REFERENCES

1) Zamecnik, P. C., and Stephenson, M. L. Inhibition of Rous Sarcoma Virus Replication and Cell Transformation. Proc. Natl. Acad. Sci. USA. 75 (1978) : 280-284.

2) Uhlman, E., and Peyman, A. Antisense Oligonucleotide: A New Therapeutic Principle. Chem. Rev. 90 (1990) : 543-584.

3) Toulme, J. J., and Helene, C. Antimessenger Oligonucleotides: An Alternative to Antisense RNA for Artificial Regulation of Gene Expression: A Review. Gene (1988) : 51-58.

4) Weitraub, H. M. Antisense RNA and DNA. Scientific American (1990) : 34-40.

5) Zain, R., and Stawinski, J. Nucleoside and H-Phosphonates Synthetic and ^{31}P NMR Studies on the Preparation of Dinucleoside H-Phosphonate. J. Org. Chem. 61 (1996) : 6617-6622.

6) Thomson, J. B., Patel, B. K., Jimienez, V., Eckart, K., and Eckstein, F. Synthesis and Properties of Diuridine Phosphate Analogues Containing Thio and Amino Modification. J. Org. Chem. 61 (1996) : 6273-6281.

7) Mesmaeker, A. D., Altman, K. H., Waldner, A., and Wendeborn, S. Backbone Modifications in Oligonucleotides and Peptide Nucleic Acid systems Curr. Opin. Struc. Biol. 5 (1995) : 343-355.

8) Matt, P. V., Mesmaeker, A. D., Pieles, U., Zurcher, W., and Altman, K. H. 2'-Deoxyribo-PNAs: A Structurally Novel Class of Polyamide Nucleic Acids with Good RNA and DNA Binding Affinity. Tetrahedron Lett. 40 (1999) : 2899-2902.

9) Rozners, E., and Stromberg, R. Synthesis and Properties of Oligoribonucleotide Analogs Having Formalacetal Internucleoside Linkages. J. Org. Chem. 62 (1997) : 1846-1850.

10) Pitha, T., and Pitha, P. M. Antiviral Resistance by the Polyinosinic Acid-Poly (1-vinylcytosine) Complex. Science 172 (1971) : 1146-1147.

11) Shan, V. J., Kuntz, I. D., and Kenyon, G. L. Synthesis of Amino Acid-Derived Nucleo (Side/Tide) Analogs for Peptide-Derived Enantiospecific Nucleic Acid Analogs. Bioorg. Chem. 24 (1996) : 194-200.

12) Nielsen, P. E., Egholm, M., Berg, R. H., and Buchardt, O. Sequence-Selective Recognition of DNA by Strand Displacement with a Thymine-Substituted Polyamide. Science 254 (1991) : 1497-1500.

13) Egholm, M., Buchardt, O., Nielsen, P. E., and Berg, R. H. Peptide Nucleic Acid (PNA). Oligonucleotide Analogues with an Achiral Peptide Backbone. J. Am. Chem. Soc. 114 (1992) : 1895-1897.

14) Egholm, M., Buchardt, O., Christensen, L., Behrens, C., Freier, S., Driver, D. A., Berg, R. H., Kim, S. K., Norden, B., and Nielsen, P. E. PNA Hybridizes to Complementary Oligonucleotides Obeying the Watson-Crick Hydrogen Bonding Rules. Nature 365 (1993) : 566-568.

15) Egholm, M., Nielsen, P. E., Buchardt, O., and Berg, R. H. Recognition of Guanine and Adenine in DNA by Cytosine and Thymine Containing Peptide Nucleic Acids (PNA). J. Am. Chem. Soc. 114 (1992) : 9677-9678

16) Nielsen, P. E. Peptide Nucleic Acids as Therapeutic Agents. Curr. Opin. Struct. Biol. 9 (1999) : 353-357.

17) Nielsen, P. E. Peptide Nucleic Acid (PNA) from DNA Recognition to Antisense and DNA Structure. Biophy. Chem. 68 (1997) : 103-108.

18) Wittung, P., Nielsen, P. E., and Norden, B. Recognition of Double Stranded DNA by Peptide Nucleic Acids. Nucleoside and Nucleotides 16 (1997) : 599-602.

19) Peffer, N. J., Hanvey, J. C., Bisi, J. E., Thomson, S. A., Hassman, C. F., Noble, S. A., and Babiss, L. E. Strand-Invasion of Duplex DNA by Peptide Nucleic Acid Oligomers. Proc. Natl. Acad. Sci., USA. 90 (1993) : 10648-10652.

20) Almarsson, O. A., Bruice, T. C., Kerrand, J., and Zuckermann, R. N. Molecular Mechanics Calculations of the Structures of Polyamide Nucleic Acid-DNA Duplexs and Triple Helical Hybrids. Proc. Natl. Acad. Sci. USA. 90 (1993) : 7518-7522

21) Almarsson, O., and Bruice, T. C. Peptide Nucleic Acid (PNA)Conformation and Polymorphism in PNA-DNA and PNA-RNA Hybrids. Proc. Natl. Acad. Sci., USA. 90 (1993) : 9542-9546.

22) Nielsen, P. E., and Haaima, G. Peptide Nucleic Acid (PNA): A DNA Mimic with a Pseudopeptide Backbone. Chem. Soc. Rev. 26 (1997) : 73-78.

23) Chen, M. S., Mohan, V., Kiely, J. S., Griffith, M. C., and Griffith, R. H. Molecular Dynamics and NMR Studies of Single-Stranded PNAs. Tetrahedron Lett. 35 (1994) : 5105-5108.

24) Demidov, V. V., Yavnitovich, M. V., Belotserkovskii, B. P., Frank-Kanmenetskii, M. D., and Nielsen, P. E. Kinetic and Mechanism of Polyamide ("peptide") Nucleic Acid Binding to Duplex DNA. Proc. Natl. Acad. Sci. USA. 92 (1995) : 2637-2641.

25) Nielsen, P. E., and Christensen, L. Strand Displacement Binding of a Duplex Forming Homopurine PNA to a Homopyrimidine Duplex DNA Target. J. Am. Chem. Soc. 118 (1996) : 2287-2288.

26) Brown, S. C., Thomson, S. A., Veal, J. M., and Davis, D. G. NMR Solution Structure of a Peptide Nucleic Acid Complexed with RNA. Science 265 (1994) : 777-780.

27) Wittung, P., Nielsen, P. E., and Norden, B. Observation of a PNA-PNA-PNA Triplex. J. Am. Chem. Soc. 119 (1997) : 3189-3190.

28) Hyrup, B., Egholm, M., Nielsen, P. E., Wittung, P., Norden, B., and Buchardt, O. Structure-Activity Studies of the Binding of Modified Peptide Nucleic Acids (PNAs) to DNA. J. Am. Chem. Soc. 116 (1994) : 7964-7970.

29) Uhlman, E., Peyman, A., Breipohl, G., and Will, D. W. PNA: Synthetic Polyamide Nucleic Acids with Unusual Binding Properties. Angew. Chem. Int. Ed. 37 (1998) : 2796-2823.

30) Dueholm, K. L., and Nielsen, P. E. Chemistry, Properties and Applications of PNA (Peptide Nucleic Acid). New. J. Chem. 21 (1997) : 19-31.

31) Eldrup, A. B., Dahland, O., and Nielsen, P. E. A Novel Peptide Nucleic Acid Monomer for Recognition of Thymine in Triple-Helix Structure. J. Am. Chem. Soc. 119 (1997) : 11116-11117.

32) Neilsen, P. E. Structural and Biological Properties of Peptide Nucleic Acid (PNA). Pure & Appl. Chem. 70 (1998) : 105-110.

33) Howarth, N. M., Laurance, P., and Wakelin, G. αPNA: A Novel Peptide Nucleic Acid Analogue of DNA. J. Org. Chem. 62 (1997) : 5441-5450.

34) Fujii, M., Yoshida, K., Hiodaka, J., and Ohtsu, T. Hybridization Properties of Nucleic Acid Analogs Containing β-Aminoalanine Modified with Nucleobase. Chem. Commun. (1998) : 717-718.

35) Garner, P., and Yoo, J. U. Peptide-Based Nucleic Acid Surrogates Incorporating Ser[CH_2B]-Gly Subunits. Tetrahedron Lett. 34 (1993) : 1275-1278.

36) Kuwahara, M., Arimitsu, M., and Sido, M. S. Synthesis of δ-Amino Acids with an Ether Linkage in the Main Chain and Nucleobases on the Side Chain as Monomer Units for Oxy-Peptide Nucleic Acids. Tetrahedron 55 (1999) : 10067-10078.

37) Kuwahara, M., Arimisu, M., and Sisido, M. Novel Peptide Nucleic Acid That Shows High Sequence Specificity and All-or-None-Type Hybridization with the Complementary DNA. J. Am. Chem. Soc. 121 (1999) : 256-257.

38) Cantin, M., Schutz, R., and Leumann, C. J. Synthesis of the Monomeric Building Blocks of Z-Olefinic PNA (Z-OPA) Containing the Bases Adenine and Thymine. Tetrahedron Lett. 38 (1997) : 4211-4214.

39) Lewis, I. Peptide Analogues of DNA Incorporating Nucleobase-Ala-Pro Subunits. Tetrahedron Lett. 34 (1993) : 5697-5700.

40) Gangamani, B. P., Kumar, V. A., and Ganesh, K. N. Synthesis of N^{α}(Purinyl/ Pyrimidinylacetyl)-4-Aminoproline Diastereomers with Potential Use in PNA synthesis. Tetrahedron 52 (1996) : 15017-15030.

41) Gangamani, B. P., Kumar, V. A., and Ganesh, K. N. Chiral Analogues of Peptide Nucleic Acids: Synthesis of 4-Aminoprolyl Nucleic Acids and DNA Complementation Studies Using UV/CD Spectroscopy. Tetrahedron 55 (1999) : 177-192.

42) Jordan, S., Schwemler, C., Kosch, W., Kretschmer, A., Schwenner, E., Stropp, U., and Mielke, B. Synthesis of New Building Blocks for Peptide Nucleic Acids Containing Monomers with Variations in the Backbone. Bioorg & Med. Chem. Lett. 7 (1997) : 681-686.

43) Jordan, S., Schwemler, C., Kosch, W., Kretschmer, A., Stropp, U., Schwenner, E., and Mielke, B. New Hetero-Oligomeric Peptide Nucleic Acids with Improved Binding Properties to Complementary DNA. Bioorg & Med. Chem. Lett. 7 (1997) : 687-690.

44) Lowe, G., and Vilaivan,T. Amino acid Bearing Nucleobases for the Synthesis of Novel Peptide Nucleic Acids. J. Chem. Soc., Perkin Trans I. (1997) : 539-546.

45) Lowe, G., and Vilaivan, T. Dipeptides Bearing Nucleobases for the Synthesis of Novel Peptide Nucleic Acids. J. Chem. Soc., Perkin Trans I. (1997) : 547-554.

46) Lowe, G., and Vilaivan, T. Solid Phase Synthesis of Novel Peptide Nucleic Acids. J. Chem. Soc., Perkin Trans I. (1997) : 555-560.

47) Lowe, G., Vilaivan, T., and Westwell, M. S. Hybridization Studies with Chiral Peptide Nucleic Acids. Bioorg. Chem. 25 (1997) : 321-329.

48) Lagriffoule, P., Wittung, P., Eriksson, M., Jensen, K., Norden, B., Buchardt, O., and Nielsen, P. E. Peptide Nucleic Acids with a Conformationally Constrained Chiral Cyclohexyl-Derived Backbone. Chem. Eur. J. 3 (1997) : 912-919.

49) Uhlman, E., Will, D. W., Breipohl, G., Langner, D., and Ryte, A. Synthesis and Properties of PNA/DNA Chimeras. Angew. Chem. Int. Ed. Engl. 35 (1996) : 2632-2635.

50) Peyman, A., Uhlman, E., Wagner, K., Augustin, S., Weiser, C., Will, D. W., and Breipohl, G. PHONA-PNA Co-oligomers; Nucleic Acid Mimetics with Interesting Properties. Angew. Chem. Int. Ed. Engl. 36 (1997) : 2809-2812.

51) Hanvey, J. C., Peffer, N. J., Bisi, J. E., Thomson, S. A., Cadilla, R., Josey, J. A., Ricca, D. J., Hassman, C. F., Bonham, M. A., Au, K. G., Carter, S. G., Bruckenstein, D. A., Boyd, A. L., Noble, S. A., and Babiss A. E. Antisense and Antigene Properties of Peptide Nucleic Acids. Science 258 (1992) : 1481-1485.

52) Mollegaard, N. E., Buchardt, O., Egholm, M., and Nielsen, P. E. PNA Peptide Nucleic Acid : DNA Strand Displacement Loops As Artificial Transcription Promoters. Proc. Natl. Acad. Sci. USA. 91 (1994) : 3892-3895.

53) Misra, H. S., Pandey, P. K., Modak, M. J., Vinayak, R., and Pandey, V. N. Polyamide Nucleic Acid-DNA Chimera Lacking the Phosphate Backbone Are Novel Primers for Polymerase Reaction Catalyzed by DNA Polymerases. Biochemistry 37 (1998) : 1917-1925.

54) Nielsen, P. E., Egholm, M., Berg, R. H., and Buchardt, O. Sequence Specific Inhibition of DNA Restriction Enzyme Cleavage by PNA/DNA chimeras. Nucleic Acids Res. 21 (1993) : 197-200.

55) Demidov, V., Kamenetskii, M. D. F., Egholm, M., Buchardt, O and Nielsen, P. E. Sequence Seletive Double Strand DNA Cleavage by Peptide Nucleic Acid (PNA) Targeting Using Nuclease S1. Nucleic Acids Res. 21 (1993) : 2103-2107.

56) Krasilnikova, M. M., Izvolskii, K. I., Krupnik, O. V., and Lazurkin, Y. S. Use of Peptide-Nucleic Acid in the "Achilles'Heel" Approach. Mol. Biol. 30 (1996) : 282-284

57) Veselkov, A. G., Demidov, V. V., Nielsen, P. E., and Frank-Kamenetskii, M. D. A New Class of Genome Rare Cutters : Genome. Nucleic Acid Res. 24 (1996) : 2483-2487.

58) Wittung, P., Kajanus, J., Edwards, K., Haaima, G., Nielsen, P. E., Norden, B., and Malmstrom, B. G. Phospholipid Membrane Permeability of Peptide Nucleic Acid. FEB Lett. 375 (1995) : 27-29.

59) Scarfi, S., Gasparini, A., Damonte, G., and Benatti, U. Synthesis, Uptake and Intracellular Metabolism of a Hydrophobic Tetrapeptide-Peptide Nucleic Acid (PNA)-Biotin Molecule. Biochem.& Biophy. Res. Com. 236 (1997) : 323-326.

60) Furniss, B. S., Hannaford, A. J., Rogers, V., smith, P. W. O., and Tachell. A. R. Vogel's Test book of Practical Org Chem. 4th ed. (n. p.), 1978.

61) Miller, J. B. Preparation of Crystalline Diphenyldiazomethane. J. Org. Chem. 24 (1959) : 560-561.

62) Sambrook, J., Fritsh, E. F., and Maniatis, T. Molecular Cloning: A Laboratory Manual. 2nd ed. Newyork : Cold spring harbor laboratory press, 1989.

63) Vilaivan, T. Synthesis and Properties of Novel Nucleopeptides. Doctoral dissertation, University of Oxford, 1996.

64) Greenstein, J. P., and Winitz, M. Chemistry of the Amino Acids. Newyork : John wiley & Sons, 1961.

65) Baker, G. L., Fritschel, S. J., Stille, J. R., and Stille, J. K. Transition-Metal-Catalyzed Asymmetric Organic Synthesis *via* Polymer-Attached Optically Active Phosphine Ligand: Preparation of Amino Acids in High Optical Yield *via* Catalytic Hydrogenation. J. Org. Chem. 46 (1981) : 2954-2960.

66) Yamada, S., Hongo, C., Yoshioka, R., and Chibata, I. Method for the Racemization of Optically Active Amino Acids. J. Org Chem. 48 (1983) : 843-846

67) Novabiochem Ltd. Novabiochem General Catalog 92/93, pp.300-308.

68) Tozuka Z., and Takoya, T. Studies on Tomaymycin I: the Structure Determination of Tomaymacin on the Basis of NMR Spectra. J. Antibiot. 36 (1983) : 142-146.

69) Shaw, G., and Warrener, R. N. Purine, Pyrimidines and Glyoxalines Part VIII: New Syntheses of Uracils and Thymines. J. Chem. Soc. (1958) : 157-160.

70) Atkinson, R., Maguire, M. H., Ralph, R. K., Shaw, G., and Warrener, R. N. Purine, Pyrimidines and Glyoxalines. Part V : Syntheses of Uracils and Oratic Acids. J. Chem. Soc. (1957) : 2363-2368.

71) Shaw, G., Warrener, R. N., Maguire, M. H., Ralph, P. K. Purines, Pyrimidines, and Glyoxalines Part IX : Syntheses of Uridine, 2-Thiouridine and Some Related Compound. J. Chem. Soc. (1958) : 2294-2295.

72) Todd, A. R. Synthesis in the Study of Nucleotides. J. Chem. Soc. (1946) : 647-653.

73) Baxter, R. A., Mclean, A. C., and Spring, F. S. Application of the Hofman Reaction to the Synthesis of the Heterocyclic Compounds Part V: The Synthesis of 9-D-Mannopyranosido Xanthine and of 9-D-Ribopyranosido Xanthine. J. Chem. Soc. (1948) : 523-525.

74) Mitsunobu, O. The Use of Diethylazodicarboxylate and Triphenylphosphine in Synthesis and Transformation of Natural Products. Synthesis 1 (1981) : 1-28.

75) Cruickshank, K. A., Jirieny, J., and Reese, C. B. The Benzoylation of Uracil and Thymine. Tetrahedron Lett. 25 (1984) : 681.

76) Chang, C. D., Waki, M., Ahmad, M., Meienhofer, J., Lundell, E., and Haug, J. D. Preparation and Properties of N^{α}-9-Fluorenylmethoxycarbonyl Amino Acids Bearing *tert*-Butyl Side-Chain Protection. J. Pept. Protein Res. 15 (1980) : 59-66.

77) Pascal, R., and Sola, R. Preservation of the Fmoc Protective Group Under a Alkaline Conditions by Using $CaCl_2$; Application in Peptide Synthesis. Tetrahedron Lett. 39 (1998) : 5031-5034.

78) Maligres, P. E., See, M. M., Askin, D., and Reider, P. J. Nosylaziridine: Activated Aziridine Electrophiles. Terahedron Lett. 38 (1997) : 5253-5256.

79) Kocienski, P. J. Protecting Groups. George Thieme Verlag Stuttgart, 1994.

80) Alonso, D. A., and Anderson, P. G. Deprotection of Sulfonyl Aziridine. J. Org. Chem. 63 (1998) : 9455-9461.

81) Coy, J. H., Hegaty, A. F., Flynn, E. J., and Scott, F. L. Ambident Neighbouring Groups Part V: Mechanism of Cyclization of 2-Halogenoethyl Sulfonamides to Aziridine. J. Chem. Soc., Perkin Trans I. 1 (1974) : 53-58.

82) Costa, M. D., Kumar, V. A., and Ganesh, K. N. Aminoethylprolyl Peptide Nucleic Acids (aep PNA): Chiral PNA Analogues That Form Highly Stable DNA : aep PNA_2 Triplexes. Org. Lett. 1 (1999) : 1513-1516.

83) Merrifield, R. B. Solid Phase Synthesis III. An Improved Synthesis of Bradykinin. Biochemistry 3 (1964) : 1385-1390.

84) Forza, S. S., Haaima, G., Marchelli, R., and Neilsen, P. E. Chiral Peptide Nucleic Acids (PNAs) : Helix Handedness and DNA Recognition. Eur. J. Org. Chem (1999) : 197-204.

85) Vilaivan, T. Final report : Synthesis and Properties of Novel Peptide Nucleic Acid Carrying a Hydrophilic Side Chain. Central office Project RDE-CO grant number CO-B-06-22-09-001 of The National Science and Technology Development Agency, (1999) Unpublished.

APPENDIX

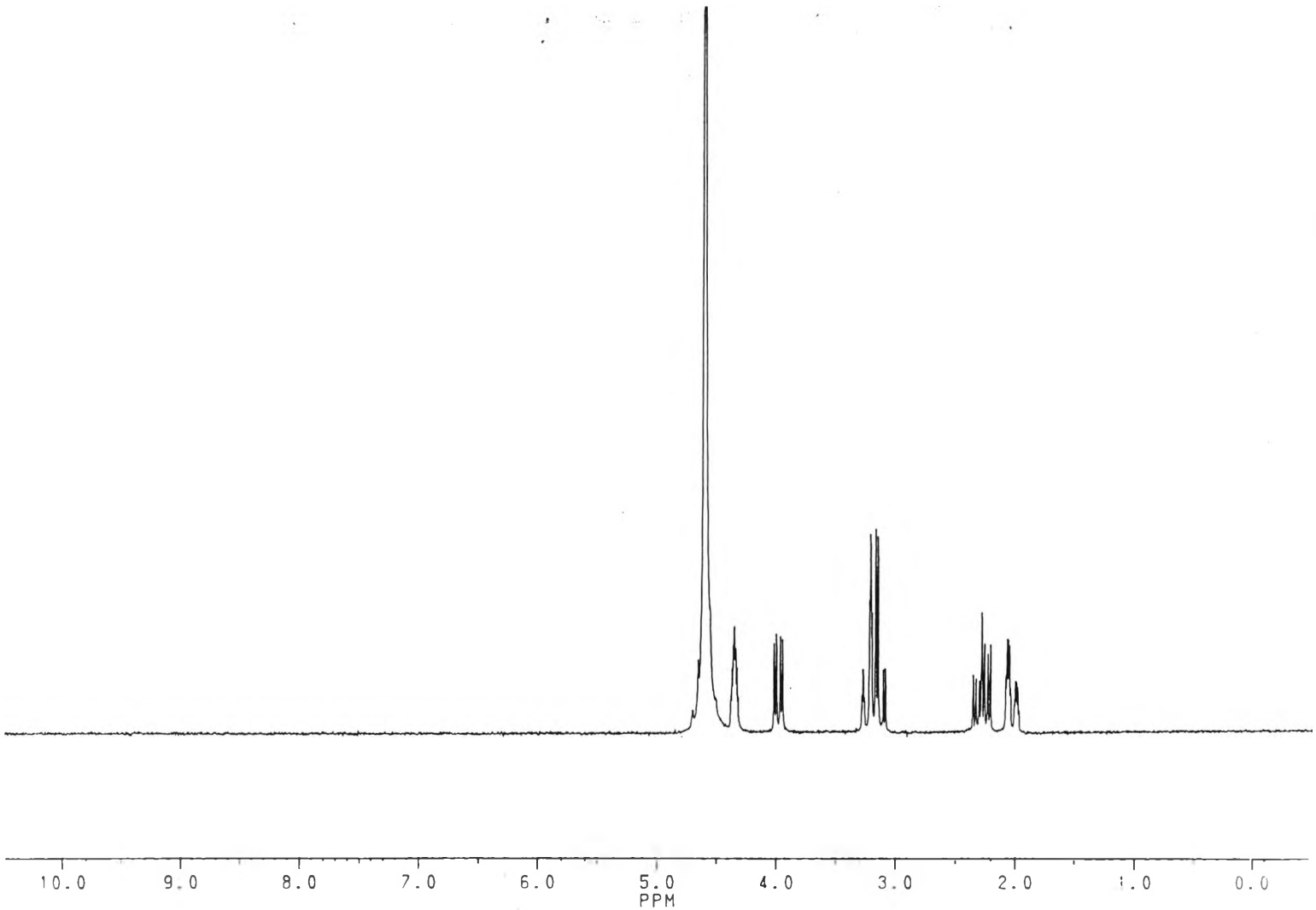

Figure 1 ^{1}H NMR spectrum (D_2O, 200 MHz) of *cis*-4-hydroxy-D-proline **(1b)**

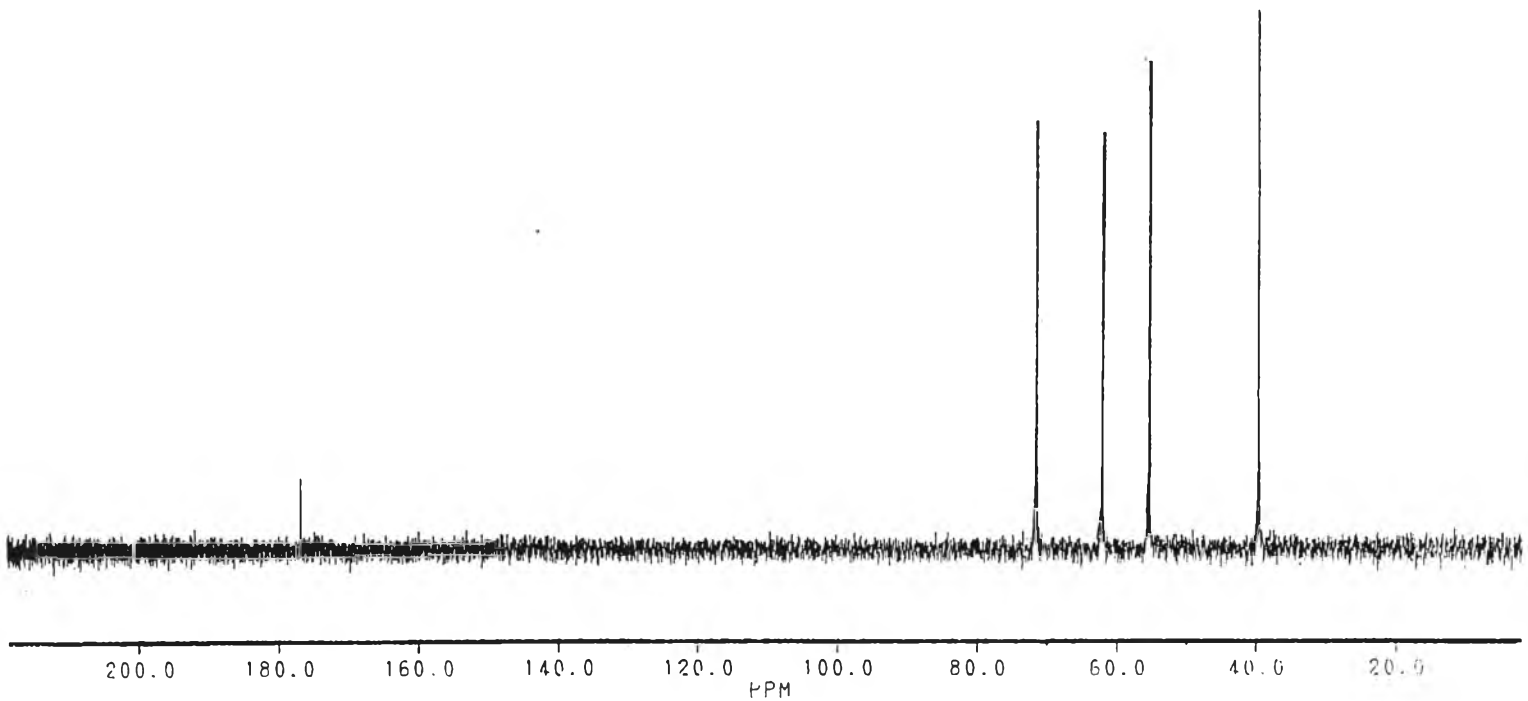

Figure 2 ^{13}C NMR spectrum (D_2O, 50.28 MHz) of *cis*-4-hydroxy-D-proline **(1b)**

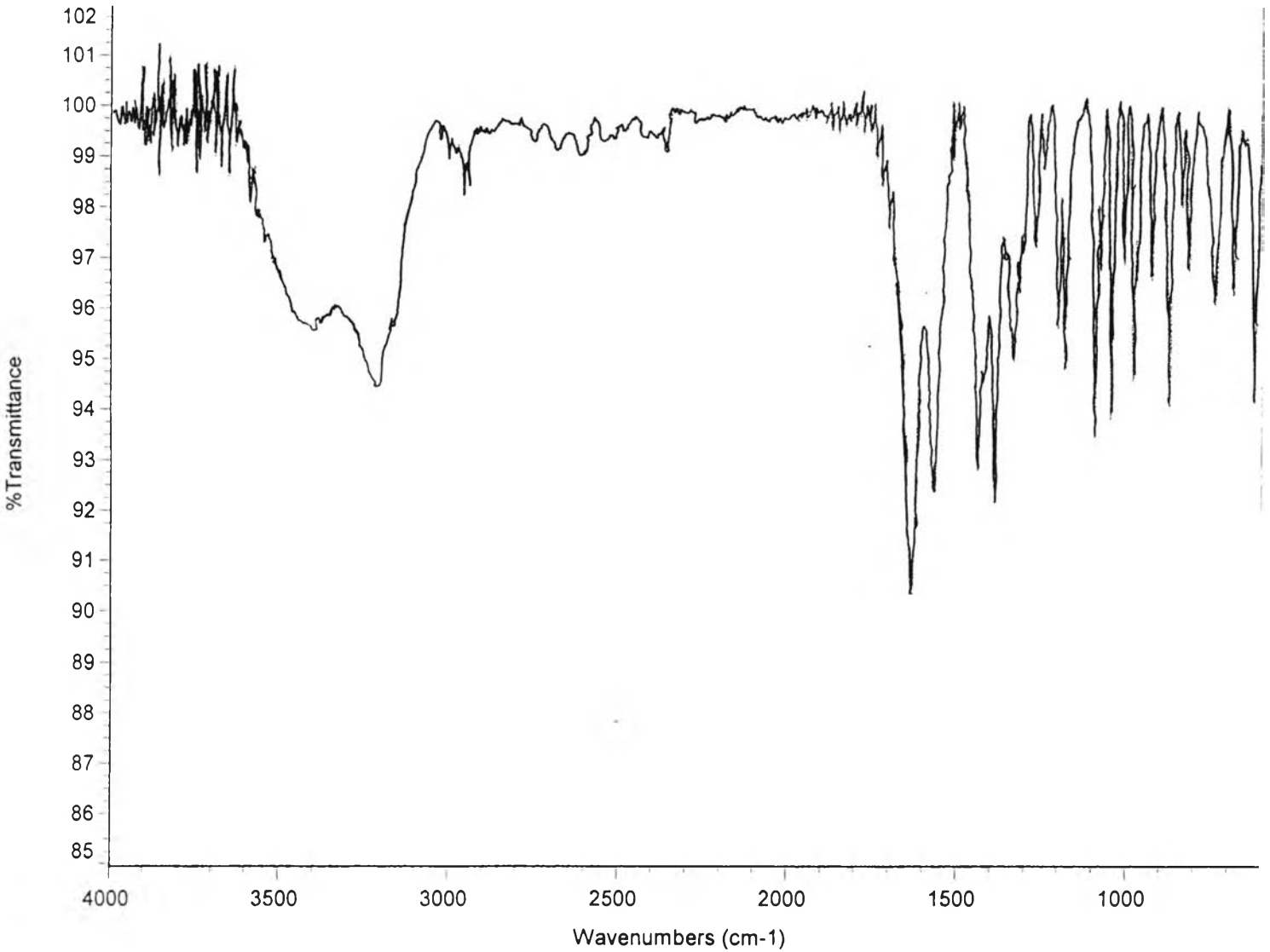

Figure 3 IR spectrum (KBr) of *cis*-4-hydroxy-D-proline **(1b)**

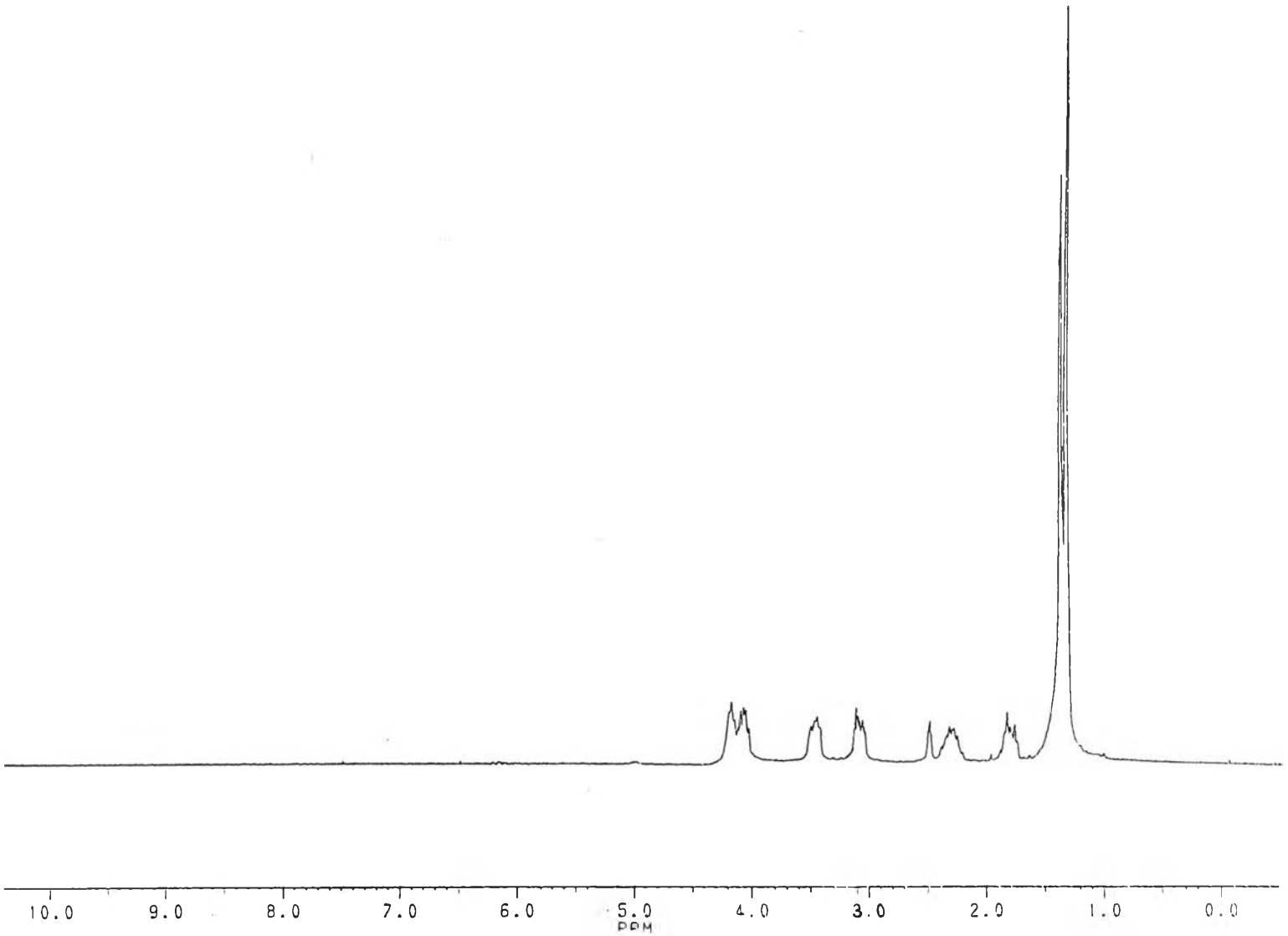

Figure 4 ^{1}H NMR spectrum (DMSO-d_6, 200 MHz) of *N-tert*-butoxycarbonyl-*cis*-4-hydroxy-D-proline **(2)**

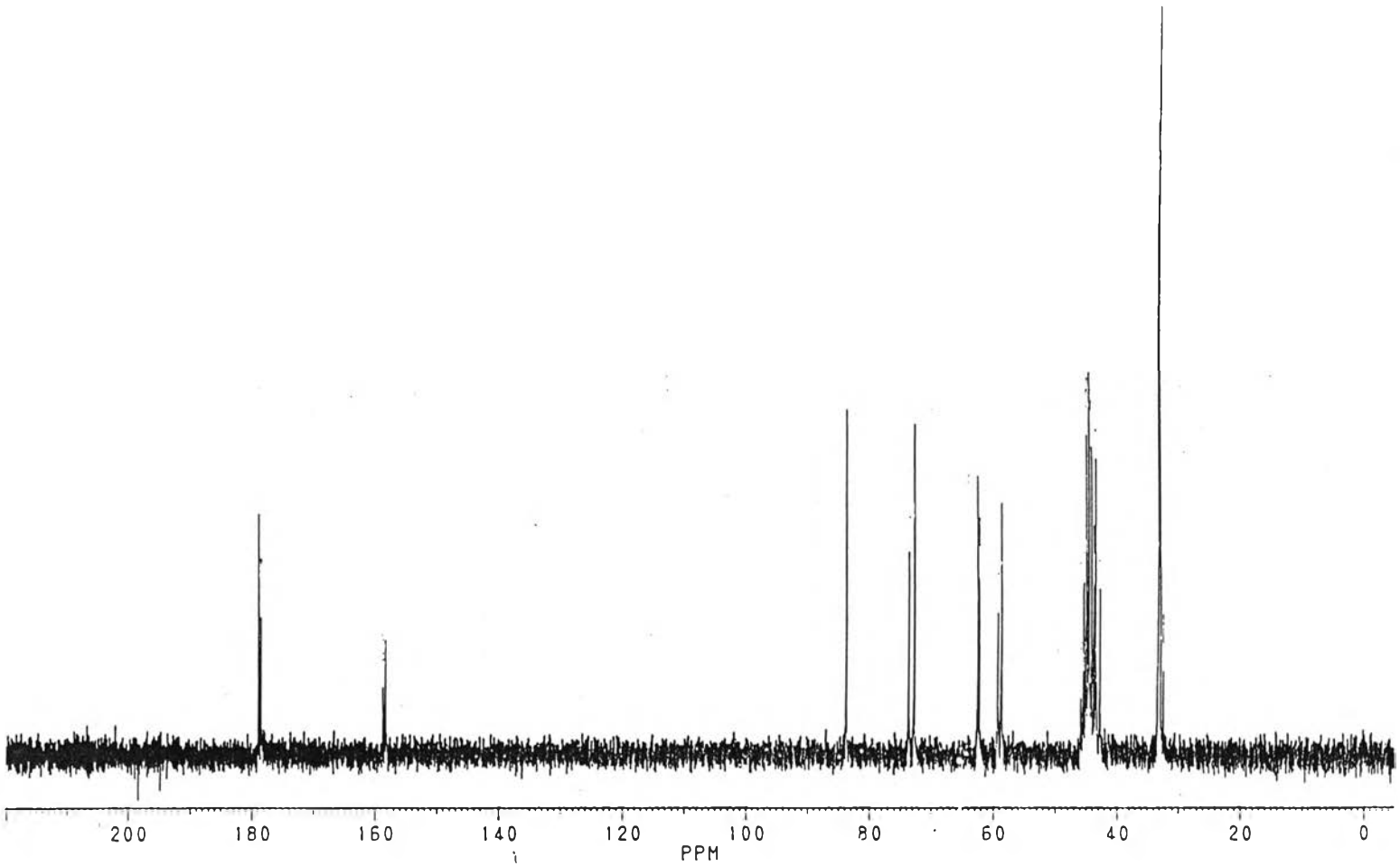

Figure 5 ^{13}C NMR spectrum (DMSO-d_6, 50.28 MHz) of *N-tert*-butoxycarbonyl-*cis*-4-hydroxy-D-proline **(2)**

