Supporting Information

Solid-Phase Synthesis of Thiazolo[4,5-*b*]pyridine Derivatives using Friedländer Reaction

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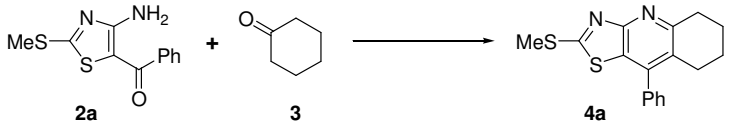
Contents

General. All chemicals were reagent grade and used as purchased. The Merrifield resin (loading capacity 0.94 mmol/g, 100-200 mesh) was purchased from BeadTech. Reactions were monitored by TLC analysis using Merck silica gel 60 F-254 thin layer plates or ATR-FRIR analysis using TravelIR^{TM} (SensIR Technology). Flash column chromatography was carried out on Merck silica gel 60 (230-400 mesh). The microwave instrument was the Automated Microwave Synthesis System (Emrys Creator). On solid-phase synthesis, reactions, filtration, and washing were carried out on a MiniBlock (Bohdan) and solvent evaporation was performed on a GeneVac Atlas HT-4 centrifugal vacuum evaporator. The crude products were purified by parallel chromatography using Quad3^{TM}. ^{1}H NMR and ^{13}C NMR spectra were recorded in δ units relative to deuterated solvent as internal reference by Bruker 500 MHz NMR instrument. LC-MS analysis was performed on ESI mass spectrometer with PDA detection. LC-MS area% purities of all products were determined by LC peak area analysis (XTerraMS C18 column, 4.6 mm x 100 mm; PDA detector at 200-400 nm; gradient, 5-95% $CH_3CN//H_2O$).

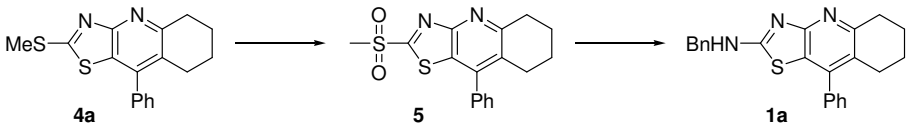
2-(Methylthio)-9-phenyl-5,6,7,8-tetrahydrothiazolo[4,5-*b*]quinoline (4a).



2a **3** **4a**

To a solution of [4-amino-2-(methylthio)thiazol-5-yl](phenyl)methanone (**2a**)[7] (50 mg, 0.20 mmol) in CH_3CN (3 mL) were added cyclohexanone (**3**) (0.042 mL, 0.40 mmol) and aluminium chloride (80 mg, 0.60 mmol). The reaction vessel was sealed, and then the mixture was irradiated for 15 min at 150 ^oC. After cooled to room temperature, the reaction mixture was quenched with brine and extracted with EtOAc, dried over $MgSO_4$. The solvent was removed and the residue was purified by flash silica gel column chromatography (hexane/EtOAc, 5:1) to give thiazolopyridine **4a** (57 mg, 91%) as a light yellow solid: ^1H NMR (500 MHz, $CDCl_3$) δ 1.75 (m, 2H), 1.92 (m, 2H), 2.62 (t, J = 6.3 Hz, 2H), 2.80 (s, 3H), 3.11 (t, J = 6.6 Hz, 2H), 7.31-7.33 (m, 2H), 7.42 (m, 1H), 7.46-7.48; ^{13}C NMR (125 MHz, $CDCl_3$) δ 15.8, 22.9, 23.0, 27.4, 33.2, 125.8, 127.4, 127.9, 128.6, 128.9, 138.0, 143.3, 1256.5, 161.5, 171.8; LC-MS (ESI) m/z 313 ($[M+1]^+$).

***N*-Benzyl-9-phenyl-5,6,7,8-tetrahydrothiazolo[4,5-*b*]quinolin-2-amine (1a).**



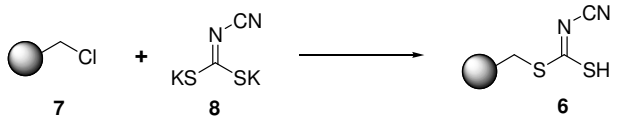
4a **5** **1a**

To a solution of thizolopyridine **4a** (50 mg, 0.16 mmol) in CH_2Cl_2 (4 mL) was slowly added *m*-chloroperbenzoic acid (108 g, 0.48 mmol, 77% max) at 0 ^oC. The reaction mixture was stirred at room temperature for 2 h and then quenched with 10% $Na_2S_2O_3$ solution. After being stirred for additional 10 min, it was then diluted with saturated $NaHCO_3$ solution, extracted twice with EtOAc. The combined organic layers were washed with brine, dried over $MgSO_4$, and filtered. The residue was concentrated under reduced pressure to afford the crude sulfonate **5** as a white solid. To a solution of crude **5** in CH_2Cl_2 (4 mL) were added benzylamine (0.052 mL, 0.48 mmol), and triethylamine (0.067 mL, 0.48 mmol) at room temperature. This reaction mixture was stirred at room temperature for 5 h. After the reaction was concentrated, the crude product was purified by

silica gel column chromatography (hexane/EtOAc, 3:2) to give the desired thiazolo[4,5-*b*]pyridine **1a** (36 mg, 61% from **4a**) as a yellow solid: ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.72 (m, 2H), 1.88 (m, 2H), 2.54 (t, *J* = 6.3 Hz, 2H), 3.03 (t, *J* = 6.5 Hz, 2H), 4.66 (s, 2H), 5.87 (br s, 1H), 7.27-7.38 (m, 7H), 7.39-7.48 (m, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 23.1, 23.2, 27.2, 33.1, 48.8, 123.0, 123.2, 127.7, 127.8, 128.0, 128.3, 128.7, 128.8, 137.5, 138.6, 142.9, 155.1, 161.5, 168.5; LC-MS (ESI) *m/z* 372 ($[M+1]^+$).

General procedure for preparation of 2,5,6,7-tetrasubstituted thiazolo[4,5-*b*]pyridines 1 on solid-phase. A typical procedure for preparing 2,5,6,7-tetrasubstituted thiazolo[4,5-*b*]pyridine, as exemplified for *N*-benzyl-9-phenyl-5,6,7,8-tetrahydrothiazolo[4,5-*b*]quinolin-2-amine (**1a**).

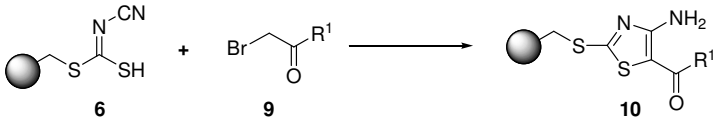
Preparation of cyanocarbonimidodithioate resin 6.



7 **8** **6**

Merrifield resin **7** (30.0 g, 28.2 mmol, 0.94 mmol/g) was treated with dipotassium cyanodithioimidocarbonate (**8**)[11] (21.9 g, 112.7 mmol) in DMF (300 mL). The mixture was shaken at room temperature for 5 h, and then filtered, washed several times with H_2O, DMF, MeOH, and CH_2Cl_2, and dried in a vacuum oven to give cyanocarbonimidodithioate resin **6** (34.0 g): On-bead ATR-FTIR (neat) 2170, 1374, 954 (cm^{-1}).

Preparation of thiazole resin 10 (R^1 = Ph).

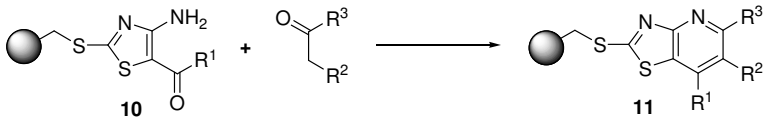


6 **9** **10**

A mixture of resin **6** (5.00 g, theoretically 4.36 mmol), 2-bromoactophenone (**9**) (3.42 g, 17.2 mmol), and triethylamine (2.55 mL, 18.3 mmol) in DMF (50 mL) was heated at 80 ^{o}C for 6 h. The reaction mixture was cooled to room temperature, and then filtered, washed several times with

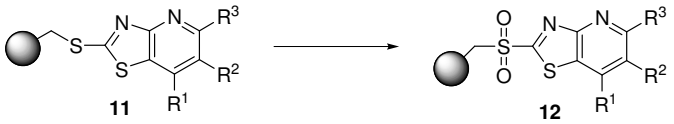
DMF, MeOH, and CH_2Cl_2, and dried in a vacuum oven to give thiazole resin **10** (5.38 g): On-bead ATR-FTIR (neat) 3469, 3321, 1600, 1377 (cm^{-1}).

Preparation of thiazolpyridine resin 11 (R^1 = Ph, R^2 and R^3 = -$(CH_2)_4$-).



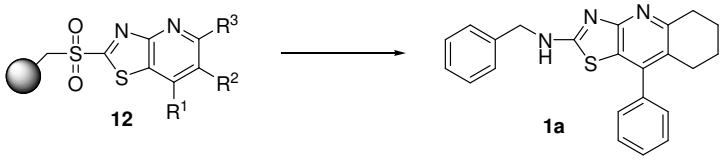
The thiazole resin **10** (4.61 g, theoretically 3.65 mmol) was treated with cyclohexanone (1.15 mL, 11.0 mmol) and aluminium chloride (1.48 g, 11.0 mmol) in CH_3CN (20 mL). The reaction vessel was sealed, and then the mixture was irradiated for 15 min at 150 ^oC. After cooled to room temperature, the reaction mixture was filtered, washed several times with H_2O, DMF, MeOH, and CH_2Cl_2, and dried in a vacuum oven to give the desired resin **11** (4.79 g): On-bead ATR-FTIR (neat) 1334, 999 (cm^{-1}).

Preparation of sulfonyl thiazolo[4,5-*b*]pyridine resin 12 (R^1 = Ph, R^2 and R^3 = -$(CH_2)_4$-).



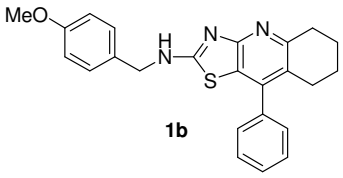
To a mixture of sulfanyl resin **11** (4.38 g, theoretically 3.30 mmol) in CH_2Cl_2 (30 mL) was added *m*-chloroperbenzoic acid (2.96 g, 13.2 mmol, 77% max) at 0 ^oC. The reaction mixture was shaken at room temperature for 2 h, and then quenched with saturate $NaHCO_3$ solution, filtered, washed several times with H_2O, DMF, MeOH, and CH_2Cl_2, and dried in a vacuum oven to give sulfonyl resin **12** (4.39 g): On-bead ATR-FTIR (neat) 1331, 1152, 1029 (cm^{-1}).

Preparation of thiazolo[4,5-*b*]pyridine 1a (R^1 = Ph, R^2 and R^3 = -$(CH_2)_4$-, R^4R^5N = NHBn).



The sulfonyl resin **12** (200 mg, theoretically 0.15 mmol) in THF (2 mL) was swollen for 5 min. The reaction mixture was then treated with benzylamine (0.065 mL, 0.60 mmol) and triethylamine (0.060 mL, 0.60 mmol). After the reaction mixture was shaken at 60 ^{o}C for 5 h, the reaction mixture was filtered, washed several times with MeOH and CH_2Cl_2, and concentrated under reduced pressure in a centrifugal vacuum evaporator. The residue was purified by parallel chromatography to give the desired thiazolo[4,5-*b*]pyridine **1a** (18 mg, 34% from Merrifield resin **7**). The analytical and spectroscopic data of **1a** was identical to those of the corresponding product from solution-phase route.

***N*-(4-Methoxybenzyl)-9-phenyl-5,6,7,8-tetrahydrothiazolo[4,5-*b*]quinolin-2-amine (1b).**

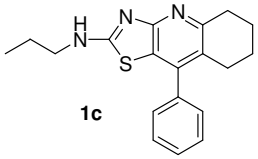


1b

Molecular Weight: 401.52

Isolated yield: 29%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.69-1.75 (m, 2H), 1.85-1.91 (m, 2H), 2.54 (t, *J* = 6.3 Hz, 2H), 3.03 (t, *J* = 6.6 Hz, 2H), 3.78 (s, 3H), 4.58 (s, 2H), 5.71 (br s, 1H), 6.85 (d, *J* = 8.7 Hz, 2H), 7.29 (d, *J* = 8.7 Hz, 2H), 7.30-7.34 (m, 2H), 7.41 (m, 1H), 7.44-7.48(m, 2H); LC-MS (ESI) *m*/*z* 402 ([M+1]$^+$).

9-Phenyl-*N*-propyl-5,6,7,8-tetrahydrothiazolo[4,5-*b*]quinolin-2-amine (1c).

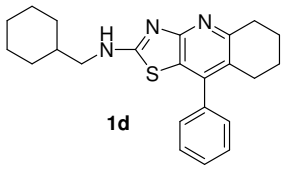


1c

Molecular Weight: 323.46

Isolated yield: 35%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.96 (t, *J* = 7.4 Hz, 3H), 1.53-1.55 (m, 4H), 1.57-1.58 (m, 2H), 2.54 (t, *J* = 6.3 Hz, 2H), 3.02 (t, *J* = 6.6 Hz, 2H), 3.38 (t, *J* = 7.2 Hz, 2H), 5.73 (br s, 1H), 7.31-7.34 (m, 2H), 7.41 (m, 1H), 7.45-7.49 (m, 2H); LC-MS (ESI) *m*/*z* 324 ([M+1]$^+$).

***N*-(Cyclohexylmethyl)-9-phenyl-5,6,7,8-tetrahydrothiazolo[4,5-*b*]quinolin-2-amine (1d).**

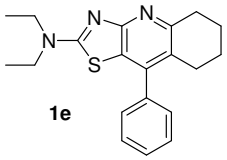


1d

Molecular Weight: 377.55

Isolated yield: 27%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.93-1.99 (m, 2H), 1.09-1.29 (m, 3H), 1.64-1.78 (m, 8H), 1.84-1.90 (m, 2H), 2.53 (t, *J* = 6.3 Hz, 2H), 3.02 (t, *J* = 6.6 Hz, 2H), 3.24 (d, *J* = 6.8 Hz, 2H), 5.26 (br s, 1H), 7.31-7.34 (m, 2H), 7.42 (m, 1H), 7.44-7.49 (m, 2H); LC-MS (ESI) *m*/*z* 378 ($[M+1]^+$).

***N*,*N*-Diethyl-9-phenyl-5,6,7,8-tetrahydrothiazolo[4,5-*b*]quinolin-2-amine (1e).**

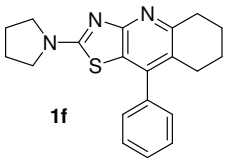


1e

Molecular Weight: 337.48

Isolated yield: 27%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.24 (t, *J* = 7.1 Hz, 6H), 1.69-1.74 (m, 2H), 1.85-1.90 (m, 2H), 2.53 (t, *J* = 6.4 Hz, 2H), 3.03 (t, *J* = 6.6 Hz, 2H), 3.51-3.57 (m, 4H), 7.33-7.35 (m, 2H), 7.42 (m, 1H), 7.45-7.49 (m, 2H); LC-MS (ESI) *m*/*z* 338 ($[M+1]^+$).

9-Phenyl-2-(pyrrolidin-1-yl)-5,6,7,8-tetrahydrothiazolo[4,5-*b*]quinoline (1f).

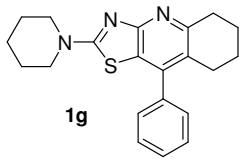


1f

Molecular Weight: 335.47

Isolated yield: 29%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.69-1.77 (m, 4H), 1.85-1.91 (m, 2H), 2.02-2.06 (m, 4H), 2.54 (t, *J* = 6.3 Hz, 2H), 3.02 (t, *J* = 6.6 Hz, 2H), 3.43-3.59 (m, 4H), 7.32-7.35 (m, 2H), 7.41 (m, 1H), 7.45-7.49 (m, 2H); LC-MS (ESI) *m*/*z* 336 ($[M+1]^+$).

9-Phenyl-2-(piperidin-1-yl)-5,6,7,8-tetrahydrothiazolo[4,5-*b*]quinoline (1g).

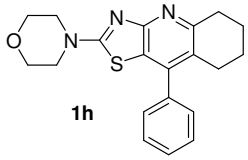


1g

Molecular Weight: 349.49

Isolated yield: 33%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.61-1.68 (m, 6H), 1.69-1.74 (m, 2H), 1.85-1.89 (m, 2H), 2.53 (t, *J* = 6.3 Hz, 2H), 3.01 (t, *J* = 6.6 Hz, 2H), 3.55-3.62 (m, 4H), 7.31-7.34 (m, 2H), 7.40 (m, 1H), 7.44-7.48 (m, 2H); LC-MS (ESI) *m*/*z* 350 ($[M+1]^+$).

4-(9-Phenyl-5,6,7,8-tetrahydrothiazolo[4,5-*b*]quinolin-2-yl)morpholine (1h).

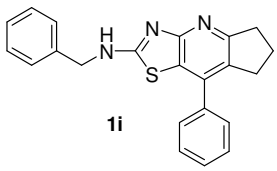


1h

Molecular Weight: 351.47

Isolated yield: 31%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.71-1.75 (m, 2H), 1.87-1.91 (m, 2H), 2.56 (t, *J* = 6.3 Hz, 2H), 3.04 (t, *J* = 6.6 Hz, 2H), 3.63 (t, *J* = 4.8 Hz, 4H), 3.76 (t, *J* = 3.8 Hz, 4H), 7.31-7.35 (m, 2H), 7.40-7.50 (m, 3H); LC-MS (ESI) *m*/*z* 352 ($[M+1]^+$).

***N*-Benzyl-8-phenyl-6,7-dihydro-5*H*-cyclopenta[*e*]thiazolo[4,5-*b*]pyridin-2-amine (1i).**

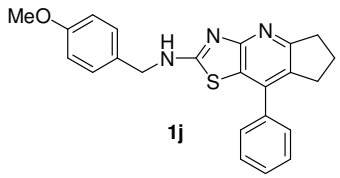


1i

Molecular Weight: 357.47

Isolated yield: 16%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.08-2.17 (m, 2H), 2.93 (t, *J* = 7.2, Hz, 2H), 3.10 (t, *J* = 7.6 Hz, 2H), 4.67 (s, 2H), 5.69 (br s, 1H), 7.28-7.44 (m, 6H), 7.46-7.52 (m, 4H); LC-MS (ESI) *m*/*z* .358 ($[M+1]^+$).

***N*-(4-Methoxybenzyl)-8-phenyl-6,7-dihydro-5*H*-cyclopenta[*e*]thiazolo[4,5-*b*]pyridin-2-amine (1j).**

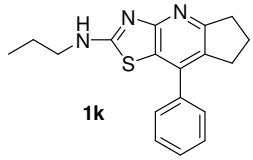


1j

Molecular Weight: 387.50

Isolated yield: 21%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.12 (m, 2H), 2.92 (t, *J* = 7.3 Hz, 2H), 3.09 (t, *J* = 7.6 Hz, 2H), 3.79 (s, 3H), 4.58 (s, 2H), 5.87 (br s, 1H), 6.86 (d, *J* = 8.6 Hz, 2H), 7.30 (d, *J* = 8.6 Hz, 2H), 7.42 (m, 1H), 7.45-7.50 (m, 4H); LC-MS (ESI) *m*/*z* 388 ($[M+1]^+$).

8-Phenyl-*N*-propyl-6,7-dihydro-5*H*-cyclopenta[*e*]thiazolo[4,5-*b*]pyridin-2-amine (1k).

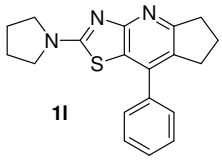


1k

Molecular Weight: 309.43

Isolated yield: 19%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.98 (t. *J* = 7.4 Hz, 3H), 1.66-1.73 (m, 2H), 2.12 (tt, *J* = 7.4, 7.4 Hz, 2H), 3.09 (t, *J* = 7.6 Hz, 2H), 3.39 (t, *J* = 7.0 Hz, 2H), 5.52 (br s, 1H), 7.42 (m, 1H), 7.46-7.52 (m, 4H); LC-MS (ESI) *m*/*z* 310 ($[M+1]^+$).

8-Phenyl-2-(pyrrolidin-1-yl)-6,7-dihydro-5*H*-cyclopenta[*e*]thiazolo[4,5-*b*]pyridine (1l).

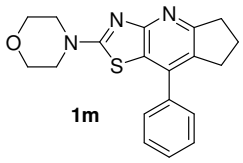


1l

Molecular Weight: 321.44

Isolated yield: 13%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.04-2.07 (m, 4H), 2.11 (m, 2H), 2.92 (t, *J* = 7.3 Hz, 2H), 3.08 (t, *J* = 7.6 Hz, 2H), 3.51-3.63 (m, 4H), 7.42 (m, 1H), 7.46-7.55 (m, 4H); LC-MS (ESI) *m*/*z* 322 ($[M+1]^+$).

4-(8-Phenyl-6,7-dihydro-5*H*-cyclopenta[*e*]thiazolo[4,5-*b*]pyridin-2-yl)morpholine (1m).

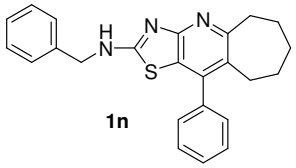


1m

Molecular Weight: 337.44

Isolated yield: 17%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.08-2.17 (m, 2H), 2.94 (t, *J* = 7.3, Hz, 2H), 3.10 (t, *J* = 7.6 Hz, 2H), 3.63-3.68 (m, 4H), 3.78-7.83 (m, 4H), 7.43 (m, 1H), 7.47-7.54 (m, 4H); LC-MS (ESI) *m*/*z* 338 ($[M+1]^+$).

***N*-Benzyl-10-phenyl-6,7,8,9-tetrahydro-5*H*-cyclohepta[*e*]thiazolo[4,5-*b*]pyridin-2-amine (1n).**

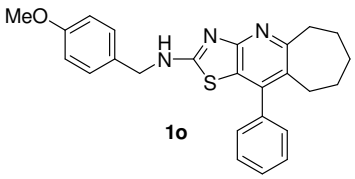


1n

Molecular Weight: 385.52

Isolated yield: 50%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.35-1.62 (m, 2H), 1.73-1.79 (m, 2H), 1.83-1.89 (m, 2H), 2.65-2.69 (m, 2H), 3.14-3.18 (m, 2H), 4.67 (s, 2H), 5.54 (br s, 1H), 7.26-7.38 (m, 7H), 7.42 (m, 1H), 7.44-7.48 (m, 2H); LC-MS (ESI) *m*/*z* 386 ($[M+1]^+$).

***N*-(4-Methoxybenzyl)-10-phenyl-6,7,8,9-tetrahydro-5*H*-cyclohepta[*e*]thiazolo[4,5-*b*]pyridin-2-amine (1o).**

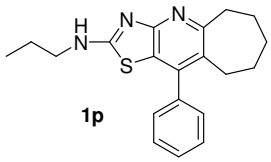


1o

Molecular Weight: 415.55

Isolated yield: 43%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.56-1.62 (m, 2H), 1.74-1.79 (m, 2H), 1.83-1.88 (m, 2H), 2.65-2.69 (m, 2H), 3.13-3.18 (m, 2H), 3.79 (s, 3H), 4.59 (s, 2H), 5.48 (br s, 1H), 6.86 (d, *J* = 8.6 Hz, 2H), 7.28-7.32 (m, 4H), 7.39-7.48 (m, 3H); LC-MS (ESI) *m*/*z* 416 ($[M+1]^+$).

10-Phenyl-*N*-propyl-6,7,8,9-tetrahydro-5*H*-cyclohepta[*e*]thiazolo[4,5-*b*]pyridin-2-amine (1p).

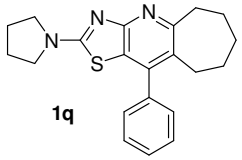


1p

Molecular Weight: 337.48

Isolated yield: 48%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.96 (t, *J* = 7.4 Hz, 3H), 1.58 (m, 2H), 1.69 (q, *J* = 7.3 Hz, 2H), 1.73-1.77 (m, 2H), 1.82-1.87 (m, 2H), 2.64-2.67 (m, 2H), 3.13-3.15 (m, 2H), 3.38 (t, *J* = 6.7 Hz, 2H), 5.39 (br s, 1H), 7.30-7.32 (m, 2H), 7.40-7.50 (m, 3H); LC-MS (ESI) *m*/*z* 338 ($[M+1]^+$).

10-Phenyl-2-(pyrrolidin-1-yl)-6,7,8,9-tetrahydro-5*H*-cyclohepta[*e*]thiazolo[4,5-*b*]pyridine (1q).

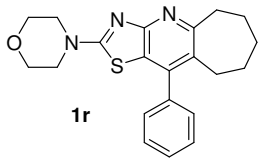


1q

Molecular Weight: 349.49

Isolated yield: 34%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.55-1.61 (m, 2H), 1.73-1.77 (m, 2H), 1.81-1.87 (m, 2H), 2.01-2.05 (m, 4H), 2.64-2.67 (m, 2H), 3.13-3.15 (m, 2H), 3.46-3.58 (m, 4H), 7.31-7.34 (m, 2H), 7.42 (m, 1H), 7.45-7.50 (m, 2H); LC-MS (ESI) *m*/*z* 350 ($[M+1]^+$).

4-(10-Phenyl-6,7,8,9-tetrahydro-5*H*-cyclohepta[*e*]thiazolo[4,5-*b*]pyridin-2-yl)morpholine (1r).

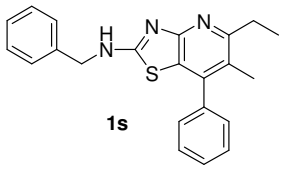


1r

Molecular Weight: 365.49

Isolated yield: 37%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.56-1.62 (m, 2H), 1.74-1.79 (m, 2H), 1.83-1.88 (m, 2H), 2.66-2.70 (m, 2H), 3.14-3.18 (m, 2H), 3.61-3.64 (m, 4H), 3.76-3.79 (m, 4H), 7.30-7.33(m, 2H), 7.43 (m, 1H), 7.46-7.50 (m, 2H); LC-MS (ESI) *m*/*z* 366 ($[M+1]^+$).

***N*-Benzyl-5-ethyl-6-methyl-7-phenylthiazolo[4,5-*b*]pyridin-2-amine (1s).**

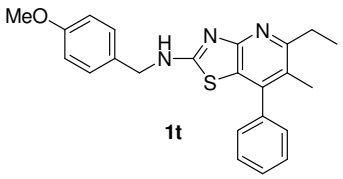


1s

Molecular Weight: 359.49

Isolated yield: 24%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.36 (t, *J* = 7.5 Hz, 3H), 2.16 (s, 3H), 2.92 (q, *J* = 7.5 Hz, 2H), 4.69 (s, 2H), 5.51 (br s, 1H), 7.27-7.37 (m, 7H), 7.42 (m, 1H), 7.45-7.49 (m, 2H); LC-MS (ESI) *m*/*z* 360 ($[M+1]^+$).

5-Ethyl-*N*-(4-methoxybenzyl)-6-methyl-7-phenylthiazolo[4,5-*b*]pyridin-2-amine (1t).

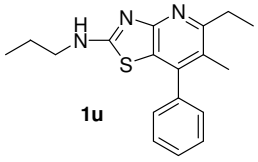


1t

Molecular Weight: 389.51

Isolated yield: 31%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.36 (t, *J* = 7.5 Hz, 3H), 2.16 (s, 3H), 2.91 (q, *J* = 7.5 Hz, 2H), 3.79 (s, 3H), 4.61 (s, 2H), 5.46 (br s, 1H), 6.87 (d, *J* = 8.7 Hz, H), 7.29 (d, *J* = 8.8 Hz, 2H), 7.31-7.34 (m, 2H), 7.41 (m, 1H), 7.45-7.49 (m, 2H); LC-MS (ESI) *m*/*z* 390 ($[M+1]^+$).

5-Ethyl-6-methyl-7-phenyl-*N*-propylthiazolo[4,5-*b*]pyridin-2-amine (1u).

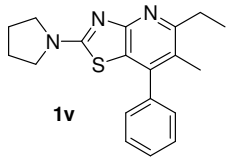


1u

Molecular Weight: 311.44

Isolated yield: 39%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.97 (t, *J* = 7.4 Hz, 3H), 1.35 (q, *J* = 7.5 Hz, 2H), 1.69 (q, *J* = 7.3 Hz, 2H), 2.90 (q, *J* = 7.5 Hz, 2H), 3.37-3.43 (m, 2H), 5.37 (br s, 1H) , 7.32-7.35 (m, 2H), 7.42 (m, 1H), 7.46-7.50 (m, 2H); LC-MS (ESI) *m*/*z* 312 ($[M+1]^+$).

5-Ethyl-6-methyl-7-phenyl-2-(pyrrolidin-1-yl)thiazolo[4,5-*b*]pyridine (1v).

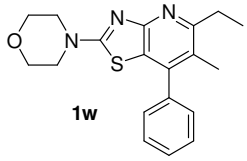


1v

Molecular Weight: 323.46

Isolated yield: 33%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.36 (t, *J* = 7.5 Hz, 3H), 2.02-2.04 (m, 4H), 2.15 (s, 3H), 2.90 (q, *J* = 7.5 Hz, 2H), 3.46-3.63 (m, 4H), 7.33-7.36 (m, 2H), 7.40-7.44 (m, 1H), 7.46-7.50 (m, 2H); LC-MS (ESI) *m*/*z* 324 ($[M+1]^+$).

4-(5-Ethyl-6-methyl-7-phenylthiazolo[4,5-*b*]pyridin-2-yl)morpholine (1w).

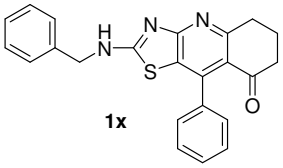


1w

Molecular Weight: 339.45

Isolated yield: 26%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.36 (t, *J* = 7.5 Hz, 3H), 2.17 (s, 3H), 2.91 (q, *J* = 7.5 Hz, 2H), 3.62-3.66 (m, 4H), 3.77-3.80 (m, 4H), 7.33-7.36 (m, 2H), 7.43 (m, 1H), 7.47-7.51 (m, 2H); LC-MS (ESI) *m*/*z* 340 ($[M+1]^+$).

2-(Benzylamino)-9-phenyl-6,7-dihydrothiazolo[4,5-*b*]quinolin-8(5*H*)-one (1x).

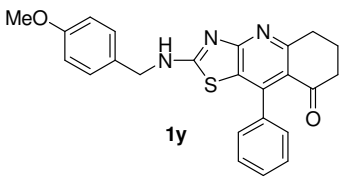


1x

Molecular Weight: 385.48

Isolated yield: 23%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.17 (m, 2H), 2.61 (d, *J* = 6.6 Hz, 2H), 3.24 (d, *J* = 6.2 Hz, 2H), 4.70 (s, 2H), 6.03 (br s, 1H), 7.24-7.26 (m, 2H), 7.32 (m, 1H), 7.34-7.37 (m, 4H), 7.39-7.45 (m, 2H); LC-MS (ESI) *m*/*z* 386 ($[M+1]^+$).

2-(4-Methoxybenzylamino)-9-phenyl-6,7-dihydrothiazolo[4,5-*b*]quinolin-8(5*H*)-one (1y).

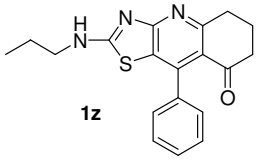


1y

Molecular Weight: 415.51

Isolated yield: 24%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.14-2.19 (m, 2H), 2.60 (t, *J* = 6.6 Hz, 2H), 3.21(t, *J* = 6.2 Hz, 2H), 3.78 (s, 3H), 4.59 (s, 2H), 6.04 (br s, 1H), 6.85 (d, *J* = 8.8 Hz, 2H), 7.22-7.25 (m, 2H), 7.27 (d, *J* = 8.7 Hz, 2H), 7.40-7.48 (m, 3H); LC-MS (ESI) *m*/*z* 416 ($[M+1]^+$).

9-Phenyl-2-(propylamino)-6,7-dihydrothiazolo[4,5-*b*]quinolin-8(5*H*)-one (1z).

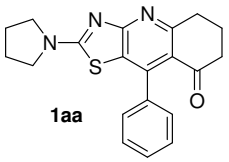


1z

Molecular Weight: 337.44

Isolated yield: 20%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.98 (t, *J* = 7.4 Hz, 3H), 1.72 (q, *J* = 7.3 Hz, 2H), 2.16 (m, 2H), 2.60 (t, *J* = 6.6 Hz, 2H), 3.23 (t, *J* = 6.3 Hz, 2H), 3.38-3.47 (m, 2H), 5.93 (br s, 1H), 7.24-7.26 (m, 2H), 7.40-7.47 (m, 3H); LC-MS (ESI) *m*/*z* 338 ($[M+1]^+$).

9-Phenyl-2-(pyrrolidin-1-yl)-6,7-dihydrothiazolo[4,5-*b*]quinolin-8(5*H*)-one (1aa).

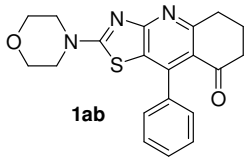


1aa

Molecular Weight: 349.45

Isolated yield: 18%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.05-2.09 (m, 4H), 2.16 (m, 2H), 2.60 (t, *J* = 6.6 Hz, 2H), 3.22 (t, *J* = 6.3, 2H), 3.28-3.39 (m, 2H), 3.76-3.92 (m, 2H), 7.25-7.28 (m, 2H), 7.38-7.47 (m, 3H); LC-MS (ESI) *m*/*z* 350 ($[M+1]^+$).

2-Morpholino-9-phenyl-6,7-dihydrothiazolo[4,5-*b*]quinolin-8(5*H*)-one (1ab).

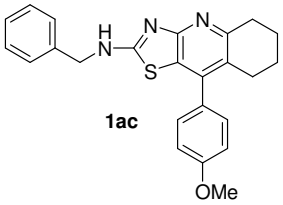


1ab

Molecular Weight: 365.45

Isolated yield: 16%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.17 (tt, *J* = 6.4, 6.4 Hz, 2H), 2.16 (t, *J* = 6.6 Hz, 2H), 3.23 (t, *J* = 6.3 Hz, 4H), 3.77-3.75 (m, 4H), 3.77-3.81 (m, 4H), 7.24-7.27 (m, 2H), 7.40-7.48 (m, 3H); LC-MS (ESI) *m*/*z* 366 ($[M+1]^+$).

_N_-Benzyl-9-(4-methoxyphenyl)-5,6,7,8-tetrahydrothiazolo[4,5-*b*]quinolin-2-amine (1ac).

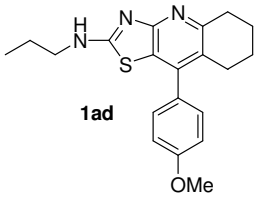


1ac

Molecular Weight: 401.52

Isolated yield: 37%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.70-1.75 (m, 2H), 1.87-1.92 (m, 2H), 2.56 (t, *J* = 6.3 Hz, 2H), 3.03 (t, *J* = 6.6 Hz, 2H), 3.86 (s, 2H), 5.63 (br s, 1H), 6.98 (d, *J* = 8.8 Hz, 2H), 7.26 (d, *J* = 8.8 Hz, 2H), 7.27-7.39 (m, 5H); LC-MS (ESI) *m*/*z* 402 ($[M+1]^+$).

9-(4-Methoxyphenyl)-_N_-propyl-5,6,7,8-tetrahydrothiazolo[4,5-*b*]quinolin-2-amine (1ad).

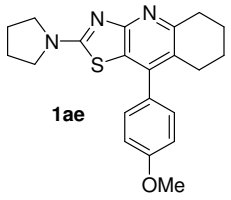


1ad

Molecular Weight: 353.48

Isolated yield: 48%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.97 (t, *J* = 7.4 Hz, 3H), 1.69 (q, *J* = 7.3 Hz, 2H), 1.71-1.75 (m, 2H), 1.85-1.91 (m, 2H), 2.56 (t, *J* = 6.3 Hz, 2H), 3.02 (t, *J* = 6.5 Hz, 2H), 3.39 (t, *J* = 6.8 Hz, 2H), 5.38 (br s, 1H), 6.99 (d, *J* = 8.7 Hz, 2H), 7.27 (d, *J* = 8.7 Hz, 2H); LC-MS (ESI) *m*/*z* 354 ($[M+1]^+$).

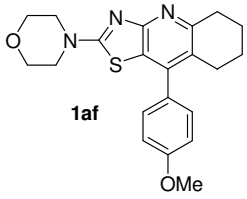
9-(4-Methoxyphenyl)-2-(pyrrolidin-1-yl)-5,6,7,8-tetrahydrothiazolo[4,5-*b*]quinoline (1ae).



Molecular Weight: 365.49

Isolated yield: 32%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.70-1.75 (m, 2H), 1.85-1.90 (m, 2H), 2.02-2.05 (m, 4H), 2.56 (d, *J* = 6.3 Hz, 2H), 3.02 (t, *J* = 6.6 Hz, 2H), 3.40-3.63 (m, 4H), 3.87 (s, 3H), 7.00 (d, *J* = 8.7 Hz, 2H), 7.29 (d, *J* = 8.7 Hz, 2H); LC-MS (ESI) *m*/*z* 366 ([M+1]$^+$).

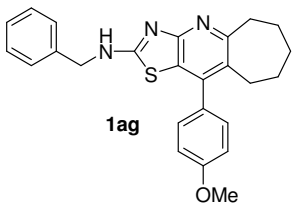
4-[9-(4-Methoxyphenyl)-5,6,7,8-tetrahydrothiazolo[4,5-*b*]quinolin-2-yl]morpholine (1af).



Molecular Weight: 381.49

Isolated yield: 34%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.71-1.76 (m, 2H), 1.86-1.91 (m, 2H), 2.57 (t, *J* = 6.3 Hz, 2H), 3.02 (t, *J* = 6.6 Hz, 2H), 3.61-3.64 (m, 4H), 3.76-7.79 (m, 4H), 3.86 (s, 3H), 6.99 (d, *J* = 8.8 Hz, 2H), 7.27 (d, *J* = 8.8 Hz, 2H); LC-MS (ESI) *m*/*z* 382 ([M+1]$^+$).

***N*-Benzyl-10-(4-methoxyphenyl)-6,7,8,9-tetrahydro-5*H*-cyclohepta[*e*]thiazolo[4,5-*b*]pyridin-2-amine (1ag).**

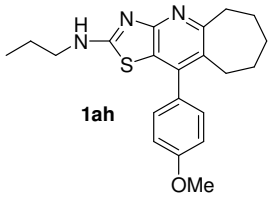


Molecular Weight: 415.55

Isolated yield: 40%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.55-1.62 (m, 2H), 1.75-1.80 (m, 2H), 1.82-1.88 (m, 2H), 2.67-2.72 (m, 2H), 3.13-3.18 (m, 2H), 3.87 (s, 3H), 4.67 (s, 2H), 5.50 (br s, 1H), 6.99 (d, *J* = 8.7 Hz, 2H), 7.24 (d, *J* = 8.7 Hz, 2H), 7.27-7.39 (m, 5H); LC-MS (ESI) *m*/*z* 416 ([M+1]$^+$).

10-(4-Methoxyphenyl)-*N*-propyl-6,7,8,9-tetrahydro-5*H*-cyclohepta[*e*]thiazolo[4,5-*b*]pyridin-2-

amine (1ah).

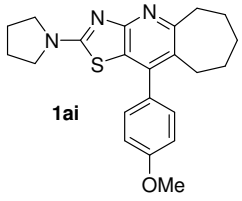


1ah

Molecular Weight: 367.51

Isolated yield: 49%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.96 (t, *J* = 7.4 Hz, 3H), 1.58 (m, 2H), 1.69 (q, *J* = 7.3 Hz, 2H), 1.73-1.78 (m, 2H), 1.82-1.87 (m, 2H), 2.66-2.69 (m, 2H), 3.11-3.14 (m, 2H), 3.38 (t, *J* = 7.1 Hz, 2H), 3.87 (s, 3H), 5.54 (br s, 1H), 6.99 (d, *J* = 8.7 Hz, 2H), 7.24 (d, *J* = 8.7 Hz, 2H); LC-MS (ESI) *m*/*z* 368 ([M+1]$^+$).

10-(4-Methoxyphenyl)-2-(pyrrolidin-1-yl)-6,7,8,9-tetrahydro-5*H*-cyclohepta[*e*]thiazolo[4,5-*b*]pyridine (1ai).

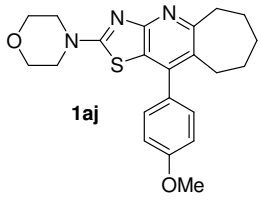


1ai

Molecular Weight: 379.52

Isolated yield: 44%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.57-1.60 (m, 2H), 1.74-1.77 (m, 2H), 1.81-1.87 (m, 2H), 2.01-2.04 (m, 4H), 2.66-2.69 (m, 2H), 3.12-3.14 (m, 2H), 3.46-3.59 (m, 4H), 3.87 (s, 3H), 7.00 (d, *J* = 8.6 Hz, 2H), 7.26 (d, *J* = 8.6 Hz, 2H); LC-MS (ESI) *m*/*z* 380 ([M+1]$^+$).

4-[10-(4-Methoxyphenyl)-6,7,8,9-tetrahydro-5*H*-cyclohepta[*e*]thiazolo[4,5-*b*]pyridin-2-yl]morpholine (1aj).



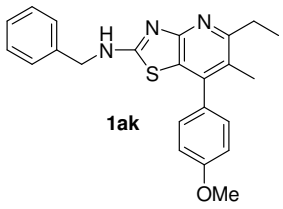
1aj

Molecular Weight: 395.52

Isolated yield: 38%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.58-1.62 (m, 2H), 1.74-1.79 (m, 2H), 1.83-1.88 (m, 2H), 2.68-2.72 (m, 2H), 3.13-3.18 (m, 2H), 3.61-3.64 (m, 4H), 3.76-3.79 (m, 4H), 3.87 (s, 3H),

7.00 (d, J = 8.8 Hz, 2H), 7.25 (d, J = 8.8 Hz, 2H); LC-MS (ESI) m/z 396 ($[M+1]^+$).

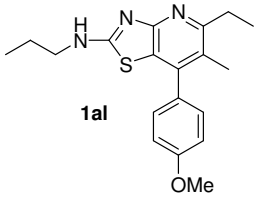
_N_-Benzyl-5-ethyl-7-(4-methoxyphenyl)-6-methylthiazolo[4,5-_b_]pyridin-2-amine (1ak).



