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Electronic Supplementary Information

Synthesis of Acridinones via Palladium-Catalyzed Reductive Annulation of 2-Nitrobenzaldehydes and Resorcinols

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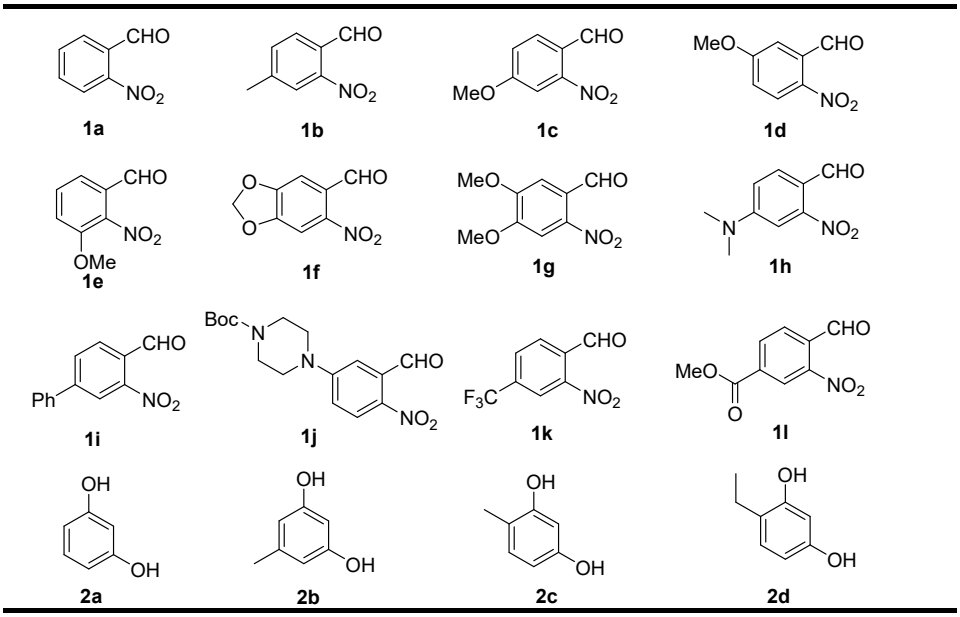
1. General information

All the obtained products were characterized by ^{1}H-NMR, ^{13}C-NMR, infrared spectra (IR), and mass spectra (MS), melting points (m.p.). The NMR spectra of the known compounds were found to be identical with the ones reported in the literatures. Additionally, all the new compounds were further characterized by high resolution mass spectra (HRMS). Melting points were measured on a BUCHI M-565 melting point apparatus and are uncorrected. IR spectra were recorded on a FTLA2000 spectrometer. ^{1}H-NMR, ^{13}C-NMR spectra were obtained on Bruker-400. Mass spectra were recorded on Trace 1300 ISQ GC/MS. High-resolution mass spectra (HRMS) were recorded on a thermo scientific Q Exactive Ultimate 3000 UPLC spectrometer. Chemical shifts were reported in parts per million (ppm, δ) downfield from tetramethylsilane. Proton coupling patterns are described as singlet (s), doublet (d), triplet (t), multiplet (m); TLC was performed using commercially prepared 1600-2000 mesh silica gel plates (GF254), and visualization was effected at 254 nm.

All the reagents were purchased from *Bide Pharmatech Ltd.* and *Energy Chemical*. All solvents were purchased from *Greagent* (Shanghai Titansci incorporated company) and used without further purification. All reactions were heated by metal sand bath (WATTCAS, LAB-500, https://www.wattcas.com). Column chromatography was performed on silica gel (200-300 mesh). Reactions were monitored by using thin layer chromatography (TLC) (Qingdao Jiyida silica gel reagent factory GF254). Pd/C (10% Pd in dry basis, reduced, wetted with 55% H_2O) was purchased from *Zhengzhou Anms Chemical Products Co. Ltd*.

2. Experimental Procedure

Scheme S1. Substrates Employed for the Synthesis of Acridinone Derivatives

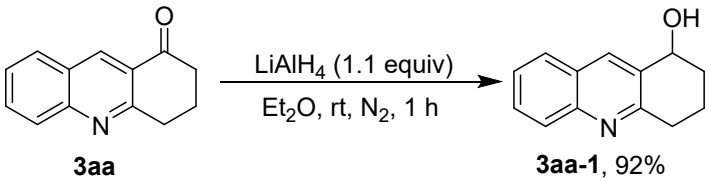


Typical experimental procedure for the Synthesis of 3aa

Under nitrogen atmosphere, Pd/C (55 mg, 5 mass %), CF_3COOH (14.3 mg, 0.125 mmol), HCOOH (34.5 mg, 0.75 mmol), HCOONa (34 mg, 0.5 mmol), 2-nitrobenzaldehyde (**1a**; 37.8 mg, 0.25 mmol), resorcinol (**2a**; 27.5 mg, 0.25 mmol) and *p*-xylene (1.5 mL) were added successively to a Schlenk tube (50 mL) equipped with a magnetic stirrer bar, the Schlenk tube was then closed and the resulting reaction mixture was heated at 130 °C for 18 h. After cooling to room temperature, the resulting mixture washed with 10% Na_2CO_3 solution, and then extracted with ethyl acetate, the combined organic layers were dried with anhydrous Na_2SO_4, and concentrated by removing the solvent under vacuum. The residue was purified by column chromatography on silica gel, and eluting with petroleum ether/ethyl acetate (10/1, v/v) to give the target product.

Synthetic application

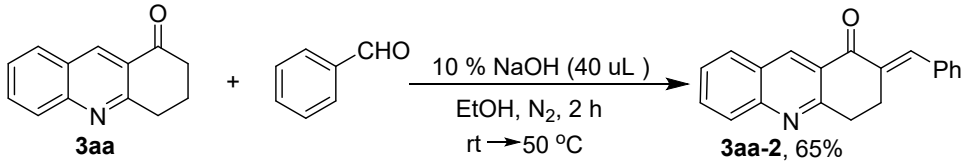
(1) The preparation of **3aa-1** was similar to the literature procedures.[1] To a 50 mL Shleck tube equipped with a magnetic stirring bar was added **3aa** (39.4 mg, 0.2 mmol), $LiAlH_4$ (8.4 mg, 0.22 mmol), and Et_2O (4.0 mL) under N_2 atmosphere, the resulting mixture was stirred at room temperature for 60 min. After that, the reaction
mixture was quenched with H_2O (8.0 mL) and extracted with ethyl acetate (3 x 8.0 mL). The combined organic layers were dried over Na_2SO_4, and concentrated in vacuo. Then, the residue was purified by flash column chromatography on silica gel eluting with petroleum ether/ethyl acetate (5:1) to give product **3aa-1**.



The analytic data of compound **(3aa-1)**: White solid, (36.6 mg, 92% yield), m.p.: 170-171 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.29 (s, 1H), 7.90 (t, J = 7.6 Hz, 2H), 7.68 – 7.64 (m, 1H), 7.49 (t, J = 7.4 Hz, 1H), 5.49 (d, J = 8.0 Hz, 1H), 4.82 – 4.78 (m, 1H), 3.05 – 2.92 (m, 2H), 2.09 – 2.01 (m, 2H), 1.87 – 1.72 (m, 2H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 158.44, 146.52, 134.85, 134.35, 128.95, 127.84, 127.68, 126.84, 125.48, 66.74, 32.61, 31.96, 18.70. HRMS (ESI): Calcd.

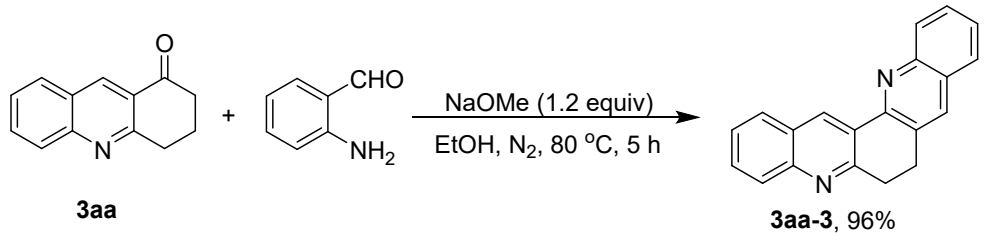
for $C_{13}H_{13}NO$ $[M+H]^+$: 200.1069; found: 200.1066.

(2) The preparation of **3aa-2** was similar to the literature procedures.[2] To a 50 mL Shleck tube equipped with a magnetic stirring bar was added compound **3aa** (39.4 mg, 0.2 mmol), benzaldehyde (21.2 mg, 0.2 mmol) and EtOH (1.0 mL), subsequently, 80 μL of 10% NaOH aqueous solution was added slowly at room temperature under N_2 atmosphere and the resulting mixture was stirred under room temperature to 50 °C for 120 min. After that, the reaction mixture was cooled to room temperature and poured into ice water (10 mL). The precipitate
was then separated from the solvent through filtration, washed with ethanol, and purified by flash column chromatography on silica gel eluting with petroleum ether/ethyl acetate (8:1) to give the desired product **3aa-2**.



The analytic data of compound **(3aa-2)**: Yellow solid, (37.1 mg, 65% yield), m.p.: 131-132 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.90 (s, 1H), 8.04 (d, *J* = 8.4 Hz, 1H), 7.96 (s, 1H), 7.93 (d, *J* = 8.2 Hz, 1H), 7.78 (t, *J* = 7.6 Hz, 1H), 7.53 (t, *J* = 7.4 Hz, 1H), 7.47 (d, *J* = 7.2 Hz, 2H), 7.43 (t, *J* = 7.4 Hz, 2H), 7.36 (t, *J* = 7.0 Hz, 1H), 3.31 – 3.24 (m, 4H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 187.56, 160.89, 149.54, 138.18, 138.00, 135.50, 134.61, 132.33, 130.15, 129.76, 129.09, 128.64, 127.24, 127.13, 126.74, 32.68, 26.14. HRMS (ESI): Calcd. for $C_{20}H_{15}NO$ $[M+H]^+$: 286.1226; found: 286.1222.

(3) The preparation of **3aa-3** was similar to the literature procedures.[3] To a 50 mL Shleck tube equipped with a magnetic stirring bar was added **3aa** (39.4 mg, 0.2 mmol), 2-aminobenzaldehyde (29.0 mg, 0.24 mmol), NaOMe (13.0 mg, 0.24 mmol) and EtOH (1.0 mL) under N_2 atmosphere, the resulting mixture was stirred at 80 °C for 5 h. After that, the reaction mixture was cooled to room temperature and concentrated in vacuo, the residue was purified by flash column chromatography on silica gel eluting with petroleum ether/ethyl acetate (10:1) to give product **3aa-3**.



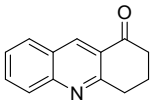
The analytic data of compound **(3aa-3)**: Yellow solid, (54.7 mg, 96% yield), m.p.: 149-150 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.24 (s, 1H), 8.15 (d, *J* = 8.4 Hz, 1H), 8.05 (d, *J* = 8.4 Hz, 1H), 7.95 (d, *J* = 8.2 Hz, 1H), 7.92 (s, 1H), 7.73 – 7.65 (m, 3H), 7.49 (q, *J* = 8.0 Hz, 2H), 3.41 – 3.34 (m, 2H), 3.28 – 3.22 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 159.69, 152.07, 148.17, 147.82, 134.29, 133.42, 130.33, 130.23, 129.37, 129.18, 128.94, 128.54, 128.42, 128.14, 128.07, 127.19, 126.66, 126.32, 32.32, 28.17. HRMS (ESI): Calcd. for $C_{20}H_{14}N_2$ $[M+H]^+$: 283.1229; found: 283.1226.

3. Reference

1. T. Y. Liang, H. Zhao, L. Z. Gong, H. F. Jiang, and M. Zhang, Direct Access to Functionalized Indoles via Single Electron Oxidation Induced Coupling of Diarylamines with 1,3-Dicarbonyl Compounds, *Org. Lett*., 2019, **21**, 6736−6740.
2. P. Lv, C. -Li. Xia, N. Wang, Z. -Q. Liu, Z. -S. Huang, S. -L. Huang, Synthesis and evaluation of 1,2,3,4-tetrahydro-1-acridone analogues as potential dual inhibitors for amyloid-beta and tau aggregation, *Bio. Med. Chem*., 2018, **26**, 4693–4705.
3. A. Shiozawa, Y.-I. Ichikawa, C. Komuro, S. Kurashige, H. Miyazaki, H. Yamanaka, T. Sakamoto, Antivertigo Agents. III. Synthesis of 5, 6, 7, 8-Tetrahydro-1, 6-naphthyridine Methyl Homologs, *Chem. Pharm. Bull*., 1984, **32**, 2522−2529.

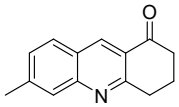
4. Analytical data of the obtained compounds

3,4-dihydroacridin-1(2*H*)-one (**3aa**)



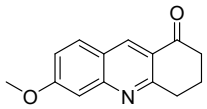
Yellow solid, m.p.: 100 – 101 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.80 (s, 1H), 8.01 (d, *J* = 8.4 Hz, 1H), 7.89 (d, *J* = 8.2 Hz, 1H), 7.77 (t, *J* = 7.6 Hz, 1H), 7.51 (t, *J* = 7.4 Hz, 1H), 3.29 (t, *J* = 6.2 Hz, 2H), 2.78 (t, *J* = 6.2 Hz, 2H), 2.28 – 2.21 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 197.92, 162.01, 149.72, 137.15, 132.39, 129.79, 128.63, 126.88, 126.75, 126.39, 39.14, 33.50, 21.84; IR (KBr): 3059, 2950, 2882, 1689, 1618, 1593, 1558, 1492.15, 1414, 1374, 1356, 1206, 754; MS (EI, m/z): 197.08 $[M]^+$.

