

Supporting Information

A New Synthesis of Amino Acids-Based Substituted 2,3,4,4a,5,6-Hexahydro-1*H*-pyrazino [1,2-*a*]quinoxalines

Krishnananda Samanta and Gautam Panda*

Medicinal and Process Chemistry Division, Central Drug Research Institute, Lucknow-226001, UP, India

E-mail : gautam.panda@gmail.com Tel : 91-33-24733491, 91-522-2612411-18, Ext. 4385, 4503 Fax: 91-33-24735197, 91-522-2623405

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Fig. S-21: ^1H Spectra of (S)-Methyl 2-(N-((*R*)-3-(tert-Butyldimethylsilyloxy)-2-(2-nitrophenylamino)propyl)-4-methylphenylsulfonamido)-4-methylpentanoate (**8d**).

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Fig. S-24: ^{13}C Spectra of (S)-Methyl 3-(4-(benzyloxy)phenyl)-2-(N-((*R*)-3-(tert-butyldimethylsilyloxy)-2-(2-nitrophenylamino)propyl)-4-methylphenylsulfonamido)propanoate (**8e**).

Fig. S-25: ^1H Spectra of (S)-Methyl 2-(N-((2*R*,3*R*)-3-hydroxy-2-(2-nitrophenylamino)butyl)-4-methylphenylsulfonamido)-3-phenyl propanoate (**9a**).

Fig. S-26: ^{13}C Spectra of (S)-Methyl 2-(N-((2*R*,3*R*)-3-hydroxy-2-(2-nitrophenylamino)butyl)-4-methylphenylsulfonamido)-3-phenyl propanoate (**9a**).

Fig. S-27: ^1H Spectra of (S)-Methyl 2-(N-((2*R*,3*R*)-3-hydroxy-2-(2-(4-methylphenylsulfonamido)phenylamino)butyl)-4-methylphenylsulfonamido)-3-phenyl propanoate (**11a**).

Fig. S-28: ^{13}C Spectra of (S)-Methyl 2-(N-((2*R*,3*R*)-3-hydroxy-2-(2-(4-methylphenylsulfonamido)phenylamino)butyl)-4-methylphenylsulfonamido)-3-phenyl propanoate (**11a**).

Fig. S-29: ^1H Spectra of (S)-Methyl 2-(N-((*R*)-3-hydroxy-2-(2-(4-methylphenylsulfonamido)phenylamino)propyl)-4-methylphenylsulfonamido)-3-phenylpropanoate (**12a**).

Fig. S-30: ^{13}C Spectra of (S)-Methyl 2-(N-((*R*)-3-hydroxy-2-(2-(4-methylphenylsulfonamido)phenylamino)propyl)-4-methylphenylsulfonamido)-3-phenylpropanoate (**12a**).

Fig. S-31: ^1H Spectra of (S)-Methyl 2-(N-((*R*)-3-hydroxy-2-(2-(4-methylphenylsulfonamido)phenylamino)propyl)-4-methylphenylsulfonamido)propanoate (**12b**).

Fig. S-32: ^{13}C Spectra of (S)-Methyl 2-(N-((*R*)-3-hydroxy-2-(2-(4-methylphenylsulfonamido)phenylamino)propyl)-4-methylphenylsulfonamido)propanoate (**12b**).

Fig. S-33: ^1H Spectra of (S)-Methyl 2-(N-((*R*)-3-hydroxy-2-(2-(4-methylphenylsulfonamido)phenylamino)propyl)-4-methylphenylsulfonamido)-3-methylbutanoate (**12c**).

Fig. S-34: ^{13}C Spectra of (S)-Methyl 2-(N-((*R*)-3-hydroxy-2-(2-(4-methylphenylsulfonamido)phenylamino)propyl)-4-methylphenylsulfonamido)-3-methylbutanoate (**12c**).

Fig. S-35: ^1H Spectra of (S)-Methyl 2-(N-((*R*)-3-hydroxy-2-(2-(4-methylphenylsulfonamido)phenylamino)propyl)-4-methylphenylsulfonamido)-4-methylpentanoate (**12d**).

Fig. S-36: ^{13}C Spectra of (S)-Methyl 2-(N-((*R*)-3-hydroxy-2-(2-(4-methylphenylsulfonamido)phenylamino)propyl)-4-methylphenylsulfonamido)-4-methylpentanoate (**12d**).

Fig. S-37: ^1H Spectra of (S)-Methyl 3-(4-(benzyloxy)phenyl)-2-(N-((*R*)-3-hydroxy-2-(2-(4-methylphenylsulfonamido)phenylamino)propyl)-4-methylphenylsulfonamido)propanoate (**12e**).

Fig. S-38: ^{13}C Spectra of (S)-Methyl 3-(4-(benzyloxy)phenyl)-2-(N-((*R*)-3-hydroxy-2-(2-(4-methylphenylsulfonamido)phenylamino)propyl)-4-methylphenylsulfonamido)propanoate (**12e**).

Fig. S-39: ^1H Spectra of (S)-Methyl 2-(4-methyl-N-(((2*R*,3*S*)-3-methyl-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)phenylsulfonamido)-3-phenylpropanoate (**13a**).

Fig. S-40: ^{13}C Spectra of (S)-Methyl 2-(4-methyl-N-(((2R,3S)-3-methyl-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)phenylsulfonamido)-3-phenylpropanoate (**13a**).

Fig. S-41: ^1H Spectra of (S)-Methyl- 2-(4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)phenylsulfonamido)-3-phenylpropanoate (**14a**).

Fig. S-42: ^{13}C Spectra of (S)-Methyl- 2-(4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)phenylsulfonamido)-3-phenylpropanoate (**14a**).

Fig. S-43: ^1H Spectra of (S)-Methyl- 3-Methyl-2-(4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)phenylsulfonamido)butanoate (**14c**).

Fig. S-44: ^{13}C Spectra of (S)-Methyl- 3-Methyl-2-(4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)phenylsulfonamido)butanoate (**14c**).

Fig. S-45: ^1H Spectra of (S)-Methyl -4-Methyl-2-(4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)phenylsulfonamido)pentanoate (**14d**).

Fig. S-46: ^{13}C Spectra of (S)-Methyl -4-Methyl-2-(4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)phenylsulfonamido)pentanoate (**14d**).

Fig. S-47: ^1H Spectra of (S)-Methyl 3-(4-(Benzyloxy)phenyl)-2-(4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)phenylsulfonamido)propanoate (**14e**).

Fig. S-48: ^{13}C Spectra of (S)-Methyl 3-(4-(Benzyloxy)phenyl)-2-(4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)phenylsulfonamido)propanoate (**14e**).

Fig. S-49: ^1H Spectra of N-((S)-1-hydroxy-3-phenylpropan-2-yl)-4-methyl-N-(((2R,3S)-3-methyl-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)benzenesulfonamide (**15a**).

Fig. S-50: ^{13}C Spectra of N-((S)-1-hydroxy-3-phenylpropan-2-yl)-4-methyl-N-(((2R,3S)-3-methyl-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)benzenesulfonamide (**15a**).

Fig. S-51: ^1H Spectra of N-((S)-1-hydroxy-3-phenylpropan-2-yl)-4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)benzenesulfonamide (**16a**).

Fig. S-52: ^1H Spectra of N-((S)-1-hydroxypropan-2-yl)-4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)benzenesulfonamide (**16b**).

Fig. S-53: ^{13}C Spectra of N-((S)-1-hydroxypropan-2-yl)-4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)benzenesulfonamide (**16b**).

Fig. S-54: ^1H Spectra of N-((S)-1-hydroxy-3-methylbutan-2-yl)-4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)benzenesulfonamide (**16c**).

Fig. S-55: ^{13}C Spectra of N-((S)-1-hydroxy-3-methylbutan-2-yl)-4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)benzenesulfonamide (**16c**).

Fig. S-56: ^1H Spectra of N-((S)-1-hydroxy-4-methylpentan-2-yl)-4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)benzenesulfonamide (**16d**).

Fig. S-57: ^{13}C Spectra of N-((S)-1-hydroxy-4-methylpentan-2-yl)-4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)benzenesulfonamide (**16d**).

Fig. S-58: ^1H Spectra of N-((S)-1-(4-(benzyloxy)phenyl)-3-hydroxypropan-2-yl)-4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)benzenesulfonamide (**16e**).

Fig. S-59: ^{13}C Spectra of N-((S)-1-(4-(benzyloxy)phenyl)-3-hydroxypropan-2-yl)-4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)benzenesulfonamide (**16e**).

Fig. S-60: ^1H Spectra of (2S,4aR,5S)-2-benzyl-5-methyl-3,6-ditosyl-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline (**17a**).

Fig. S-61: ^{13}C Spectra of (2S,4aR,5S)-2-benzyl-5-methyl-3,6-ditosyl-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline (**17a**).

Fig. S-62: HPLC Spectra of (2S,4aR,5S)-2-benzyl-5-methyl-3,6-ditosyl-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline (**17a**).

Fig. S-63: ^1H Spectra of (2S,4aR)-2-benzyl-3,6-ditosyl-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline (**18a**).

Fig. S-64: ^{13}C Spectra of (2S,4aR)-2-benzyl-3,6-ditosyl-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline (**18a**).

Fig. S-65: HPLC Spectra of (2S,4aR)-2-benzyl-3,6-ditosyl-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline (**18a**).

Fig. S-66: ^1H Spectra of (2S,4aR)-2-methyl-3,6-ditosyl-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline (**18b**).

Fig. S-67: ^{13}C Spectra of (2S,4aR)-2-methyl-3,6-ditosyl-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline (**18b**).

Fig. S-68: HPLC Spectra of (2S,4aR)-2-methyl-3,6-ditosyl-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline (**18b**).

Fig. S-69: ^1H Spectra of (2S,4aR)-2-iso-Propyl-3,6-ditosyl-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline (**18c**).

- Fig. S-70:** ^{13}C Spectra of (2*S*,4*aR*)-2-*iso*-Propyl-3,6-ditosyl-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrazino[1,2-*a*]quinoxaline (**18c**).
- Fig. S-71:** HPLC Spectra of (2*S*,4*aR*)-2-*iso*-Propyl-3,6-ditosyl-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrazino[1,2-*a*]quinoxaline (**18c**).
- Fig. S-72:** ^1H Spectra of (2*S*,4*aR*)-2-*iso*-Butyl-3,6-ditosyl-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrazino[1,2-*a*]quinoxaline (**18d**).
- Fig. S-73:** ^{13}C Spectra of (2*S*,4*aR*)-2-*iso*-Butyl-3,6-ditosyl-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrazino[1,2-*a*]quinoxaline (**18d**).
- Fig. S-74:** HPLC Spectra of (2*S*,4*aR*)-2-*iso*-Butyl-3,6-ditosyl-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrazino[1,2-*a*]quinoxaline (**18d**).
- Fig. S-75:** ^1H Spectra of (2*S*,4*aR*)-2-(4-(Benzyloxy)benzyl)-3,6-ditosyl-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrazino[1,2-*a*]quinoxaline (**18e**).
- Fig. S-76:** ^{13}C Spectra of (2*S*,4*aR*)-2-(4-(Benzyloxy)benzyl)-3,6-ditosyl-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrazino[1,2-*a*]quinoxaline (**18e**).
- Fig. S-77:** HPLC Spectra of (2*S*,4*aR*)-2-(4-(Benzyloxy)benzyl)-3,6-ditosyl-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrazino[1,2-*a*]quinoxaline (**18 e**).
- Fig. S-78:** ^1H Spectra of (2*S*,4*aR*,5*S*)-2-Benzyl-5-methyl-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrazino[1,2-*a*]quinoxaline (**19**).
- Fig. S-79:** ^{13}C Spectra of (2*S*,4*aR*,5*S*)-2-Benzyl-5-methyl-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrazino[1,2-*a*]quinoxaline (**19**).
- Fig. S-80:** ^1H Spectra of (2*S*,4*aR*)-2-Benzyl-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrazino[1,2-*a*]quinoxaline (**20a**).
- Fig. S-81:** ^{13}C Spectra of (2*S*,4*aR*)-2-Benzyl-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrazino[1,2-*a*]quinoxaline (**20a**).
- Fig. S-82:** ^1H Spectra of (2*S*,4*aR*)-2-Methyl-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrazino[1,2-*a*]quinoxaline (**20b**).
- Fig. S-83:** ^{13}C Spectra of (2*S*,4*aR*)-2-Methyl-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrazino[1,2-*a*]quinoxaline (**20b**).
- Fig. S-84:** ^1H Spectra of (2*S*,4*aR*)-2-*iso*-Propyl-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrazino[1,2-*a*]quinoxaline (**20c**).
- Fig. S-85:** ^{13}C Spectra of (2*S*,4*aR*)-2-*iso*-Propyl-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrazino[1,2-*a*]quinoxaline (**20c**).
- Fig. S-86:** ^1H Spectra of (2*S*,4*aR*)-2-*isobutyl*-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrazino[1,2-*a*]quinoxaline (**20d**).
- Fig. S-87:** ^{13}C Spectra of (2*S*,4*aR*)-2-*isobutyl*-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrazino[1,2-*a*]quinoxaline (**20d**).
- Fig. S-88:** HPLC Spectra of (2*S*,4*aR*)-2-*isobutyl*-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrazino[1,2-*a*]quinoxaline (**20d**).
- Fig. S-89:** ^1H Spectra of (2*S*,4*aR*)-2-(4-(Benzyloxy)benzyl)-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrazino[1,2-*a*]quinoxaline (**20e**).

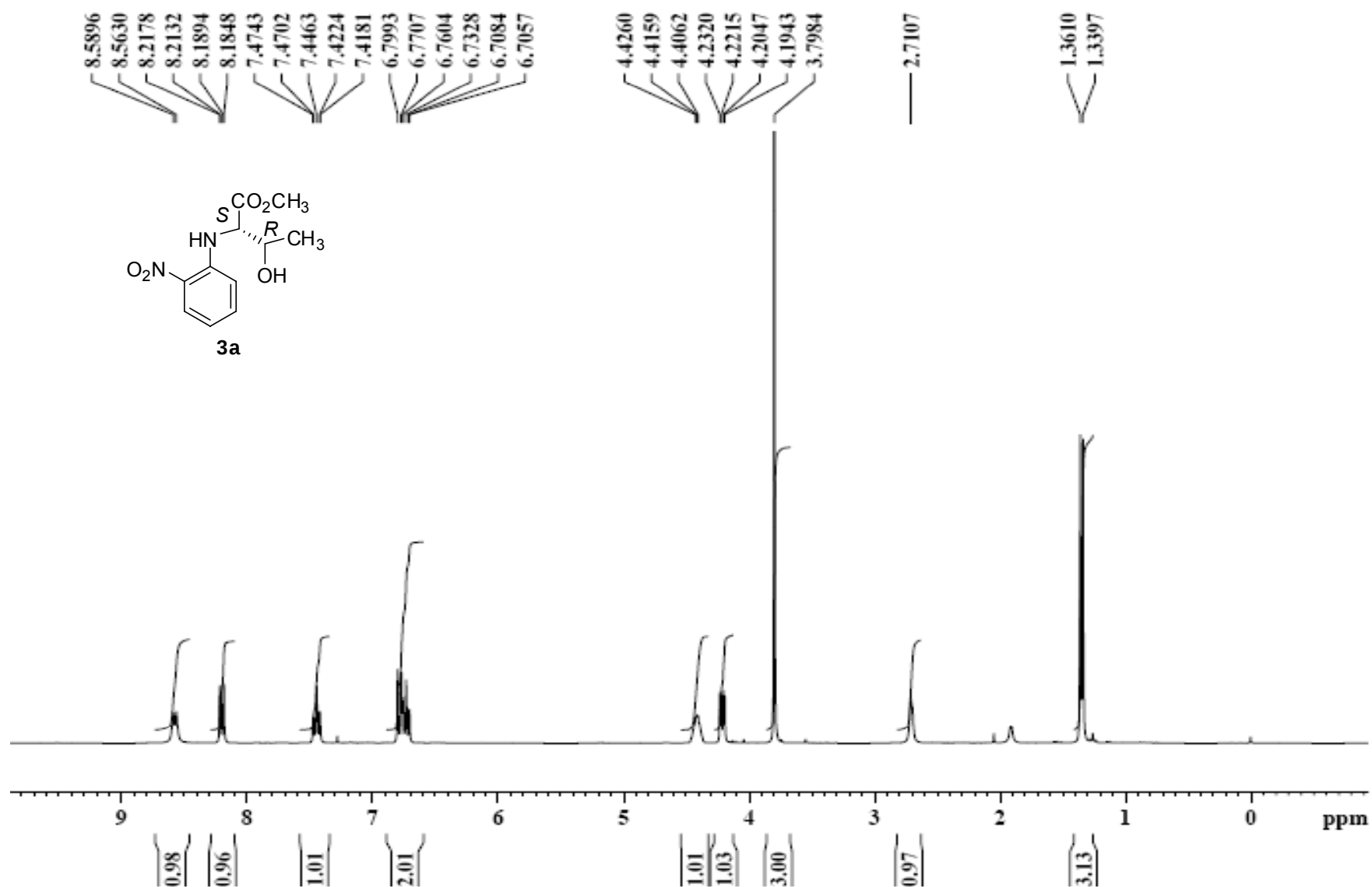


Figure S-1: ¹H spectrum(300 MHz, CDCl₃) of **3a**

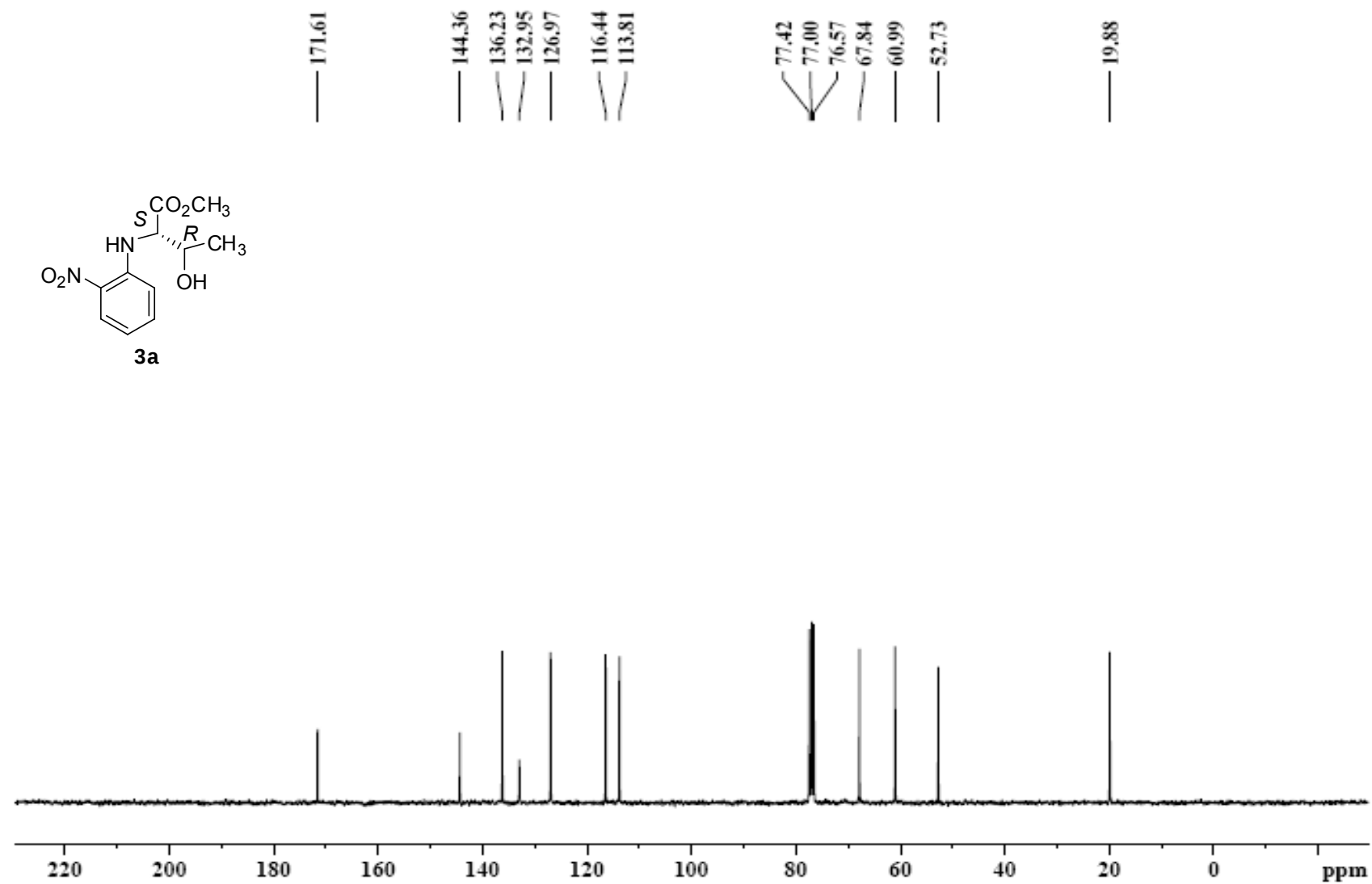


Figure S-2: ¹³C spectrum (75MHz, CDCl₃) **3a**

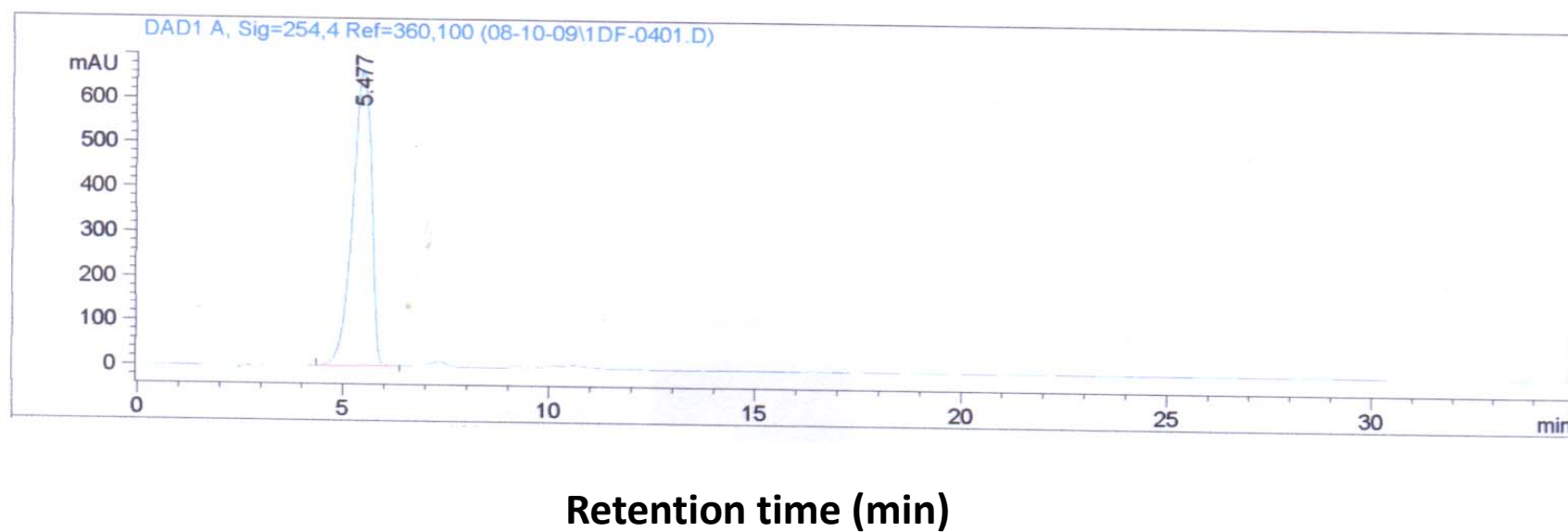
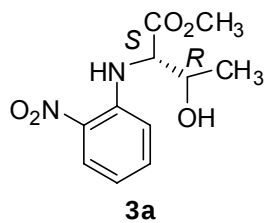


Figure S-3: HPLC spectrum of **3a**

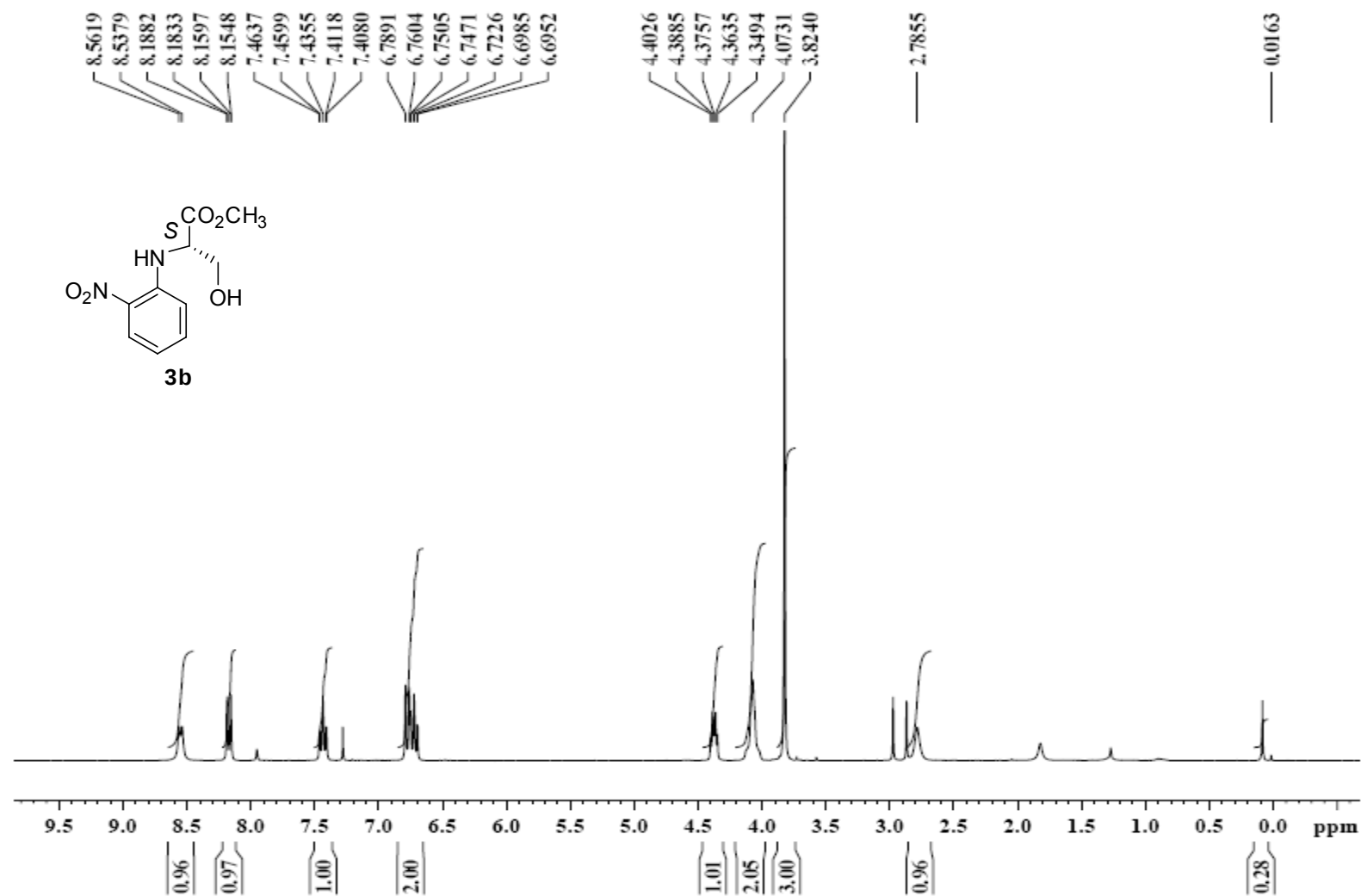
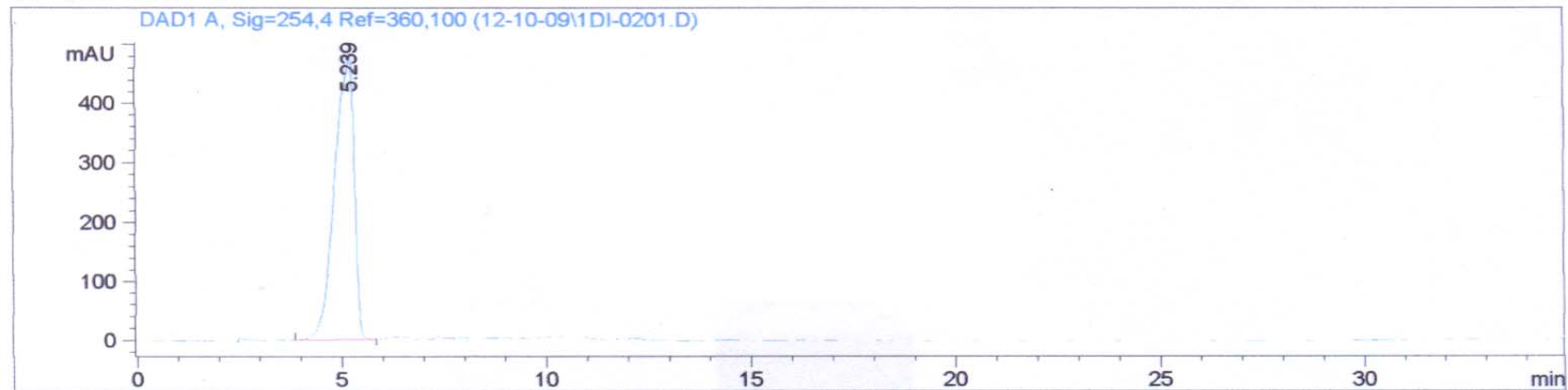
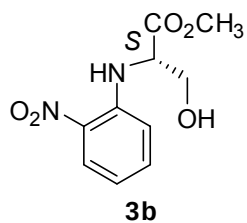
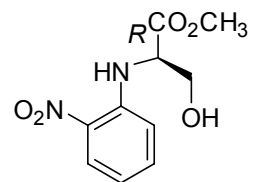


Figure S-4: ¹H spectrum(300 MHz, CDCl₃) of **3b**



Retention time (min)

Figure S-5: HPLC spectrum of **3b**



Enantiomer of **3b**

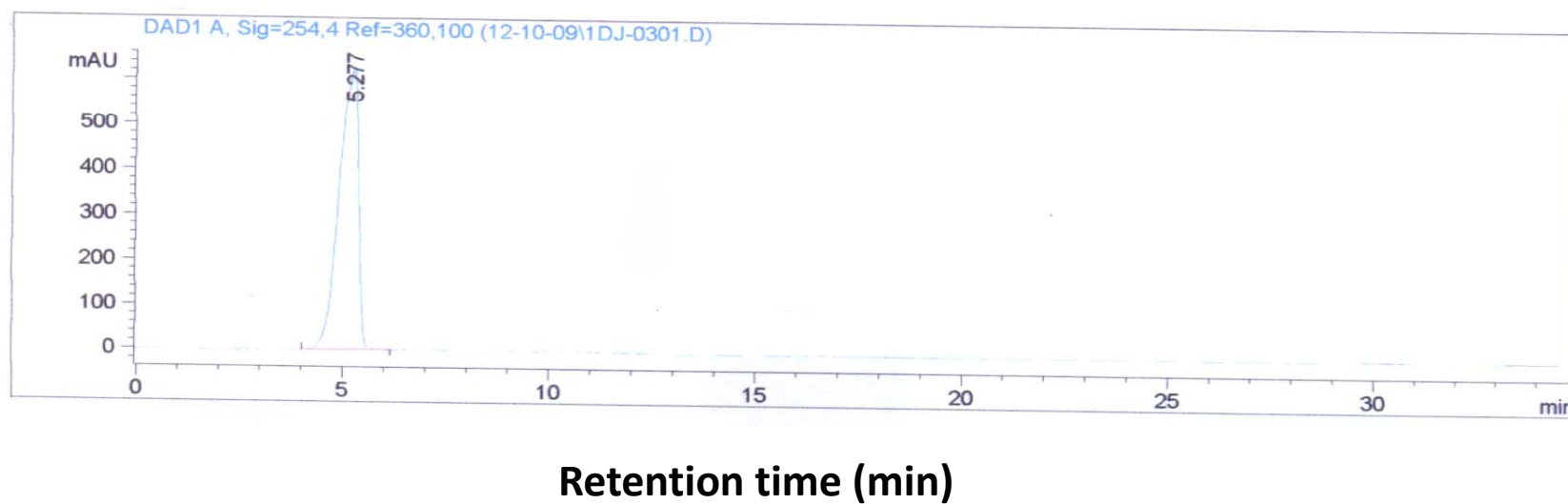
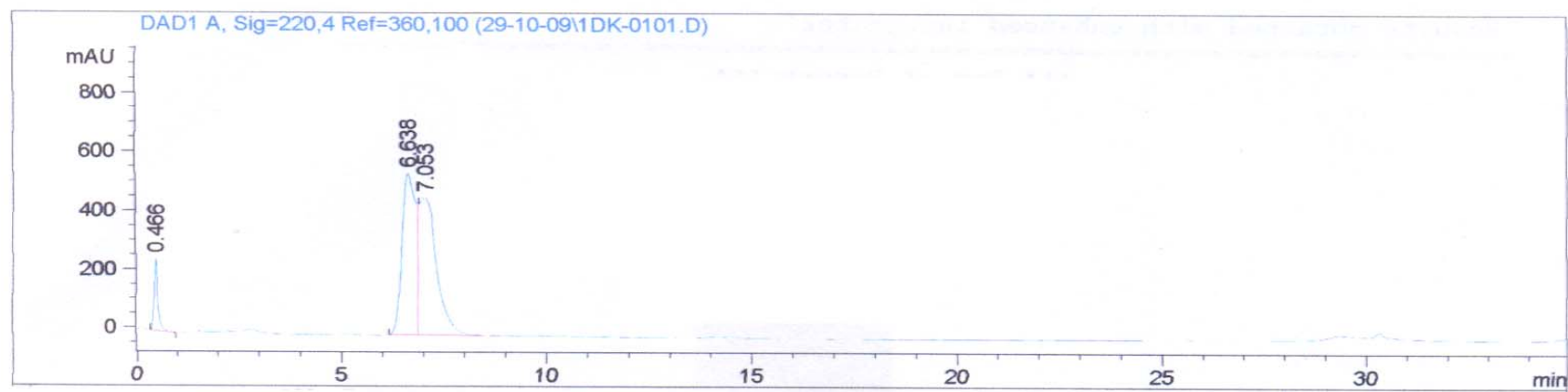
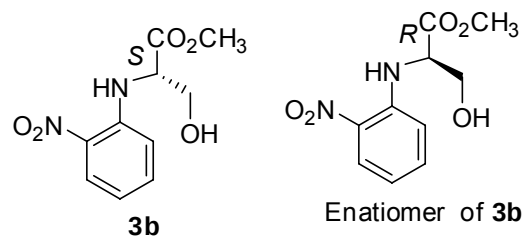


Figure S-6: HPLC spectrum for enantiomer of **3b**



Retention time (min)

Figure S-7: HPLC spectrum for 1:1 mixture of **3b** and enantiomer of **3b** as a HPLC ref.

