

**Synthesis of 5,6-Dihdropyrazolo[5,1-*a*]isoquinoline
through Indium(III)-Promoted Halocyclizations of
N-Propargylic Sulfonylhydrazones**

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1. General Information

Dichloroethane(DCE) was freshly distilled from P_2O_5 prior to use. Unless otherwise noted, all reagents and solvents were obtained commercially and used without further purification. N-propargylic sulfonylhydrazone 9 were prepared according to our previous work. All reaction mixtures were stirred with a magnetic bar in flame-dried glassware.

Chromatography

Thin layer chromatography (TLC) was performed on Huanghai pre-coated glass-backed TLC plates and visualized by UV lamp (254 nm). Column chromatography on silica gel (300-400 mesh) was carried out using technical grade 60-90 °C petroleum ether (distilled prior to use) and analytical grade EtOAc (without further purification). Concentration under reduced pressure was performed by rotary evaporation. Purified compounds were further addressed under high vacuum (3-5 mmHg). Yields refer to chromatographically purified compounds.

Nuclear magnetic resonance spectra

1H and ^{13}C spectra were recorded on a 400MHz and 500 MHz spectrometer. Chemical shifts were reported in ppm. 1H NMR spectra were referenced to $CDCl_3$ (7.28 ppm) or d6-DMSO (2.50 ppm), and ^{13}C -NMR spectra were referenced to $CDCl_3$ (77.0 ppm) or d₆-DMSO (39.5 ppm). All ^{13}C -NMR spectra were measured with complete proton decoupling. Peak multiplicities were designated by the following abbreviations: s, singlet; d, doublet; t, triplet; m, multiplet; brs, broad singlet and J, coupling constant in Hz.

IR spectra and Mass spectroscopy

IR spectra were recorded on a Nicolet AVATER FTIR360 spectrometer as thin film. Absorptions were given in wavenumbers (cm^{-1}). Mass spectroscopy: HRMS spectra were recorded with Micromass QTOF2 Quadrupole/Time-of-Flight Tandem mass spectrometer using electron spray ionization.

Figure S1. Single crystal X-ray structure for 2a.

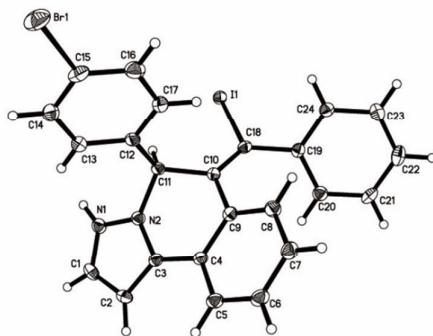
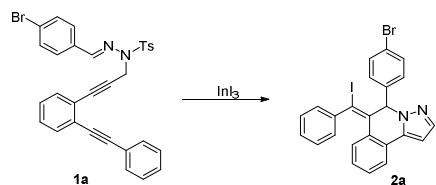


Table S1. Effects of solvent on the reaction of **1a**^{a,b}



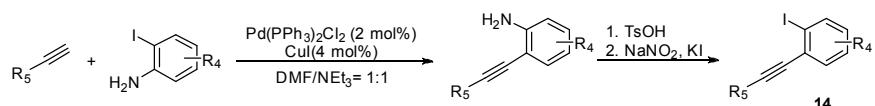
entry	solvent	time	yield (%)
1	DCE	0.5 h	92
2	DCM	6 h	78 ^c
3	CH ₃ CN	0.5 h	trace
4	1,4-dioxane	0.5 h	NR ^d
5	PhMe	0.25 h	60
6	DMF	6 h	NR
7	DMSO	6 h	NR

^aReaction conditions: **1a** (0.5 mmol), InI₃ (0.5 mmol), solvent (5 mL), N₂ atmosphere, 70 °C.

^bIsolated yield. ^cReflux. ^dNR = no reaction.

2. General procedure for synthesis of *N*-propargylic sulfonylhydrazones **1**, 5,6-dihydropyrazolo[5,1-*a*]isoquinoline **2**, **3** and **4**, tetracyclic scaffold product **5**, 8-phenyl-10,10a-dihydro-9H-cyclopenta[c]pyrazolo[5,1-*a*]isoquinoline **6**, **7**, **8**, (5-(4-bromophenyl)pyrazolo[5,1-*a*]isoquinolin-6-yl)(phenyl)methanone **9**, (Z)-5-(4-bromophenyl)-6-(phenyl(p-tolyl)methylene)-5,6-dihydropyrazolo[5,1-*a*]isoquinoline **10**

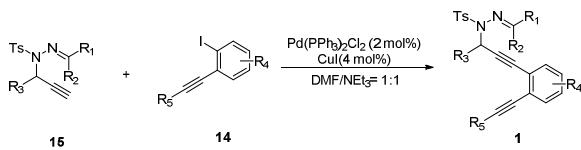
2.1 General procedure for synthesis of *N*-propargylic sulfonylhydrazones **1**



To a round bottom flask was added the terminal alkyne (2 mmol), 2-iodoaniline (2.2 mmol), Pd(PPh₃)₂Cl₂ (2 mol%), and CuI (4 mol%). The flask was purged with N₂ for 5 minutes, and DMF (5 mL), NEt₃ (5 mL) were added under N₂. The reaction mixture was stirred at room temperature or heated as necessary, and the reation progress monitored by TLC. Upon completion, the mixture was filtered off and water (20 mL) was added. The aqueous phase was extracted with EtOAc (3 × 10 mL). The combined organic layers were washed with brine, dried over Na₂SO₄. The solvent was removed under vacuum, and then the residue was further purified by silica gel column chromatography (petroleum ether and ethyl acetate) to afford aniline.

To a solution of *p*-TsOH·H₂O (9.0 mmol) in MeCN (20 mL) was added aniline (3.0 mmol). The resulting suspension of ammonium salt was cooled to 10–15 °C and was added gradually a

solution of NaNO_2 (6.0 mmol) and KI (7.5 mmol) in water (1.8 mL). The reaction mixture was stirred for 10 min at 10–15 °C and then warmed to room temperature for 2 h. Water (20 mL), NaHCO_3 (1 M, 10 mL) and $\text{Na}_2\text{S}_2\text{O}_3$ (2 M, 10 mL) was added to the reaction mixture successively. The reaction suspension was extracted with diethyl ether and purified on a silica-gel column chromatography (petroleum ether) to give compound **14** as pale yellow oil.



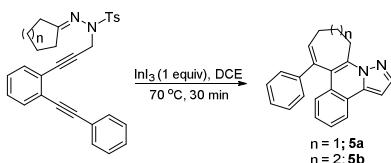
To a round bottom flask was added *N*-propargylic sulfonylhydrazone **15** (2 mmol), 1-ethynyl-2-iodobenzene **14** (2.2 mmol), $\text{Pd}(\text{PPh}_3)_2\text{Cl}_2$ (2 mol%), and CuI (4 mol%). The flask was purged with N_2 for 5 minutes, and DMF (5 mL), NEt_3 (5 mL) were added under N_2 . The reaction mixture was stirred at room temperature or heated as necessary, and the reation progress monitored by TLC. Upon completion, the mixture was filtered off and water (20 mL) was added. The aqueous phase was extracted with EtOAc (3×10 mL). The combined organic layers were washed with brine, dried over Na_2SO_4 . The solvent was removed under vacuum, and then the residue was further purified by silica gel column chromatography (petroleum ether and ethyl acetate) to afford propargylic hydrazone **1**.

2.2 General procedure for synthesis 5,6-dihydropyrazolo[5,1-*a*]isoquinoline **2**, **3** and **4**



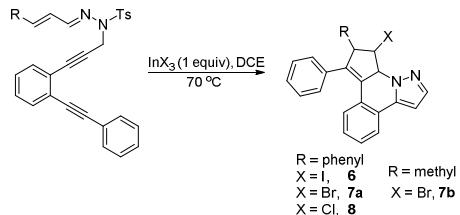
Corresponding propargylic hydrazone **1** (0.5 mmol) and InX_3 (0.5 mmol) were added to 10 mL schlenk tube followed by the adding of DCE (5 mL), and the reaction mixture was stirred at 70 °C under the protection of N_2 . Upon completion (InI_3 , 0.5 h; InBr_3 , 6 h; InCl_3 , 12 h), the solvent was removed by vacuum and the crude residue was purified by silica gel column chromatography to afford the corresponding products **2**, **3** and **4** (eluent: petroleum ether/ EtOAc = 100/1).

2.3 General procedure for synthesis tetracyclic scaffold product **5**



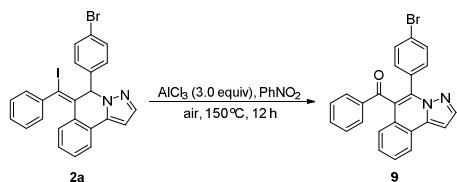
Corresponding propargylic hydrazone **1** (0.5 mmol) and InI_3 (0.5 mmol) were added to 10 mL schlenk tube followed by the adding of DCE (5 mL), and the reaction mixture was stirred at 70 °C under the protection of N_2 . Upon completion, the solvent was removed by vacuum and the crude residue was purified by silica gel column chromatography to afford the corresponding products **5a** and **5b** (eluent: petroleum ether/ EtOAc = 100/1).

2.4 General procedure for synthesis
8-phenyl-10,10a-dihydro-9H-cyclopenta[c]pyrazolo[5,1-*a*]isoquinoline **6**, **7**, **8**



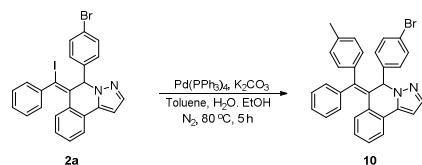
Corresponding propargylic hydrazone **1** (0.5 mmol) and InX₃ (0.5 mmol) were added to 10 mL schlenk tube followed by the adding of DCE (5 mL), and the reaction mixture was stirred at 70 °C under the protection of N₂. Upon completion (monitored by TLC), the solvent was removed by vacuum and the crude residue was purified by silica gel column chromatography to afford the corresponding products **6**, **7** and **8** (eluent: petroleum ether/EtOAc = 100/1).

2.5 General procedure for synthesis
(5-(4-bromophenyl)pyrazolo[5,1-*a*]isoquinolin-6-yl)(phenyl)methanone **9**



To a solution of 5,6-dihydropyrazolo[5,1-*a*]isoquinoline **2a** (0.5 mmol) in nitrobenzene (2 mL), AlCl₃ (3.0 equiv) was added and the mixture was stirred 12 h at 150 °C. The solution was purified directly by silica gel column chromatography eluting with petroleum ether and ethyl acetate (v/v, 50 : 1) to afford the corresponding product **9**.

2.6 General procedure for synthesis
(*Z*)-5-(4-bromophenyl)-6-(phenyl(p-tolyl)methylene)-5,6-dihydropyrazolo[5,1-*a*]isoquinoline **10**

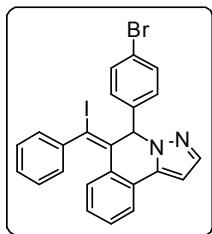


5,6-dihydropyrazolo[5,1-*a*]isoquinoline **2a** (0.50 mmol), Pd(PPh₃)₄ (0.005 eq), K₂CO₃ (5.0 eq) and p-tolylboronic acid (1.25 eq) were added to a 10 mL thick-walled vial. Toluene (3.0 mL), water (2.0 mL) and ethanol (100 uL) were added and the solution was then purged with N₂ for 15 min. The flask was sealed with a Teflon cap and heated to 80 °C with stirred for 5 h. Then allowed to cool to rt. The reaction mixture was then diluted with DCM (20 mL) and washed with water (20 mL).

The organic layer was separated, dried over Na_2SO_4 and the solvent removed in vacuo to yield an orange solid. Purification by flash chromatography afforded **10** (petroleum ether/ethyl acetate = 100/1).

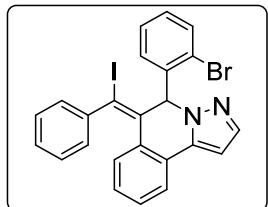
3. ^1H , ^{13}C -NMR, IR, MP and HRMS Data of 2a-l, 2n, 3a, 3c, 3k, 3m, 3n, 4a, 4c, 4k, 4m, 5a-b, 6, 7a-b, 8, 9, 10, 1a-r

(Z)-5-(4-bromophenyl)-6-(iodo(phenyl)methylene)-5,6-dihydropyrazolo[5,1-*a*]isoquinoline(**2a**)



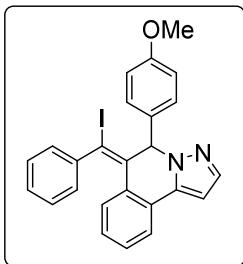
a white solid (251 mg, mp: 230-233 °C); **$^1\text{H NMR}$** (500 MHz, CDCl_3) δ 6.26 (s, 1H), 6.61 (d, 1H, J = 1.95 Hz), 6.72 (s, 1H), 6.74 (s, 1H), 7.23-7.24 (m, 1H), 7.25-7.26 (m, 1H), 7.31-7.41 (m, 7H), 7.53-7.55 (m, 2H), 8.15 (dd, 1H, J_1 = 6.0 Hz, J_2 = 1.0 Hz); **$^{13}\text{C NMR}$** (125 MHz, CDCl_3) δ 61.4, 101.3, 101.9, 121.9, 124.2, 127.0, 127.5, 127.9, 128.0, 128.9, 129.0, 129.6, 130.6, 131.6, 131.8, 137.4, 137.9, 138.9, 140.0, 143.8; **IR** (film): 3058, 2924, 1650 cm^{-1} ; **HRMS** (ESI) m/z Calculated for $\text{C}_{24}\text{H}_{16}\text{BrIN}_2\text{Na}$ [M+Na]⁺ 560.9434, 562.9414, found: 560.9435, 562.9416.

(Z)-5-(2-bromophenyl)-6-(iodo(phenyl)methylene)-5,6-dihydropyrazolo[5,1-*a*]isoquinoline(**2b**)



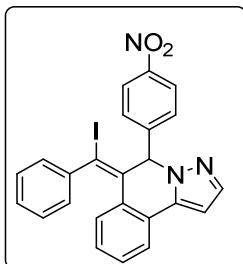
a white solid (189 mg, mp: 73-76 °C); **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 6.41 (dd, 1H, J_1 = 8.0 Hz, J_2 = 1.5 Hz), 6.63 (d, 1H, J = 1.9 Hz), 6.86-6.97 (m, 3H), 7.25-7.47 (m, 8H), 7.55 (d, 1H, J = 1.9 Hz), 7.62 (dd, 1H, J_1 = 7.6 Hz, J_2 = 0.9 Hz); **$^{13}\text{C NMR}$** (100 MHz, CDCl_3) δ 60.9, 101.0, 104.0, 122.9, 124.1, 127.3, 127.4, 127.6, 127.8, 128.7, 128.9, 129.4, 129.5, 130.8, 132.8, 133.6, 137.6, 138.1, 140.4, 144.3; **IR** (film): 3054, 2852, 1652 cm^{-1} ; **HRMS** (ESI) m/z Calculated for $\text{C}_{24}\text{H}_{16}\text{BrIN}_2\text{Na}$ [M+Na]⁺ 560.9434, 562.9414, found: 560.9435, 562.9416.