6-methyl-3,4-dihydroacridin-1(2*H*)-one (**3ba**)



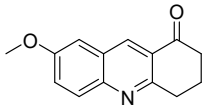
Yellow solid, m.p.: 228 – 229 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.11 (s, 1H), 8.42 (s, 1H), 7.98 (d, *J* = 8.0 Hz, 1H), 7.58 (d, *J* = 7.6 Hz, 1H), 3.70 (s, 2H), 2.82 (s, 2H), 2.63 (s, 3H), 2.31 (s, 2H).); ^{13}C NMR (101 MHz, $CDCl_3$) δ 198.00, 162.10, 149.95, 143.46, 136.86, 129.44, 129.15, 127.64, 125.83, 125.02, 39.13, 33.47, 22.34, 21.89; IR (KBr): 2984, 2830, 1617, 1493, 1440, 1404, 1368, 1331, 1172, 1050, 798; HRMS (ESI): Calcd. for $C_{14}H_{14}NO$ $[M+H]^+$: 212.1070; found: 212.1069.

6-methoxy-3,4-dihydroacridin-1(2*H*)-one (**3ca**)



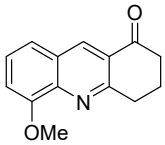
Yellow liquid, ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.03 (s, 1H), 7.13 (d, *J* = 12.0 Hz, 1H), 6.51 (s, 1H), 6.30 (d, *J* = 12.0 Hz, 1H), 2.81 (s, 3H), 2.26 (t, *J* = 5.8 Hz, 2H), 1.58 (t, *J* = 6.2 Hz, 2H), 1.06 – 1.00 (m, 2H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 194.72, 165.42, 161.22, 143.53, 141.81, 132.75, 124.41, 122.37, 121.61, 100.79, 56.66, 37.66, 28.75, 20.31; IR (KBr): 3033, 2923, 2785, 1721, 1621, 1499, 1427, 1376, 1260, 1205, 1164, 1047 1025, 783; HRMS (ESI): Calcd. for $C_{14}H_{14}NO_2$ $[M+H]^+$: 228.1019; found: 228.1018.

7-methoxy-3,4-dihydroacridin-1(2*H*)-one (**3da**)



Yellow solid, m.p.: 134 – 135 °C; ^{1}H NMR (400 MHz, CD_3OD) δ 8.62 (s, 1H), 7.79 (d, *J* = 9.2 Hz, 1H), 7.43 (dd, *J* = 9.2, 2.7 Hz, 1H), 7.23 (d, *J* = 2.6 Hz, 1H), 3.94 (s, 3H), 3.21 (t, *J* = 8.0 Hz, 2H), 2.77 (t, *J* = 8.0 Hz, 2H), 2.28 – 2.21 (m, 2H); ^{13}CNMR (101 MHz, CD_3OD) δ 199.25, 160.71, 159.32, 145.71, 137.28, 129.26, 129.15, 127.46, 126.96, 107.72, 56.28, 39.64, 33.12, 22.59; IR (KBr): 3003, 2949, 1687, 1622, 1590, 1494, 1413, 1375, 1320, 1230, 1168, 1028, 756; HRMS (ESI): Calcd. for $C_{14}H_{14}NO_2$ $[M+H]^+$: 228.1019; found: 228.1022.

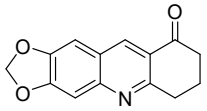
5-methoxy-3,4-dihydroacridin-1(2*H*)-one (**3ea**)



Yellow solid, m.p.: 171 – 172 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.77 (s, 1H), 7.48 (d, *J* = 7.6 Hz, 1H), 7.44 (t, *J* = 8.0 Hz, 1H), 7.12 (d, *J* = 8.0 Hz, 1H), 4.07 (s, 3H), 3.37 (t, *J* = 6.0 Hz, 2H), 2.77 (t, *J* = 8.0 Hz, 2H), 2.27 – 2.21 (m, 2H); ^{13}C

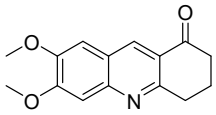
NMR (101 MHz, $CDCl_3$) δ 198.11, 161.20, 154.78, 141.49, 137.00, 128.01, 126.84, 126.77, 121.45, 110.24, 56.25, 39.17, 33.83, 21.91; IR (KBr): 3003, 2947, 1686, 1616, 1596, 1507, 1474, 1377, 1269, 1173, 1118, 762; HRMS (ESI): Calcd. for $C_{14}H_{14}NO_2$ $[M+H]^+$: 228.1019; found: 228.1015.

7,8-dihydro-[1,3]dioxolo[4,5-b]acridin-9(6*H*)-one (**3fa**)



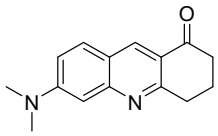
White solid, m.p.: 203 – 204 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.52 (s, 1H), 7.40 (s, 1H), 7.25 (s, 1H), 6.22 (s, 2H), 3.09 (t, *J* = 5.8 Hz, 2H), 2.66 (t, *J* = 6.2 Hz, 2H), 2.15 – 2.06 (m, 2H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 197.22, 159.95, 152.76, 148.02, 147.45, 134.14, 124.16, 123.24, 104.15, 103.86, 102.37, 38.31, 32.23, 21.38; IR (KBr): 3024, 2917, 1673, 1494, 1452, 1368, 1315, 1249, 1203, 1168, 1033, 771; HRMS (ESI): Calcd. for $C_{14}H_{12}NO_3$ $[M+H]^+$: 242.0812; found: 242.0816.

6,7-dimethoxy-3,4-dihydroacridin-1(2*H*)-one (**3ga**)



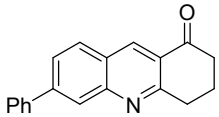
Yellow solid, m.p.: 164 – 165 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.58 (s, 1H), 7.28 (s, 1H), 7.03 (s, 1H), 3.99 (s, 3H), 3.96 (s, 3H), 3.19 (t, *J* = 6.0 Hz, 2H), 2.70 (t, *J* = 6.4 Hz, 2H), 2.23 – 2.17 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 197.95, 160.17, 155.04, 149.96, 147.41, 134.67, 124.82, 122.43, 107.13, 106.36, 56.36, 56.16, 38.99, 33.12, 22.02; IR (KBr): 3023, 2958, 1676, 1619, 1585, 1500, 1424, 1368, 1322, 1257, 1205, 1176, 1154, 768, 751; HRMS (ESI): Calcd. for $C_{15}H_{16}NO_3$ $[M+H]^+$: 258.1125; found: 258.1129.

6-(dimethylamino)-3,4-dihydroacridin-1(2*H*)-one (**3ha**)



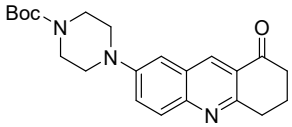
Yellow solid, m.p.: 160 – 161 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.59 (s, 1H), 7.68 (d, *J* = 9.0 Hz, 1H), 7.08 (d, *J* = 8.8 Hz, 1H), 7.00 (s, 1H), 3.17 (t, *J* = 4.0 Hz, 2H), 3.12 (s, 6H), 2.69 (m, *J* = 4.0 Hz, 2H), 2.23 – 2.15 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 197.81, 162.87, 153.21, 151.75, 136.39, 130.88, 122.85, 119.39, 116.03, 105.21, 40.36, 38.98, 33.61, 22.03; IR (KBr): 2945, 2884, 2809, 1719, 1676, 1621, 1587, 1505, 1375, 1348, 1169, 1146, 1126, 925, 907, 839, 802, 769, 723; HRMS (ESI): Calcd. for $C_{15}H_{17}N_2O$ $[M+H]^+$: 241.1335; found: 241.1332.

6-phenyl-3,4-dihydroacridin-1(2*H*)-one (**3ia**)



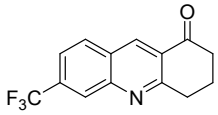
White solid, m.p.: 167 – 168 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.83 (s, 1H), 8.26 (s, 1H), 7.96 (d, *J* = 8.0 Hz, 1H), 7.80 (d, *J* = 8.0 Hz, 1H), 7.75 (d, *J* = 8.0 Hz, 2H), 7.50 (t, *J* = 7.4 Hz, 2H), 7.42 (t, *J* = 7.2 Hz, 1H), 3.32 (t, *J* = 6.2 Hz, 2H), 2.79 (t, *J* = 6.4 Hz, 2H), 2.30 – 2.24 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) 13C NMR (101 MHz, CDCl3) δ 197.82, 162.54, 150.00, 145.10, 139.88, 136.87, 130.21, 129.21, 128.53, 127.61, 126.49, 126.29, 126.11, 126.00, 39.15, 33.55, 21.85; IR (KBr): 2961, 2924, 1680, 1618, 1494, 1410, 1369, 1323, 1264, 1170, 1125, 1072, 763, 700; HRMS (ESI): Calcd. for $C_{19}H_{16}NO$ $[M+H]^+$: 274.1226; found: 274.1227.

tert-butyl 4-(8-oxo-5,6,7,8-tetrahydroacridin-2-yl)piperazine-1-carboxylate (**3ja**)



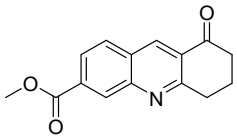
Yellow solid, m.p.: 174 – 175 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.65 (s, 1H), 7.91 (d, *J* = 9.2 Hz, 1H), 7.56 (d, *J* = 9.0 Hz, 1H), 7.08 (s, 1H), 3.62 (s, 4H), 3.24 (s, 6H), 2.78 – 2.71 (m, 2H), 2.26 – 2.18 (m, 2H), 1.48 (s, 9H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 198.20, 159.35, 154.76, 149.33, 145.54, 135.62, 129.29, 128.00, 126.63, 125.94, 110.92, 80.20, 49.26, 39.21, 33.16, 28.53, 21.98; IR (KBr): 2974, 2927, 2856, 1692, 1619, 1587, 1496, 1418, 1367, 1326, 1225, 1171, 1125, 1082, 771, 735; HRMS (ESI): Calcd. for $C_{22}H_{28}N_3O_3$ $[M+H]^+$: 382.2125; found: 382.2129.

6-(trifluoromethyl)-3,4-dihydroacridin-1(2*H*)-one (**3ka**)



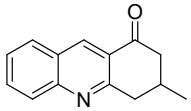
Brown solid, m.p.: 142 – 143 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.87 (s, 1H), 8.36 (s, 1H), 8.05 (d, *J* = 8.6 Hz, 1H), 7.71 (d, *J* = 8.4 Hz, 1H), 3.35 (t, *J* = 5.6 Hz, 2H), 2.82 (t, *J* = 6.2 Hz, 2H), 2.34 – 2.26 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 197.43, 163.51, 148.63, 136.96, 130.96, 128.33, 127.81, 126.56 (q, *J* = 4.0 Hz), 125.12, 122.46 (q, *J* = 3.0 Hz), 39.14, 33.49, 21.66; ^{19}F NMR (376 MHz, $CDCl_3$): δ -63.12 (s, 3F); IR (KBr): 3036, 2929, 1697, 1598, 1495, 1436, 1365, 1329, 1288, 1167, 1127, 1059, 753; HRMS (ESI): Calcd. for $C_{14}H_{11}F_3NO$ $[M+H]^+$: 266.0787; found: 266.0782.

methyl 8-oxo-5,6,7,8-tetrahydroacridine-3-carboxylate (**3la**)



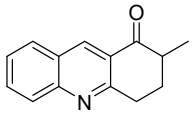
Yellow solid, m.p.: 180 – 181 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.81 (s, 1H), 8.74 (s, 1H), 8.07 (d, *J* = 8.4 Hz, 1H), 7.93 (d, *J* = 8.4 Hz, 1H), 3.96 (s, 3H), 3.36 (t, *J* = 8.0 Hz, 2H), 2.78 (t, *J* = 8.0 Hz, 2H), 2.29 – 2.23 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 196.96, 166.18, 162.73, 147.81, 137.41, 133.68, 130.09, 129.98, 129.02, 127.53, 126.43, 52.76, 38.96, 32.83, 21.46; IR (KBr): 3032, 2892, 1714, 1525, 1430, 1365, 1308, 1269, 1209, 1163, 1089, 761; HRMS (ESI): Calcd. for $C_{15}H_{14}NO_3$ $[M+H]^+$: 256.0968; found: 256.0967.

3-methyl-3,4-dihydroacridin-1(2*H*)-one (**3ab**)



White solid, m.p.: 102 – 103 °C; 1H NMR (400 MHz, CD_3OD) δ 8.71 (s, 1H), 7.94 (d, *J* = 3.4 Hz, 1H), 7.92 (d, *J* = 3.8 Hz, 1H), 7.82 (t, *J* = 7.6 Hz, 1H), 7.57 (t, *J* = 7.4 Hz, 1H), 3.29 – 3.24 (m, 1H), 2.92 (dd, *J* = 16.6, 8.0 Hz, 1H), 2.82 – 2.75 (m, 1H), 2.51 – 2.36 (m, 2H), 1.20 (d, *J* = 6.2 Hz, 3H); ^{13}C NMR (101 MHz, CD_3OD) δ 198.90, 162.87, 150.24, 138.15, 133.77, 130.99, 128.48, 128.04, 128.00, 126.91, 47.55, 41.81, 30.06, 21.49; IR (KBr): 2958, 1684, 1615, 1552, 1492, 1448, 1369, 1327, 1206, 1051, 752; HRMS (ESI): Calcd. for $C_{14}H_{14}NO$ $[M+H]^+$: 212.1070; found: 212.1074.