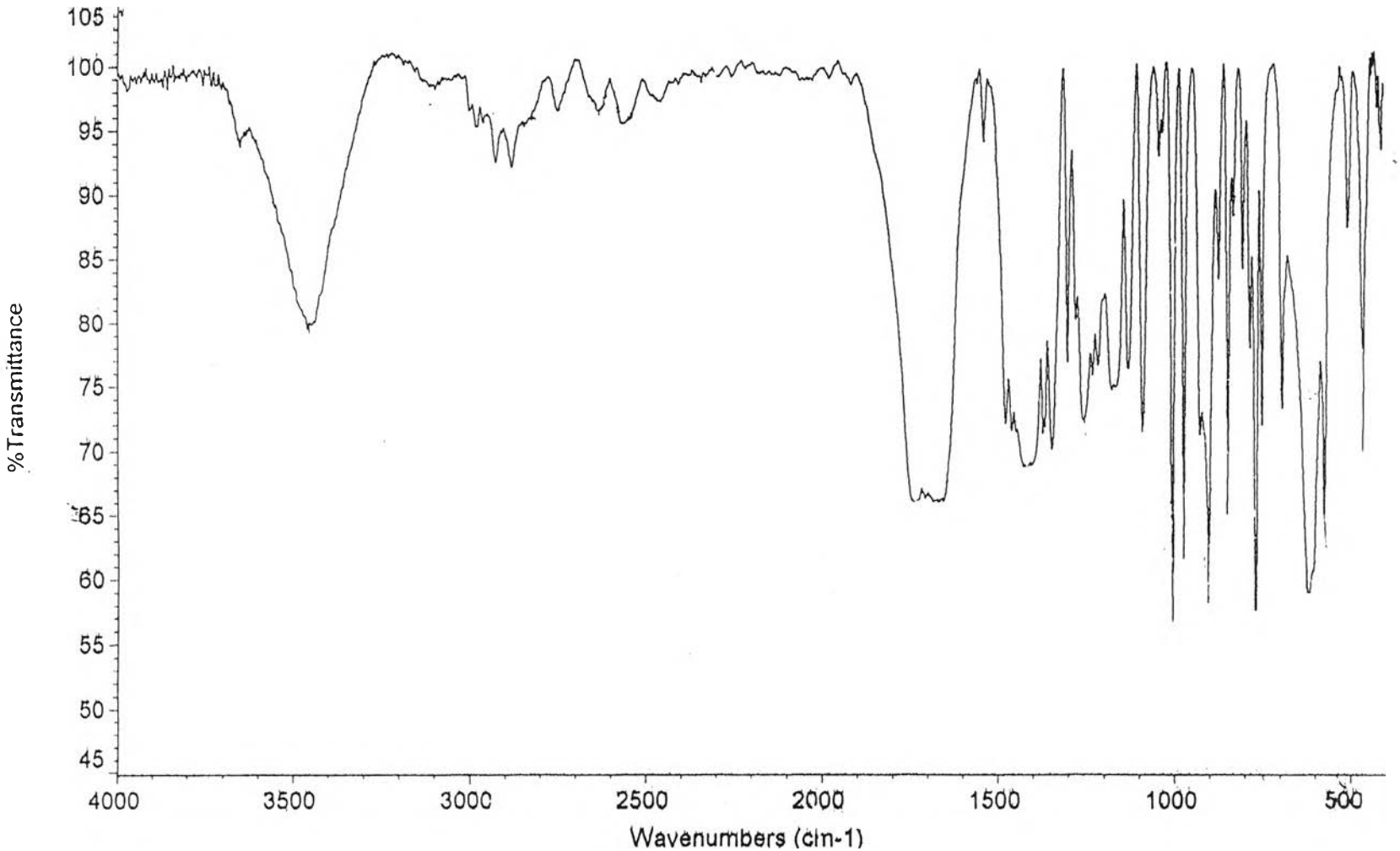

Figure 6 IR spectrum (KBr) of *N-tert*-Butoxycarbonyl-*cis*-4-hydroxy-D-proline **(2)**

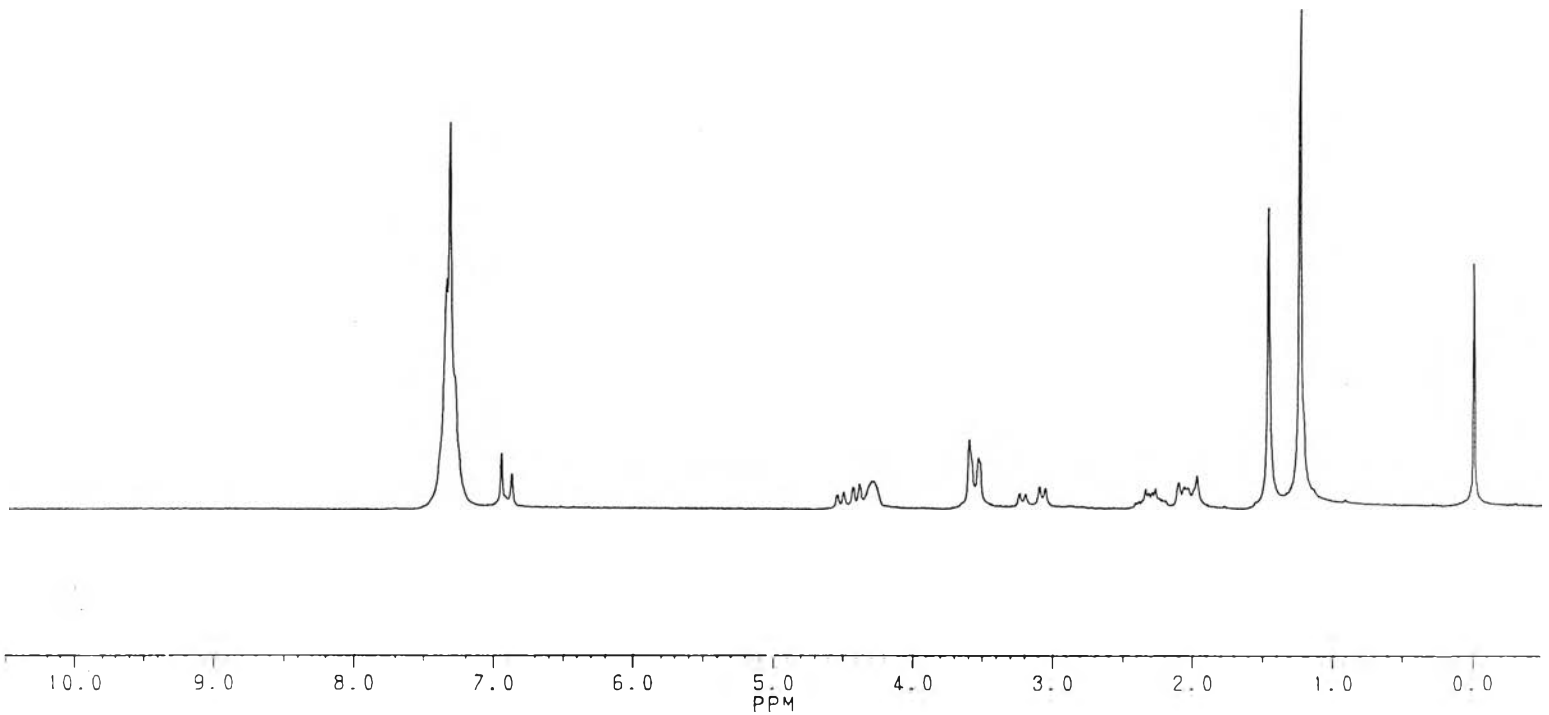

Figure 7 ^{1}H NMR spectrum ($CDCl_3$, 200 MHz) of *N-tert*-butoxycarbonyl-*cis*-4-hydroxy-D-proline diphenylmethyl ester **(4)**

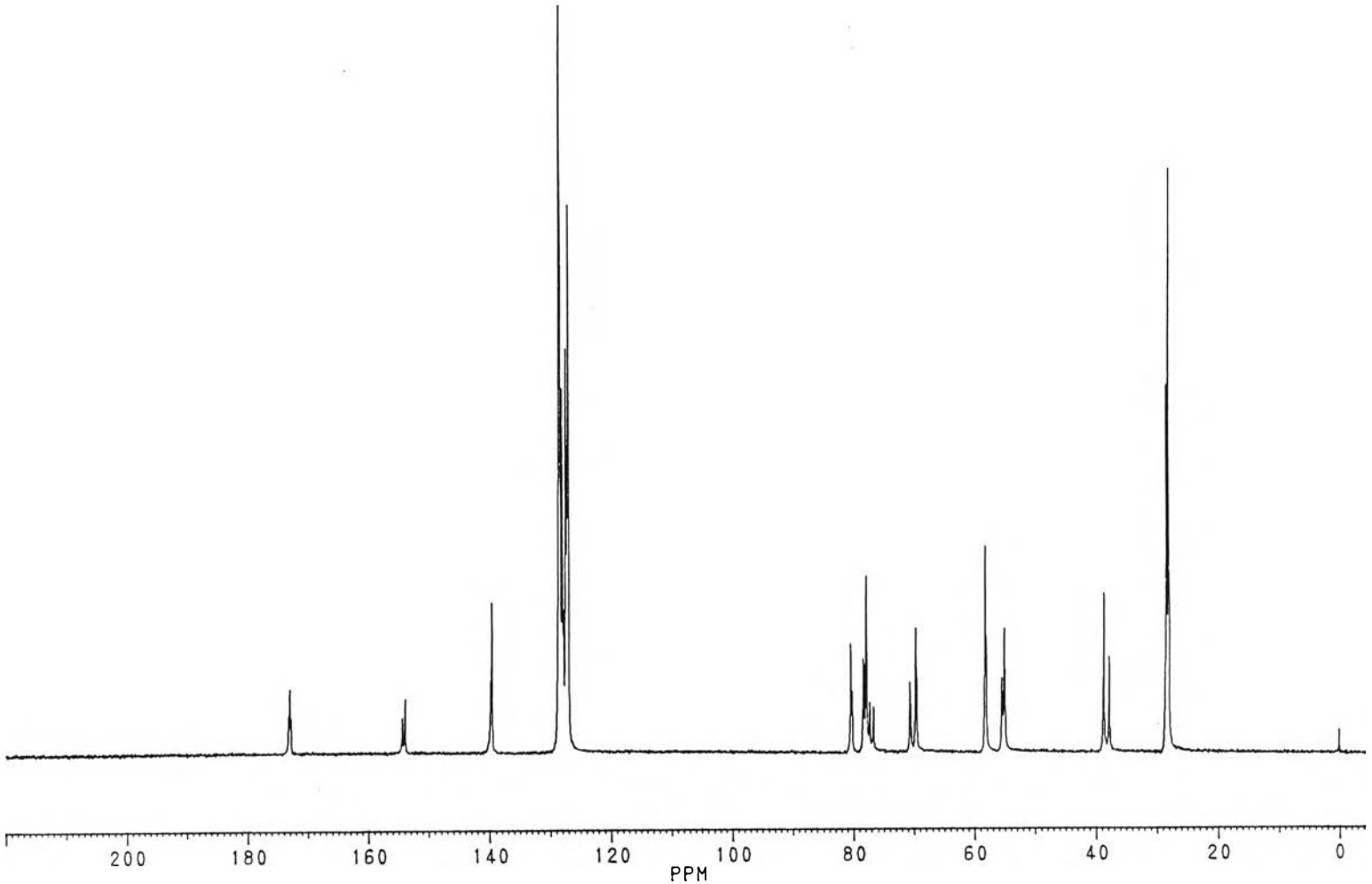

Figure 8 ^{13}C NMR spectrum ($CDCl_3$, 50.28 MHz) of *N-tert*-butoxycarbonyl-*cis*-4-hydroxy-D-proline diphenylmethyl ester (**4**)

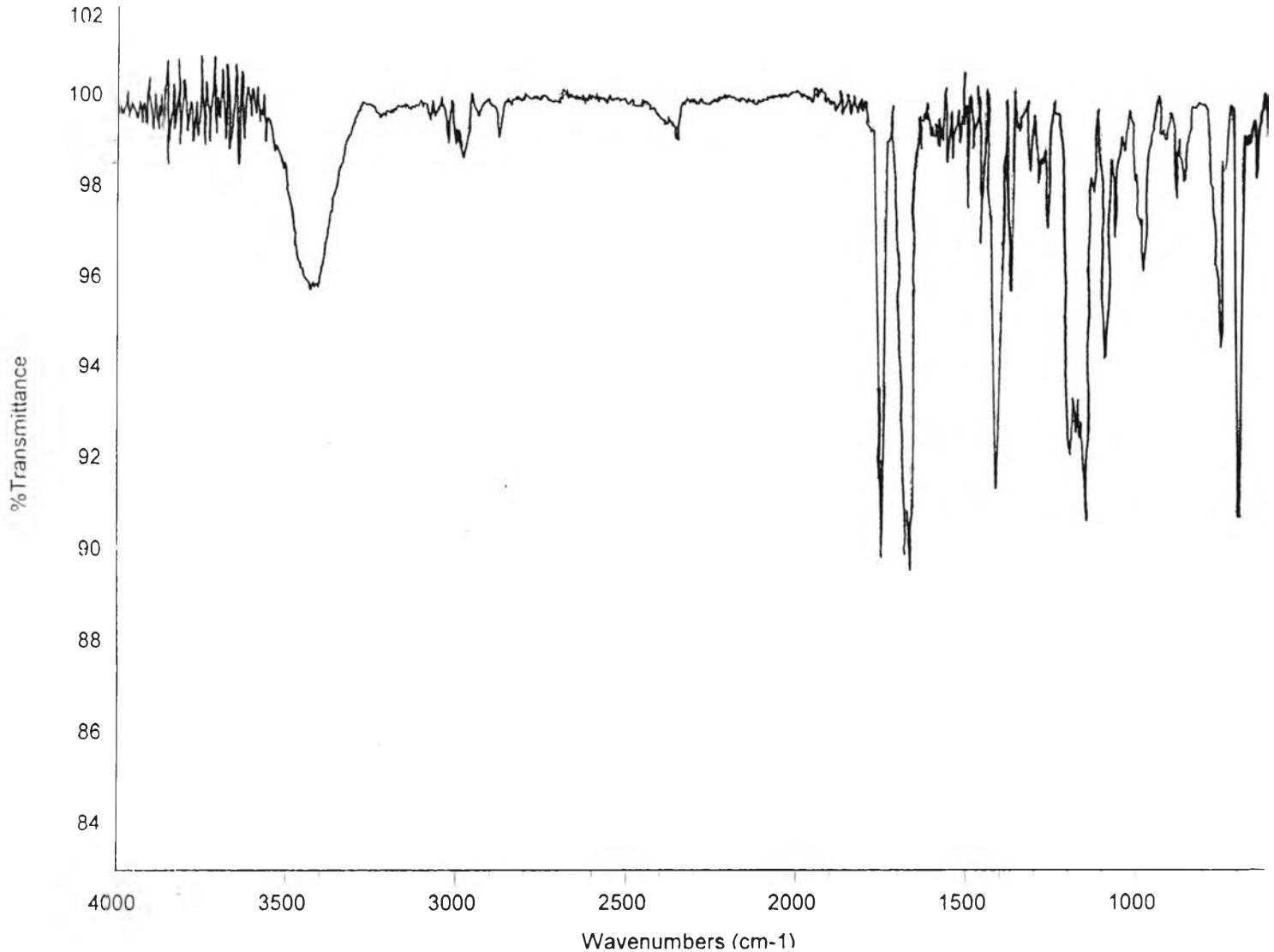

Figure 9 IR spectrum (KBr) of *N-tert*-Butoxycarbonyl-*cis*-4-hydroxy-D-proline diphenylmethyl ester **(4)**

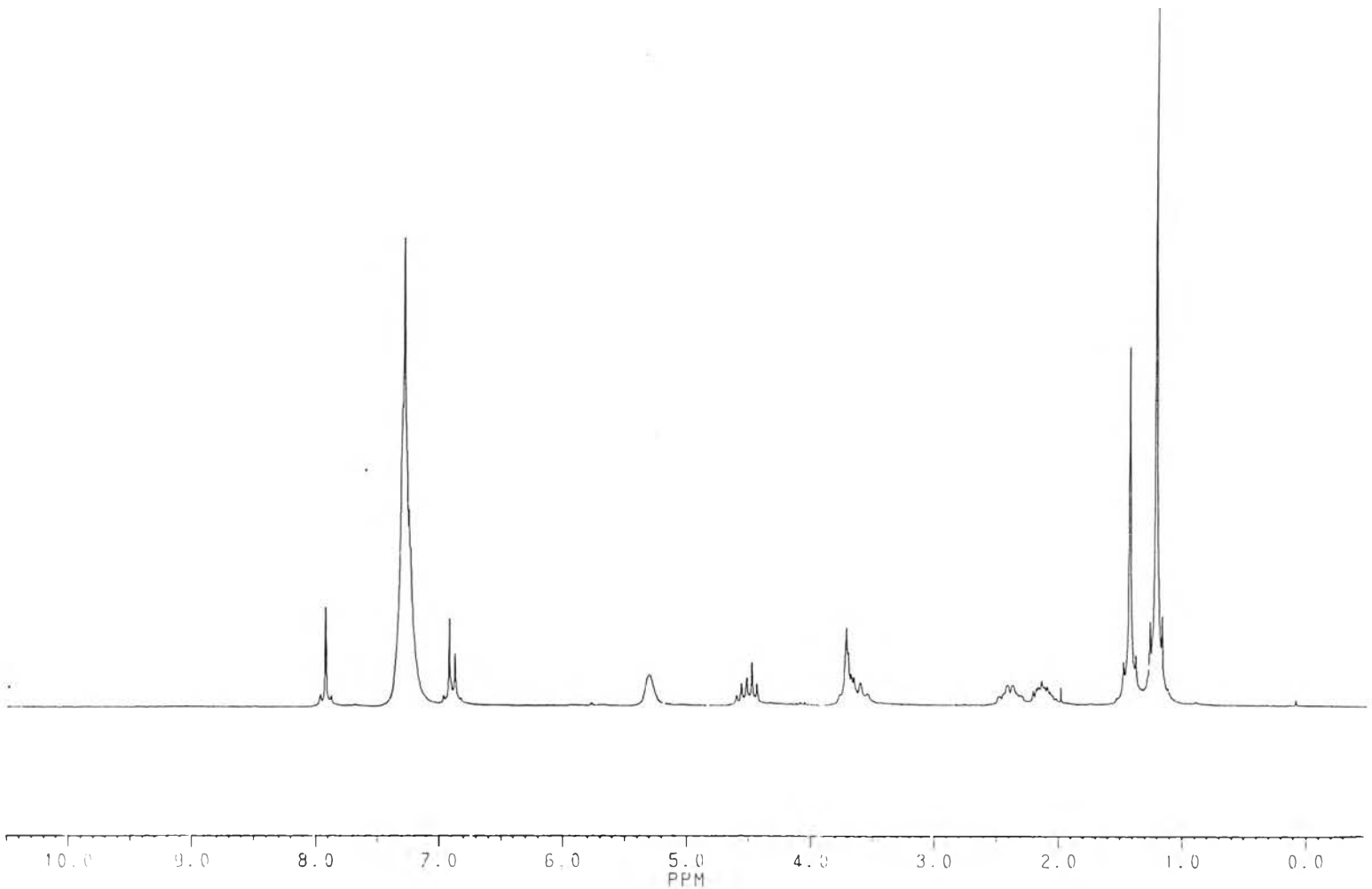

Figure 10 ^{1}H NMR spectrum ($CDCl_3$, 200 MHz) of *trans*-4-formate ester **(5a)**

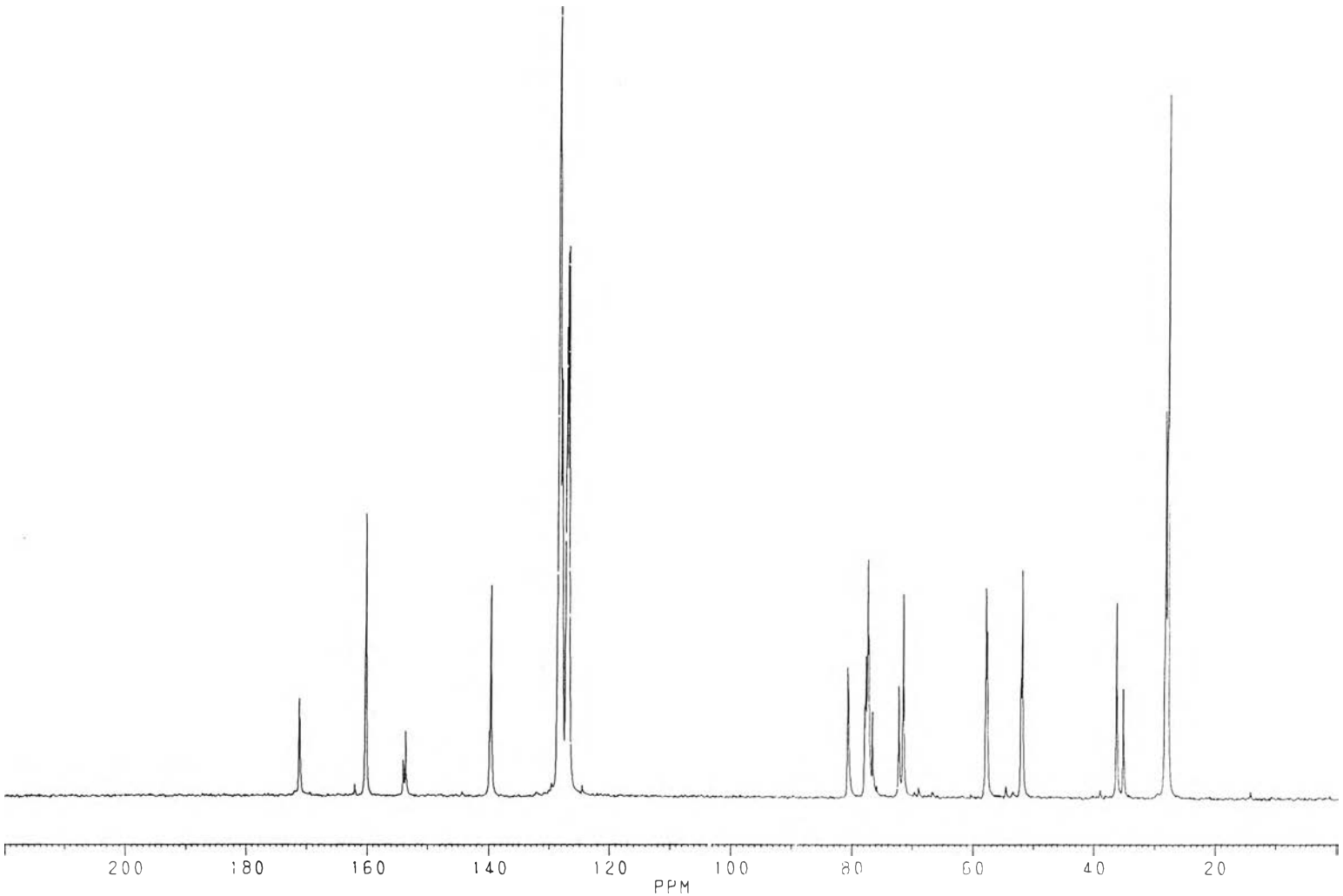

Figure 11 ^{13}C NMR spectrum ($CDCl_3$, 50.28 MHz) of *trans*-4-formate ester **(5a)**

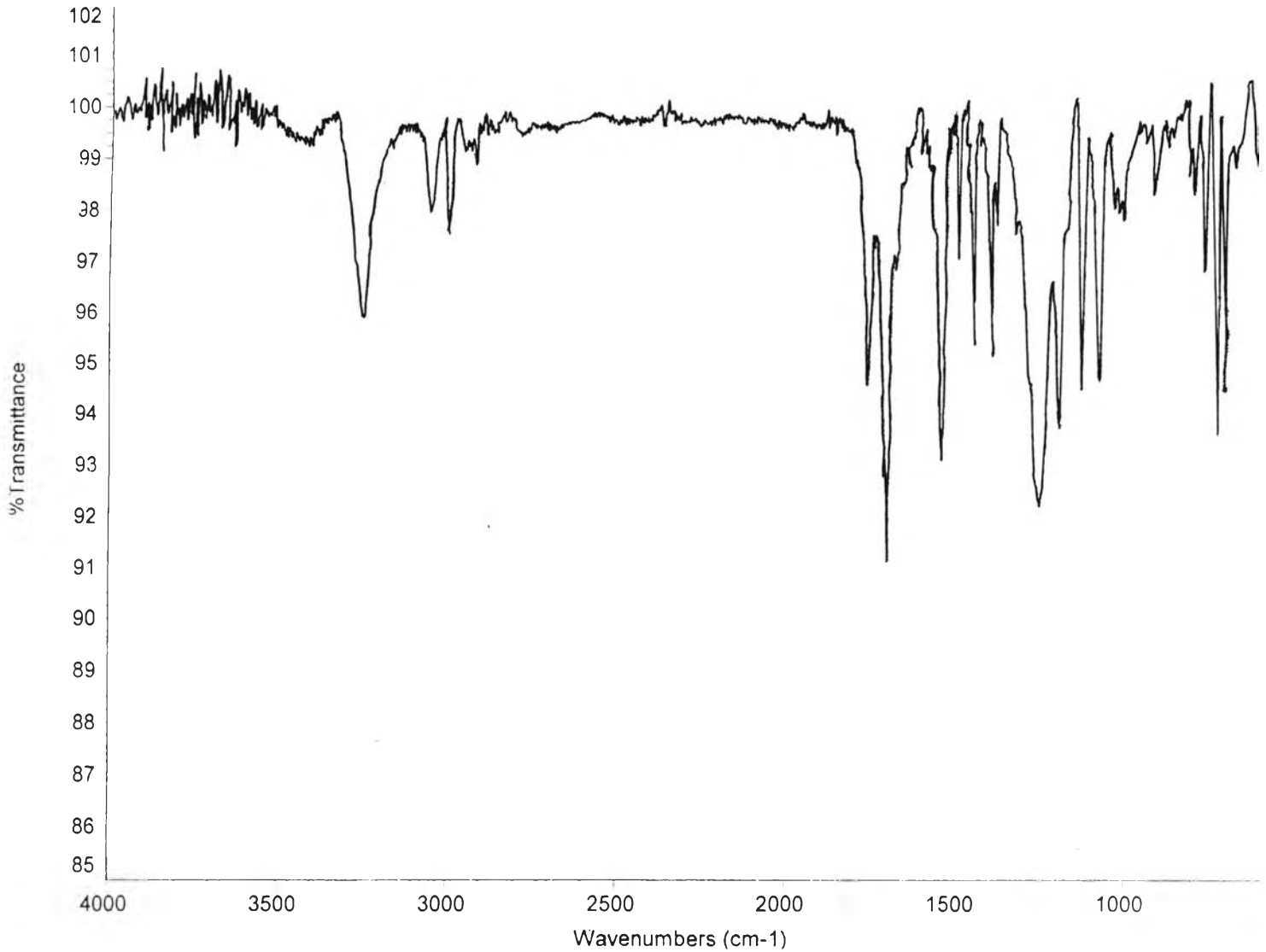

Figure 12 IR spectrum (KBr) of *trans*-4-formate ester **(5a)**

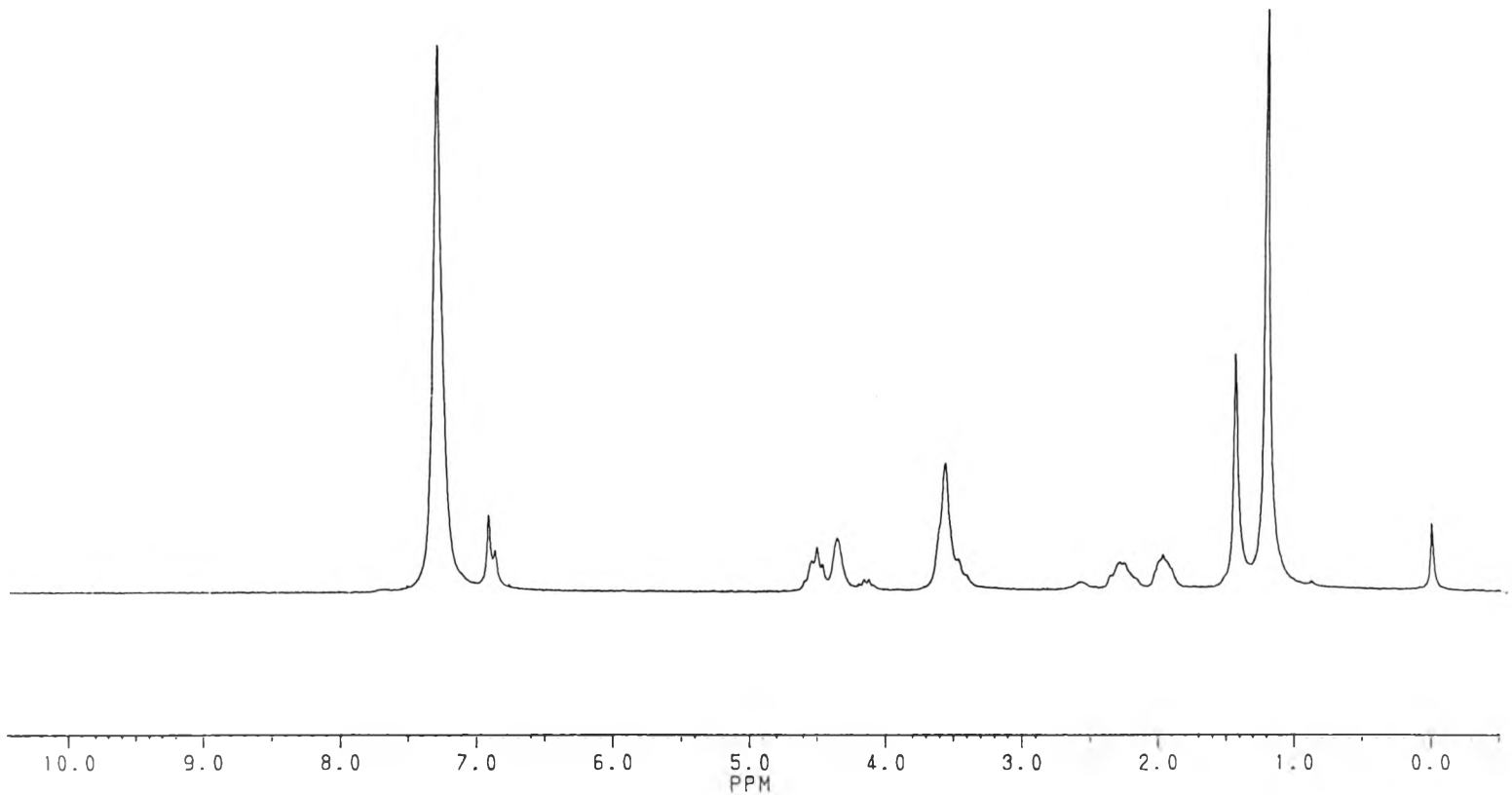

Figure 13 ^{1}H NMR spectrum ($CDCl_3$, 200 MHz) of *N-tert*-butoxycarbonyl-*trans*-4-hydroxy-D-proline diphenylmethyl ester **(5b)**

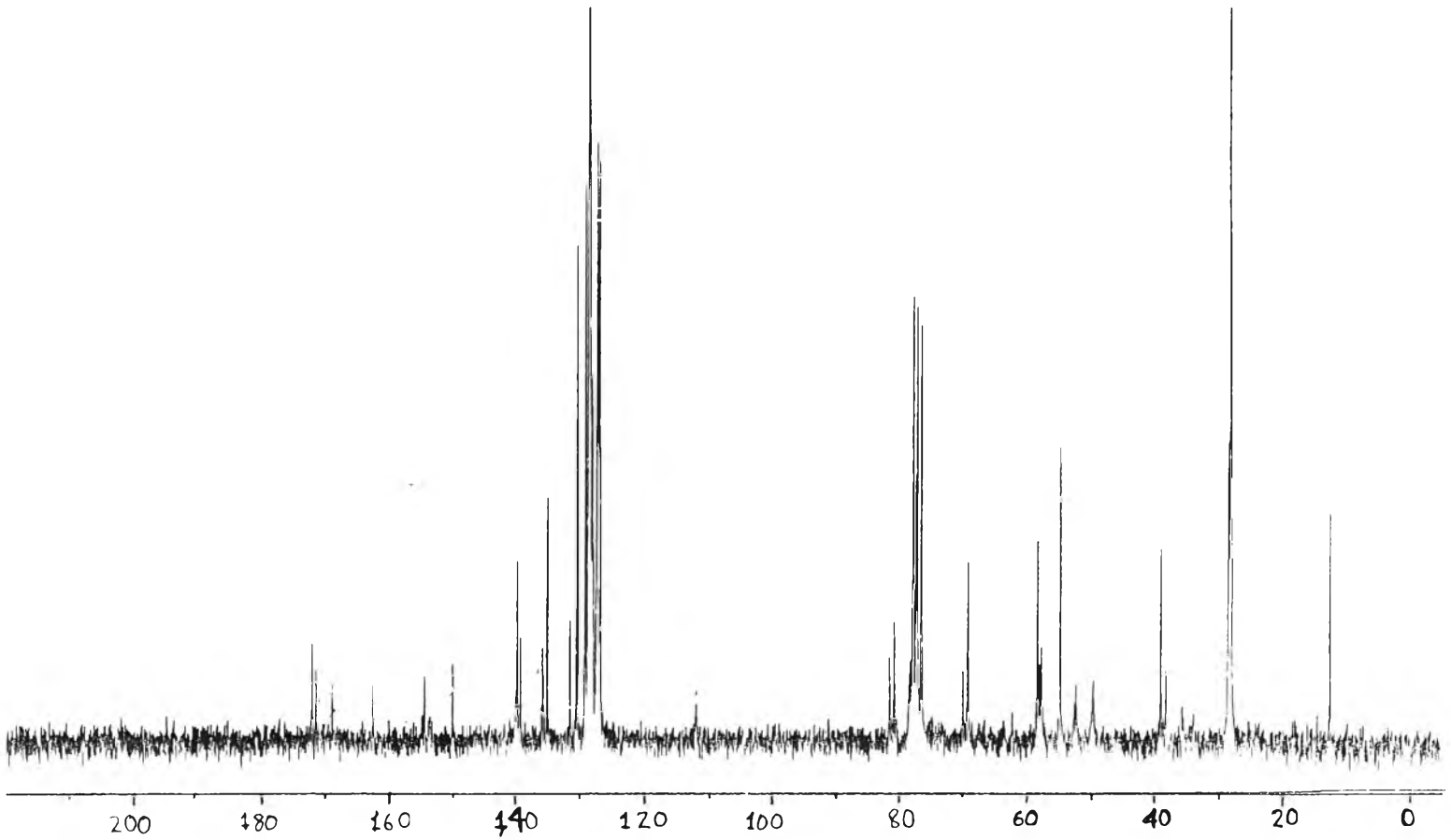

Figure 14 ^{13}C NMR spectrum ($CDCl_3$, 50.28 MHz) of *N-tert*-butoxycarbonyl-*trans*-4-hydroxy-D-proline diphenylmethyl ester **(5b)**

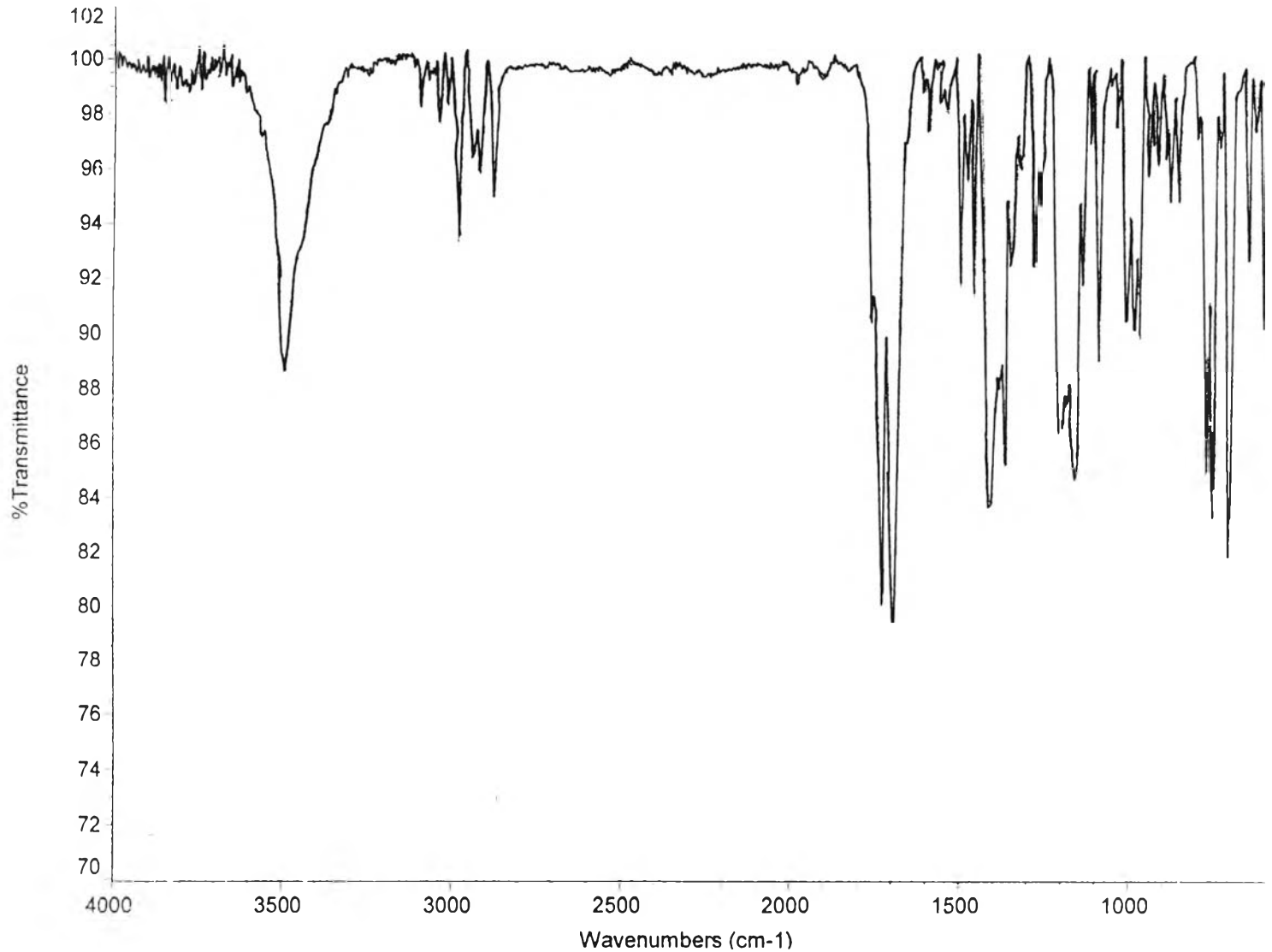

Figure 15 IR spectrum (KBr) of *N-tert*-butoxycarbonyl-*trans*-4-hydroxy-D-proline diphenylmethyl ester (**5b**)