Molecular Weight: 389.51

Isolated yield: 30%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.37 (t, J = 7.5 Hz, 3H), 2.17 (s, 3H), 2.91 (q, J = 7.5 Hz, 2H), 3.87 (s, 3H), 4.69 (s, 2H), 5.48 (br s, 1H), 6.99 (d, J = 8.8 Hz, 2H), 7.26 (d, J = 8.8 Hz, 2H), 7.29 (m, 1H), 7.32-7.38 (m, 4H); LC-MS (ESI) m/z 390 ($[M+1]^+$).

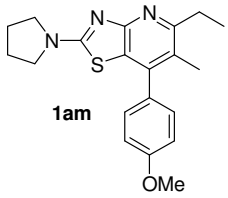
5-Ethyl-7-(4-methoxyphenyl)-6-methyl-_N_-propylthiazolo[4,5-_b_]pyridin-2-amine (1al).



Molecular Weight: 341.47

Isolated yield: 38%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.97 (t, J = 7.4 Hz, 3H), 1.34 (t, J = 7.5 Hz, 3H), 1.70 (q, J = 7.3 Hz, 2H), 2.16 (s, 3H), 2.89 (q, J = 7.5 Hz, 2H), 3.39 (t, J = 7.0 Hz, 2H), 3.87 (s, 3H), 5.57 (br s, 1H), 7.00 (d, J = 8.7 Hz, 2H), 7.27 (d, J = 8.7 Hz, 2H); LC-MS (ESI) m/z 342 ($[M+1]^+$).

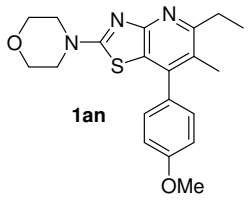
5-Ethyl-7-(4-methoxyphenyl)-6-methyl-2-(pyrrolidin-1-yl)thiazolo[4,5-_b_]pyridine (1am).



Molecular Weight: 353.48

Isolated yield: 33%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.35(t, J = 7.5 Hz, 3H), 2.02-2.04 (m, 4H), 2.16 (s, 3H), 2.89 (q, J = 7.2 Hz, 2H), 3.45-3.62 (m, 4H), 3.87 (s, 3H), 7.00 (d, J = 8.7 Hz, 2H), 7.28 (d, J = 8.7 Hz, 2H); LC-MS (ESI) m/z 354 ($[M+1]^+$).

4-(5-Ethyl-7-(4-methoxyphenyl)-6-methylthiazolo[4,5-*b*]pyridin-2-yl)morpholine (1an).

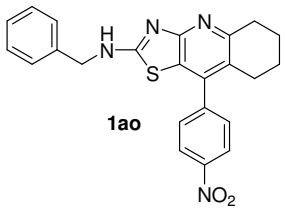


1an

Molecular Weight: 369.48

Isolated yield: 29%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.35 (t, *J* = 7.5 Hz, 3H), 2.18 (s, 3H), 2.90 (q, *J* = 7.5 Hz, 2H), 3.62-3.65 (m, 4H), 3.76-3.80 (m, 4H), 3.87 (s, 3H), 7.01 (d, *J* = 8.8 Hz, 2H), 7.28 (d, *J* = 8.8 Hz, 2H); LC-MS (ESI) *m*/*z* 370 ($[M+1]^+$).

***N*-Benzyl-9-(4-nitrophenyl)-5,6,7,8-tetrahydrothiazolo[4,5-*b*]quinolin-2-amine (1ao).**

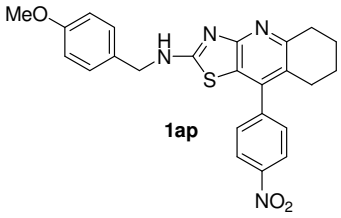


1ao

Molecular Weight: 416.50

Isolated yield: 39%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.73-1.78 (m, 2H), 1.88-1.94 (m, 2H), 2.50 (t, *J* = 6.3 Hz, 2H), 3.05 (t, *J* = 6.5, 2H), 4.68 (s, 2H), 5.73 (br s, 1H), 7.27-7.39 (m, 5H), 7.52 (d, *J* = 8.7 Hz, 2H), 8.34 (d, *J* = 8.7 Hz, 2H); LC-MS (ESI) *m*/*z* 417 ($[M+1]^+$).

***N*-(4-Methoxybenzyl)-9-(4-nitrophenyl)-5,6,7,8-tetrahydrothiazolo[4,5-*b*]quinolin-2-amine (1ap).**



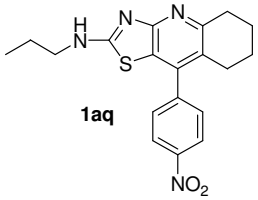
1ap

Molecular Weight: 446.52

Isolated yield: 45%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.72-1.78 (m, 2H), 1.88-1.93 (m, 2H), 2.50 (t, *J*

= 6.3 Hz, 2H), 3.05 (t, *J* = 6.6, 2H), 3.79 (s, 3H), 4.60 (s, 2H), 5.69 (br s, 1H), 6.86 (d, *J* = 8.7 Hz, 2H), 7.29 (d, *J* = 8.6 Hz, 2H), 7.52 (d, *J* = 8.8 Hz, 2H), 8.34 (d, *J* = 8.8 Hz, 2H); LC-MS (ESI) *m*/*z* 447 ($[M+1]^+$).

9-(4-Nitrophenyl)-*N*-propyl-5,6,7,8-tetrahydrothiazolo[4,5-*b*]quinolin-2-amine (1aq).

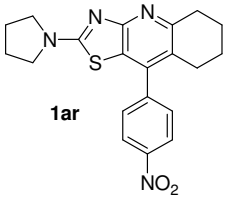


1aq

Molecular Weight: 368.45

Isolated yield: 41%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.97 (t, *J* = 7.4 Hz, 3H), 1.71 (q, *J* = 6.4 Hz, 2H), 1.73-1.78 (m, 2H), 1.87-1.93 (m, 2H), 2.49 (t, *J* = 6.3 Hz, 2H), 3.04 (t, *J* = 6.6 Hz, 2H), 3.40 (t, *J* = 7.0 Hz, 2H), 5.61 (br s, 1H), 7.53 (d, *J* = 8.8 Hz, 2H), 8.35 (d, *J* = 8.8 Hz, 2H); LC-MS (ESI) *m*/*z* 369 ($[M+1]^+$).

9-(4-Nitrophenyl)-2-(pyrrolidin-1-yl)-5,6,7,8-tetrahydrothiazolo[4,5-*b*]quinoline (1ar).

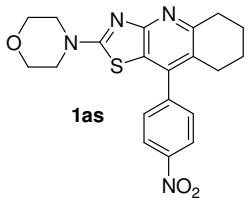


1ar

Molecular Weight: 380.46

Isolated yield: 35%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.72-1.77 (m, 2H), 1.86-1.92 (m, 2H), 2.03-2.07 (m, 4H), 2.50 (t, *J* = 6.3 Hz, 2H), 3.04 (t, *J* = 6.6 Hz, 2H), 3.42-3.64 (m, 4H), 7.54 (d, *J* = 8.8 Hz, 2H), 8.35 (d, *J* = 8.8 Hz, 2H); LC-MS (ESI) *m*/*z* 381 ($[M+1]^+$).

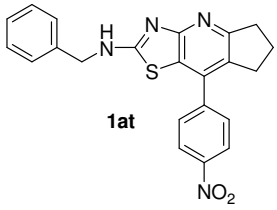
4-[9-(4-Nitrophenyl)-5,6,7,8-tetrahydrothiazolo[4,5-*b*]quinolin-2-yl]morpholine (1as).



Molecular Weight: 396.46

Isolated yield: 37%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.73-1.77 (m, 2H), 1.88-1.92 (m, 2H), 2.51 (t, *J* = 6.3 Hz, 2H), 3.05 (t, *J* = 6.6 Hz, 2H), 3.63-3.66 (m, 4H), 3.77-3.81 (m, 4H), 7.54 (d, *J* = 8.9 Hz, 2H), 8.35 (d, *J* = 8.9 Hz, 2H); LC-MS (ESI) *m*/*z* 397 ($[M+1]^+$).

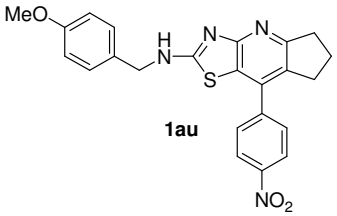
_N_-Benzyl-8-(4-nitrophenyl)-6,7-dihydro-5_H_-cyclopenta[_e_]thiazolo[4,5-_b_]pyridin-2-amine (1at).



Molecular Weight: 402.47

Isolated yield: 24%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.16 (tt, *J* = 7.5, 7.5 Hz, 2H), 2.90 (t, *J* = 7.3 Hz, 2H), 3.12 (t, *J* = 7.7 Hz, 2H), 4.68 (s, 2H), 5.77 (br s, 2H), 7.28-7.41 (m, 5H), 7.68 (d, *J* = 8.9 Hz, 2H), 8.35 (d, *J* = 8.9 Hz, 2H); LC-MS (ESI) *m*/*z* 403 ($[M+1]^+$).

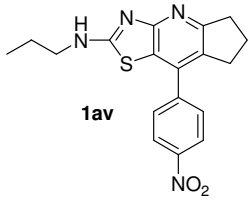
_N_-(4-Methoxybenzyl)-8-(4-nitrophenyl)-6,7-dihydro-5_H_-cyclopenta[_e_]thiazolo[4,5-_b_]pyridin-2-amine (1au).



Molecular Weight: 432.49

Isolated yield: 27%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.16 (m, 2H), 2.90 (t, *J* = 7.5 Hz, 2H), 3.13 (t, *J* = 7.6 Hz, 2H), 3.80 (s, 3H), 4.61 (s, 2H), 5.61 (br s, 1H), 6.88 (d, *J* = 8.7 Hz, 2H), 7.31 (d, *J* = 8.6 Hz, 2H), 7.68 (d, *J* = 8.8 Hz, 2H), 8.35 (d, *J* = 8.8 Hz, 2H); LC-MS (ESI) *m*/*z* 433 ($[M+1]^+$).

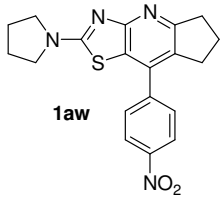
8-(4-Nitrophenyl)-*N*-propyl-6,7-dihydro-5*H*-cyclopenta[*e*]thiazolo[4,5-*b*]pyridin-2-amine (1av).



Molecular Weight: 354.43

Isolated yield: 31%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.99 (t, *J* = 7.4 Hz, 3H), 1.73 (q, *J* = 7.3 Hz, 2H), 2.15 (m, 2H), 2.89 (t, *J* = 7.3 Hz, 2H), 3.10 (t, *J* = 7.6 Hz, 2H), 3.40 (t, *J* = 7.1 Hz, 2H), 5.81 (br s, 1H), 7.69 (d, *J* = 8.9 Hz, 2H), 8.35 (d, *J* = 8.9 Hz, 2H); LC-MS (ESI) *m*/*z* 355 ([M+1]$^+$).

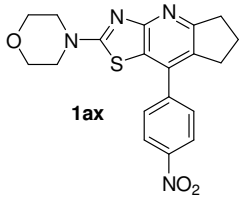
8-(4-Nitrophenyl)-2-(pyrrolidin-1-yl)-6,7-dihydro-5*H*-cyclopenta[*e*]thiazolo[4,5-*b*]pyridine (1aw).



Molecular Weight: 366.44

Isolated yield: 28%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.05-2.09 (m, 4H), 2.15 (m, 2H), 2.89 (t, *J* = 7.3 Hz, 2H), 3.10 (t, *J* = 7.6 Hz, 2H), 3.50-3.67 (m, 4H), 7.71 (d, *J* = 8.6 Hz, 2H), 8.36 (d, *J* = 8.6 Hz, 2H); LC-MS (ESI) *m*/*z* 367 ([M+1]$^+$).

4-[8-(4-Nitrophenyl)-6,7-dihydro-5*H*-cyclopenta[*e*]thiazolo[4,5-*b*]pyridin-2-yl]morpholine (1ax).

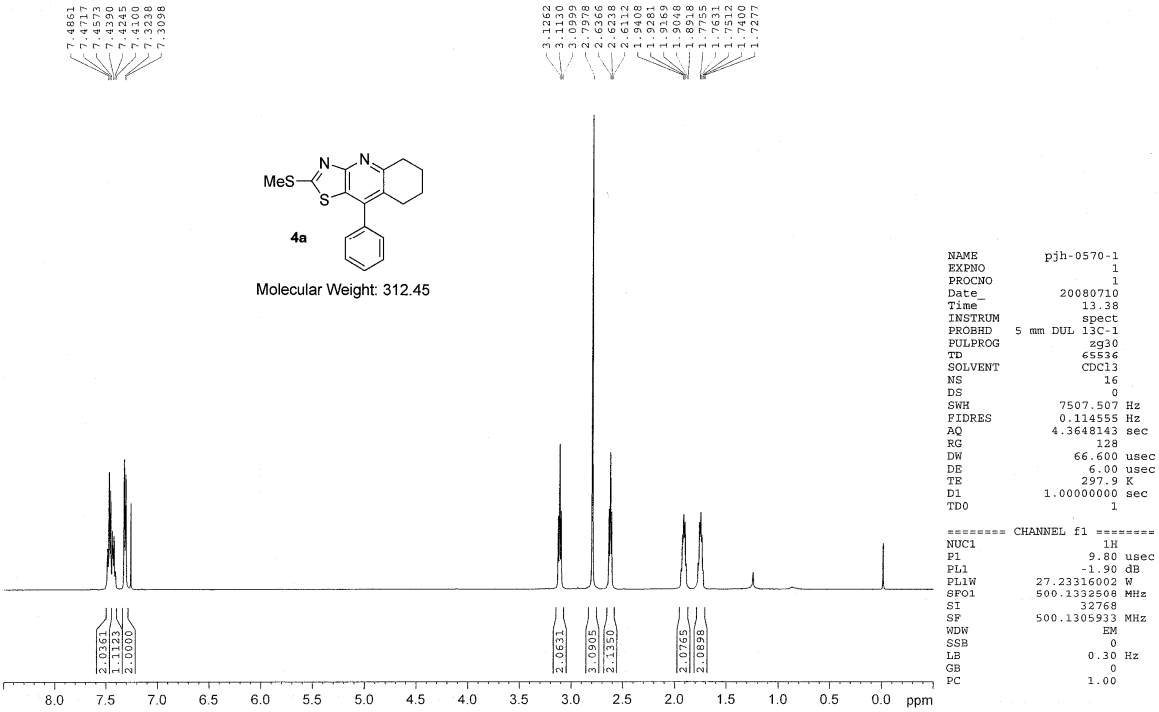


Molecular Weight: 382.44

Isolated yield: 19%. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.16 (tt, *J* = 7.5, 7.5 Hz, 2H), 2.90 (t, *J* = 7.3 Hz, 2H), 3.10 (t, *J* = 7.6 Hz, 2H), 3.65-3.69 (m, 4H), 3.80-3.84 (m, 4H), 7.70 (d, *J* = 8.8 Hz, 2H), 8.36

(d, J = 8.8 Hz, 2H); LC-MS (ESI) m/z 383 ($[M+1]^+$).

^{1}H NMR spectrum of compound **4a**.

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PROCNO                 1
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TD                 65536
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DS                     0
SWH             7507.507 Hz
FIDRES         0.114555 Hz
AQ             4.3648143 sec
RG                   128
DW                66.600 usec
DE                 6.00 usec
TE                297.9 K
D1           1.00000000 sec
TD0                    1

======== CHANNEL f1 ========
NUC1                  1H
P1                  9.80 usec
PL1                -1.90 dB
PL1W        27.23316002 W
SFO1        500.1332508 MHz
SI                 32768
SF          500.1305933 MHz
WDW                   EM
SSB                    0
LB                  0.30 Hz
GB                     0
PC                  1.00
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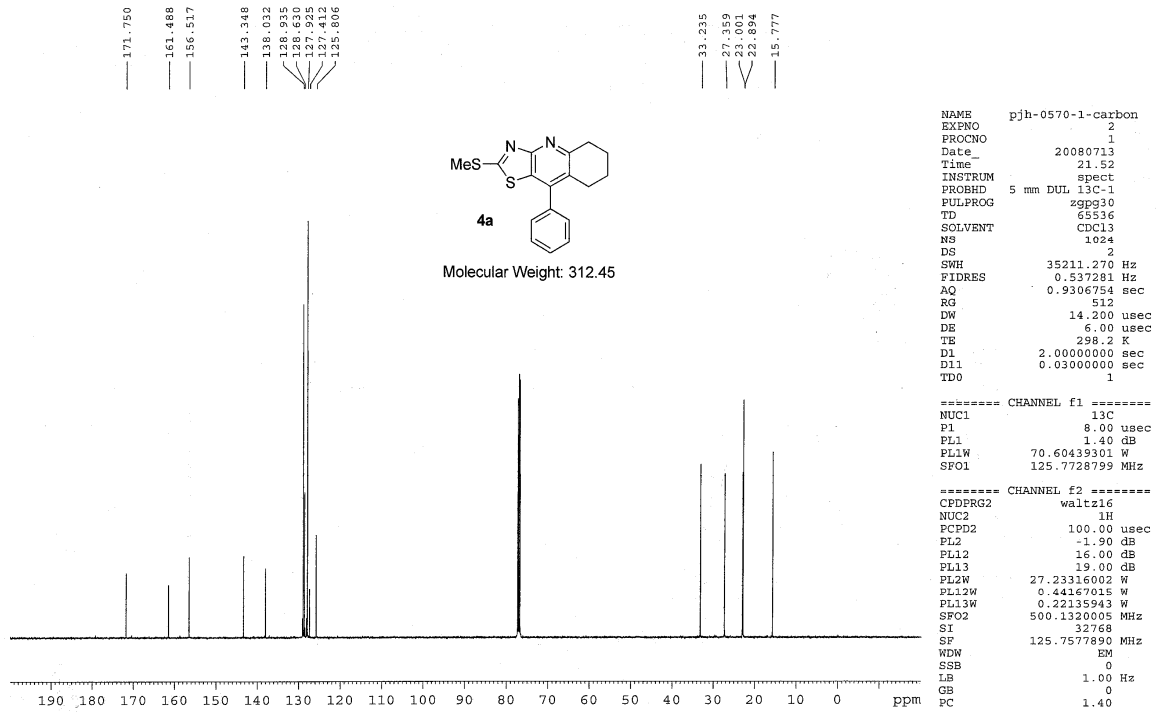
^{13}C NMR spectrum of compound **4a**.

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PROCNO                    1
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TD                  65536
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NS                   1024
DS                      2
SWH             35211.270 Hz
FIDRES          0.537281 Hz
AQ              0.9306754 sec
RG                    512
DW                 14.200 usec
DE                  6.00 usec
TE                 298.2 K
D1            2.00000000 sec
D11           0.03000000 sec
TD0                     1

======== CHANNEL f1 ========
NUC1                   13C
P1                  8.00 usec
PL1                 1.40 dB
PL1W         70.60439301 W
SFO1        125.7728799 MHz

======== CHANNEL f2 ========
CPDPRG2            waltz16
NUC2                    1H
PCPD2              100.00 usec
PL2                 -1.90 dB
PL12                16.00 dB
PL13                19.00 dB
PL2W         27.23316002 W
PL12W         0.44167015 W
PL13W         0.22135943 W
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SF          125.7577890 MHz
WDW                    EM
SSB                     0
LB                   1.00 Hz
GB                      0
PC                   1.40
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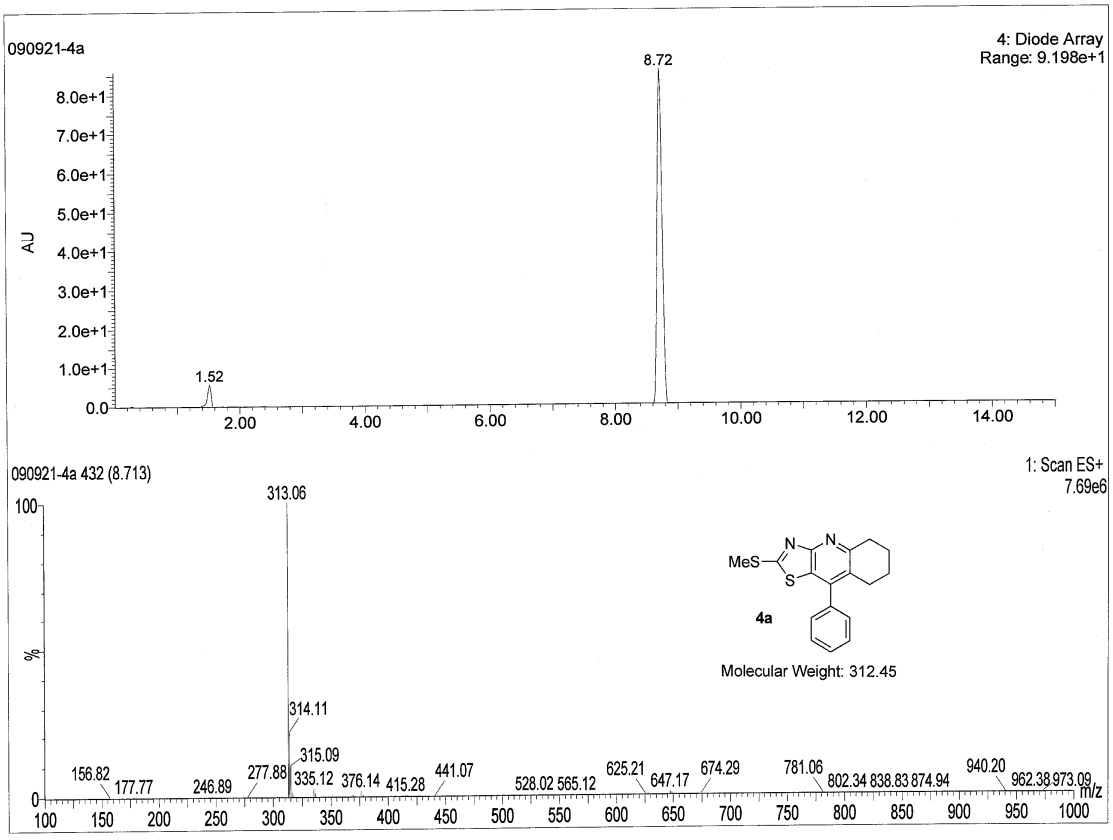
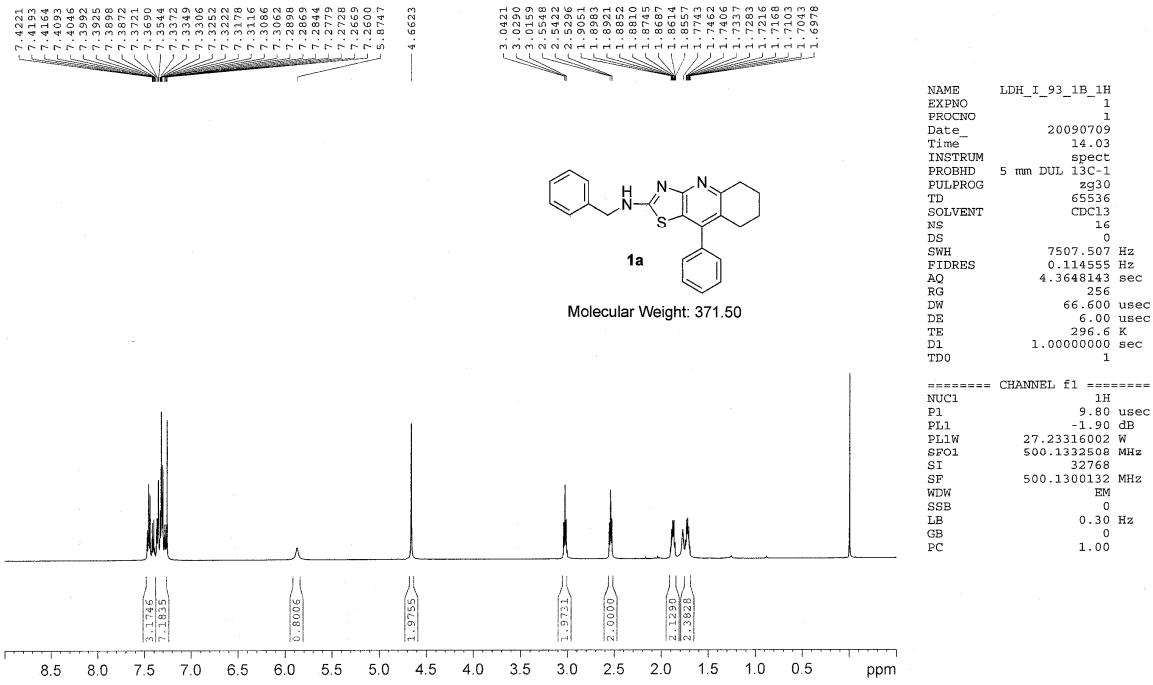
LC-MS spectrum of compound **4a**.



^{1}H NMR spectrum of compound **1a**.

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EXPNO                    1
PROCNO                   1
Date_             20090709
Time                 14.03
INSTRUM              spect
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PULPROG              zg30
TD                  65536
SOLVENT             CDCl3
NS                     16
DS                      0
SWH             7507.507 Hz
FIDRES          0.114555 Hz
AQ              4.3648143 sec
RG                    256
DW                 66.600 usec
DE                  6.00 usec
TE                 296.6 K
D1              1.00000000 sec
TD0                     1

======== CHANNEL f1 ========
NUC1                   1H
P1                  9.80 usec
PL1                -1.90 dB
PL1W         27.23316002 W
SFO1         500.1332508 MHz
SI                  32768
SF           500.1300132 MHz
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LB                   0.30 Hz
GB                      0
PC                   1.00
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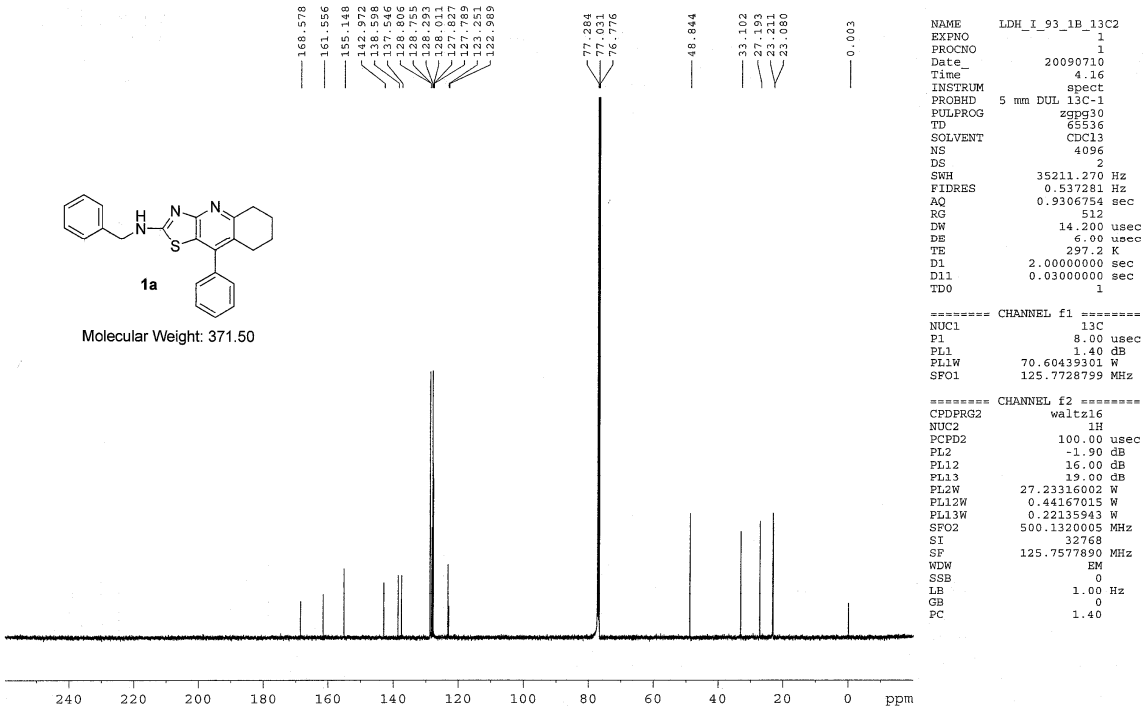
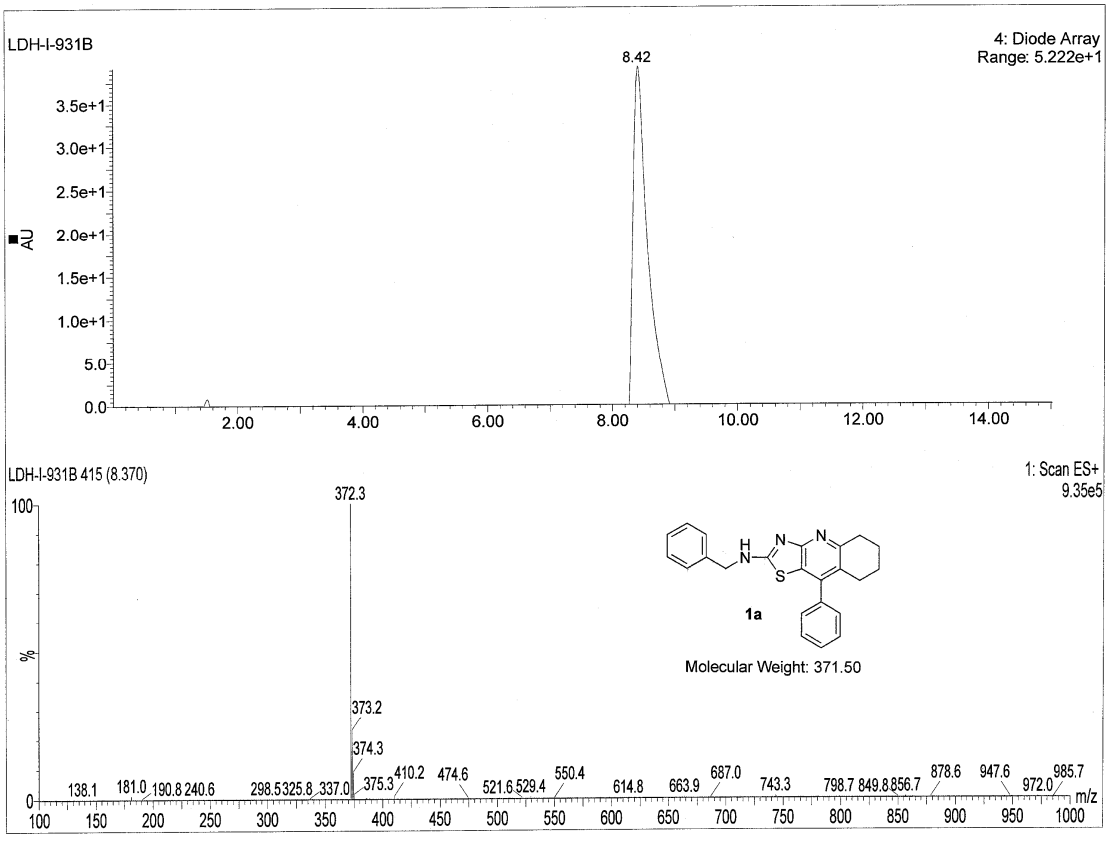
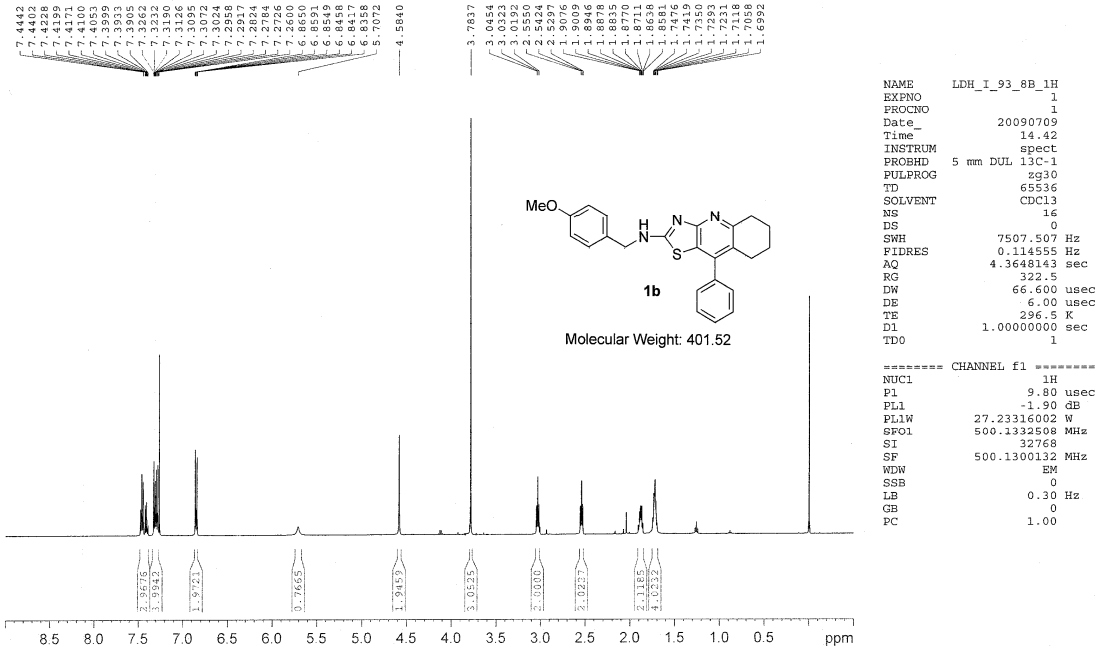
^{13}C NMR spectrum of compound **1a**.



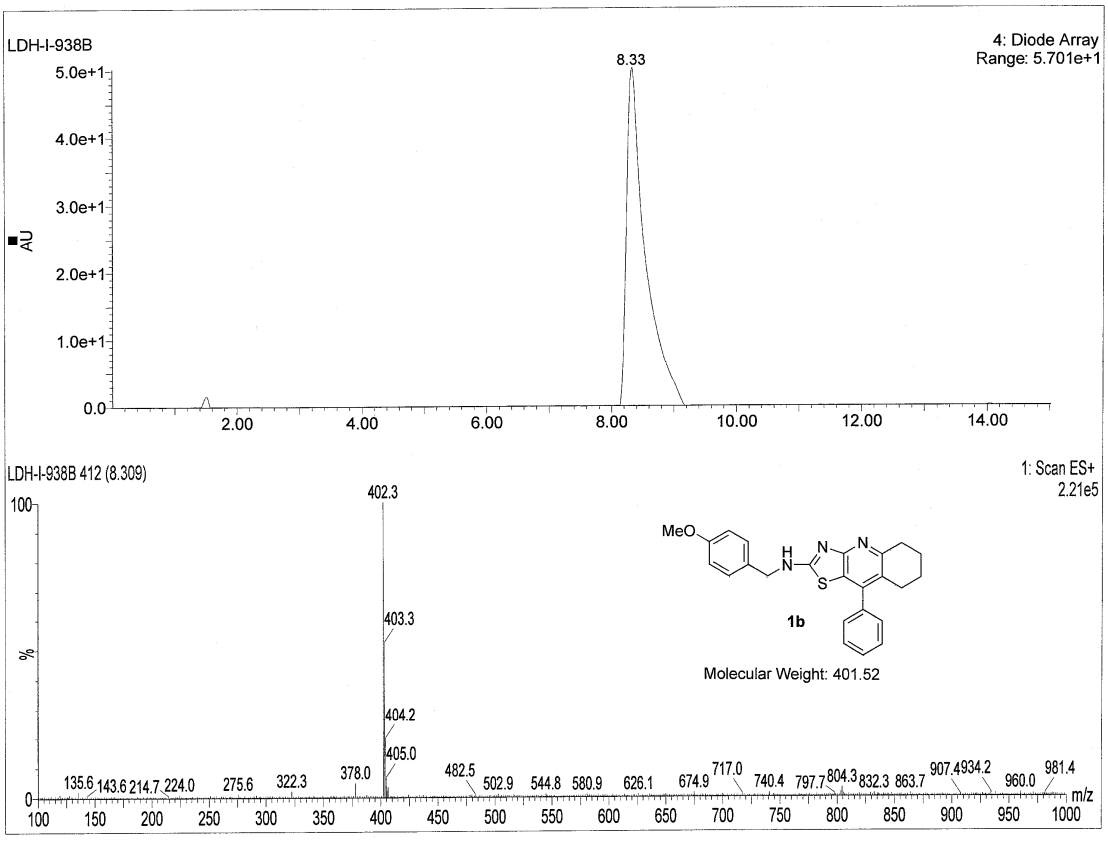
LC-MS spectrum of compound **1a**.



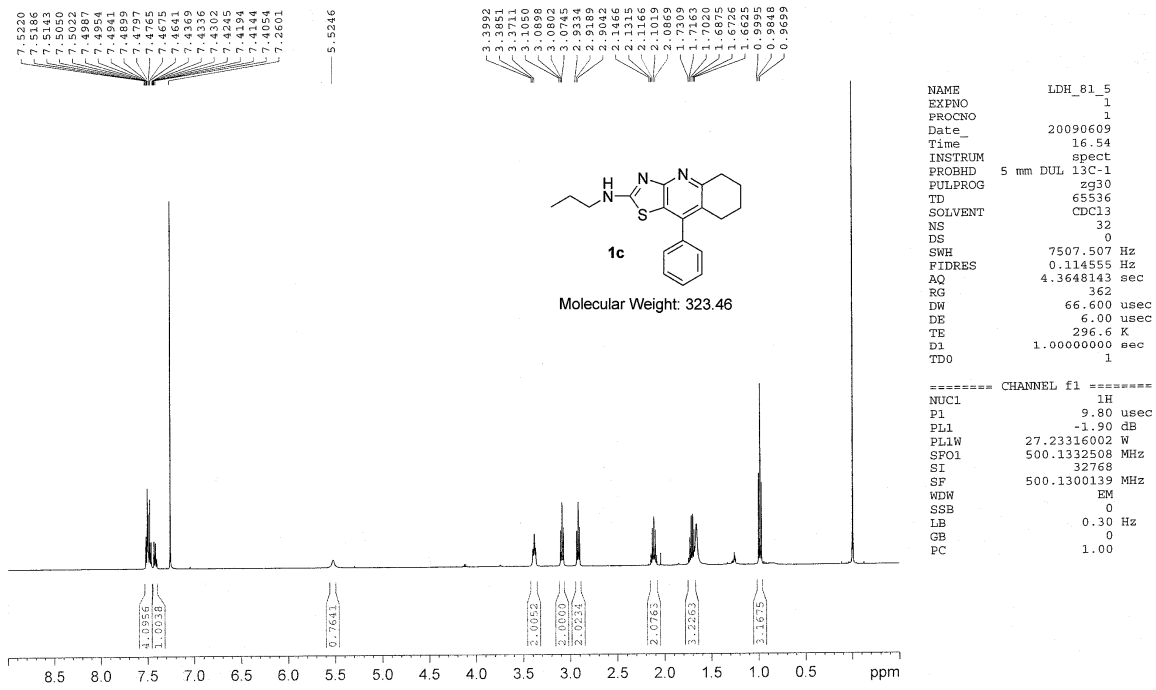
^{1}H NMR spectrum of compound **1b**.



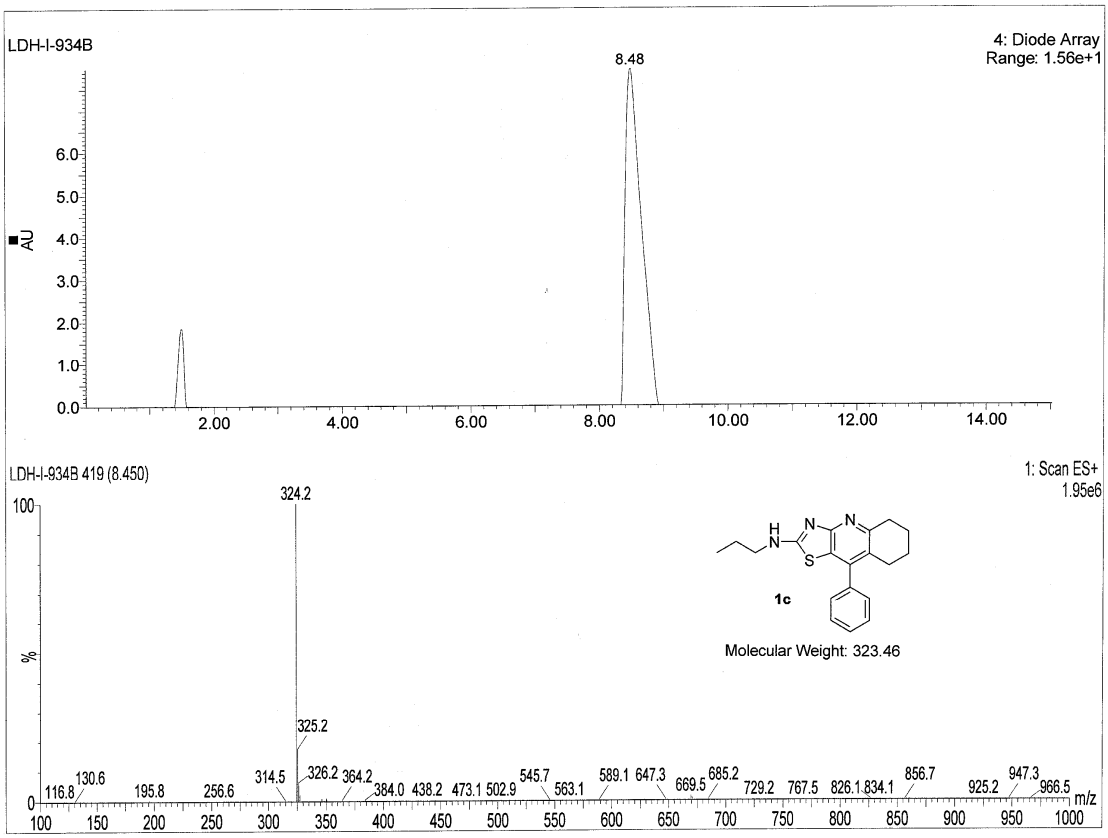
LC-MS spectrum of compound **1b**.