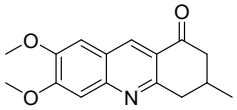
2-methyl-3,4-dihydroacridin-1(2*H*)-one (**3ac**)



Yellow solid, m.p.: 68 – 69 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.83 (s, 1H), 8.03 (d, *J* = 8.0 Hz, 1H), 7.92 (d, *J* = 8.0 Hz, 1H), 7.78 (t, *J* = 7.6 Hz, 1H), 7.53 (t, *J* = 7.4 Hz, 1H), 3.42 – 3.27 (m, 2H), 2.76 – 2.67 (m, 1H), 2.37 – 2.30 (m, 1H), 2.04 – 1.94 (m, 1H), 1.34 (d, *J* = 6.6 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 200.16, 161.96, 149.70, 137.38, 132.29, 129.78,

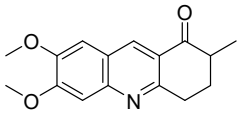
128.63, 126.90, 126.71, 126.21, 42.97, 32.85, 29.99, 15.42; IR (KBr): 2985, 2830, 1689, 1617, 1593, 1492, 1440, 1402, 1369, 1173, 1050, 756; HRMS (ESI): Calcd. for $C_{14}H_{14}NO$ $[M+H]^+$: 212.1070; found: 212.1066.

6,7-dimethoxy-3-methyl-3,4-dihydroacridin-1(2*H*)-one (**3gb**)



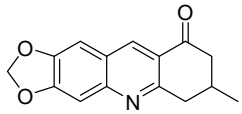
Yellow solid, m.p.: 179 – 180 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.62 (s, 1H), 7.34 (s, 1H), 7.09 (s, 1H), 4.03 (d, *J* = 2.4 Hz, 3H), 3.99 (d, *J* = 2.6 Hz, 3H), 3.29 (d, *J* = 16.0 Hz, 1H), 2.94 – 2.88 (m, 1H), 2.83 – 2.77 (m, 1H), 2.42 (d, *J* = 11.6 Hz, 2H), 1.19 (d, *J* = 3.2 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 198.05, 159.70, 155.12, 150.08, 147.70, 134.58, 124.38, 122.51, 107.27, 106.46, 56.44, 56.25, 47.10, 41.45, 29.37, 21.44; IR (KBr): 3036, 2921, 1687, 1621, 1500, 1463, 1423, 1368, 1257, 1232, 1152, 750; HRMS (ESI): Calcd. for $C_{16}H_{18}NO_3$ $[M+H]^+$: 272.1281; found: 272.1280.

6,7-dimethoxy-2-methyl-3,4-dihydroacridin-1(2*H*)-one (**3gc**)



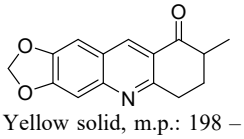
Yellow solid, m.p.: 140 – 141 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.64 (s, 1H), 7.33 (s, 1H), 7.09 (s, 1H), 4.02 (s, 3H), 3.99 (s, 3H), 3.31 – 3.20 (m, 2H), 2.71 – 2.62 (m, 1H), 2.30 (dd, *J* = 13.2, 4.2 Hz, 1H), 2.01 – 1.94 (m, 1H), 1.31 (d, *J* = 8.0 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 200.25, 160.09, 155.03, 150.00, 147.36, 135.03, 124.65, 122.49, 107.11, 106.38, 56.42, 56.24, 42.73, 32.47, 30.20, 15.47; IR (KBr): 3036, 2921, 2850, 1620, 1495, 1439, 1368, 1323, 1257, 1172, 1151, 1049, 772; HRMS (ESI): Calcd. for $C_{16}H_{18}NO_3$ $[M+H]^+$: 272.1281; found: 272.1279.

7-methyl-7,8-dihydro-[1,3]dioxolo[4,5-b]acridin-9(6*H*)-one (**3fb**)



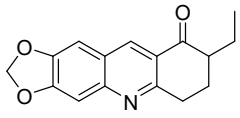
Yellow solid, m.p.: 182 – 183 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.48 (s, 1H), 7.22 (s, 1H), 7.02 (s, 1H), 6.08 (s, 2H), 3.23 (d, *J* = 16.0 Hz, 1H), 2.88 – 2.72 (m, 2H), 2.35 (d, *J* = 12.0 Hz, 2H), 1.16 (d, *J* = 4.0 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 197.92, 159.67, 153.18, 148.94, 148.02, 134.85, 124.21, 123.78, 105.09, 103.98, 102.18, 46.97, 41.27, 29.26, 21.38; IR (KBr): 3025, 2922, 1616, 1586, 1494; 1460, 1367, 1323, 1245, 1165, 752; HRMS (ESI): Calcd. for $C_{15}H_{14}NO_3$ $[M+H]^+$: 256.0968; found: 256.0967.

8-methyl-7,8-dihydro-[1,3]dioxolo[4,5-b]acridin-9(6*H*)-one (**3fc**)



Yellow solid, m.p.: 198 – 199 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.57 (s, 1H), 7.28 (s, 1H), 7.09 (s, 1H), 6.13 (s, 2H), 3.26 (s, 2H), 2.67 (s, 1H), 2.30 (d, *J* = 8.0 Hz, 1H), 2.00 – 1.90 (m, 1H), 1.32 (d, *J* = 5.6 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 200.21, 160.13, 153.19, 148.68, 148.03, 135.42, 124.57, 123.84, 104.98, 104.00, 102.20, 42.68, 32.32, 30.12, 15.42; IR (KBr): 3025, 2918, 1682, 1525, 1440, 1366, 1320, 1243, 1213, 1163, 1036, 751; HRMS (ESI): Calcd. for $C_{15}H_{14}NO_3$ $[M+H]^+$: 256.0968; found: 256.0966.

8-ethyl-7,8-dihydro-[1,3]dioxolo[4,5-b]acridin-9(6*H*)-one (**3fd**)

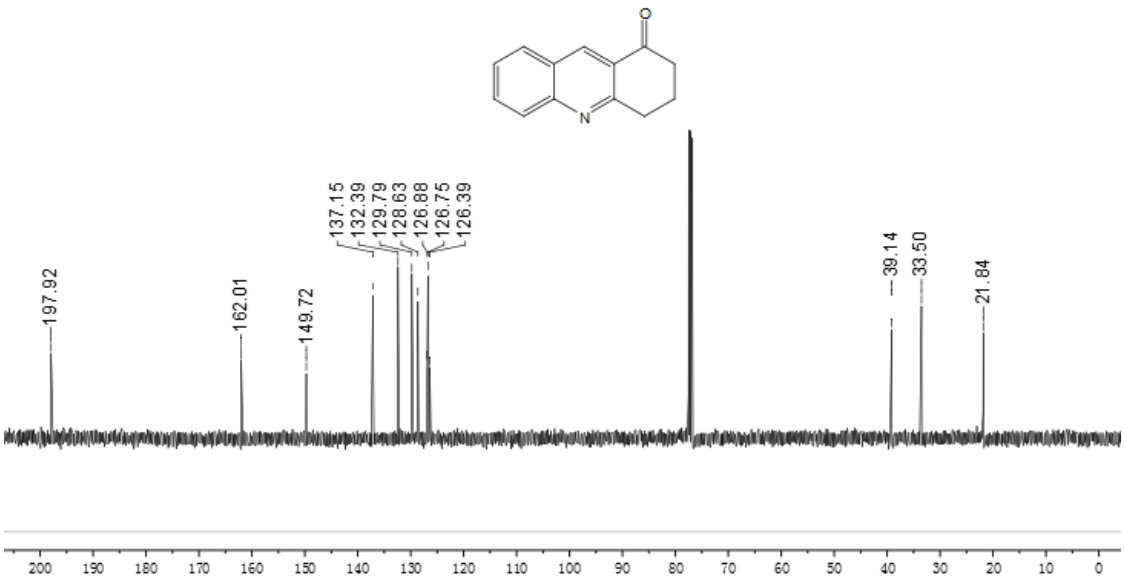


Yellow solid, m.p.: 157 – 158 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.59 (s, 1H), 7.30 (s, 1H), 7.11 (s, 1H), 6.12 (s, 2H), 3.33 – 3.26 (m, 1H), 3.23 – 3.15 (m, 1H), 2.53 – 2.46 (m, 1H), 2.37 – 2.30 (m, 1H), 2.06 – 1.93 (m, 2H), 1.67 – 1.56 (m, 1H), 1.03 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 199.88, 160.07, 153.21, 148.8, 148.05, 135.53, 124.80, 123.92, 105.12, 104.05, 102.20, 48.97, 31.92, 26.61, 22.55, 11.55; IR (KBr): 3025, 2918, 1678, 1587, 1459, 1457, 1242, 1214, 1096, 1037, 944, 751; HRMS (ESI): Calcd. for $C_{16}H_{16}NO_3$ $[M+H]^+$: 270.1124; found: 270.1121.

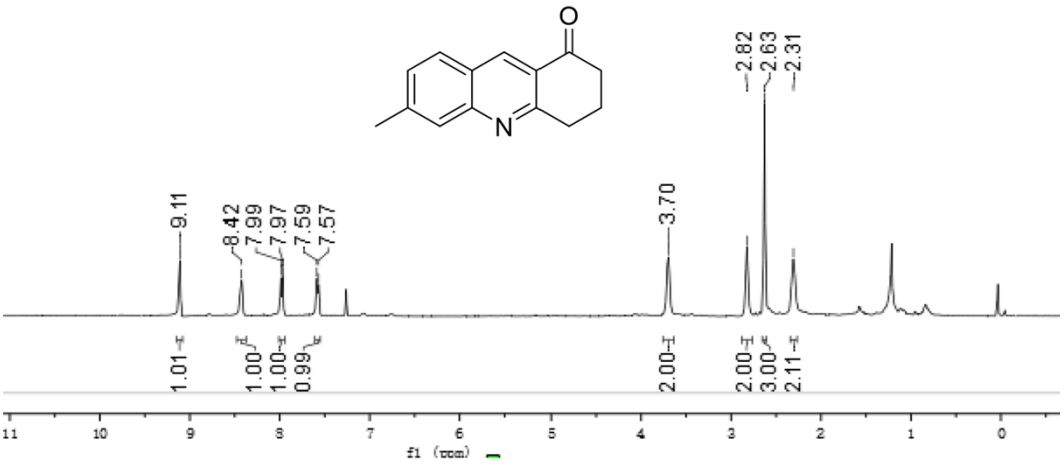
6. NMR spectra of the obtained compounds

^{1}H- NMR spectrum of 3,4-dihydroacridin-1(2*H*)-one (3aa)

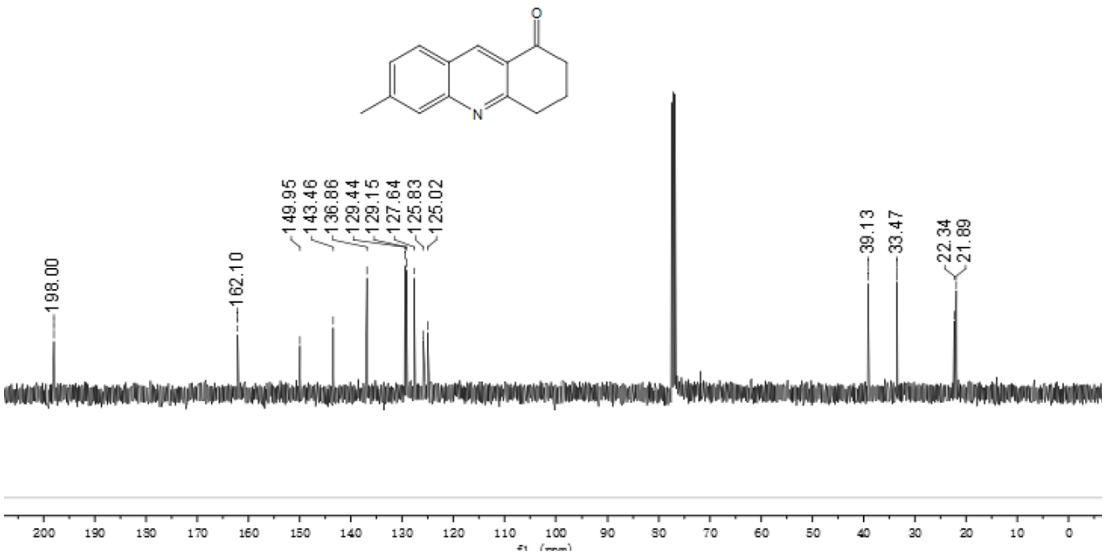
^{13}C-NMR spectrum of 3,4-dihydroacridin-1(2*H*)-one (3aa)



