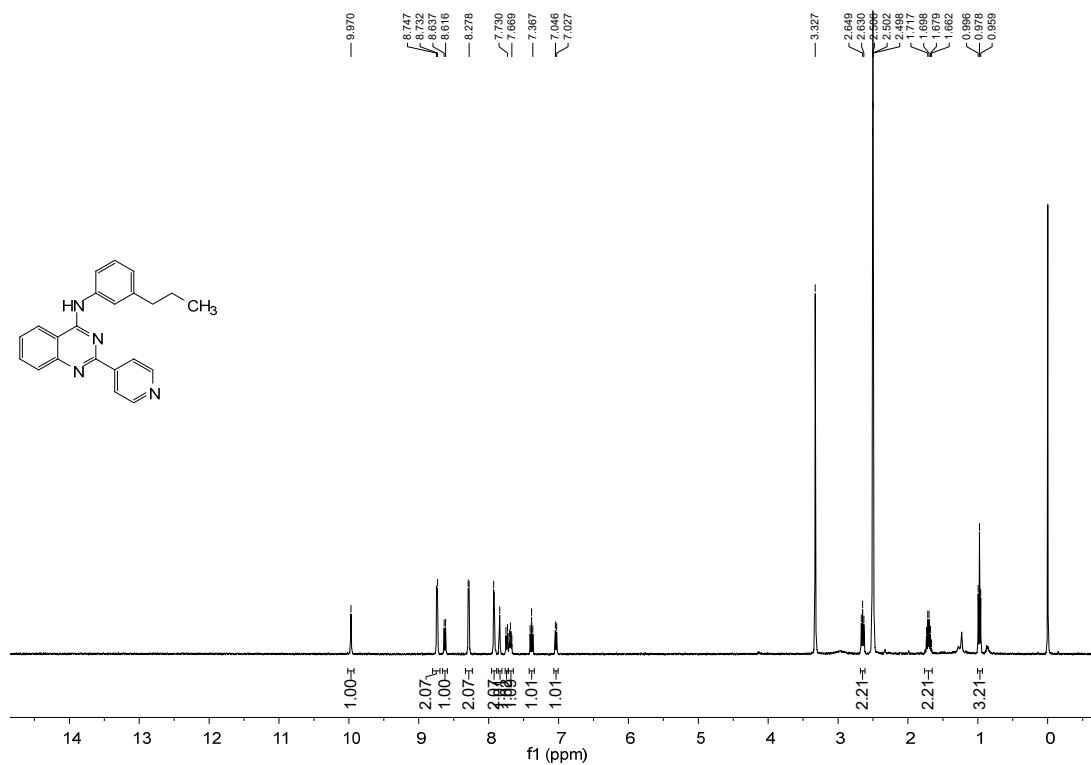
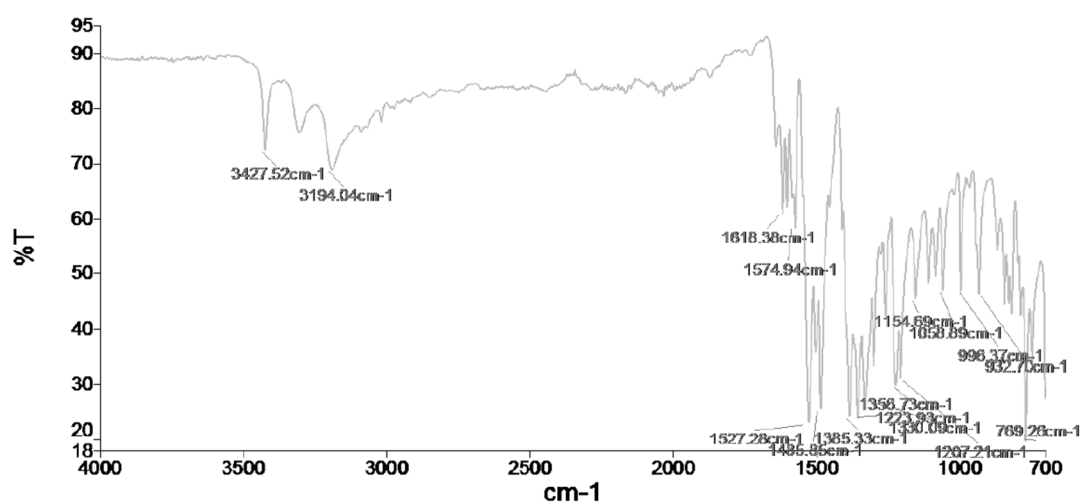
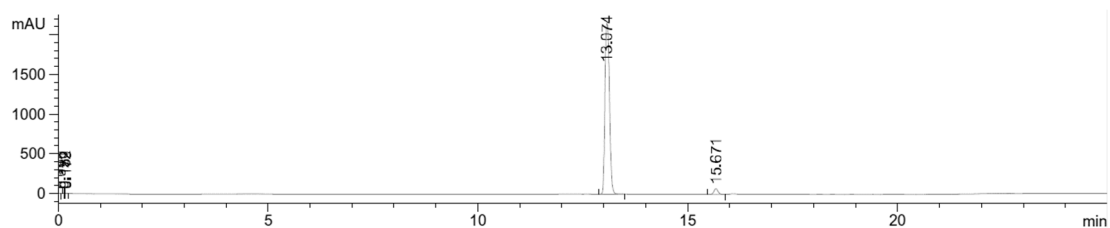
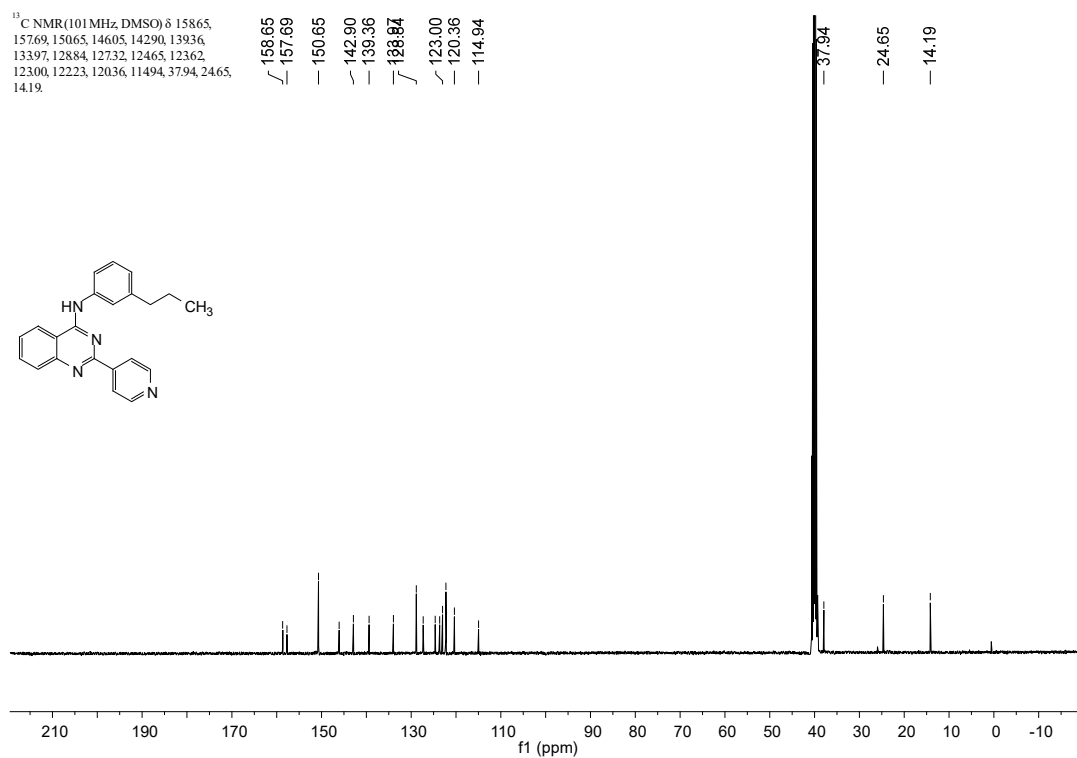