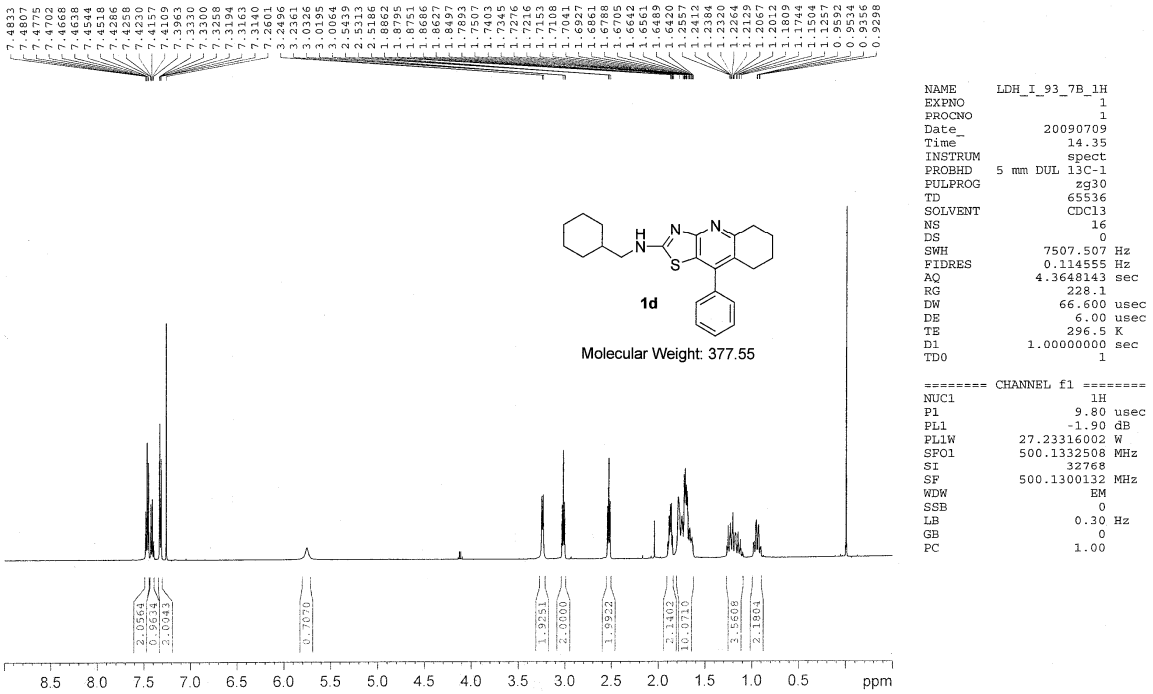
^{1}H NMR spectrum of compound **1c**.



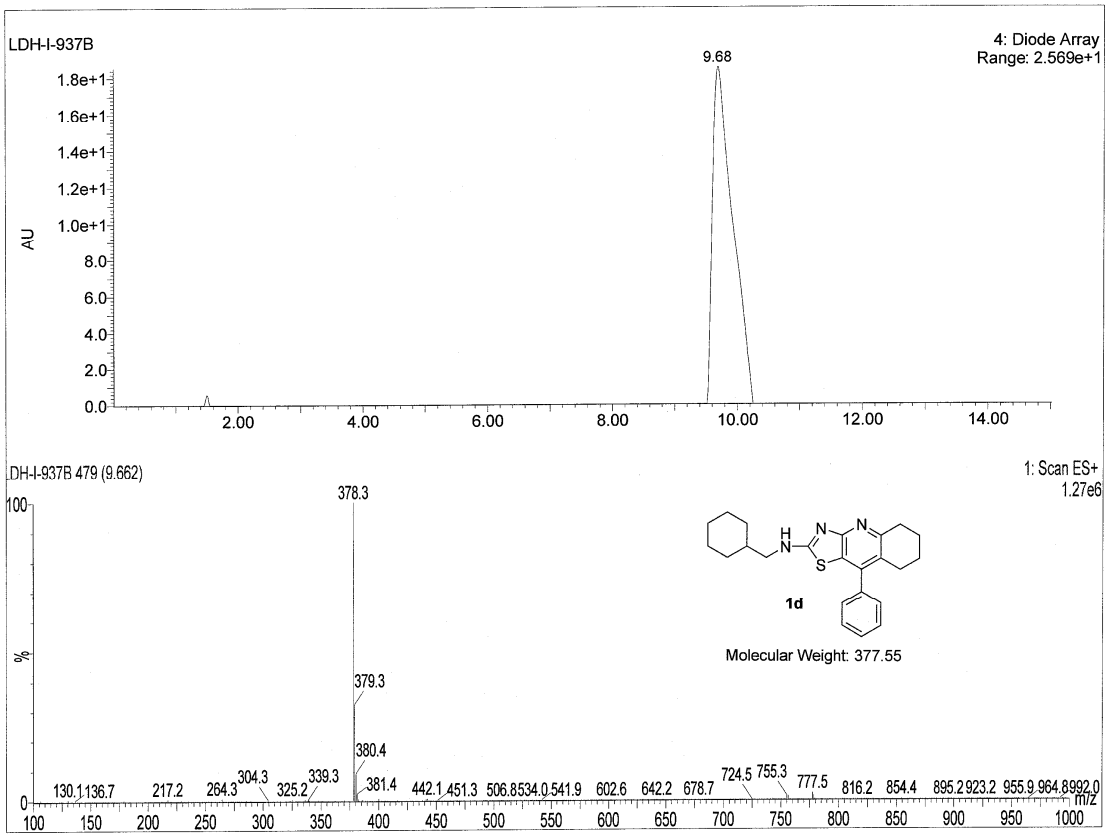
LC-MS spectrum of compound **1c**.



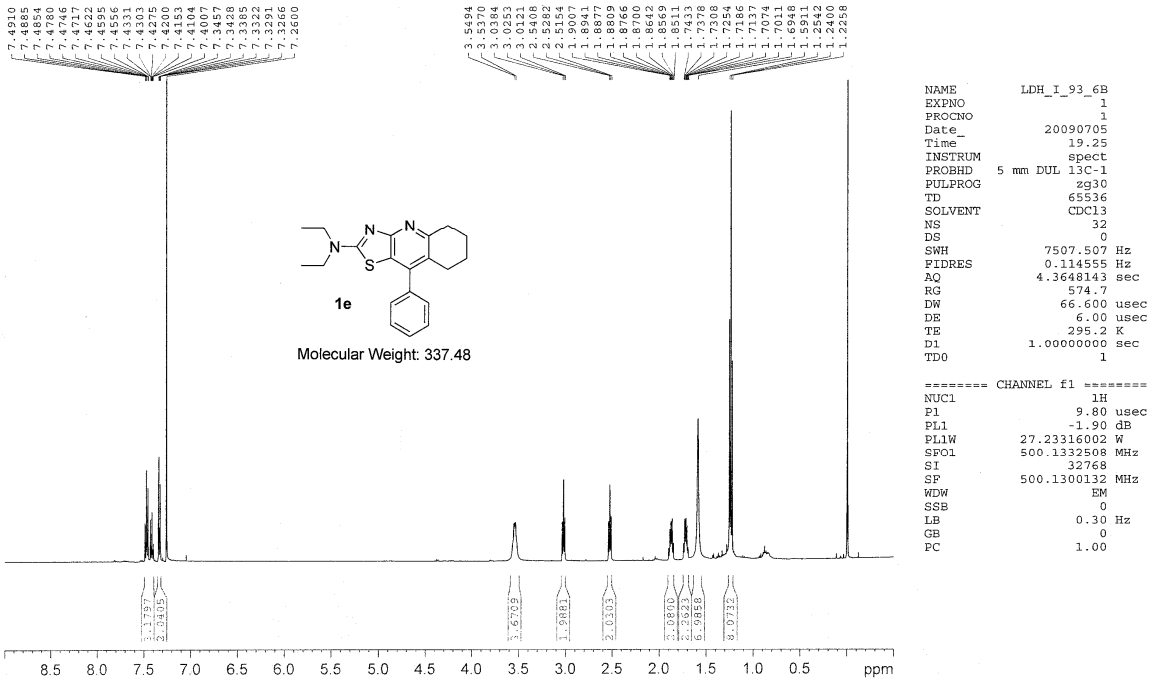
^{1}H NMR spectrum of compound **1d**.



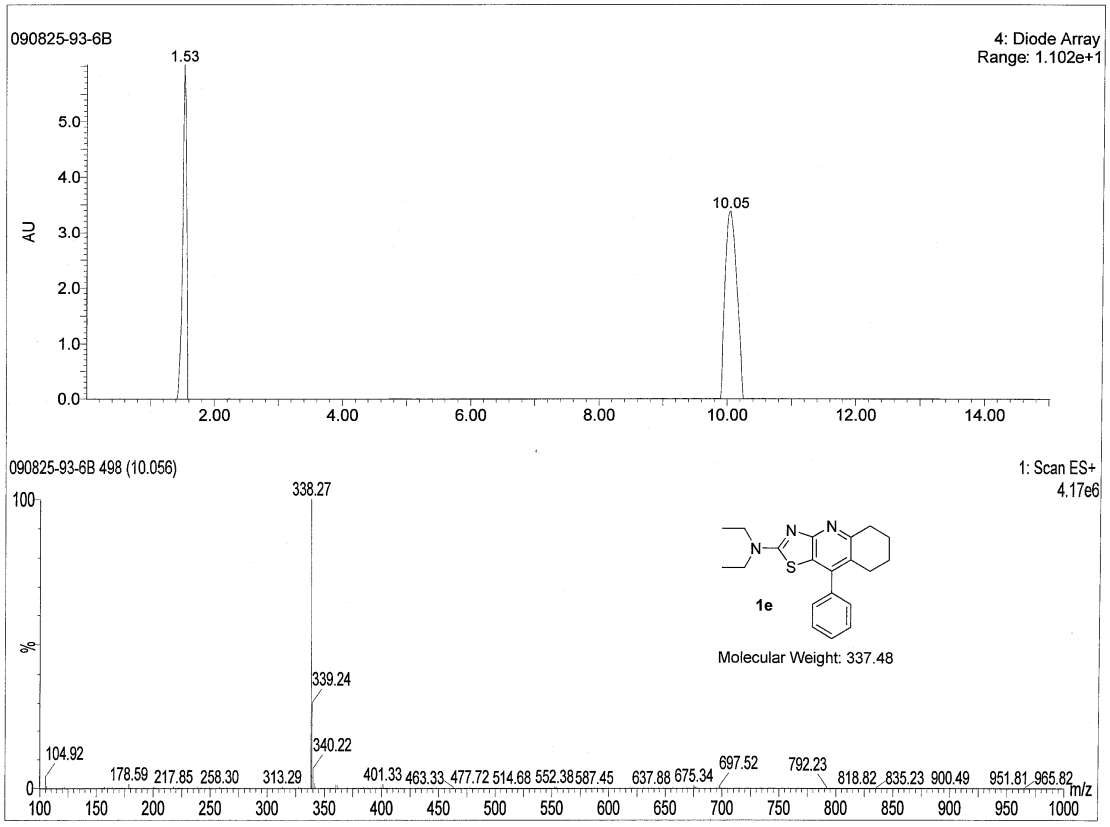
LC-MS spectrum of compound **1d**.



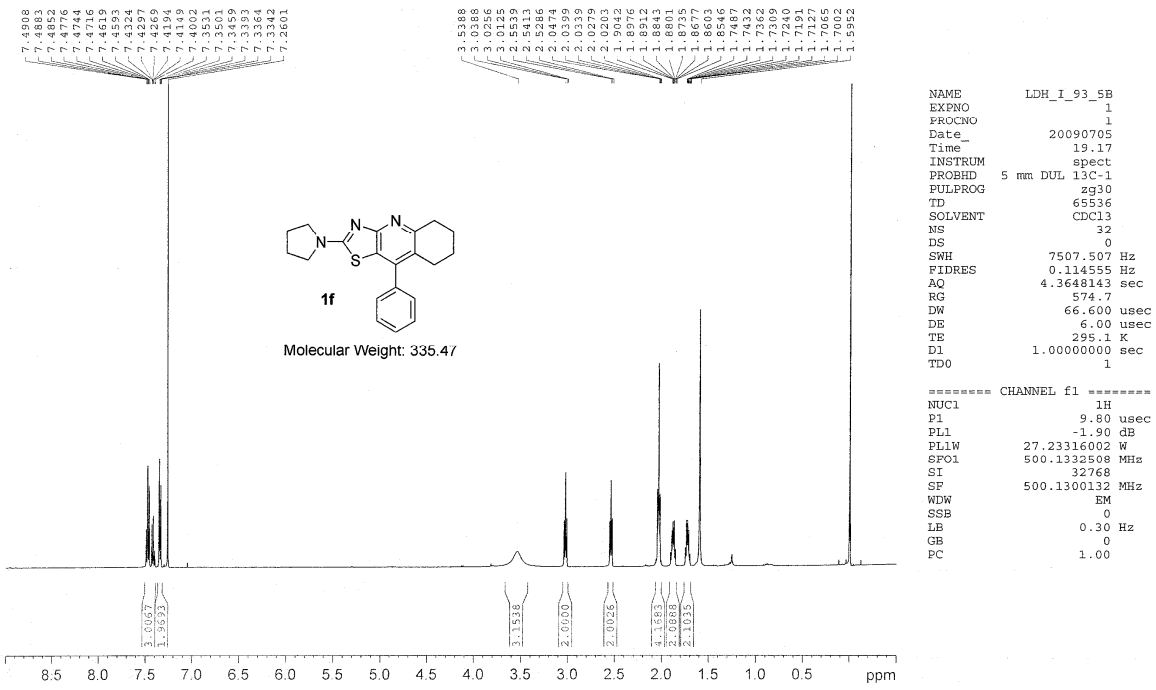
^{1}H NMR spectrum of compound **1e**.



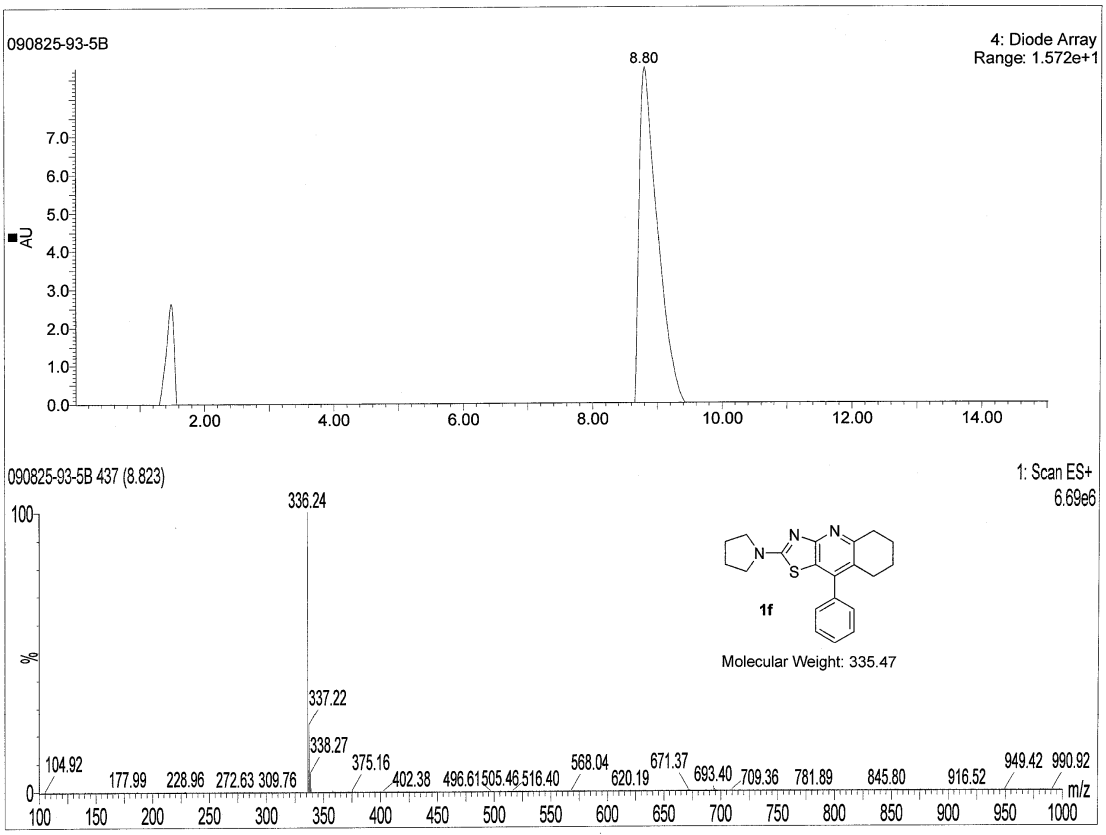
LC-MS spectrum of compound **1e**.



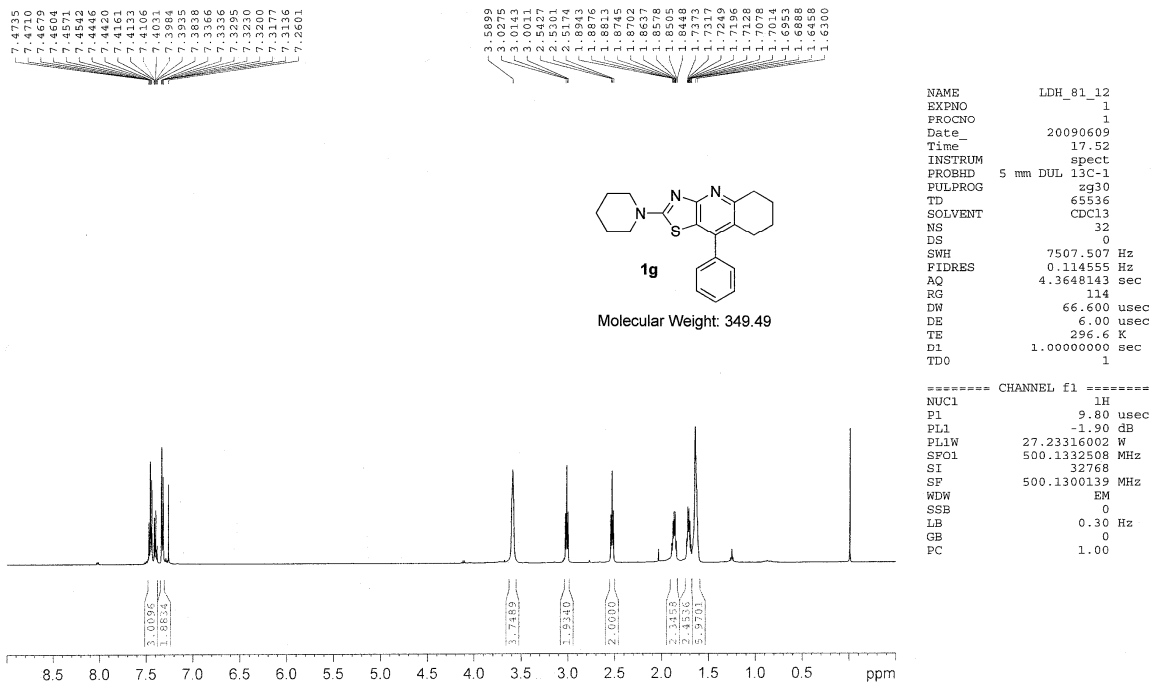
^{1}H NMR spectrum of compound **1f**.



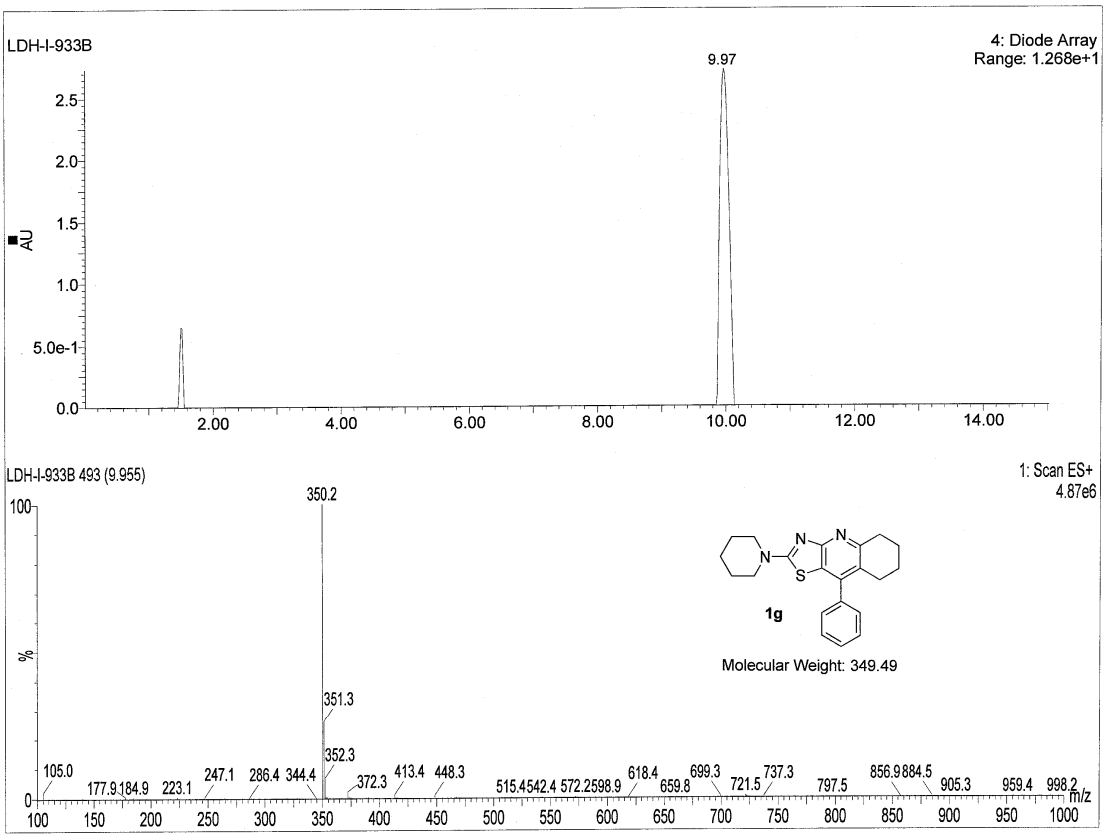
LC-MS spectrum of compound **1f**.



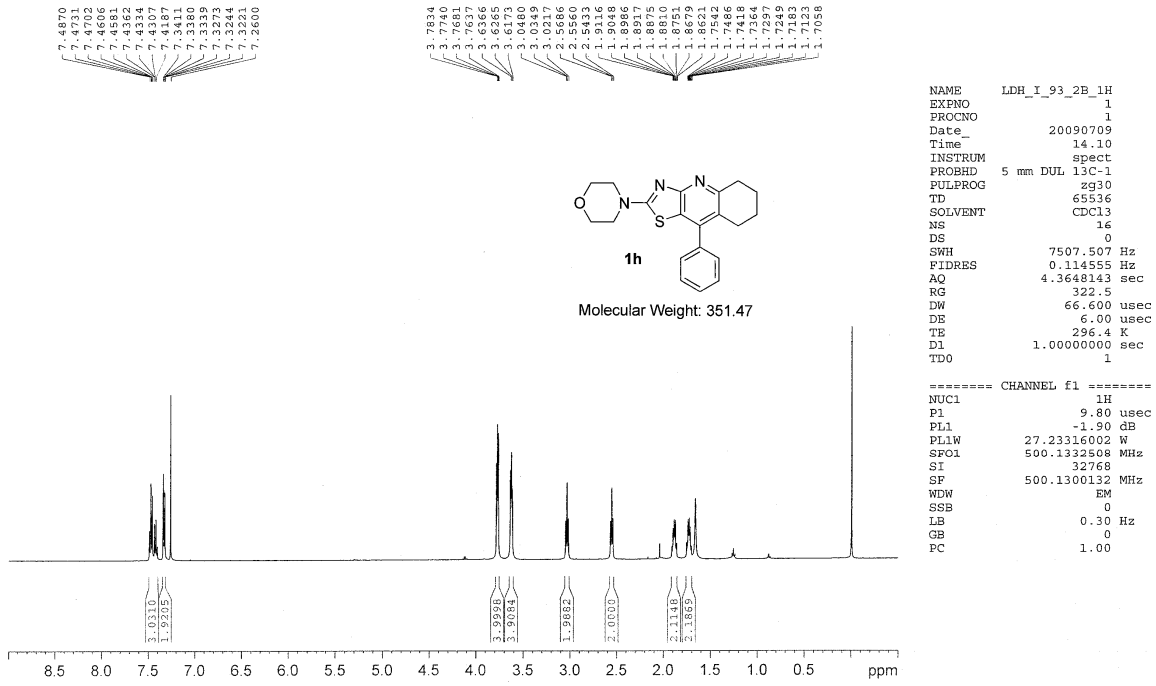
^{1}H NMR spectrum of compound **1g**.



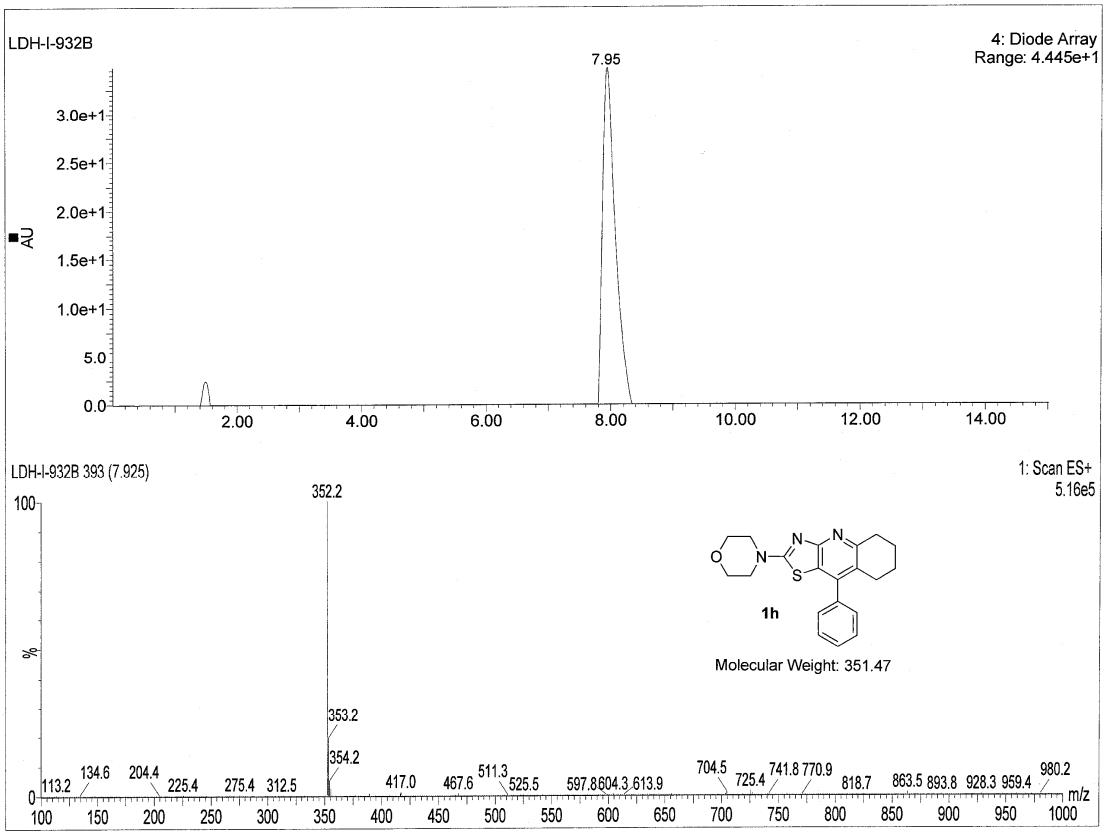
LC-MS spectrum of compound **1g**.



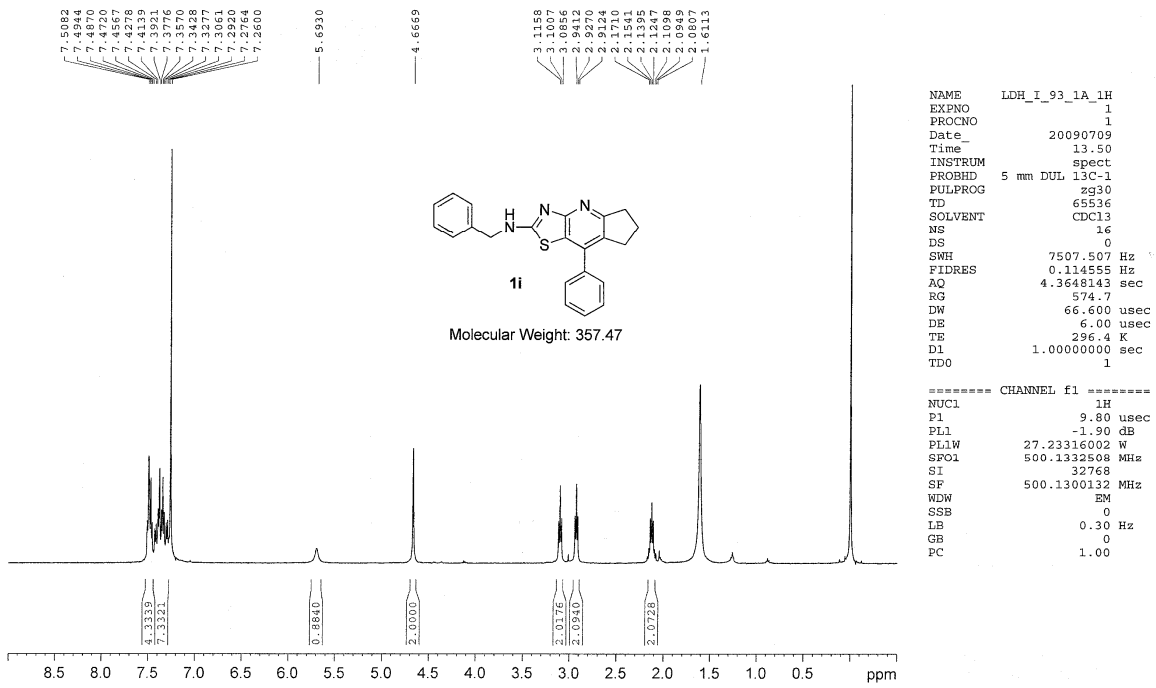
^{1}H NMR spectrum of compound **1h**.



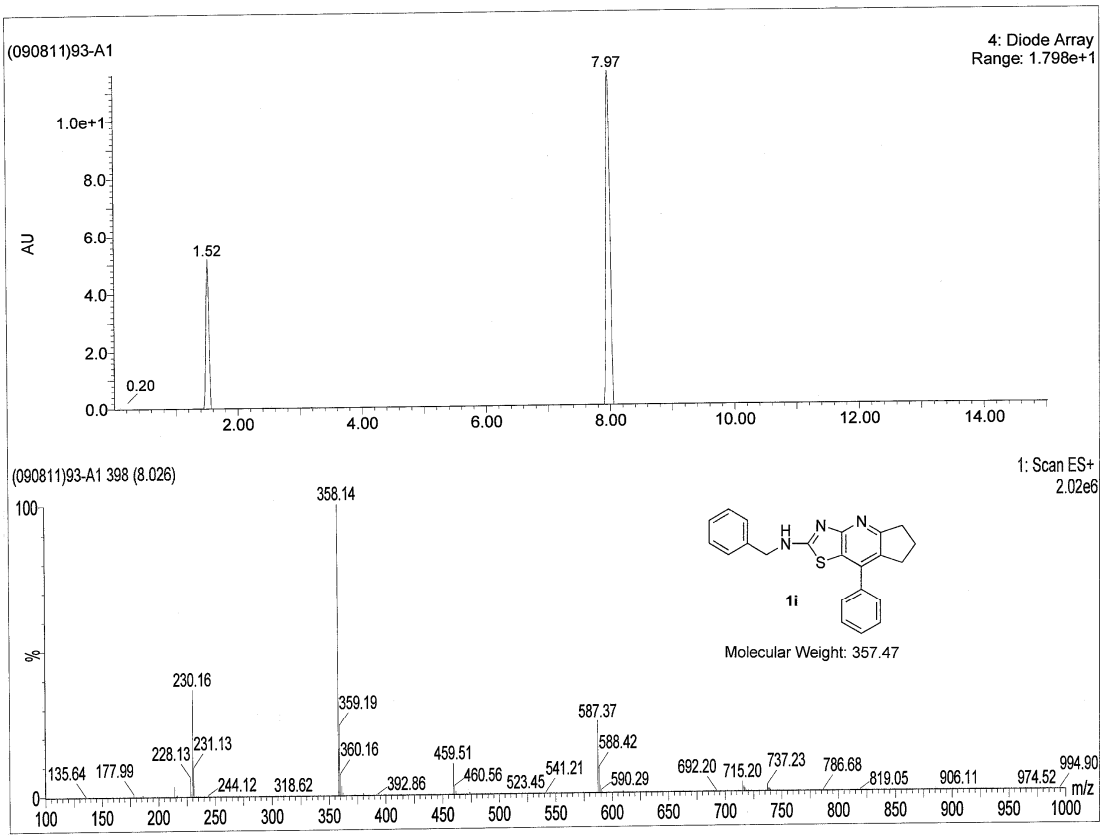
LC-MS spectrum of compound **1h**.



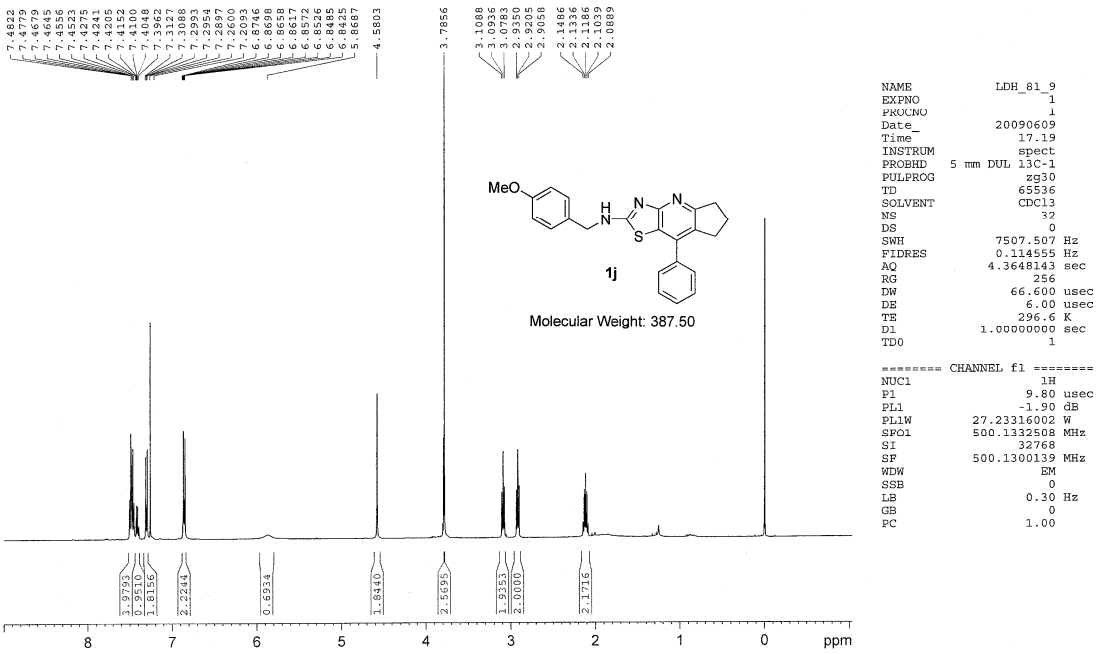
^{1}H NMR spectrum of compound **1i**.



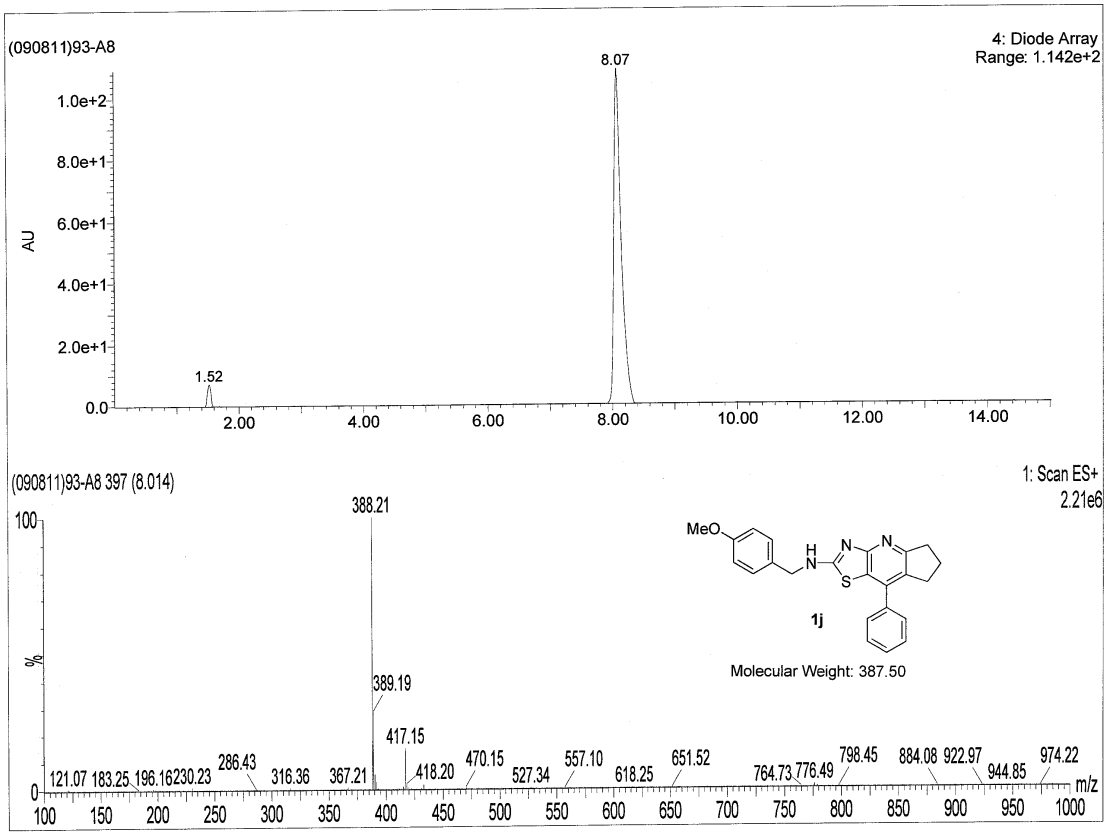
LC-MS spectrum of compound **1i**.



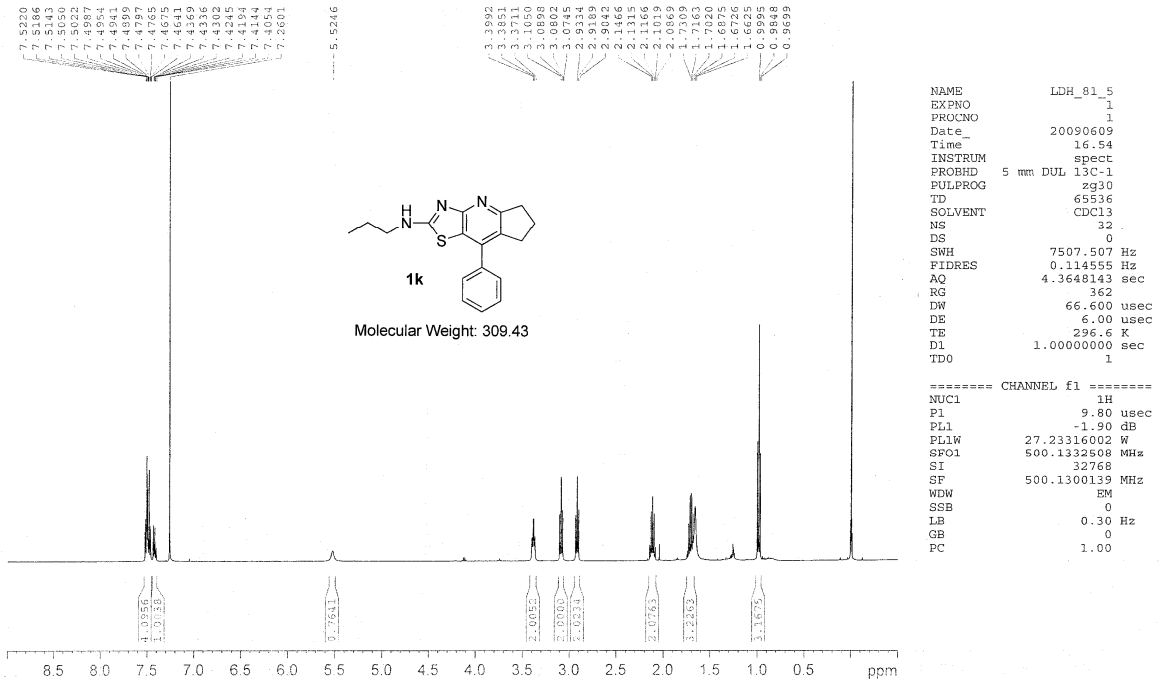
^{1}H NMR spectrum of compound **1j**.



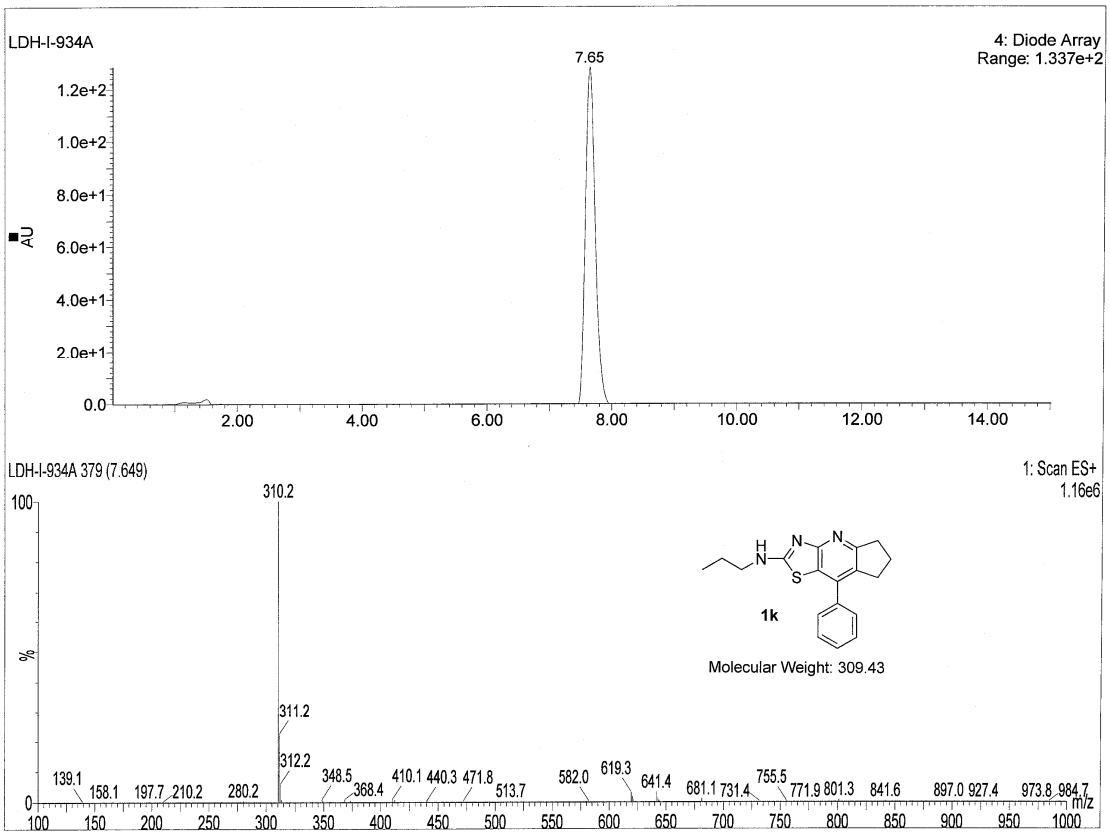
LC-MS spectrum of compound **1j**.