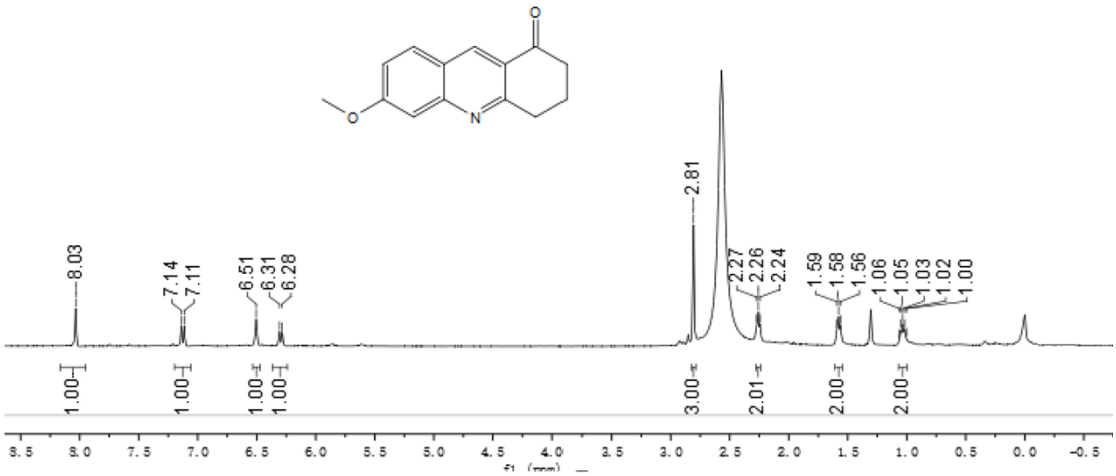
^{1}H- NMR spectrum of 6-methyl-3,4-dihydroacridin-1(2*H*)-one (3ba)



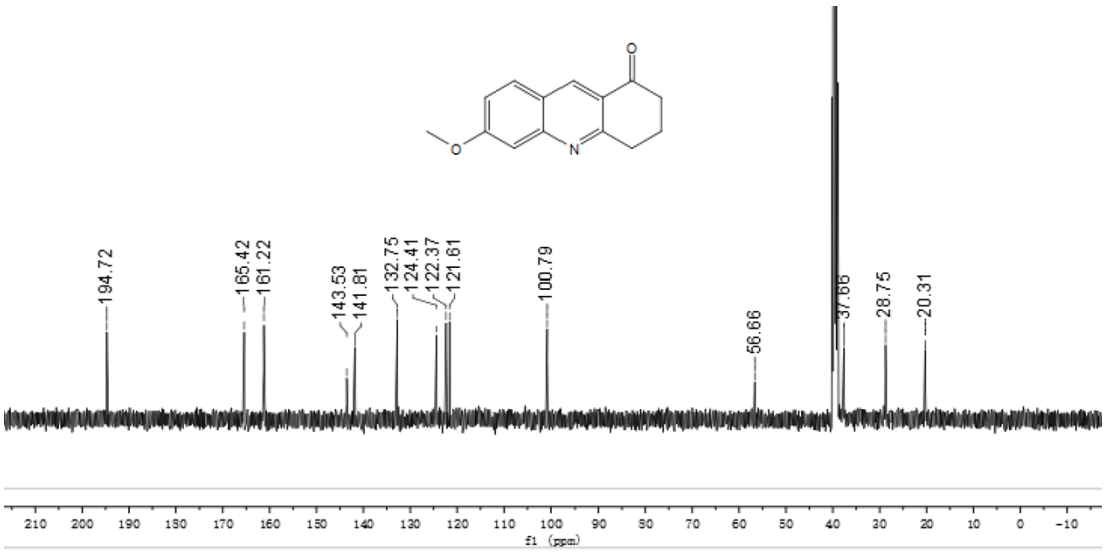
^{13}C-NMR spectrum of 6-methyl-3,4-dihydroacridin-1(2*H*)-one (3ba)



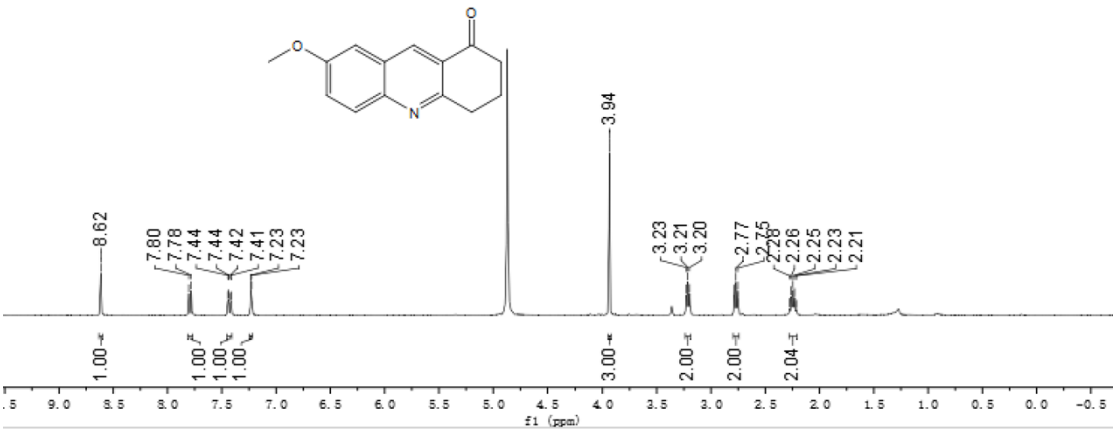
^{1}H- NMR spectrum of 6-methoxy-3,4-dihydroacridin-1(2*H*)-one (3ca)



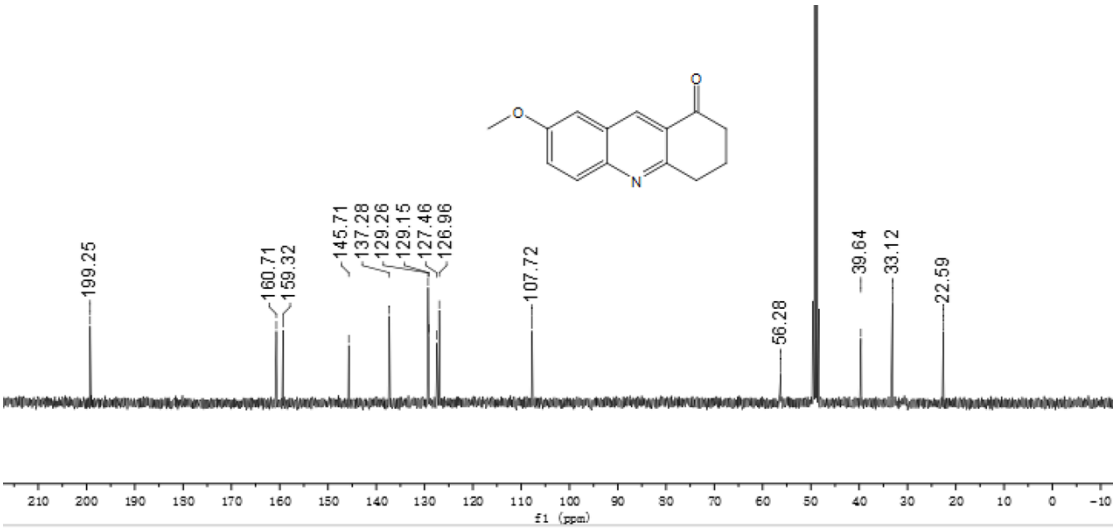
^{13}C-NMR spectrum of 6-methoxy-3,4-dihydroacridin-1(2*H*)-one (3ca)



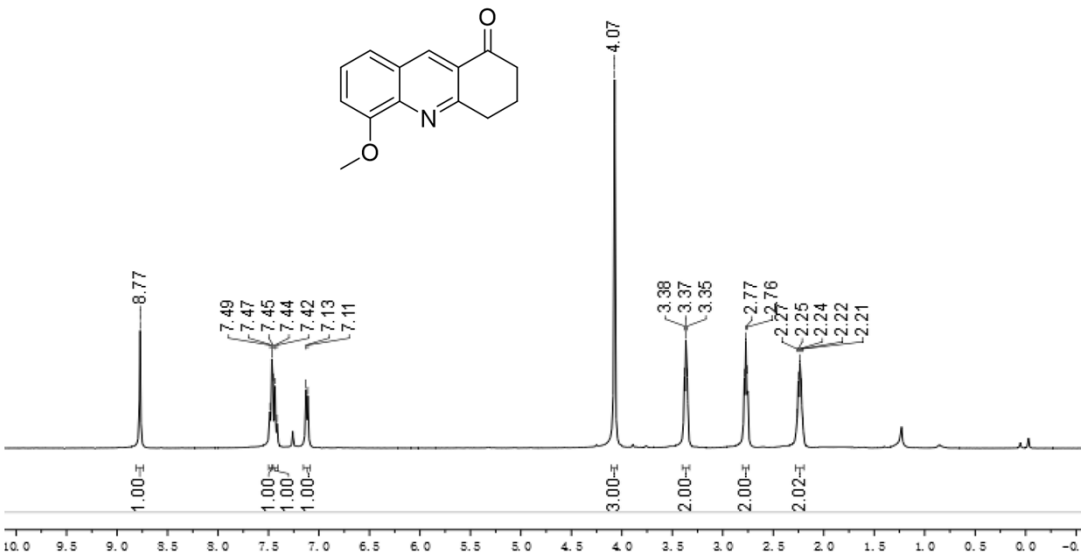
^{1}H- NMR spectrum of 7-methoxy-3,4-dihydroacridin-1(2*H*)-one (3da)



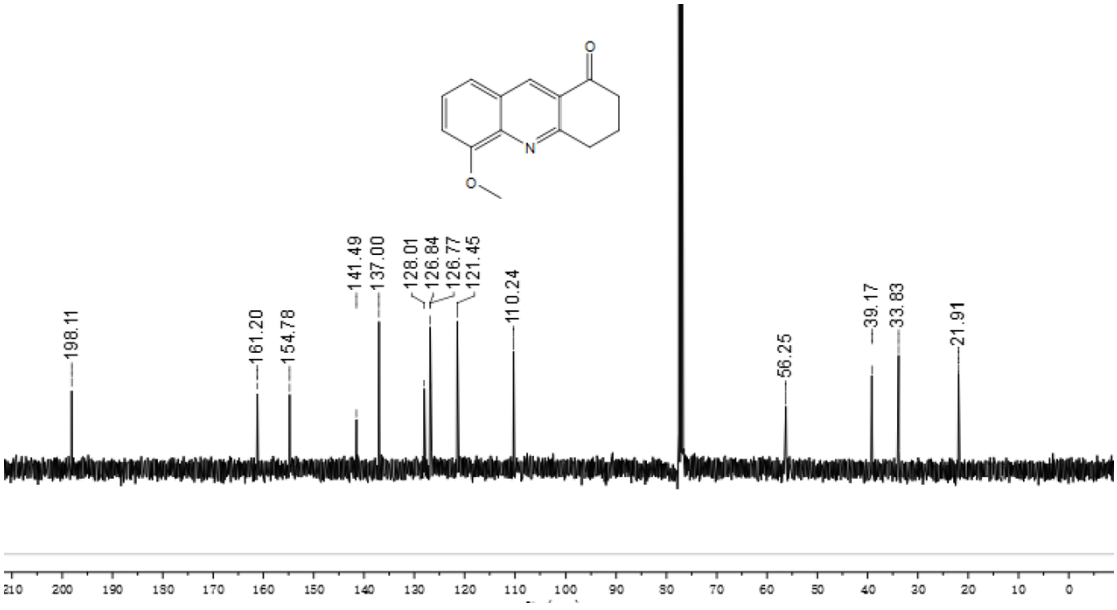
^{13}C-NMR spectrum of 7-methoxy-3,4-dihydroacridin-1(2*H*)-one (3da)



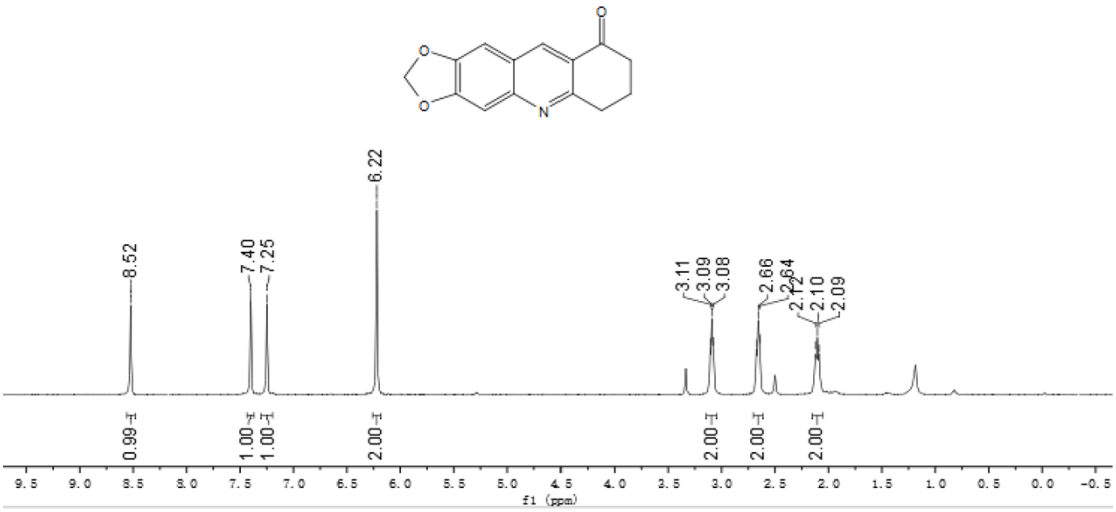
^{1}H- NMR spectrum of 5-methoxy-3,4-dihydroacridin-1(2*H*)-one (3ea)