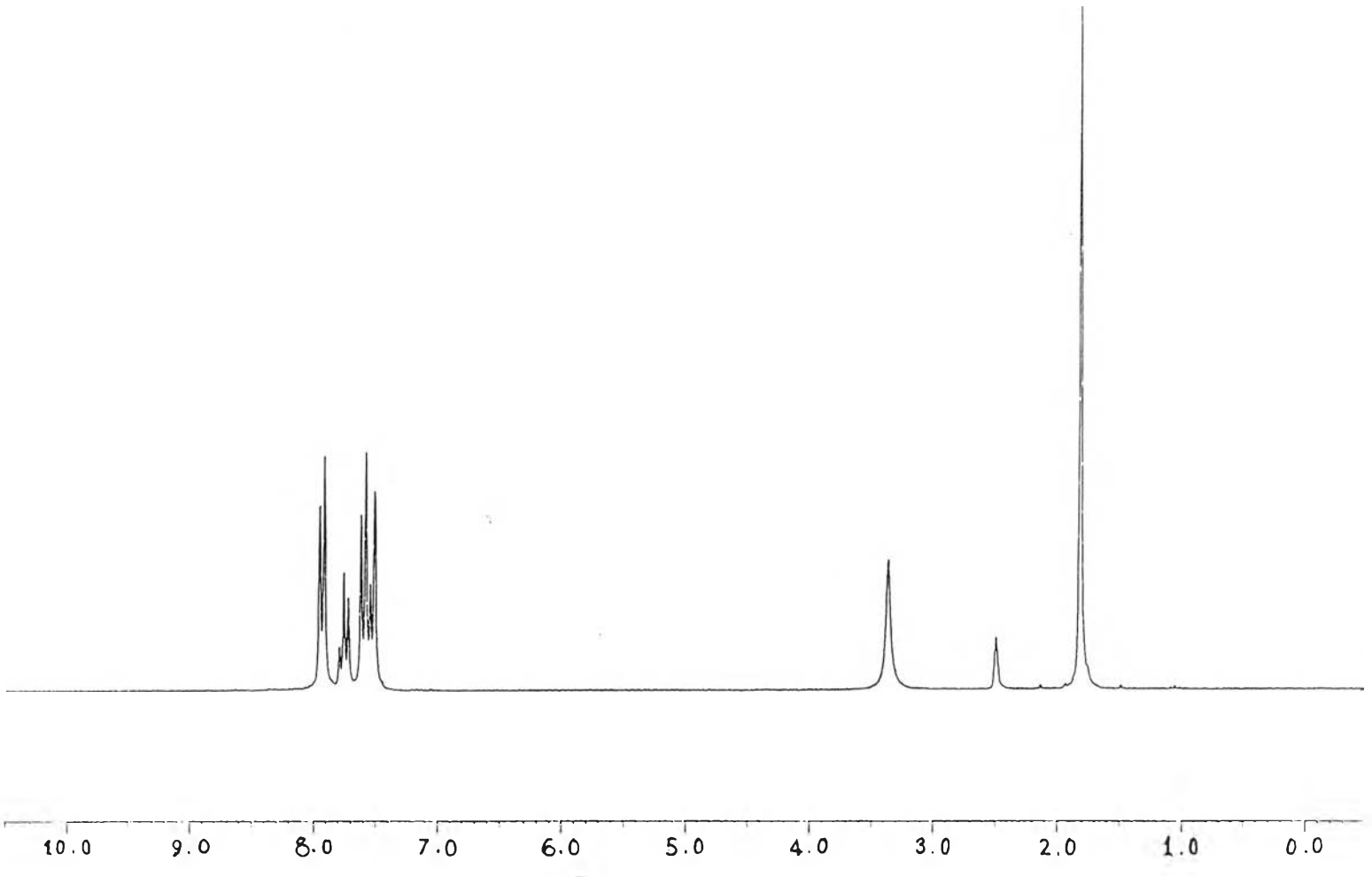

Figure 16 ^{1}H NMR spectrum (DMSO-d_6, 200 MHz) of 3-benzoyl-5-methyl-(*1H*)-pyrimidine-2,4-dione (N^3-benzoylthymine) **(6)**

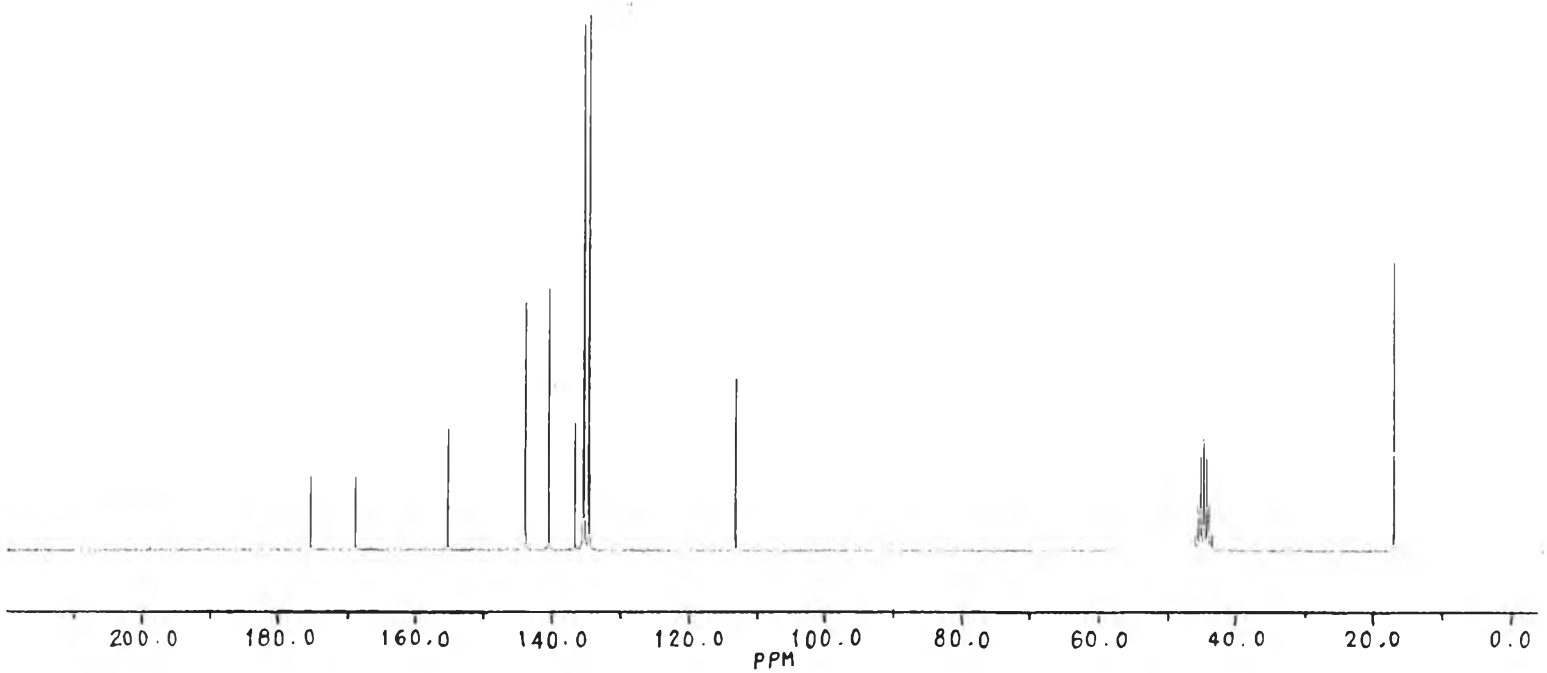

Figure 17 ^{13}C NMR spectrum (DMSO-d_6, 50.28 MHz) of 3-benzoyl-5-methyl-(*1H*)-pyrimidine-2,4-dione (N^3-benzoylthymine) **(6)**

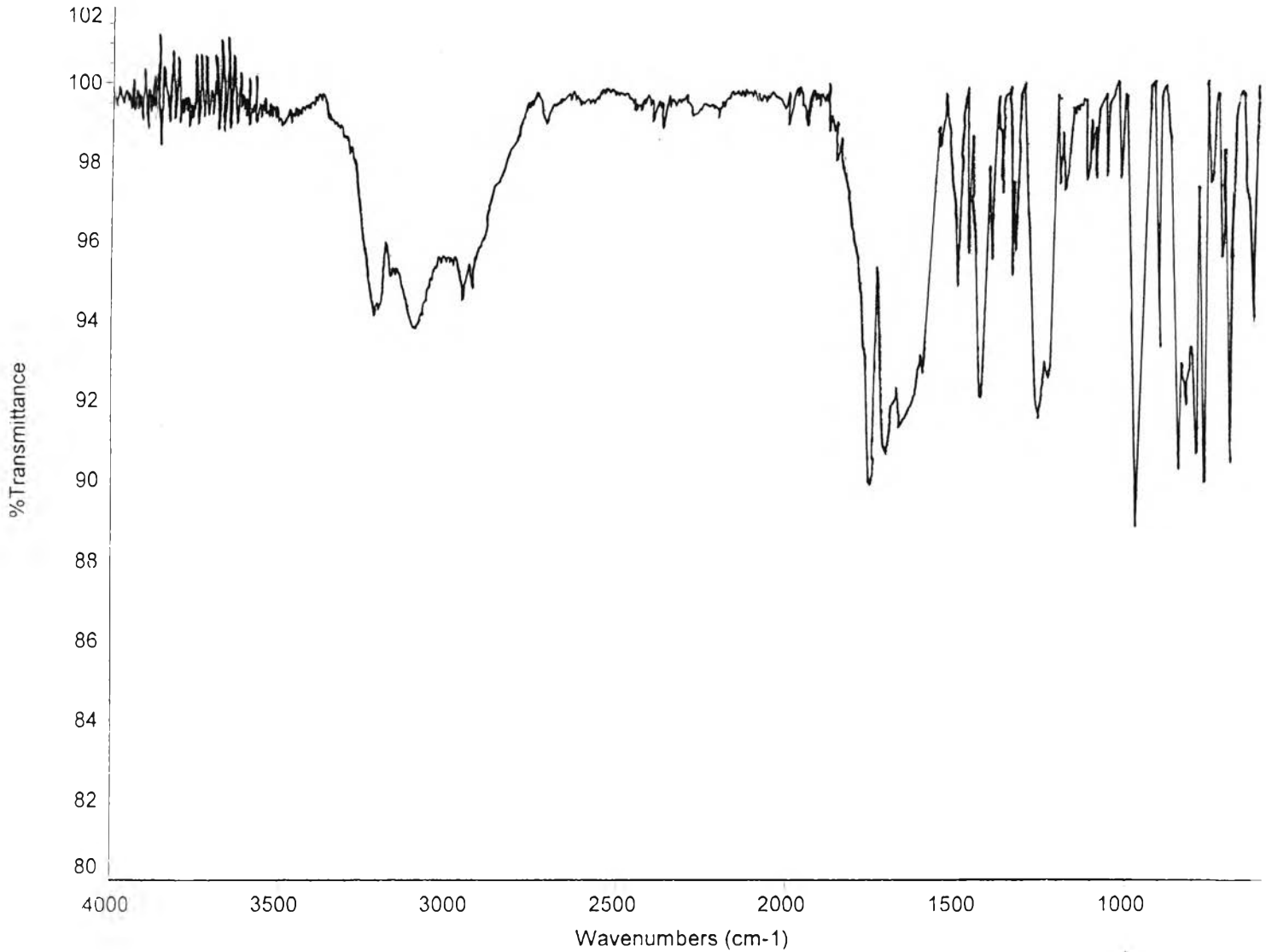

Figure 18 IR spectrum (KBr) of 3-benzoyl-5-methyl-(*1H*)-pyrimidine-2,4-dione (N^3-benzoylthymine) **(6)**

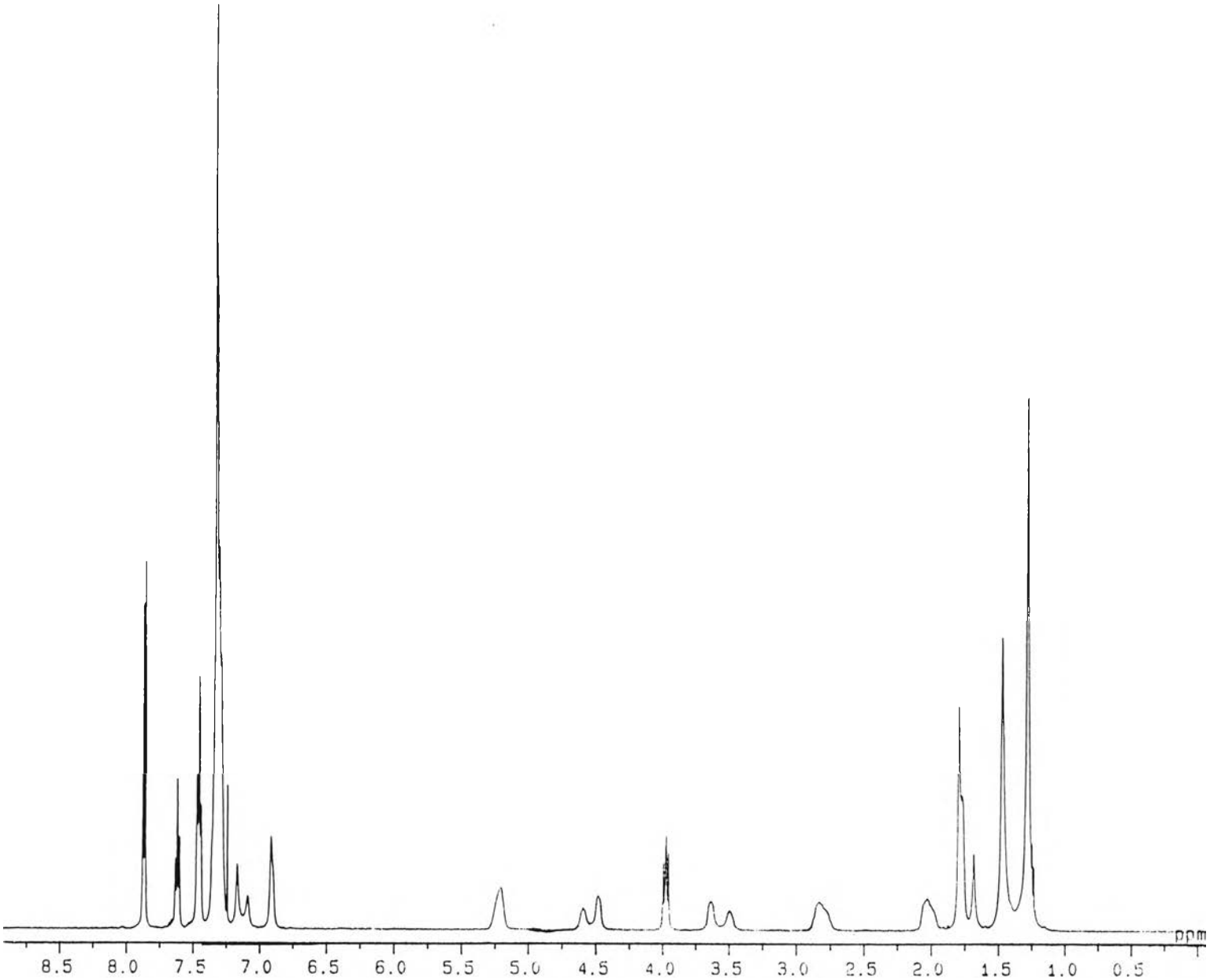

Figure 19 ^{1}H NMR spectrum ($CDCl_3$, 500 MHz) of *N-tert*-butoxycarbonyl-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline diphenylmethyl ester (Intermediate) **(7)**

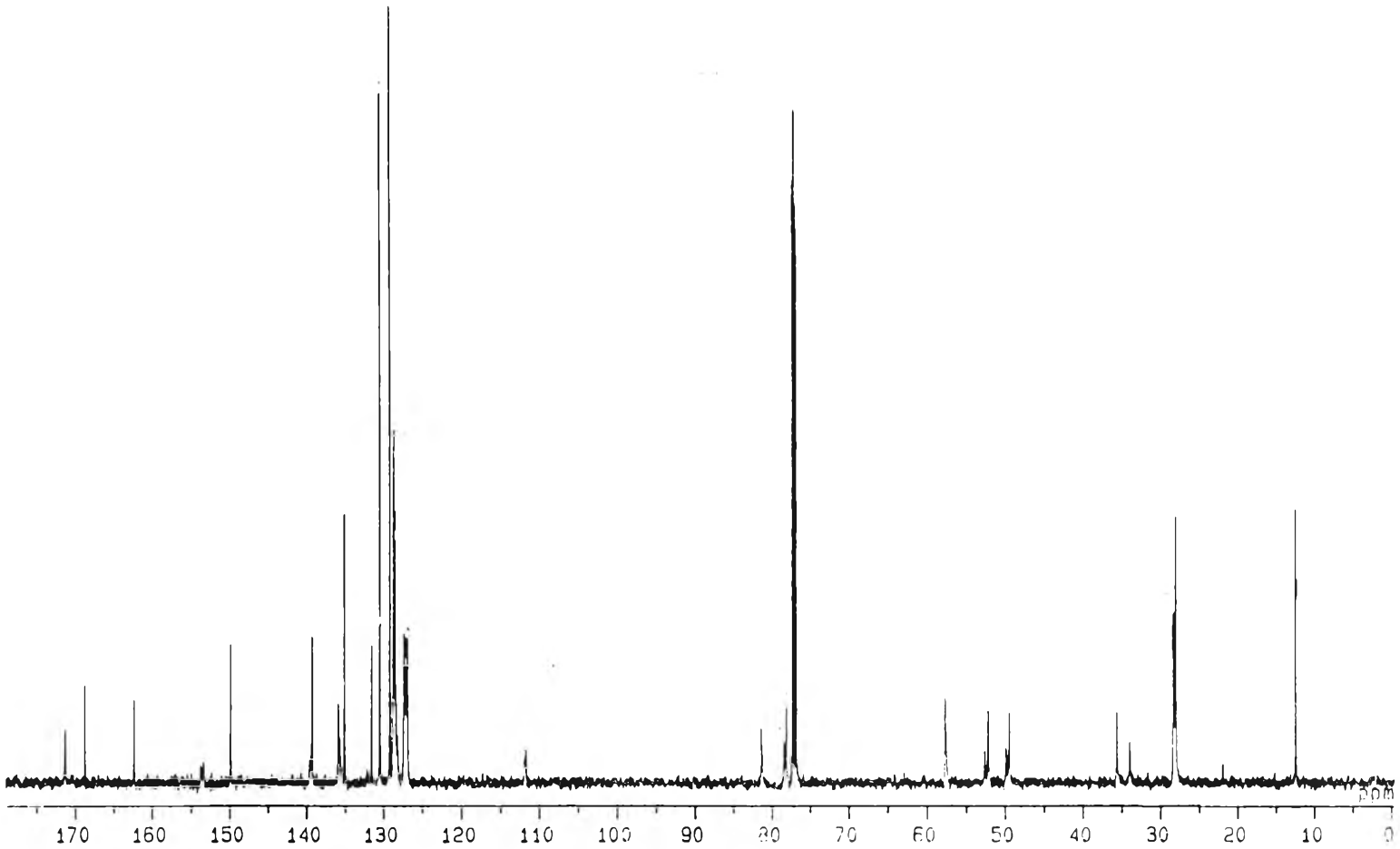

Figure 20 ^{13}C NMR spectrum ($CDCl_3$, 125.65 MHz) of *N-tert*-butoxycarbonyl-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline diphenylmethyl ester (Intermediate) **(7)**

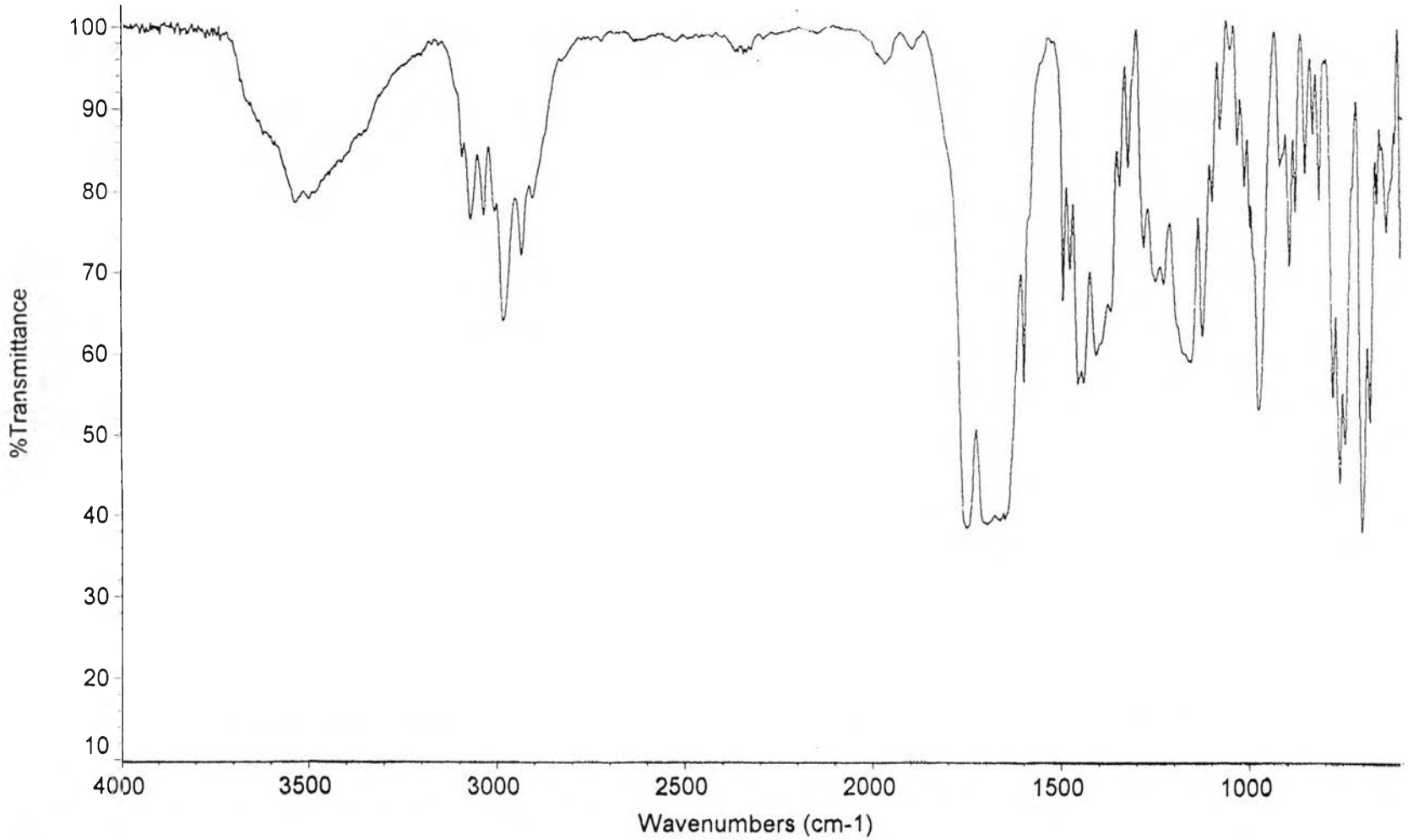

Figure 21 IR spectrum (KBr) of *N-tert*-butoxycarbonyl-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline diphenylmethyl ester (Intermediate) **(7)**

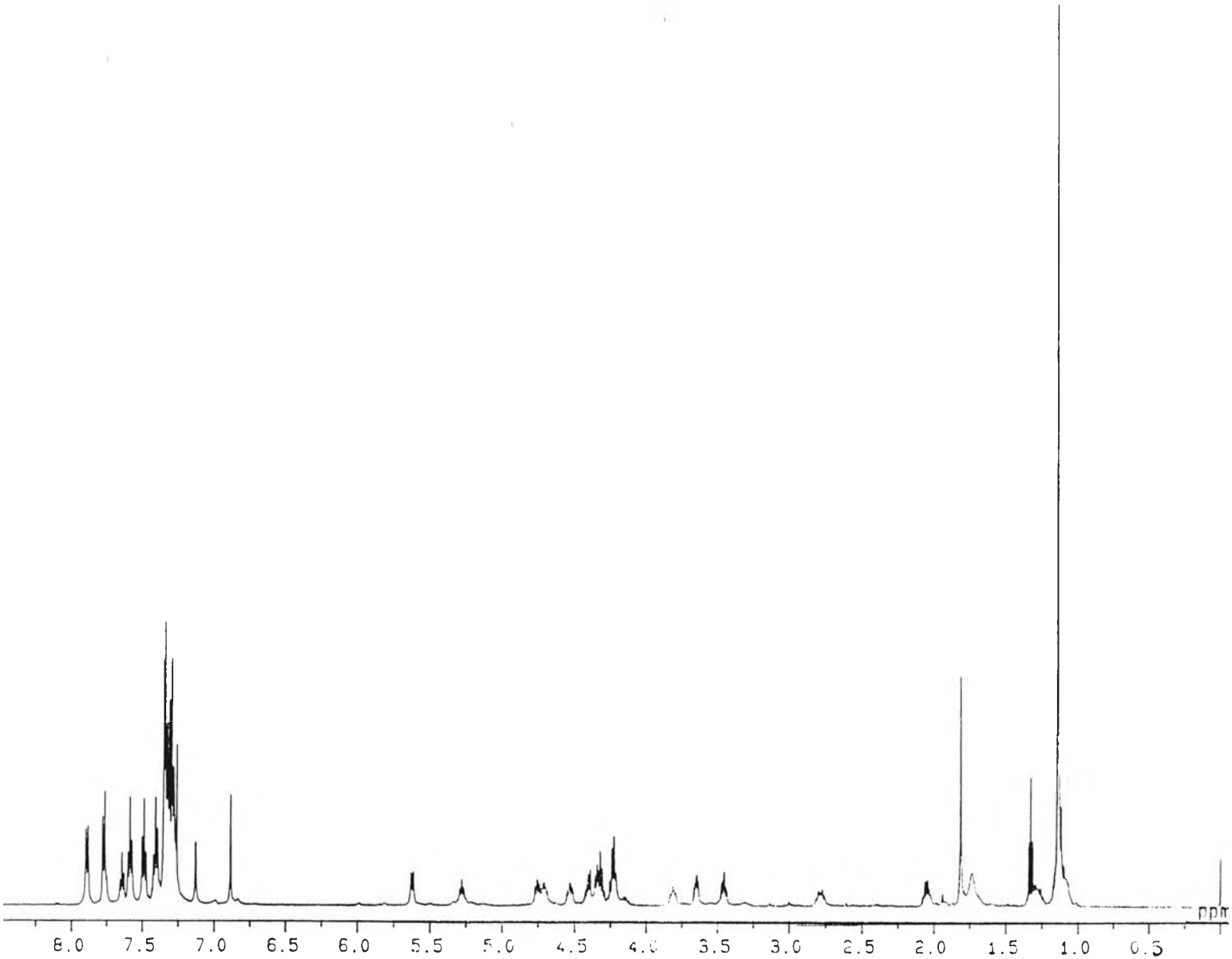

Figure 22 ^{1}H NMR spectrum ($CDCl_3$, 500 MHz) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl-*O*-*tert*-butyl-L-seryl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline diphenylmethyl ester **(8)**

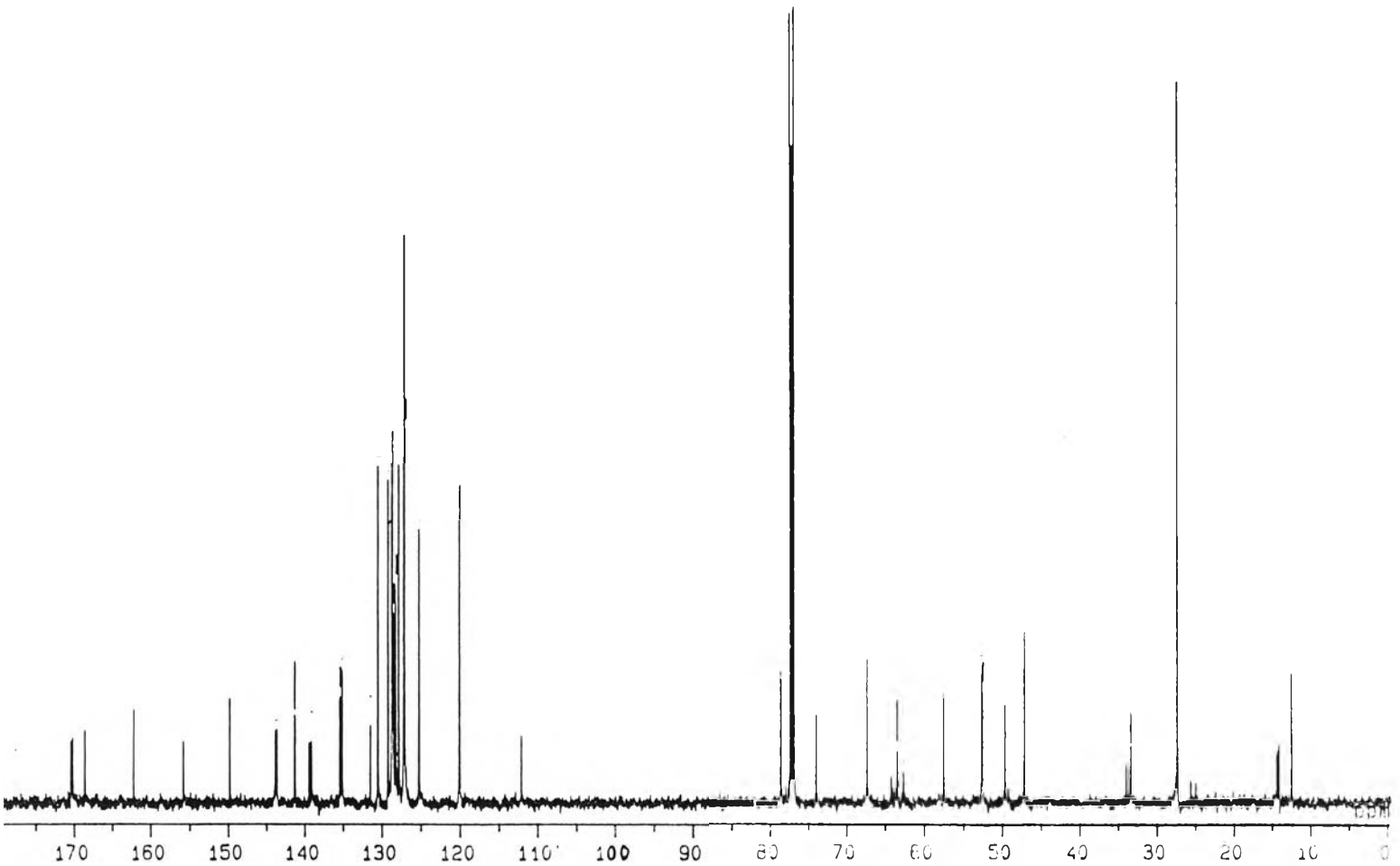

Figure 23 ^{13}C NMR spectrum ($CDCl_3$, 125.65 MHz) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl-*O*-*tert*-butyl-L-seryl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline diphenylmethyl ester **(8)**

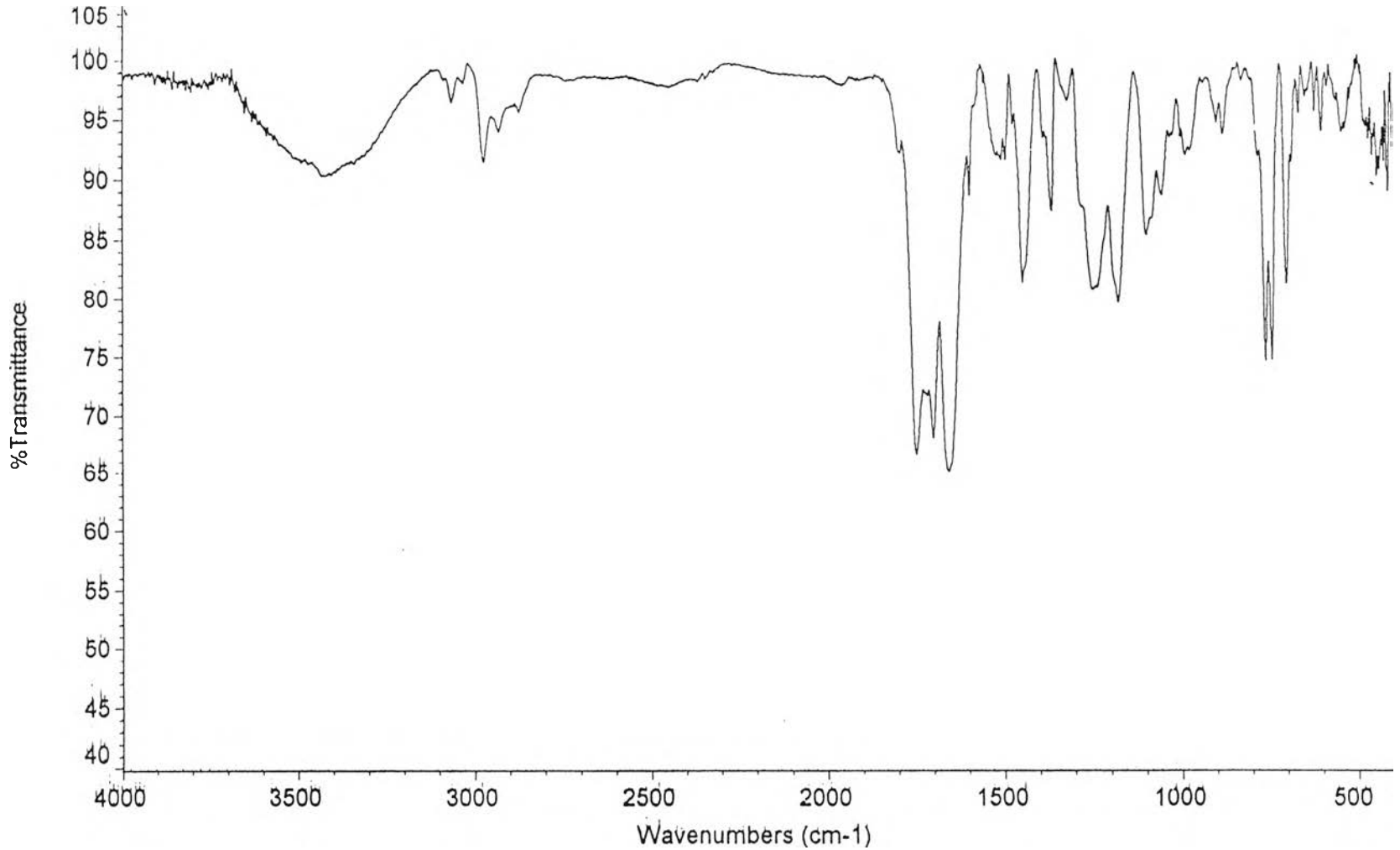

Figure 24 IR spectrum (KBr) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl-*O*-*tert*-butyl-L-seryl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline diphenylmethyl ester **(8)**

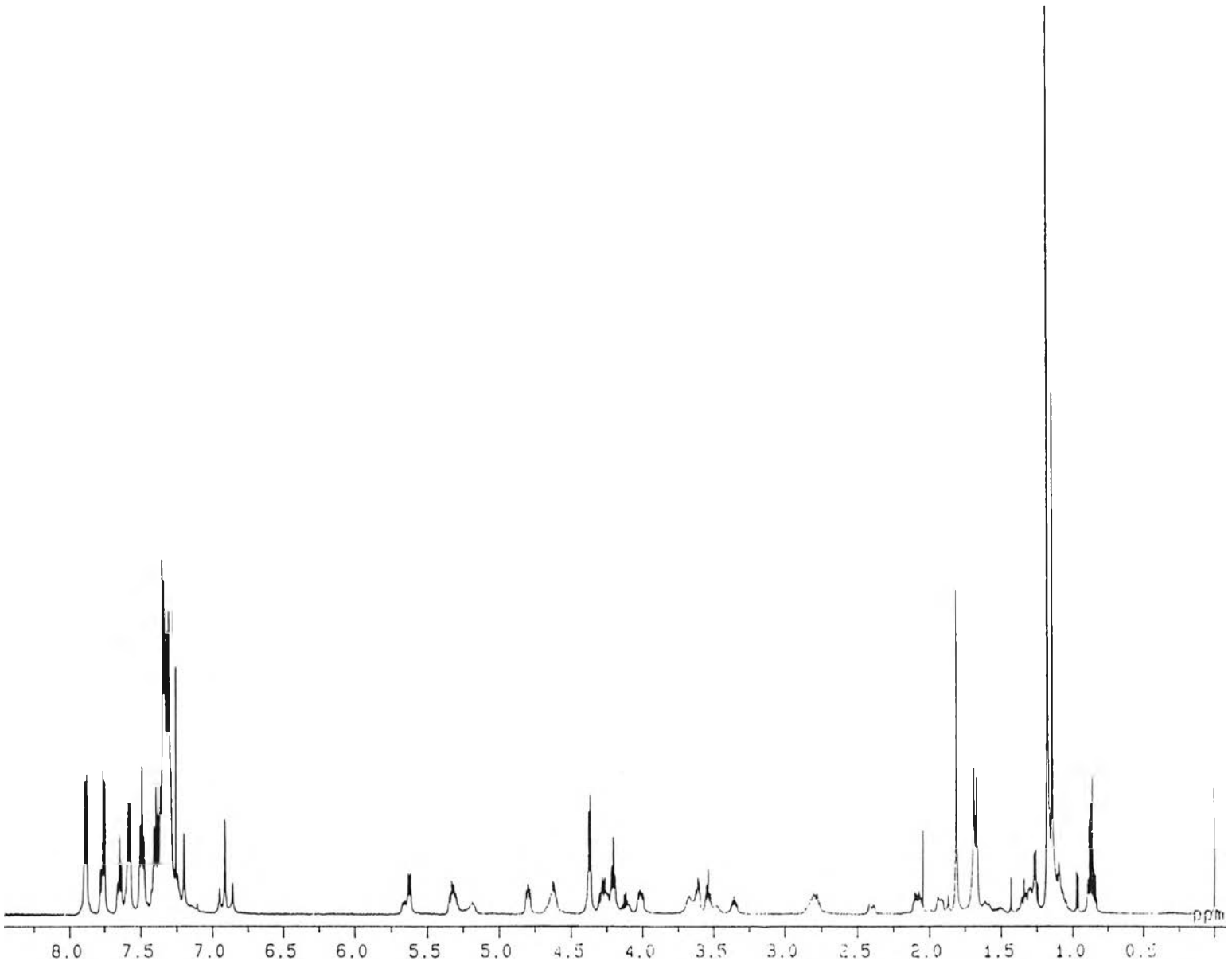

Figure 25 ^{1}H NMR spectrum ($CDCl_3$, 500 MHz) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl-*O*-*tert*-butyl-D-seryl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline diphenylmethyl ester **(9)**