(Z)-6-(iodo(phenyl)methylene)-5-(4-methoxyphenyl)-5,6-dihydropyrazolo[5,1-*a*]isoquinoline(**2c**)



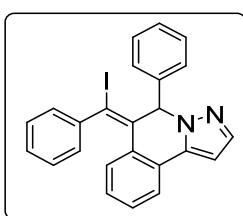
a white solid (162 mg, mp: 216-218 °C); **¹H NMR** (500 MHz, CDCl₃) δ 3.67 (s, 3H), 6.25 (s, 1H), 6.59 (d, 1H, J = 1.9 Hz), 6.64-6.66 (m, 2H), 6.78-6.80 (m, 2H), 7.29-7.41 (m, 7H), 7.51 (d, 1H, J = 1.9 Hz), 7.54 (dd, 1H, J₁ = 8.0 Hz, J₂ = 1.1 Hz), 8.17 (dd, 1H, J₁ = 7.5 Hz, J₂ = 1.0 Hz); **¹³C NMR** (125 MHz, CDCl₃) δ 55.1, 61.7, 100.1, 101.1, 113.9, 124.1, 127.2, 127.3, 127.5, 128.1, 128.7, 128.8, 129.4, 130.5, 130.7, 132.1, 137.7, 139.6, 139.7, 144.0, 159.0; **IR** (film): 3049, 2927, 1608 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₂₅H₁₉IN₂NaO [M+Na]⁺ 513.0435, found: 513.0436.

(Z)-6-(iodo(phenyl)methylene)-5-(4-nitrophenyl)-5,6-dihydropyrazolo[5,1-a]isoquinoline(**2d**)



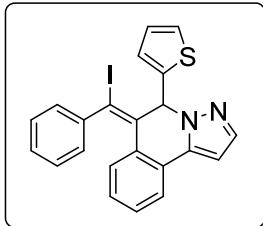
a white solid (215 mg, mp: 134-137 °C); **¹H NMR** (400 MHz, CDCl₃) δ 6.41 (s, 1H), 6.66 (d, 1H, J = 1.7 Hz), 6.99-7.00 (m, 2H), 7.34-7.45 (m, 7H), 7.55-7.58 (m, 2H), 7.97-7.99 (m, 2H), 8.15 (d, 1H, J = 7.9 Hz); **¹³C NMR** (100 MHz, CDCl₃) δ 61.2, 101.6, 102.6, 123.8, 124.3, 126.8, 127.2, 127.7, 127.8, 129.1, 129.2, 129.8, 130.5, 131.4, 138.2, 138.3, 140.5, 143.7, 145.4, 147.4; **IR** (film): 3055, 2957, 1652 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₂₄H₁₆IN₃NaO₂ [M+Na]⁺ 528.0180, found: 528.0182.

(Z)-6-(iodo(phenyl)methylene)-5-phenyl-5,6-dihydropyrazolo[5,1-a]isoquinoline(**2e**)



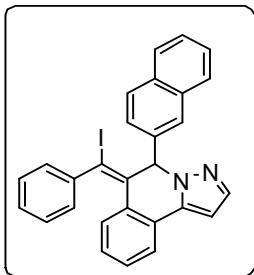
a white solid (179 mg, mp: 207-209 °C); **¹H NMR** (400 MHz, CDCl₃) δ 6.27 (s, 1H), 6.54 (d, 1H, J = 1.9 Hz), 6.77-6.79 (m, 2H), 7.04-7.06 (m, 3H), 7.23-7.34 (m, 7H), 7.47-7.49 (m, 2H), 8.08 (dd, 1H, J₁ = 7.5 Hz, J₂ = 0.8 Hz); **¹³C NMR** (100 MHz, CDCl₃) δ 62.0, 101.1, 101.4, 124.1, 126.2, 127.1, 127.3, 127.7, 128.1, 128.5, 128.8, 128.9, 129.4, 130.7, 132.1, 138.0, 138.3, 139.5, 139.8, 144.0; **IR** (film): 3058, 2922, 1652 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₂₄H₁₇IN₂Na [M+Na]⁺ 483.0329, found: 483.0332.

(Z)-6-(iodo(phenyl)methylene)-5-(thiophen-2-yl)-5,6-dihydropyrazolo[5,1-a]isoquinoline(**2f**)



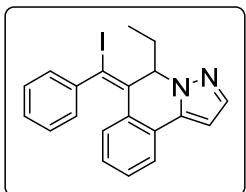
a white solid (128 mg, mp: 175-178 °C); **¹H NMR** (400 MHz, CDCl₃) δ 6.46 (s, 1H), 6.60 (d, 1H, J = 1.7 Hz), 6.66 (d, 1H, J = 3.2 Hz), 6.78-6.80 (m, 1H), 7.08 (dd, 1H, J₁ = 4.8 Hz, J₂ = 1.0 Hz), 7.34-7.49 (m, 7H), 7.53 (d, 1H, J = 1.7 Hz), 7.59 (d, 1H, J = 7.5 Hz); 8.28 (d, 1H, J = 7.5 Hz); **¹³C NMR** (100 MHz, CDCl₃) δ 58.8, 101.2, 102.2, 124.2, 125.6, 125.7, 126.6, 127.0, 127.5, 128.3, 128.9, 129.1, 129.7, 131.0, 131.7, 137.4, 138.8, 140.1, 141.4, 143.6; **IR** (film): 3059, 2924, 1652 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₂₂H₁₅IN₂NaS [M+Na]⁺ 488.9893, found: 488.9895.

(Z)-6-(iodo(phenyl)methylene)-5-(naphthalen-2-yl)-5,6-dihdropyrazolo[5,1-*a*]isoquinoline (2g)



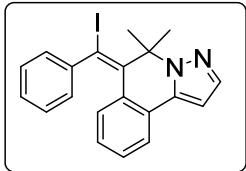
a white solid (181 mg, mp: 188-191 °C); **¹H NMR** (400 MHz, CDCl₃) δ 6.48 (s, 1H), 6.63 (d, 1H, J = 1.8 Hz), 7.07 (dd, 1H, J₁ = 8.8 Hz, J₂ = 1.6 Hz), 7.29-7.40 (m, 9H), 7.53-7.69 (m, 6H), 7.07 (dd, 1H, J₁ = 7.6 Hz, J₂ = 1.0 Hz); **¹³C NMR** (100 MHz, CDCl₃) δ 62.2, 101.2, 101.6, 124.0, 124.1, 125.5, 126.1, 126.2, 127.2, 127.4, 127.5, 128.1, 128.3, 128.8, 128.9, 129.5, 130.6, 132.2, 132.7, 133.0, 135.8; **IR** (film): 3056, 2923, 1652 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₂₈H₁₉IN₂Na [M+Na]⁺ 533.0486, found: 533.0490.

(Z)-5-ethyl-6-(iodo(phenyl)methylene)-5,6-dihdropyrazolo[5,1-*a*]isoquinoline(2h)



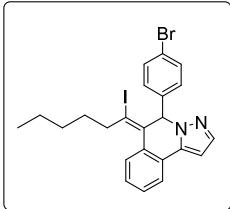
a yellow liquid, 111 mg; **¹H NMR** (500 MHz, CDCl₃) δ 0.66 (t, 3H, J = 7.4 Hz), 1.42-1.50 (m, 1H), 1.51-1.57 (m, 1H), 4.98 (t, 1H, J = 7.4 Hz), 6.47 (s, 1H), 7.18-7.24 (m, 3H), 7.29-7.37 (m, 5H), 7.48 (dd, 1H, J₁ = 7.8 Hz, J₂ = 0.9 Hz), 8.10 (d, 1H, J = 7.5 Hz); **¹³C NMR** (125 MHz, CDCl₃) δ 10.4, 28.4, 61.2, 99.4, 100.8, 124.0, 127.2, 128.0, 128.5, 128.8, 129.3, 130.2, 132.0, 139.0, 140.6, 144.1; **IR** (film): 3059, 2919, 1652 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₂₀H₁₇IN₂Na [M+Na]⁺ 435.0329, found: 435.0330.

(Z)-6-(iodo(phenyl)methylene)-5,5-dimethyl-5,6-dihdropyrazolo[5,1-*a*]isoquinoline(**2i**)



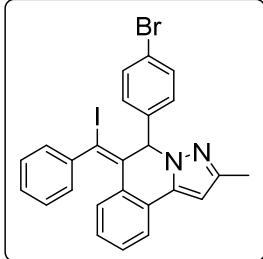
a white solid (91 mg, mp: 106-108 °C); **¹H NMR** (500 MHz, CDCl₃) δ 1.37 (s, 6H), 6.59 (d, 1H, J = 1.9 Hz), 7.25-7.37 (m, 7H), 7.41 (td, 1H, J₁ = 7.6 Hz, J₂ = 1.2 Hz), 7.47 (d, 1H, J = 2.0 Hz), 7.50 (dd, 1H, J₁ = 7.7 Hz, J₂ = 0.9 Hz); 8.05 (dd, 1H, J₁ = 7.8 Hz, J₂ = 0.8 Hz); **¹³C NMR** (100 MHz, CDCl₃) δ 28.0, 63.9, 101.4, 101.7, 123.5, 126.9, 127.1, 128.1, 128.2, 128.4, 129.5, 131.6, 132.8, 136.3, 137.6, 138.6, 144.6, 147.4; **IR** (film): 3055, 2973, 1583 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₂₀H₁₇IN₂Na [M+Na]⁺ 435.0329, found: 435.0327.

(Z)-5-(4-bromophenyl)-6-(1-iodohexylidene)-5,6-dihdropyrazolo[5,1-*a*]isoquinoline(**2j**)



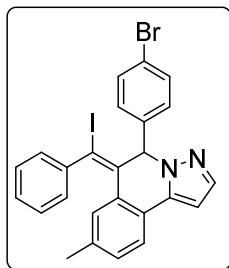
a yellow liquid, 107 mg; **¹H NMR** (400 MHz, CDCl₃) δ 0.89 (q, 3H, J = 6.8 Hz), 1.33-1.40 (m, 4H), 1.70-1.74 (m, 2H), 2.91-2.99 (m, 1H), 3.06-3.13 (m, 1H), 5.59 (d, 1H, J = 1.7 Hz), 6.64 (s, 1H), 6.73 (d, 1H, J = 8.5 Hz), 7.21 (td, 1H, J₁ = 7.7 Hz, J₂ = 1.2 Hz), 7.24-7.31 (m, 3H), 7.45 (dd, 1H, J₁ = 7.6 Hz, J₂ = 0.8 Hz), 7.59 (d, 1H, J = 1.7 Hz), 7.90 (d, 1H, J = 7.9 Hz); **¹³C NMR** (100 MHz, CDCl₃) δ 14.0, 22.5, 29.0, 30.9, 43.7, 60.3, 101.1, 109.5, 121.8, 123.9, 126.5, 127.2, 127.5, 129.1, 131.1, 132.5, 136.6, 137.2, 138.1, 140.0; **IR** (film): 3052, 2954, 1683 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₂₃H₂₂BrIN₂Na [M+Na]⁺ 554.9904, 556.9883, found: 554.9906, 556.9885.

(Z)-5-(4-bromophenyl)-6-(iodo(phenyl)methylene)-2-methyl-5,6-dihdropyrazolo[5,1-*a*]isoquinoline(**2k**)



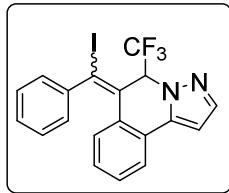
a white solid (166 mg, mp: 214-217 °C); **¹H NMR** (400 MHz, CDCl₃) δ 2.28 (s, 3H), 6.17 (s, 1H), 6.39 (s, 1H), 6.73 (d, 2H, J = 8.4 Hz), 7.23 (d, 2H, J = 8.4 Hz), 7.30-7.38 (m, 7H), 7.49 (d, 1H, J = 7.5 Hz), 8.12 (d, 1H, J = 7.5 Hz); **¹³C NMR** (100 MHz, CDCl₃) δ 13.8, 61.2, 100.9, 101.6, 121.9, 124.0, 127.2, 127.3, 128.0, 128.1, 128.9, 129.0, 129.6, 130.6, 131.6, 131.7, 137.6, 138.7, 139.1, 143.9, 149.3; **IR** (film): 3055, 2923, 1652 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₂₅H₁₈BrIN₂Na [M+Na]⁺ 574.9591, 576.9570, found: 574.9591, 576.9568.

(Z)-5-(4-bromophenyl)-6-(iodo(phenyl)methylene)-8-methyl-5,6-dihydropyrazolo[5,1-*a*]isoquinoline(**2l**)



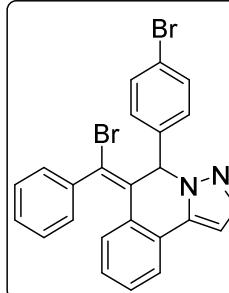
a white solid (243 mg, mp: 216-219 °C); **1H NMR**(400 MHz, CDCl₃) δ 2.41 (s, 1H), 6.24 (s, 1H), 6.55 (d, 1H, J = 1.9 Hz), 6.73 (d, 2H, J = 8.4 Hz), 7.18 (dd, 1H, J₁ = 8.0 Hz, J₂ = 0.8 Hz), 7.23-7.25 (m, 3H), 7.30-7.43 (m, 6H), 7.51 (d, 1H, J = 1.9 Hz), 7.96 (s, 1H); **13C NMR** (100 MHz, CDCl₃) δ 21.6, 61.4, 100.8, 101.5, 121.9, 124.1, 124.3, 127.9, 128.0, 128.9, 129.0, 130.3, 131.1, 131.6, 131.7, 137.5, 137.6, 138.1, 139.1, 140.0, 144.0; **IR** (film): 3052, 2921, 1652 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₂₅H₁₈BrIN₂Na [M+Na]⁺ 574.9591, 576.9570, found: 574.9593, 576.9568.

5-((difluoro-1*β*-methyl-1*β*-fluoranyl)-6-(iodo(phenyl)methylene)-5,6-dihydropyrazolo[5,1-*a*]isoquinoline(**2n**)



a white solid (208 mg, mp: 144-147 °C); **1H NMR** (400 MHz, CDCl₃) δ 5.63 (q, 0.80H, J = 6.4 Hz), 6.29 (q, 0.20H, J = 6.6 Hz), 6.60-6.61 (m, 1H), 6.73 (d, 0.20H, J = 8.1 Hz), 6.82 (t, 0.20H, J = 7.7 Hz), 7.17-7.58 (m, 8.6H), 7.67 (d, 0.21H, J = 1.7 Hz), 8.20 (d, 0.80H, J = 7.9 Hz); **13C NMR** (100 MHz, CDCl₃) δ 55.2 (q, J = 32.4 Hz), 69.9 (d, J = 31.7 Hz), 101.6, 101.7, 106.9, 112.7, 122.1, 122.6 (q, J = 286.4 Hz), 124.1, 124.2, 125.9, 126.7, 126.8, 127.6, 127.8, 128.3, 128.6, 128.9, 129.0, 129.1, 129.2, 129.9, 130.0, 130.6, 131.0, 132.1, 139.1, 139.2, 141.2, 141.4, 143.3, 143.8; **IR** (film): 3059, 2925, 1652 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₁₉H₁₂F₃IN₂Na [M+Na]⁺ 474.9890, found: 474.9888.

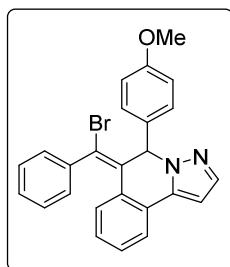
(Z)-6-(bromo(phenyl)methylene)-5-(4-bromophenyl)-5,6-dihydropyrazolo[5,1-*a*]isoquinoline(**3a**)



a white solid (197 mg, mp: 211-214 °C); **1H NMR**(400 MHz, CDCl₃) δ 6.22 (s, 1H), 6.60 (d, 1H, J = 1.5 Hz), 6.80 (d, 2H, J = 8.2 Hz), 7.27 (d, 2H, J = 8.4 Hz), 7.33-7.43 (m, 7H), 7.53-7.56 (m, 2H), 8.18

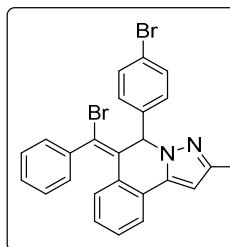
(d, 1H, J = 8.1 Hz); **^{13}C NMR** (100 MHz, CDCl_3) δ 62.8, 101.3, 122.0, 124.2, 126.9, 127.6, 128.1, 128.6, 129.0, 129.4, 129.5, 129.8, 130.7, 131.7, 133.0, 137.5, 137.8, 139.8, 140.0; **IR** (film): 3056, 2922, 1652 cm^{-1} ; **HRMS** (ESI) m/z Calculated for $\text{C}_{24}\text{H}_{16}\text{Br}_2\text{N}_2\text{Na}$ $[\text{M}+\text{Na}]^+$ 514.9552, 512.9573, 516.9532, found: 514.9553, 512.9575, 516.9530.