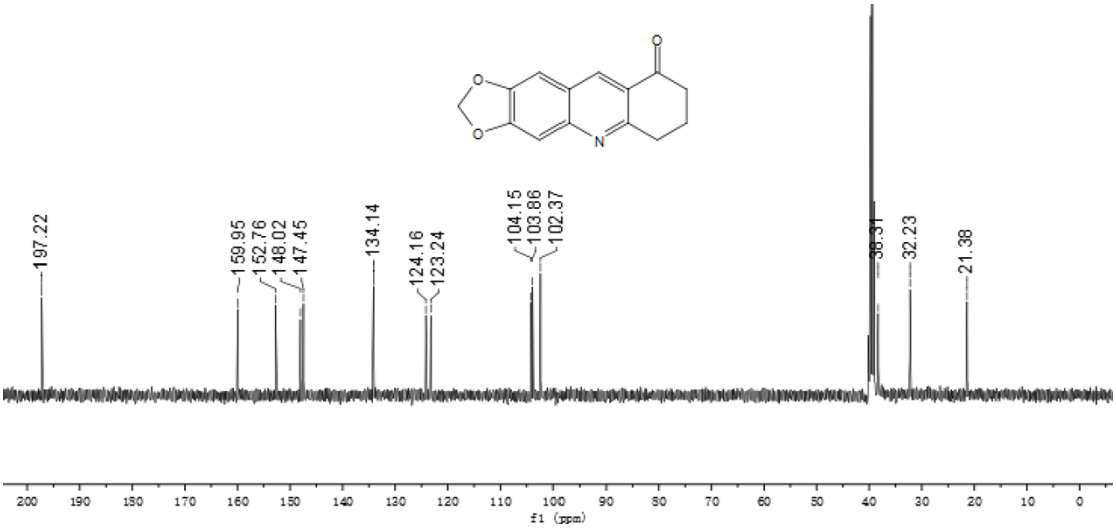
^{13}C-NMR spectrum of 5-methoxy-3,4-dihydroacridin-1(2*H*)-one (3ea)



^{1}H- NMR spectrum of 7,8-dihydro-[1,3]dioxolo[4,5-b]acridin-9(6*H*)-one (3fa)

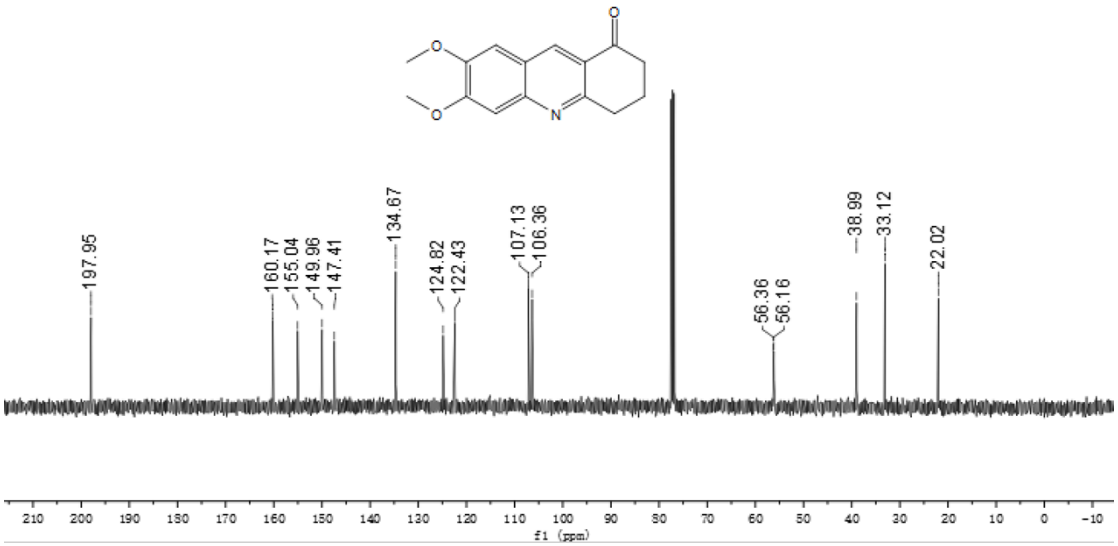


^{13}C-NMR spectrum of 7,8-dihydro-[1,3]dioxolo[4,5-b]acridin-9(6*H*)-one (3fa)



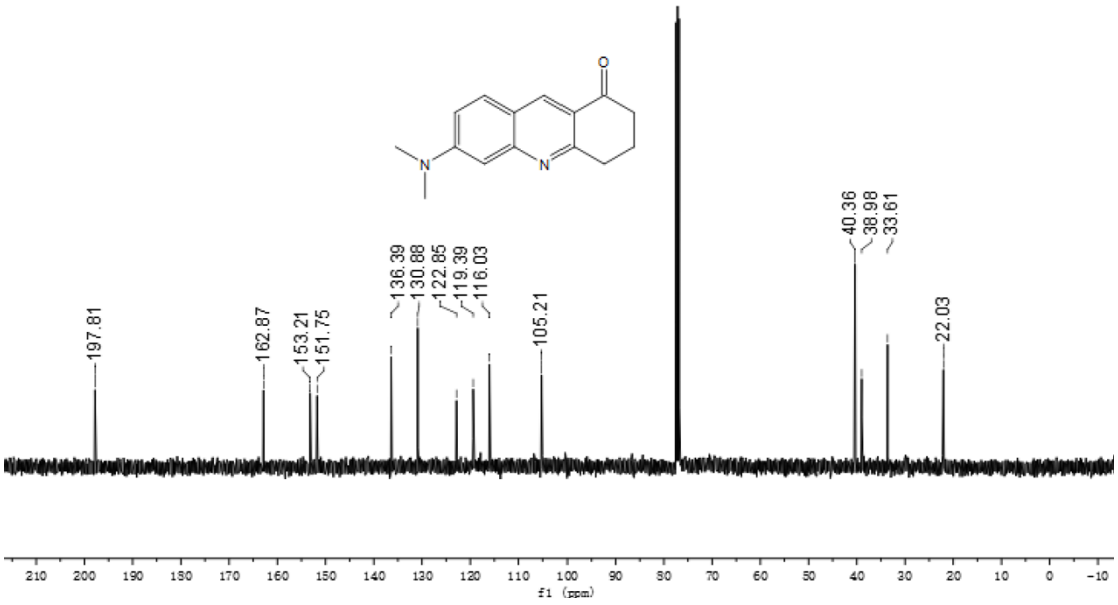
^{1}H- NMR spectrum of 6,7-dimethoxy-3,4-dihydroacridin-1(2*H*)-one (3ga)

^{13}C-NMR spectrum of 6,7-dimethoxy-3,4-dihydroacridin-1(2*H*)-one (3ga)

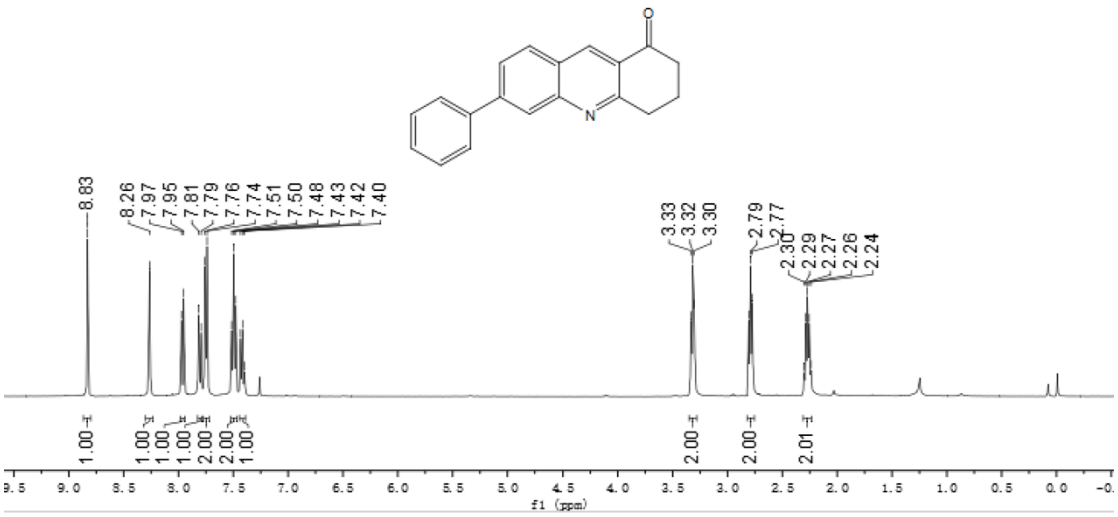


^{1}H- NMR spectrum of 6-(dimethylamino)-3,4-dihydroacridin-1(2*H*)-one (3ha)

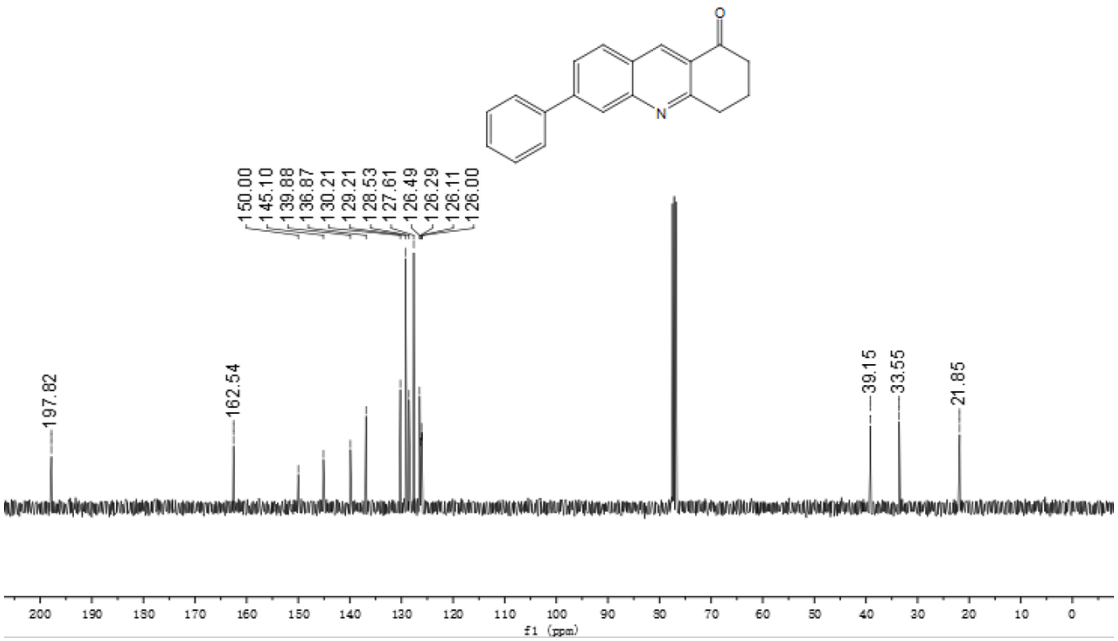
^{13}C-NMR spectrum of 6-(dimethylamino)-3,4-dihydroacridin-1(2*H*)-one (3ha)



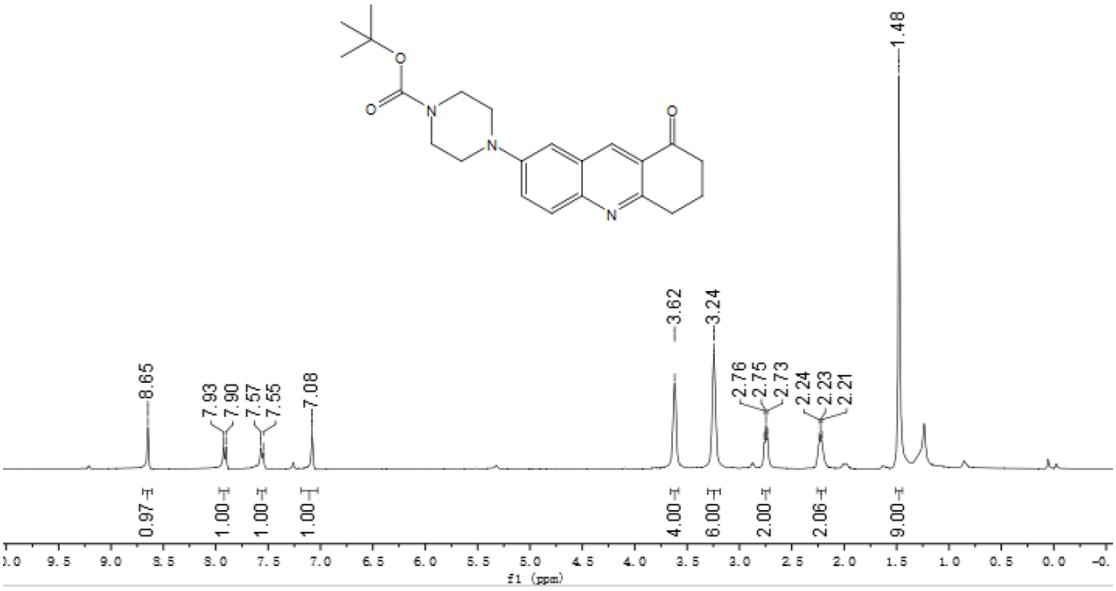
^{1}H- NMR spectrum of 6-phenyl-3,4-dihydroacridin-1(2*H*)-one (3ia)