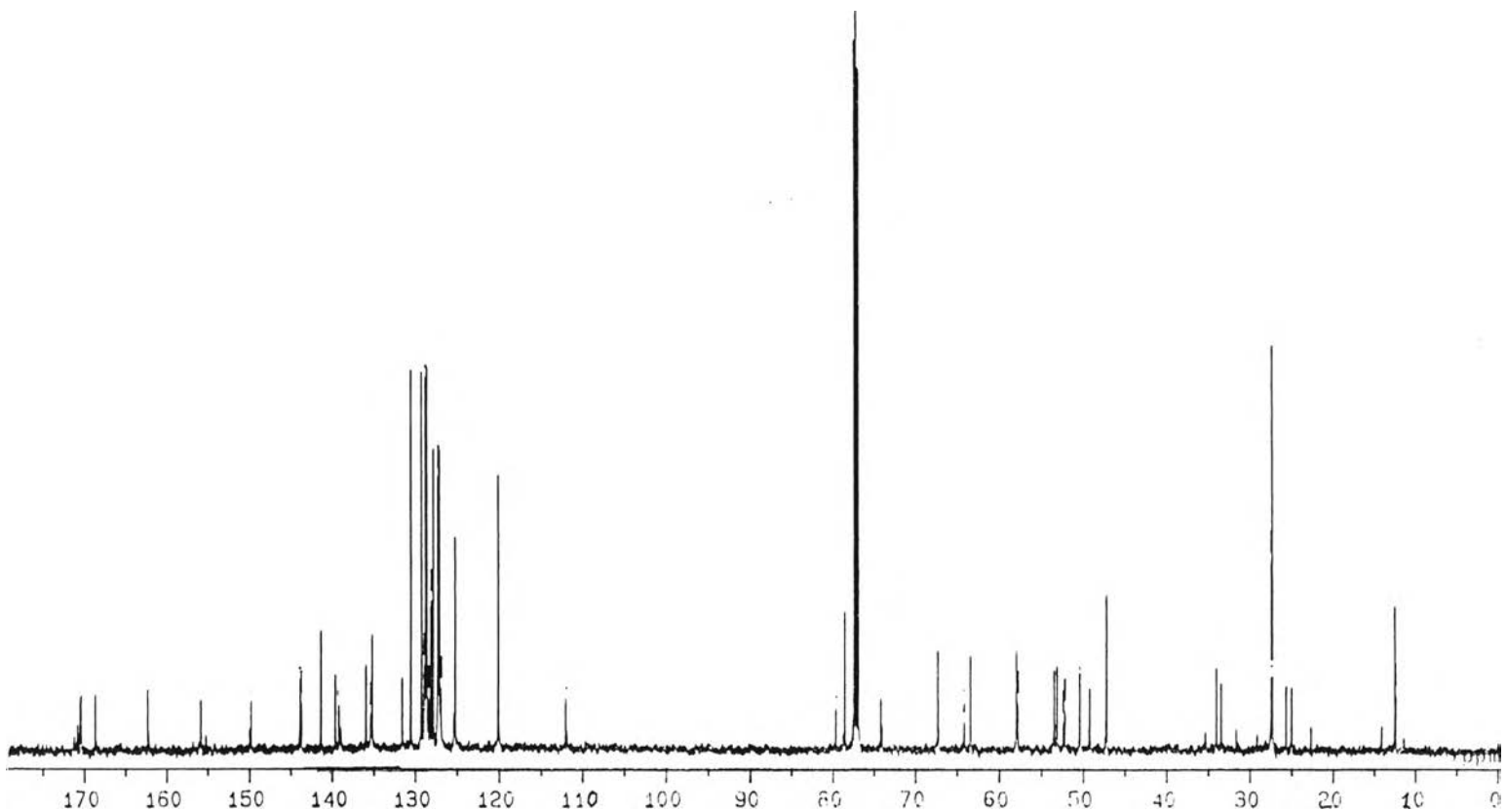

Figure 26 ^{13}C NMR spectrum ($CDCl_3$, 125.65 MHz) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl-*O*-*tert*-butyl-D-seryl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline diphenylmethyl ester **(9)**

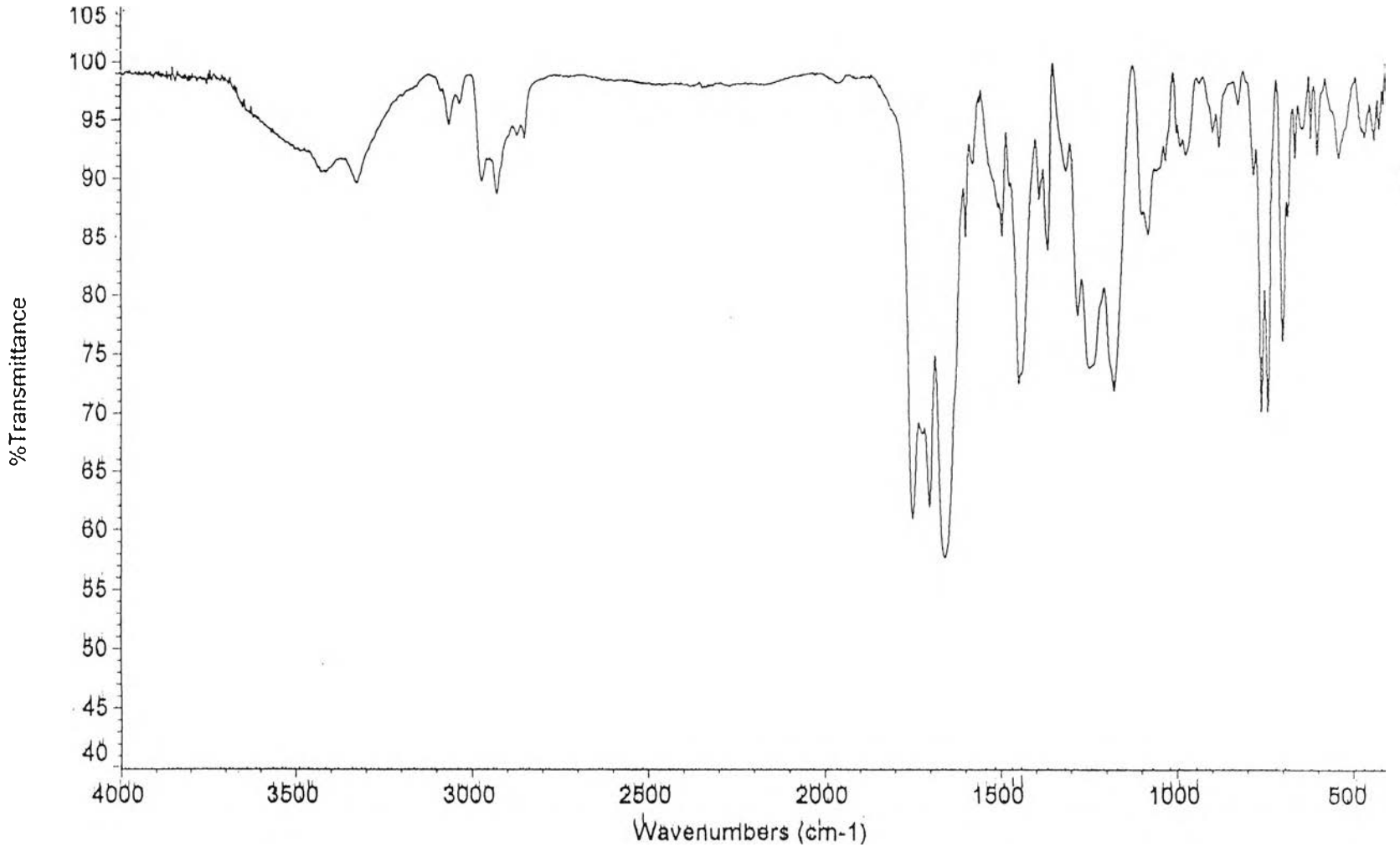

Figure 27 IR spectrum (KBr) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl-*O*-*tert*-butyl-D-seryl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D- proline diphenylmethyl ester **(9)**

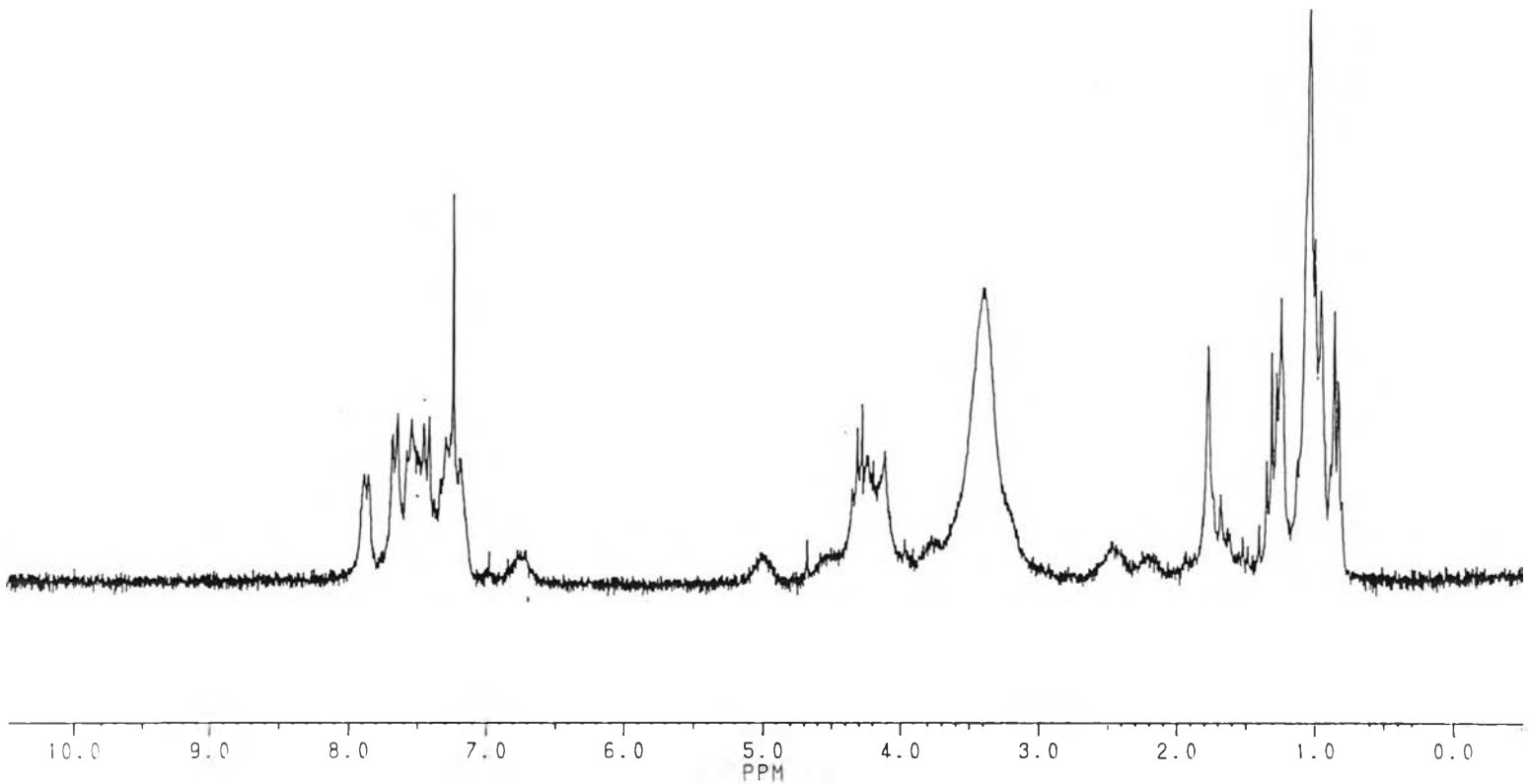

Figure 28 ^{1}H NMR spectrum ($CDCl_3$, 500 MHz) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl-*O*-*tert*-butyl-L-seryl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline **(10)**

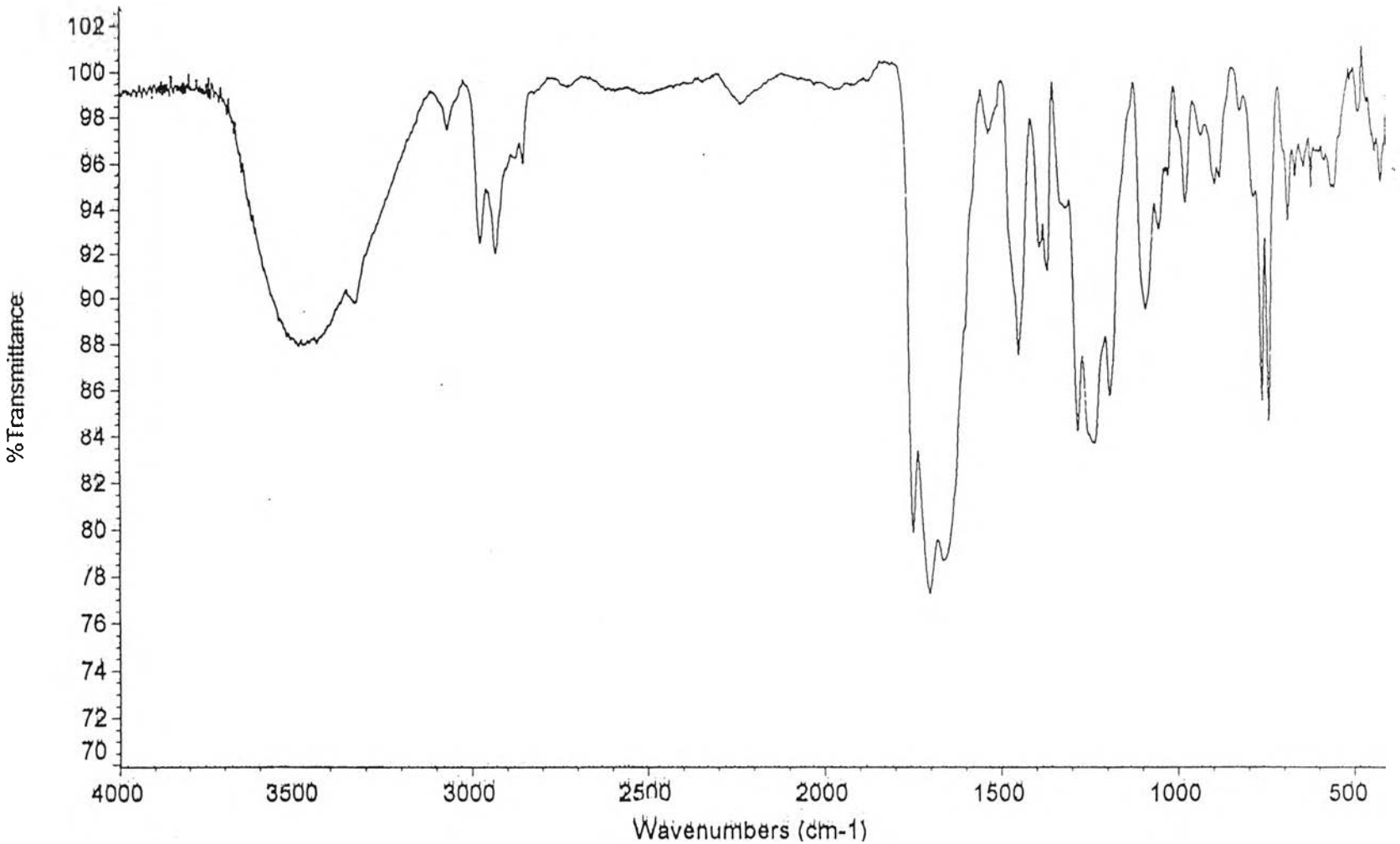

Figure 29 IR spectrum (KBr) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl-*O*-*tert*-butyl-L-seryl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline **(10)**

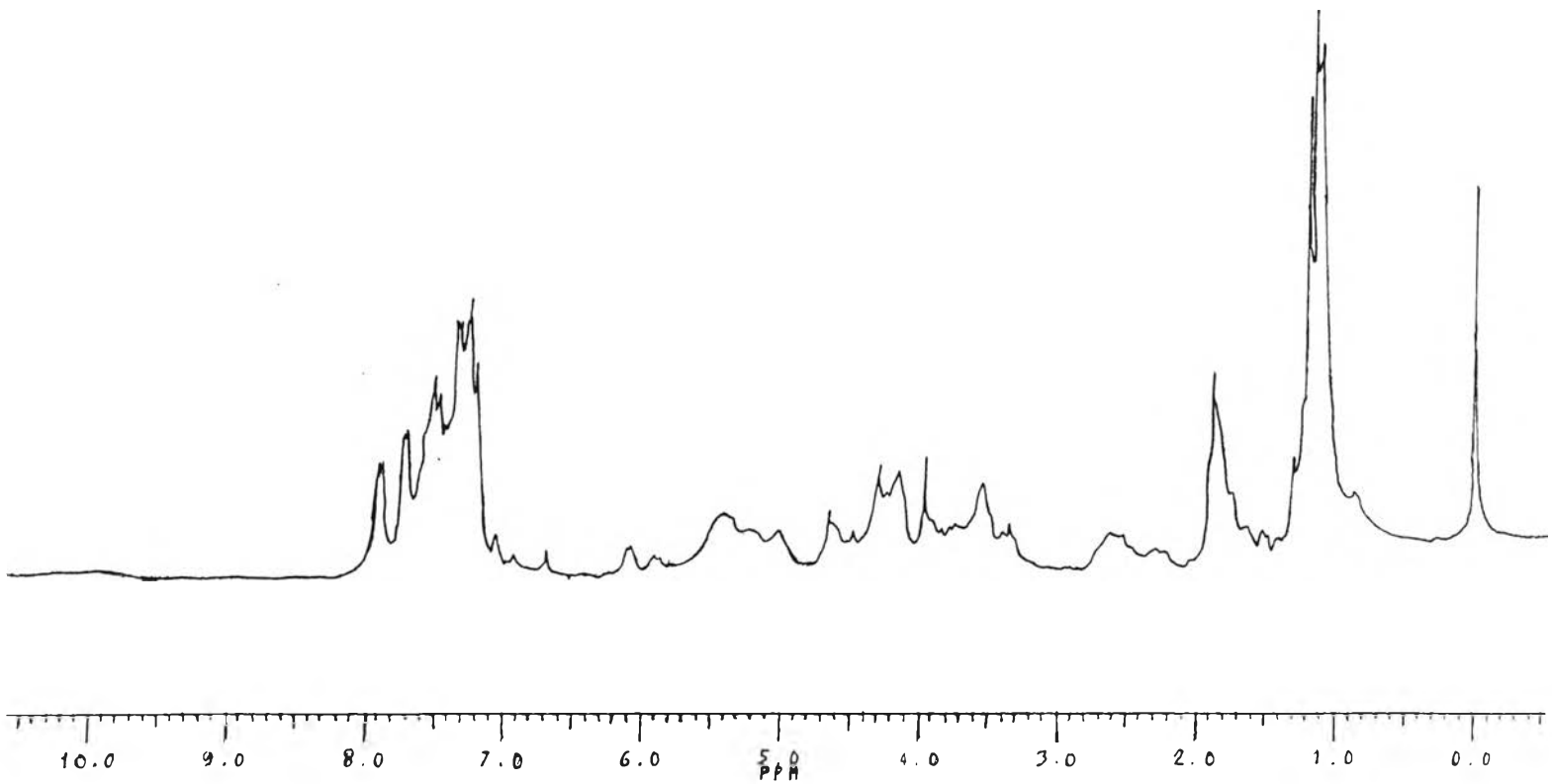

Figure 30 ^{1}H NMR spectrum ($CDCl_3$, 500 MHz) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl-*O*-*tert*-butyl-D-seryl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline **(11)**

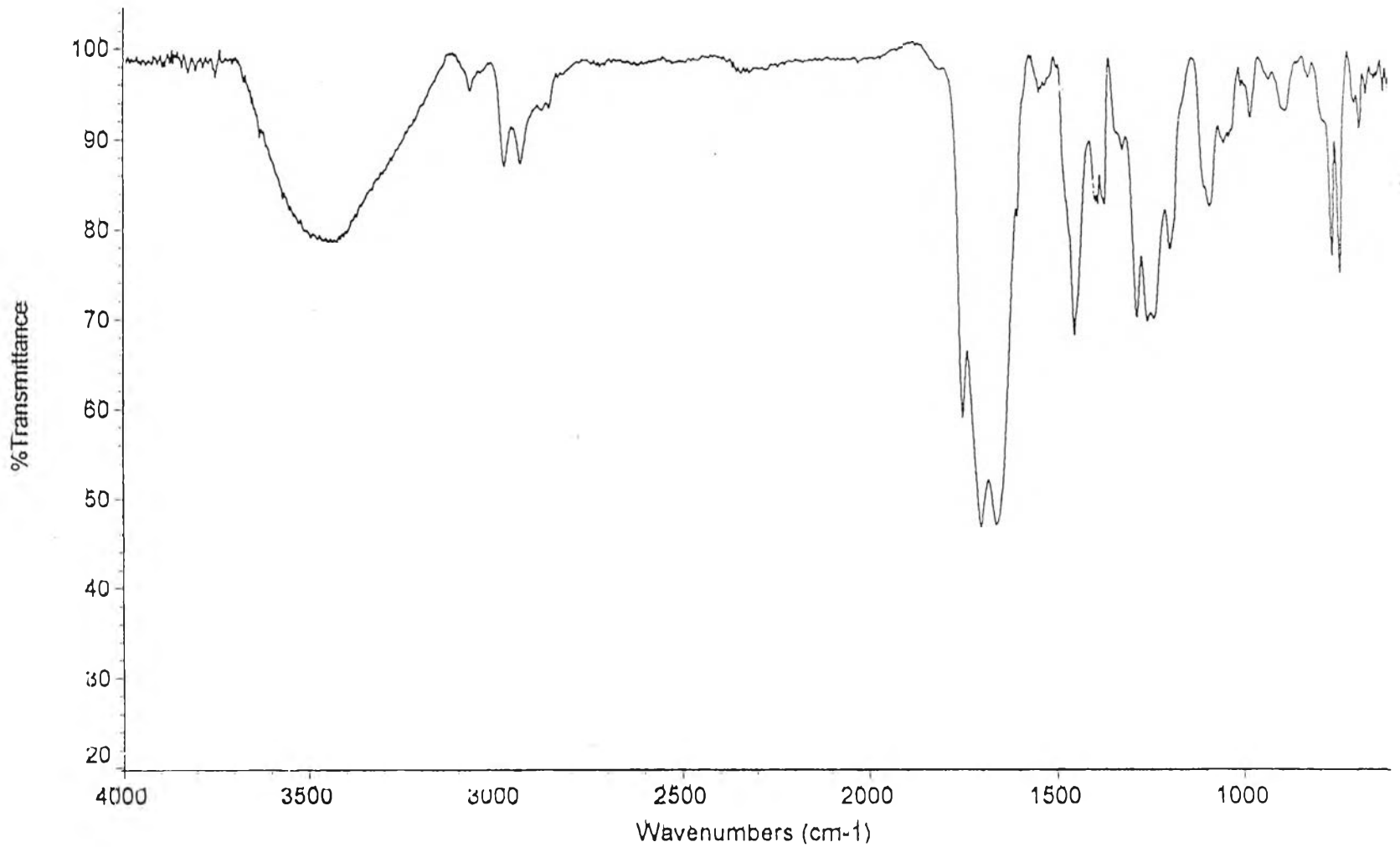

Figure 31 IR spectrum (KBr) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl-*O*-*tert*-butyl-D-seryl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline **(11)**

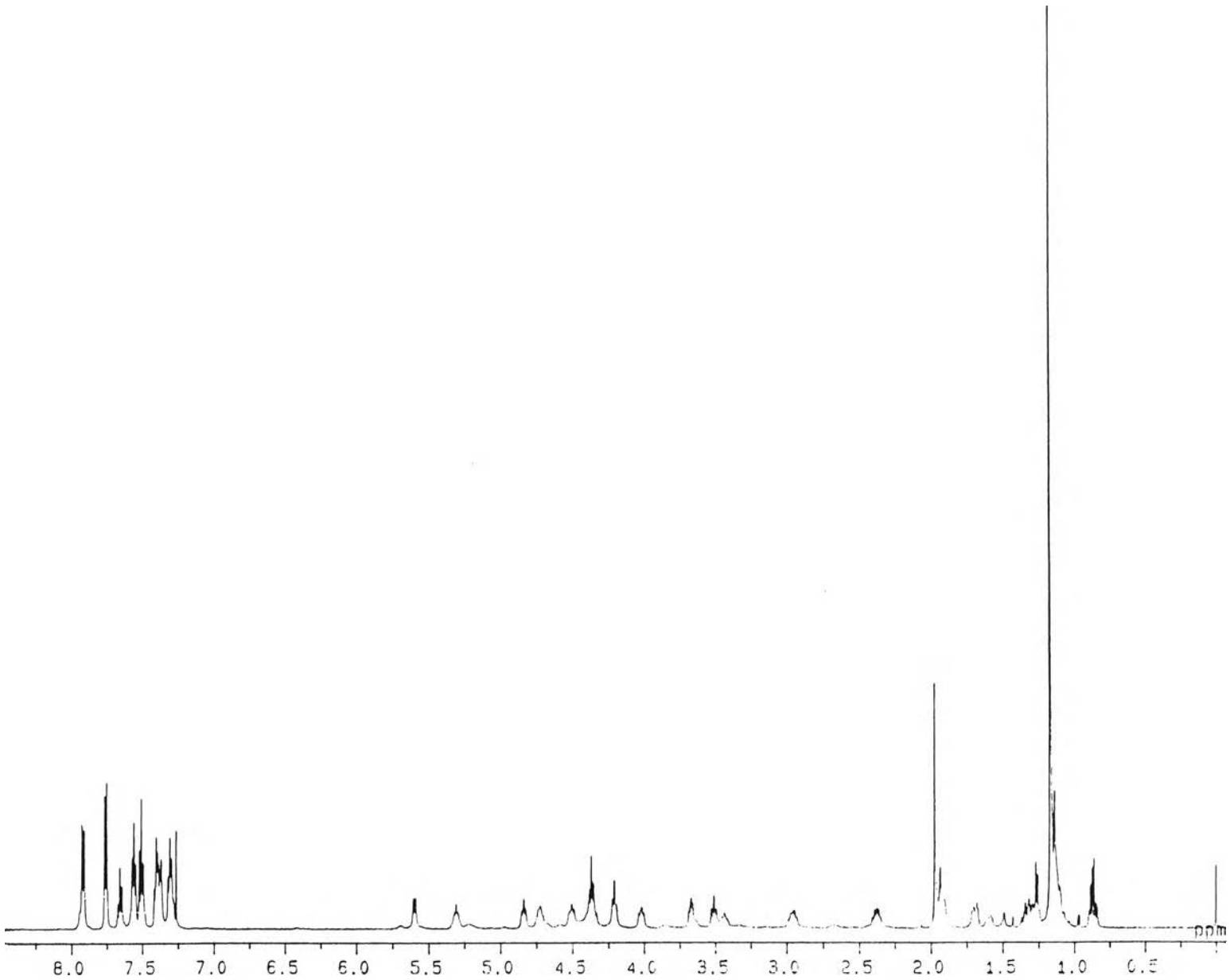

Figure 32 ^{1}H NMR spectrum ($CDCl_3$, 500 MHz) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl-*O*-*tert*-butyl-L-seryl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline pentafluorophenyl ester **(12)**

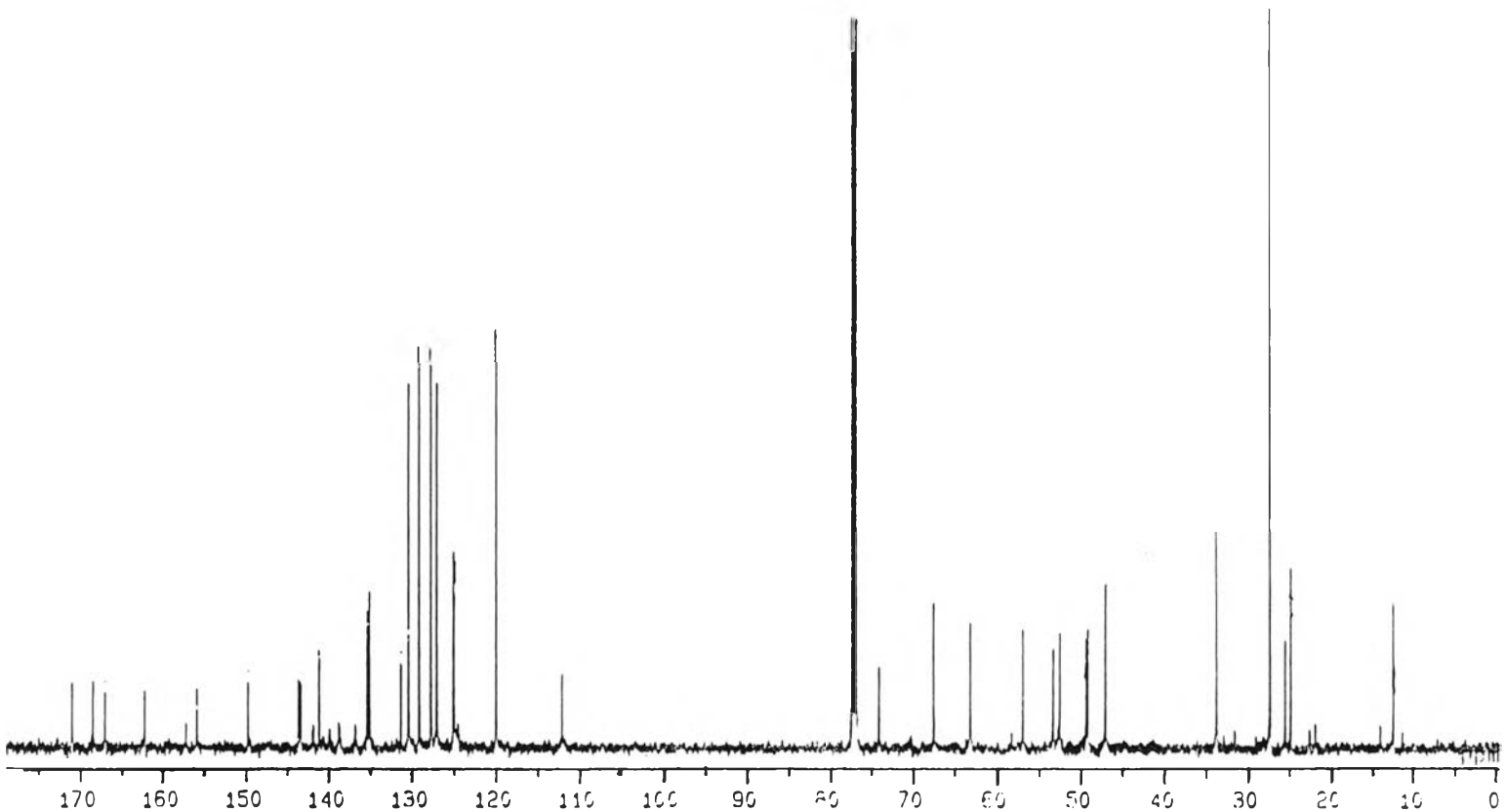

Figure 33 ^{13}C NMR spectrum ($CDCl_3$, 125.65 MHz) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl-*O*-*tert*-butyl-L-seryl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline pentafluorophenyl ester **(12)**

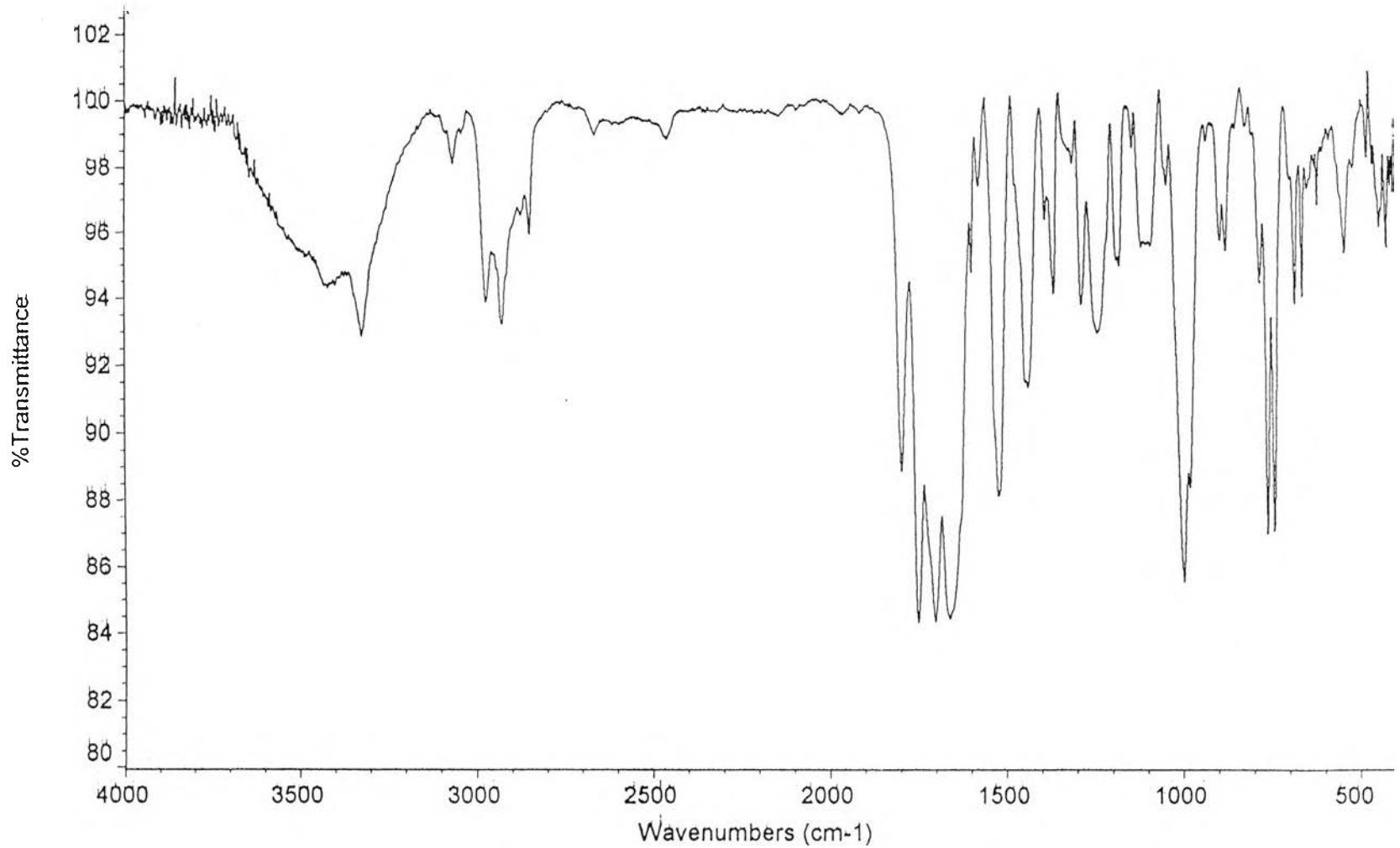

Figure 34 IR spectrum (KBr) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl-*O*-*tert*-butyl-L-seryl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline pentafluorophenyl ester **(12)**

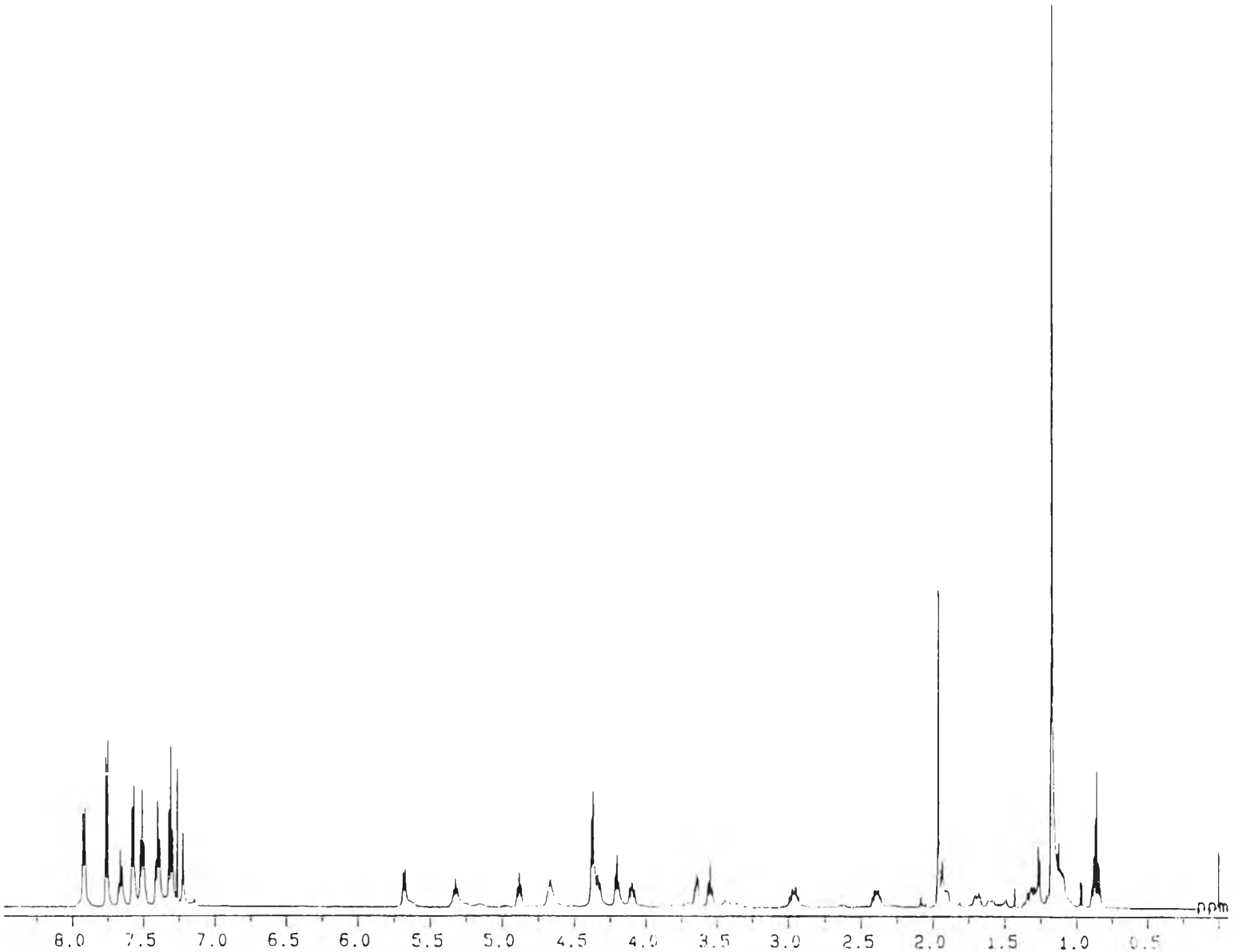

Figure 35 ^{1}H NMR spectrum ($CDCl_3$, 500 MHz) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl-*O*-*tert*-butyl-D-seryl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline pentafluorophenyl ester **(13)**

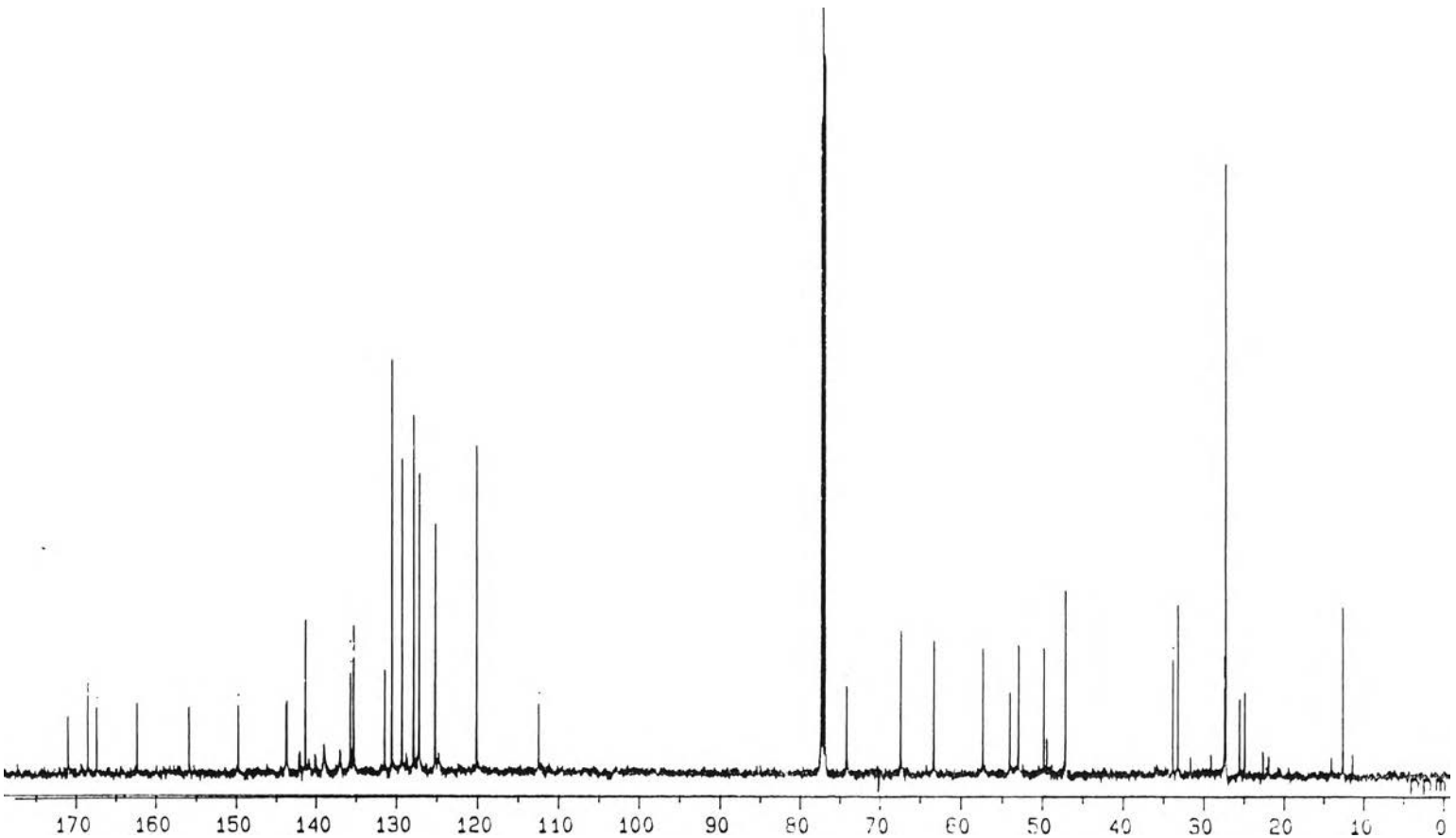

Figure 36 ^{13}C NMR spectrum ($CDCl_3$, 125.65 MHz) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl-*O*-*tert*-butyl-D-seryl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline pentafluorophenyl ester **(13)**