Figure S70. ¹H NMR (400 MHz, d₆-DMSO) of **25**



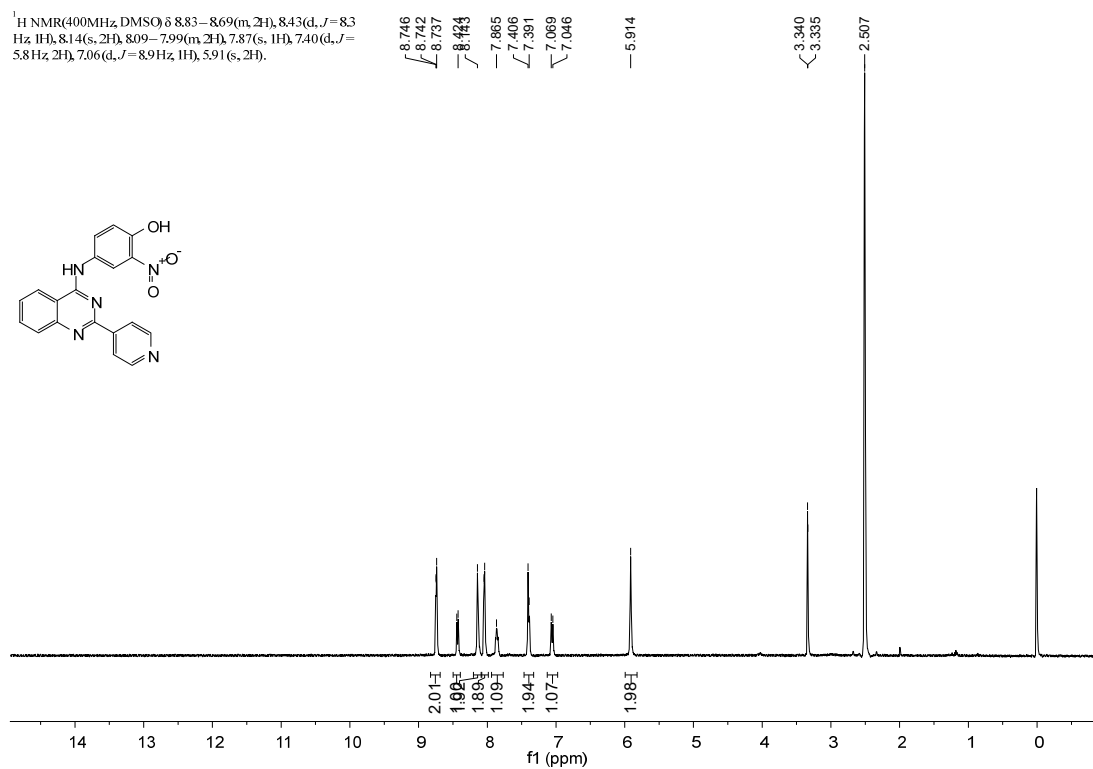


Figure S74. ¹H NMR (400 MHz, *d*₆-DMSO) of **26**

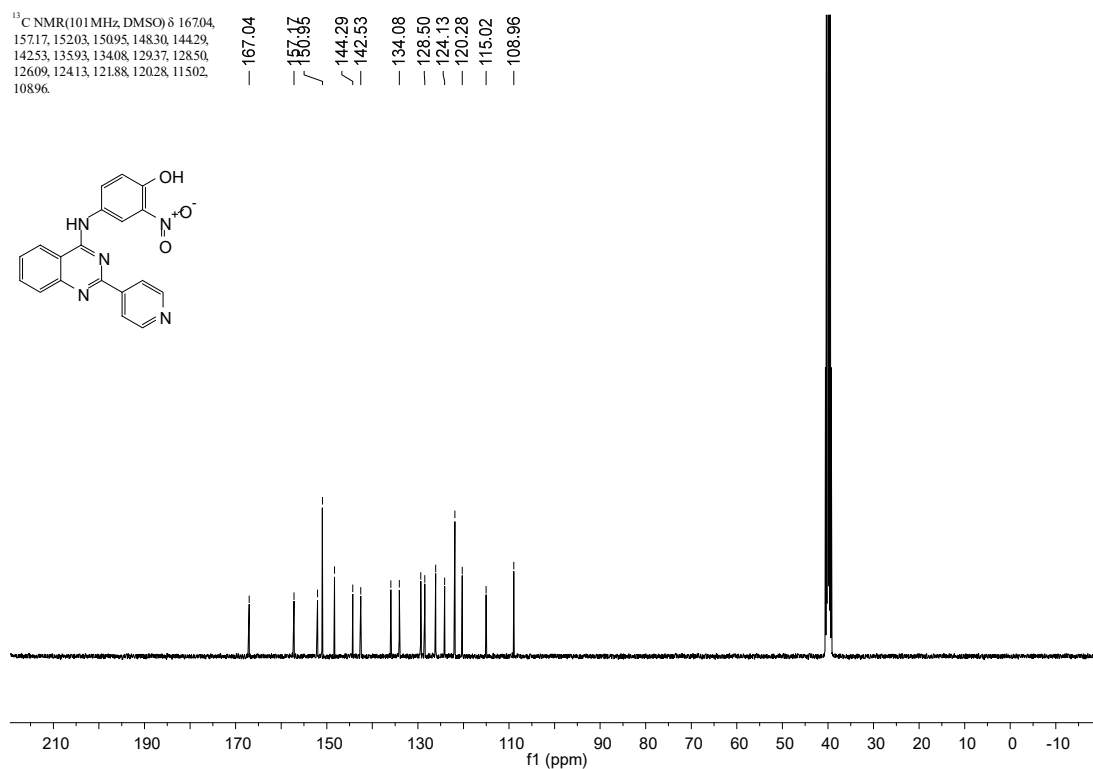


Figure S75. ¹³C NMR (101 MHz, *d*₆-DMSO) of **26**

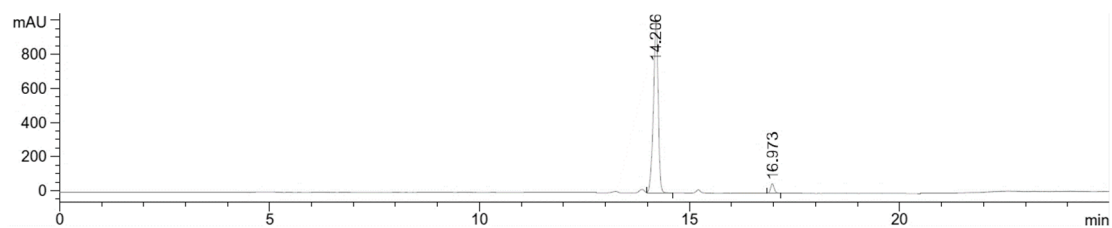


Figure S76. HPLC analysis of **27**

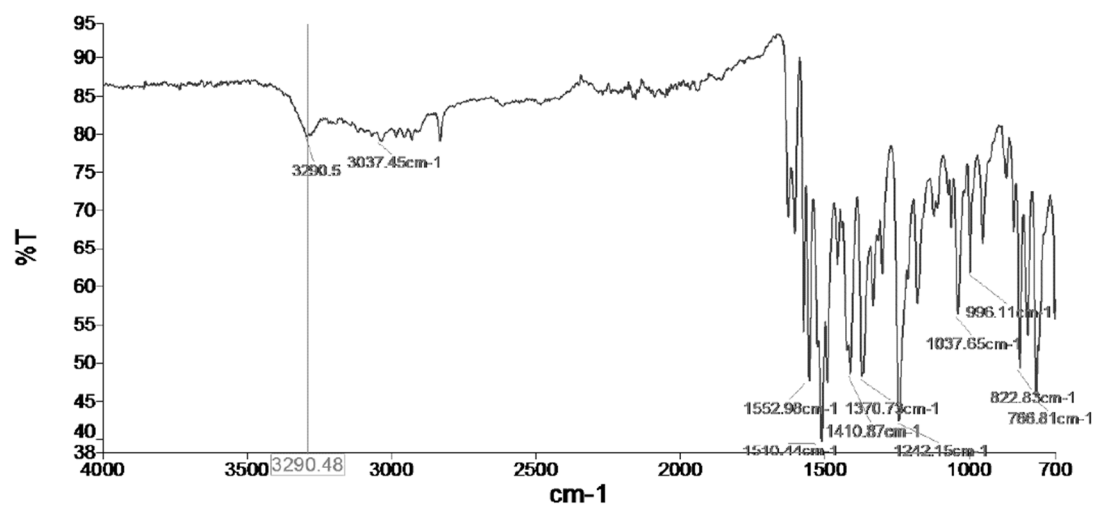


Figure S77. IR spectra of **27**

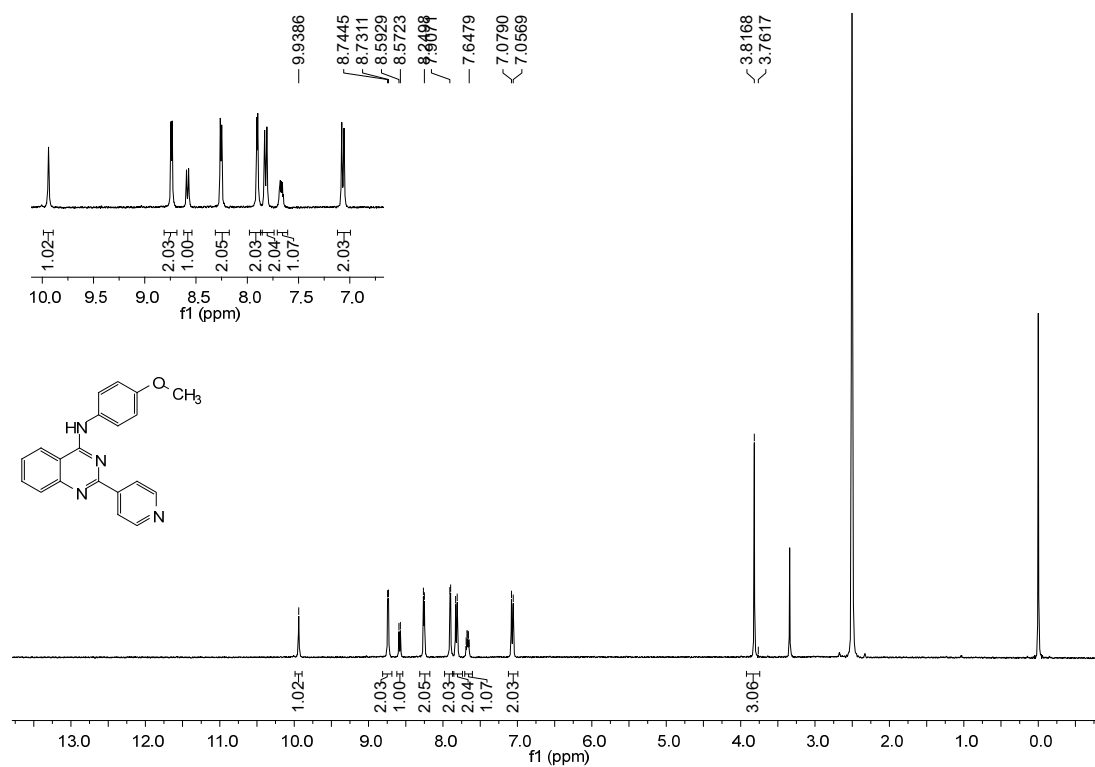