(Z)-6-(bromo(phenyl)methylene)-5-(4-methoxyphenyl)-5,6-dihdropyrazolo[5,1-*a*]isoquinoline(**3c**)



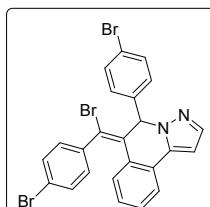
a white solid (115 mg, mp: 228-230 $^\circ\text{C}$); **^1H NMR** (400 MHz, CDCl_3) δ 3.67 (s, 3H), 6.21 (s, 1H), 6.58 (s, 1H), 6.67 (d, 2H, J = 8.7 Hz), 6.86 (d, 2H, J = 8.7 Hz), 7.34-7.39 (m, 7H), 7.50 (s, 1H), 7.55 (d, 1H, J = 7.0 Hz), 8.20 (d, 1H, J = 7.7 Hz); **^{13}C NMR** (100 MHz, CDCl_3) δ 55.1, 63.0, 101.1, 113.9, 123.5, 124.1, 127.1, 127.4, 127.7, 128.8, 128.9, 129.2, 129.3, 130.2, 130.7, 130.8, 133.7, 137.6, 139.7, 140.0, 159.1; **IR** (film): 3056, 2920, 1652 cm^{-1} ; **HRMS** (ESI) m/z Calculated for $\text{C}_{25}\text{H}_{19}\text{BrN}_2\text{NaO}$ $[\text{M}+\text{Na}]^+$ 465.0573, 467.0553, found: 465.0575, 467.0555.

(Z)-6-(bromo(phenyl)methylene)-5-(4-bromophenyl)-2-methyl-5,6-dihdropyrazolo[5,1-*a*]isoquinoline(**3k**)



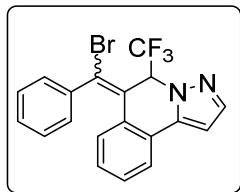
a white solid (137 mg, mp: 192-195 $^\circ\text{C}$); **^1H NMR** (400 MHz, CDCl_3) δ 2.28 (s, 3H), 6.13 (s, 1H), 6.37 (s, 1H), 6.80 (s, 1H), 6.82 (s, 1H), 7.27-7.38 (m, 8H), 7.49-7.51 (m, 2H), 8.16 (dd, 1H, J_1 = 7.4 Hz, J_2 = 1.2 Hz); **^{13}C NMR** (100 MHz, CDCl_3) δ 13.8, 62.6, 100.9, 121.9, 123.9, 124.0, 127.1, 127.4, 128.2, 128.6, 129.0, 129.3, 129.4, 129.8, 130.7, 131.7, 133.2, 137.8, 138.6, 139.9, 149.3; **IR** (film): 3052, 2924, 1625 cm^{-1} ; **HRMS** (ESI) m/z Calculated for $\text{C}_{25}\text{H}_{18}\text{Br}_2\text{N}_2\text{Na}$ $[\text{M}+\text{Na}]^+$ 528.9709, 526.9729, 530.9689, found: 528.9708, 526.9730, 530.9688.

(Z)-6-(bromo(4-bromophenyl)methylene)-5-(4-bromophenyl)-5,6-dihdropyrazolo[5,1-*a*]isoquinoline(**3m**)



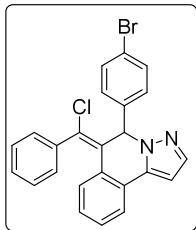
a white solid (100 mg, mp: 219-221 °C); **¹H NMR**(400 MHz, CDCl₃) δ 6.09 (s, 1H), 6.52 (d, 1H, J = 2.0 Hz), 6.74-6.76 (m, 2H), 7.18-7.22 (m, 4H), 7.26-7.34 (m, 2H), 7.46-7.49 (m, 4H), 8.08-8.10 (m, 1H); **¹³C NMR** (100 MHz, CDCl₃) δ 62.8, 101.5, 122.2, 122.7, 123.8, 124.3, 126.9, 127.7, 128.1, 129.6, 130.2, 130.6, 131.8, 132.3, 133.7, 137.2, 137.7, 138.7, 140.1; **IR** (film): 3058, 2933, 1648 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₂₄H₁₅Br₃N₂Na [M+Na]⁺ 592.8658, 594.8637, found: 592.8660, 594.8639.

(Z)-6-(bromo(phenyl)methylene)-5-(trifluoromethyl)-5,6-dihydropyrazolo[5,1-*a*]isoquinoline(3n)



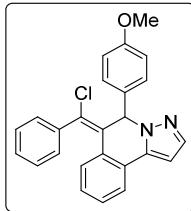
a white solid (162 mg, mp: 103-106 °C); **¹H NMR** (400 MHz, CDCl₃) δ 5.58 (q, 0.38H, J = 6.4 Hz), 6.43 (q, 0.62H, J = 6.7 Hz), 6.62 (d, 0.38H, J = 2.0 Hz), 6.63 (d, 0.62H, J = 1.9 Hz), 6.74-6.76 (m, 0.38H), 6.85-6.89 (m, 0.62H), 7.21-7.23 (m, 1H), 7.29-7.63 (m, 7H), 7.68 (d, 0.62H, J = 1.9 Hz), 8.21 (dd, 0.38H, J₁ = 7.3 Hz, J₂ = 1.4 Hz); **¹³C NMR** (100 MHz, CDCl₃) δ 61.9 (q, J = 32.0 Hz), 64.3 (q, J = 32.0 Hz), 101.6, 101.7, 120.0 (d, J = 286.2 Hz), 123.4 (d, J = 286.2 Hz), 124.2, 126.0, 126.6, 126.7, 127.7, 127.9, 128.4, 128.7, 128.8, 129.0, 129.2, 129.5, 129.7, 130.0, 130.1, 130.4, 132.0, 139.0, 139.1, 139.2, 139.6, 141.2, 141.4; **IR** (film): 3060, 2925, 1625 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₁₉H₁₂BrF₃N₂Na [M+Na]⁺ 427.0029, 429.0008, found: 427.0030, 429.0010.

(Z)-5-(4-bromophenyl)-6-(chloro(phenyl)methylene)-5,6-dihydropyrazolo[5,1-*a*]isoquinoline(4a)



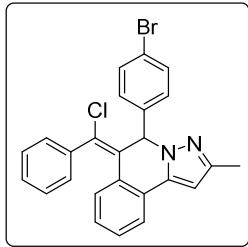
a white solid (143 mg, mp: 192-195 °C); **¹H NMR** (400 MHz, CDCl₃) δ 6.23 (s, 1H), 6.59 (d, 1H, J = 1.7 Hz), 6.85 (s, 1H), 6.87 (s, 1H), 7.27 (s, 1H), 7.29 (s, 1H), 7.36-7.38 (m, 2H), 7.42 (s, 5H), 7.52 (d, 1H, J = 1.7 Hz), 7.56-7.58 (m, 1H), 8.15-8.18 (m, 1H); **¹³C NMR** (100 MHz, CDCl₃) δ 62.8, 101.3, 122.0, 124.2, 126.9, 127.7, 128.3, 128.6, 128.7, 129.0, 129.2, 129.6, 130.0, 130.7, 131.7, 133.0, 137.7, 137.8, 137.9, 140.0; **IR** (film): 3056, 2955, 1652 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₂₄H₁₆BrClN₂Na [M+Na]⁺ 469.0078, 471.0058, found: 469.0080, 471.0061.

(Z)-6-(chloro(phenyl)methylene)-5-(4-methoxyphenyl)-5,6-dihydropyrazolo[5,1-*a*]isoquinoline(4c)



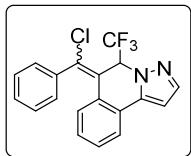
a white solid (80 mg, mp: 185-187 °C); **¹H NMR** (500 MHz, CDCl₃) δ 3.78 (s, 3H), 6.82-6.85 (m, 3H), 7.21 (s, 1H), 7.23 (s, 1H), 7.26-7.34 (m, 6H), 7.44 (t, 1H, J = 7.5 Hz), 7.64 (s, 1H), 7.83 (s, 1H), 7.94 (d, 1H, J = 8.2 Hz), 8.03 (d, 1H, J = 7.7 Hz); **¹³C NMR** (125 MHz, CDCl₃) δ 55.3, 81.4, 97.4, 113.7, 124.0, 125.3, 127.1, 127.2, 127.4, 127.5, 127.6, 127.8, 128.2, 128.3, 128.8, 128.9, 137.7, 138.2, 141.7, 145.7, 158.9; **IR** (film): 3056, 2955, 1606 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₂₅H₁₉ClN₂NaO [M+Na]⁺ 421.1079, found: 421.1081.

(Z)-5-(4-bromophenyl)-6-(chlorophenyl)methylene-2-methyl-5,6-dihydropyrazolo[5,1-a]isoquinoline(**4k**)



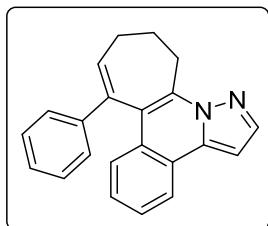
a yellow liquid, 106 mg; **¹H NMR** (400 MHz, CDCl₃) δ 2.37 (s, 3H), 6.44 (s, 1H), 6.63 (d, 1H, J = 7.6 Hz), 6.76-6.80 (m, 1H), 6.92-6.94 (m, 3H), 7.15-7.18 (m, 2H), 7.25-7.32 (m, 6H), 7.49 (d, 1H, J = 7.6 Hz); **¹³C NMR** (100 MHz, CDCl₃) δ 13.9, 62.8, 100.7, 121.9, 124.0, 126.8, 127.3, 127.7, 128.3, 128.6, 128.7, 129.3, 130.3, 131.1, 131.5, 137.8, 133.5, 137.8, 138.0, 138.9, 149.8; **IR** (film): 3059, 2925, 1652 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₂₅H₁₈BrClN₂Na [M+Na]⁺ 483.0235, 485.0214, found: 483.0236, 485.0211.

(Z)-6-(chlorophenyl)methylene-5-(trifluoromethyl)-5,6-dihydropyrazolo[5,1-a]isoquinoline(**4n**)



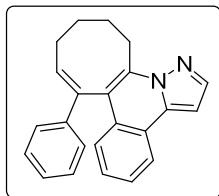
a white solid (128 mg, mp: 78-81 °C); **¹H NMR** (400 MHz, CDCl₃) δ 5.63 (q, 0.62H, J = 6.5 Hz), 6.46 (q, 0.38H, J = 6.7 Hz), 6.64 (d, 0.62H, J = 2.0 Hz), 6.66 (d, 0.38H, J = 2.0 Hz), 6.91 (td, 0.38H, J₁ = 7.5 Hz, J₂ = 1.2 Hz), 7.26 (td, 0.62H, J₁ = 7.5 Hz, J₂ = 1.1 Hz), 7.34-7.38 (m, 2H), 7.43-7.50 (m, 4H), 7.51-7.52 (m, 0.38H), 7.56-7.59 (m, 1H), 7.65-7.67 (m, 0.62H), 7.71 (d, 0.38H, J = 1.9 Hz), 8.19-8.21 (m, 0.62H); **¹³C NMR** (100 MHz, DMSO) δ 60.9 (q, J = 32.0 Hz), 60.9 (d, J = 32.0 Hz), 102.9, 103.1, 123.3 (q, J = 287.4 Hz), 123.5, 123.6, 123.8 (d, J = 287.4 Hz), 126.1, 126.5, 127.1, 127.5, 127.6, 128.3, 128.6, 128.8, 129.4, 129.9, 130.0, 130.1, 130.2, 130.5, 130.7, 137.1, 137.4, 137.5, 138.9, 142.0, 142.0; **IR** (film): 3060, 2926, 1652 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₁₉H₁₂ClF₃N₂Na [M+Na]⁺ 383.0534, found: 383.0533.

8-phenyl-11,12-dihydro-10H-cyclohepta[c]pyrazolo[5,1-*a*]isoquinoline(5a**)**



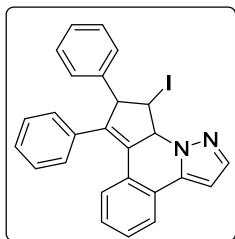
a yellow liquid, 106 mg; **¹H NMR** (400 MHz, CDCl₃) δ 1.77-1.84 (m, 2H), 2.21-2.25 (m, 2H), 2.63-2.68 (m, 2H), 5.08 (t, 1H, J = 1.9 Hz), 6.35 (d, 1H, J = 1.7 Hz), 7.18-7.21 (m, 5H), 7.30-7.34 (m, 3H), 7.51-7.54 (m, 1H), 7.59 (d, 1H, J = 1.6 Hz); **¹³C NMR** (100 MHz, CDCl₃) δ 22.1, 31.0, 32.8, 87.6, 93.2, 108.7, 117.8, 122.9, 123.8, 128.1, 128.3, 128.4, 128.6, 130.1, 131.6, 132.1, 134.3, 139.1, 140.7, 141.4; **IR** (film): 3059, 2923, 1652 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₂₂H₁₉N₂ [M+H]⁺ 311.1543 found: 311.1541.

(Z)-8-phenyl-10,11,12,13-tetrahydrocycloocta[c]pyrazolo[5,1-*a*]isoquinoline(5b**)**



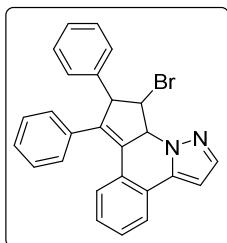
a yellow liquid, 146 mg; **¹H NMR** (400 MHz, CDCl₃) δ 1.42-1.48 (m, 2H), 1.55-1.61 (m, 2H), 1.81-1.90 (m, 2H), 2.32-2.36 (m, 2H), 5.36-5.38 (m, 1H), 6.41 (d, 1H, J = 1.8 Hz), 7.17-7.28 (m, 8H), 7.50-7.53 (m, 1H), 7.57 (d, 1H, J = 1.9 Hz); **¹³C NMR** (100 MHz, CDCl₃) δ 21.6, 22.6, 24.4, 27.8, 88.2, 93.1, 108.2, 123.0, 123.2, 123.2, 128.0, 128.2, 128.3, 128.4, 130.0, 131.5, 132.4, 134.1, 136.9, 138.7, 140.8; **IR** (film): 3062, 2920, 1656 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₂₃H₂₁N₂ [M+H]⁺ 325.1700 found: 325.1698.

10-iodo-8,9-diphenyl-10,10a-dihydro-9H-cyclopenta[c]pyrazolo[5,1-*a*]isoquinoline(6**)**



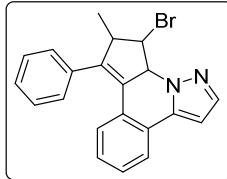
a white solid (134 mg, mp: 153-156 °C); **¹H NMR** (500 MHz, CDCl₃) δ 4.60 (dd, 1H, J₁ = 9.3 Hz, J₂ = 7.8 Hz), 4.92 (dd, 1H, J₁ = 9.3 Hz, J₂ = 2.5 Hz), 5.79 (dd, 1H, J₁ = 7.8 Hz, J₂ = 2.8 Hz), 6.64 (d, 1H, J = 2.0 Hz), 7.01 (td, 1H, J₁ = 7.8 Hz, J₂ = 1.2 Hz), 7.05 (d, 1H, J = 6.7 Hz), 7.08-7.18 (m, 10H), 7.30 (td, 1H, J₁ = 7.4 Hz, J₂ = 1.2 Hz), 7.63 (dd, 1H, J₁ = 7.8 Hz, J₂ = 0.4 Hz), 7.69 (d, 1H, J = 2.0 Hz); **¹³C NMR** (125 MHz, CDCl₃) δ 31.2, 66.4, 72.4, 101.0, 124.5, 126.9, 127.3, 127.4, 127.5, 127.9, 128.4, 128.5, 128.9, 129.0, 132.4, 134.8, 138.9, 139.0, 140.6, 144.2; **IR** (film): 3056, 2955, 1606 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₂₆H₁₉IN₂Na [M+Na]⁺ 509.0486, found: 509.0488.