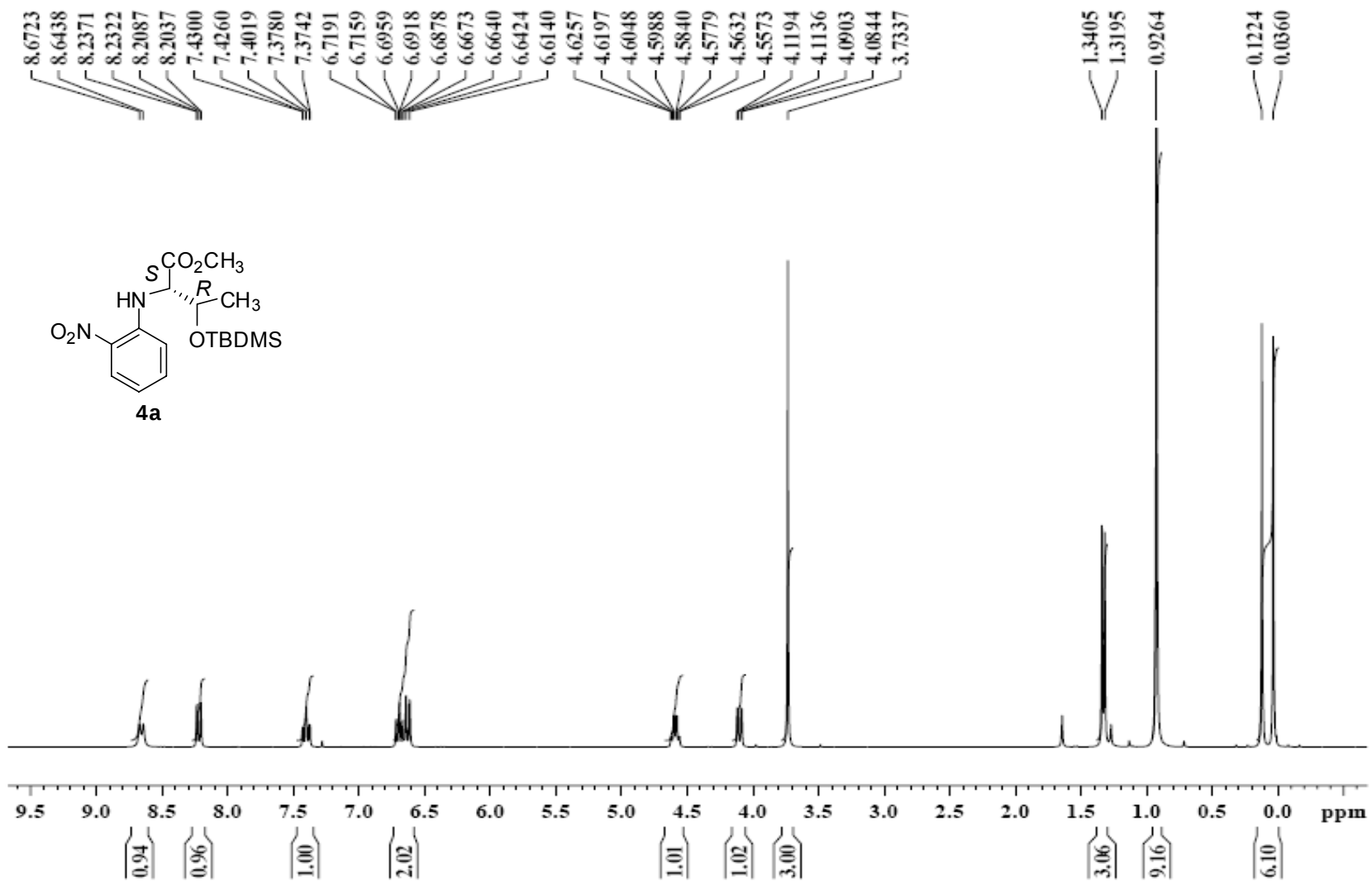


Figure S-8: ^1H spectrum(300 MHz, CDCl_3) of **4a**

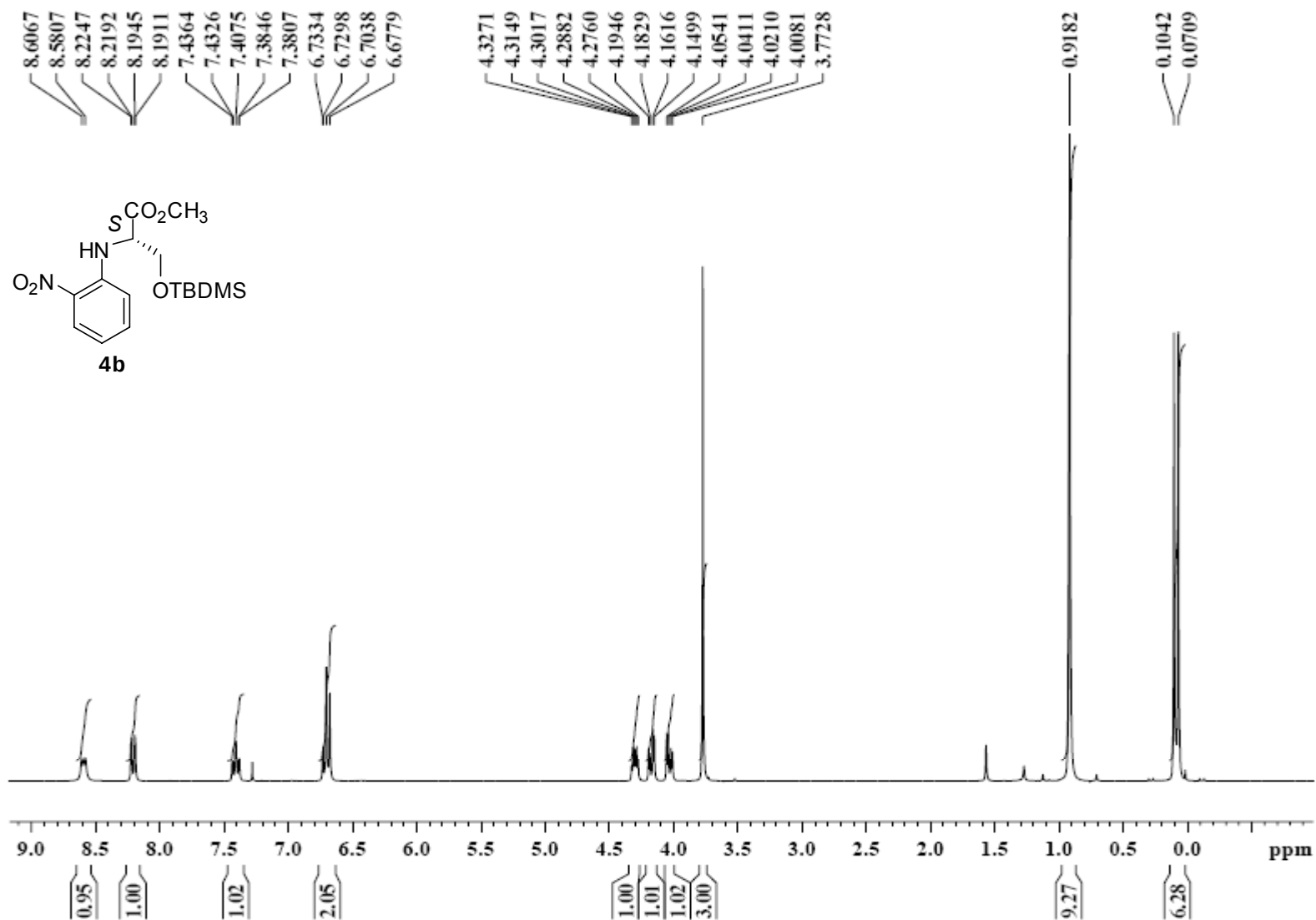


Figure S-9: ¹H spectrum(300 MHz, CDCl₃) of **4b**

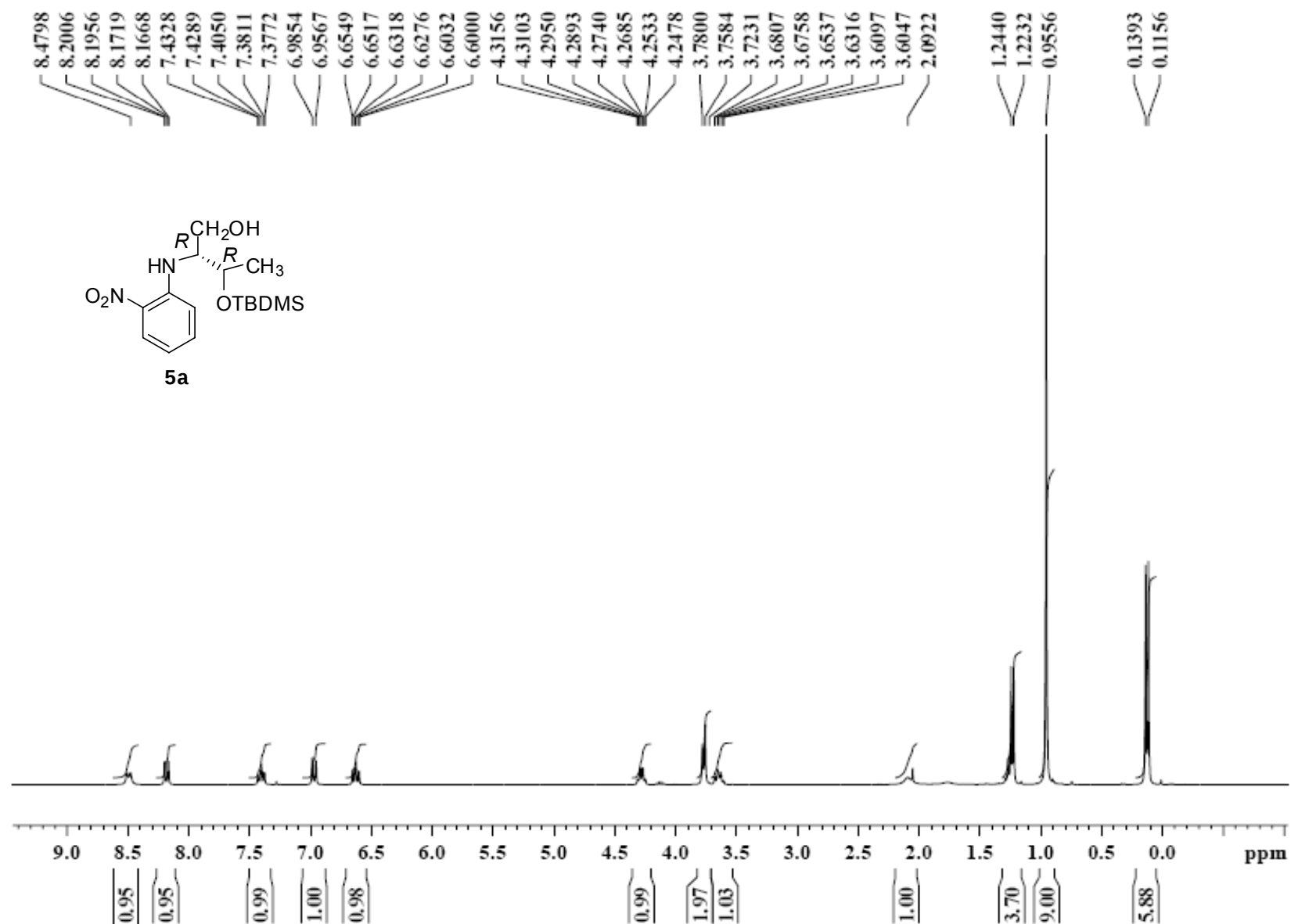


Figure S-10: ¹H spectrum(300 MHz, CDCl₃) of **5a**

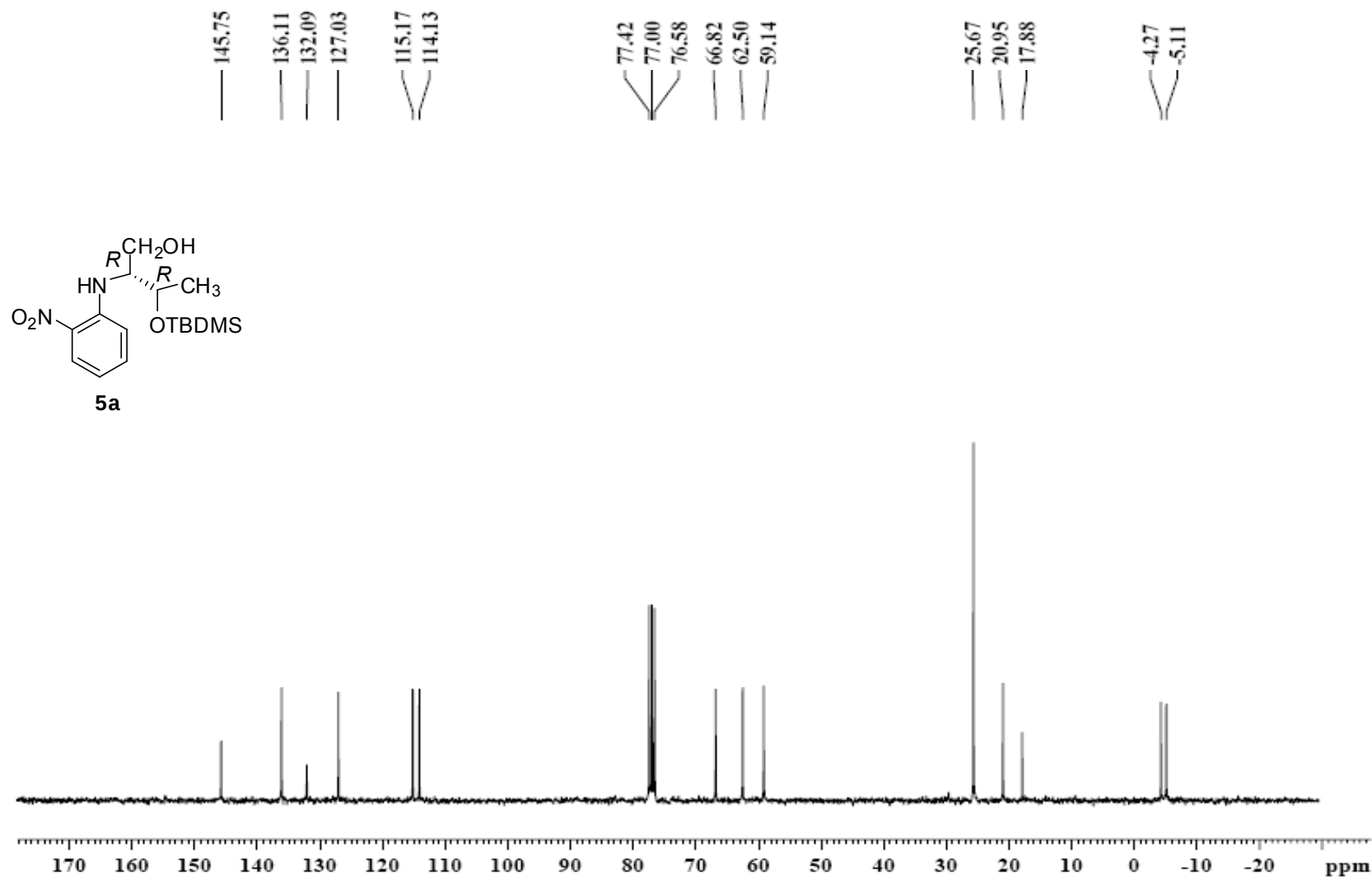


Figure S-11: ¹³C spectrum (75MHz, CDCl₃) **5a**

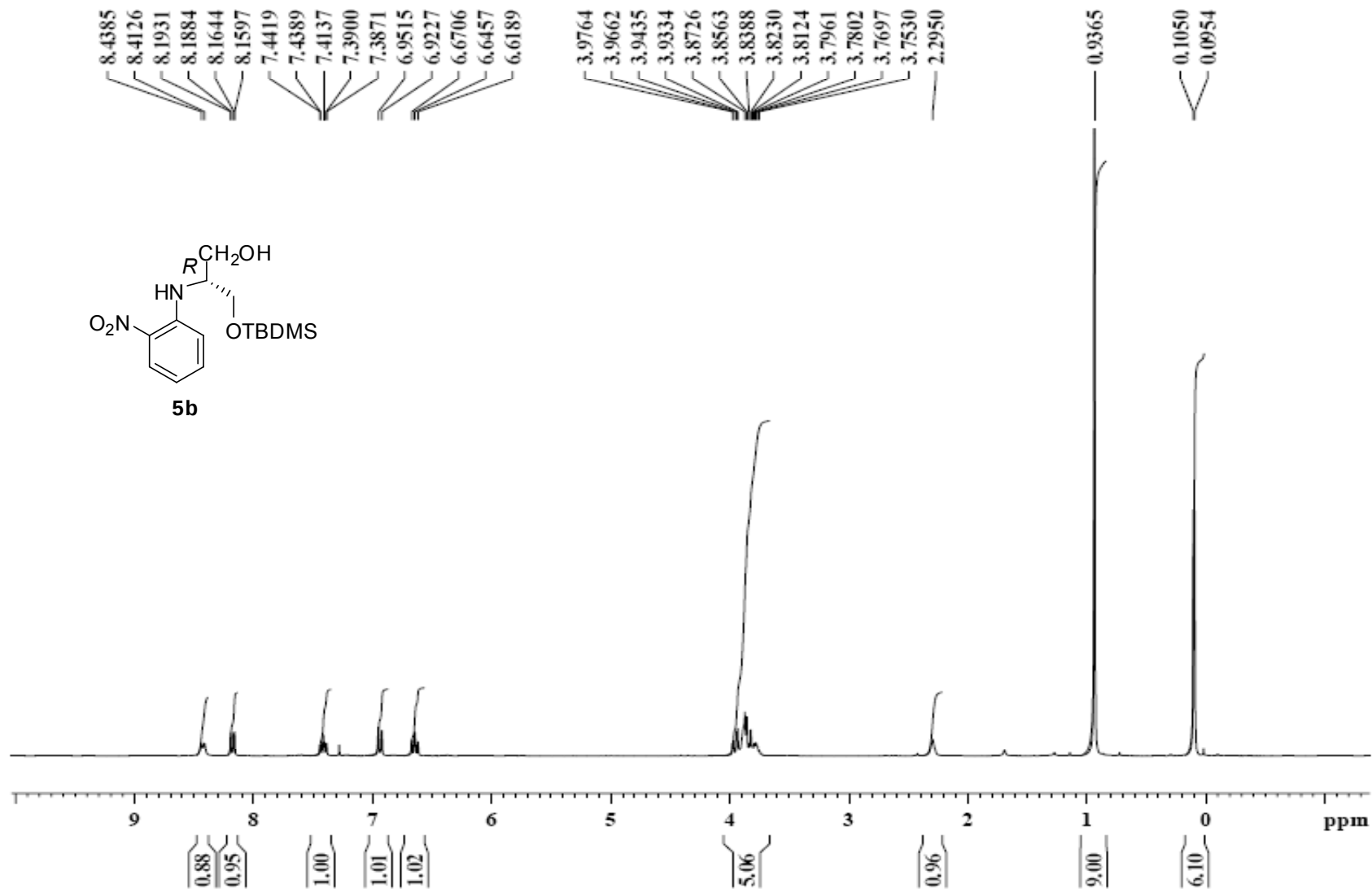


Figure S-12: ¹H spectrum(300 MHz, CDCl₃) of **5b**

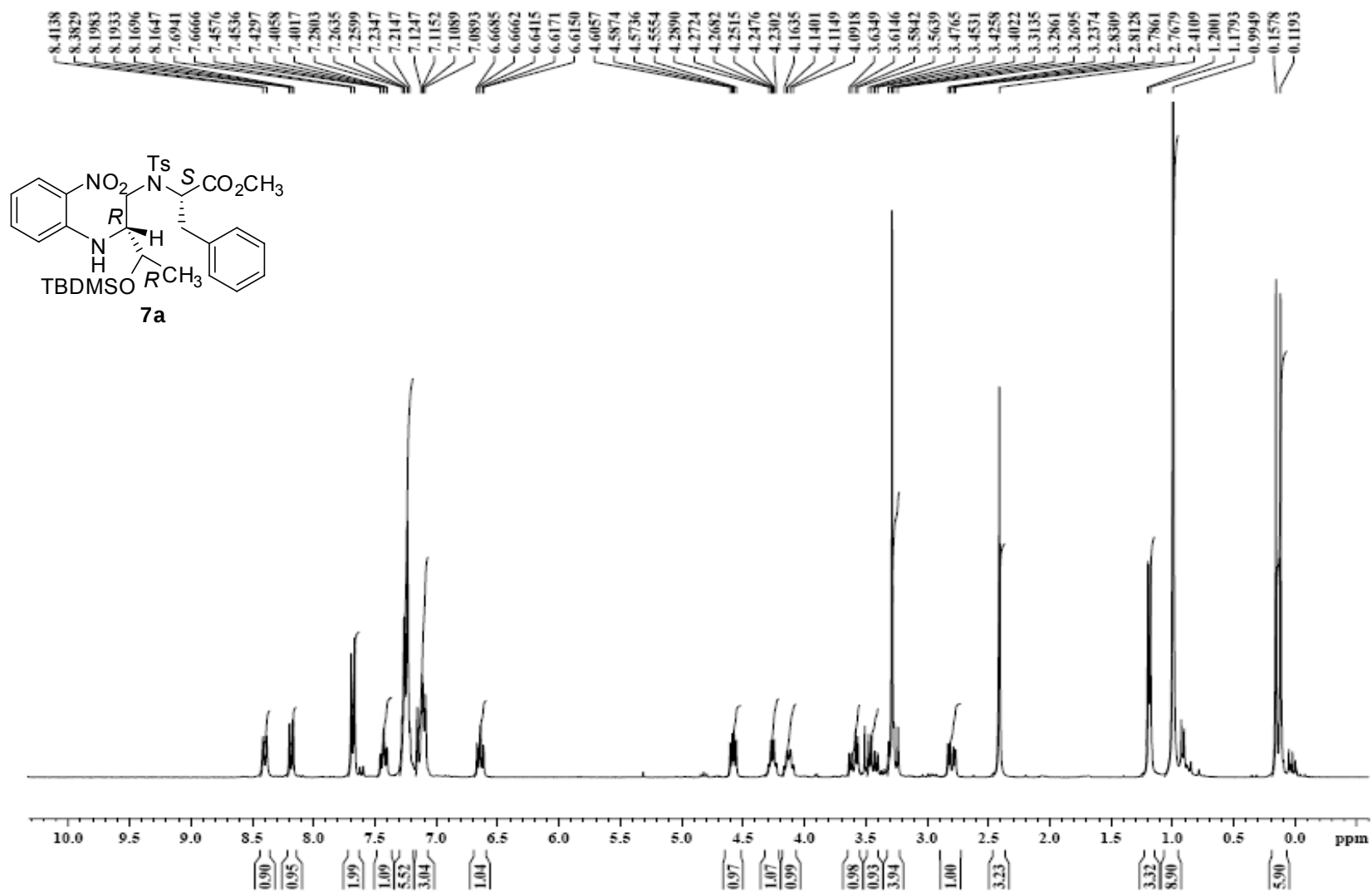


Figure S-13: ^1H spectrum(300 MHz, CDCl_3) of **7a**

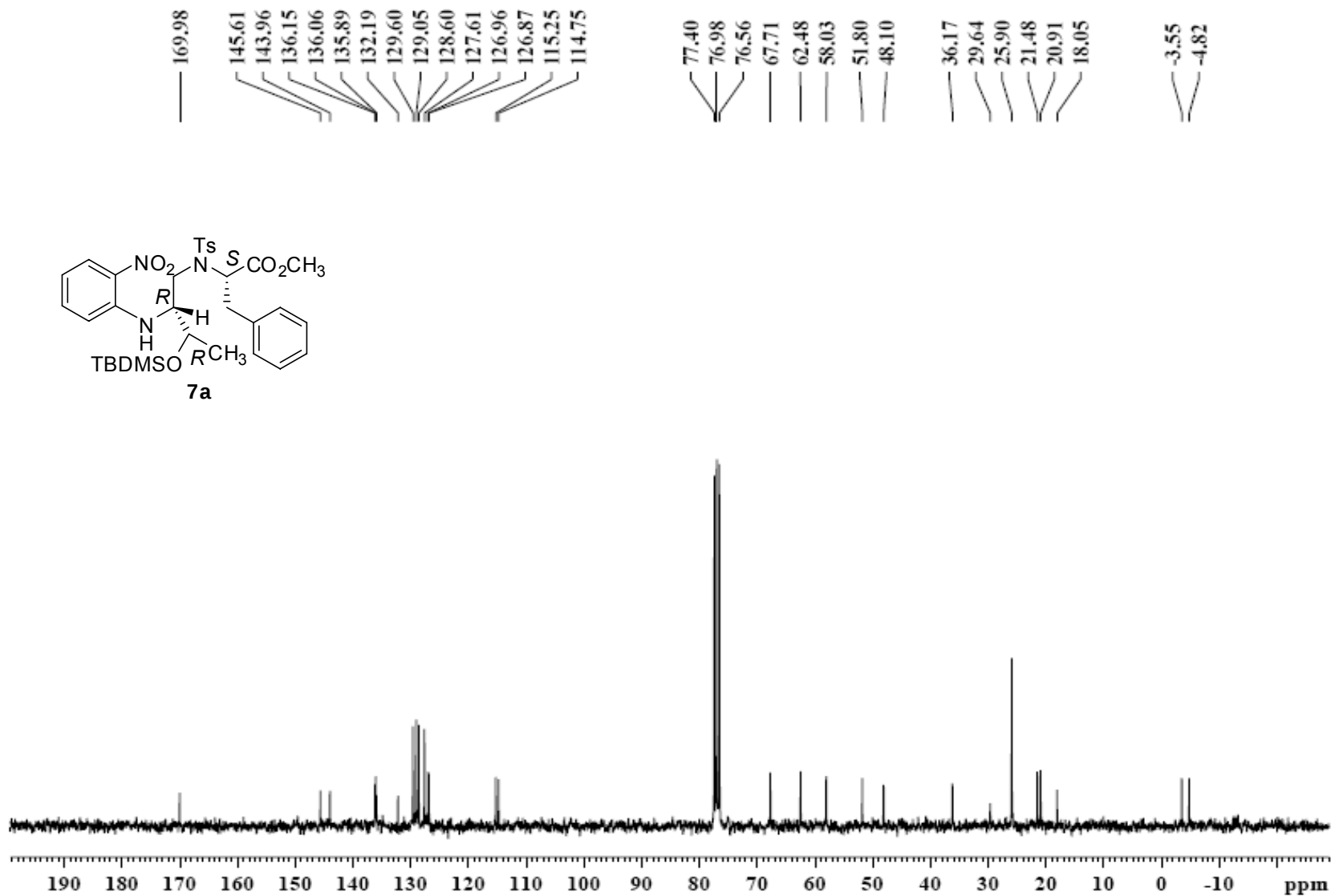


Figure S-14: ^{13}C spectrum (75MHz, CDCl_3) **7a**

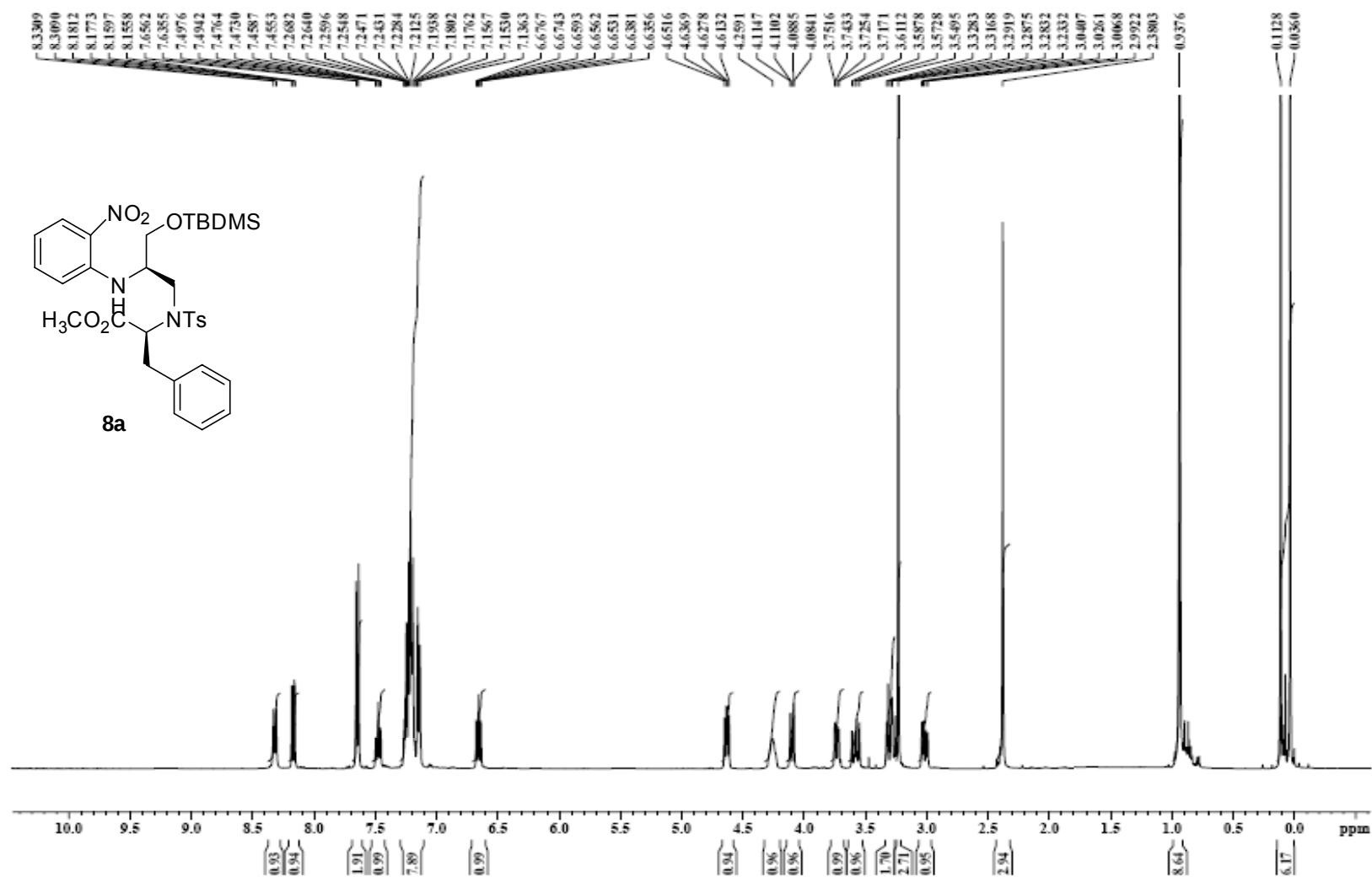


Figure S-15: ¹H spectrum(300 MHz, CDCl₃) of **8a**

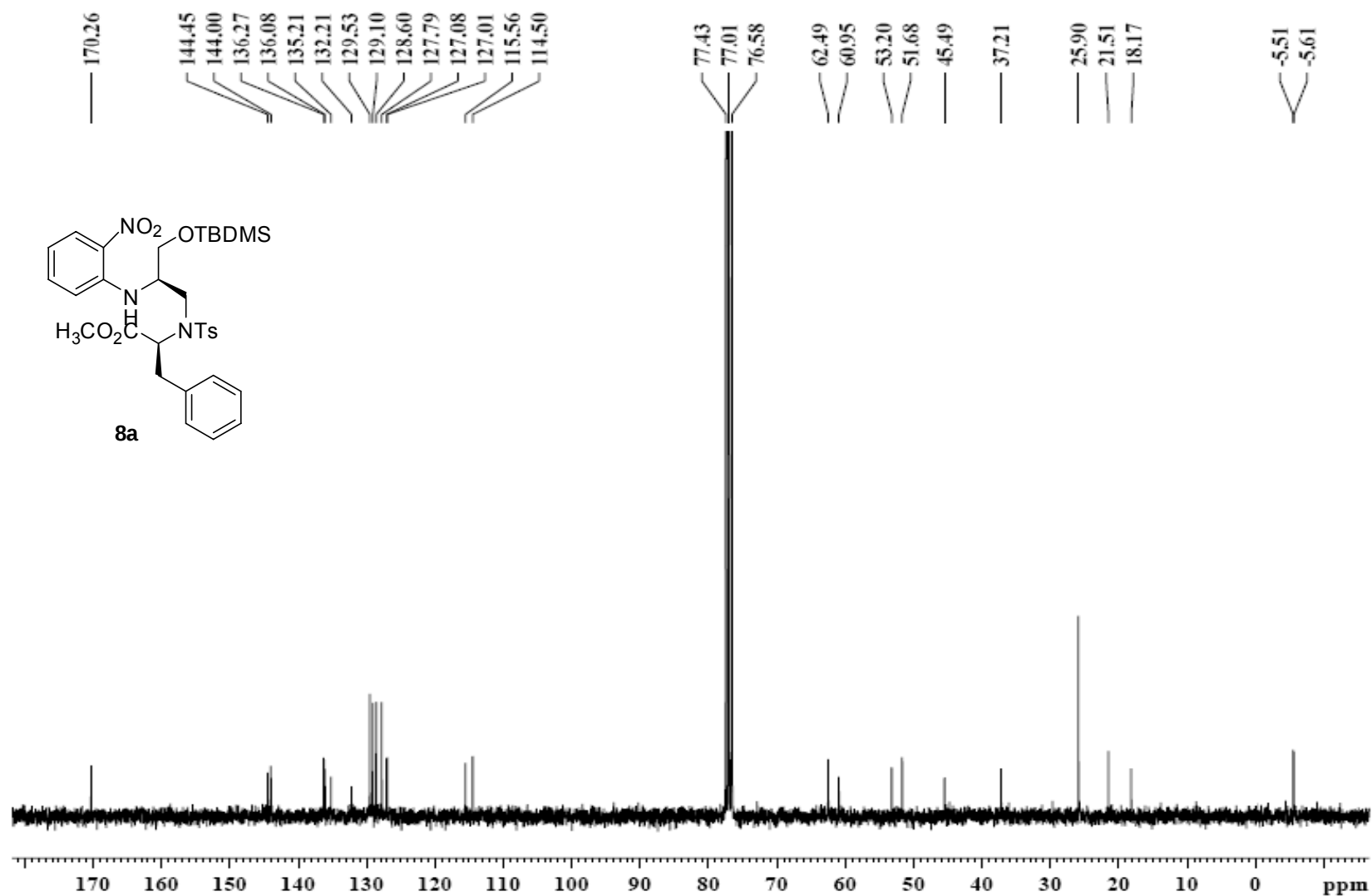


Figure S-16: ^{13}C spectrum (75MHz, CDCl_3) **8a**

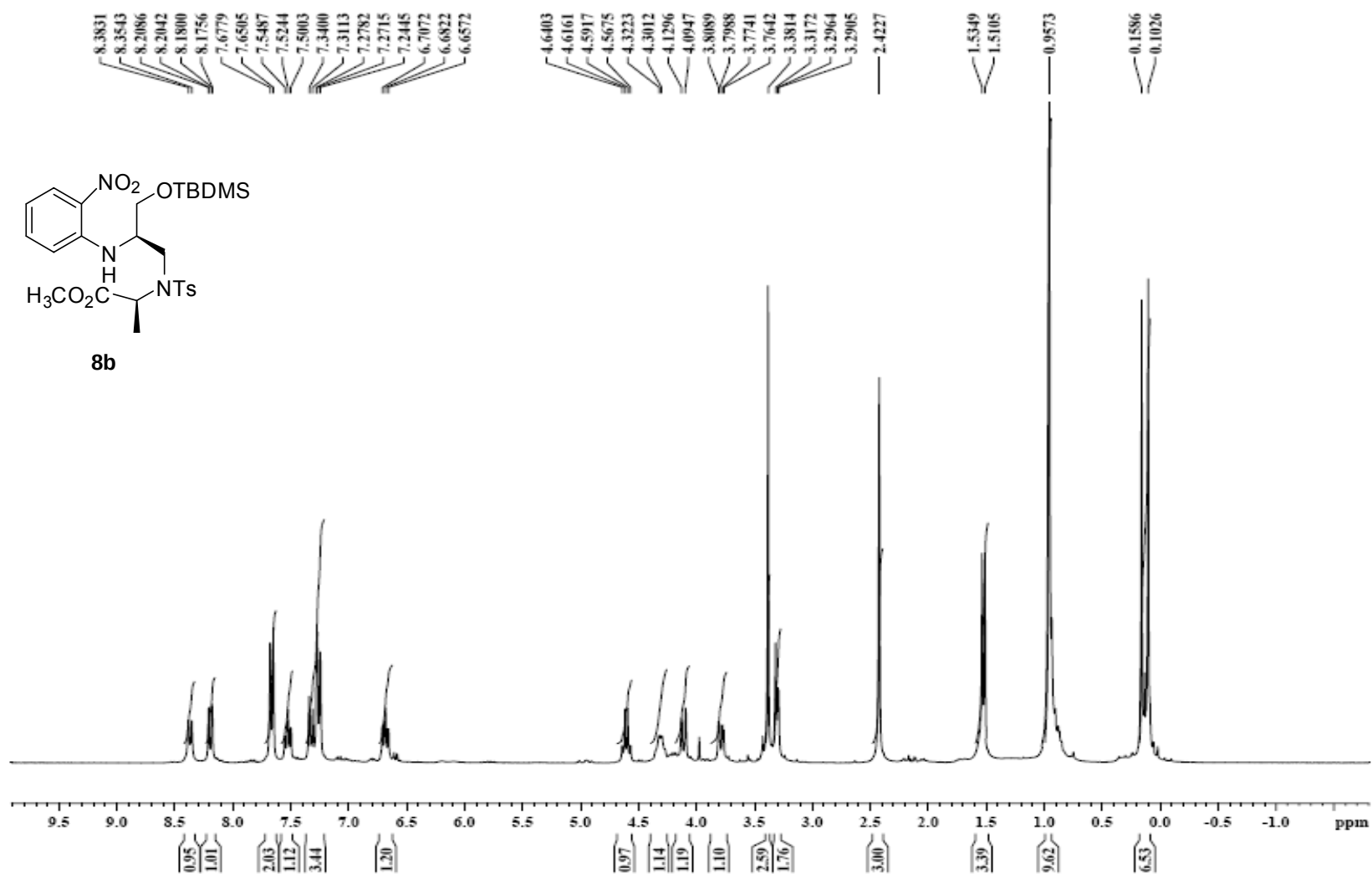


Figure S-17: ¹H spectrum(300 MHz, CDCl₃ + CCl₄) of **8b**

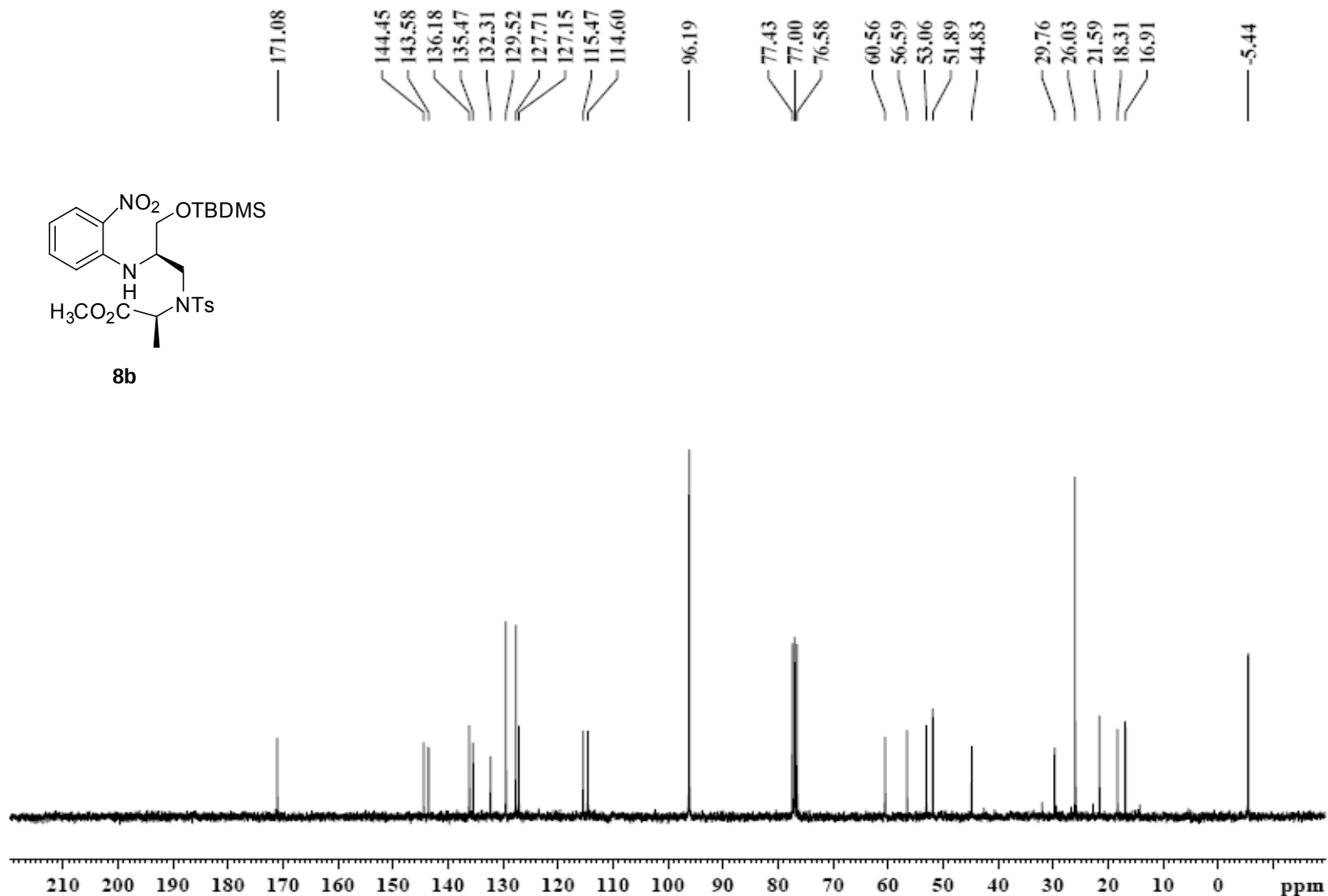


Figure S-18: ^{13}C spectrum (75MHz, $\text{CDCl}_3 + \text{CCl}_4$) **8b**

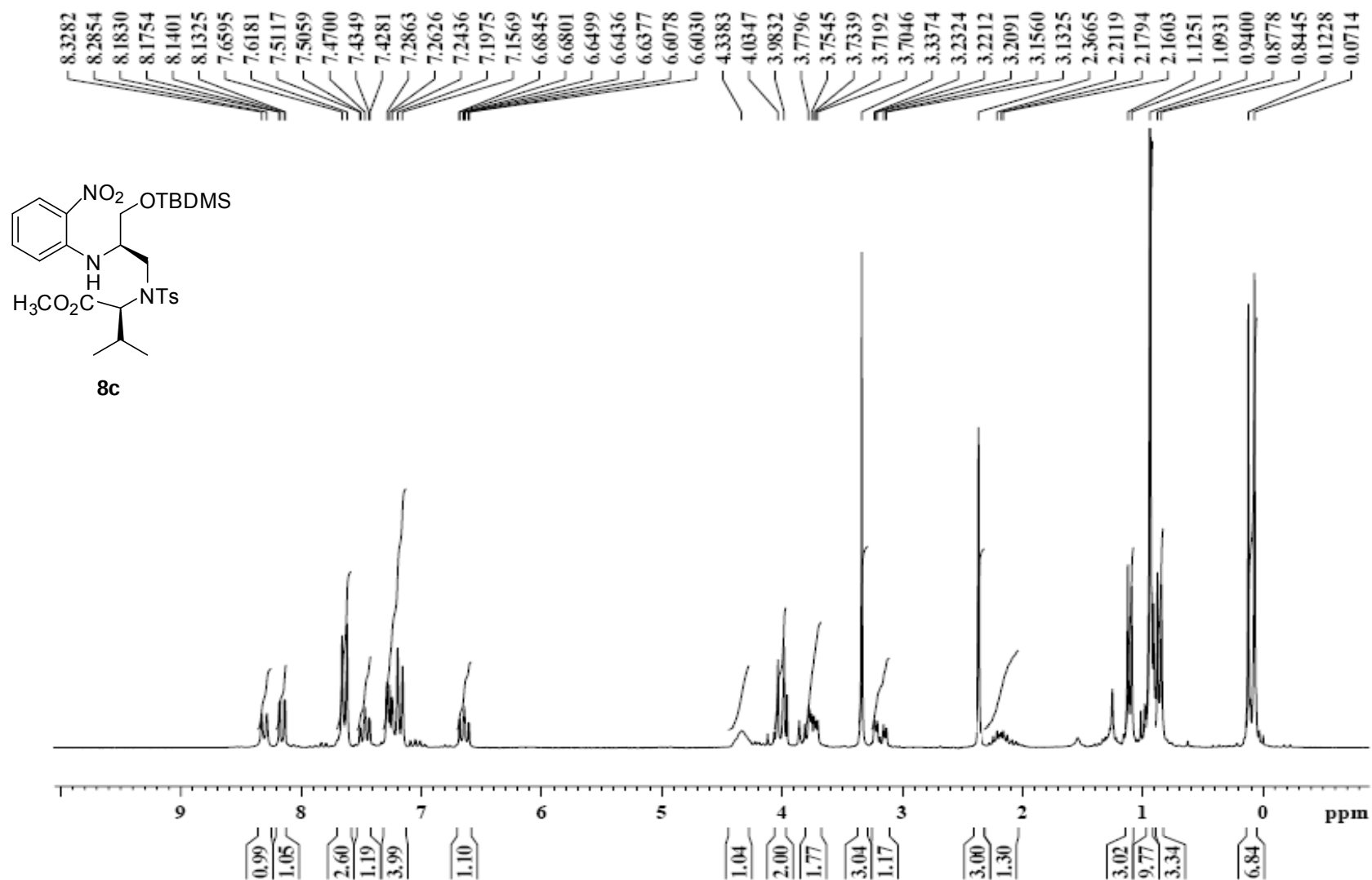


Figure S-19: ¹H spectrum(300 MHz, CDCl₃) of **8c**

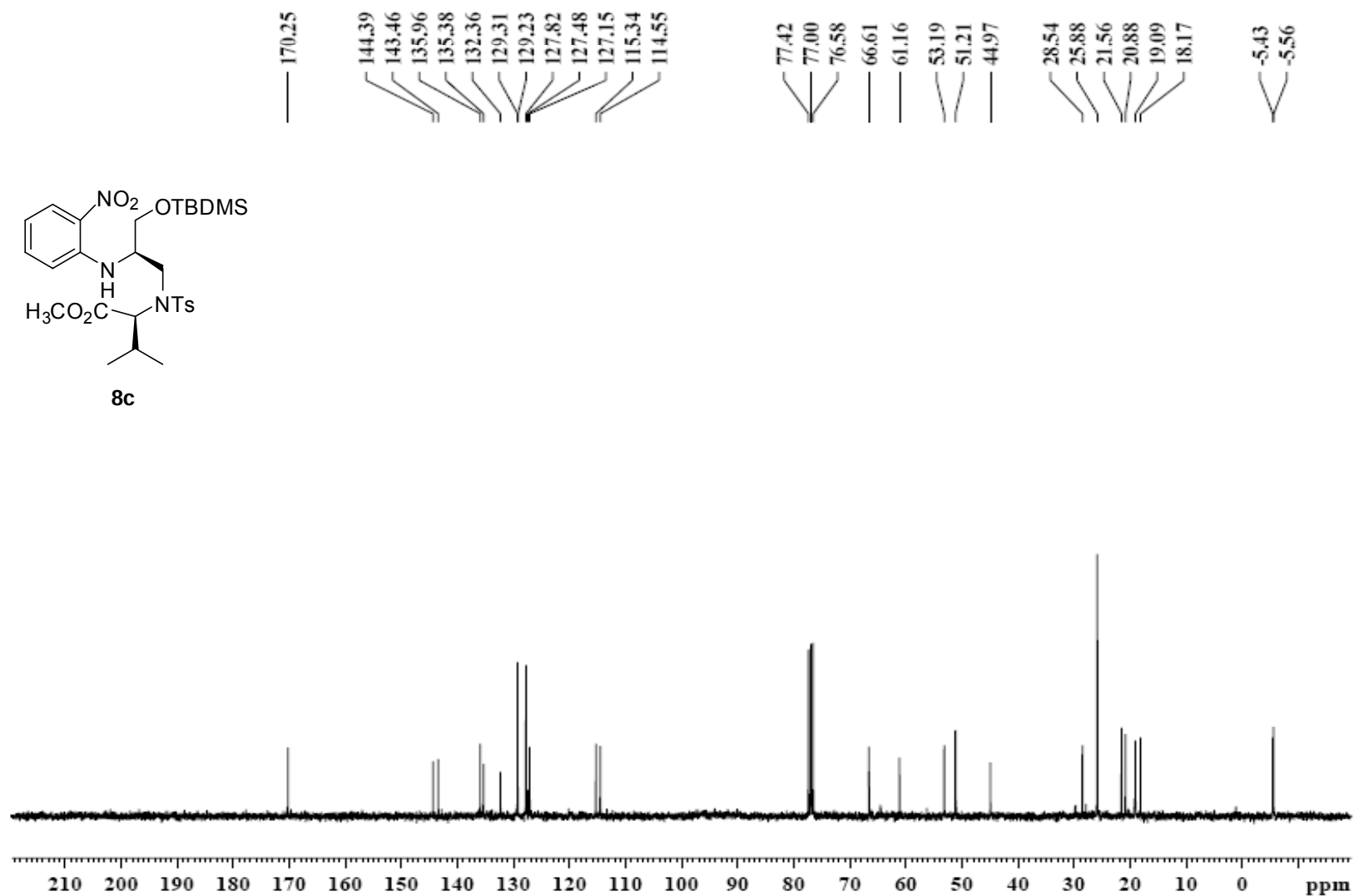
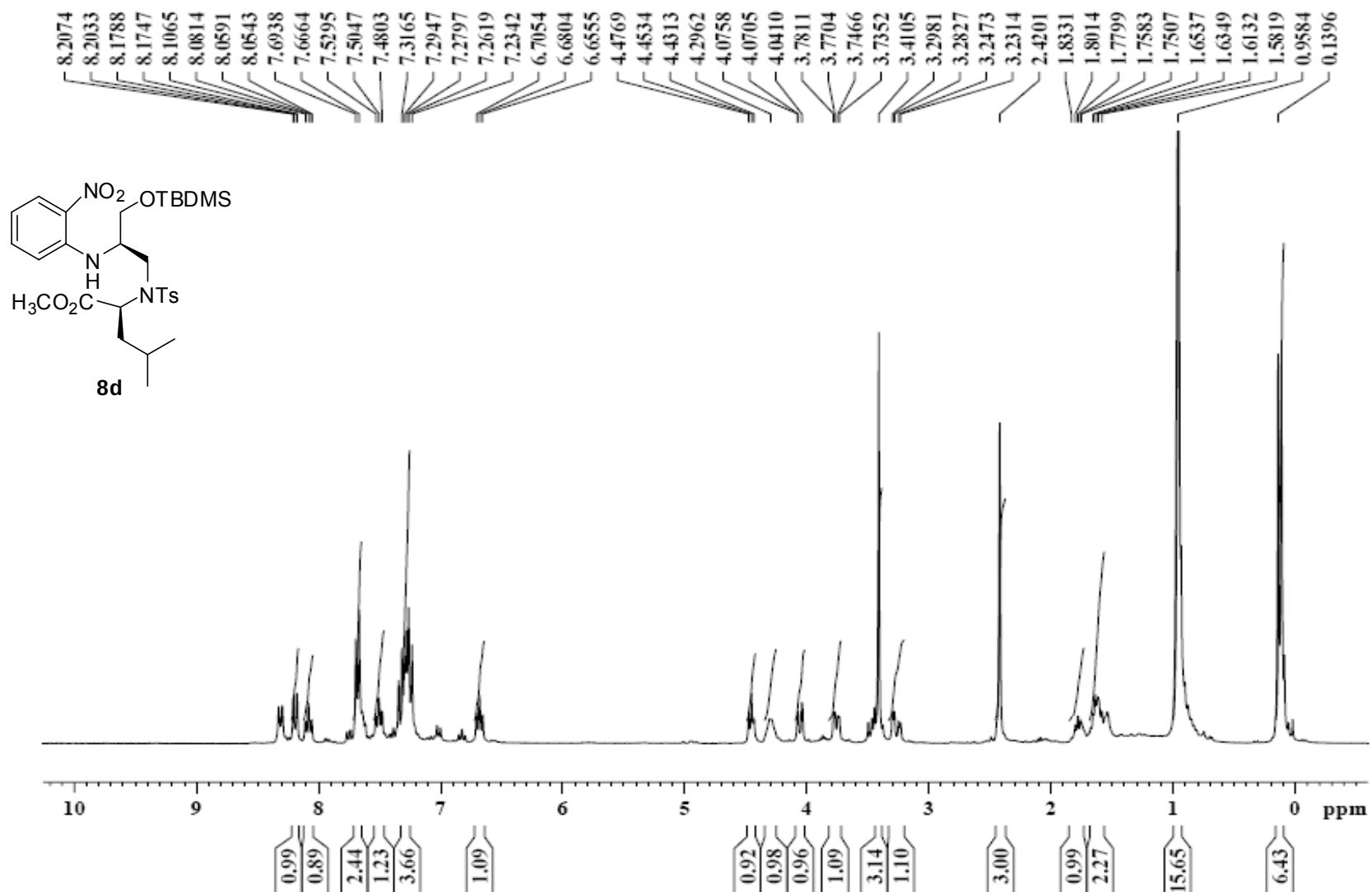
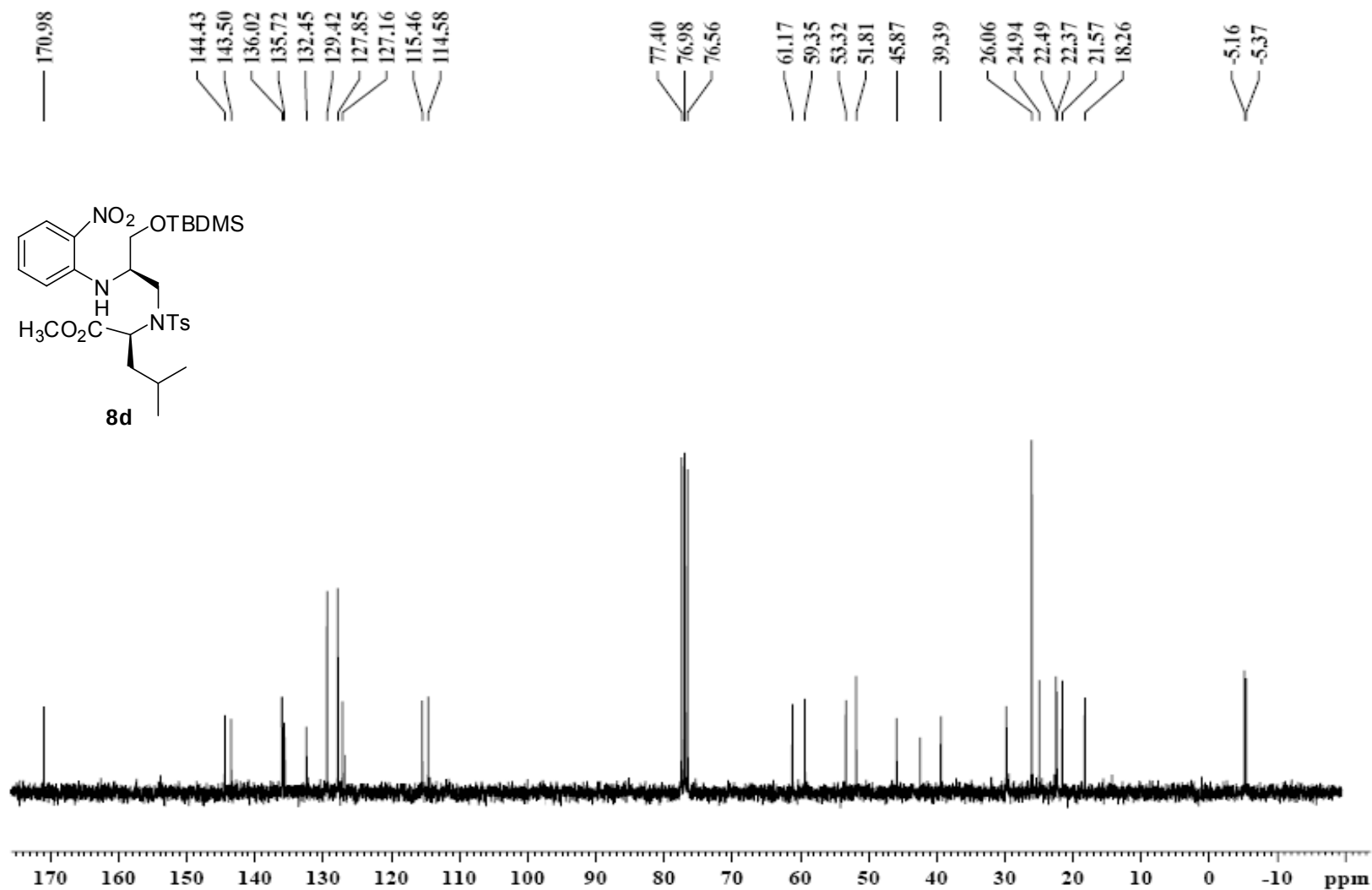