Figure S78. ¹H NMR (400 MHz, *d*₆-DMSO) of **27**

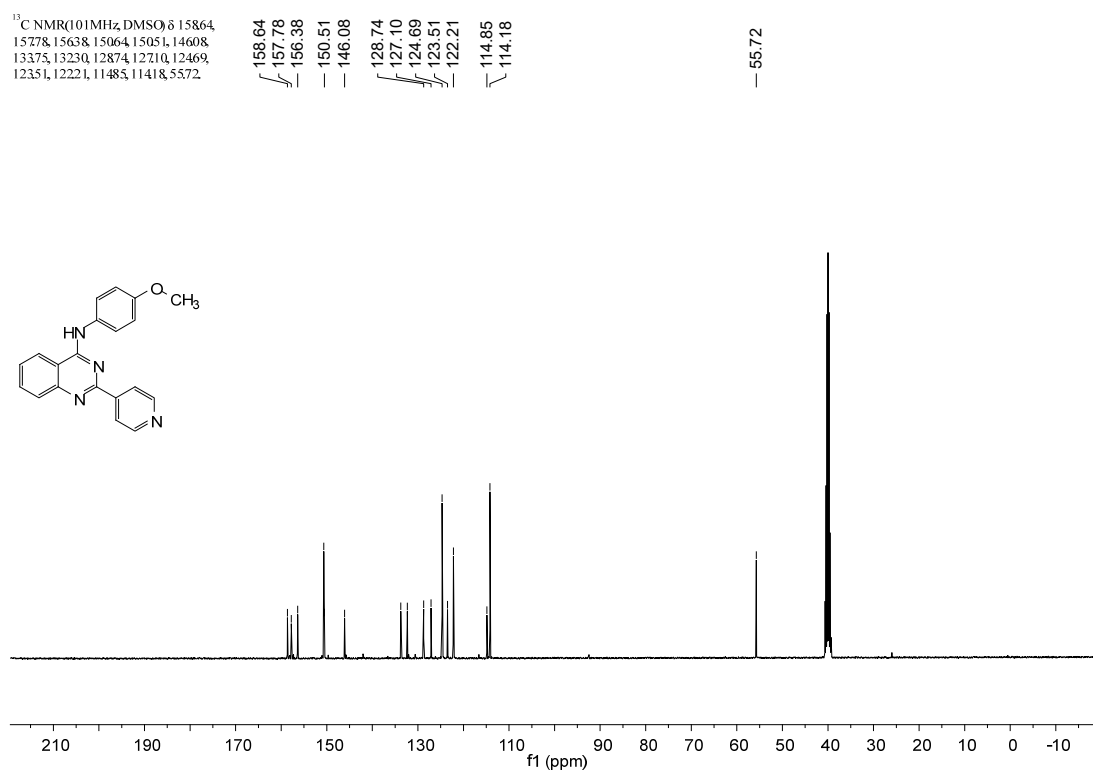


Figure S79. ¹³C NMR (101 MHz, DMSO) of **27**

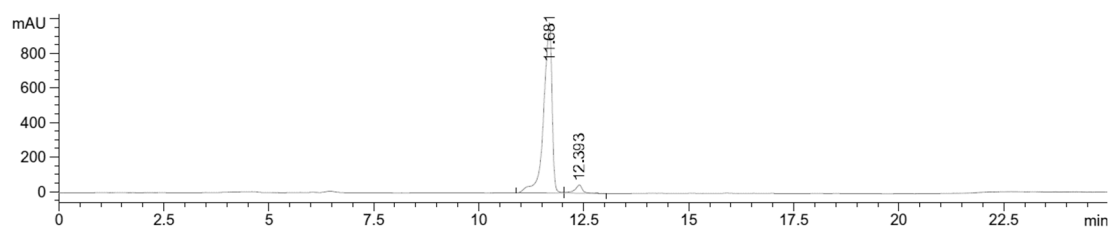


Figure S80. HPLC analysis of **28**

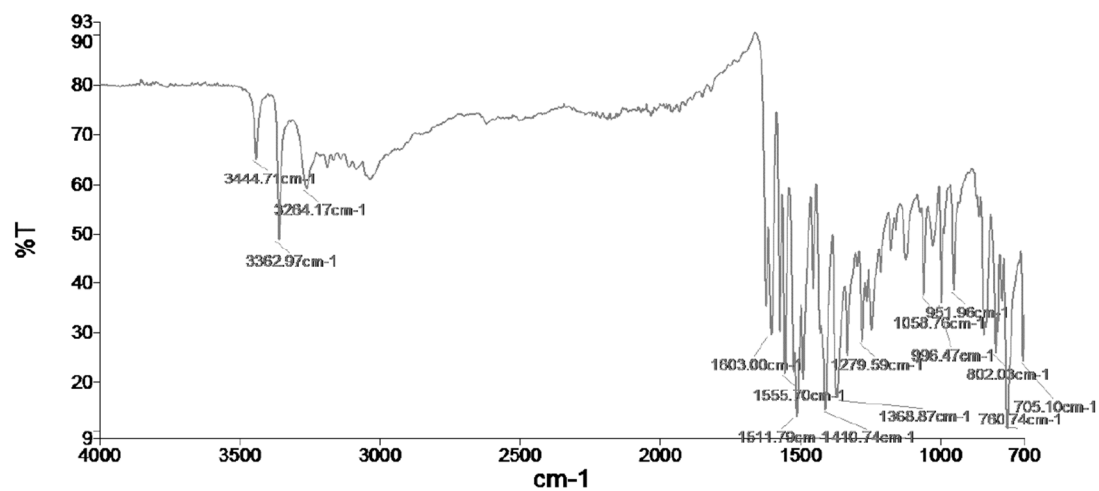


Figure S81. IR spectra of **28**

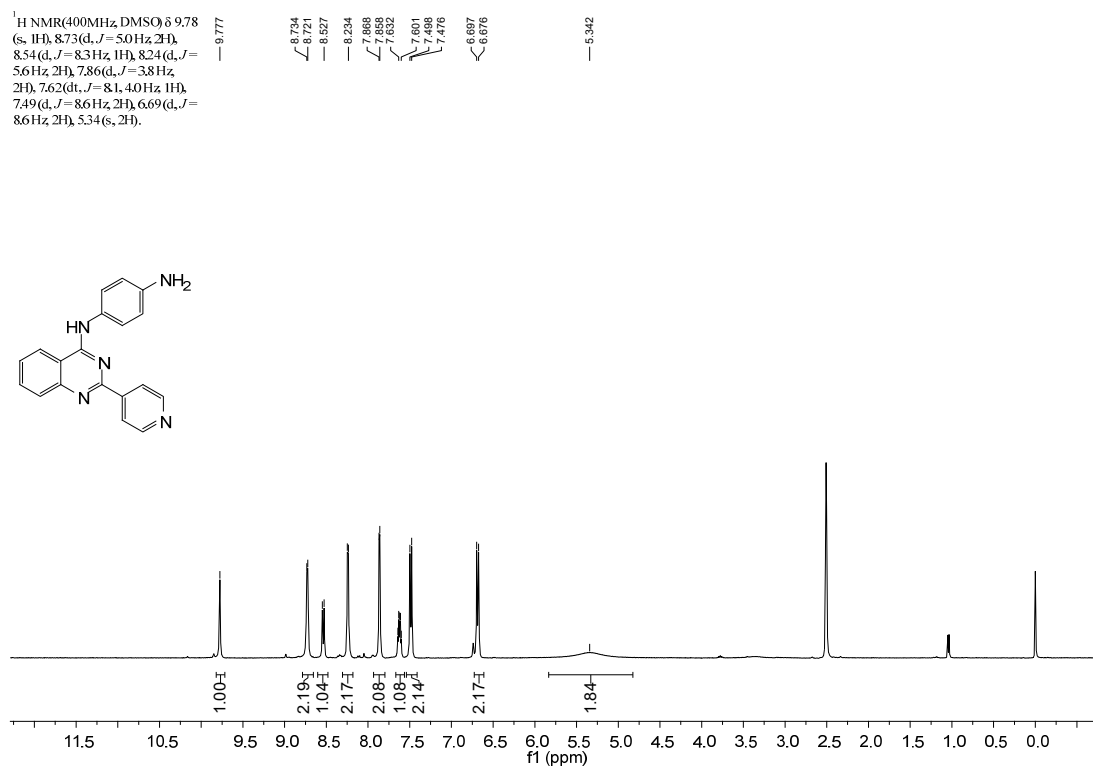


Figure S82. ¹H NMR (400 MHz, *d*₆-DMSO) of **28**

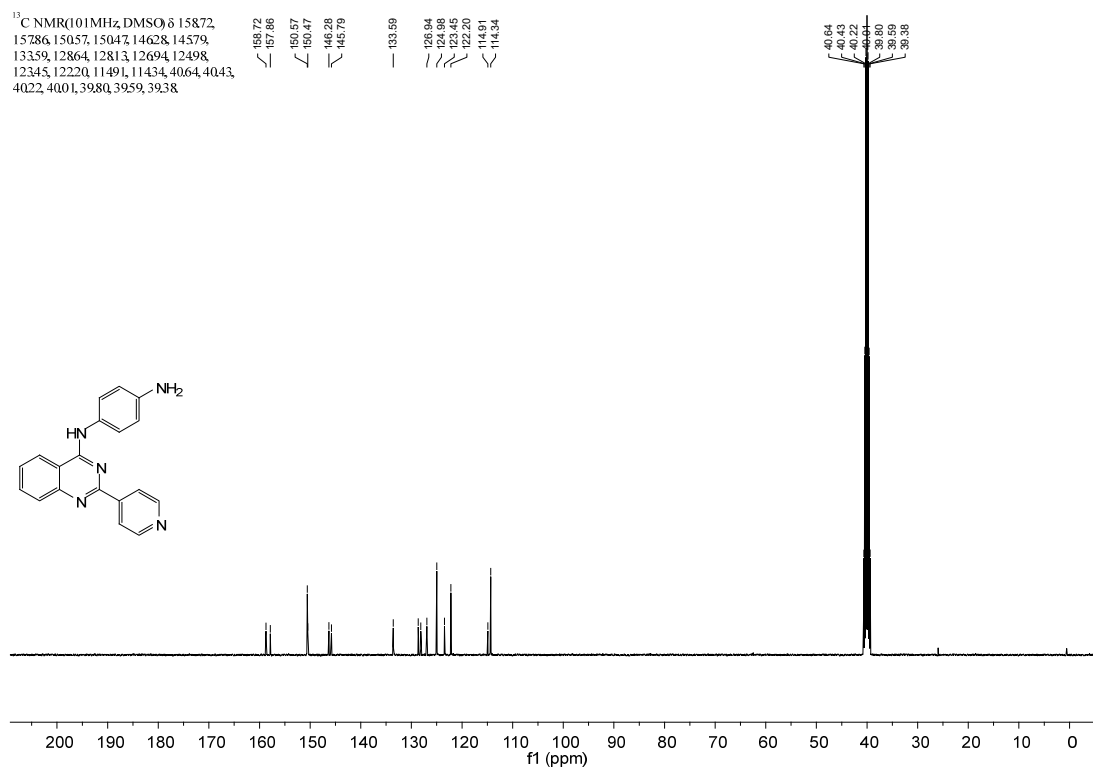