10-bromo-8,9-diphenyl-10,10a-dihydro-9H-cyclopenta[c]pyrazolo[5,1-*a*]isoquinoline(7a)



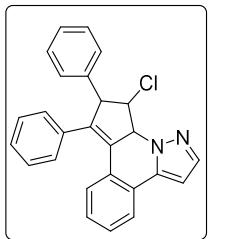
a white solid (99 mg, mp: 194-196 °C); **¹H NMR** (400 MHz, CDCl₃) δ 4.77 (m, 1H), 4.85 (dd, 1H, J₁ = 8.8 Hz, J₂ = 2.5 Hz), 5.71 (dd, 1H, J₁ = 6.8 Hz, J₂ = 2.4 Hz), 6.69 (d, 1H, J = 1.3 Hz), 7.04 (t, 1H, J = 7.4 Hz), 7.11-7.21 (m, 11H), 7.33 (t, 1H, J = 7.4 Hz), 7.67 (d, 1H, J = 7.8 Hz), 7.73 (d, 1H, J = 1.3 Hz); **¹³C NMR** (100 MHz, CDCl₃) δ 56.8, 64.3, 71.0, 101.1, 124.5, 126.9, 127.3, 127.4, 127.5, 128.0, 128.4, 128.5, 128.9, 129.1, 131.1, 134.7, 138.7, 139.0, 140.7, 143.3; **IR** (film): 3057, 2924, 1660 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₂₆H₁₉BrN₂Na [M+Na]⁺ 461.0624, 463.0604, found: 461.0625, 463.0605.

10-bromo-9-methyl-8-phenyl-10,10a-dihydro-9H-cyclopenta[c]pyrazolo[5,1-*a*]isoquinoline(7b)



a white solid (109 mg, mp: 176-178 °C); **¹H NMR** (400 MHz, CDCl₃) δ 0.99 (d, 3H, J = 7.0 Hz), 3.54-3.62 (m, 1H), 4.32 (dd, 1H, J₁ = 8.5 Hz, J₂ = 7.8 Hz), 5.48 (dd, 1H, J₁ = 7.6 Hz, J₂ = 2.4 Hz), 6.51 (d, 1H, J = 1.9 Hz), 6.83-6.90 (m, 2H), 7.06-7.08 (m, 2H), 7.13-7.17 (m, 1H), 7.25-7.31 (m, 3H), 7.49 (d, 1H, J = 7.9 Hz), 7.60 (d, 1H, J = 1.9 Hz); **¹³C NMR** (100 MHz, CDCl₃) δ 16.7, 52.7, 55.7, 70.8, 100.9, 124.4, 126.8, 127.1, 127.3, 127.5, 128.1, 128.2, 128.7, 129.0, 135.1, 138.9, 140.6, 145.3; **IR** (film): 3058, 2931, 1608 cm⁻¹; **HRMS** (ESI) m/z Calculated for C₂₁H₁₇BrN₂Na [M+Na]⁺ 399.0468, 401.0447, found: 399.0467, 401.0449.

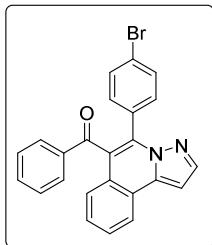
10-chloro-8,9-diphenyl-10,10a-dihydro-9H-cyclopenta[c]pyrazolo[5,1-*a*]isoquinoline(8)



a white solid (71 mg, mp: 192-194 °C); **¹H NMR** (400 MHz, CDCl₃) δ 4.68 (dd, 1H, J₁ = 8.6 Hz, J₂ = 2.2 Hz), 4.79-4.83 (m, 1H), 5.53 (dd, 1H, J₁ = 7.1 Hz, J₂ = 2.2 Hz), 6.65 (d, 1H, J = 1.5 Hz), 6.98-7.02 (m, 1H), 7.07-7.14 (m, 11H), 7.27-7.31 (m, 1H), 7.62 (d, 1H, J = 7.6 Hz), 7.68 (d, 1H, J = 1.1 Hz); **¹³C NMR** (100 MHz, CDCl₃) δ 63.5, 68.3, 70.5, 101.1, 124.6, 126.9, 127.3, 127.4, 127.5, 127.6, 128.0, 128.4, 128.5, 129.0, 129.1, 130.2, 134.7, 138.6, 139.0, 140.7, 142.5; **IR** (film): 3057, 2924, 1652

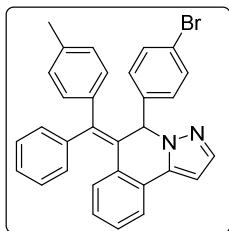
cm^{-1} ; **HRMS** (ESI) m/z Calculated for $\text{C}_{26}\text{H}_{19}\text{ClN}_2\text{Na} [\text{M}+\text{Na}]^+$ 417.1129, found: 417.1126.

(5-(4-bromophenyl)pyrazolo[5,1-*a*]isoquinolin-6-yl)(phenyl)methanone(**9**)



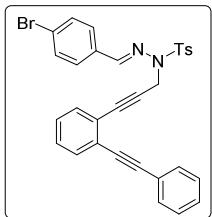
a white solid (167 mg, mp: 166-168 °C); **¹H NMR** (400 MHz, CDCl_3) δ 7.15 (d, 1H, $J = 2.2$ Hz), 7.30-7.37 (m, 4H), 7.42-7.54 (m, 5H), 7.60-7.64 (m, 1H), 7.72-7.74 (m, 2H), 8.01 (d, 1H, $J = 2.1$ Hz), 8.21 (d, 1H, $J = 7.8$ Hz); **¹³C NMR** (100 MHz, CDCl_3) δ 98.5, 122.9, 124.0, 124.2, 125.7, 127.1, 128.3, 128.5, 128.6, 129.6, 130.3, 131.6, 132.2, 133.9, 134.9, 137.7, 139.0, 142.0, 195.8; **IR** (film): 3060, 2920, 1706, 1652 cm^{-1} ; **HRMS** (ESI) m/z Calculated for $\text{C}_{24}\text{H}_{15}\text{BrN}_2\text{NaO} [\text{M}+\text{Na}]^+$ 449.0260, 451.0240, found: 449.0262, 451.0241.

(Z)-5-(4-bromophenyl)-6-(phenyl(p-tolyl)methylene)-5,6-dihydropyrazolo[5,1-*a*]isoquinoline(**10**)



a white solid (204 mg, mp: 190-193 °C); **¹H NMR** (400 MHz, CDCl_3) δ 2.22 (s, 3H), 6.12 (s, 1H), 6.48 (d, 1H, $J = 1.9$ Hz), 6.84-6.86 (m, 3H), 6.90-6.94 (m, 3H), 7.04-7.06 (m, 3H), 7.10 (td, 2H, $J_1 = 7.7$ Hz, $J_2 = 1.2$ Hz), 7.18-7.24 (m, 5H), 7.39 (d, 1H, $J = 7.7$ Hz), 7.43 (d, 1H, $J = 1.9$ Hz); **¹³C NMR** (100 MHz, CDCl_3) δ 21.2, 63.4, 101.0, 121.8, 124.0, 126.9, 127.4, 127.9, 128.2, 128.4, 128.9, 129.2, 129.4, 130.9, 131.5, 131.6, 137.4, 137.5, 138.5, 139.1, 139.5, 141.3, 145.8; **IR** (film): 3055, 2921, 1608 cm^{-1} ; **HRMS** (ESI) m/z Calculated for $\text{C}_{31}\text{H}_{23}\text{BrN}_2\text{Na} [\text{M}+\text{Na}]^+$ 525.0937, 527.0917, found: 525.0940, 527.0919.

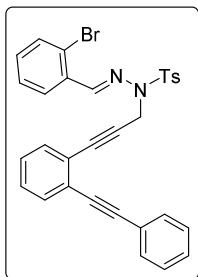
(E)-N'-(4-bromobenzylidene)-4-methyl-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)benzenesulfonohydrazide(**1a**)



¹H NMR (400 MHz, CDCl_3) δ 2.25 (s, 3H), 4.96 (s, 2H), 7.09-7.11 (m, 4H), 7.16-7.20 (m, 3H), 7.25-7.32 (m, 4H), 7.36-7.42 (m, 6H), 7.47 (d, 1H, $J = 7.9$ Hz), 7.82 (s, 1H), 7.86-7.88 (m, 2H); **¹³C NMR** (100 MHz, CDCl_3) δ 21.5, 36.7, 84.2, 85.2, 87.7, 93.4, 122.8, 124.1, 125.8, 127.8, 128.4,

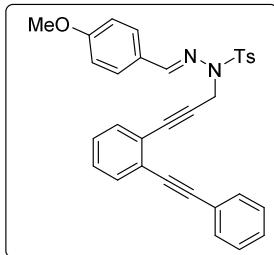
128.5, 128.6, 128.7, 129.4, 131.7, 131.8, 132.0, 132.2, 133.0, 134.5, 143.2, 144.3.

(*E*)-N'-(2-bromobenzylidene)-4-methyl-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)benzenesulfonohydrazide(**1b**)



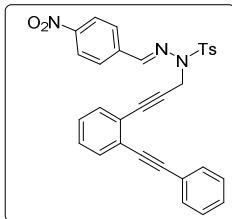
¹H NMR (400 MHz, CDCl₃) δ 2.23 (s, 3H), 5.04 (s, 2H), 7.14-7.17 (m, 5H), 7.24-7.30 (m, 5H), 7.45-7.49 (m, 4H), 7.88-7.94 (m, 3H), 8.27 (s, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 21.5, 36.6, 83.6, 85.3, 87.8, 93.6, 122.9, 124.2, 124.5, 125.9, 127.5, 127.6, 127.7, 128.3, 128.4, 128.5, 129.5, 131.0, 131.8, 131.9, 132.4, 132.9, 133.1, 134.6, 142.6, 144.3.

(*E*)-N'-(4-methoxybenzylidene)-4-methyl-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)benzenesulfonohydrazide(**1c**)



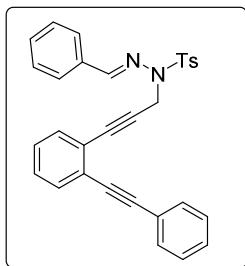
¹H NMR (400 MHz, CDCl₃) δ 2.25 (s, 3H), 3.79 (s, 3H), 4.88 (s, 2H), 6.81 (d, 2H, J = 9.3 Hz), 7.14-7.18 (m, 3H), 7.23-7.27 (m, 1H), 7.29-7.32 (m, 3H), 7.46-7.53 (m, 5H), 7.87 (d, 2H, J = 8.0 Hz), 7.94 (s, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 21.4, 37.5, 55.3, 84.9, 87.8, 93.5, 114.1, 122.9, 124.4, 125.8, 126.8, 127.7, 128.3, 128.4, 128.5, 128.6, 129.1, 129.3, 131.8, 131.9, 132.2, 134.3, 144.0, 147.0, 161.3.

(*E*)-4-methyl-N'-(4-nitrobenzylidene)-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)benzenesulfonohydrazide(**1d**)



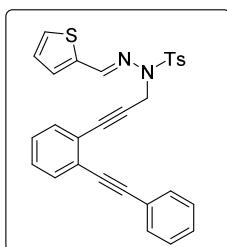
¹H NMR (400 MHz, CDCl₃) δ 2.29 (s, 3H), 5.07 (s, 2H), 7.17-7.23 (m, 3H), 7.28-7.32 (m, 4H), 7.37-7.38 (m, 2H), 7.51 (d, 1H, J = 7.3 Hz), 7.62 (d, 2H, J = 8.4 Hz), 7.85-7.95 (m, 4H), 8.07 (d, 2H, J = 9.0 Hz); ¹³C NMR (100 MHz, CDCl₃) δ 21.5, 36.4, 83.6, 85.5, 87.7, 93.4, 122.7, 123.8, 123.9, 125.9, 127.6, 127.9, 128.4, 128.7, 128.7, 129.6, 131.6, 132.1, 132.2, 134.5, 140.0, 140.0, 144.6, 148.1.

(*E*)-N'-benzylidene-4-methyl-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)benzenesulfonohydrazide(**1e**)



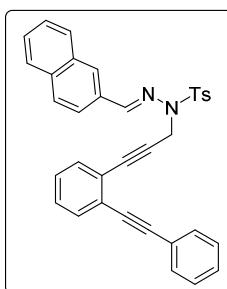
¹H NMR (400 MHz, CDCl₃) δ 2.23 (s, 3H), 4.96 (s, 2H), 7.07 (d, 1H, J = 7.8 Hz), 7.14-7.16 (m, 3H), 7.23-7.26 (m, 2H), 7.29-7.36 (m, 5H), 7.45-7.47 (m, 3H), 7.55-7.57 (m, 2H), 7.88-7.91 (m, 3H); **¹³C NMR** (100 MHz, CDCl₃) δ 21.5, 36.8, 84.4, 85.0, 87.8, 93.5, 122.9, 124.3, 125.8, 127.5, 127.8, 128.4, 128.5, 128.6, 129.4, 130.0, 131.8, 131.9, 132.3, 134.1, 134.4, 144.2, 145.0.

(*E*)-4-methyl-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)-N'-(thiophen-2-ylmethylene)benzenesulfonohydrazide(**1f**)



¹H NMR (500 MHz, CDCl₃) δ 2.26 (s, 3H), 4.86 (s, 2H), 6.9 (dd, 1H, J₁ = 5.0 Hz, J₂ = 3.6 Hz), 7.04 (dd, 1H, J₁ = 3.5 Hz, J₂ = 0.8 Hz), 7.08-7.10 (m, 1H), 7.16-7.19 (m, 3H), 7.24-7.28 (m, 1H), 7.30-7.33 (m, 4H), 7.47-7.50 (m, 3H), 7.87 (d, 2H, J = 8.5 Hz), 8.13 (s, 1H); **¹³C NMR** (125 MHz, CDCl₃) δ 21.5, 37.7, 84.5, 85.1, 87.8, 93.5, 122.9, 124.3, 125.8, 127.4, 127.7, 128.4, 128.4, 128.5, 128.6, 128.7, 129.3, 130.1, 131.8, 131.8, 132.2, 134.0, 139.2, 141.5, 144.2.

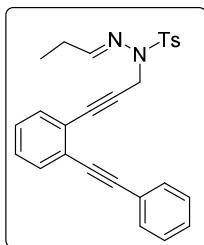
(*E*)-4-methyl-N'-(naphthalen-2-ylmethylene)-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)benzenesulfonohydrazide(**1g**)



¹H NMR (400 MHz, CDCl₃) δ 2.23 (s, 3H), 5.01 (s, 2H), 7.06-7.10 (m, 1H), 7.12-7.17 (m, 3H), 7.24-7.26 (m, 1H), 7.26-7.27 (m, 1H), 7.43-7.50 (m, 6H), 7.66-7.68 (m, 1H), 7.75-7.84 (m, 4H), 7.90-7.94 (m, 3H), 8.07 (s, 1H); **¹³C NMR** (100 MHz, CDCl₃) δ 21.5, 36.8, 84.4, 85.1, 87.8, 93.5, 122.9, 123.0, 124.3, 125.8, 126.4, 127.0, 127.7, 127.8, 128.4, 128.4, 128.5, 128.6, 129.2, 129.4,

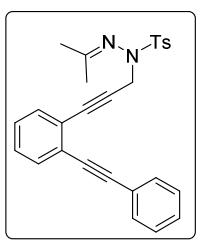
131.7, 131.8, 131.9, 132.2, 133.1, 134.2, 134.5, 144.2, 145.0.