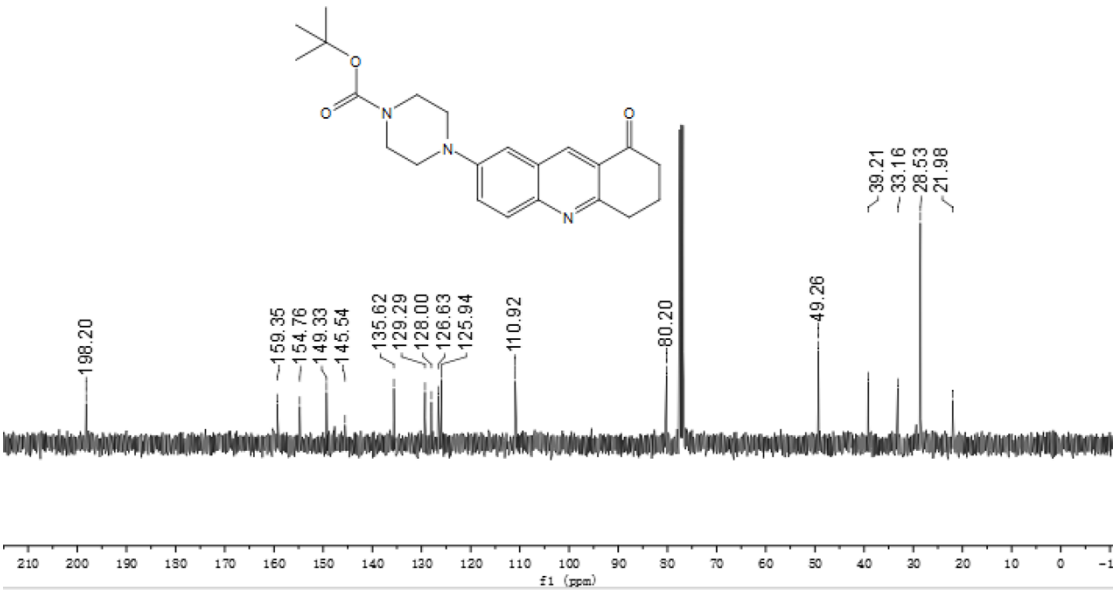
^{13}C-NMR spectrum of 6-phenyl-3,4-dihydroacridin-1(2*H*)-one (3ia)



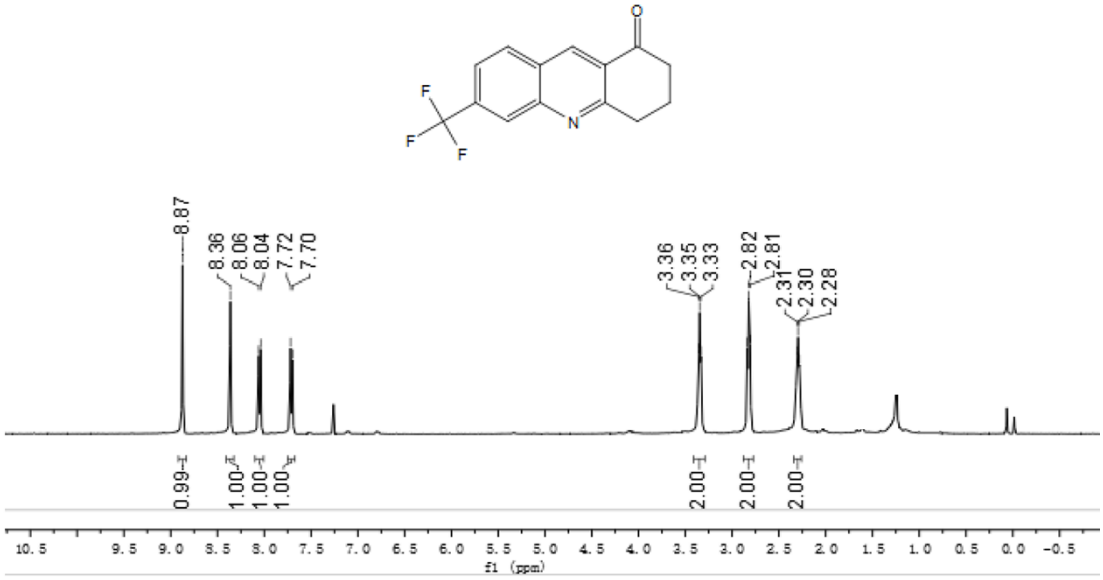
^{1}H- NMR spectrum of *tert*-butyl 4-(8-oxo-5,6,7,8-tetrahydroacridin-2-yl)piperazine-1-carboxylate (3ja)



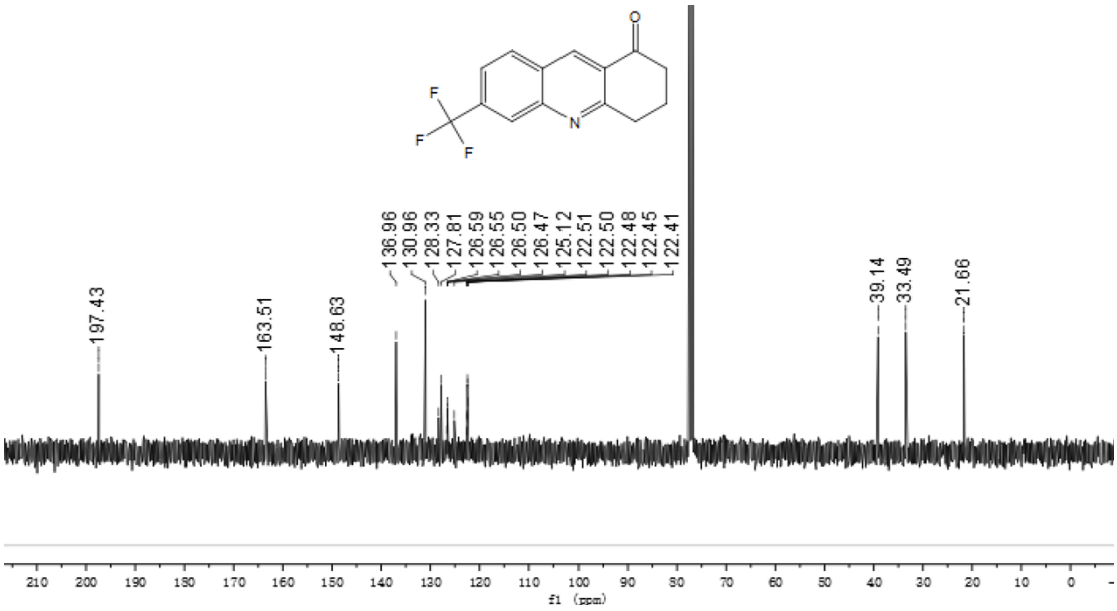
^{13}C-NMR spectrum of *tert*-butyl 4-(8-oxo-5,6,7,8-tetrahydroacridin-2-yl)piperazine-1-carboxylate (3ja)



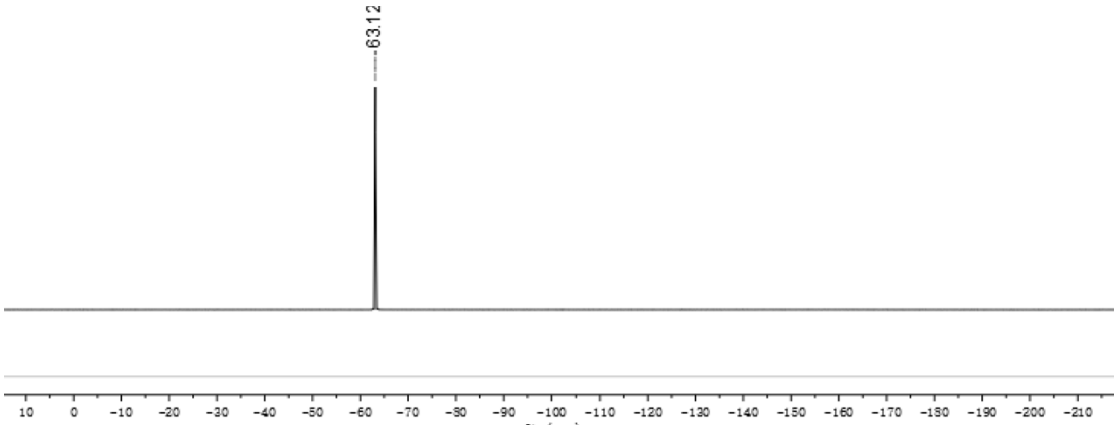
[1]H-NMR spectrum of 6-(trifluoromethyl)-3,4-dihydroacridin-1(2*H*)-one (3ka)



[13]C-NMR spectrum of 6-(trifluoromethyl)-3,4-dihydroacridin-1(2*H*)-one (3ka)

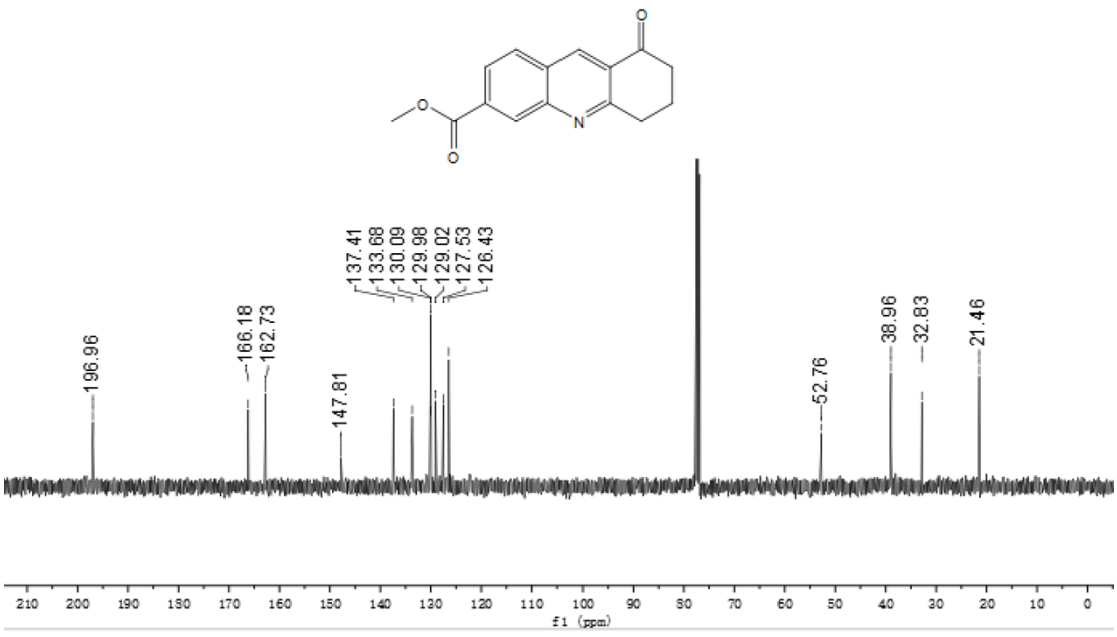


[19]F-NMR spectrum of 6-(trifluoromethyl)-3,4-dihydroacridin-1(2*H*)-one (3ka)

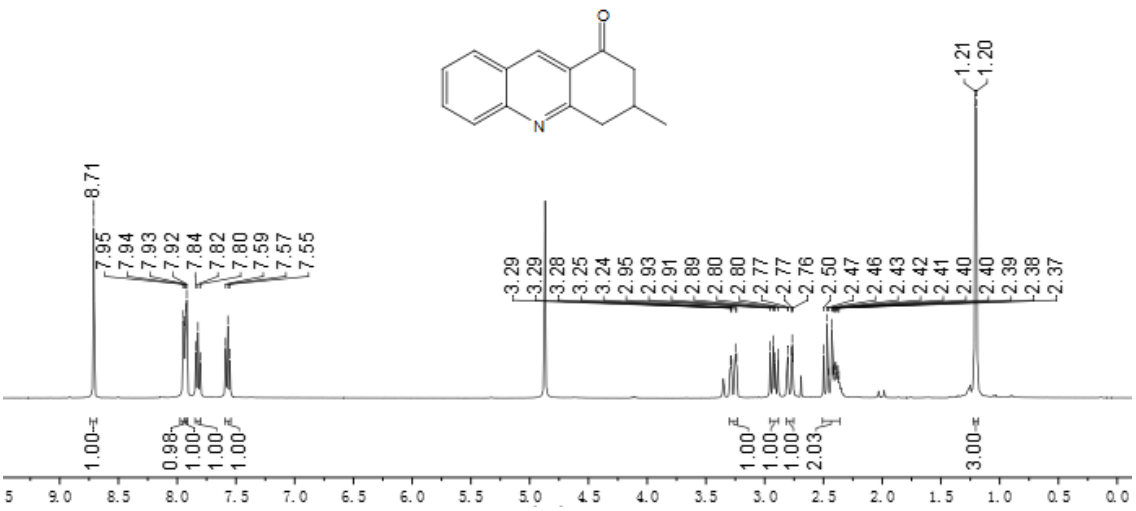


^{1}H- NMR spectrum of methyl 8-oxo-5,6,7,8-tetrahydroacridine-3-carboxylate (3la)