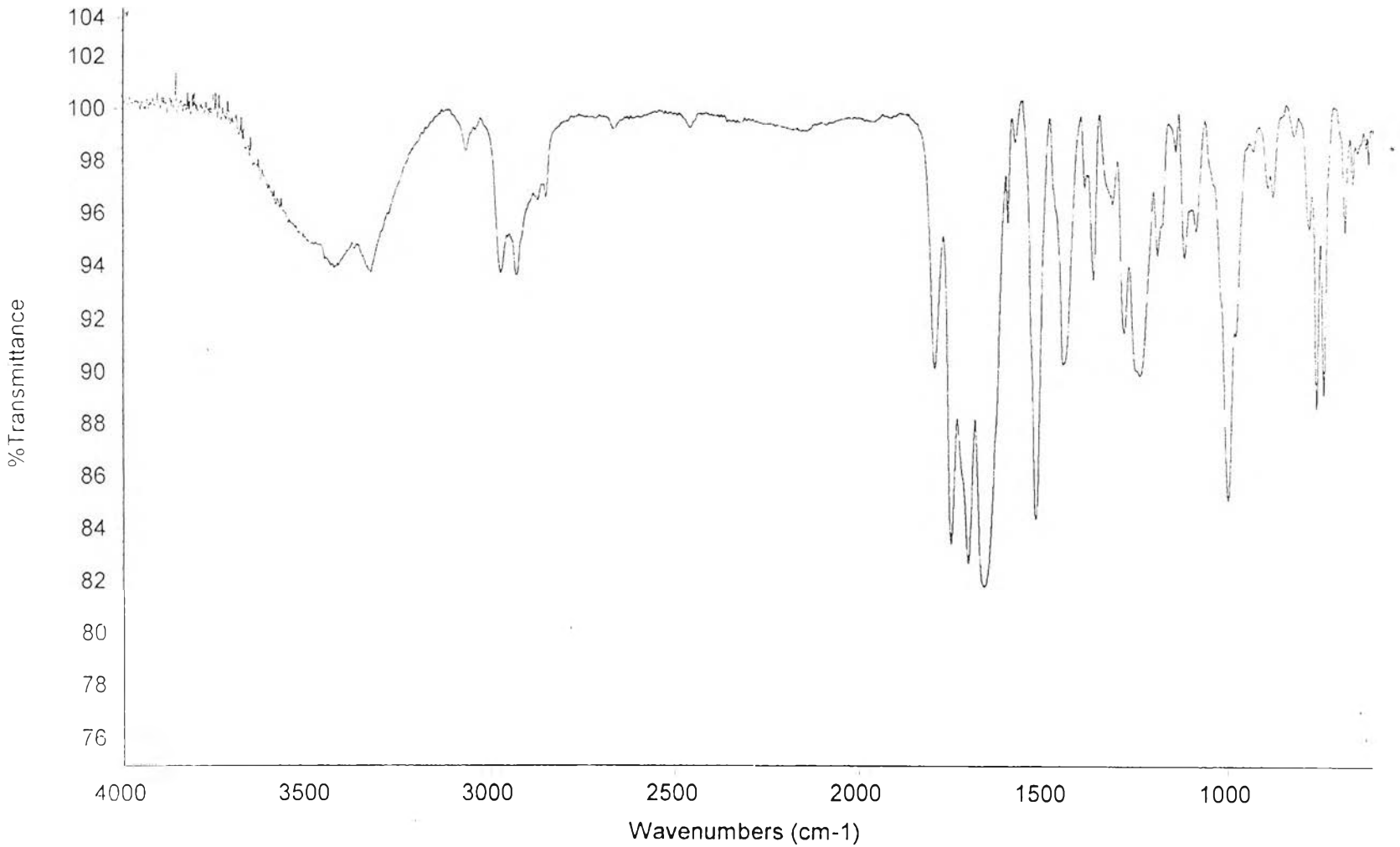

Figure 37 IR spectrum (KBr) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl-*O*-*tert*-butyl-D-seryl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline pentafluorophenyl ester **(13)**

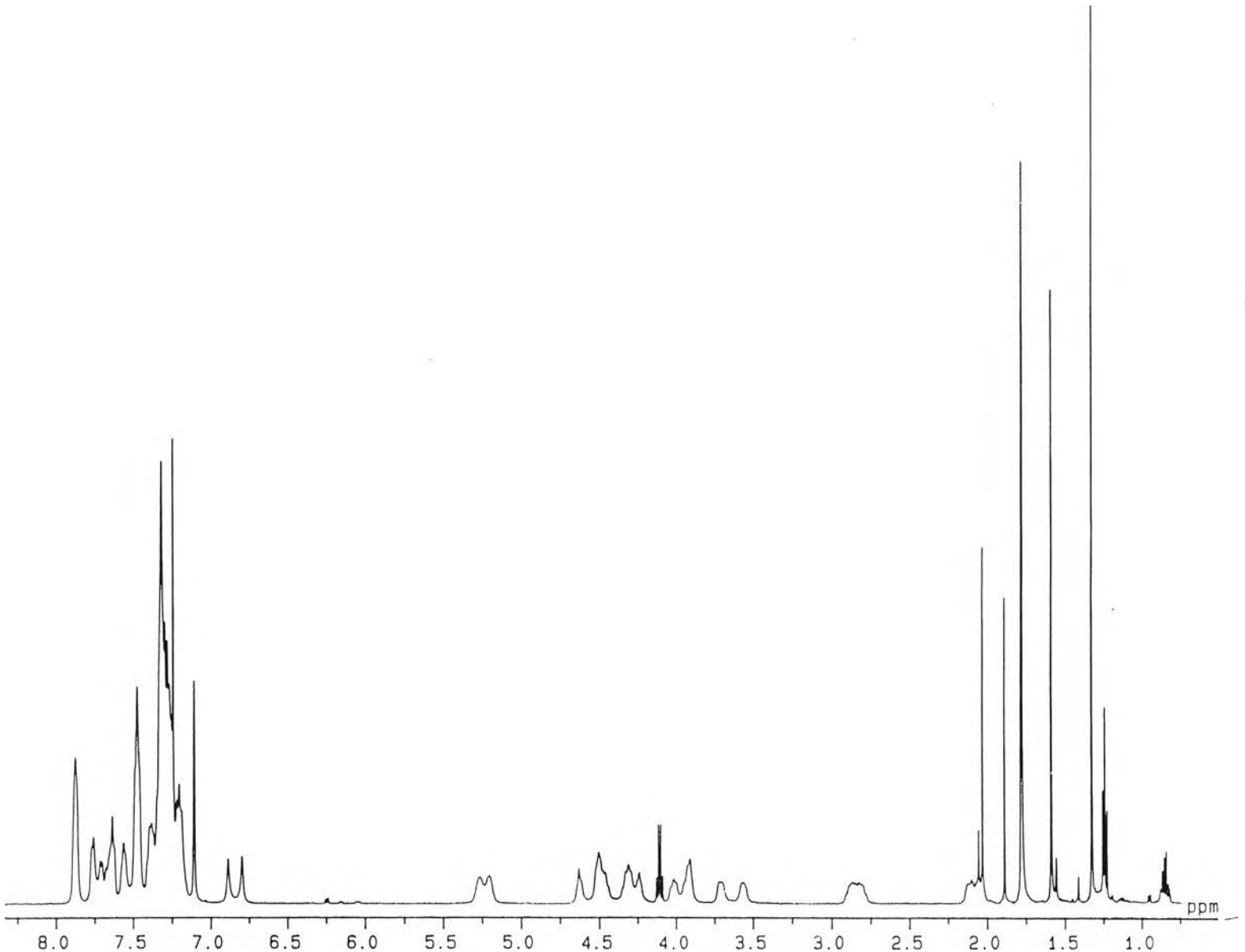

Figure 38 ^{1}H NMR spectrum ($CDCl_3$, 500 MHz) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline diphenylmethyl ester **(14)**

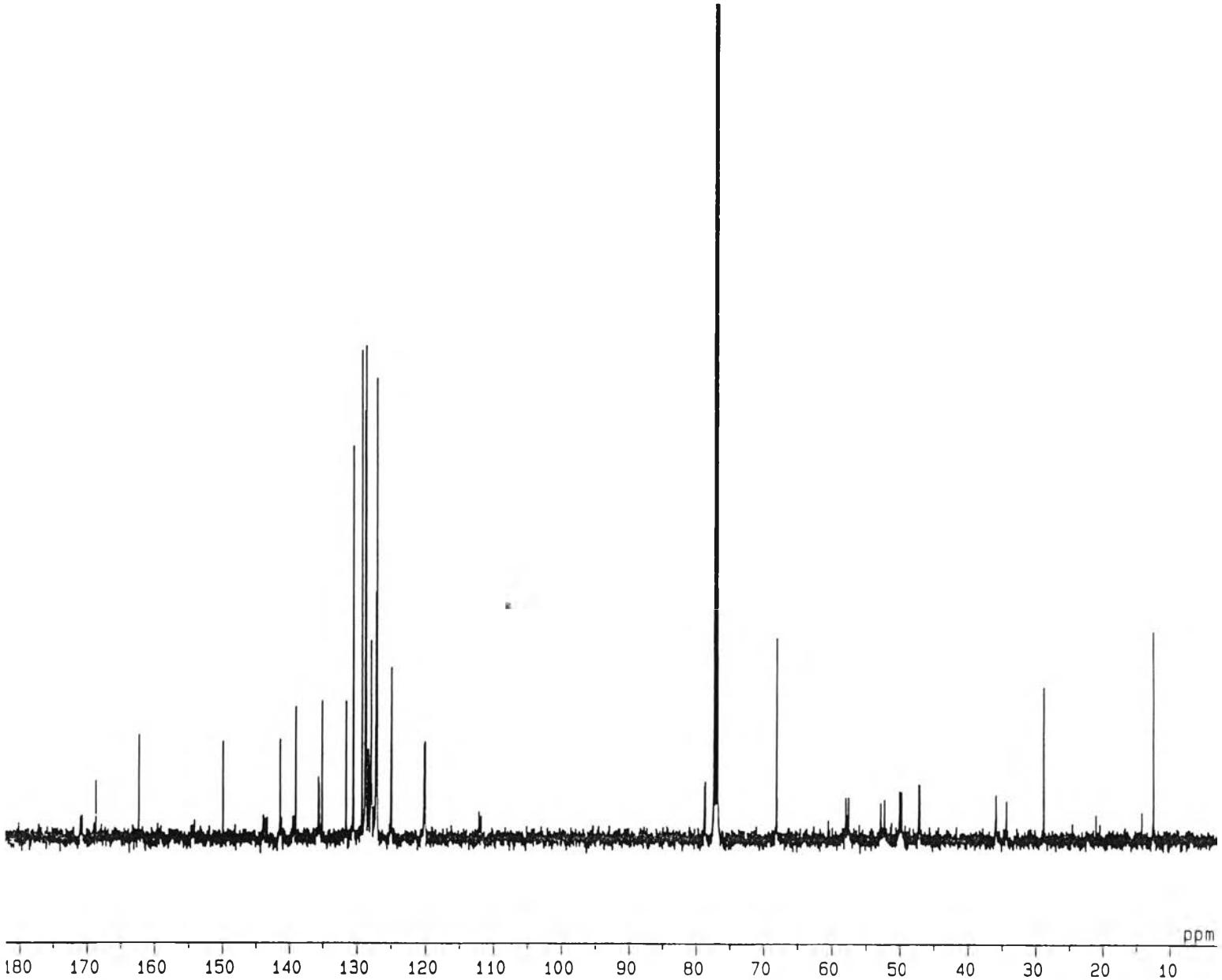

Figure 39 ^{13}C NMR spectrum ($CDCl_3$, 125.65 MHz) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline diphenylmethyl ester **(14)**

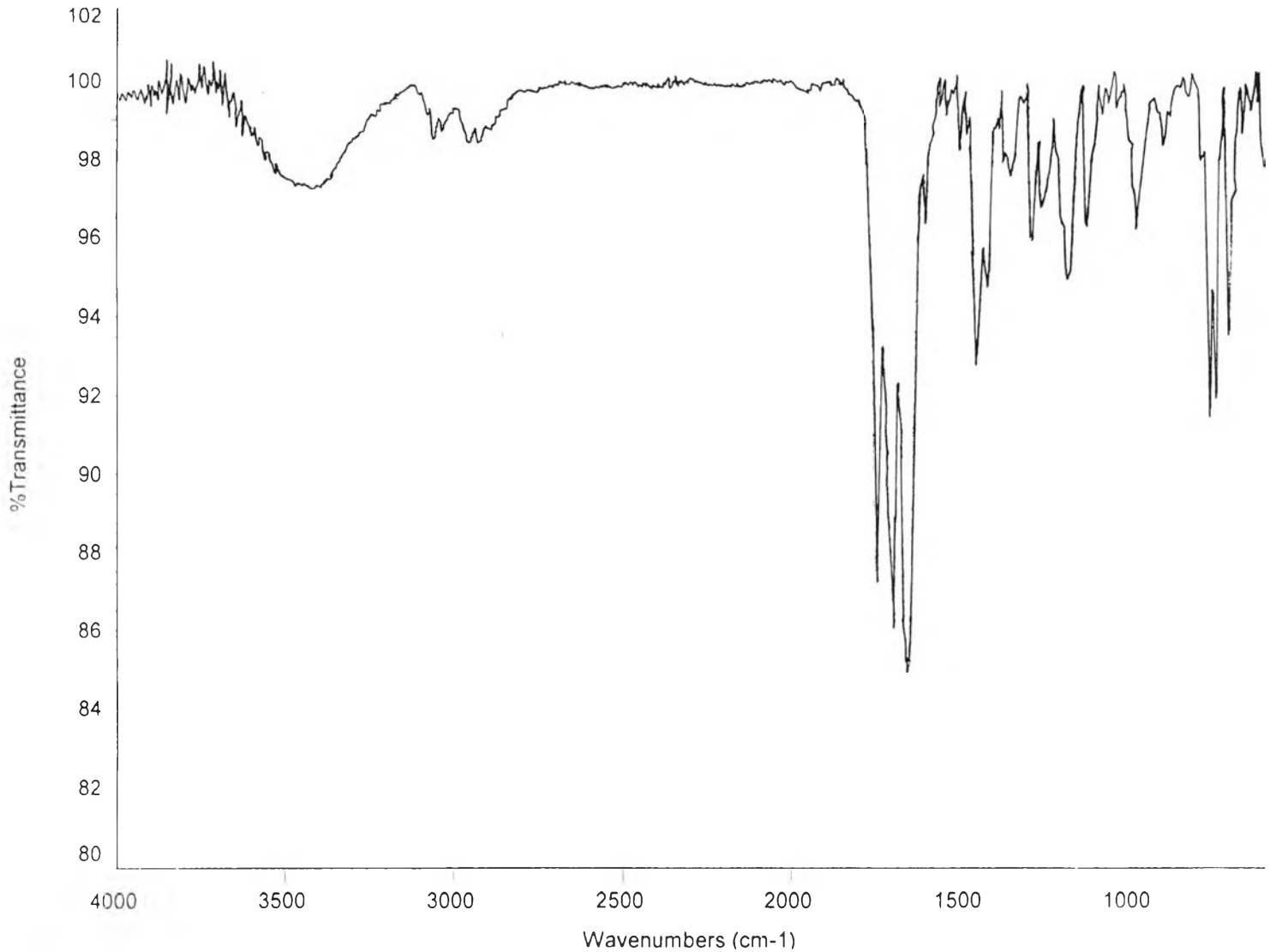

Figure 40 IR spectrum (KBr) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline diphenylmethyl ester **(14)**

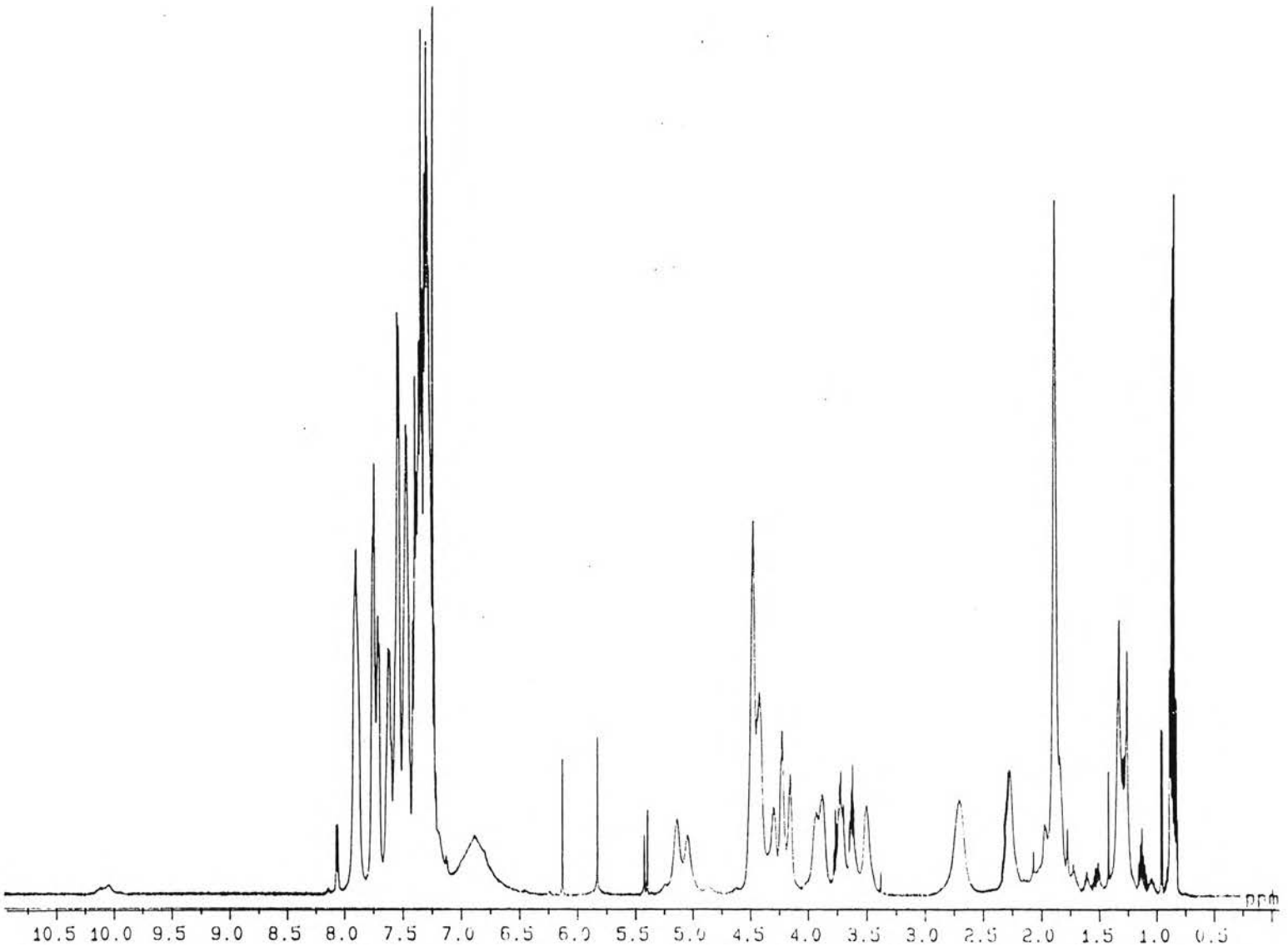

Figure 41 ^{1}H NMR spectrum ($CDCl_3$, 500 MHz) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline **(15)**

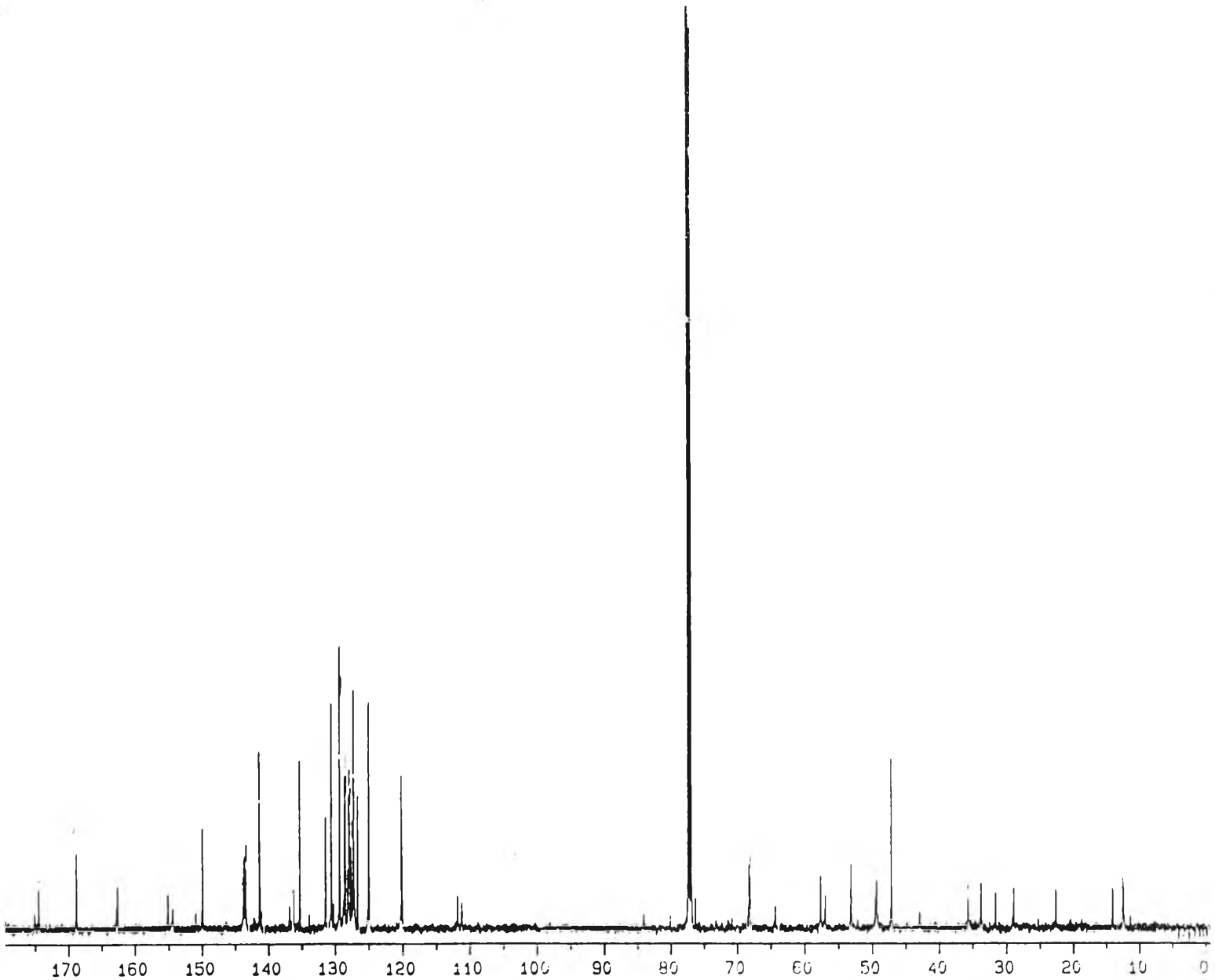

Figure 42 ^{13}C NMR spectrum ($CDCl_3$, 125.65 MHz) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline **(15)**

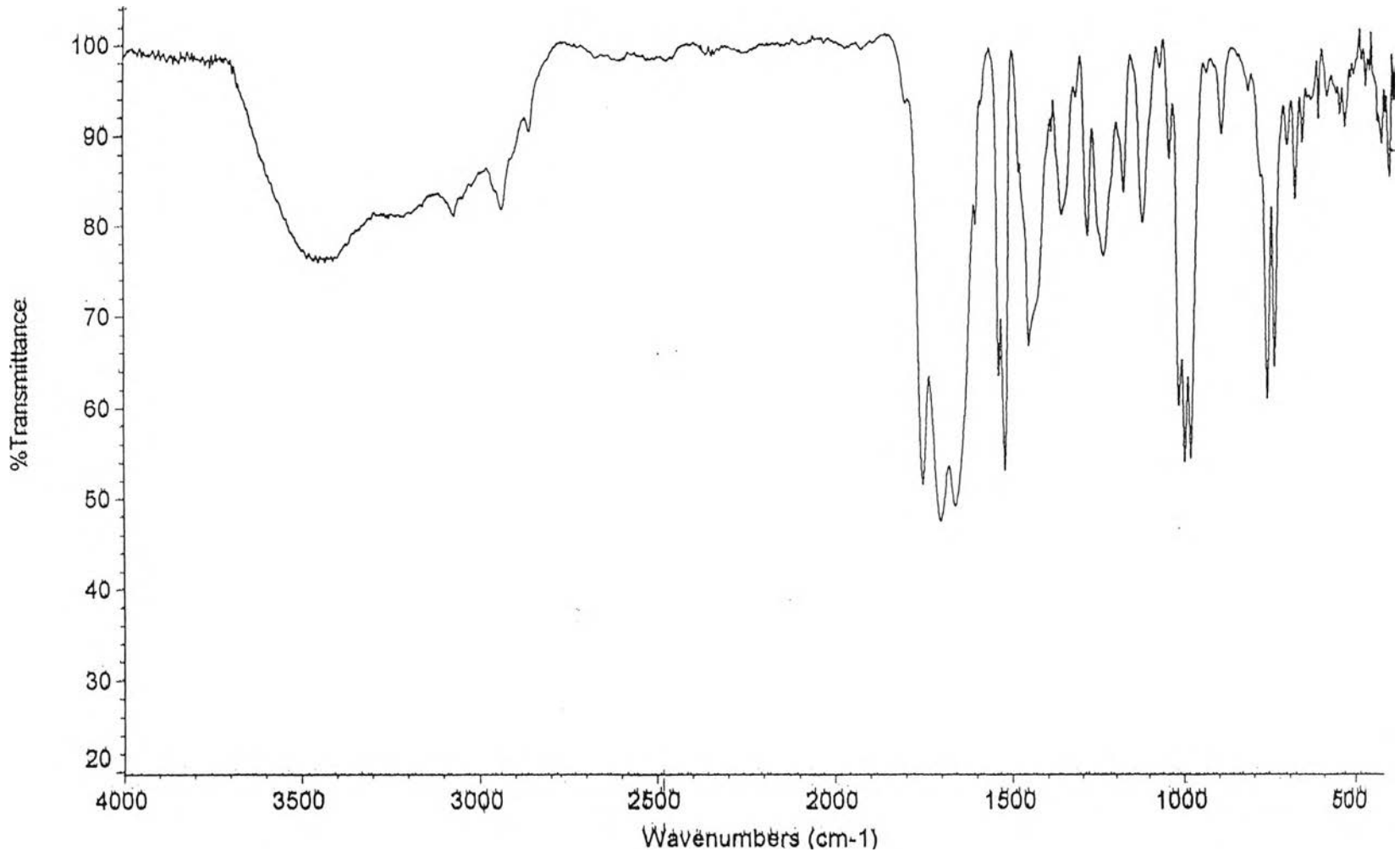

Figure 43 IR spectrum (KBr) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline **(15)**

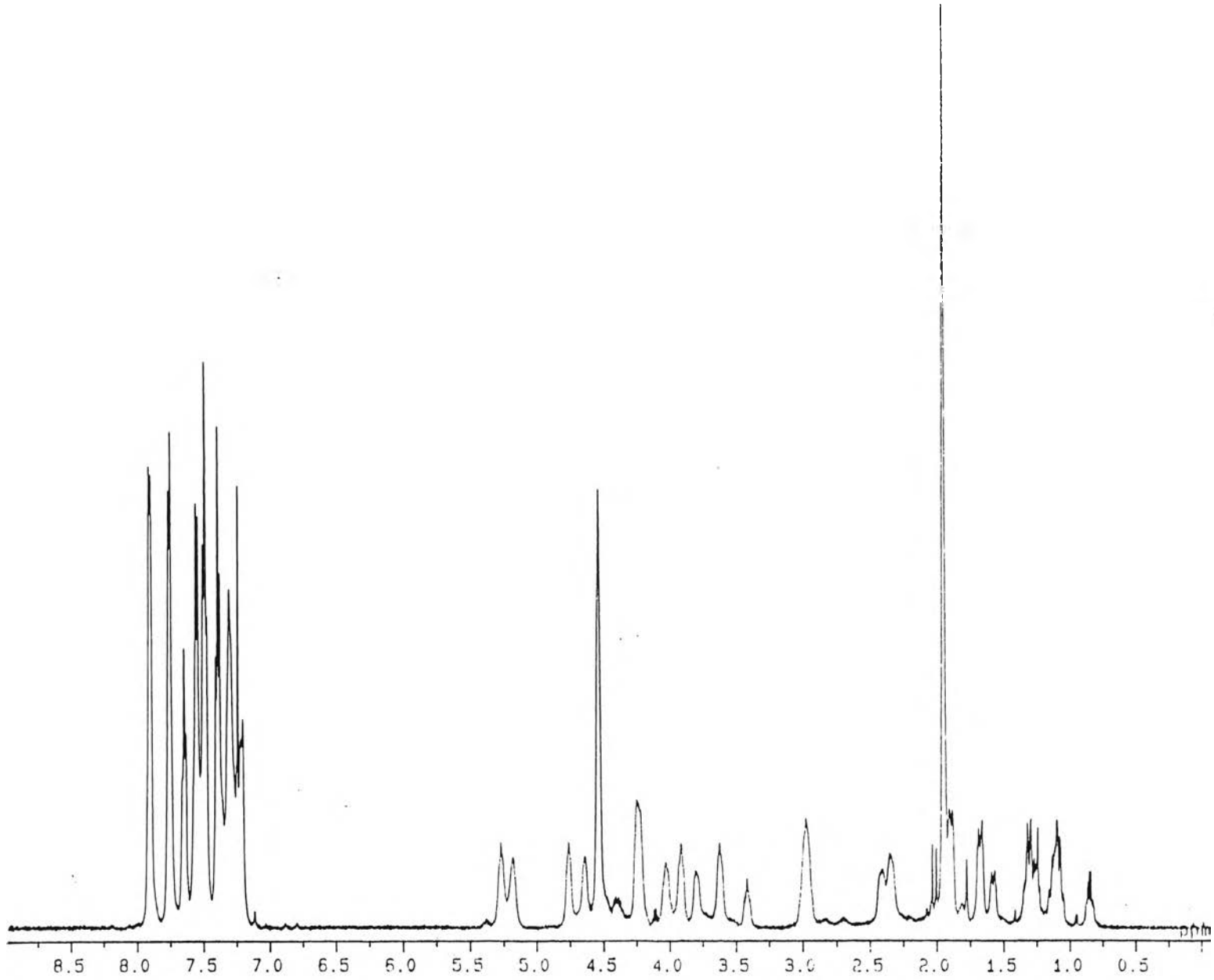

Figure 44 ^{1}H NMR spectrum ($CDCl_3$, 500 MHz) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline pentafluorophenyl ester **(16)**

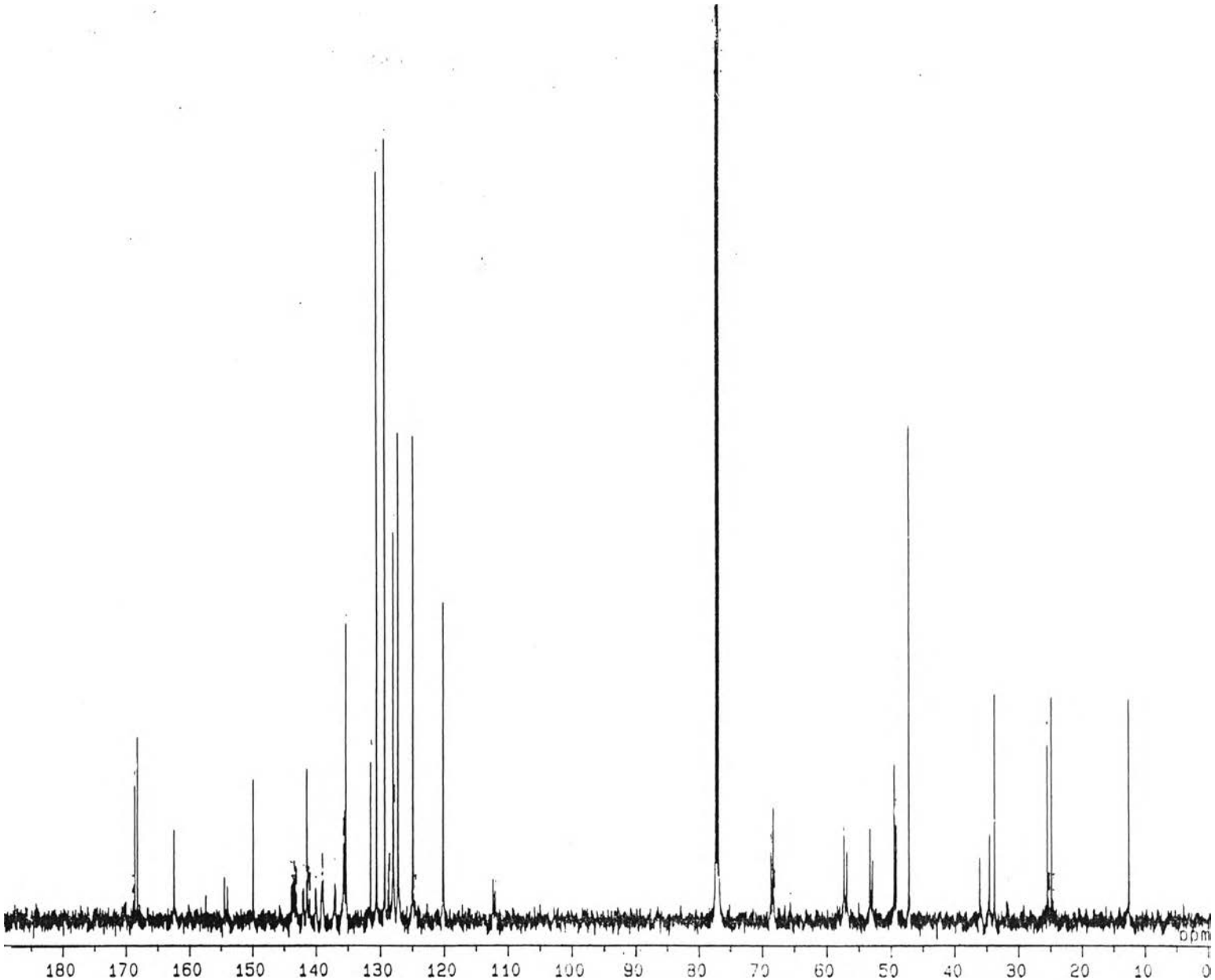

Figure 45 ^{13}C NMR spectrum ($CDCl_3$, 125.65 MHz) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline pentafluorophenyl ester **(16)**

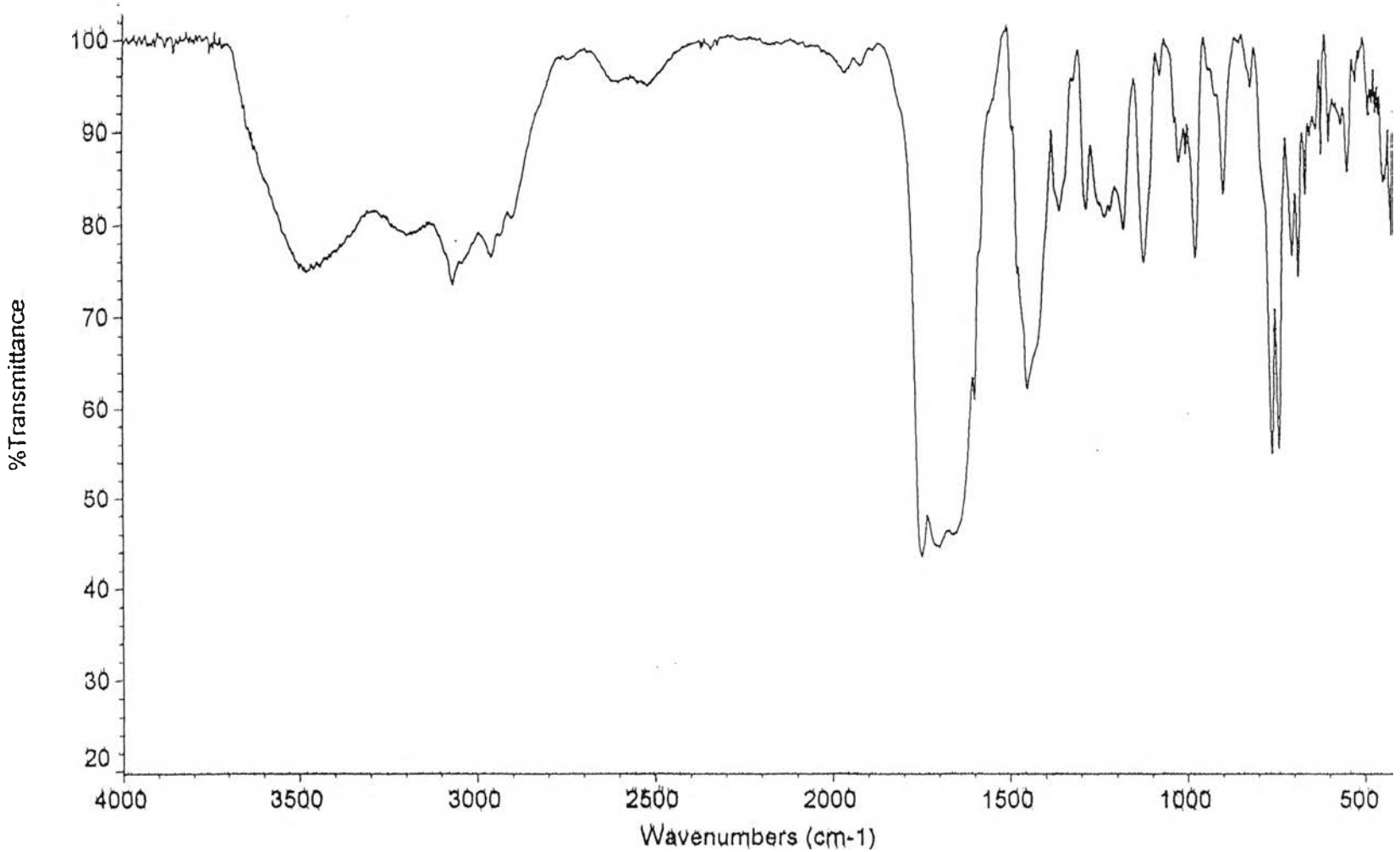

Figure 46 IR spectrum (KBr) of *N*-(*N*-fluoren-9-ylmethoxycarbonyl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline pentafluorophenyl ester **(16)**