Figure S83. ¹³C NMR (101 MHz, *d*₆-DMSO) of **28**

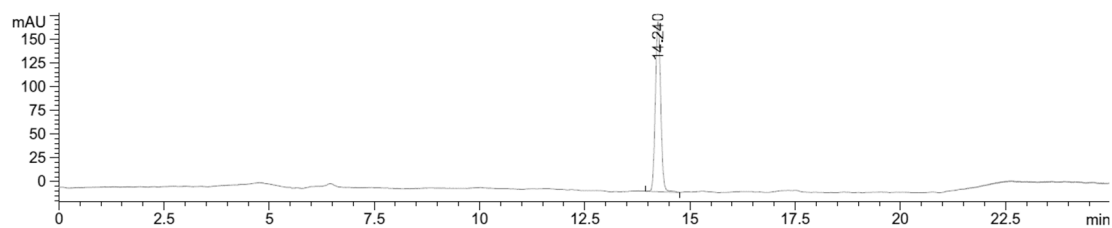


Figure S84. HPLC analysis of **29**

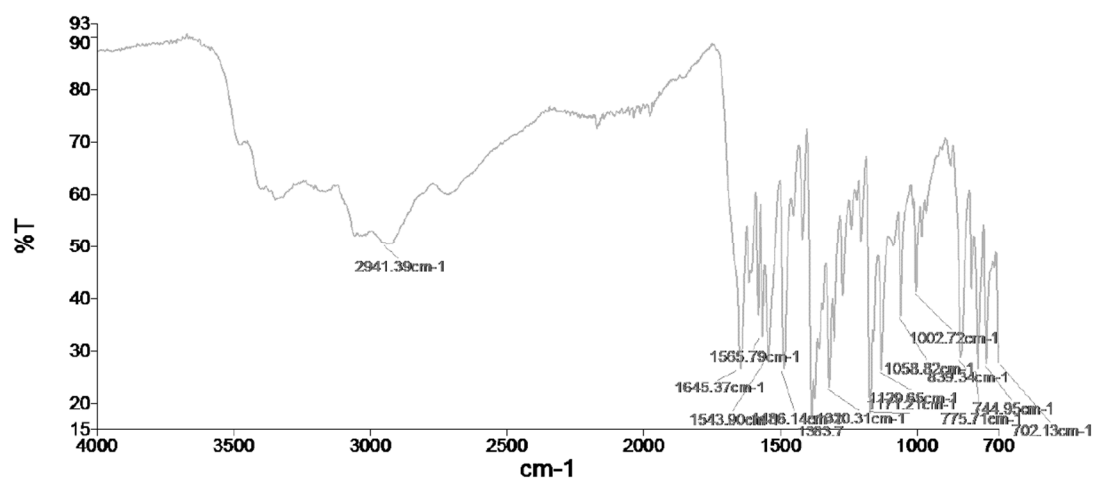


Figure S85. IR spectra of **29**

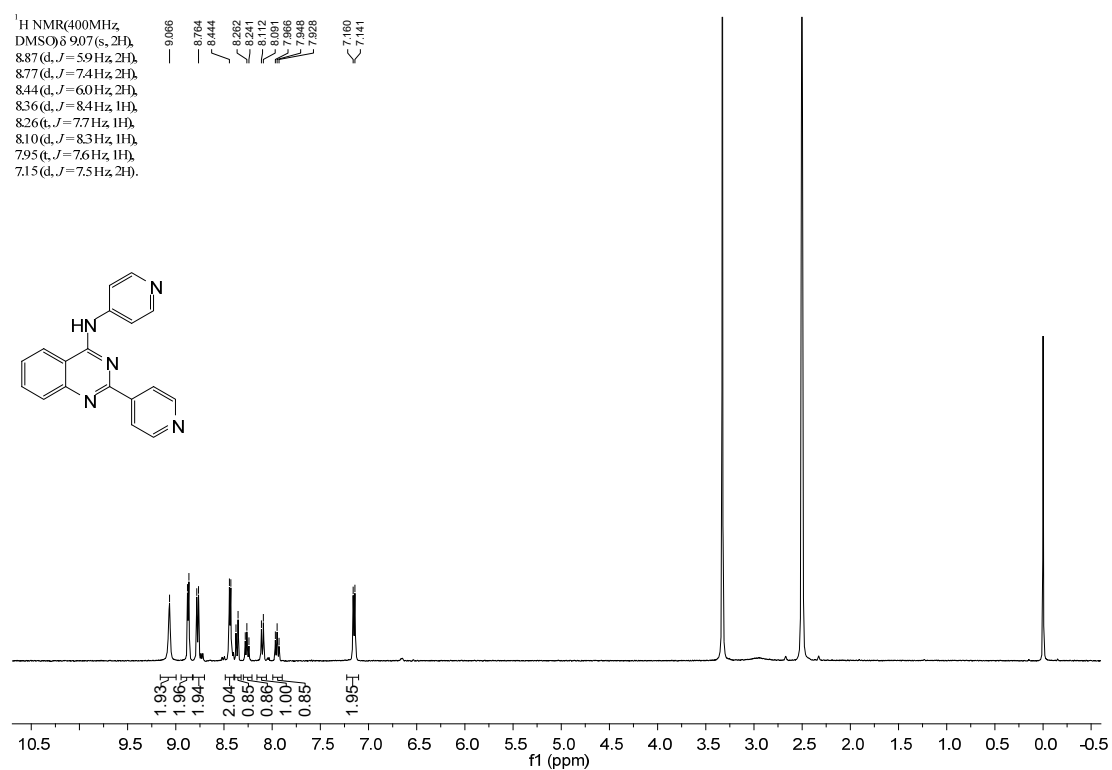


Figure S86. ¹H NMR (400 MHz, d₆-DMSO) of **29**

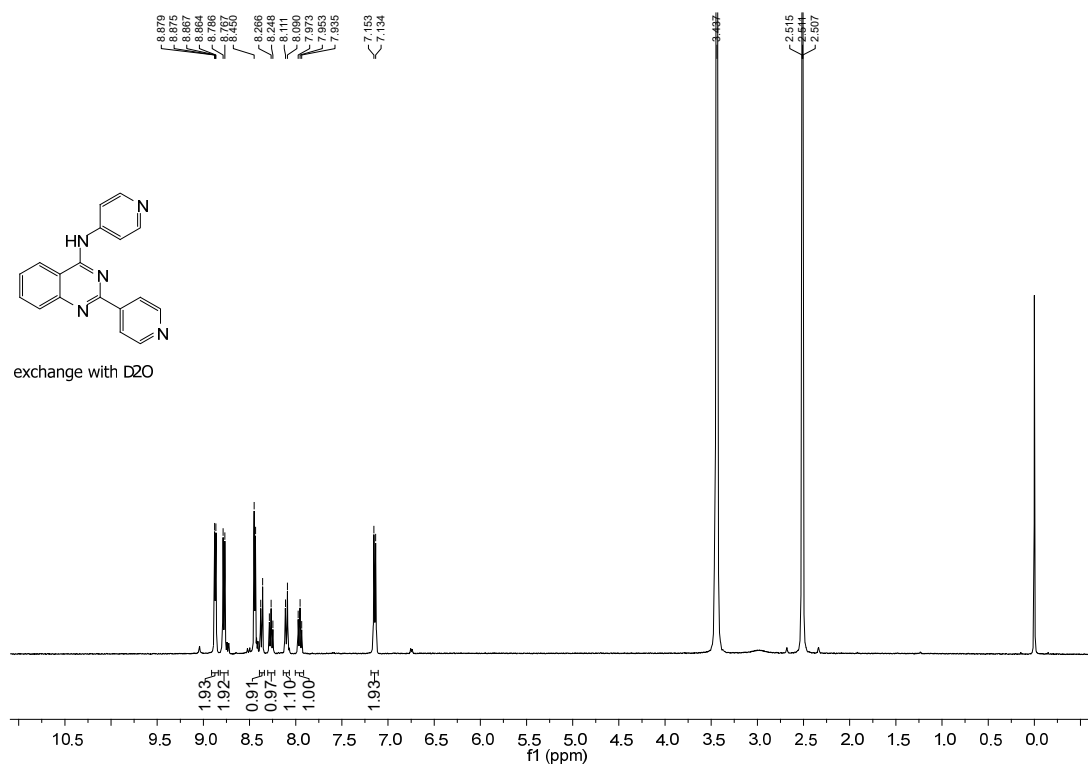


Figure S87. ¹H NMR (400 MHz, *d*₆-DMSO) of **29**, exchange with D₂O

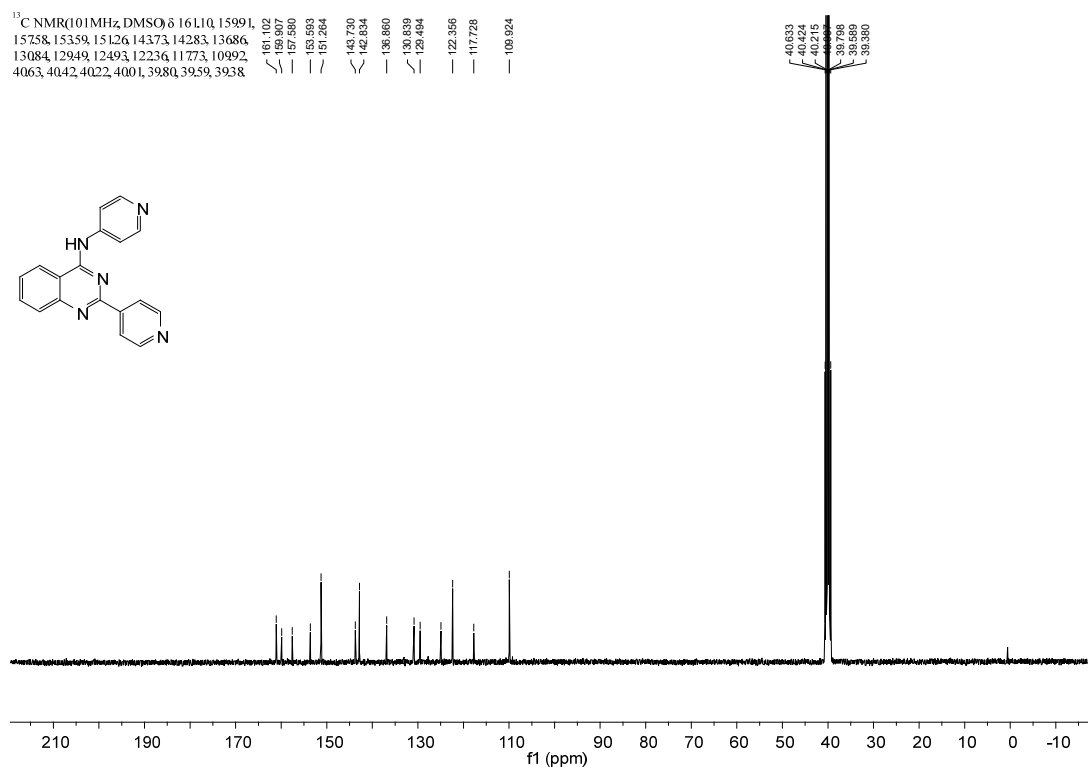


