Supporting Information

Late-Stage Construction of Stapled Peptides through Fujiwara-Moritani Reaction between Tryptophan and Olefins

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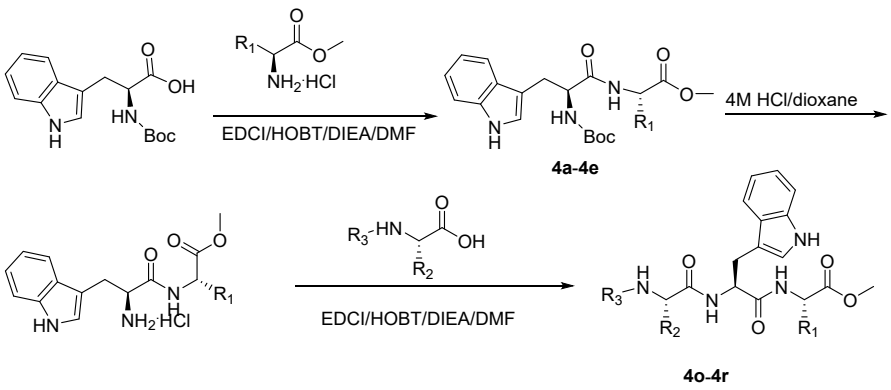
Table of Contents

1. General Information

All the reagents are obtained from commercial sources without further purification unless indicated. The water used in the laboratory comes from the Milli-Q reference system. Thin-layer chromatography (TLC) and silica gel for column chromatography comes from Qingdao Marine chemical plant (200-300 mesh). The peptide substrates and stapled peptide precursors were synthesized by traditional methods including liquid phase synthesis of peptides and solid synthesis of peptides. The spectra of absorption and fluorescence were analyzed using Molecular Devices SpectraMax M5. ^{1}HNMR spectra were obtained on AVANCE Ⅲ 500 (500 MHz), WNMR-I 400MHZ and AVANCE Ⅲ HD 600 instrument (600 MHz). ^{13}CNMR spectra were obtained on AVANCE Ⅲ 500 (126 MHz), WNMR-I 400MHZ (101 MHz) and AVANCE Ⅲ HD 600 instrument (151 MHz). ^{1}HNMR spectrum multiplicities as following: s (singlet), br (broad), d (doublet), t (triplet), q (quadruplet), m (multiplet). Cell imaging was performed using Leica TCS SP8. Reactions were detected by thin layer chromatography (TLC) under 254 nm or 365 nm with portable UV lamp and 2% ninhydrin stains in ethanol. Liquid chromatography-mass spectrometry (LC-MS) with Thermo Fisher.

2. Experimental Section

A. General procedure for the synthesis of dipeptides and tripeptides

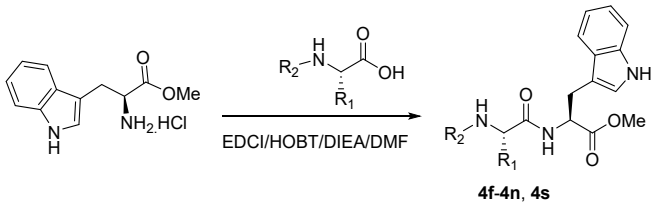


Scheme S1. Preparation of linear peptides through solution-phase peptide synthesis.

Boc-Trp-OH (304 mg, 1 mmol), EDCI (290 mg, 1.5 mmol), HOBT (202 mg, 1.5 mmol) and H-AA-OMe.HCl (1 mmol) were dissolved in 10mL DMF, then DIEA (390 mg, 3 mmol) was added, stirred in room temperature overnight. Upon completion, 30 mL EtOAc and 30 mL H_2O were added, the organic layer was separated and washed with 30 mL 1N HCl, 30 mL saturated sodium bicarbonate, 30 mL saturated sodium chloride and dried with anhydrous sodium sulfate, filtered, concentrated in vacuum to get dipeptides Boc-Trp-AA-OMe. Next, the Boc-Trp-AA-OMe (1 mmol) was dissolved in 10 mL 4M HCl/dioxane for 30 min, then concentrated in vacuum, diluting with ice ether, a lot of solid form, dried in vacuum to get H-Trp-AA-OMe·HCl for the next step. R_3-AA-OH (1 mmol), EDCI (290 mg, 1.5 mmol), HOBT (202 mg, 1.5 mmol) and H-Trp-AA-OMe·HCl (1 mmol) were dissolved in 10 mL DMF, then DIEA (390 mg, 3 mmol) was added, stirred in room temperature overnight. Upon completion, 30 mL EtOAc and 30 mL H_2O were added, the organic layer was separated and washed with 30 mL 1N HCl, 30 mL saturated sodium bicarbonate, 30 mL saturated sodium chloride and dried with anhydrous sodium sulfate, filtered, concentrated in vacuum to get tripeptides R_3-AA-Trp-AA-OMe without further

purified for the next step.

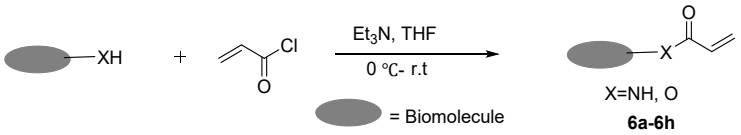
B. General procedure for the synthesis of dipeptides.



Scheme S2. Preparation of dipeptides through solution-phase peptide synthesis.

H-Trp-OMe·HCl (254 mg, 1 mmol), R_2-AA-OH (1 mmol), EDCI (290 mg, 1.5 mmol) and HOBT (202 mg, 1.5 mmol) were dissolved in 10 mL DMF, then DIEA (390 mg, 3 mmol) was added, stirred in room temperature overnight. Upon completion, 30 mL EtOAc and 30 mL H_2O were added, the organic layer was separated and washed with 30 mL 1N HCl, 30 mL saturated sodium bicarbonate, 30 mL saturated sodium chloride and dried with anhydrous sodium sulfate, filtered, concentrated in vacuum to get dipeptides R_2-AA-Trp-OMe without further purified for the next step.

C. General procedure for the subtrates which modification with acryloyl chloride



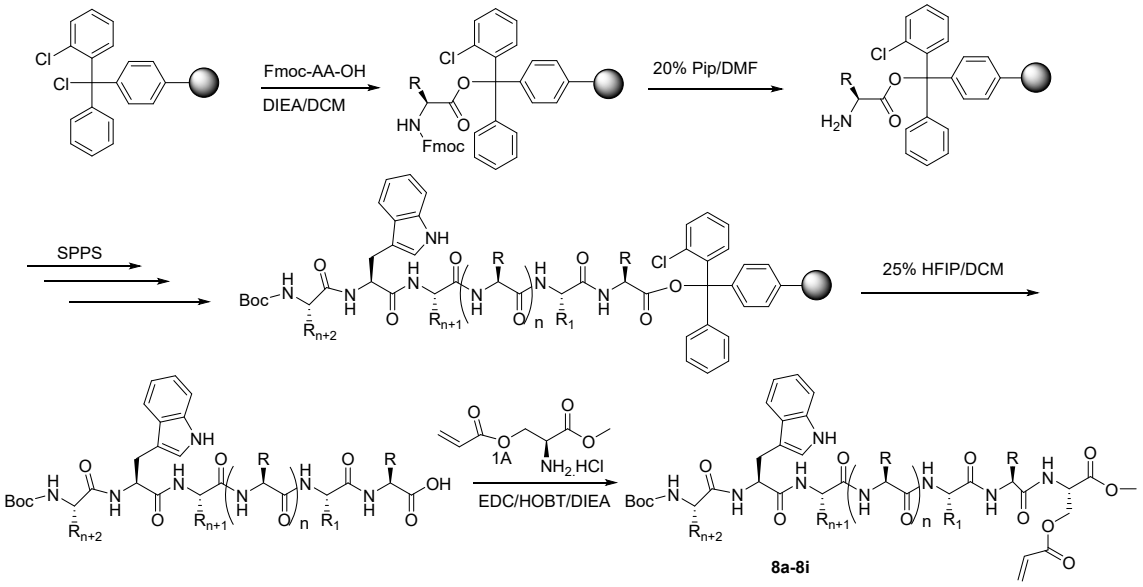
Scheme S3. Preparation of acrylic modification substrates.

Typically, the biomolecule compound (1 mmol) were dissolved in 5mL THF, Et_3N (150 mg, 1.5 mmol) was added, then cooled to 0 ℃. Acryloyl chloride (108 mg, 1.2 mmol) dissolved in 2mL THF was dropwisesd to the reaction mixture, then removed to room temperature overnight. The reaction mixture was diluted with 5 mL EtOAc and 5 mL H_2O. The organic layer was washed with 5 mL 1N HCl, 5 mL saturated sodium bicarbonate, 5 mL saturated sodium chloride and dried with anhydrous sodium sulfate, filtered, concentrated in vacuum to get the crude product further purified by flash column to get **6a-6h.**

D. General procedure for Pd-catalyzed olefination of Trp containing amino acids, dipeptides and tripeptides

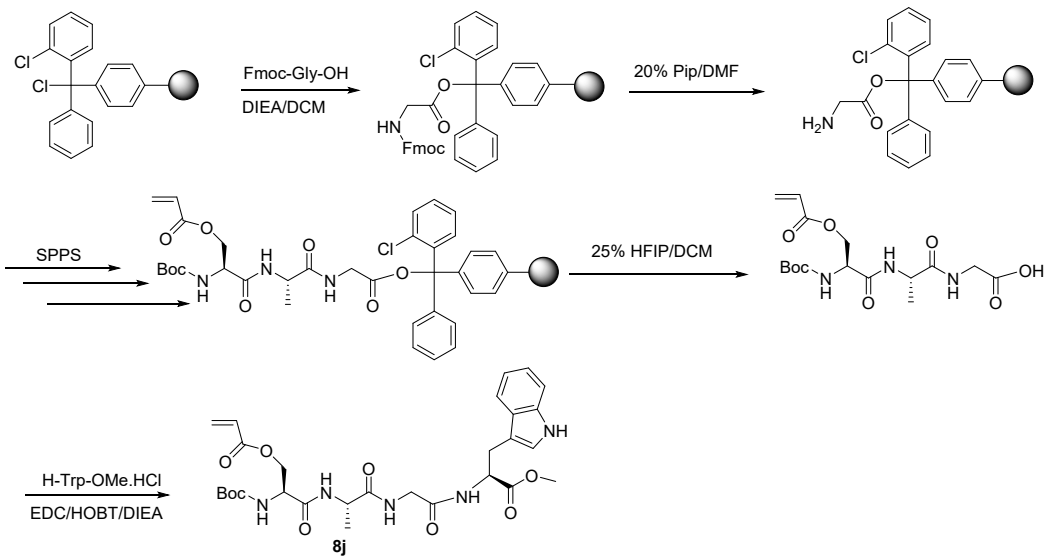
Typically, the Trp containing amino acid and peptide substrate (0.2 mmol), $Pd(OAc)_2$ (9 mg, 0.02 mmol) were suspened in 2 mL dioxane/AcOH=3:1, then alkene (0.4 mmol) and 1,4-Benzoquinone (43.2 mg, 0.4 mmol) were added. The tube was fitted with a septum and the mixture was heated to 80 °C for 24 h. The reaction mixture was diluted with 5 mL EtOAc and 5 mL H_2O. The organic layer was washed with 5 mL 1N HCl, 5 mL saturated sodium bicarbonate, 5 mL saturated sodium chloride and dried with anhydrous sodium sulfate, filtered, concentrated in vacuum to get the crude product further purified by flash column or PTLC.

E. General procedure of stapled peptide precursor



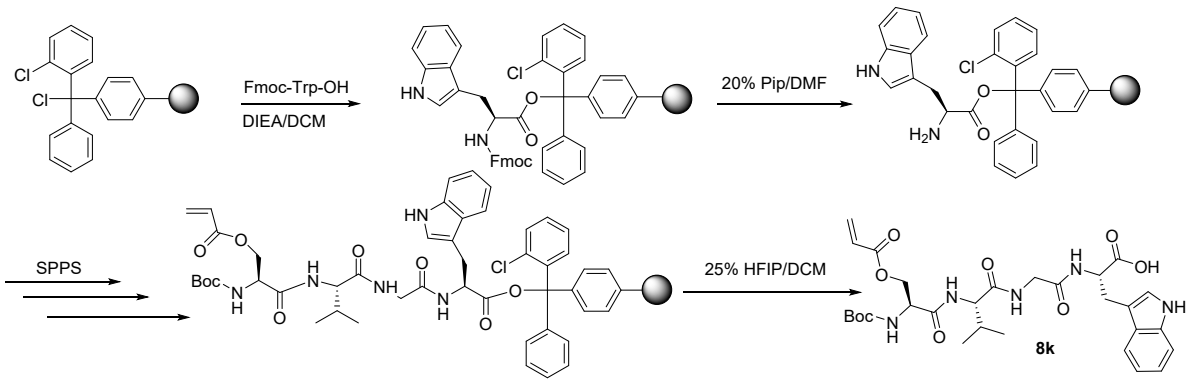
Scheme S3a. Procedure for stapled peptide precursor **8a-8i**

The CTC Resin (300 mg, 0.3 mmol) was suspended in 5mL DCM, then Fmoc-AA-OH (0.9 mmol) and DIEA (154.8 mg, 1.2 mmol) were added, reacted in the shaker, after 2 h, 300 μl MeOH was added for 10 min, then the Fmoc-AA-CTC Resin washed with DMF for three times. Fmoc-AA-CTC Resin deprotect the Fmoc with 20% piperidine/DMF for 30 min. After reaction, the H-AA-CTC Resin washed with DMF for four times. Subsequent amino acids coupling using standard solution-phase peptide synthesis (SPPS). The peptides were cut from CTC Resin using 25% HFIP/DCM for 1 h, filtered, the resins were washed DCM for three times, combined the filtrate and concentrated in vacuum to get peptides. Finally the linear peptides (0.2 mmol) 1A (44 mg, 0.2 mmol) which was prepared according to literature report,[1] EDCI (60 mg, 0.3 mmol) and HOBT (40 mg, 0.3 mmol) were dissolved in 3 mL DMF, then DIEA (78 mg, 0.6 mmol) was added, stirred in room temperature for 12 h. Upon completion, 10 mL EtOAc and 10 mL H_2O were added, the organic layer was separated and washed with 10 mL 1N HCl, 10 mL saturated sodium bicarbonate, 10 mL saturated sodium chloride and dried with anhydrous sodium sulfate, filtered, concentrated in vacuum to get the linear peptides **8a-8i** without purified for the next step.



Scheme S3b. Procedure for stapled peptide precursor **8j**

The CTC Resin (300 mg, 0.3 mmol) was suspended in 5mL DCM, then Fmoc-Gly-OH (267 mg, 0.9 mmol) and DIEA (154.8 mg, 1.2 mmol) were added, reacted in the shaker, after 2 h, 300 μl MeOH was added for 10 min, then the Fmoc-Gly-CTC Resin washed with DMF for three times. Fmoc-Gly-CTC Resin deprotect the Fmoc with 20% piperidine/DMF for 30 min. After reaction, the H-Gly-CTC Resin washed with DMF for four times. Subsequent amino acids coupling using standard solution-phase peptide synthesis (SPPS) until all the amino acid was incorporated. The peptides were cut from CTC Resin using 25% HFIP/DCM for 1 h, filtered, the resins were washed DCM for three times, combined the filtrate and concentrated in vacuum to get peptide. Finally the linear peptide (88.6 mg, 0.2 mmol) H-Trp-OMe.HCl (66.2 mg, 0.2 mmol), EDCI (58 mg, 0.3 mmol) and HOBT (40 mg, 0.3 mmol) were dissolved in 3 mL DMF, then DIEA (78 mg, 0.6 mmol) was added, stirred in room temperature for 12 h. Upon completion, 10 mL EtOAc and 10 mL H_2O were added, the organic layer was separated and washed with 10 mL 1N HCl, 10 mL saturated sodium bicarbonate, 10 mL saturated sodium chloride and dried with anhydrous sodium sulfate, filtered, concentrated in vacuum to get the linear peptide **8j** without purified for the next step.



Scheme S3c. Procedure for stapled peptide precursor **8k**

The CTC Resin (300 mg, 0.3 mmol) was suspended in 5mL DCM, then Fmoc-Trp-OH (383 mg, 0.9

mmol) and DIEA (154.8 mg, 1.2 mmol) were added, reacted in the shaker, after 2 h, 300 μl MeOH was added for 10 min, then the Fmoc-Trp-CTC Resin washed with DMF for three times. Fmoc-Trp-CTC Resin deprotect the Fmoc with 20% piperidine/DMF for 30 min. After reaction, the H-Trp-CTC Resin washed with DMF for four times. Subsequent amino acids coupling using standard solution-phase peptide synthesis (SPPS) until all the amino acid was incorporated. The peptide were cut from CTC Resin using 25% HFIP/DCM for 1 h, filtered, the resins were washed DCM for three times, combined the filtrate and concentrated in vacuum to get peptide **8k** without purified for the next step.

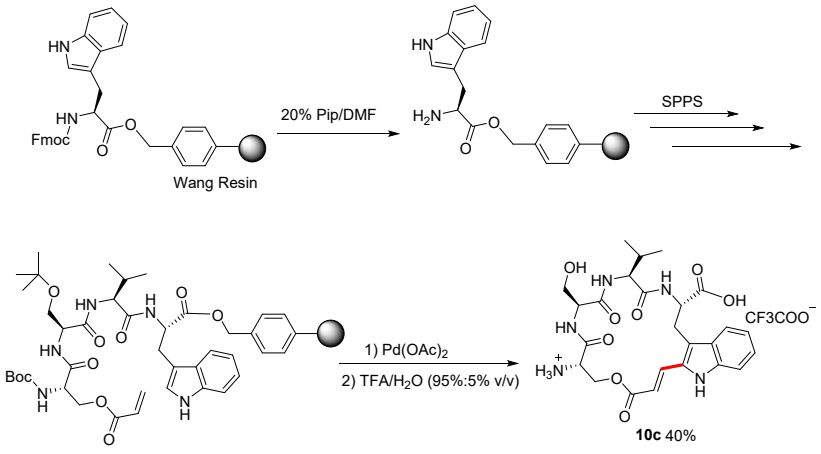
F. General procedure of Pd-catalyzed olefination stapled peptides

Typically, the Trp containing stapled peptide precursor (0.2 mmol), $Pd(OAc)_2$ (9 mg, 0.02 mmol) were suspened in 10 mL dioxane/AcOH=3:1, then 1,4-Benzoquinone (43.2 mg, 0.4 mmol) were added. The tube was fitted with a septum and the mixture was heated to 80 °C for 24 h. The reaction mixture was diluted with EtOAc (40 mL) and H_2O (30 mL). The organic layer was washed with 20 mL 1N HCl, 20 mL saturated sodium bicarbonate, 20 mL saturated sodium chloride and dried with anhydrous sodium sulfate, filtered, concentrated in vacuum to get the crude product further purified by flash column or PTLC.

G. General procedure of remove the peptides protecting groups.

A: According to the previous experience, the stapled peptide **9g** (100 mg, 0.1 mmol) was added to 2 mL 95% TFA/H_2O (v/v) under 0 °C, then removed to room temperature for 1h. The ice ether 10 mL was added, a lot of solid form, filtered, washed with ether for three times, dried in vacuum to get 68 mg white solid **10a** in **85%** yield.
B: According to the previous experience, the stapled peptide **9k** (60 mg, 0.1 mmol) was added to 2 mL 4M HCl/dioxane solution under 0 °C, then removed to room temperature for 1h. The ice ether 10 mL was added, a lot of solid form, filtered, washed with ether for three times, dried in vacuum to get 51 mg white solid **10b** in **95%** yield.

H. General procedure of construction stapled peptide with solid phase peptide synthesis (SPPS).

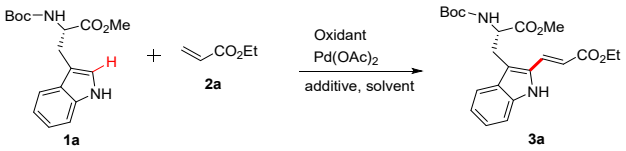


Scheme S4. Procedure for stapled peptide **10c**

The Fmoc-Trp-Wang resin (0.2 mmol) soak in DMF for 0.5 h, then deprotect the Fmoc with 20% piperidine/DMF for 30 min. After reaction, the H-Trp-Wang Resin washed with DMF for four times. Subsequent amino acids coupling using standard solution-phase peptide synthesis (SPPS) until all the amino acid was incorporated. The peptide resin was suspended in 10 mL dioxane/AcOH=3:1, $Pd(OAc)_2$ (9 mg, 0.02 mmol) and 1,4-Benzoquinone (43.2 mg, 0.4 mmol) were added. The tube was fitted with a septum and the mixture was heated to 80 °C for 24 h. After reaction, the mixture was washed with DMF three times, H_2O two times and MeOH three times then dried in vacuum. After dried, the resin was added to 5 mL 95% TFA/H_2O (v/v) under 0 °C, then shook in room temperature for 1h. filtered, washed with TFA for two times. Combined the filtrate and 20 mL ice ether was added , a lot of solid form, filtered, the solid washed with ether for three times, dried in vacuum to get crude **10c**. The crude **10c** was further purified by reverse preparative chromatography and freeze-drying to get 51 mg white solid **10c** in **40**% yield.

K. Experimental Tables and figures

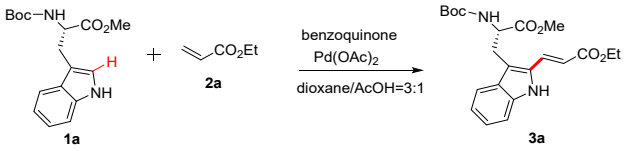
Table S1 Optimization of reaction conditions.[a]



Entry	Oxidant	Additive	Solvent	T (℃)	Y (%)[b]
1	O_2[c]	AcOH[d]	para-xylene	100	15
2	*t*BuOOBz	-	DMF	80	10
3	*t*BuOOBz	-	toluene	80	5
4	*t*BuOOBz	-	AcOH	80	35
5	*t*BuOOBz	-	MeCN	80	10
6	*t*BuOOBz	-	MeOH	80	Trace
7	*t*BuOOBz	-	DMSO	80	12
8	*t*BuOOBz	-	CHCl3	80	13
9	*t*BuOOBz	-	DCE	80	8
10	*t*BuOOBz	-	dioxane	80	17
11	*t*BuOOBz	-	THF	80	15
12	*t*BuOOBz	-	THF/AcOH=3:1	80	38
13	*t*BuOOBz	-	dioxane/AcOH=3:1	80	48
14	*t*BuOOBz	-	dioxane/AcOH=1:1	80	43
15	*t*BuOOBz	-	dioxane/AcOH=5:1	80	40
16	H_2O_2	-	dioxane/AcOH=3:1	80	16
17	TBHP	-	dioxane/AcOH=3:1	80	35
18	1,4-Benzoquinone	-	dioxane/AcOH=3:1	80	78
19	$Cu(OAc)_2$	-	dioxane/AcOH=3:1	80	23
20	AgOAc	-	dioxane/AcOH=3:1	80	20
21	$K_2S_2O_8$	-	dioxane/AcOH=3:1	80	Trace
22	1,4-Benzoquinone	AgOAc	dioxane/AcOH=3:1	80	70
23	1,4-Benzoquinone	$AgBF_4$	dioxane/AcOH=3:1	80	75
24	1,4-Benzoquinone	Ag_2CO_3	dioxane/AcOH=3:1	80	72
25	1,4-Benzoquinone	Ag_2O	dioxane/AcOH=3:1	80	66
26	1,4-Benzoquinone	AgSbF6	dioxane/AcOH=3:1	80	62
27	1,4-Benzoquinone	-	dioxane/AcOH=3:1	60	50
28	1,4-Benzoquinone	-	dioxane/AcOH=3:1	100	68

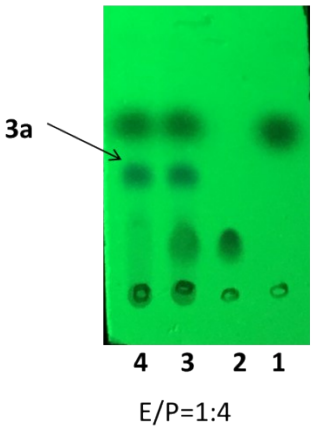
[a]Reaction conditions: **1a** (0.2 mmol), **2a** (0.4 mmol), oxidant (0.2 mmol), $Pd(OAc)_2$ (0.02 mmol), additive (0.2 mmol), solvent (2 mL), 24 h. [b]Isolated yields. [c]O_2 1 atm. [d]AcOH (1.2 mmol).

Table S2 Optimization of reaction conditions.[a]



Entry	Pd catalyst	Oxidant	Olefination reagent **2a**	T (℃)	Y (%)[b]
1	10% mol $Pd(OAc)_2$	0.4 mmol 1,4-Benzoquinone	0.4 mmol **2a**	80	47[c]
2	10% mol $Pd(OAc)_2$	0.4 mmol 1,4-Benzoquinone	0.4 mmol **2a**	80	71[d]
3	20% mol $Pd(OAc)_2$	0.4 mmol 1,4-Benzoquinone	0.4 mmol **2a**	80	76
4	5% mol $Pd(OAc)_2$	0.4 mmol 1,4-Benzoquinone	0.4 mmol **2a**	80	56
5	1% mol $Pd(OAc)_2$	0.4 mmol 1,4-Benzoquinone	0.4 mmol **2a**	80	Trace
6	10% mol $Pd(OAc)_2$	0.5 mmol 1,4-Benzoquinone	0.4 mmol **2a**	80	72
7	10% mol $Pd(OAc)_2$	0.3 mmol 1,4-Benzoquinone	0.4 mmol **2a**	80	62
8	10% mol $Pd(OAc)_2$	0.2 mmol 1,4-Benzoquinone	0.4 mmol **2a**	80	45
9	10% mol $Pd(OAc)_2$	0.4 mmol 1,4-Benzoquinone	0.5 mmol **2a**	80	74
10	10% mol $Pd(OAc)_2$	0.4 mmol 1,4-Benzoquinone	0.3 mmol **2a**	80	58
11	10% mol $Pd(OAc)_2$	0.4 mmol 1,4-Benzoquinone	0.2 mmol **2a**	80	45

[a]Reaction conditions: **1a** (0.2 mmol), dioxane/AcOH=3:1 (2 mL), 24 h. [b]Isolated yields. [c]12 h. [d]48 h



lane	sample
1	1,4-Benzoquinone
2	**1a**
3	**1a** + Reaction mixture
4	Reaction mixture

Fig S1. TLC show the result of the reaction between **1a** and **2a** under 254nm

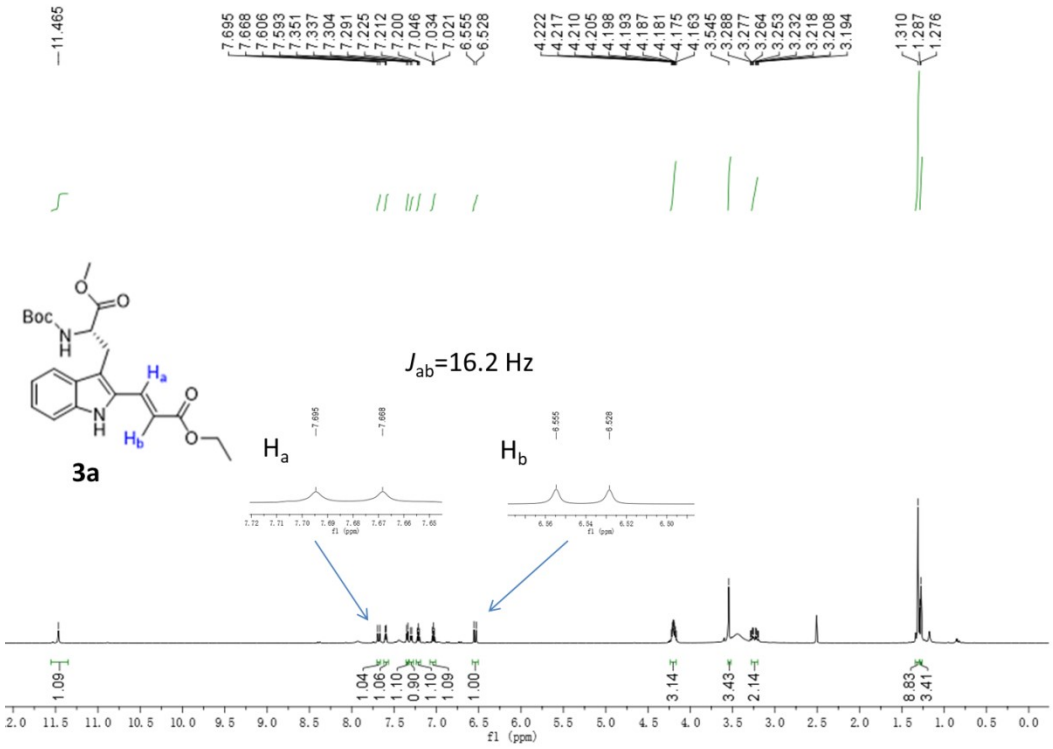
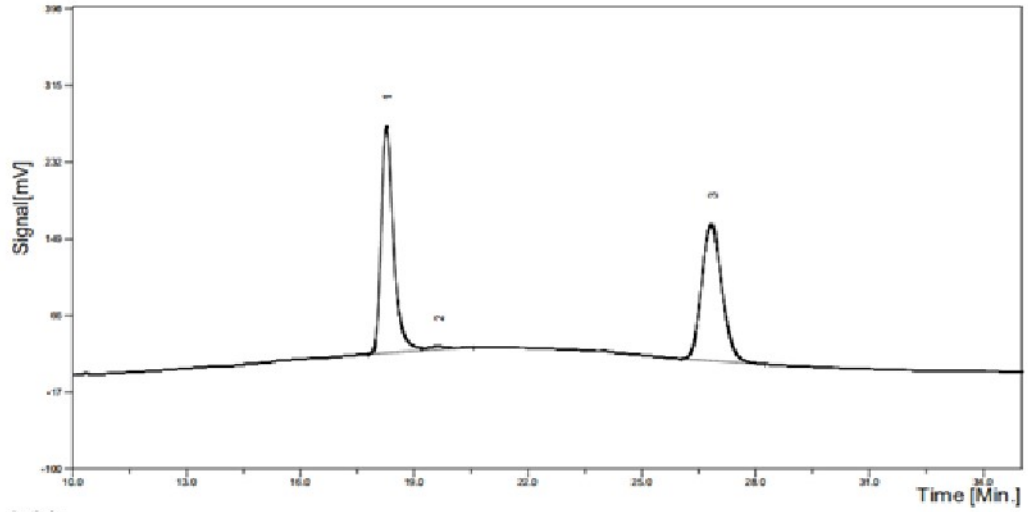


Fig S2. Determination of the configuration of double bond in product **3a** by ^{1}H-NMR (600 MHz, DMSO). The coupling constant was J_{ab}=16.2 Hz, and therefore the double bond is in *E*-configuration.

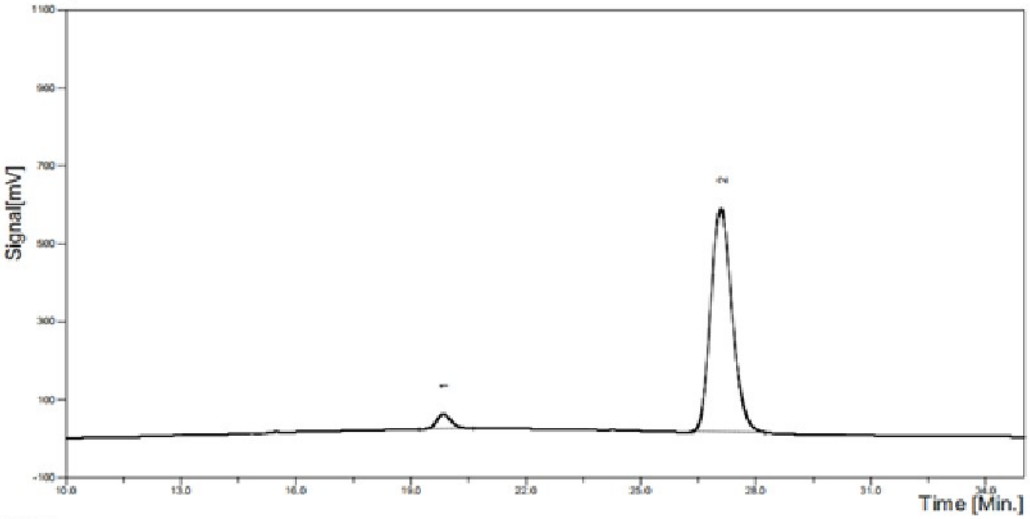
a: HPLC spectra of racemate



组分表

#	组分名	保留时间(min)	峰高(mV)	峰面积(mV.sec)	面积百分比(%)
1	Unknown	18.26167	245.11	5587.91	50.1209
2	Unknown	19.59583	3.86	155.33	1.3932
3	Unknown	26.82333	147.53	5405.62	48.4858
合计			396.50	11148.86	100

b: HPLC spectra of 3a



组分表

#	组分名	保留时间(min)	峰高(mV)	峰面积(mV.sec)	面积百分比(%)
1	Unknown	19.83833	37.48	953.84	4.1973
2	Unknown	27.07917	572.48	21771.46	95.8027
合计			609.96	22725.31	100

Fig S3. HPLC spectra of a) racemate and b) 3a. Chromatographic column: Daicel Chiralpak AD-H 5μm, solvent: *n*-hexane/^{i}PrOH, wavelength: 254.

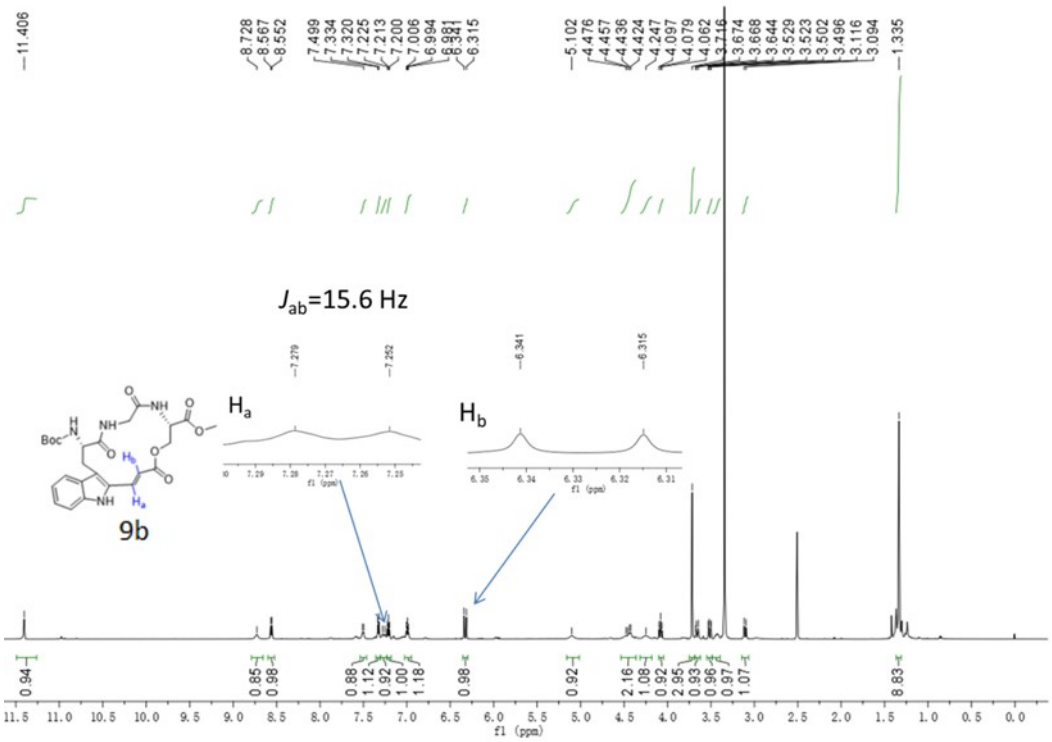


Fig S4. Determination of the configuration of double bond in product **9b** by ^{1}H-NMR (600 MHz, DMSO). The coupling constant was J_{ab}=15.6 Hz, and therefore the double bond is in *E*-configuration.

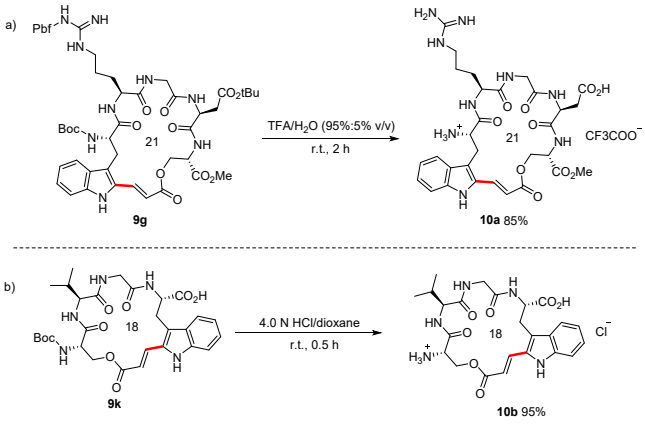


Fig S5. a), b) Two different methods removal of the peptides protecting groups.

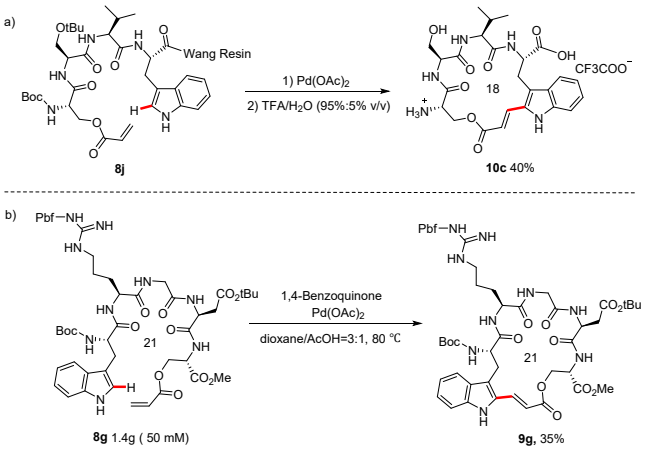
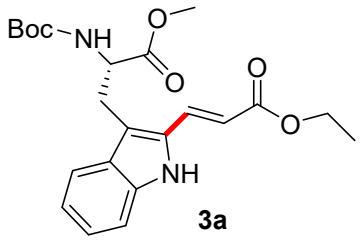


Fig S6. a) Construction of stapled peptide with solid phase peptide synthesis (SPPS). b) Gram scale synthesis of 9g.

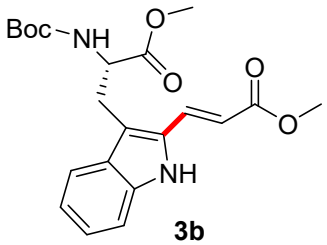
L. Structural characterization of amino acids, peptides and stapled peptides



3a

Chemical Formula: $C_{22}H_{28}N_2O_6$
Exact Mass: 416.1947

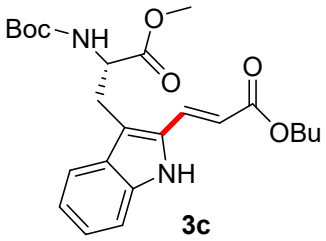
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:4; R_f =0.4) to yield compound **3a** (64.9 mg, 78% yield). ^{1}H NMR (600 MHz, DMSO) δ 11.47 (s, 1H), 7.68 (d, *J* = 15.8 Hz, 1H), 7.60 (d, *J* = 8.0 Hz, 1H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.30 (d, *J* = 8.0 Hz, 1H), 7.21 (t, *J* = 7.5 Hz, 1H), 7.03 (t, *J* = 7.5 Hz, 1H), 6.54 (d, *J* = 15.8 Hz, 1H), 4.26 – 4.14 (m, 3H), 3.55 (s, 3H), 3.27 (dd, *J* = 14.4, 6.5 Hz, 1H), 3.21 (dd, *J* = 14.4, 8.0 Hz, 1H), 1.31 (s, 6H), 1.28 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (151 MHz, DMSO) δ 172.60, 166.87, 155.65, 137.93, 132.50, 131.66, 128.22, 124.82, 119.96, 119.84, 117.13, 115.66, 111.85, 78.81, 60.35, 55.33, 52.25, 28.53, 26.42, 14.72. MS (ESI) *m/z* (relative intensity) 417.34 (100) $[M+H]^+$, 317.36 (40) $[M\text{-Boc}+H]^+$. HRMS (ESI) *m/z* calcd for $C_{22}H_{28}N_2O_6Na$ $(M + Na)^+$ 439.1840, found 439.1844.



3b

Chemical Formula: $C_{21}H_{26}N_2O_6$
Exact Mass: 402.1791

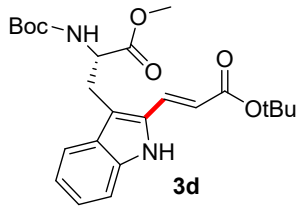
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:4; R_f =0.35) to yield compound **3b** (64.8 mg, 80% yield). ^{1}H NMR (500 MHz, DMSO) δ 11.45 (s, 1H), 11.45 (s, 1H), 7.67 (d, *J* = 15.8 Hz, 1H), 7.58 (d, *J* = 8.0 Hz, 1H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.27 – 7.18 (m, 2H), 7.03 (dd, *J* = 11.1, 3.9 Hz, 1H), 6.49 (d, *J* = 15.8 Hz, 1H), 3.72 (s, 3H), 3.53 (s, 3H), 3.26 (dd, *J* = 14.3, 6.5 Hz, 1H), 3.20 (dd, *J* = 14.4, 7.9 Hz, 1H), 1.29 (s, 9H). ^{13}C NMR (126 MHz, DMSO) δ 172.41 (s), 167.20 (s), 155.47 (s), 137.72 (s), 132.46 (s), 131.33 (s), 127.94 (s), 124.75 (s), 119.72 (s), 117.02 (s), 114.91 (s), 111.66 (s), 55.05 (s), 52.08 (s), 51.71 (s), 28.27 (s), 26.17 (s). MS (ESI) *m/z* (relative intensity) 403.32 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{21}H_{26}N_2O_6Na$ $(M + Na)^+$ 425.1683, found 425.1681.



3c

Chemical Formula: $C_{24}H_{32}N_2O_6$
Exact Mass: 444.2260

According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:4; R_f =0.38) to yield compound **3c** (63.6 mg, 72% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.72 (d, *J* = 4.4 Hz, 1H), 7.91 (t, *J* = 7.6 Hz, 1H), 7.72 (d, *J* = 16.2 Hz, 1H), 7.67 (d, *J* = 7.9 Hz, 1H), 7.40 (dd, *J* = 7.1, 5.2 Hz, 1H), 7.36 (d, *J* = 8.2 Hz, 1H), 7.31 (d, *J* = 7.8 Hz, 1H), 7.28 (d, *J* = 7.7 Hz, 1H), 7.21 (t, *J* = 7.3 Hz, 1H), 5.63 (d, *J* = 16.2 Hz, 1H), 5.16 (d, *J* = 8.0 Hz, 1H), 4.74 (d, *J* = 6.9 Hz, 1H), 4.14 (t, *J* = 6.7 Hz, 2H), 3.67 (s, 3H), 3.60 – 3.43 (m, 2H), 1.68 – 1.61 (m, 2H), 1.42 (s, 7H), 1.40 – 1.36 (m, 2H), 0.95 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 172.31, 167.15, 155.10, 137.41, 131.55, 131.22, 128.73, 125.07, 120.24, 119.84, 116.10, 115.21, 111.13, 80.03, 64.53, 54.46, 52.56, 30.79, 28.33, 27.21, 19.18, 13.76. MS (ESI) *m/z* (relative intensity) 445.83 (100) $[M + H^+]$, 889.35 (60) $[2M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{37}H_{51}N_5O_9Na$ $(M + Na)^+$ 467.2153, found 467.2152.

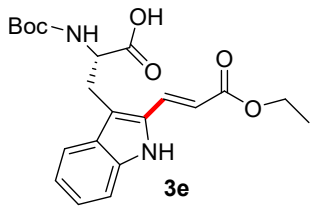


3d

Chemical Formula: $C_{24}H_{32}N_2O_6$
Exact Mass: 444.2260

According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum

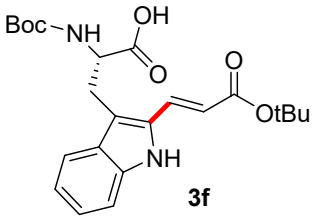
ether= 1:4; R_f =0.36) to yield compound **3d** (65.7 mg, 74% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 9.07 (s, 1H), 7.60 (d, *J* = 15.9 Hz, 1H), 7.57 (d, *J* = 8.0 Hz, 1H), 7.28 (d, *J* = 8.6 Hz, 1H), 7.22 (t, *J* = 7.5 Hz, 1H), 7.09 (t, *J* = 7.4 Hz, 1H), 6.25 (d, *J* = 15.9 Hz, 1H), 5.17 (d, *J* = 8.2 Hz, 1H), 4.71 (dt, *J* = 7.8, 5.3 Hz, 1H), 3.70 (s, 3H), 3.44 (dd, *J* = 14.6, 5.7 Hz, 1H), 3.39 (dd, *J* = 14.5, 4.7 Hz, 1H), 1.58 (s, 9H), 1.45 (s, 9H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 172.22, 166.48, 155.08, 137.37, 131.41, 130.80, 128.80, 124.87, 120.15, 119.86, 117.19, 115.71, 111.14, 80.72, 79.96, 54.49, 53.45, 52.48, 28.34, 28.27, 27.30. MS (ESI) *m/z* (relative intensity) 445.65 (100) [M+H$^+$], 345.76 (80) [M-Boc+H]$^+$. HRMS (ESI) *m/z* calcd for $C_{24}H_{32}N_2O_6Na$ (M + Na)$^+$ 467.2153, found 467.2150.



3e

Chemical Formula: $C_{21}H_{26}N_2O_6$
Exact Mass: 402.1791

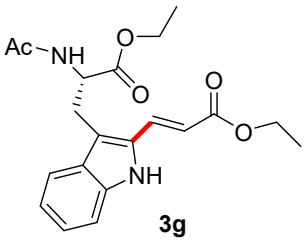
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (DCM: MeOH= 20:1; R_f =0.23) to yield compound **3e** (42.3 mg, 65% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.92 (s, 1H), 7.75 (d, *J* = 15.5 Hz, 1H), 7.60 (d, *J* = 7.2 Hz, 2H), 7.10 – 7.07 (m, 2H), 7.05 – 6.95 (m, 1H), 6.18 (d, *J* = 15.5 Hz, 1H), 5.40 – 5.25 (m, 1H), 4.50 – 4.70 (m, 1H), 4.25 – 4.15 (q, *J* = 6.6 Hz, 2H), 3.50 – 3.30 (m, 2H), 1.35 - (s, 9H), 1.30 (t, *J* = 6.6 Hz, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 175.17, 155.31, 137.51, 132.19, 131.21, 128.46, 125.09, 120.28, 114.80, 111.18, 80.16, 60.96, 54.39, 28.33, 14.21, 11.43. MS (ESI) *m/z* (relative intensity) 401.34 (100) [M-H]$^+$. HRMS (ESI) *m/z* calcd for $C_{21}H_{26}N_2O_6Na$ (M + Na)$^+$ 425.1683, found 425.1680.



3f

Chemical Formula: $C_{23}H_{30}N_2O_6$
Exact Mass: 430.2104

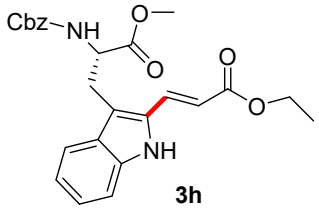
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (DCM: MeOH= 20:1; R_f =0.26) to yield compound **3f** (53.2 mg, 62% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.87 (s, 1H), 7.70 (d, *J* = 15.0 Hz, 1H), 7.60 – 7.57 (m, 1H), 7.24 – 7.10 (m, 2H), 7.06 – 6.98 (m, 1H), 6.15 (d, *J* = 15 Hz, 1H), 5.28 (s, 1H), 4.65 – 4.45 (m, 1H), 3.45 – 3.32 (m, 2H), 1.51 (s, 9H), 1.45 (s, 9H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 137.43, 131.19, 128.95, 128.38, 124.82, 120.08, 119.62, 116.90, 116.22, 111.02, 81.18, 79.75, 60.46, 29.70, 28.38, 28.16, 14.19. MS (ESI) *m/z* (relative intensity) 429.65 (100) [M-H]$^+$. HRMS (ESI) *m/z* calcd for $C_{23}H_{30}N_2O_6Na$ (M + Na)$^+$ 453.1996, found 453.1999.



3g

Chemical Formula: $C_{20}H_{24}N_2O_5$
Exact Mass: 372.1685

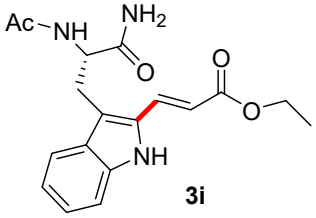
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (DCM; R_f =0.52) to yield compound **3g** (49.8 mg, 67% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 9.11 (s, 1H), 7.64 (d, *J* = 15.9 Hz, 1H), 7.55 (d, *J* = 8.0 Hz, 1H), 7.29 (d, *J* = 3.9 Hz, 1H), 7.24 (t, *J* = 7.5 Hz, 1H), 7.09 (t, *J* = 7.5 Hz, 1H), 6.26 (d, *J* = 8.0 Hz, 1H), 6.22 (d, *J* = 15.9 Hz, 1H), 5.07 – 4.99 (m, 1H), 4.31 – 4.24 (m, 3H), 4.23 – 4.19 (m, 1H), 4.11 – 4.03 (m, 1H), 3.48 (dd, *J* = 14.7, 5.6 Hz, 1H), 3.42 (dd, *J* = 14.7, 4.8 Hz, 1H), 1.98 (s, 3H), 1.34 (t, *J* = 7.1 Hz, 3H), 1.27 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.58, 170.02, 167.00, 137.42, 131.65, 131.35, 128.76, 125.01, 120.20, 119.69, 116.13, 115.20, 111.32, 61.94, 60.62, 53.16, 26.98, 23.13, 14.34, 13.95. MS (ESI) *m/z* (relative intensity) 373.25 (100) [M+H]$^+$. HRMS (ESI) *m/z* calcd for $C_{20}H_{24}N_2O_5Na$ (M + Na)$^+$ 395.1577, found 395.1591.



3h

Chemical Formula: $C_{25}H_{26}N_2O_6$
Exact Mass: 450.1791

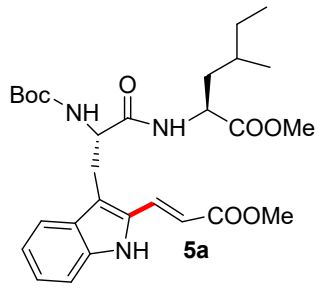
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:3; R_f=0.16) to yield compound **3h** (57.6 mg, 64% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 9.03 (s, 1H), 7.68 (d, *J* = 15.9 Hz, 1H), 7.53 (d, *J* = 7.9 Hz, 1H), 7.47 (t, *J* = 7.3 Hz, 1H), 7.39 – 7.32 (m, 5H), 7.26 (d, *J* = 8.1 Hz, 1H), 7.21 (t, *J* = 7.5 Hz, 1H), 7.05 (t, *J* = 7.4 Hz, 1H), 6.26 (d, *J* = 15.9 Hz, 1H), 5.51 (d, *J* = 8.1 Hz, 1H), 5.14 (q, *J* = 12.2 Hz, 2H), 4.85 – 4.79 (m, 1H), 4.24 (dd, *J* = 12.7, 6.3 Hz, 2H), 3.72 (s, 3H), 3.50 (dd, *J* = 14.7, 5.6 Hz, 1H), 3.44 (dd, *J* = 14.7, 4.6 Hz, 1H), 1.32 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.89, 167.13, 155.77, 137.44, 136.25, 133.40, 131.64, 131.37, 130.18, 128.63, 128.58, 128.50, 128.41, 128.14, 128.06, 125.10, 120.34, 119.72, 115.92, 115.21, 111.29, 67.07, 60.66, 54.85, 52.63, 27.18, 14.33. MS (ESI) *m/z* (relative intensity) 451.65 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{26}H_{28}N_2O_6Na$ $(M + Na)^+$ 464.1947, found 464.1950.