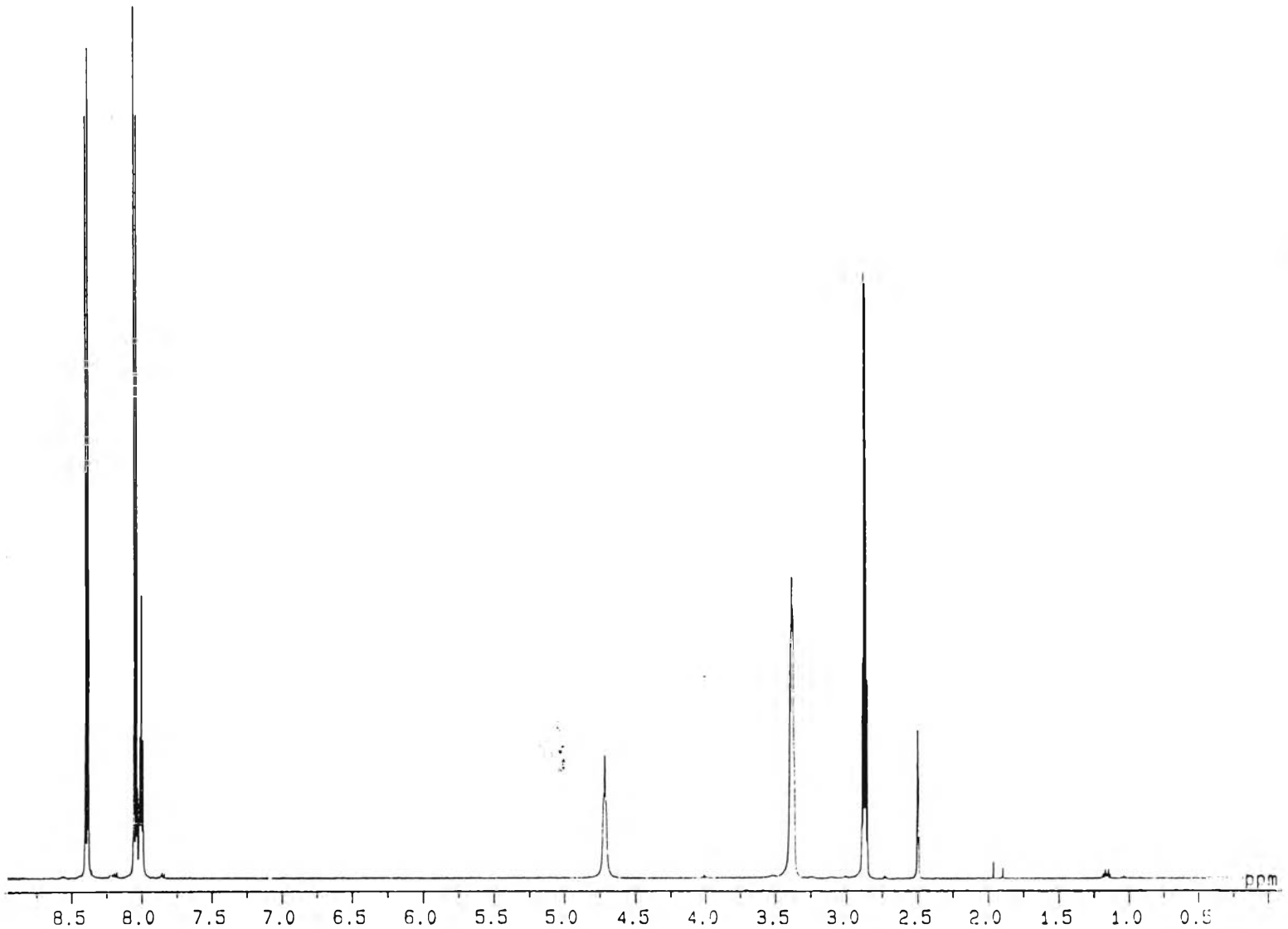

Figure 47 ^{1}H NMR spectrum (DMSO-d_6, 500 MHz) of *N*-(2-hydroxyethyl)-4-nitrobenzenesulfonamide **(17)**

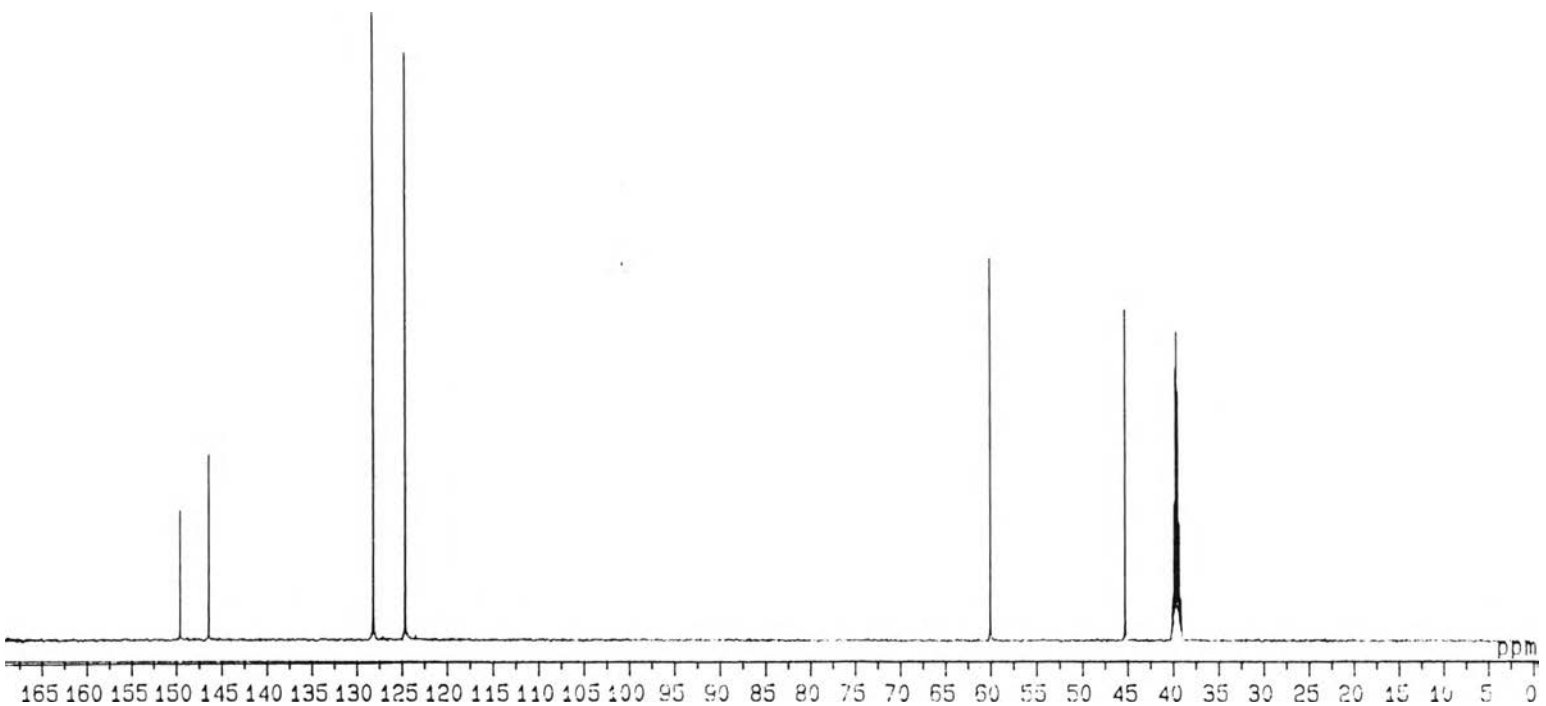

Figure 48 ^{13}C NMR spectrum (DMSO-d_6, 125.65 MHz) of *N*-(2-hydroxyethyl)-4-nitrobenzenesulfonamide **(17)**

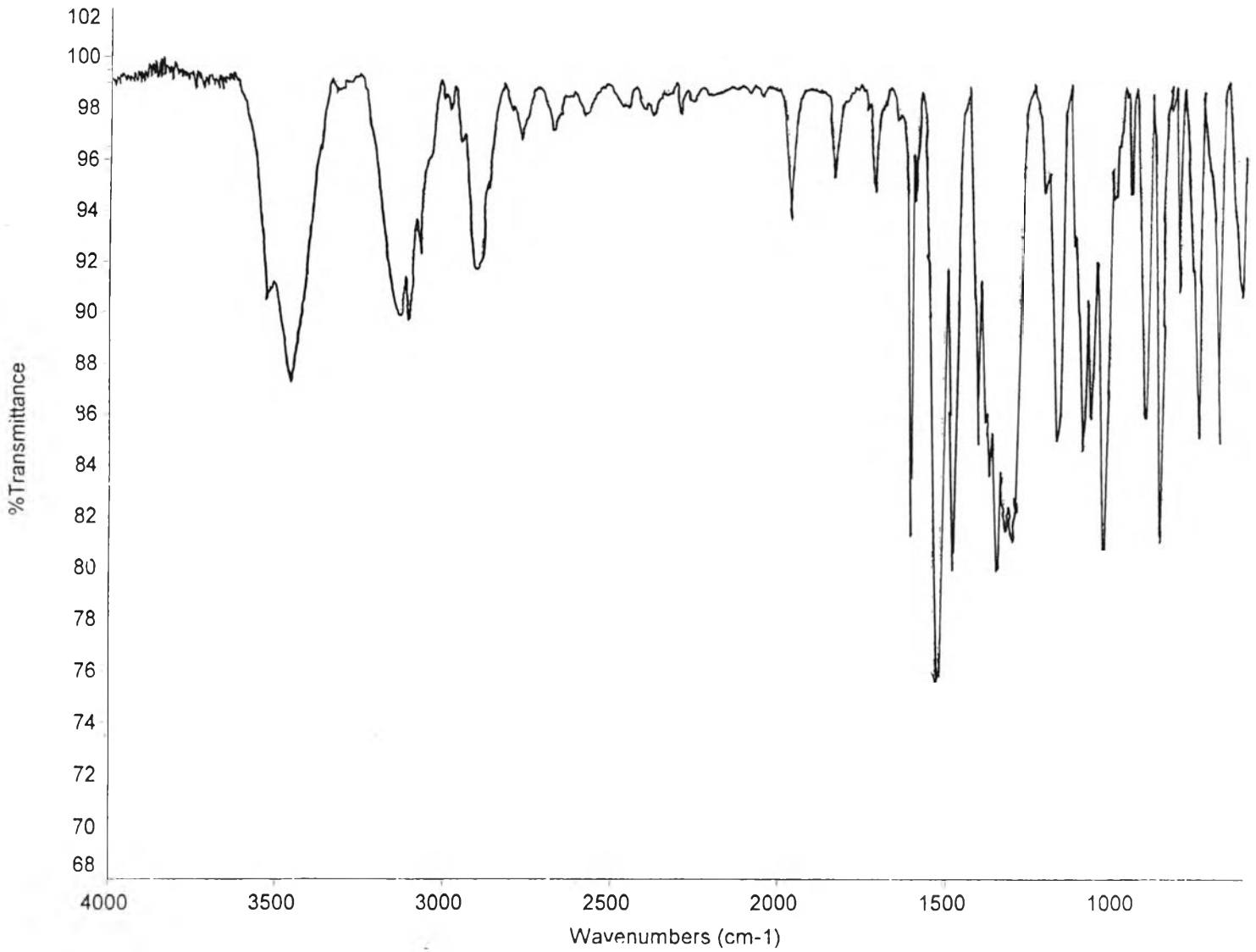

Figure 49 IR spectrum (KBr) of *N*-(2-hydroxyethyl)-4-nitrobenzenesulfonamide **(17)**

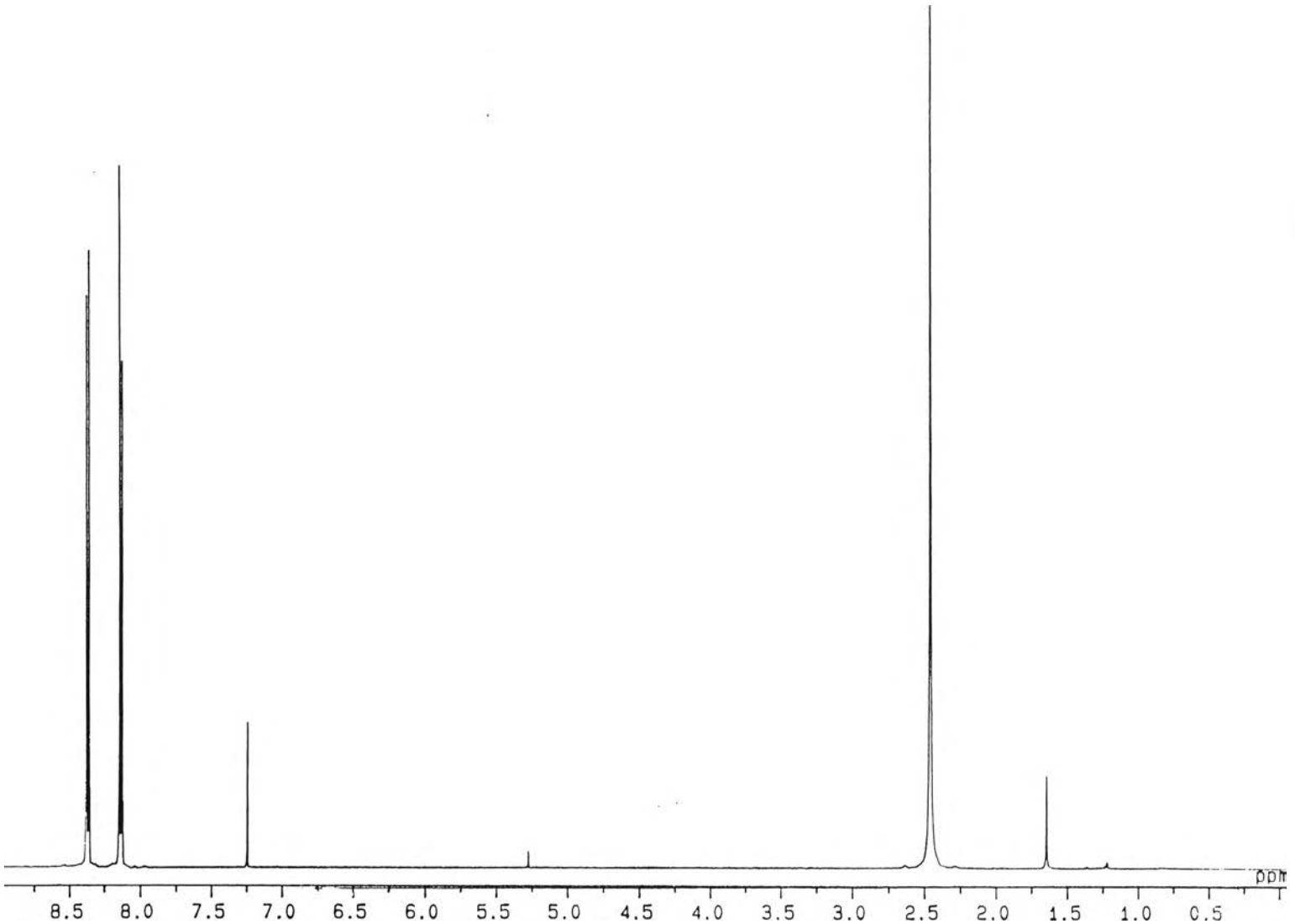

Figure 50 ^{1}H NMR spectrum ($CDCl_3$, 500 MHz) of *N*-4-nitrobenzenesulfonylaziridine **(18)**

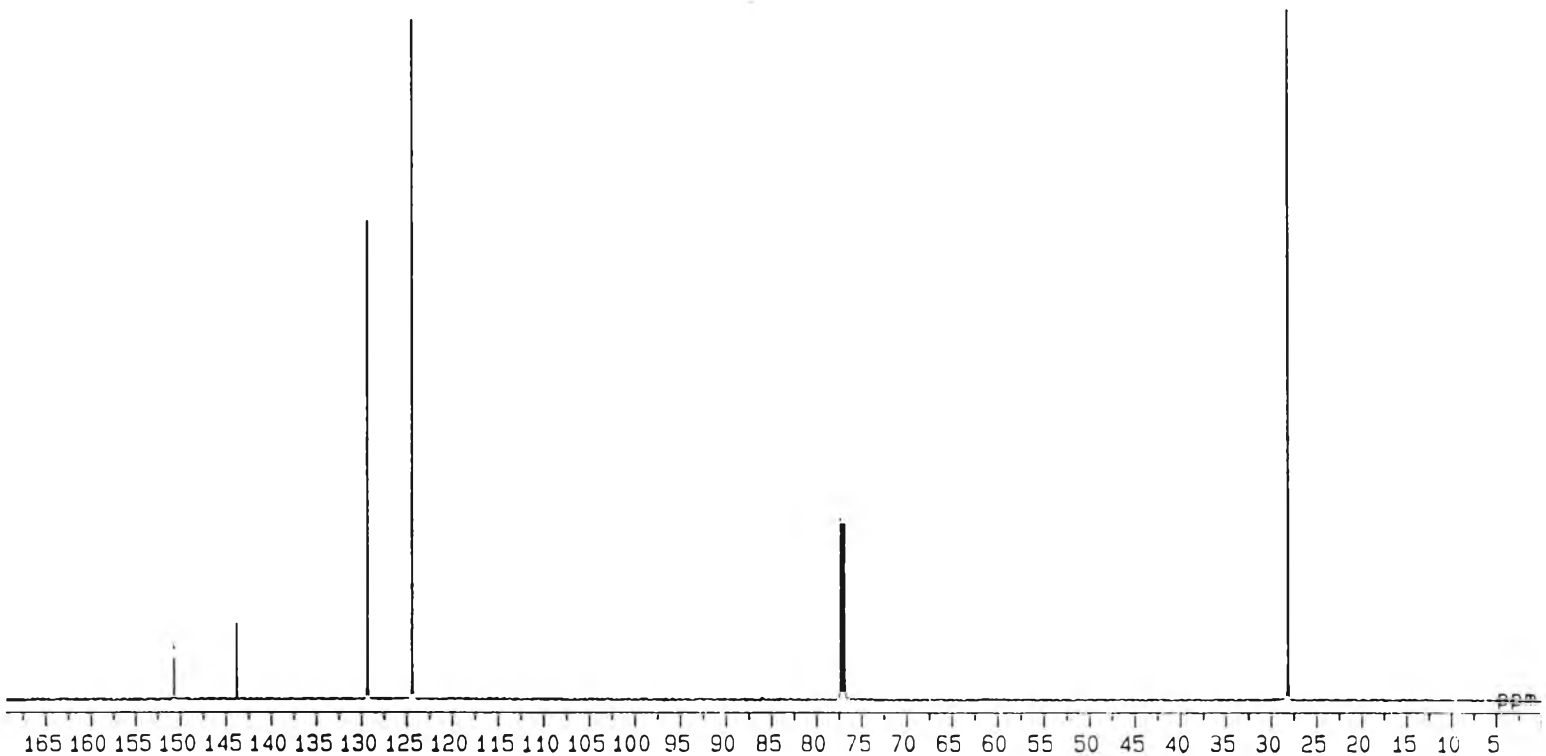

Figure 51 ^{13}C NMR spectrum ($CDCl_3$, 125.65 MHz) of *N*-4-nitrobenzenesulfonylaziridine **(18)**

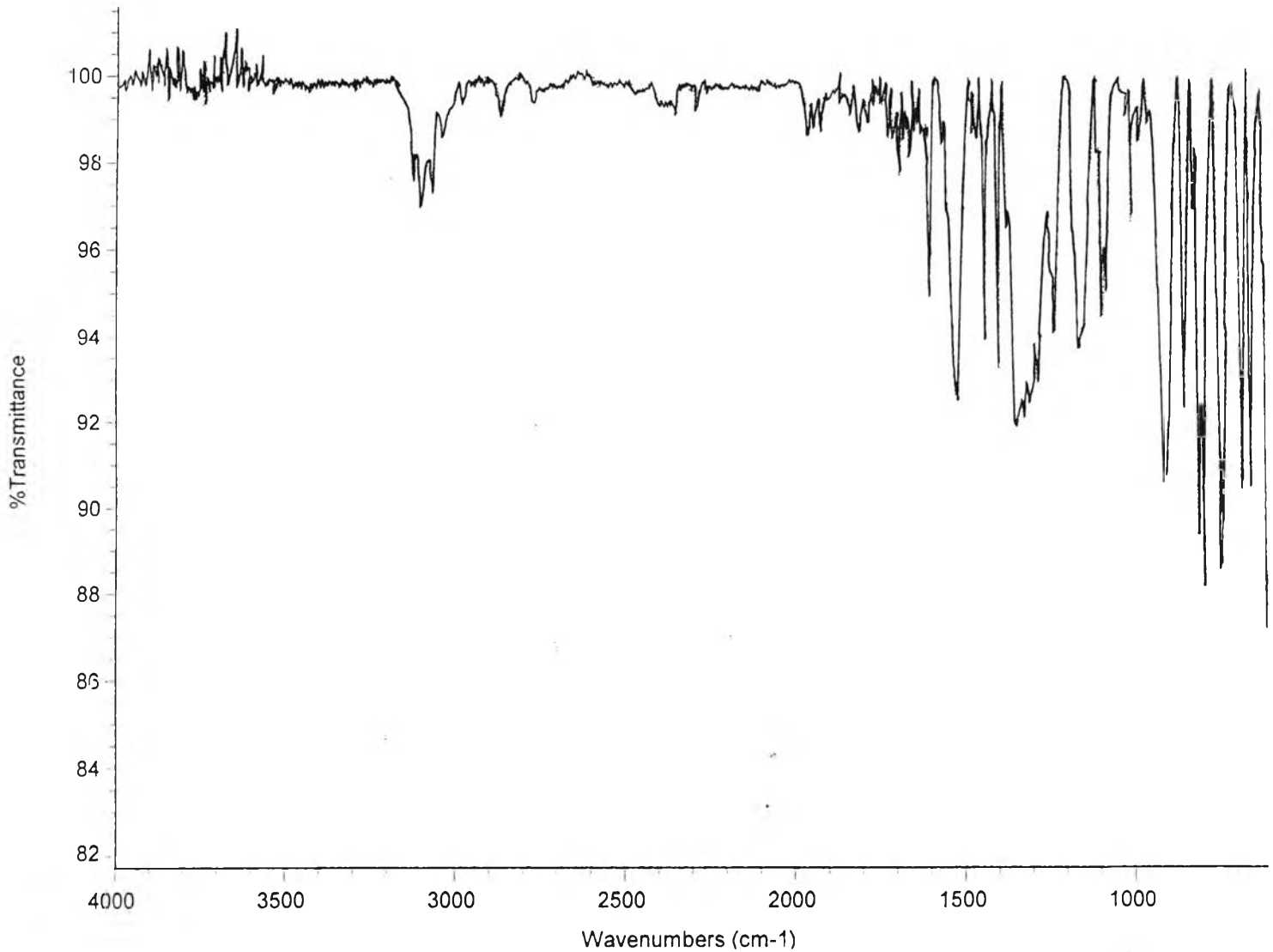

Figure 52 IR spectrum (KBr) of *N*-4-nitrobenzenesulfonylaziridine **(18)**

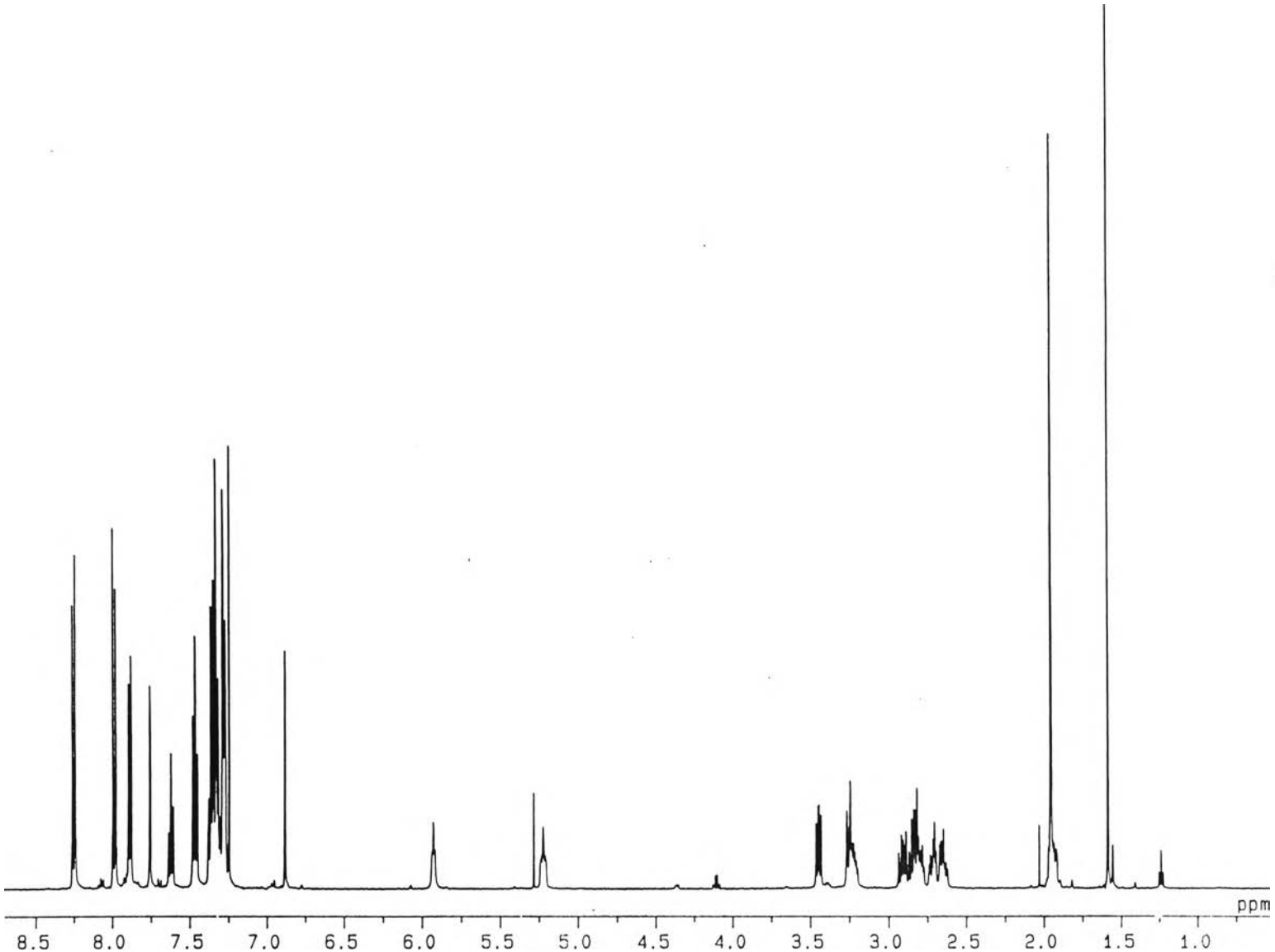

Figure 53 ^{1}H NMR spectrum ($CDCl_3$, 500 MHz) of *N*-2-(4′-nitrobenzenesulfonamidoethyl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline diphenylmethyl ester **(19)**

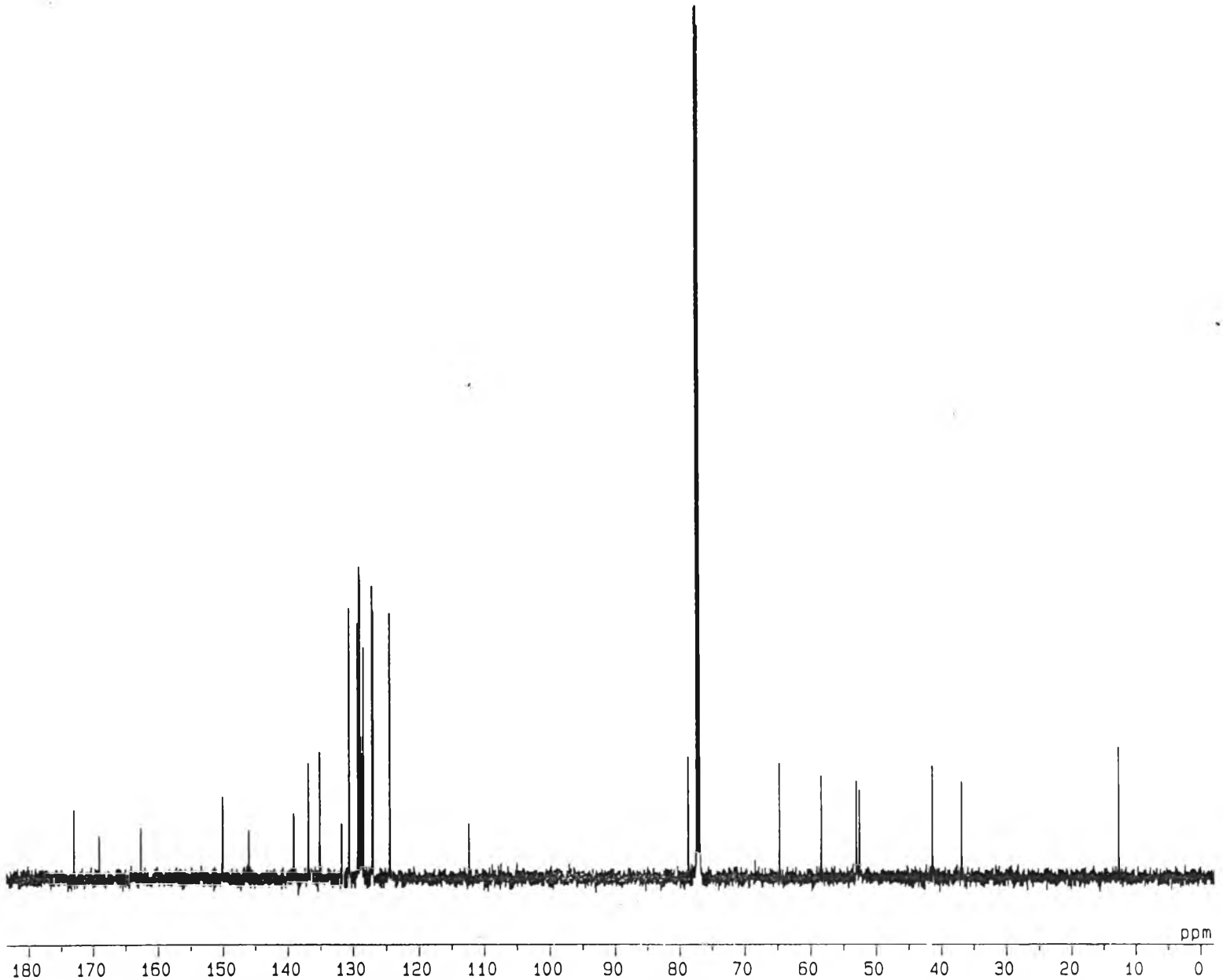

Figure 54 ^{13}C NMR spectrum ($CDCl_3$, 125.65 MHz) of *N*-2-(4′-nitrobenzenesulfonamidoethyl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline diphenylmethyl ester **(19)**

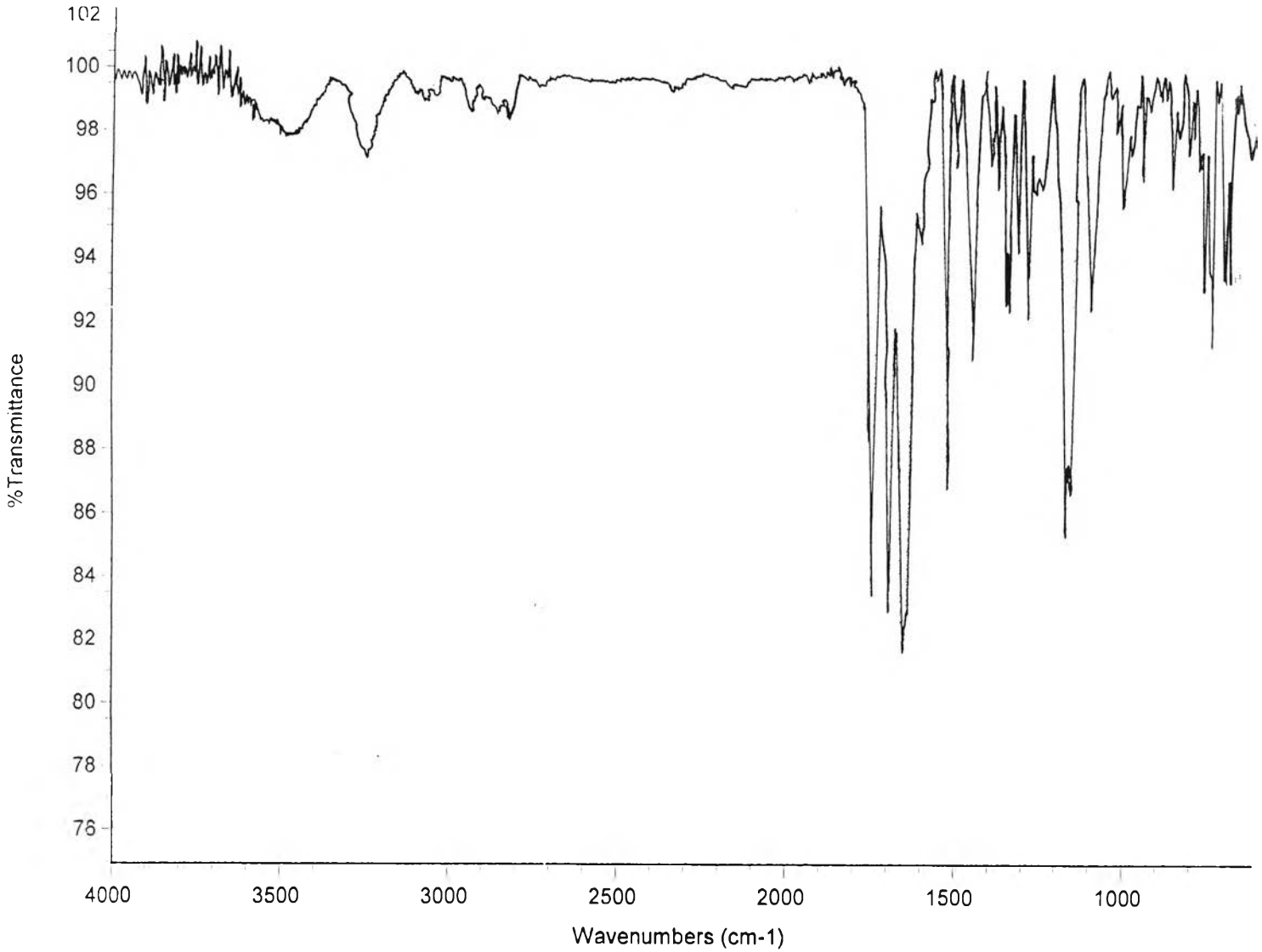

Figure 55 IR spectrum (KBr) of *N*-2-(4′-nitrobenzenesulfonamidoethyl)-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline diphenylmethyl ester **(19)**

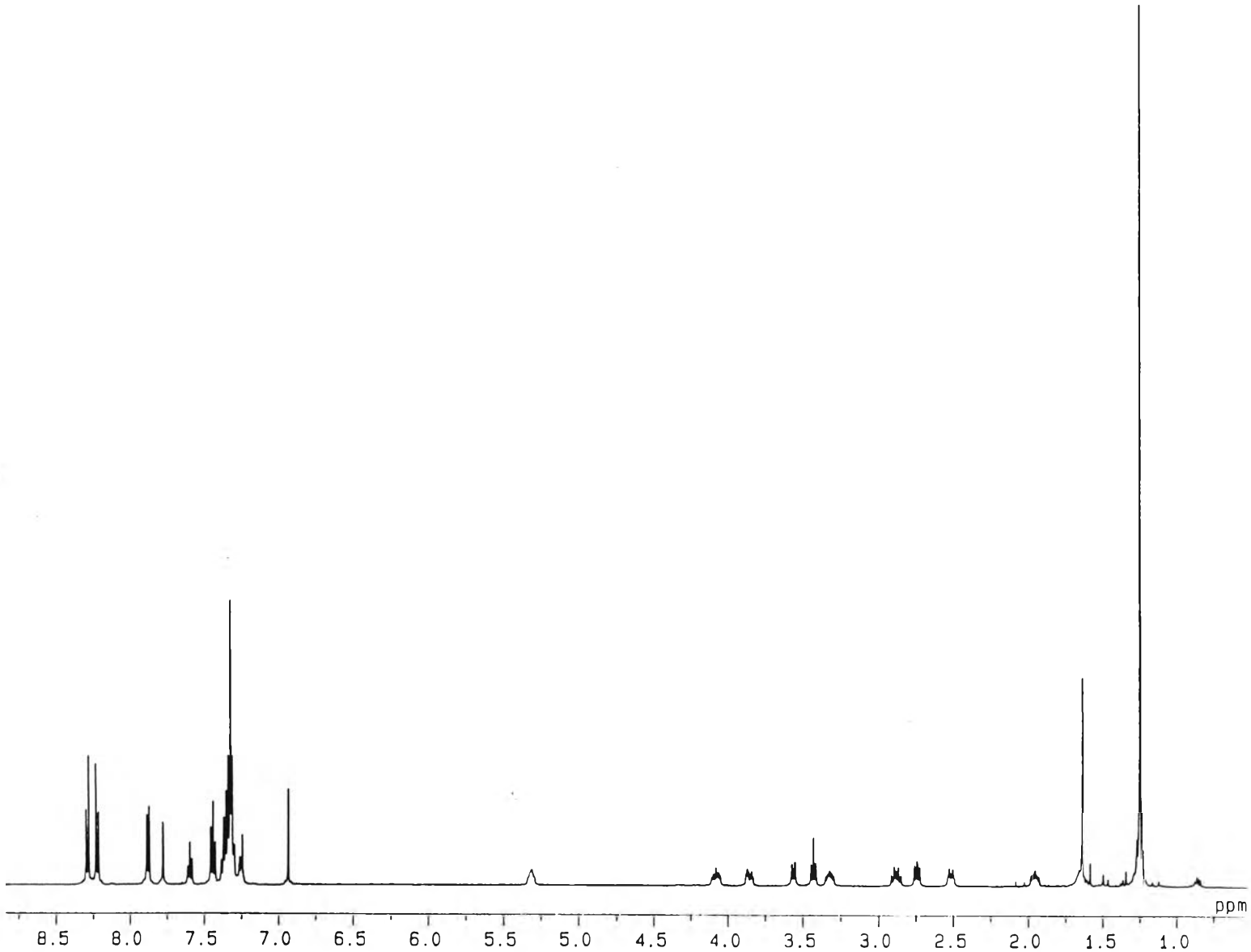

Figure 56 ^{1}H NMR spectrum ($CDCl_3$, 500 MHz) of *N*-2-(*N-tert*-butoxycarbonylamino, *N*-4-nitrobenzenesulfonamido)ethyl-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline diphenylmethyl ester **(20)**