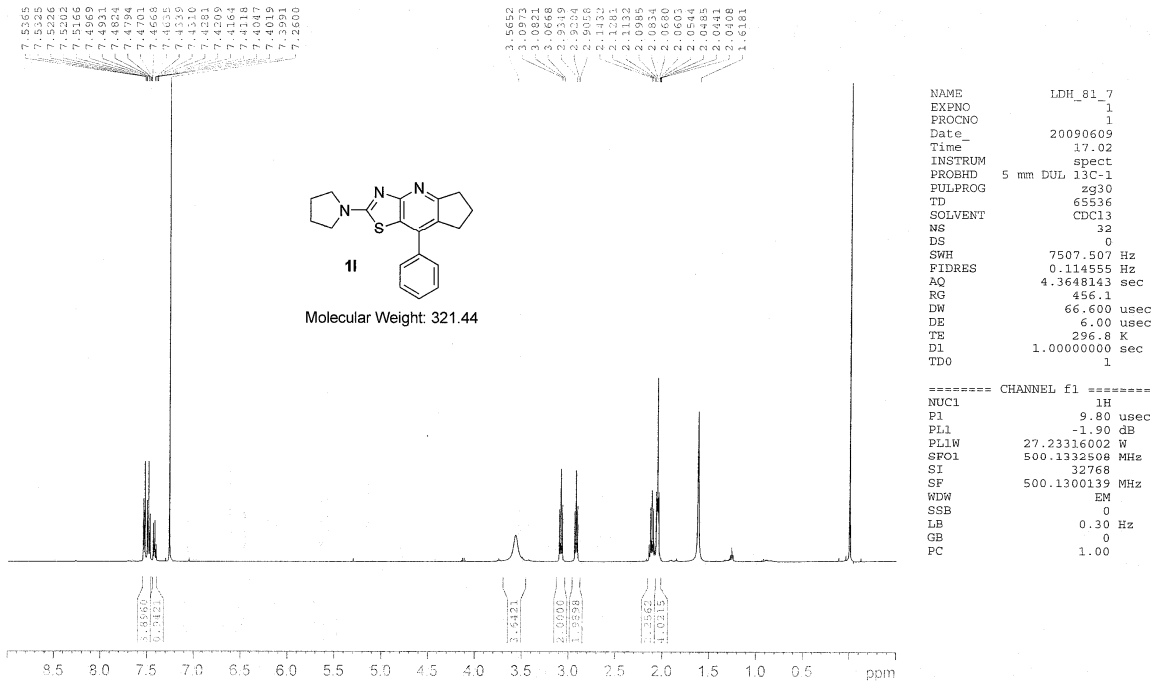
^{1}H NMR spectrum of compound **1k**.



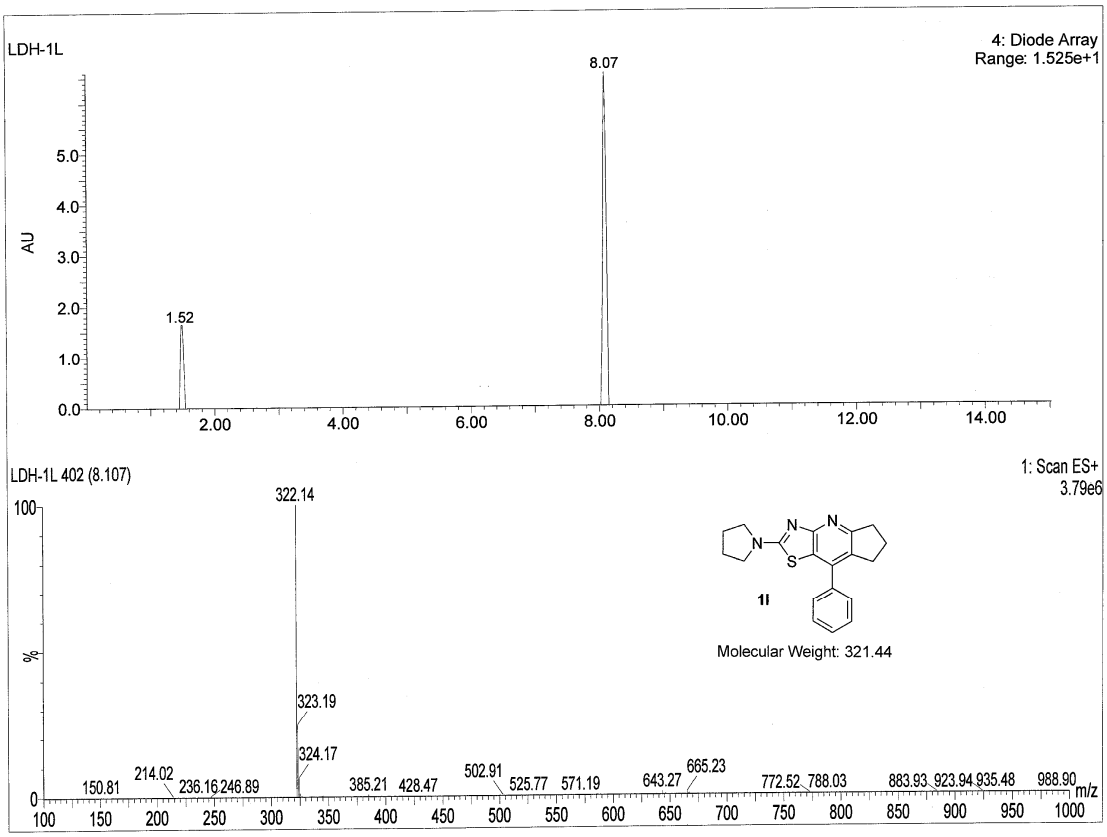
LC-MS spectrum of compound **1k**.



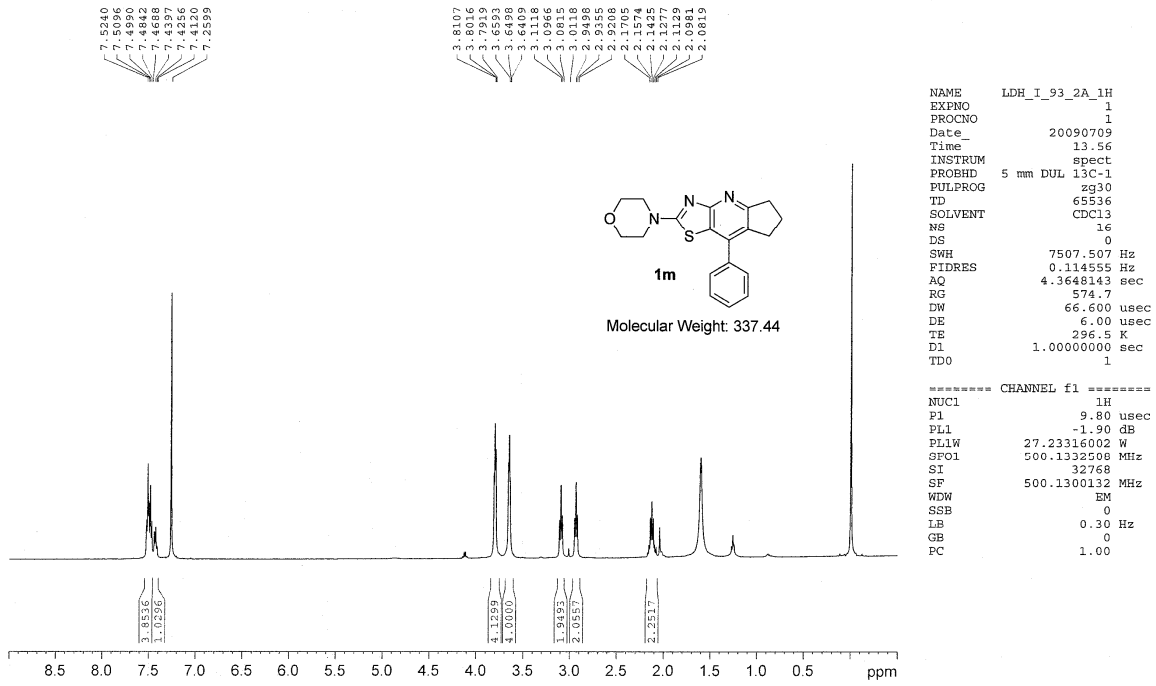
^{1}H NMR spectrum of compound **1l**.



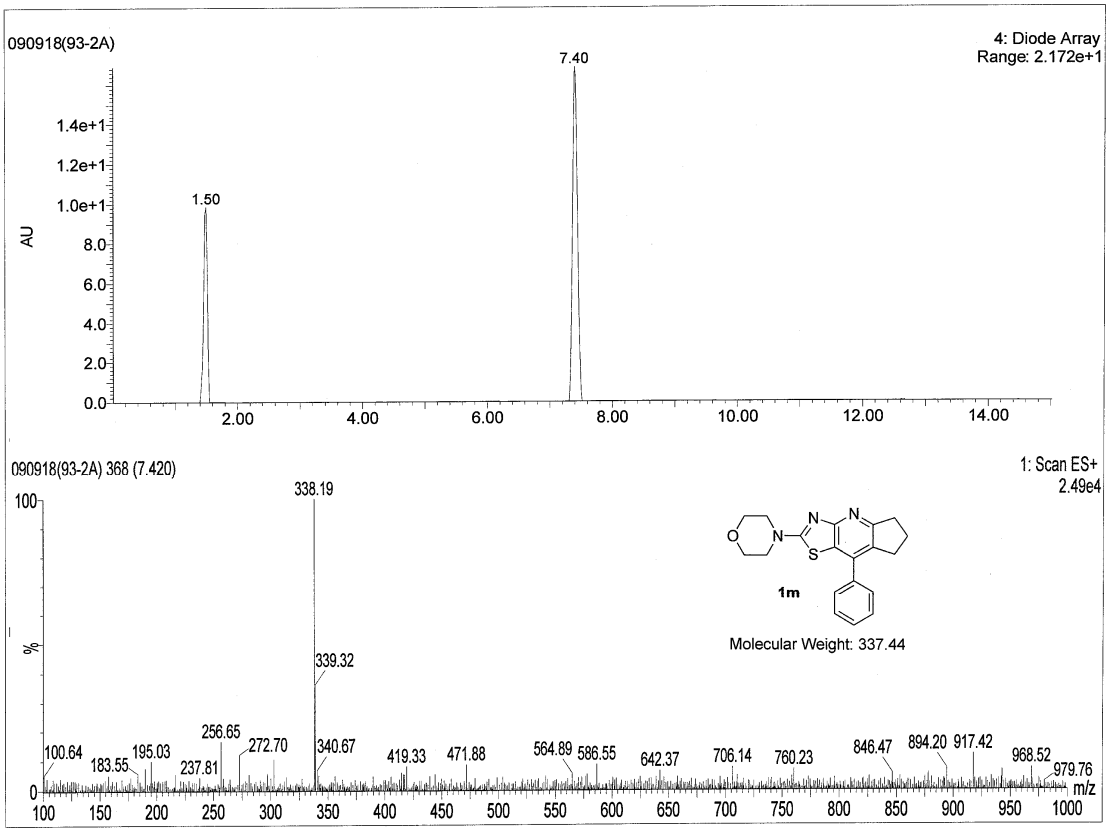
LC-MS spectrum of compound **1l**.



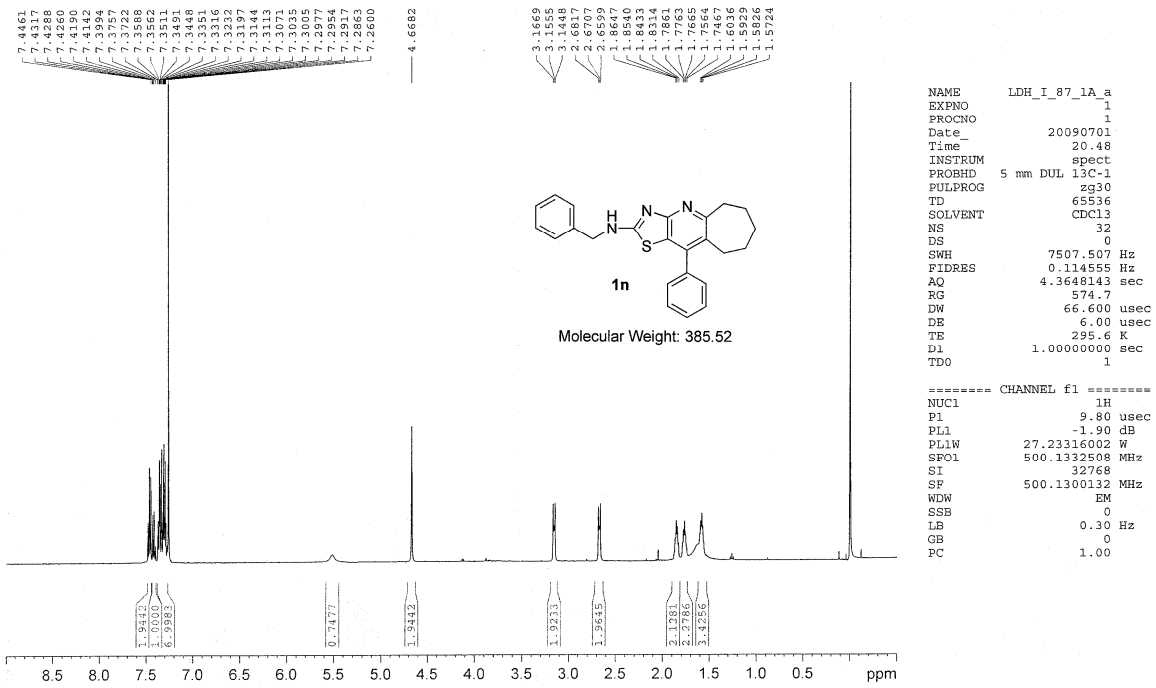
^{1}H NMR spectrum of compound **1m**.



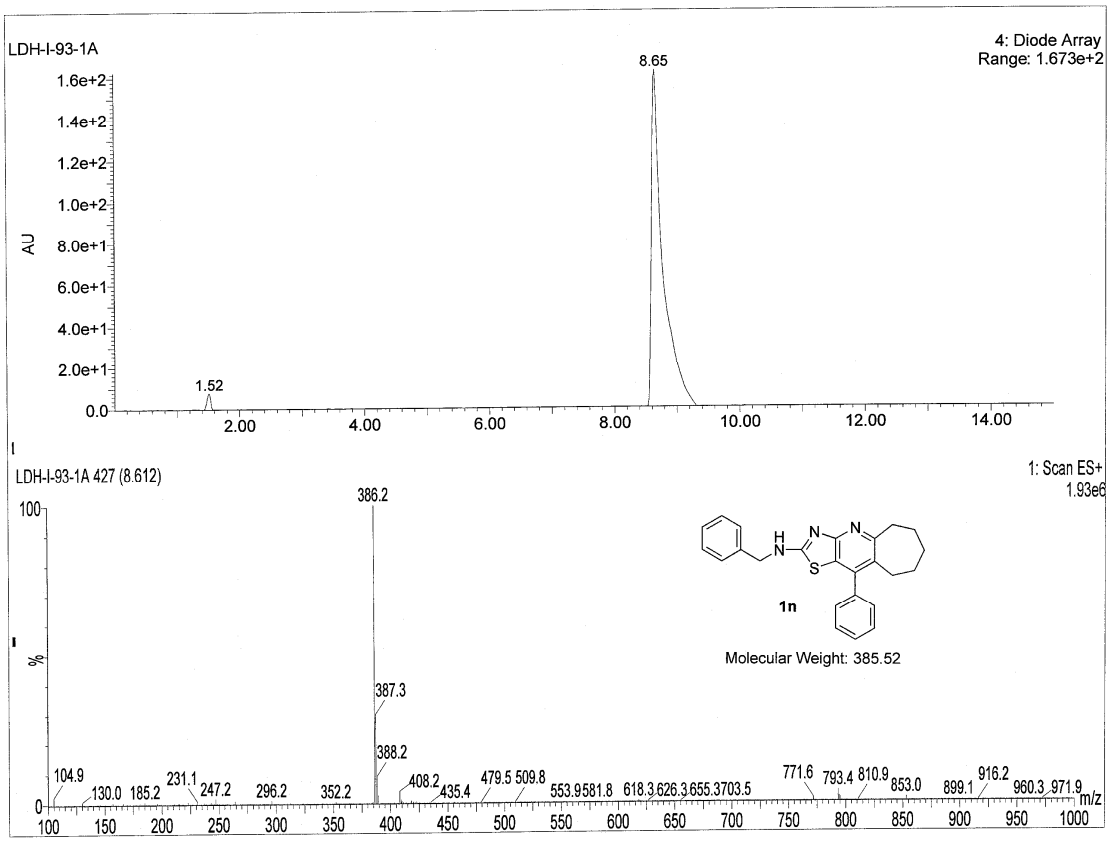
LC-MS spectrum of compound **1m**.



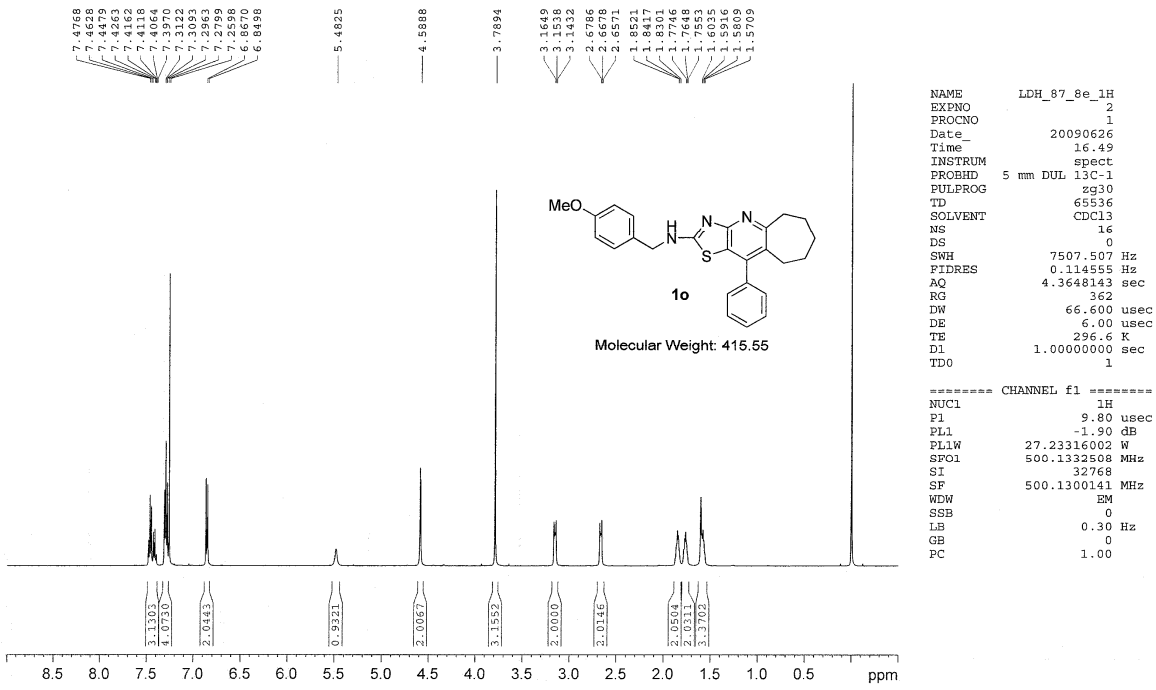
^{1}H NMR spectrum of compound **1n**.



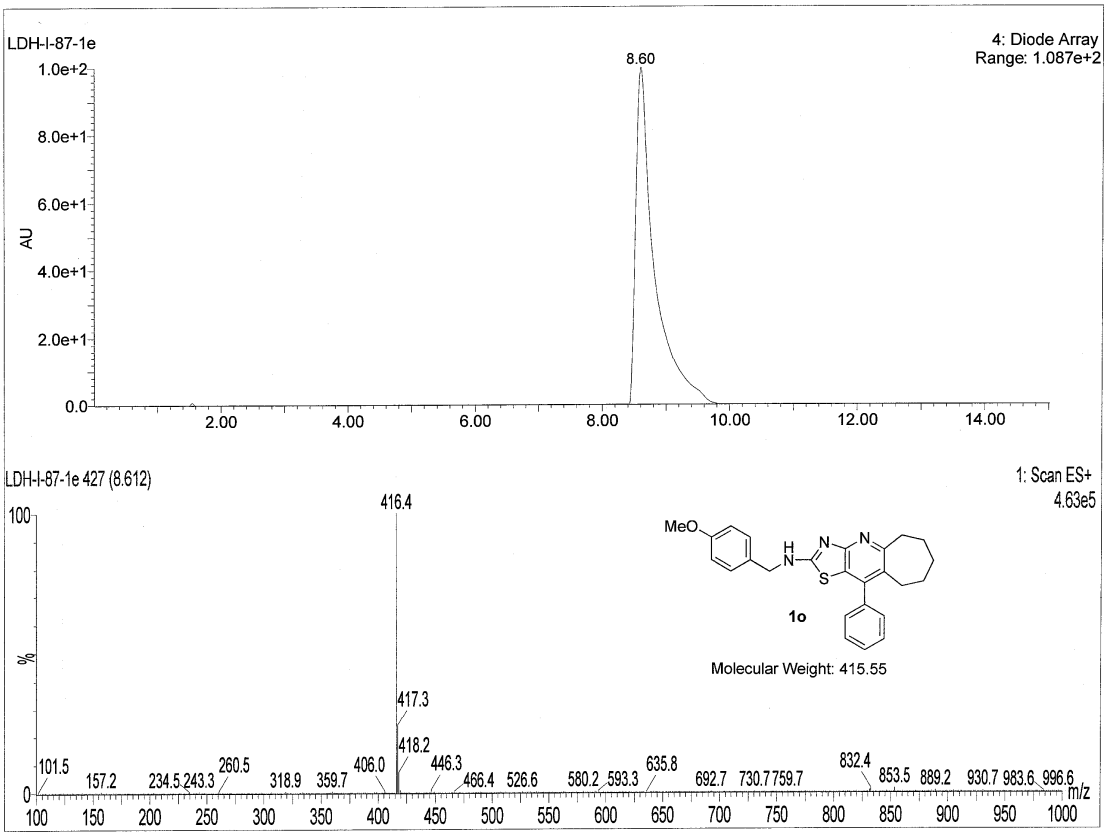
LC-MS spectrum of compound **1n**.



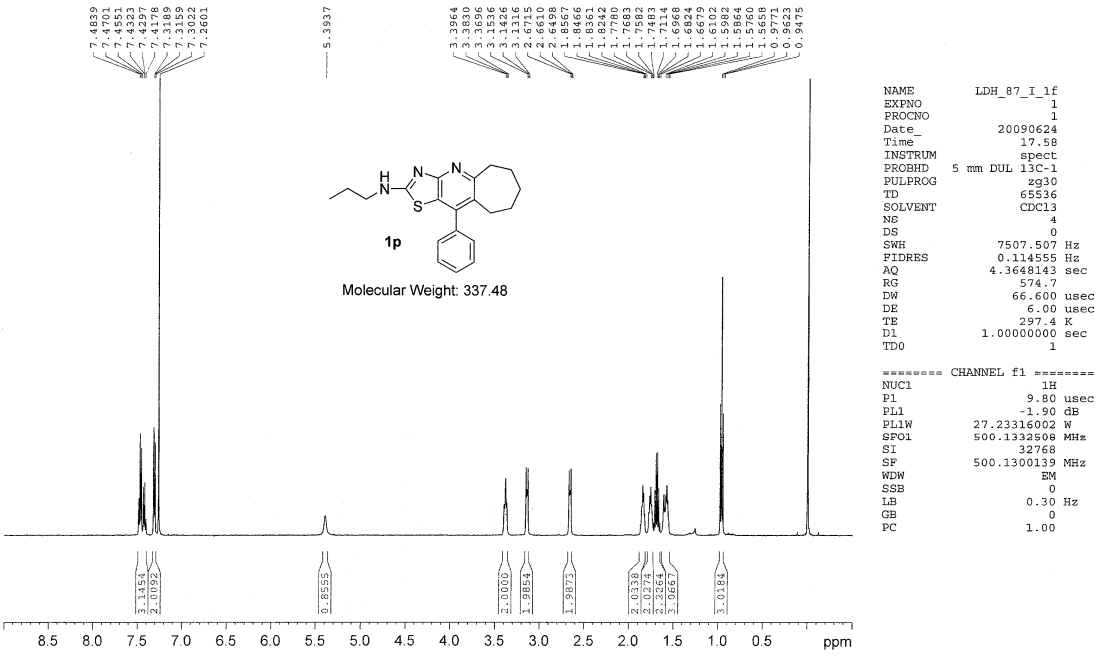
^{1}H NMR spectrum of compound **1o**.



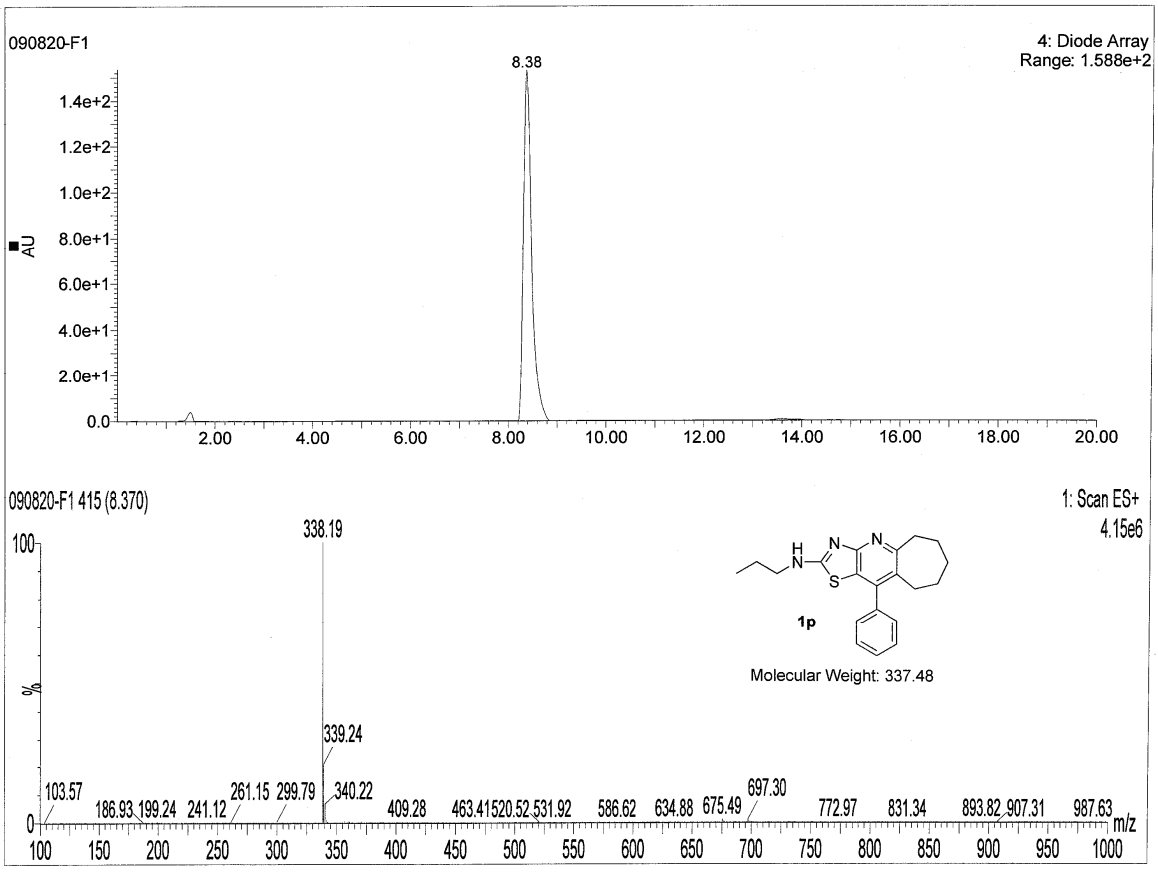
LC-MS spectrum of compound **1o**.



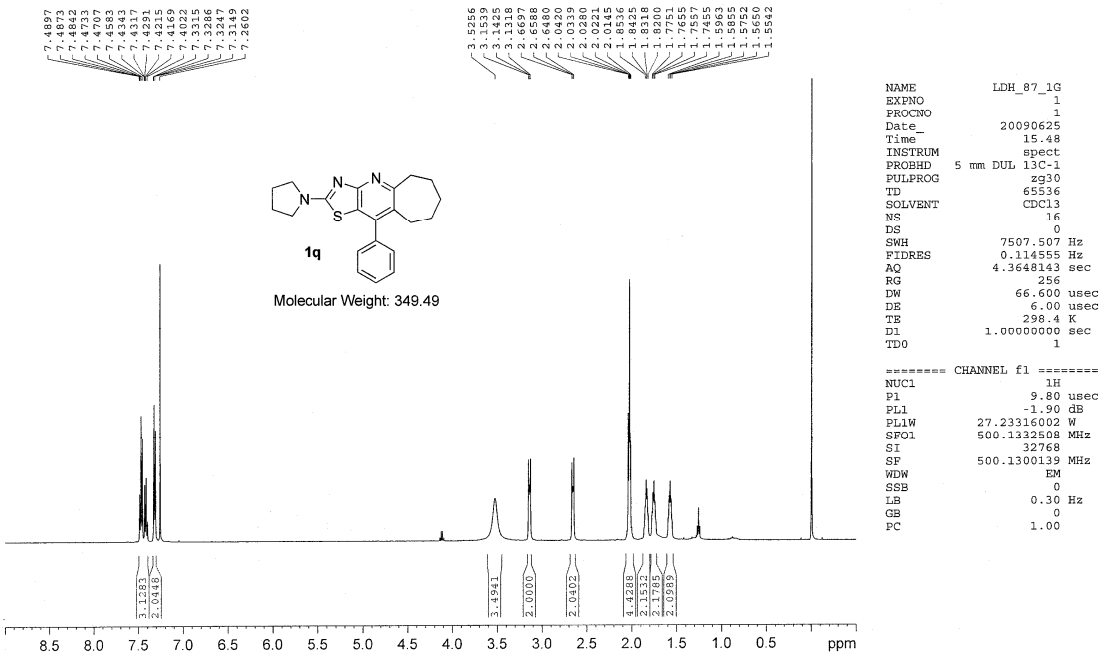
^{1}H NMR spectrum of compound **1p**.



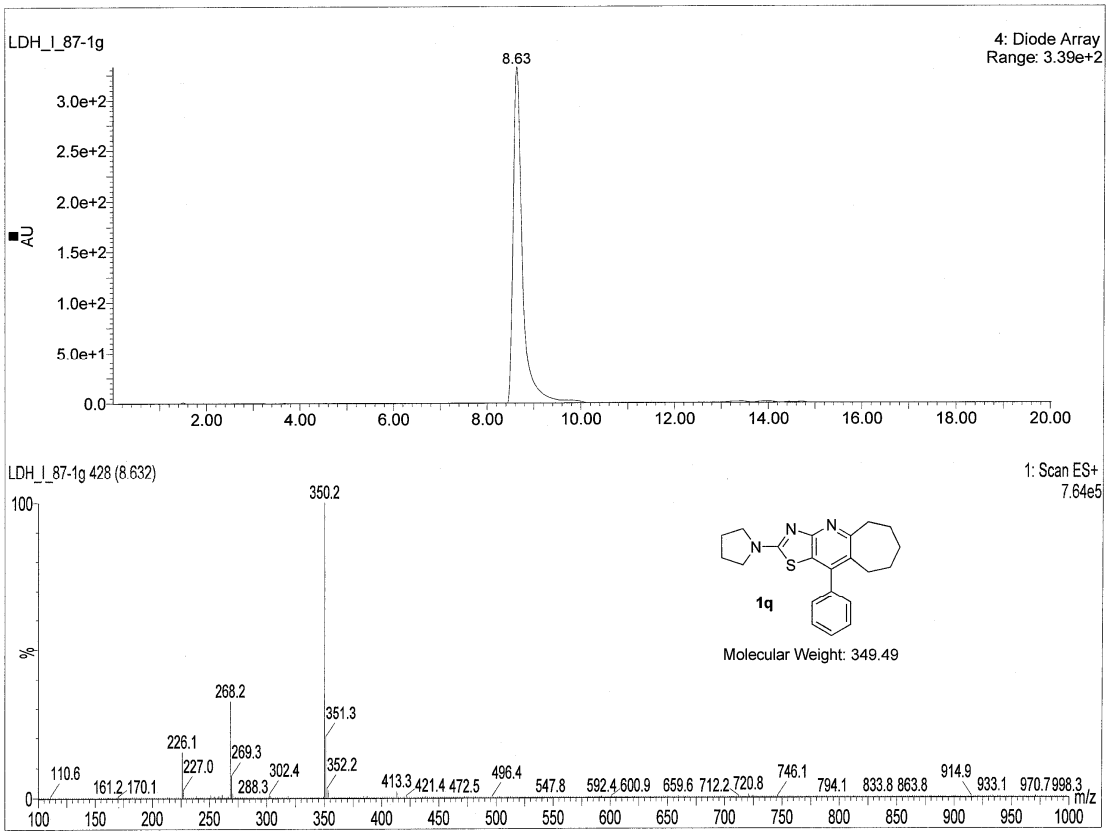
LC-MS spectrum of compound **1p**.



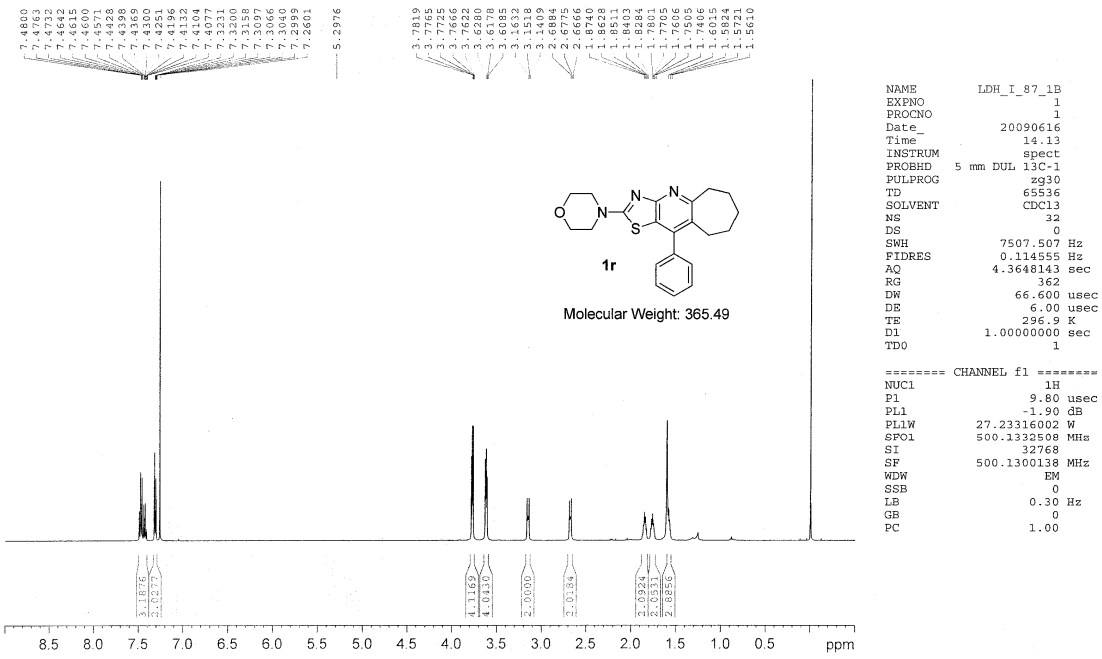
^{1}H NMR spectrum of compound **1q**.



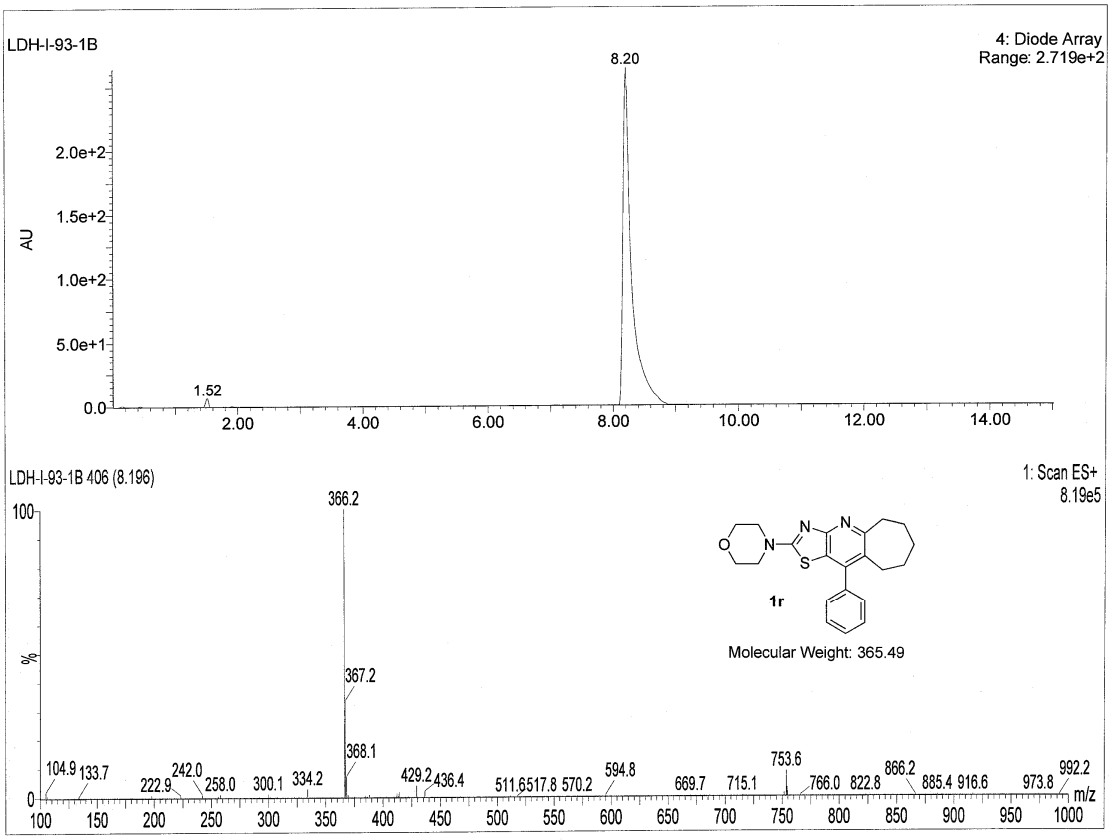
LC-MS spectrum of compound **1q**.



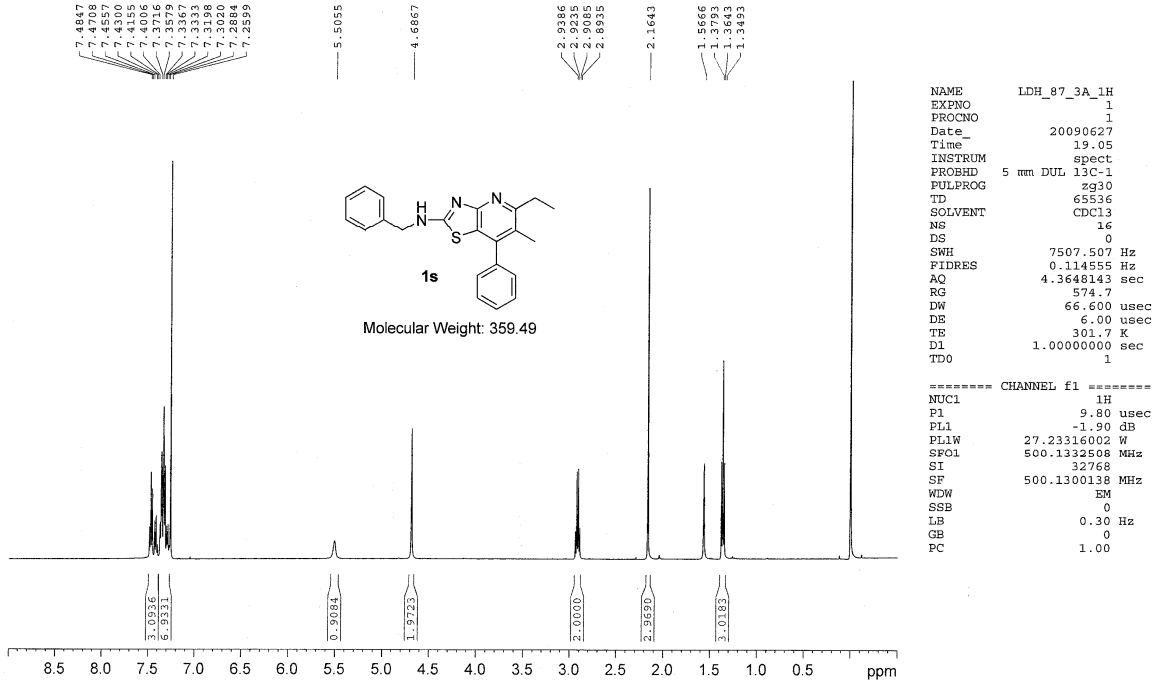
^{1}H NMR spectrum of compound **1r**.