Figure S88. ¹³C NMR (101 MHz, *d*₆-DMSO) of **29**

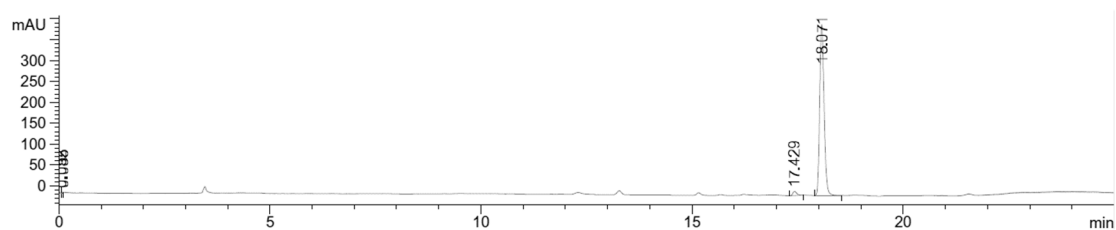


Figure S89. HPLC analysis of **30**

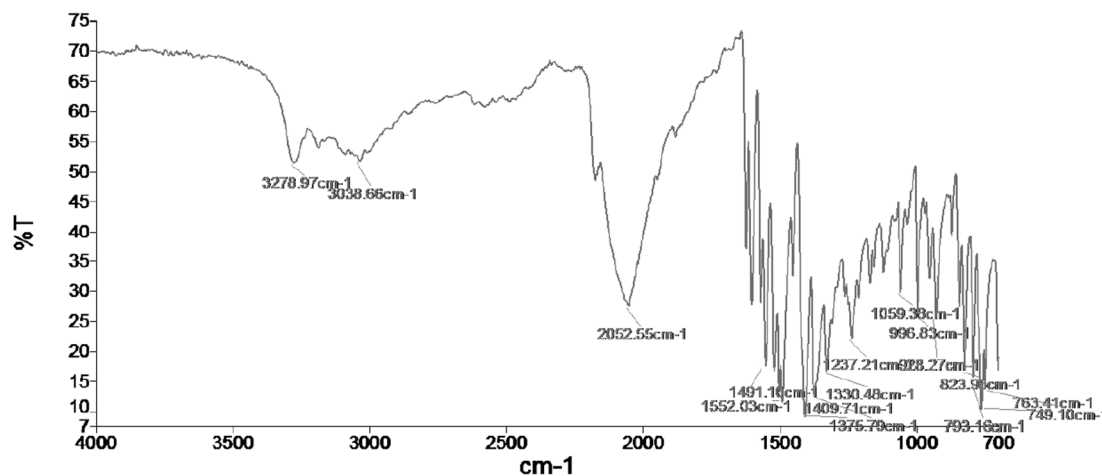


Figure S90. IR spectra of **30**

¹H NMR (400 MHz, DMSO) δ 10.15 (s, 1H), 8.77 (d, J = 5.0 Hz, 2H), 8.61 (d, J = 8.4 Hz, 1H), 8.29 (d, J = 4.9 Hz, 2H), 8.15–8.02 (m, 2H), 7.95 (d, J = 3.4 Hz, 2H), 7.79–7.67 (m, 1H), 7.57 (d, J = 8.6 Hz, 2H).