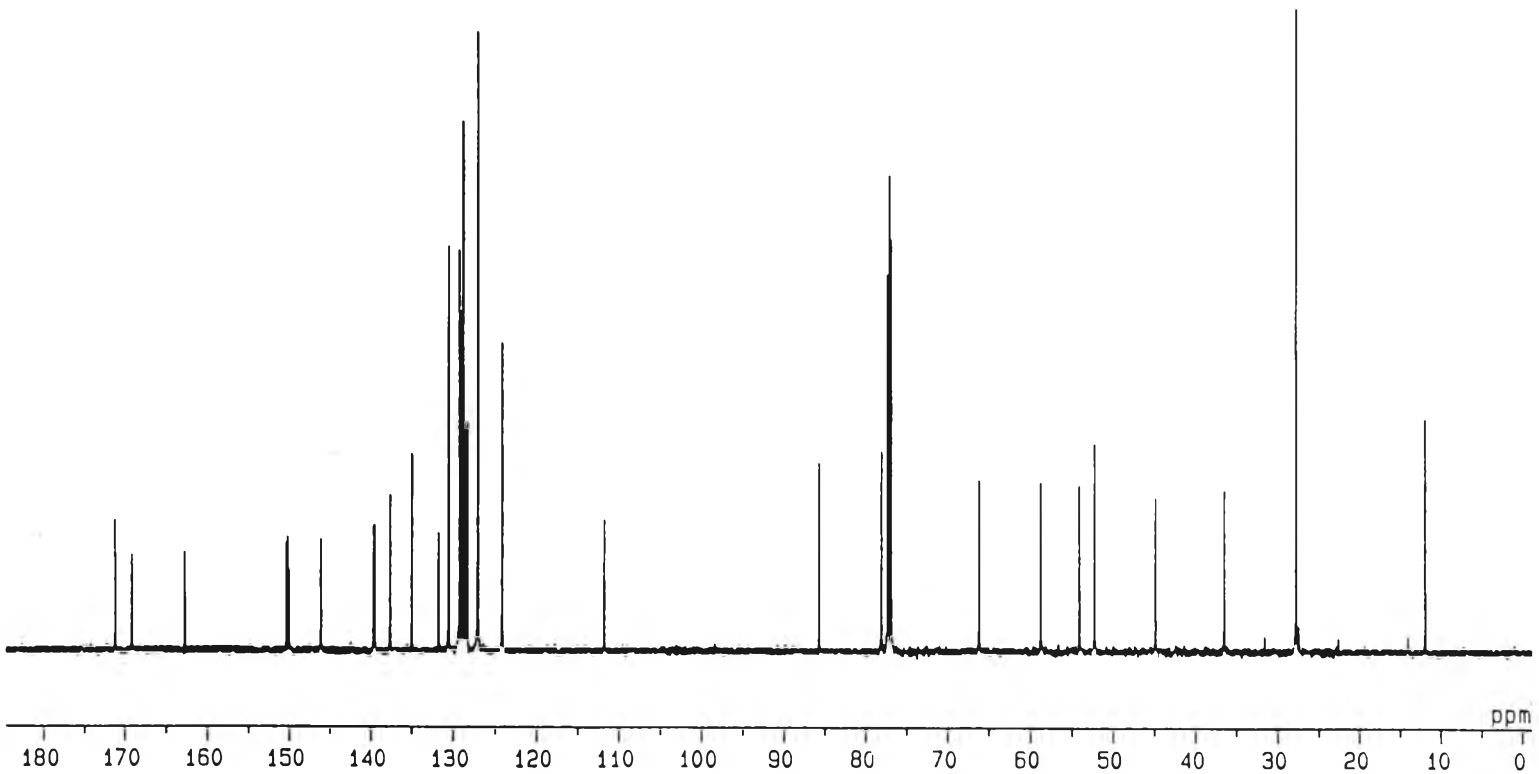

Figure 57 ^{13}C NMR spectrum ($CDCl_3$, 125.65 MHz) of *N*-2-(*N-tert*-butoxycarbonylamino, *N*-4-nitrobenzenesulfonamido)ethyl-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline diphenylmethyl ester **(20)**

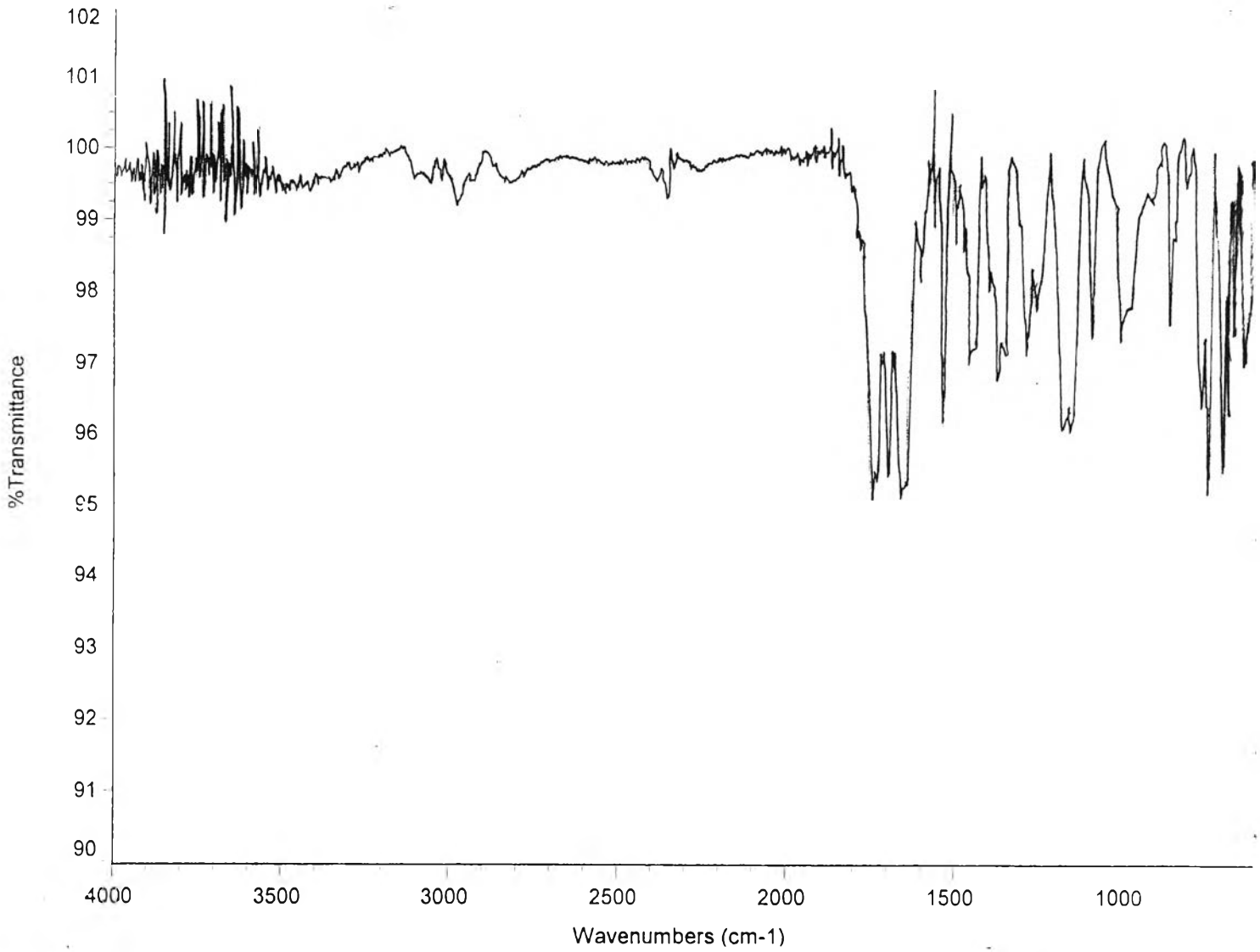

Figure 58 IR spectrum (KBr) of *N*-2-(*N*-*tert*-butoxycarbonylamino, *N*-4-nitrobenzenesulfonamido)ethyl-*cis*-4-(N^3-benzoyl thymin-1-yl)-D-proline diphenylmethyl ester **(20)**

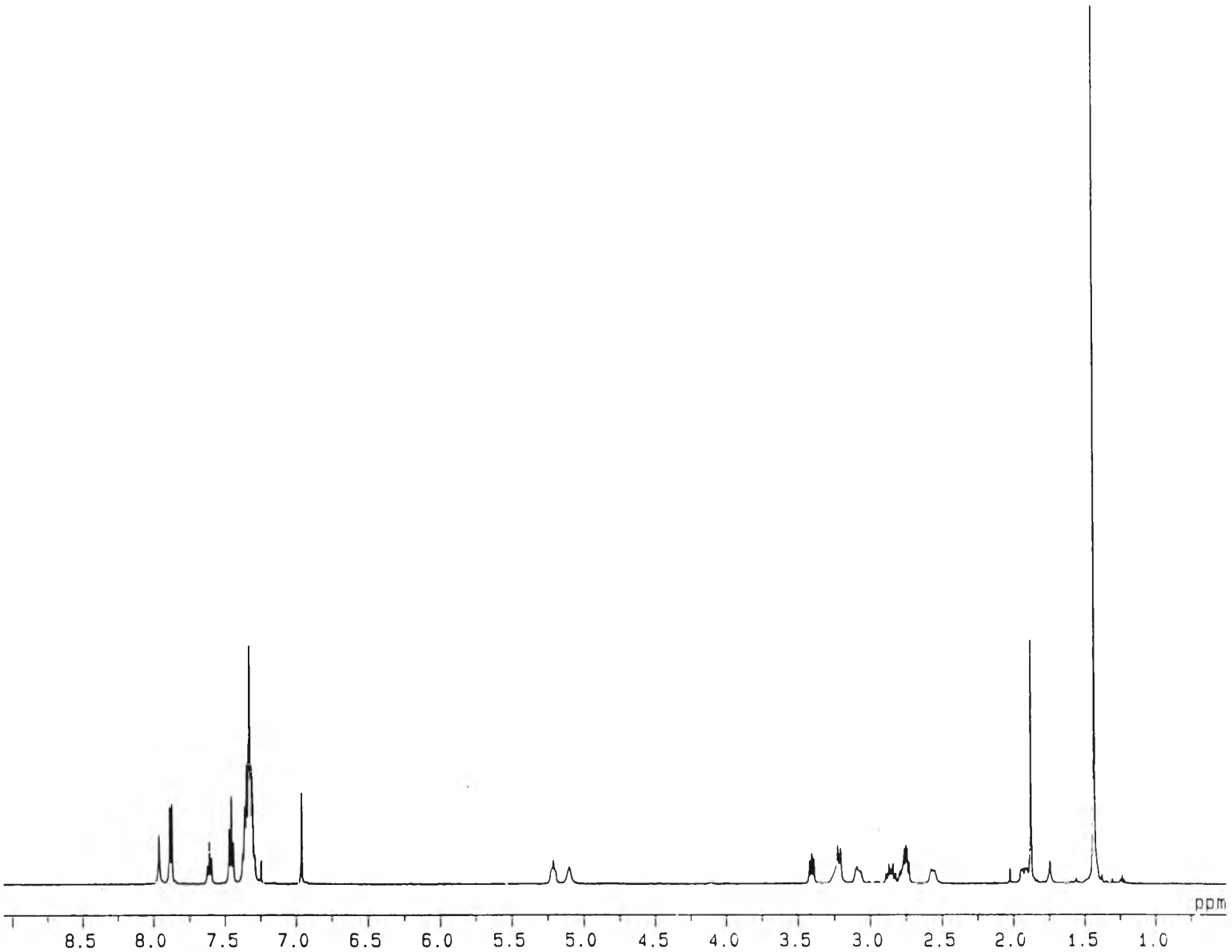

Figure 59 ^{1}H NMR spectrum ($CDCl_3$, 500 MHz) of *N*-2-(*N*-*tert*-butoxycarbonylamino)ethyl-*cis*-4-(N^3-benzoylthymine-1-yl)-D-proline diphenylmethyl ester **(21)**

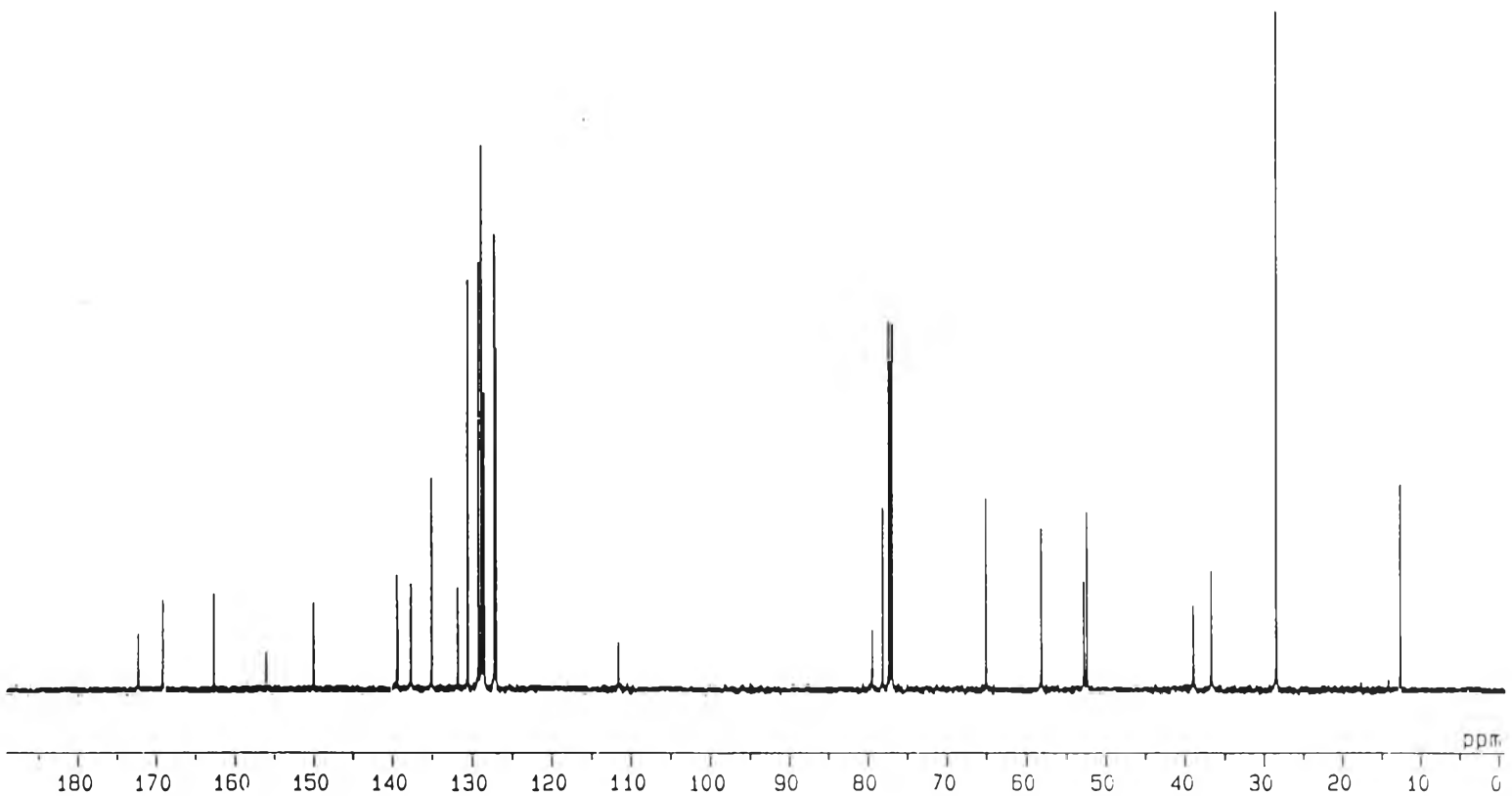

Figure 60 ^{13}C NMR spectrum ($CDCl_3$, 125.65 MHz) of *N*-2-(*N-tert*-butoxycarbonylamino)ethyl-*cis*-4-(N^3-benzoylthymine-1-yl)-D-proline diphenylmethyl ester **(21)**

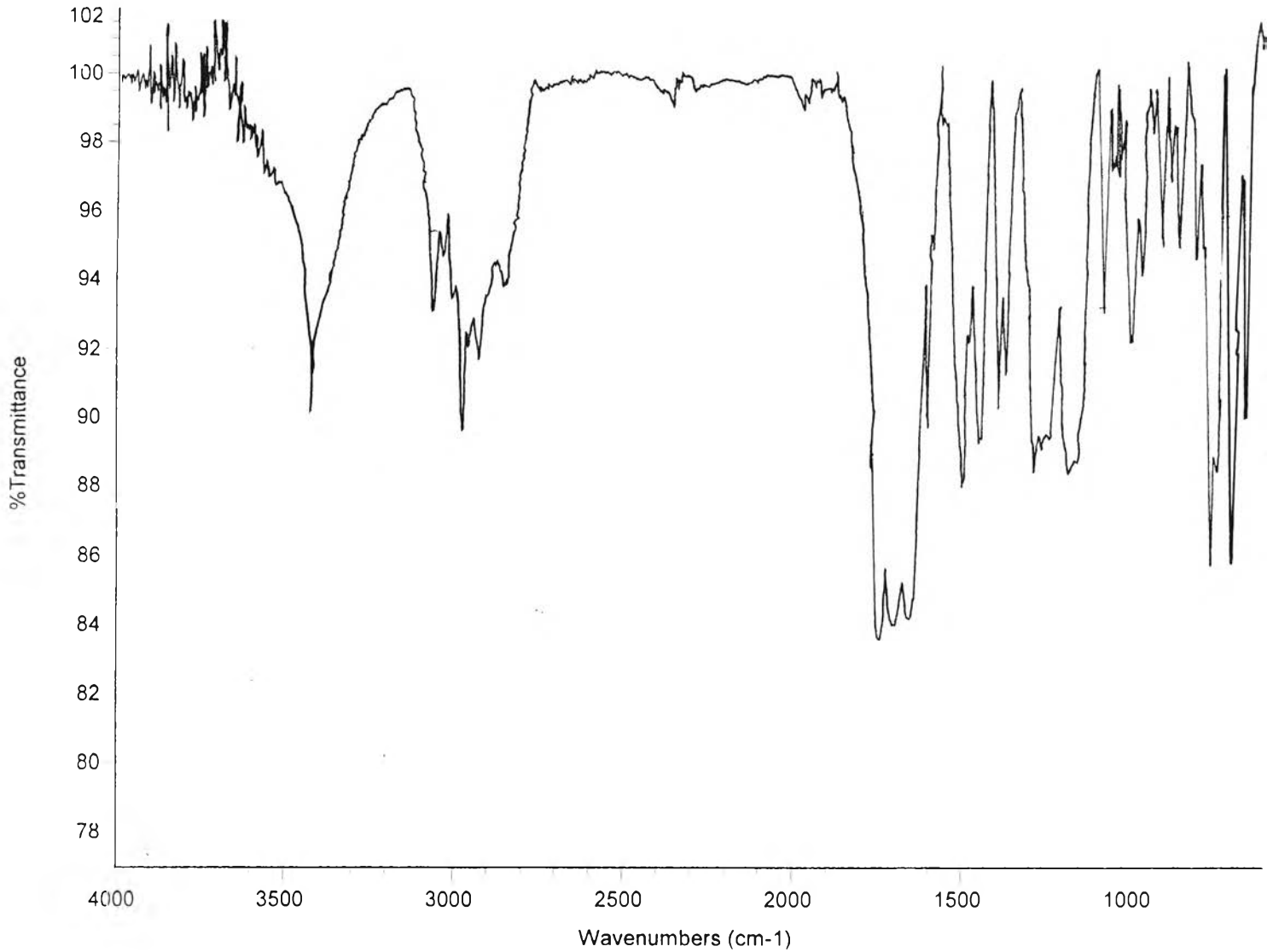

Figure 61 IR spectrum (KBr) of *N*-2-(*N-tert*-butoxycarbonylamino)ethyl-*cis*-4-(N^3-benzoylthymine-1-yl)-D-proline diphenylmethyl ester **(21)**

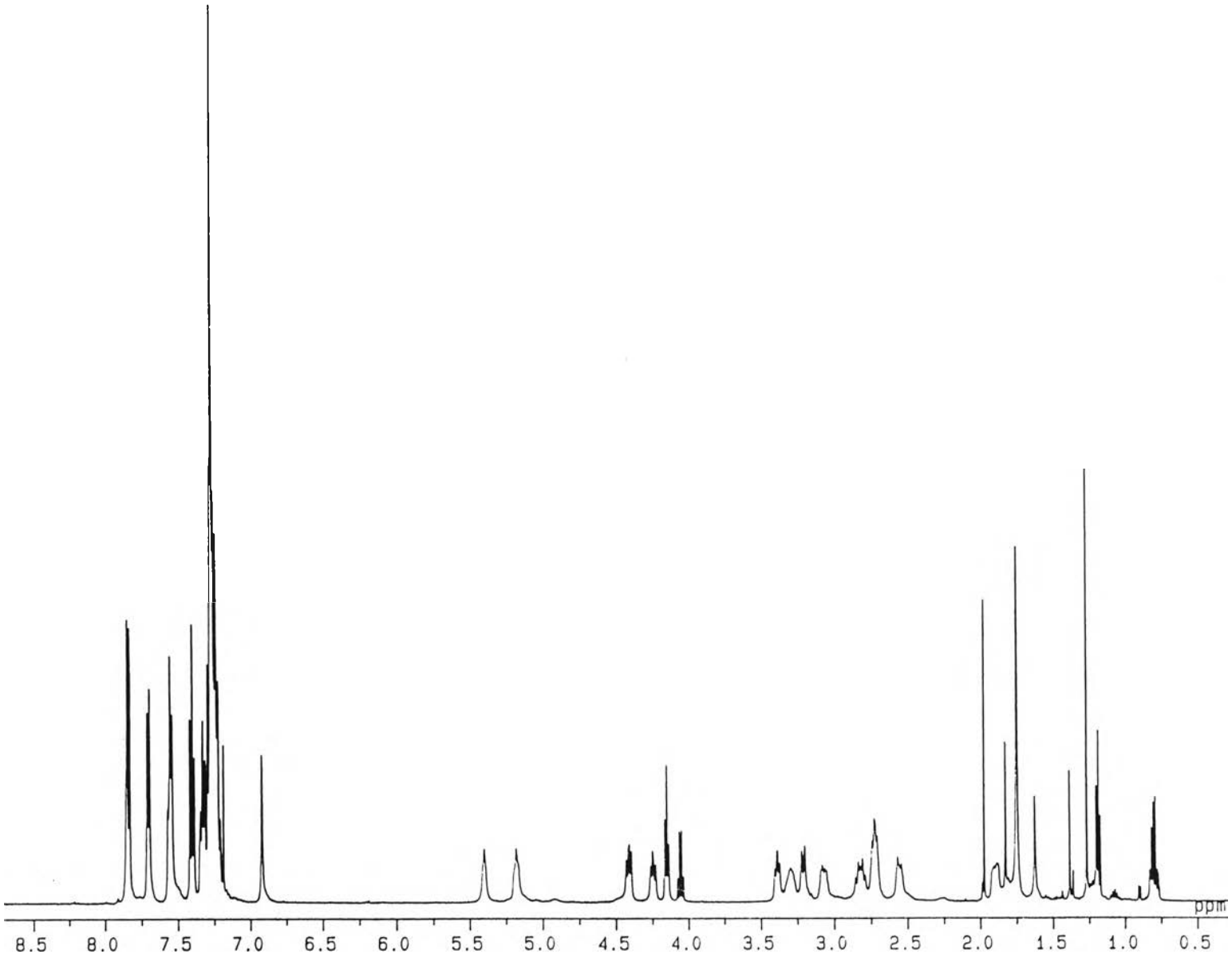

Figure 62 ^{1}H NMR spectrum ($CDCl_3$, 500 MHz) of *N*-2-(9-fluorenylmethoxycarbonylamino)ethyl-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline diphenylmethyl ester **(22)**

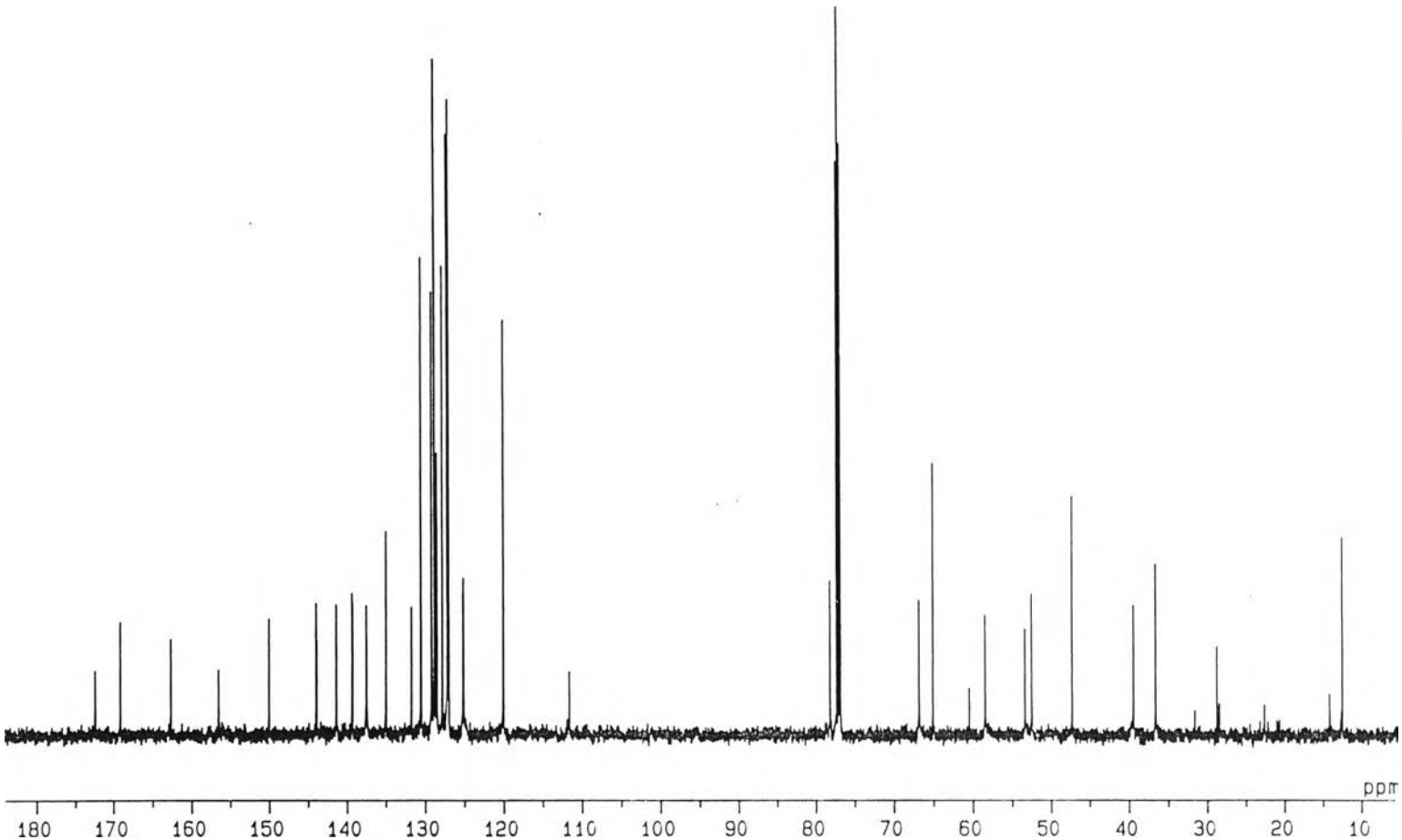

Figure 63 ^{13}C NMR spectrum ($CDCl_3$, 125.65 MHz) of *N*-2-(9-fluorenylmethoxycarbonylamino)ethyl-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline diphenylmethyl ester **(22)**

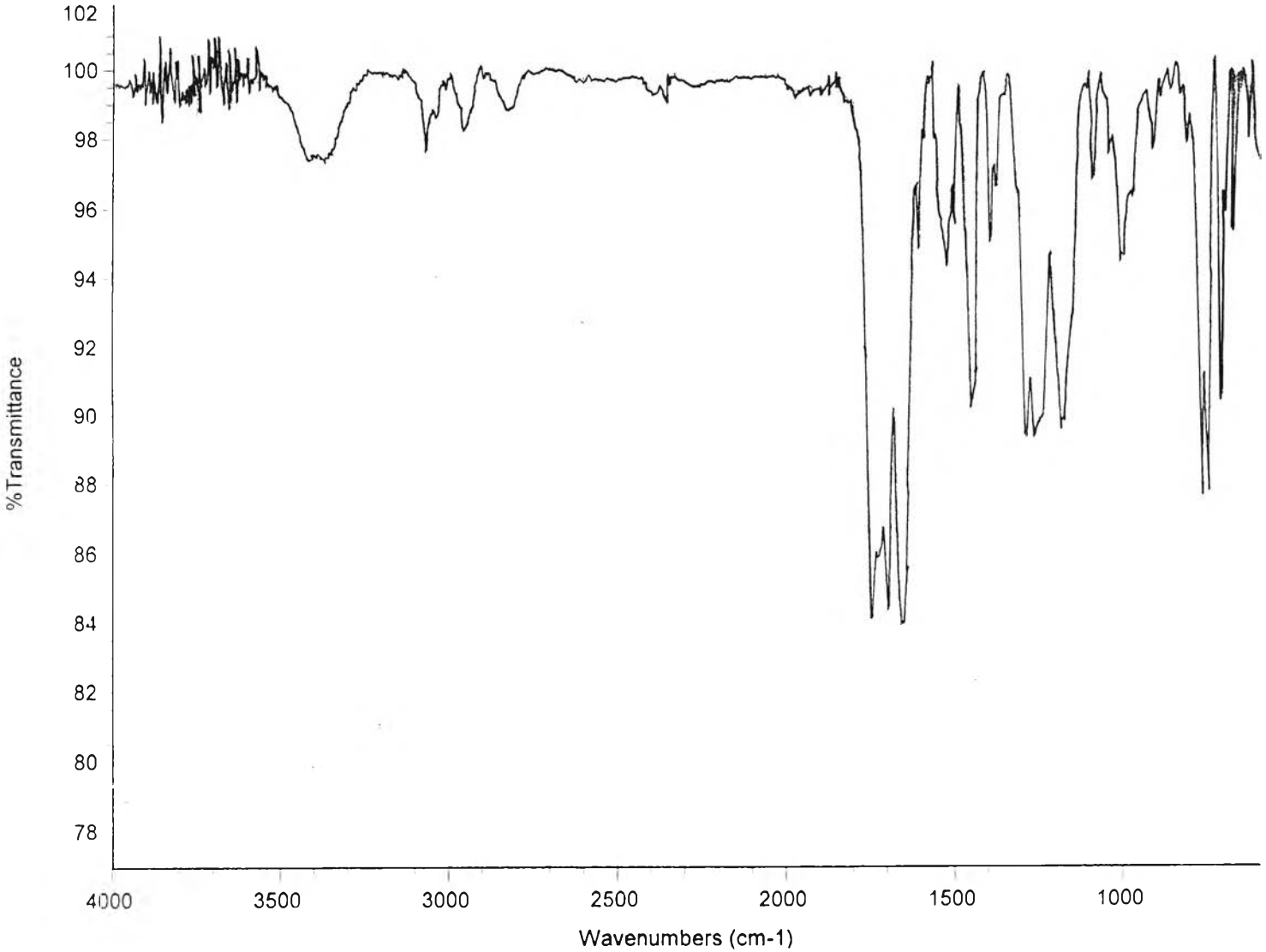

Figure 64 IR spectrum (KBr) of *N*-2-(9-fluorenylmethoxycarbonylamino)ethyl-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline diphenylmethyl ester **(22)**

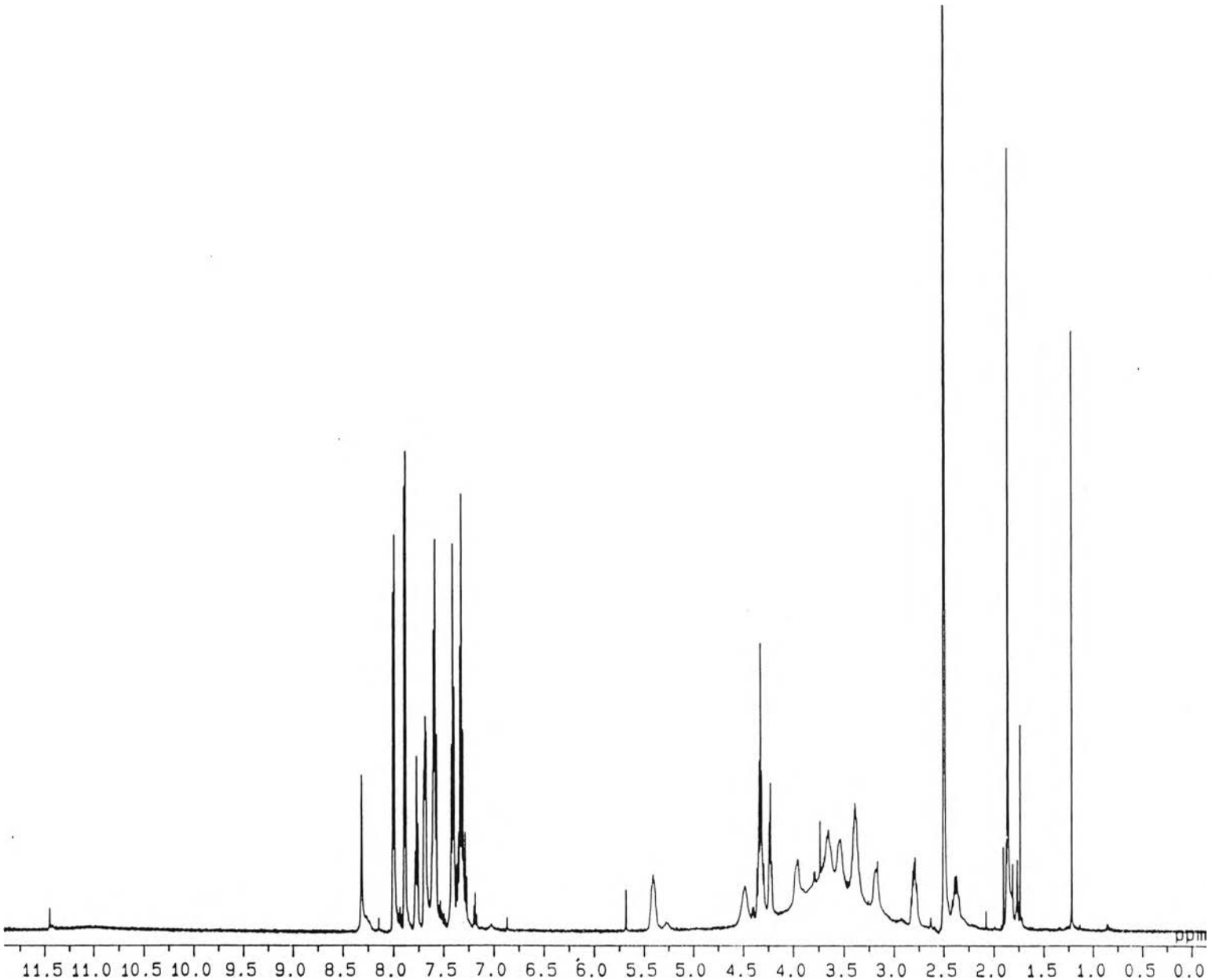

Figure 65 ^{1}H NMR spectrum (DMSO-d_6, 500 MHz) of *N*-2-(9-fluorenylmethoxycarbonylamino)ethyl-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline hydrochloride **(23)**

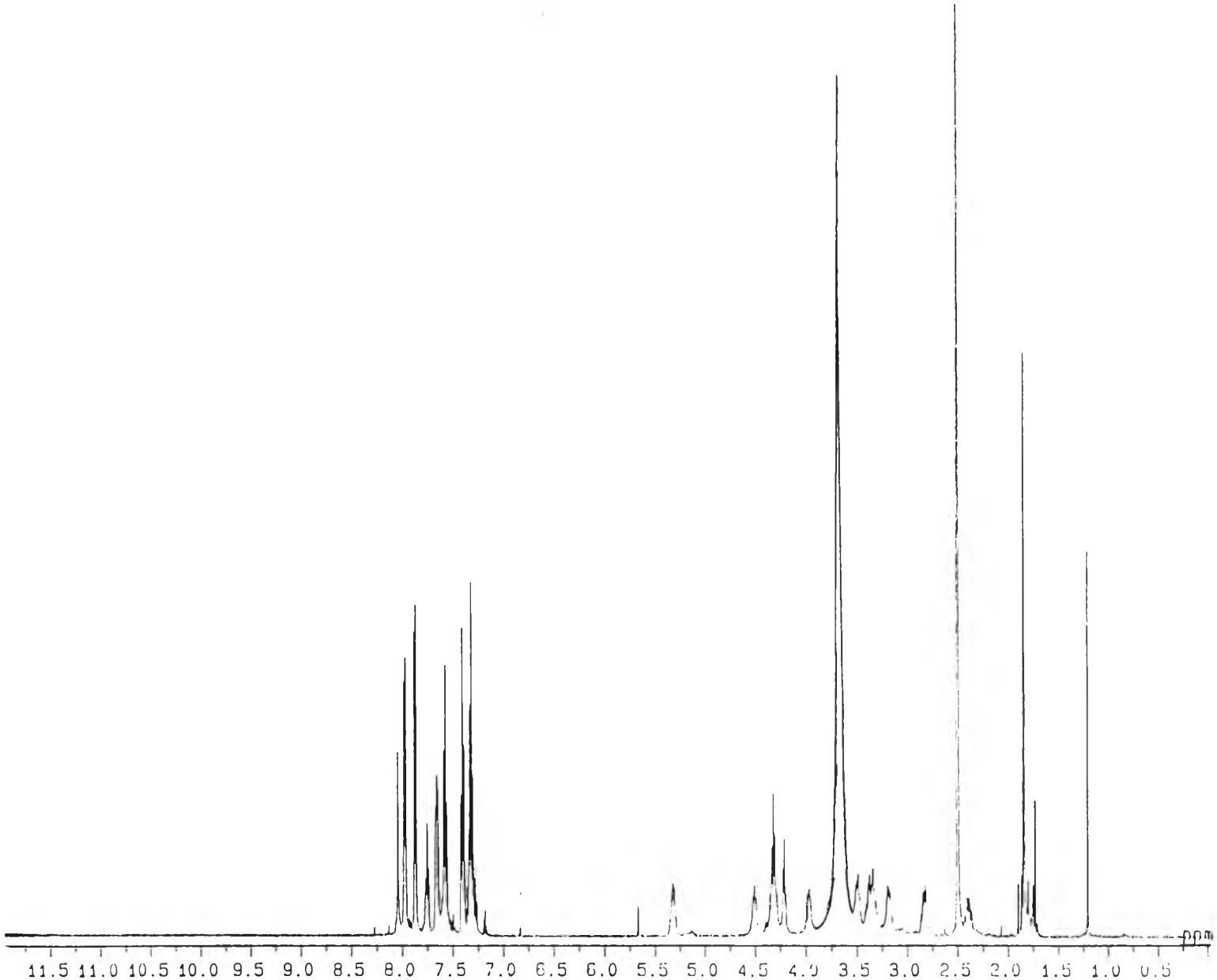

Figure 66 ^{1}H NMR spectrum (DMSO-d_6 + 1 drop D_2O, 500 MHz) of *N*-2-(9-fluorenylmethoxycarbonylamino)ethyl-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline hydrochloride **(23)**