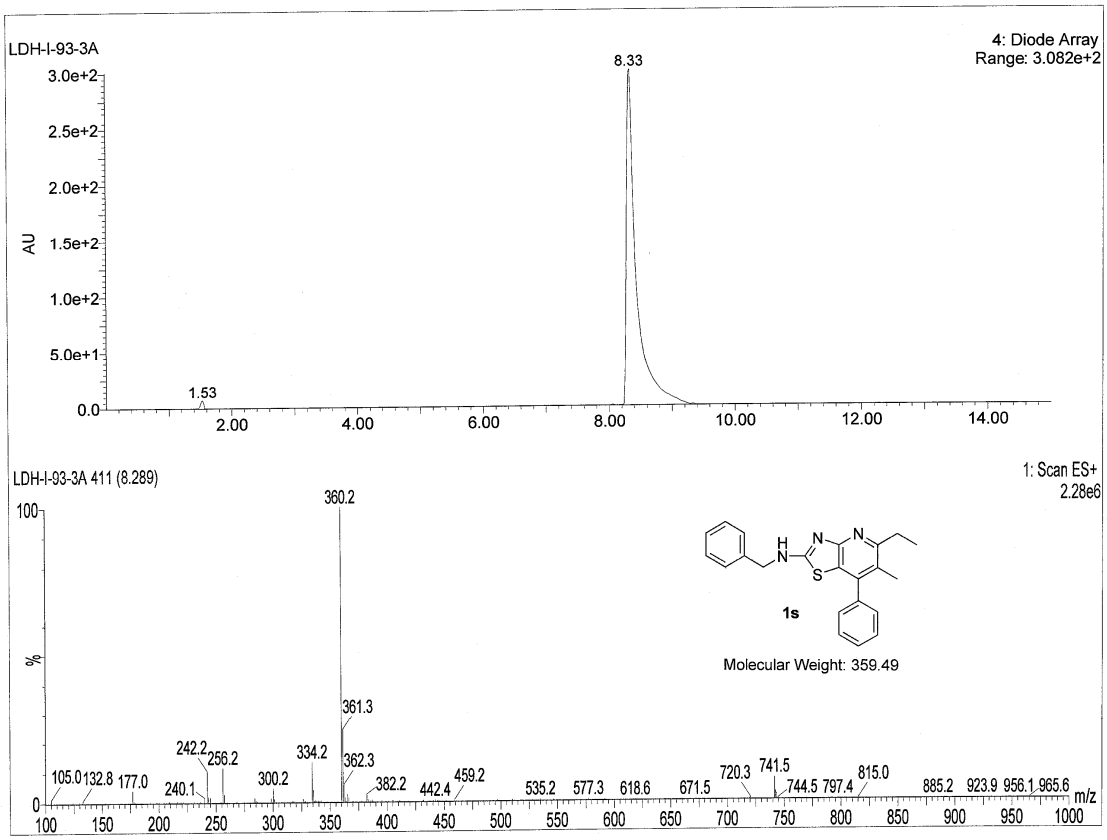
LC-MS spectrum of compound **1r**.



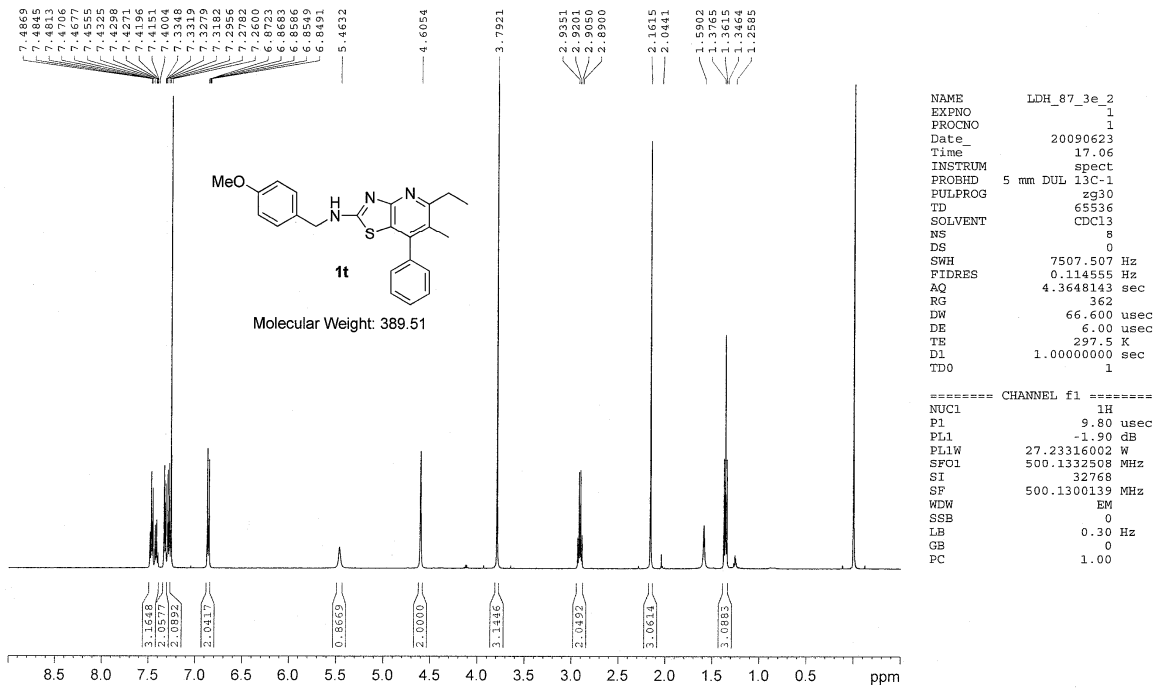
^{1}H NMR spectrum of compound **1s**.



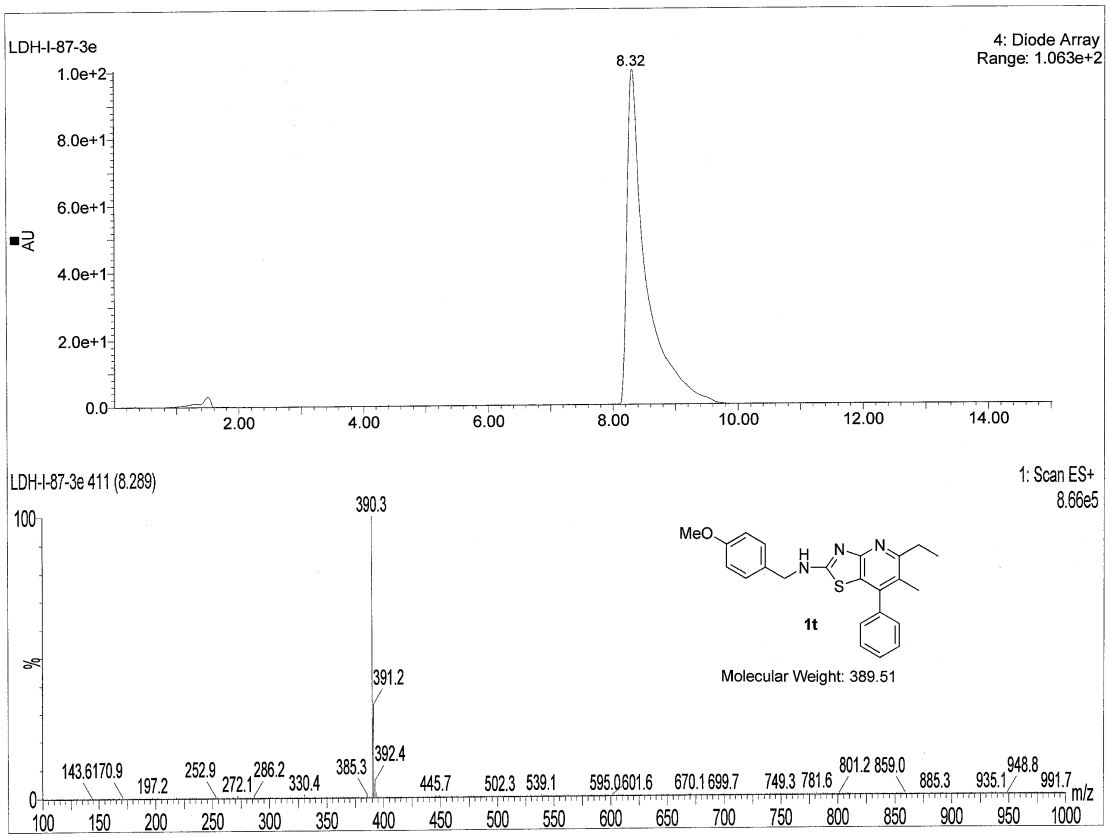
LC-MS spectrum of compound **1s**.



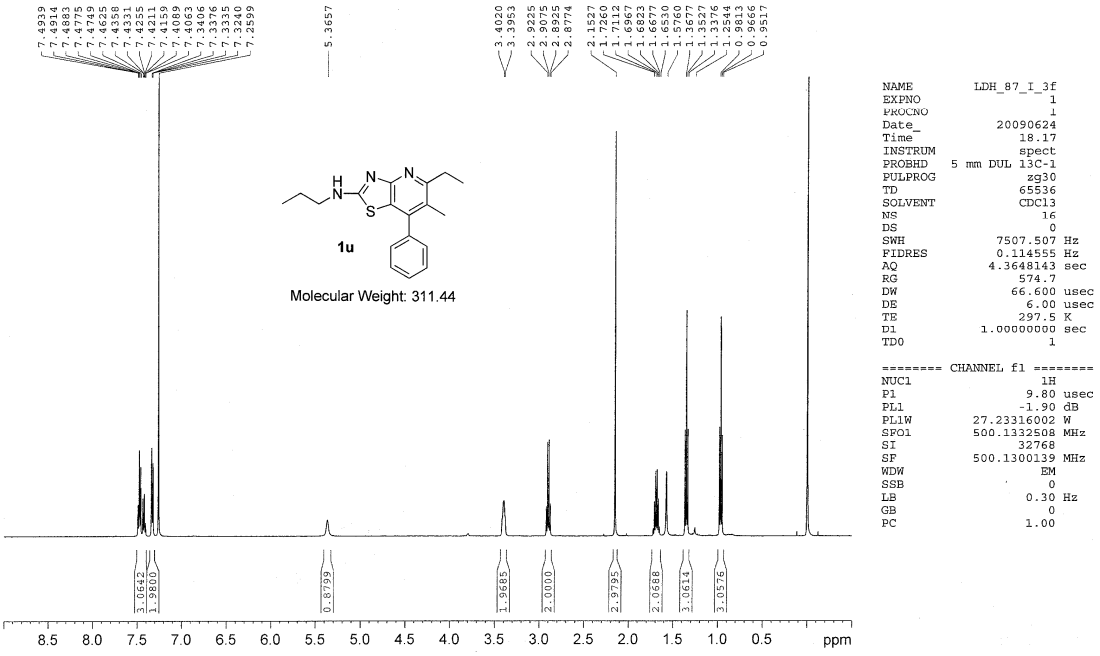
^{1}H NMR spectrum of compound **1t**.



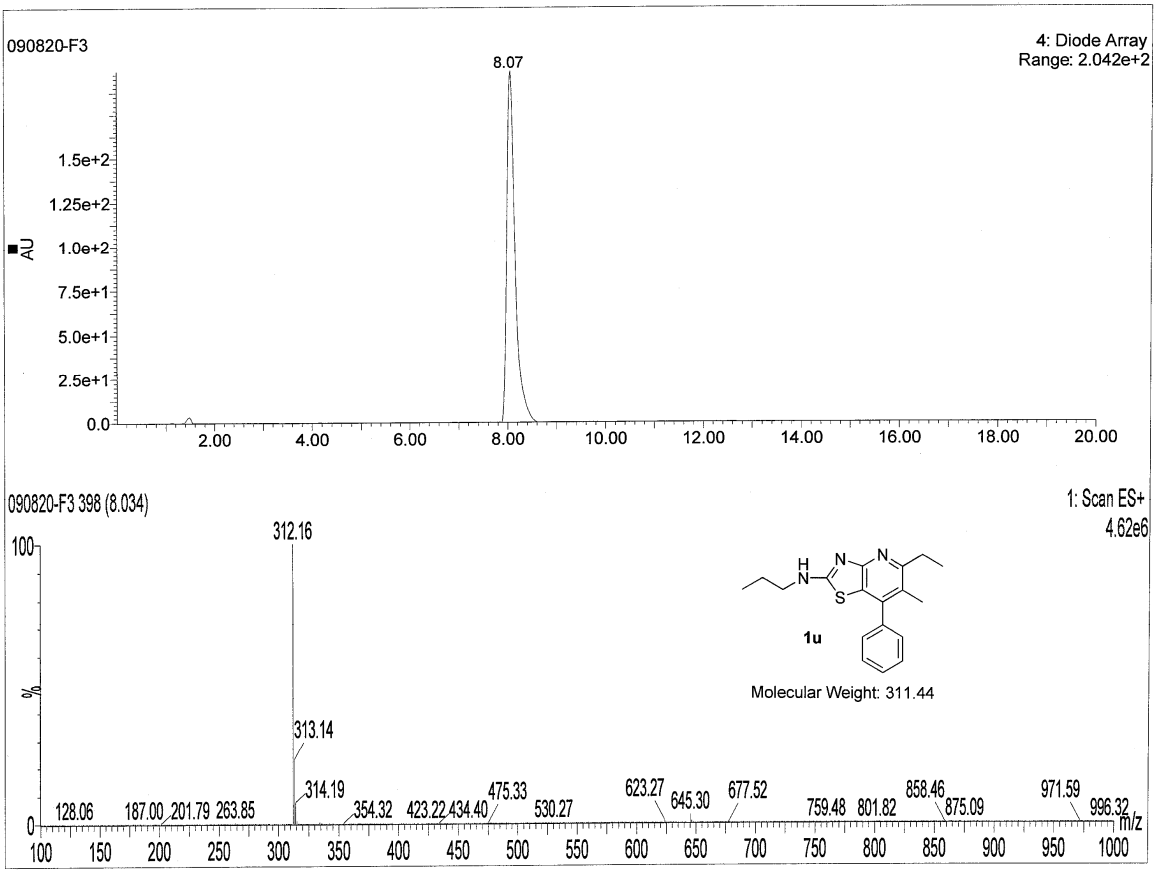
LC-MS spectrum of compound **1t**.



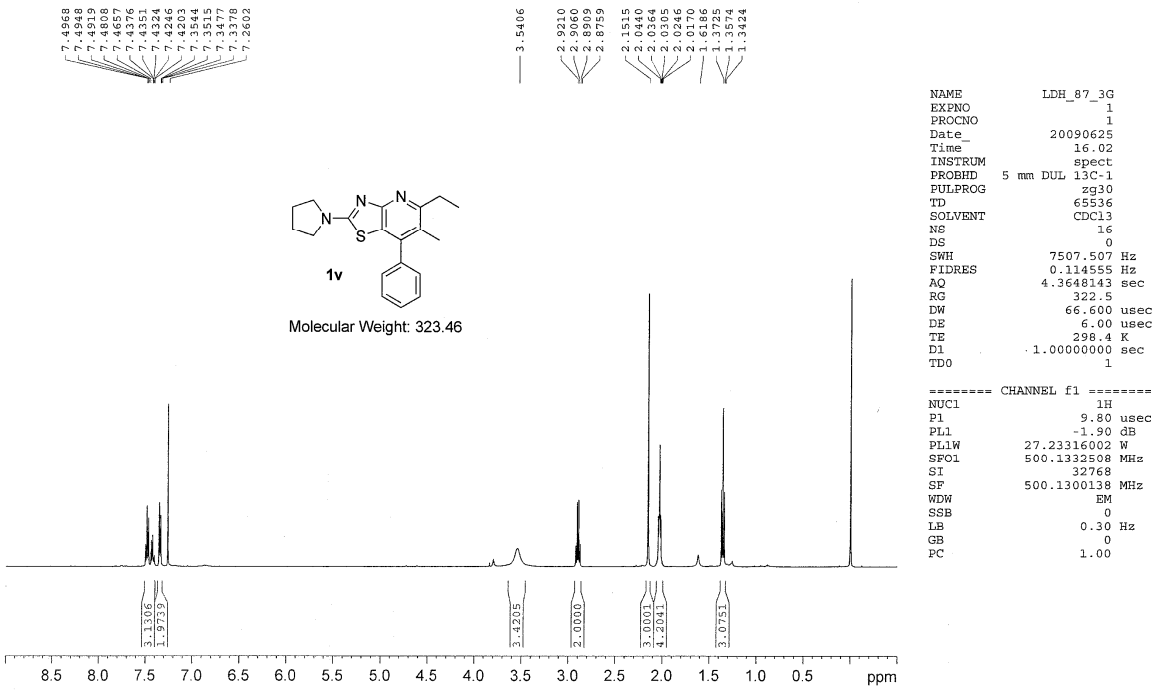
^{1}H NMR spectrum of compound **1u**.



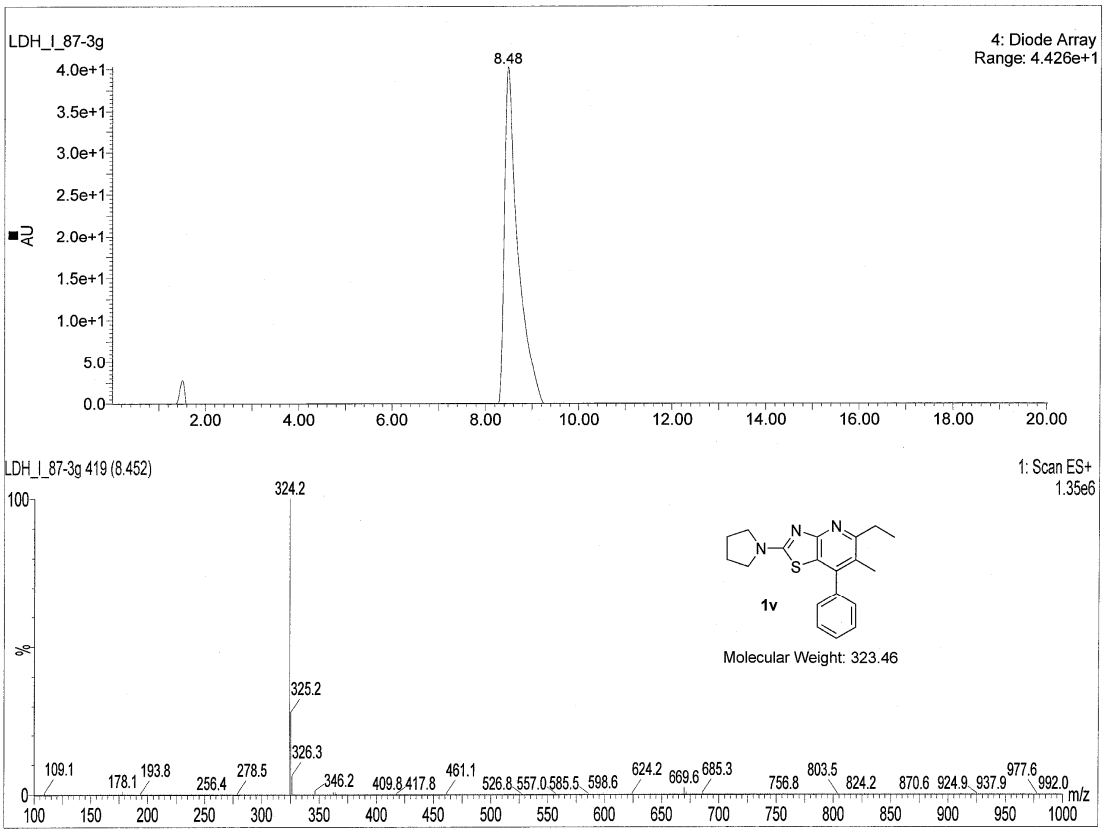
LC-MS spectrum of compound **1u**.



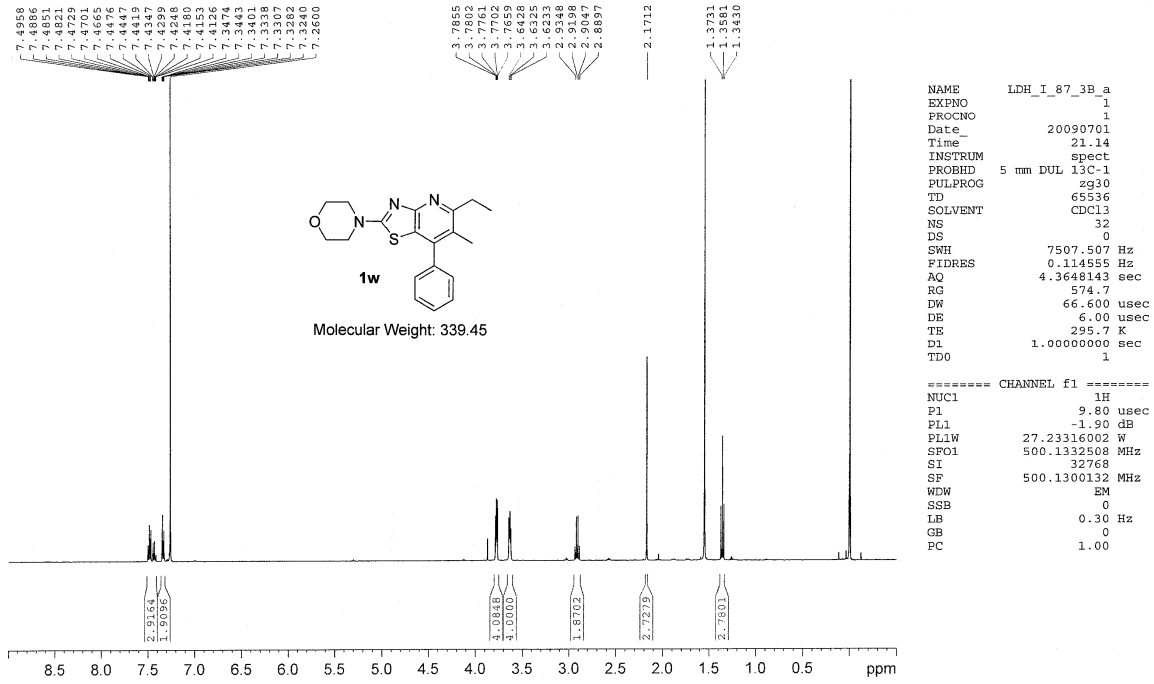
^{1}H NMR spectrum of compound **1v**.



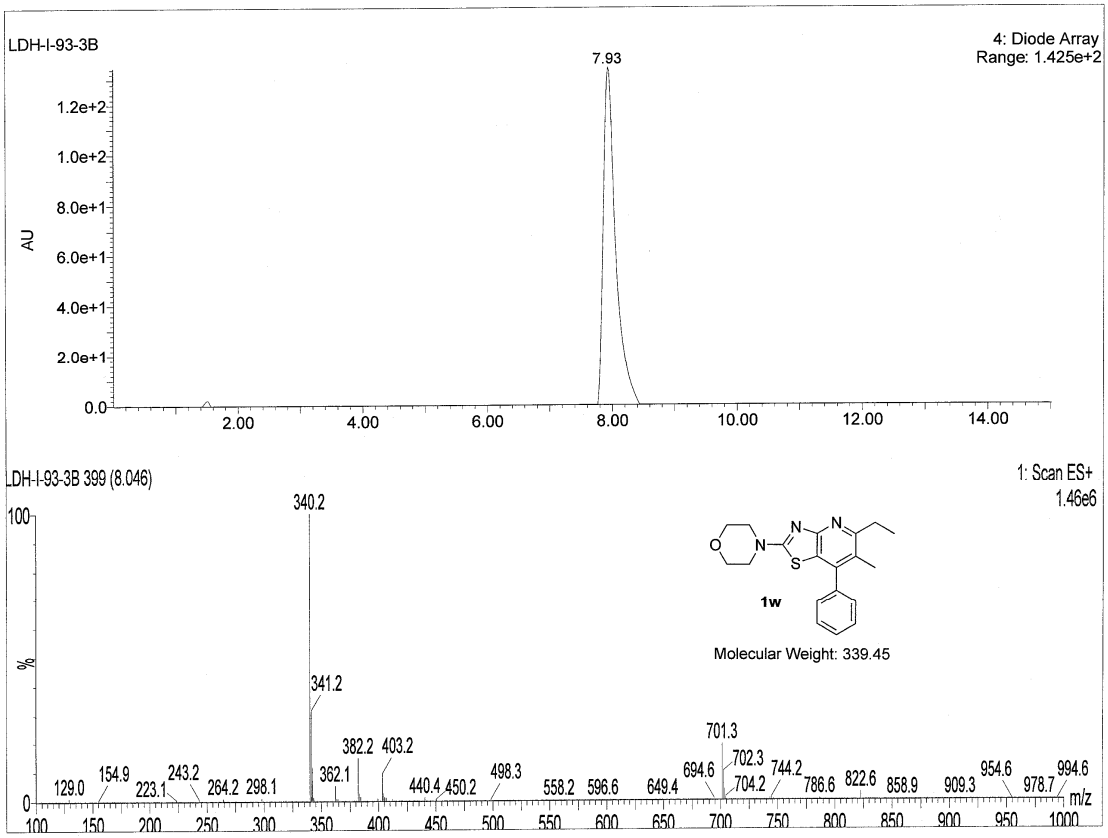
LC-MS spectrum of compound **1v**.



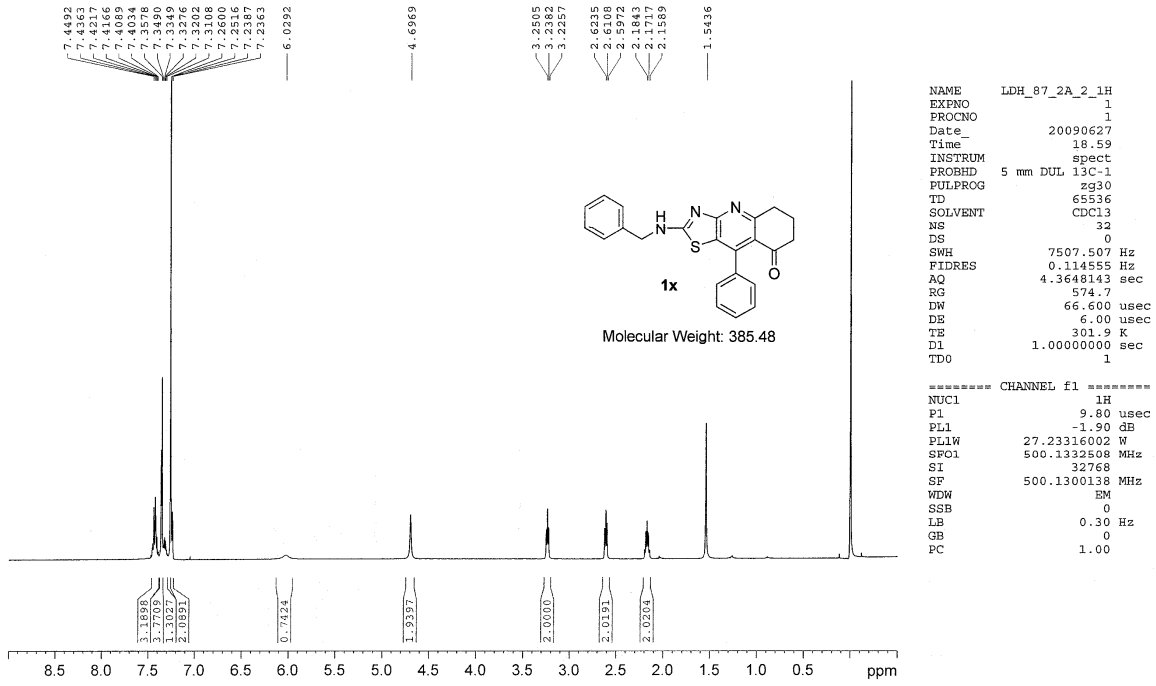
^{1}H NMR spectrum of compound **1w**.



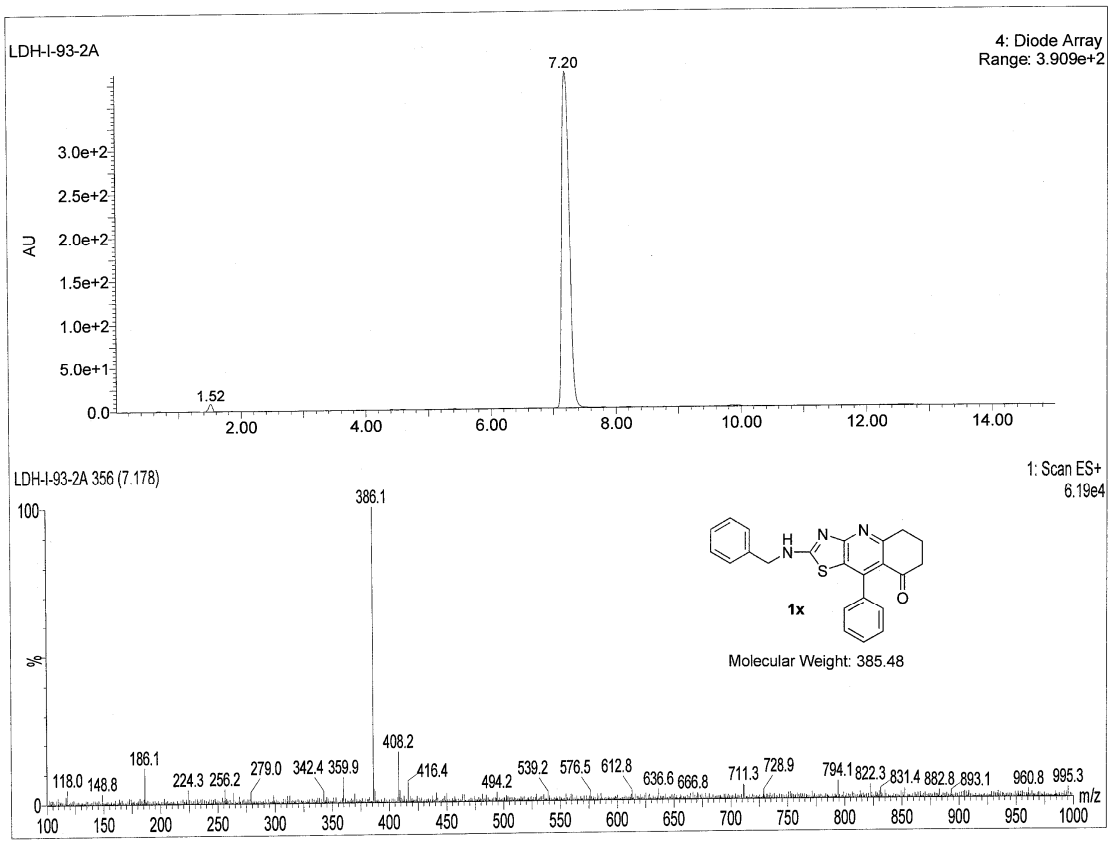
LC-MS spectrum of compound **1w**.



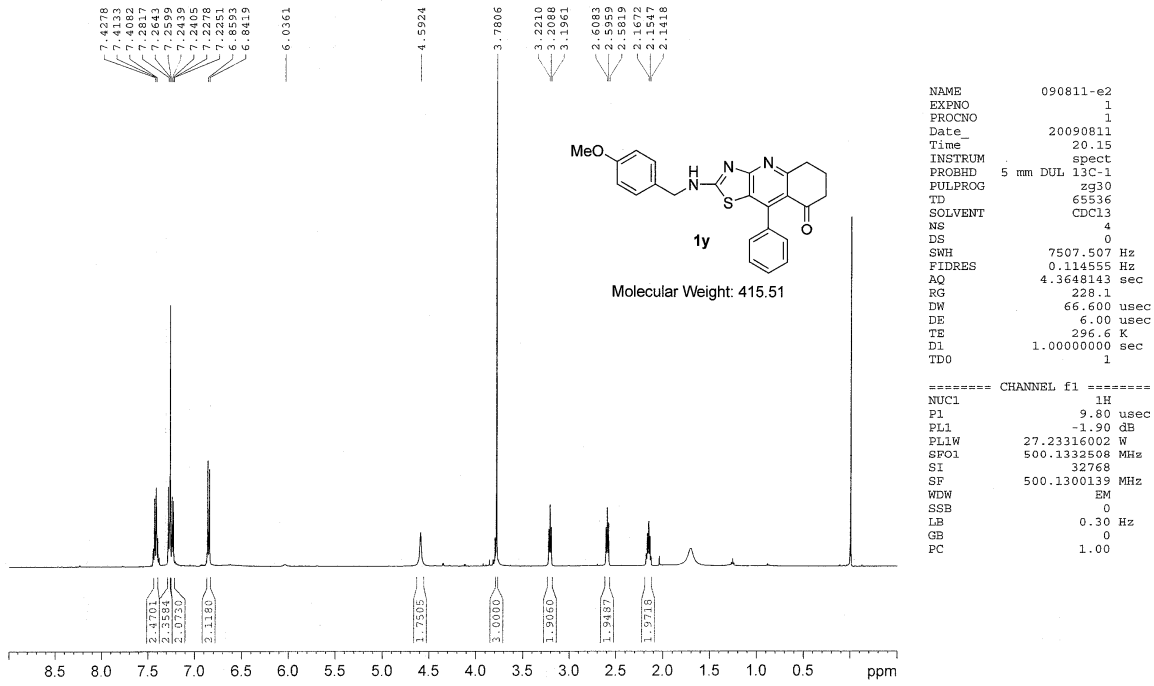
^{1}H NMR spectrum of compound **1x**.



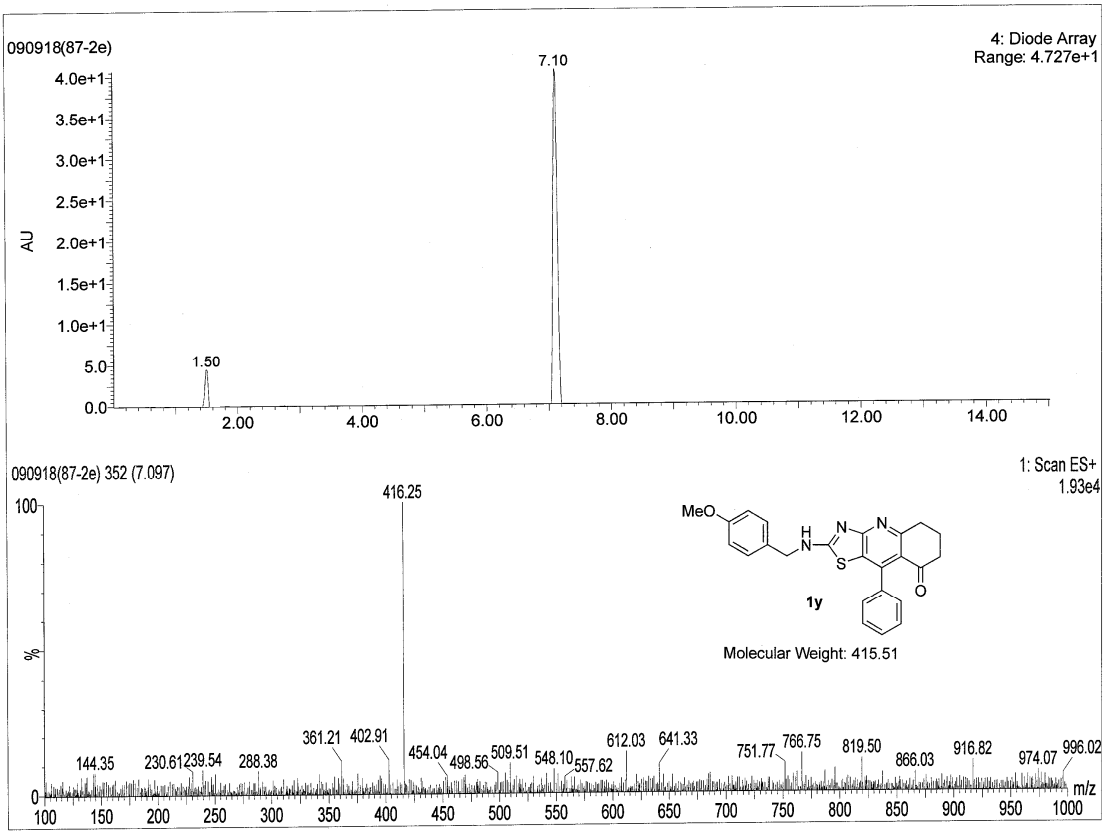
LC-MS spectrum of compound **1x**.



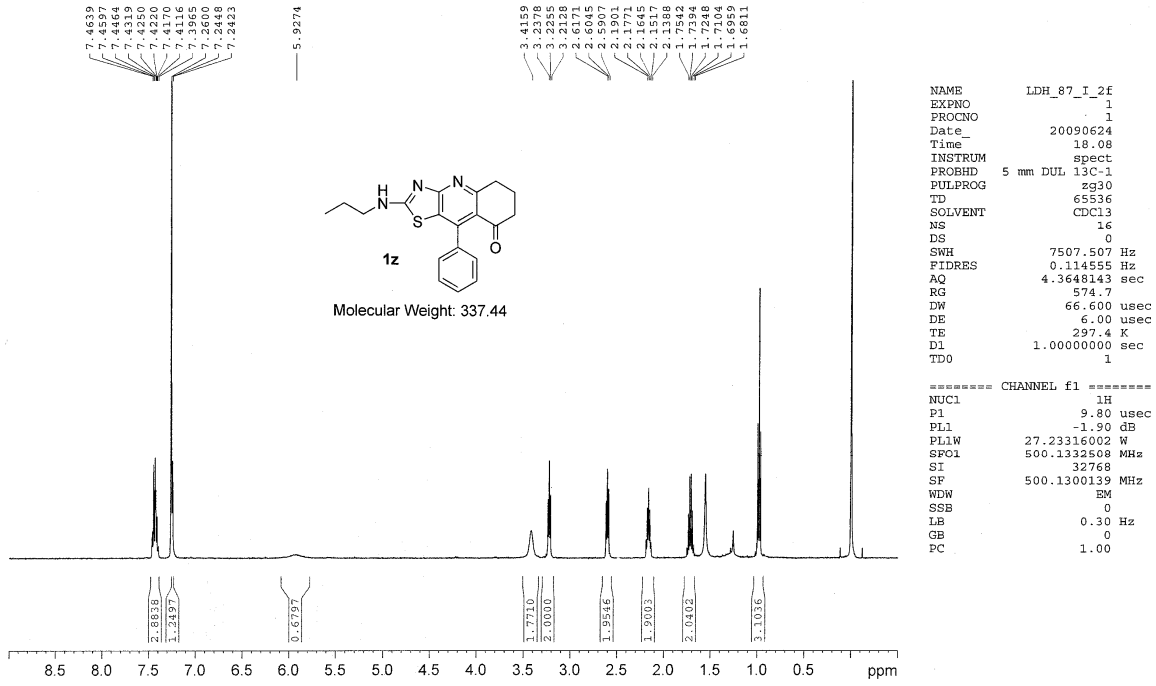
^{1}H NMR spectrum of compound **1y**.



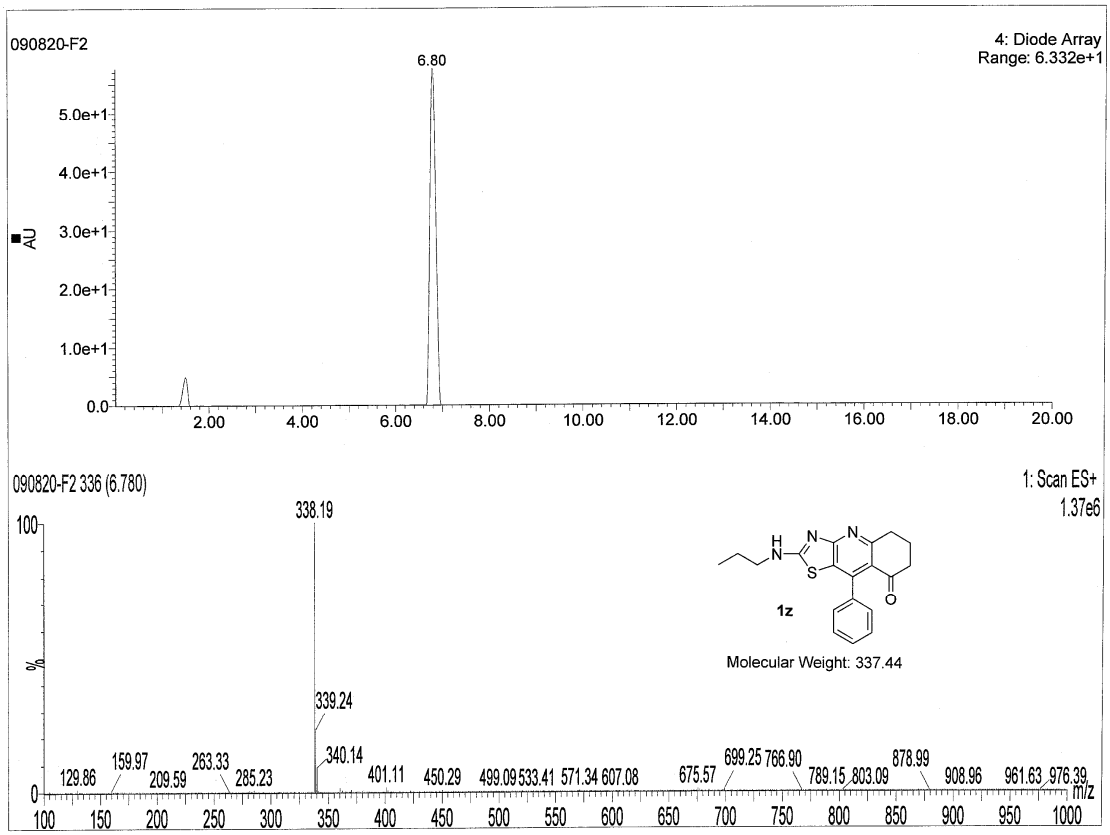
LC-MS spectrum of compound **1y**.