8.773
8.760
8.623
8.602
8.283
7.860
7.733
7.722
7.715
7.680
7.568

3.312
2.507

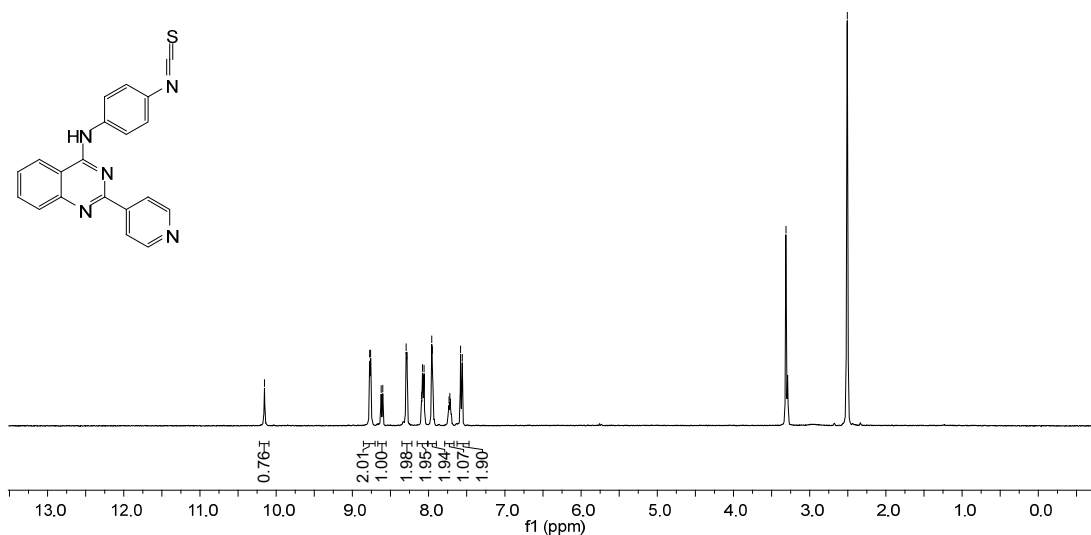


Figure S91. ¹H NMR (400 MHz, *d*₆-DMSO) of **30**

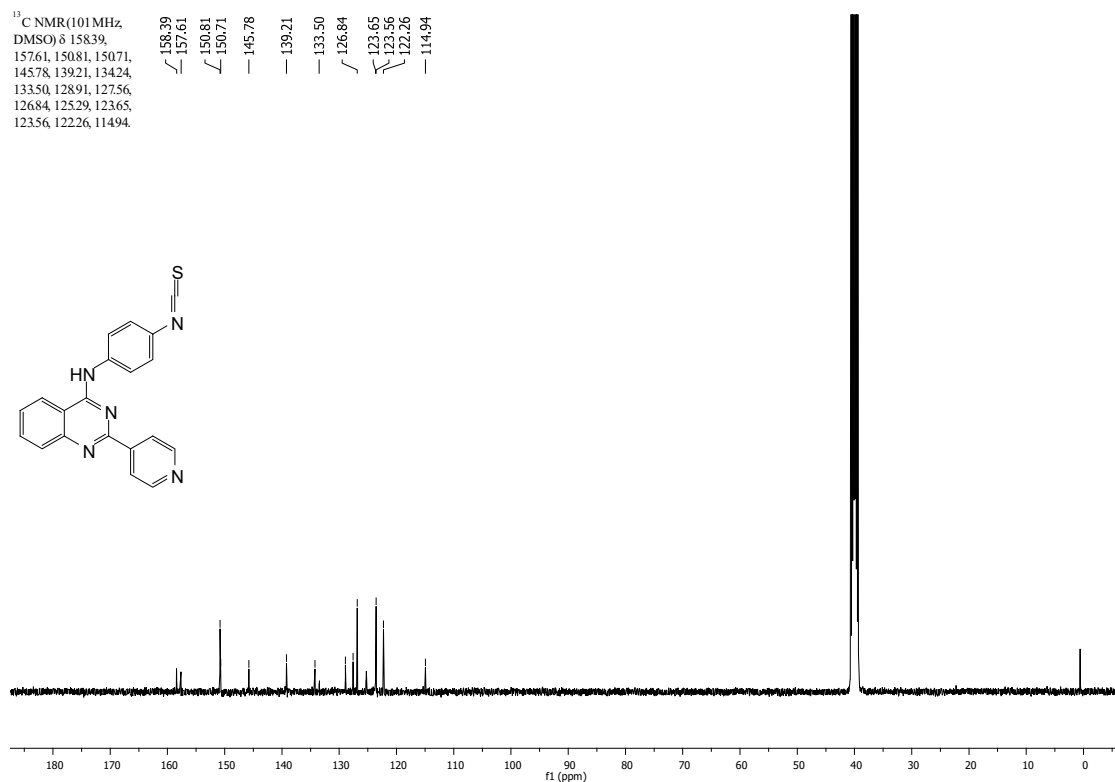


Figure S92. ¹³C NMR (101 MHz, *d*₆-DMSO) of **30**

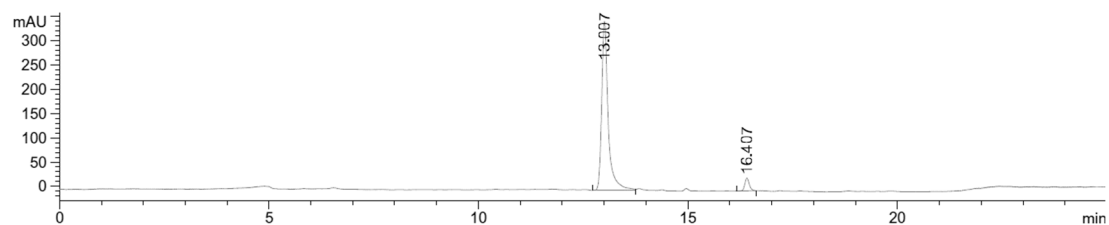


Figure S93. HPLC analysis of **31**

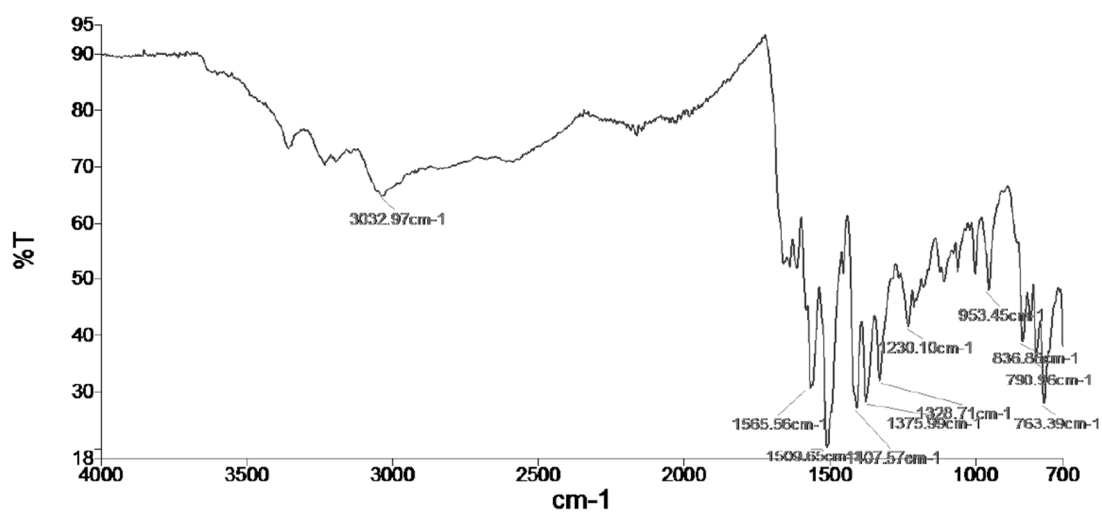


Figure S94. IR spectra of **31**

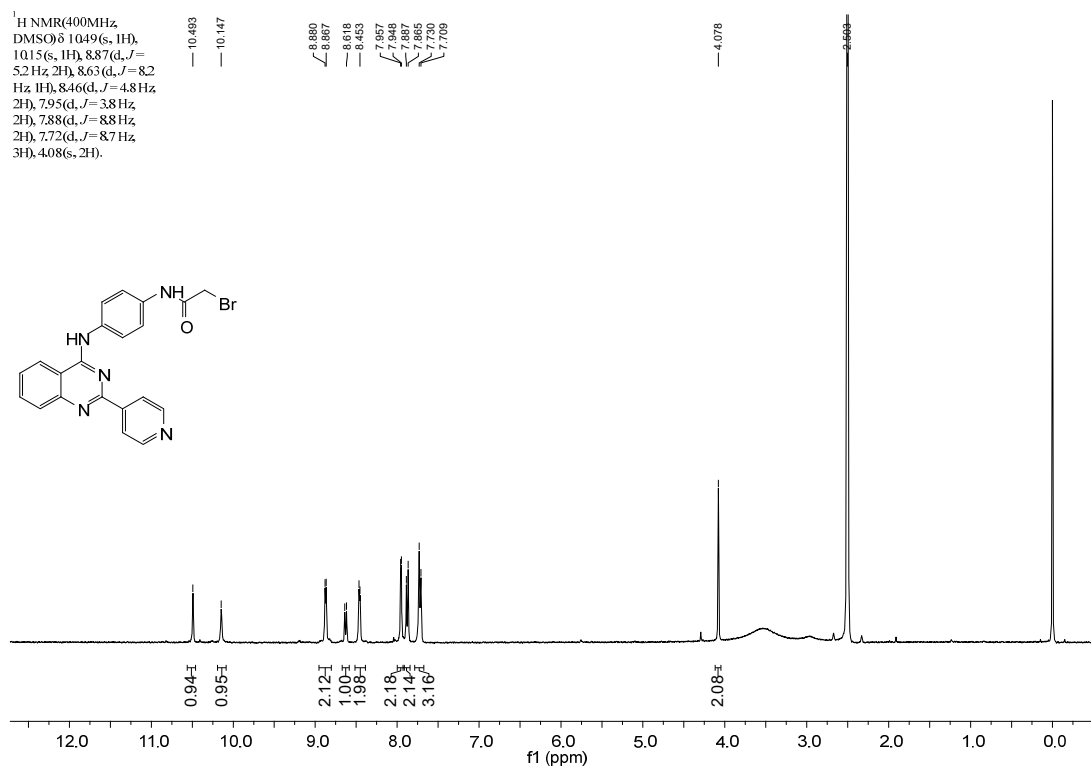


Figure S95. ¹H NMR (400 MHz, *d*₆-DMSO) of **31**