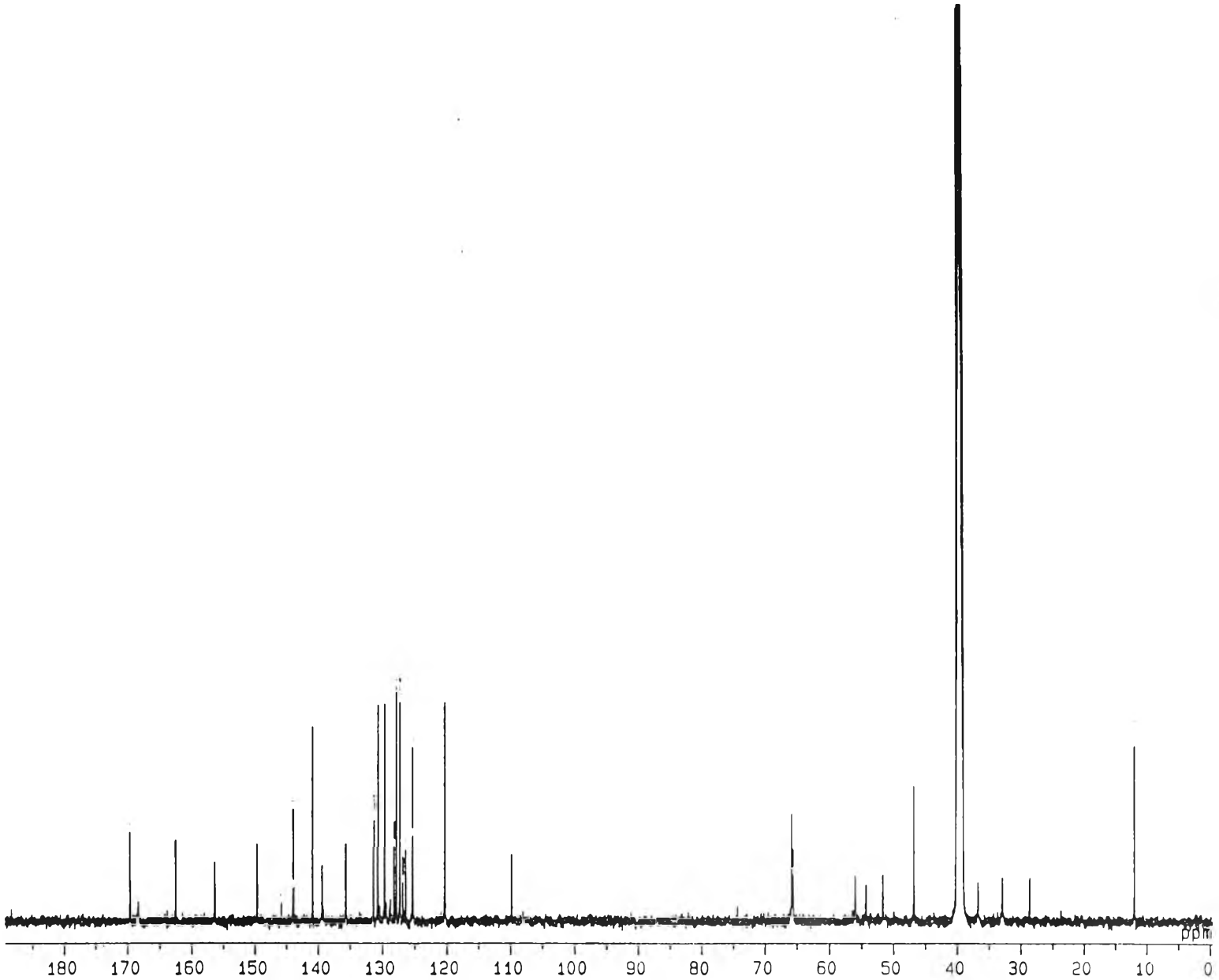

Figure 67 ^{13}C NMR spectrum (DMSO-d_6+ 1 drop D_2O, 125.65 MHz) of *N*-2-(9-fluorenylmethoxycarbonylamino)ethyl-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline hydrochloride **(23)**

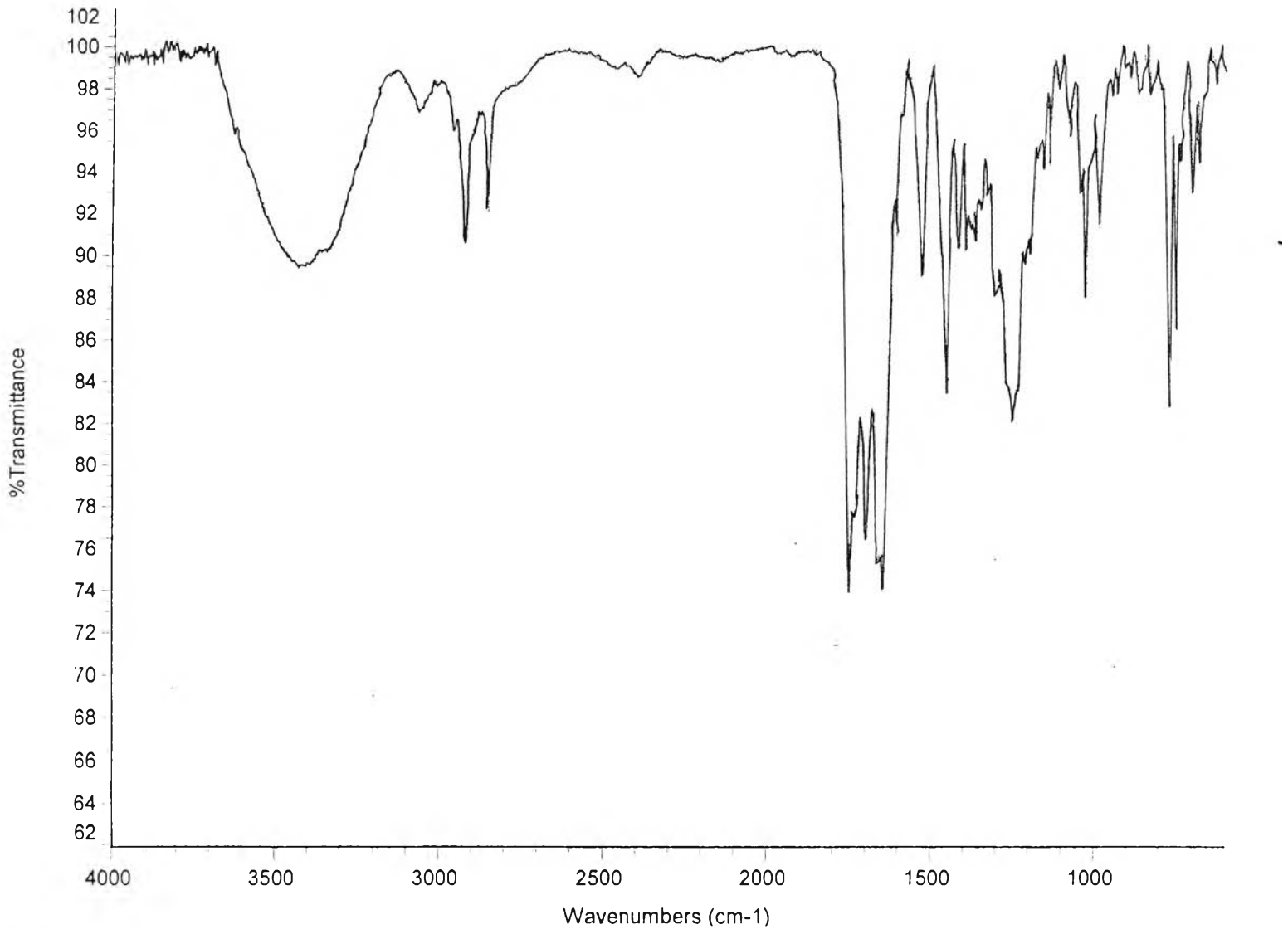

Figure 68 IR spectrum (KBr) of *N*-2-(9-fluorenylmethoxycarbonylamino)ethyl-*cis*-4-(N^3-benzoylthymin-1-yl)-D-proline hydrochloride **(23)**

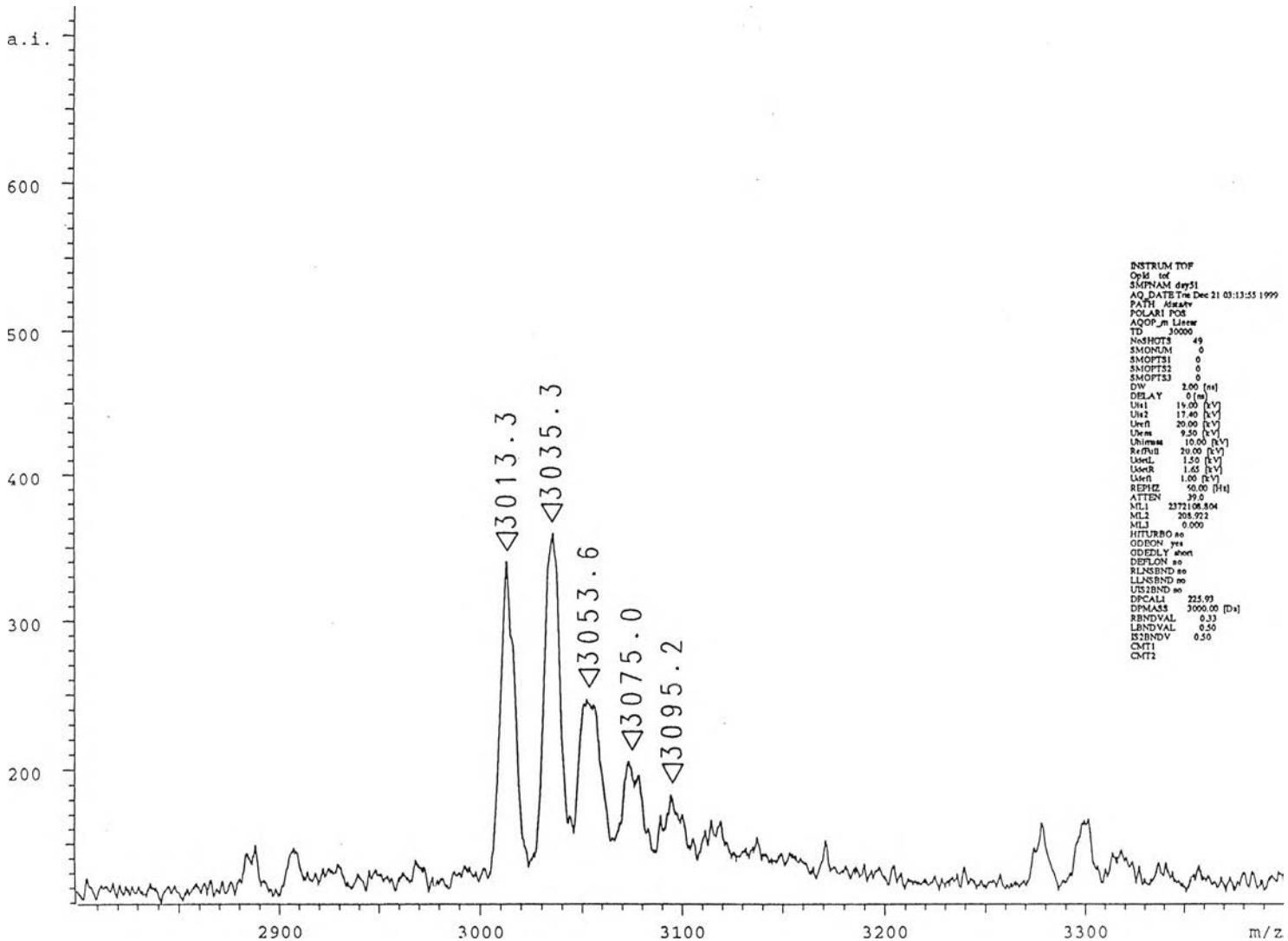

Figure 69 MALDI-TOF mass spectrum of Fmoc-[(ψ-CH_2)Gly-D-Pro(T)]$_{10}$-LysNH_2 or [Fmoc-ON **(24)**]

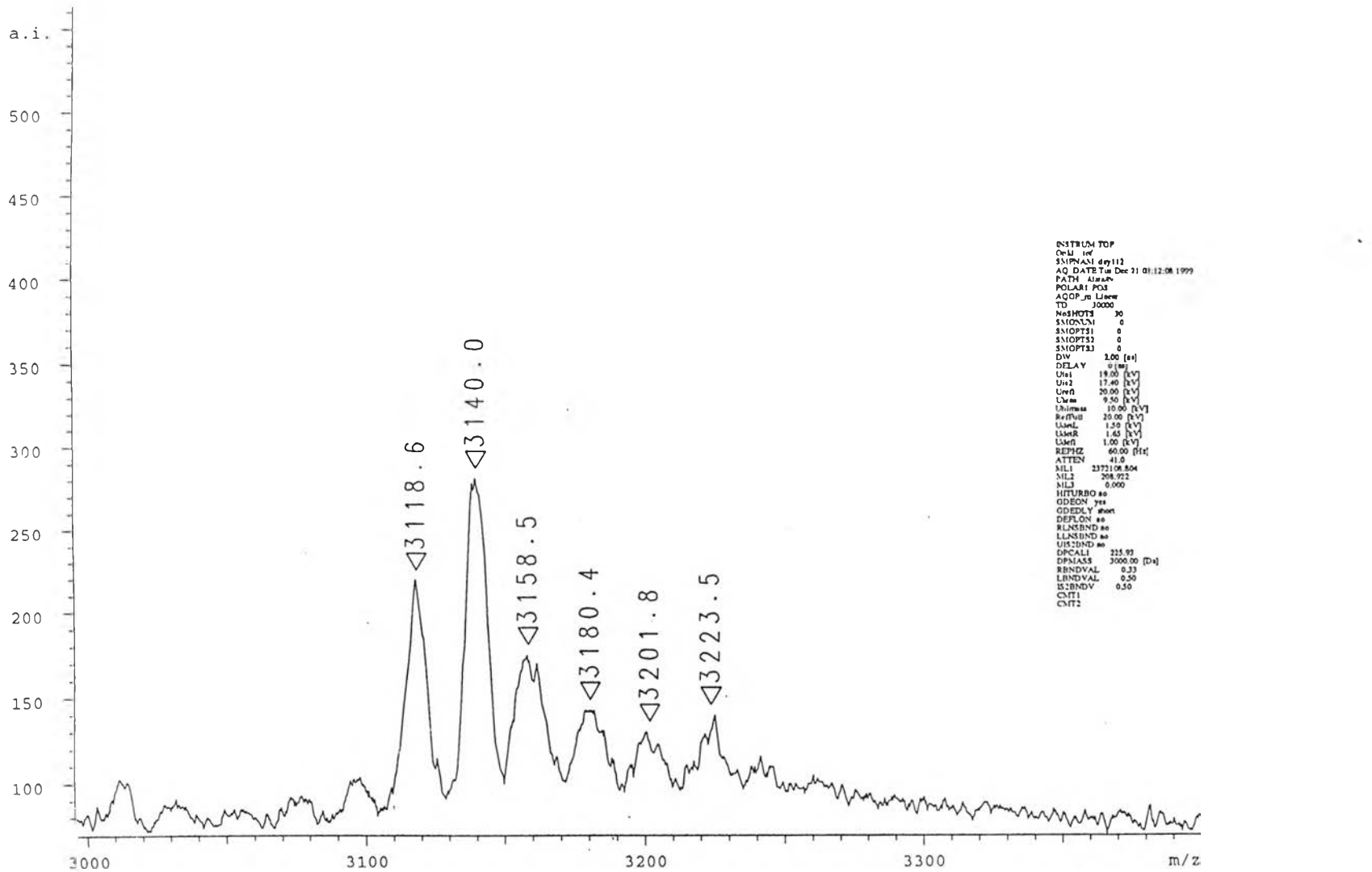

Figure 70 MALDI-TOF mass spectrum of Fmoc-[(ψ-CH_2)Gly-D-Pro(T^{Bz})]-[(ψ-CH_2)Gly-D-Pro(T)]$_9$-LysNH_2 or [Fmoc/Bz-ON **(24)**]

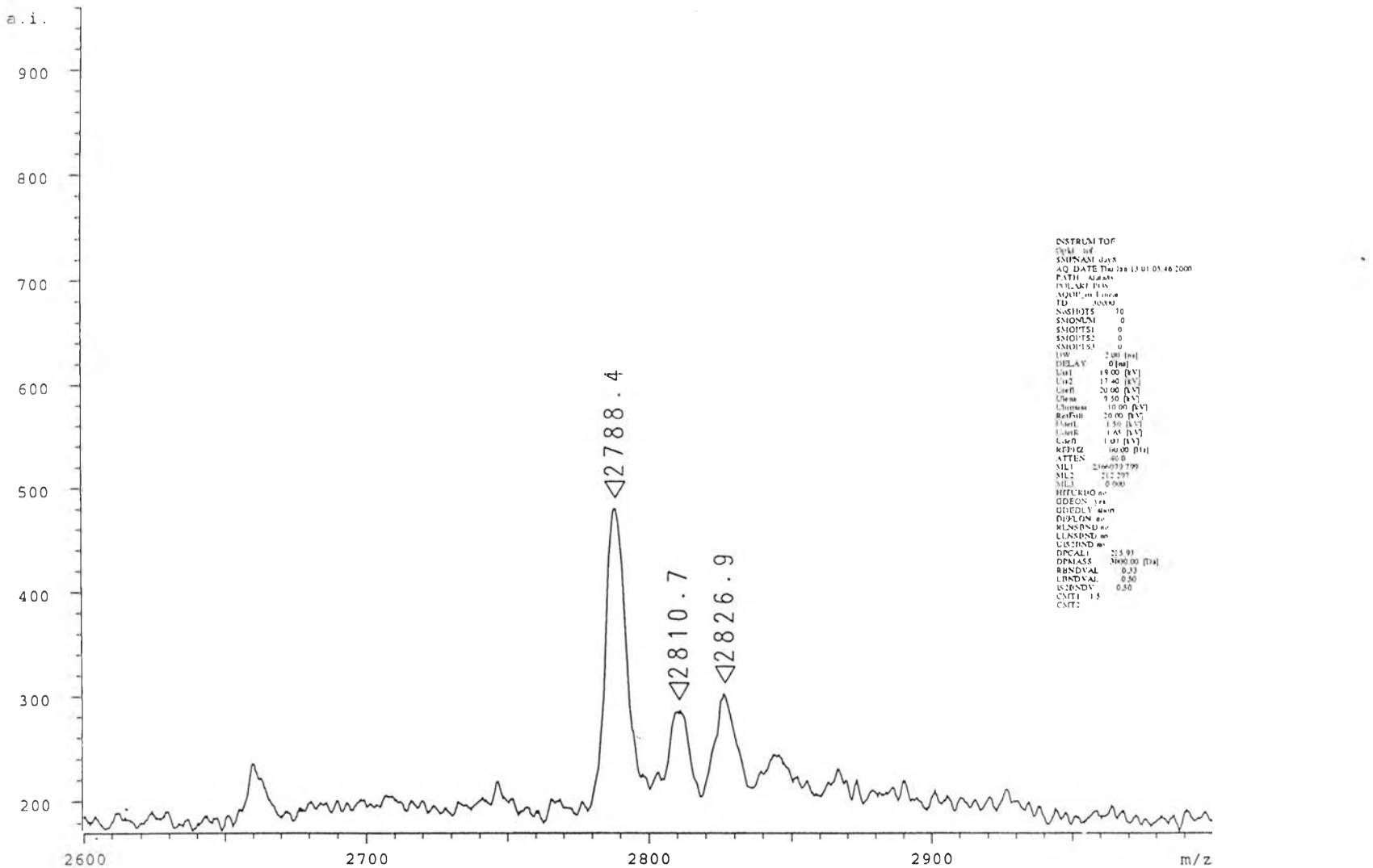

Figure 71 MALDI-TOF mass spectrum of H-[(ψ-CH_2)Gly-D-Pro(T)]$_{10}$-LysNH_2 or [Fmoc-OFF **(24)**]

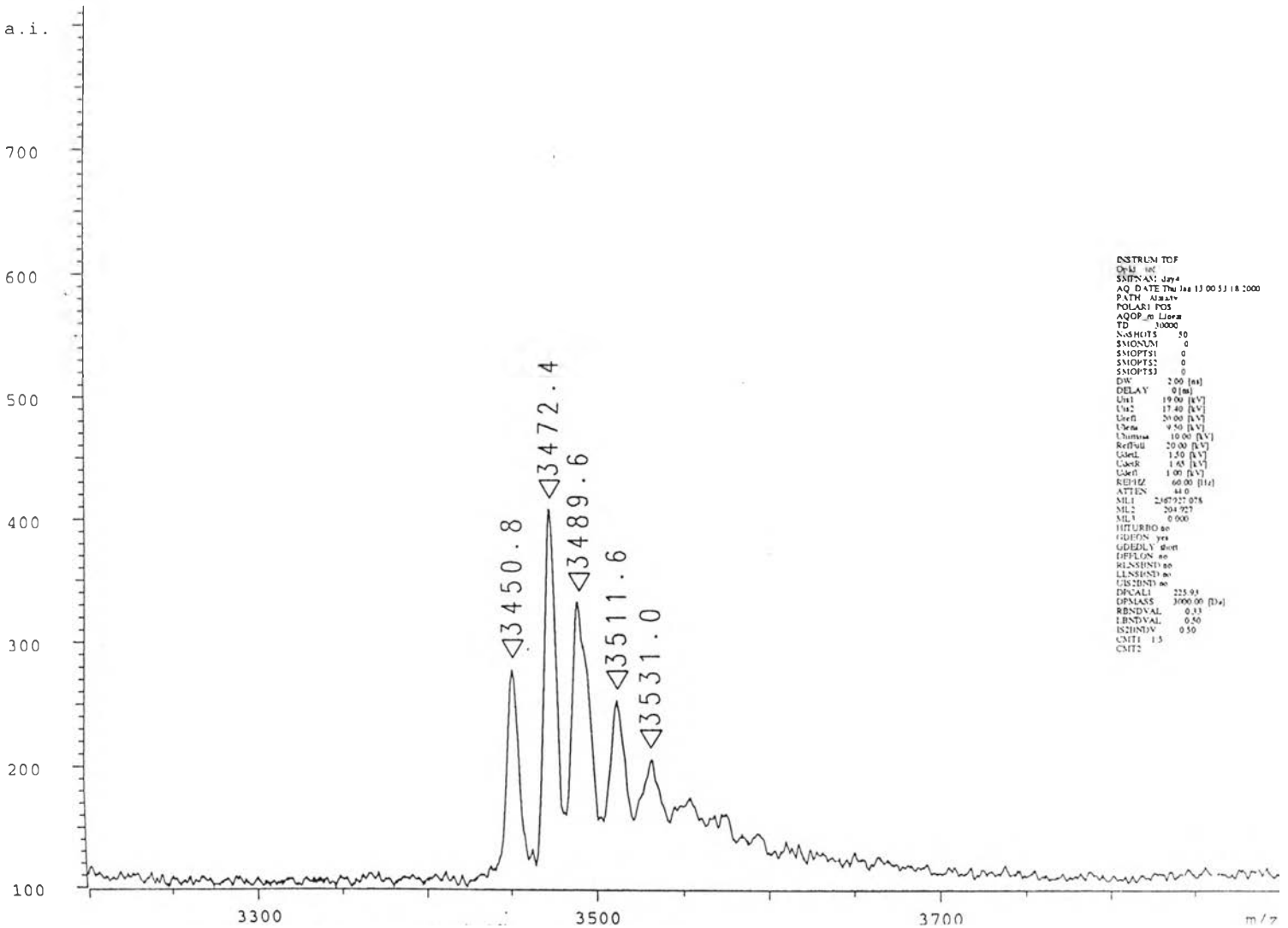

Figure 72 MALDI-TOF mass spectrum of Fmoc-[L-Ser-D-Pro(T)]$_{10}$-LysNH$_2$ or [Fmoc-ON **(25)**]

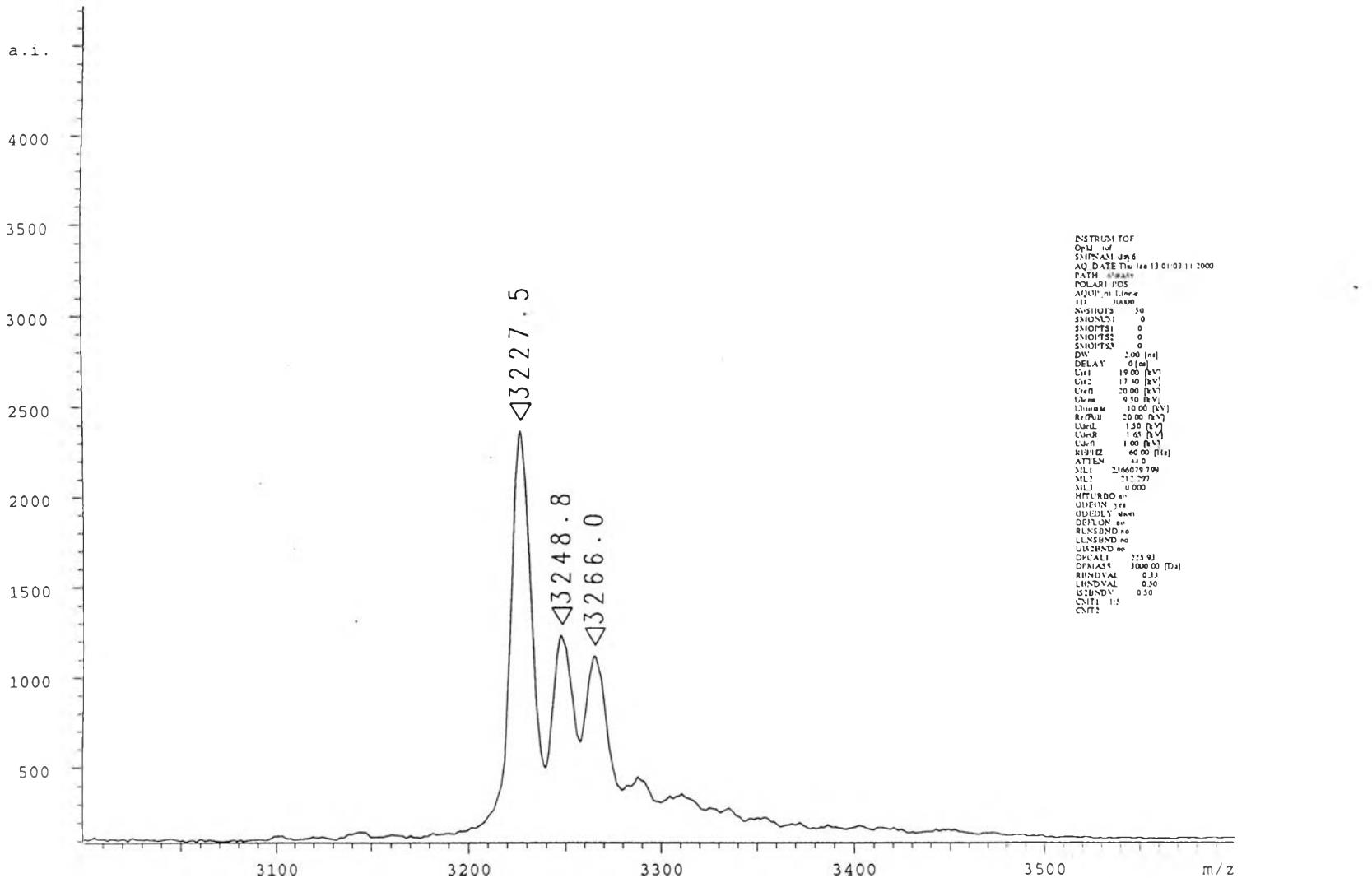

Figure 73 MALDI-TOF mass spectrum of H-[L-Ser-D-Pro(T)]$_{10}$-LysNH$_2$ or [Fmoc-OFF**(25)**]

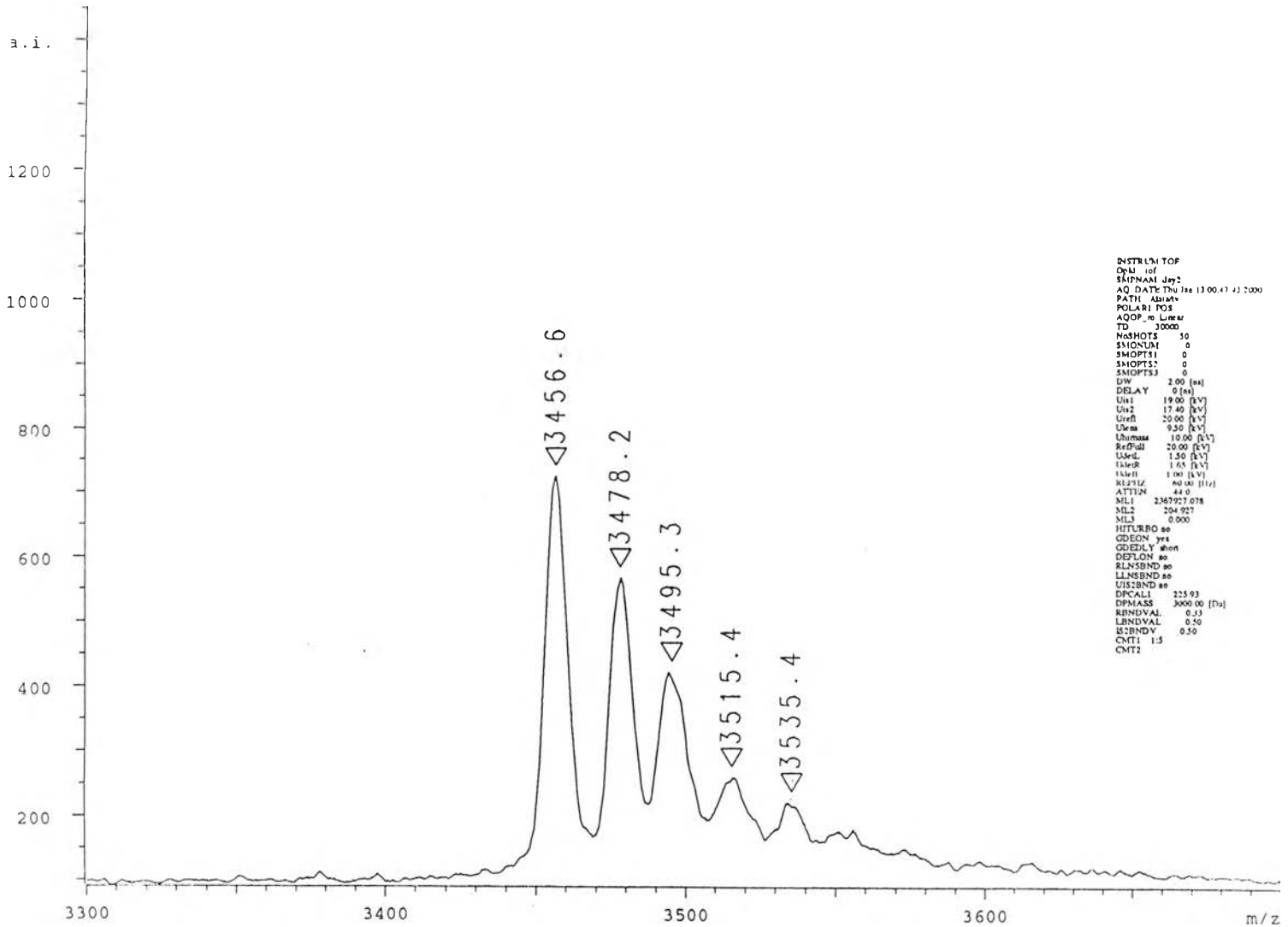

Figure 74 MALDI-TOF mass spectrum of Fmoc-[D-ser-D-Pro(T)]$_{10}$-LysNH$_2$ or [Fmoc-ON **(26)**]

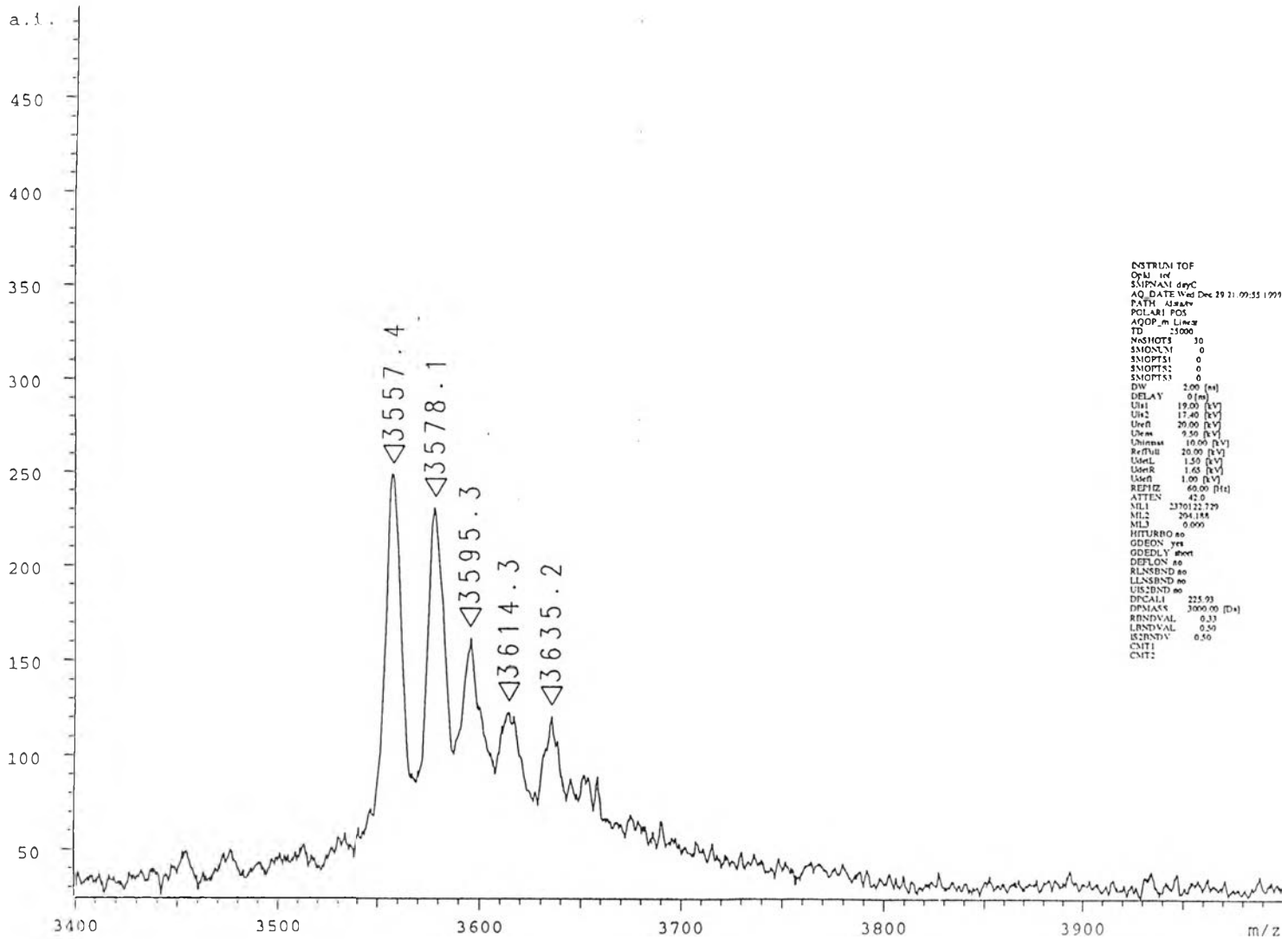

Figure 75 MALDI-TOF mass spectrum of Fmoc-[D-ser-D-Pro(T^{Bz})]-[D-ser-D-Pro(T)]$_9$-LysNH_2 or [Fmoc/Bz-ON **(26)**]

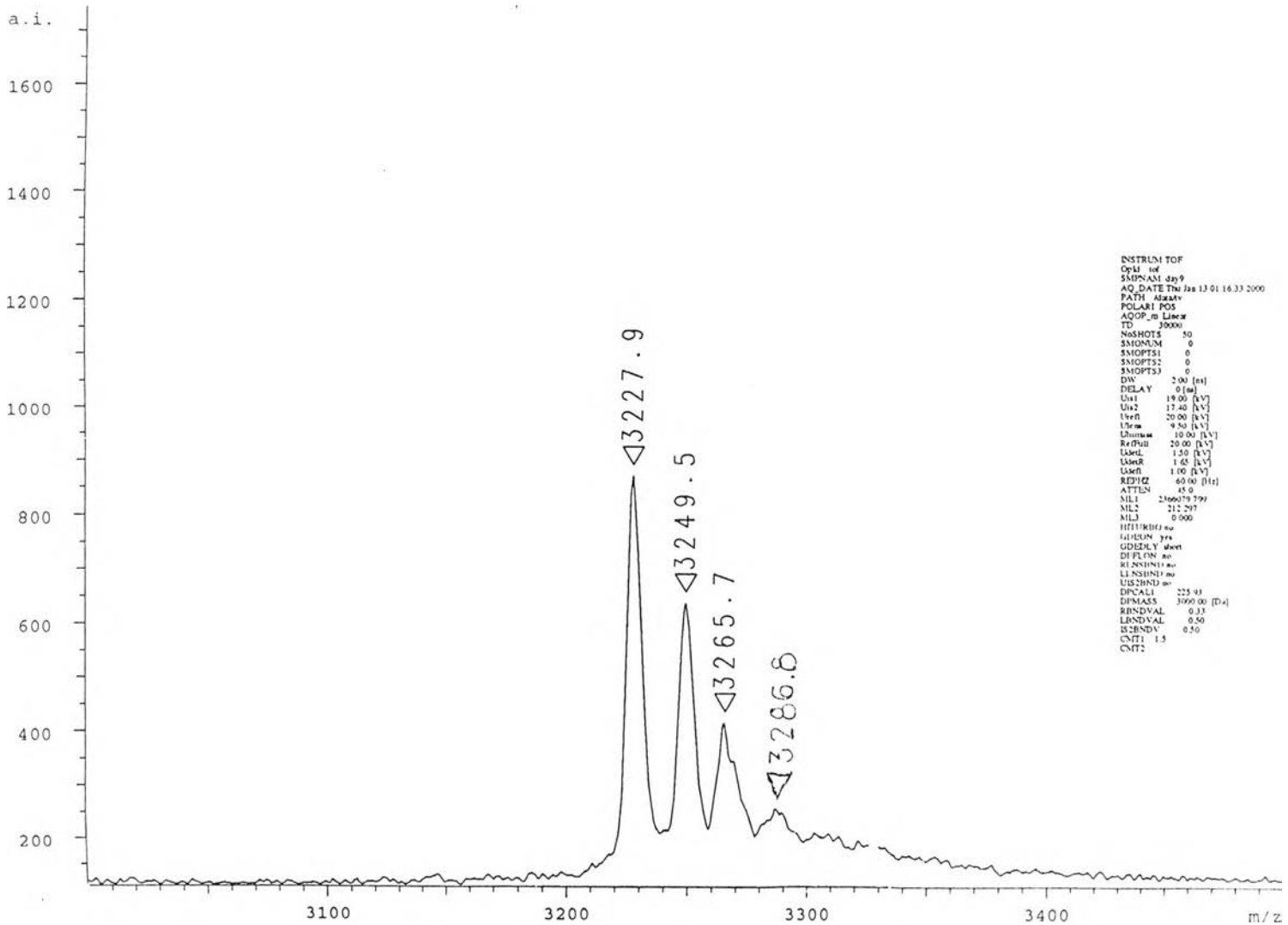

Figure 76 MALDI-TOF mass spectrum of H-[D-ser-D-Pro(T)]$_{10}$-LysNH$_2$ or [Fmoc-OFF **(26)**]

VITA

Mr.Chanchai Khongdeesameor was born on April 4, 1974 at Chonburi, Thailand. He received the Bachelor Degree of Science in Analytical Chemistry from Department of Industrial Chemical Technology, Faculty of Argicultural Engineering and Technology, Rajamangala Institute of Technology in 1996. He has started studying in Organic Chemistry at Graduate School, Chulalongkorn University for the Master Degree of Science in 1997. During education, he received Local Graduate Scholarship (LGS) from the National Science and Technology Development Agency (NSTDA) for 2 years and then became a research assistant under central office project RDE-CO grant number: CO-B-06-22-09-001 last year. He graduated with the Master Degree of Science in year 1999.