(*E*)-4-methyl-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)-N'-propylidenebenzenesulfonohydrazide(**1h**)



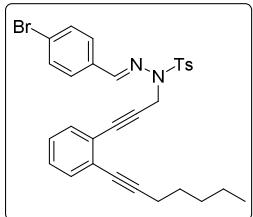
¹H NMR (400 MHz, CDCl₃) δ 0.89 (t, 3H, J = 7.6 Hz), 2.10-2.17 (m, 2H), 2.20 (s, 3H), 4.64 (s, 2H), 7.01 (d, 1H, J = 8.0 Hz), 7.10-7.14 (m, 3H), 7.17-7.20 (m, 1H), 7.26-7.27 (m, 3H), 7.32-7.35 (m, 1H), 7.39-7.44 (m, 3H), 7.73-7.76 (m, 2H); **¹³C NMR** (100 MHz, CDCl₃) δ 10.6, 21.5, 26.3, 37.4, 84.6, 84.8, 87.8, 93.4, 122.9, 124.5, 125.8, 127.8, 128.4, 128.5, 128.6, 128.7, 129.2, 131.8, 131.9, 132.1, 134.0, 144.0, 154.0.

4-methyl-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)-N'-(propan-2-ylidene)benzenesulfonohydrazide(**1i**)



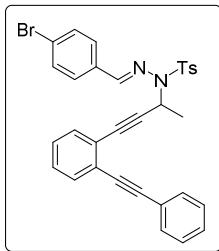
¹H NMR (400 MHz, CDCl₃) δ 1.95 (s, 3H), 2.15 (s, 3H), 2.32 (s, 3H), 4.06 (s, 2H), 7.12-7.29 (m, 8H), 7.40-7.42 (m, 2H), 7.47-7.49 (m, 2H), 7.64-7.66 (m, 2H); **¹³C NMR** (100 MHz, CDCl₃) δ 21.0, 21.6, 25.2, 43.0, 86.8, 87.9, 93.5, 123.0, 125.0, 126.0, 127.9, 128.2, 128.4, 128.6, 129.3, 131.5, 131.8, 131.9, 132.2, 144.4.

(*E*)-N'-(4-bromobenzylidene)-N-(3-(2-(hept-1-yn-1-yl)phenyl)prop-2-yn-1-yl)-4-methylbenzenesulfonohydrazide(**1j**)



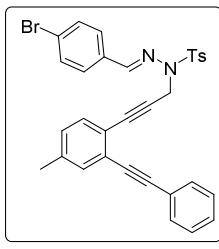
¹H NMR (400 MHz, CDCl₃) δ 0.94 (t, 3H, J = 7.1 Hz), 1.34-1.42 (m, 4H), 1.51-1.58 (m, 2H), 2.25 (t, 2H, J = 7.2 Hz), 2.31 (s, 3H), 4.95 (s, 2H), 6.99 (d, 1H, J = 7.2 Hz), 7.12 (td, 1H, J₁ = 7.6 Hz, J₂ = 1.1 Hz), 7.20-7.23 (m, 3H), 7.36 (d, 1H, J = 7.7 Hz), 7.51-7.58 (m, 4H), 7.87-7.91 (m, 3H); **¹³C NMR** (100 MHz, CDCl₃) δ 14.0, 19.4, 21.4, 22.2, 28.4, 31.1, 36.7, 78.9, 83.3, 85.6, 94.9, 124.0, 124.2, 126.7, 127.0, 128.3, 128.5, 128.7, 129.3, 131.9, 131.9, 132.0, 133.2, 134.5, 143.0, 144.2.

(E)-N'-(4-bromobenzylidene)-4-methyl-N-(4-(2-(phenylethynyl)phenyl)but-3-yn-2-yl)benzenesulfonohydrazide(1k)



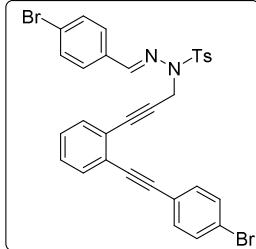
$^1\text{H NMR}$ (400 MHz, CDCl_3) δ 1.62 (d, 3H, $J = 7.1$ Hz), 2.22 (s, 3H), 5.64 (q, 1H, $J = 7.4$ Hz), 7.04 (d, 1H, $J = 7.9$ Hz), 7.15-7.29 (m, 7H), 7.37-7.39 (m, 2H), 7.43-7.48 (m, 5H), 7.79 (d, 2H, $J = 8.2$ Hz), 8.54 (s, 1H); **$^{13}\text{C NMR}$** (100 MHz, CDCl_3) δ 21.1, 21.5, 48.0, 84.7, 88.1, 90.0, 93.3, 123.0, 124.7, 125.0, 125.7, 127.8, 128.2, 128.4, 128.5, 128.6, 129.2, 129.5, 131.7, 131.9, 131.9, 132.0, 133.0, 134.6, 144.3, 153.0.

(E)-N'-(4-bromobenzylidene)-4-methyl-N-(3-(4-methyl-2-(phenylethynyl)phenyl)prop-2-yn-1-yl)benzenesulfonohydrazide(1l)



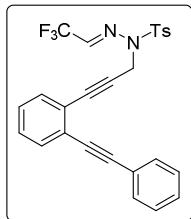
$^1\text{H NMR}$ (400 MHz, CDCl_3) δ 2.25 (s, 3H), 2.31 (s, 3H), 4.95 (s, 2H), 6.99 (d, 2H, $J = 1.0$ Hz), 7.16-7.18 (m, 2H), 7.25-7.31 (m, 4H), 7.36 (s, 4H), 7.39-7.41 (m, 2H), 7.81 (s, 1H), 7.86-7.88 (m, 2H); **$^{13}\text{C NMR}$** (100 MHz, CDCl_3) δ 21.2, 21.5, 36.7, 83.4, 85.3, 87.9, 93.0, 121.2, 122.9, 124.1, 125.6, 128.4, 128.4 128.5, 128.7, 128.8, 129.4, 131.7, 131.7, 132.1, 132.5, 133.1, 134.5, 138.8, 143.0, 144.2.

(E)-N'-(4-bromobenzylidene)-N-(3-(2-((4-bromophenyl)ethynyl)phenyl)prop-2-yn-1-yl)-4-methylbenzenesulfonohydrazide(1m)



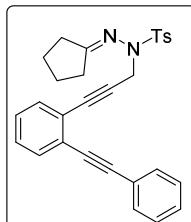
$^1\text{H NMR}$ (400 MHz, CDCl_3) δ 2.19 (s, 3H), 4.86 (s, 2H), 7.06-7.22 (m, 8H), 7.27-7.33 (m, 5H), 7.38 (d, 1H, $J = 7.8$ Hz), **$^{13}\text{C NMR}$** (100 MHz, CDCl_3) δ 21.5, 36.7, 84.5, 85.0, 88.7, 92.3, 121.6, 122.9, 124.2, 125.4, 128.1, 128.5, 128.6, 128.6, 129.5, 131.6, 131.8, 132.0, 132.2, 132.9, 133.0, 134.4, 143.0, 144.4.

(*E*)-4-methyl-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)-N'-(2,2,2-trifluoroethylidene)benzene sulfonohydrazide (**1n**)



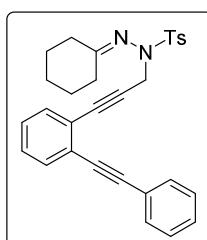
¹H NMR (400 MHz, CDCl₃) δ 2.30 (s, 3H), 4.97 (s, 2H), 7.09-7.12 (m, 2H), 7.22-7.26 (m, 3H), 7.33 (td, 1H, J₁ = 7.7 Hz, J₂ = 1.3 Hz), 7.38-7.40 (m, 3H), 7.52-7.55 (m, 3H), 7.86-7.88 (m, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 21.5, 36.5, 81.7, 86.1, 87.4, 93.7, 122.7, 124.8 (q, J = 239.9 Hz), 127.8, 128.4, 128.5, 128.7, 128.8, 129.1, 129.6, 131.7, 132.0, 132.2, 133.8, 145.1.

N'-cyclopentylidene-4-methyl-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)benzenesulfonohydrazide(**1o**)



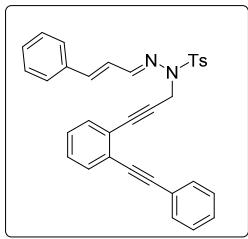
¹H NMR (400 MHz, CDCl₃) δ 1.57-1.65 (m, 4H), 2.32 (s, 3H), 2.37 (t, 2H, J = 7.1 Hz), 2.77 (t, 2H, J = 7.4 Hz), 4.07 (s, 2H), 7.14-7.25 (m, 5H), 7.27-7.28 (m, 2H), 7.41 (d, 1H, J = 7.4 Hz), 7.48-7.51 (m, 2H), 7.65-7.67 (m, 2H); (100 MHz, CDCl₃) δ 21.6, 24.5, 24.6, 32.6, 33.8, 43.0, 83.2, 86.9, 87.9, 93.5, 123.0, 125.0, 125.9, 127.8, 128.2, 128.4, 128.5, 129.2, 129.4, 131.5, 131.8, 131.9, 132.2, 144.3.

N'-cyclohexylidene-4-methyl-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)benzenesulfonohydrazide(**1p**)



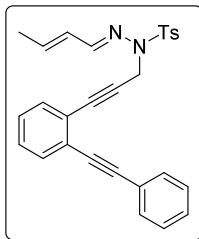
¹H NMR (400 MHz, CDCl₃) δ 1.44-1.45 (m, 2H), 1.50-1.53 (m, 2H), 1.58-1.64 (m, 2H), 2.25 (t, 2H, J = 6.2 Hz), 2.33 (s, 3H), 2.70 (t, 2H, J = 6.6 Hz), 4.08 (s, 2H), 7.13-7.25 (m, 6H), 7.27-7.29 (m, 2H), 7.41 (d, 1H, J = 7.5 Hz), 7.48-7.50 (m, 2H), 7.63-7.65 (m, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 21.6, 25.7, 26.7, 27.5, 31.2, 35.9, 43.0, 83.2, 87.0, 88.0, 93.6, 123.1, 125.1, 126.1, 127.9, 128.2, 128.4, 128.5, 129.2, 129.4, 131.6, 131.7, 131.9, 132.1, 144.3, 187.2.

4-methyl-N'-(*(1E,2E)*-3-phenylallylidene)-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)benzenesulfonohydrazide(**1q**)



¹H NMR (400 MHz, CDCl₃) δ 2.26 (s, 3H), 4.91 (s, 2H), 6.67 (d, 1H, J = 16.2 Hz), 6.85 (dd, 1H, J₁ = 16.2 Hz, J₂ = 9.0 Hz), 7.11 (d, 1H, J = 7.6 Hz), 7.18-7.20 (m, 3H), 7.27 (s, 1H), 7.31-7.32 (m, 3H), 7.47-7.49 (m, 3H), 7.77 (d, 1H, J = 9.0 Hz), 7.87 (d, 2H, J = 7.8 Hz); **¹³C NMR** (100 MHz, CDCl₃) δ 21.5, 37.0, 84.5, 85.1, 87.8, 93.5, 123.0, 124.3, 125.4, 125.9, 127.0, 127.8, 128.5, 128.5, 128.6, 128.7, 128.9, 129.4, 131.8, 131.9, 132.2, 134.4, 135.9, 139.6, 144.2, 147.8.

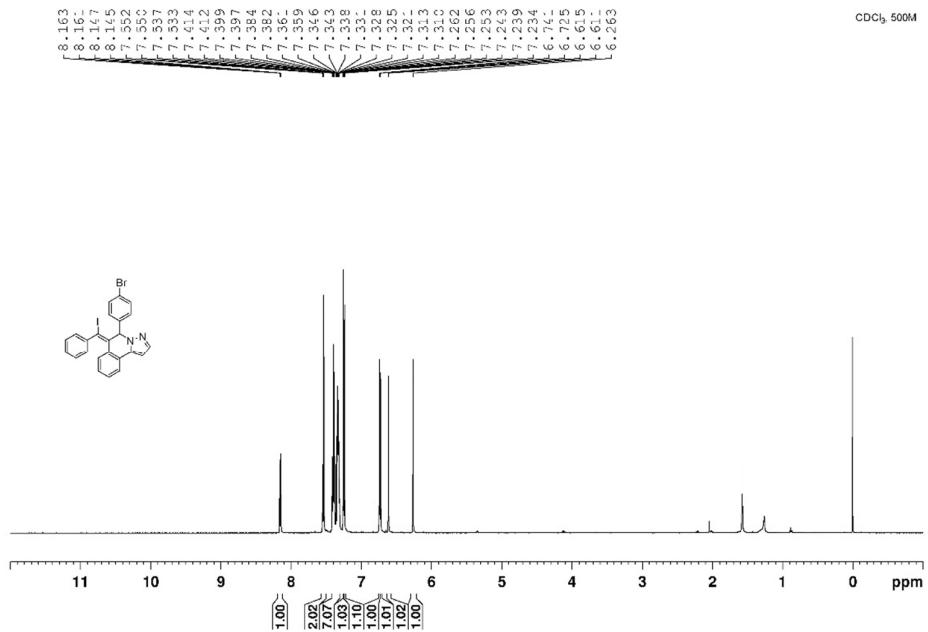
N'-(1*E*,2*E*)-but-2-en-1-ylidene)-4-methyl-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)benzenesulfonohydrazide(**1r**)

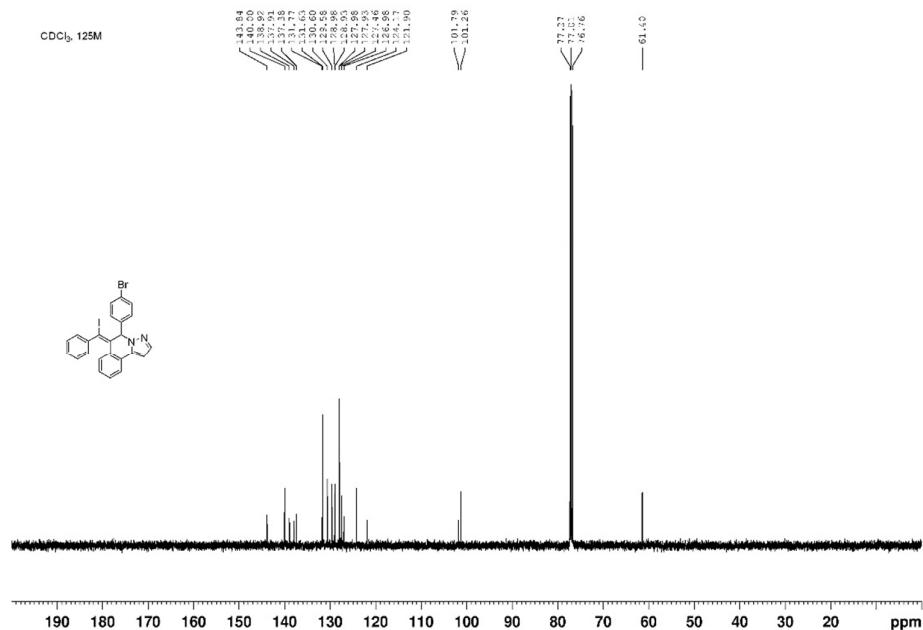


¹H NMR (400 MHz, CDCl₃) δ 1.60 (dd, 3H, J₁ = 6.8 Hz, J₂ = 1.4 Hz), 2.14 (s, 3H), 4.69 (s, 2H), 5.77-5.86 (m, 1H), 6.04-6.10 (m, 1H), 7.00-7.11 (m, 5H), 7.14-7.18 (m, 1H), 7.23-7.25 (m, 2H), 7.36-7.40 (m, 3H), 7.52 (d, 1H, J = 9.0 Hz), 7.72-7.74 (m, 2H); **¹³C NMR** (100 MHz, CDCl₃) δ 18.5, 21.5, 37.2, 84.8, 84.8, 87.8, 93.4, 123.0, 124.4, 125.7, 127.8, 128.4, 128.4, 128.5, 128.6, 128.7, 129.4, 131.8, 131.9, 132.2, 134.2, 139.2, 144.1, 149.6.