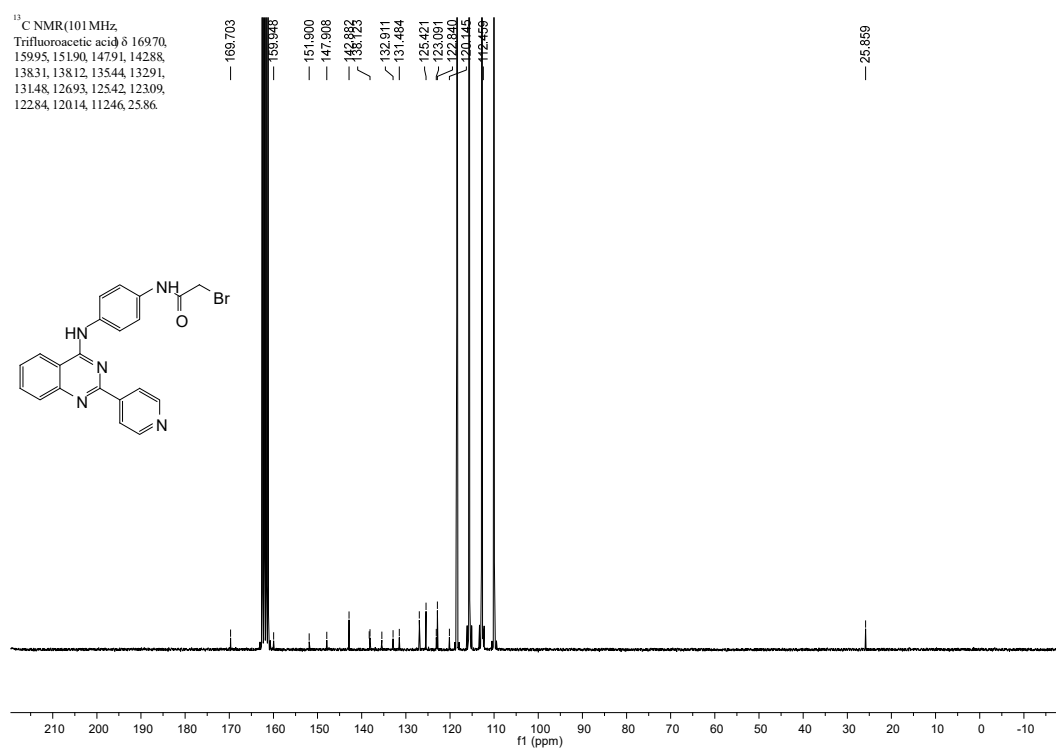


Figure S96. ¹³C NMR (101 MHz, *d*₁-CF₃COOD) of **31**

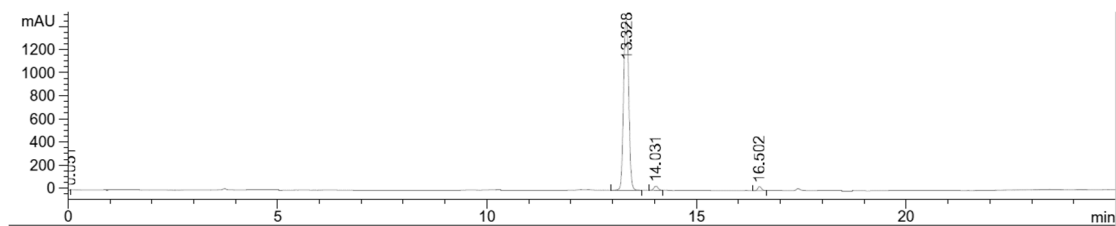


Figure S97. HPLC analysis of **32**

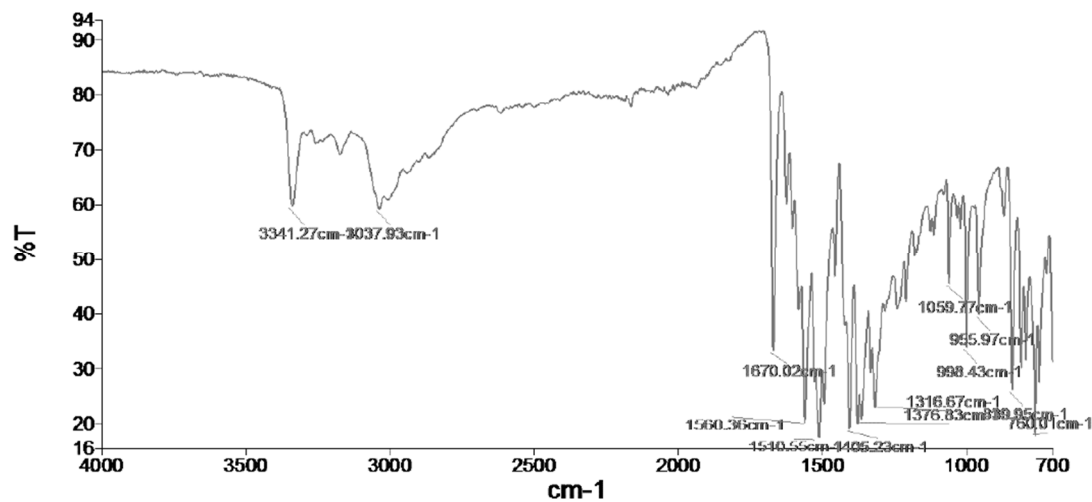


Figure S98. IR spectra of **32**

¹H NMR(400MHz, DMSO-*d*₆) δ
 9.98(d, *J*=8.7 Hz, 2H), 8.75(d, *J*=5.1 Hz, 2H), 8.60(d, *J*=8.2 Hz, 1H), 8.27(d, *J*=4.9 Hz, 2H), 7.91(d, *J*=3.8 Hz, 2H), 7.84(d, *J*=8.6 Hz, 2H), 7.69(d, *J*=8.8 Hz, 3H), 2.05(d, *J*=27.1 Hz, 3H).