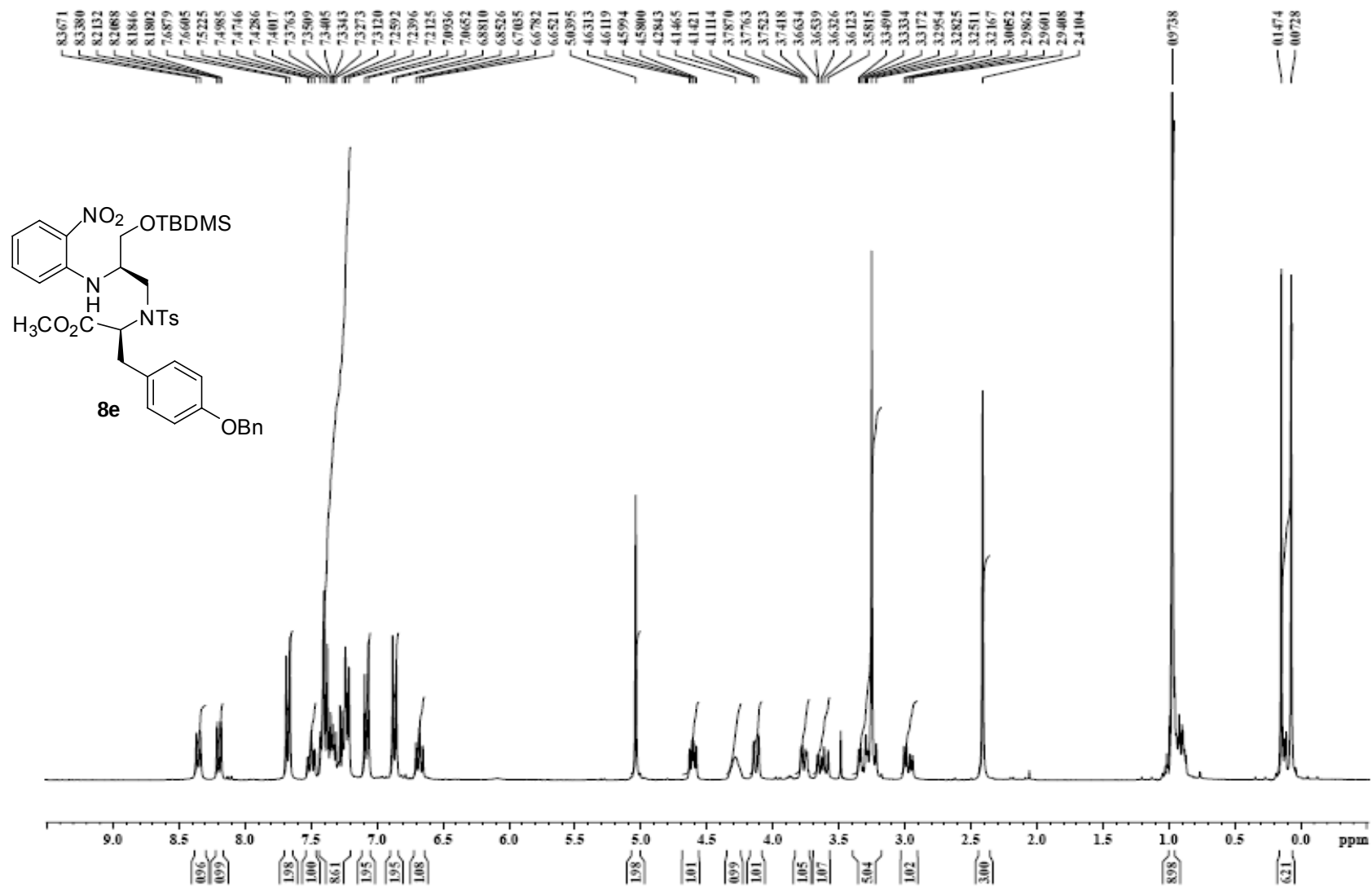
Figure S-20: ^{13}C spectrum (75MHz, CDCl_3) **8c**



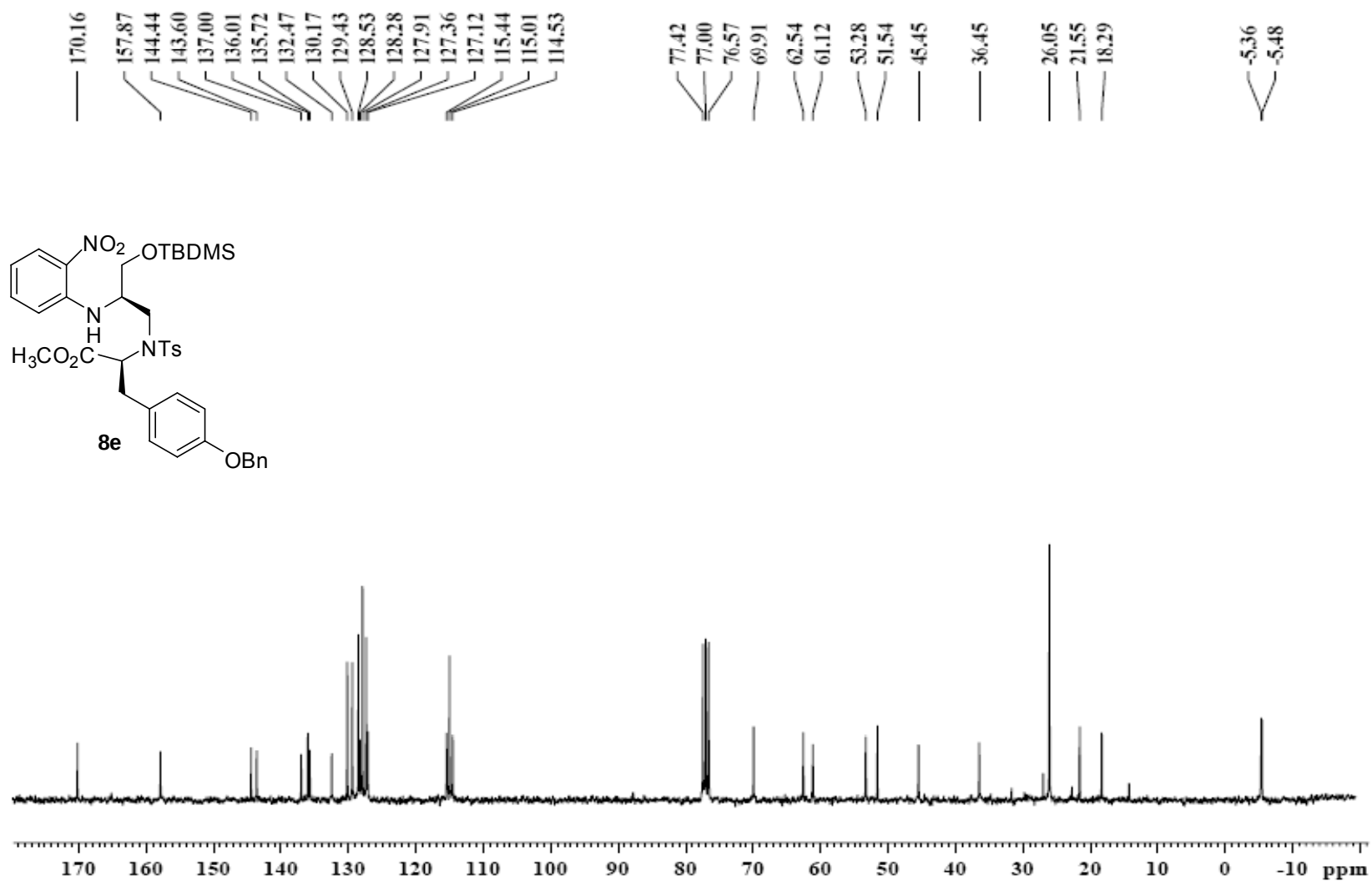
FigureS-21: ¹H spectrum(300 MHz, CDCl₃) of **8d**



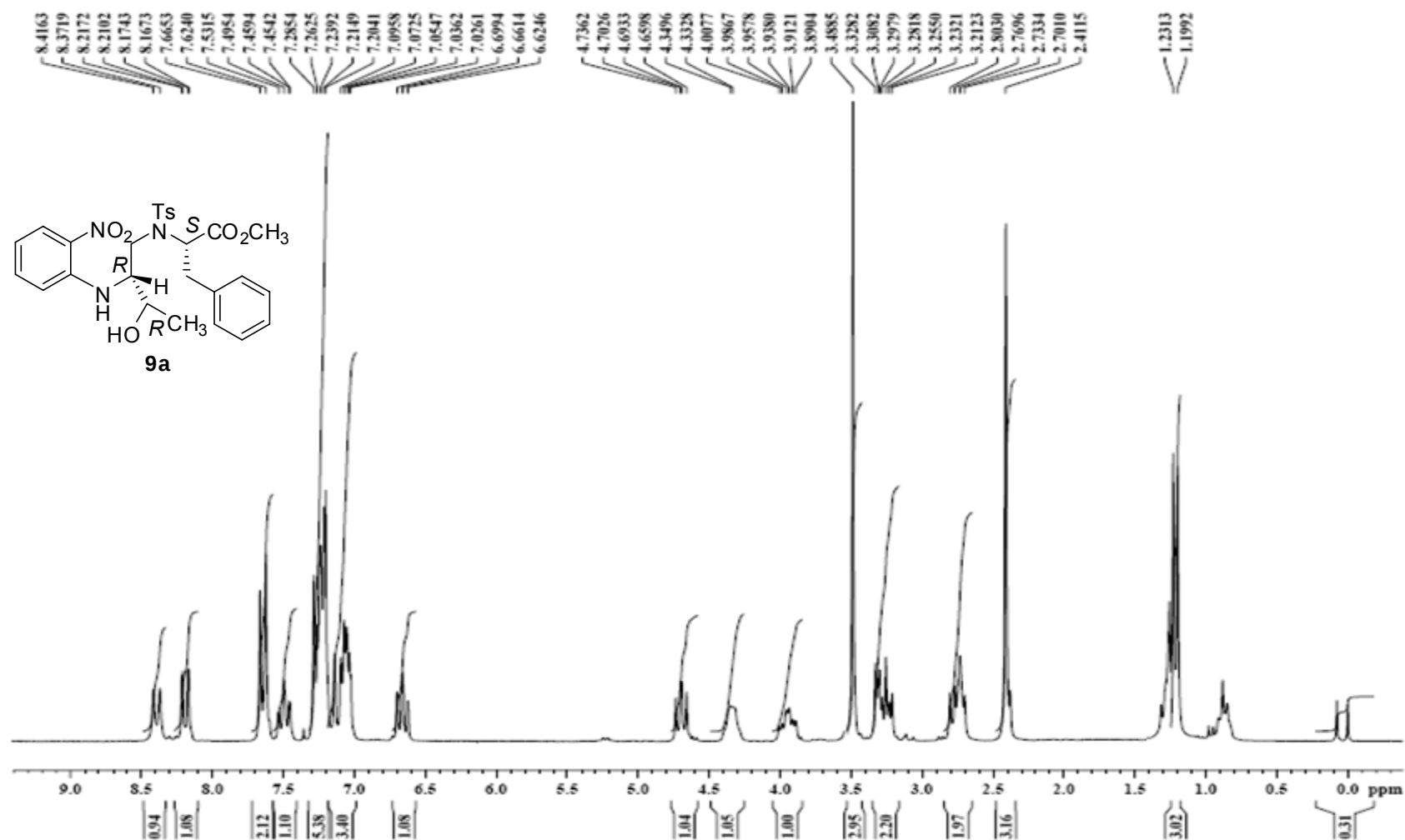
FigureS-22: ¹³C spectrum (75MHz, CDCl₃) **8d**



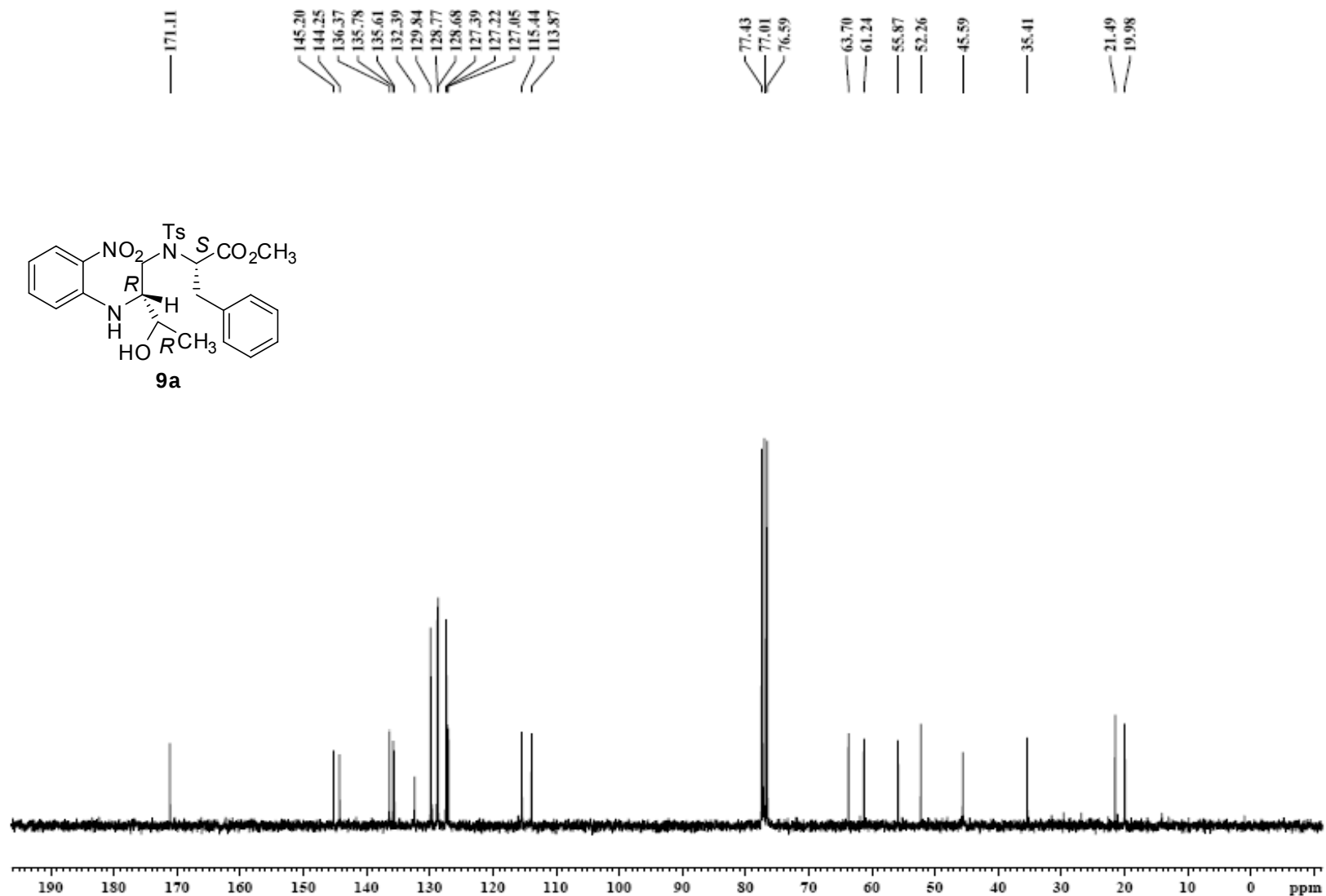
FigureS-23: ^1H spectrum(300 MHz, CDCl_3) of **8e**



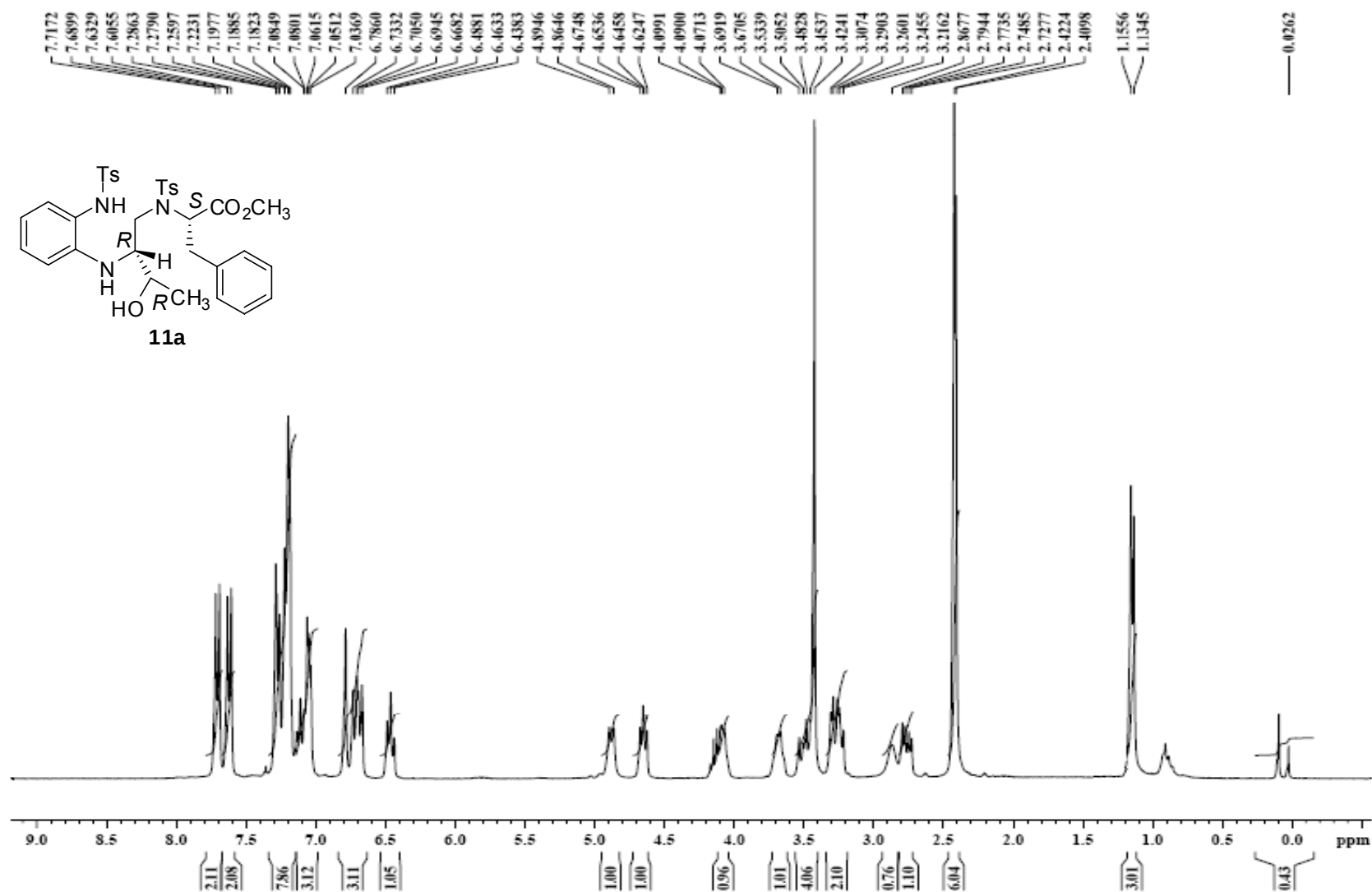
FigureS-24: ^{13}C spectrum (75MHz, CDCl_3) **8e**



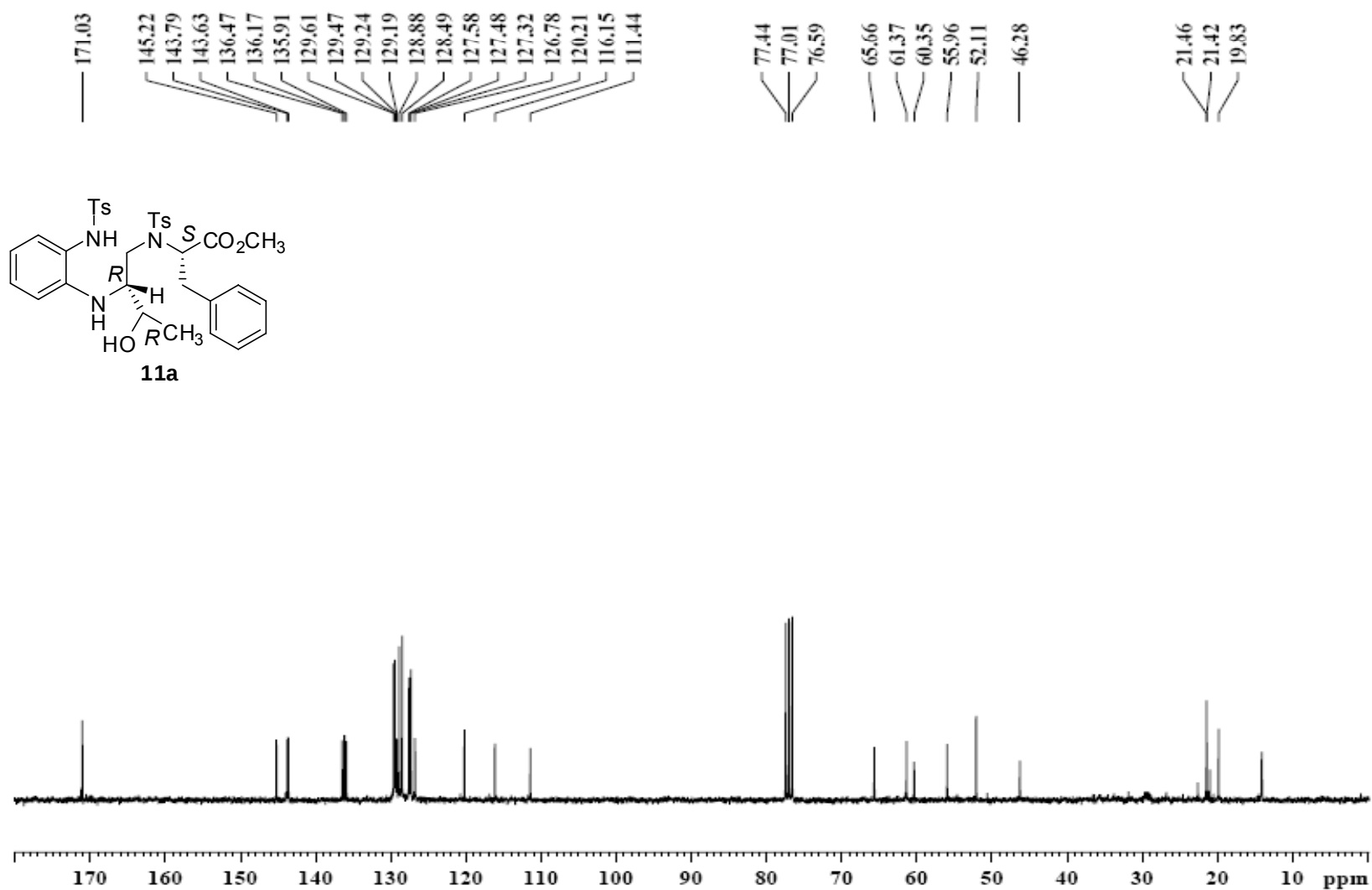
FigureS-25: ¹H spectrum(300 MHz, CDCl₃) of 9a



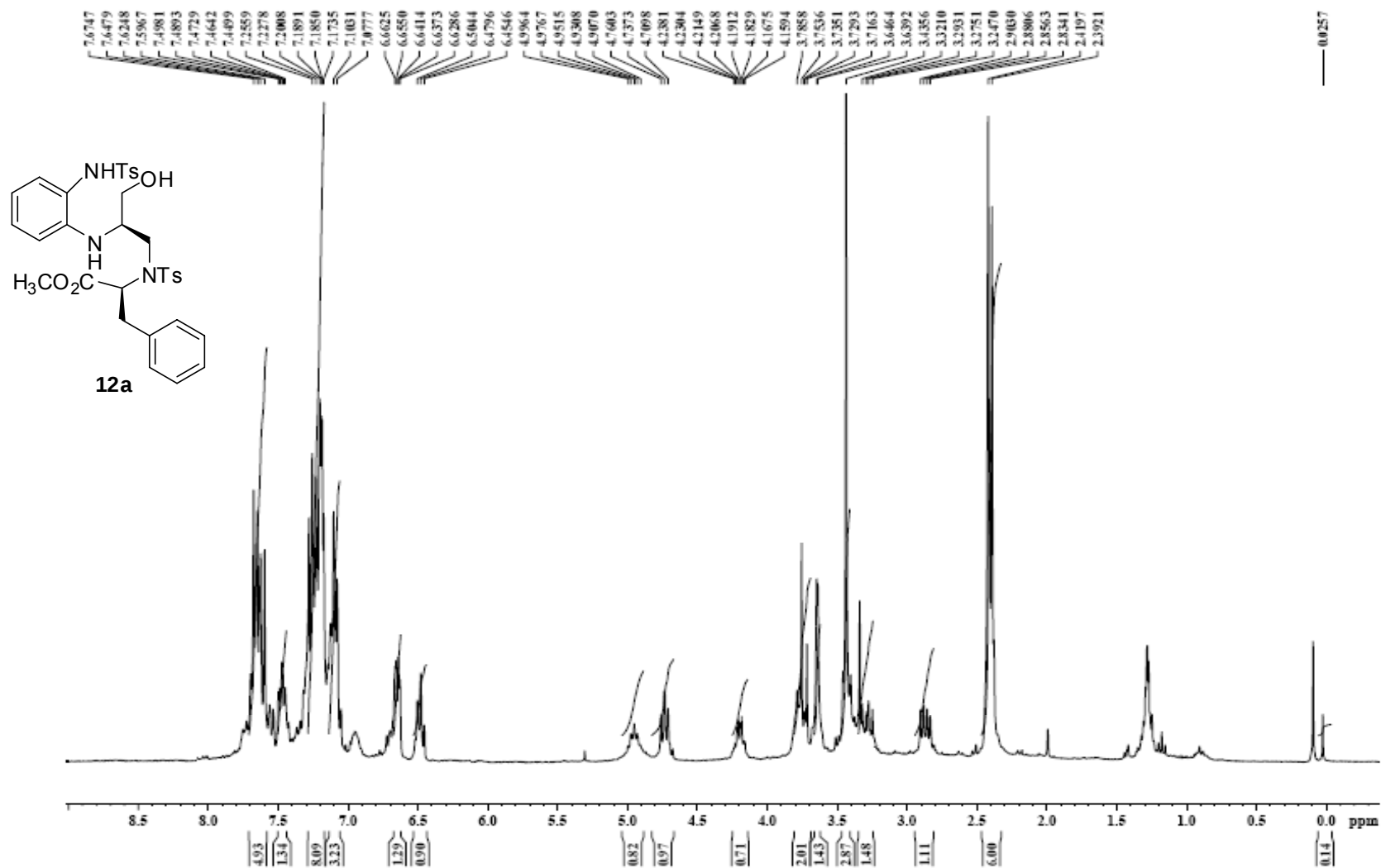
FigureS-26: ¹³C spectrum (75MHz, CDCl₃) **9a**



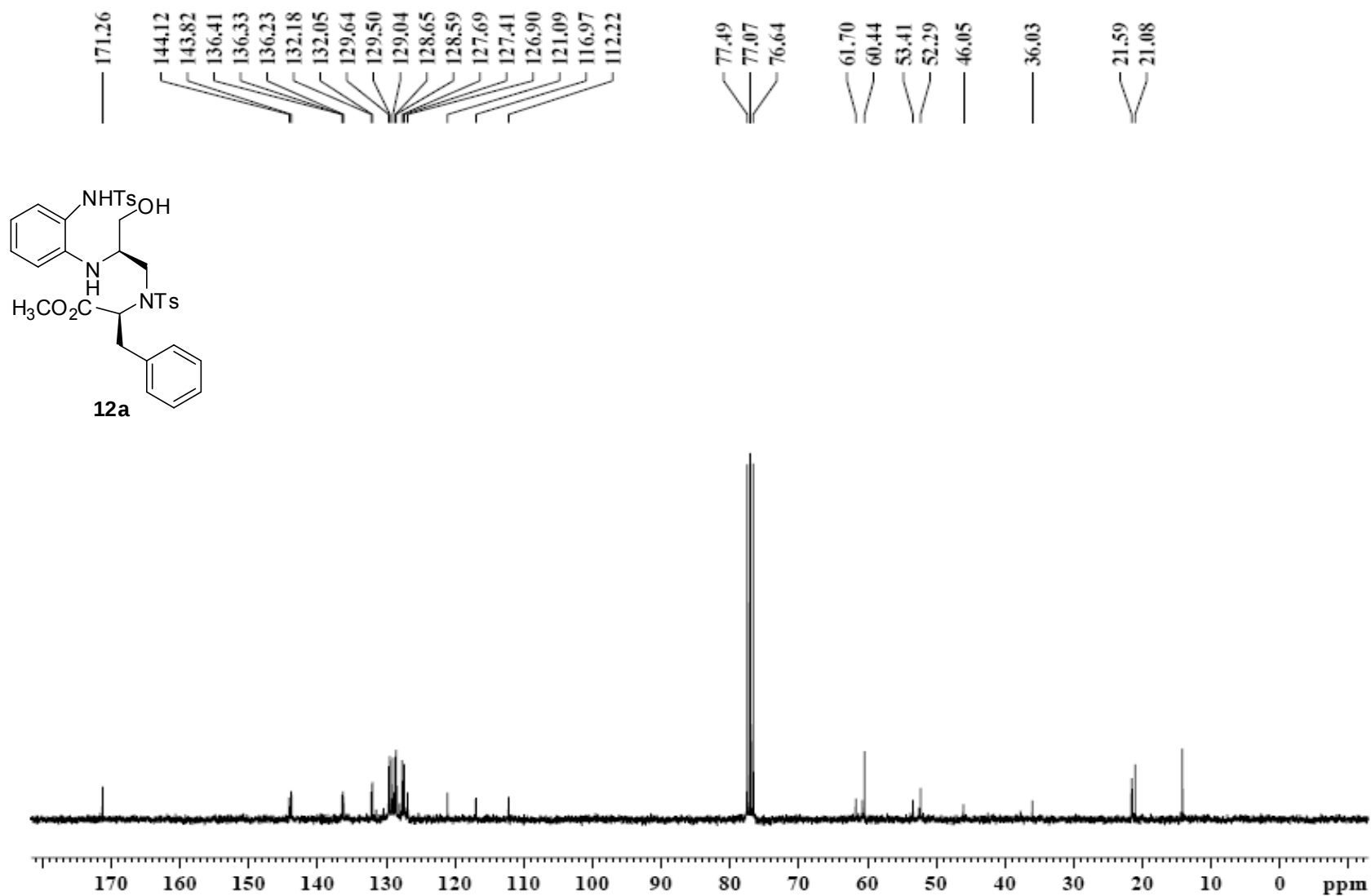
FigureS-27: ¹H spectrum(300 MHz, CDCl₃) of **11a**



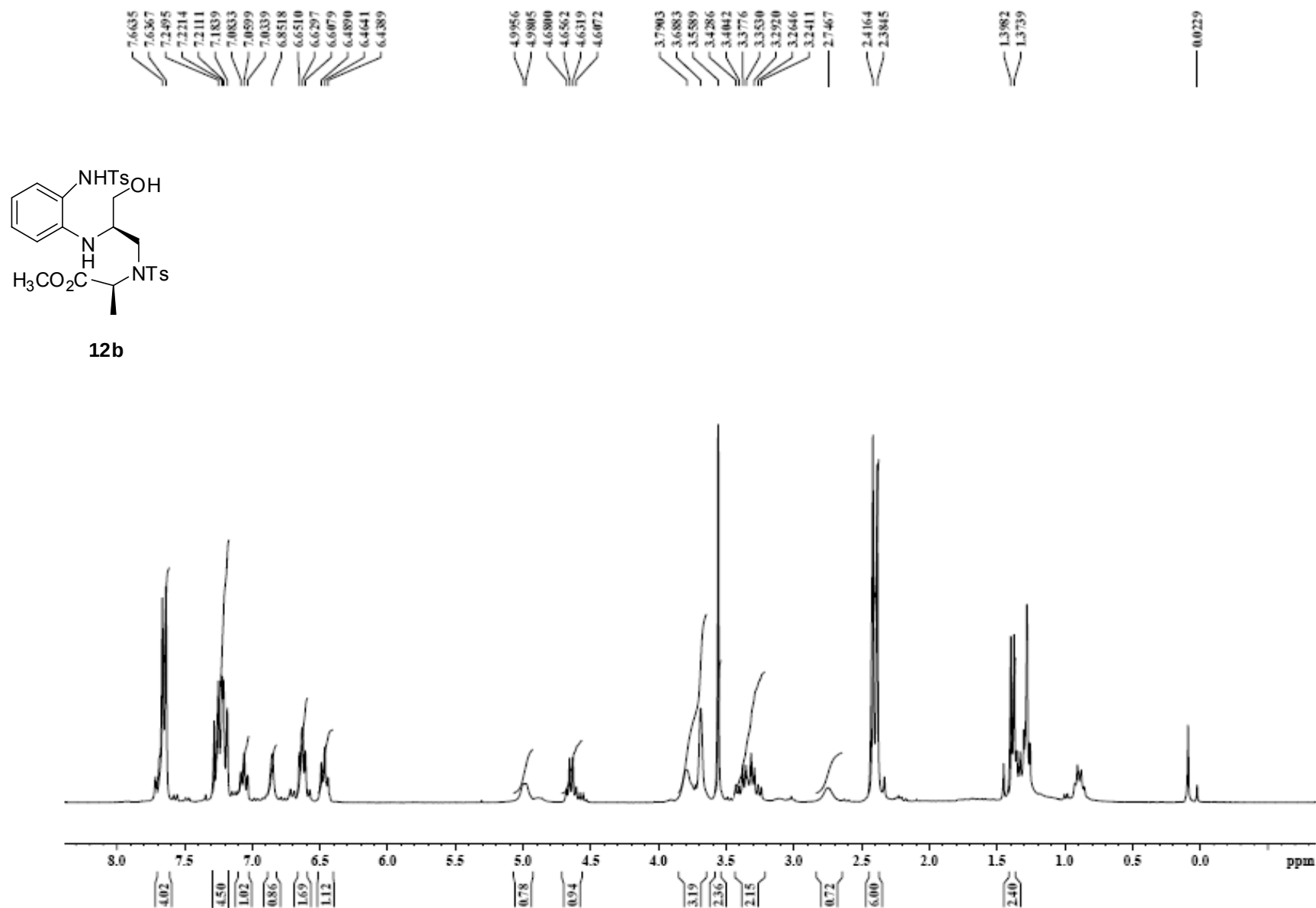
FigureS-28: ^{13}C spectrum (75MHz, CDCl_3) **11a**



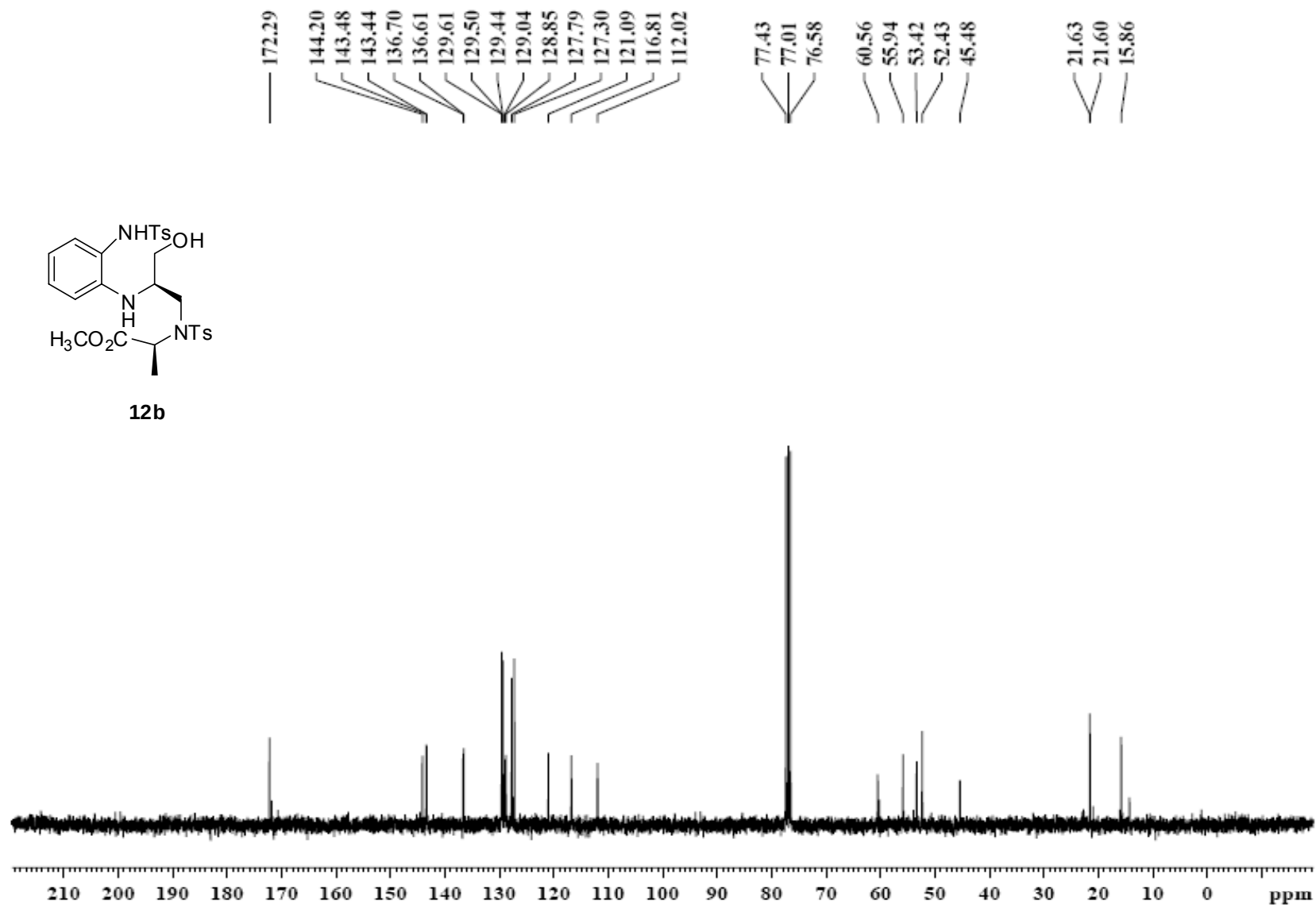
FigureS-29: ¹H spectrum(300 MHz, CDCl₃) of **12a**



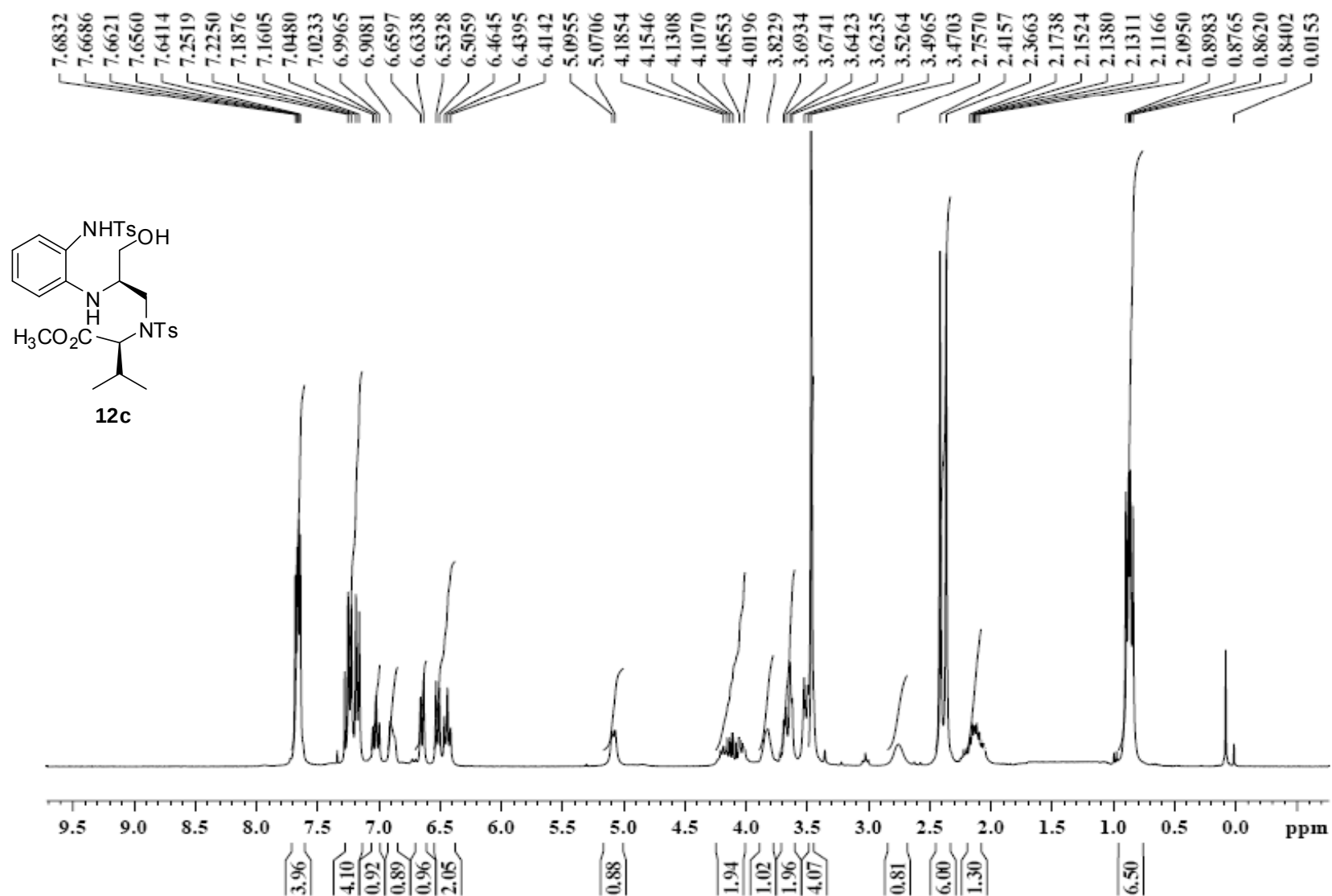
FigureS-30: ¹³C spectrum (75MHz, CDCl₃) **12a**



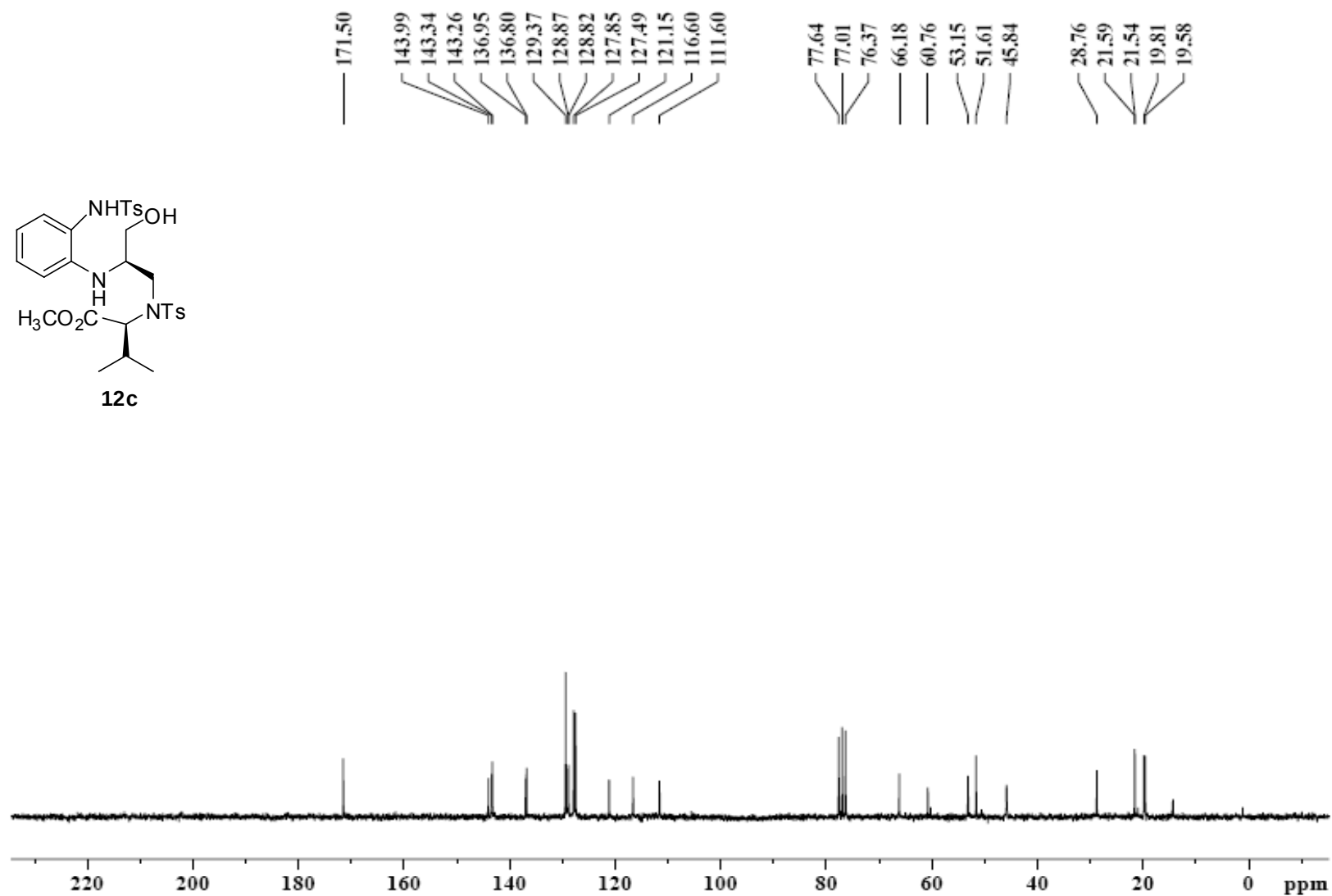
FigureS-31: ¹H spectrum(300 MHz, CDCl₃) of **12b**