3i

Chemical Formula: $C_{18}H_{21}N_3O_4$
Exact Mass: 343.1532

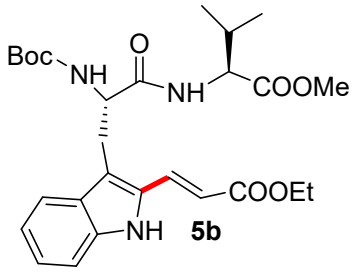
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate; R_f =0.22) to yield compound **3i** (40 mg, 58% yield). ^{1}H NMR (600 MHz, DMSO) δ 11.31 (s, 1H), 8.00 (d, *J* = 8.5 Hz, 1H), 7.73 (d, *J* = 15.8 Hz, 1H), 7.70 (d, *J* = 8.0 Hz, 1H), 7.39 (s, 1H), 7.30 (d, *J* = 8.2 Hz, 1H), 7.18 (t, *J* = 7.5 Hz, 1H), 7.04 (s, 1H), 7.00 (t, *J* = 7.5 Hz, 1H), 6.50 (d, *J* = 15.8 Hz, 1H), 4.49 (dd, *J* = 14.6, 7.0 Hz, 1H), 4.20 (q, *J* = 7.1 Hz, 2H), 3.26 (dd, *J* = 14.1, 6.2 Hz, 1H), 3.07 (dd, *J* = 14.1, 7.0 Hz, 1H), 1.77 (s, 3H), 1.28 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (151 MHz, DMSO) δ 173.24, 169.37, 166.92, 137.90, 133.10, 131.78, 128.56, 124.60, 120.53, 119.55, 117.73, 115.21, 111.58, 60.22, 53.97, 27.51, 23.01, 14.73. MS (ESI) *m/z* (relative intensity) 344.34 (100) $[M+H^+]$, 687.23 (50) $[2M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{18}H_{21}N_3O_4Na$ $(M + Na)^+$ 366.1424, found 366.1436.



5a

Chemical Formula: $C_{28}H_{39}N_3O_7$
Exact Mass: 529.2788

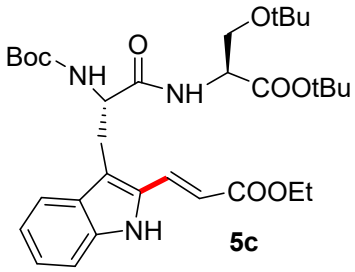
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:3; R_f=0.3) to yield compound **5a** (68.9 mg, 65% yield). ^{1}H NMR (400 MHz, DMSO) δ 11.37 (s, 1H), 7.94 (d, *J* = 8.2 Hz, 1H), 7.69 (d, *J* = 15.8 Hz, 1H), 7.63 (d, *J* = 8.0 Hz, 1H), 7.32 (d, *J* = 8.1 Hz, 1H), 7.20 (t, *J* = 7.5 Hz, 1H), 7.05 – 7.00 (m, 1H), 6.98 (d, *J* = 9.0 Hz, 1H), 6.51 (d, *J* = 15.8 Hz, 1H), 4.24 (dd, *J* = 14.3, 6.3 Hz, 2H), 3.73 (s, 3H), 3.58 (s, 3H), 3.19 (dd, *J* = 14.3, 5.6 Hz, 1H), 3.06 (dd, *J* = 14.2, 8.4 Hz, 1H), 1.78 – 1.66 (m, 1H), 1.27 (s, 9H), 1.24 – 1.16 (m, 4H), 0.84 – 0.78 (m, 6H). ^{13}C NMR (101 MHz, DMSO) δ 172.11, 171.80, 167.40, 155.32, 137.95, 133.10, 131.74, 128.41, 124.75, 120.34, 119.61, 117.48, 114.92, 111.68, 78.74, 56.60, 56.11, 52.11, 51.86, 37.15, 29.48, 28.46, 27.54, 25.15, 15.64, 11.55. MS (ESI) *m/z* (relative intensity) 530.32 (100) $[M+H^+]$, 430.24 (30) $[M-Boc+H]^+$. HRMS (ESI) *m/z* calcd for $C_{28}H_{39}N_3O_7Na$ $(M + Na)^+$ 552.2680, found 552.2681.



5b

Chemical Formula: $C_{27}H_{37}N_3O_7$
Exact Mass: 515.2632

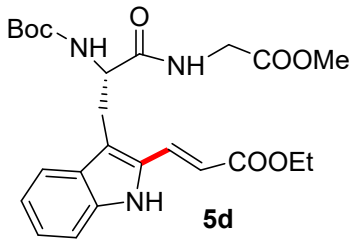
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:3; R_f =0.3) to yield compound **5b** (70.4 mg, 68% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 9.13 (s, 1H), 7.75 (d, *J* = 15.7 Hz, 1H), 7.65 (d, *J* = 7.7 Hz, 1H), 7.22 (s, 2H), 7.10 – 7.04 (m, 1H), 6.55 (d, *J* = 7.9 Hz, 1H), 6.29 (d, *J* = 15.9 Hz, 1H), 5.41 (d, *J* = 5.5 Hz, 1H), 4.48 (d, *J* = 5.6 Hz, 1H), 4.41 (dd, *J* = 8.2, 5.1 Hz, 1H), 4.31 – 4.25 (m, 2H), 3.61 (s, 3H), 3.43 – 3.27 (m, 2H), 2.12 – 1.95 (m, 1H), 1.42 (s, 9H), 1.35 (t, *J* = 7.0 Hz, 3H), 0.83 (dd, *J* = 10.5, 7.0 Hz, 6H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.76, 171.31, 167.21, 155.23, 137.53, 131.79, 131.30, 128.46, 124.84, 120.19, 119.92, 116.74, 115.39, 111.18, 80.00, 60.66, 57.34, 55.80, 52.03, 31.40, 28.28, 18.67, 17.81, 14.32. MS (ESI) *m/z* (relative intensity) 516.43 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{27}H_{37}N_3O_7Na$ $(M + Na)^+$ 538.2524, found 538.2522.



5c

Chemical Formula: $C_{32}H_{47}N_3O_8$
Exact Mass: 601.3363

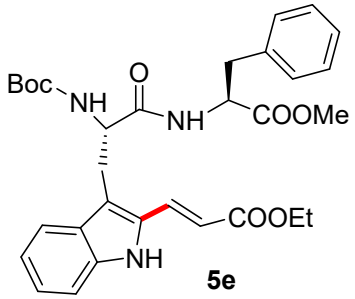
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:3; R_f =0.21) to yield compound **5c** (60.1 mg, 50% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.92 (s, 1H), 7.74 (d, *J* = 15.8 Hz, 1H), 7.68 (d, *J* = 7.2 Hz, 1H), 7.26 (d, *J* = 7.9 Hz, 1H), 7.21 (t, *J* = 7.4 Hz, 1H), 7.07 (t, *J* = 7.4 Hz, 1H), 6.66 (s, 1H), 6.23 (d, *J* = 15.9 Hz, 1H), 5.28 (d, *J* = 5.7 Hz, 1H), 4.57 – 4.41 (m, 2H), 4.32 – 4.23 (m, 2H), 3.68 (d, *J* = 7.4 Hz, 1H), 3.46 (d, *J* = 7.8 Hz, 1H), 3.43 – 3.28 (m, 2H), 1.42 (s, 9H), 1.40 (s, 8H), 1.36 (t, *J* = 7.1 Hz, 4H), 1.07 (s, 9H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 170.81, 168.80, 167.00, 162.62, 137.48, 131.83, 131.44, 128.49, 124.97, 120.19, 119.98, 116.75, 115.25, 111.16, 81.82, 72.98, 62.07, 60.51, 55.25, 53.58, 36.50, 31.48, 28.24, 27.98, 27.24, 14.38. MS (ESI) *m/z* (relative intensity) 602.49 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{32}H_{47}N_3O_8Na$ $(M + Na)^+$ 624.3255, found 624.3256.



5d

Chemical Formula: $C_{24}H_{31}N_3O_7$
Exact Mass: 473.2162

According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:3; R_f =0.16) to yield compound **5d** (68.1 mg, 72% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 9.08 (s, 1H), 7.71 (d, *J* = 15.9 Hz, 1H), 7.63 (d, *J* = 7.9 Hz, 1H), 7.19 (s, 2H), 7.07 (t, *J* = 7.3 Hz, 1H), 6.66 (t, *J* = 4.9 Hz, 1H), 6.27 (d, *J* = 15.9 Hz, 1H), 5.38 (s, 1H), 4.56 (s, 1H), 4.33 – 4.17 (m, 2H), 4.04 (d, *J* = 17.9 Hz, 1H), 3.91 (d, *J* = 17.5 Hz, 1H), 3.70 (s, 3H), 3.38 (s, 2H), 1.42 (s, 9H), 1.34 (t, *J* = 6.8 Hz, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.48, 169.92, 167.19, 155.23, 137.44, 131.34, 128.48, 125.00, 120.24, 119.84, 116.51, 115.32, 111.18, 80.07, 60.62, 55.23, 52.34, 41.33, 28.27, 27.92, 14.30. MS (ESI) *m/z* (relative intensity) 474.78 (100) $[M +H]^+$. HRMS (ESI) *m/z* calcd for $C_{24}H_{31}N_3O_7Na$ $(M + Na)^+$ 496.2954, found 496.2953.

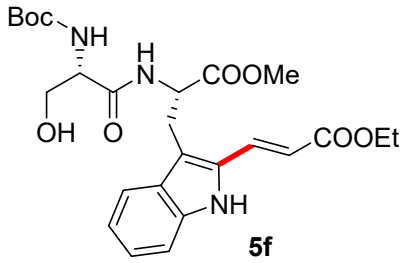


5e

Chemical Formula: $C_{31}H_{37}N_3O_7$
Exact Mass: 563.2632

According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl

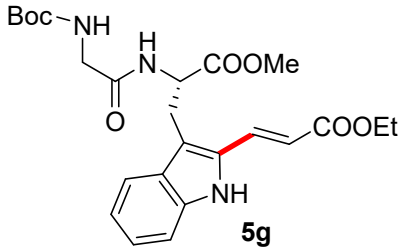
acetate: petroleum ether= 1:3; R_f =0.35) to yield compound **5e** (67.5 mg, 60% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 9.11 (s, 1H), 7.74 (d, *J* = 15.7 Hz, 1H), 7.65 (d, *J* = 7.3 Hz, 1H), 7.26 – 7.13 (m, 6H), 7.08 (t, *J* = 6.9 Hz, 1H), 6.93 (s, 2H), 6.47 (s, 1H), 6.26 (d, *J* = 15.8 Hz, 1H), 5.32 (s, 1H), 4.73 (s, 1H), 4.47 (s, 1H), 4.35 – 4.19 (m, 2H), 3.60 (s, 3H), 3.43 – 3.25 (m, 2H), 3.00 (qd, *J* = 13.7, 5.7 Hz, 2H), 1.43 (s, 9H), 1.35 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.30, 170.91, 167.14, 155.15, 137.51, 135.65, 131.81, 131.35, 129.23, 128.44, 127.02, 125.00, 120.30, 119.90, 116.51, 115.40, 111.22, 80.04, 60.66, 53.54, 52.20, 37.94, 29.70, 28.27, 27.89, 14.34. MS (ESI) *m/z* (relative intensity) 564.74 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{31}H_{37}N_3O_7Na$ $(M + Na)^+$ 586.2524, found 586.2521.



5f

Chemical Formula: $C_{25}H_{33}N_3O_8$
Exact Mass: 503.2268

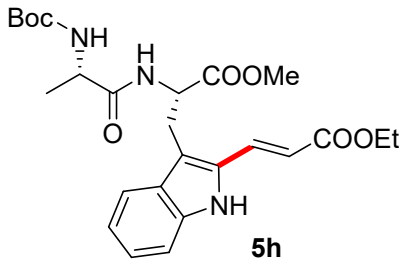
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 2:3; R_f =0.41) to yield compound **5f** (51.5 mg, 52% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.89 (s, 1H), 7.65 (d, *J* = 15.9 Hz, 1H), 7.56 (d, *J* = 8.0 Hz, 1H), 7.29 (d, *J* = 2.9 Hz, 1H), 7.25 (t, *J* = 7.5 Hz, 1H), 7.20 (s, 1H), 7.11 (t, *J* = 7.4 Hz, 1H), 6.20 (d, *J* = 15.9 Hz, 1H), 5.60 (d, *J* = 5.2 Hz, 1H), 4.97 (s, 1H), 4.31 – 4.24 (m, 2H), 4.19 (s, 1H), 4.01 (d, *J* = 9.9 Hz, 1H), 3.69 (s, 3H), 3.69 – 3.59 (m, 2H), 3.47 (t, *J* = 5.4 Hz, 2H), 1.42 (s, 10H), 1.34 (t, *J* = 6.9 Hz, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.51, 171.08, 167.49, 155.42, 137.38, 131.84, 131.27, 128.55, 125.30, 120.41, 119.70, 116.30, 115.06, 111.38, 62.78, 60.90, 55.41, 53.34, 52.68, 29.69, 28.22, 26.82, 14.29. MS (ESI) *m/z* (relative intensity) 504.69 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{25}H_{33}N_3O_8Na$ $(M + Na)^+$ 526.2160, found 526.2182.



5g

Chemical Formula: $C_{24}H_{31}N_3O_7$
Exact Mass: 473.2162

According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:3; R_f =0.24) to yield compound **5g** (56.8 mg, 60% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 9.28 (s, 1H), 7.58 (d, *J* = 15.9 Hz, 1H), 7.51 (d, *J* = 8.0 Hz, 1H), 7.28 – 7.25 (m, 1H), 7.21 (t, *J* = 7.5 Hz, 1H), 7.08 (t, *J* = 7.5 Hz, 1H), 6.83 (d, *J* = 7.4 Hz, 1H), 6.19 (d, *J* = 15.9 Hz, 1H), 5.01 (d, *J* = 6.0 Hz, 1H), 4.22 (d, *J* = 6.8 Hz, 2H), 3.83 – 3.75 (m, 2H), 3.71 (s, 3H), 3.47 (dd, *J* = 14.8, 5.2 Hz, 1H), 3.42 (dd, *J* = 14.8, 4.8 Hz, 1H), 1.43 (s, 9H), 1.32 (t, *J* = 7.0 Hz, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.52, 169.50, 167.30, 156.08, 137.46, 131.72, 131.37, 128.56, 125.04, 120.25, 119.46, 115.86, 115.07, 111.46, 80.10, 60.72, 53.79, 53.10, 52.63, 28.27, 26.84, 14.29. MS (ESI) *m/z* (relative intensity) 474.89 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{24}H_{31}N_3O_7Na$ $(M + Na)^+$ 496.2054, found 496.2057.

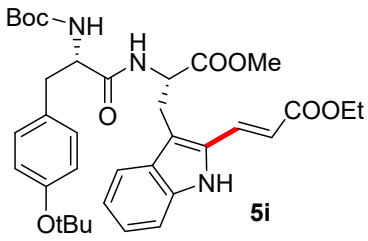


5h

Chemical Formula: $C_{25}H_{33}N_3O_7$
Exact Mass: 487.2319

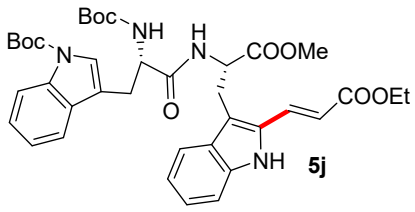
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:3; R_f =0.45) to yield compound **5h** (56.5 mg, 58% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 9.20 (s, 1H), 7.62 (d, *J* = 15.9 Hz, 1H), 7.54 (d, *J* = 7.9 Hz, 1H), 7.30 – 7.27 (m, 1H), 7.22 (t, *J* = 7.5 Hz, 1H), 7.08 (t, *J* = 7.5 Hz, 1H), 6.89 (s, 1H), 6.22 (d, *J* = 15.9 Hz, 1H), 4.99 (d, *J* = 6.2 Hz, 1H),

4.25 (d, J = 6.8 Hz, 2H), 4.21 (d, J = 5.8 Hz, 1H), 3.69 (s, 3H), 3.46 (qd, J = 14.8, 5.2 Hz, 2H), 1.42 (s, 9H), 1.36 – 1.31 (m, 6H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 172.58, 171.51, 167.30, 155.46, 137.44, 131.79, 131.30, 128.70, 125.09, 120.25, 119.83, 116.16, 115.06, 111.38, 80.01, 60.71, 53.26, 52.57, 50.13, 28.26, 26.98, 18.08, 14.32. MS (ESI) *m/z* (relative intensity) 487.98 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{25}H_{33}N_3O_7Na$ $(M + Na)^+$ 510.2211, found 510.2239.



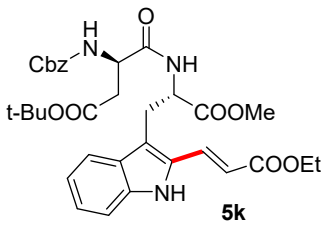
Chemical Formula: $C_{35}H_{45}N_3O_8$
Exact Mass: 635.3207

According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:3; R_f =0.32) to yield compound **5i** (63.1 mg, 48% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.96 (s, 1H), 7.61 (d, J = 15.9 Hz, 1H), 7.43 (d, J = 7.9 Hz, 1H), 7.29 (d, J = 7.8 Hz, 1H), 7.24 (t, J = 7.5 Hz, 1H), 7.09 – 7.04 (m, 3H), 6.86 (d, J = 8.1 Hz, 2H), 6.60 (d, J = 7.8 Hz, 1H), 6.20 (d, J = 15.9 Hz, 1H), 5.15 (s, 1H), 4.94 (dd, J = 12.4, 5.3 Hz, 1H), 4.35 (s, 1H), 4.26 (d, J = 6.9 Hz, 2H), 3.66 (s, 3H), 3.46 – 3.35 (m, 2H), 3.10 – 3.04 (m, 1H), 3.00 – 2.86 (m, 1H), 1.36 (s, 9H), 1.34 – 1.31 (m, 12H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.22, 171.17, 167.19, 155.36, 154.07, 137.37, 131.72, 131.64, 131.20, 129.75, 128.63, 125.17, 124.27, 120.38, 119.77, 116.07, 115.08, 111.38, 79.94, 78.43, 60.72, 55.84, 53.10, 52.52, 37.61, 28.83, 28.22, 26.92, 14.33. MS (ESI) *m/z* (relative intensity) 636.21 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{35}H_{45}N_3O_8Na$ $(M + Na)^+$ 658.3599, found 658.3597.



Chemical Formula: $C_{38}H_{46}N_4O_9$
Exact Mass: 702.3265

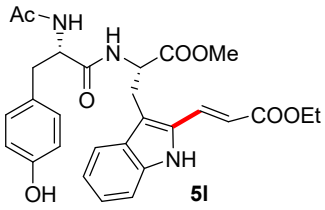
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:3; R_f =0.27) to yield compound **5j** (60.3 mg, 43% yield). ^{1}H NMR (500 MHz, $CDCl_3$) ^{1}H NMR (500 MHz, Chloroform-*d*) δ 9.22 (s, 1H), 8.11 (t, J = 7.4 Hz, 1H), 7.57 (dd, J = 19.5, 11.9 Hz, 2H), 7.44 (s, 1H), 7.34 (d, J = 7.4 Hz, 1H), 7.31 – 7.26 (m, 1H), 7.20 (ddd, J = 20.6, 14.1, 8.0 Hz, 3H), 6.95 (d, J = 7.8 Hz, 1H), 6.71 (d, J = 7.9 Hz, 1H), 6.21 (d, J = 15.8 Hz, 1H), 5.31 (d, J = 7.9 Hz, 1H), 4.92 (q, J = 5.8 Hz, 1H), 4.45 (dd, J = 15.7, 8.2 Hz, 1H), 4.21 (q, J = 7.2, 6.8 Hz, 2H), 3.62 (s, 3H), 3.37 (tt, J = 14.5, 7.3 Hz, 2H), 3.28 – 3.02 (m, 2H), 1.63 (s, 9H), 1.37 (s, 9H), 1.29 (d, J = 7.3 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.18, 171.08, 167.24, 149.57, 137.40, 135.47, 131.67, 131.25, 130.40, 128.61, 128.58, 125.05, 124.44, 124.32, 122.57, 120.17, 119.54, 119.10, 118.97, 115.89, 115.76, 115.16, 111.38, 83.51, 79.98, 60.70, 54.65, 53.20, 52.49, 29.67, 28.16, 28.11, 27.00, 14.27. MS (ESI) *m/z* (relative intensity) 703.56 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{38}H_{46}N_4O_9Na$ $(M + Na)^+$ 725.3157, found 725.3159.



Chemical Formula: $C_{33}H_{39}N_3O_9$
Exact Mass: 621.2686

According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:3; R_f =0.35) to yield compound **5k** (56.5 mg, 45% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.66 (s, 1H), 7.66 (d, J = 15.9 Hz, 1H), 7.61 (d, J = 7.9 Hz, 1H), 7.42 – 7.28 (m, 5H), 7.28 (d, J = 6.0 Hz, 1H), 7.23 (t, J = 7.5 Hz, 1H), 7.10 (t, J = 7.5 Hz, 2H), 6.13 (d, J = 15.9 Hz, 1H), 5.99 (d, J = 8.4 Hz, 1H), 5.11 – 5.04 (m, 3H), 4.96 (dd, J = 12.8, 5.6 Hz,

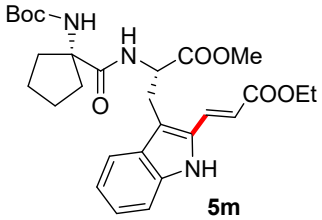
1H), 4.58 (d, *J* = 6.7 Hz, 1H), 4.24 (q, *J* = 7.1 Hz, 2H), 3.65 (s, 3H), 3.47 – 3.39 (m, 2H), 2.84 (dd, *J* = 16.9, 4.7 Hz, 1H), 2.70 (dd, *J* = 16.9, 6.3 Hz, 1H), 1.41 (s, 10H), 1.33 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.27, 170.69, 170.43, 167.17, 156.04, 137.32, 136.25, 131.58, 131.19, 128.59, 128.51, 128.15, 125.25, 120.45, 119.87, 116.16, 115.15, 111.23, 81.65, 67.06, 60.71, 53.32, 52.53, 51.22, 37.59, 27.96, 26.81, 14.32. MS (ESI) *m/z* (relative intensity) 623.71 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{33}H_{39}N_3O_9Na$ $(M + Na)^+$ 644.2579, found 644.2581.



5l

Chemical Formula: $C_{28}H_{31}N_3O_7$
Exact Mass: 521.2162

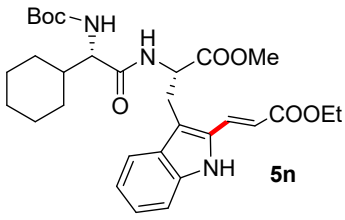
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 2:3; R_f =0.41) to yield compound **5l** (41.3 mg, 42% yield). ^{1}H NMR (600 MHz, DMSO) δ 11.44 (s, 1H), 8.47 (d, *J* = 7.4 Hz, 1H), 7.91 (d, *J* = 8.5 Hz, 1H), 7.63 (d, *J* = 15.8 Hz, 1H), 7.59 (d, *J* = 8.1 Hz, 1H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.24 – 7.19 (m, 1H), 7.03 (t, *J* = 7.5 Hz, 1H), 6.98 (d, *J* = 8.5 Hz, 2H), 6.65 – 6.60 (m, 2H), 6.54 (d, *J* = 15.8 Hz, 1H), 4.49 (dd, *J* = 14.4, 7.5 Hz, 1H), 4.46 – 4.42 (m, 1H), 4.19 (qd, *J* = 7.0, 1.2 Hz, 2H), 3.48 (d, *J* = 8.0 Hz, 3H), 3.29 (dd, *J* = 14.3, 8.0 Hz, 1H), 3.23 (dd, *J* = 14.3, 6.5 Hz, 1H), 2.83 (dd, *J* = 13.9, 4.6 Hz, 1H), 2.57 (dd, *J* = 13.9, 9.7 Hz, 1H), 1.73 (s, 3H), 1.26 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (151 MHz, DMSO) δ 174.24, 174.09, 171.62, 169.08, 158.39, 140.12, 134.47, 133.87, 132.67, 130.54, 130.35, 127.12, 122.19, 118.70, 117.94, 117.48, 114.07, 62.61, 56.62, 56.16, 54.49, 39.50, 31.67, 28.92, 25.13, 16.91. MS (ESI) *m/z* (relative intensity) 522.45 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{28}H_{31}N_3O_7Na$ $(M + Na)^+$ 544.2054, found 544.2052.



5m

Chemical Formula: $C_{28}H_{37}N_3O_7$
Exact Mass: 527.2632

According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:3; R_f =0.30) to yield compound **5m** (65.3 mg, 62% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.95 (s, 1H), 7.65 (d, *J* = 15.9 Hz, 1H), 7.60 (d, *J* = 8.0 Hz, 1H), 7.29 (s, 1H), 7.23 (t, *J* = 7.5 Hz, 1H), 7.09 (t, *J* = 7.4 Hz, 1H), 6.19 (d, *J* = 15.2 Hz, 1H), 5.07 – 4.86 (m, 2H), 4.31 – 4.23 (m, 2H), 3.65 (s, 3H), 3.43 (qd, *J* = 14.7, 5.7 Hz, 2H), 2.31 – 2.12 (m, 3H), 1.84 – 1.60 (m, 6H), 1.38 (s, 9H), 1.35 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 174.57, 171.87, 167.12, 154.96, 137.41, 131.19, 128.65, 125.07, 120.21, 115.01, 111.28, 80.08, 66.98, 60.62, 53.58, 52.41, 28.20, 27.26, 24.18, 14.35. MS (ESI) *m/z* (relative intensity) 528.88 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{28}H_{37}N_3O_7Na$ $(M + Na)^+$ 550.2524, found 550.2521.

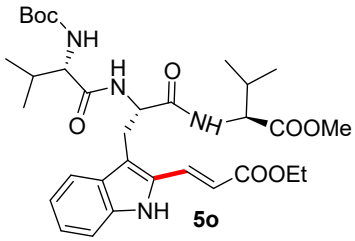


5n

Chemical Formula: $C_{30}H_{41}N_3O_7$
Exact Mass: 555.2945

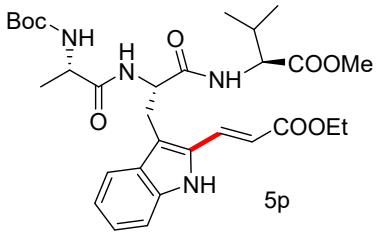
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:3; R_f =0.28) to yield compound **5n** (85.6 mg, 65% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 9.06 (s, 1H), 7.69 (d, *J* = 15.9 Hz, 1H), 7.58 (d, *J* = 8.0 Hz, 1H), 7.29 (d, *J* = 8.7 Hz, 1H), 7.24 (t, *J* = 7.6 Hz, 1H), 7.12 (t, *J* = 7.4 Hz, 1H), 6.59 (d, *J* = 7.9 Hz, 1H), 6.27 (d, *J* = 15.9 Hz, 1H), 5.22 (d, *J* = 8.5 Hz, 1H), 4.98 (dd, *J* = 13.2, 5.7 Hz, 1H), 4.28 (q, *J* = 7.0 Hz, 2H), 3.95 (d, *J* = 6.3 Hz, 1H), 3.67 (s, 3H), 3.44 (d, *J* = 4.6 Hz, 2H), 1.75 – 1.66 (m, 3H), 1.66 – 1.56 (m, 3H), 1.46 (s, 9H), 1.35 (t, *J* = 7.0 Hz,

3H), 1.21 – 1.14 (m, 2H), 1.12 – 0.92 (m, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.53, 171.37, 167.11, 155.68, 137.43, 131.55, 131.18, 128.65, 125.21, 120.57, 119.90, 116.12, 115.22, 111.30, 79.73, 60.68, 59.33, 53.16, 52.51, 40.69, 29.55, 28.34, 27.93, 27.09, 26.04, 25.99, 14.34. MS (ESI) *m/z* (relative intensity) 556.42 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{30}H_{41}N_3O_7Na$ $(M + Na)^+$ 578.2837, found 578.2839.



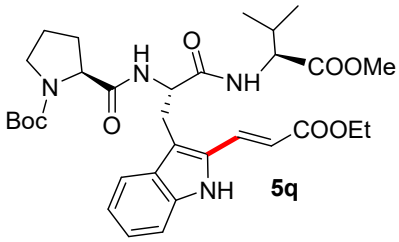
Chemical Formula: $C_{32}H_{46}N_4O_8$
Exact Mass: 614.3316

According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:3; R_f =0.21) to yield compound **5o** (62.2 mg, 53% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.97 (s, 1H), 7.69 (d, *J* = 15.8 Hz, 1H), 7.61 (d, *J* = 7.6 Hz, 1H), 7.22 – 7.15 (m, 2H), 7.07 – 6.98 (m, 1H), 6.86 (d, *J* = 7.1 Hz, 1H), 6.41 (s, 1H), 6.20 (d, *J* = 15.9 Hz, 1H), 4.98 (d, *J* = 6.5 Hz, 1H), 4.68 (d, *J* = 6.1 Hz, 1H), 4.26 (s, 1H), 4.20 (dd, *J* = 11.9, 5.2 Hz, 2H), 3.94 (s, 1H), 3.50 (s, 3H), 3.38 (dd, *J* = 14.3, 4.9 Hz, 1H), 3.19 (dd, *J* = 14.3, 8.8 Hz, 1H), 2.15 – 2.08 (m, 1H), 1.92 – 1.84 (m, 1H), 1.37 (s, 9H), 1.27 (t, *J* = 7.1 Hz, 3H), 0.75 – 0.53 (m, 11H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 172.16, 171.43, 170.69, 167.15, 155.76, 137.51, 137.43, 131.61, 131.24, 128.44, 125.04, 120.38, 119.87, 116.51, 115.48, 111.24, 80.00, 60.73, 59.83, 57.42, 54.39, 51.99, 31.29, 30.79, 28.36, 28.32, 27.44, 19.18, 18.64, 17.76, 17.17, 14.36. MS (ESI) *m/z* (relative intensity) 615.54 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{32}H_{46}N_4O_8Na$ $(M + Na)^+$ 637.3208, found 637.3201.



Chemical Formula: $C_{30}H_{42}N_4O_8$
Exact Mass: 586.3003

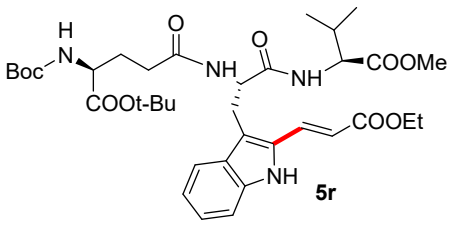
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:3; R_f =0.24) to yield compound **5p** (58.6 mg, 50% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 9.17 (s, 1H), 7.74 (d, *J* = 15.9 Hz, 1H), 7.67 (d, *J* = 8.0 Hz, 1H), 7.24 – 7.20 (m, 2H), 7.12 (d, *J* = 7.8 Hz, 1H), 7.10 – 7.06 (m, 1H), 6.68 (s, 1H), 6.29 (d, *J* = 15.9 Hz, 1H), 5.12 (d, *J* = 6.3 Hz, 1H), 4.80 (d, *J* = 6.8 Hz, 1H), 4.37 (dd, *J* = 8.3, 5.1 Hz, 1H), 4.31 – 4.24 (m, 2H), 4.19 (s, 1H), 3.62 (s, 3H), 3.44 (dd, *J* = 13.8, 5.2 Hz, 1H), 3.30 (dd, *J* = 14.4, 7.6 Hz, 1H), 2.03 (dd, *J* = 12.3, 6.7 Hz, 1H), 1.44 (s, 9H), 1.35 (t, *J* = 7.1 Hz, 3H), 1.31 – 1.24 (m, 3H), 0.82 – 0.76 (m, 6H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 172.55, 171.54, 170.71, 167.38, 155.36, 137.50, 131.80, 131.24, 128.46, 124.94, 120.20, 116.69, 115.27, 111.30, 80.13, 60.76, 57.53, 54.45, 52.04, 50.27, 31.22, 29.69, 28.28, 18.64, 18.35, 17.81, 14.32. MS (ESI) *m/z* (relative intensity) 587.43 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{30}H_{42}N_4O_8Na$ $(M + Na)^+$ 609.2895, found 609.2899.



Chemical Formula: $C_{32}H_{44}N_4O_8$
Exact Mass: 612.3159

According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:3; R_f =0.26) to yield compound **5q** (73.4 mg, 60% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.91 (s, 1H), 7.76 (d, *J* = 15.9 Hz, 1H), 7.68 (s, 1H), 7.30 (s, 1H), 7.26 (t, *J* = 7.8 Hz, 1H), 7.11 (t, *J* = 7.3 Hz, 1H), 6.93 (d, *J* = 7.7 Hz, 1H), 6.72 (s, 1H), 6.25 (d, *J* = 15.9 Hz, 1H), 4.76 (s, 1H), 4.37 (s, 1H), 4.32 – 4.27 (m, 2H), 4.24 (s, 1H), 3.63 (s, 3H), 3.35 (dd,

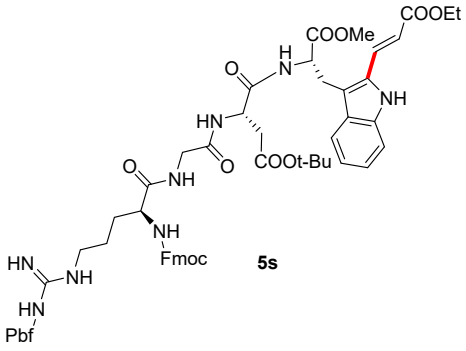
J = 96.5, 25.3 Hz, 4H), 2.03 – 1.92 (m, 4H), 1.42 – 1.32 (m, 12H), 0.84 – 0.73 (m, 6H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.58, 166.91, 137.43, 131.27, 125.11, 120.53, 119.78, 115.59, 115.50, 111.21, 82.36, 80.49, 60.72, 51.97, 47.33, 28.21, 18.68, 18.06, 14.36. MS (ESI) *m/z* (relative intensity) 613.69 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{32}H_{44}N_4O_8Na$ $(M + Na)^+$ 635.3051, found 635.3046.



5r

Chemical Formula: $C_{36}H_{52}N_4O_{10}$
Exact Mass: 700.3683

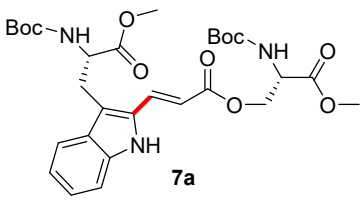
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:1; R_f =0.43) to yield compound **5r** (59.2 mg, 44% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 9.24 (s, 1H), 7.71 (d, J = 15.9 Hz, 1H), 7.65 (d, J = 8.0 Hz, 1H), 7.22 – 7.16 (m, 2H), 7.05 (t, J = 7.8 Hz, 1H), 6.88 (d, J = 6.7 Hz, 2H), 6.27 (d, J = 15.9 Hz, 1H), 5.34 (d, J = 8.1 Hz, 1H), 4.88 – 4.81 (m, 1H), 4.41 (dd, J = 8.4, 5.1 Hz, 1H), 4.29 – 4.21 (m, 2H), 4.17 – 4.10 (m, 1H), 3.63 (s, 3H), 3.38 (dd, J = 14.2, 6.0 Hz, 1H), 3.29 (dd, J = 14.2, 7.8 Hz, 1H), 2.24 (dd, J = 17.7, 10.5 Hz, 2H), 2.13 – 2.02 (m, 2H), 1.83 – 1.73 (m, 1H), 1.45 (s, 9H), 1.43 (s, 9H), 1.34 (t, J = 7.1 Hz, 3H), 0.82 (dd, J = 18.6, 6.9 Hz, 6H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.94, 171.63, 171.49, 171.03, 167.25, 155.87, 137.54, 131.77, 131.27, 128.49, 124.79, 120.11, 119.80, 116.73, 115.30, 111.33, 82.13, 79.87, 60.64, 57.50, 54.67, 53.56, 52.02, 32.51, 31.32, 29.68, 28.80, 28.33, 28.02, 27.97, 18.73, 17.90, 14.31. MS (ESI) *m/z* (relative intensity) 701.56 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{36}H_{52}N_4O_{10}Na$ $(M + Na)^+$ 623.3576, found 623.3578.



5s

Chemical Formula: $C_{61}H_{74}N_8O_{14}S$
Exact Mass: 1174.5045

According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:1; R_f =0.24) to yield compound **5s** (115.2 mg, 48% yield). ^{1}H NMR (600 MHz, DMSO) δ 11.45 (s, 1H), 8.45 (d, J = 7.4 Hz, 1H), 8.12 (t, J = 5.5 Hz, 1H), 7.99 (d, J = 7.4 Hz, 1H), 7.88 (d, J = 7.5 Hz, 2H), 7.67 (dd, J = 17.3, 8.9 Hz, 3H), 7.62 (d, J = 15.8 Hz, 1H), 7.57 (d, J = 8.0 Hz, 1H), 7.40 (t, J = 7.5 Hz, 2H), 7.34 (d, J = 8.2 Hz, 1H), 7.30 (t, J = 7.5 Hz, 2H), 7.21 (t, J = 7.6 Hz, 1H), 7.03 (t, J = 7.4 Hz, 1H), 6.54 (d, J = 15.8 Hz, 1H), 6.38 (s, 1H), 4.54 (dd, J = 14.3, 7.3 Hz, 1H), 4.39 (dd, J = 8.7, 4.0 Hz, 1H), 4.32 – 4.17 (m, 6H), 3.79 (dd, J = 16.8, 5.7 Hz, 1H), 3.65 (dd, J = 16.8, 5.5 Hz, 1H), 3.49 (s, 3H), 3.30 (dd, J = 14.3, 7.8 Hz, 1H), 3.22 (dd, J = 14.3, 6.3 Hz, 1H), 3.01 (d, J = 5.6 Hz, 2H), 2.93 (s, 2H), 2.74 – 2.67 (m, 1H), 2.47 (s, 3H), 2.41 (s, 3H), 1.99 (s, 3H), 1.70 – 1.61 (m, 1H), 1.55 – 1.47 (m, 1H), 1.38 (s, 6H), 1.35 (s, 9H), 1.26 (t, J = 7.1 Hz, 3H). ^{13}C NMR (151 MHz, DMSO) δ 171.92, 171.13, 169.86, 169.06, 166.87, 157.91, 156.22, 144.27, 144.14, 141.16, 137.88, 137.74, 132.22, 131.92, 131.62, 128.21, 128.10, 127.52, 125.68, 124.91, 124.78, 120.55, 119.98, 119.88, 116.38, 115.72, 111.86, 86.73, 80.62, 66.22, 60.42, 60.23, 53.91, 52.33, 51.89, 47.06, 42.92, 42.04, 37.96, 28.73, 28.12, 26.85, 21.22, 19.39, 18.04, 14.69, 14.54, 12.71. MS (ESI) *m/z* (relative intensity) 1175.96 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{61}H_{74}N_8O_{14}SNa$ $(M + Na)^+$ 1197.4937, found 1197.4935.

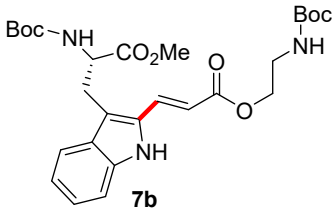


7a

Chemical Formula: $C_{29}H_{39}N_3O_{10}$
Exact Mass: 589.2635

According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl

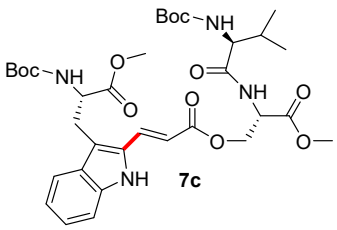
acetate: petroleum ether= 1:3; R_f=0.18) to yield compound **7a** (63.6 mg, 54% yield). ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.78 (s, 1H), 7.69 (d, *J* = 15.9 Hz, 1H), 7.58 (d, *J* = 8.0 Hz, 1H), 7.29 (d, *J* = 7.7 Hz, 1H), 7.25 (t, *J* = 7.3 Hz, 1H), 7.11 (t, *J* = 7.2 Hz, 1H), 6.19 (d, *J* = 15.9 Hz, 1H), 5.62 (s, 1H), 5.17 (d, *J* = 7.5 Hz, 1H), 4.71 (d, *J* = 6.9 Hz, 1H), 4.68 – 4.64 (m, 1H), 4.62 (d, *J* = 11.3 Hz, 1H), 4.48 (d, *J* = 9.8 Hz, 1H), 3.81 (s, 3H), 3.70 (s, 3H), 3.44 (dd, *J* = 14.3, 5.4 Hz, 1H), 3.37 (dd, *J* = 14.5, 4.1 Hz, 1H), 1.48 (s, 9H), 1.44 (s, 9H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 172.10, 170.54, 166.36, 155.34, 155.00, 137.50, 132.83, 130.93, 128.77, 125.42, 120.44, 120.13, 117.26, 113.52, 111.18, 80.43, 80.00, 64.37, 54.43, 53.15, 52.81, 52.52, 29.69, 28.31, 27.35. MS (ESI) m/z (relative intensity) 590.45 (100) $[M+H]^+$, 490.56 (30) $[M-Boc+H]^+$. HRMS (ESI) *m/z* calcd for $C_{29}H_{39}N_3O_{10}Na$ $(M + Na)^+$ 612.2528, found 612.2516.



7b

Chemical Formula: $C_{27}H_{37}N_3O_8$
Exact Mass: 531.2581

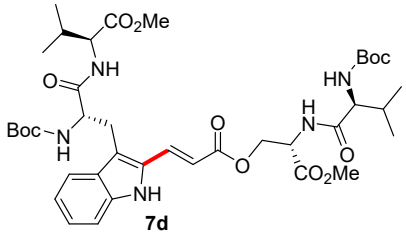
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:1; R_f =0.33) to yield compound **7b** (65.8 mg, 62% yield). ^{1}H NMR (600 MHz, DMSO) δ 11.43 (s, 1H), 7.71 (d, *J* = 15.8 Hz, 1H), 7.61 (d, *J* = 7.9 Hz, 1H), 7.35 (d, *J* = 8.1 Hz, 1H), 7.26 (d, *J* = 8.0 Hz, 1H), 7.22 (t, *J* = 7.6 Hz, 1H), 7.04 (t, *J* = 7.5 Hz, 1H), 6.98 (t, *J* = 5.4 Hz, 1H), 6.55 (d, *J* = 15.8 Hz, 1H), 4.20 (dd, *J* = 14.9, 7.5 Hz, 1H), 4.15 (t, *J* = 5.5 Hz, 2H), 3.55 (s, 3H), 3.33 – 3.20 (m, 4H), 1.39 (s, 9H), 1.32 (s, 9H). ^{13}C NMR (151 MHz, DMSO) δ 172.58, 166.82, 156.13, 155.64, 137.94, 132.70, 131.65, 128.22, 124.84, 119.97, 119.83, 117.20, 115.45, 111.82, 78.79, 78.26, 63.26, 55.36, 55.31, 52.24, 28.67, 28.52, 26.44. MS (ESI) *m/z* (relative intensity) 532.67 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{27}H_{37}N_3O_8Na$ $(M + Na)^+$ 531.2581, found 531.2590.



7c

Chemical Formula: $C_{34}H_{48}N_4O_{11}$
Exact Mass: 688.3320

According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:1; R_f =0.22) to yield compound **7c** (71.5 mg, 52% yield). ^{1}H NMR (600 MHz, DMSO) δ 11.48 (s, 1H), 8.49 (d, *J* = 7.2 Hz, 1H), 7.70 (d, *J* = 15.7 Hz, 1H), 7.60 (d, *J* = 7.9 Hz, 1H), 7.33 (d, *J* = 8.1 Hz, 1H), 7.28 (d, *J* = 7.9 Hz, 1H), 7.22 (t, *J* = 7.6 Hz, 1H), 7.03 (t, *J* = 7.5 Hz, 1H), 6.65 (d, *J* = 9.0 Hz, 1H), 6.53 (d, *J* = 15.7 Hz, 1H), 4.71 (dd, *J* = 11.6, 5.9 Hz, 1H), 4.45 (dd, *J* = 11.3, 4.4 Hz, 1H), 4.37 (dd, *J* = 10.9, 6.1 Hz, 1H), 4.18 (dd, *J* = 14.9, 7.5 Hz, 1H), 3.95 – 3.90 (m, 1H), 3.68 (s, 3H), 3.53 (s, 3H), 3.28 (dd, *J* = 14.3, 6.5 Hz, 1H), 3.22 (dd, *J* = 14.3, 8.1 Hz, 1H), 2.03 – 1.90 (m, 1H), 1.37 (s, 10H), 1.31 (s, 9H), 0.89 – 0.82 (m, 6H). ^{13}C NMR (151 MHz, DMSO) δ 172.59, 172.19, 170.30, 166.60, 155.88, 155.65, 138.03, 133.22, 131.52, 128.22, 124.98, 120.07, 119.87, 117.58, 114.72, 111.86, 78.81, 78.51, 63.44, 59.67, 55.39, 52.65, 52.23, 51.68, 31.08, 28.60, 28.52, 26.40, 19.53, 18.34. MS (ESI) *m/z* (relative intensity) 688.97 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{34}H_{48}N_4O_{11}Na$ $(M + Na)^+$ 711.3212, found 711.3230.

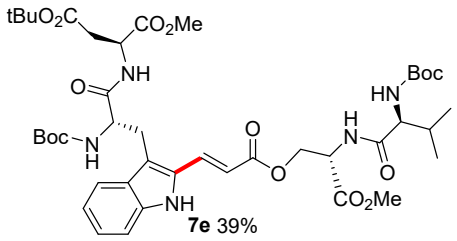


7d

Chemical Formula: $C_{39}H_{57}N_5O_{12}$
Exact Mass: 787.4004

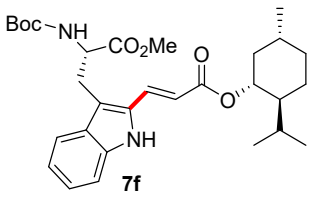
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:1; R_f =0.21) to yield compound **7d** (65.8 mg, 41% yield). ^{1}H NMR (500 MHz, DMSO) δ 11.43 (s, 1H), 8.50 (d, *J* = 7.2 Hz, 1H), 8.25 (d, *J* = 8.0 Hz, 1H), 7.75 (d,

J = 15.8 Hz, 1H), 7.67 (d, *J* = 8.0 Hz, 1H), 7.31 (d, *J* = 8.1 Hz, 1H), 7.21 (t, *J* = 7.5 Hz, 1H), 7.03 (t, *J* = 7.5 Hz, 1H), 6.90 (d, *J* = 8.9 Hz, 1H), 6.67 (d, *J* = 9.0 Hz, 1H), 6.51 (d, *J* = 15.7 Hz, 1H), 4.77 – 4.60 (m, 2H), 4.40 (ddd, *J* = 17.3, 11.3, 5.4 Hz, 2H), 4.26 – 4.14 (m, 1H), 3.95 – 3.87 (m, 1H), 3.67 (s, 3H), 3.59 (s, 3H), 3.24 (dd, *J* = 14.3, 4.9 Hz, 1H), 3.04 (dd, *J* = 14.2, 8.8 Hz, 1H), 2.66 (dd, *J* = 16.3, 6.5 Hz, 1H), 2.55 (d, *J* = 6.2 Hz, 1H), 1.97 (dd, *J* = 13.3, 6.6 Hz, 1H), 1.39 (s, 9H), 1.37 (s, 9H), 1.23 (s, 6H), 0.90 – 0.82 (m, 6H). ^{13}C NMR (126 MHz, DMSO) δ 208.05, 172.20, 171.59, 171.43, 170.30, 169.50, 166.67, 155.88, 155.28, 138.06, 133.75, 131.68, 128.36, 124.86, 120.42, 119.63, 117.93, 114.39, 111.72, 81.04, 78.65, 78.51, 63.39, 59.67, 55.93, 52.66, 52.56, 51.70, 48.99, 37.52, 31.07, 28.60, 28.41, 28.08, 19.54, 18.34. MS (ESI) *m/z* (relative intensity) 788.64 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{39}H_{57}N_5O_{12}Na$ $(M + Na)^+$ 810.3896, found 810.3890.



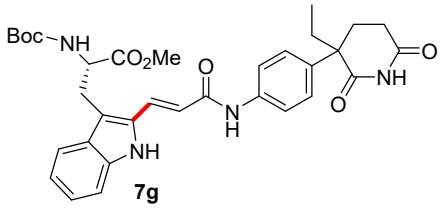
Chemical Formula: $C_{42}H_{61}N_5O_{14}$
Exact Mass: 859.4215

According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:1; R_f =0.24) to yield compound **7e** (65.8 mg, 39% yield). ^{1}H NMR (500 MHz, DMSO) δ 11.43 (s, 1H), 8.49 (d, *J* = 7.3 Hz, 1H), 7.94 (d, *J* = 8.3 Hz, 1H), 7.71 (d, *J* = 15.7 Hz, 1H), 7.65 (d, *J* = 7.9 Hz, 1H), 7.32 (d, *J* = 8.1 Hz, 1H), 7.21 (t, *J* = 7.6 Hz, 1H), 7.03 (t, *J* = 7.5 Hz, 1H), 6.95 (d, *J* = 8.8 Hz, 1H), 6.67 (d, *J* = 9.1 Hz, 1H), 6.51 (d, *J* = 15.7 Hz, 1H), 4.71 (dd, *J* = 11.7, 6.0 Hz, 1H), 4.40 (ddd, *J* = 17.5, 11.5, 5.4 Hz, 2H), 4.30 – 4.15 (m, 2H), 3.93 (dd, *J* = 8.7, 6.9 Hz, 1H), 3.68 (s, 3H), 3.65 – 3.61 (m, 1H), 3.58 (s, 3H), 3.20 (dt, *J* = 9.5, 4.8 Hz, 1H), 3.08 (dd, *J* = 14.2, 8.3 Hz, 1H), 2.06 – 1.90 (m, 2H), 1.37 (s, 9H), 1.27 (s, 9H), 0.91 – 0.81 (m, 12H). ^{13}C NMR (126 MHz, DMSO) δ 172.20, 172.09, 170.30, 166.66, 155.87, 155.33, 138.07, 133.68, 131.70, 128.38, 124.85, 120.40, 119.63, 117.82, 114.36, 111.72, 78.75, 78.52, 63.42, 59.67, 57.62, 56.17, 52.67, 52.12, 51.69, 31.06, 30.84, 28.61, 28.45, 27.54, 19.55, 19.24, 18.60, 18.33. MS (ESI) *m/z* (relative intensity) 890.92 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{42}H_{61}N_5O_{14}Na$ $(M + Na)^+$ 882.4107, found 882.4120.



Chemical Formula: $C_{30}H_{42}N_2O_6$
Exact Mass: 526.3043

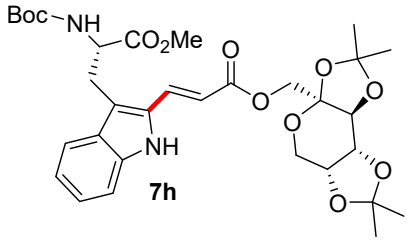
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:4; R_f =0.52) to yield compound **7f** (64.1 mg, 61% yield). ^{1}H NMR (600 MHz, DMSO) δ 11.39 (s, 1H), 7.69 (d, *J* = 15.8 Hz, 1H), 7.60 (d, *J* = 8.0 Hz, 1H), 7.33 (d, *J* = 8.2 Hz, 1H), 7.25 (d, *J* = 8.0 Hz, 1H), 7.21 (t, *J* = 7.6 Hz, 1H), 7.03 (t, *J* = 7.5 Hz, 1H), 6.55 (d, *J* = 15.8 Hz, 1H), 4.73 (td, *J* = 10.8, 4.4 Hz, 1H), 4.20 (dd, *J* = 14.9, 7.6 Hz, 1H), 3.55 (s, 3H), 3.28 (dd, *J* = 14.4, 6.6 Hz, 1H), 3.23 (dd, *J* = 14.3, 7.8 Hz, 1H), 1.96 (d, *J* = 11.7 Hz, 1H), 1.92 – 1.83 (m, 1H), 1.70 – 1.63 (m, 2H), 1.53 – 1.40 (m, 2H), 1.31 (s, 8H), 1.19 (s, 2H), 1.14 – 1.01 (m, 2H), 0.89 (t, *J* = 6.5 Hz, 6H), 0.77 (d, *J* = 6.9 Hz, 3H). ^{13}C NMR (151 MHz, DMSO) δ 172.54, 166.44, 155.59, 137.92, 132.52, 131.71, 128.23, 124.77, 119.93, 119.79, 117.06, 115.87, 111.79, 78.75, 73.59, 55.36, 55.32, 52.17, 47.15, 34.22, 31.33, 28.51, 28.10, 26.62, 26.48, 23.74, 22.36, 20.88, 16.99. MS (ESI) *m/z* (relative intensity) 527.83 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{30}H_{42}N_4O_6Na$ $(M + Na)^+$ 549.2935, found 549.2940.