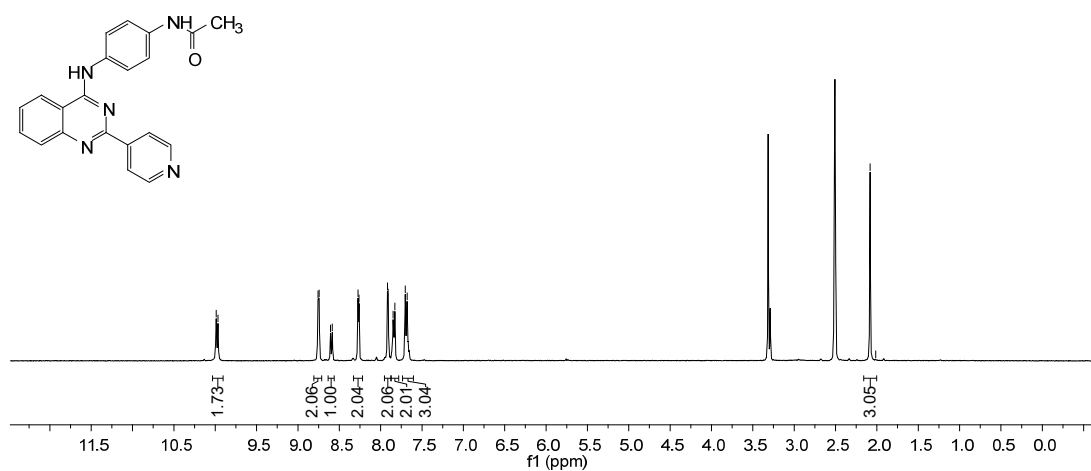


Figure S99. ¹H NMR (400 MHz, *d*₆-DMSO) of **32**

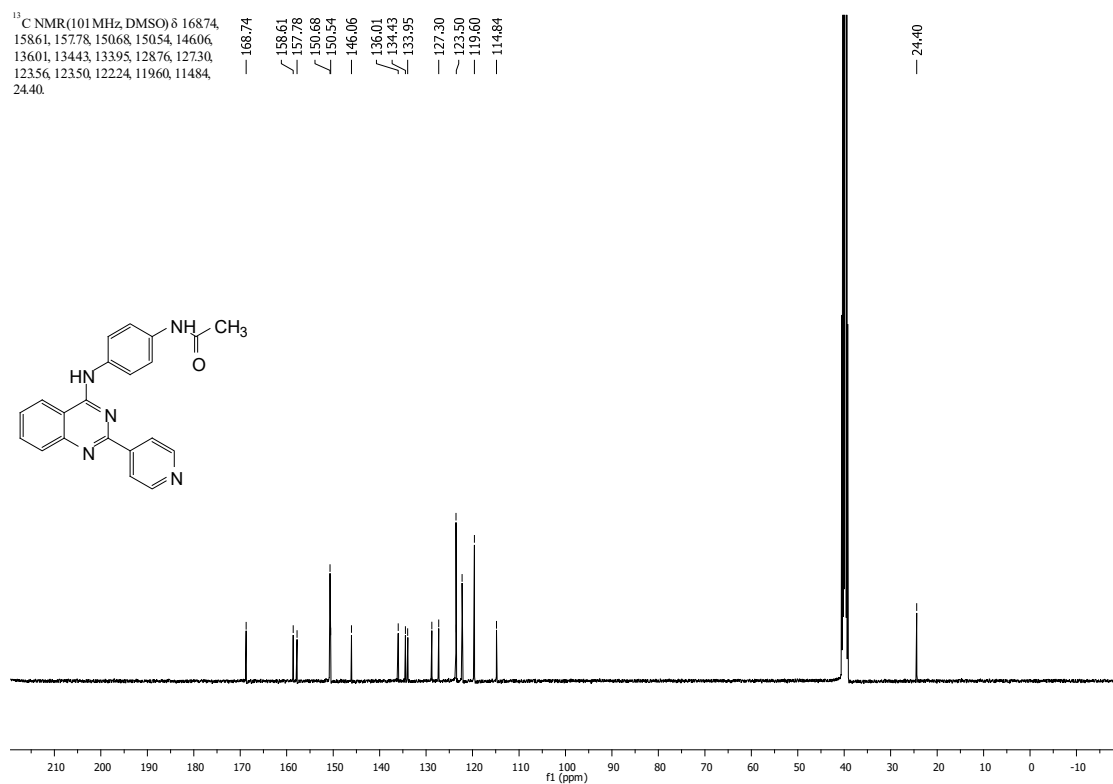


Figure S100. ¹³C NMR (101 MHz, *d*₆-DMSO) of **32**

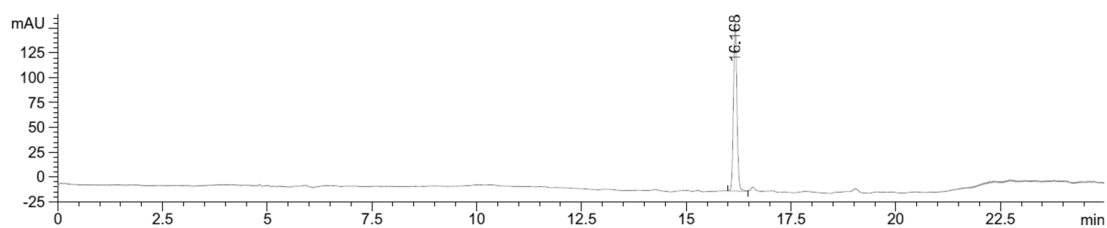


Figure S101. HPLC analysis of **33**

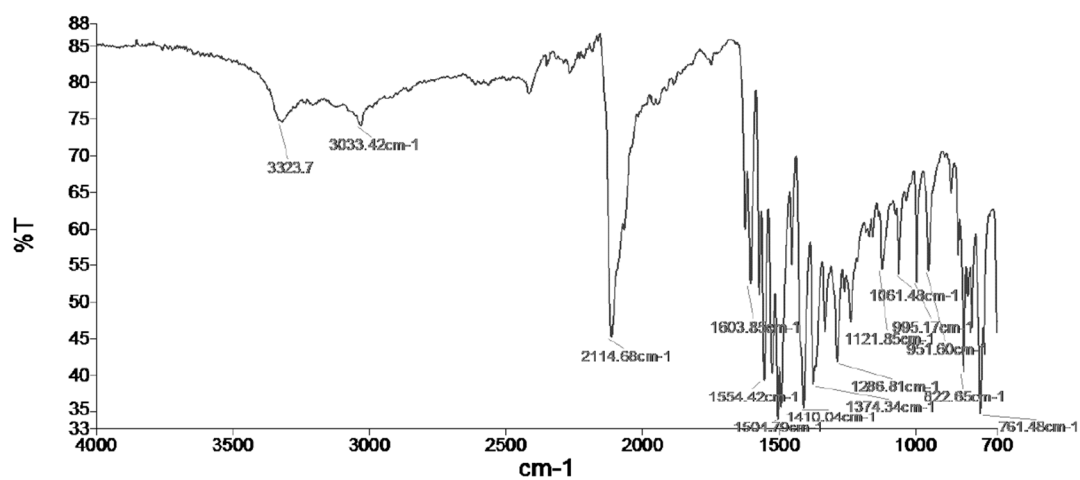


Figure S102. IR spectra of **33**

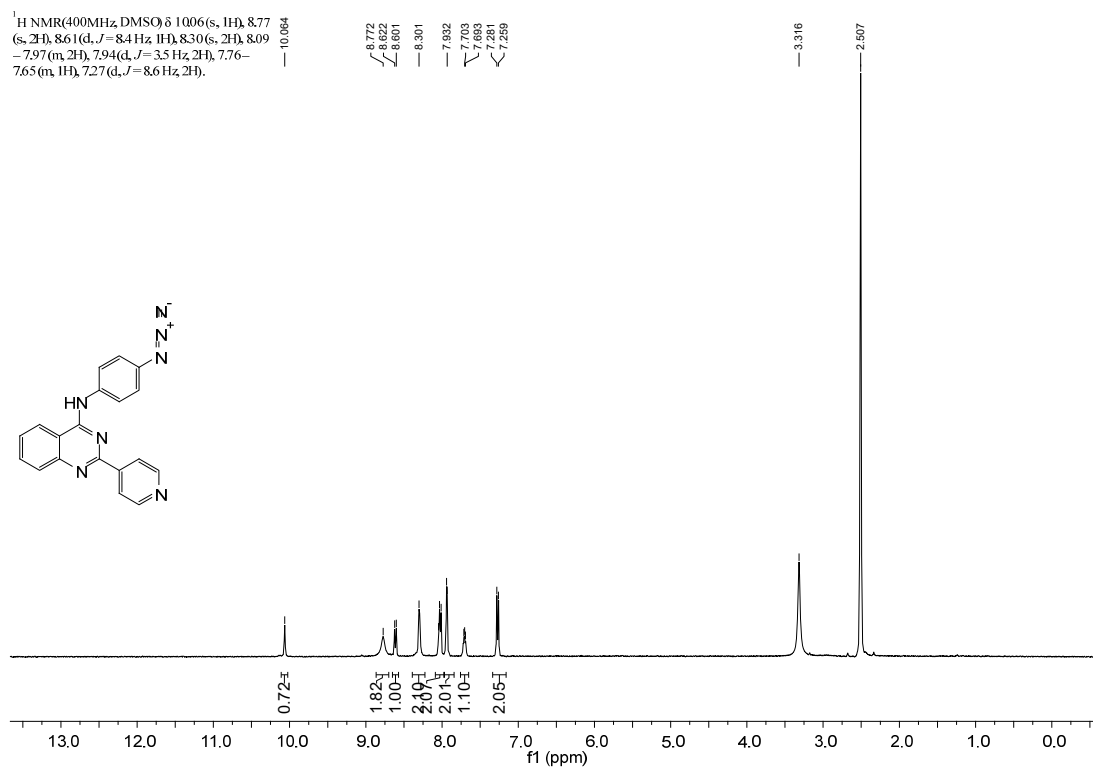


Figure S103. ¹H NMR (400 MHz, *d*₆-DMSO) of **33**

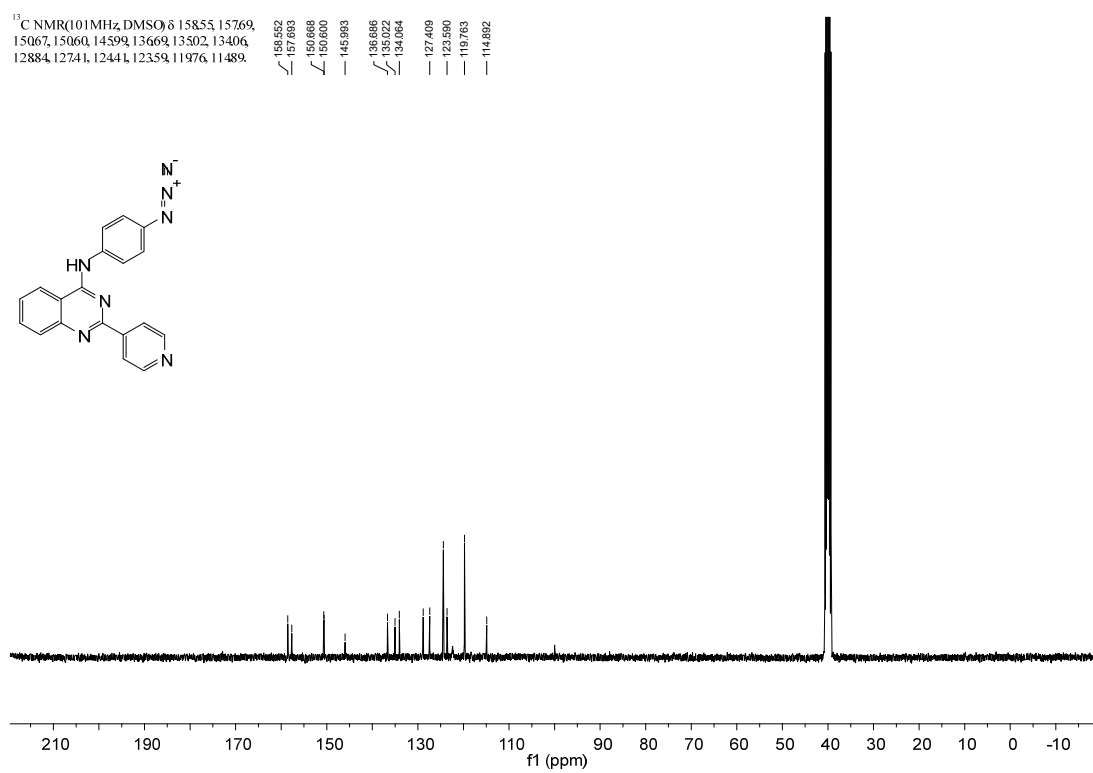


Figure S104. ¹³C NMR (101 MHz, *d*₆-DMSO) of **33**