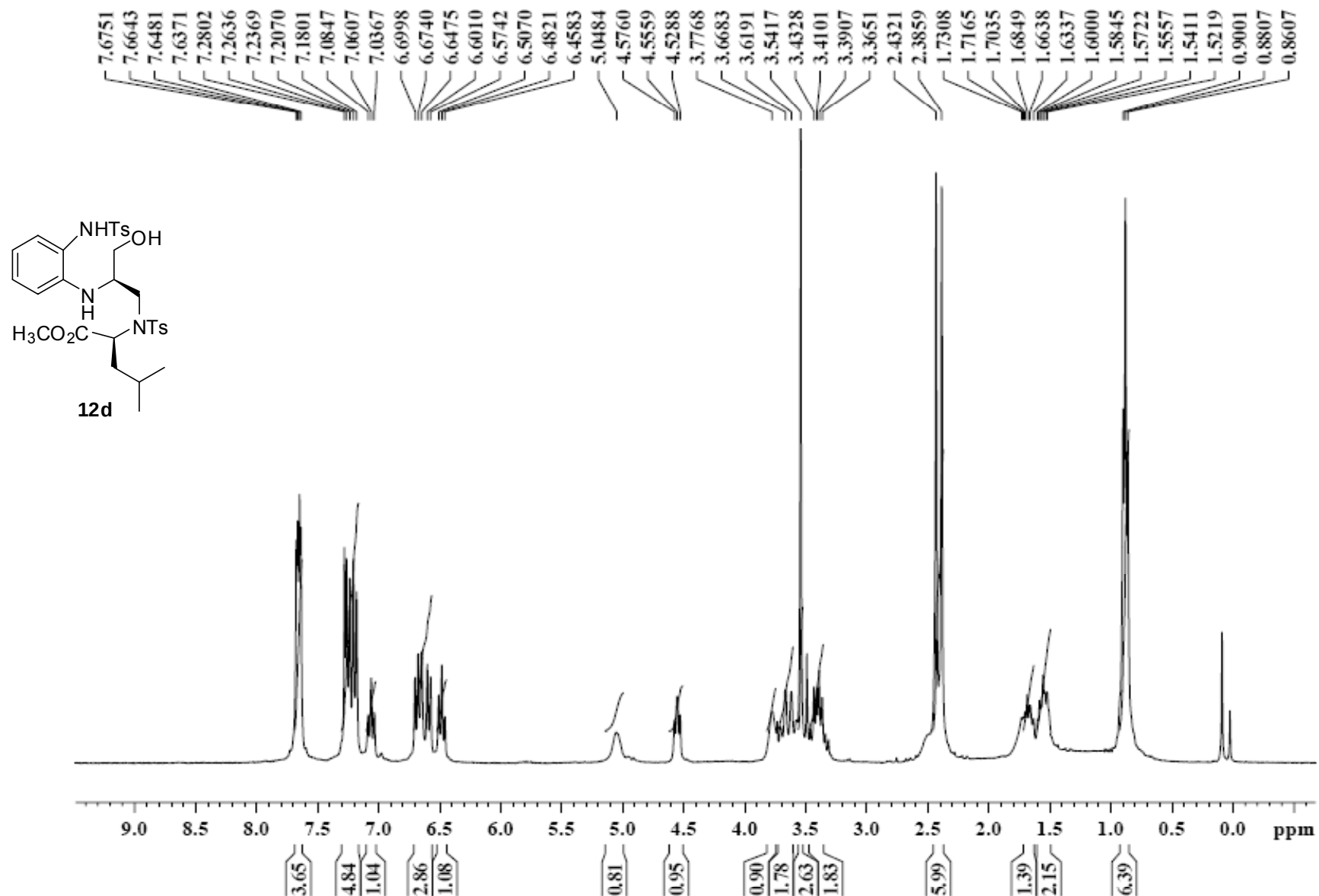
FigureS-32: ¹³C spectrum (75MHz, CDCl₃) **12b**



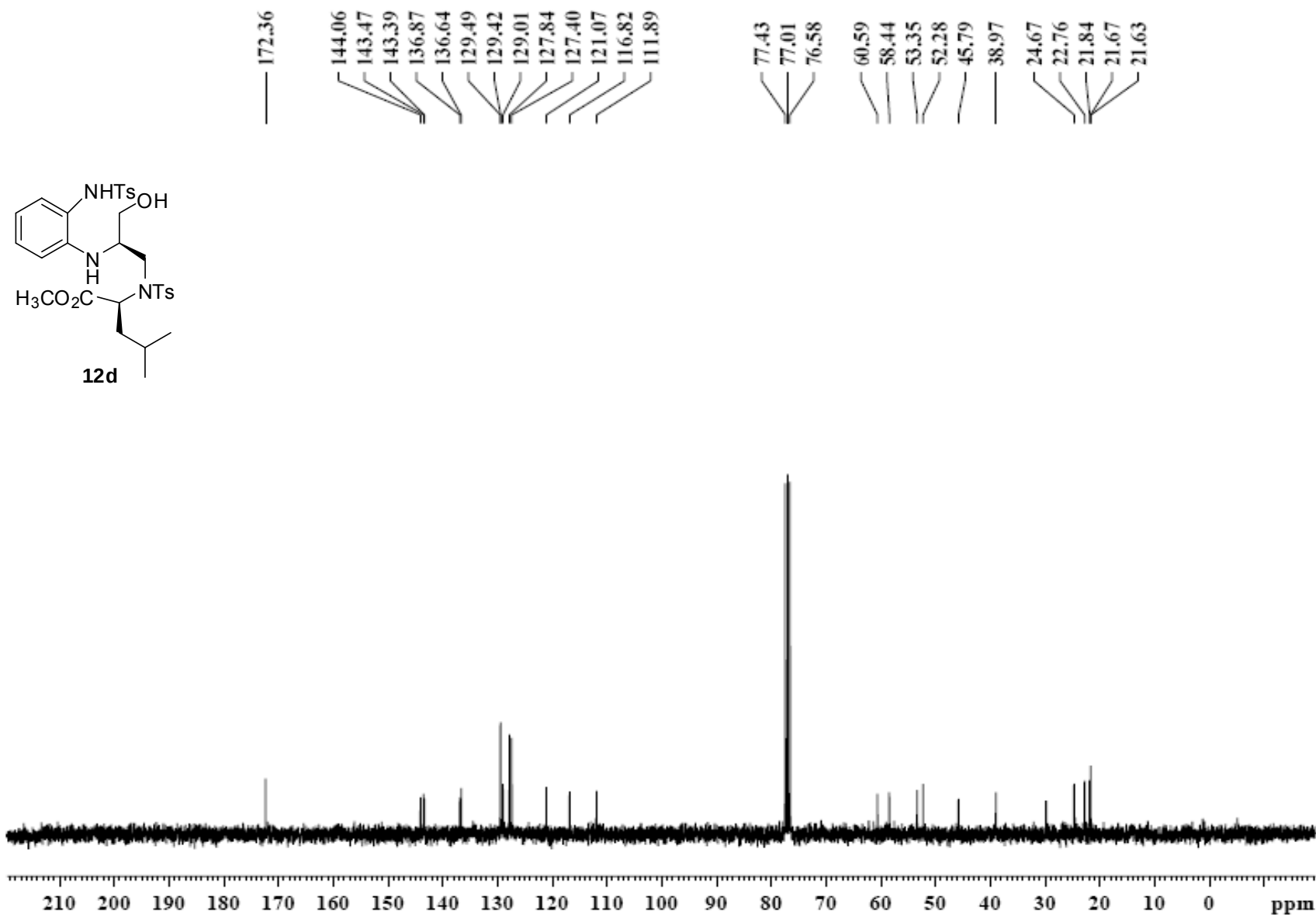
FigureS-33: ¹H spectrum(300 MHz, CDCl₃) of **12c**



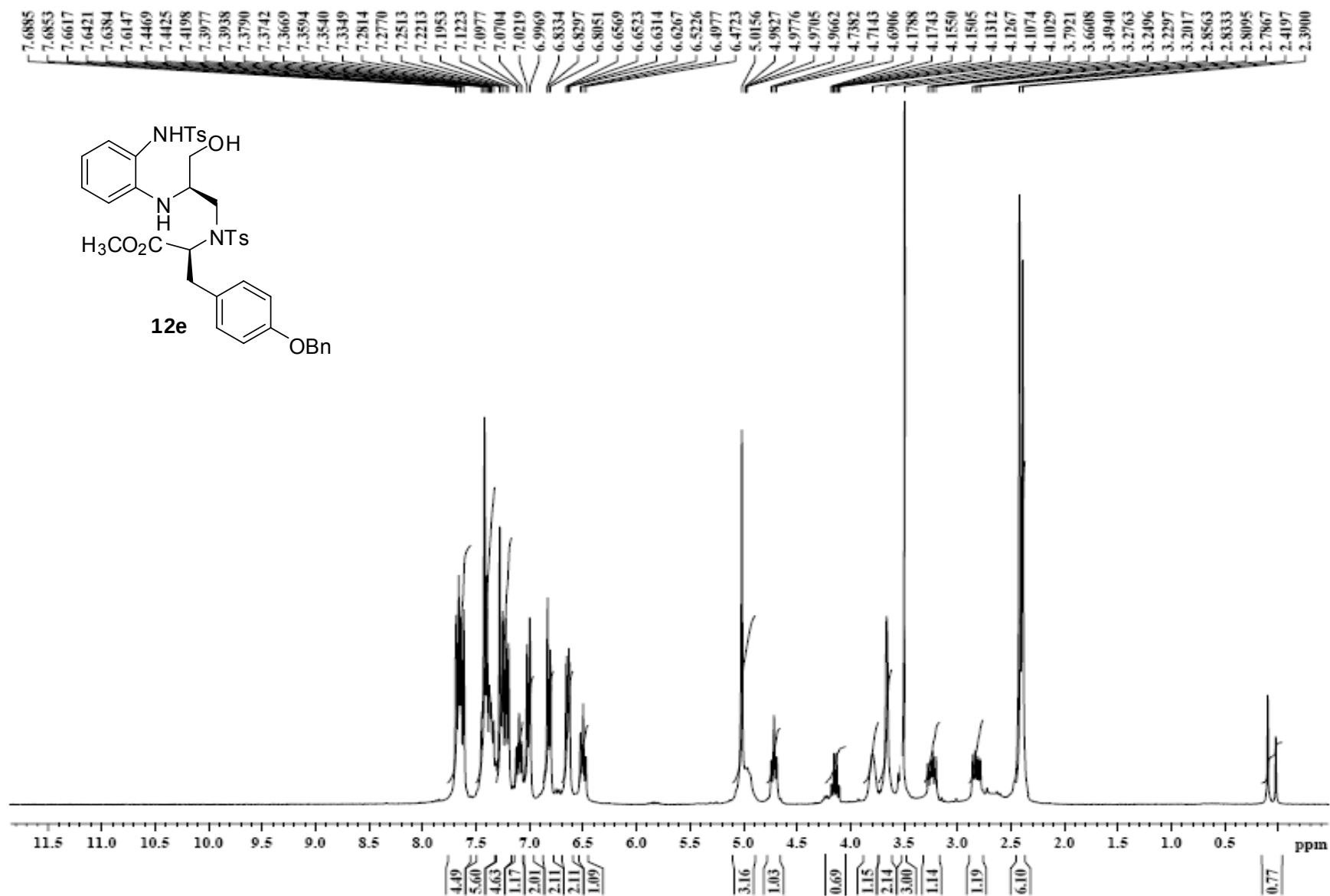
FigureS-34: ^{13}C spectrum (75MHz, CDCl_3) **12c**



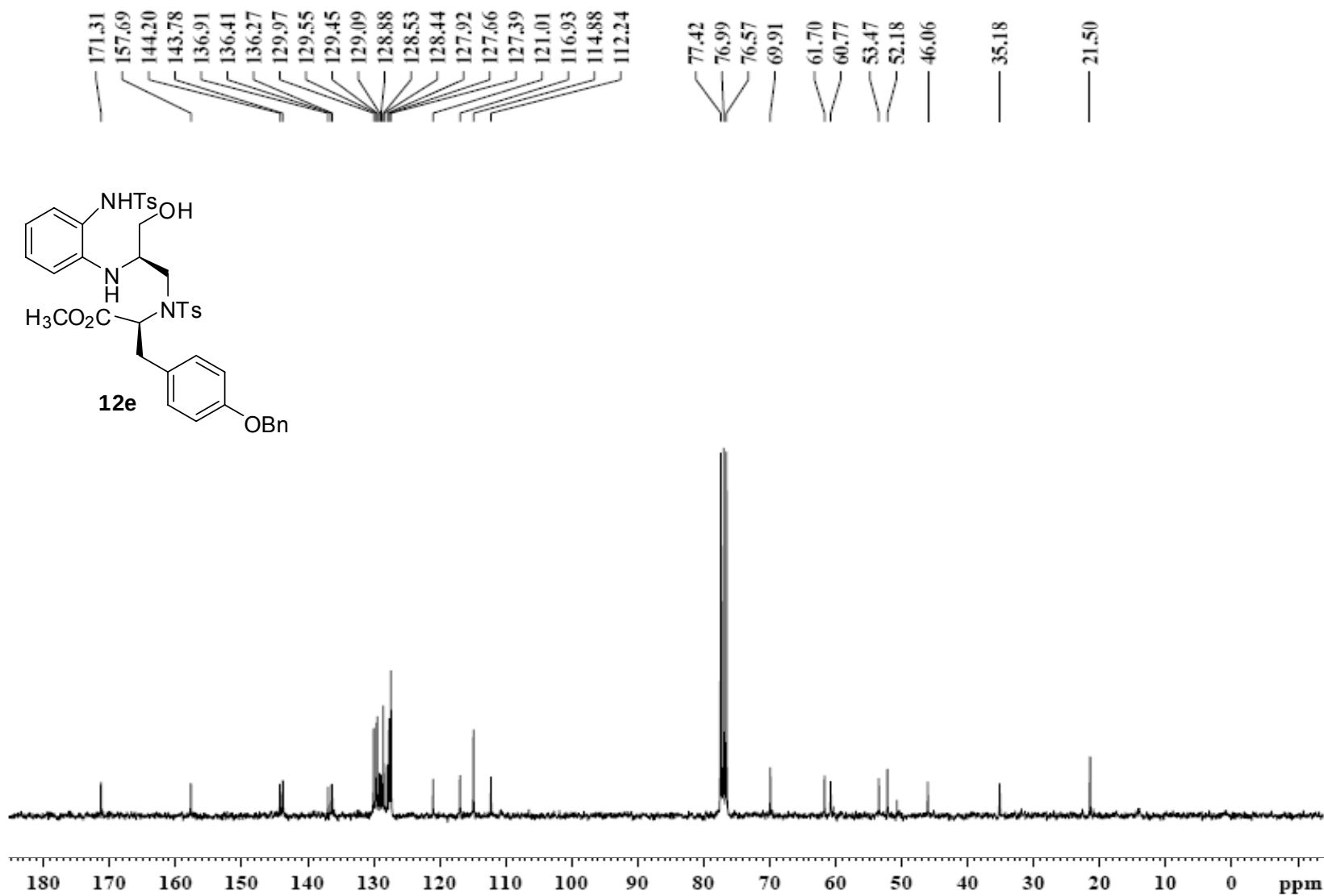
FigureS-35: ¹H spectrum(300 MHz, CDCl₃) of **12d**



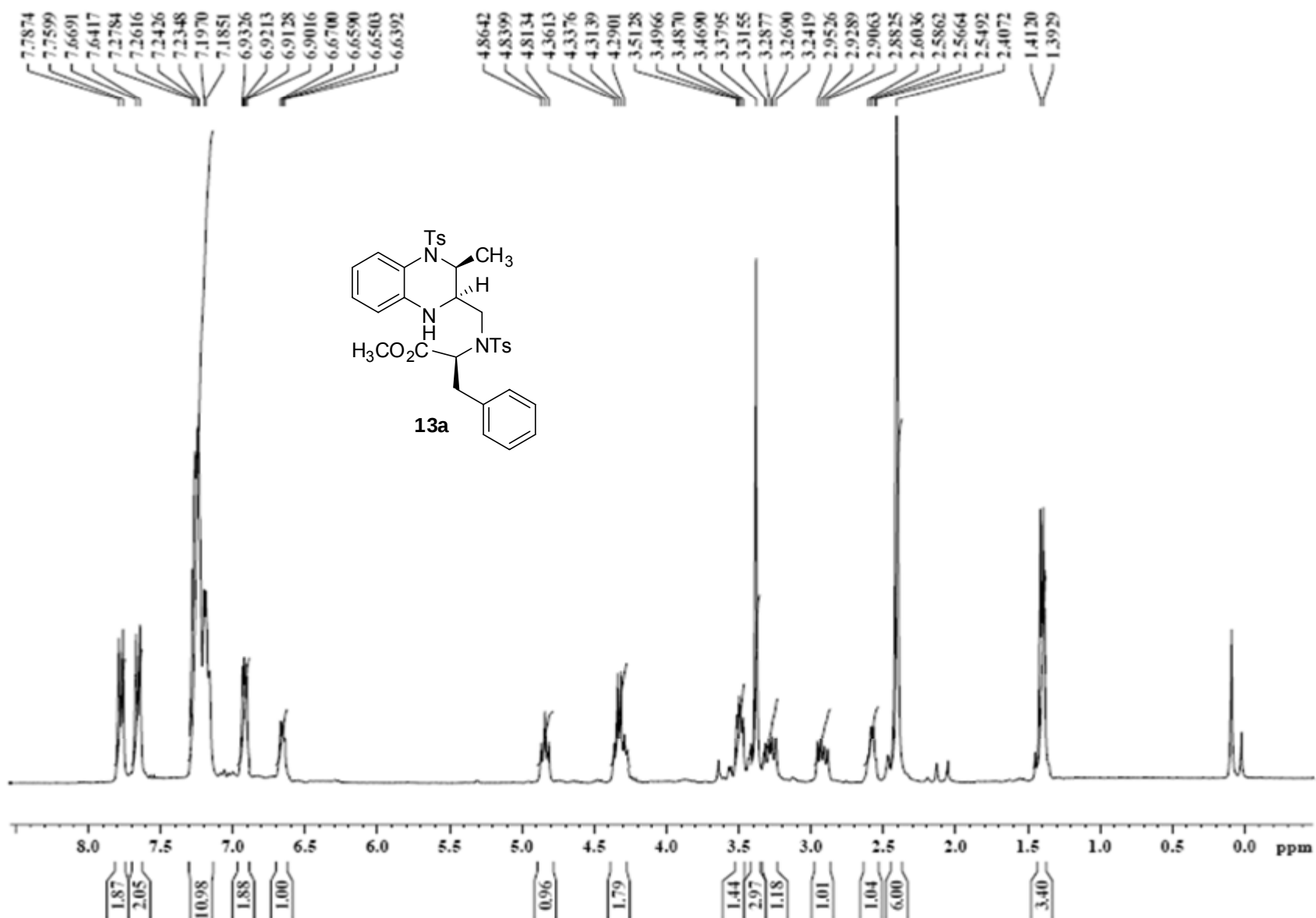
FigureS-36: ^{13}C spectrum (75MHz, CDCl_3) **12d**



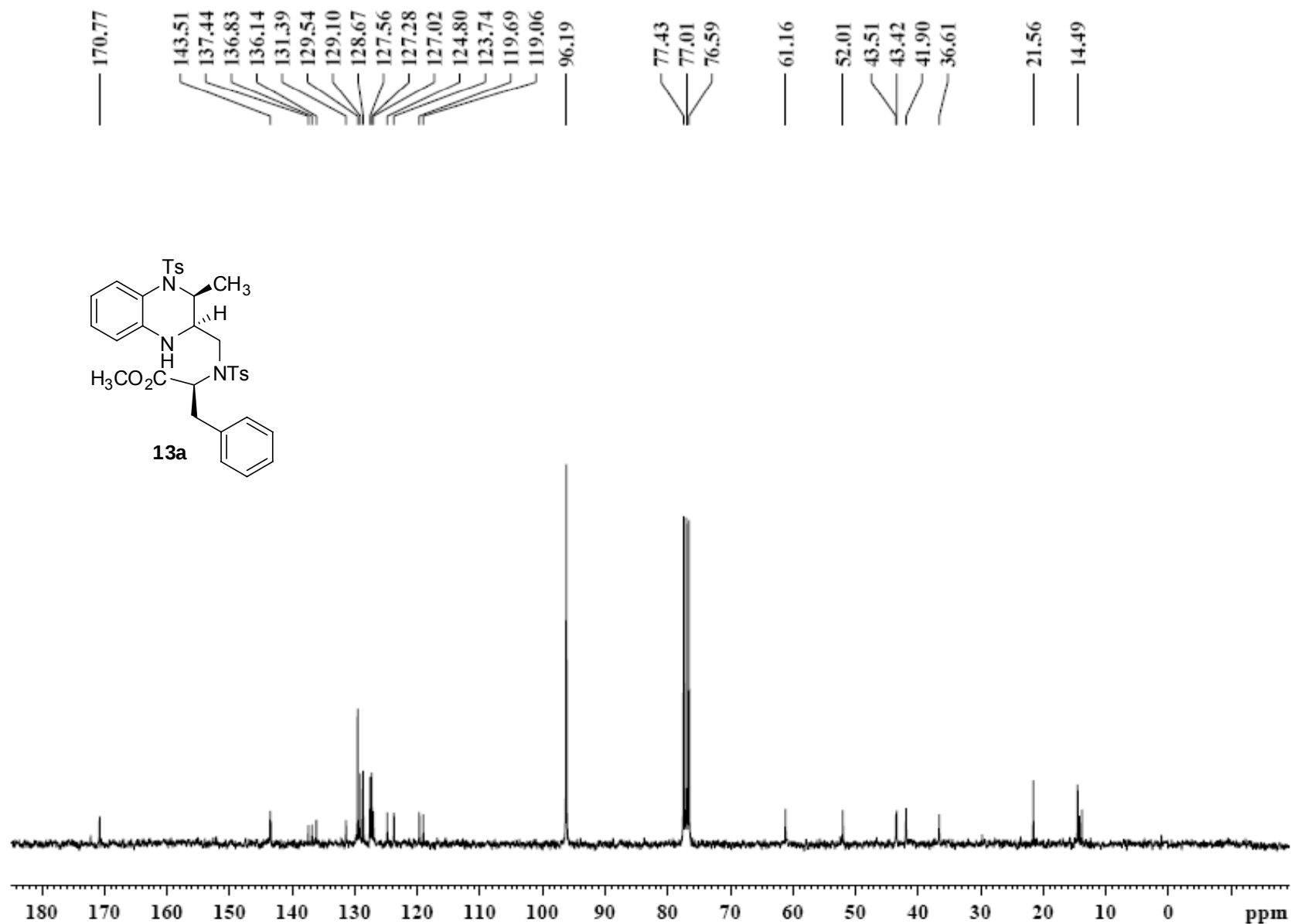
FigureS-37: ¹H spectrum(300 MHz, CDCl₃) of **12e**



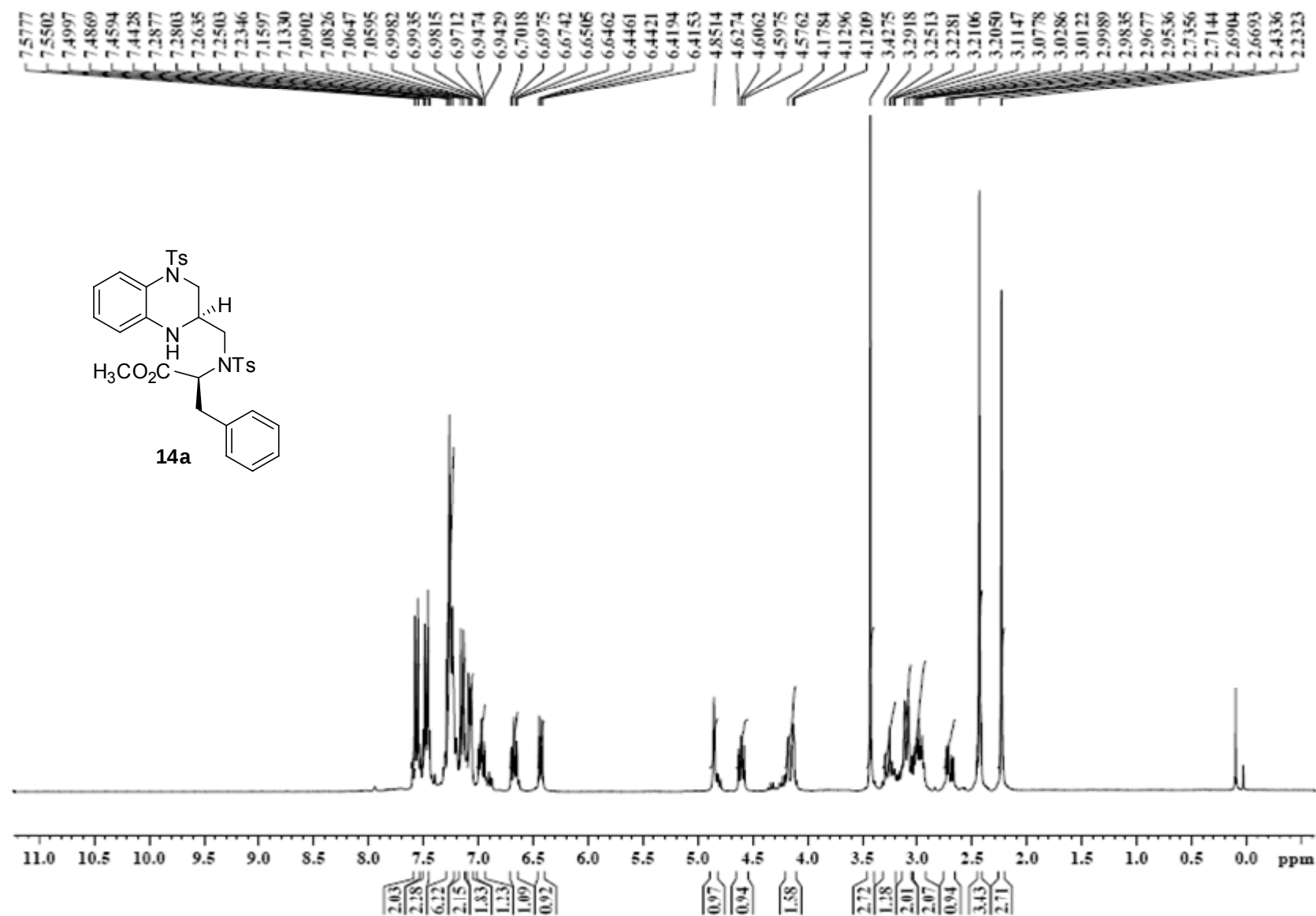
FigureS-38: ¹³C spectrum (75MHz, CDCl₃) **12e**



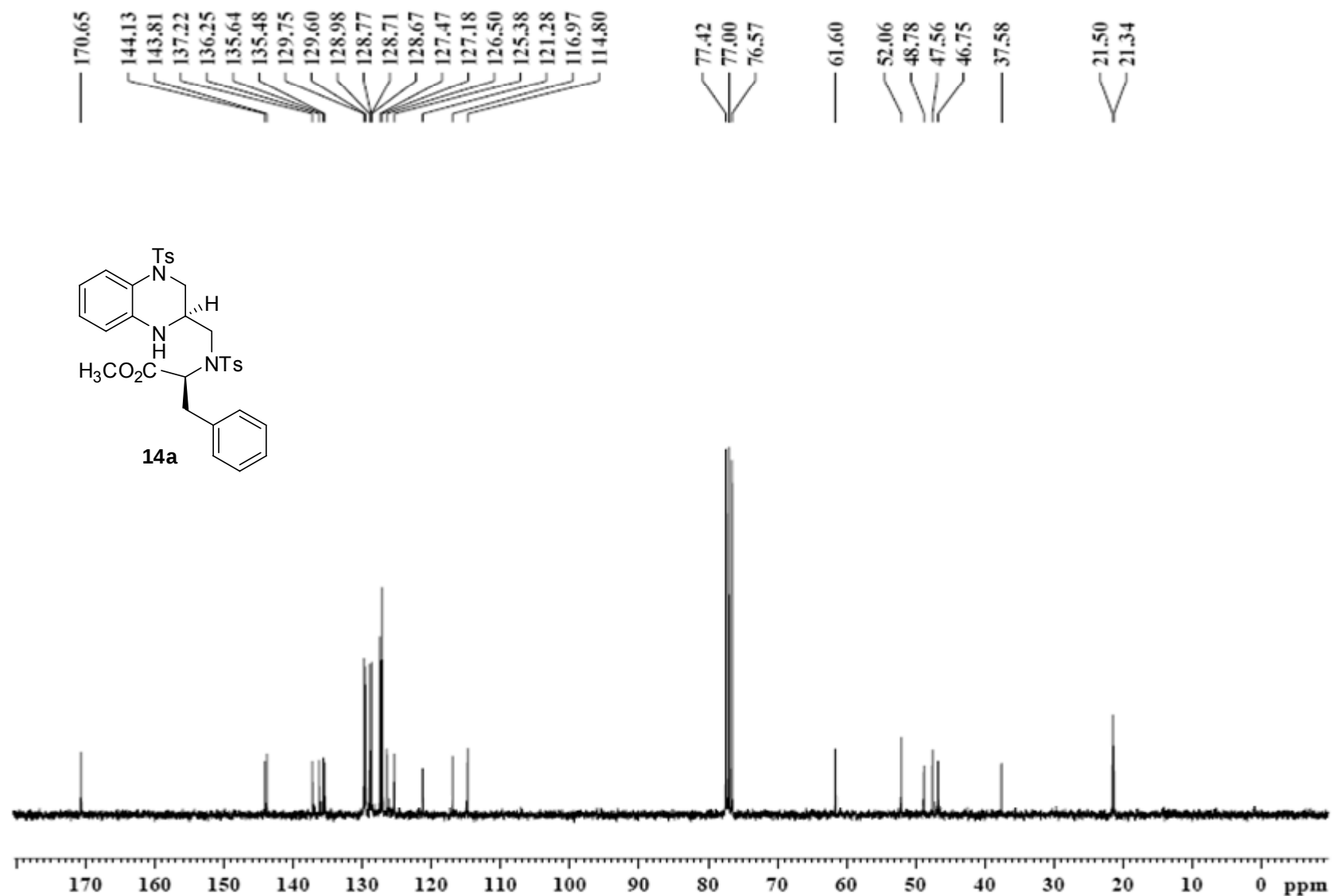
FigureS-39: ¹H spectrum(300 MHz, CDCl₃ + CCl₄) of **13a**



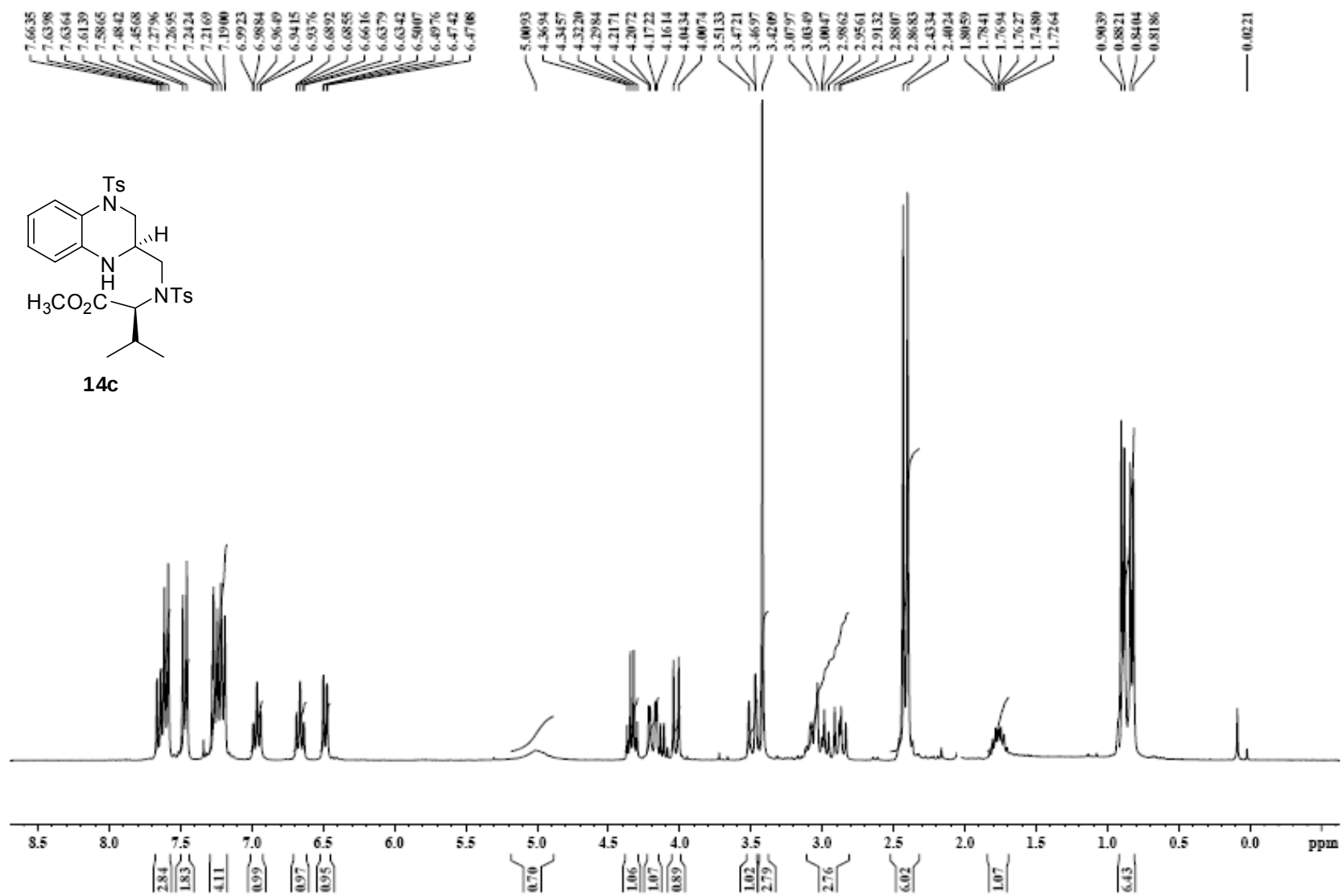
FigureS-40: ¹³C spectrum (75MHz, CDCl₃ + CCl₄) **13a**



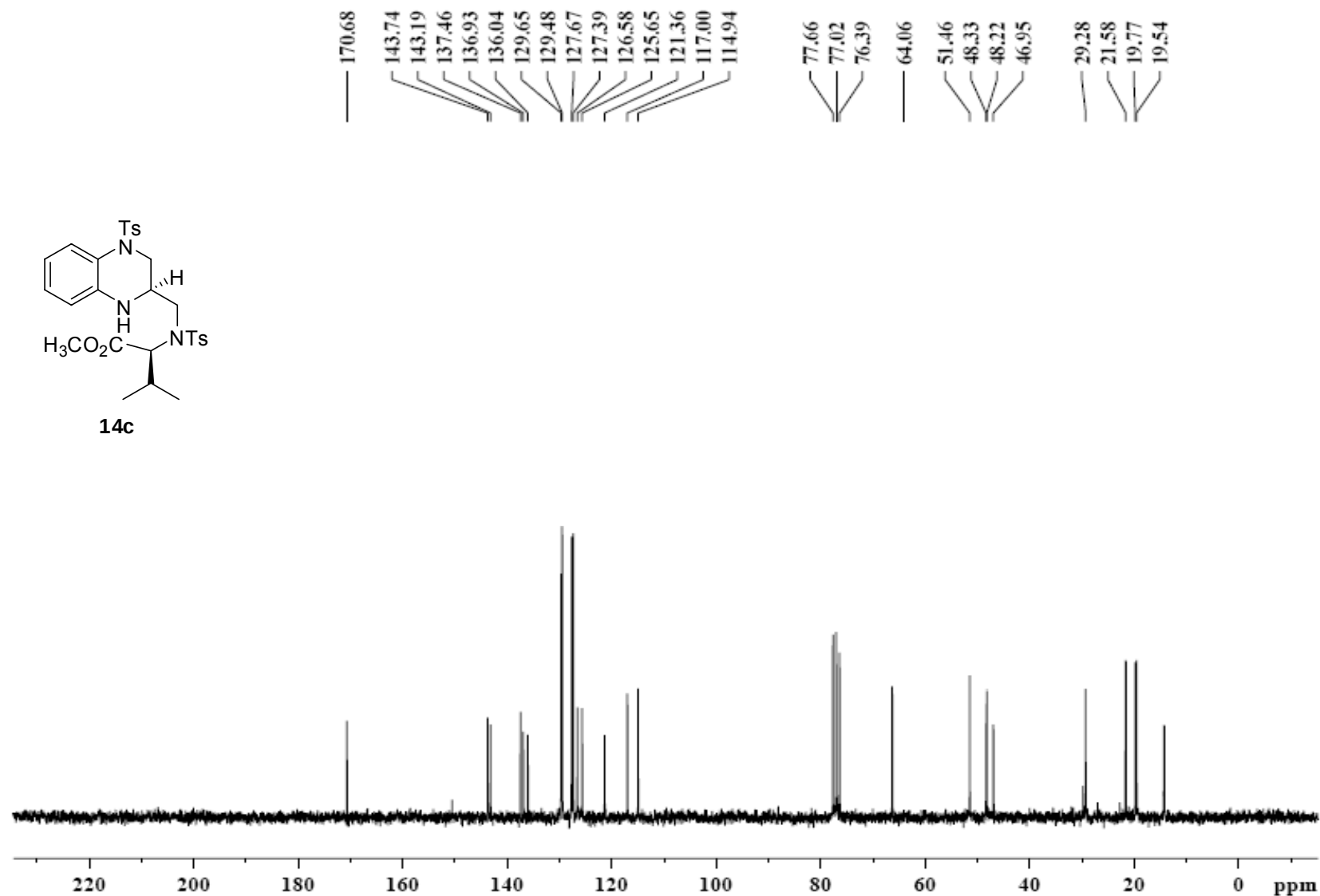
FigureS-41: ¹H spectrum(300 MHz, CDCl₃) of **14a**



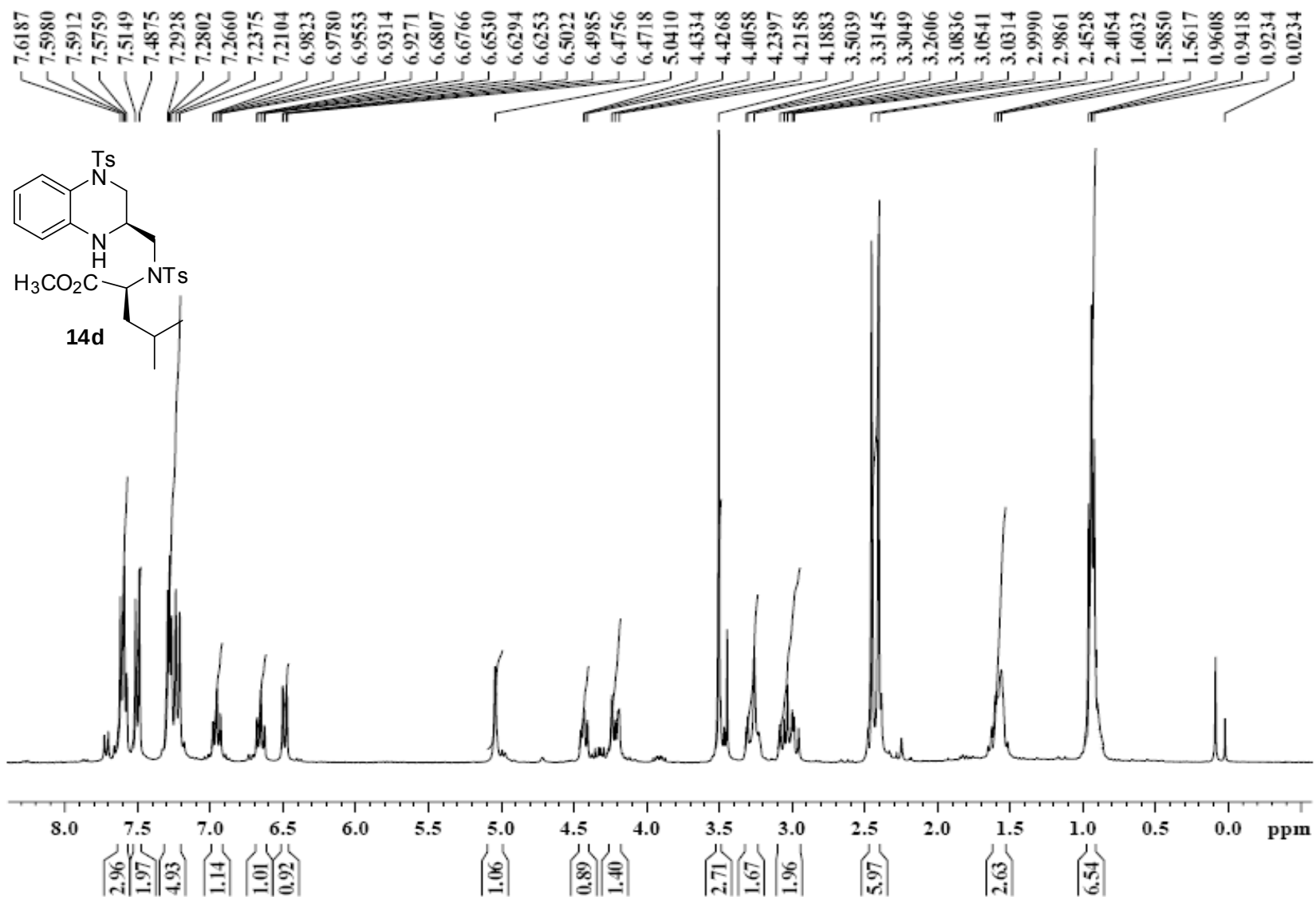
FigureS-42: ¹³C spectrum (75MHz, CDCl₃) **14a**



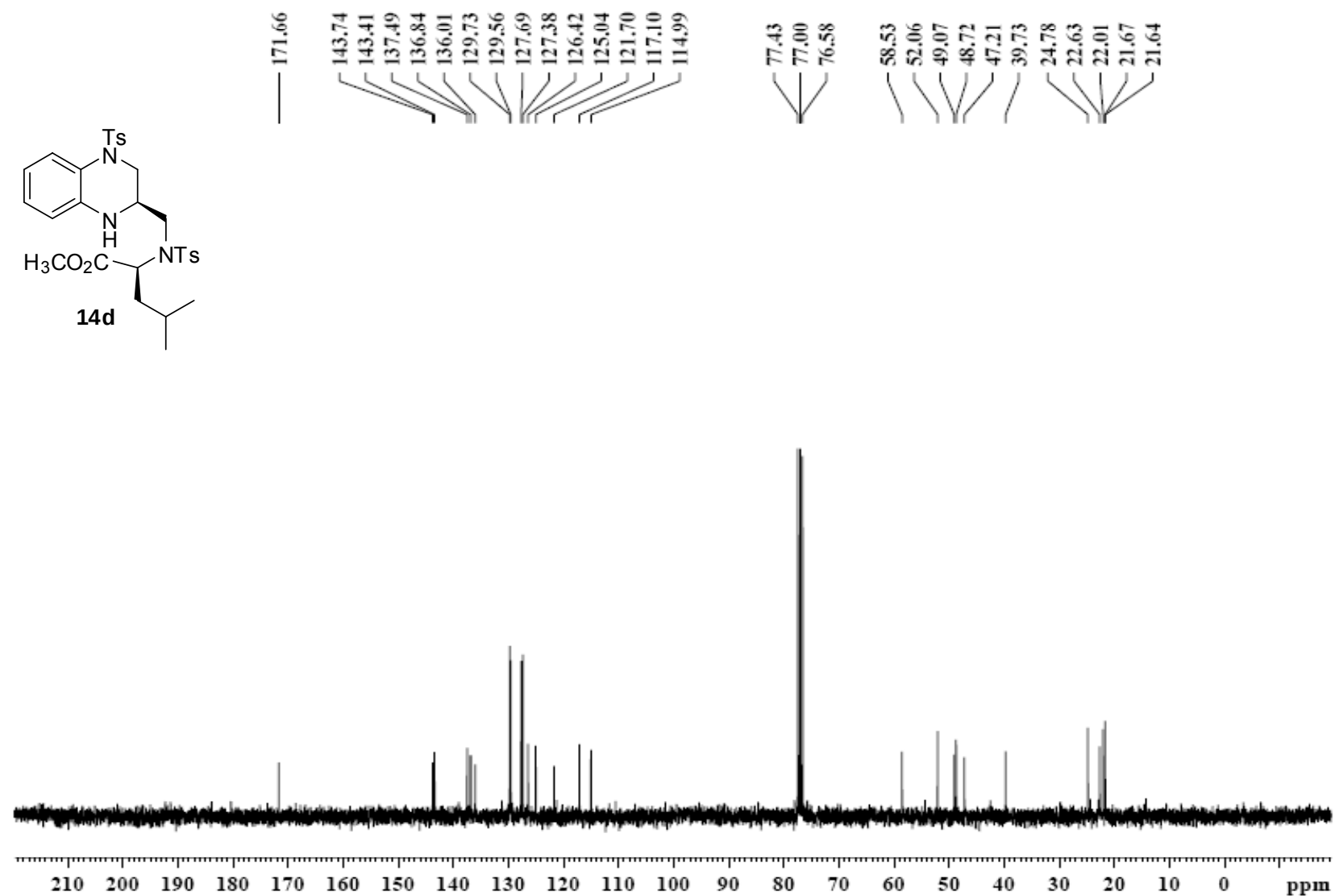
FigureS-43: ¹H spectrum(300 MHz, CDCl₃) of **14c**



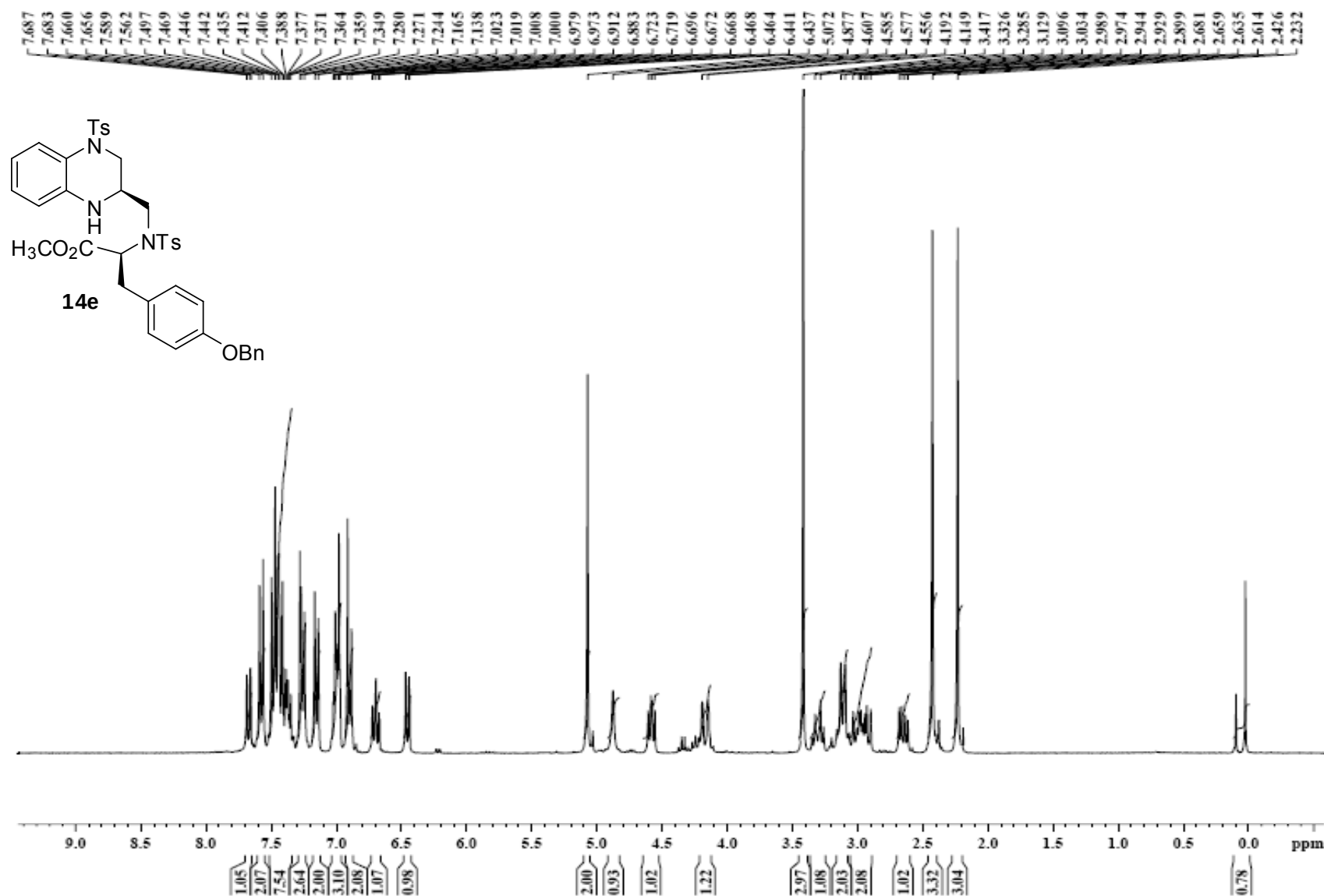
FigureS-44: ^{13}C spectrum (75MHz, CDCl_3) **14c**