7g

Chemical Formula: $C_{33}H_{38}N_4O_7$
Exact Mass: 602.2740

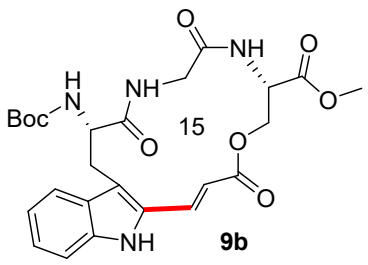
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 3:2; R_f =0.20) to yield compound **3k** (48.15 mg, 40% yield). ^{1}H NMR (600 MHz, DMSO) δ 11.39 (s, 1H), 10.85 (s, 1H), 10.25 (s, 1H), 7.75 (d, *J* = 8.6 Hz, 2H), 7.68 (d, *J* = 15.5 Hz, 1H), 7.59 (d, *J* = 8.2 Hz, 1H), 7.37 (d, *J* = 8.1 Hz, 1H), 7.27 (d, *J* = 8.7 Hz, 3H), 7.19 (dd, *J* = 11.5, 4.2 Hz, 1H), 7.03 (dd, *J* = 11.3, 4.1 Hz, 1H), 6.66 (d, *J* = 15.5 Hz, 1H), 4.21 (dd, *J* = 15.0, 7.5 Hz, 1H), 3.54 (s, 3H), 3.30 (dd, *J* = 14.3, 6.7 Hz, 1H), 3.23 (dd, *J* = 14.3, 7.8 Hz, 1H), 2.52 – 2.44 (m, 2H), 2.20 – 2.13 (m, 2H), 1.91 – 1.80 (m, 2H), 1.31 (s, 9H), 0.78 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (151 MHz, DMSO) δ 176.27, 173.26, 172.67, 170.78, 164.45, 155.64, 138.84, 137.83, 134.82, 132.19, 129.06, 128.52, 127.10, 124.23, 120.15, 119.71, 119.67, 115.75, 111.86, 78.80, 60.21, 55.39, 52.22, 50.29, 32.63, 29.61, 28.53, 28.11, 26.58, 26.50, 21.20, 21.14, 14.54, 9.39. MS (ESI) *m/z* (relative intensity) 603.75 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{33}H_{38}N_4O_7Na$ $(M + Na)^+$ 625.2633, found 625.2644.



7h

Chemical Formula: $C_{32}H_{42}N_2O_{11}$
Exact Mass: 630.2789

According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:2; R_f =0.28) to yield compound **3k** (69.8 mg, 55% yield). ^{1}H NMR (600 MHz, DMSO) δ 11.45 (s, 1H), 7.74 (d, *J* = 15.8 Hz, 1H), 7.61 (d, *J* = 8.0 Hz, 1H), 7.35 (d, *J* = 8.2 Hz, 1H), 7.29 (d, *J* = 8.1 Hz, 1H), 7.22 (t, *J* = 7.6 Hz, 1H), 7.04 (t, *J* = 7.5 Hz, 1H), 6.56 (d, *J* = 15.8 Hz, 1H), 4.65 (dd, *J* = 7.9, 2.5 Hz, 1H), 4.46 (d, *J* = 11.6 Hz, 1H), 4.37 (d, *J* = 2.2 Hz, 1H), 4.28 (d, *J* = 8.2 Hz, 1H), 4.20 – 4.13 (m, 1H), 4.05 (d, *J* = 11.6 Hz, 1H), 3.79 (d, *J* = 11.7 Hz, 1H), 3.64 (d, *J* = 13.0 Hz, 1H), 3.55 (s, 3H), 3.28 (dd, *J* = 14.4, 6.3 Hz, 1H), 3.21 (dd, *J* = 14.4, 8.3 Hz, 1H), 1.48 (s, 3H), 1.39 (s, 6H), 1.30 (s, 12H). ^{13}C NMR (151 MHz, DMSO) δ 172.59, 166.17, 155.65, 137.98, 133.24, 131.48, 128.21, 125.00, 120.09, 119.89, 117.69, 114.79, 111.85, 108.65, 101.57, 78.79, 70.52, 70.42, 69.76, 64.64, 61.02, 55.35, 52.26, 28.53, 26.71, 26.35, 26.21, 25.71, 24.44. MS (ESI) *m/z* (relative intensity) 631.65 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{32}H_{42}N_2O_{11}Na$ $(M + Na)^+$ 653.2681, found 653.2689.

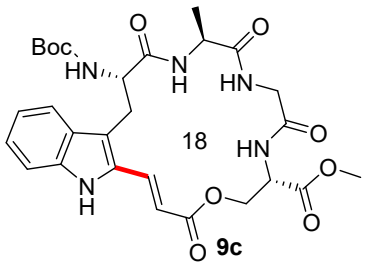


9b

Chemical Formula: $C_{25}H_{30}N_4O_8$
Exact Mass: 514.2064

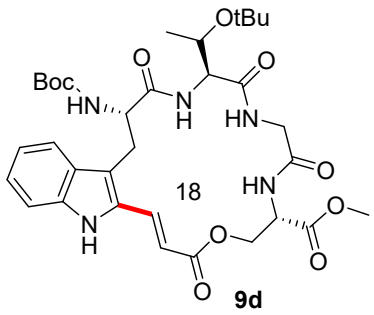
According to the general procedure F, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 2:1; R_f =0.3) to yield compound **9b** (80.3 mg, 62% yield). ^{1}H NMR (600 MHz, DMSO) δ 11.41 (s, 1H), 8.73 (s, 1H), 8.56 (d, *J* = 9.2 Hz, 1H), 7.50 (d, *J* = 7.3 Hz, 1H), 7.33 (d, *J* = 8.2 Hz, 1H), 7.27 (d, *J* = 15.8 Hz, 1H), 7.21 (t, *J* = 7.6 Hz, 1H), 6.99 (t, *J* = 7.5 Hz, 1H), 6.33 (d, *J* = 15.8 Hz, 1H), 5.10 (s, 1H), 4.45 (dd, *J* = 21.9, 9.0 Hz, 2H), 4.25 (s, 1H), 4.08 (t, *J* = 10.6 Hz, 1H), 3.72 (s, 3H), 3.69 – 3.62 (m, 1H), 3.51 (dd, *J* = 16.2, 3.6 Hz, 1H), 3.43 (dd, *J* = 14.3, 7.4 Hz, 1H), 3.10 (d, *J* = 13.4 Hz, 1H), 1.34 (s, 9H). ^{13}C NMR (151 MHz, DMSO) δ 169.90, 166.09, 137.62, 133.78, 131.99, 124.84, 120.32, 119.82, 114.67, 111.59, 78.89, 74.45, 63.58, 52.84, 52.72, 50.35, 28.54, 27.14. MS (ESI) *m/z* (relative intensity) 515.81 (100) $[M+H]^+$. HRMS (ESI) *m/z*

calcd for $C_{25}H_{30}N_4O_8Na$ $(M + Na)^+$ 537.1956, found 537.1962.



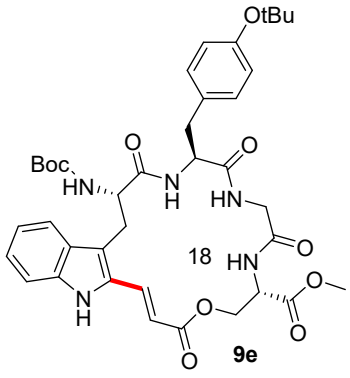
Chemical Formula: $C_{28}H_{35}N_5O_9$
Exact Mass: 585.2435

According to the general procedure F, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate; R_f=0.23) to yield compound **9c** (57.3 mg, 47% yield). ^{1}H NMR (600 MHz, DMSO) δ 11.37 (s, 1H), 8.40 (d, *J* = 7.6 Hz, 1H), 8.31 (dd, *J* = 7.4, 4.7 Hz, 1H), 8.12 (d, *J* = 7.5 Hz, 1H), 7.87 (d, *J* = 8.0 Hz, 1H), 7.82 (d, *J* = 15.9 Hz, 1H), 7.31 (d, *J* = 8.2 Hz, 1H), 7.19 (t, *J* = 7.6 Hz, 1H), 7.04 – 6.97 (m, 2H), 6.38 (d, *J* = 15.9 Hz, 1H), 4.65 – 4.56 (m, 1H), 4.51 (dd, *J* = 11.1, 3.0 Hz, 1H), 4.46 – 4.41 (m, 1H), 4.36 – 4.32 (m, 1H), 4.16 – 4.01 (m, 2H), 3.69 (s, 3H), 3.55 – 3.49 (m, 1H), 3.45 (dd, *J* = 14.4, 4.1 Hz, 1H), 3.08 (dd, *J* = 14.4, 8.9 Hz, 1H), 1.22 (s, 9H). ^{13}C NMR (151 MHz, DMSO) δ 172.22, 171.67, 169.94, 169.76, 166.16, 155.63, 137.96, 133.72, 130.97, 129.03, 127.47, 124.66, 120.86, 119.32, 114.26, 110.73, 78.52, 62.62, 57.35, 52.74, 52.25, 48.82, 43.01, 29.46, 28.43, 18.13. MS (ESI) *m/z* (relative intensity) 586.56 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{28}H_{35}N_5O_9Na$ $(M + Na)^+$ 608.2327, found 608.2318.



Chemical Formula: $C_{33}H_{45}N_5O_{10}$
Exact Mass: 671.3166

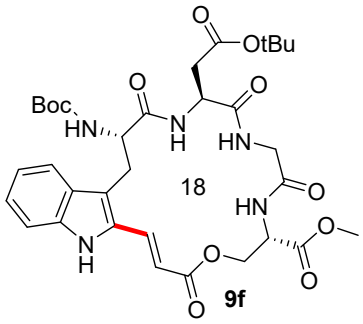
According to the general procedure F, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 4:1; R_f=0.3) to yield compound **9d** (57.7 mg, 43% yield). ^{1}H NMR (600 MHz, DMSO) δ 11.45 (s, 1H), 8.39 (d, *J* = 5.5 Hz, 1H), 7.99 – 7.95 (m, 1H), 7.79 (d, *J* = 8.1 Hz, 1H), 7.73 (d, *J* = 15.9 Hz, 1H), 7.46 (d, *J* = 5.8 Hz, 1H), 7.44 (d, *J* = 5.1 Hz, 1H), 7.32 (d, *J* = 8.2 Hz, 1H), 7.20 (t, *J* = 7.5 Hz, 1H), 7.02 (t, *J* = 7.4 Hz, 1H), 6.38 (d, *J* = 15.8 Hz, 1H), 4.91 – 4.84 (m, 1H), 4.52 (dd, *J* = 11.2, 4.0 Hz, 1H), 4.33 (td, *J* = 9.4, 2.7 Hz, 1H), 4.23 (dd, *J* = 11.2, 2.4 Hz, 1H), 4.14 (dd, *J* = 8.0, 2.5 Hz, 1H), 4.07 (dd, *J* = 6.2, 2.7 Hz, 1H), 3.99 (dd, *J* = 16.2, 7.6 Hz, 1H), 3.76 – 3.71 (m, 1H), 3.70 (s, 3H), 3.34 (dd, *J* = 14.9, 2.5 Hz, 1H), 3.18 – 3.10 (m, 1H), 1.29 (s, 9H), 1.07 (s, 9H), 1.05 (d, *J* = 6.2 Hz, 3H). ^{13}C NMR (151 MHz, DMSO) δ 172.41, 170.74, 169.98, 169.42, 166.06, 162.78, 156.17, 137.95, 133.77, 130.51, 129.14, 124.87, 120.92, 120.47, 119.69, 113.80, 111.67, 78.94, 73.96, 67.07, 64.27, 59.43, 57.23, 52.92, 51.72, 43.02, 36.24, 28.60, 28.53, 24.85, 20.94. MS (ESI) *m/z* (relative intensity) 672.70 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{33}H_{45}N_5O_{10}Na$ $(M + Na)^+$ 694.3059, found 694.3075.



Chemical Formula: $C_{38}H_{47}N_5O_{10}$
Exact Mass: 733.3323

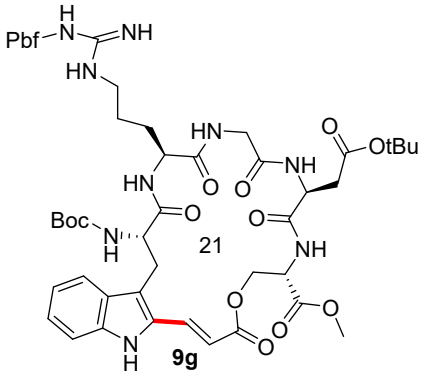
According to the general procedure F, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 4:1; R_f=0.32) to yield compound **9e** (61.5 mg, 42% yield). ^{1}H NMR (600 MHz, DMSO) δ 11.40 (s, 1H), 8.35 (d, *J* = 7.6 Hz, 1H), 8.29 – 8.26 (m, 1H), 8.17 (d, *J* = 8.2 Hz, 1H), 8.01 (d, *J* = 15.9 Hz, 1H), 7.86 (d, *J* = 8.0 Hz, 1H), 7.33 (d, *J* = 8.2 Hz, 1H), 7.22 (t, *J* = 7.6 Hz, 1H), 7.06 – 7.02 (m, 2H), 6.75 (d, *J* = 8.3 Hz, 2H), 6.54 (d, *J* = 8.3 Hz, 2H), 6.32 (d, *J* = 15.9 Hz, 1H), 4.85 – 4.79 (m, 1H), 4.50 (dd, *J* = 11.2, 5.4 Hz, 1H), 4.35 (dd, *J* = 11.3, 2.9 Hz, 2H), 4.21 – 4.17 (m, 1H), 4.12 – 4.08 (m, 1H), 4.07 – 4.02 (m, 1H), 3.72 (s,

3H), 3.52 (dd, *J* = 16.8, 4.7 Hz, 1H), 3.10 – 3.03 (m, 2H), 2.63 (dd, *J* = 13.7, 9.7 Hz, 1H), 1.29 (s, 9H), 1.21 (s, 9H). ^{13}C NMR (151 MHz, DMSO) δ 176.70, 171.12, 170.86, 169.83, 166.22, 155.47, 138.13, 134.09, 132.73, 132.35, 131.03, 130.01, 128.56, 124.67, 123.62, 120.88, 119.50, 119.23, 78.98, 78.53, 77.89, 63.60, 56.64, 55.27, 52.85, 51.76, 43.41, 36.44, 29.02, 28.55, 26.66. MS (ESI) *m/z* (relative intensity) 734.49 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{38}H_{47}N_5O_{10}Na$ $(M + Na)^+$ 756.3215, found 756.3220.



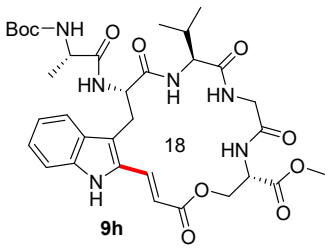
Chemical Formula: $C_{33}H_{43}N_5O_{11}$
Exact Mass: 685.2959

According to the general procedure F, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 4:1; R_f=0.27) to yield compound **9f** (53.5 mg, 39% yield). ^{1}H NMR (600 MHz, DMSO) δ 11.38 (s, 1H), 8.68 (d, *J* = 8.4 Hz, 1H), 8.35 (dd, *J* = 7.7, 4.6 Hz, 1H), 8.22 (d, *J* = 7.3 Hz, 1H), 7.95 (d, *J* = 3.8 Hz, 1H), 7.88 (d, *J* = 15.9 Hz, 1H), 7.29 (d, *J* = 8.2 Hz, 1H), 7.20 – 7.16 (m, 1H), 7.12 (d, *J* = 9.2 Hz, 1H), 7.00 (t, *J* = 7.5 Hz, 1H), 6.40 (d, *J* = 15.8 Hz, 1H), 4.72 (dd, *J* = 15.1, 6.9 Hz, 1H), 4.57 (dd, *J* = 11.0, 2.9 Hz, 1H), 4.49 (td, *J* = 7.1, 3.2 Hz, 1H), 4.41 (dd, *J* = 11.0, 6.9 Hz, 1H), 4.14 (dd, *J* = 16.8, 8.0 Hz, 1H), 4.08 (td, *J* = 10.0, 3.1 Hz, 1H), 3.67 (s, 3H), 3.55 – 3.46 (m, 2H), 3.08 (dd, *J* = 14.3, 10.5 Hz, 1H), 2.73 – 2.66 (m, 1H), 2.39 (dd, *J* = 15.6, 6.7 Hz, 1H), 1.36 (s, 9H), 1.13 (s, 9H). ^{13}C NMR (151 MHz, DMSO) δ 171.85, 170.62, 169.98, 169.81, 169.76, 166.06, 162.79, 155.56, 137.97, 133.74, 130.82, 129.71, 129.13, 128.92, 124.70, 121.49, 119.58, 119.52, 114.48, 111.42, 80.51, 78.37, 62.66, 57.62, 52.65, 52.40, 50.06, 43.16, 38.01, 36.25, 28.36, 28.11. MS (ESI) *m/z* (relative intensity) 686.59 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{33}H_{43}N_5O_{11}Na$ $(M + Na)^+$ 708.2851, found 708.2868.



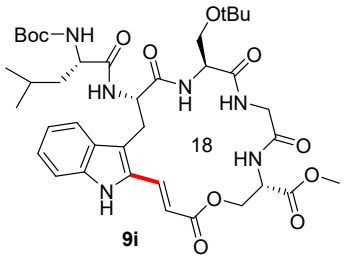
Chemical Formula: $C_{52}H_{71}N_9O_{15}S$
Exact Mass: 1093.4790

According to the general procedure F, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate; R_f =0.2) to yield compound **9g** (80.7 mg, 40% yield). ^{1}H NMR (600 MHz, DMSO) δ 11.43 (s, 1H), 8.20 (s, 1H), 8.15 (d, *J* = 8.9 Hz, 1H), 7.94 (s, 1H), 7.89 (d, *J* = 7.2 Hz, 1H), 7.63 (d, *J* = 15.9 Hz, 1H), 7.53 (d, *J* = 8.0 Hz, 1H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.22 (t, *J* = 7.5 Hz, 1H), 7.04 (t, *J* = 7.6 Hz, 1H), 6.82 (d, *J* = 9.0 Hz, 1H), 6.70 (d, *J* = 15.4 Hz, 1H), 6.40 (d, *J* = 15.9 Hz, 1H), 6.34 (dd, *J* = 17.3, 1.4 Hz, 1H), 4.78 – 4.68 (m, 2H), 4.55 (d, *J* = 8.3 Hz, 1H), 4.47 – 4.42 (m, 1H), 4.32 (dd, *J* = 13.6, 7.5 Hz, 1H), 3.79 (s, 1H), 3.69 (s, 3H), 3.65 (d, *J* = 6.0 Hz, 1H), 3.63 (s, 1H), 3.29 – 3.19 (m, 2H), 2.96 (s, 5H), 2.84 (dd, *J* = 15.3, 5.7 Hz, 1H), 2.46 (s, 3H), 2.41 (s, 3H), 1.41 (s, 8H), 1.39 (s, 8H), 1.38 (s, 7H). ^{13}C NMR (151 MHz, DMSO) δ 172.53, 171.08, 169.79, 169.73, 169.02, 166.15, 157.93, 156.49, 155.24, 138.10, 137.24, 133.10, 131.89, 131.58, 129.21, 124.78, 120.88, 120.53, 119.16, 116.75, 114.61, 86.77, 80.61, 79.00, 62.70, 60.22, 56.24, 52.89, 49.88, 42.94, 37.77, 28.76, 28.61, 28.06, 27.12, 21.22, 19.39, 18.04, 14.55, 12.73. MS (ESI) *m/z* (relative intensity) 1094.81 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{52}H_{71}N_9O_{15}Na$ $(M + Na)^+$ 1116.4683, found 1116.4691.



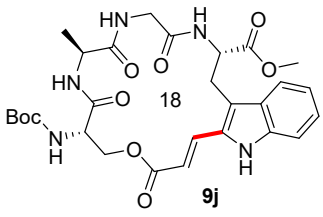
Chemical Formula: $C_{33}H_{44}N_6O_{10}$
Exact Mass: 684.3119

According to the general procedure F, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate; R_f =0.45) to yield compound **9h** (41.2 mg, 30% yield). ^{1}H NMR (600 MHz, DMSO) δ 11.40 (s, 1H), 8.34 – 8.25 (m, 1H), 8.06 (dd, *J* = 17.4, 8.4 Hz, 2H), 7.96 – 7.93 (m, 1H), 7.85 (d, *J* = 7.9 Hz, 1H), 7.71 (d, *J* = 15.8 Hz, 1H), 7.30 (d, *J* = 8.2 Hz, 1H), 7.19 (t, *J* = 7.5 Hz, 1H), 7.01 (t, *J* = 7.5 Hz, 1H), 6.86 (d, *J* = 7.6 Hz, 1H), 6.37 (d, *J* = 15.8 Hz, 1H), 4.78 – 4.71 (m, 1H), 4.58 (dd, *J* = 11.2, 7.1 Hz, 1H), 4.54 – 4.47 (m, 1H), 4.44 – 4.39 (m, 1H), 4.29 (dd, *J* = 8.1, 5.6 Hz, 1H), 4.08 – 3.99 (m, 1H), 3.97 – 3.91 (m, 1H), 3.71 (s, 3H), 3.57 (dd, *J* = 16.7, 4.5 Hz, 1H), 3.10 (dd, *J* = 14.5, 6.9 Hz, 1H), 2.07 (dq, *J* = 13.6, 6.8 Hz, 1H), 1.36 (s, 9H), 1.06 (d, *J* = 7.2 Hz, 3H), 0.79 (d, *J* = 6.8 Hz, 3H), 0.74 (d, *J* = 6.8 Hz, 3H). ^{13}C NMR (151 MHz, DMSO) δ 172.87, 171.66, 171.03, 169.82, 169.77, 166.34, 155.20, 137.99, 133.81, 131.16, 129.70, 128.93, 124.83, 121.03, 119.71, 114.23, 111.55, 78.58, 62.29, 58.10, 55.37, 52.86, 52.08, 50.29, 42.92, 30.81, 28.62, 26.89, 19.73, 18.63, 17.99. MS (ESI) *m/z* (relative intensity) 685.51 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{33}H_{44}N_6O_{10}Na$ $(M + Na)^+$ 707.3011, found 707.3013.



Chemical Formula: $C_{38}H_{54}N_6O_{11}$
Exact Mass: 770.3851

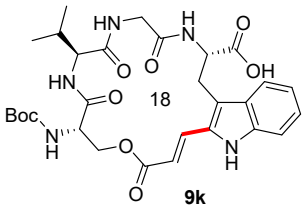
According to the general procedure F, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:1; R_f =0.43) to yield compound **9i** (44.4 mg, 34% yield). ^{1}H NMR (600 MHz, DMSO) δ 11.38 (s, 1H), 8.26 (dd, *J* = 7.1, 5.4 Hz, 1H), 8.15 (d, *J* = 7.6 Hz, 1H), 8.05 (d, *J* = 8.0 Hz, 1H), 8.02 (d, *J* = 8.3 Hz, 1H), 7.84 (d, *J* = 8.0 Hz, 1H), 7.73 (d, *J* = 15.8 Hz, 1H), 7.30 (d, *J* = 8.2 Hz, 1H), 7.18 (t, *J* = 7.6 Hz, 1H), 6.99 (t, *J* = 7.5 Hz, 1H), 6.87 (d, *J* = 8.7 Hz, 1H), 6.37 (d, *J* = 15.8 Hz, 1H), 4.77 – 4.70 (m, 1H), 4.60 – 4.50 (m, 2H), 4.44 (dd, *J* = 11.3, 3.3 Hz, 1H), 4.41 – 4.33 (m, 1H), 4.06 – 3.99 (m, 1H), 3.91 (td, *J* = 9.9, 4.7 Hz, 1H), 3.70 (s, 3H), 3.59 (dd, *J* = 16.8, 4.9 Hz, 1H), 3.49 – 3.43 (m, 2H), 3.09 (dd, *J* = 14.4, 7.3 Hz, 1H), 1.47 – 1.40 (m, 1H), 1.38 (s, 9H), 1.32 – 1.23 (m, 2H), 1.04 (s, 9H), 0.76 (dd, *J* = 28.9, 6.6 Hz, 6H). ^{13}C NMR (151 MHz, DMSO) δ 192.43, 172.63, 171.33, 169.82, 169.79, 169.72, 166.31, 155.49, 137.95, 133.83, 131.15, 128.93, 128.22, 124.78, 121.12, 119.75, 118.89, 114.19, 111.48, 78.56, 73.22, 62.51, 61.87, 55.08, 53.98, 53.63, 52.81, 52.12, 43.00, 41.40, 40.50, 28.62, 27.62, 27.58, 26.49, 24.65, 23.39, 21.83. MS (ESI) *m/z* (relative intensity) 770.90 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{38}H_{54}N_6O_{11}Na$ $(M + Na)^+$ 793.3743, found 793.3744.



Chemical Formula: $C_{28}H_{35}N_5O_9$
Exact Mass: 585.2435

According to the general procedure F, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate; R_f =0.33) to yield compound **9j** (42.1 mg, 36% yield). ^{1}H NMR (600 MHz, DMSO) δ 11.34 (s, 1H), 8.25 (d, *J* = 5.7 Hz, 1H), 7.95 (d, *J* = 4.7 Hz, 1H), 7.68 (d, *J* = 8.8 Hz, 1H), 7.62 – 7.56 (m, 2H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.22 (t, *J* = 7.6 Hz, 1H), 7.05 (t, *J* = 7.5 Hz, 1H), 6.90 (d, *J* = 8.0 Hz, 1H), 6.36 (d, *J* = 15.8 Hz, 1H), 4.62 (dd, *J* = 13.7, 5.5 Hz, 1H), 4.47 – 4.33 (m, 2H), 4.28 (dd, *J* = 13.1, 6.4 Hz, 1H), 4.19 (dd, *J* = 10.7, 6.5 Hz, 1H), 3.74 (s, 3H), 3.62 (dd, *J* = 17.1, 6.9 Hz, 1H), 3.38 (s, 1H), 3.33 – 3.16 (m, 2H), 1.40 (s, 9H), 1.22 (d, *J* = 7.1 Hz, 3H). ^{13}C NMR (151 MHz, DMSO) δ 172.50, 171.97, 169.41, 169.13, 166.21, 138.08, 133.24, 132.35, 127.75, 124.79, 119.87, 119.48, 117.17, 115.03, 111.92, 78.98, 64.45, 61.52, 52.70, 52.55, 49.37, 42.40, 28.63, 26.32,

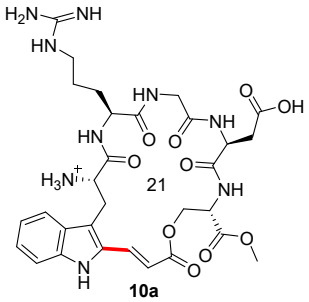
18.27. MS (ESI) *m/z* (relative intensity) 586.72 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{28}H_{35}N_5O_9Na$ $(M + Na)^+$ 608.2327, found 608.2335.



9k

Chemical Formula: $C_{29}H_{37}N_5O_9$
Exact Mass: 599.2591

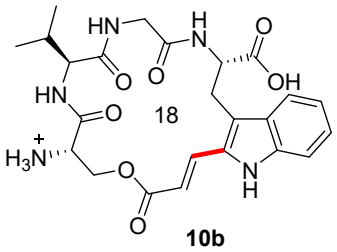
According to the general procedure F, the crude residue was purified by flash column chromatography on silica gel (DCM: MeOH: AcOHr= 15:1:0.5; R_f =0.13) to yield compound **9k** (92 mg, 33% yield). ^{1}H NMR (600 MHz, MeOD) δ 7.75 (d, *J* = 7.7 Hz, 1H), 7.71 (d, *J* = 15.9 Hz, 1H), 7.31 (d, *J* = 8.2 Hz, 1H), 7.23 – 7.18 (m, 1H), 7.06 (t, *J* = 7.3 Hz, 1H), 6.25 (d, *J* = 15.8 Hz, 1H), 4.70 – 4.58 (m, 2H), 4.26 (dd, *J* = 10.6, 4.3 Hz, 1H), 4.10 (dd, *J* = 32.7, 12.0 Hz, 2H), 3.65 (d, *J* = 12.9 Hz, 1H), 3.35 (s, 1H), 3.31 – 3.24 (m, 1H), 2.07 (dd, *J* = 13.3, 6.6 Hz, 1H), 1.49 (s, 8H), 1.45 (d, *J* = 2.5 Hz, 1H), 1.01 (dd, *J* = 26.2, 6.7 Hz, 6H). ^{13}C NMR (151 MHz, MeOD) δ 166.86, 138.35, 133.43, 131.37, 127.82, 124.34, 119.26, 119.08, 117.99, 113.12, 110.91, 79.56, 64.51, 60.26, 52.66, 41.72, 29.95, 27.29, 25.80, 18.20, 17.66. MS (ESI) *m/z* (relative intensity) 598.72 (100) $[M-H]^+$. HRMS (ESI) *m/z* calcd for $C_{29}H_{37}N_5O_9Na$ $(M + Na)^+$ 622.2483, found 622.2478.



10a

Chemical Formula: $C_{30}H_{40}N_9O_{10}^+$
Exact Mass: 686.2893

According to the general procedure G(A) to yield compound **10a** (68 mg, 85% yield). ^{1}H NMR (600 MHz, DMSO) δ 11.39 (s, 1H), 8.48 (d, *J* = 7.1 Hz, 1H), 8.39 (s, 3H), 8.28 (d, *J* = 8.5 Hz, 1H), 7.98 (d, *J* = 8.3 Hz, 1H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.62 (d, *J* = 6.0 Hz, 1H), 7.49 (t, *J* = 4.5 Hz, 1H), 7.41 (d, *J* = 15.7 Hz, 1H), 7.28 (d, *J* = 8.2 Hz, 1H), 7.17 (t, *J* = 7.6 Hz, 1H), 7.02 (d, *J* = 7.6 Hz, 1H), 6.35 (d, *J* = 15.7 Hz, 1H), 4.68 (ddd, *J* = 10.2, 8.6, 3.7 Hz, 1H), 4.45 (dd, *J* = 14.2, 7.1 Hz, 1H), 4.38 (dd, *J* = 14.4, 7.5 Hz, 1H), 4.31 (t, *J* = 10.8 Hz, 1H), 4.25 (dd, *J* = 11.0, 3.3 Hz, 1H), 3.69 (d, *J* = 4.6 Hz, 1H), 3.62 (s, 3H), 3.57 (s, 1H), 3.20 (d, *J* = 7.5 Hz, 1H), 3.03 (dd, *J* = 7.2, 2.5 Hz, 1H), 2.99 – 2.95 (m, 2H), 2.75 (dd, *J* = 16.7, 7.0 Hz, 1H), 2.47 (t, *J* = 8.4 Hz, 1H), 1.51 – 1.47 (m, 1H), 1.41 – 1.37 (m, 1H), 1.33 – 1.26 (m, 2H). ^{13}C NMR (151 MHz, DMSO) δ 172.07, 170.79, 170.60, 169.87, 168.84, 168.08, 166.40, 157.24, 138.19, 132.28, 128.02, 120.18, 119.83, 114.93, 114.13, 112.03, 63.21, 52.81, 51.74, 51.46, 50.16, 46.14, 42.33, 40.72, 35.00, 29.37, 26.79, 25.06, 9.02. MS (ESI) *m/z* (relative intensity) 686.64 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{30}H_{39}N_9O_{10}Na$ $(M + Na)^+$ 708.2712, found 708.2717.

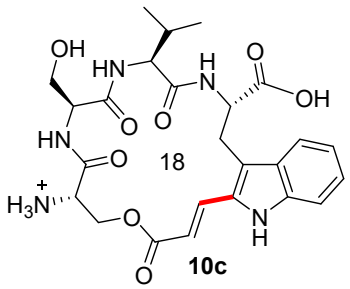


10b

Chemical Formula: $C_{24}H_{30}N_5O_7^+$
Exact Mass: 500.2140

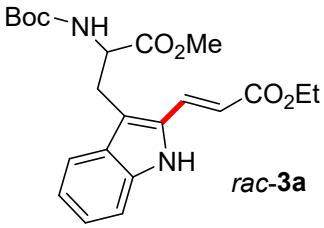
According to the general procedure G(B) to yield compound **10b** (51 mg, 95% yield). ^{1}H NMR (600 MHz, DMSO) δ 11.48 (s, 1H), 9.41 (d, *J* = 4.5 Hz, 1H), 8.68 (s, 3H), 7.78 (d, *J* = 15.9 Hz, 1H), 7.61 (d, *J* = 7.9 Hz, 1H), 7.36 (d, *J* = 8.1 Hz, 1H), 7.24 (t, *J* = 7.5 Hz, 1H), 7.07 (dd, *J* = 13.1, 6.3 Hz, 2H), 6.56 (d, *J* = 6.7 Hz, 1H), 6.36 (d, *J* = 15.9 Hz, 1H), 4.85 (d, *J* = 10.1 Hz, 1H), 4.63 (d, *J* = 10.1 Hz, 1H), 4.54 – 4.49 (m, 1H), 4.47 (s, 1H), 4.15 (d, *J* = 3.0 Hz, 1H), 3.92 – 3.87 (m, 1H), 3.78 (dd, *J* = 11.3, 5.8 Hz, 1H), 3.74 – 3.69 (m, 2H), 3.44 – 3.41 (m, 2H), 3.13 (dd, *J* = 14.1, 11.3 Hz, 1H), 1.39 – 1.35 (m, 1H), 1.22 – 1.14 (m, 2H), 0.75 (d, *J* = 6.5 Hz, 3H), 0.67 (d, *J* = 6.5 Hz, 3H). ^{13}C NMR (151 MHz, DMSO) δ 172.66, 171.12, 169.57, 168.24, 165.58, 138.40, 135.78, 131.76, 127.74, 119.95, 119.60, 118.61, 113.33, 112.02, 66.83, 65.38, 61.17, 58.50, 52.56, 51.94, 24.57, 23.22, 21.60,

15.64. MS (ESI) *m/z* (relative intensity) 500.44 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{24}H_{29}N_5O_7Na$ $(M + Na)^+$ 522.1959, found 522.1960.



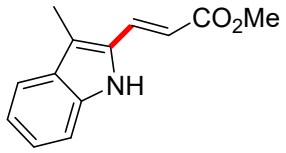
Chemical Formula: $C_{25}H_{32}N_5O_8^+$
Exact Mass: 530.2245

According to the general procedure H to yield compound **10c** (51 mg, 40% yield). ^{1}H NMR (600 MHz, MeOD) δ 7.83 (d, *J* = 15.9 Hz, 1H), 7.73 (d, *J* = 7.7 Hz, 1H), 7.33 (d, *J* = 8.2 Hz, 1H), 7.24 (t, *J* = 7.6 Hz, 1H), 7.09 (t, *J* = 7.4 Hz, 1H), 6.28 (d, *J* = 15.9 Hz, 1H), 4.74 (s, 2H), 4.62 (d, *J* = 9.8 Hz, 1H), 4.55 (d, *J* = 10.8 Hz, 1H), 4.42 (s, 1H), 4.20 – 4.15 (m, 1H), 4.04 (d, *J* = 10.1 Hz, 1H), 3.89 (d, *J* = 10.7 Hz, 1H), 3.66 – 3.58 (m, 1H), 3.27 – 3.18 (m, 1H), 1.33 – 1.29 (m, 1H), 0.82 (dd, *J* = 39.4, 6.6 Hz, 6H). ^{13}C NMR (151 MHz, MeOD) δ 166.50, 156.18, 138.54, 134.75, 131.08, 127.77, 124.72, 119.90, 119.45, 119.05, 118.90, 112.50, 110.99, 79.69, 65.39, 60.68, 57.41, 53.13, 52.36, 39.51, 27.29, 24.52, 22.00, 20.09. MS (ESI) *m/z* (relative intensity) 530.81 (100) $[M+H]^+$. HRMS (ESI) *m/z* calcd for $C_{25}H_{32}N_5O_8^+Na$ $(M + Na)^+$ 552.2065, found 552.2066.



Chemical Formula: $C_{22}H_{28}N_2O_6$
Exact Mass: 416.1947

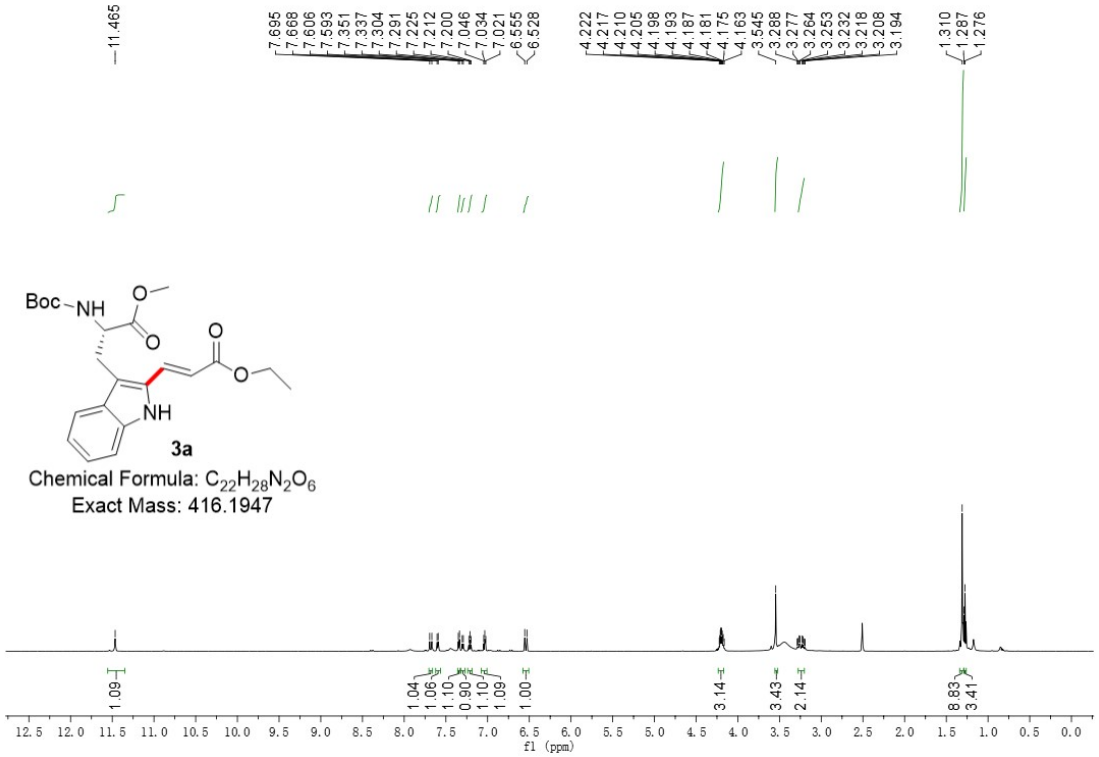
According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:4; R_f =0.4) to yield compound **3a** (63.5mg, 76% yield).^{1}H NMR (500 MHz, $CDCl_3$) δ 9.16 (s, 1H), 7.64 (d, *J* = 15.9 Hz, 1H), 7.55 (d, *J* = 7.9 Hz, 1H), 7.19 (dt, *J* = 14.8, 8.0 Hz, 2H), 7.07 (ddd, *J* = 8.0, 6.7, 1.2 Hz, 1H), 6.27 (d, *J* = 15.9 Hz, 1H), 5.20 (d, *J* = 8.4 Hz, 1H), 4.79 – 4.68 (m, 1H), 4.33 – 4.16 (m, 2H), 3.72 (s, 3H), 3.42 (qd, *J* = 14.6, 5.3 Hz, 2H), 1.45 (s, 9H), 1.33 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.27, 167.09, 155.11, 137.45, 131.59, 131.25, 128.69, 125.01, 120.18, 119.80, 116.04, 115.19, 111.18, 80.03, 60.57, 54.46, 52.51, 29.67, 28.31, 27.23, 14.32. HRMS (ESI) *m/z* calcd for $C_{22}H_{28}N_2O_6Na$ $(M + Na)^+$ 439.1840, found 439.1841.



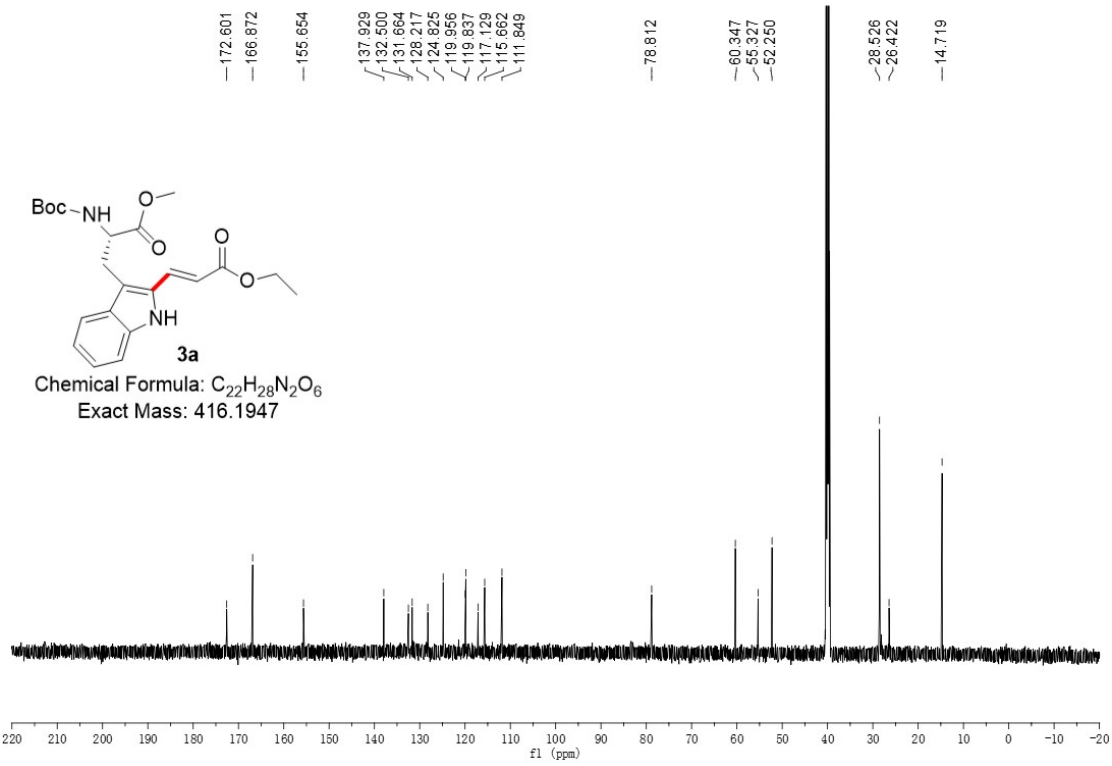
Chemical Formula: $C_{13}H_{13}NO_2$
Exact Mass: 215.0946

According to the general procedure D, the crude residue was purified by flash column chromatography on silica gel (ethyl acetate: petroleum ether= 1:8; R_f =0.45) to yield compound **3a** (23.7mg, 55% yield).^{1}H NMR (500 MHz, $CDCl_3$) δ 8.42 (s, 1H), 7.85 (d, *J* = 16.0 Hz, 1H), 7.60 (dq, *J* = 7.9, 0.8 Hz, 1H), 7.33 (dt, *J* = 8.2, 1.0 Hz, 1H), 7.28 (ddd, *J* = 8.1, 6.9, 1.1 Hz, 1H), 7.13 (ddd, *J* = 8.0, 6.9, 1.1 Hz, 1H), 6.19 (d, *J* = 16.0 Hz, 1H), 4.32 (q, *J* = 7.1 Hz, 2H), 2.44 (s, 3H), 1.38 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 167.38, 137.45, 132.31, 129.99, 129.08, 125.03, 119.89, 118.71, 113.83, 110.97, 60.56, 29.70, 14.38, 8.91. HRMS (ESI) *m/z* calcd for $C_{13}H_{13}NO_2Na$ $(M + Na)^+$ 238.0838, found 238.0840.

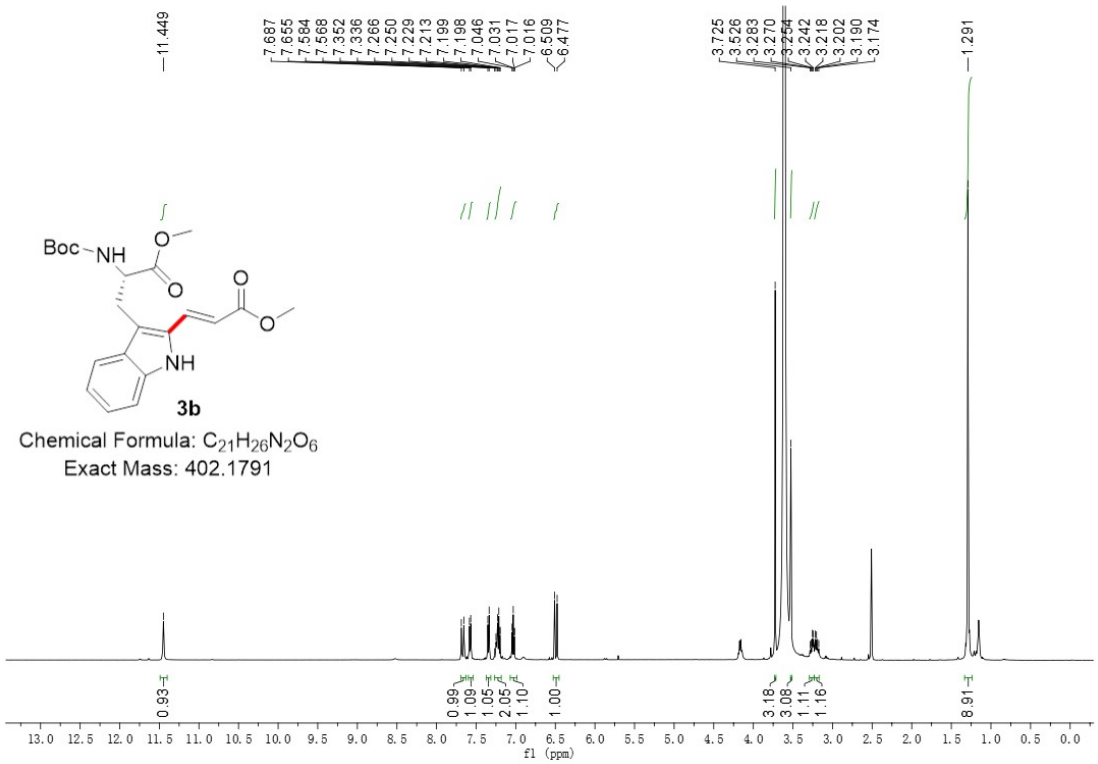
L. ^{1}H NMR and ^{13}C NMR of products



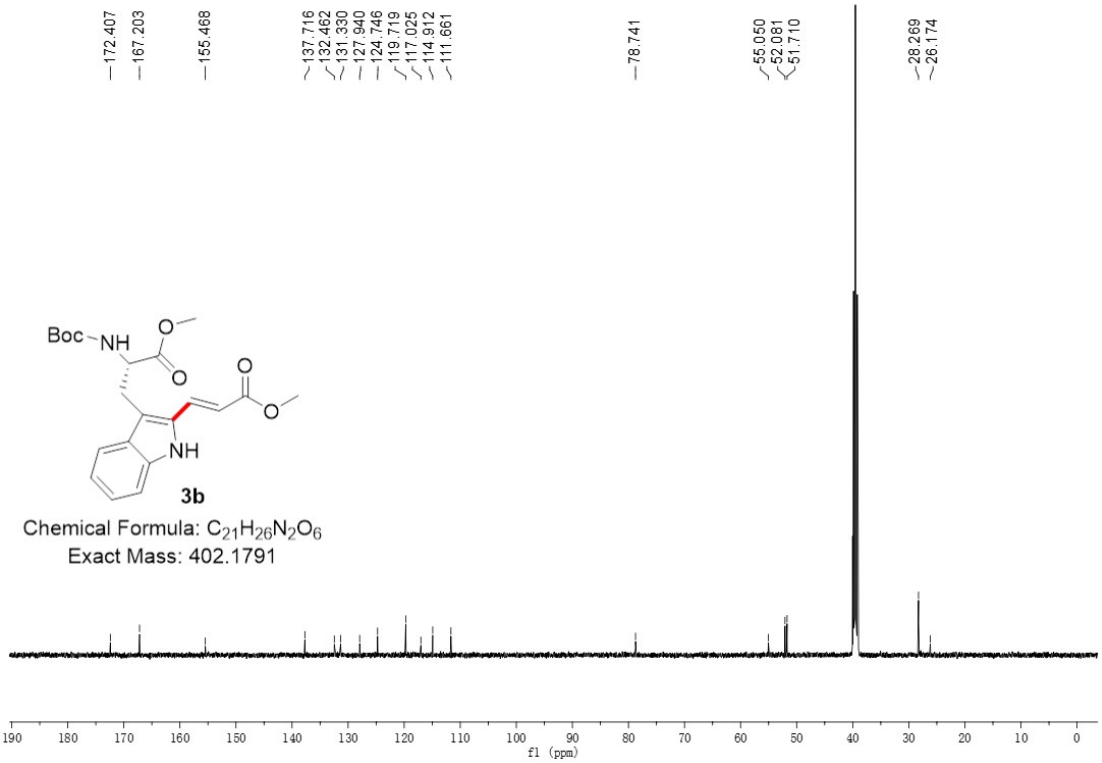
^{1}H NMR (600 MHz, DMSO) spectrum of **3a**



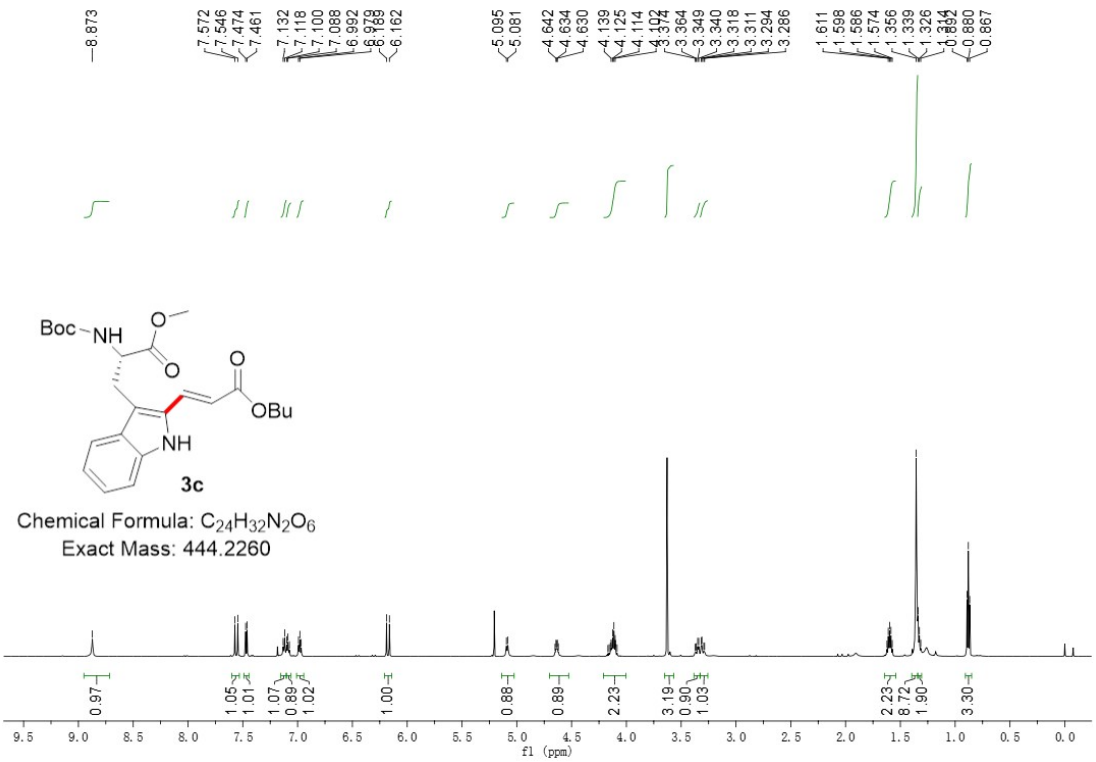
^{1}C NMR (151 MHz, DMSO) spectrum of **3a**



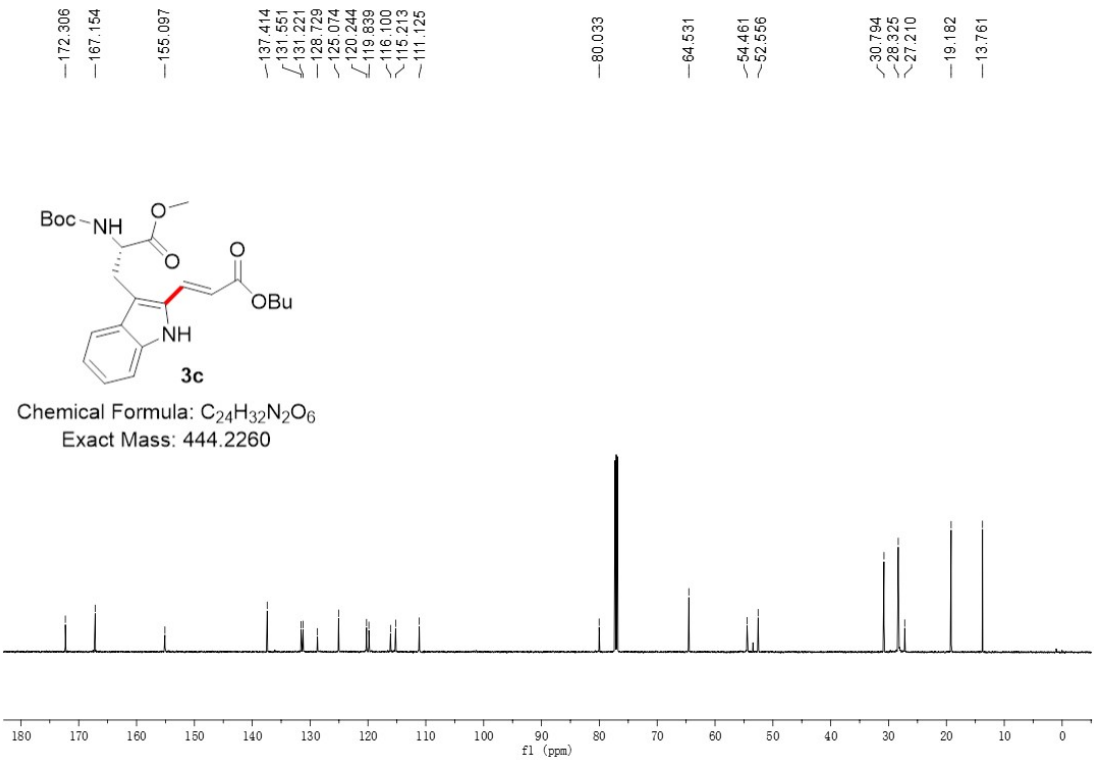
^{1}H NMR (500 MHz, DMSO) spectrum of **3b**