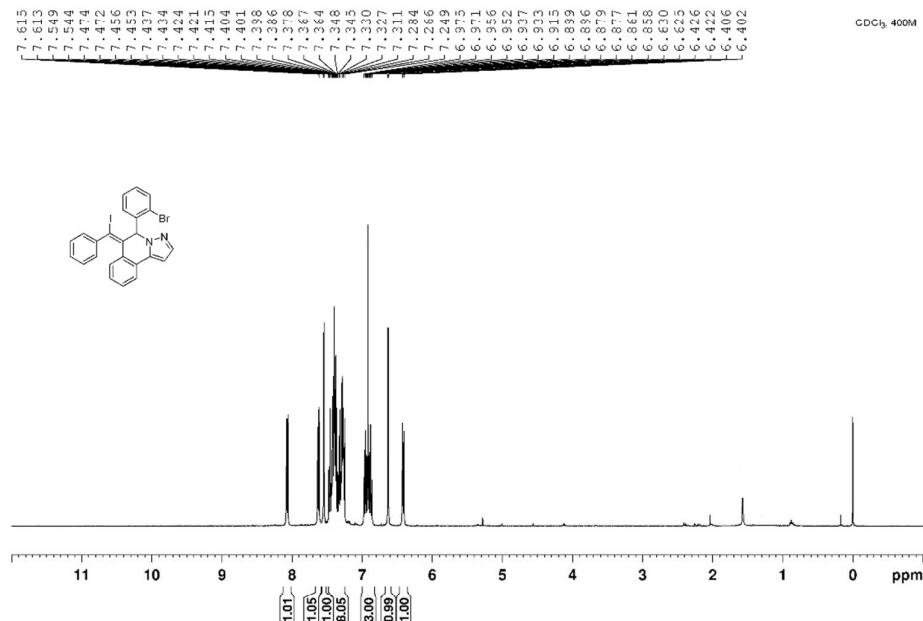
4. ^1H , ^{13}C -NMR Spectra of products 2a-l, 2n, 3a, 3c, 3k, 3m, 3n, 4a, 4c, 4k, 4m, 5a-b, 6, 7a-b, 8, 9, 10, 1a-r, ^1H - ^{13}C COSY Spectra of products 5b and 8.

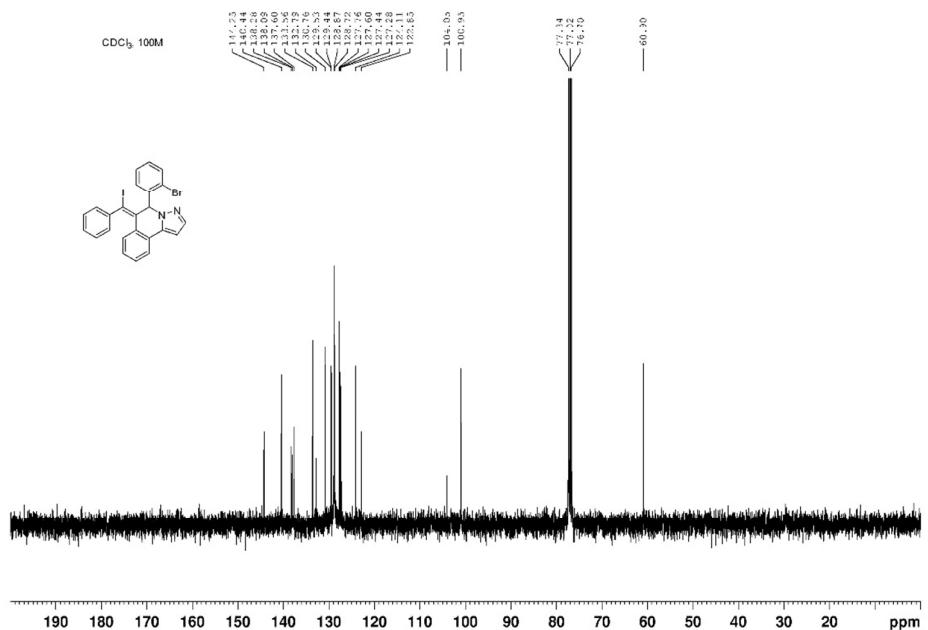
(Z)-5-(4-bromophenyl)-6-(iodo(phenyl)methylene)-5,6-dihdropyrazolo[5,1-*a*]isoquinoline (**2a**)



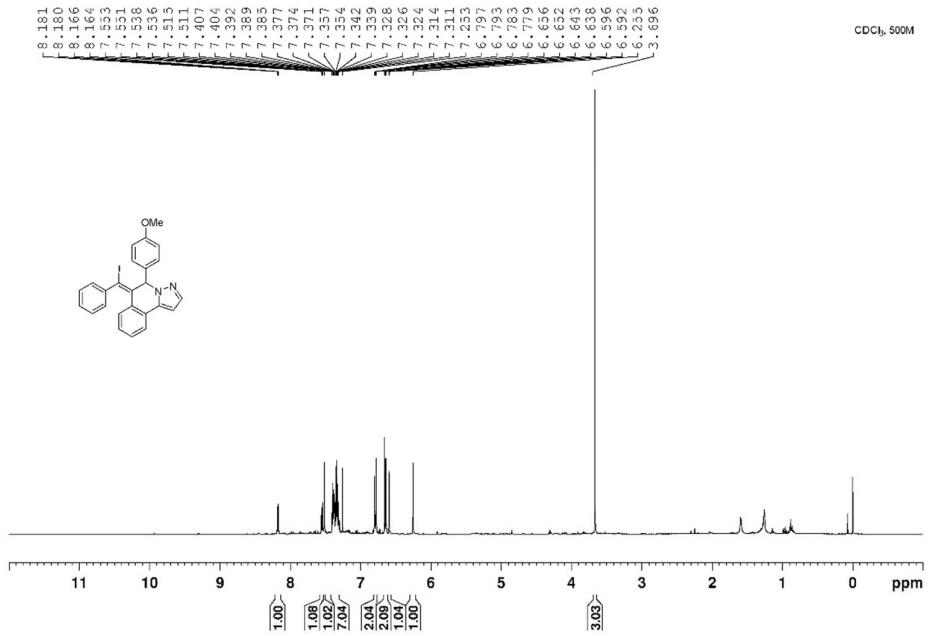


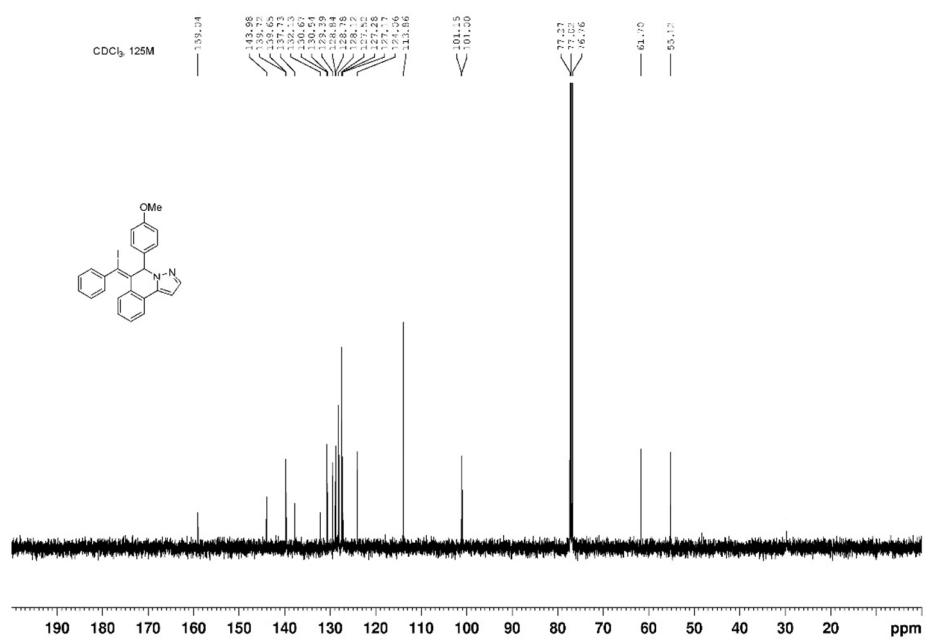
(Z)-5-(2-bromophenyl)-6-(iodo(phenyl)methylene)-5,6-dihdropyrazolo[5,1-*a*]isoquinoline (**2b**)



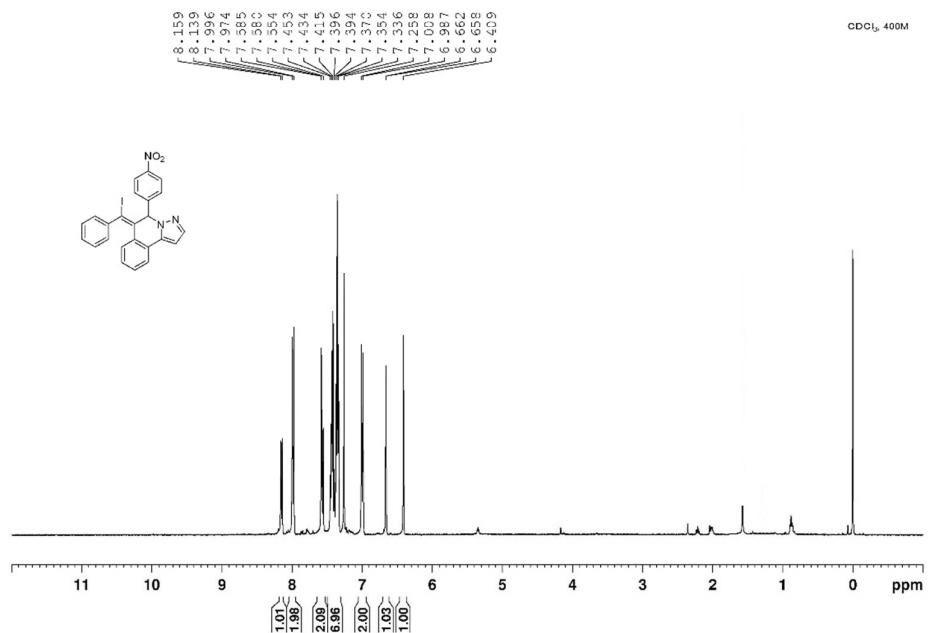


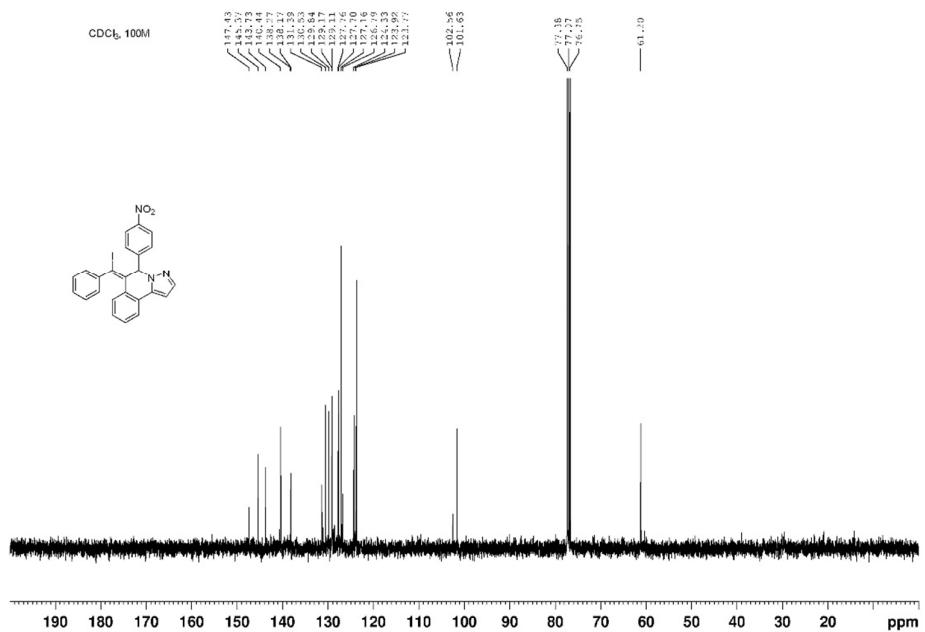
(Z)-6-(iodo(phenyl)methylene)-5-(4-methoxyphenyl)-5,6-dihydropyrazolo[5,1-*a*]isoquinoline(**2c**)



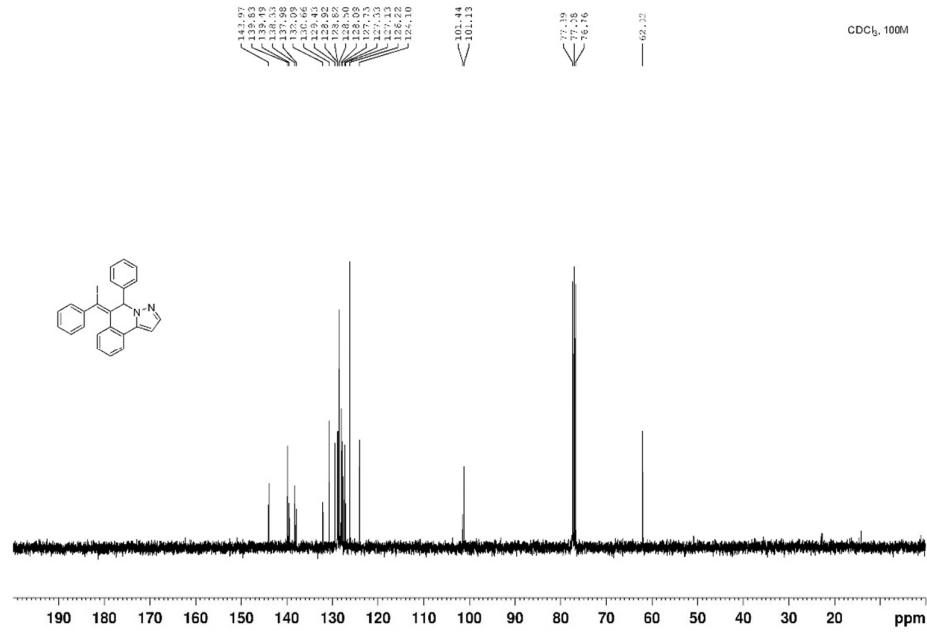
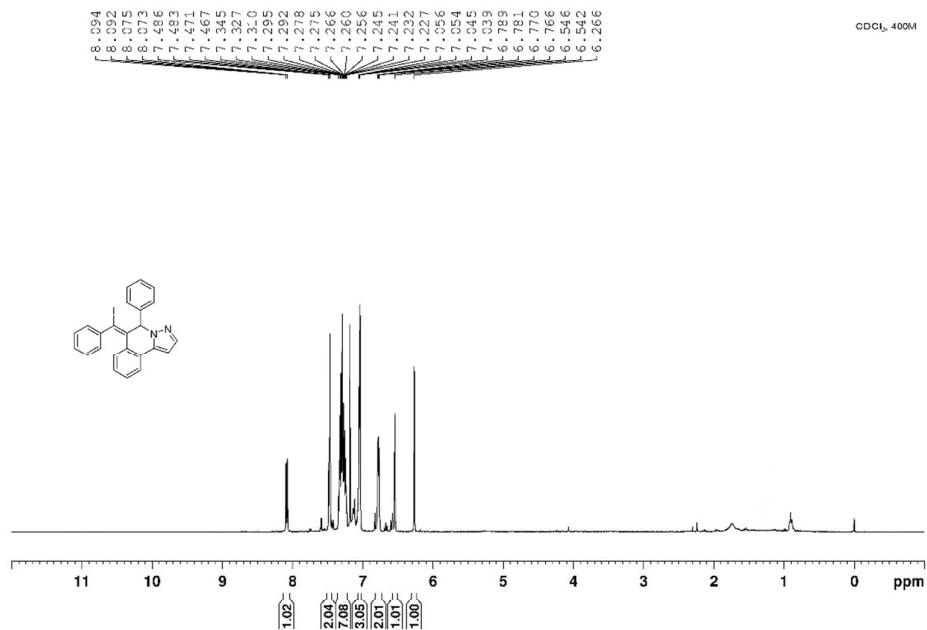