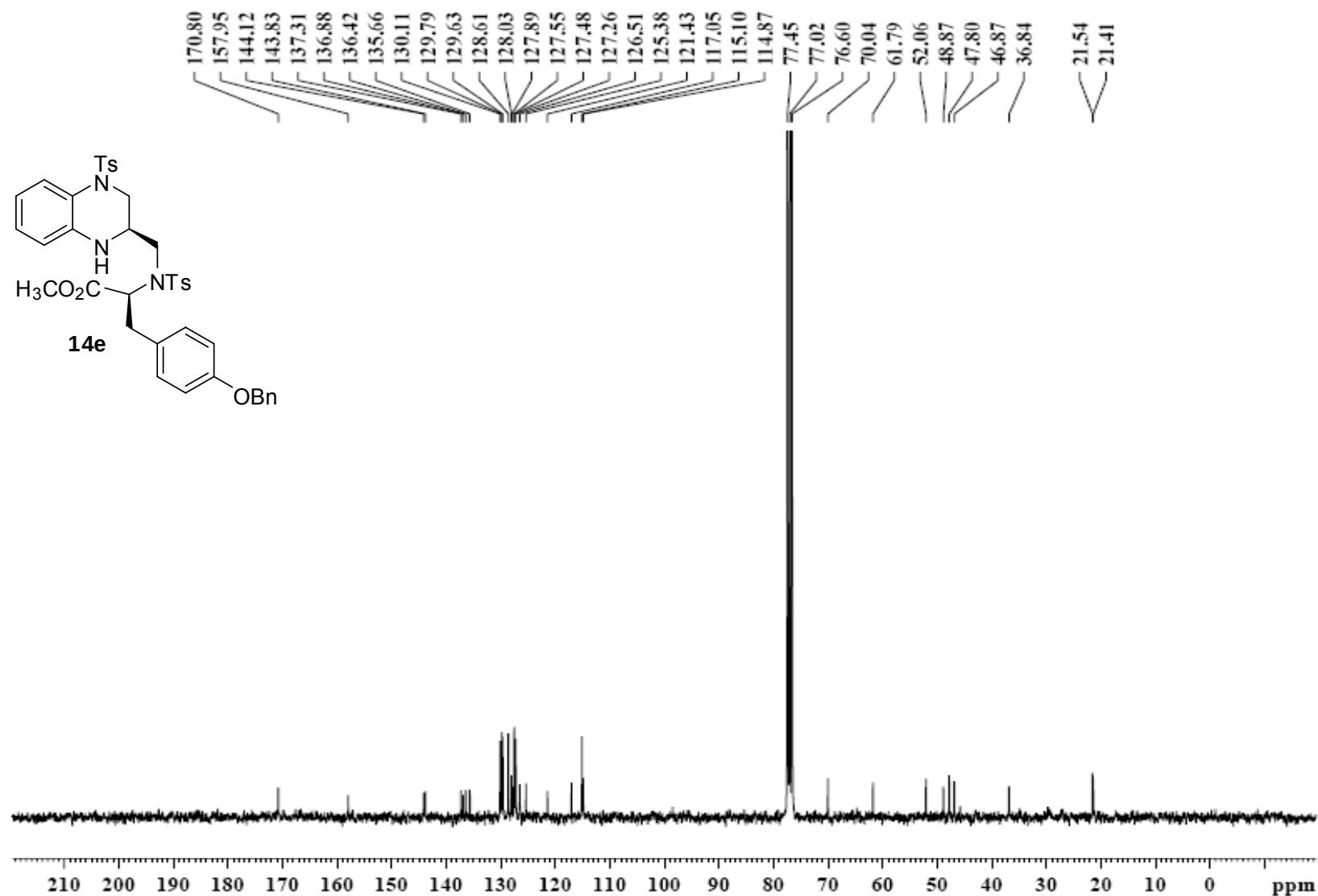
FigureS-45: ^1H spectrum(300 MHz, CDCl_3) of **14d**



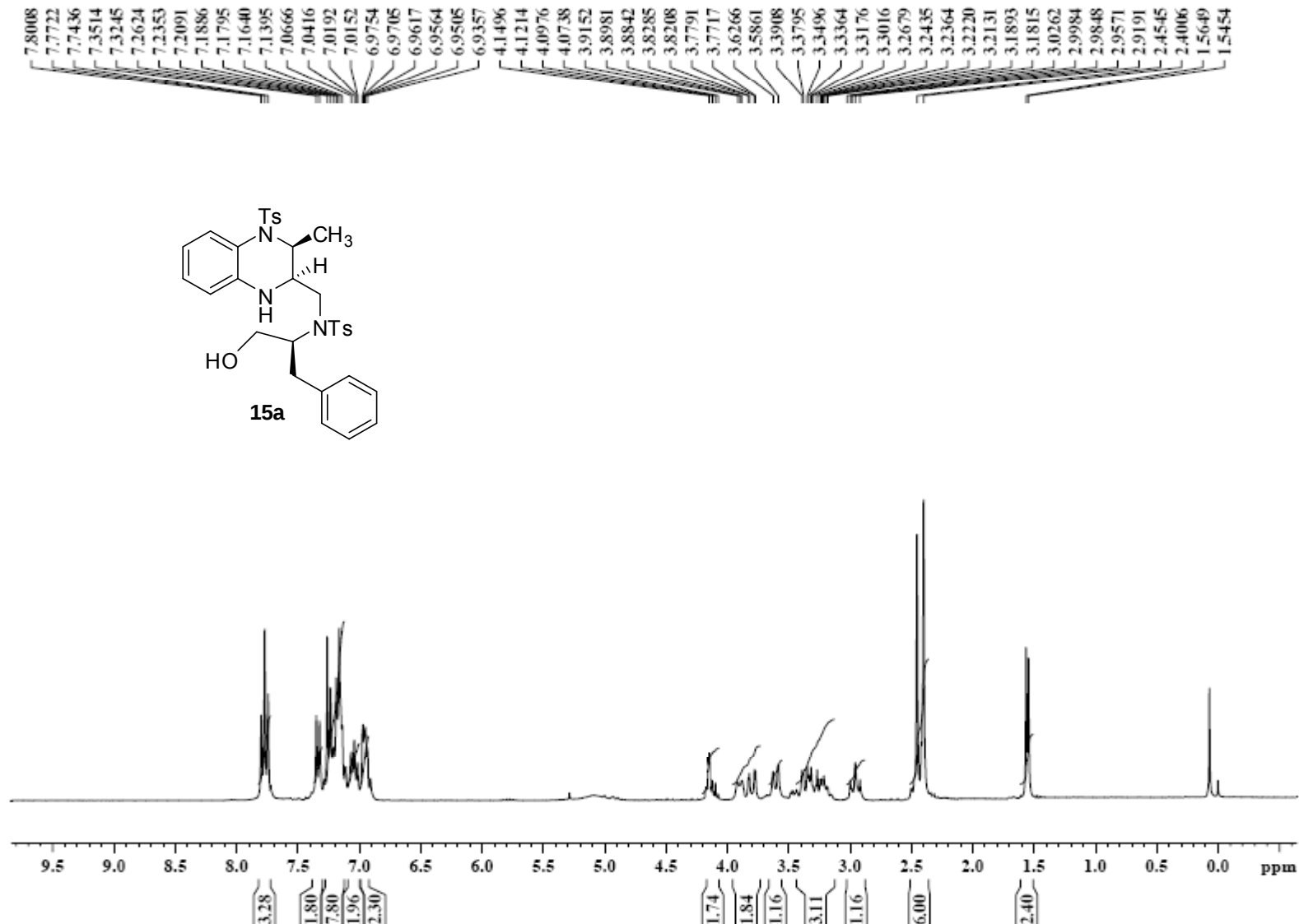
FigureS-46: ^{13}C spectrum (75MHz, CDCl_3) **14d**



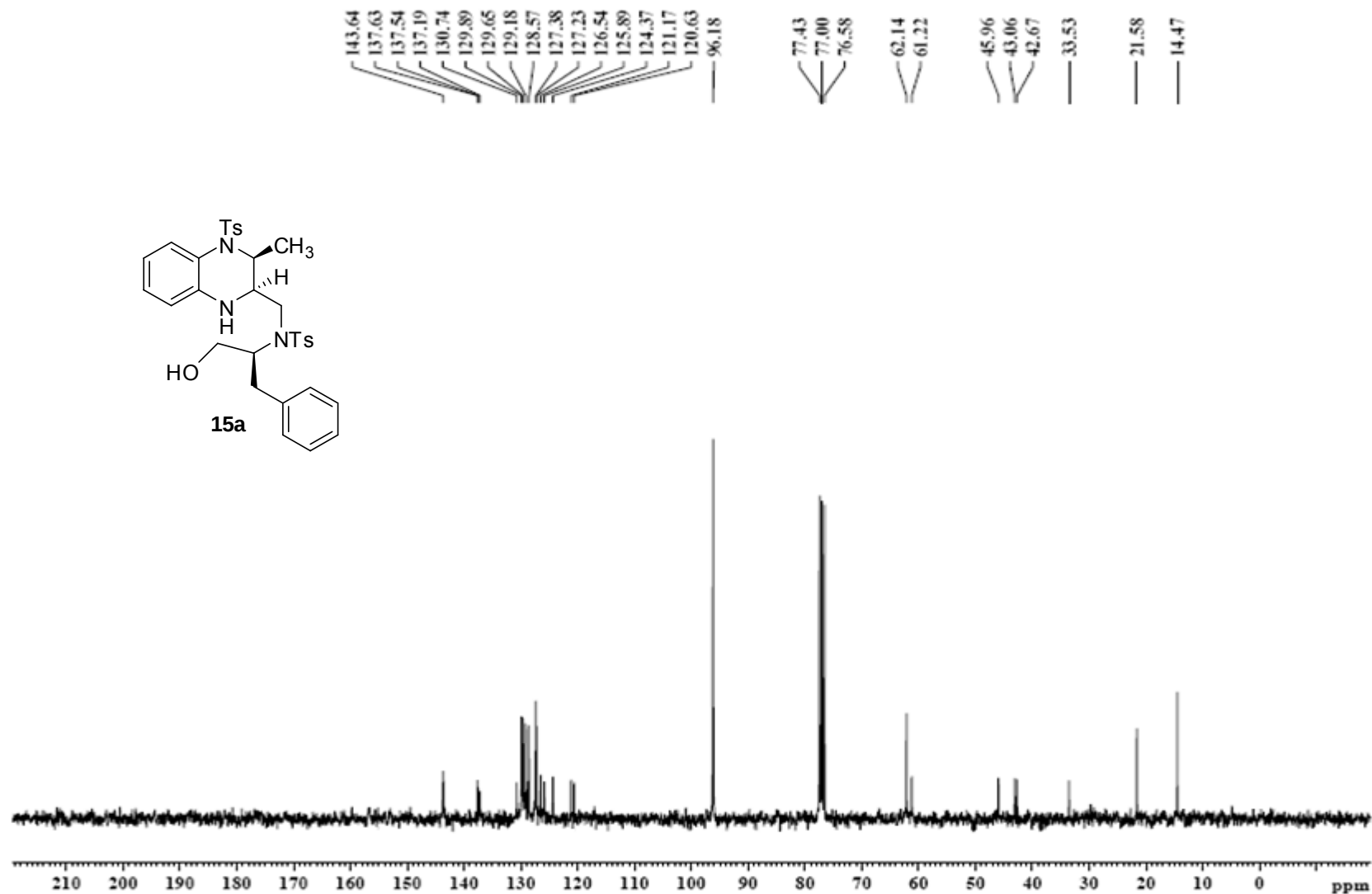
FigureS-47: ¹H spectrum(300 MHz, CDCl₃) of **14e**



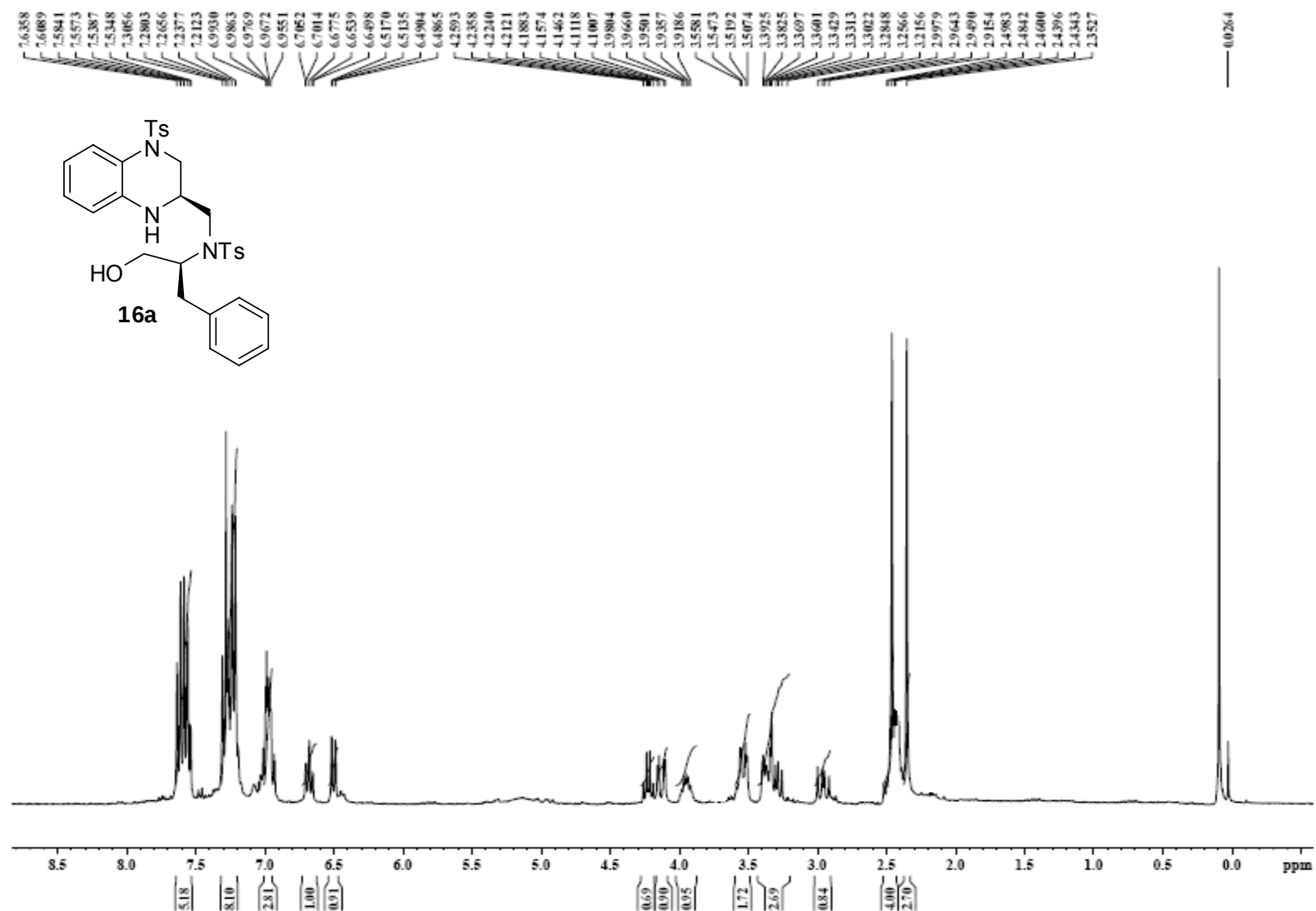
FigureS-48: ^{13}C spectrum (75MHz, , CDCl_3) **14e**



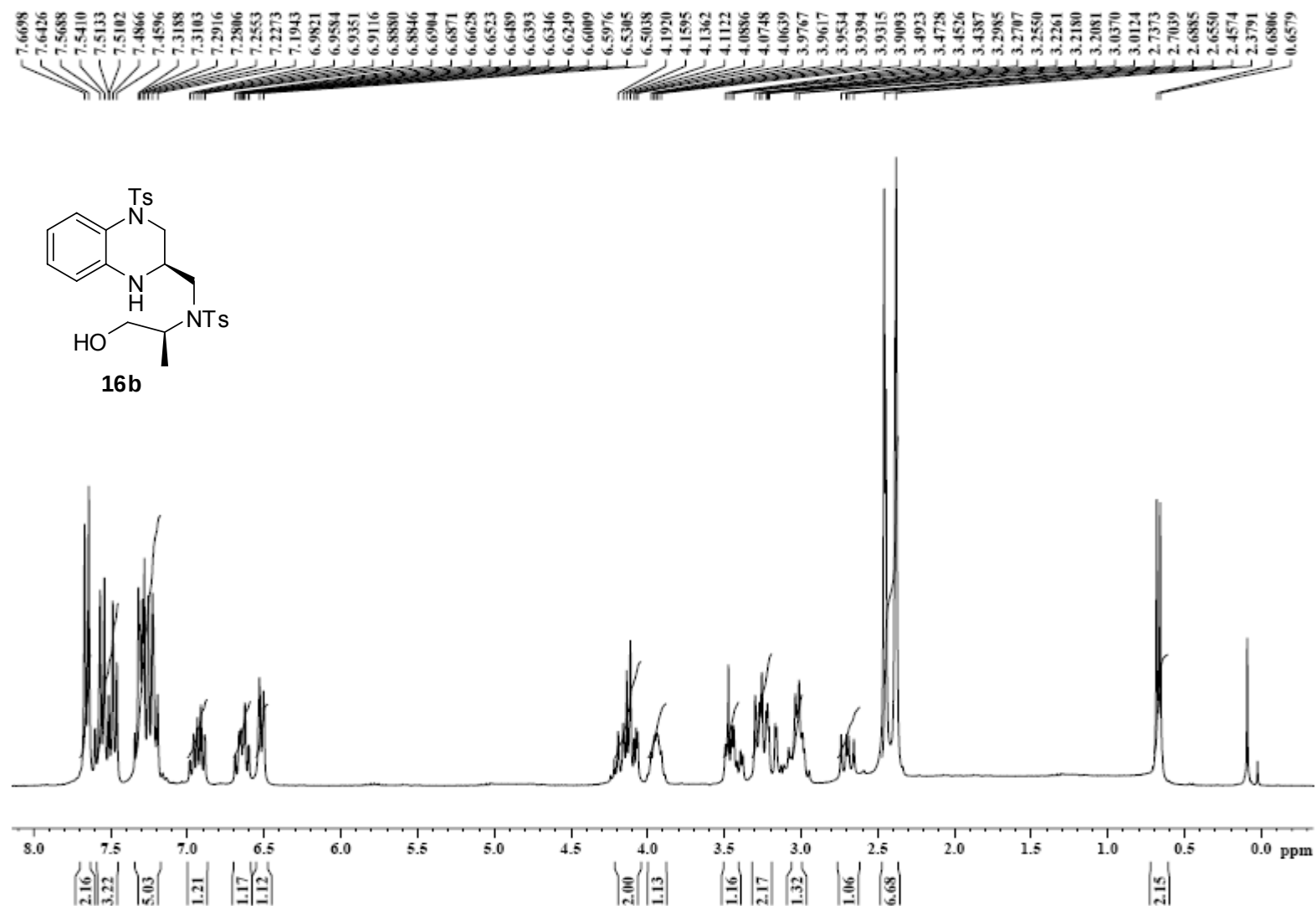
FigureS-49: ^1H spectrum(300 MHz, $\text{CDCl}_3 + \text{CCl}_4$) of **15a**



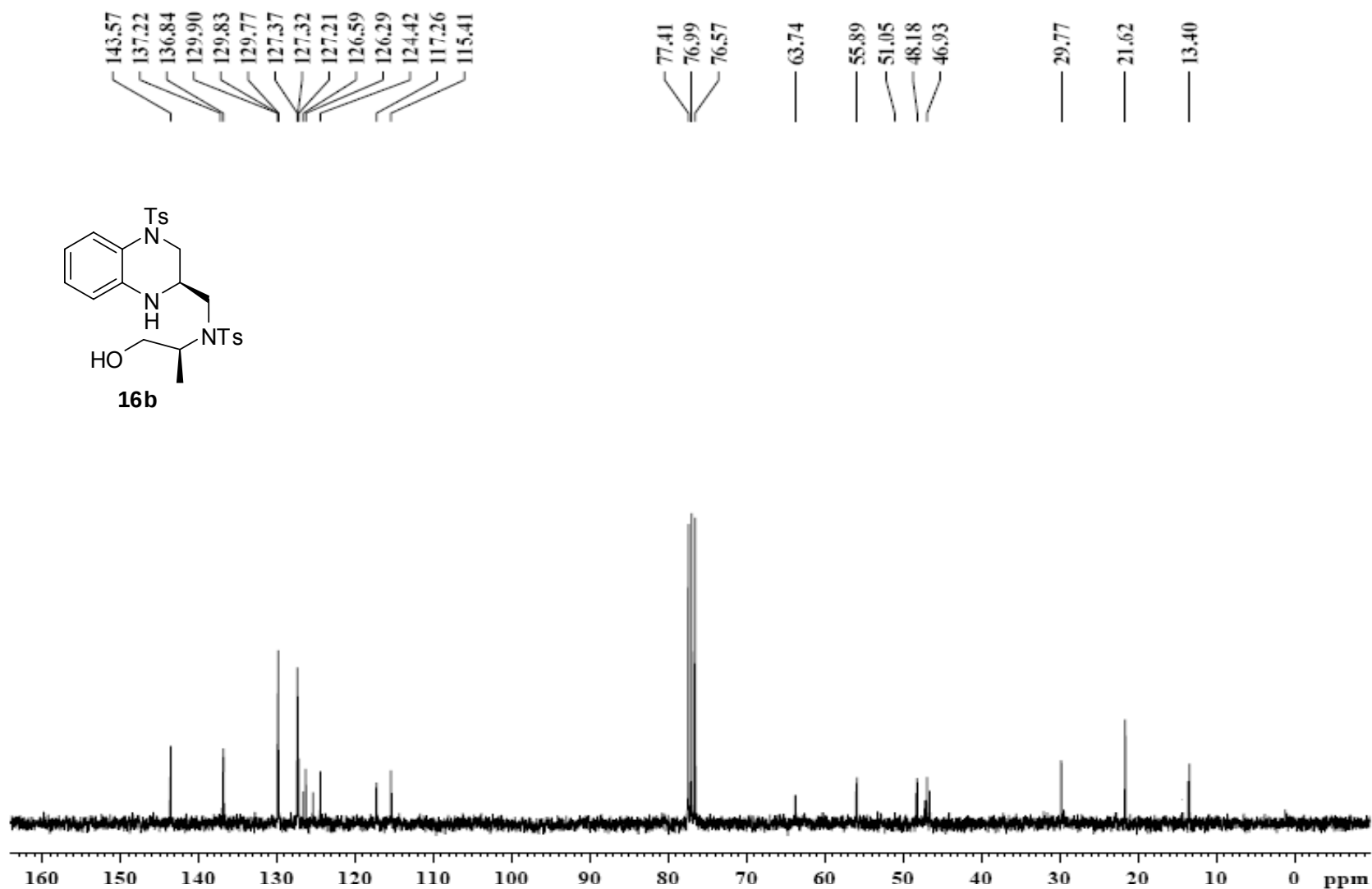
FigureS-50: ^{13}C spectrum (75MHz, $\text{CDCl}_3 + \text{CCl}_4$) **15a**



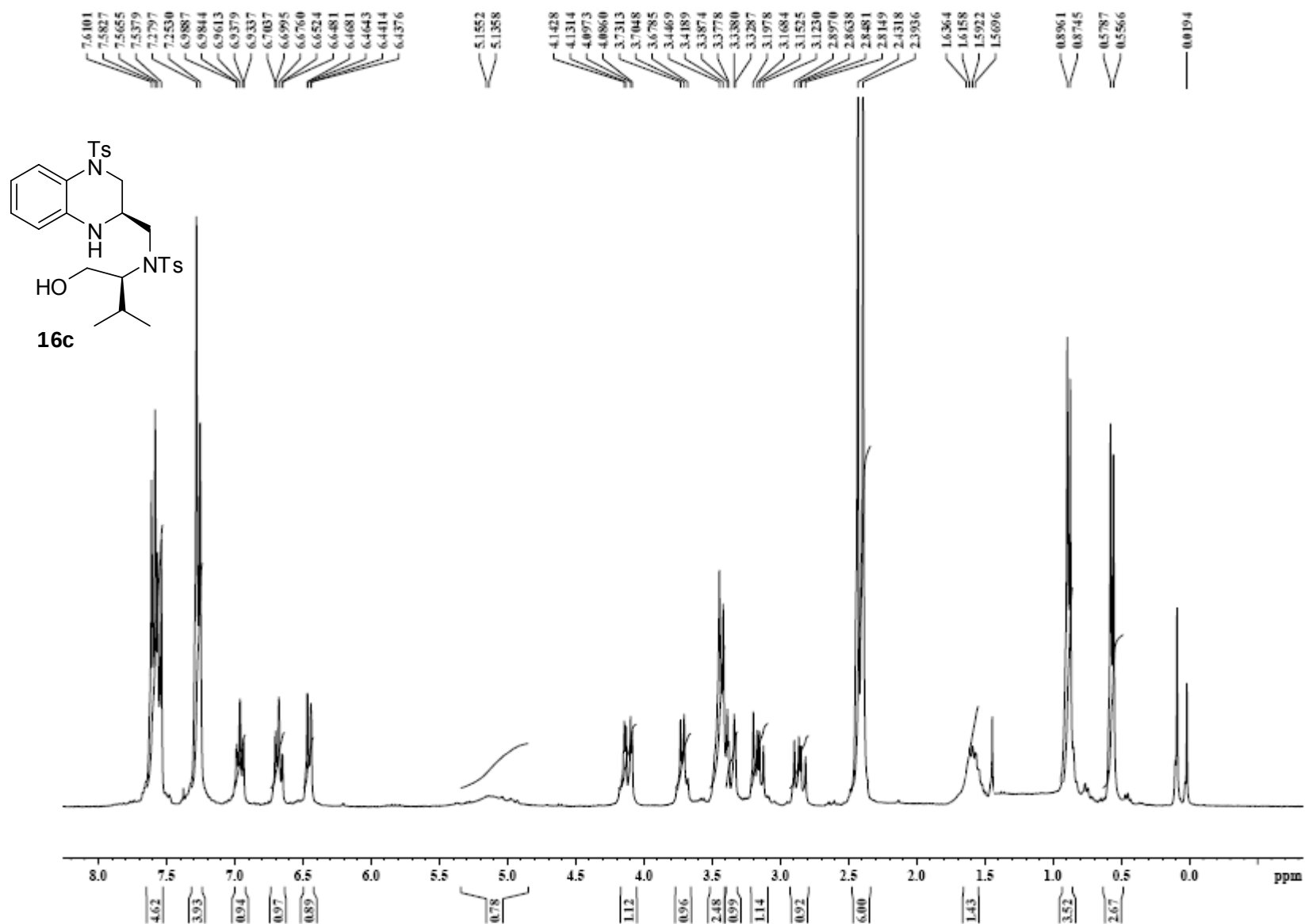
FigureS-51: ¹H spectrum(300 MHz, CDCl₃) of **16a**



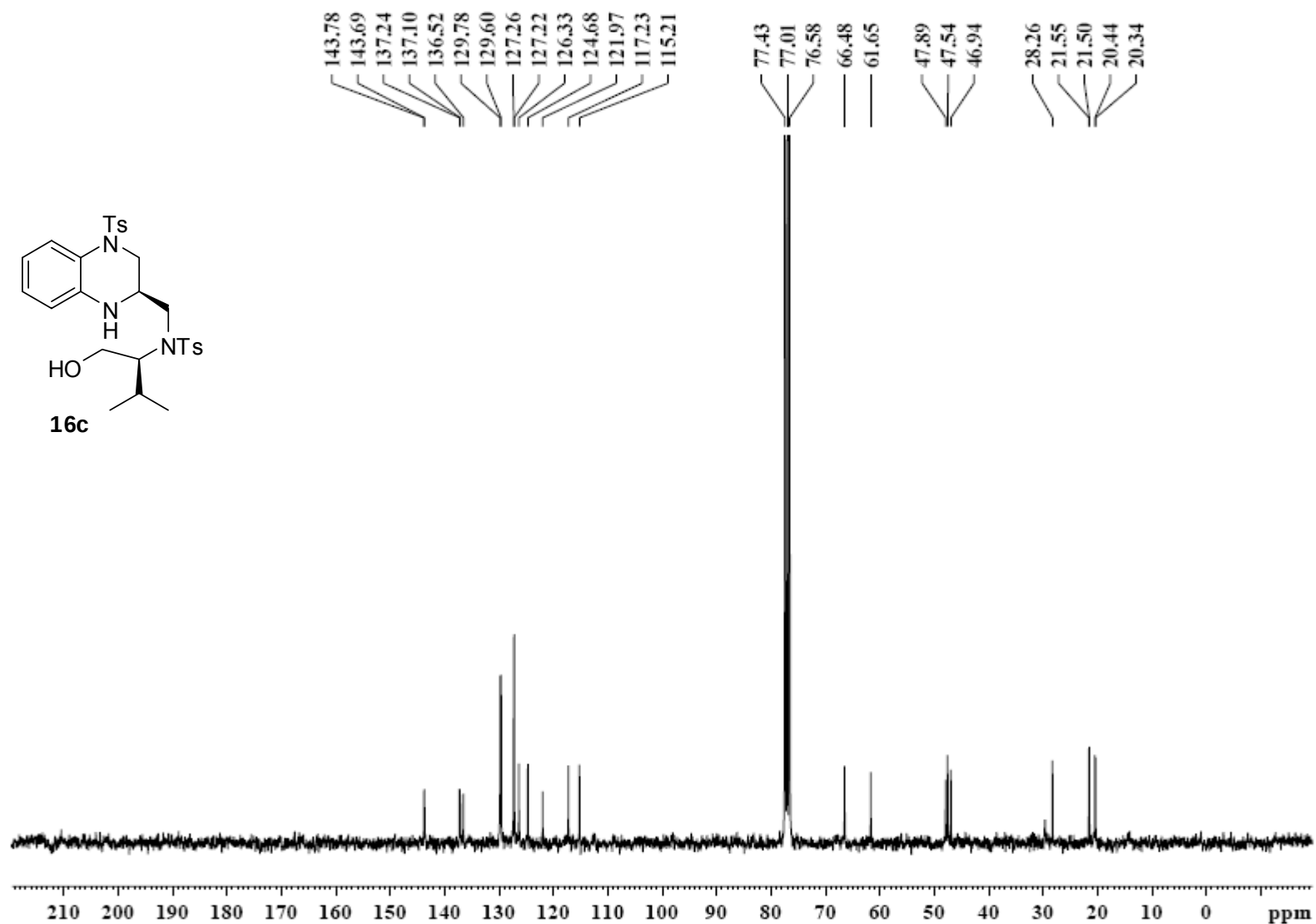
FigureS-52: ¹H spectrum(300 MHz, CDCl₃) of **16b**



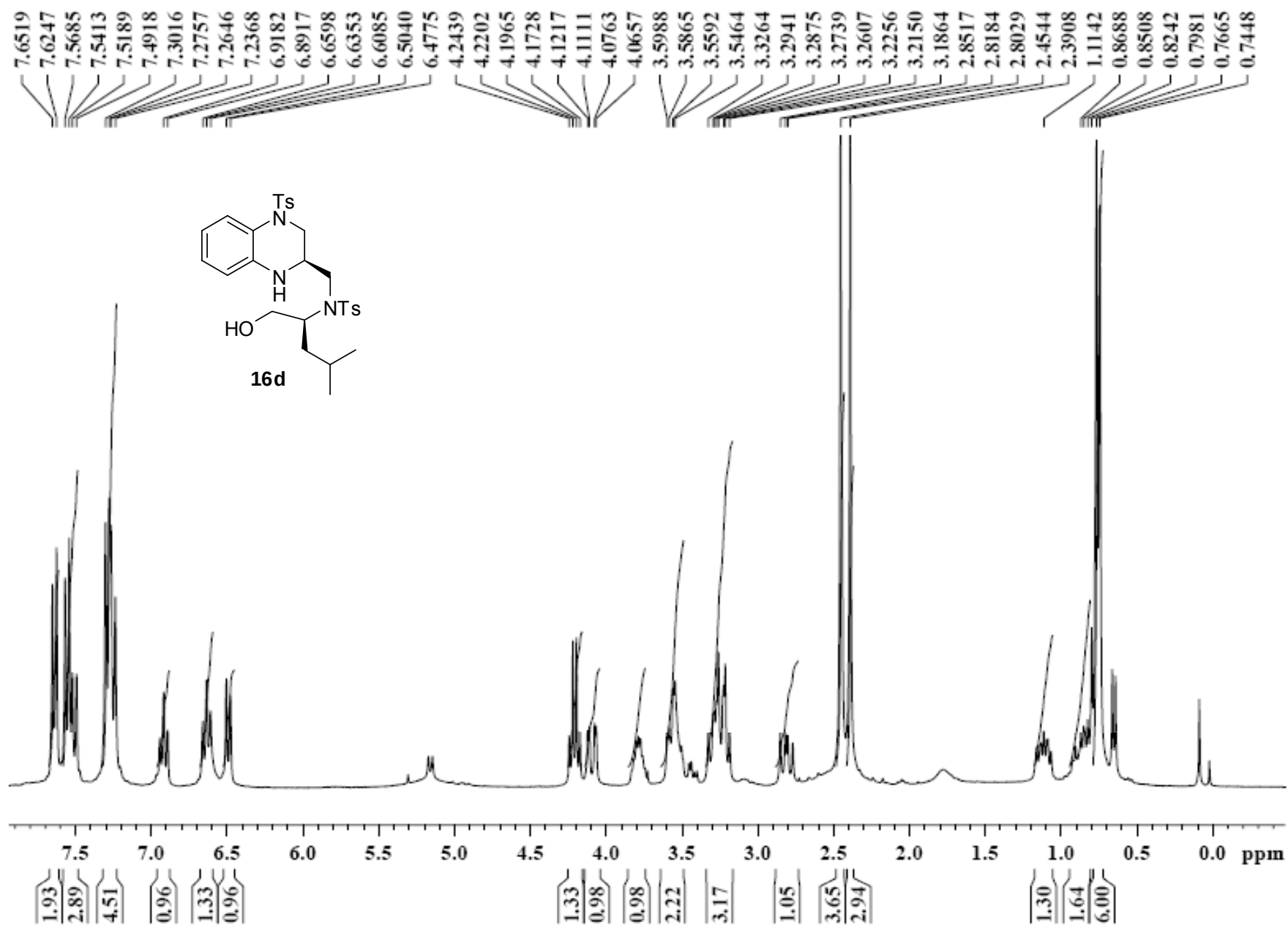
FigureS-53: ¹³C spectrum (75MHz, CDCl₃) **16b**



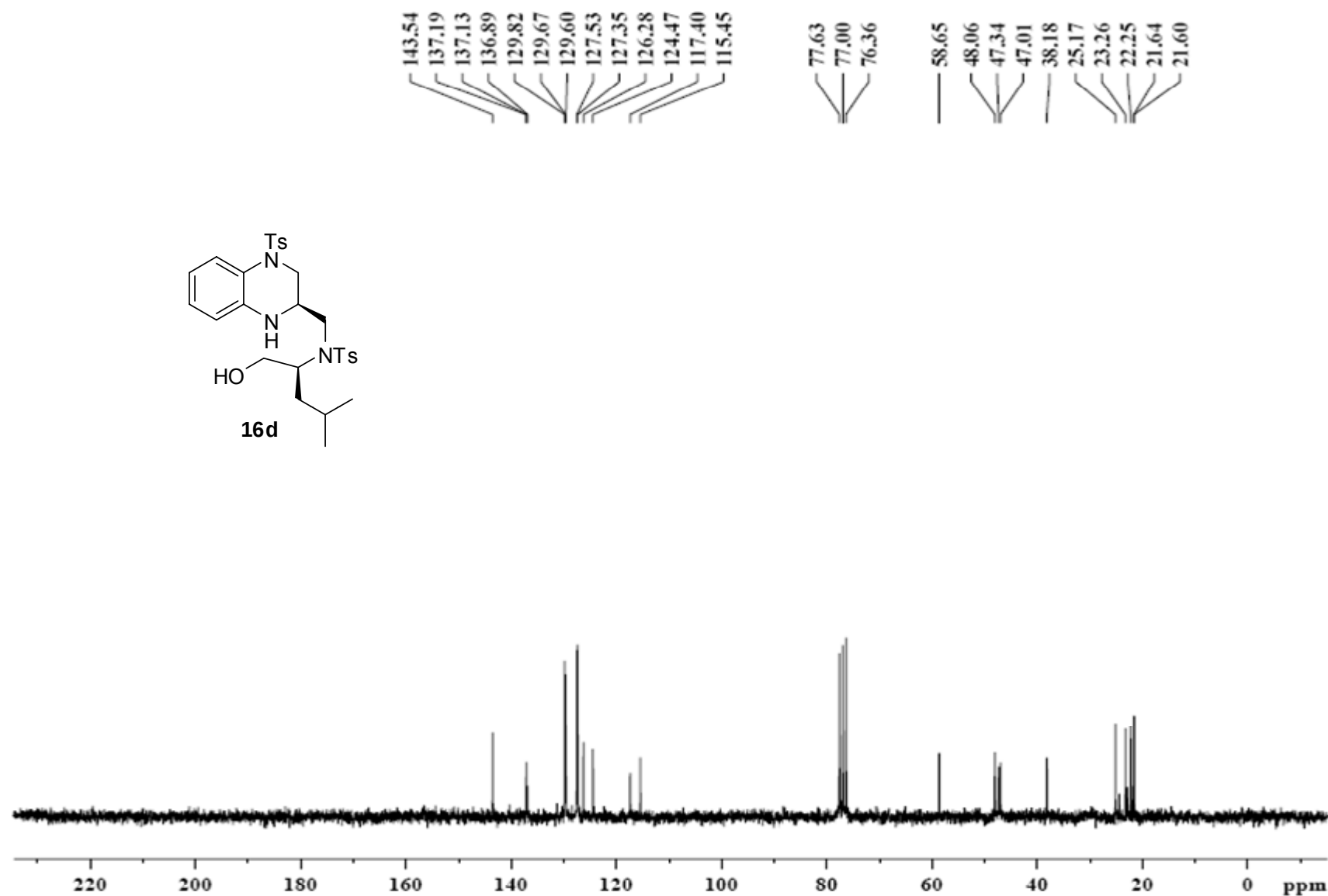
FigureS-54: ¹H spectrum(300 MHz, CDCl₃) of **16c**



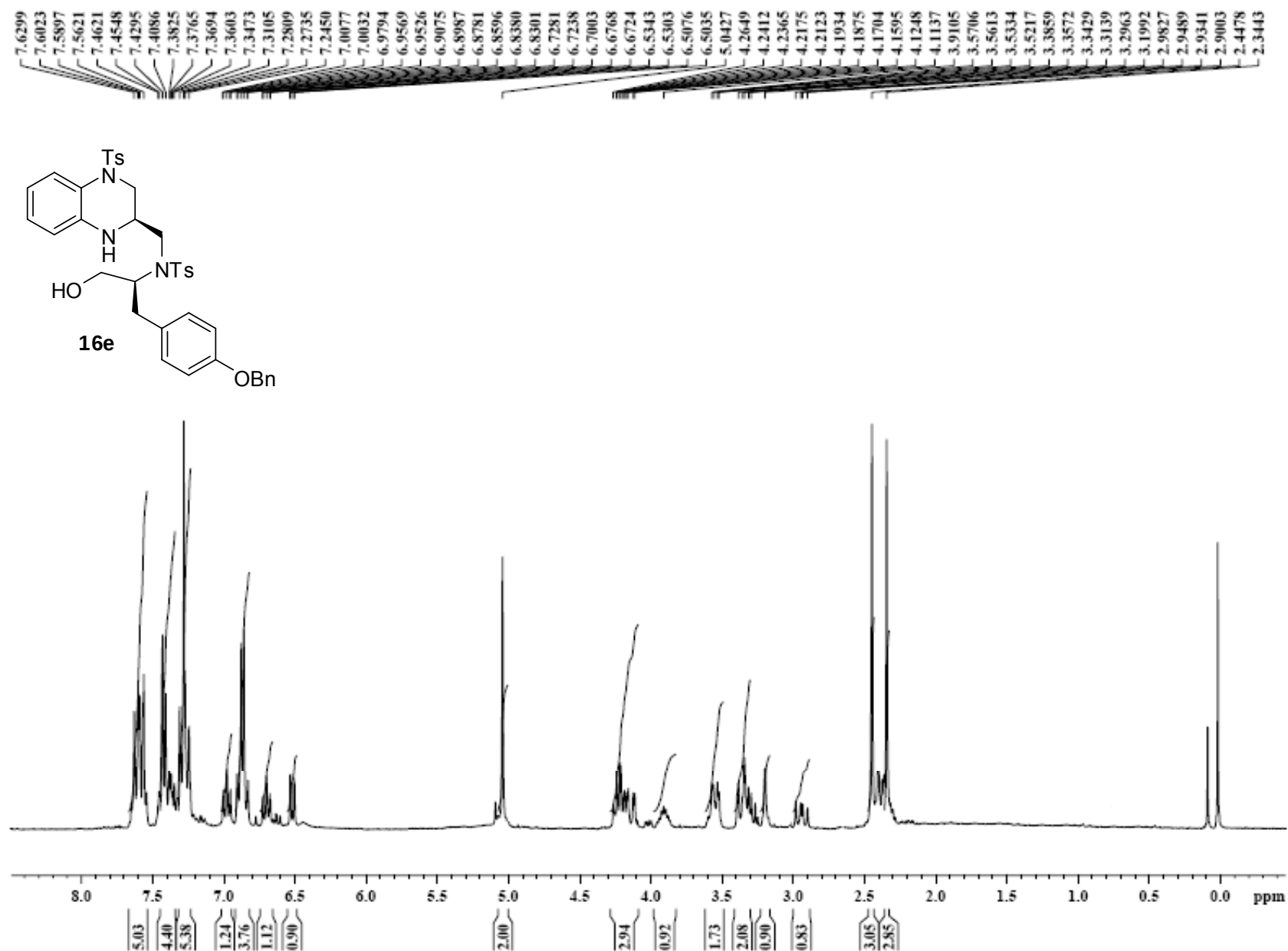
FigureS-55: ¹³C spectrum (75MHz, CDCl₃) **16c**



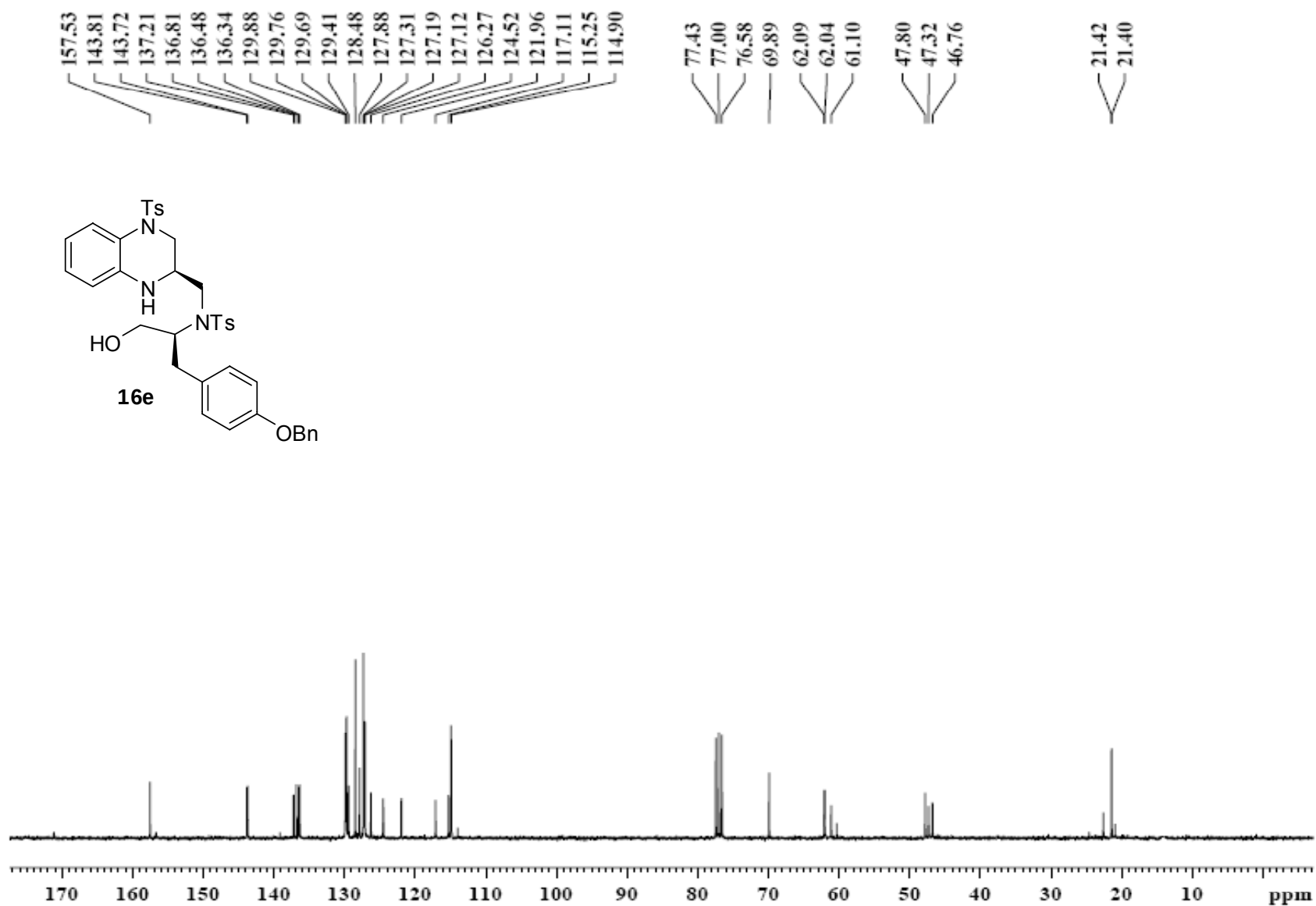
FigureS-56: ¹H spectrum(300 MHz, CDCl₃) of **16d**