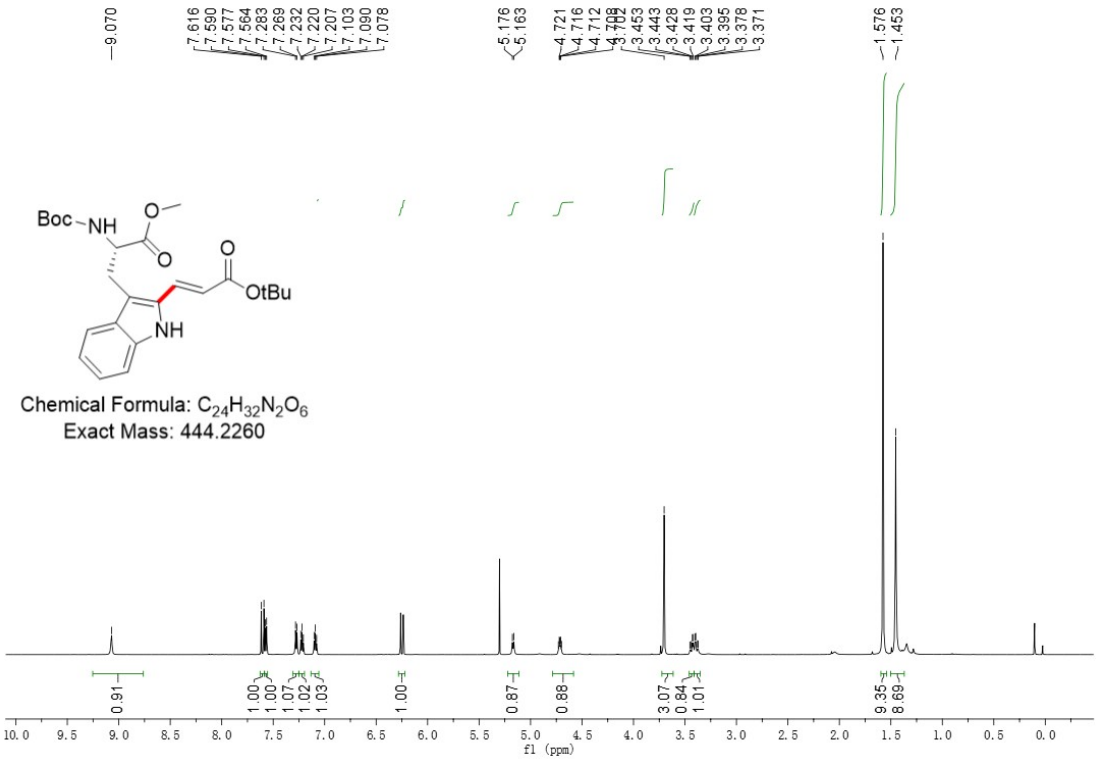
^{1}C NMR (126 MHz, DMSO) spectrum of **3b**



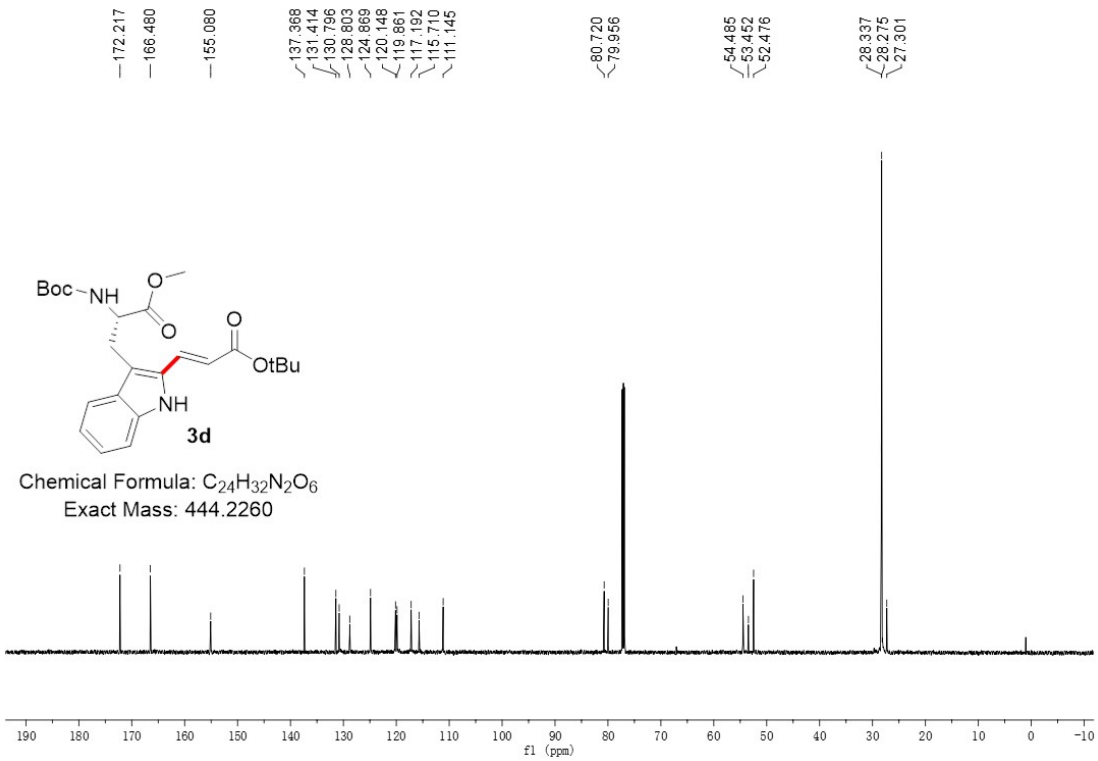
^{1}H NMR (600 MHz, DMSO) spectrum of **3c**



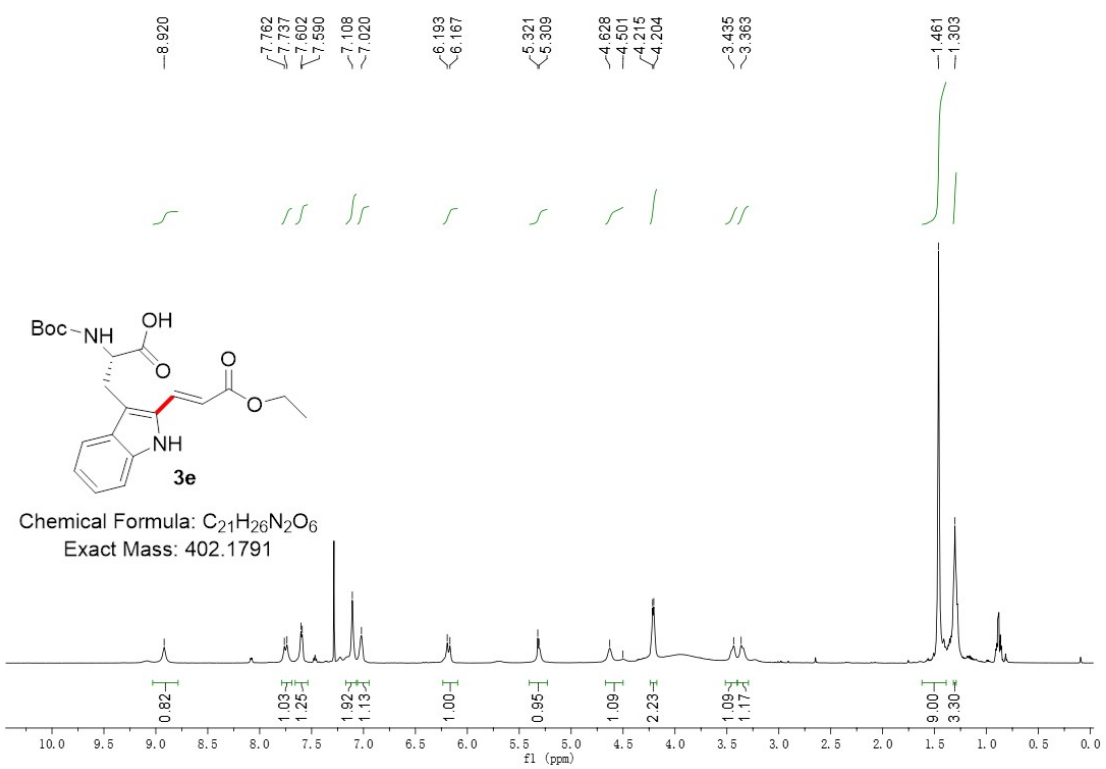
^{1}C NMR (151 MHz, DMSO) spectrum of **3c**



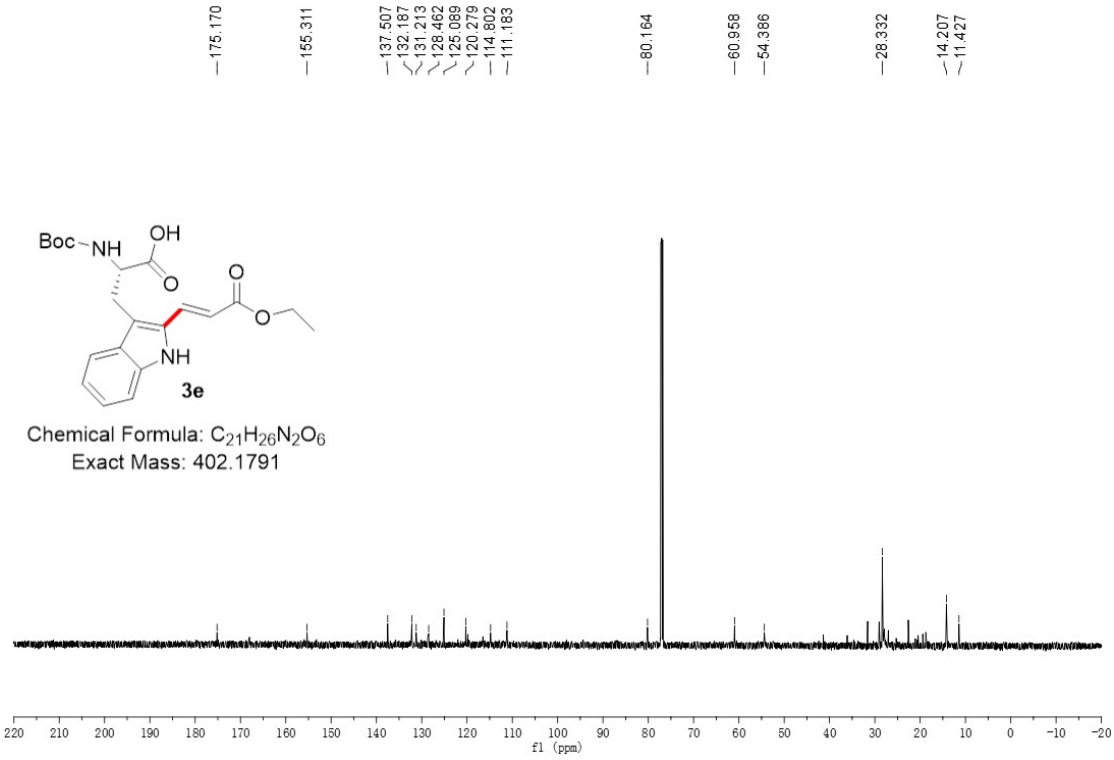
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **3d**



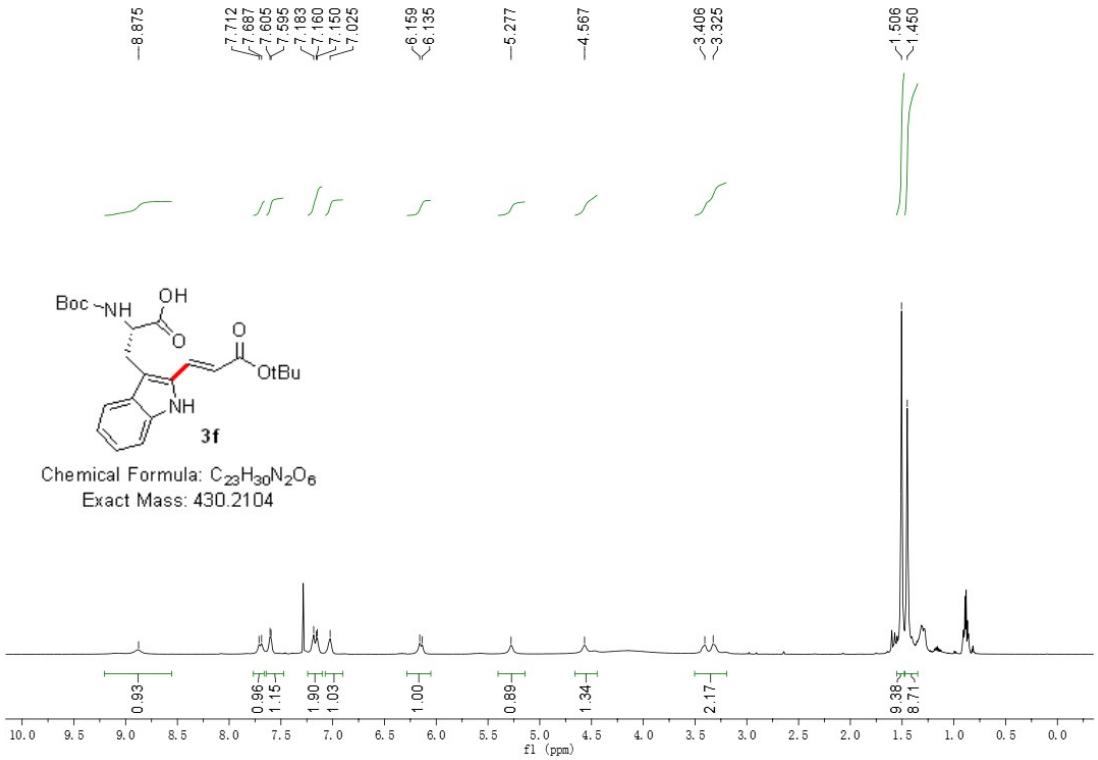
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **3d**



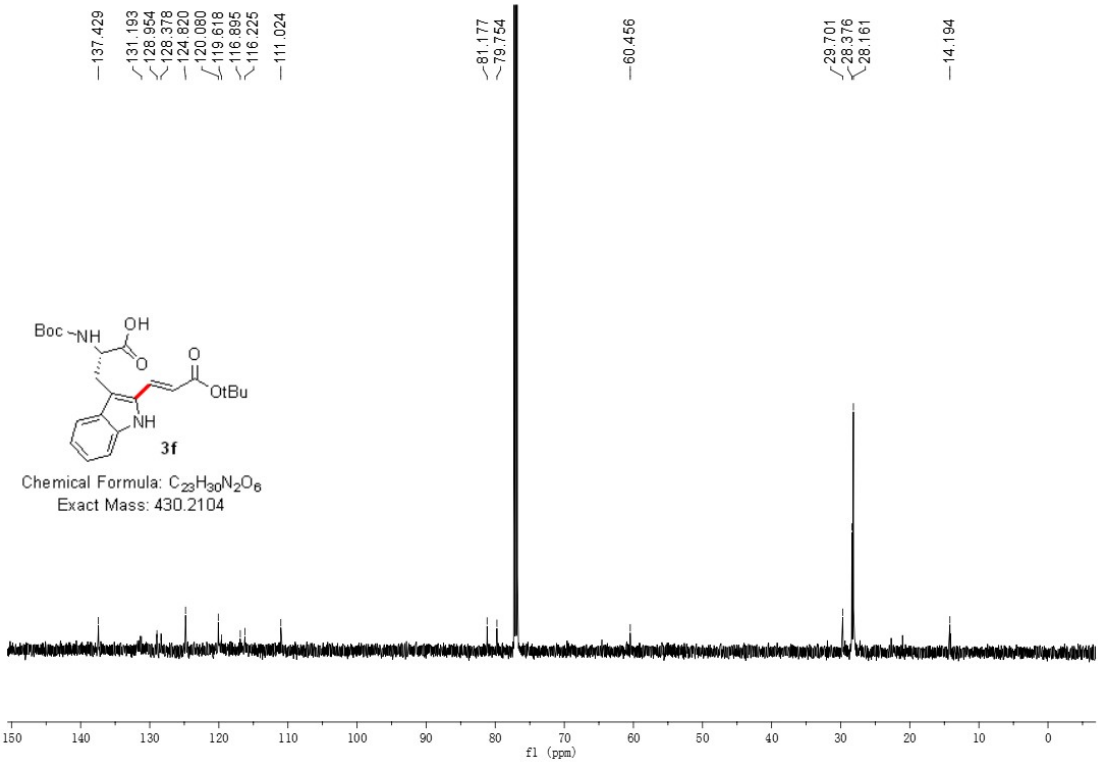
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **3e**



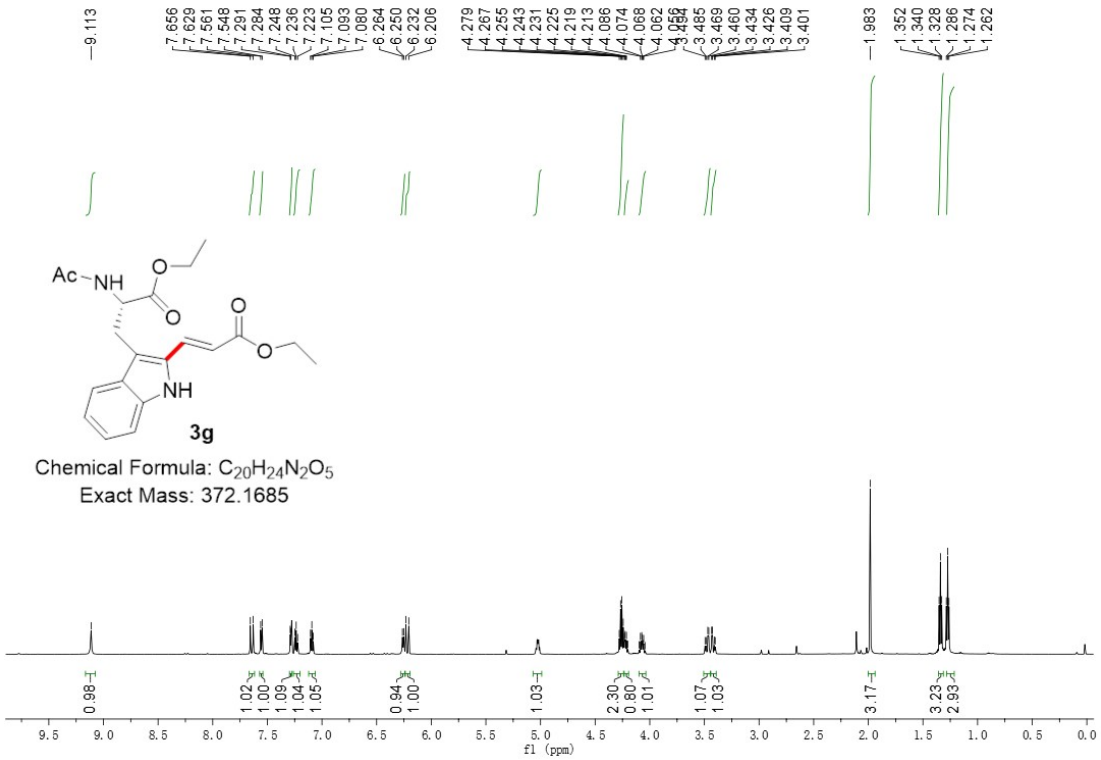
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **3e**



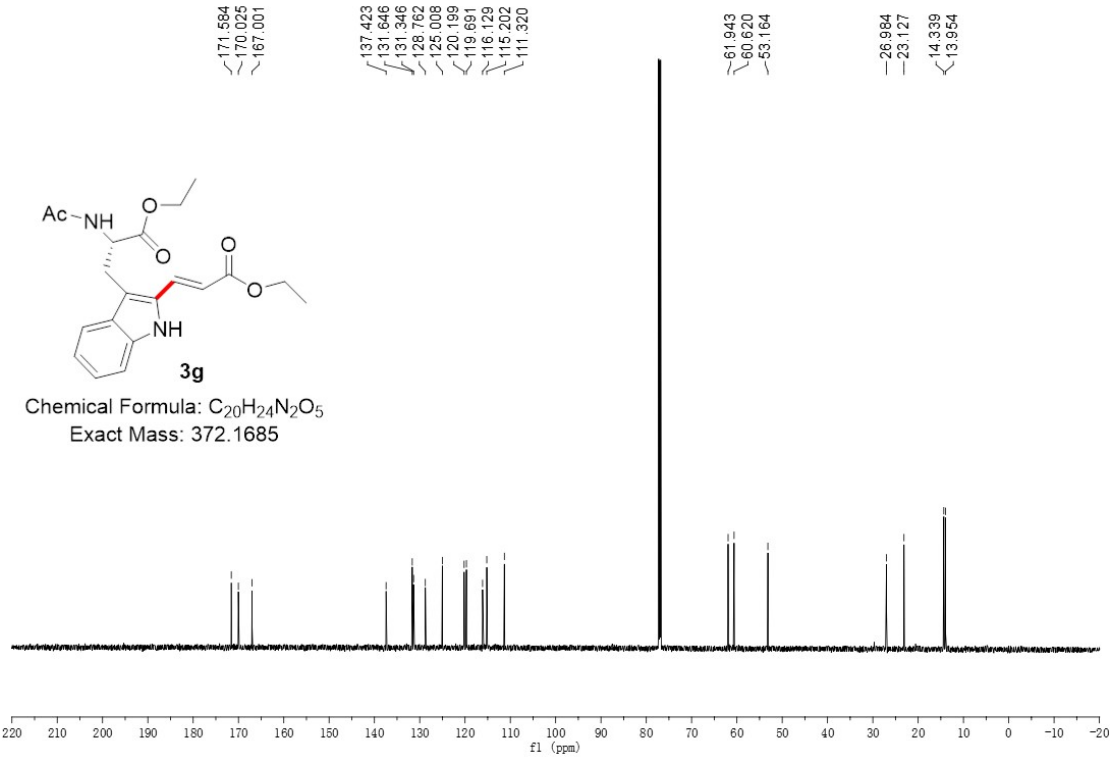
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **3f**



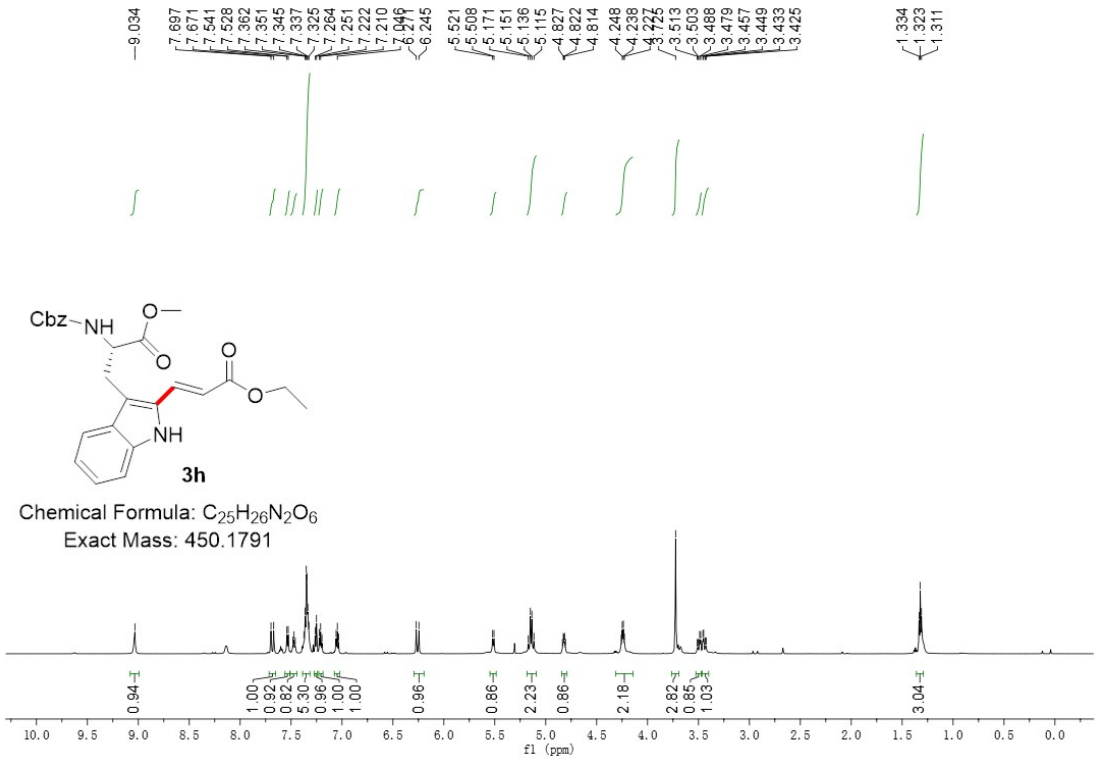
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **3f**



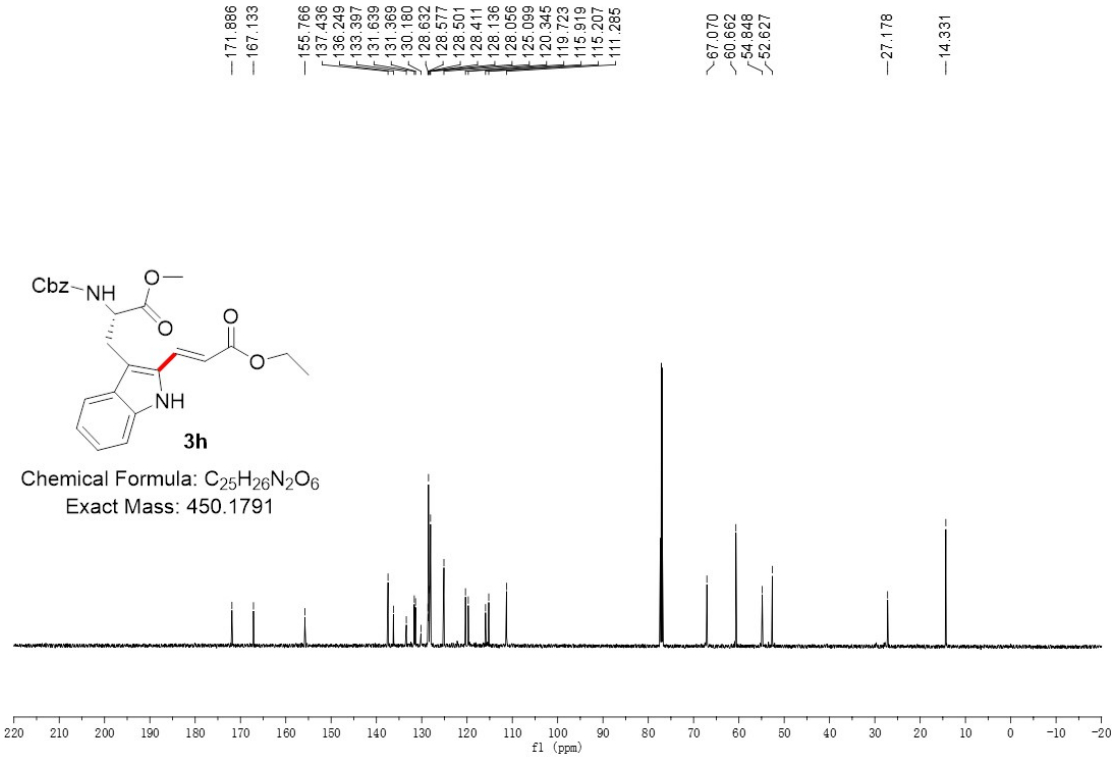
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **3g**



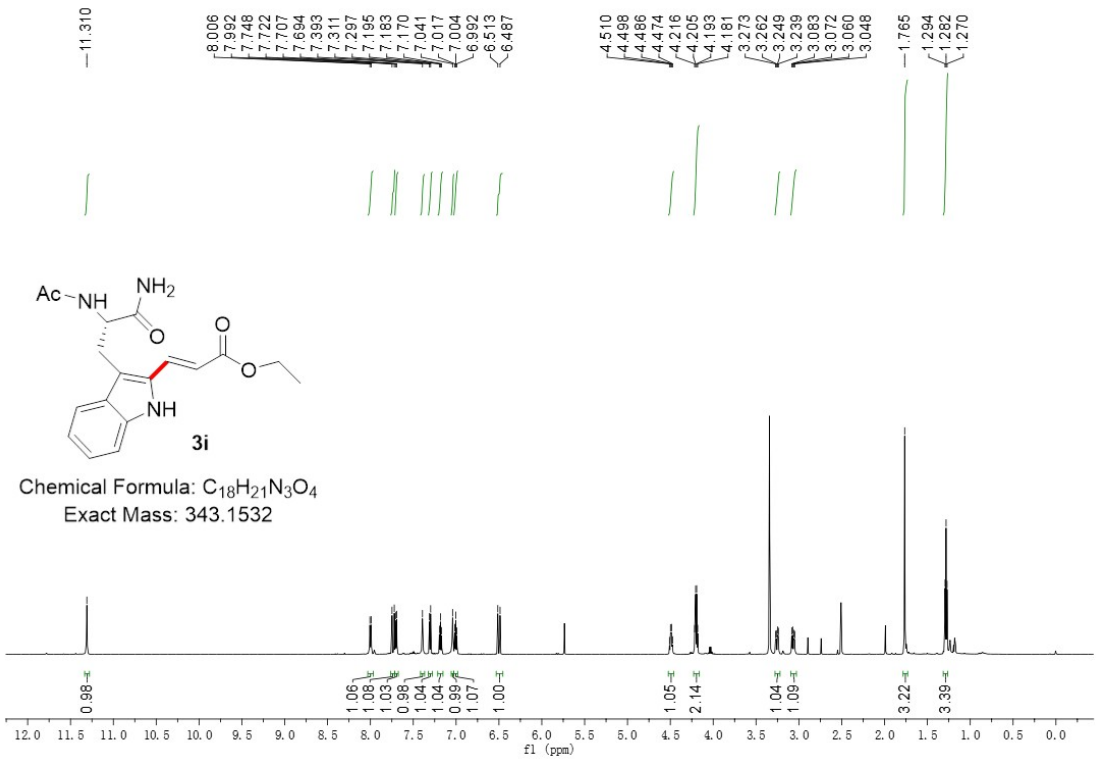
^{1}C NMR (151MHz, $CDCl_3$) spectrum of **3g**



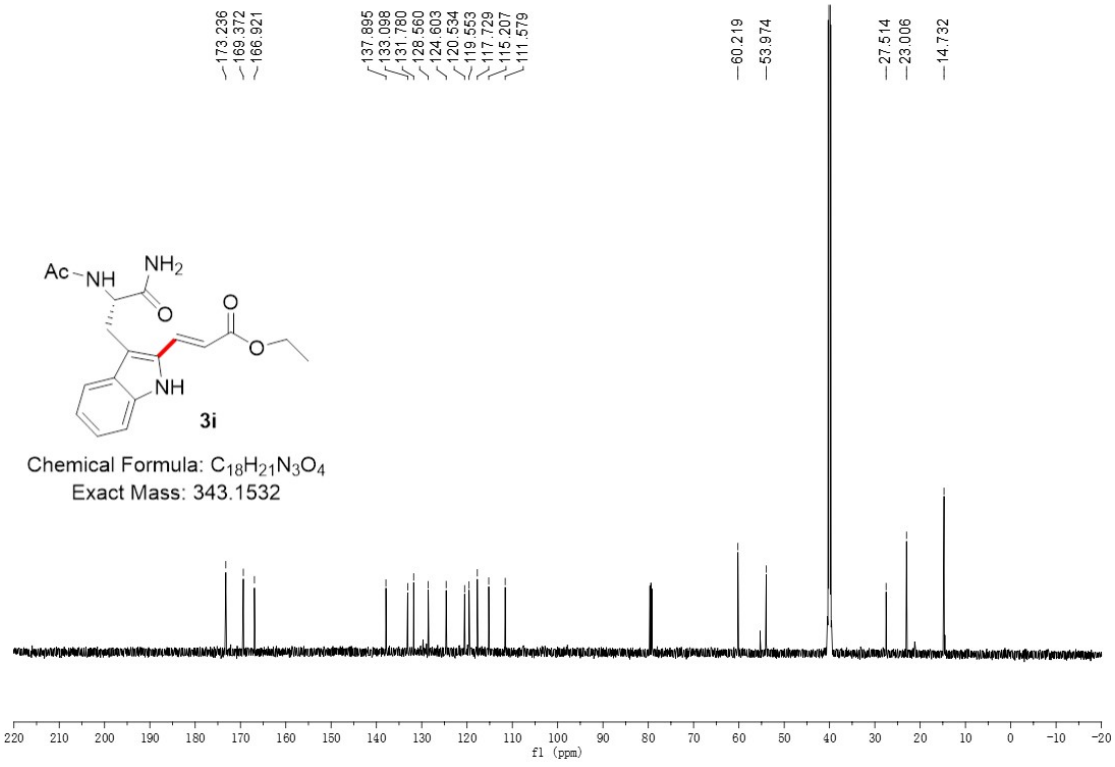
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **3h**



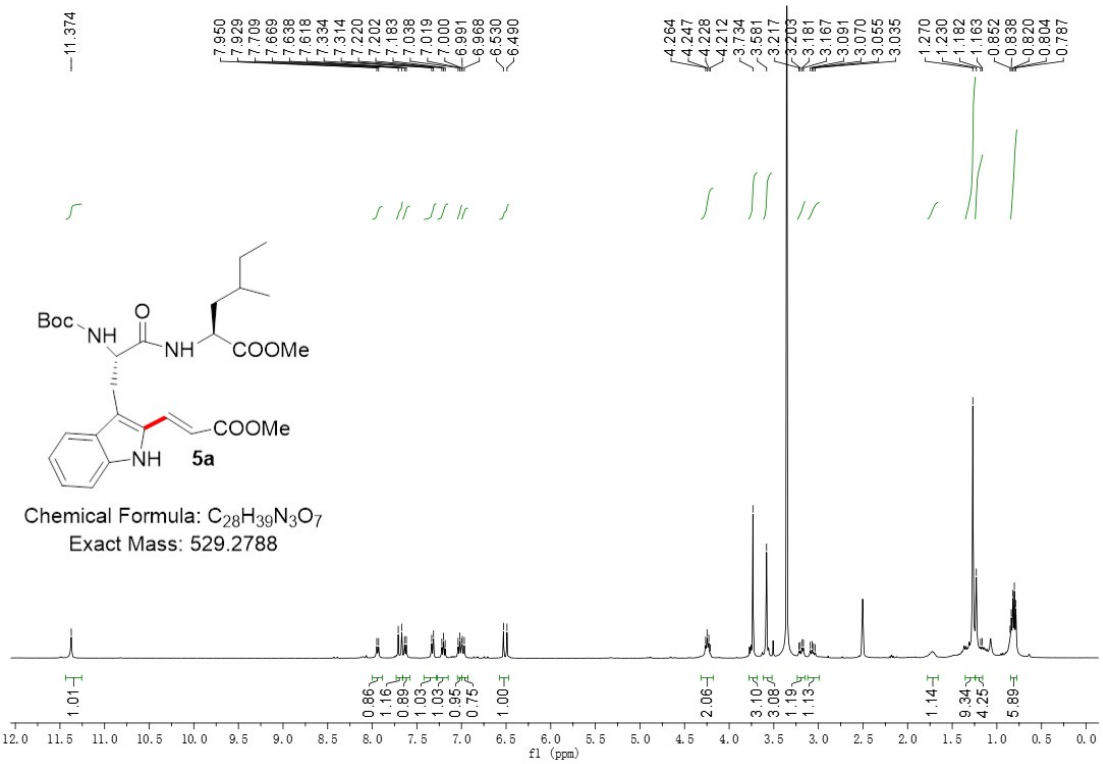
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **3h**



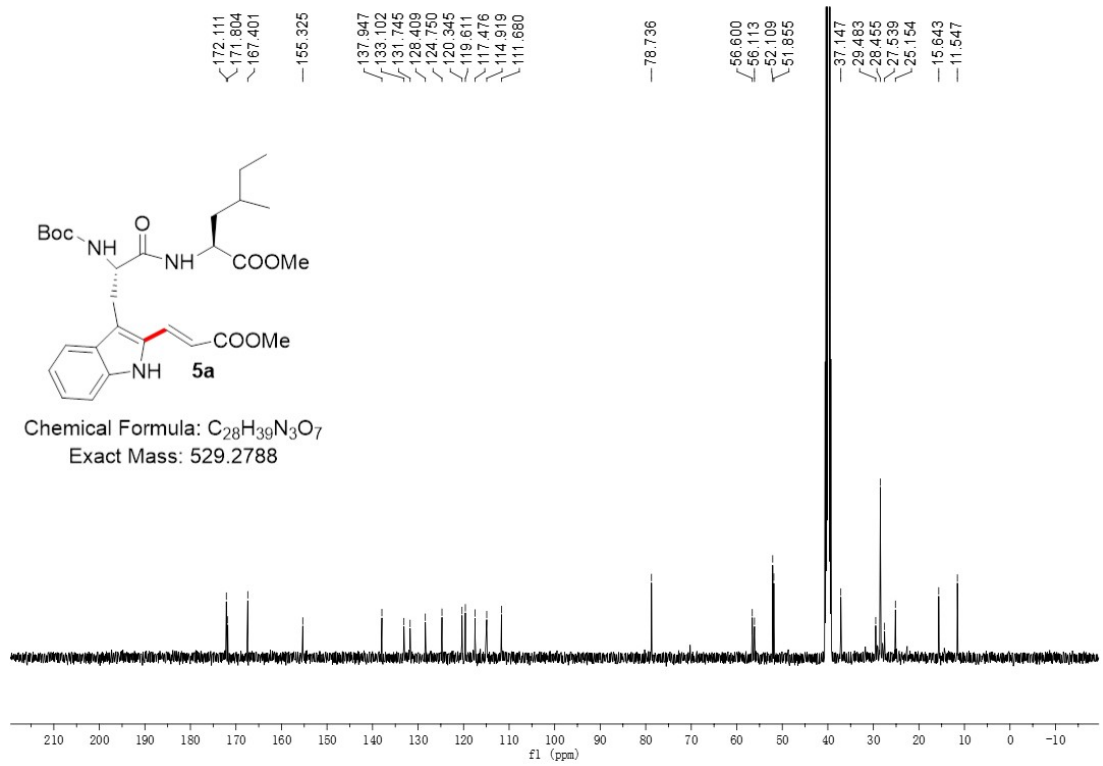
^{1}H NMR (600 MHz, DMSO) spectrum of **3i**



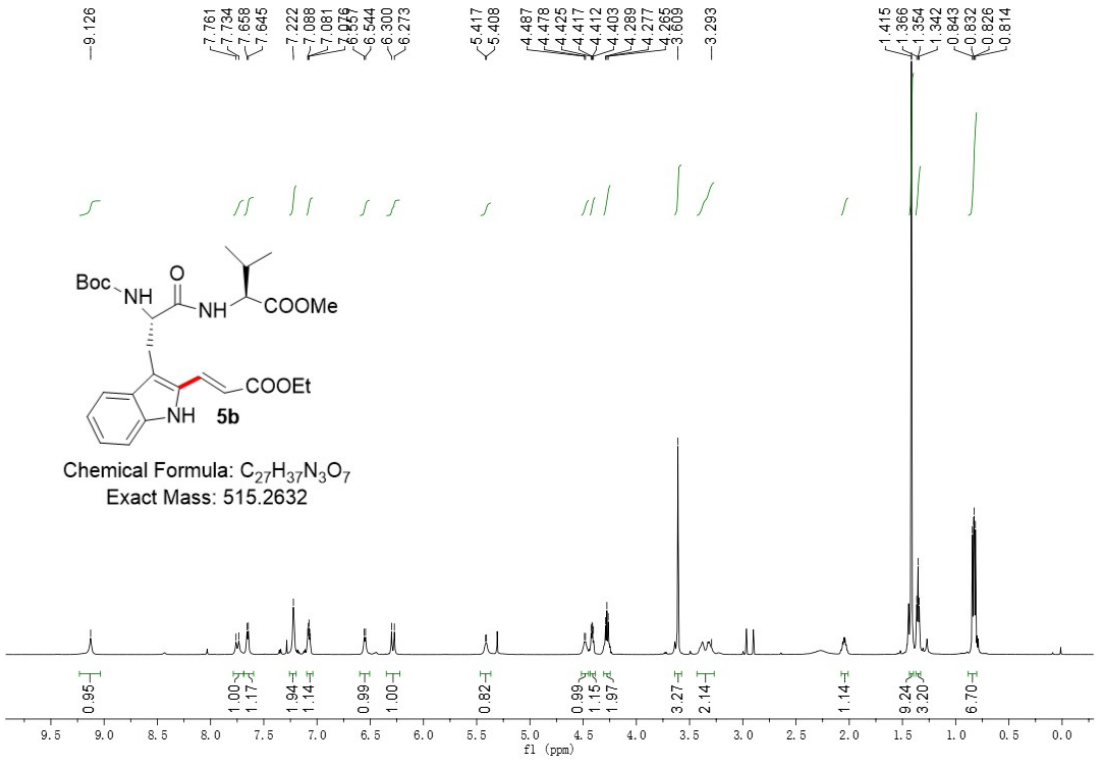
^{1}C NMR (151 MHz, DMSO) spectrum of **3i**



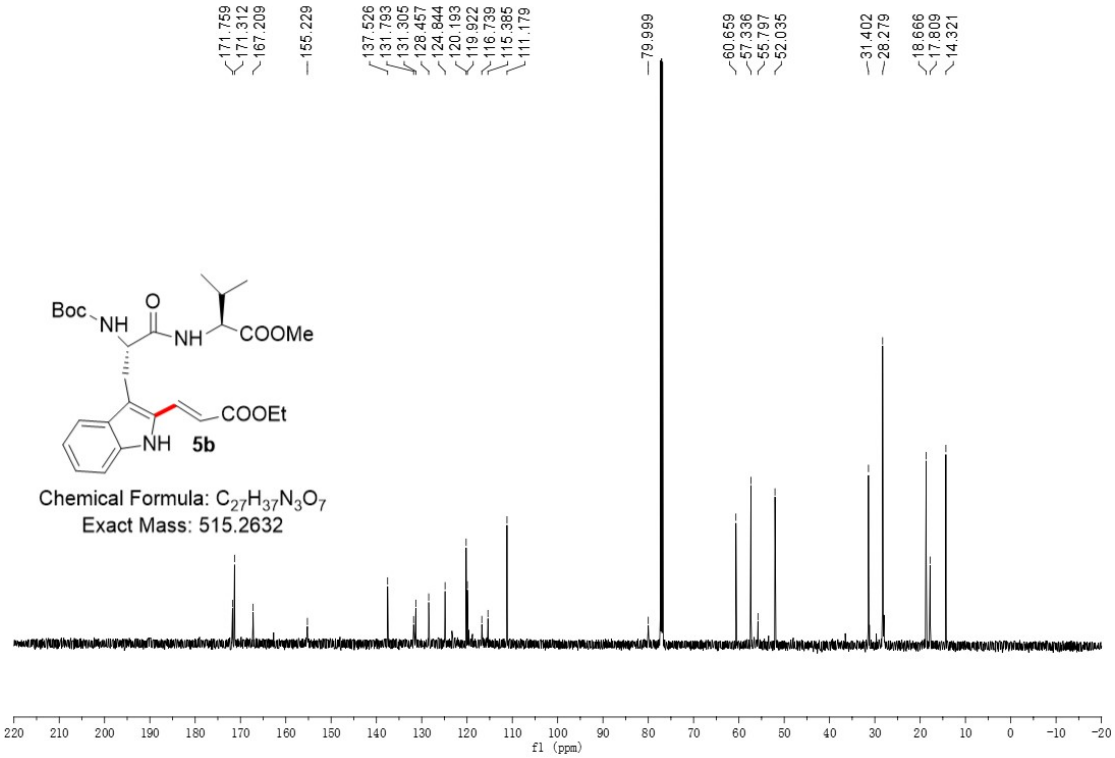
^{1}H NMR (400 MHz, DMSO) spectrum of **5a**



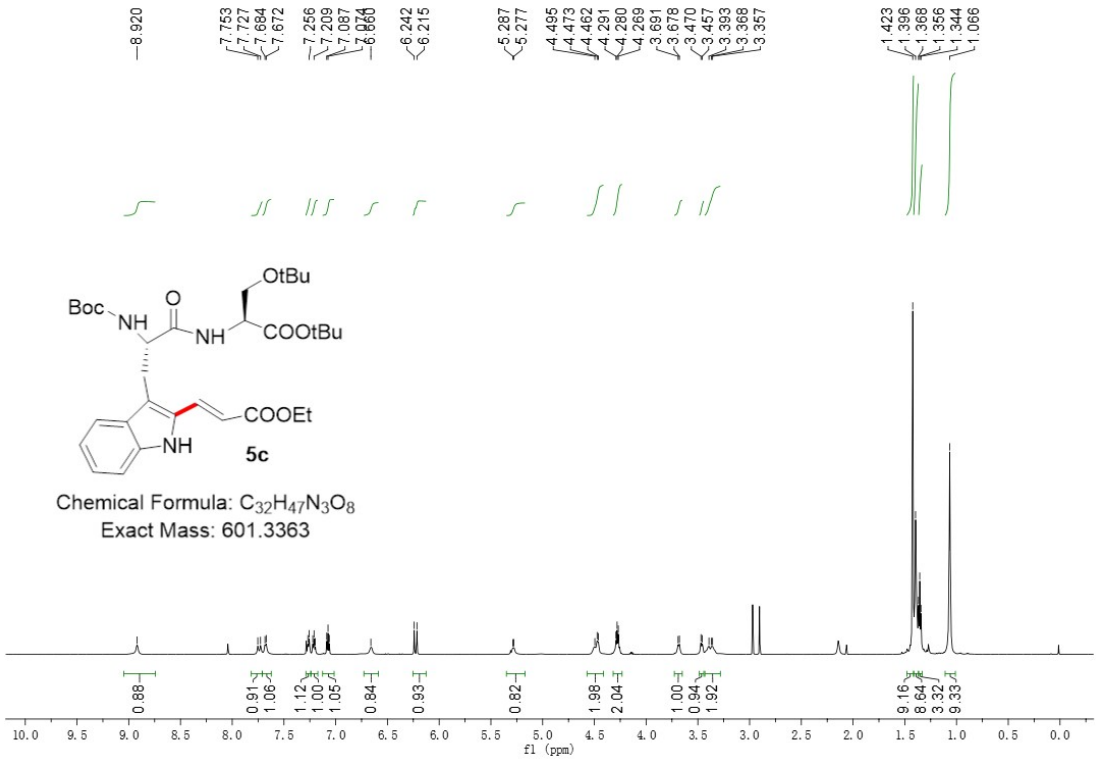
^{1}C NMR (101 MHz, DMSO) spectrum of **5a**



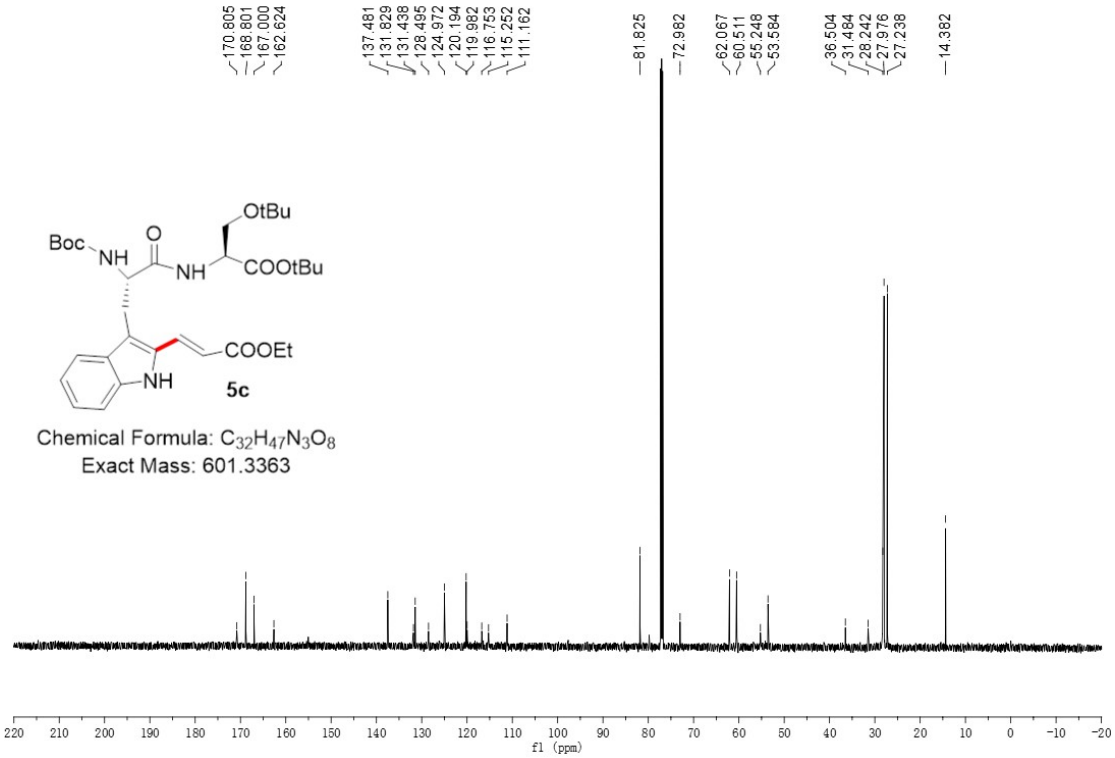
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **5b**



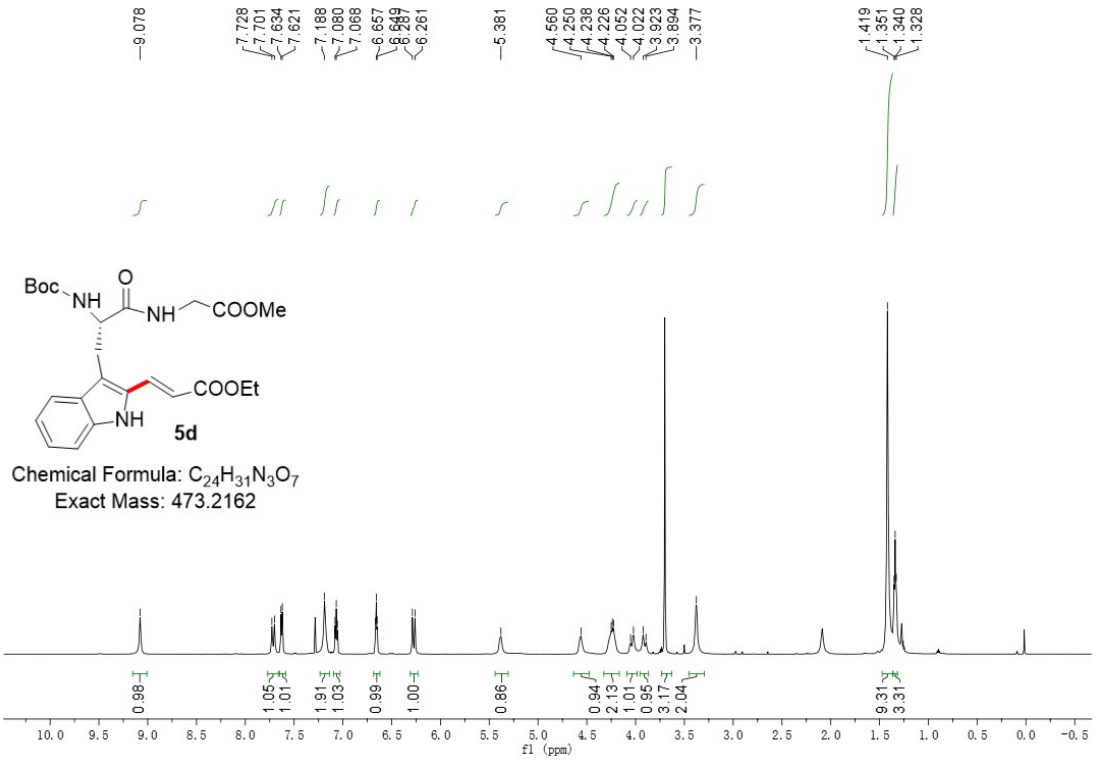
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **5b**