(Z)-6-(iodo(phenyl)methylene)-5-(4-nitrophenyl)-5,6-dihdropyrazolo[5,1-*a*]isoquinoline (**2d**)

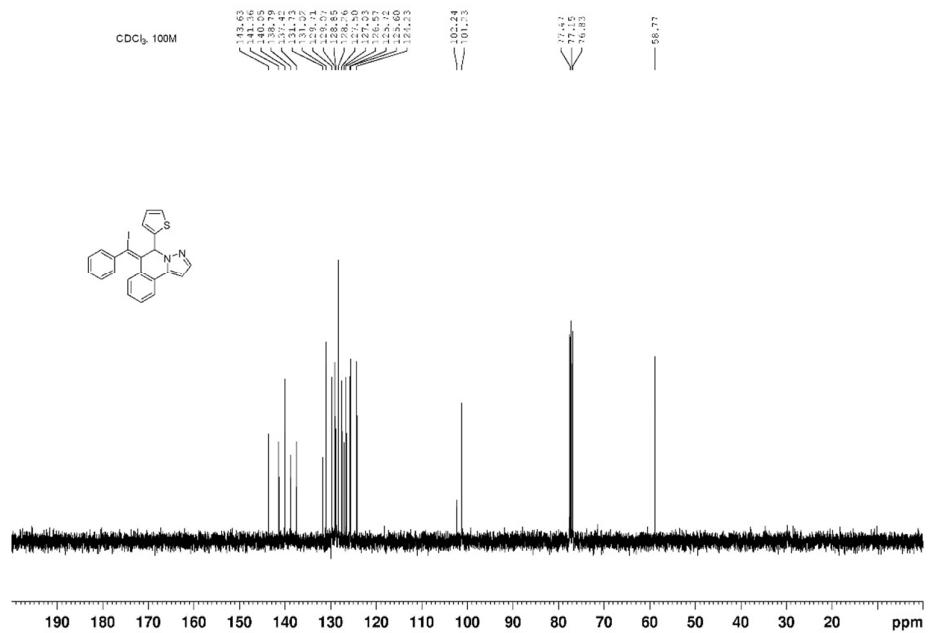
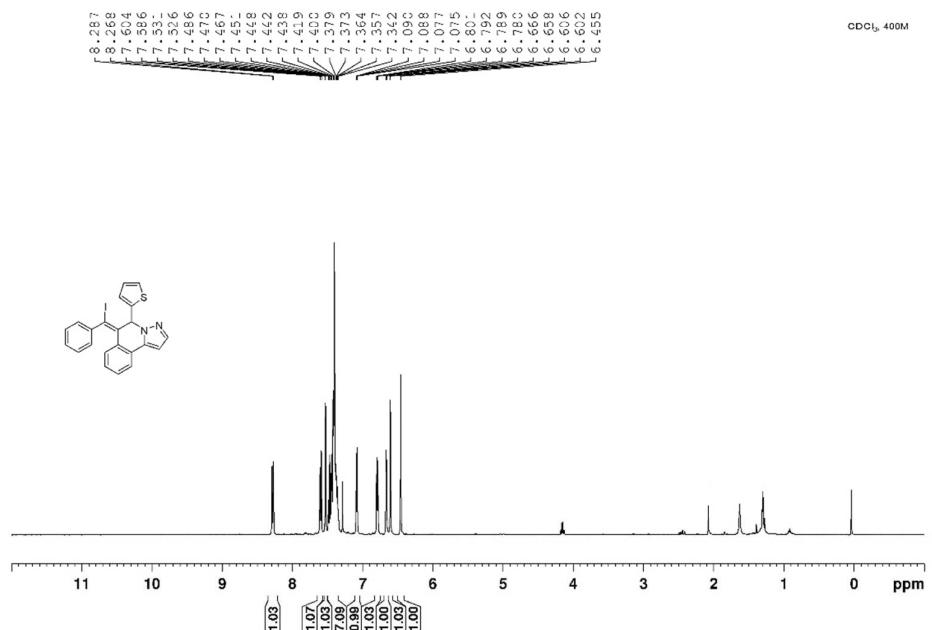




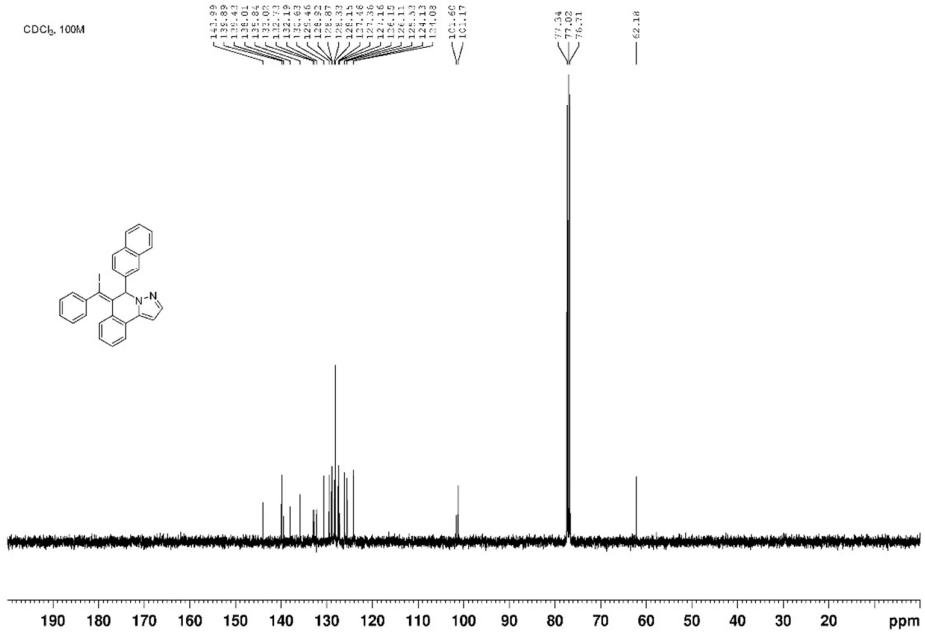
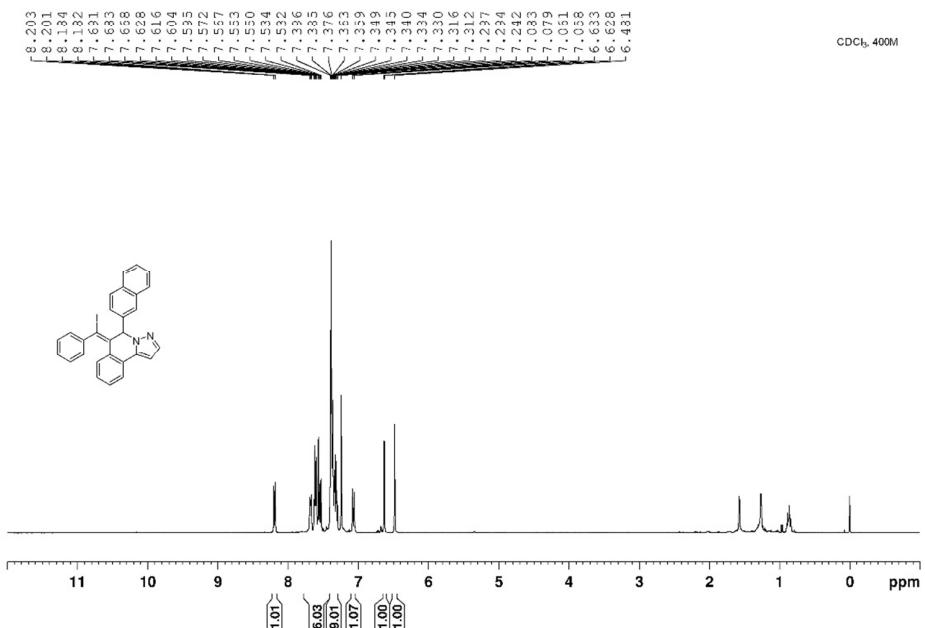
(Z)-6-(iodo(phenyl)methylene)-5-phenyl-5,6-dihydropyrazolo[5,1-*a*]isoquinoline(**2e**)



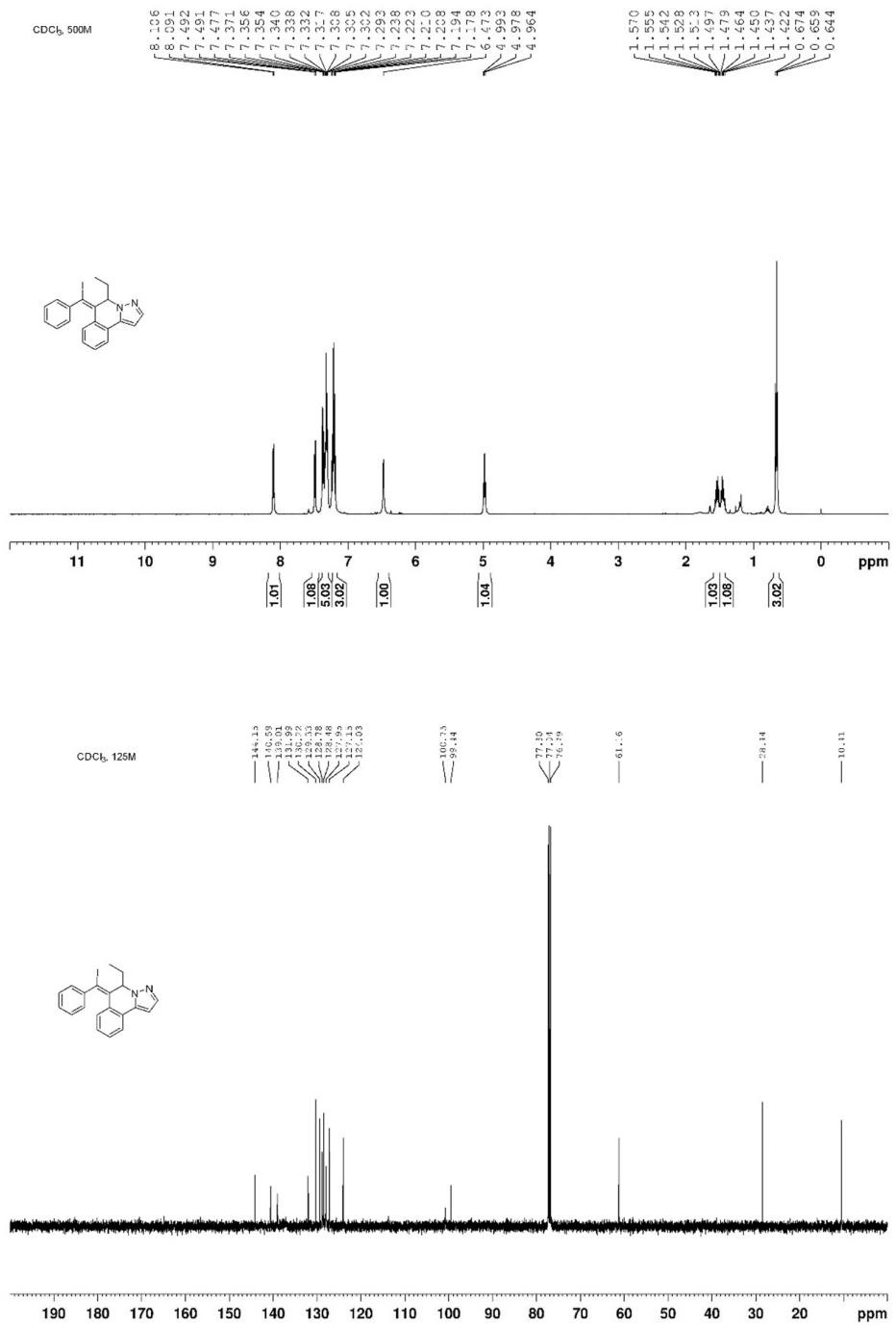
(Z)-6-(iodophenyl)methylene-5-(thiophen-2-yl)-5,6-dihydropyrazolo[5,1-*a*]isoquinoline(**2f**)



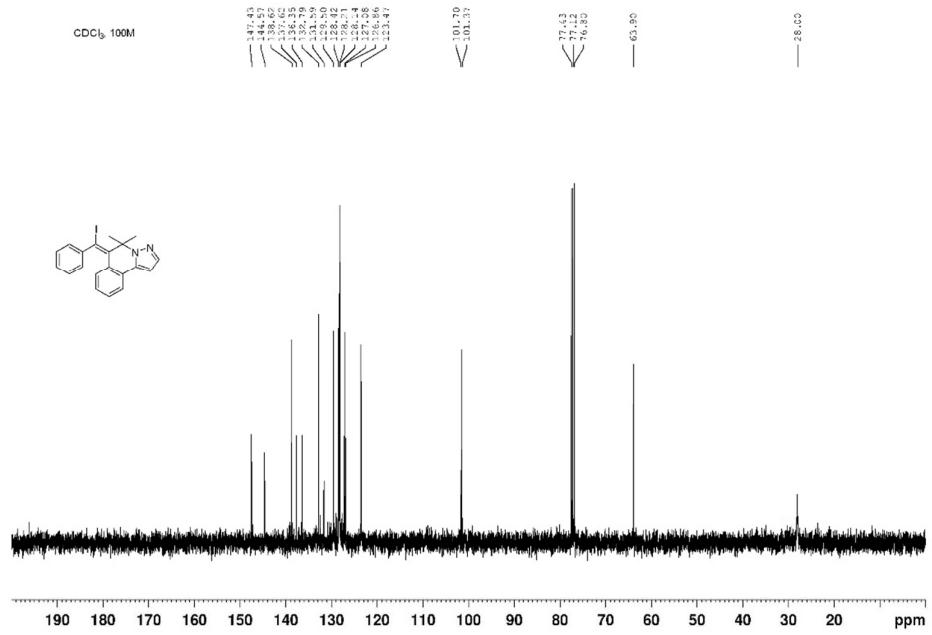
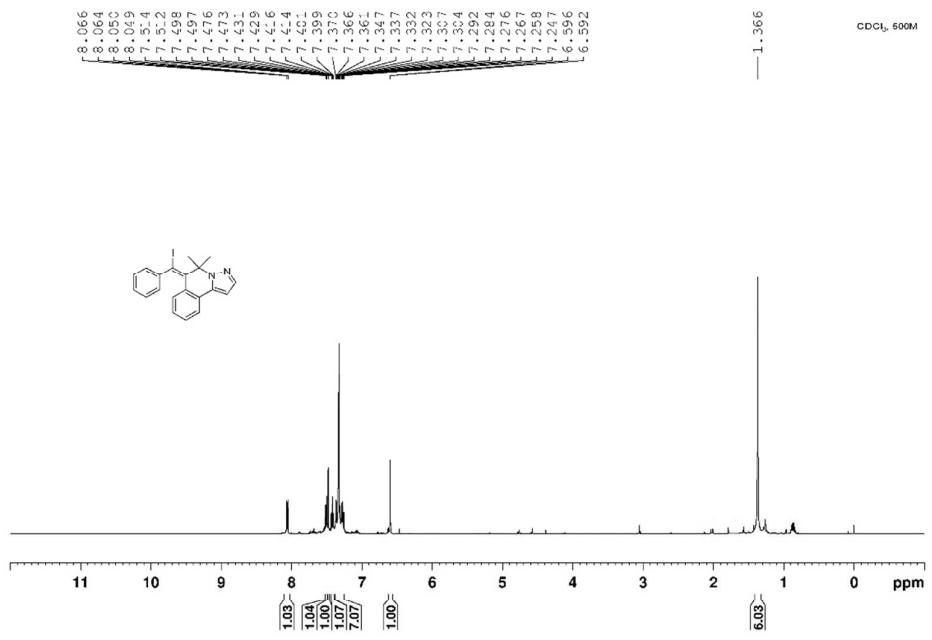
(Z)-6-(iodo(phenyl)methylene)-5-(naphthalen-2-yl)-5,6-dihdropyrazolo[5,1-*a*]isoquinoline (**2g**)