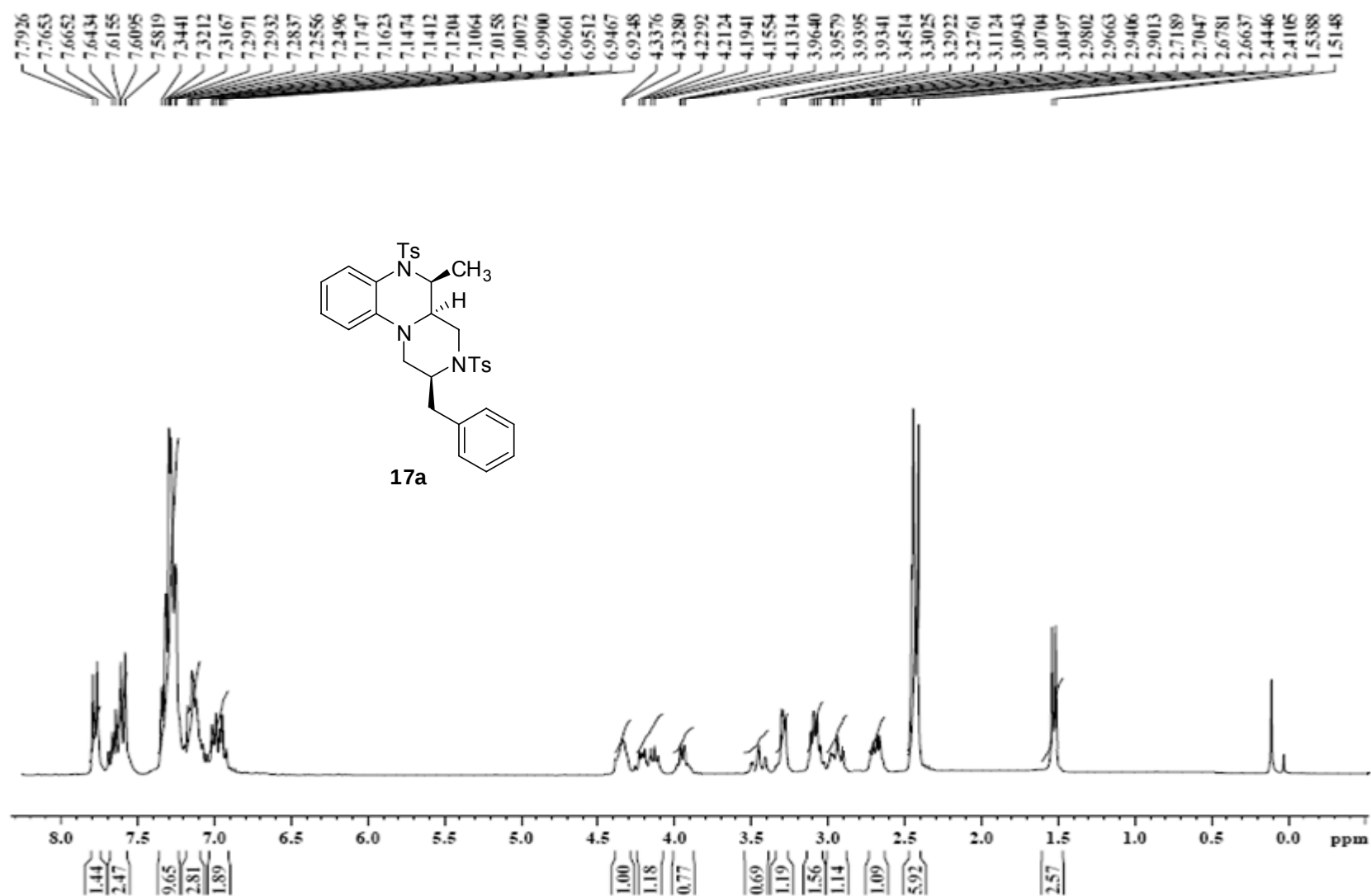
FigureS-57: ^{13}C spectrum (75MHz, CDCl_3) **16d**



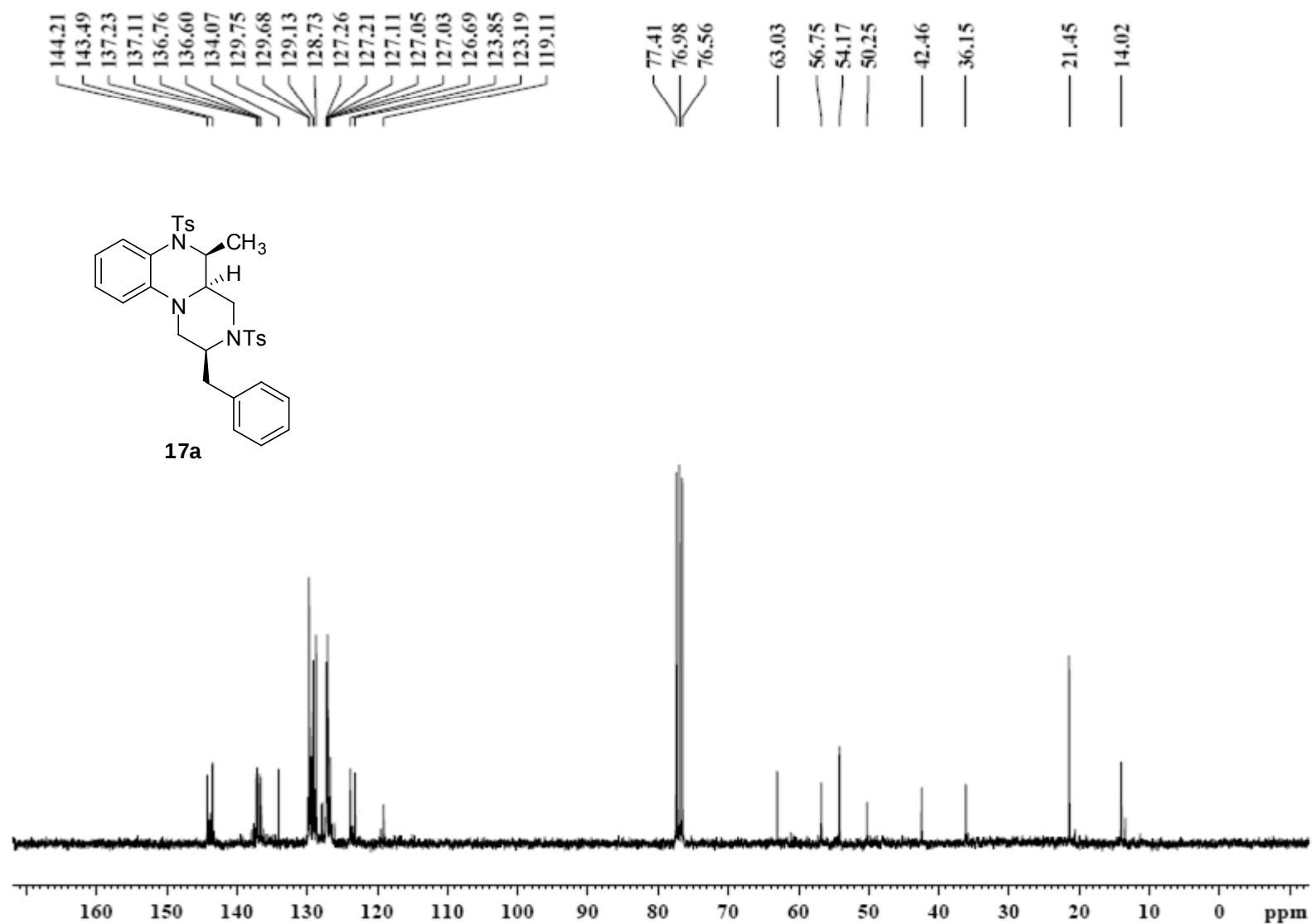
FigureS-58: ¹H spectrum(300 MHz, CDCl₃) of **16e**



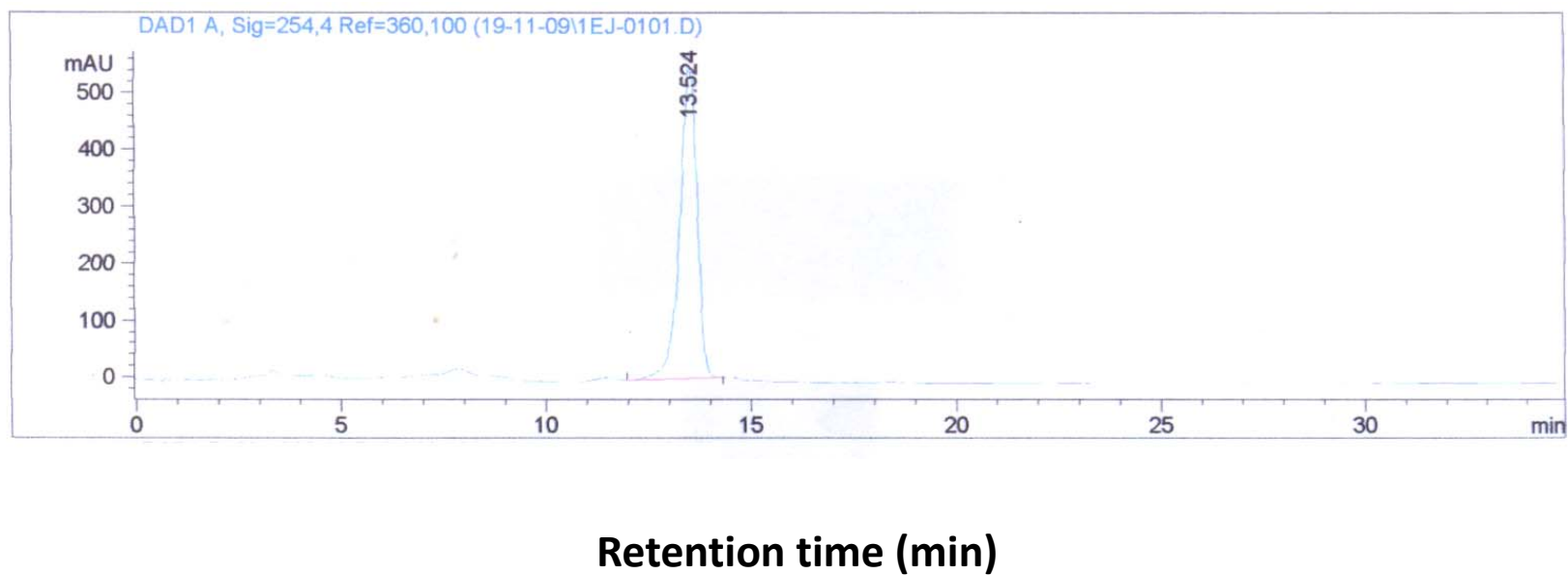
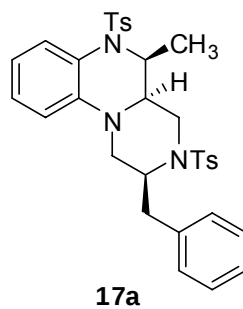
FigureS-59: ¹³C spectrum (75MHz, CDCl₃) **16e**



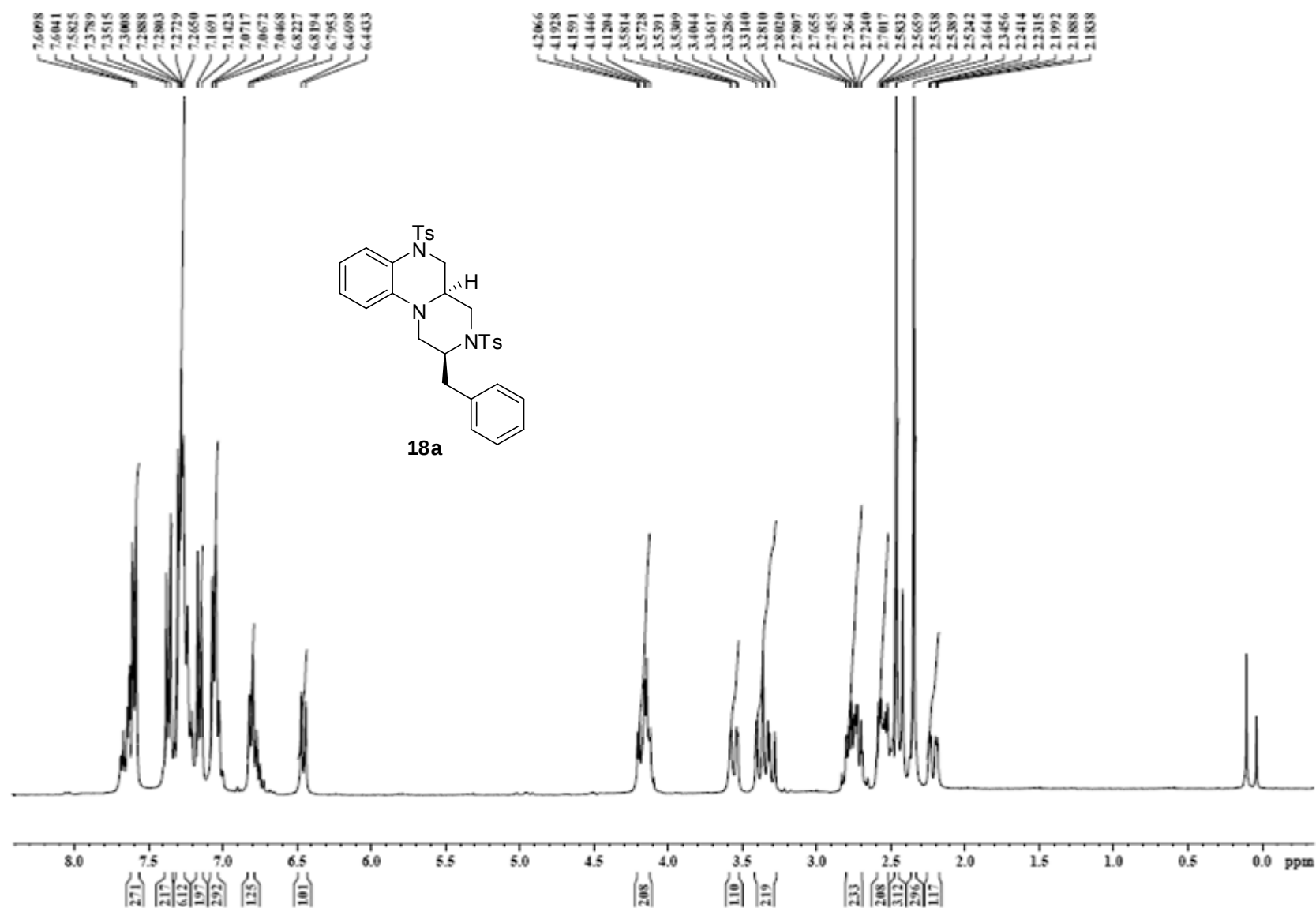
FigureS-60: ¹H spectrum(300 MHz, CDCl₃) of **17a**



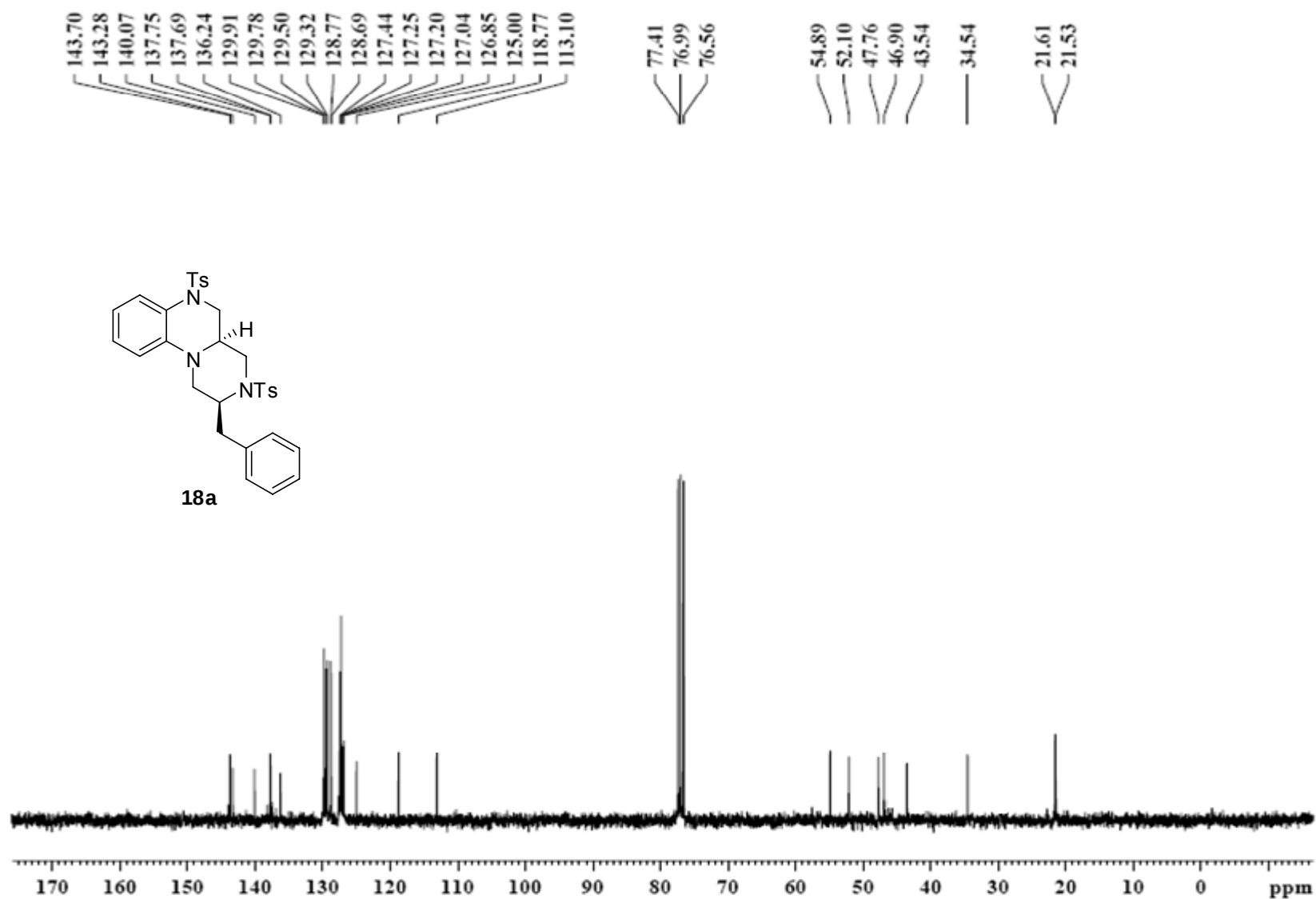
FigureS-61: ¹³C spectrum (75MHz, CDCl₃) **17a**



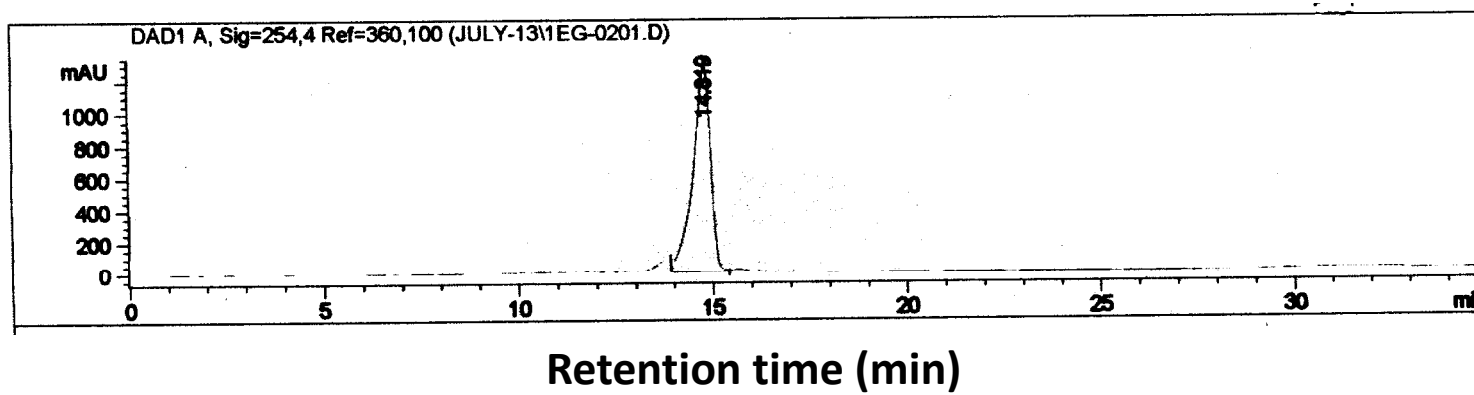
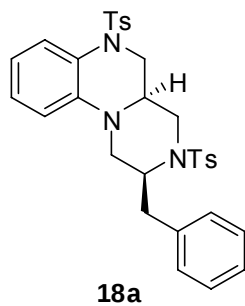
FigureS-62: HPLC graph for **17a**



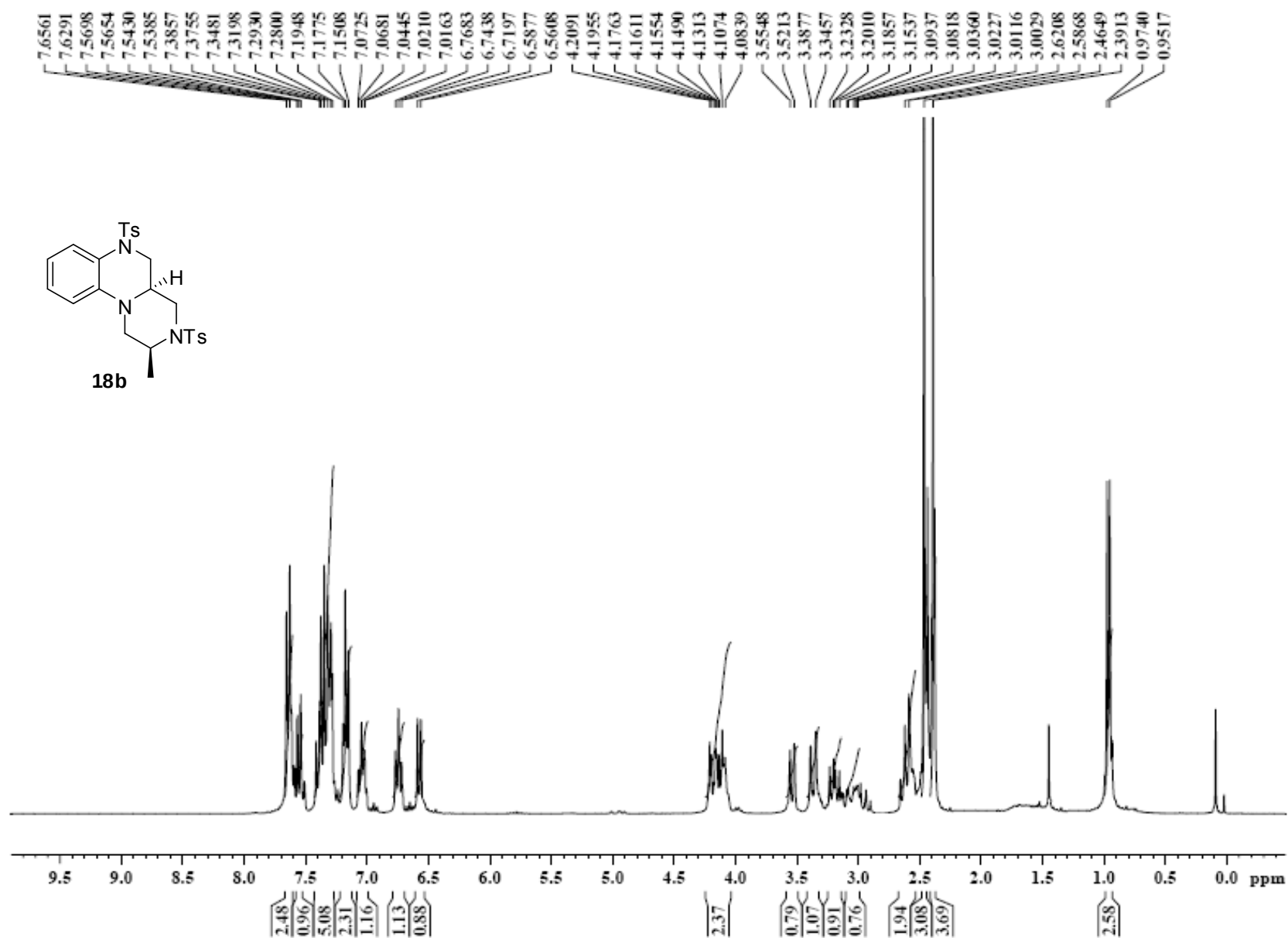
FigureS-63: ¹H spectrum(300 MHz, CDCl₃) of **18a**



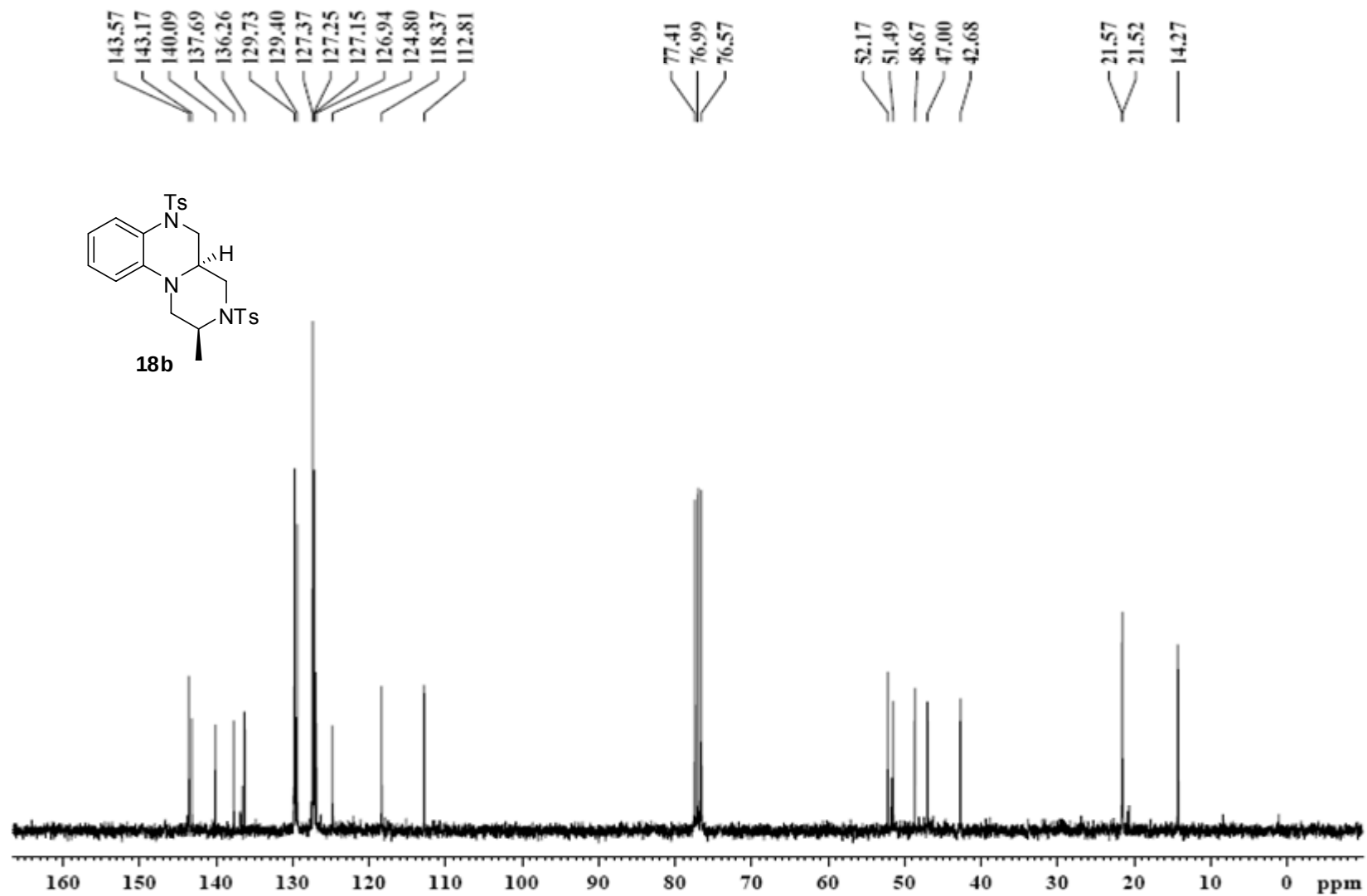
FigureS-64: ^{13}C spectrum (75MHz, CDCl_3) **18a**



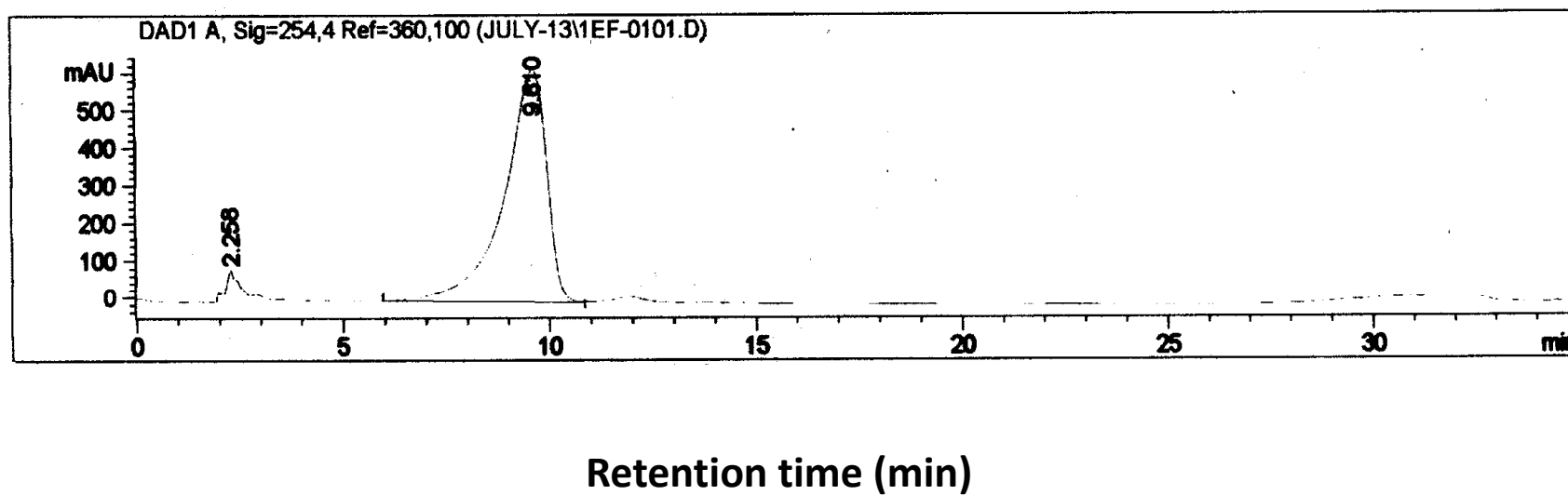
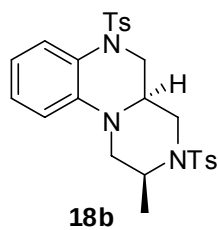
FigureS-65: HPLC graph for **18a**



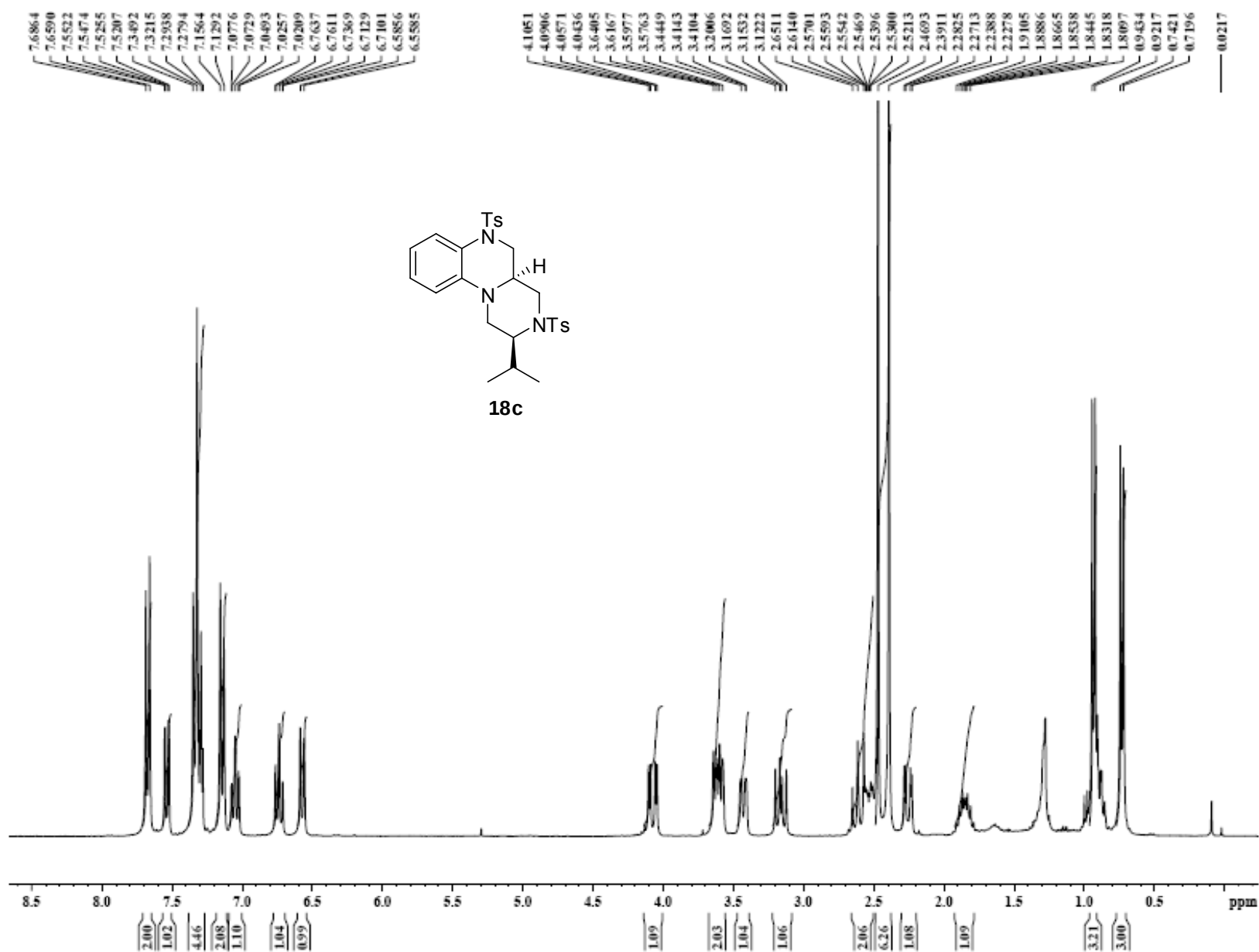
FigureS-66: ¹H spectrum(300 MHz, CDCl₃) of **18b**



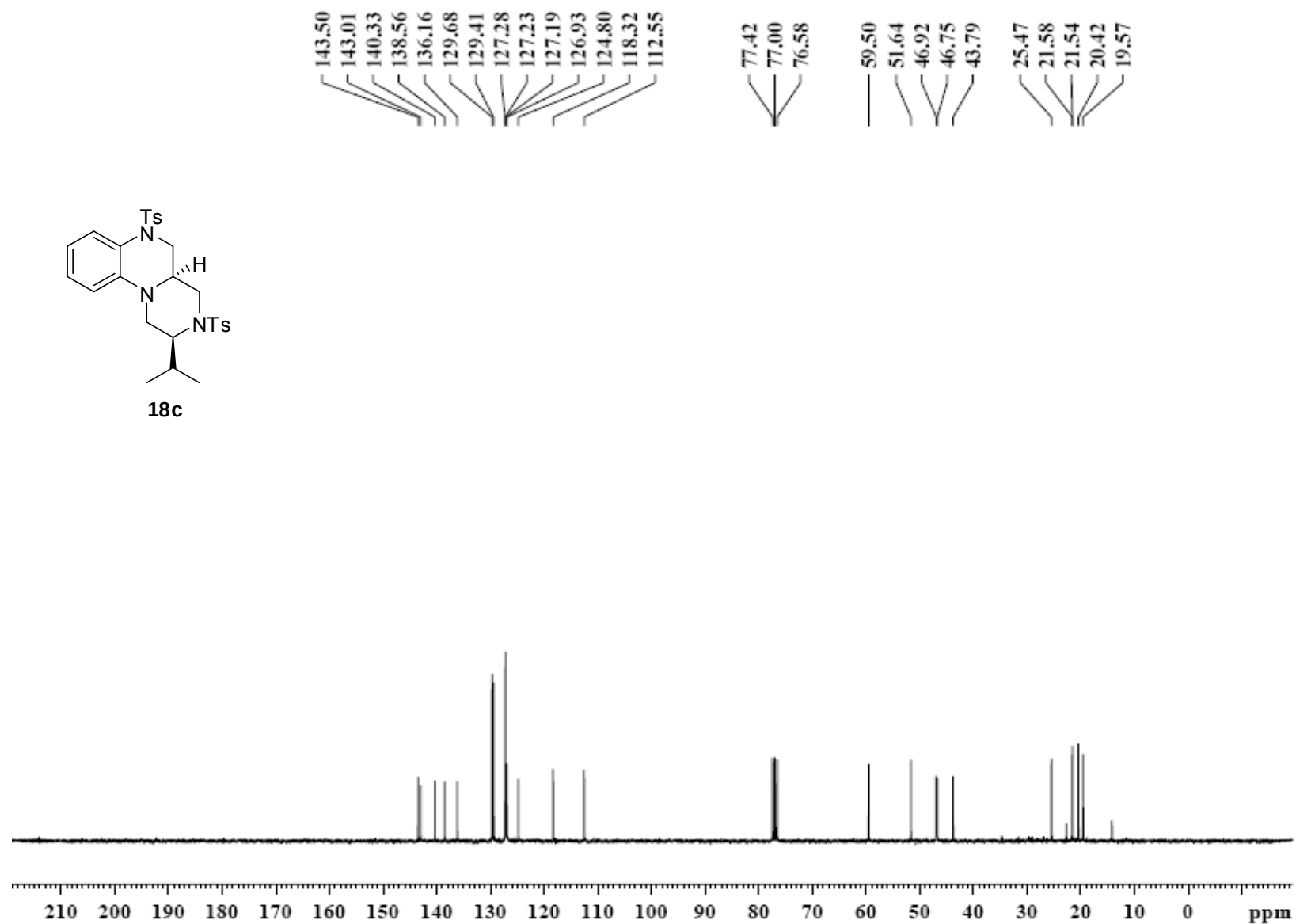
FigureS-67: ¹³C spectrum (75MHz, CDCl₃) **18b**



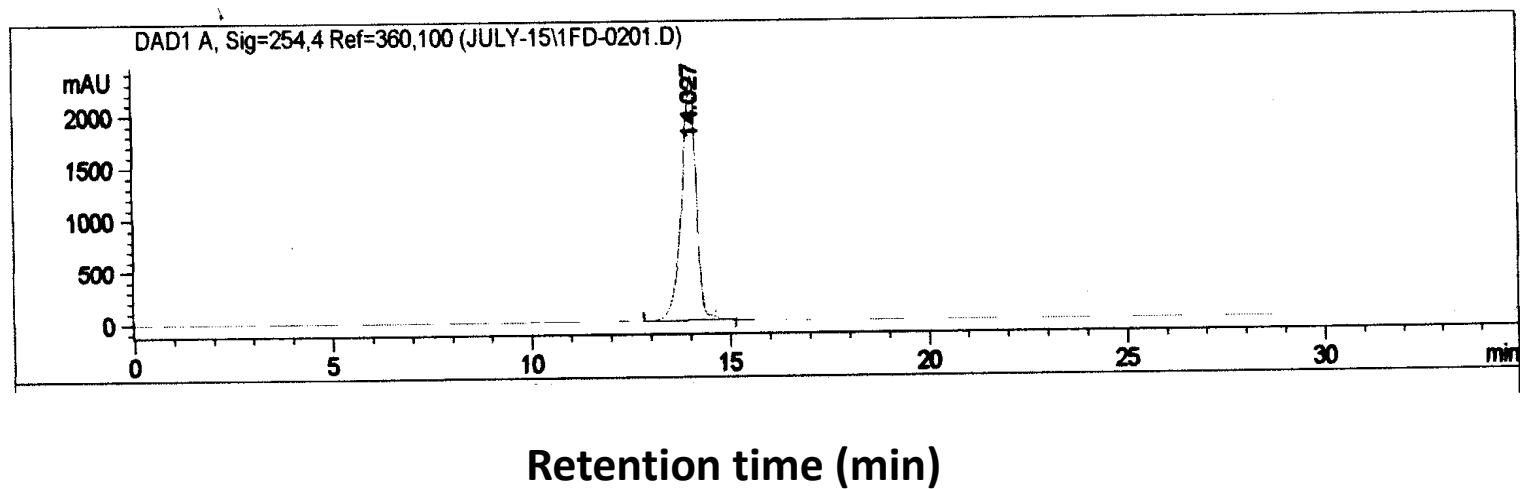
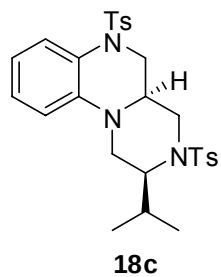
FigureS-68: HPLC graph for **18b**



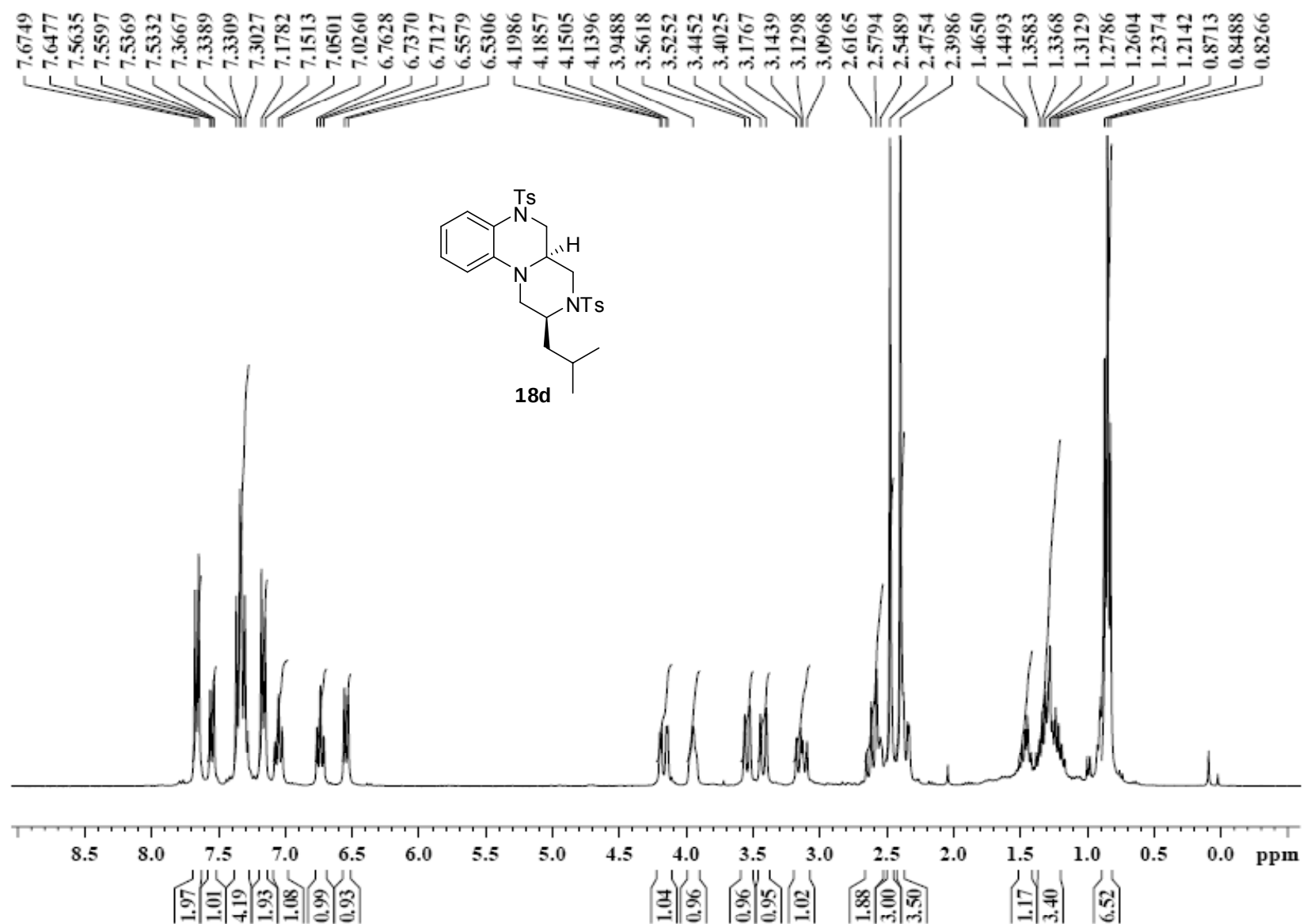
FigureS-69: ¹H spectrum(300 MHz, CDCl₃) of **18c**