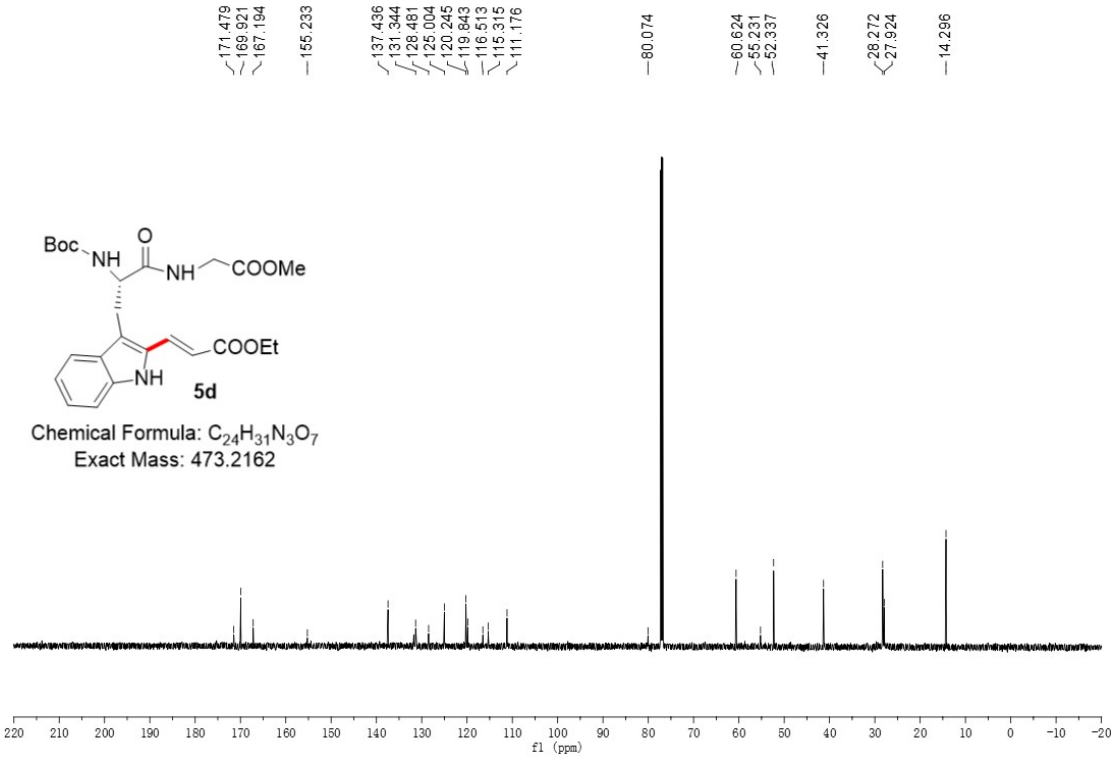
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **5c**



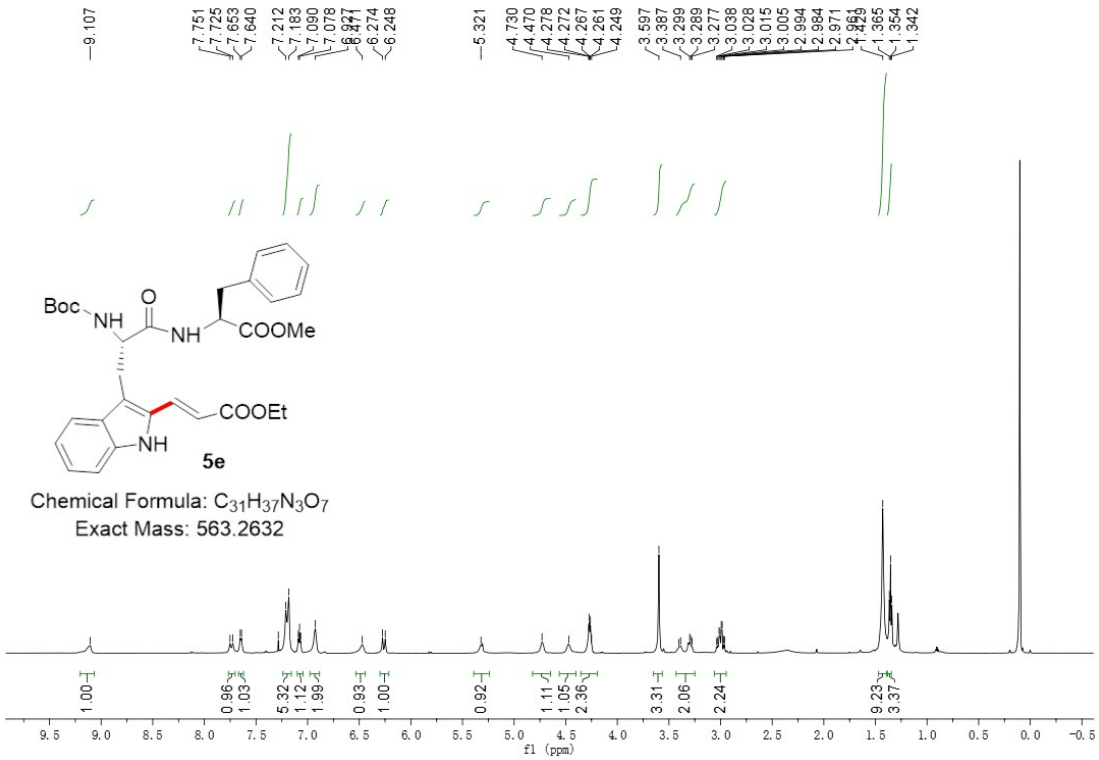
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **5c**



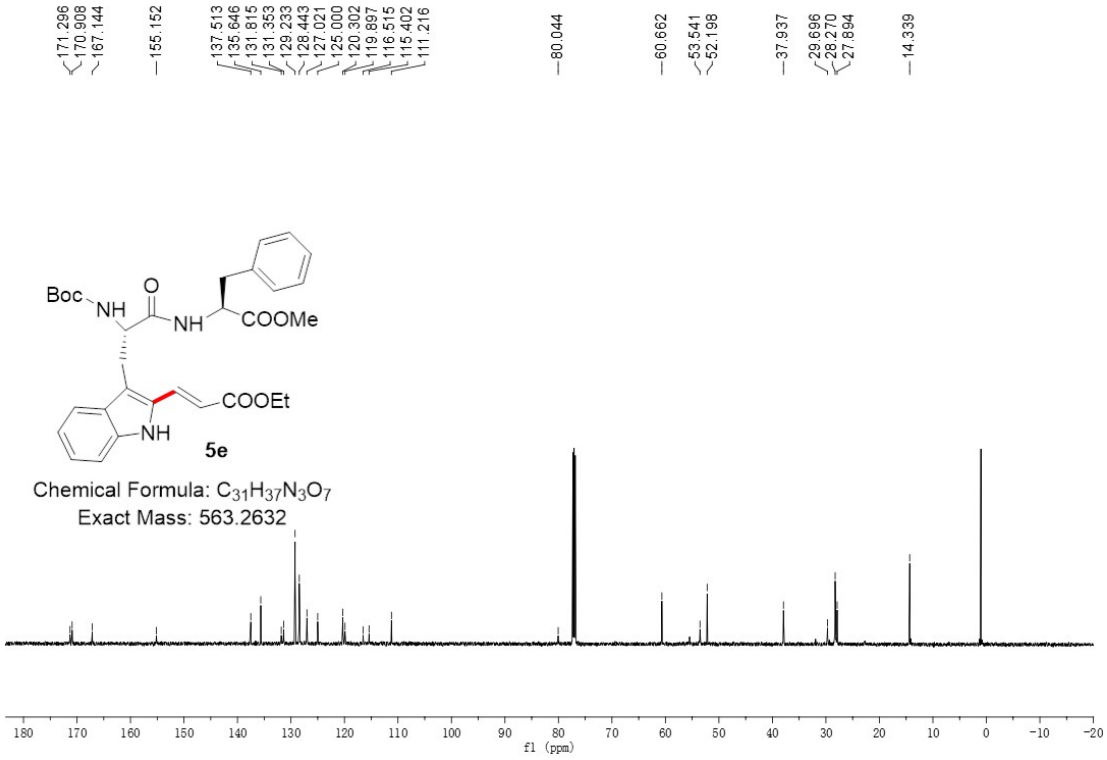
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **5d**



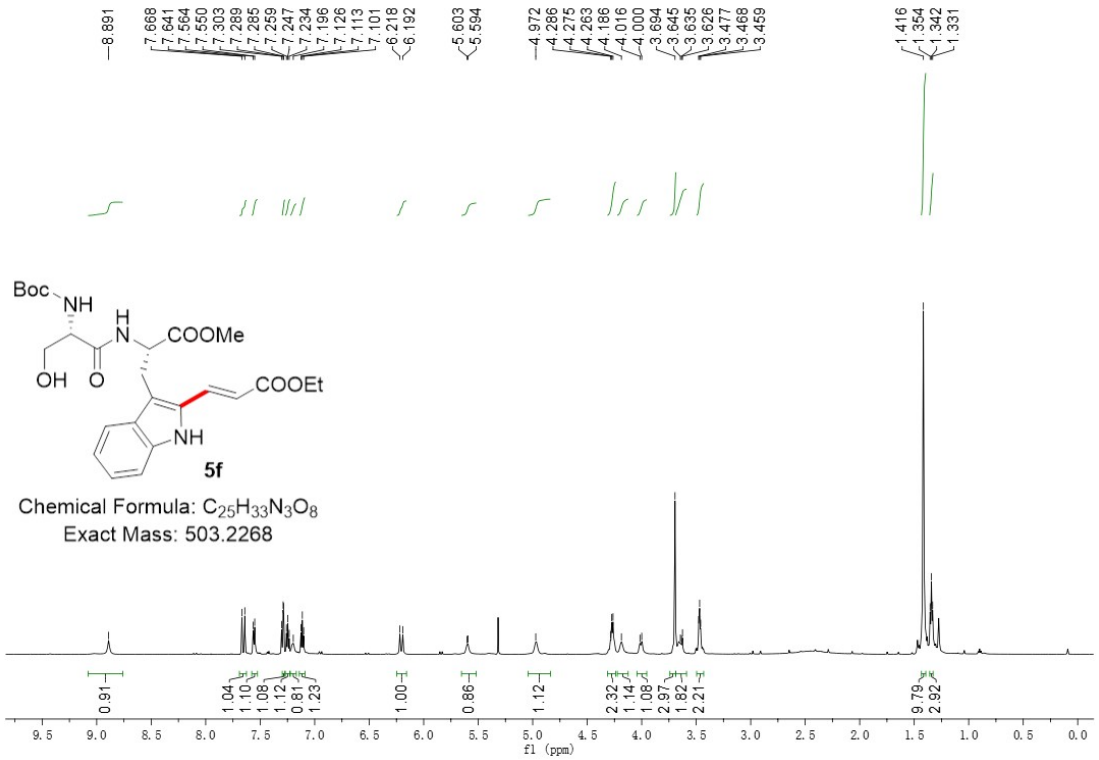
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **5d**



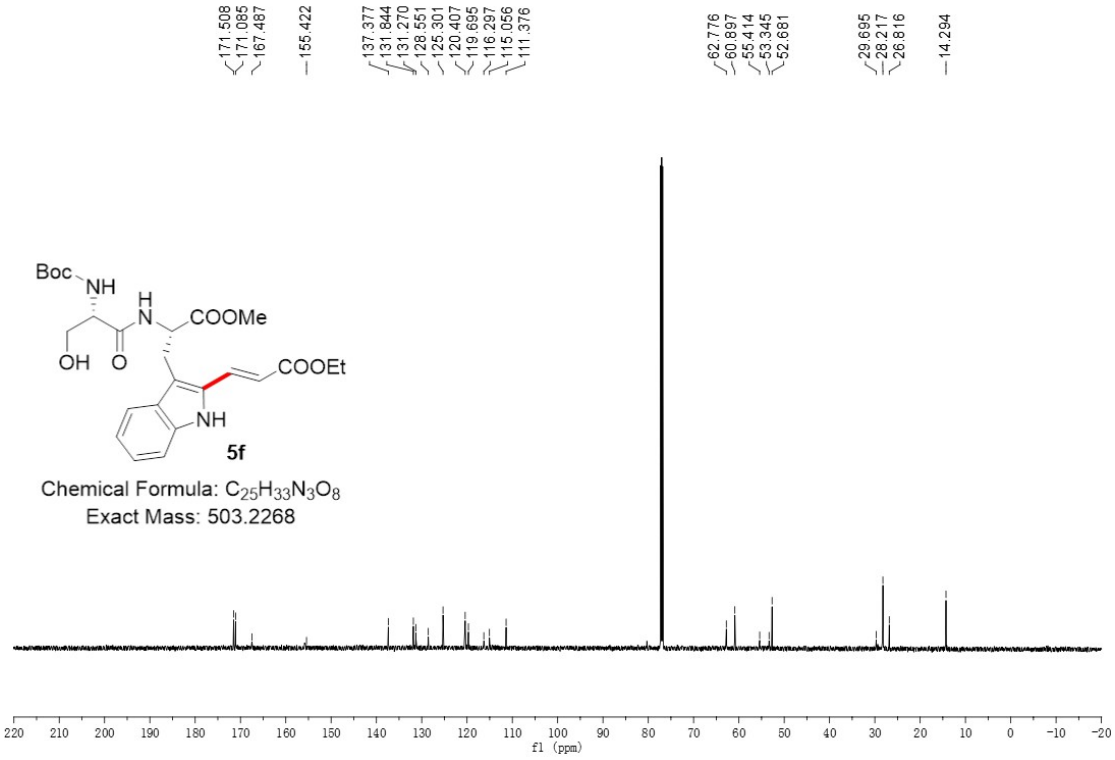
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **5e**



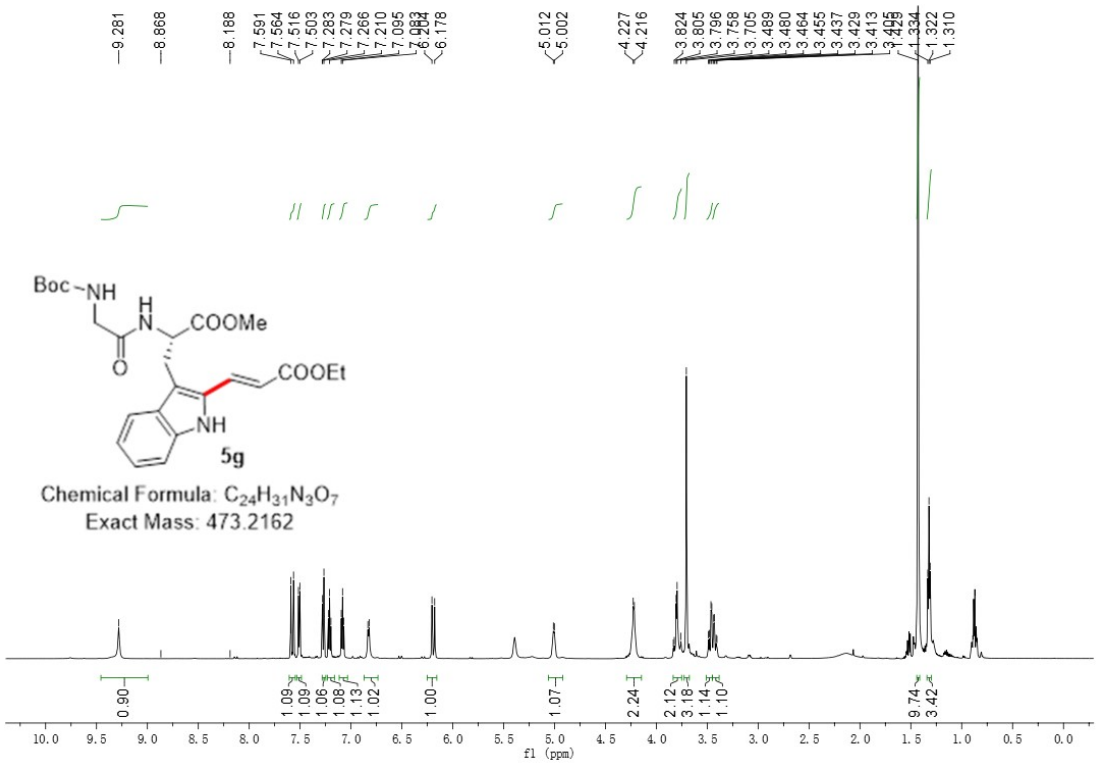
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **5e**



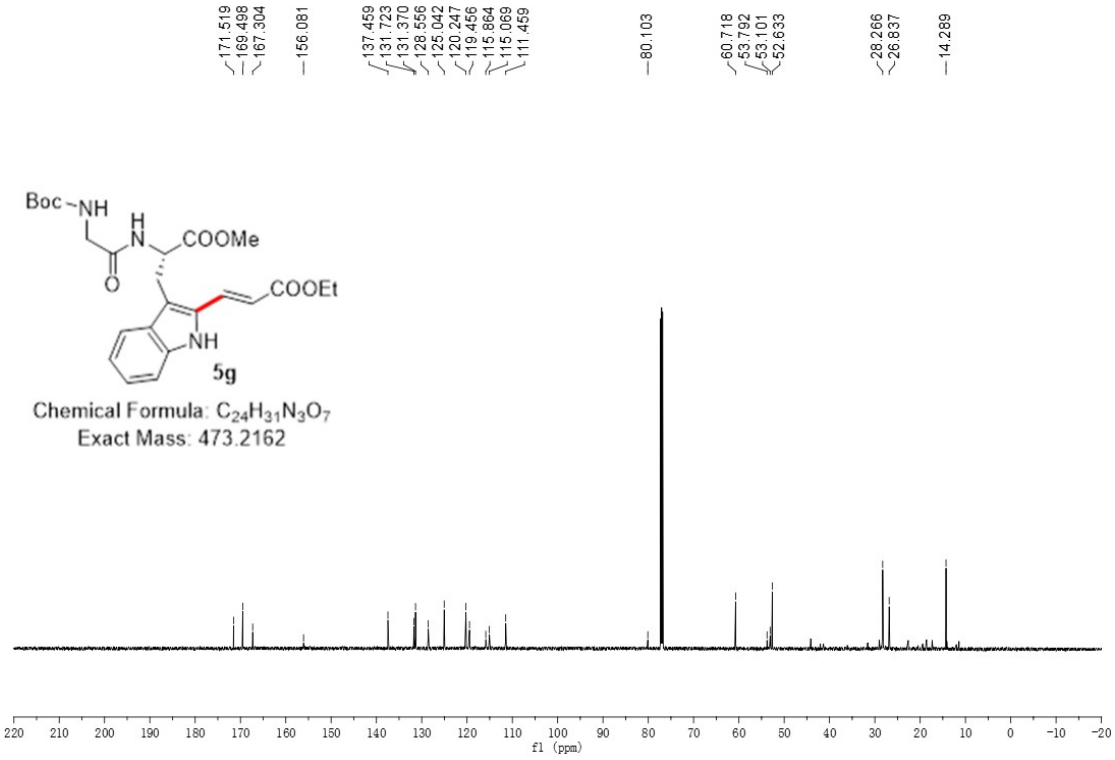
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **5f**



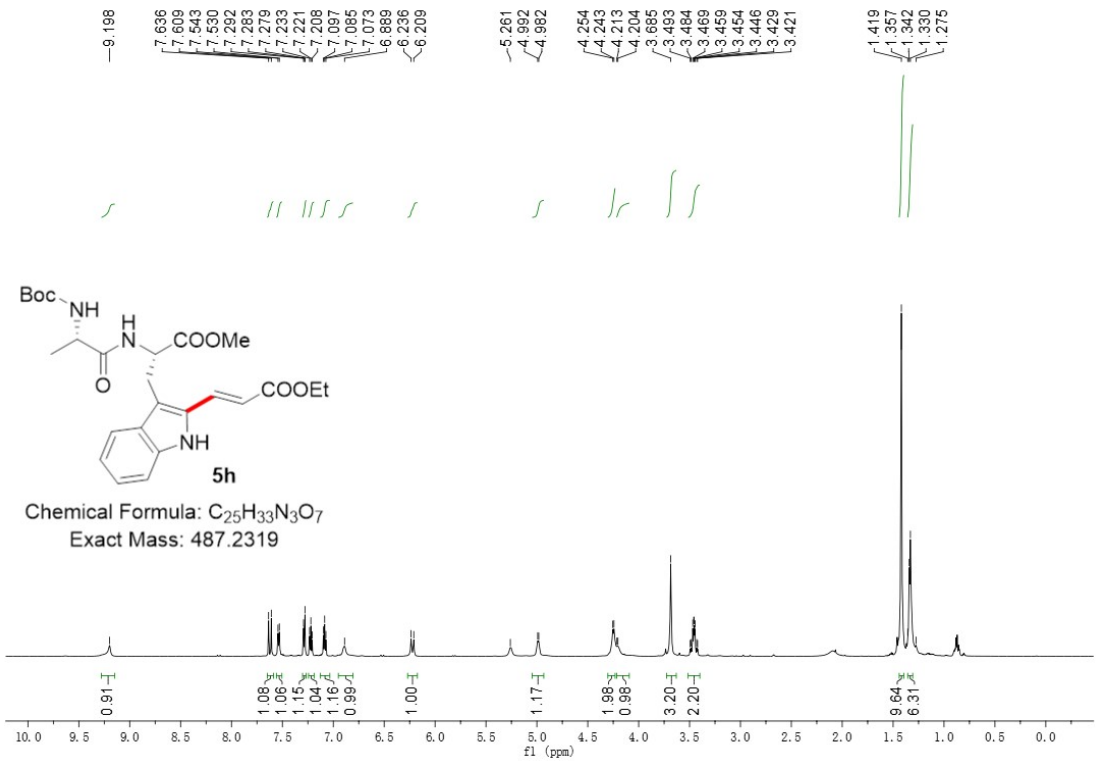
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **5f**



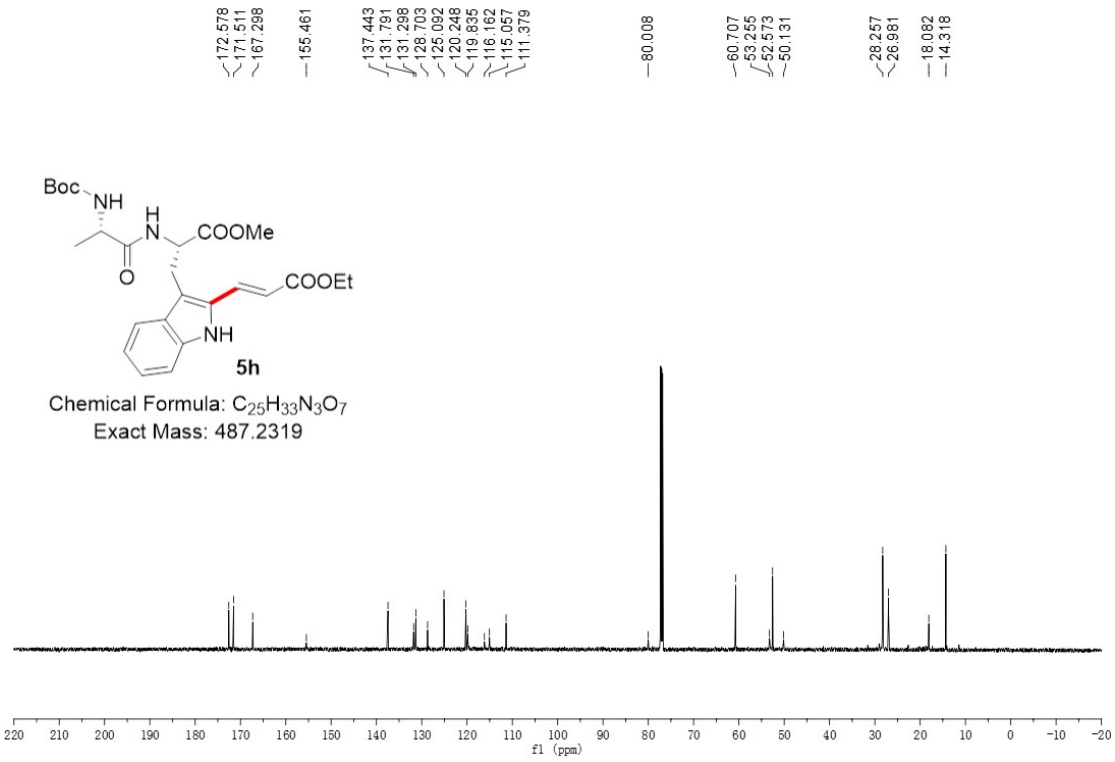
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **5g**



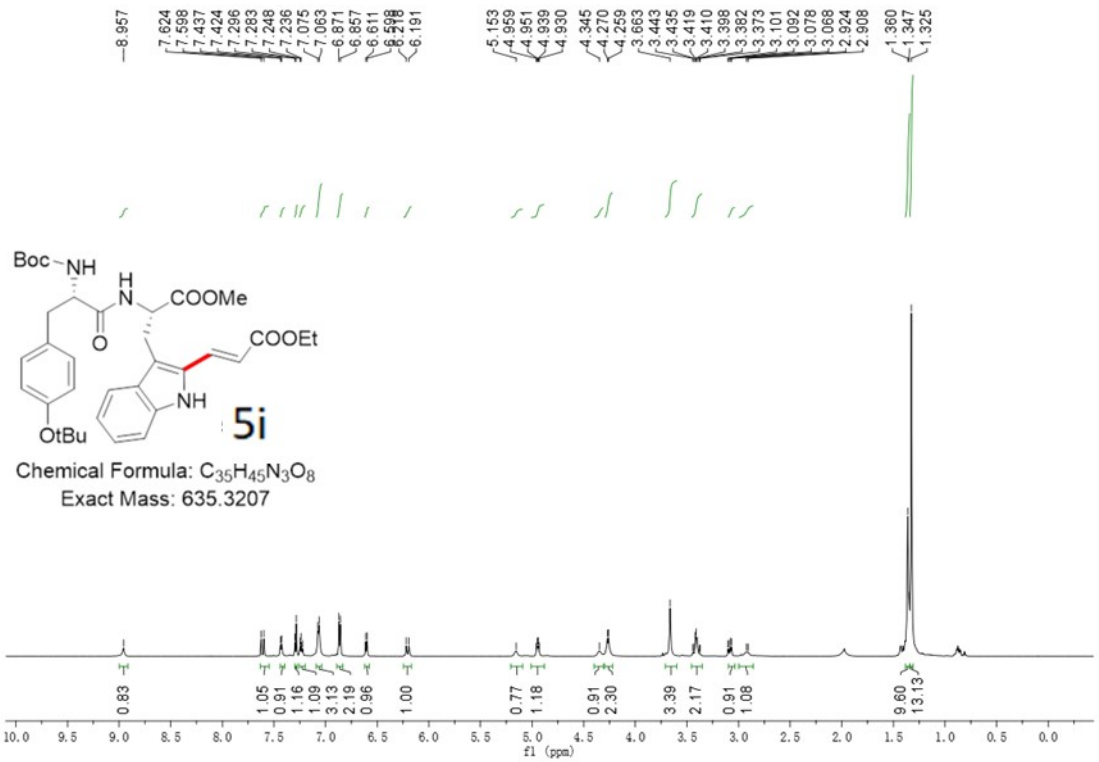
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **5g**



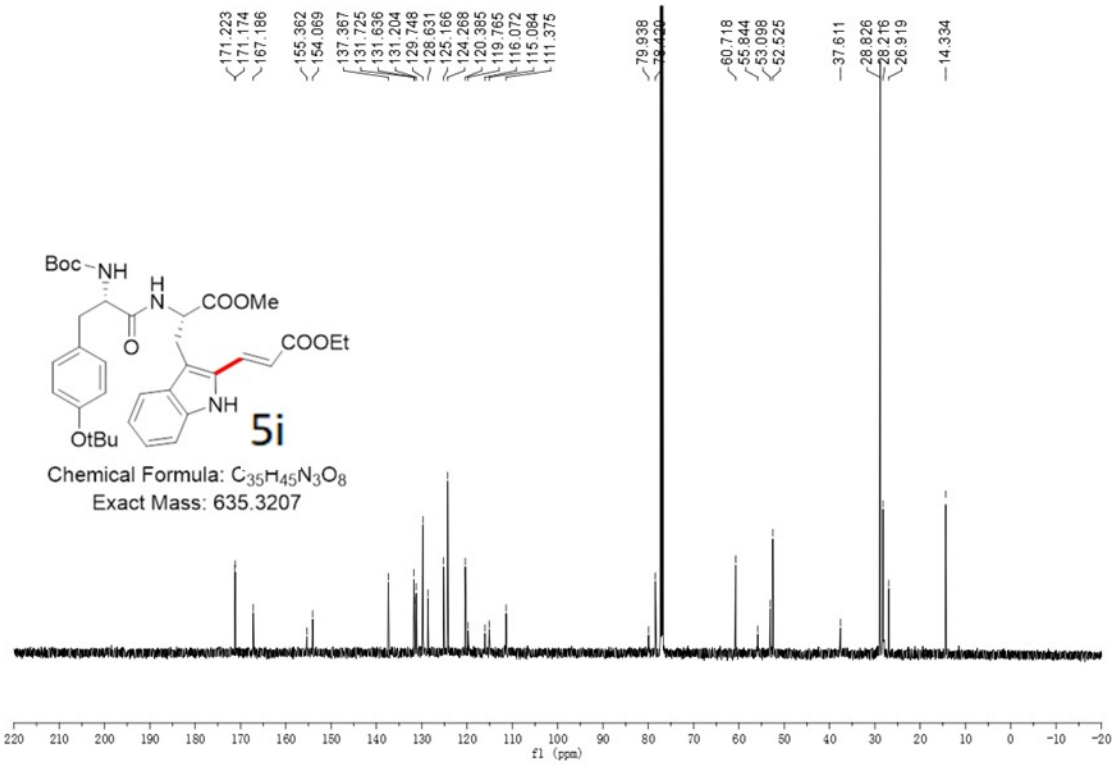
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **5h**



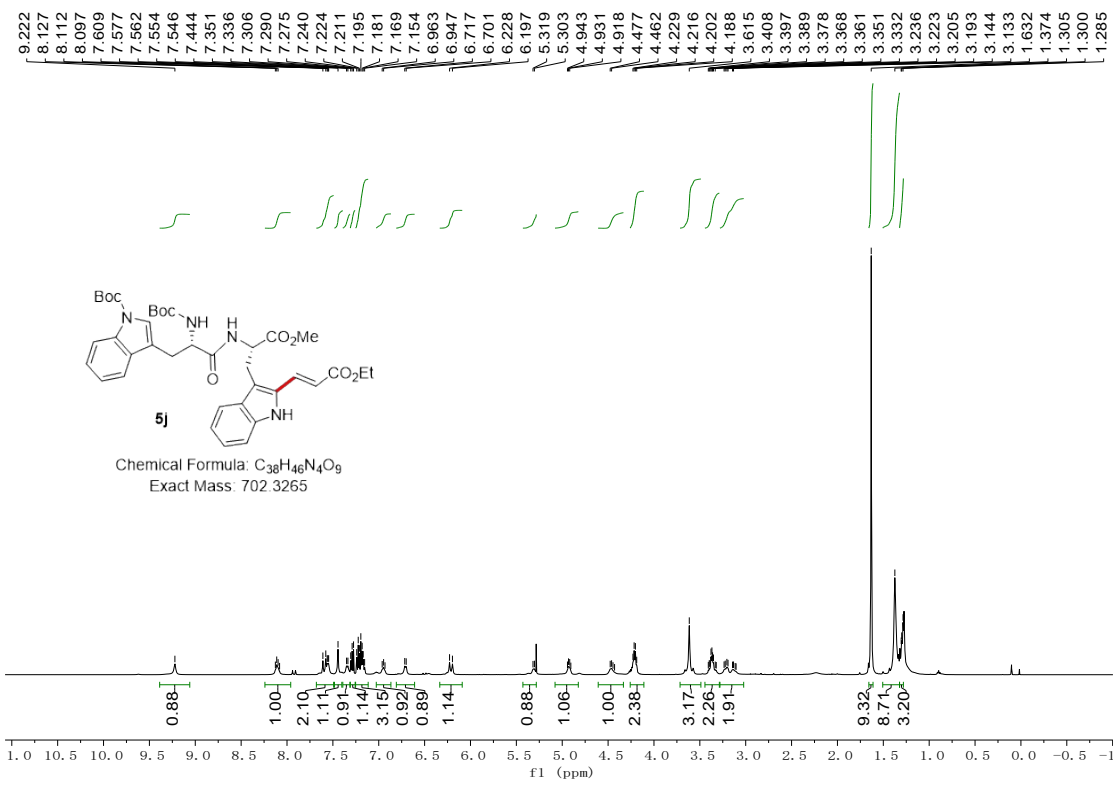
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **5h**



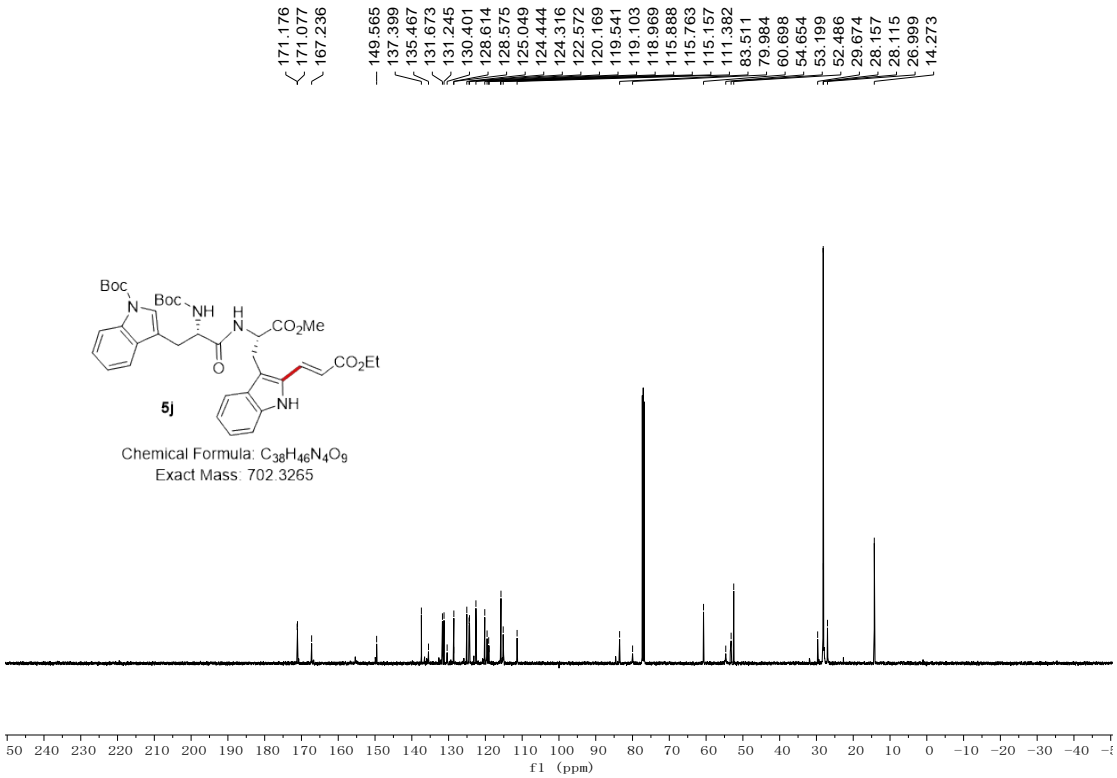
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **5i**



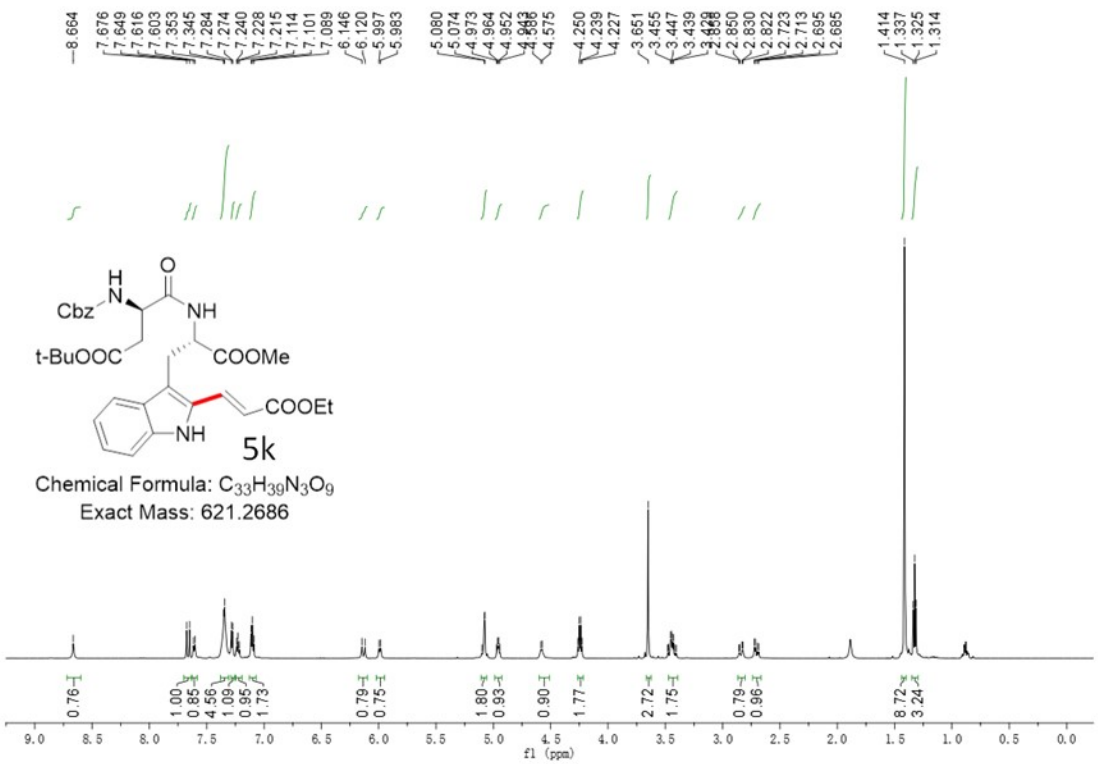
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **5i**



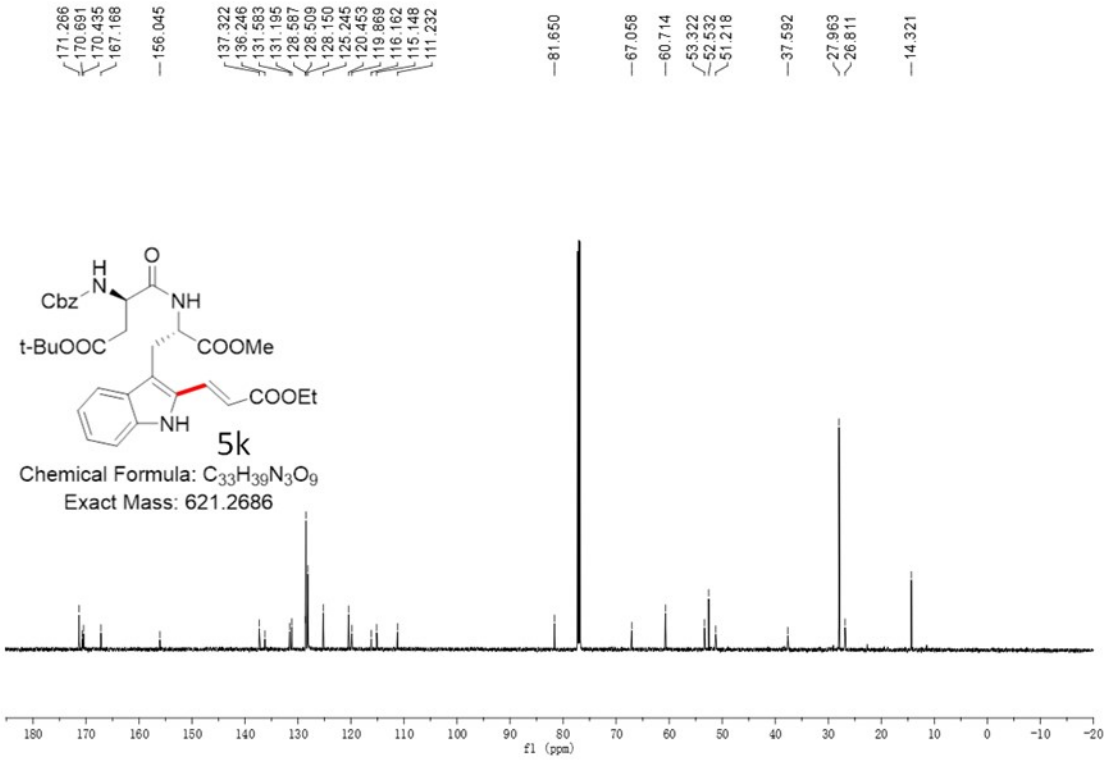
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of **5j**



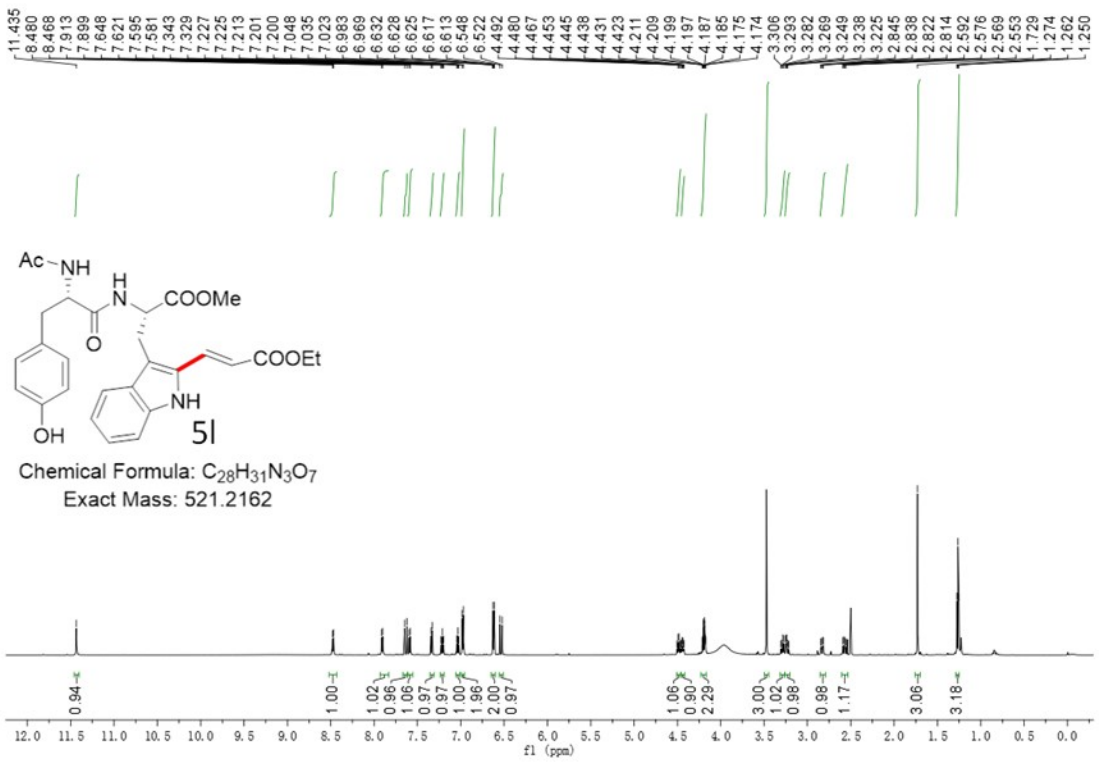
^{1}C NMR (126 MHz, $CDCl_3$) spectrum of **5j**



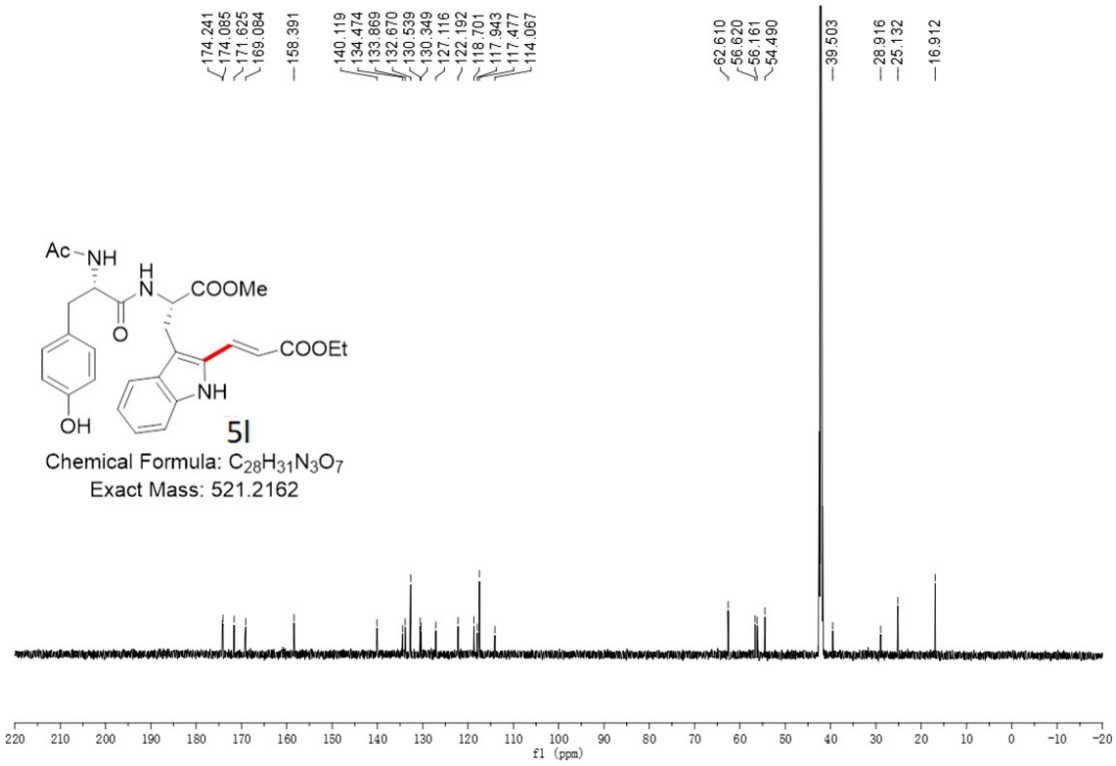
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **5k**



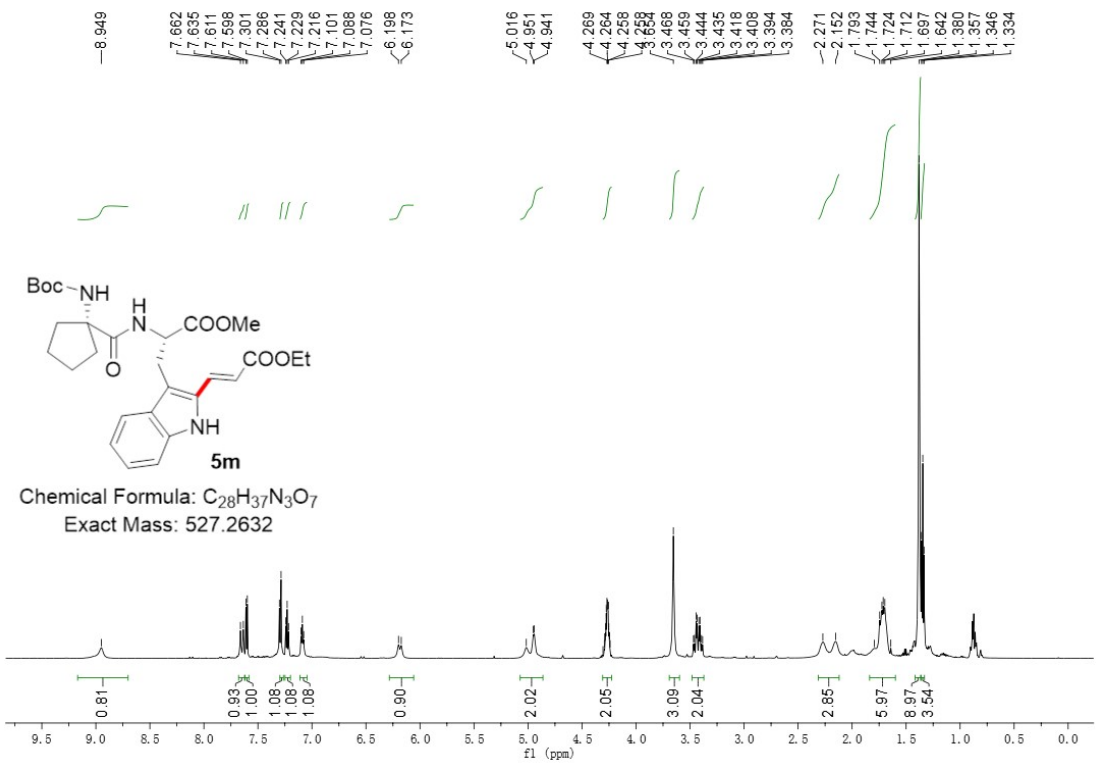
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **5k**



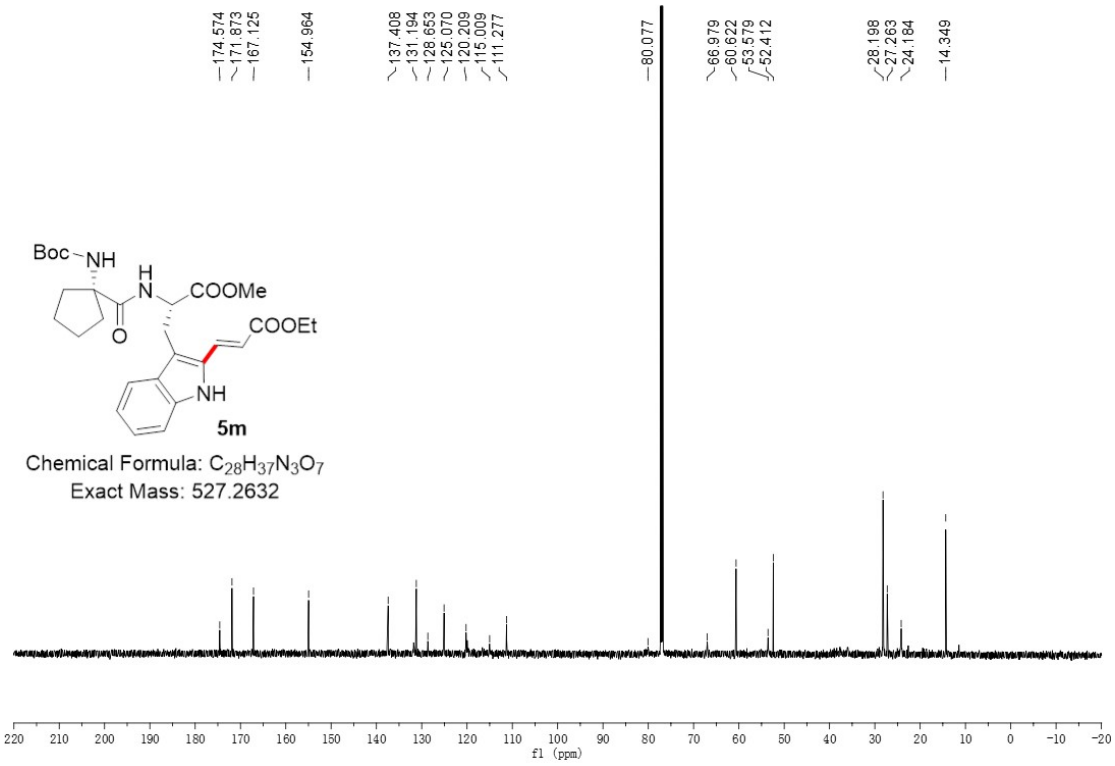
[1]H NMR (600 MHz, DMSO) spectrum of **5l**