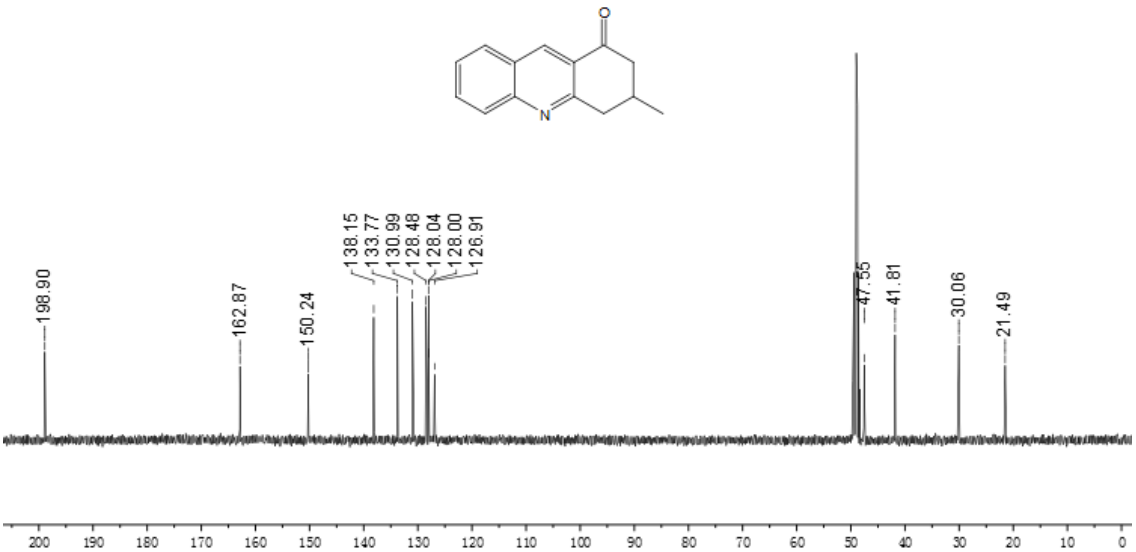
^{13}C-NMR spectrum of methyl 8-oxo-5,6,7,8-tetrahydroacridine-3-carboxylate (3la)



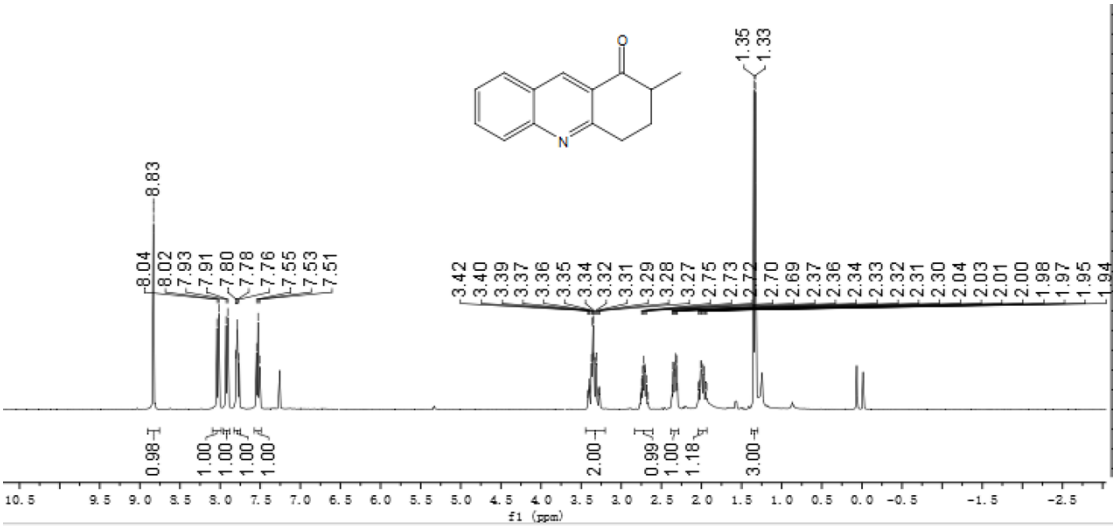
^{1}H- NMR spectrum of 3-methyl-3,4-dihydroacridin-1(2*H*)-one (3ab)



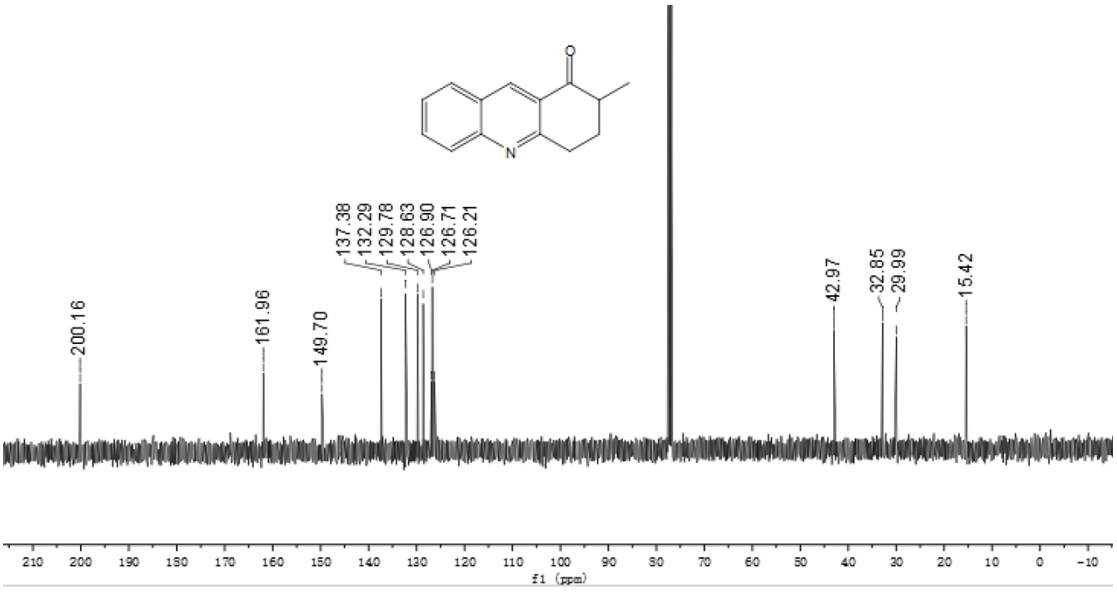
^{13}C-NMR spectrum of 3-methyl-3,4-dihydroacridin-1(2*H*)-one (3ab)



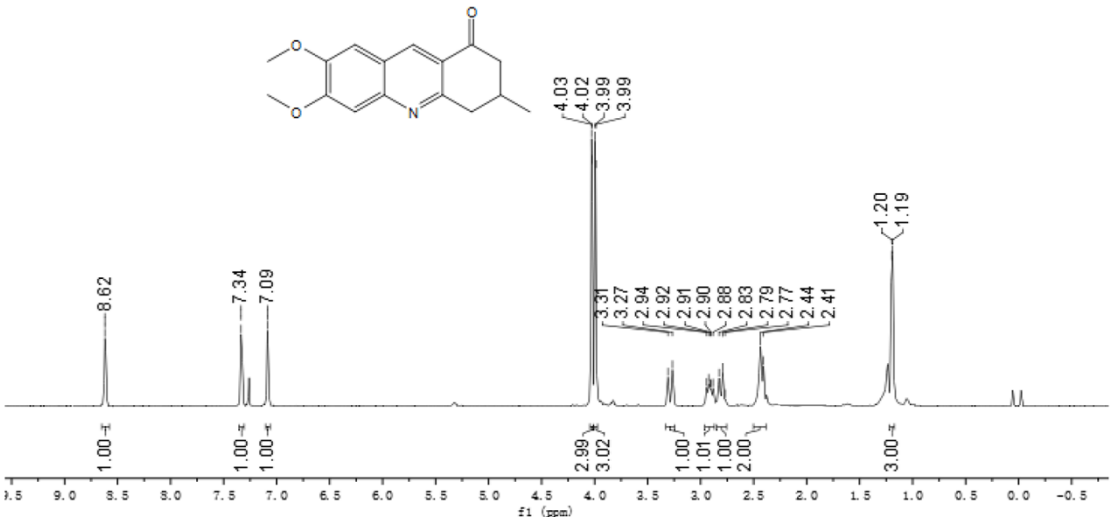
^{1}H- NMR spectrum of 2-methyl-3,4-dihydroacridin-1(2*H*)-one (3ac)



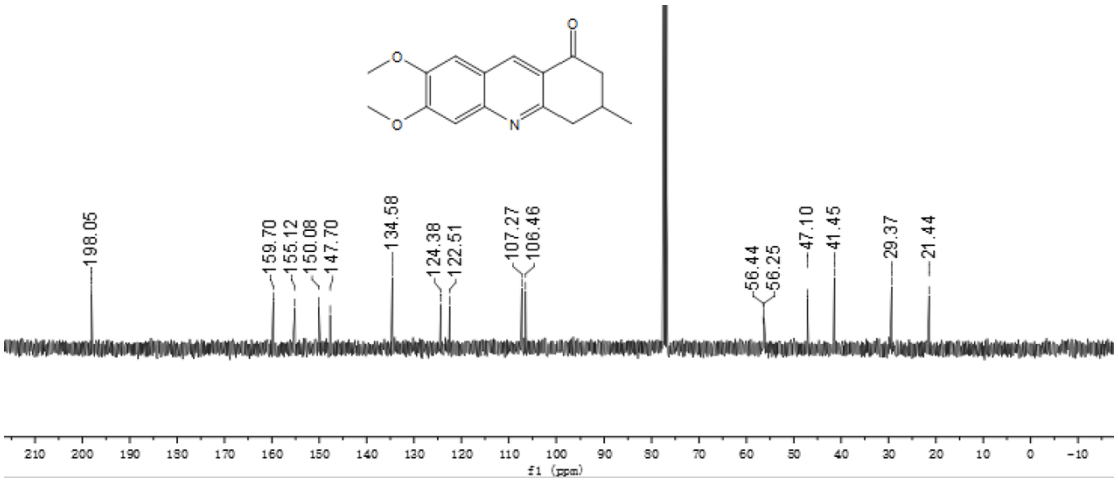
^{13}C-NMR spectrum of 2-methyl-3,4-dihydroacridin-1(2*H*)-one (3ac)



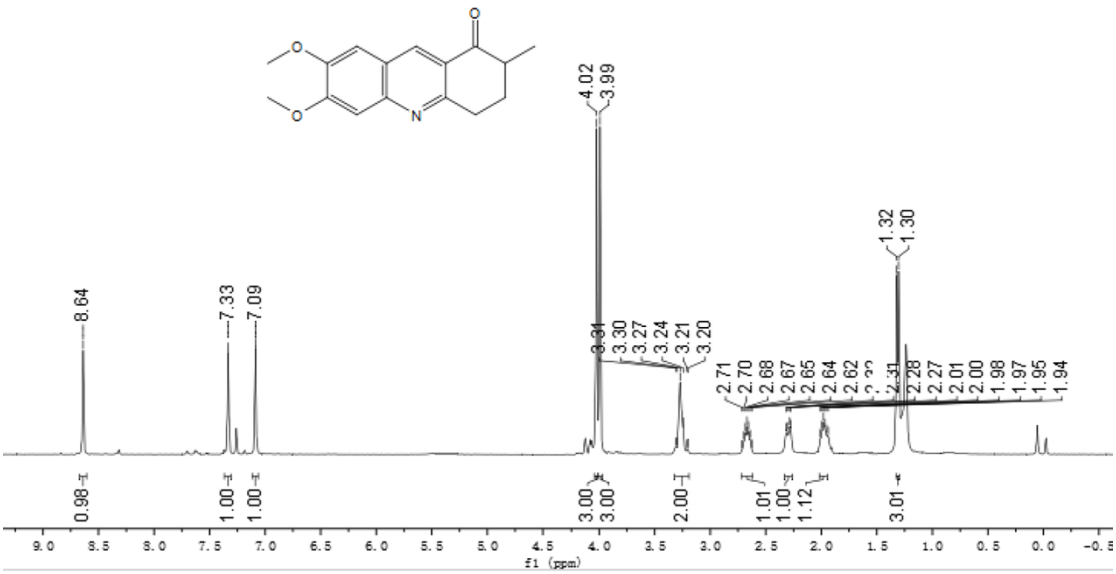
^{1}H- NMR spectrum of 6,7-dimethoxy-3-methyl-3,4-dihydroacridin-1(2*H*)-one (3gb)



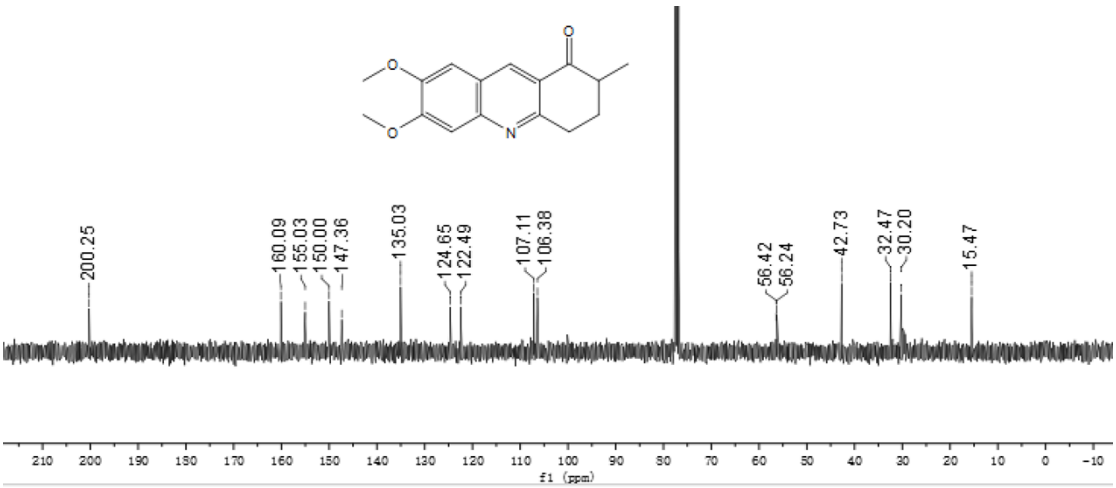
^{13}C-NMR spectrum of 6,7-dimethoxy-3-methyl-3,4-dihydroacridin-1(2*H*)-one (3gb)