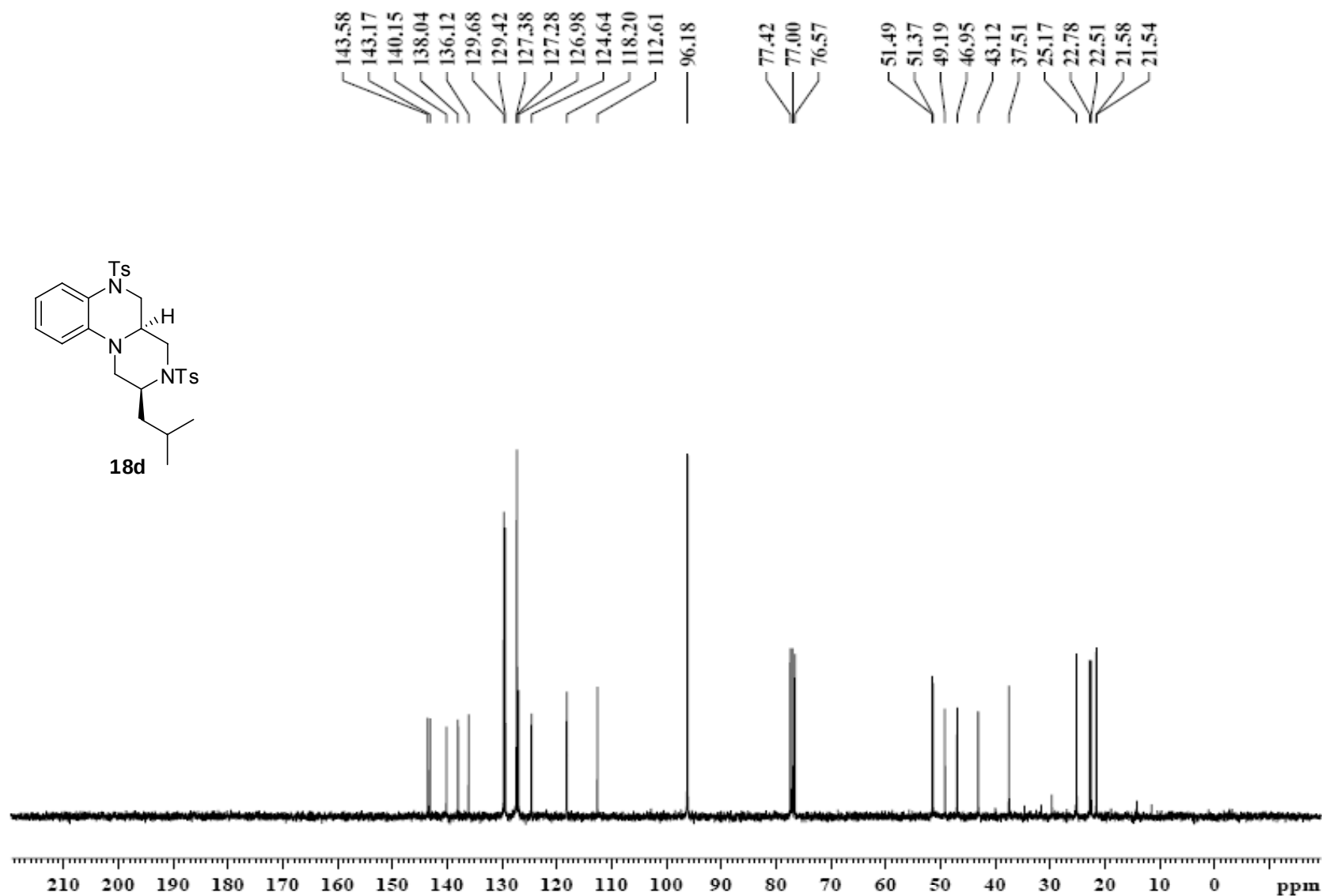
FigureS-70: ^{13}C spectrum (75MHz, CDCl_3) **18c**



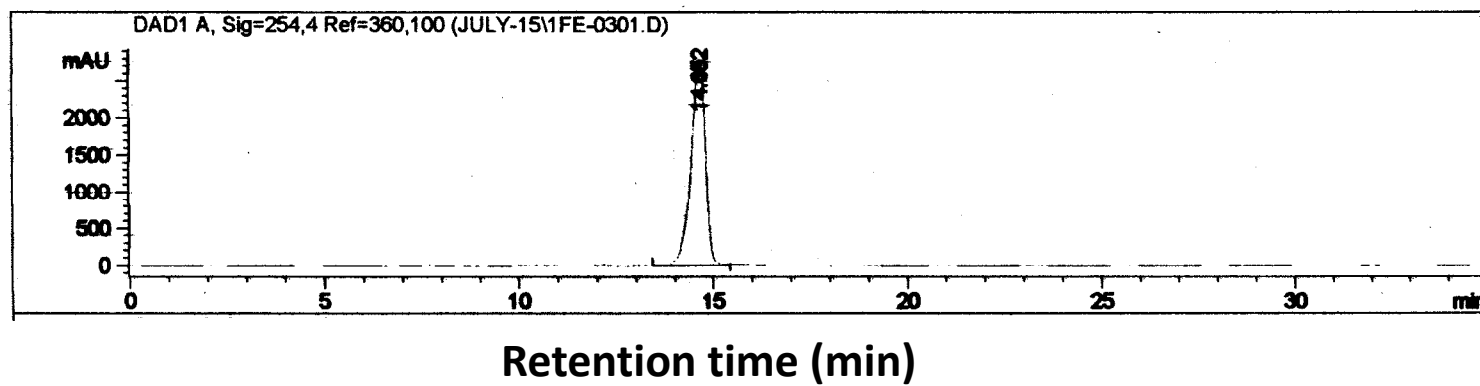
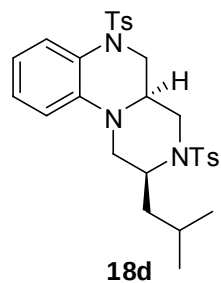
FigureS-71: HPLC graph for 18c



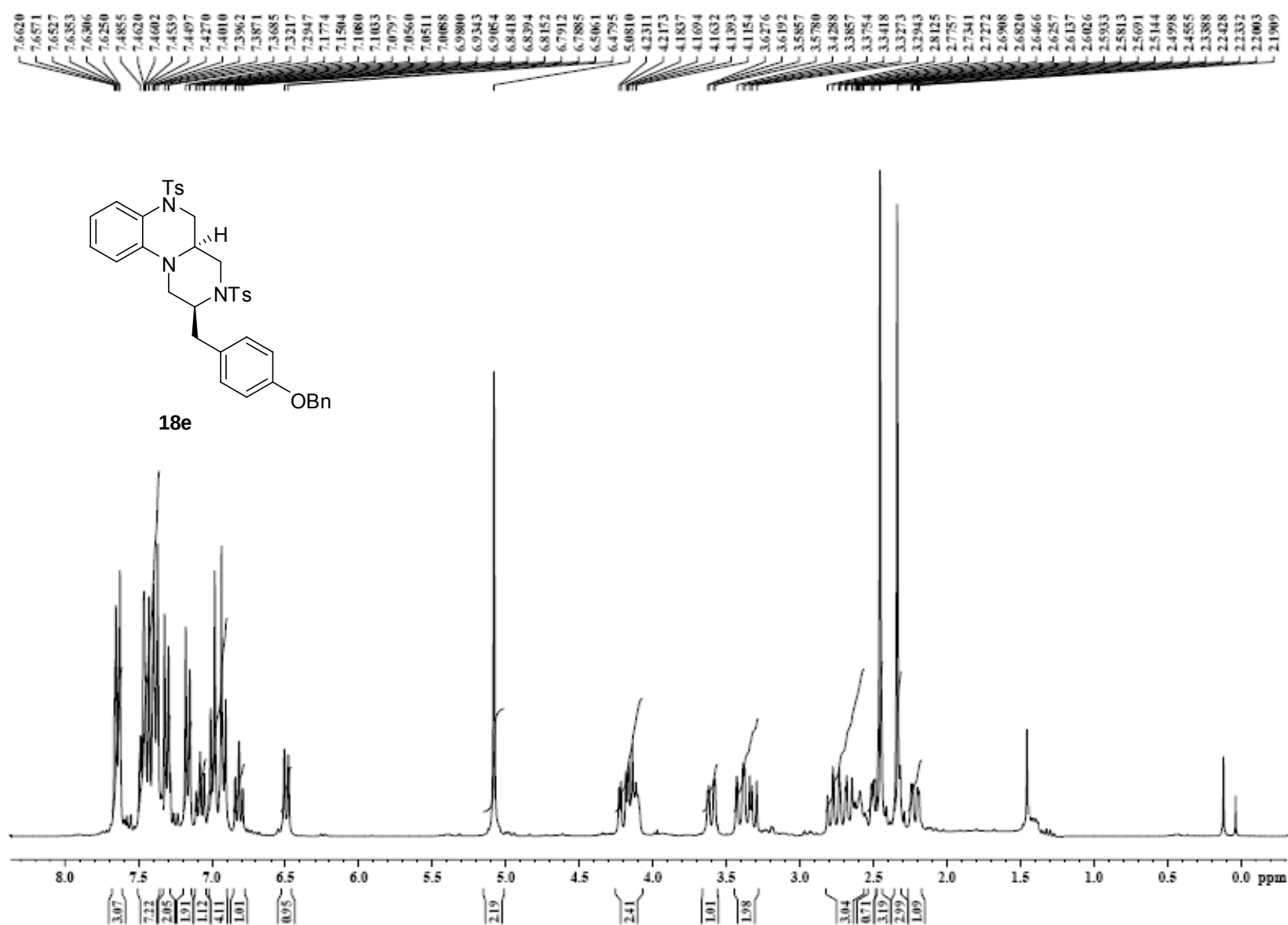
FigureS-72: ¹H spectrum(300 MHz, CDCl₃ + CCl₄) of **18d**



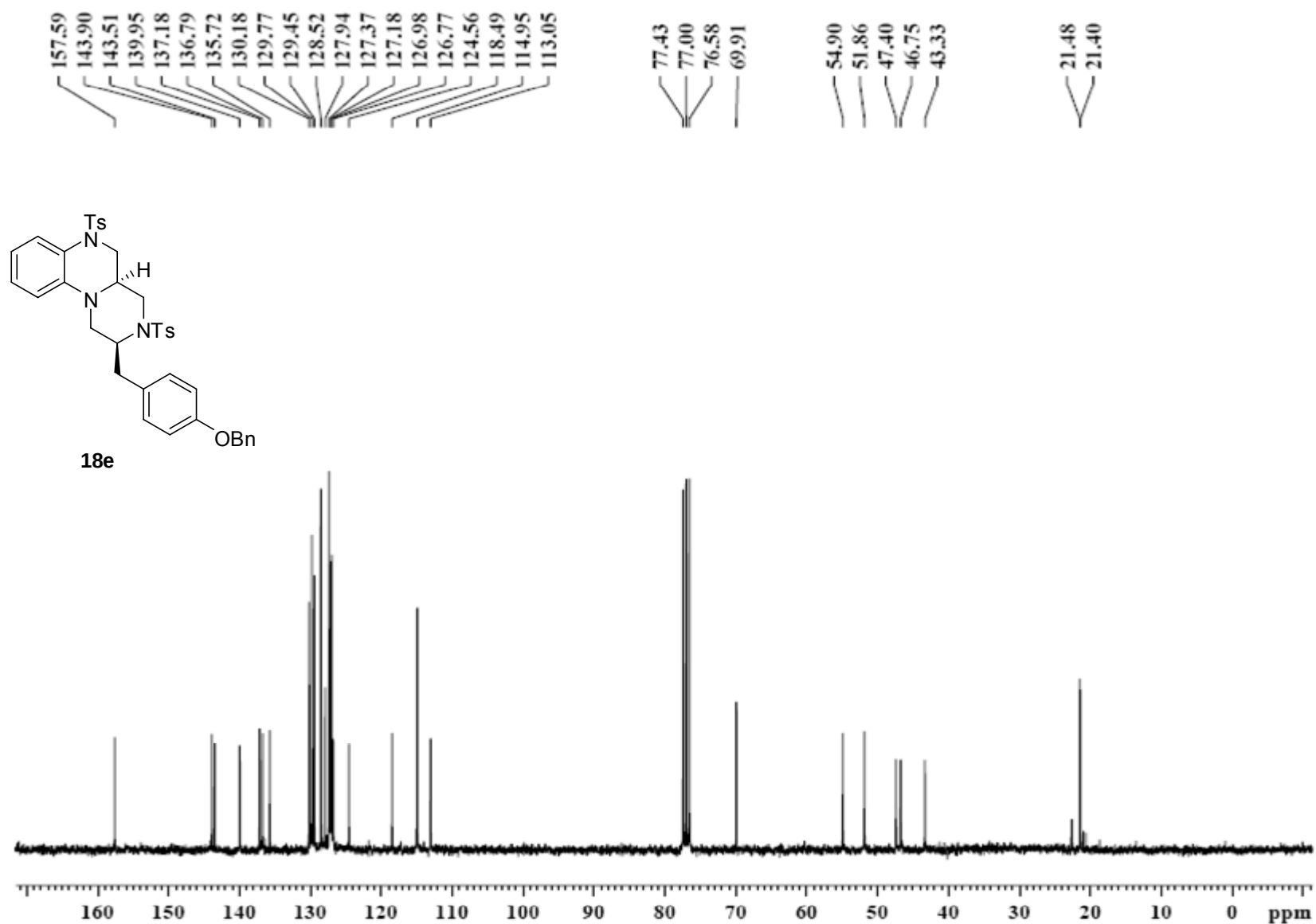
FigureS-73: ^{13}C spectrum (75MHz, $\text{CDCl}_3 + \text{CCl}_4$) **18d**



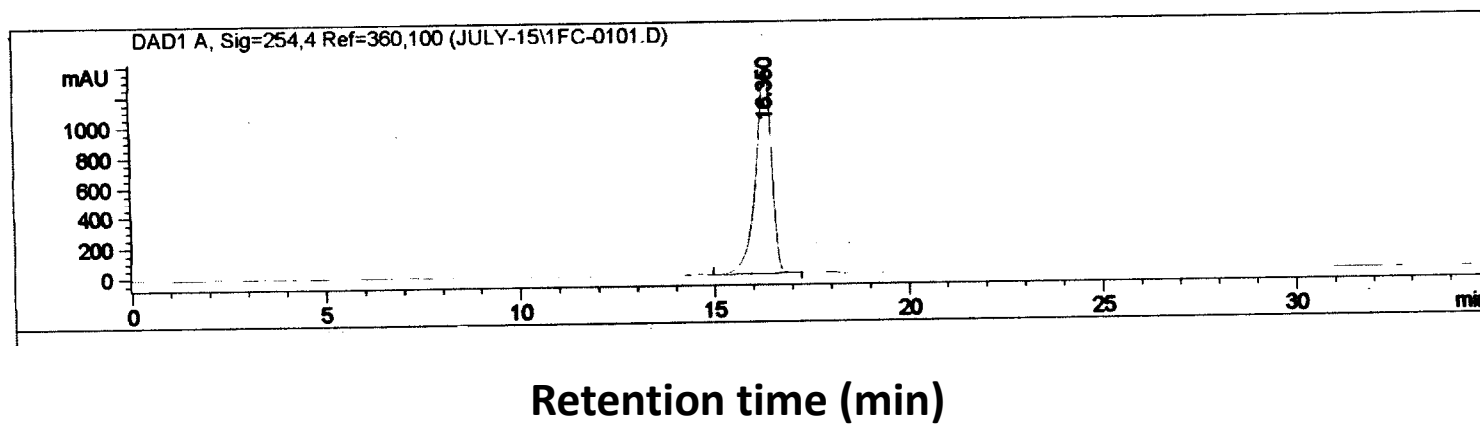
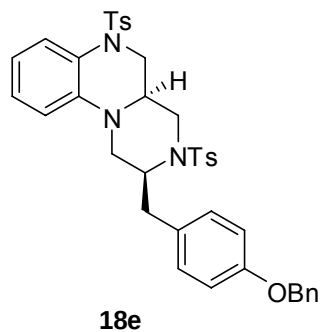
FigureS-74: HPLC graph for 18d



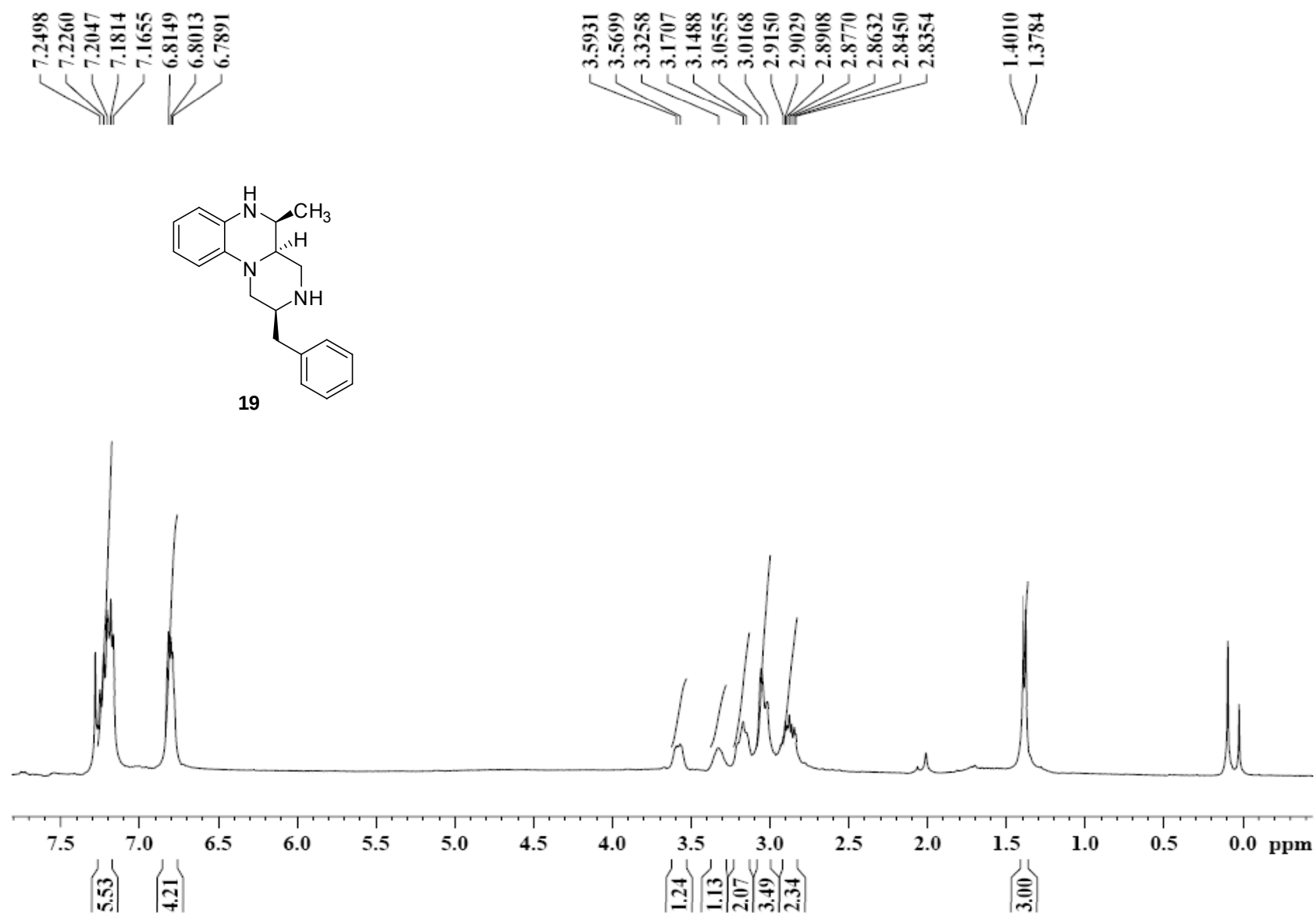
FigureS-75: ¹H spectrum(300 MHz, CDCl₃) of **18e**



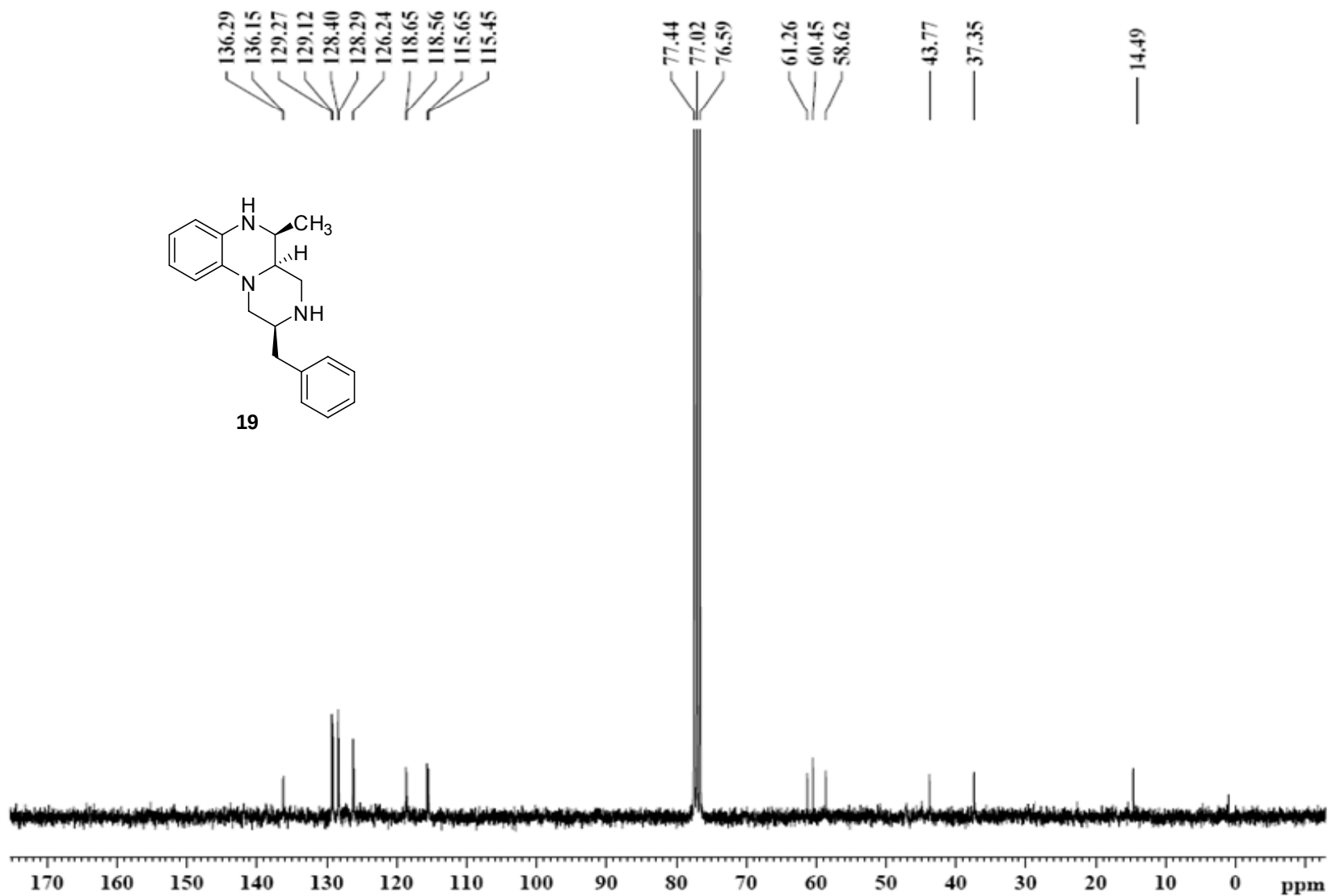
FigureS-76: ^{13}C spectrum (75MHz, CDCl_3) **18e**



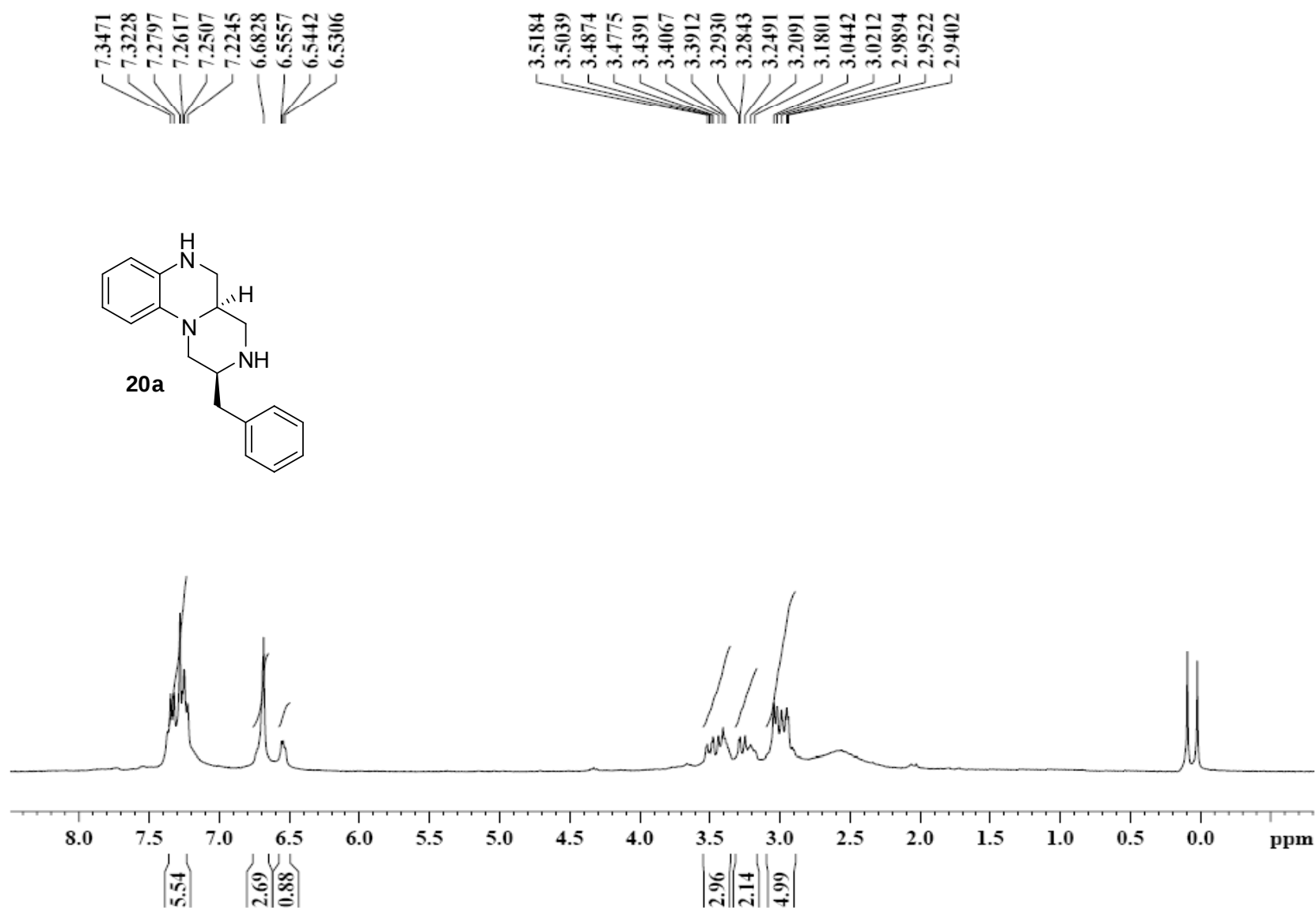
FigureS-77: HPLC graph for **18e**



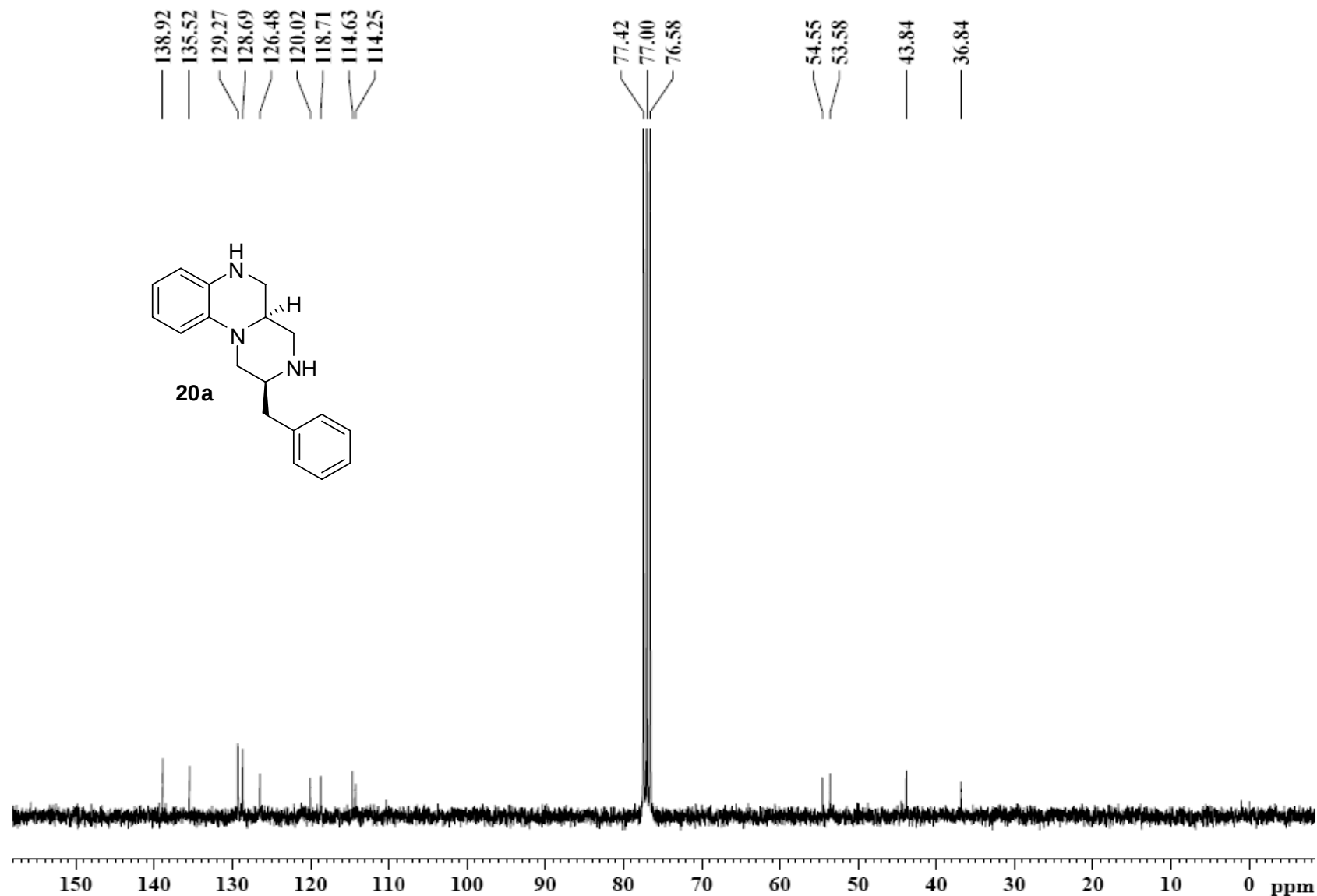
FigureS-78: ^1H spectrum(300 MHz, CDCl_3) of **19**



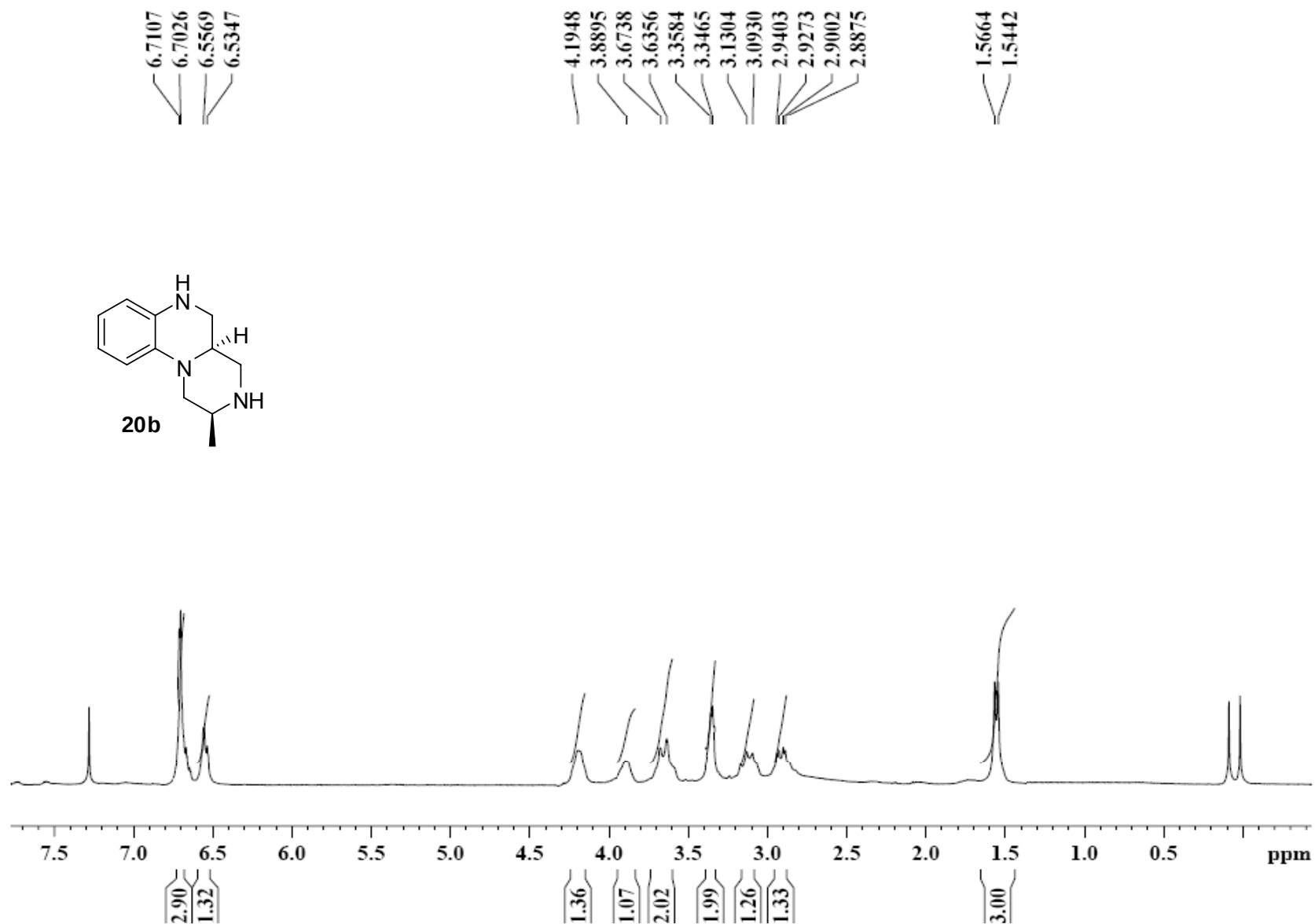
FigureS-79: ¹³C spectrum (75MHz, CDCl₃)**19**



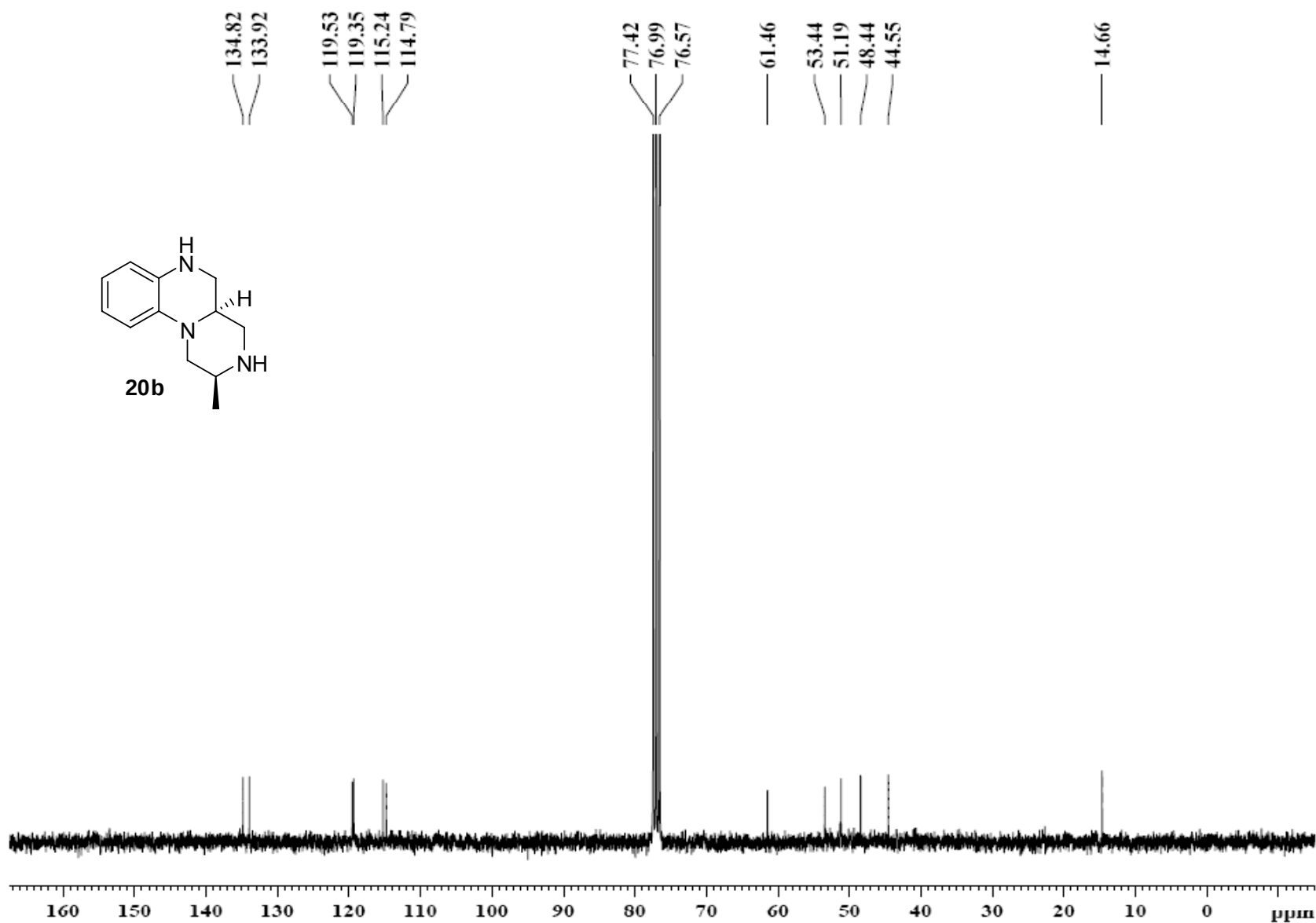
FigureS-80: ¹H spectrum(300 MHz, CDCl₃) of **20a**



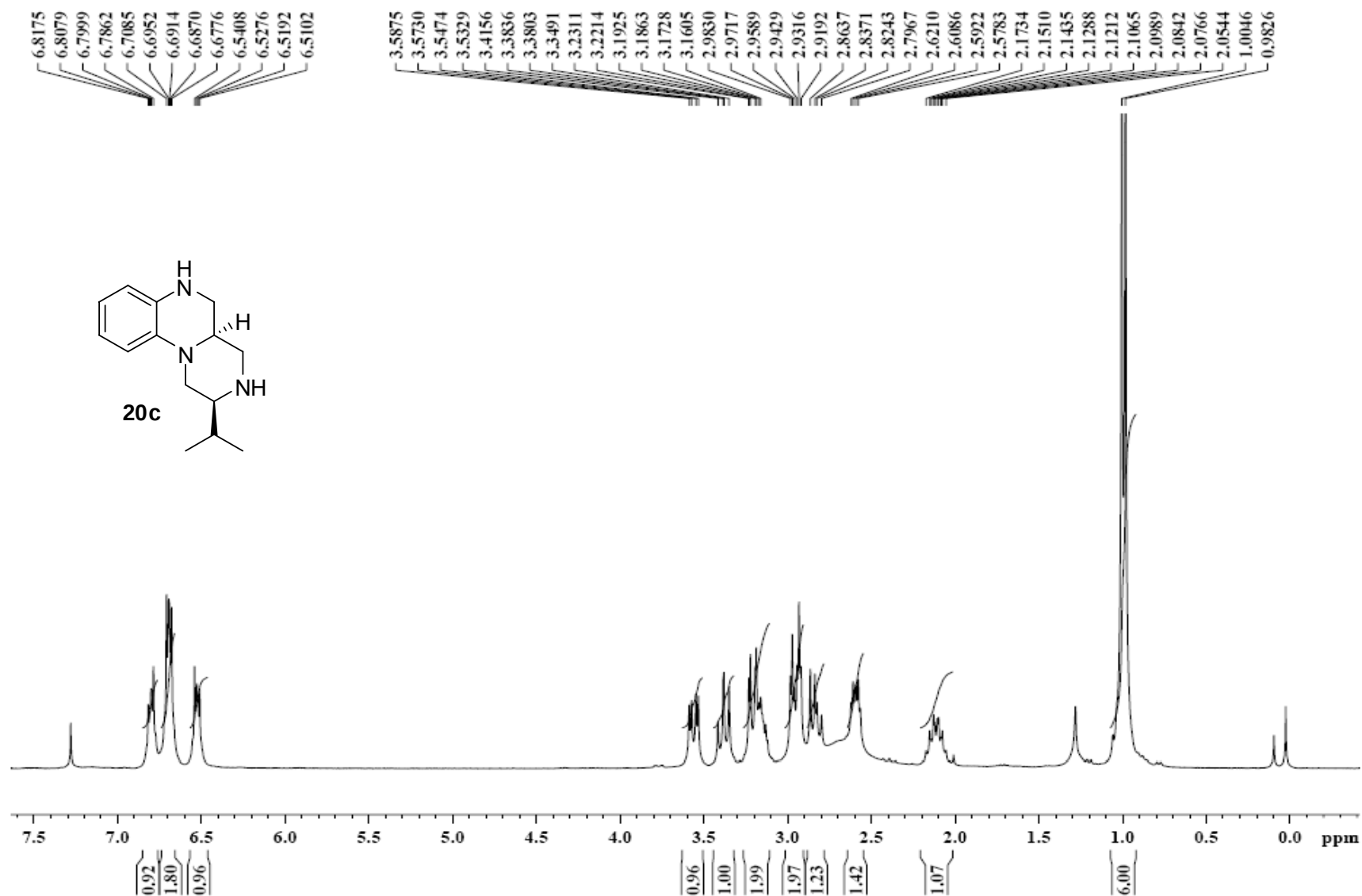
FigureS-81: ¹³C spectrum (75MHz, CDCl₃) **20a**



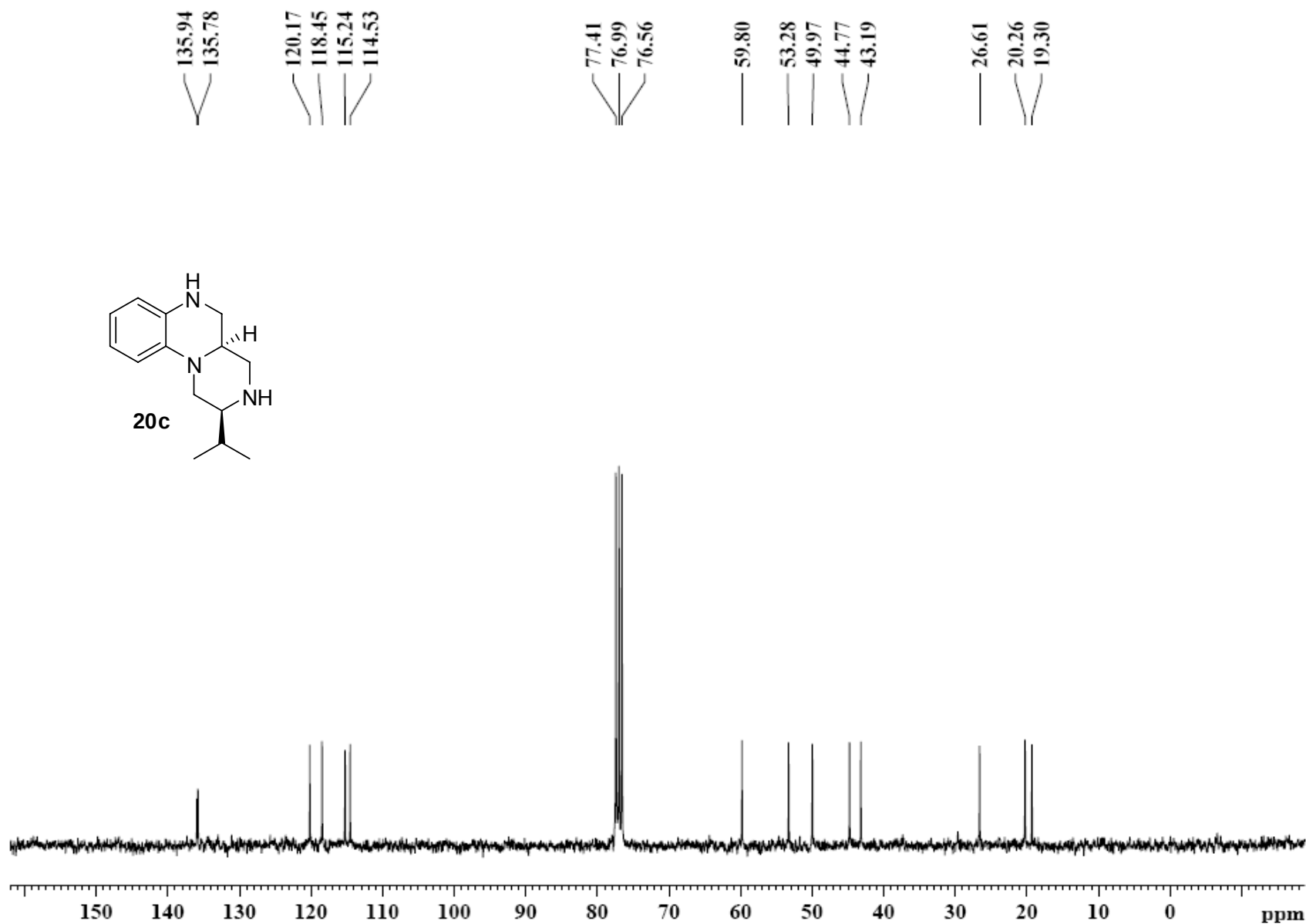
FigureS-82: ¹H spectrum(300 MHz, CDCl₃) of **20b**