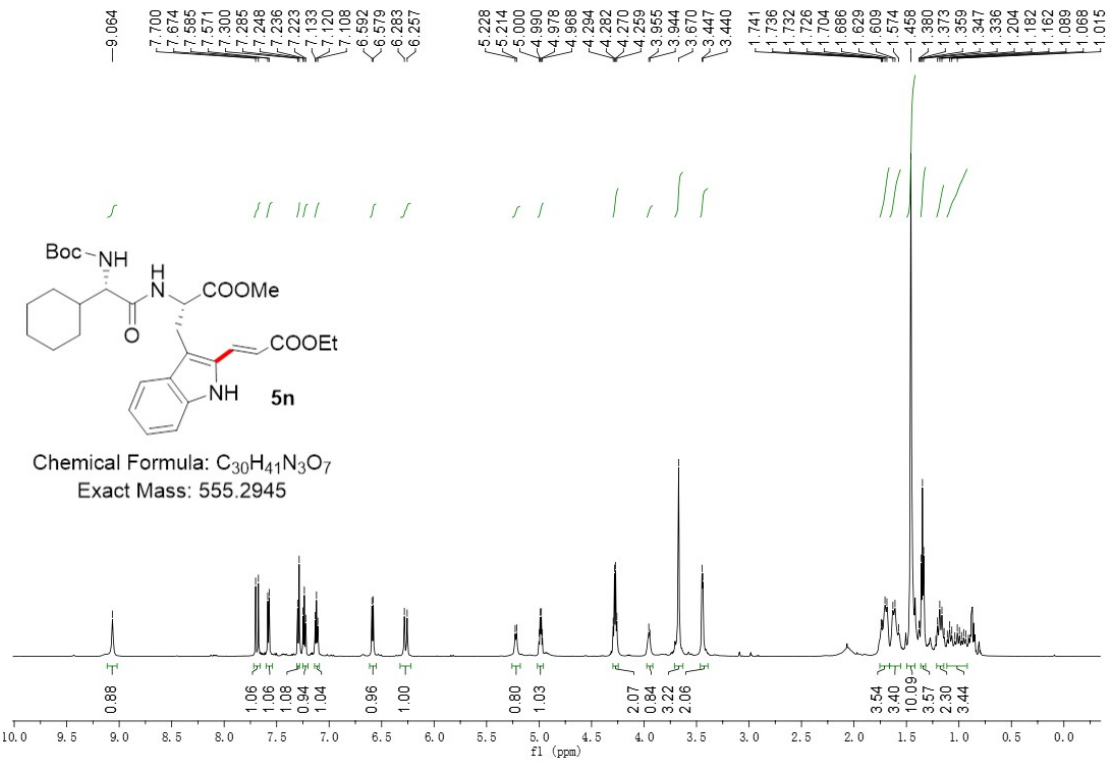
[1]C NMR (151 MHz, DMSO) spectrum of **5l**



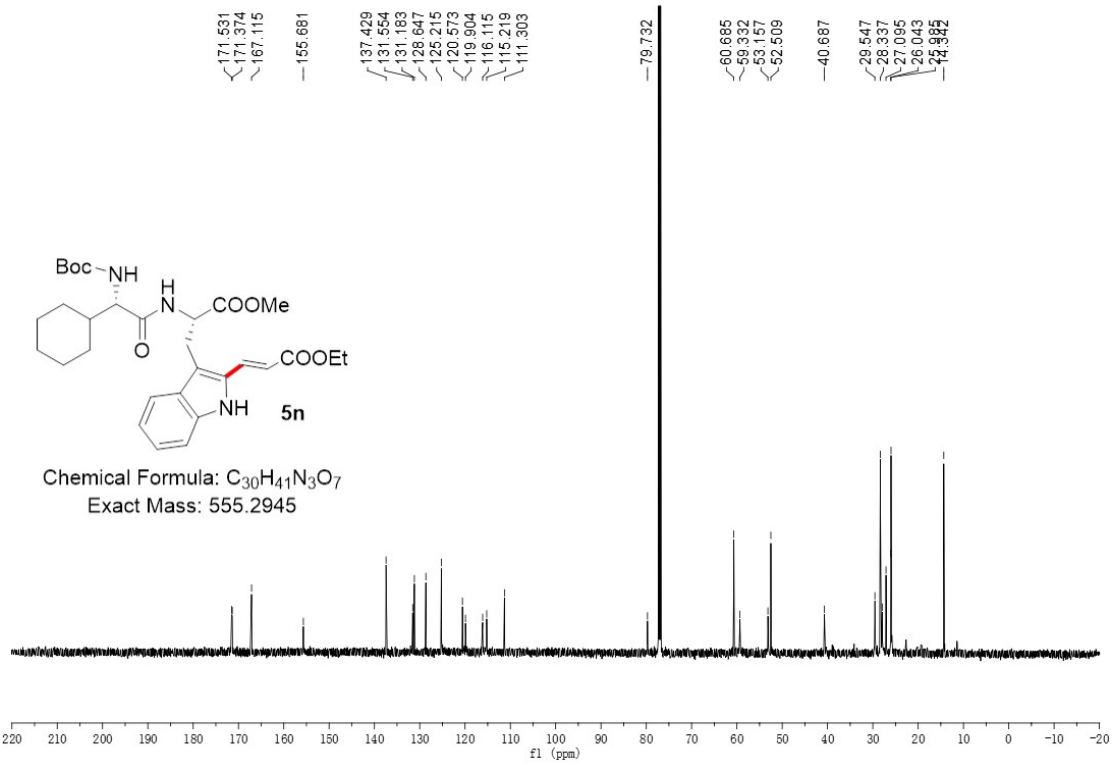
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **5m**



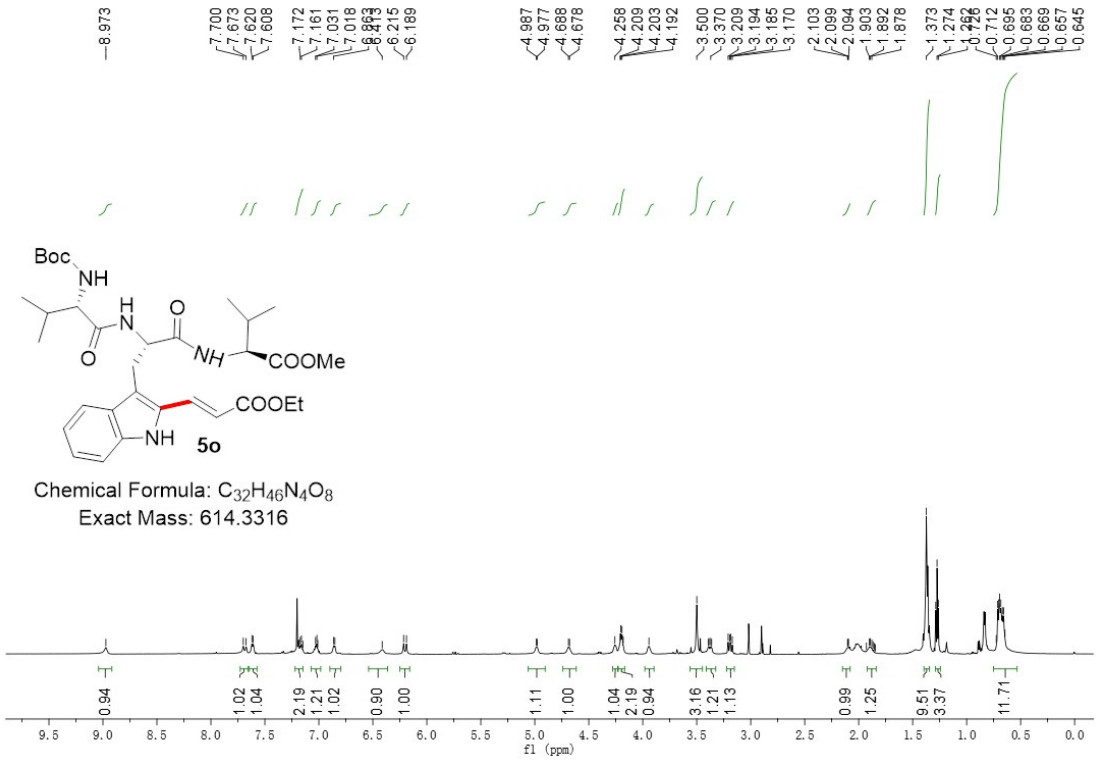
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **5m**



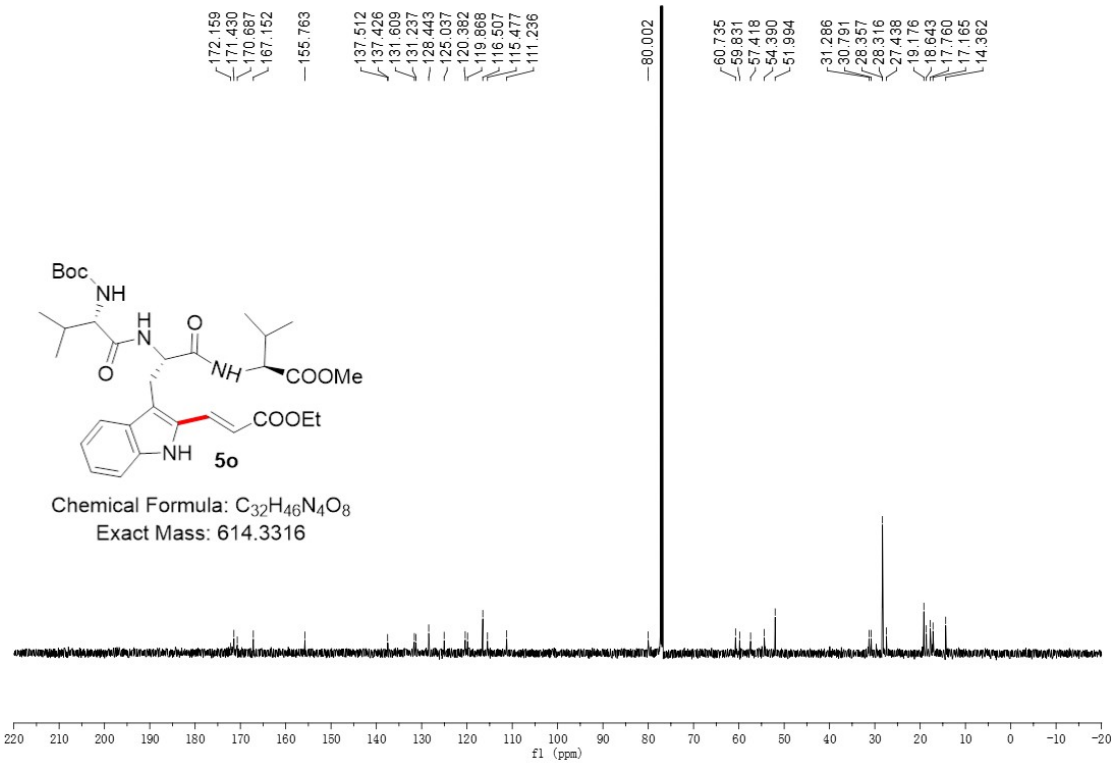
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **5n**



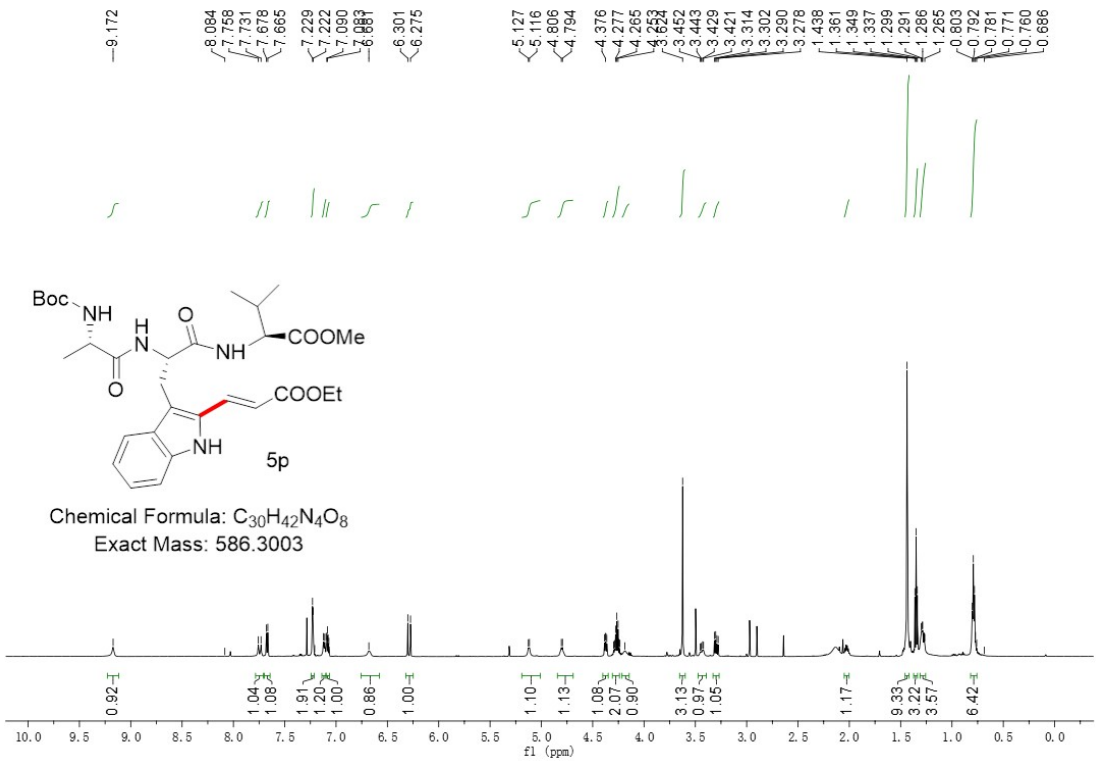
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **5n**



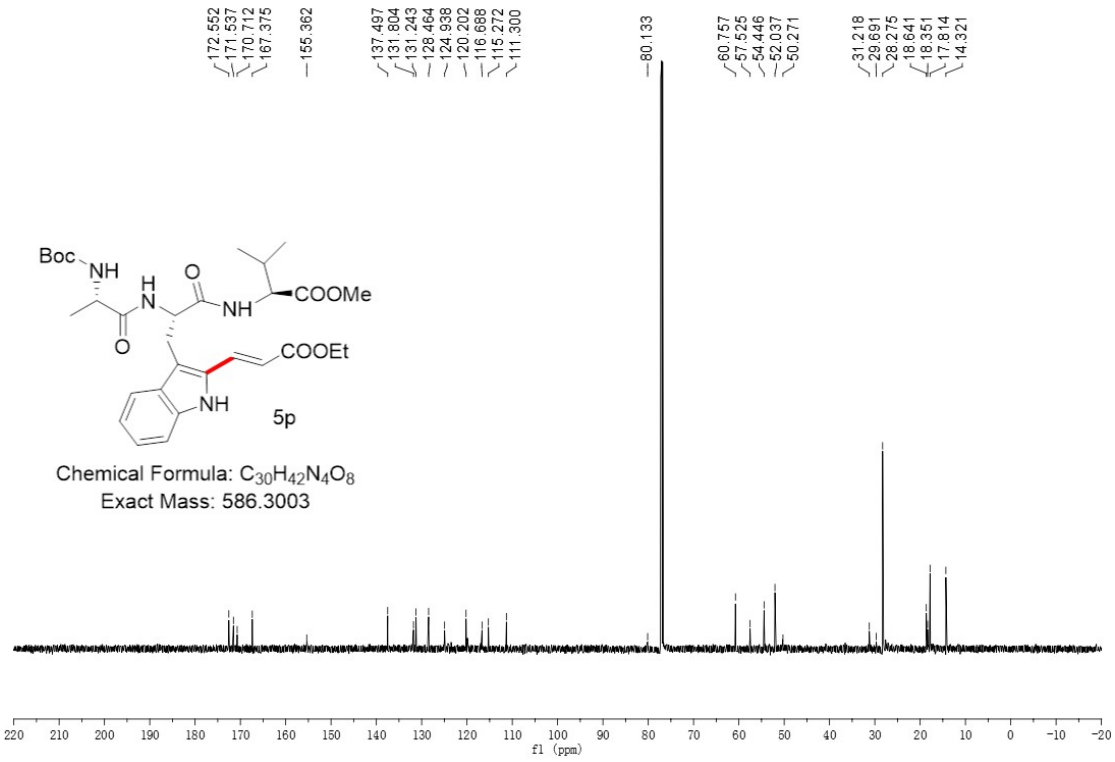
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **5o**



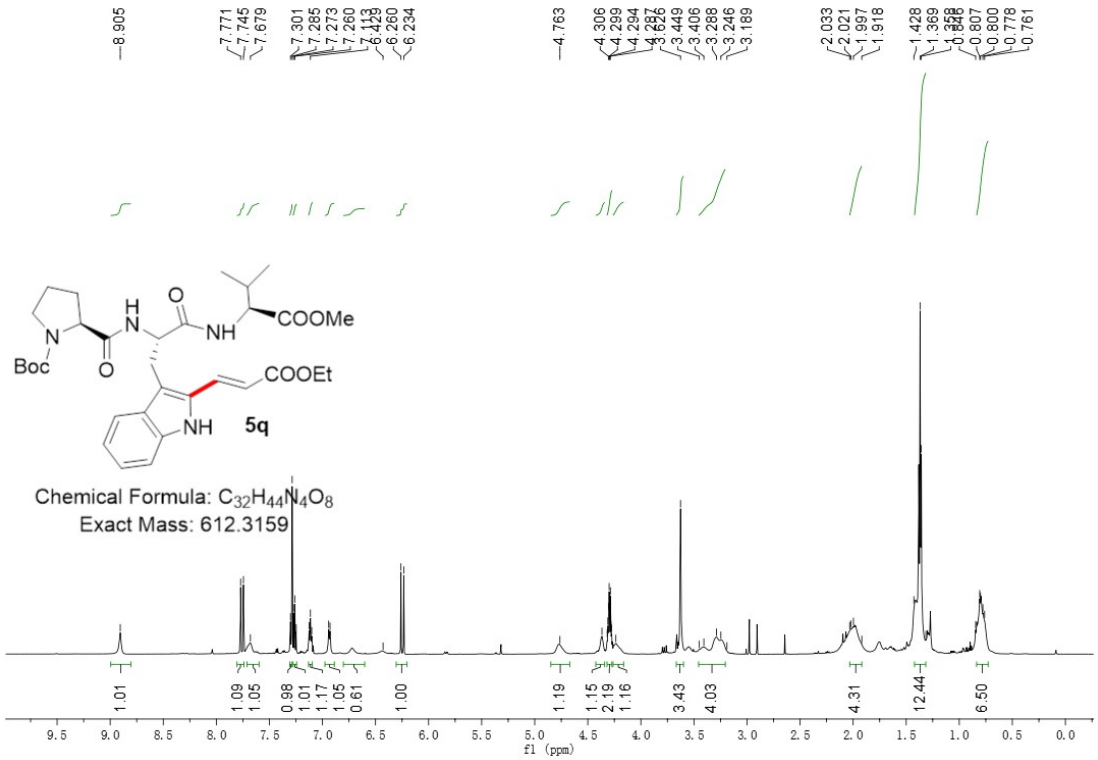
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **5o**



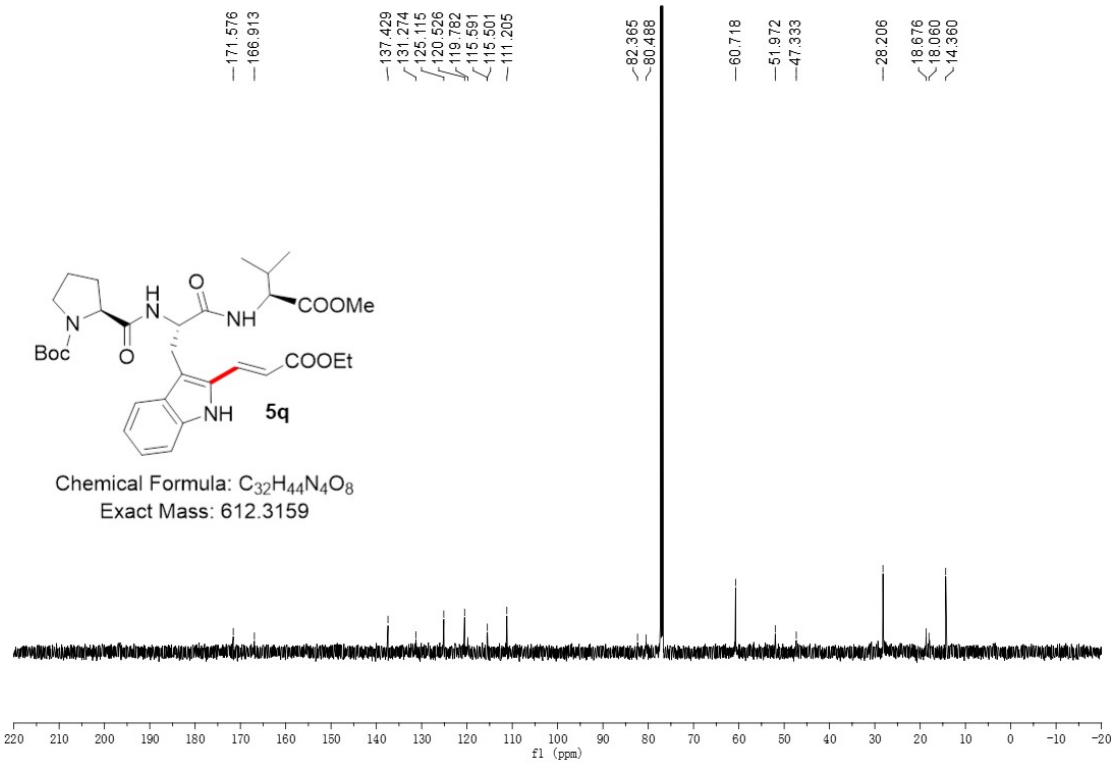
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **5p**



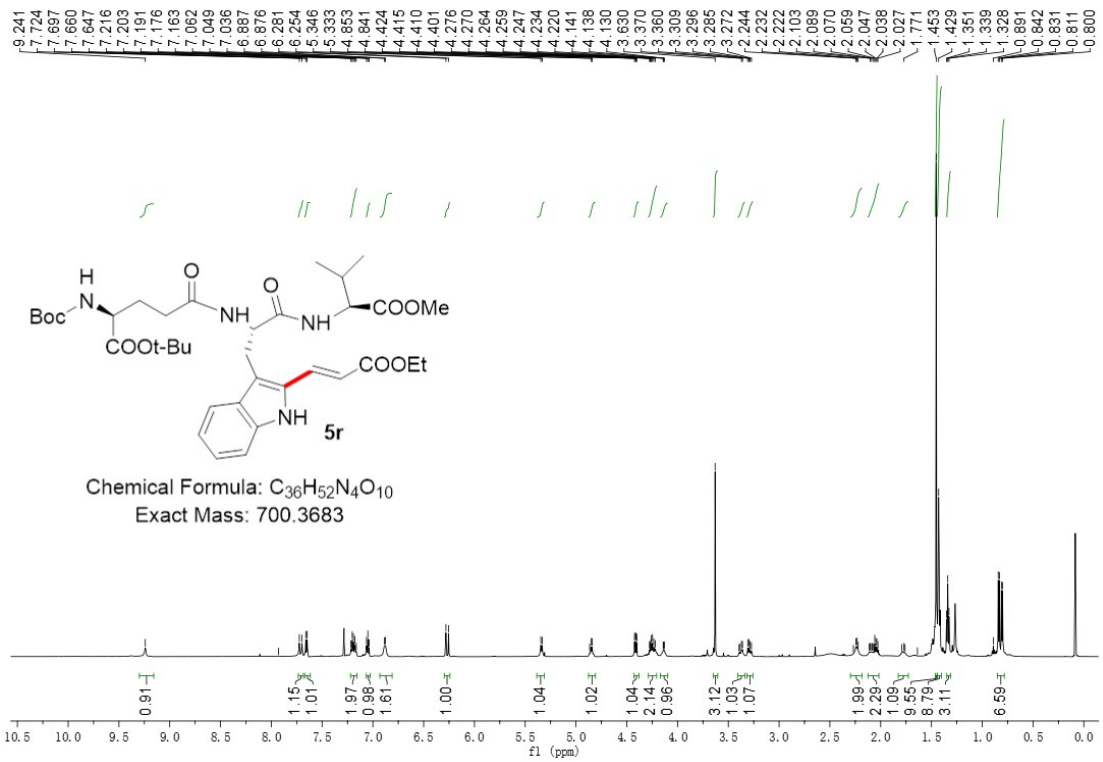
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **5p**



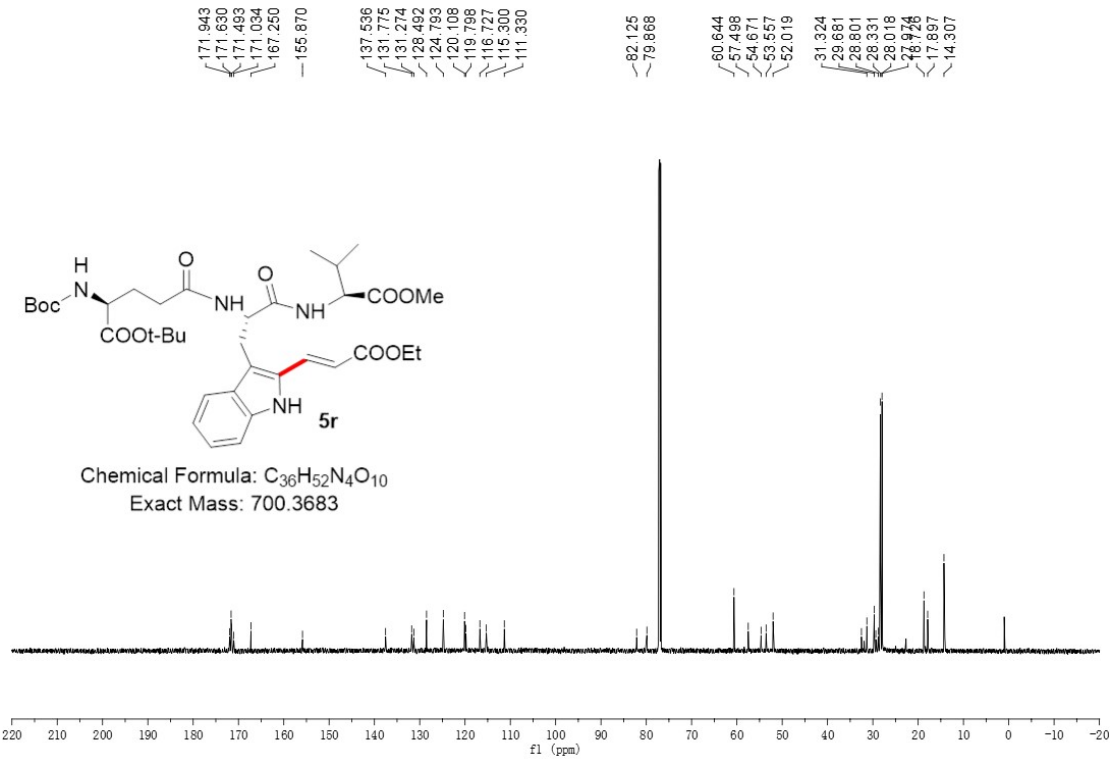
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **5q**



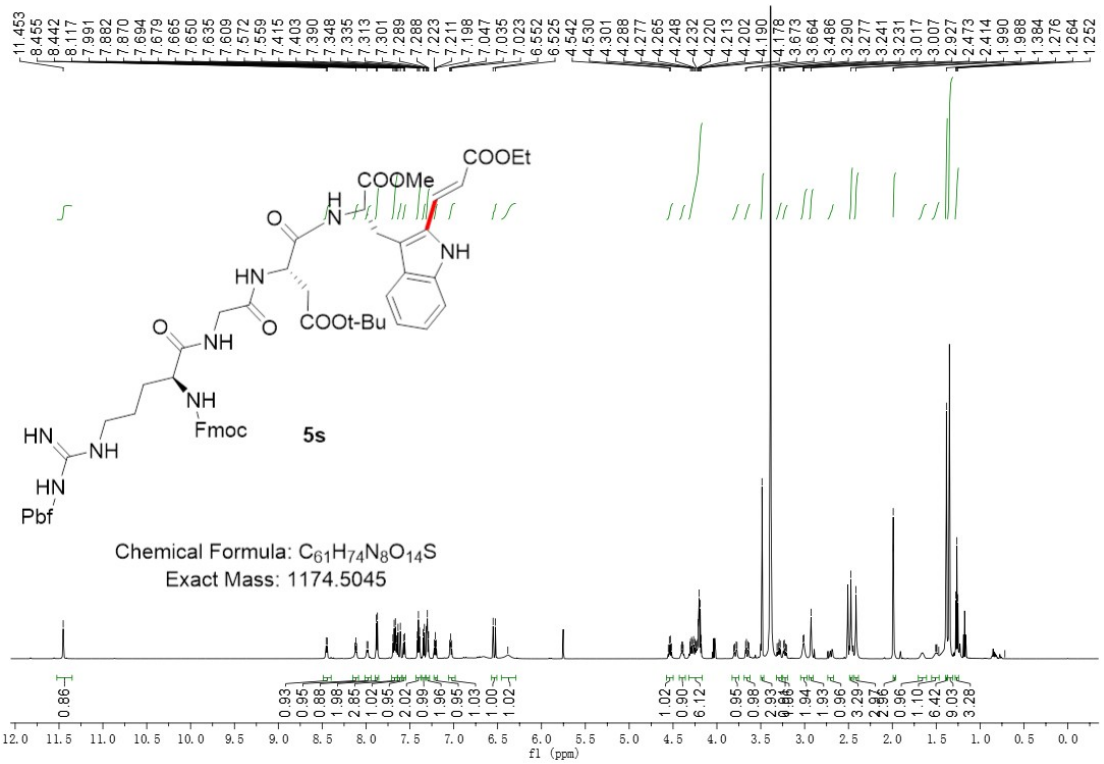
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **5q**



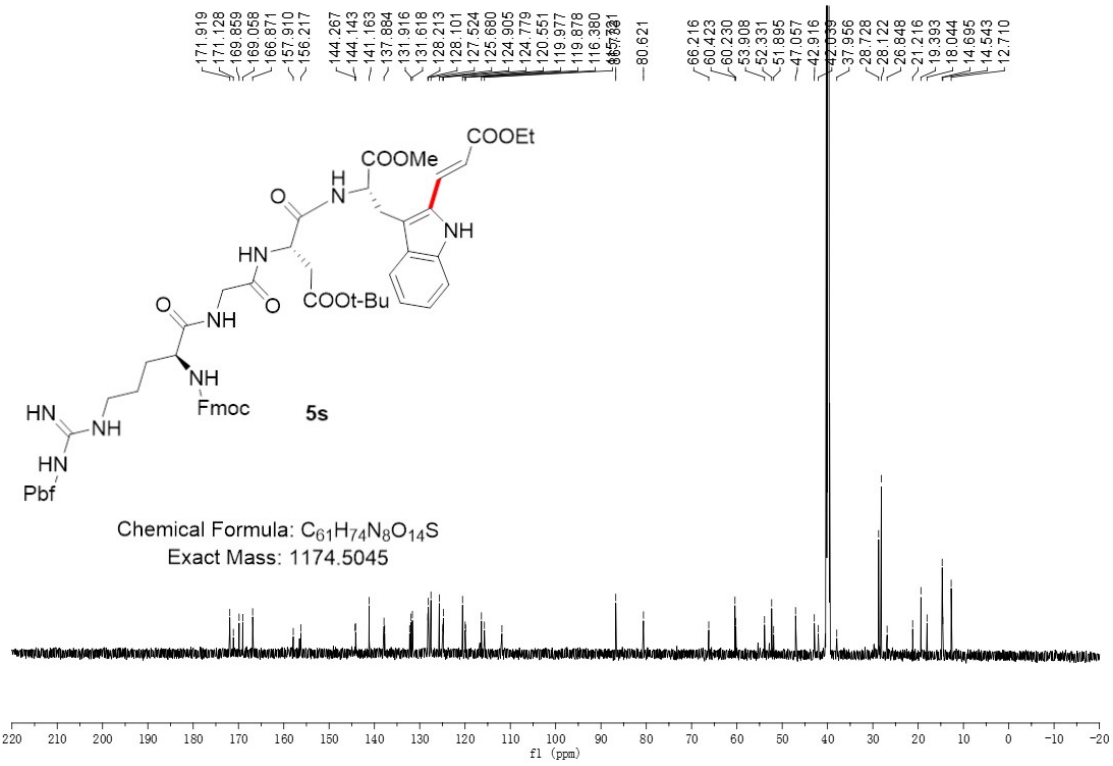
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **5r**



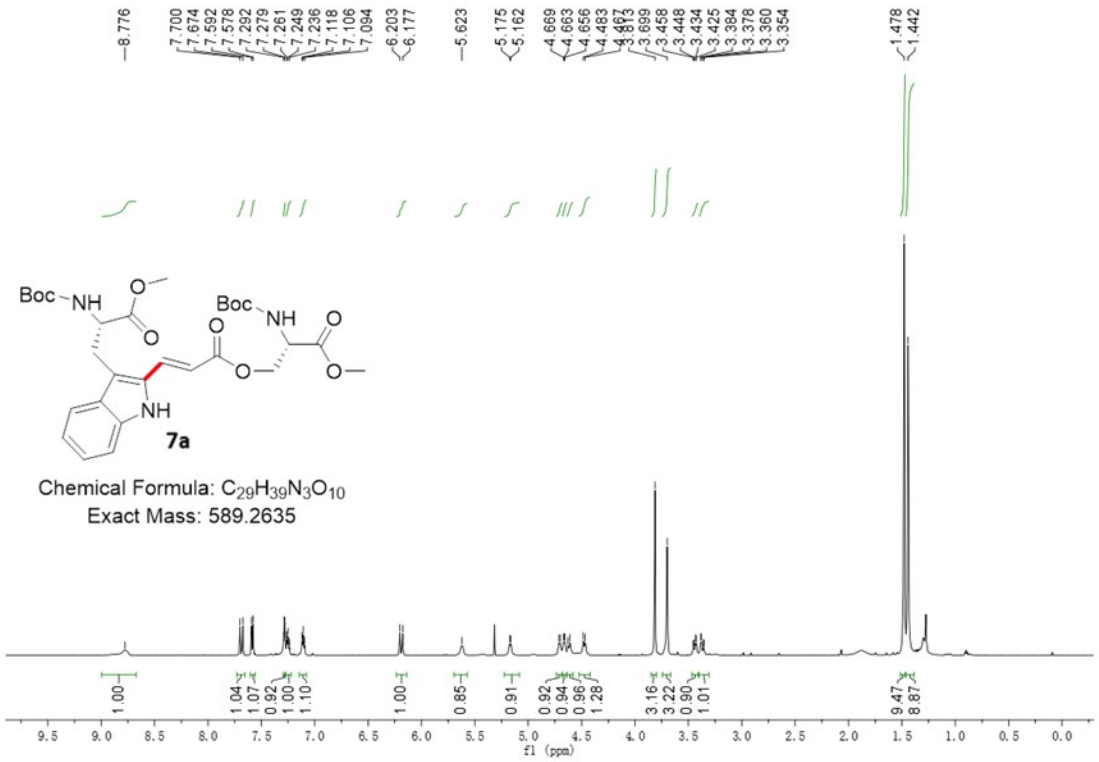
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **5r**



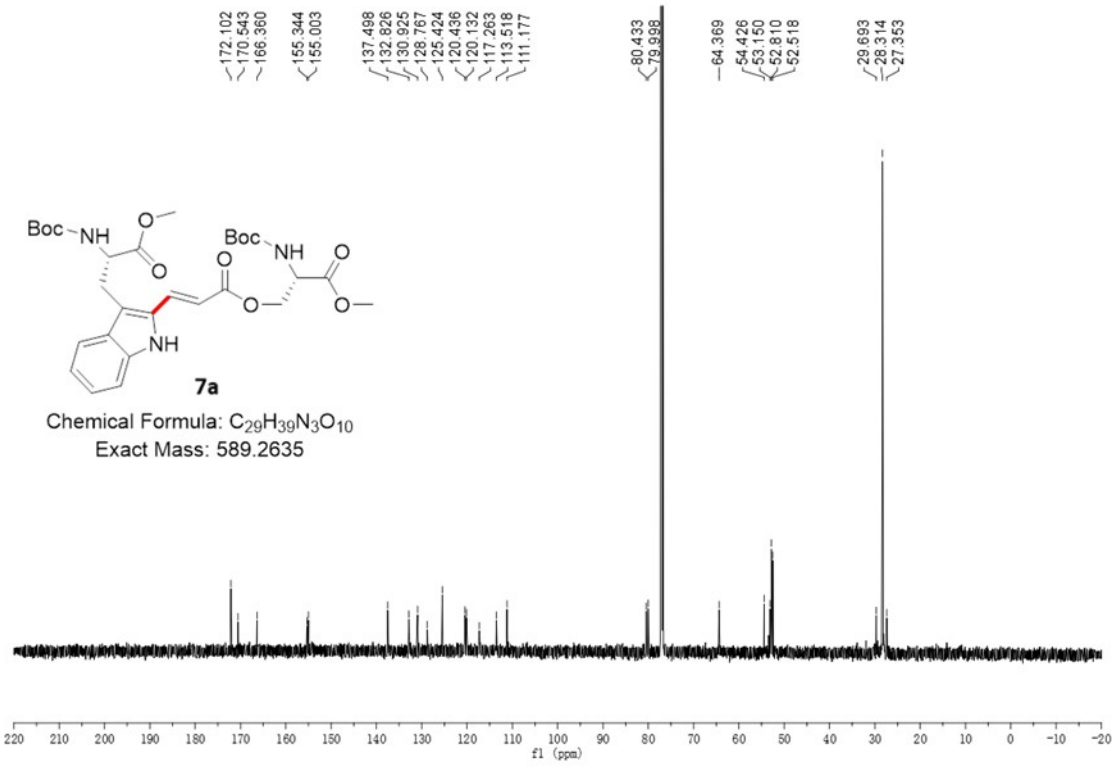
^{1}H NMR (600 MHz, DMSO) spectrum of **5s**



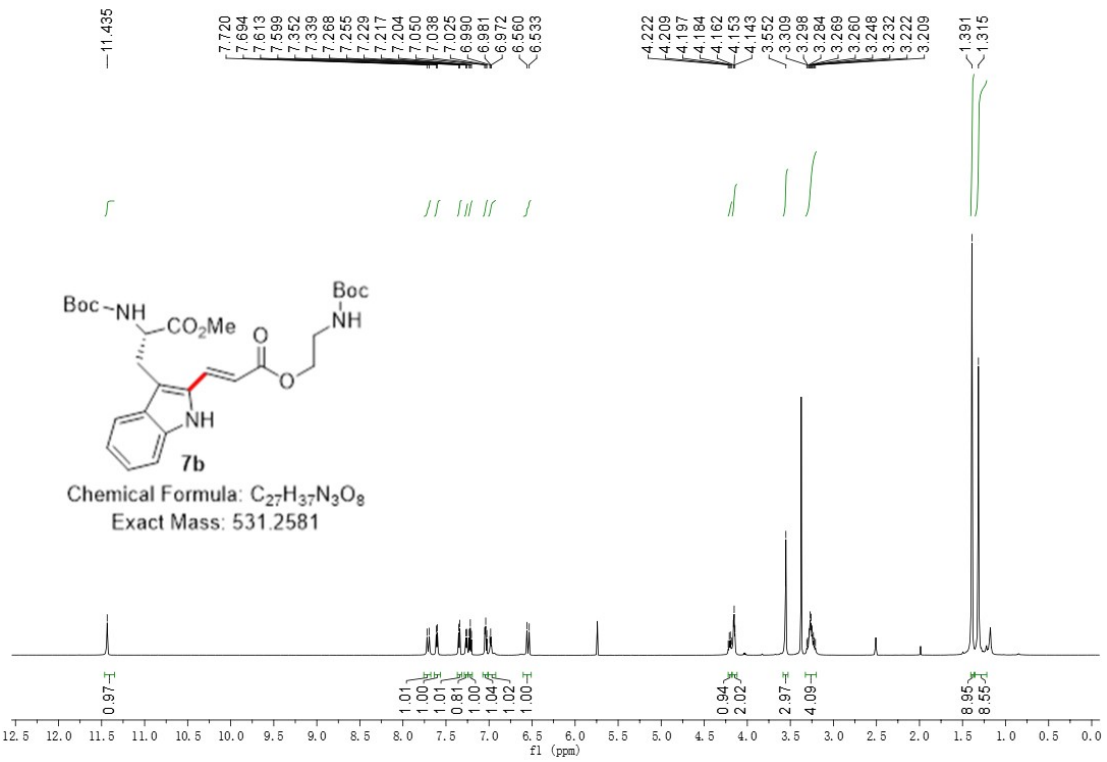
^{1}C NMR (151 MHz, DMSO) spectrum of **5s**



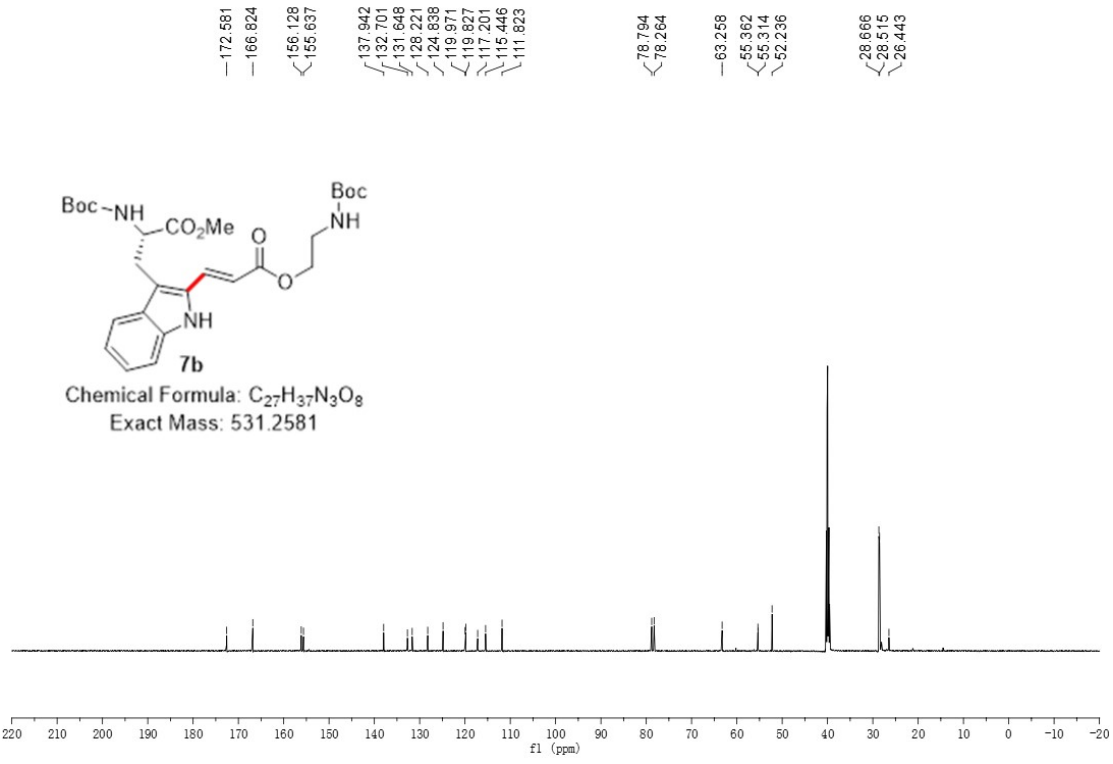
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **7a**



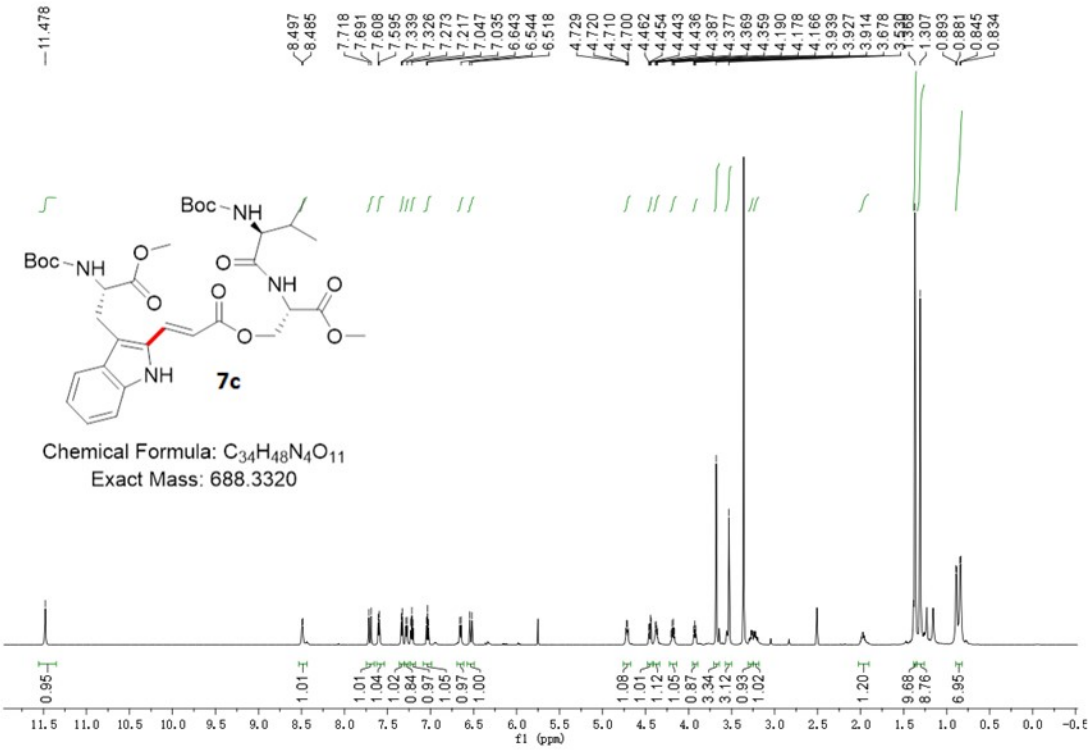
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **7a**



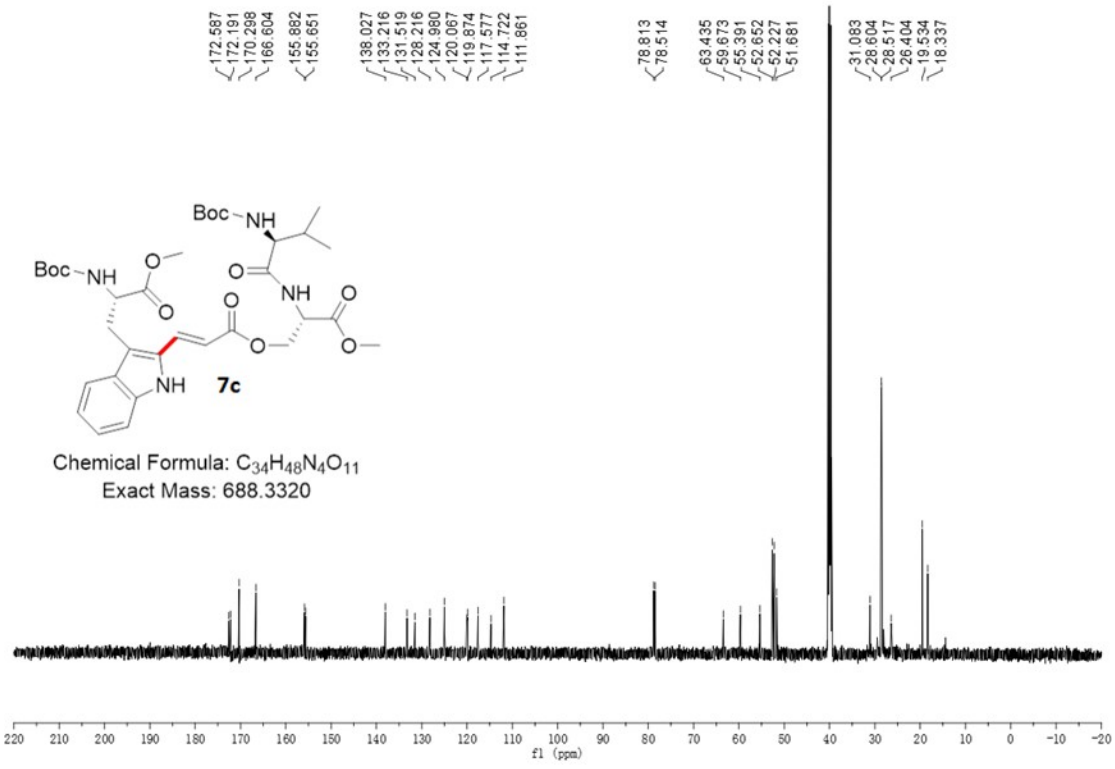
^{1}H NMR (600 MHz, $CDCl_3$) spectrum of **7b**



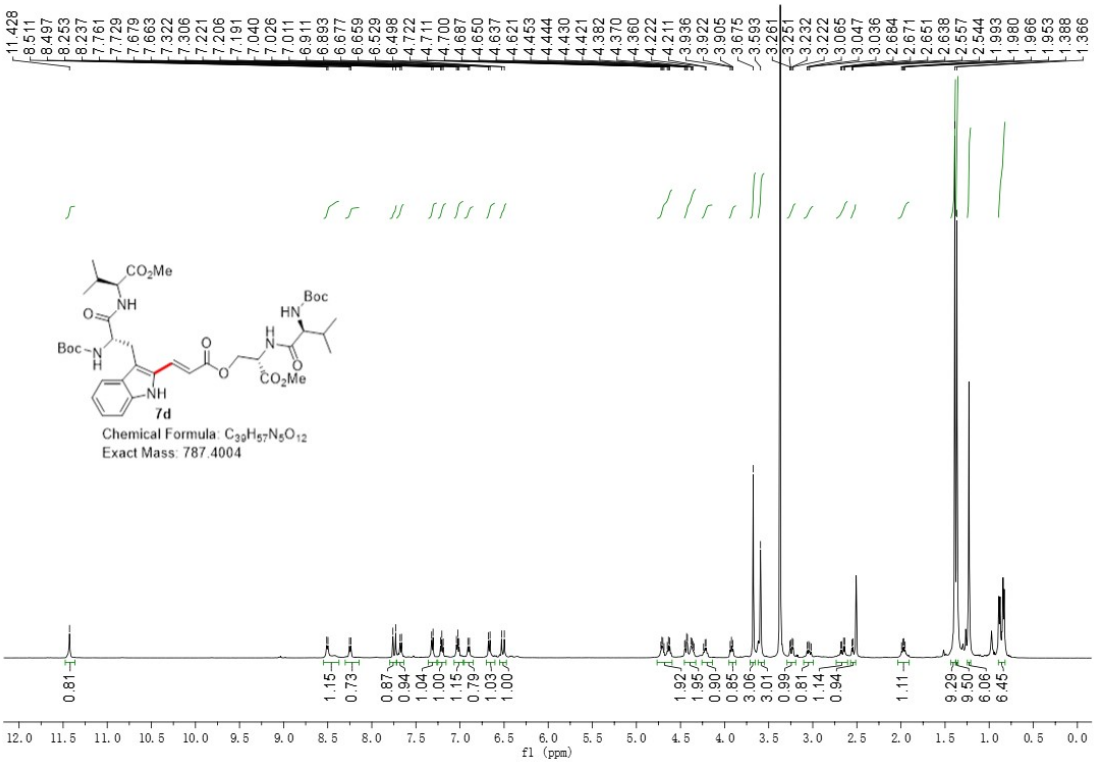
^{1}C NMR (151 MHz, $CDCl_3$) spectrum of **7b**



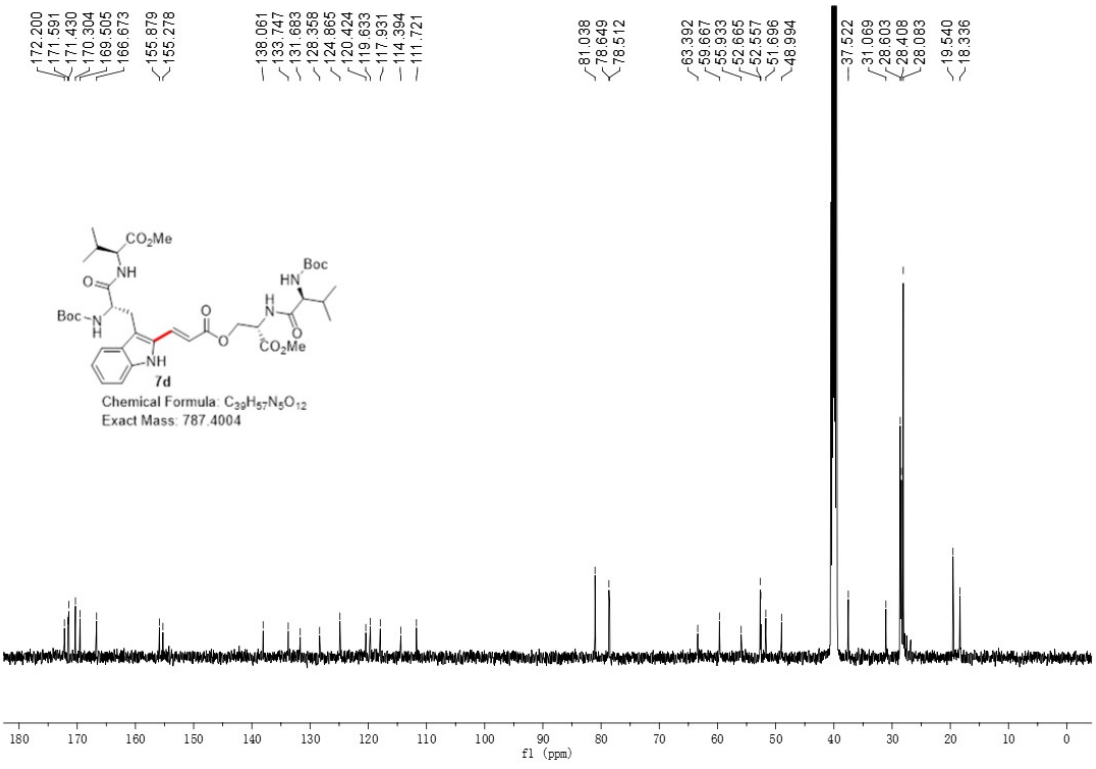
^{1}H NMR (600 MHz, DMSO) spectrum of **7c**