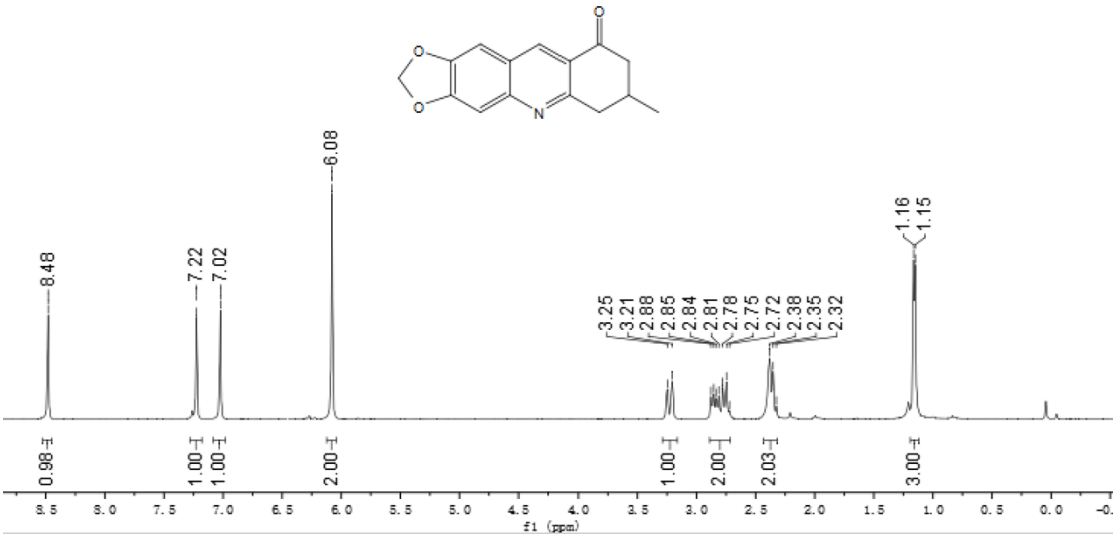
^{1}H- NMR spectrum of 6,7-dimethoxy-2-methyl-3,4-dihydroacridin-1(2*H*)-one (3gc)



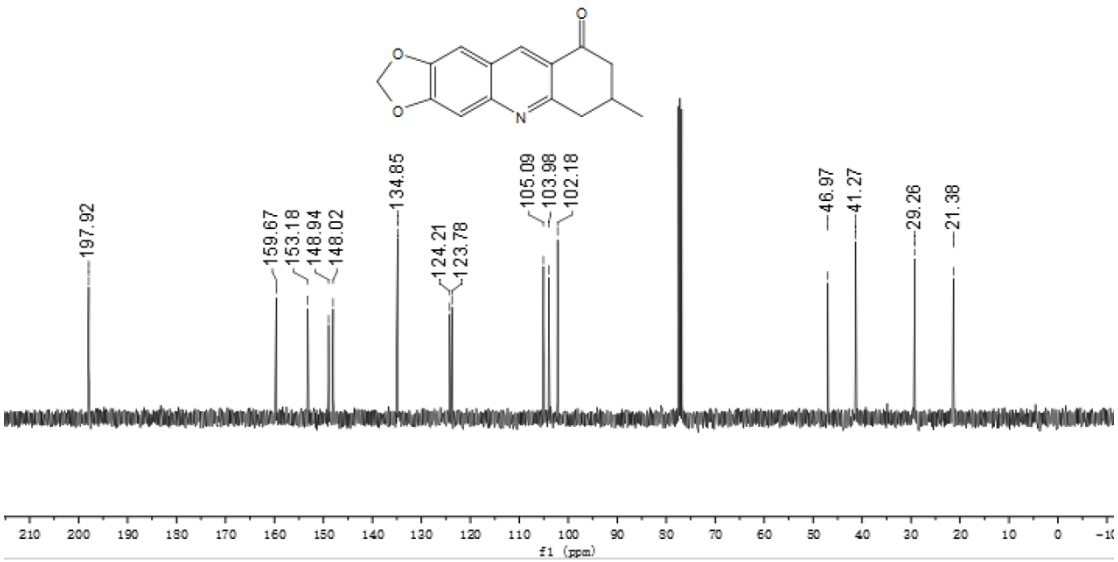
^{13}C-NMR spectrum of 6,7-dimethoxy-2-methyl-3,4-dihydroacridin-1(2*H*)-one (3gc)



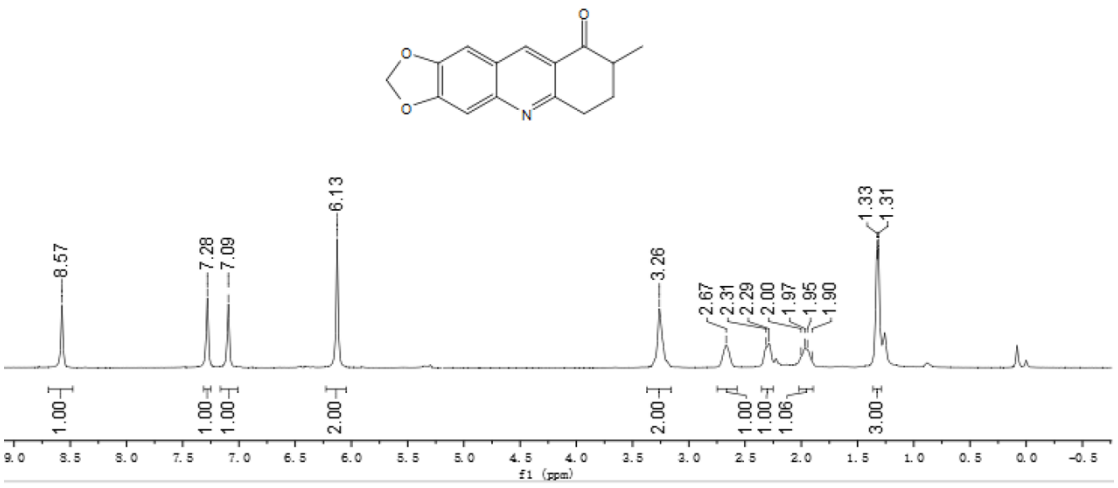
^{1}H- NMR spectrum of 7-methyl-7,8-dihydro-[1,3]dioxolo[4,5-*b*]acridin-9(6*H*)-one (3fb)



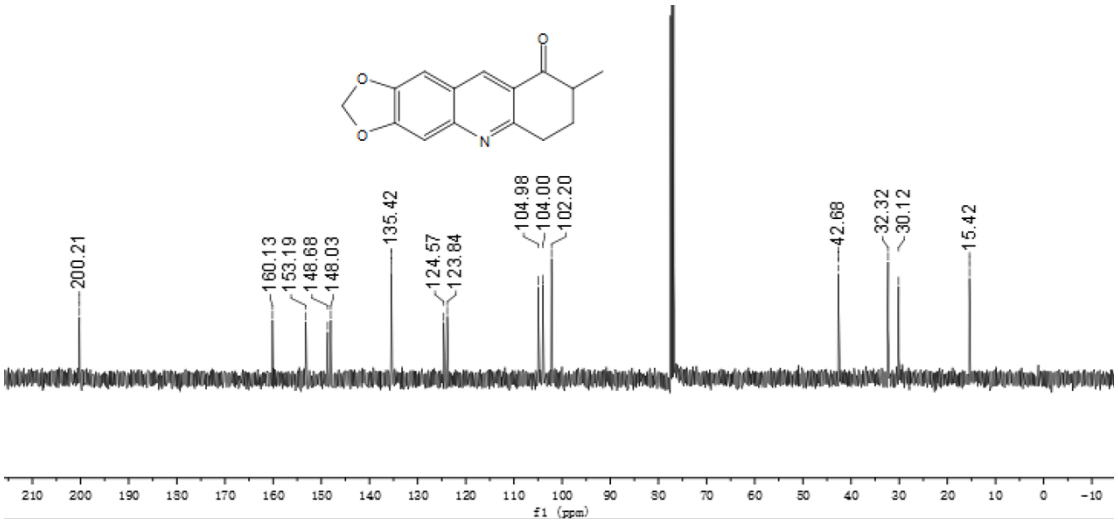
^{13}C-NMR spectrum of 7-methyl-7,8-dihydro-[1,3]dioxolo[4,5-*b*]acridin-9(6*H*)-one (3fb)



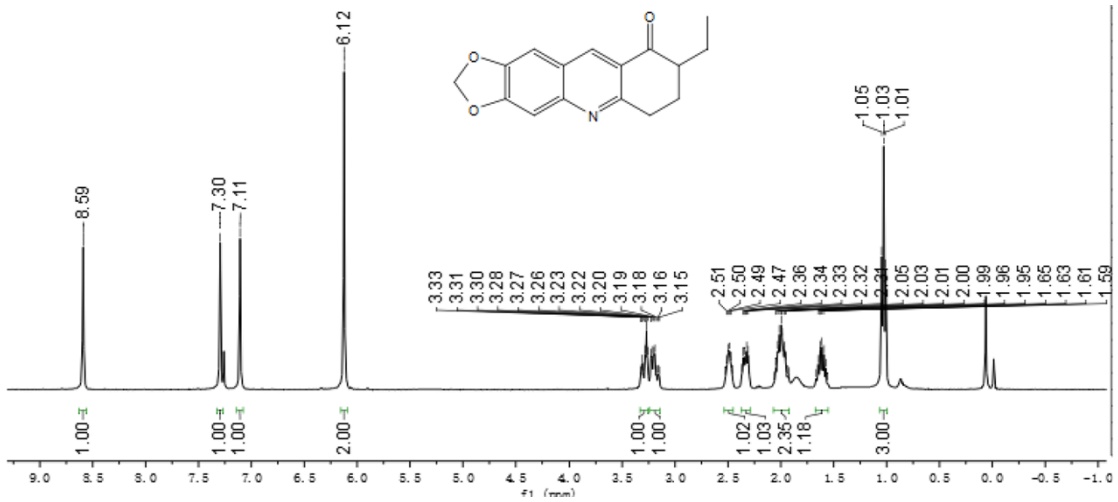
[1]H- NMR spectrum of 8-methyl-7,8-dihydro-[1,3]dioxolo[4,5-*b*]acridin-9(6*H*)-one (3fc)



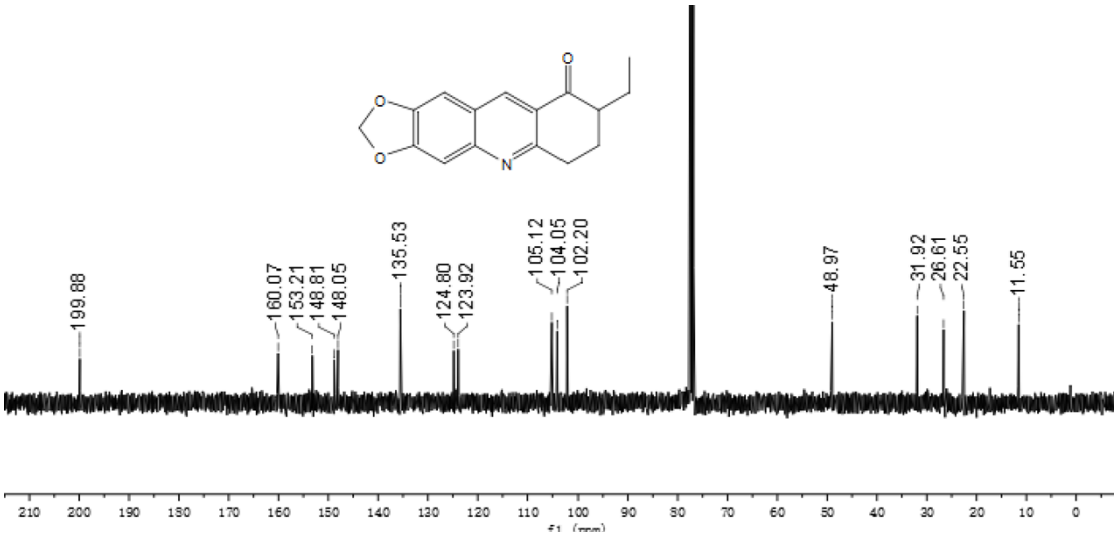
[13]C-NMR spectrum of 8-methyl-7,8-dihydro-[1,3]dioxolo[4,5-*b*]acridin-9(6*H*)-one (3fc)



^{1}H-NMR spectrum of 8-ethyl-7,8-dihydro-[1,3]dioxolo[4,5-*b*]acridin-9(6*H*)-one (3fd)



^{13}C-NMR spectrum of 8-ethyl-7,8-dihydro-[1,3]dioxolo[4,5-*b*]acridin-9(6*H*)-one (3fd)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O
O
N
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160.07
153.21
148.81
148.05
135.53
124.80
123.92
105.12
104.05
102.20
48.97
31.92
26.61
22.55
11.55
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)