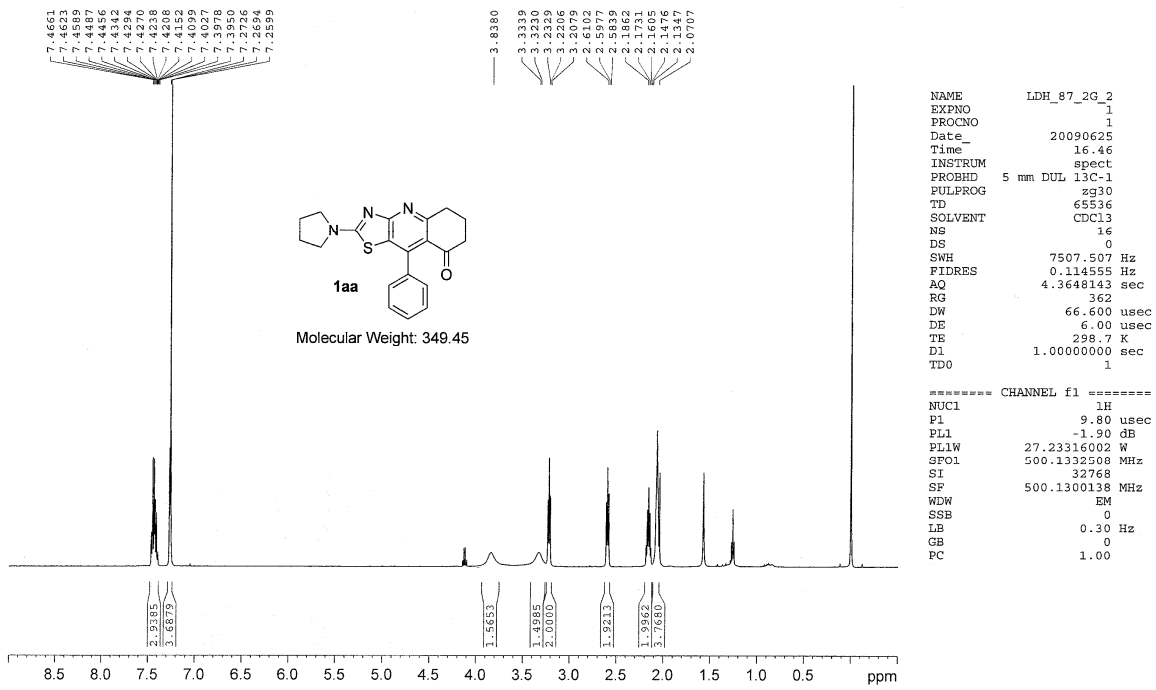
^{1}H NMR spectrum of compound **1z**.



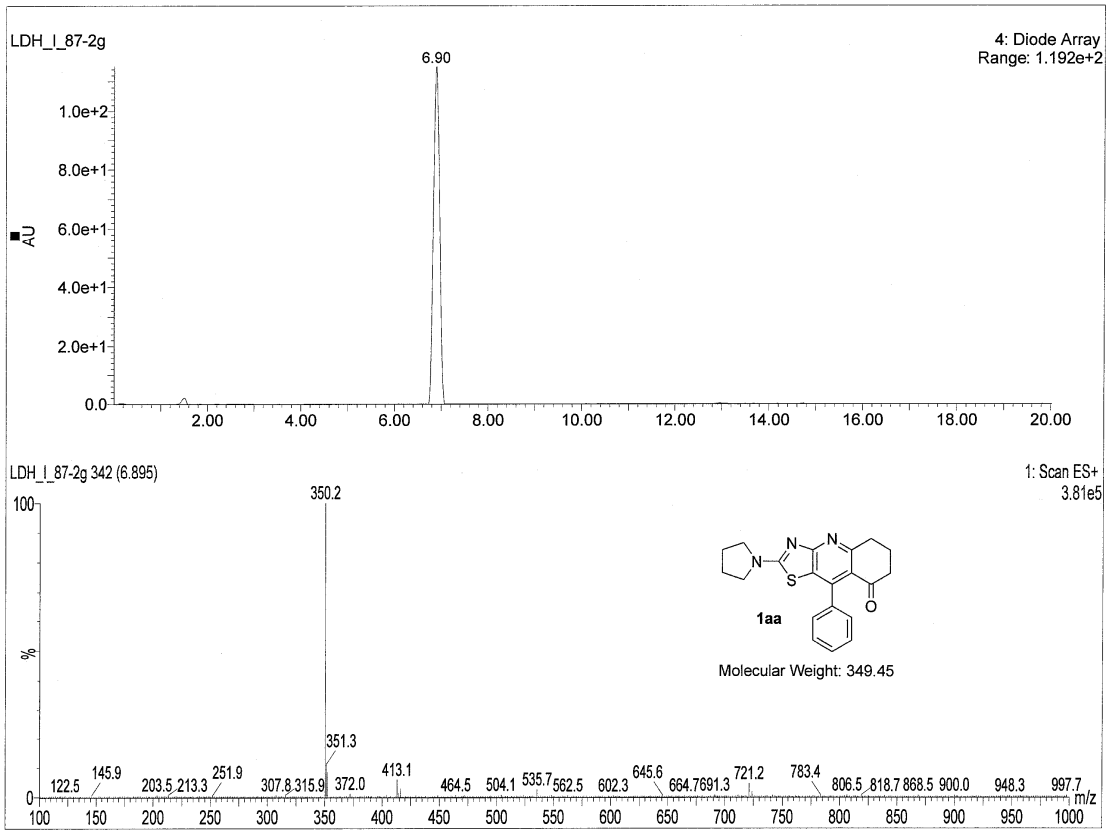
LC-MS spectrum of compound **1z**.



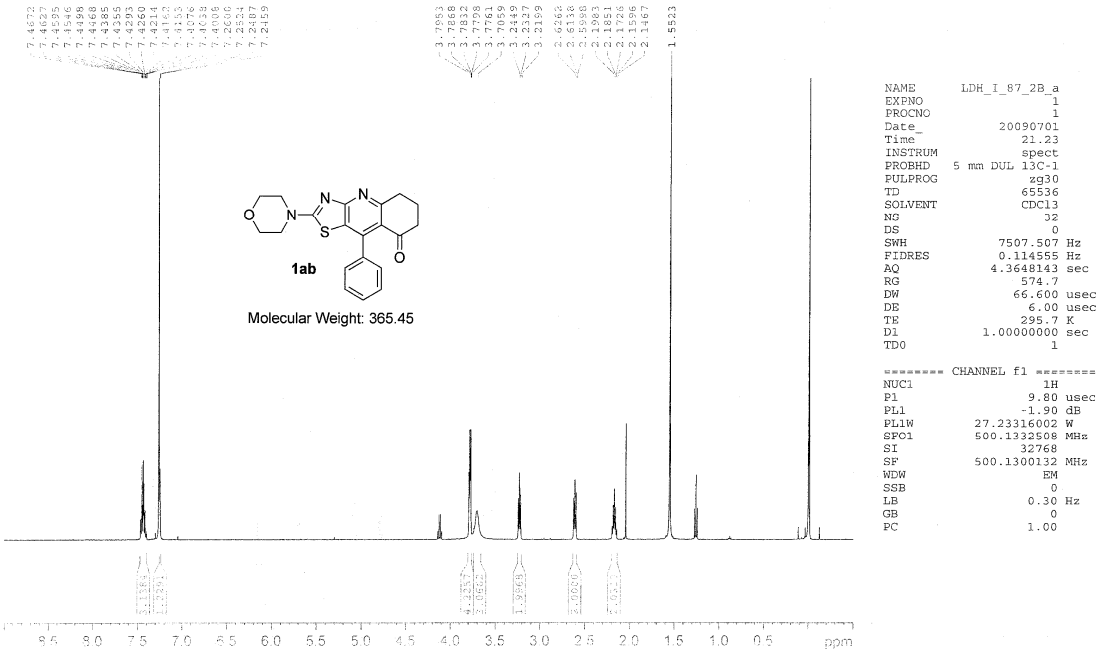
^{1}H NMR spectrum of compound **1aa**.



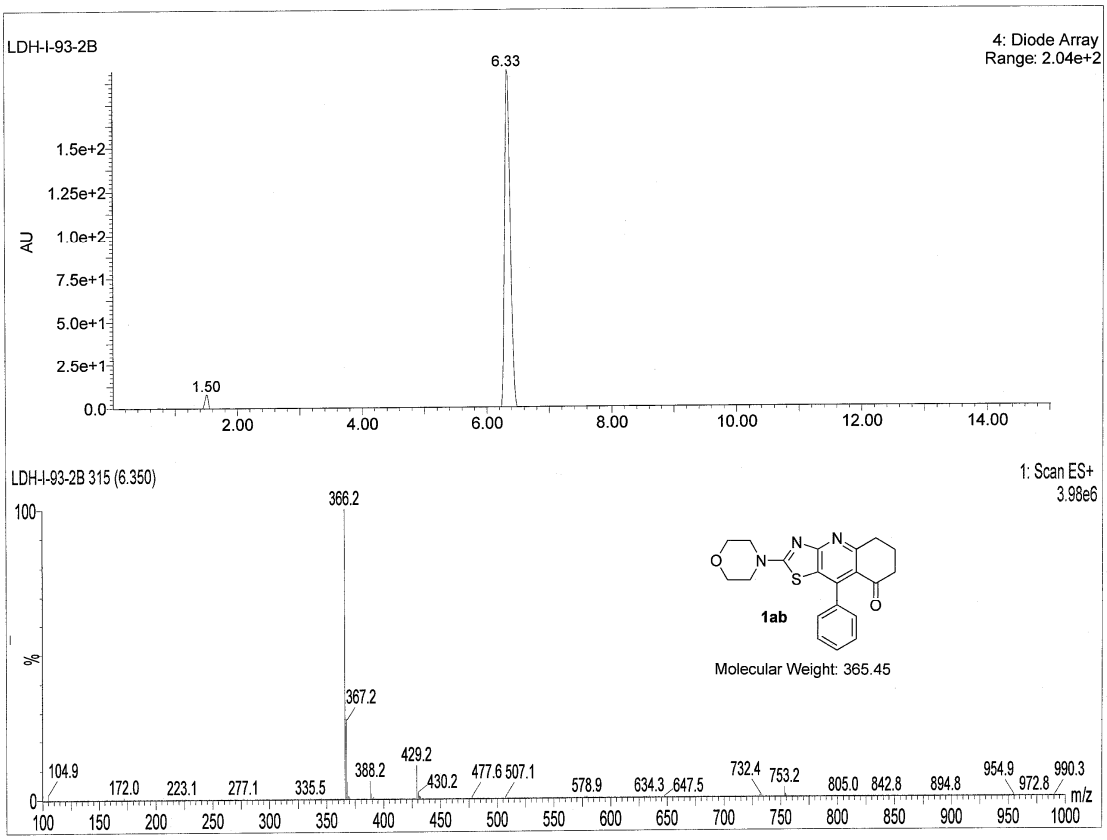
LC-MS spectrum of compound **1aa**.



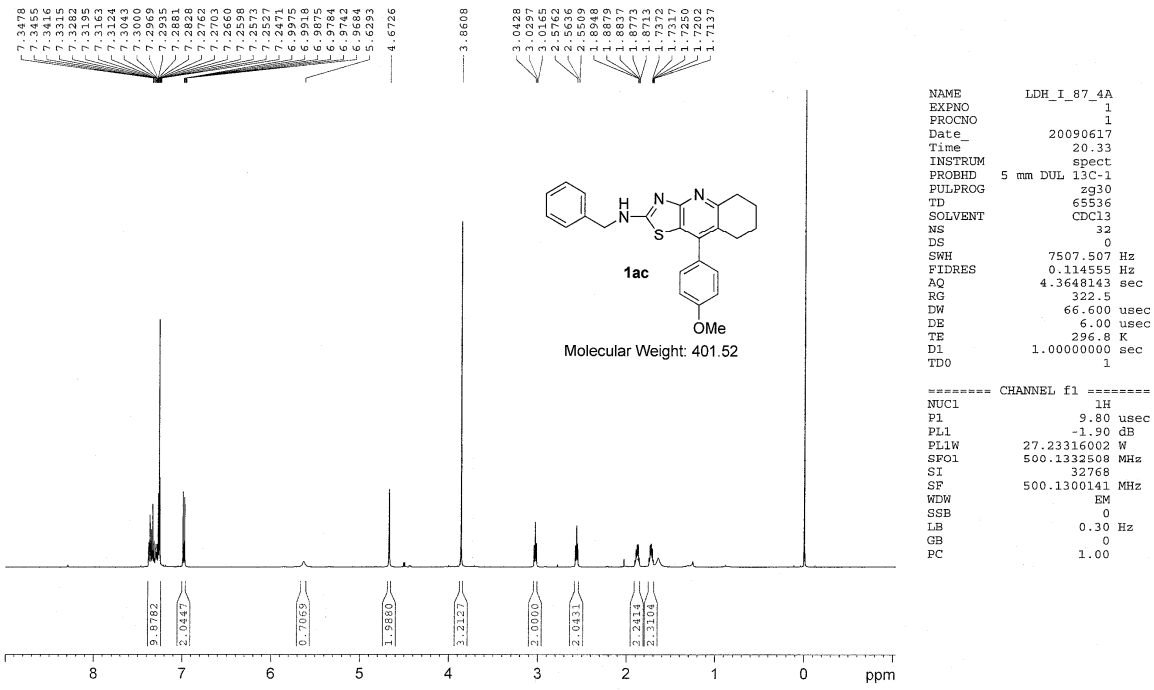
^{1}H NMR spectrum of compound **1ab**.



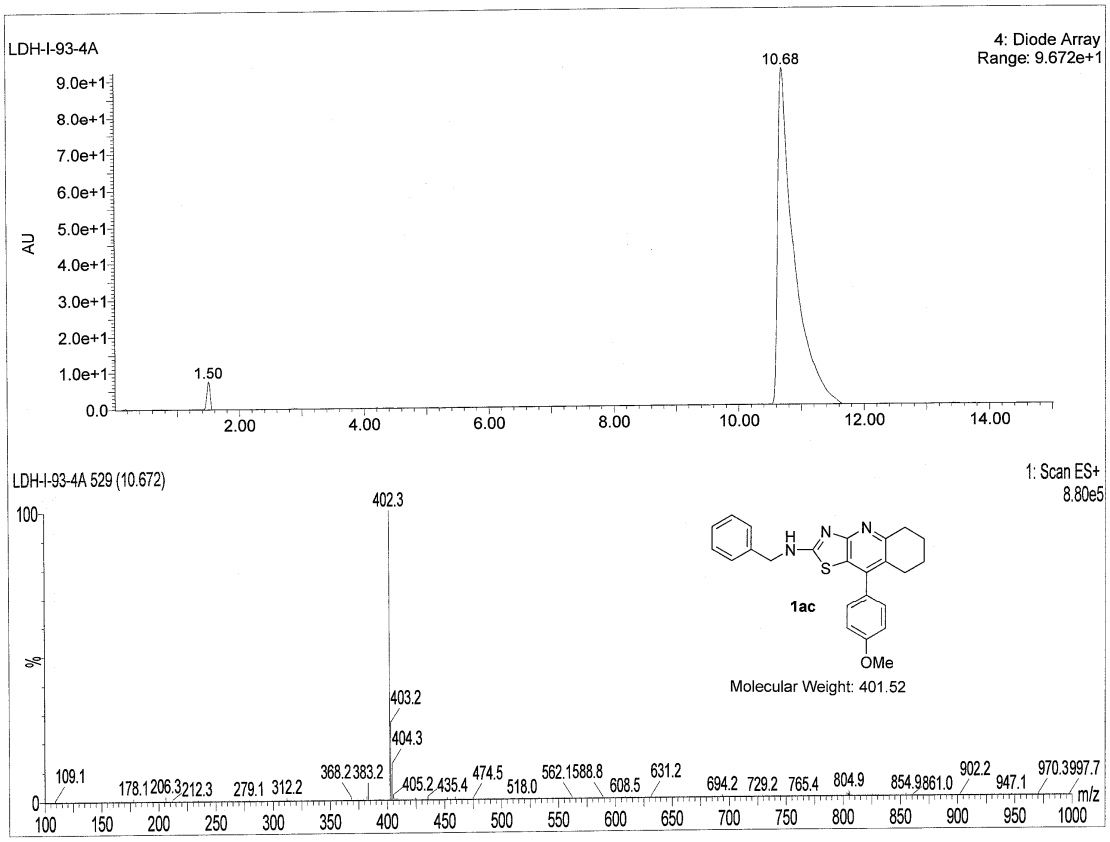
LC-MS spectrum of compound **1ab**.



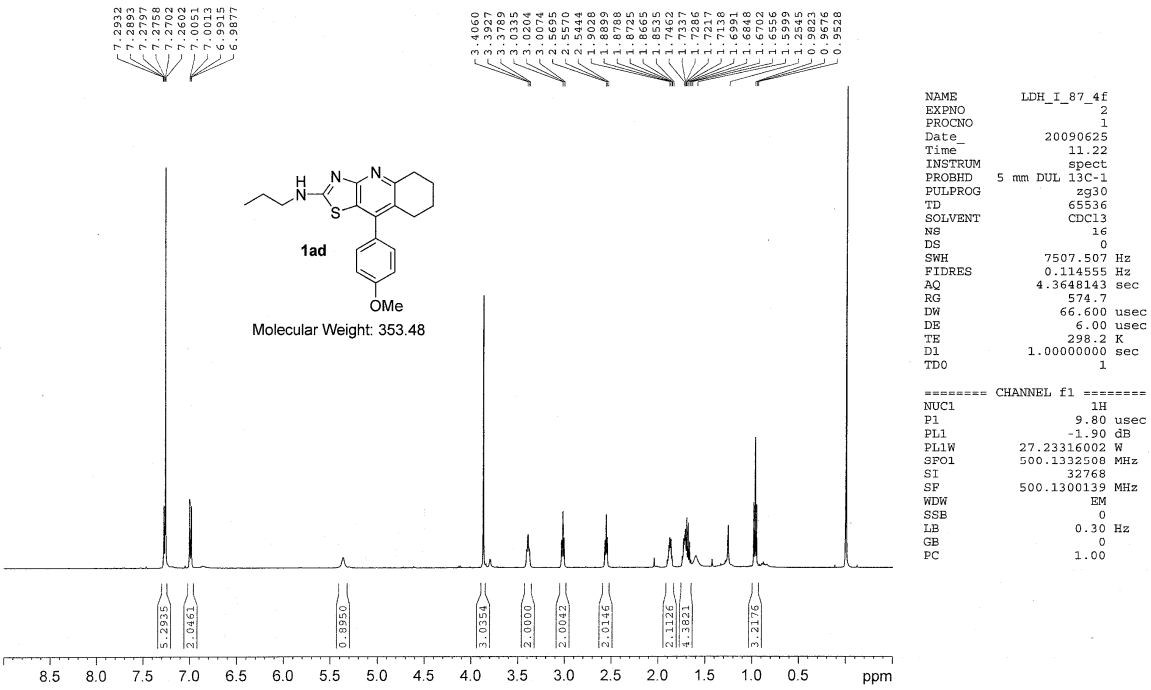
^{1}H NMR spectrum of compound **1ac**.



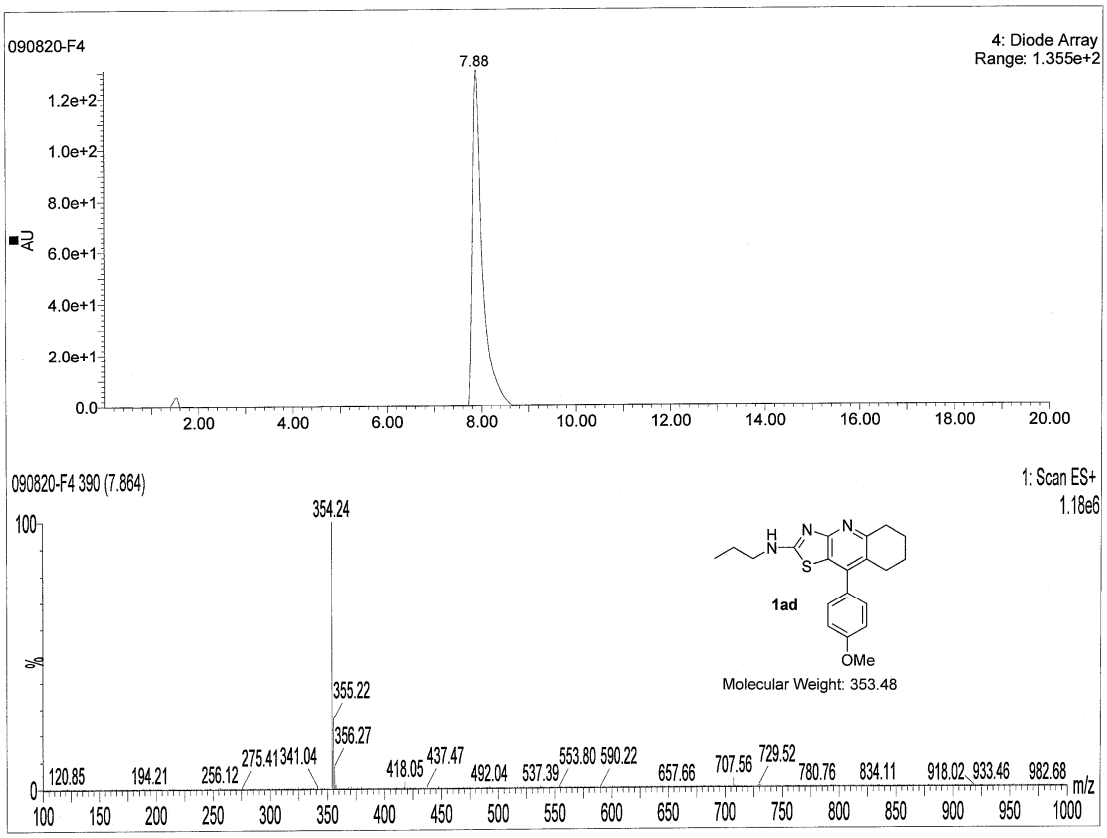
LC-MS spectrum of compound **1ac**.



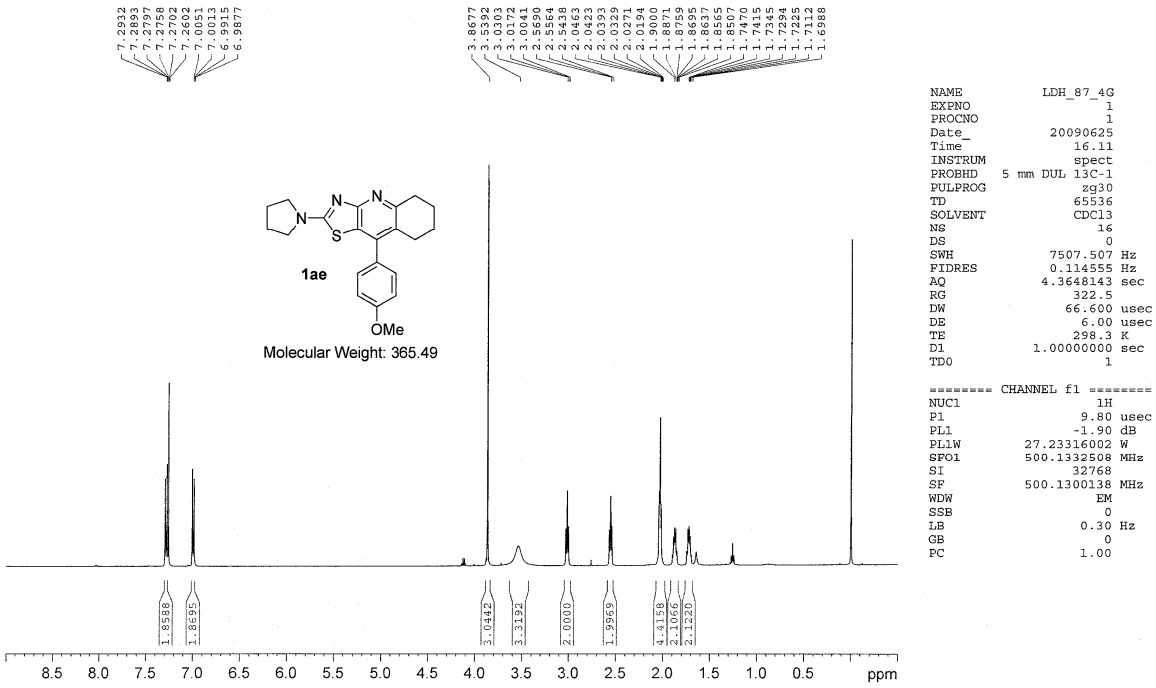
^{1}H NMR spectrum of compound **1ad**.



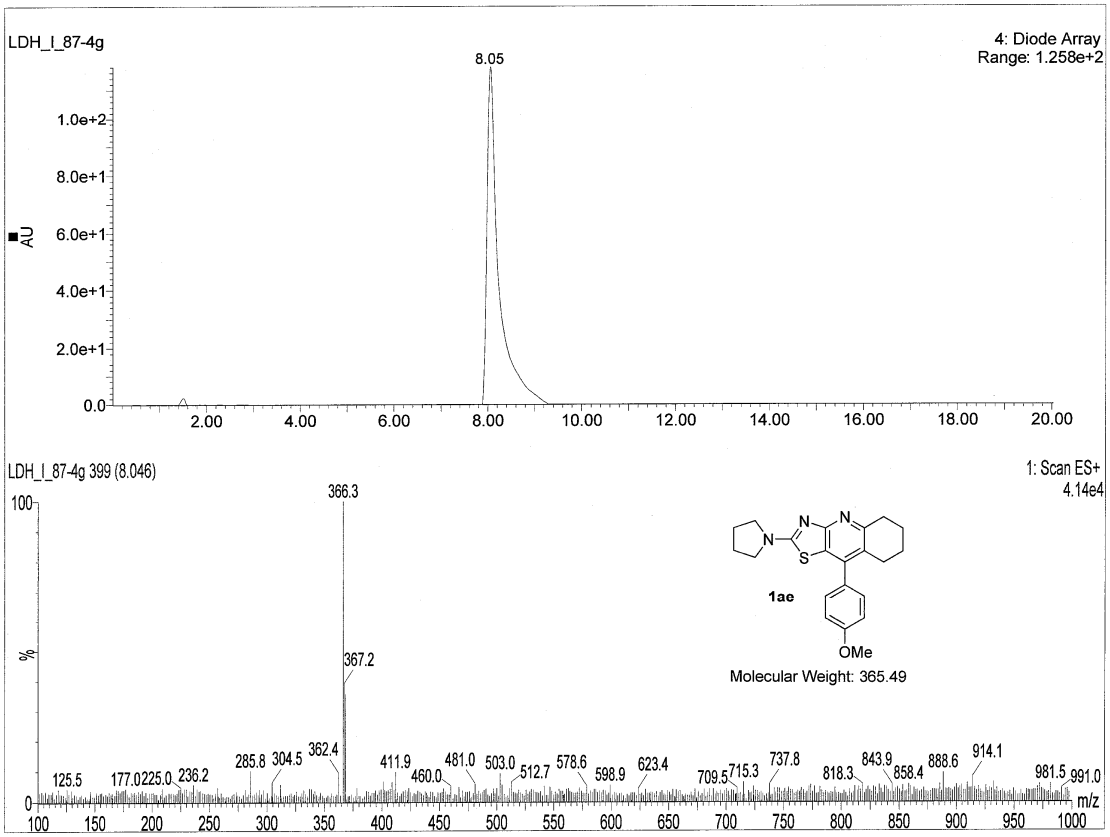
LC-MS spectrum of compound **1ad**.



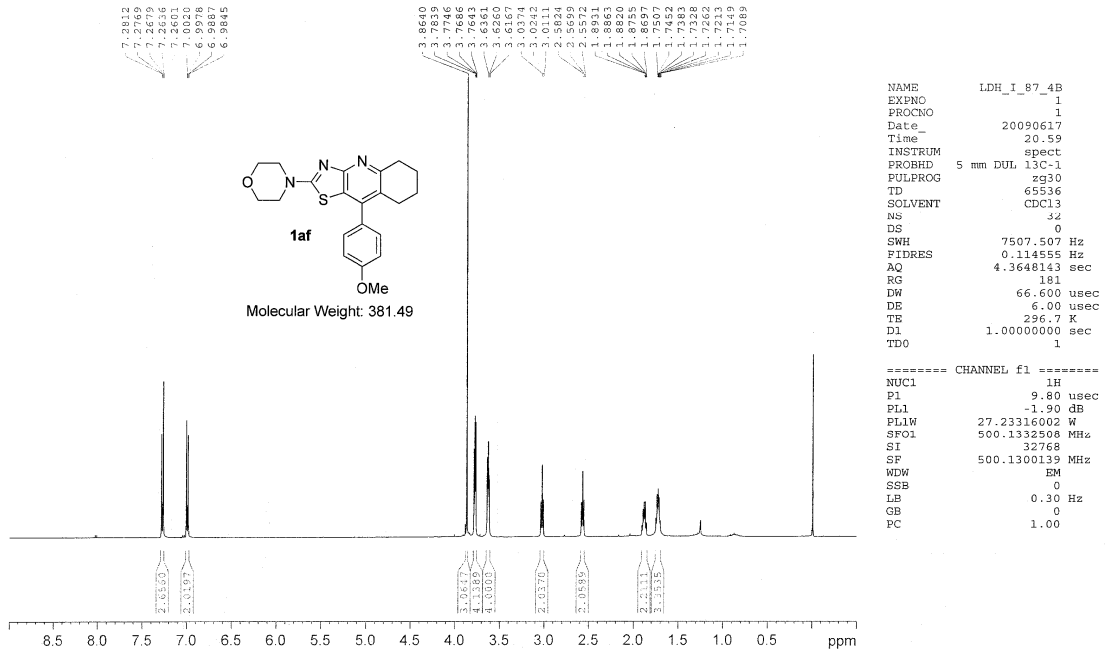
^{1}H NMR spectrum of compound **1ae**.



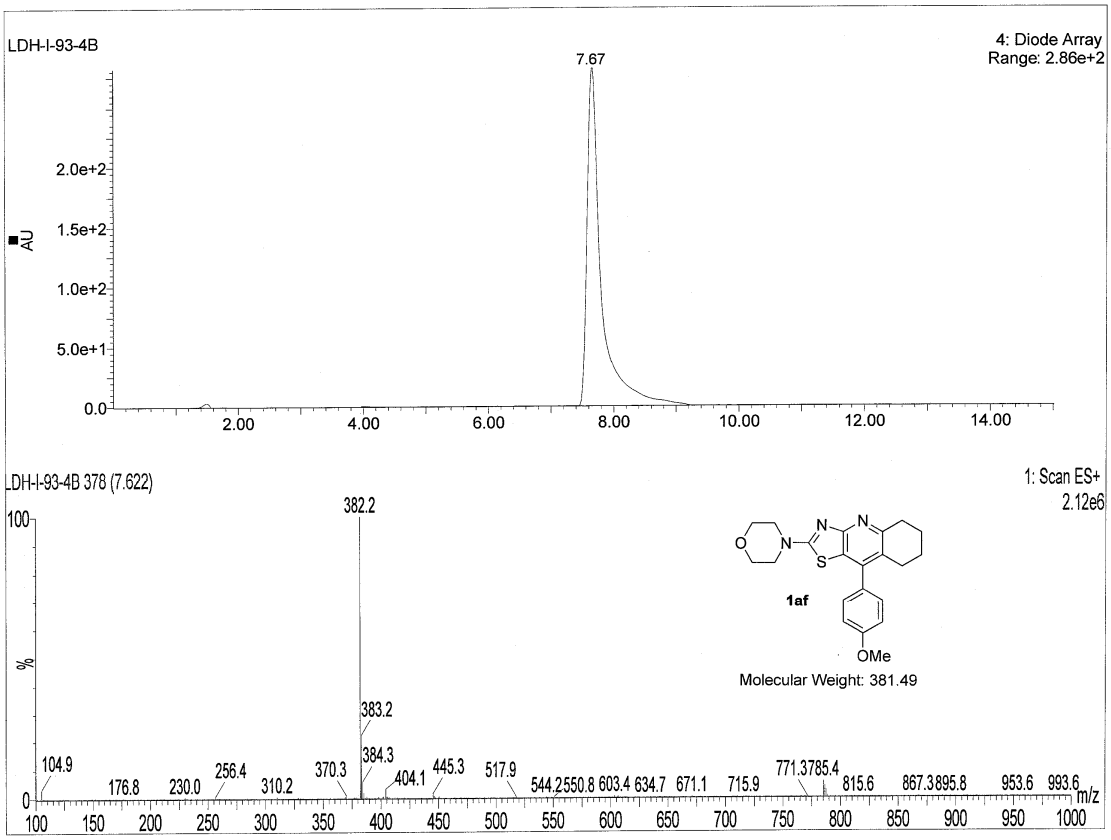
LC-MS spectrum of compound **1ae**.



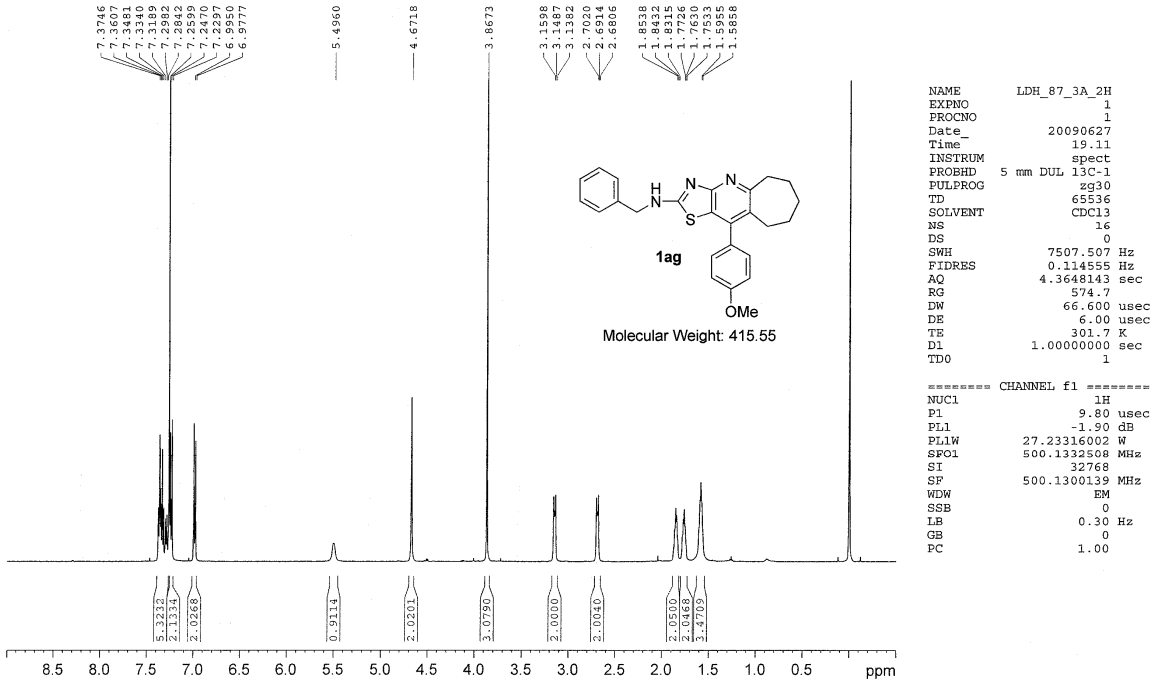
^{1}H NMR spectrum of compound **1af**.



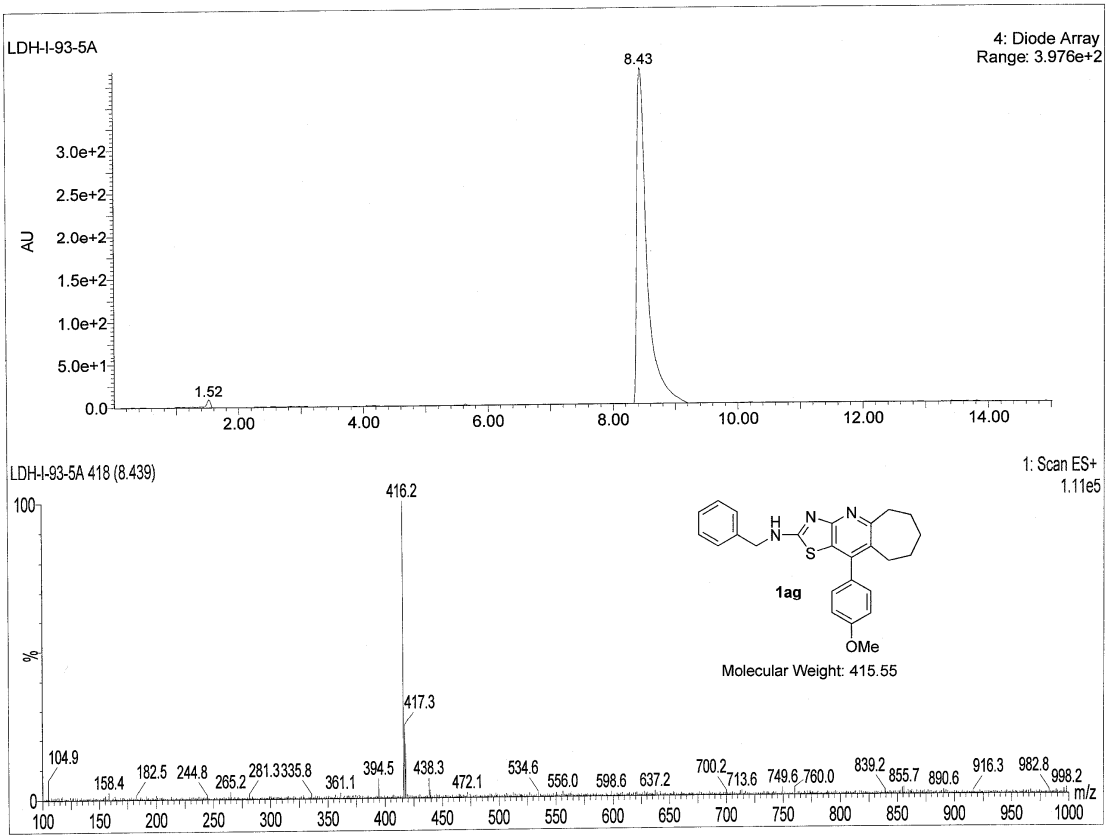
LC-MS spectrum of compound **1af**.



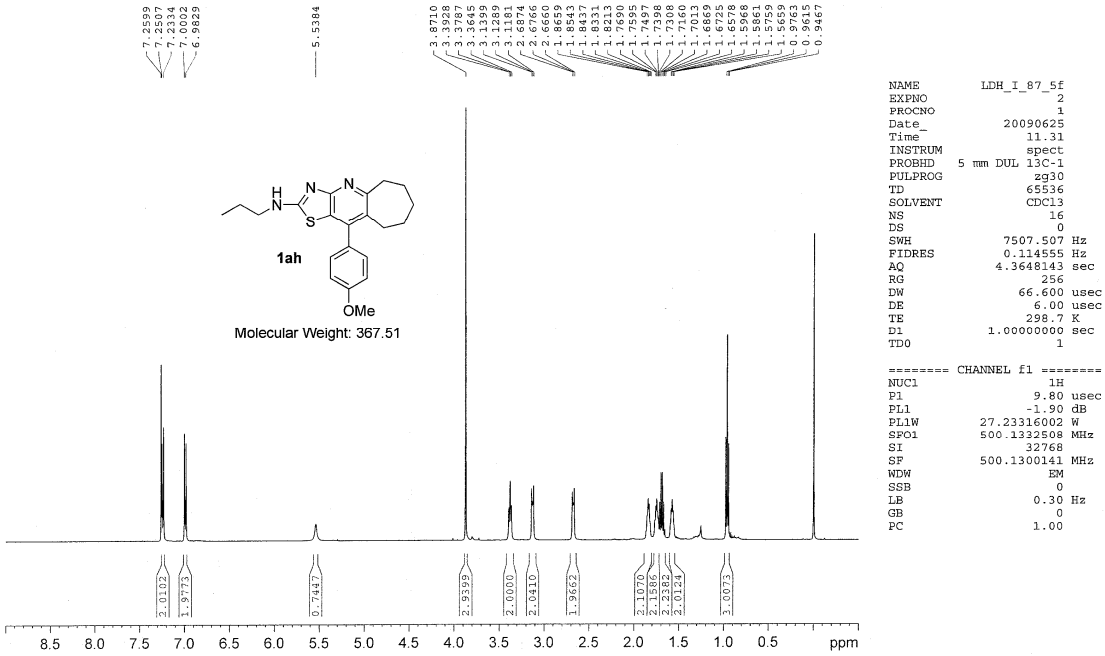
^{1}H NMR spectrum of compound **1ag**.



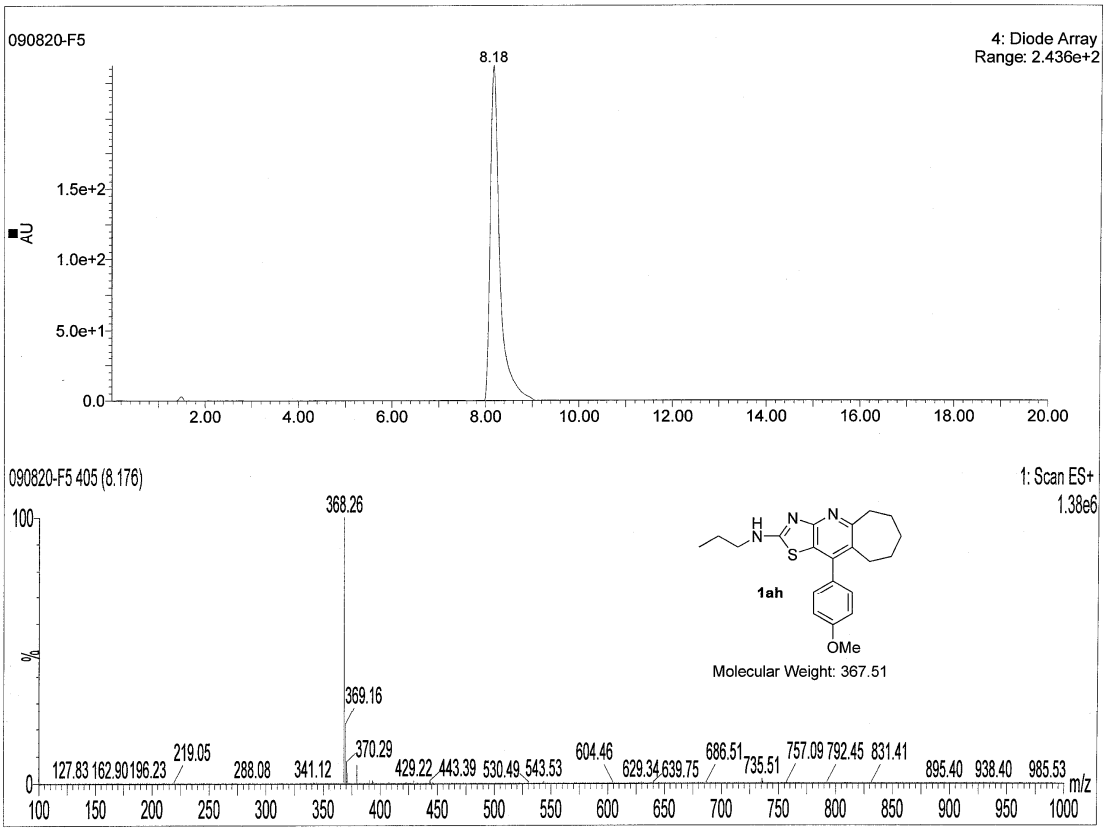
LC-MS spectrum of compound **1ag**.