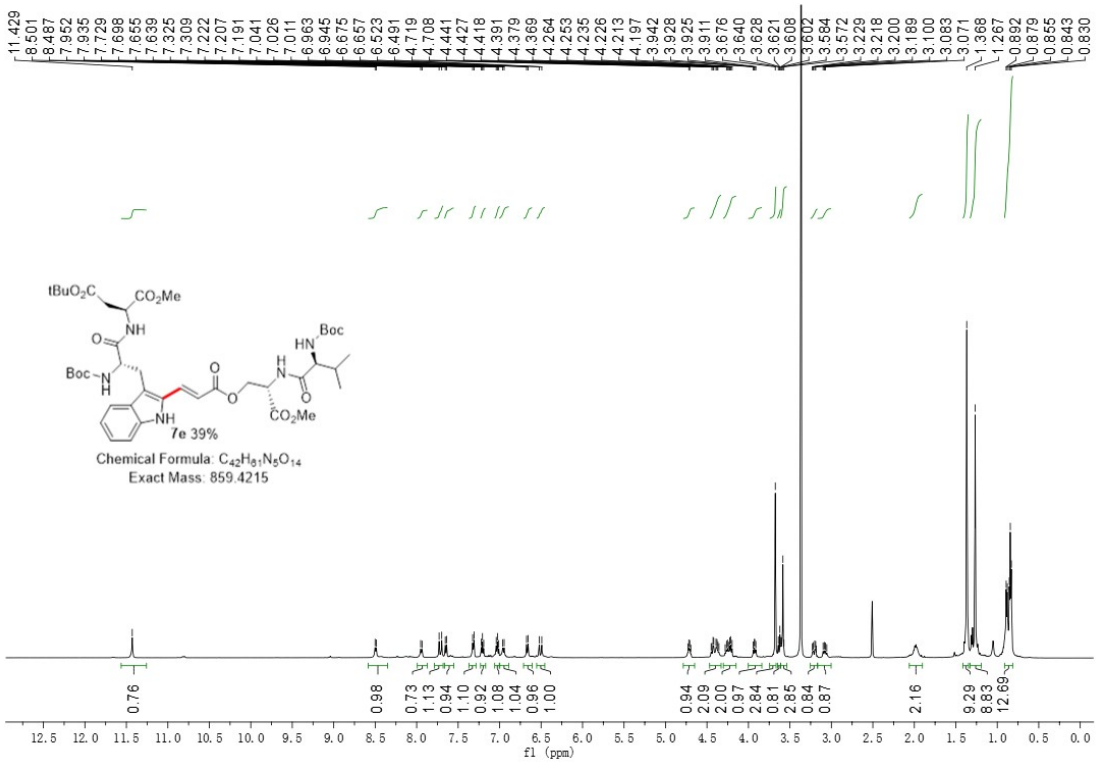
^{1}C NMR (151 MHz, DMSO) spectrum of **7c**



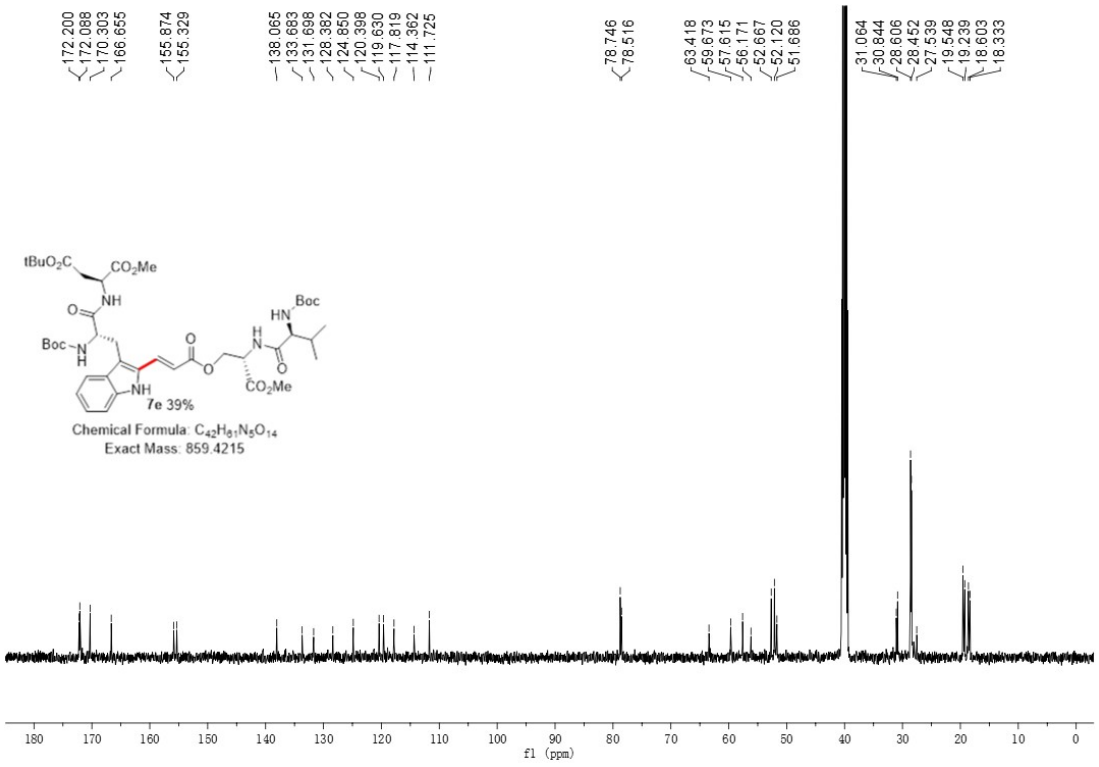
^{1}H NMR (600 MHz, DMSO) spectrum of **7d**



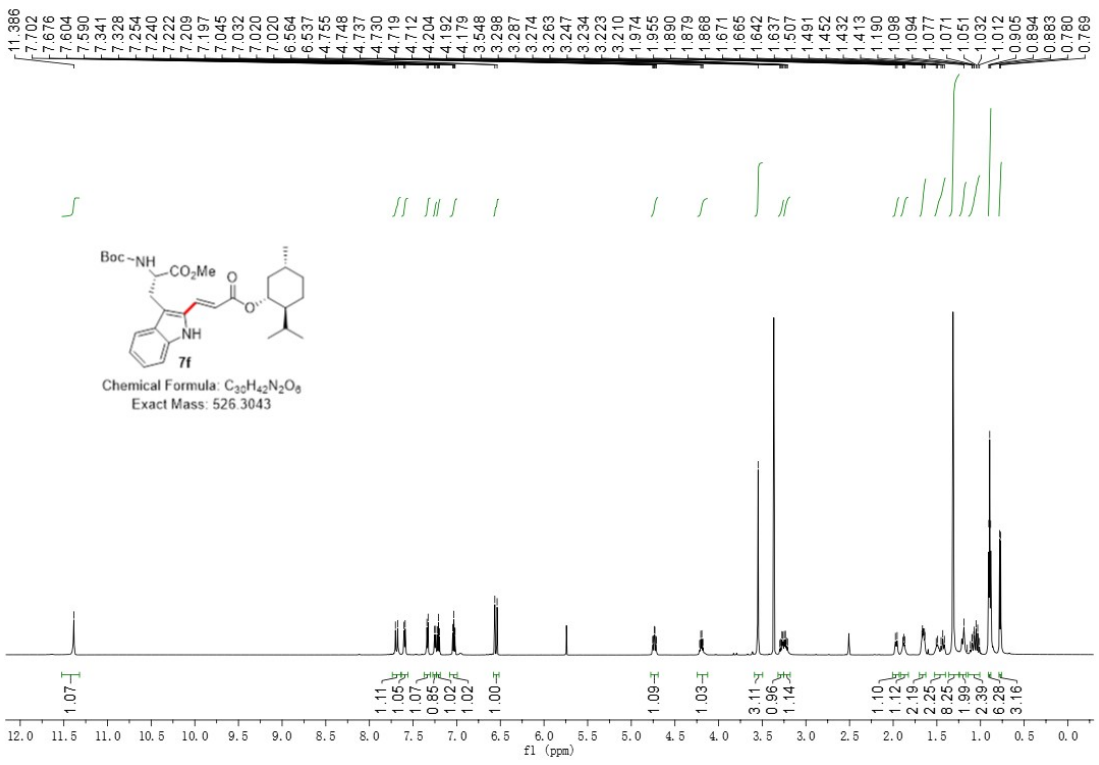
^{1}C NMR (151 MHz, DMSO) spectrum of **7d**



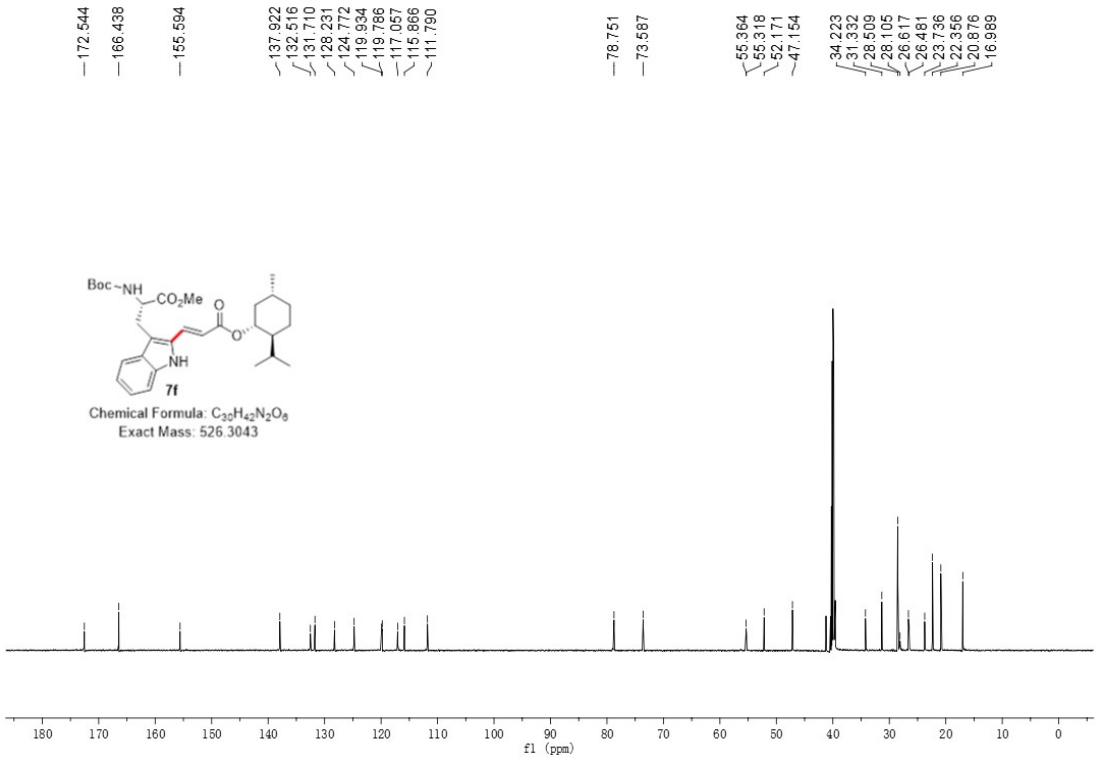
^{1}H NMR (600 MHz, DMSO) spectrum of **7e**



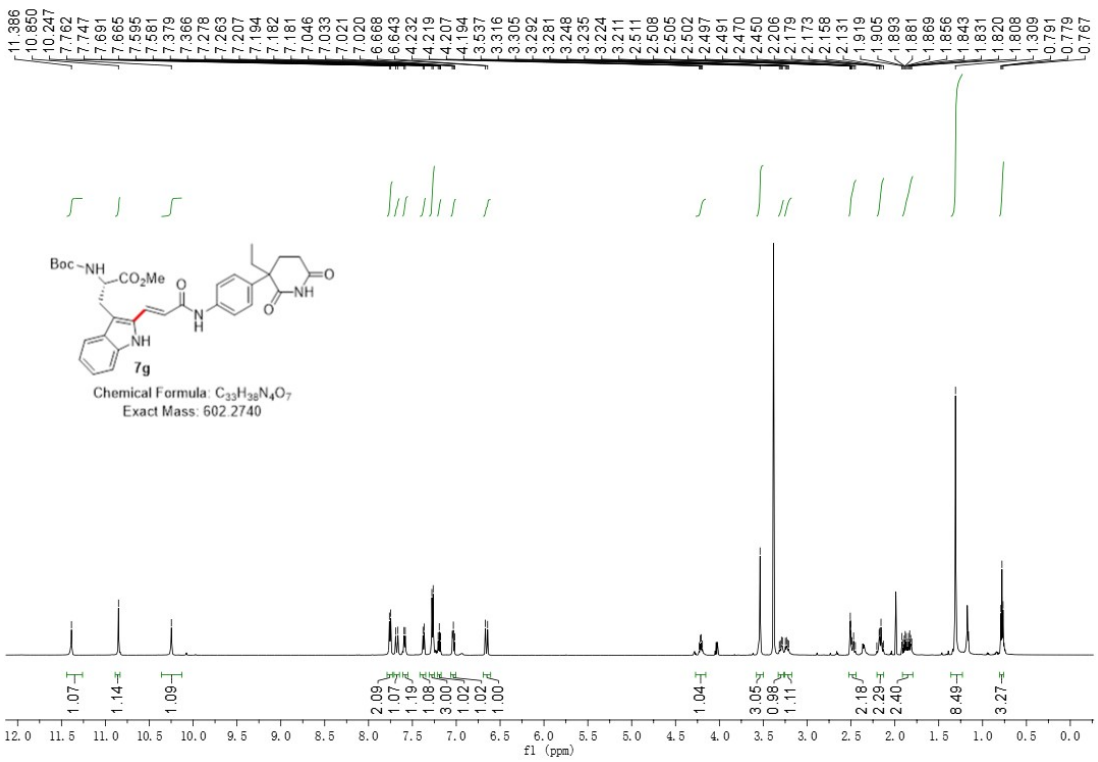
^{1}C NMR (151 MHz, DMSO) spectrum of **7e**



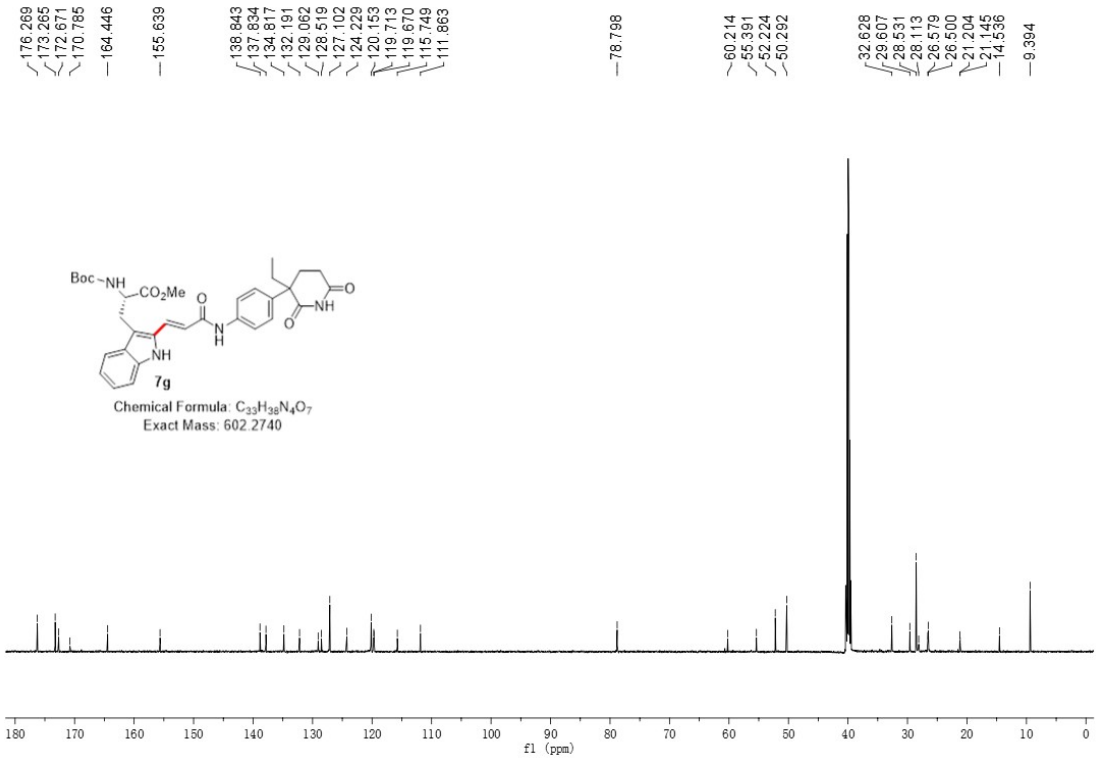
^{1}H NMR (600 MHz, DMSO) spectrum of **7f**



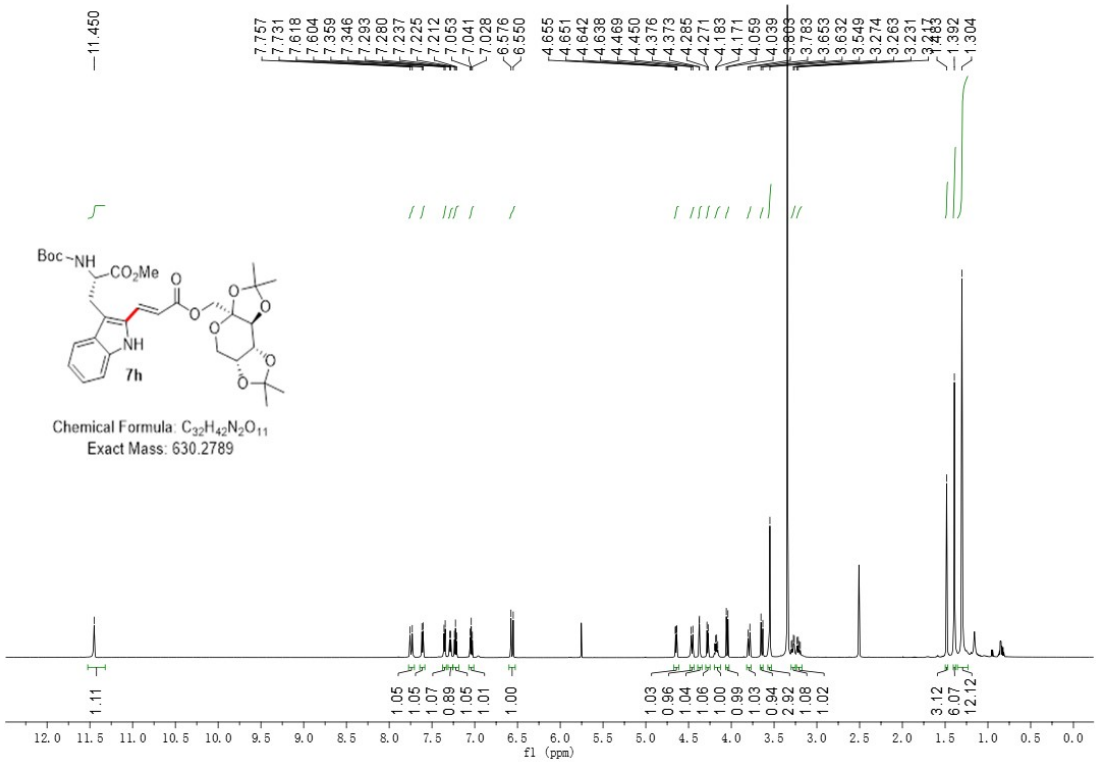
^{1}C NMR (151 MHz, DMSO) spectrum of **7f**



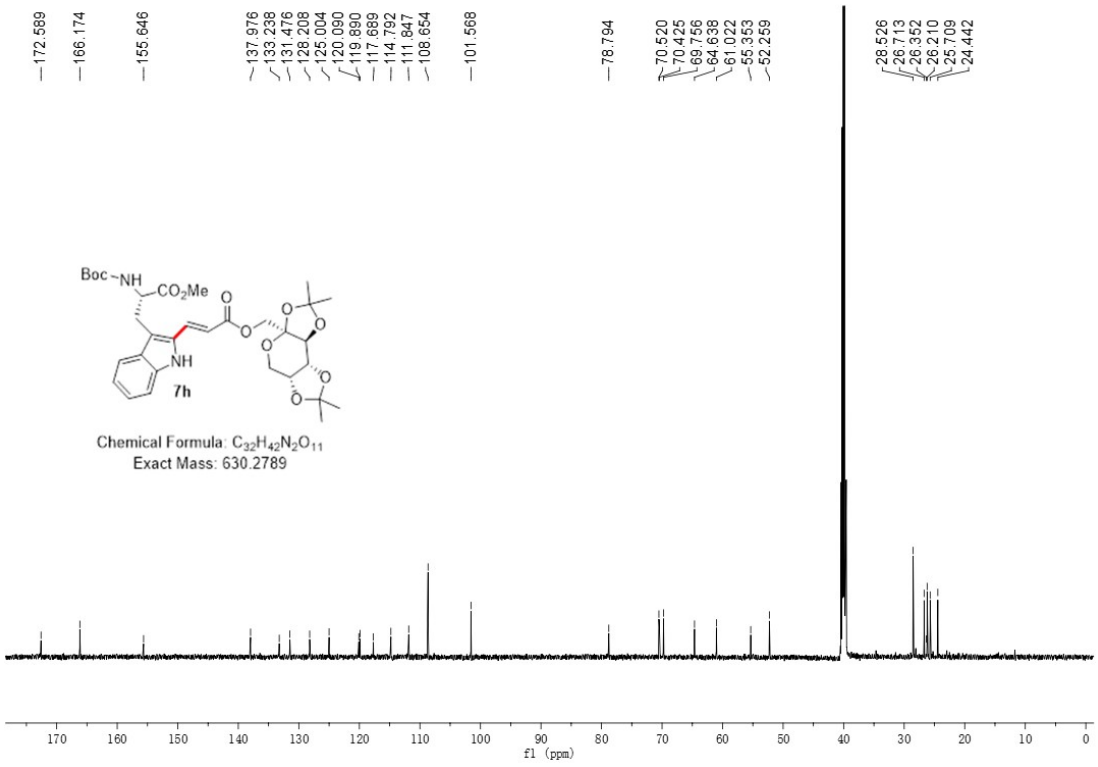
^{1}H NMR (600 MHz, DMSO) spectrum of **7g**



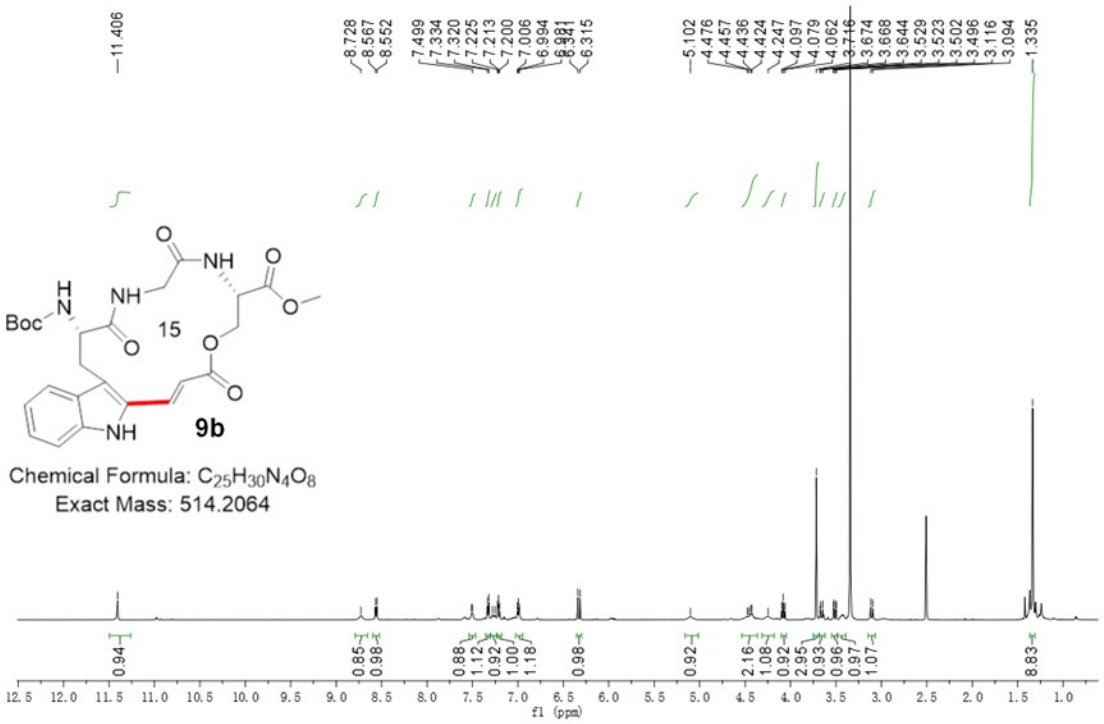
^{1}C NMR (151 MHz, DMSO) spectrum of **7g**



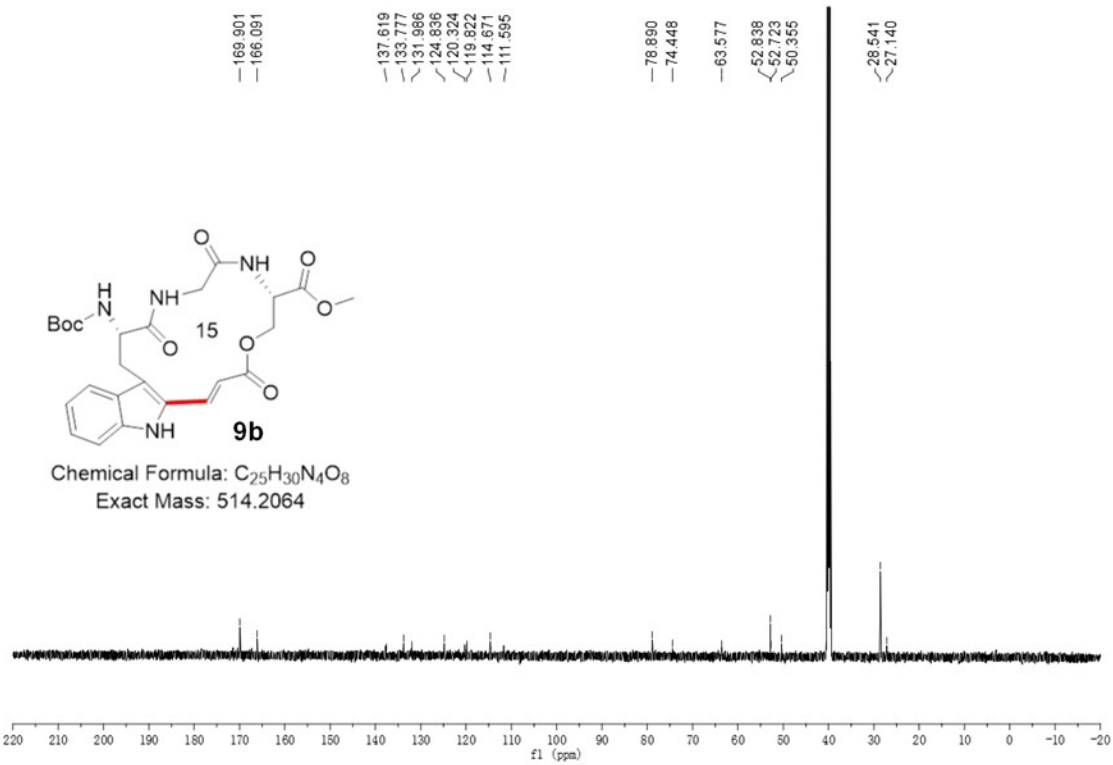
^{1}H NMR (600 MHz, DMSO) spectrum of **7h**



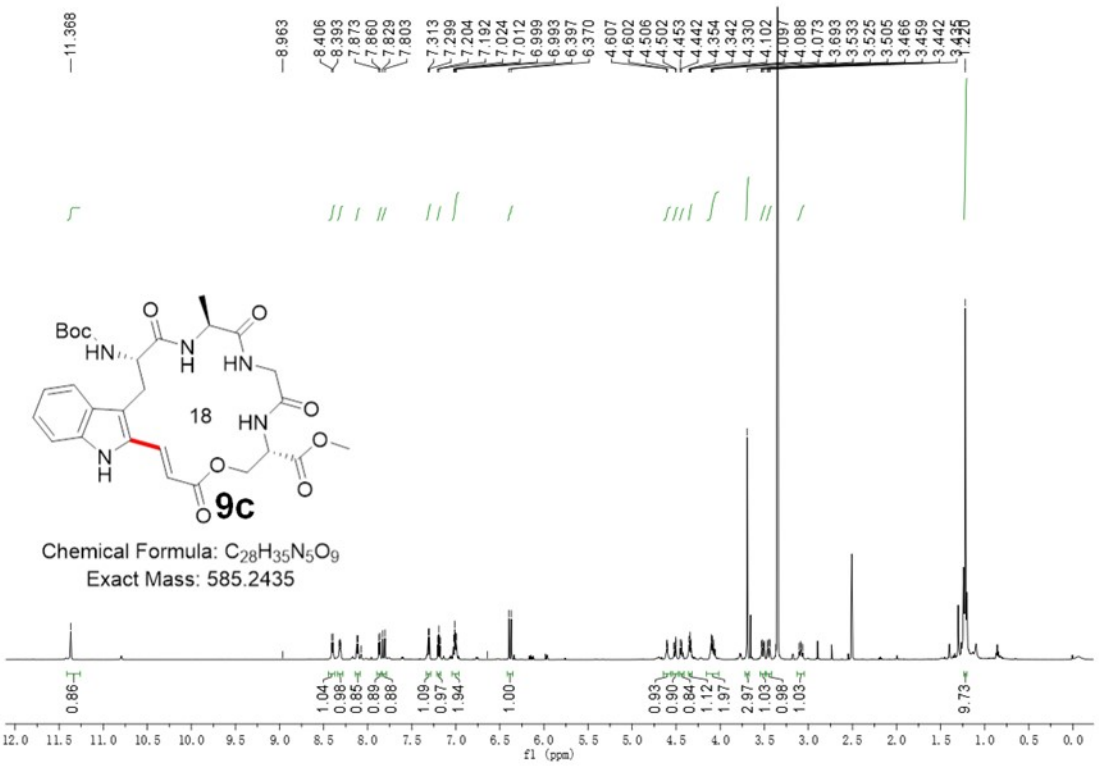
^{1}C NMR (151 MHz, DMSO) spectrum of **7h**



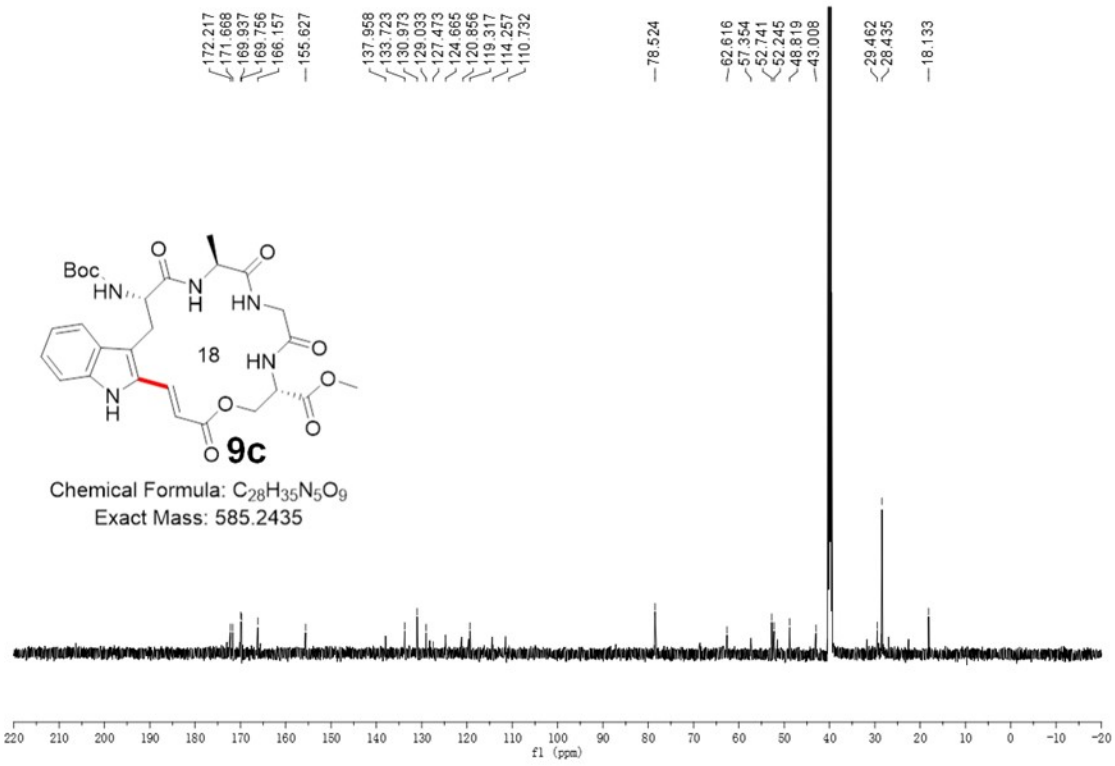
^{1}H NMR (600 MHz, DMSO) spectrum of **9b**



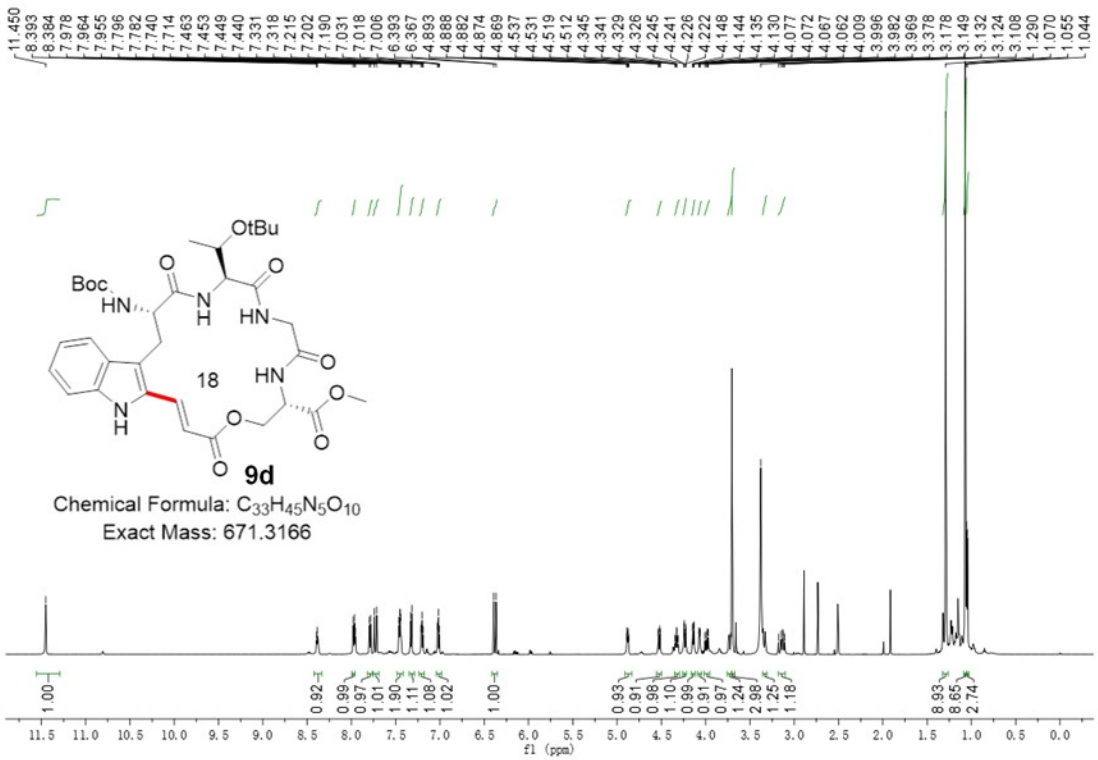
^{1}C NMR (151 MHz, DMSO) spectrum of **9b**



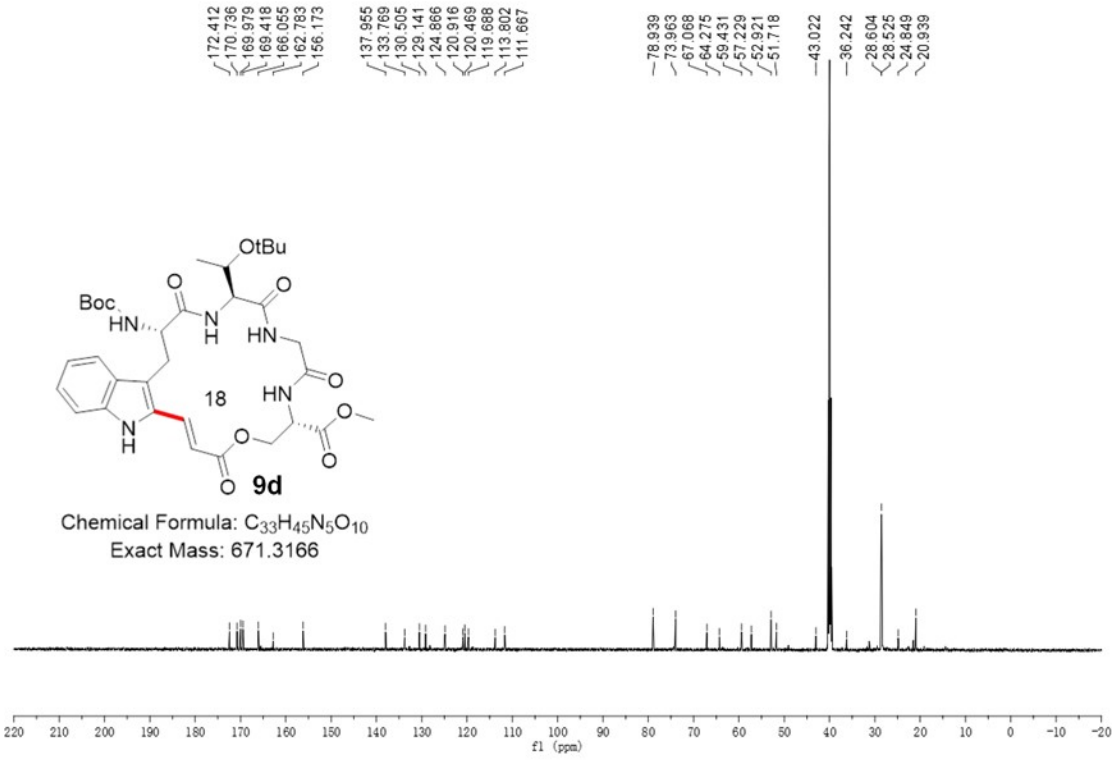
[1]H NMR (600 MHz, DMSO) spectrum of **9c**



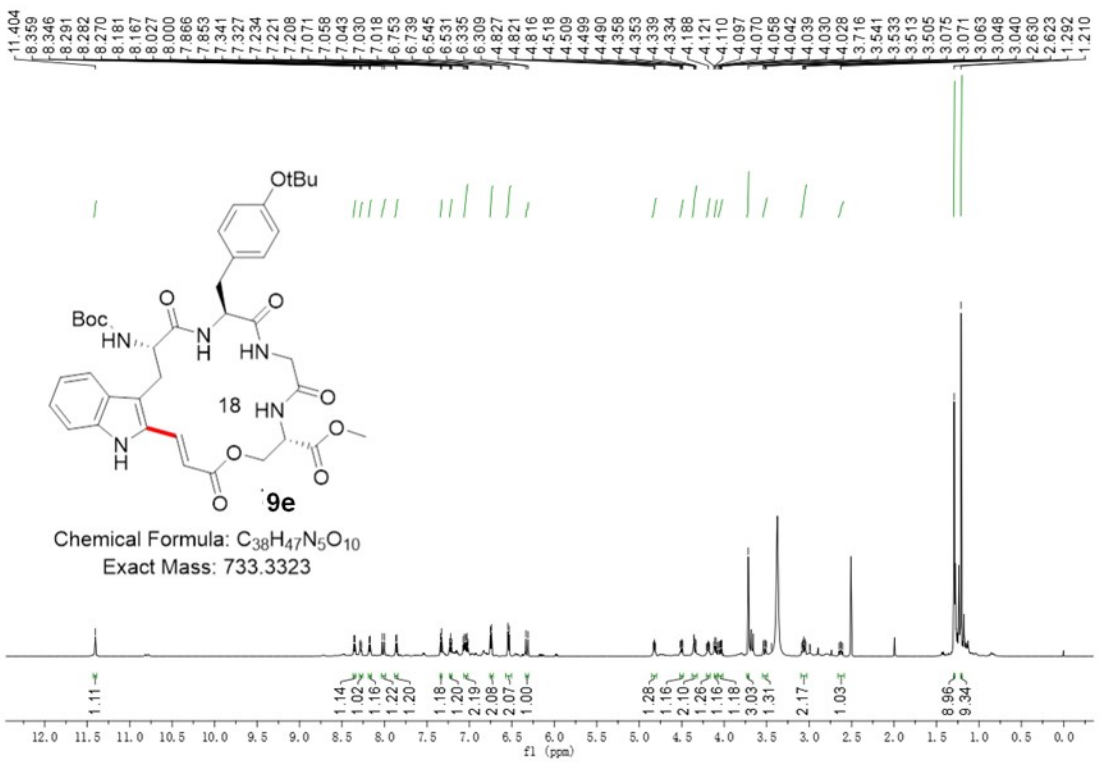
[1]C NMR (151 MHz, DMSO) spectrum of **9c**



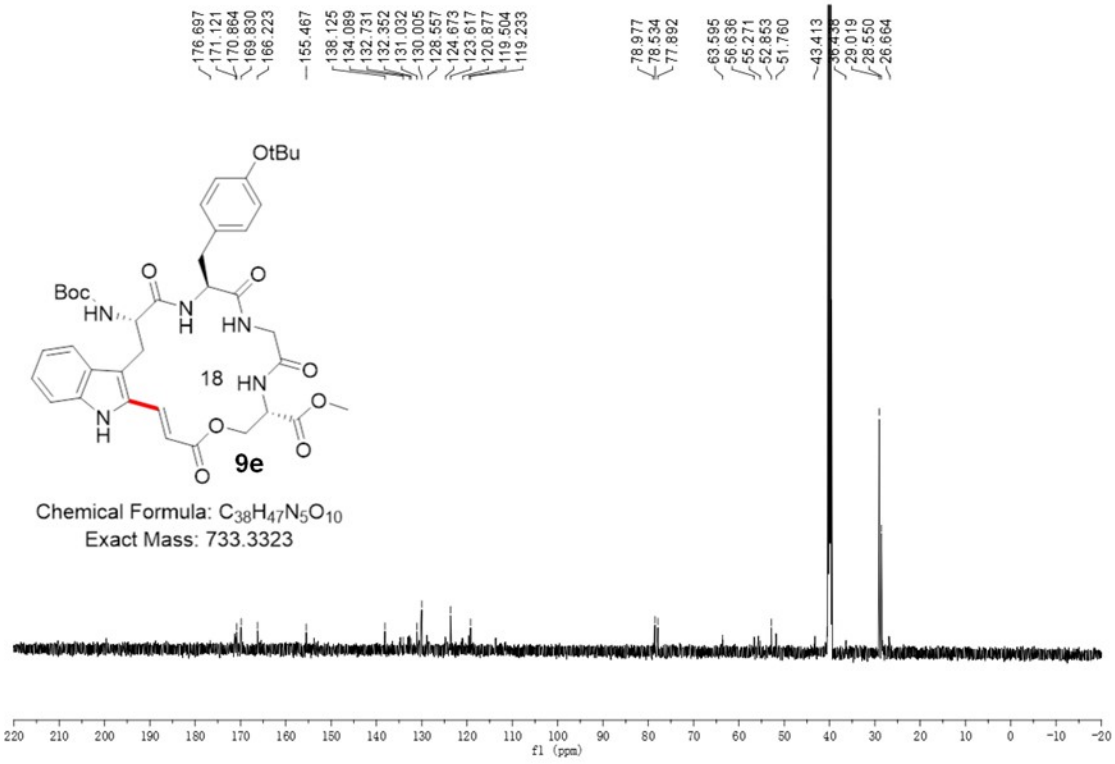
^{1}H NMR (600 MHz, DMSO) spectrum of **9d**



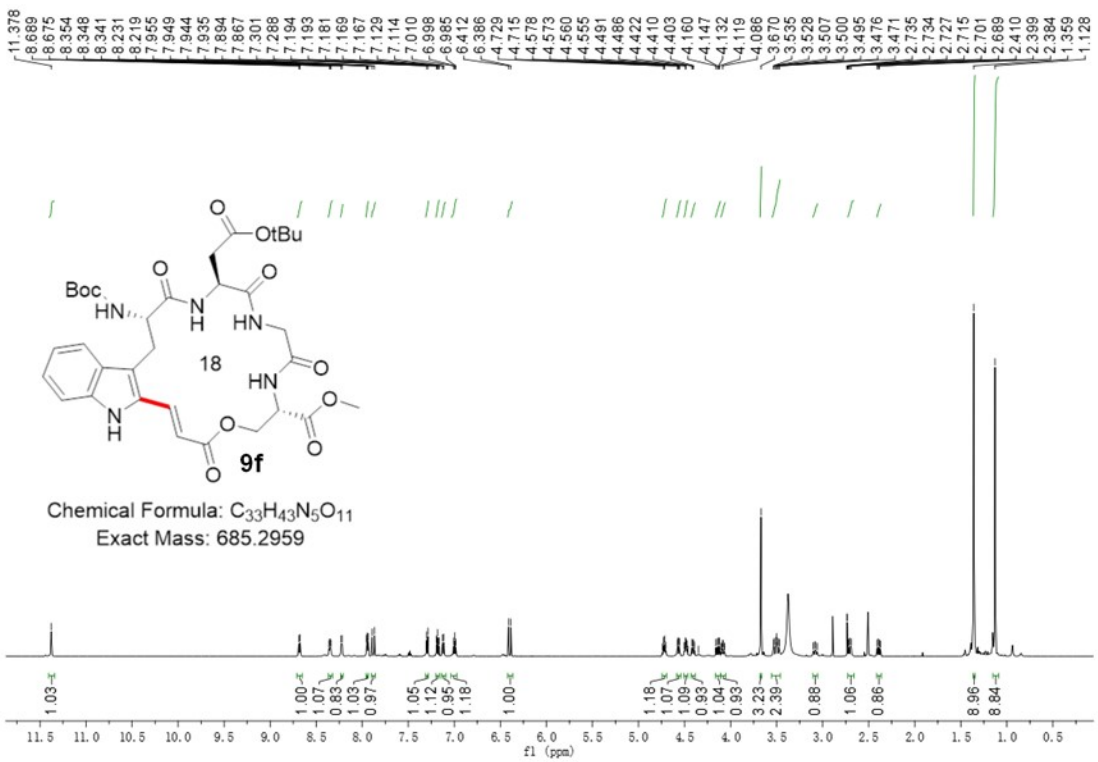
^{1}C NMR (151 MHz, DMSO) spectrum of **9d**



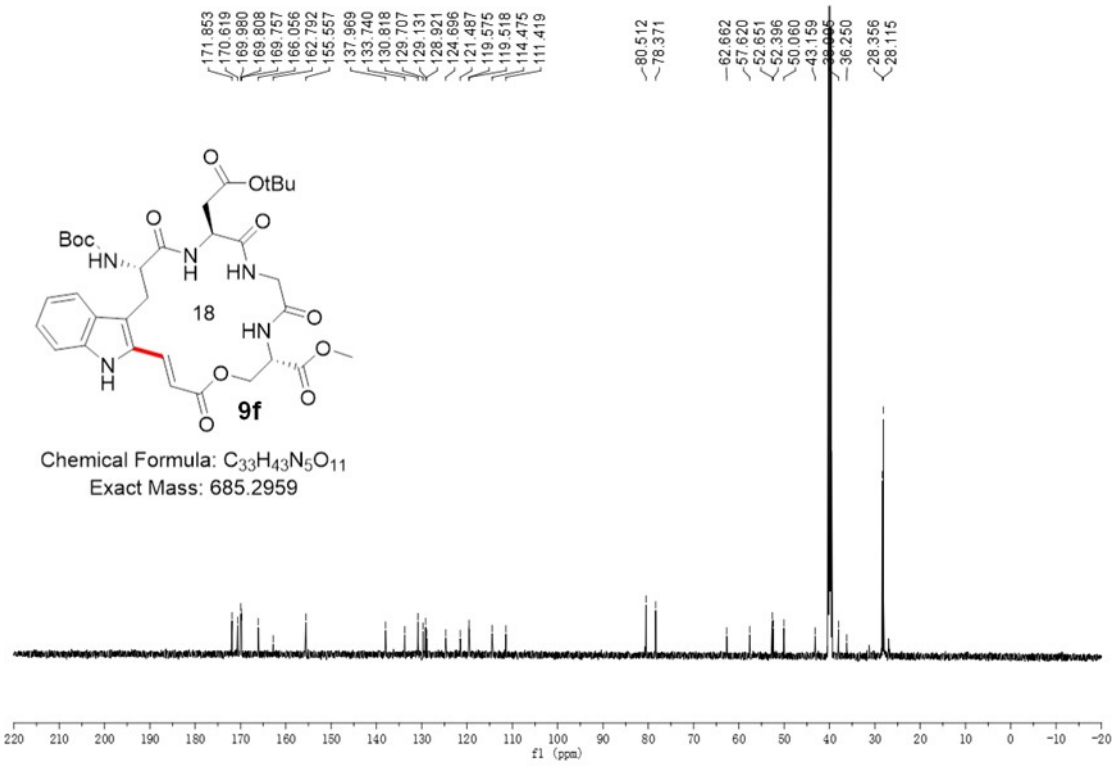
^{1}H NMR (600 MHz, DMSO) spectrum of **9e**



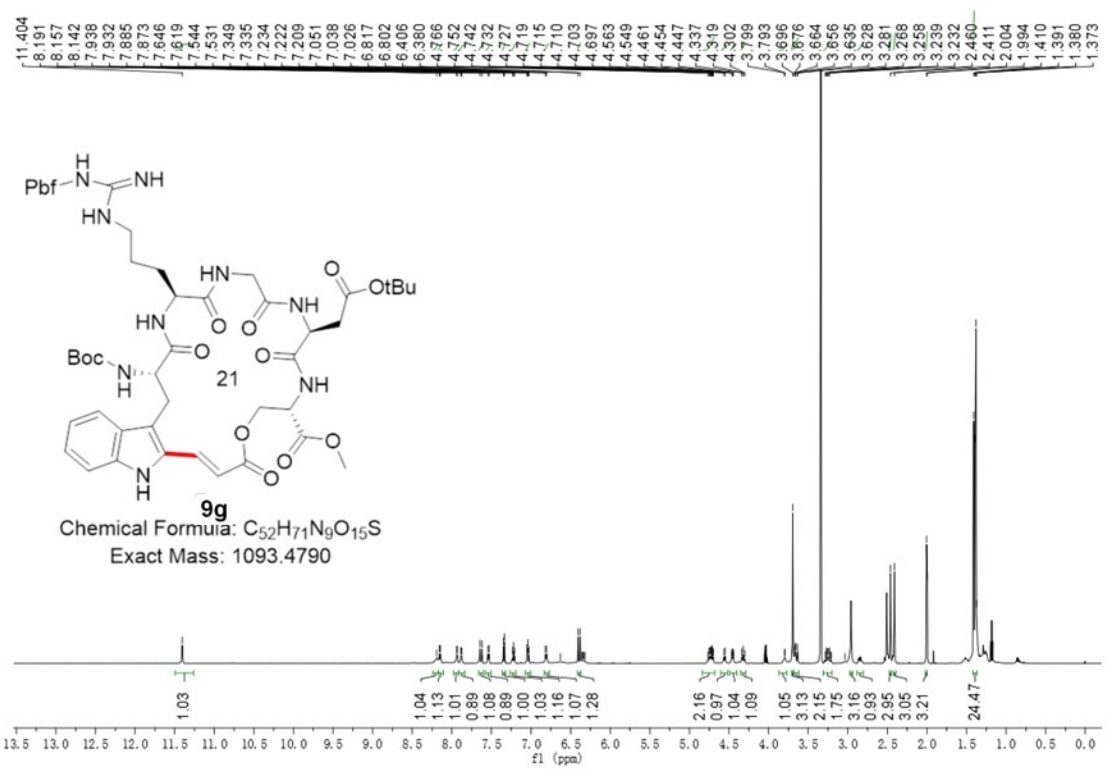
^{1}C NMR (151 MHz, DMSO) spectrum of **9e**