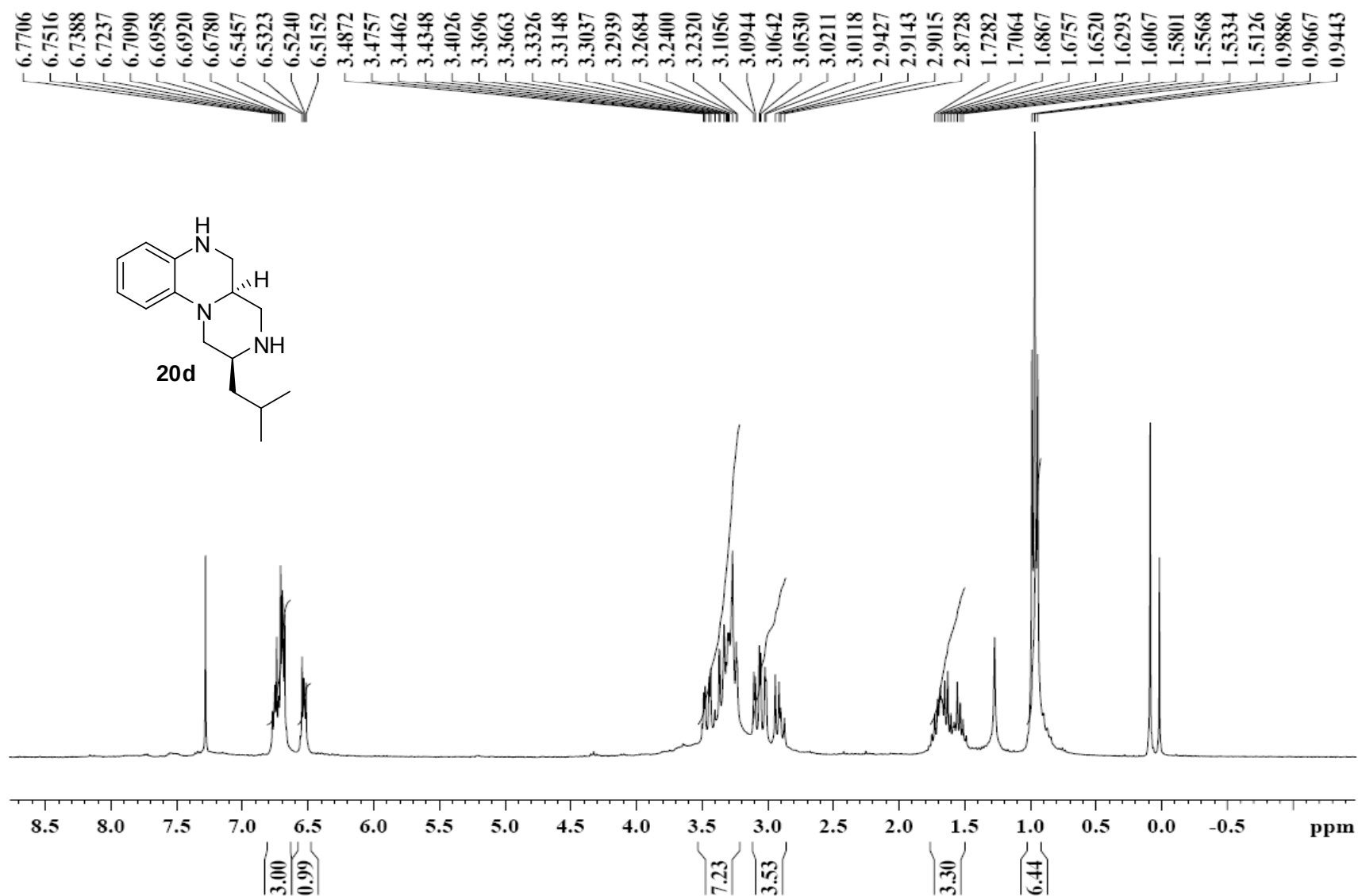
FigureS-83: ^{13}C spectrum (75MHz, CDCl_3) **20b**



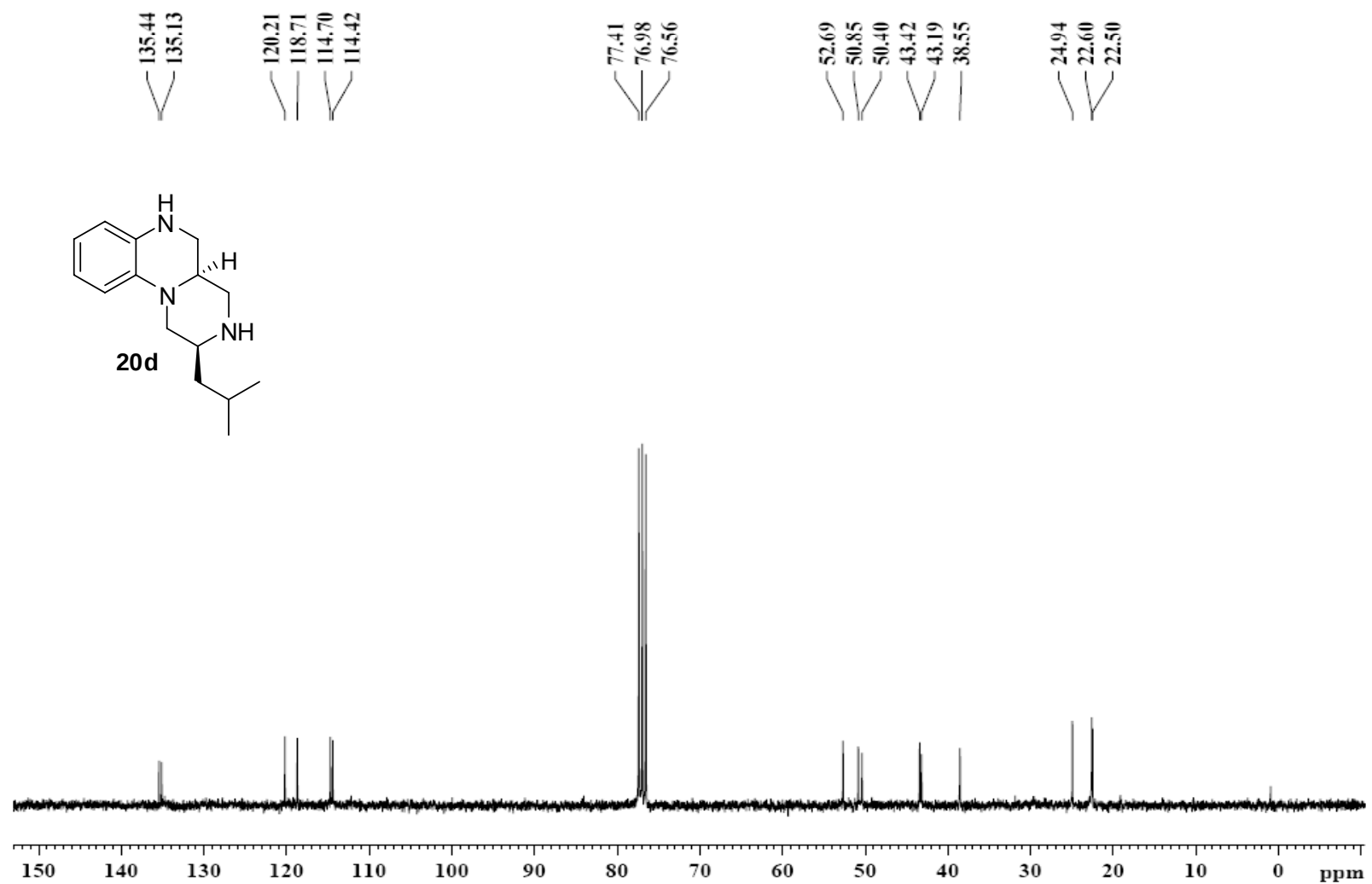
FigureS-84: ¹H spectrum(300 MHz, CDCl₃) of **20c**



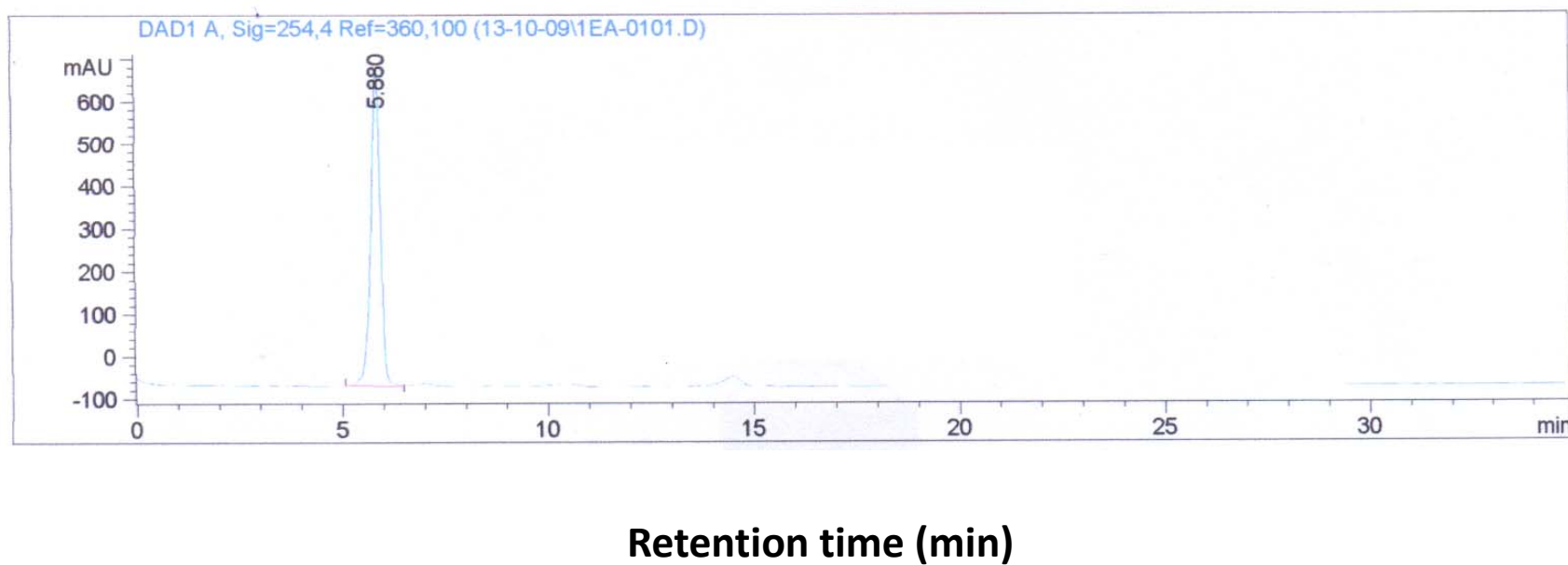
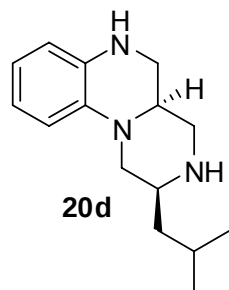
FigureS-85: ^{13}C spectrum (75MHz, CDCl_3) **20c**



FigureS-86: ¹H spectrum(300 MHz, CDCl₃) of **20d**



FigureS-87: ¹³C spectrum (75MHz, CDCl₃) **20d**



FigureS-88: HPLC graph for **20d**

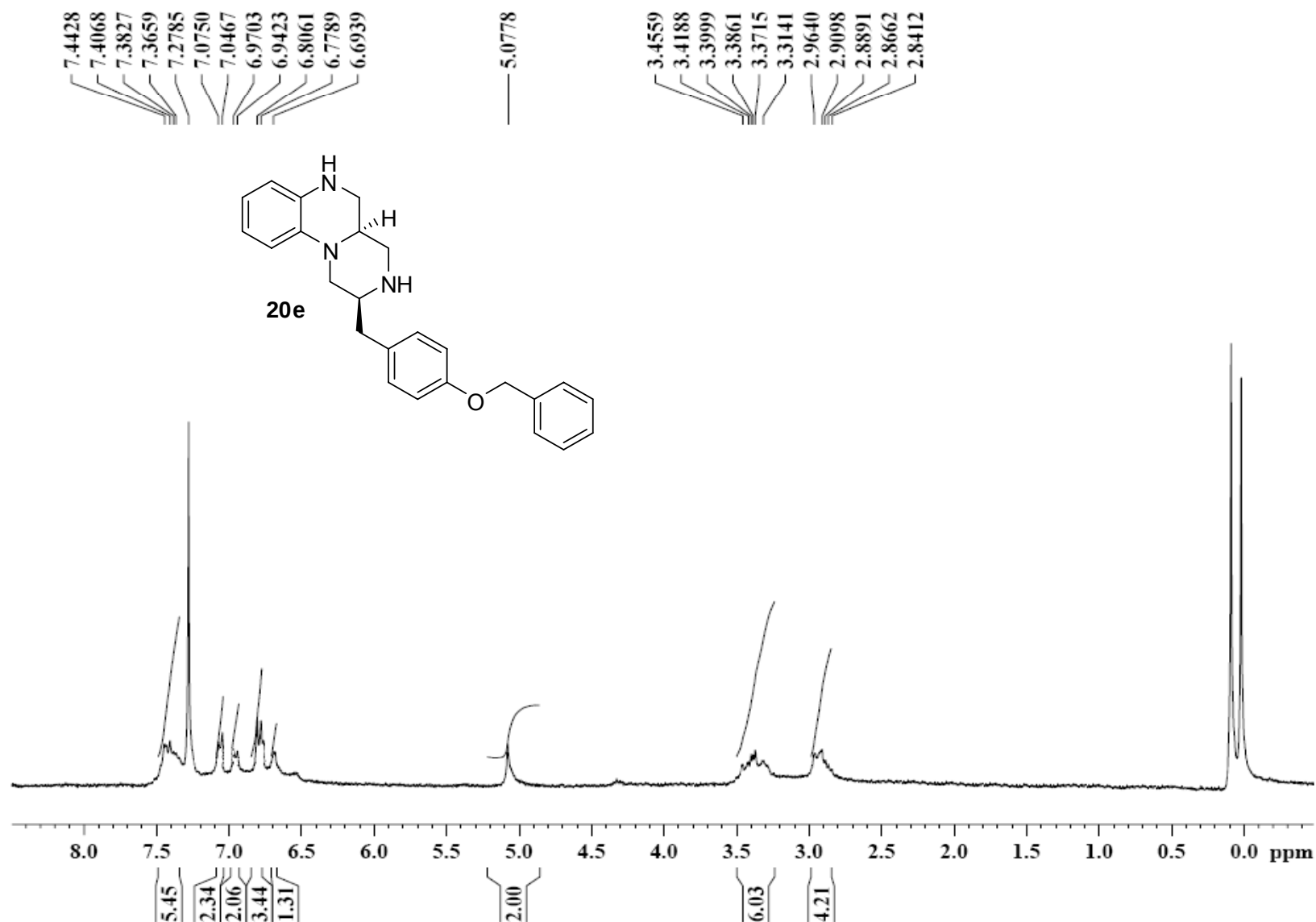


Figure S-89: ¹H spectrum(300 MHz, CDCl₃) of **20e**

Characterization Data of Selected Examples not Mentioned in the Text of the Manuscript

(S)-Methyl 3-Hydroxy-2-(2-nitrophenylamino)propanoate (3b): yellow oil; yield, 77%; R_f , 0.45 (6.5/3.5, hexane/ethylacetate); $[\alpha]_D^{30} = -17.1$ (c 0.10, MeOH), HPLC analysis: ee > 99 ($t_R = 5.2$ min, CH₃CN/H₂O); IR (neat, cm⁻¹): 3538, 3378, 2950, 2366, 1735, 1620, 1574, 1430, 1153. ¹H NMR (300 MHz, CDCl₃) δ 8.55 (d, 1H, $J = 7.3$), 8.17 (dd, 1H, $J_1 = 1.5$, $J_2 = 8.6$), 7.46-7.40 (m, 1H), 6.78-6.69 (m, 2H), 4.40-4.34 (m, 1H), 4.07 (bs, 2H), 3.82 (s, 3H), 2.78 (bs, 1H). MS (ESI): m/z 241[M+H]⁺.

(S)-Methyl 3-(tert-Butyldimethylsilyloxy)-2-(2-nitrophenyl amino)propanoate (4b): To a stirred solution of **3b** (2.39 g, 9.95 mmol) in anhydrous DCM (15 mL) were added TBDMSiCl (2.039 g, 11.94 mmol) and imidazole (1.22 g, 14.92 mmol) at 0 °C and stirred for 30 min. It was diluted with water and aqueous layer was extracted with DCM. Removal of solvent and column chromatography on silica gel with AcOEt-hexane (1.0:9.0) as eluent to furnish **4b** (2.92 g, 83%) as yellow oil. R_f , 0.51 (9.5/0.5), hexane/ethylacetate); IR (neat, cm⁻¹): 3371, 2977, 2373, 1738, 1618, 1574, 1442, 763. ¹H NMR (300 MHz, CDCl₃) δ 8.59 (d, 1H, $J = 7.9$), 8.20 (dd, 1H, $J_1 = 1.7$, $J_2 = 9.1$), 7.43-7.38 (m, 1H), 6.73-6.67 (m, 2H), 4.32-4.27 (m, 1H), 4.17 (dd, 1H, $J_1 = 3.5$, $J_2 = 9.8$), 4.03 (dd, 1H, $J_1 = 3.9$, $J_2 = 9.9$), 3.77 (s, 3H), 0.91 (s, 9H), 0.10-0.07 (m, 6H). MS (ESI): m/z 355[M+H]⁺.

(S)-Methyl 2-(N-((R)-3-(tert-Butyldimethylsilyloxy)-2-(2-nitrophenylamino)propyl)-4-methylphenylsulfonamido)-3-methylbutanoate 8c: yellow oil, yield, 83 %; R_f , 0.51 (8.5/olour/1.5, hexane/ethylacetate); IR (neat, cm⁻¹): 3366, 2957, 1740, 1615, 1510, 1154, 761. ¹H NMR (300 MHz, CDCl₃) δ 8.30 (d, 1H, $J = 8.5$), 8.15 (dd, 1H, $J_1 = 1.6$, $J_2 = 8.6$), 7.65-7.61 (m, 2H), 7.51-7.42 (m, 1H), 7.26-7.15 (m, 3H), 6.68-6.60 (m, 1H), 4.33 (bs, 1H), 4.03-3.98 (m, 2H), 3.77-3.70 (m, 2H), 3.33 (s, 3H), 3.23-3.13 (m, 1H), 2.36 (s, 3H), 2.24-2.05 (m, 1H), 1.10 (d, 3H, $J = 6.4$), 0.94 (s, 9H), 0.86 (d, 3H, $J = 6.6$), 0.12-0.07 (m, 6H). ¹³C NMR (75 MHz, CDCl₃) δ 170.2, 144.4, 143.5, 136.0, 135.4, 132.4, 129.3, 129.2, 127.8, 127.5, 127.1, 115.3, 114.5, 66.6, 61.2, 53.2, 51.2, 45.0, 28.5, 25.9, 21.6, 20.9, 19.1, 18.2, -5.4, -5.6. MS (ESI): m/z 594 [M+H]⁺, 616 [M+Na]⁺. Anal. Calcd. (%) for C₂₈H₄₃N₃O₇SSi; C, 56.63; H, 7.30; N, 7.08; Found: C, 56.78; H, 7.34; N, 7.18.

(S)-Methyl 2-(N-((R)-3-(tert-Butyldimethylsilyloxy)-2-(2-nitrophenylamino)propyl)-4-methylphenylsulfonamido)-4-methylpentanoate 8d: yellow oil. yield, 76 %; R_f , 0.51 (8.8.0/1.2, hexane/ethylacetate); IR (neat, cm^{-1}): 3371, 2930, 2362, 1664, 1599, 1156, 771. ^1H NMR (300 MHz, CDCl_3) δ 8.19 (dd, 1H, $J_1 = 1.2$, $J_2 = 8.6$), 8.10-8.05 (m, 1H), 7.69-7.66 (m, 2H), 7.52-7.48 (m, 1H), 7.31-7.23 (m, 3H), 6.70-6.65 (m, 1H), 4.47-4.43 (m, 1H), 4.29 (bs, 1H), 4.07-4.04 (m, 1H), 3.78-3.73 (m, 1H), 3.41 (s, 3H), 3.29-3.23 (m, 1H), 2.42 (s, 3H), 1.83-1.75 (m, 1H), 1.65-1.58 (m, 2H), 0.95 (s, 15H), 0.13-0.11 (m, 6H), ^{13}C NMR (75 MHz, CDCl_3) δ 171.0, 144.4, 143.5, 136.0, 135.7, 132.4, 129.4, 127.8, 127.2, 115.5, 114.6, 61.2, 59.3, 53.3, 51.8, 45.9, 39.4, 26.1, 24.9, 22.5, 22.4, 21.6, 18.3, -5.16, -5.37. MS (ESI): m/z 608[M+H], 630 [M+Na] $^+$. Anal. Calcd. (%) for $\text{C}_{29}\text{H}_{45}\text{N}_3\text{O}_7\text{SSi}$; C, 57.30; H, 7.46; N, 6.91; Found: C, 57.39; H, 7.54; N, 7.12.

(S)-Methyl 3-(4-(benzyloxy)phenyl)-2-(N-((R)-3-(tert-butyl dimethylsilyloxy)-2-(2-nitrophenylamino)propyl)-4-methyl phenylsulfonamido)propanoate 8e: yellow oil. yield, 77 %; R_f , 0.52 (8.0.0/2.0, hexane/ethylacetate); IR (neat, cm^{-1}): 3363, 2951, 1741, 1616, 1511, 1217, 761. ^1H NMR (300 MHz, CDCl_3) δ 8.35 (d, 1H, $J = 8.7$), 8.19 (dd, 1H, $J_1 = 1.3$, $J_2 = 8.6$), 7.68-7.66 (m, 2H), 7.52-7.47 (m, 1H), 7.42-7.21 (m, 8H), 7.09-7.06 (m, 2H), 6.88-6.85 (m, 2H), 6.70-6.65 (m, 1H), 5.03 (s, 2H), 4.63-4.58 (m, 1H), 4.28 (bs, 1H), 4.14-4.11 (m, 1H), 3.76 (dd, 1H, $J_1 = 3.1$, $J_2 = 10.4$), 3.66-3.58 (m, 1H), 3.34-3.21 (m, 5H), 3.00-2.94 (m, 1H), 2.41 (s, 3H), 0.97 (s, 9H), 0.14-0.07 (m, 6H). ^{13}C NMR (75 MHz, CDCl_3) 170.2, 157.9, 144.4, 143.6, 137.0, 136.0, 135.7, 132.5, 130.2, 129.4, 128.5, 128.3, 127.9, 127.4, 127.1, 115.4, 115.0, 114.5, 69.9, 62.5, 61.1, 53.3, 51.5, 45.4, 36.4, 26.0, 21.5, 18.3, -5.4, -5.5. MS (ESI): m/z 748[M+H]. Anal. Calcd. (%) for $\text{C}_{39}\text{H}_{49}\text{N}_3\text{O}_8\text{SSi}$; C, 62.62; H, 6.60; N, 5.62; Found: C, 62.67; H, 6.75; N, 5.51.

.(S)-Methyl 2-(N-((R)-3-hydroxy-2-(2-(4-methylphenylsulfon amido)phenylamino)propyl)-4-methylphenylsulfonamido)-3-methylbutanoate 12c. Same for **12a**. Brown oil. yield, 47%); R_f = 0.45 (9.8.colour/0.2, CHCl_3 :MeOH). IR (neat, cm^{-1}): 3515, 3403, 2929, 1738, 1329, 1156, 755. ^1H NMR (300 MHz, CDCl_3) δ 7.68-7.64 (m, 4H), 7.25-7.16 (m, 4H), 7.04-6.99 (m, 1H), 6.90 (bs, 1H), 6.65-6.63 (m, 1H), 6.51 (d, 1H, J = 8.0), 6.46-6.41 (m, 2H), 5.09-5.07 (m, 1H), 4.20-4.01 (m, 2H), 3.82 (bs, 1H), 3.69-3.62 (m, 2H), 3.52-3.49 (m, 1H), 3.47 (s, 3H), 2.75 (bs, 1H), 2.41 (s, 3H), 2.36 (s, 3H), 2.17-2.09 (m, 1H), 0.88 (d, 3H, J = 6.5), 0.85 (d, 3H, J = 6.5). ^{13}C NMR (75 MHz, CDCl_3) δ 171.5, 144.0, 143.34, 143.26, 136.9, 136.8, 129.4, 128.9, 128.8, 127.8, 127.5, 121.1, 116.6, 111.6, 66.2, 60.8, 53.1, 51.6, 45.8, 28.8, 21.6, 21.5, 19.8, 19.6. MS (ESI): m/z 604[M+H], 626 [M+Na] $^+$. (%) Anal. Calcd. for $\text{C}_{29}\text{H}_{37}\text{N}_3\text{O}_7\text{S}_2$; C, 57.69; H, 6.18; N, 6.96; Found: C, 57.75; H, 6.24; N, 7.18.

(S)-Methyl2-(N-((R)-3-hydroxy-2-(2-(4-methylphenylsulfon amido) phenylamino)propyl)-4-methylphenylsulfonamido)-4-methylpentanoate 12d: Same procedure like for **12a**. Brown oil. yield, 44% (in three steps); R_f = 0.50 (9.7.colour/0.3, CHCl_3 :MeOH). IR (neat, cm^{-1}): 3514, 3401, 2928, 1741, 1331, 1153, 761. ^1H NMR (300 MHz, CDCl_3) δ 7.67-7.63 (m, 4H), 7.28-7.18 (m, 5H), 7.08-7.03 (m, 1H), 6.69-6.57 (m, 3H), 6.50-6.45 (m, 1H), 5.04 (bs, 1H), 4.57-4.52 (m, 1H), 3.77 (bs, 1H), 3.66-3.61 (m, 2H), 3.54 (s, 3H), 3.43-3.36 (m, 2H), 2.43 (s, 3H), 2.38 (s, 3H), 1.73-1.63 (m, 1H), 1.60-1.52 (m, 2H), 0.90-0.86 (m, 6H). ^{13}C NMR (75 MHz, CDCl_3) δ 172.4, 144.1, 143.5, 143.4, 136.9, 136.6, 129.5, 129.4, 129.0, 127.8, 127.4, 121.1, 116.8, 111.9, 60.6, 58.4, 53.3, 52.3, 45.8, 39.0, 24.7, 22.8, 21.8, 21.7, 21.6. MS (ESI): m/z 618[M+H], 640 [M+Na] $^+$. (%) Anal. Calcd. for $\text{C}_{30}\text{H}_{39}\text{N}_3\text{O}_7\text{S}_2$; C, 58.33; H, 6.36; N, 6.80; Found: C, 58.49; H, 6.48; N, 6.88.

(S)-Methyl 3-(4-(benzyloxy)phenyl)-2-(N-((R)-3-hydroxy-2-(2-(4-methylphenylsulfonamido)phenylamino)propyl)-4-methylphenylsulfonamido)propanoate 12e: Same procedure like for **12a**. Brown oil. yield, 43% (in three steps); R_f = 0.50 (9.6.colour/0.4, CHCl_3 :MeOH). IR (neat, cm^{-1}): 3516, 3404, 2931, 1738, 1328, 1156, 760. ^1H NMR (300 MHz, CDCl_3) δ 7.68-7.61 (m, 4H), 7.44-7.33 (m, 6H), 7.28-7.19 (m, 4H), 7.12-7.07 (m, 1H), 7.02-6.99 (m, 2H), 6.83-6.80 (m, 2H), 6.65-6.62 (m, 2H), 6.52-6.47 (m, 1H), 5.01 (s, 2H), 4.98-4.96 (m, 1H), 4.73-4.69 (m, 1H), 4.17-4.10 (m, 1H), 3.72 (bs, 1H), 3.66 (s, 2H), 3.49 (s, 3H), 3.27-3.20 (m, 1H), 2.85-2.78 (m, 1H), 2.41 (s, 3H), 2.39 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3) δ 171.3, 157.7, 144.2, 143.8, 136.9, 136.4, 136.3, 130.0, 129.5, 129.4, 129.1, 128.9, 128.5, 128.4, 127.9, 127.7, 127.4, 121.0, 116.9, 114.9, 112.2, 69.9, 61.7, 60.8, 53.5, 52.2, 46.1, 35.2, 21.5. MS (ESI): m/z 758[M+H] $^+$. (%) Anal. Calcd. for $\text{C}_{40}\text{H}_{43}\text{N}_3\text{O}_8\text{S}_2$; C, 63.39; H, 5.72; N, 5.54; Found: C, 63.48; H, 5.79; N, 5.63.

(S)-Methyl-4-Methyl-2-(4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)phenylsulfonamido) pentanoate 14d: Same procedure like for **13a**. Colorless oil. yield, 78% ; R_f = 0.51 (6.5.colour/3.5, hexane:ethylacetate). IR (neat, cm^{-1}): 3397, 3024, 2959, 1740, 1161, 760. ^1H NMR (300 MHz, CDCl_3) δ 7.61-7.57 (m, 3H), 7.51-7.48 (m, 2H), 7.29-7.21 (m, 4H), 6.98-6.92 (m, 1H), 6.68-6.62 (m, 1H), 6.48 (dd, 1H, J_1 = 1.1, J_2 = 8.0), 5.04 (bs, 1H), 4.45-4.40 (m, 1H), 4.23-4.18 (m, 1H), 3.50 (s, 3H), 3.31-3.22 (m, 2H), 3.08-2.96 (m, 2H), 2.45 (s, 3H), 2.40 (s, 3H), 1.60-1.56 (m, 3H), 0.96-0.92 (m, 6H). ^{13}C NMR (75 MHz, CDCl_3) δ 171.7, 143.7, 143.4, 137.5, 136.8, 136.0, 129.7, 129.6, 127.7, 127.4, 126.4, 125.0, 121.7, 117.1, 115.0, 58.5, 52.1, 49.1, 48.7, 47.2, 39.7, 24.8, 22.6, 22.0, 21.7, 21.6. MS (ESI): m/z 600 $[\text{M}+\text{H}]^+$. Anal. Calcd.(%) for $\text{C}_{30}\text{H}_{37}\text{N}_3\text{O}_6\text{S}_2$; C, 60.08; H, 6.22; N, 7.01. Found: C, 60.24; H, 6.28; N, 7.23.

(S)-Methyl 3-(4-(Benzyloxy)phenyl)-2-(4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)phenylsulfon amido)propanoate 14e: Same procedure like for **13a**. colorless oil. yield, 76% ; R_f = 0.51 (6.0.colour/4.0, hexane:ethylacetate). IR (neat, cm^{-1}): 3398, 3023, 2961, 1741, 1162, 763. ^1H NMR (300 MHz, CDCl_3) δ 7.67 (dd, 1H, J_1 = 1.2, J_2 = 8.2), 7.58-7.56 (m, 2H), 7.49-7.34 (m, 7H), 7.27-7.24 (m, 2H), 7.16-7.13 (m, 2H), 7.02-6.97 (m, 3H), 6.91-6.88 (m, 2H), 6.72-6.66 (m, 1H), 6.45 (dd, 1H, J_1 = 1.2, J_2 = 8.1), 5.07 (s, 2H), 4.87 (bs, 1H), 4.60-4.55 (m, 1H), 4.19-4.14 (m, 1H), 3.41 (s, 3H), 3.34-3.26 (m, 1H), 3.12-3.09 (m, 1H), 3.03-2.89 (m, 2H), 2.64 (dd, 1H, J_1 = 6.4, J_2 = 13.6), 2.42 (s, 3H), 2.23 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3) δ 170.8, 157.9, 144.1, 143.8, 137.3, 136.9, 136.4, 135.7, 130.1, 129.8, 129.6, 128.6, 128.0, 127.9, 127.55, 127.48, 127.3, 126.5, 125.4, 121.4, 117.0, 115.1, 114.9, 70.0, 61.8, 52.1, 48.9, 47.8, 46.9, 36.8, 21.5, 21.4. MS (ESI): m/z 740 $[\text{M}+\text{H}]^+$. Anal. Calcd.(%) for $\text{C}_{40}\text{H}_{41}\text{N}_3\text{O}_7\text{S}_2$; C, 64.93; H, 5.59; N, 5.68. Found: C, 64.80; H, 5.68; N, 5.78.

N-((S)-1-Hydroxy-3-methylbutan-2-yl)-4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)benzene sulfonamide 16c: Same procedure like for **5**. colorless oil. yield, 82% ; R_f = 0.51 (6.0. colour/4.0, hexane:ethylacetate). IR (Neat, cm^{-1}): 3517, 3401, 2959, 1498, 1156, 760. ^1H NMR (300 MHz, CDCl_3) δ 7.61-7.53 (m, 5H), 7.27-7.25 (m, 4H), 6.98-6.93 (m, 1H), 6.70-6.64 (m, 1H), 6.45 (dd, 1H, $J_1 = 1.1$, $J_2 = 8.0$), 5.15-5.13 (bs, 1H), 4.14-4.08 (m, 1H), 3.73-3.67 (m, 1H), 3.44-3.41 (m, 3H), 3.38-3.32 (m, 1H), 3.19-3.12 (m, 1H), 2.89-2.81 (m, 1H), 2.43 (s, 3H), 2.39 (s, 3H), 1.63-1.56 (m, 1H), 0.88 (d, 3H, $J = 6.5$), 0.56 (d, 3H, $J = 6.6$). ^{13}C NMR (75 MHz, CDCl_3) δ 143.8, 143.7, 137.2, 137.1, 136.5, 129.8, 129.6, 127.3, 127.2, 126.3, 124.7, 122.0, 117.2, 115.2, 66.5, 61.6, 47.9, 47.5, 46.9, 28.3, 21.55, 21.50, 20.4, 20.3. MS (ESI): m/z 558 $[\text{M}+\text{H}]^+$. Anal. Calcd.(%) for $\text{C}_{28}\text{H}_{35}\text{N}_3\text{O}_5\text{S}_2$; C, 60.30; H, 6.33; N, 7.53. Found: C, 60.47; H, 6.47; N, 7.69.

N-((S)-1-Hydroxy-4-methylpentan-2-yl)-4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)benzene sulfonamide 16d: Same procedure like for **5**. colorless oil. yield, 88% ; R_f = 0.57 (6.0. colour/4.0, hexane:ethylacetate). IR (Neat, cm^{-1}): 3518, 3402, 2961, 1496, 1159, 763. ^1H NMR (300 MHz, CDCl_3) δ 7.65-7.62 (m, 2H), 7.56-7.49 (m, 3H), 7.30-7.23 (m, 4H), 6.94-6.89 (m, 1H), 6.65-6.60 (m, 1H), 6.50-6.47 (m, 1H), 4.24-4.17 (m, 1H), 4.09 (dd, 1H, $J_1 = 3.1$, $J_2 = 13.6$), 3.81-3.74 (m, 1H), 3.59-3.50 (m, 2H), 3.32-3.18 (m, 3H), 2.81 (dd, 1H, $J_1 = 10.0$, $J_2 = 14.7$), 2.45 (s, 3H), 2.39 (s, 3H), 1.77 (bs, 1H), 1.16-1.06 (m, 1H), 0.91-0.79 (m, 2H), 0.76-0.74 (m, 6H). ^{13}C NMR (75 MHz, CDCl_3) δ 143.5, 137.2, 137.1, 136.9, 129.8, 129.7, 129.6, 127.5, 127.3, 126.3, 124.5, 117.4, 115.4, 58.6, 48.1, 47.3, 47.0, 38.2, 25.2, 23.3, 22.2, 21.64, 21.60. MS (ESI): m/z 572 $[\text{M}+\text{H}]^+$. Anal. Calcd.(%) for $\text{C}_{29}\text{H}_{37}\text{N}_3\text{O}_5\text{S}_2$; C, 60.92; H, 6.52; N, 7.35. Found: C, 60.95; H, 6.68; N, 7.52.

N-((S)-1-(4-(Benzyloxy)phenyl)-3-hydroxypropan-2-yl)-4-methyl-N-(((R)-4-tosyl-1,2,3,4-tetrahydroquinoxalin-2-yl)methyl)benzenesulfonamide 16e: Same procedure like for **5**. colorless oil. yield, 85%; R_f = 0.45 (6.0. colour/4.0, hexane:ethylacetate); IR (neat, cm^{-1}): 3519, 3396, 2956, 1496, 1158, 761. ^1H NMR (300 MHz, CDCl_3) δ 7.62-7.56 (m, 5H), 7.46-7.34 (m, 4H), 7.31-7.24 (m, 5H), 7.00-6.95 (m, 1H), 6.90-6.83 (m, 4H), 6.72-6.67 (m, 1H), 6.51 (dd, 1H, $J_1 = 1.2$, $J_2 = 8.0$), 5.04 (s, 2H), 4.26-4.11 (m, 3H), 3.95-3.88 (m, 1H), 3.59-3.52 (m, 2H), 3.38-3.29 (m, 2H), 3.19 (s, 1H), 2.94 (dd, 1H, $J_1 = 10.1$, $J_2 = 14.6$), 2.44 (s, 3H), 2.34 (s, 3H). ^{13}C NMR (75 MHz, CDCl_3) δ 157.5, 143.8, 143.7, 137.2, 136.8, 136.5, 136.3, 129.9, 129.8, 129.7, 129.4, 128.5, 127.9, 127.3, 127.2, 127.1, 126.3, 124.5, 122.0, 117.1, 115.2, 114.9, 69.9, 62.1, 62.0, 61.1, 47.8, 47.3, 46.8, 21.42, 21.40. MS (ESI): m/z 712 $[\text{M}+\text{H}]^+$. Anal. Calcd.(%) for $\text{C}_{39}\text{H}_{41}\text{N}_3\text{O}_6\text{S}_2$; C, 65.80; H, 5.81; N, 5.90. Found: C, 65.96; H, 5.87; N, 5.97.

(2S,4aR)-2-iso-Propyl-3,6-ditosyl-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline 18c: Same procedure like for **17a**. light brown oil. yield, 77% ; $[\alpha]_D^{30} = +34.8$ (c 0.13, MeOH), HPLC analysis: ee > 99 ($t_R = 14.0$ min, CH₃CN/H₂O); $R_f = 0.56$ (7.5.colour/2.5, hexane:ethylacetate). IR (neat, cm⁻¹): 3431, 3025, 2966, 1497, 1345, 1161, 758. ¹H NMR (300 MHz, CDCl₃) δ 7.68-7.65 (m, 2H), 7.53 (dd, 1H, $J_1 = 1.4$, $J_2 = 8.0$), 7.34-7.27 (m, 4H), 7.15-7.12 (m, 2H), 7.07-7.02 (m, 1H), 6.76-6.71 (m, 1H), 6.57 (d, 1H, $J = 8.1$), 4.10-4.04 (m, 1H) , 3.64-3.57 (m, 2H), 3.44-3.41 (m, 1H), 3.16 (dd, 1H, $J_1 = 9.4$, $J_2 = 14.2$), 2.65-2.52 (m, 2H), 2.46 (s, 3H), 2.39 (s, 3H), 2.25 (dd, 1H, $J_1 = 3.4$, $J_2 = 13.0$), 1.91-1.80 (m, 1H), 0.93 (d, 3H, $J = 6.5$), 0.73 (d, 3H, $J = 6.8$). ¹³C NMR (75 MHz, CDCl₃) δ 143.5, 143.0, 140.3, 138.6, 136.2, 129.7, 129.4, 127.3, 127.23, 127.19, 126.9, 124.8, 118.3, 112.5, 59.5, 51.6, 46.9, 46.7, 43.8, 25.5, 21.6, 21.5, 20.4, 19.6. MS (ESI): m/z 540 [M+H]⁺, 562 [M+Na]⁺. Anal. Calcd.(%) for C₂₈H₃₃N₃O₄S₂ ; C, 62.31; H, 6.16; N, 7.79; Found: C, 62.48; H, 6.28; N, 7.85.

(2S,4aR)-2-iso-Butyl-3,6-ditosyl-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline 18d: Same procedure like for **17a**. light brown oil. yield, 81% ; $[\alpha]_D^{30} = +67.6$ (c 0.15, MeOH), HPLC analysis: ee > 99 ($t_R = 14.6$ min, CH₃CN/H₂O); $R_f = 0.53$ (8.5.colour/1.5, hexane:ethylacetate). IR (neat, cm⁻¹): 3431, 3025, 2928, 1342, 1162, 760. ¹H NMR (300 MHz, CDCl₃ + CCl₄) δ 7.67-7.64 (m, 1H), 7.54 (dd, 1H, $J_1 = 1.1$, $J_2 = 8.0$), 7.36-7.30 (m, 4H), 7.17-7.15 (m, 2H), 7.07-7.02 (m, 1H), 6.76-6.71 (m, 1H), 6.54 (dd, 1H, $J = 8.2$), 4.16 (dd, 1H, $J_1 = 3.9$, $J_2 = 14.5$), 3.94 (bs, 1H), 3.56-3.52 (m, 1H), 3.44-3.40 (m, 1H), 3.17-3.09 (m, 1H), 2.65-2.53 (m, 2H), 2.47 (s, 3H), 2.39 (s, 3H), 1.52-1.42 (m, 1H), 1.38-1.19 (m, 2H), 0.87-0.82 (m, 6H). ¹³C NMR (75 MHz, CDCl₃) δ 143.6, 143.2, 140.1, 138.0, 136.1, 129.7, 129.4, 127.4, 127.3, 127.0, 124.6, 118.2, 112.6, 51.5, 51.4, 49.2, 46.9, 43.1, 37.5, 25.2, 22.8, 22.5, 21.6, 21.5. MS (ESI): m/z 554 [M+H]⁺, 576 [M+Na]⁺. Anal. Calcd.(%) for C₂₉H₃₅N₃O₄S₂ ; C, 62.90; H, 6.37; N, 7.59; Found: C, 62.97; H, 6.52; N, 7.72.

(2S,4aR)-2-(4-(Benzyloxy)benzyl)-3,6-ditosyl-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline 18e: Same procedure like for **17a**. light brown oil. yield, 80% ; $[\alpha]_D^{30} = -52.1$ (c 0.14, MeOH), HPLC analysis: ee > 99 ($t_R = 16.3$ min, CH₃CN/H₂O); $R_f = 0.53$ (7.5.colour/2.5, hexane:ethylacetate). IR (neat, cm⁻¹): 3488, 2925, 1606, 1161, 756. ¹H NMR (300 MHz, CDCl₃) δ 7.66-7.62 (m, 3H), 7.48-7.29 (m, 9H), 7.17-7.15 (m, 2H), 7.10-7.05 (m, 1H), 7.00-6.90 (m, 4H), 6.84-6.78 (m, 1H), 6.49 (d, 1H, $J = 8.0$), 5.08 (s, 2H), 4.23-4.11 (m, 2H), 3.62-3.57 (m, 1H), 3.42-3.29 (m, 2H), 2.81-2.56 (m, 3H), 2.51-2.49 (m, 1H), 2.45 (s, 3H), 2.33 (s, 3H), 2.24-2.19 (m, 1H). ¹³C NMR (75 MHz, CDCl₃) δ 157.6, 143.9, 143.5, 139.9, 137.2, 136.8, 135.7, 130.2, 129.8, 129.4, 128.5, 127.9, 127.4, 127.2, 127.0, 126.8, 124.6, 118.5, 114.9, 113.0, 69.9, 54.9, 51.9, 47.4, 46.7, 43.3, 21.5, 21.4. MS (ESI): m/z 694 [M+H]⁺, 716 [M+Na]⁺. Anal. Calcd.(%) for C₃₉H₃₉N₃O₅S₂ ; C, 67.51; H, 5.67; N, 6.06; Found: C, 67.65; H, 5.78; N, 6.17.

(2S,4aR)-2-Methyl-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline (20b): light brown oil. yield, 65% ; R_f , 0.51 (8.8/1.2, chloroform/methanol); IR (neat, cm^{-1}): 3370, 2925, 2855, 1690, 1507, 1268, 747. ^1H NMR (300 MHz, CDCl_3) δ 6.71-6.70 (m, 3H), 6.55-6.53 (m, 1H), 4.19 (bs, 1H), 3.88 (bs, 1H), 3.67-3.63 (m, 2H), 3.35-3.34 (m, 2H), 3.13-3.09 (m, 2H), 2.94-2.88 (m, 1H), 1.55 (d, 3H, $J = 6.6$). ^{13}C NMR (75 MHz, CDCl_3) δ 134.8, 133.9, 119.5, 119.3, 115.2, 114.8, 61.5, 53.4, 51.2, 48.4, 44.5, 14.7. MS (ESI): m/z 204 $[\text{M}+\text{H}]^+$, Anal. Calcd.(%) for $\text{C}_{12}\text{H}_{17}\text{N}_3$; C, 70.90; H, 8.43; N, 20.67; Found: C, 70.96; H, 8.51; N, 20.61.

(2S,4aR)-2-iso-Propyl-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline (20c): light brown oil. yield, 64%; R_f , 0.52 (9.0/1.0, chloroform/methanol); IR (neat, cm^{-1}): 3366, 2954, 2860, 2362, 1505, 1264, 742. ^1H NMR (300 MHz, CDCl_3) δ 6.81-6.78 (m, 1H), 6.70-6.67 (m, 2H), 6.54-6.51 (m, 1H), 3.56 (dd, 1H, $J_1 = 4.3$, $J_2 = 12.0$), 3.41-3.34 (m, 1H), 3.23-3.14 (m, 2H), 2.98-2.91 (m, 2H), 2.86-2.79 (m, 1H), 2.62-2.57 (m, 1H), 2.17-2.05 (m, 1H), 1.00-0.98 (m, 6H). ^{13}C NMR (75 MHz, CDCl_3) δ 135.9, 135.8, 120.2, 118.4, 115.2, 114.5, 59.8, 53.3, 50.0, 44.8, 43.2, 26.6, 20.3, 19.3. MS (ESI): m/z 232 $[\text{M}+\text{H}]^+$, Anal. Calcd.(%) for $\text{C}_{14}\text{H}_{21}\text{N}_3$; C, 72.69; H, 9.15; N, 18.16; Found: C, 72.62; H, 9.23; N, 18.12.

(2S,4aR)-2-iso-Butyl-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline (20d): light brown oil. yield, 68% ; $[\alpha]_D^{30} = +264.1$ (c 0.10, MeOH), HPLC analysis: ee > 99 ($t_R = 5.9$ min, $\text{CH}_3\text{CN}/\text{H}_2\text{O}$); R_f , 0.54 (9.0/1.0, chloroform/methanol); IR (neat, cm^{-1}): 3455, 3022, 2364, 1638, 1216, 768. ^1H NMR (300 MHz, CDCl_3) δ 6.77-6.67 (m, 3H), 6.54-6.51 (m, 1H), 3.48-3.23 (m, 7H), 3.10-2.87 (m, 3H), 1.72-1.51 (m, 3H), 0.98-0.94 (m, 6H). ^{13}C NMR (75 MHz, CDCl_3) δ 135.4, 135.1, 120.2, 118.7, 114.7, 114.4, 52.7, 50.8, 50.4, 43.4, 43.2, 38.5, 24.9, 22.6, 22.5. MS (ESI): m/z 246 $[\text{M}+\text{H}]^+$, Anal. Calcd.(%) for $\text{C}_{15}\text{H}_{23}\text{N}_3$; C, 73.43; H, 9.45; N, 17.13; Found: C, 73.48; H, 9.48; N, 17.19.

(2S,4aR)-2-(4-(Benzyloxy)benzyl)-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline (20e): light brown oil. yield, 64% ; R_f , 0.51 (9.2/0.8, chloroform/methanol); IR (neat, cm^{-1}): 3272, 2925, 2826, 1676, 1503, 1223, 767. ^1H NMR (300 MHz, CDCl_3) δ 7.44-7.36 (m, 5H), 7.07-7.04 (m, 2H), 6.97-6.94 (m, 2H), 6.80-6.77 (m, 3H), 6.69 (s, 1H), 5.07 (s, 2H), 3.45-3.31 (m, 6H), 2.96-2.84 (m, 4H). MS (ESI): m/z 386 $[\text{M}+\text{H}]^+$, Anal. Calcd.(%) for $\text{C}_{25}\text{H}_{27}\text{N}_3\text{O}$; C, 77.89; H, 7.06; N, 10.90; Found: C, 77.94; H, 7.00; N, 10.96.