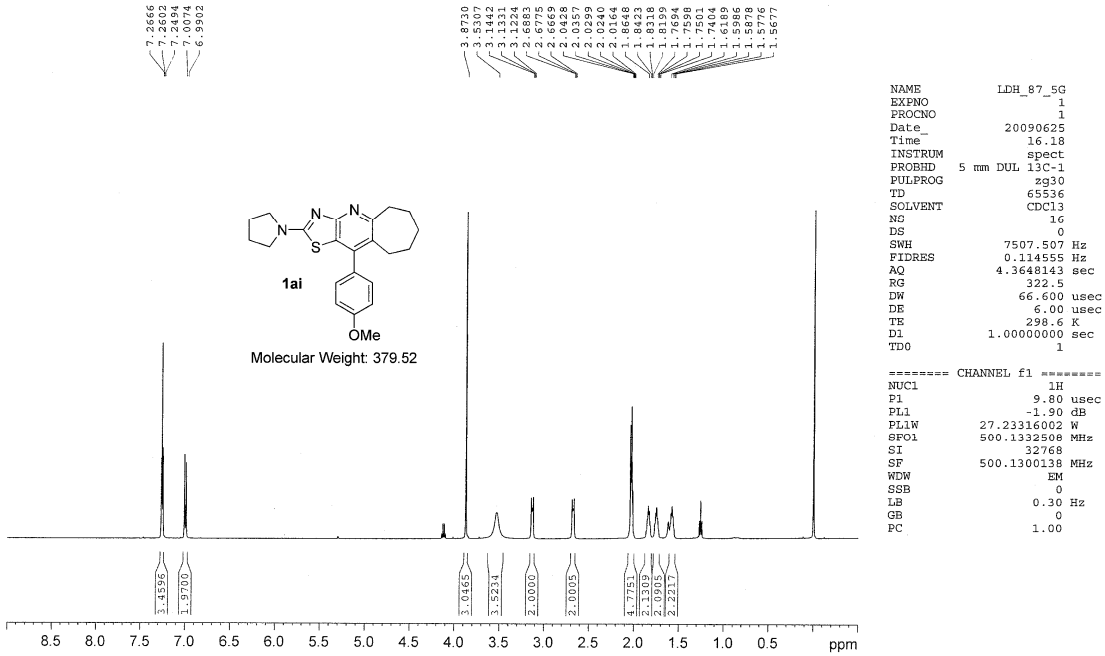
^{1}H NMR spectrum of compound **1ah**.



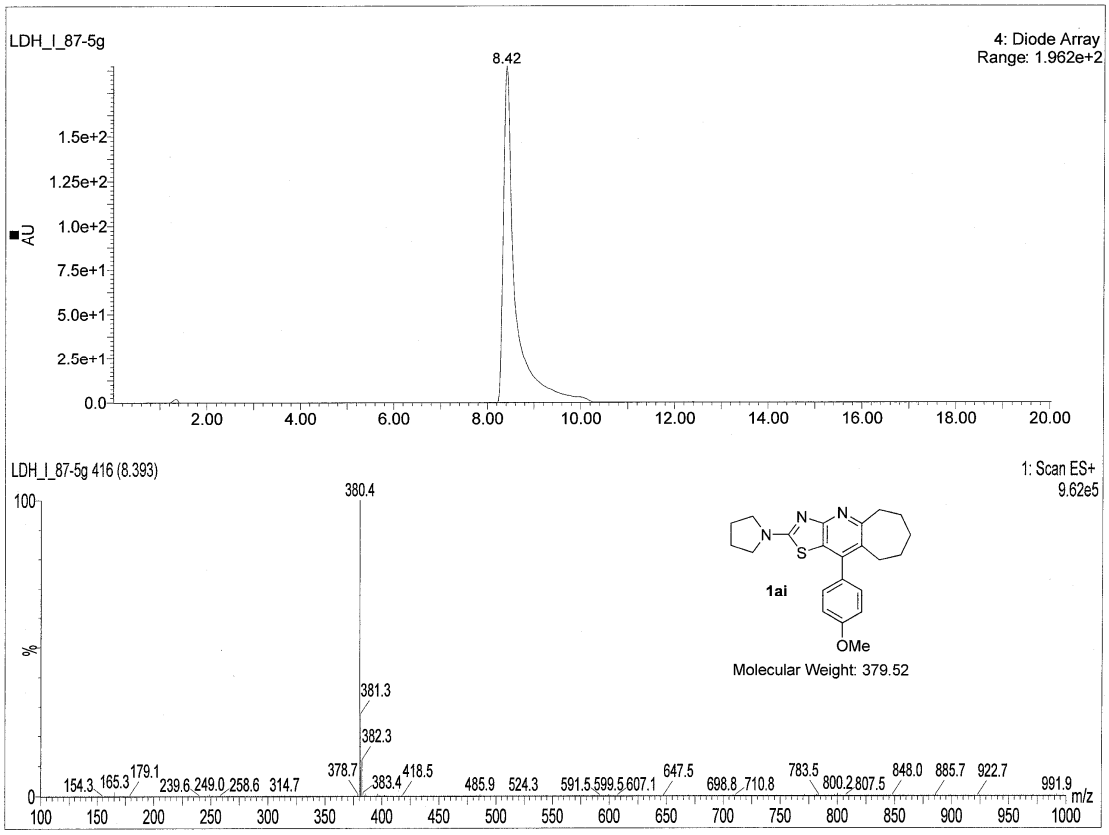
LC-MS spectrum of compound **1ah**.



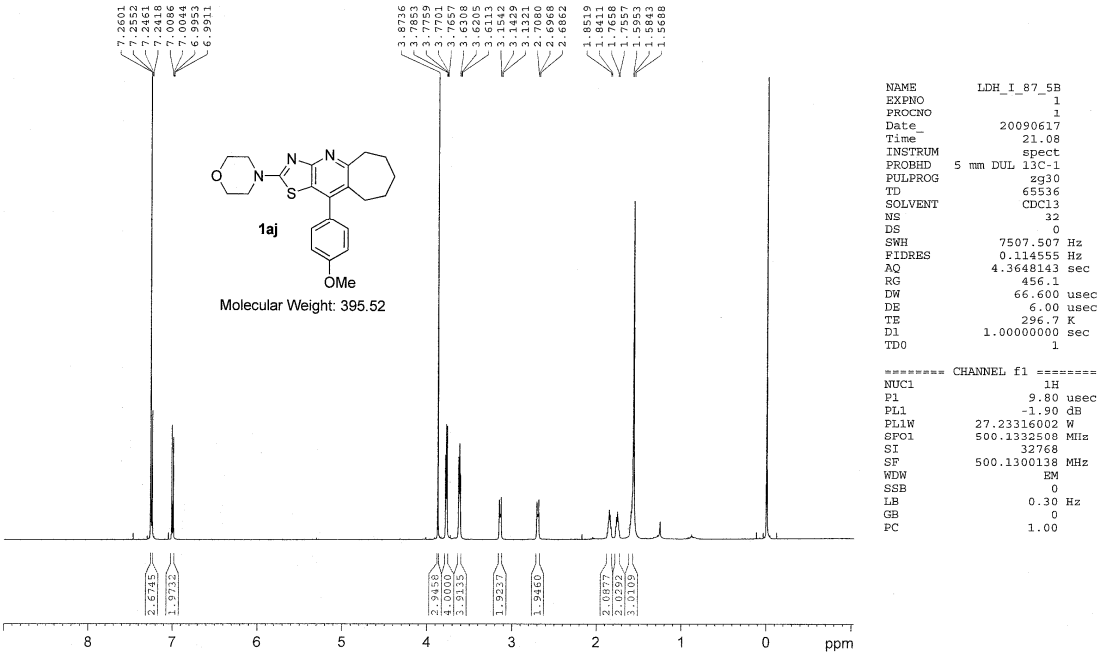
^{1}H NMR spectrum of compound **1ai**.



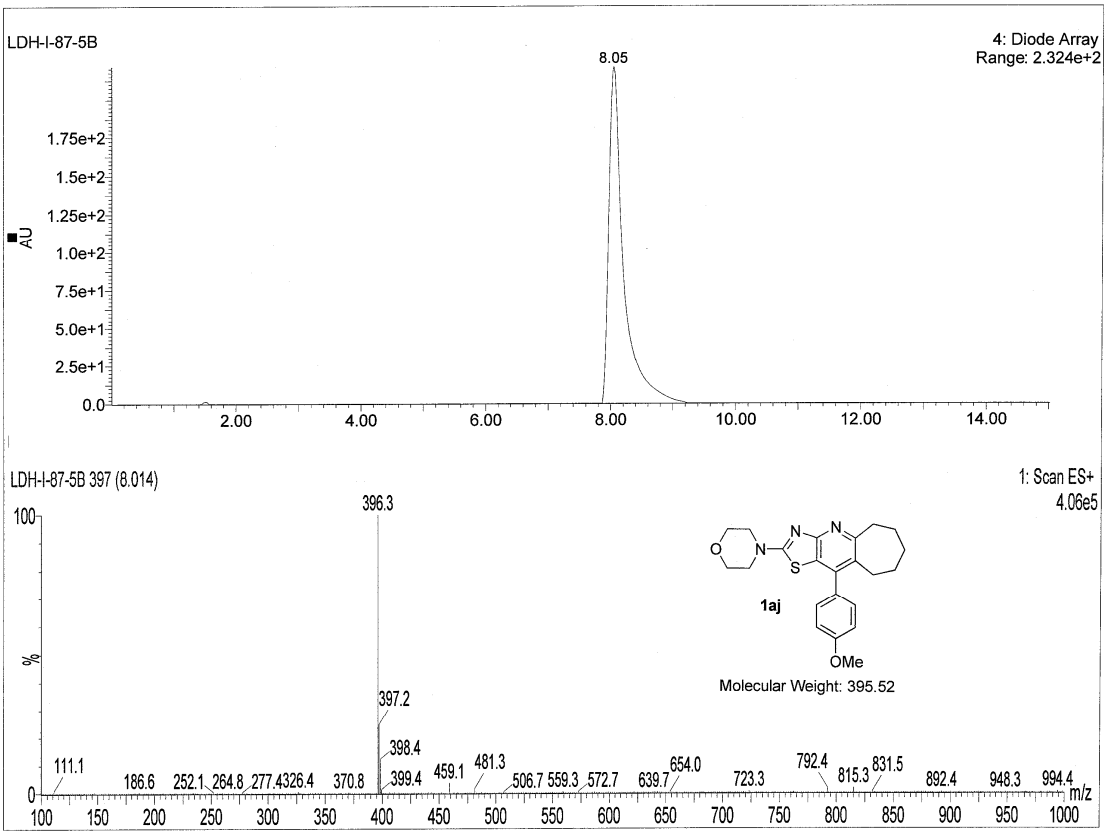
LC-MS spectrum of compound **1ai**.



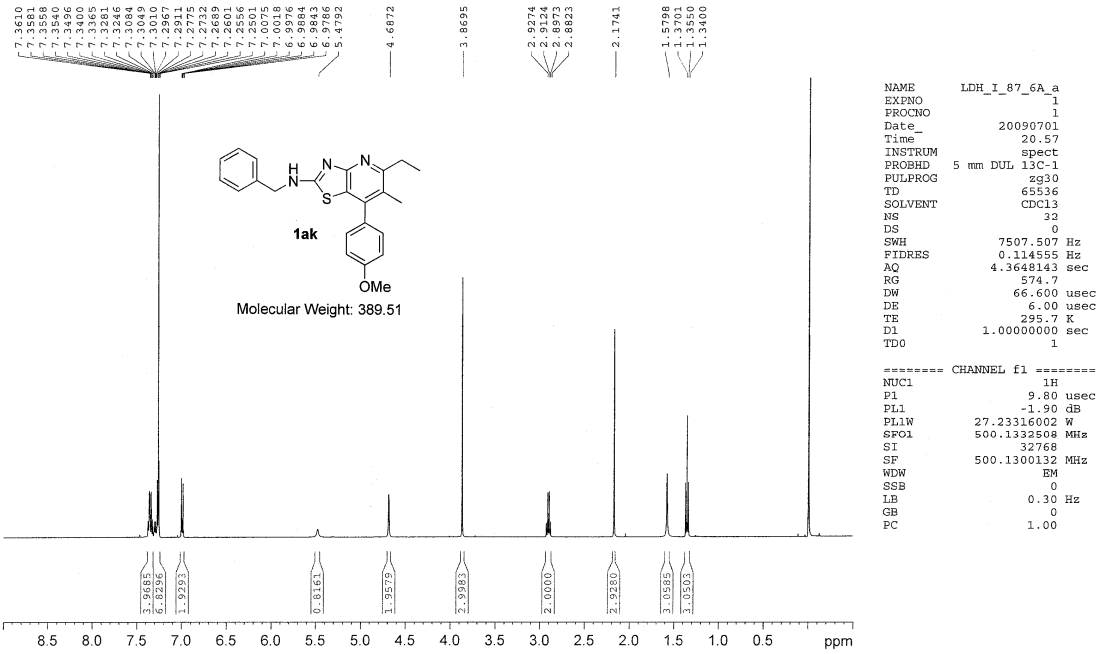
^{1}H NMR spectrum of compound **1aj**.



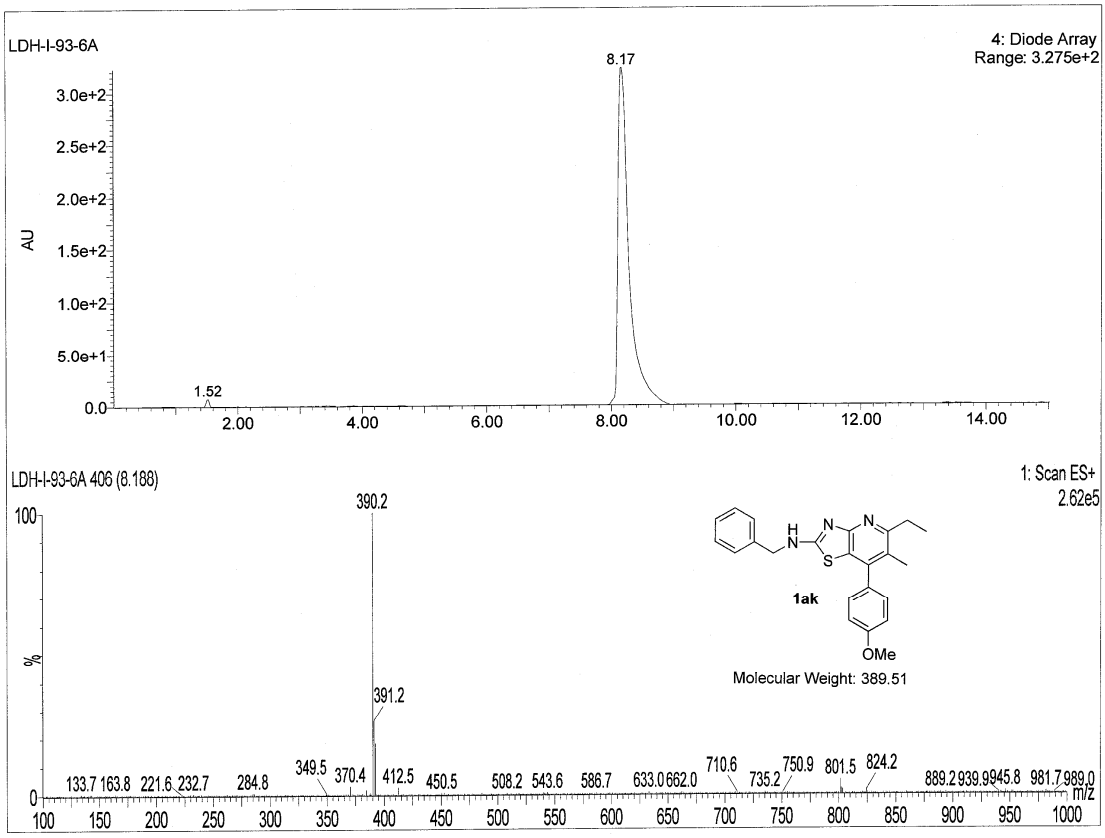
LC-MS spectrum of compound **1aj**.



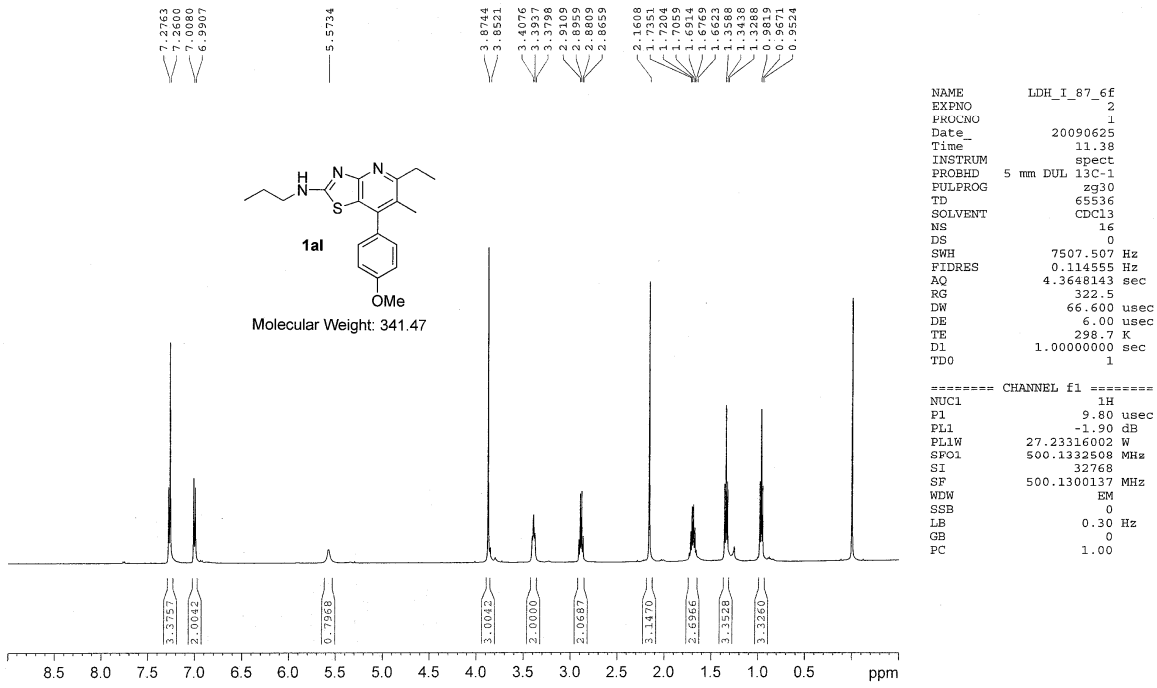
^{1}H NMR spectrum of compound **1ak**.



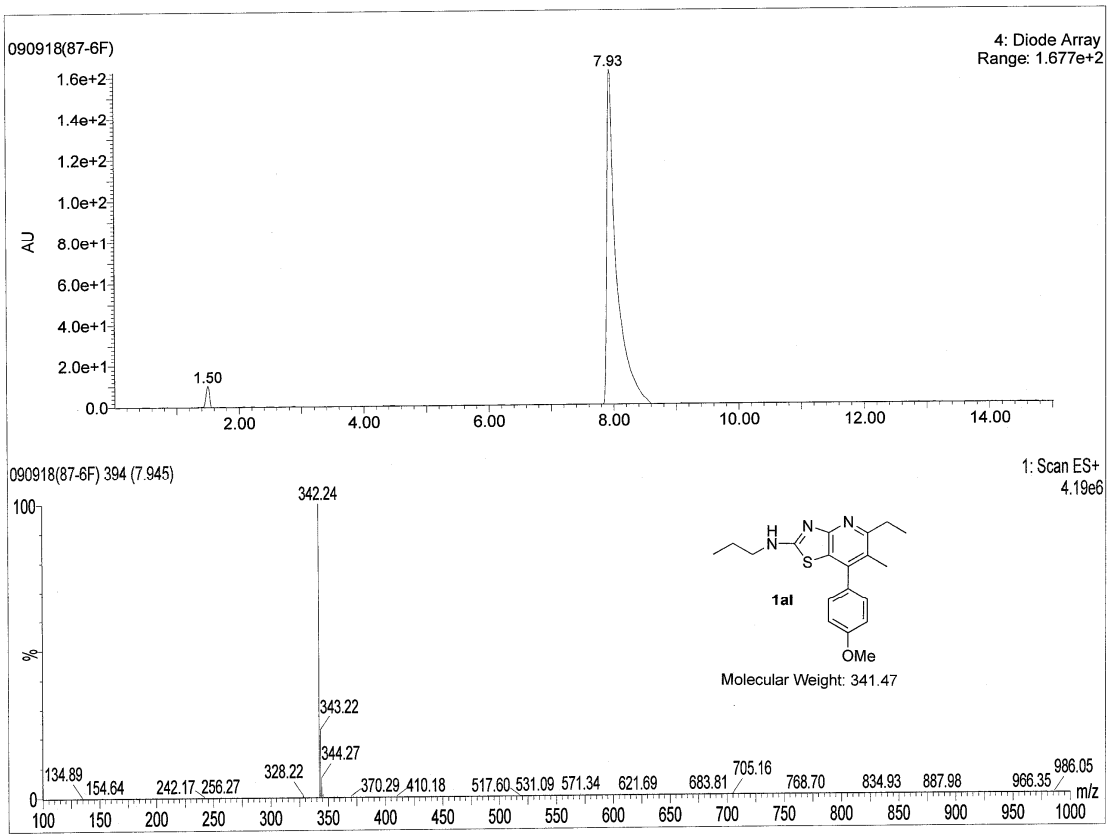
LC-MS spectrum of compound **1ak**.



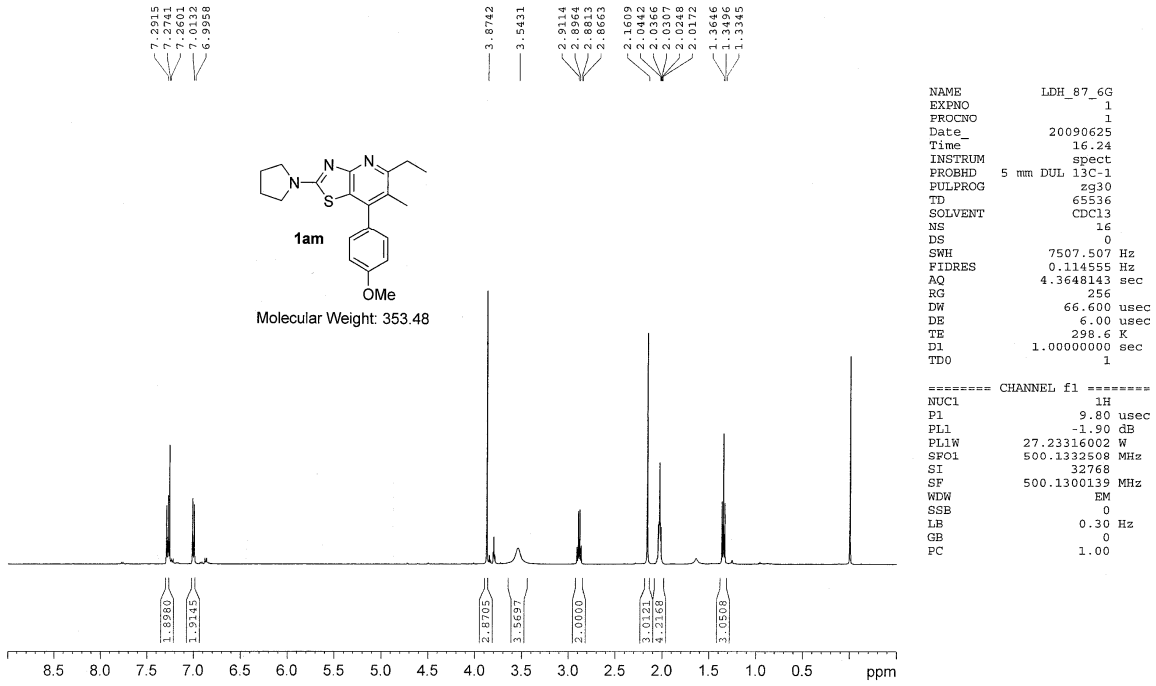
^{1}H NMR spectrum of compound **1al**.



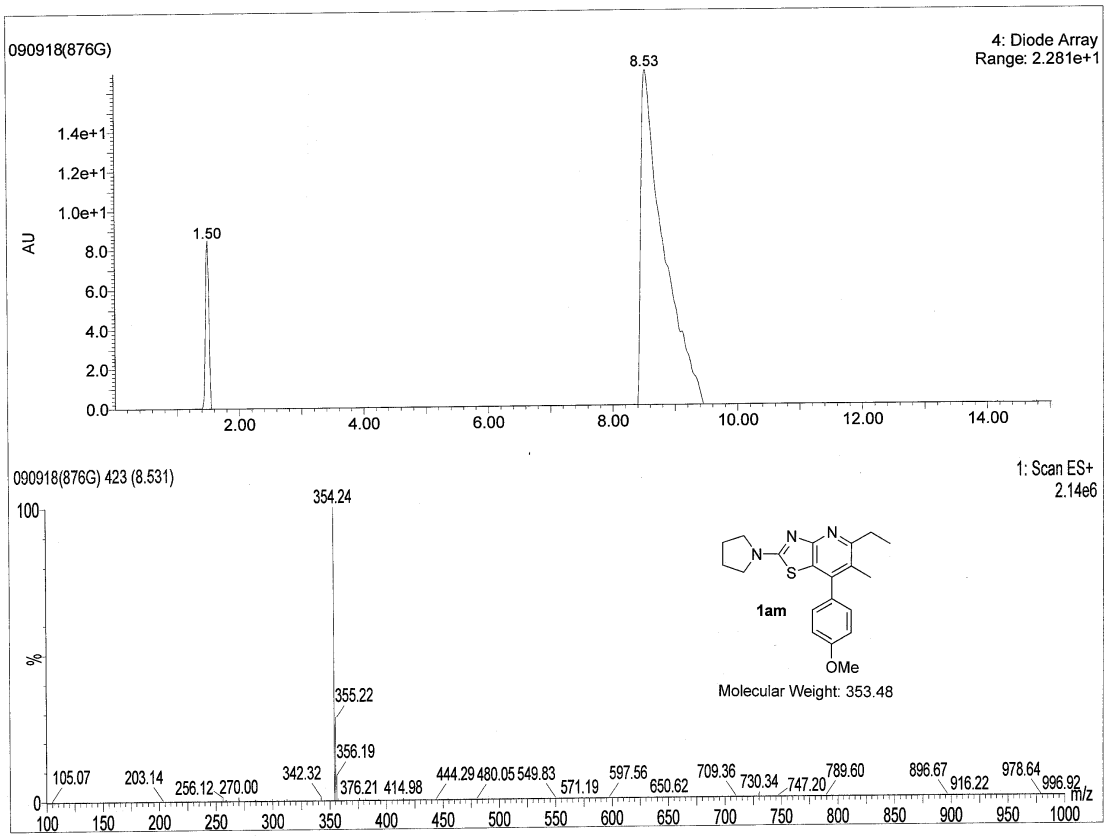
LC-MS spectrum of compound **1al**.



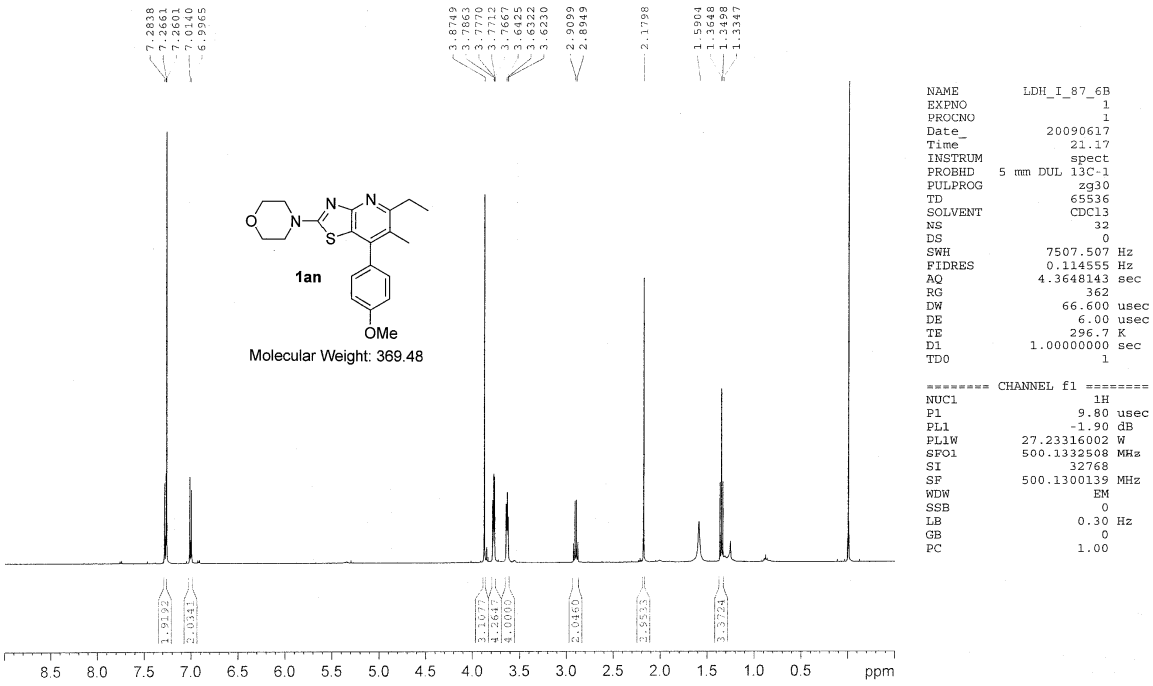
^{1}H NMR spectrum of compound **1am**.



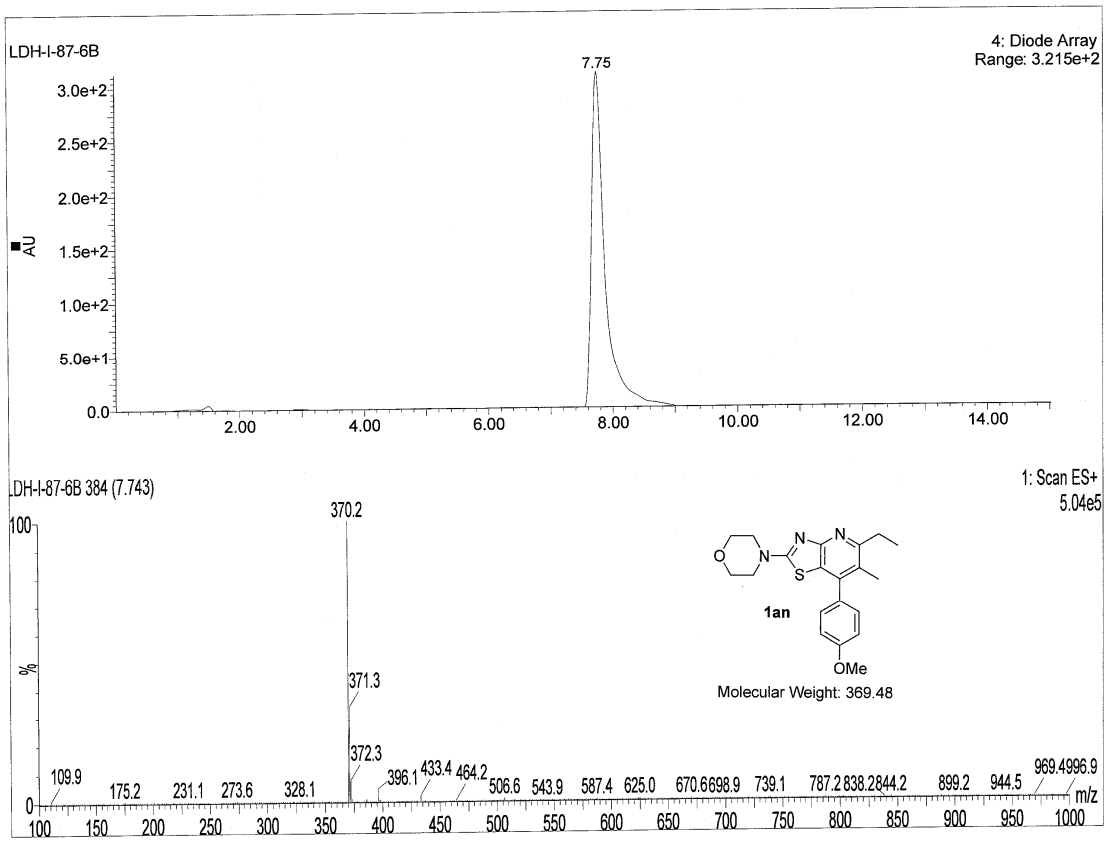
LC-MS spectrum of compound **1am**.



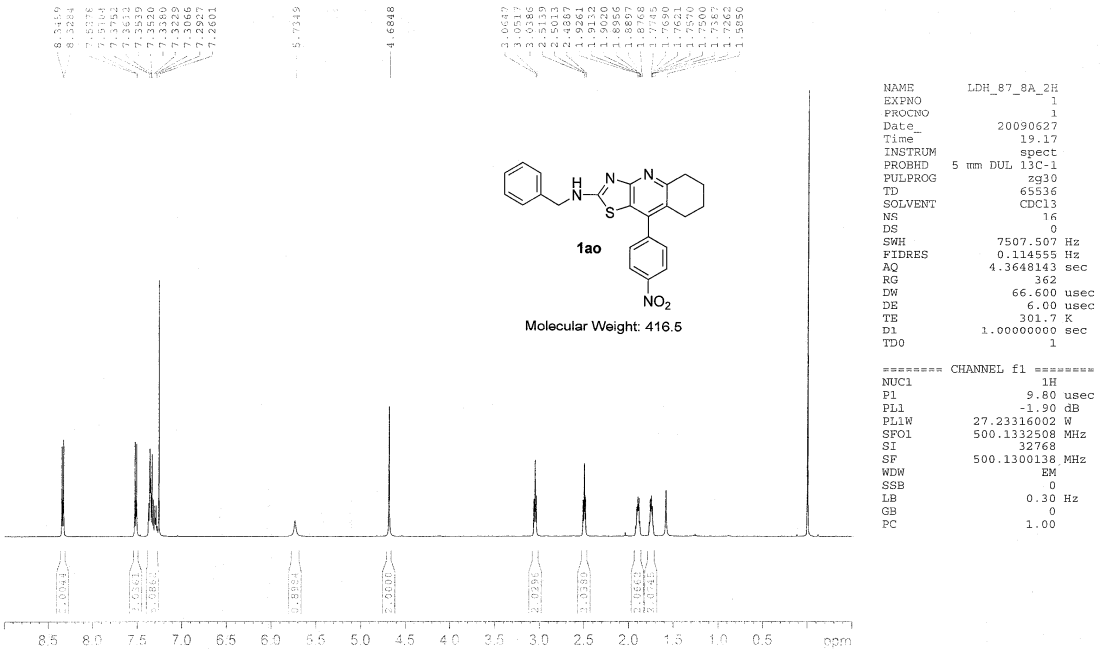
^{1}H NMR spectrum of compound **1an**.



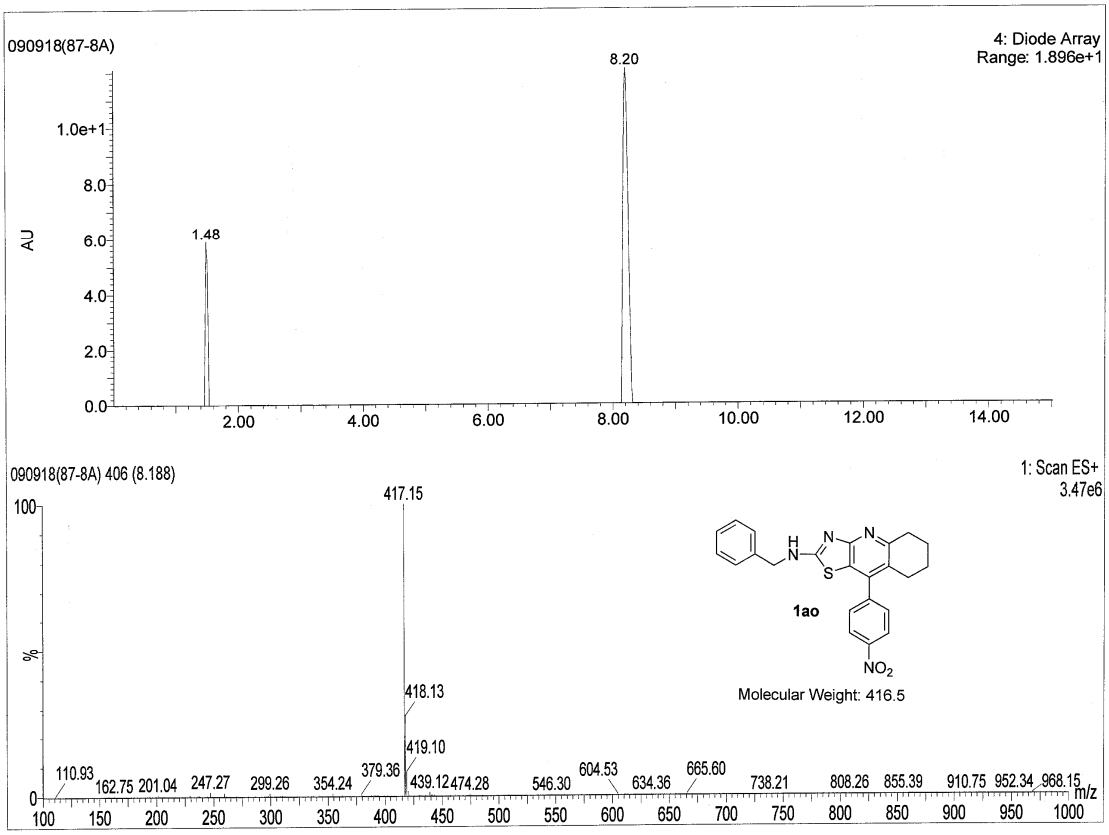
LC-MS spectrum of compound **1an**.



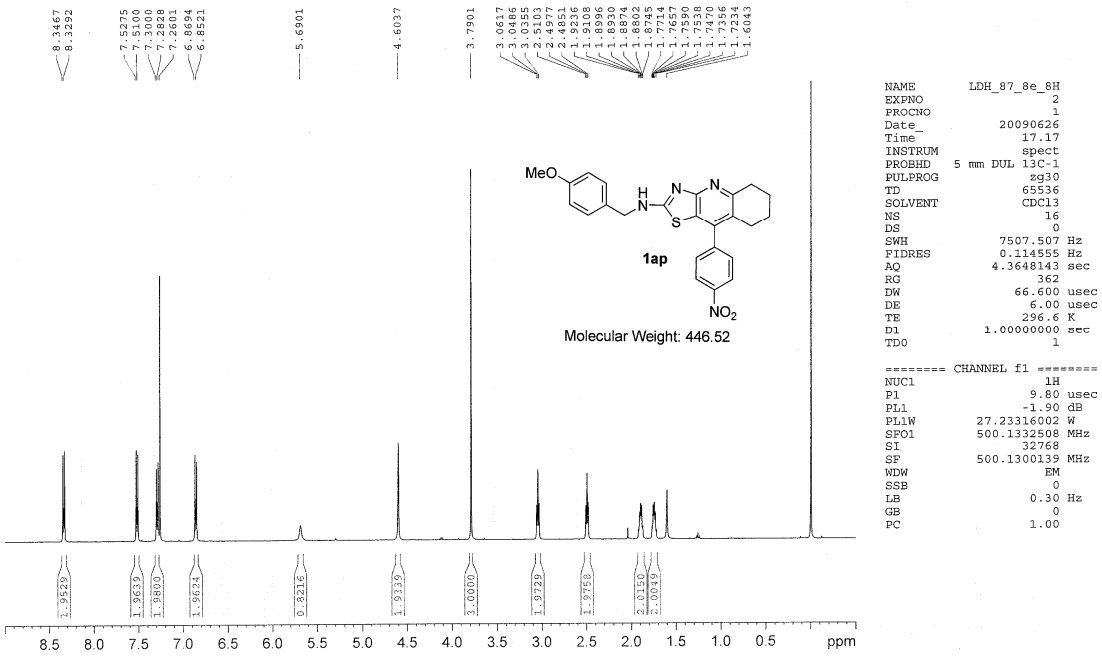
^{1}H NMR spectrum of compound **1ao**.