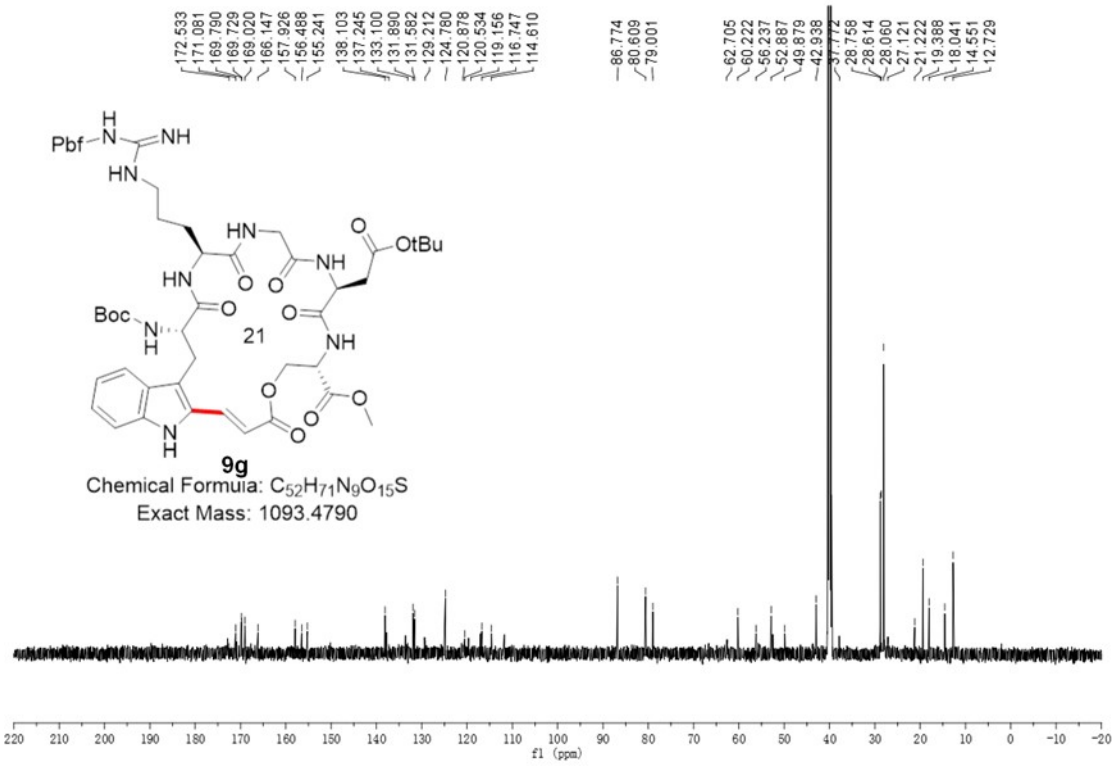
^{1}H NMR (600 MHz, DMSO) spectrum of **9f**



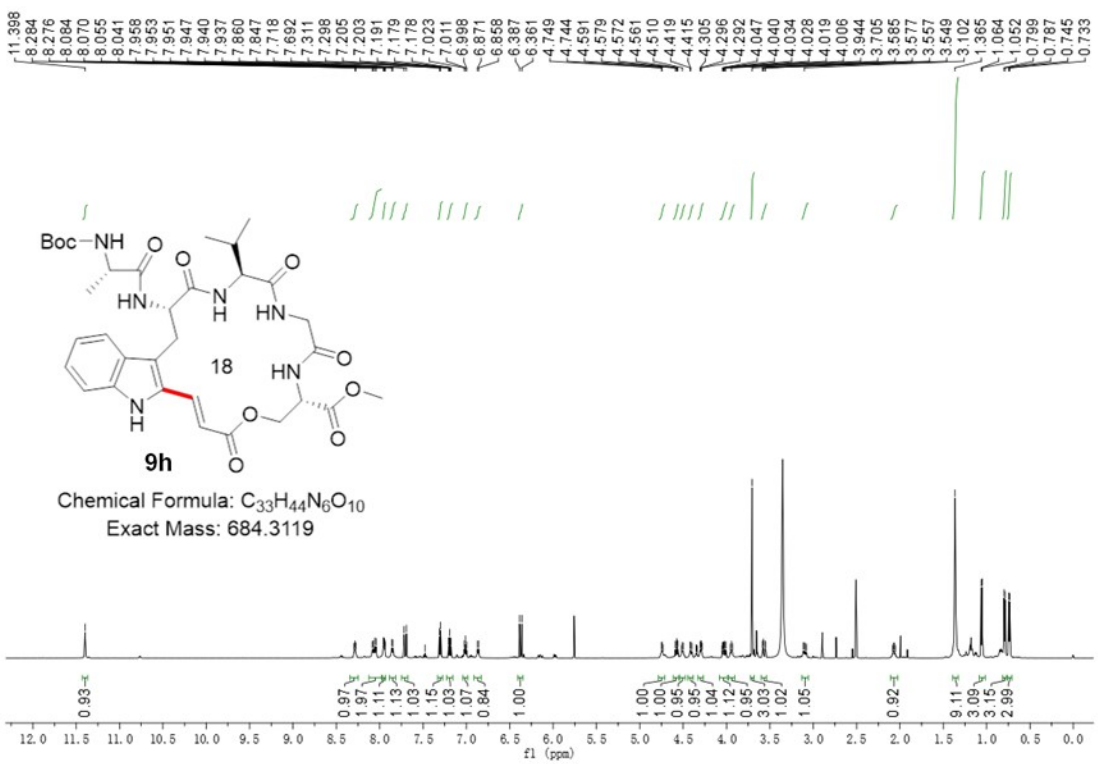
^{1}C NMR (151 MHz, DMSO) spectrum of **9f**



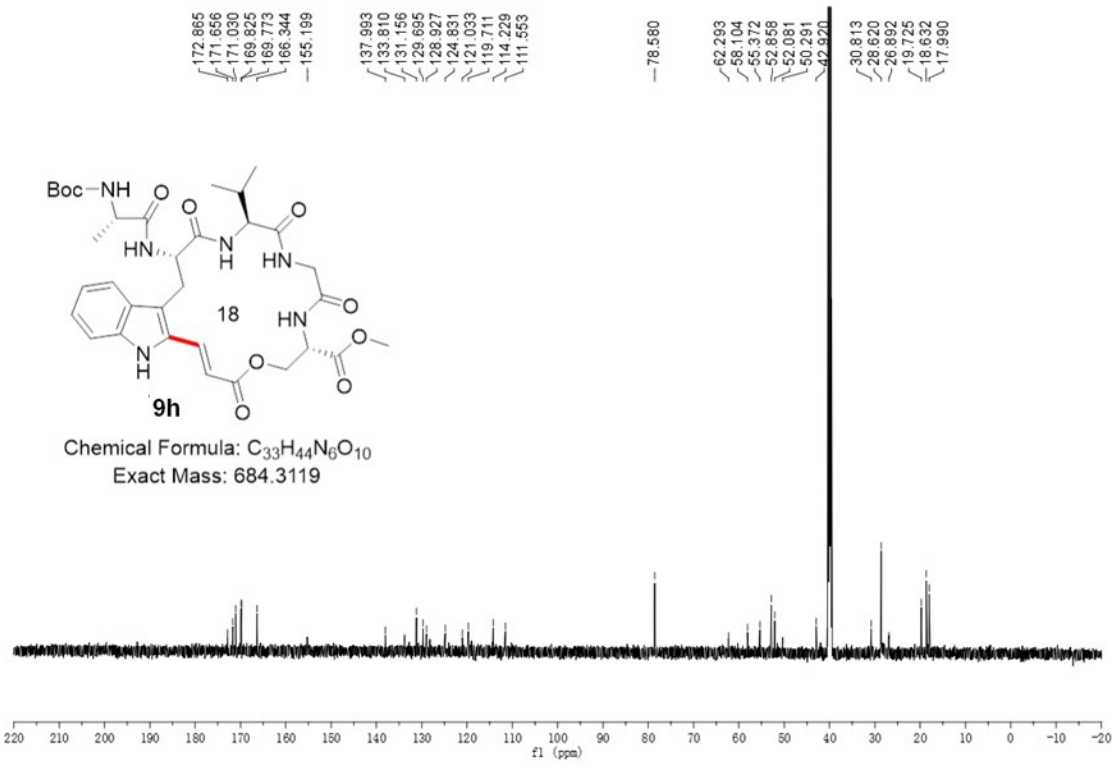
^{1}H NMR (600 MHz, DMSO) spectrum of **9g**



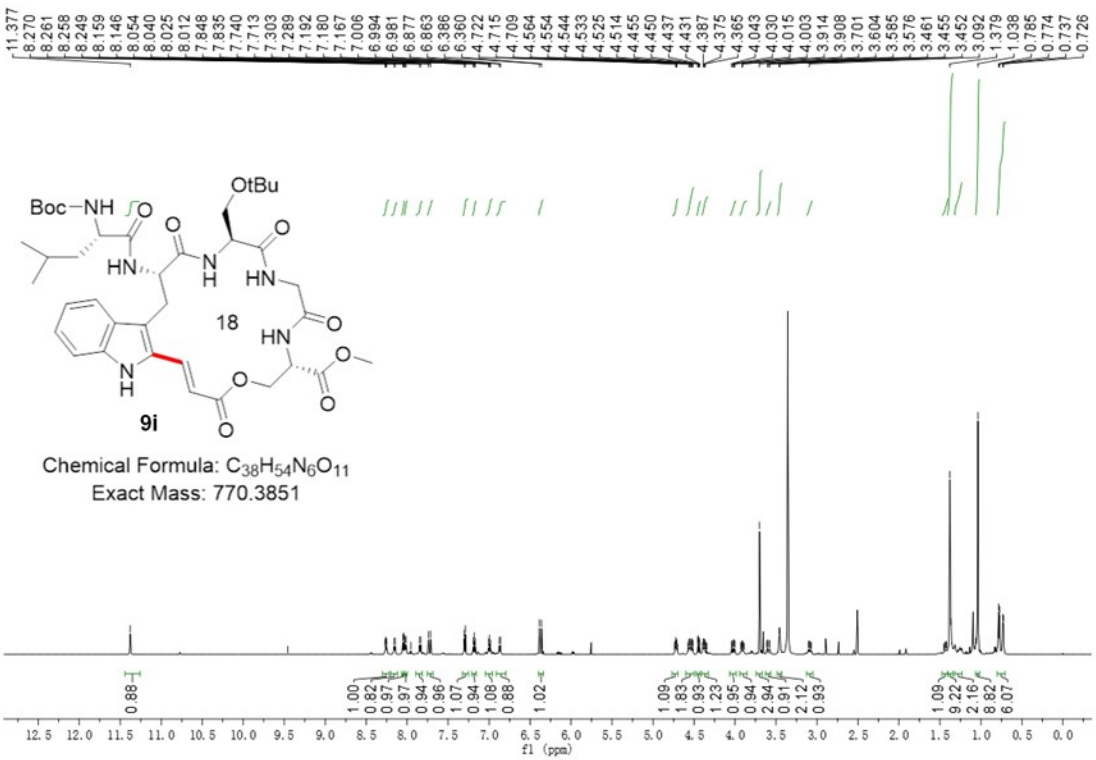
^{1}C NMR (600 MHz, DMSO) spectrum of **9g**



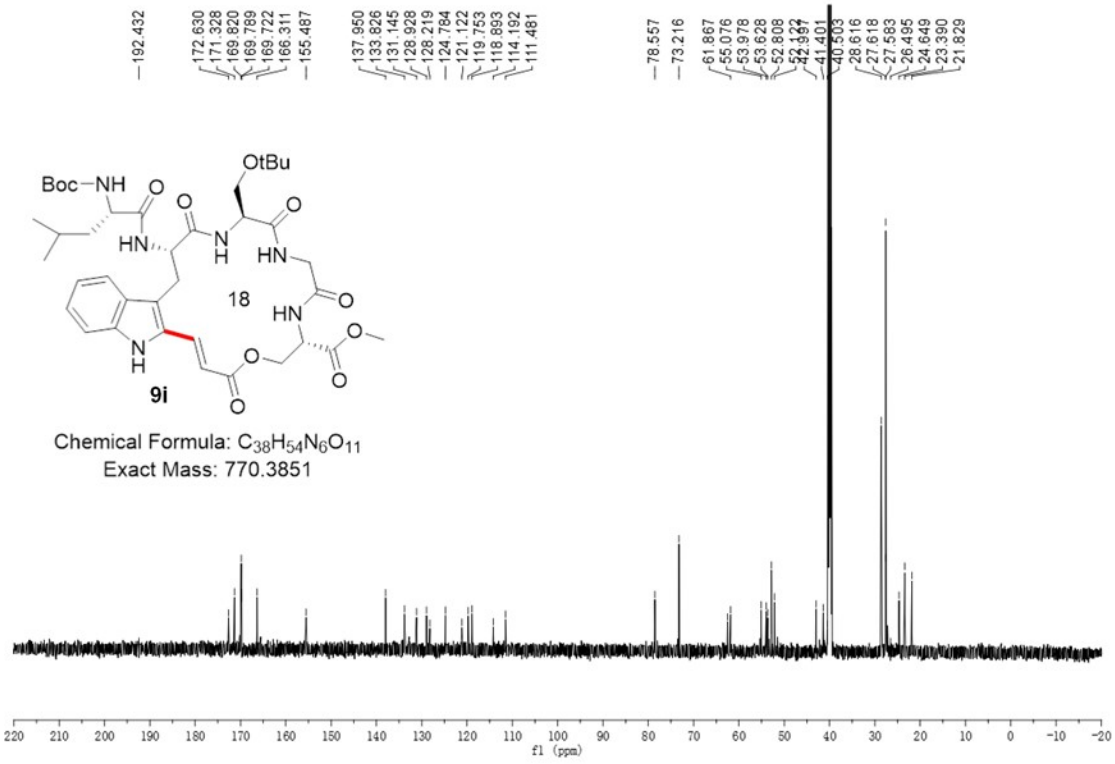
^{1}H NMR (600 MHz, DMSO) spectrum of **9h**



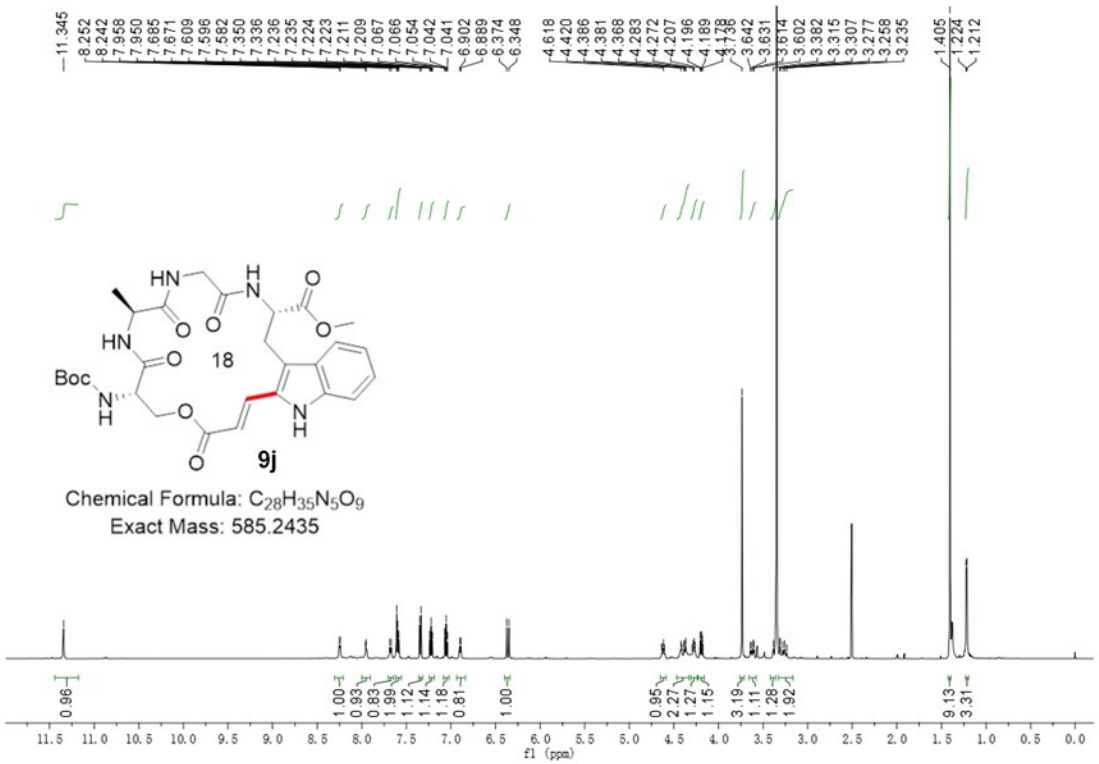
^{1}C NMR (151 MHz, DMSO) spectrum of **9h**



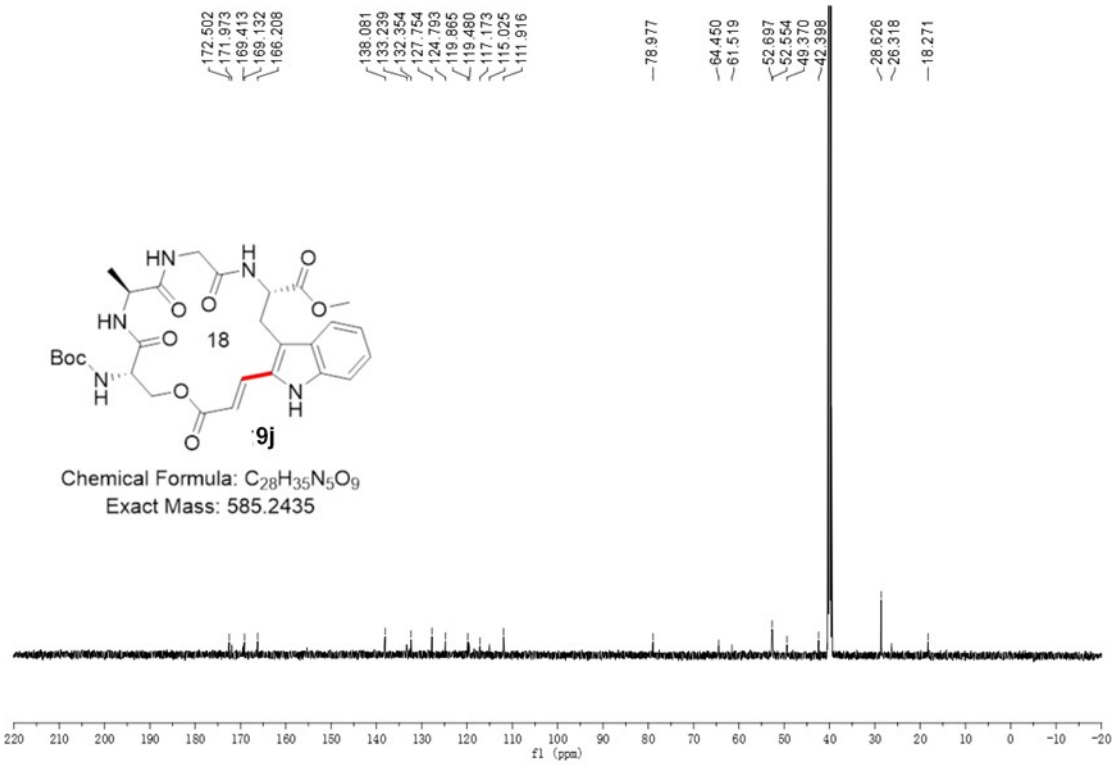
^{1}H NMR (600 MHz, DMSO) spectrum of **9i**



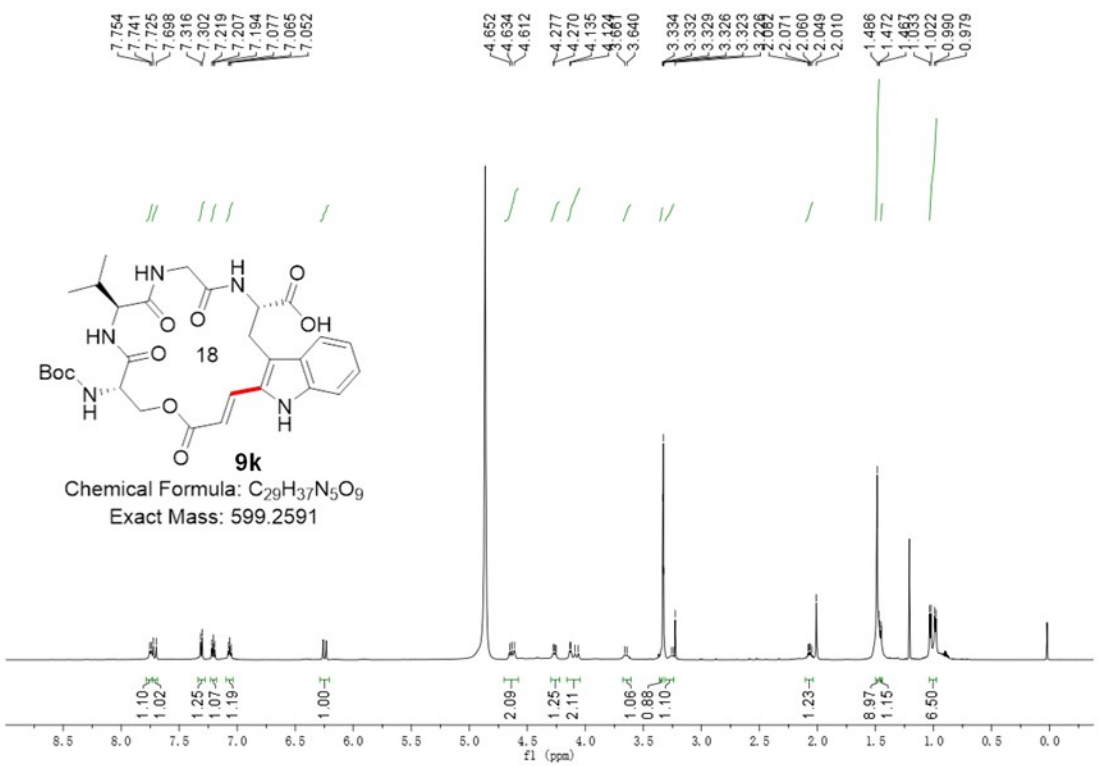
^{1}C NMR (151 MHz, DMSO) spectrum of **9i**



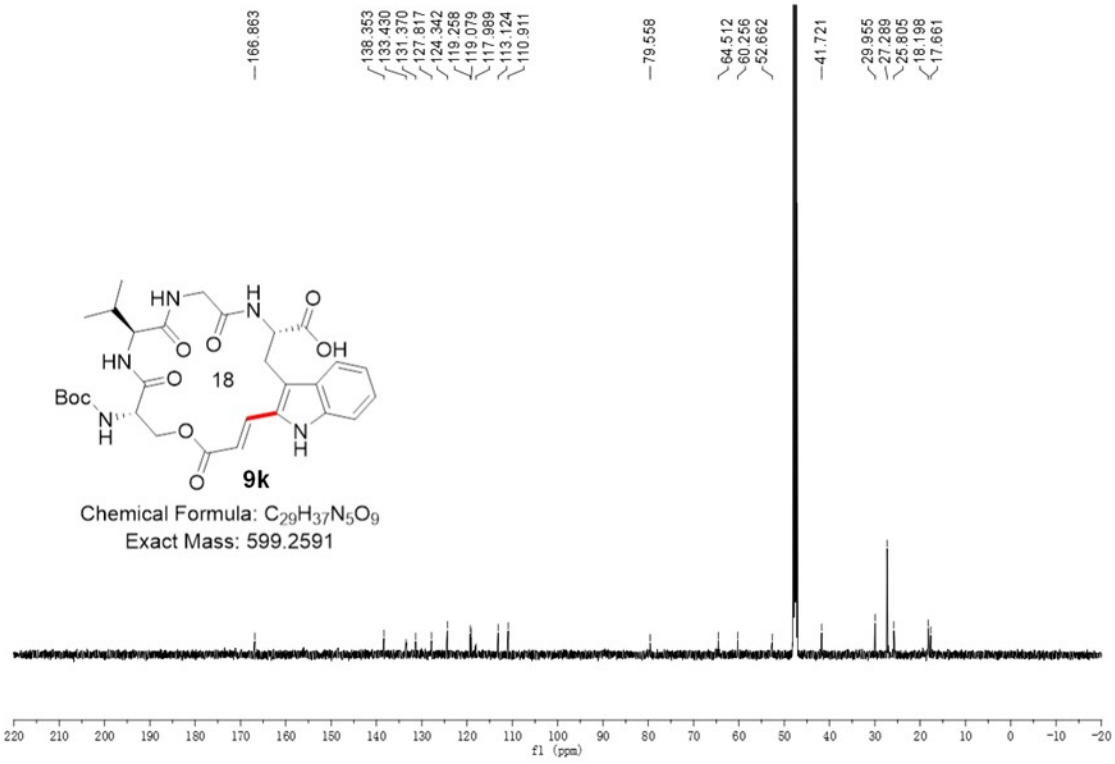
^{1}H NMR (600 MHz, DMSO) spectrum of **9j**



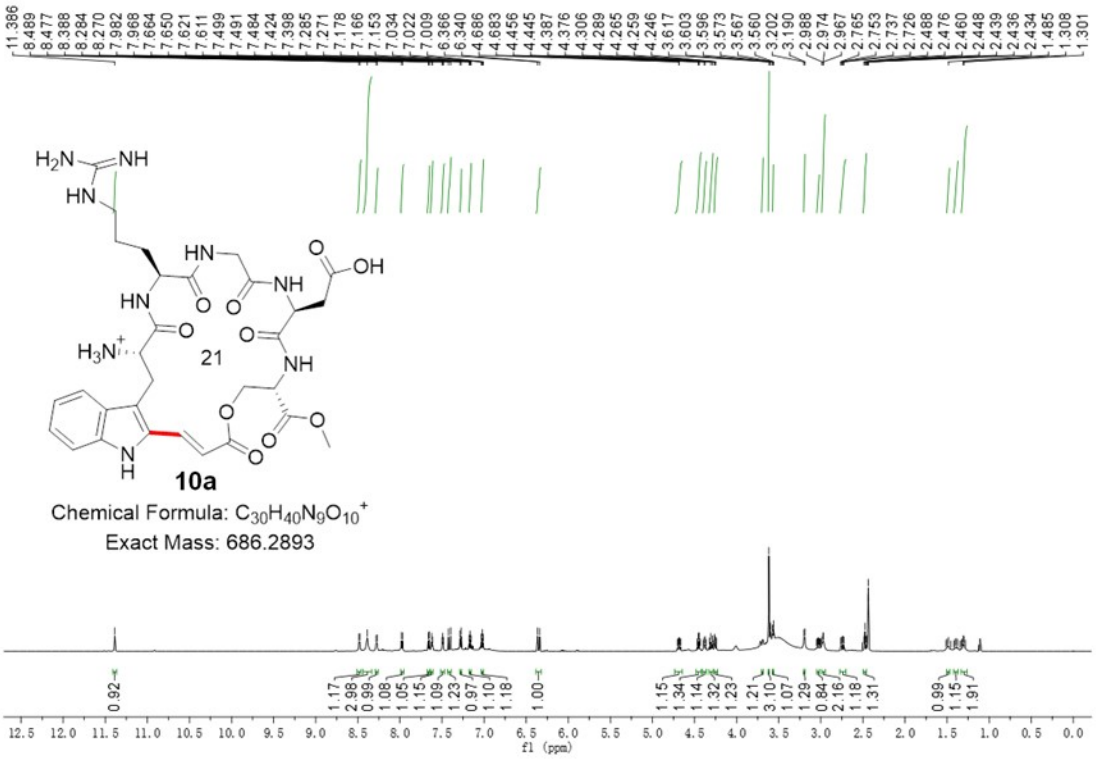
^{1}C NMR (151 MHz, DMSO) spectrum of **9j**



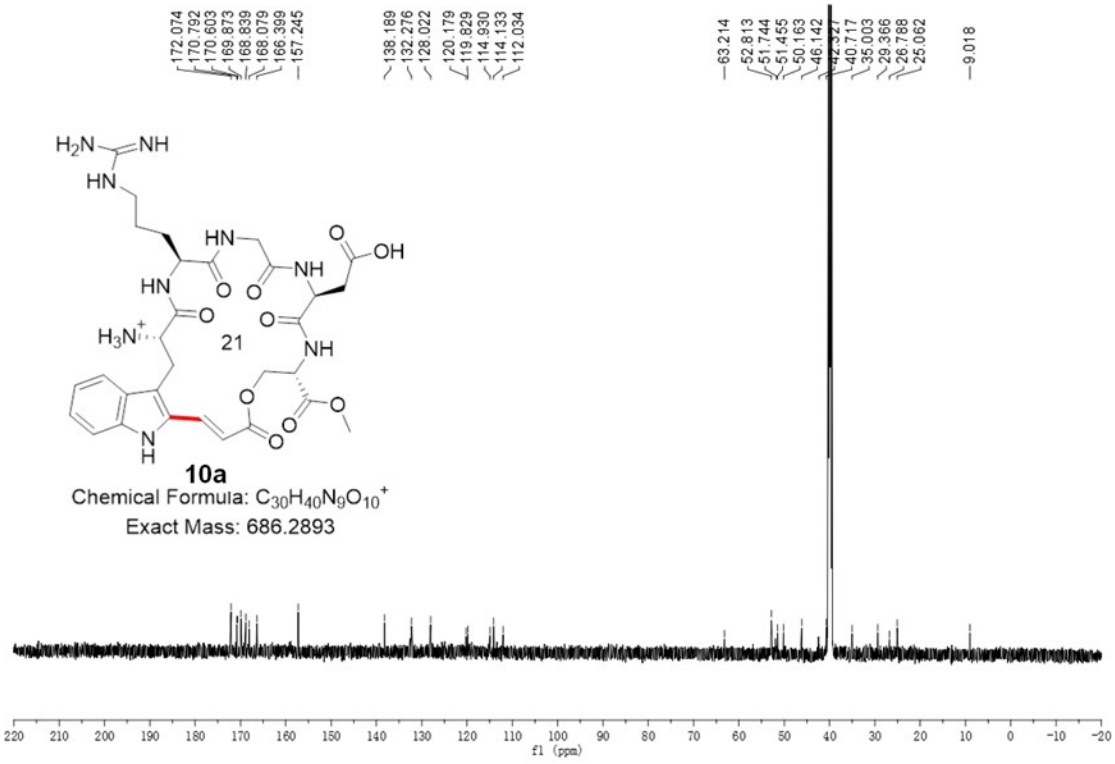
^{1}H NMR (600 MHz, DMSO) spectrum of **9k**



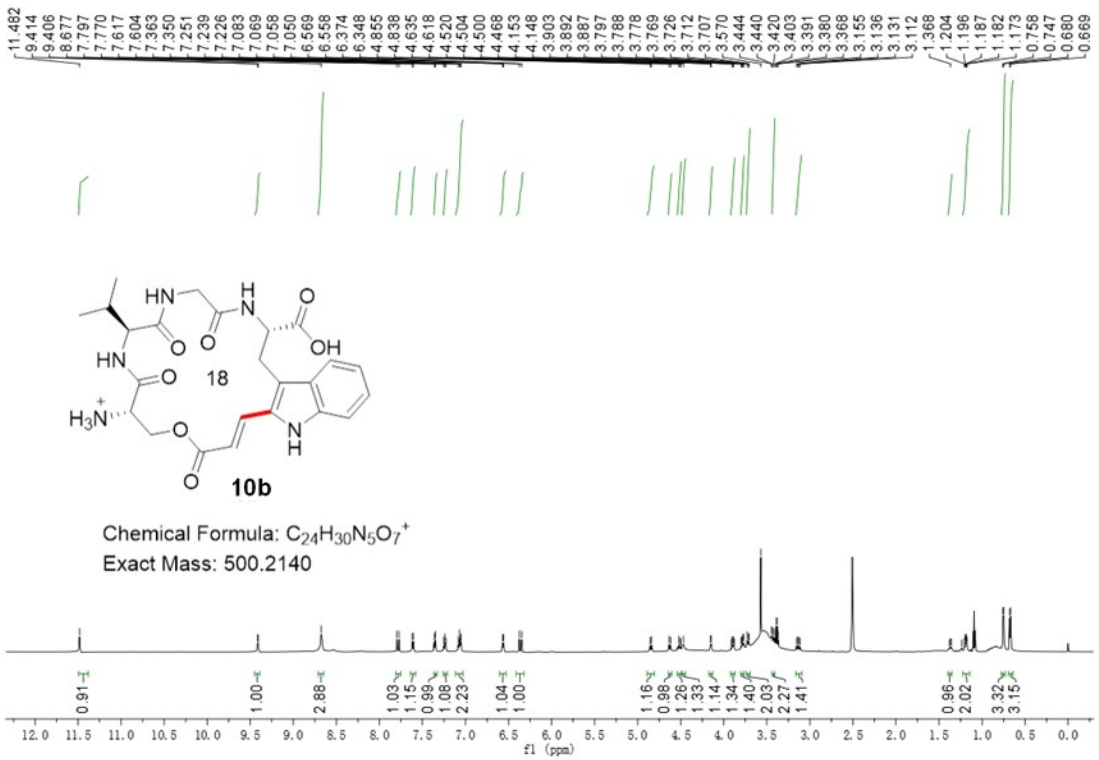
^{1}C NMR (151 MHz, DMSO) spectrum of **9k**



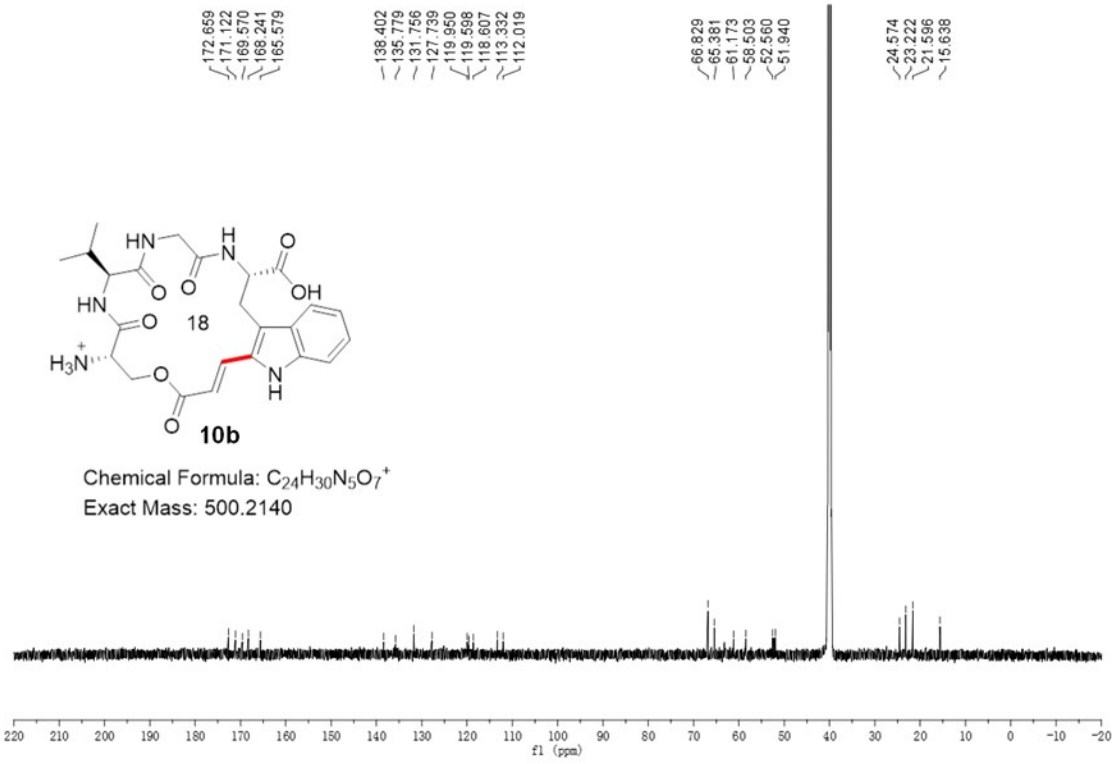
^{1}H NMR (600 MHz, DMSO) spectrum of **10a**



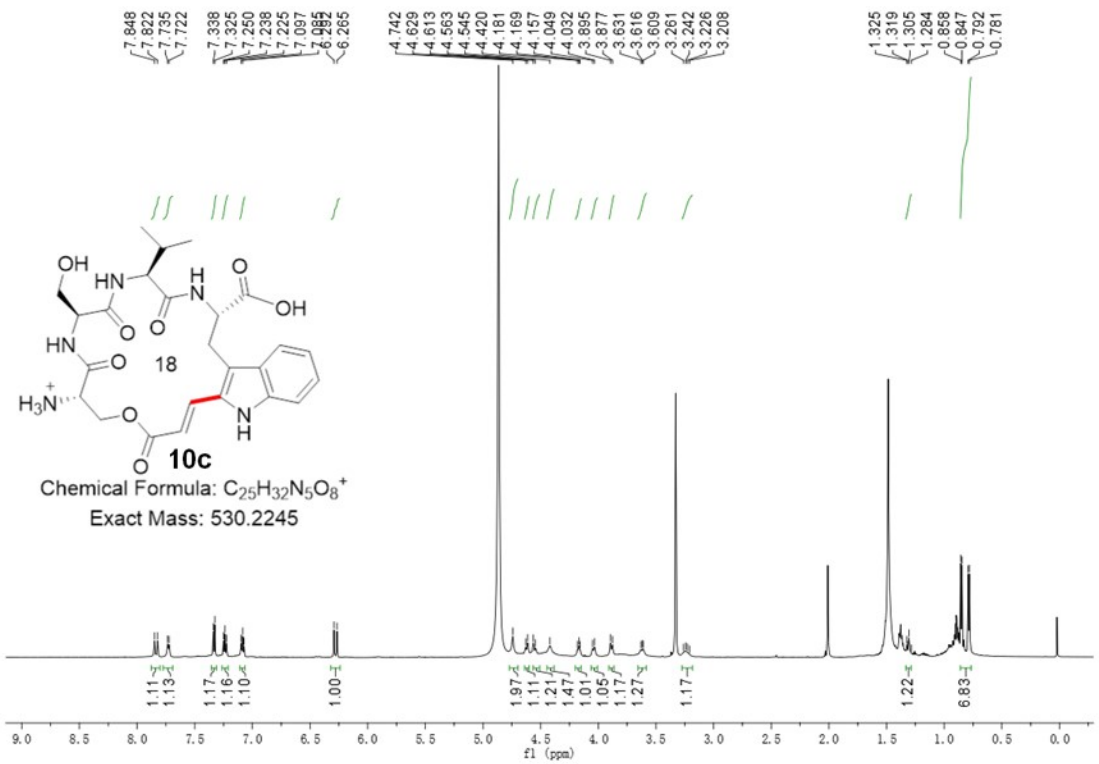
^{1}C NMR (151 MHz, DMSO) spectrum of **10a**



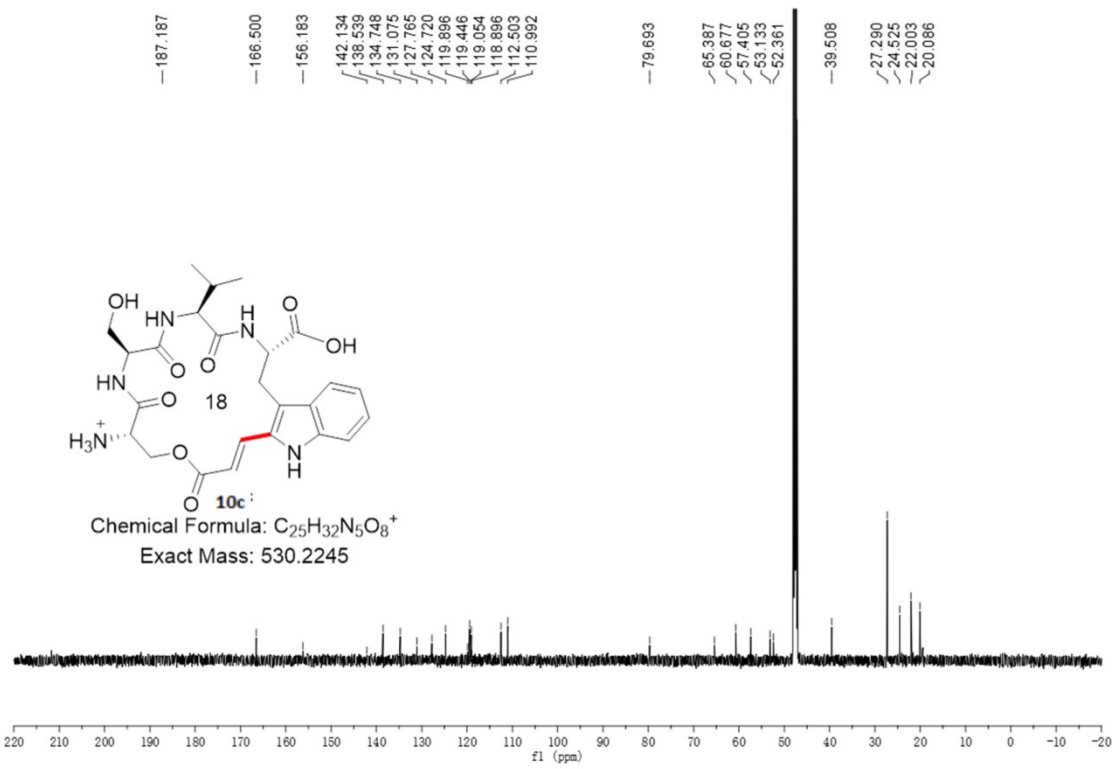
^{1}H NMR (600 MHz, DMSO) spectrum of **10b**



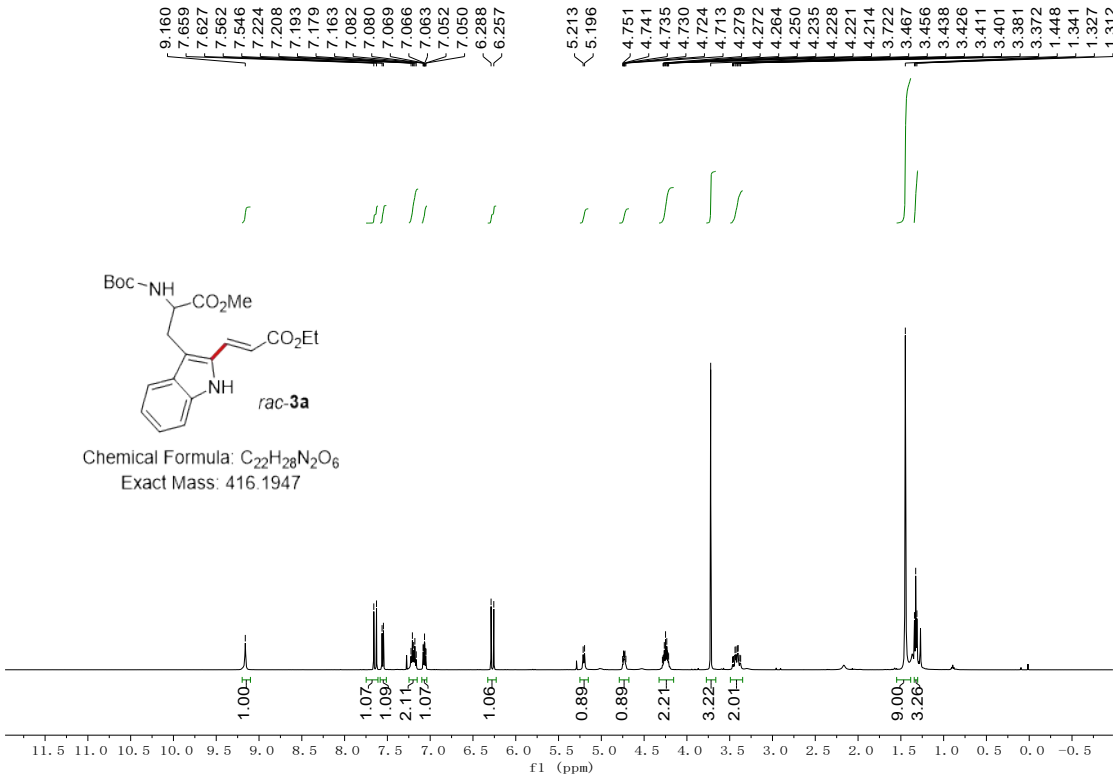
^{1}C NMR (151 MHz, DMSO) spectrum of **10b**



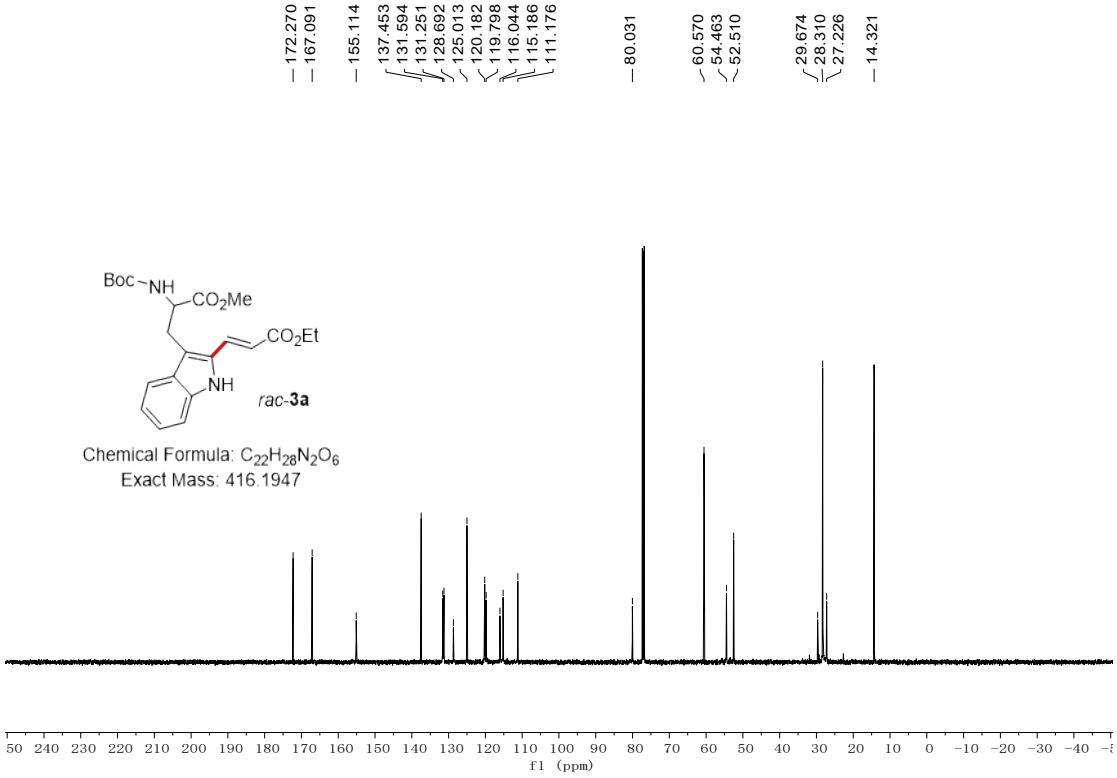
^{1}H NMR (600 MHz, CD_3OD) spectrum of **10c**



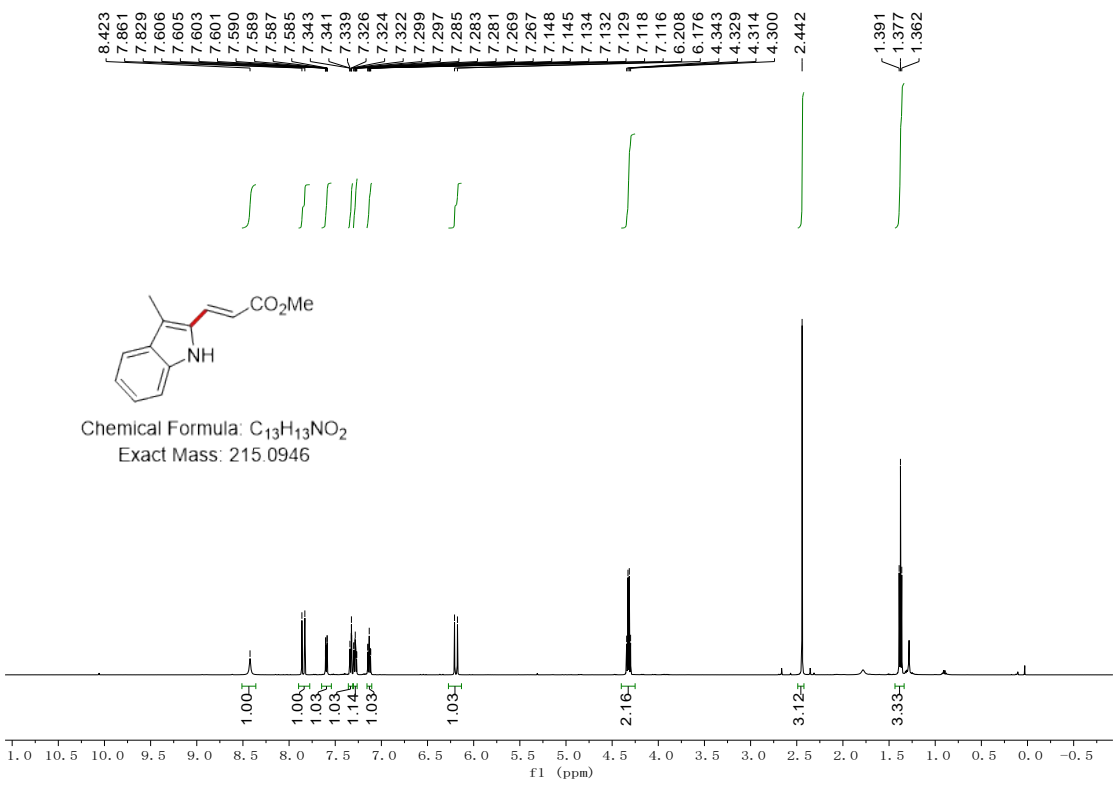
^{1}C NMR (151 MHz, CD_3OD) spectrum of **10c**



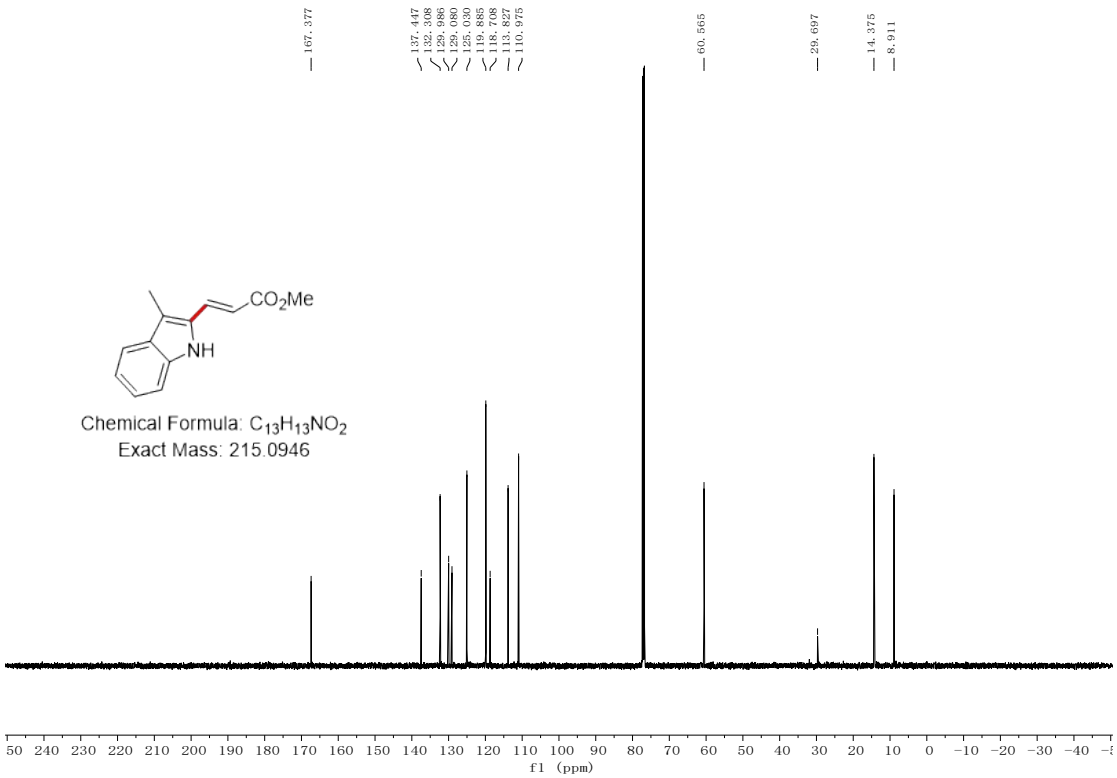
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of *rac*-**3a**



^{1}C NMR (126 MHz, $CDCl_3$) spectrum of *rac*-**3a**



^{1}H NMR (500 MHz, $CDCl_3$) spectrum of *olifination derivative of 3- methyl indole*



^{1}C NMR (126 MHz, $CDCl_3$) spectrum of *olifination derivative of 3- methyl indole*