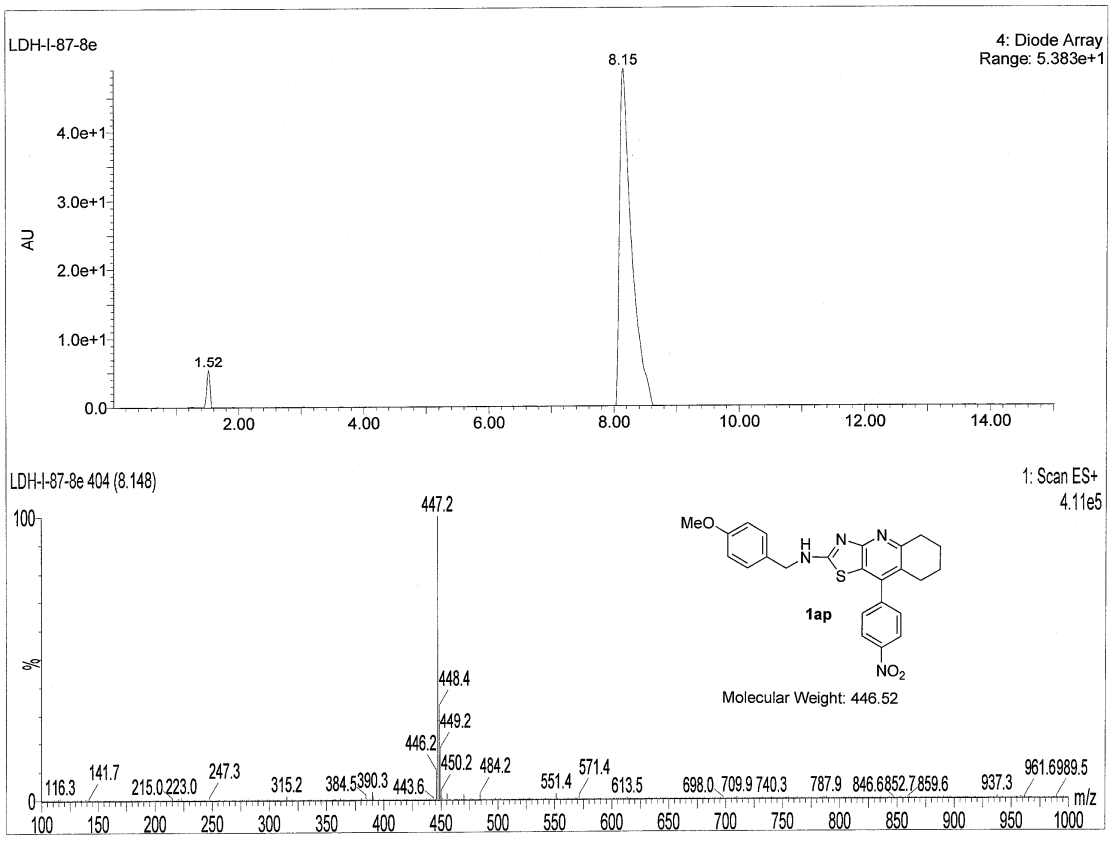
LC-MS spectrum of compound **1ao**.



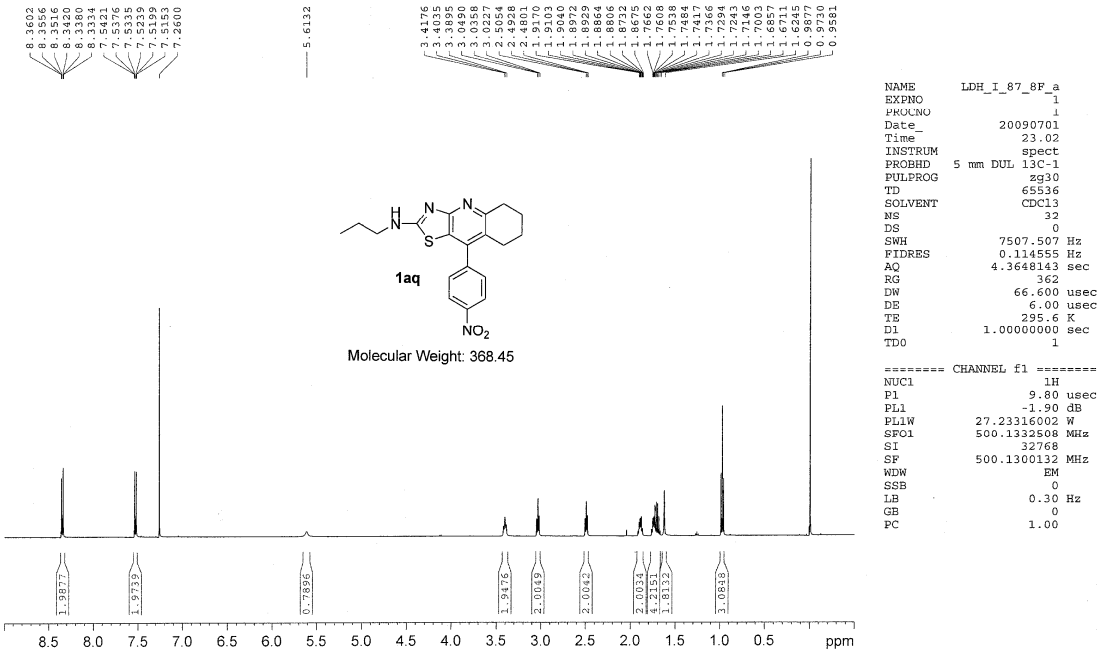
^{1}H NMR spectrum of compound **1ap**.



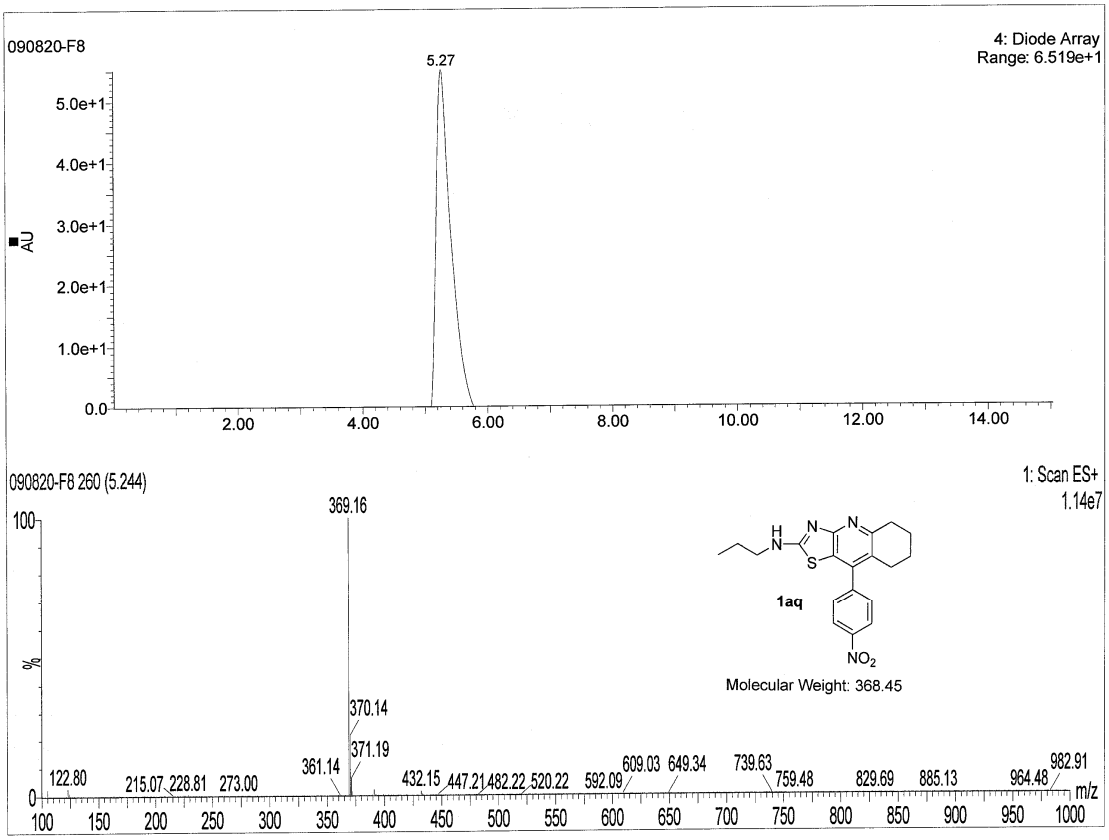
LC-MS spectrum of compound **1ap**.



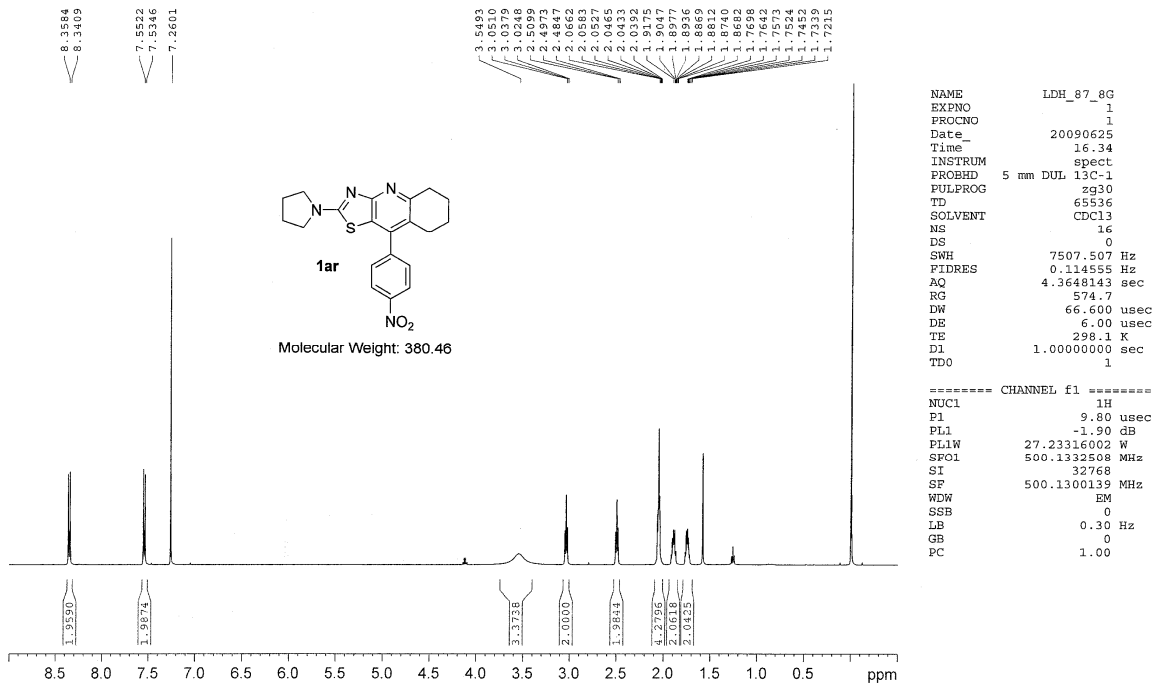
^{1}H NMR spectrum of compound **1aq**.



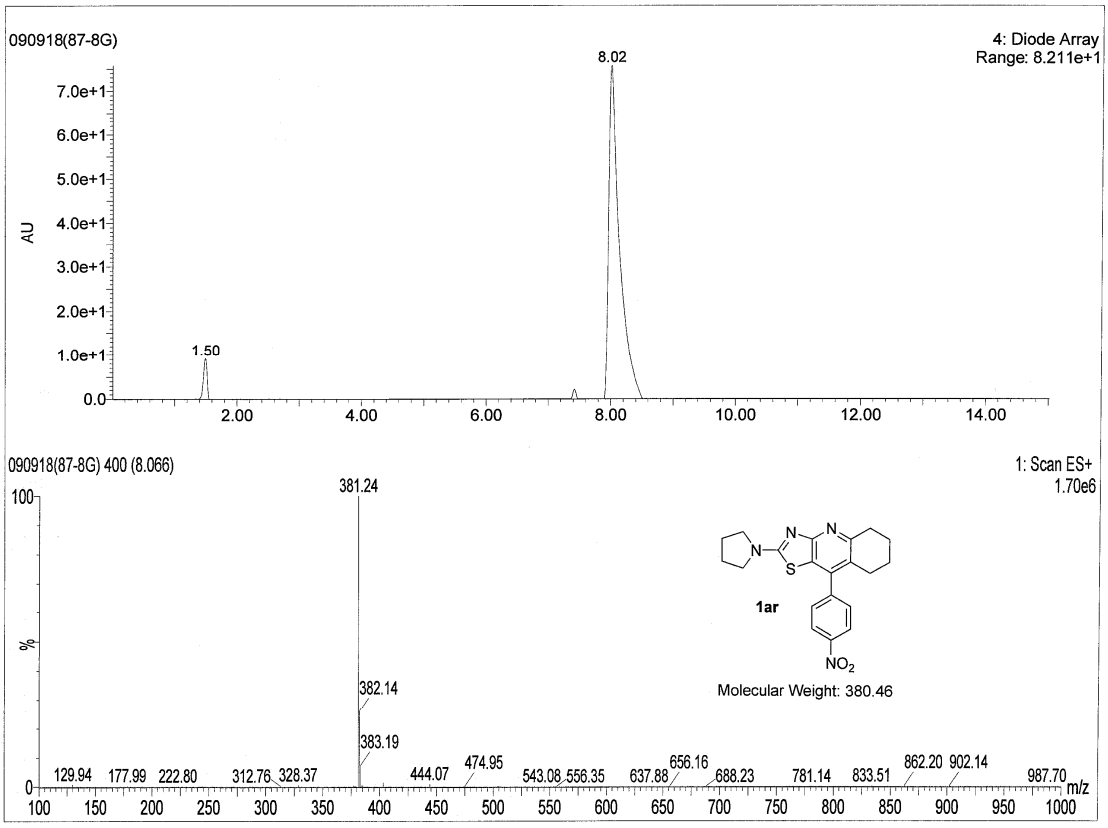
LC-MS spectrum of compound **1aq**.



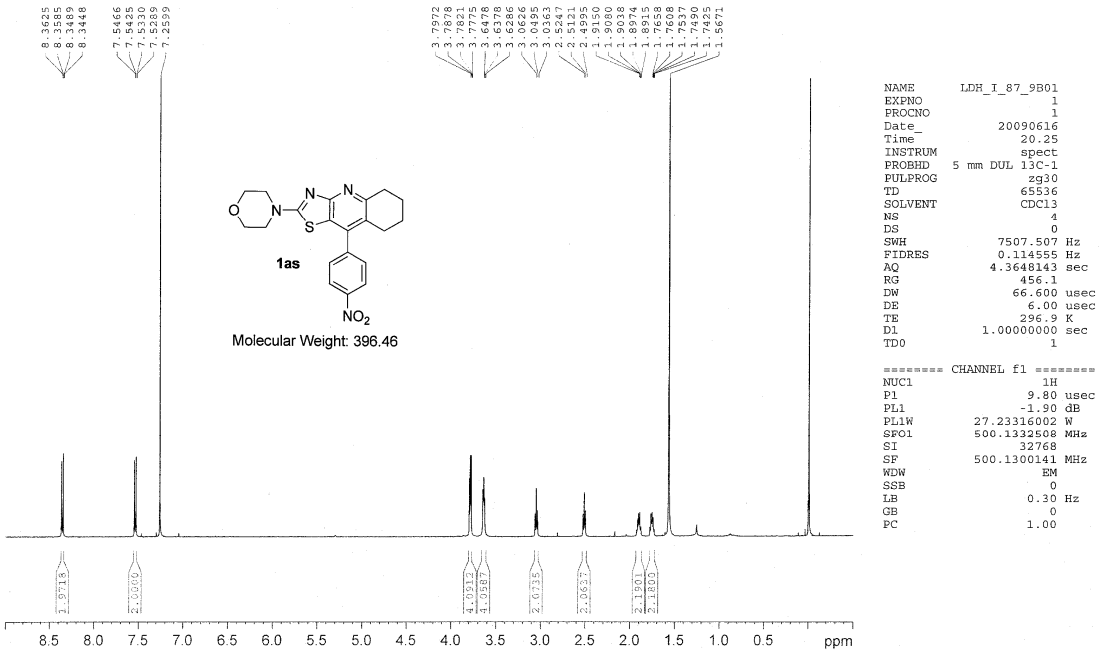
^{1}H NMR spectrum of compound **1ar**.



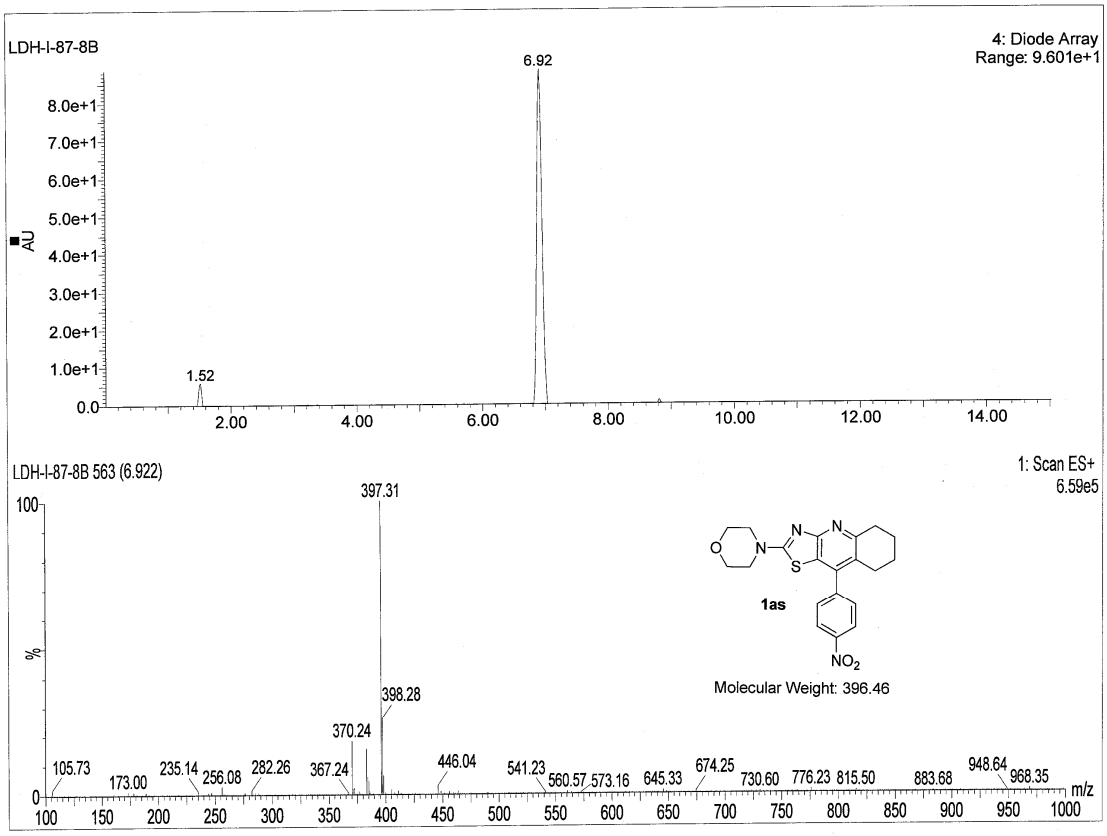
LC-MS spectrum of compound **1ar**.



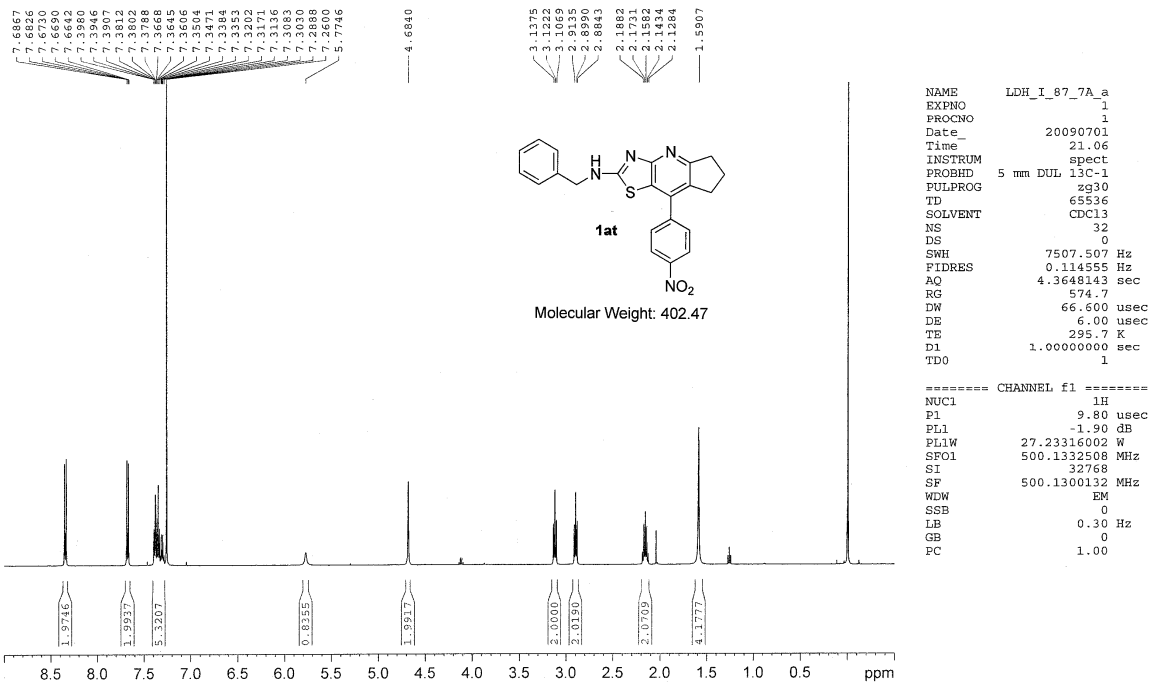
^{1}H NMR spectrum of compound **1as**.



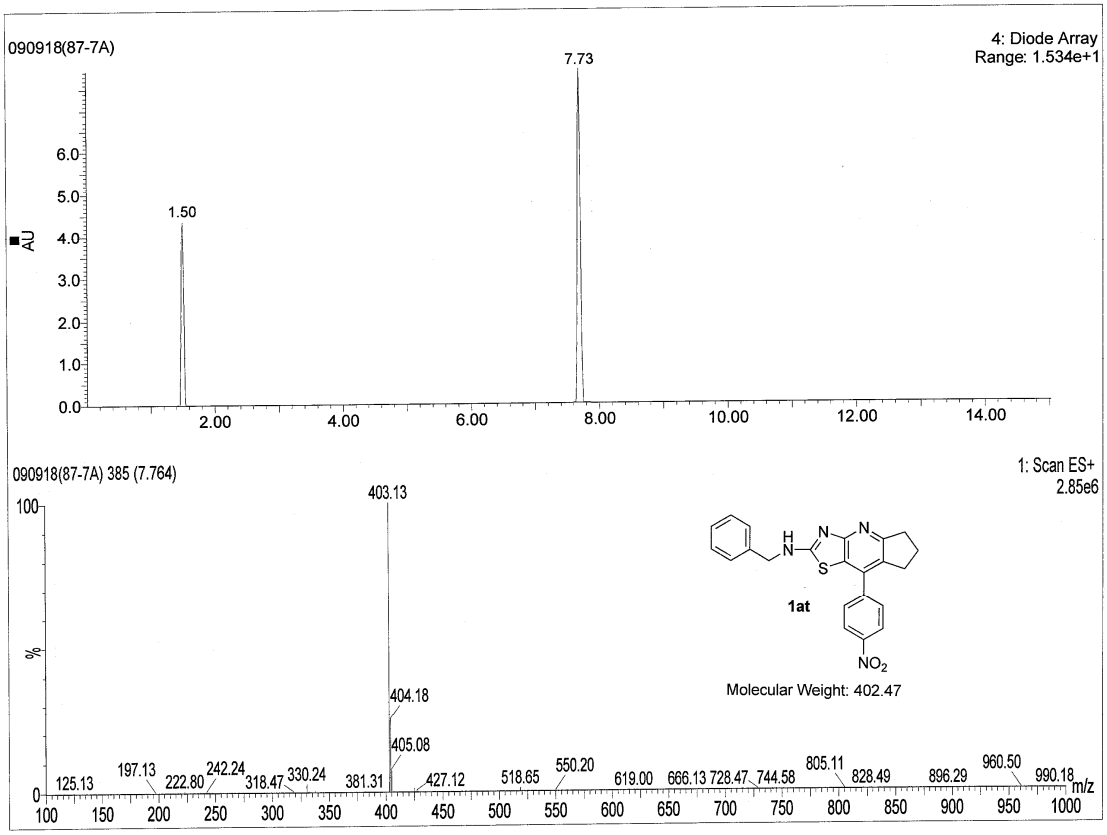
LC-MS spectrum of compound **1as**.



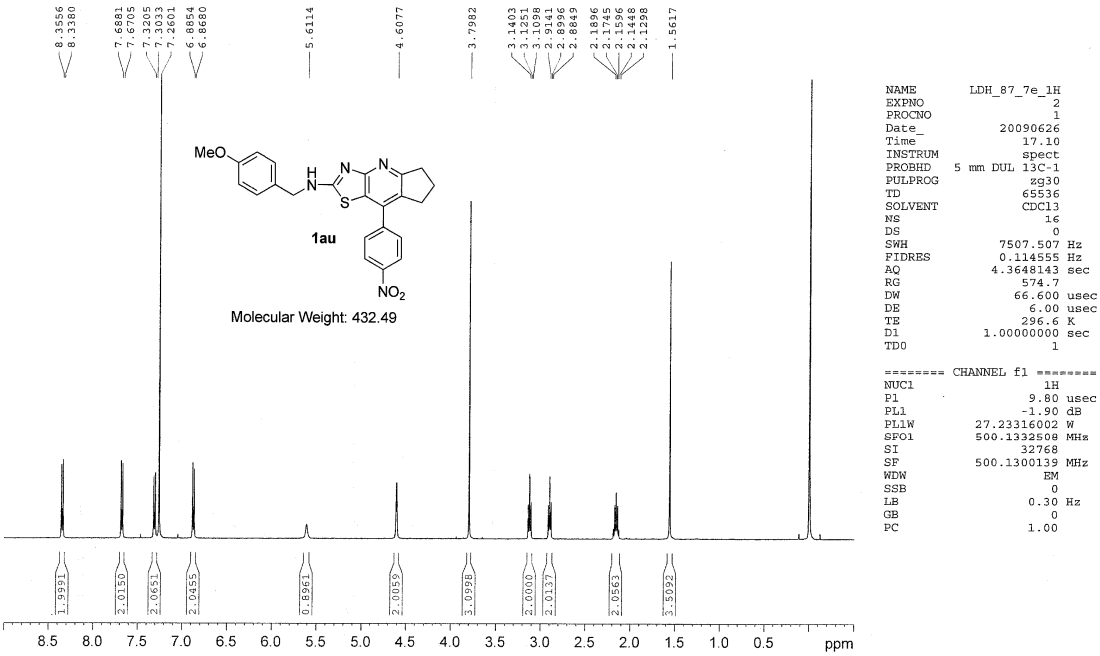
^{1}H NMR spectrum of compound **1at**.



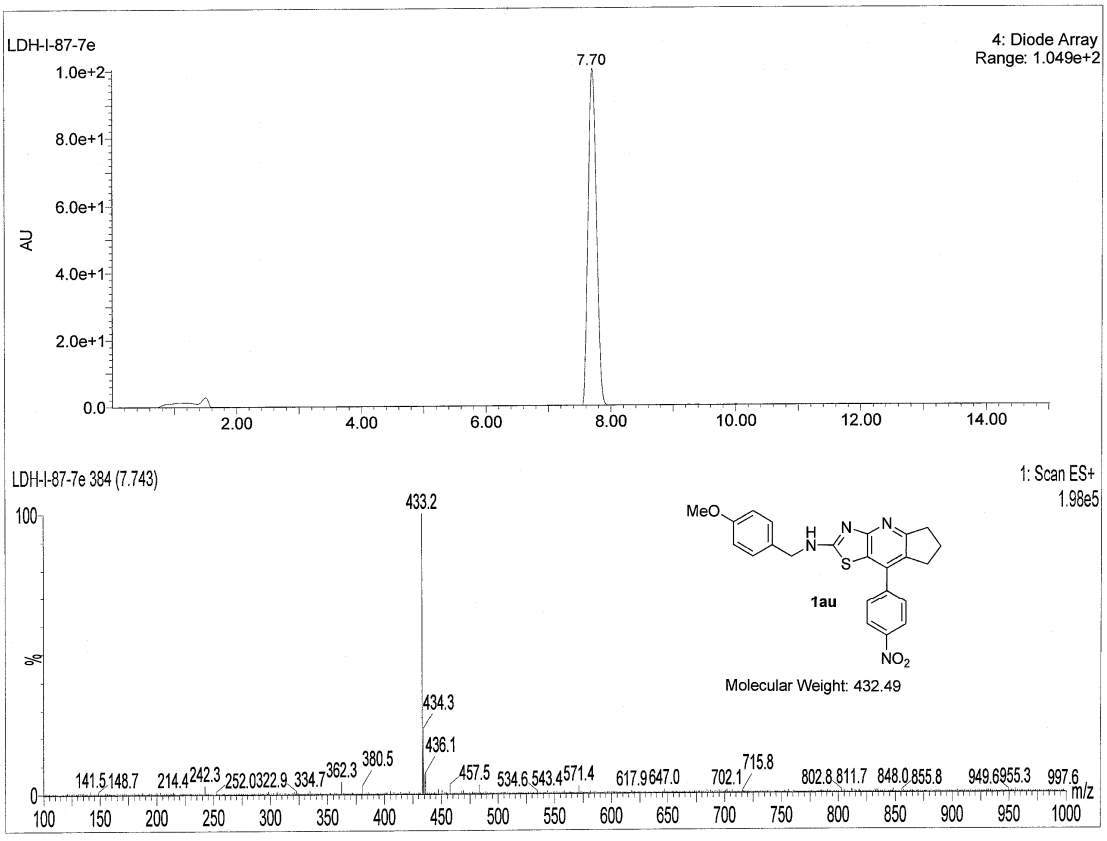
LC-MS spectrum of compound **1at**.



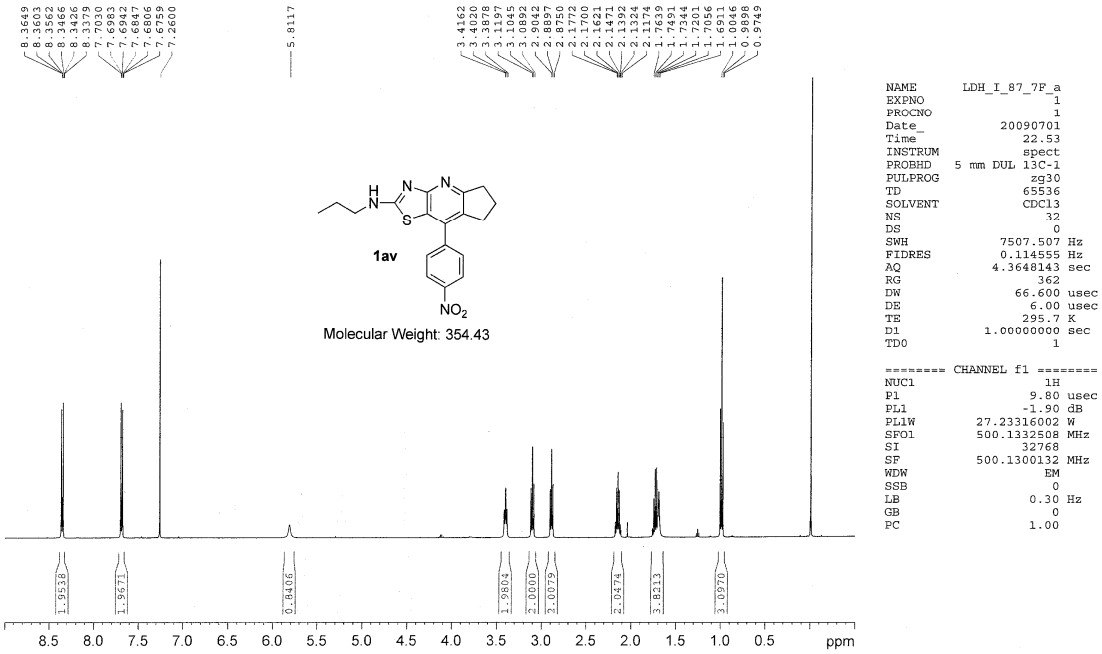
^{1}H NMR spectrum of compound **1au**.



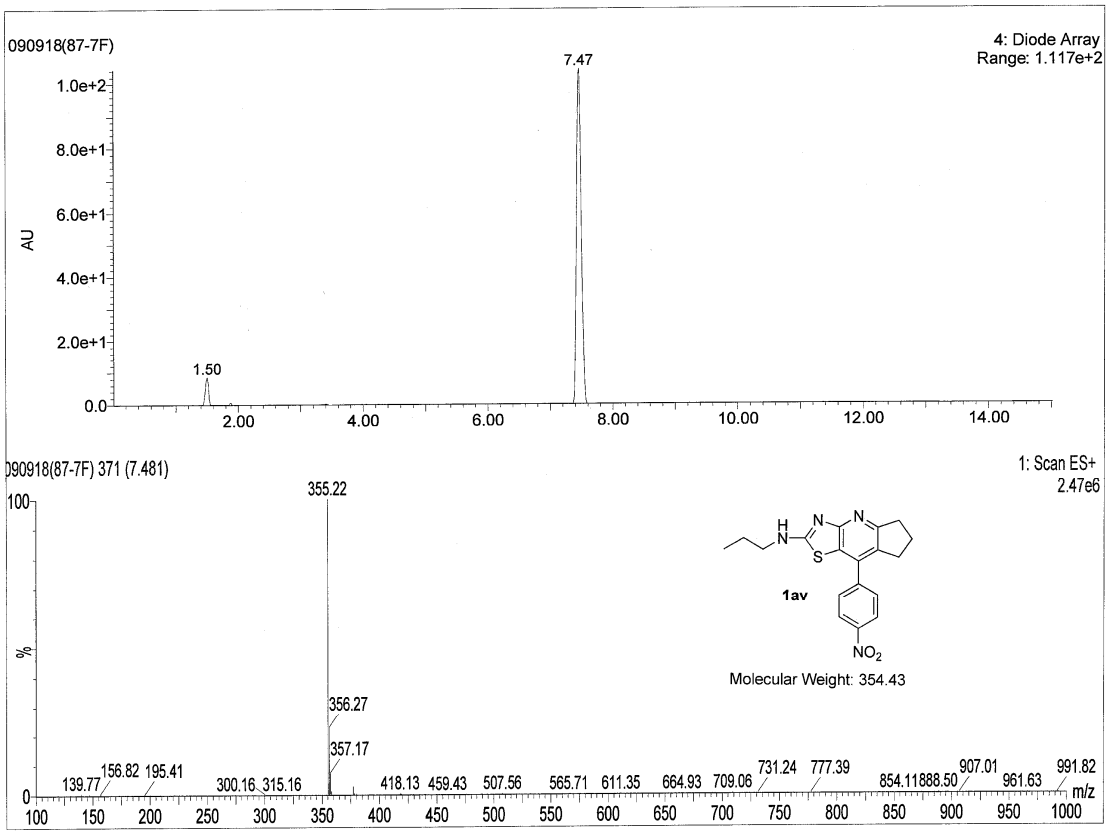
LC-MS spectrum of compound **1au**.



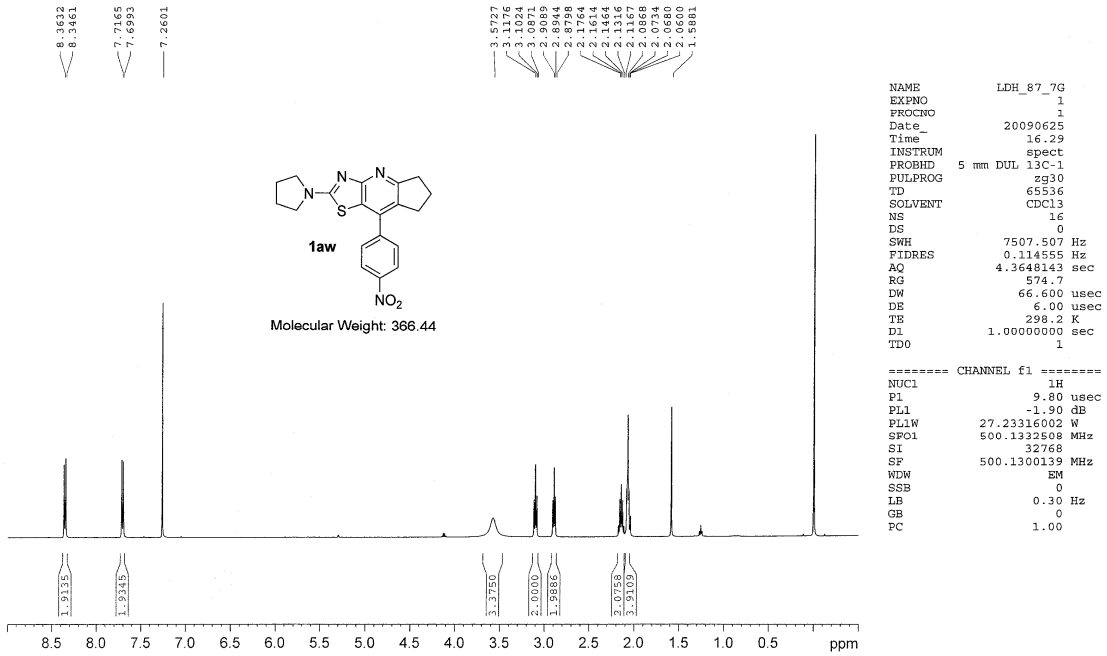
^{1}H NMR spectrum of compound **1av**.



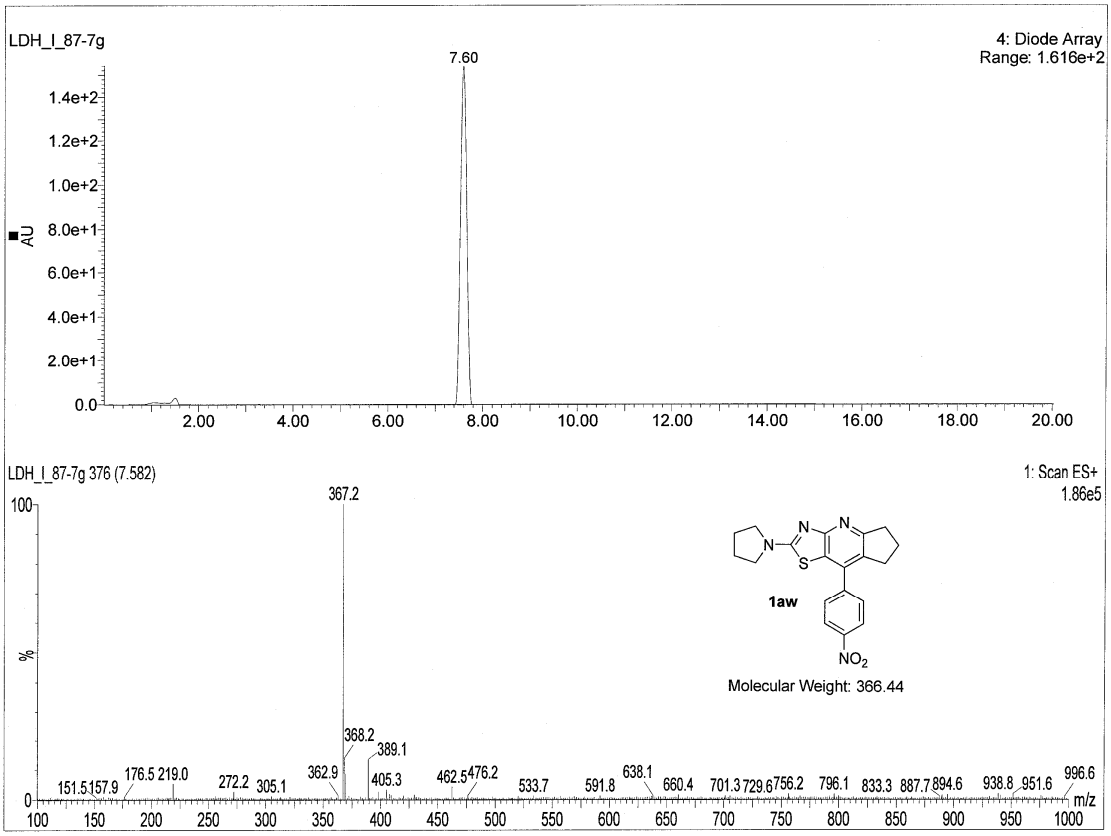
LC-MS spectrum of compound **1av**.



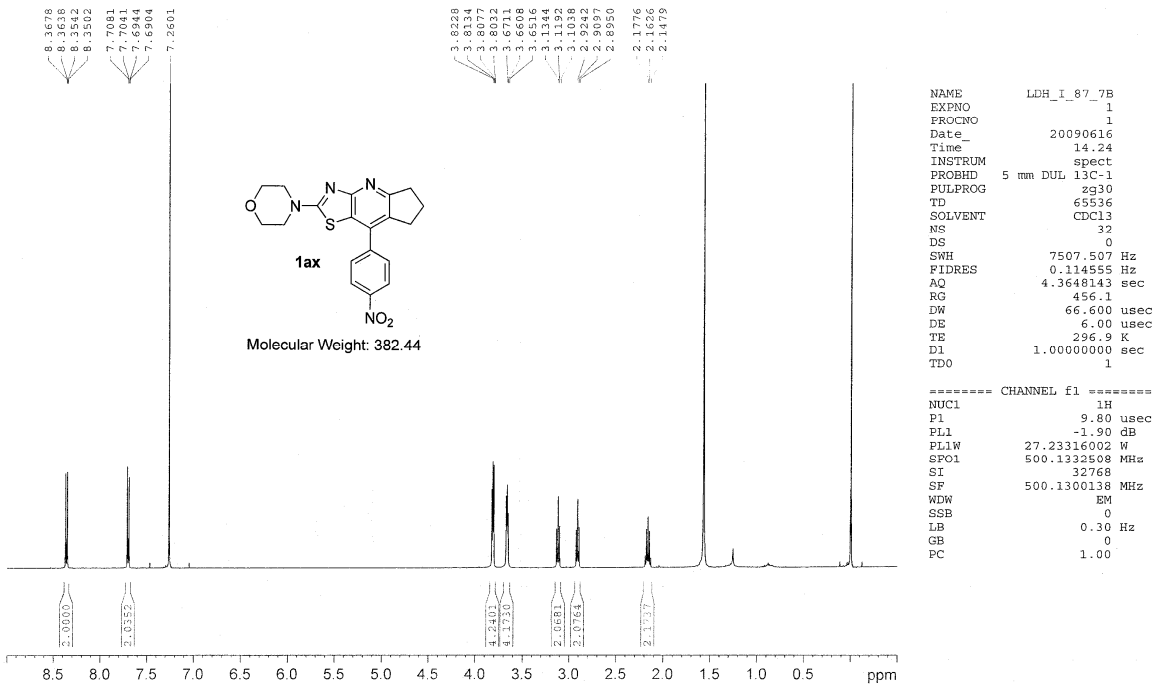
^{1}H NMR spectrum of compound **1aw**.



LC-MS spectrum of compound **1aw**.



^{1}H NMR spectrum of compound **1ax**.



LC-MS spectrum of compound **1ax**.