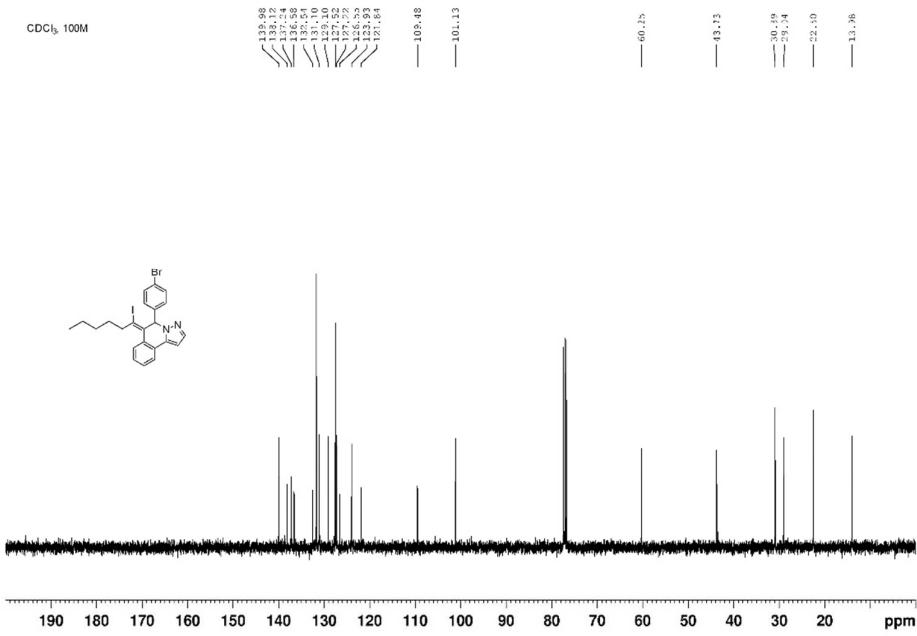
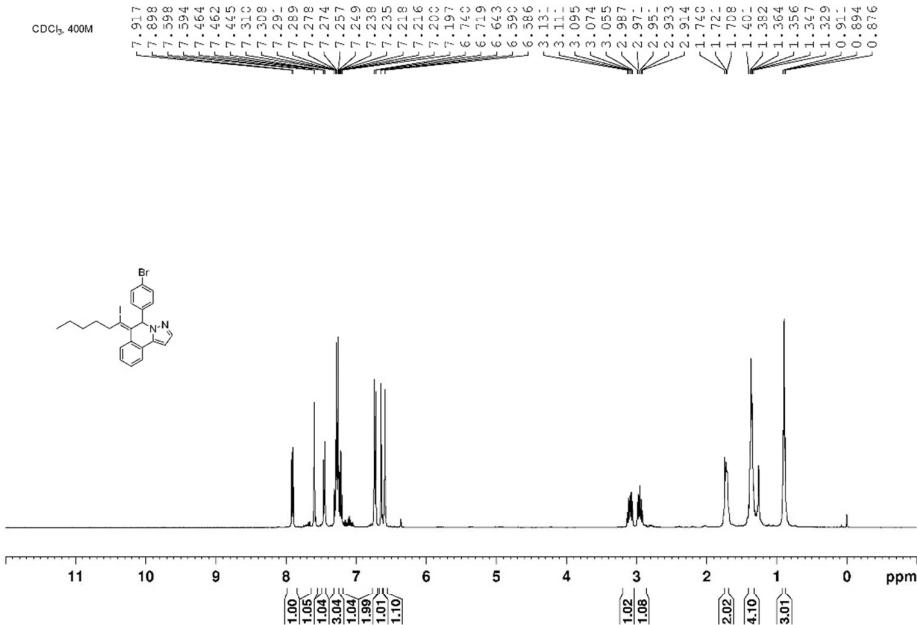
(Z)-5-ethyl-6-(iodo(phenyl)methylene)-5,6-dihydropyrazolo[5,1-*a*]isoquinoline (**2h**)



(Z)-6-(iodo(phenyl)methylene)-5,5-dimethyl-5,6-dihdropyrazolo[5,1-*a*]isoquinoline (**2i**)

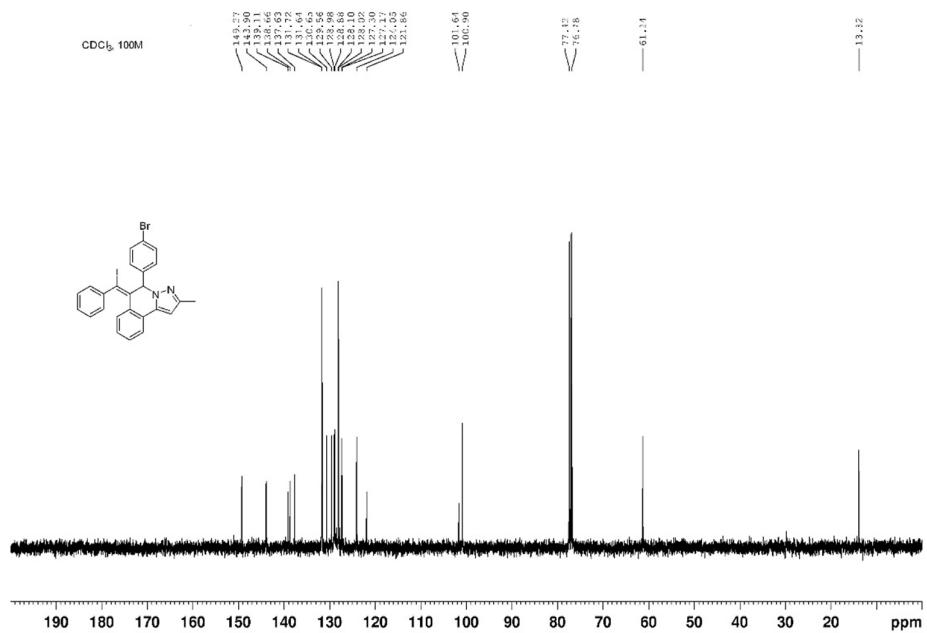
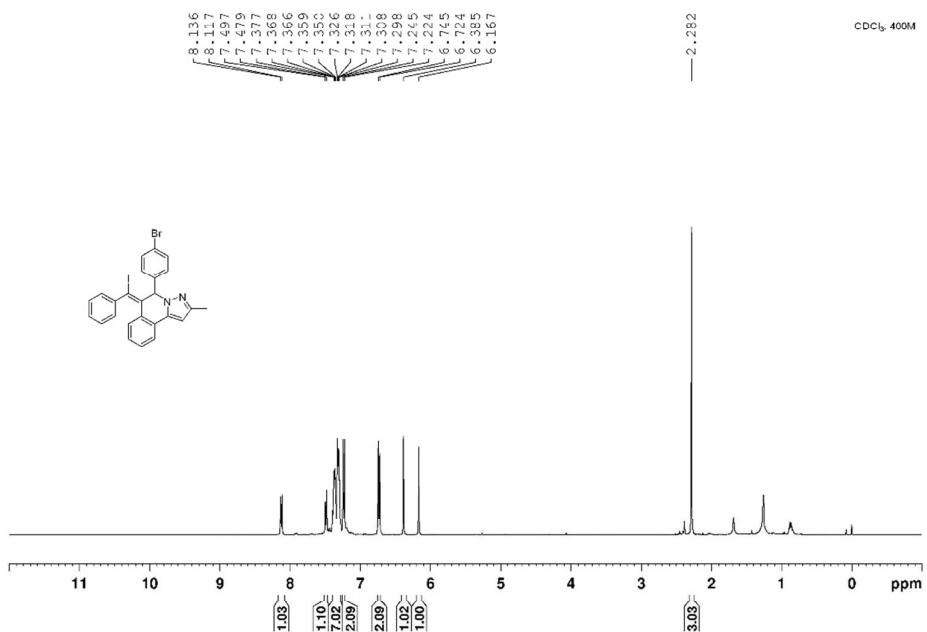


(Z)-5-(4-bromophenyl)-6-(1-iodohexylidene)-5,6-dihdropyrazolo[5,1-*a*]isoquinoline (**2j**)



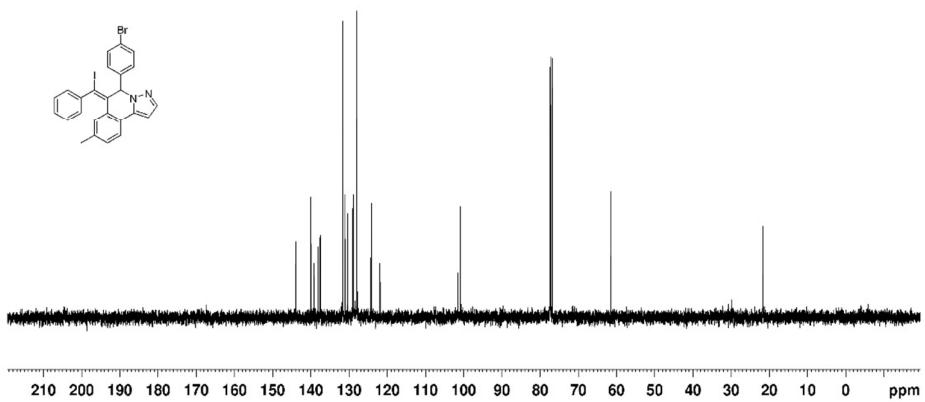
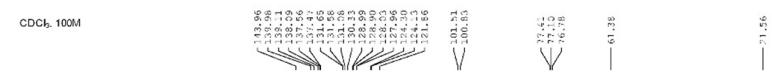
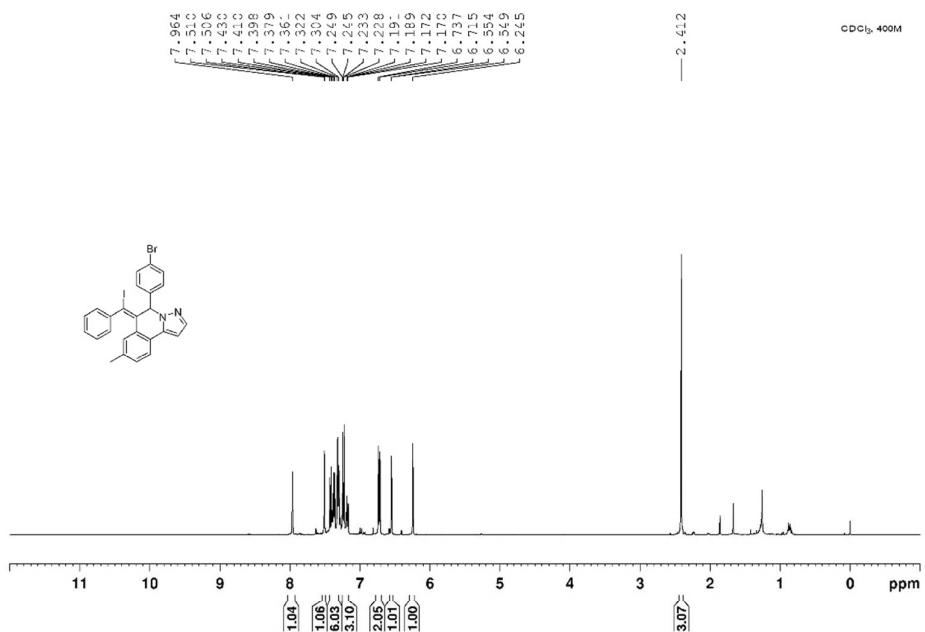
(Z)-5-(4-bromophenyl)-6-(iodo(phenyl)methylene)-2-methyl-5,6-dihdropyrazolo[5,1-*a*]isoquinoli

ne(2k)



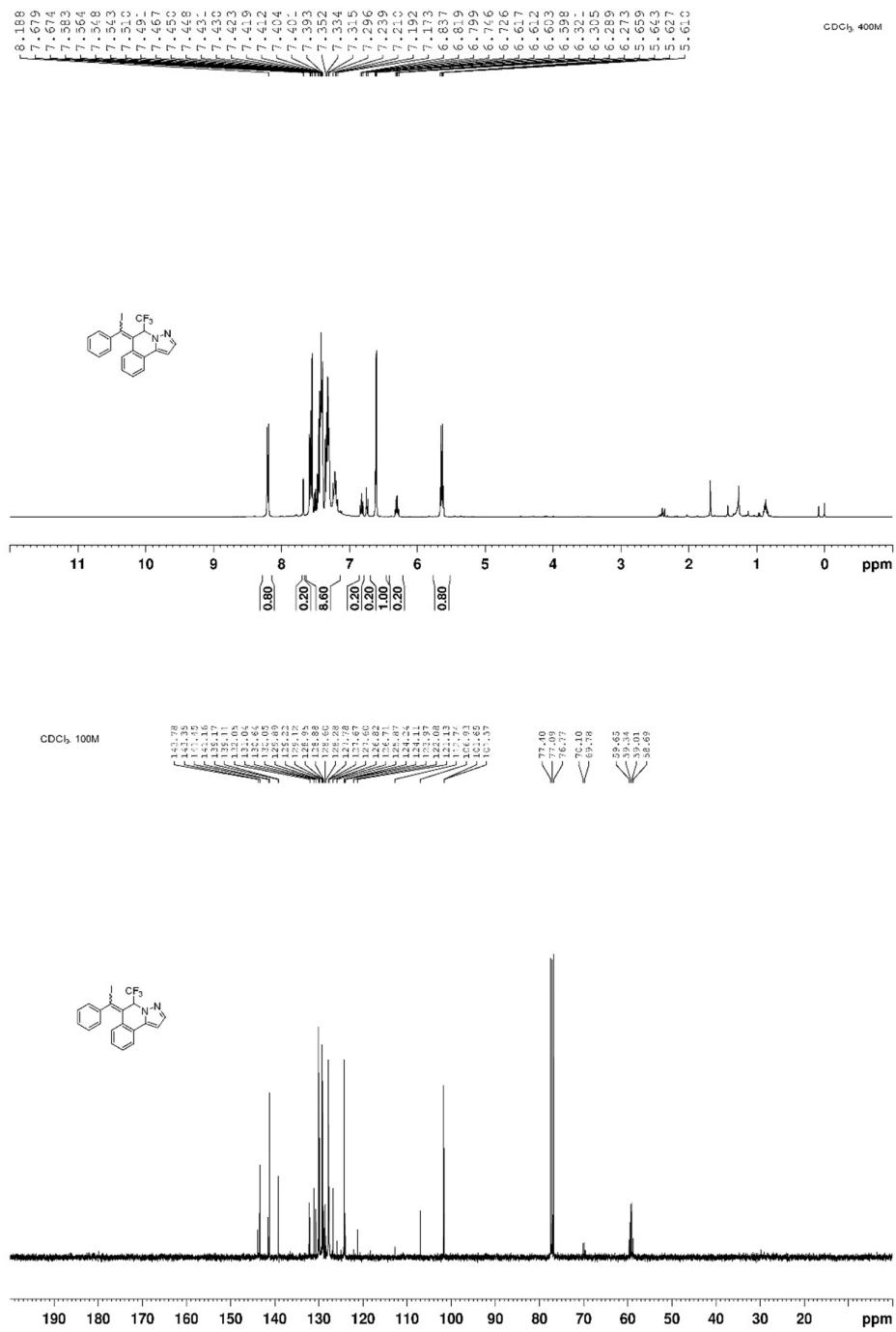
(Z)-5-(4-bromophenyl)-6-(iodo(phenyl)methylene)-8-methyl-5,6-dihydropyrazolo[5,1-*a*]isoquinoli-

ne(2l)

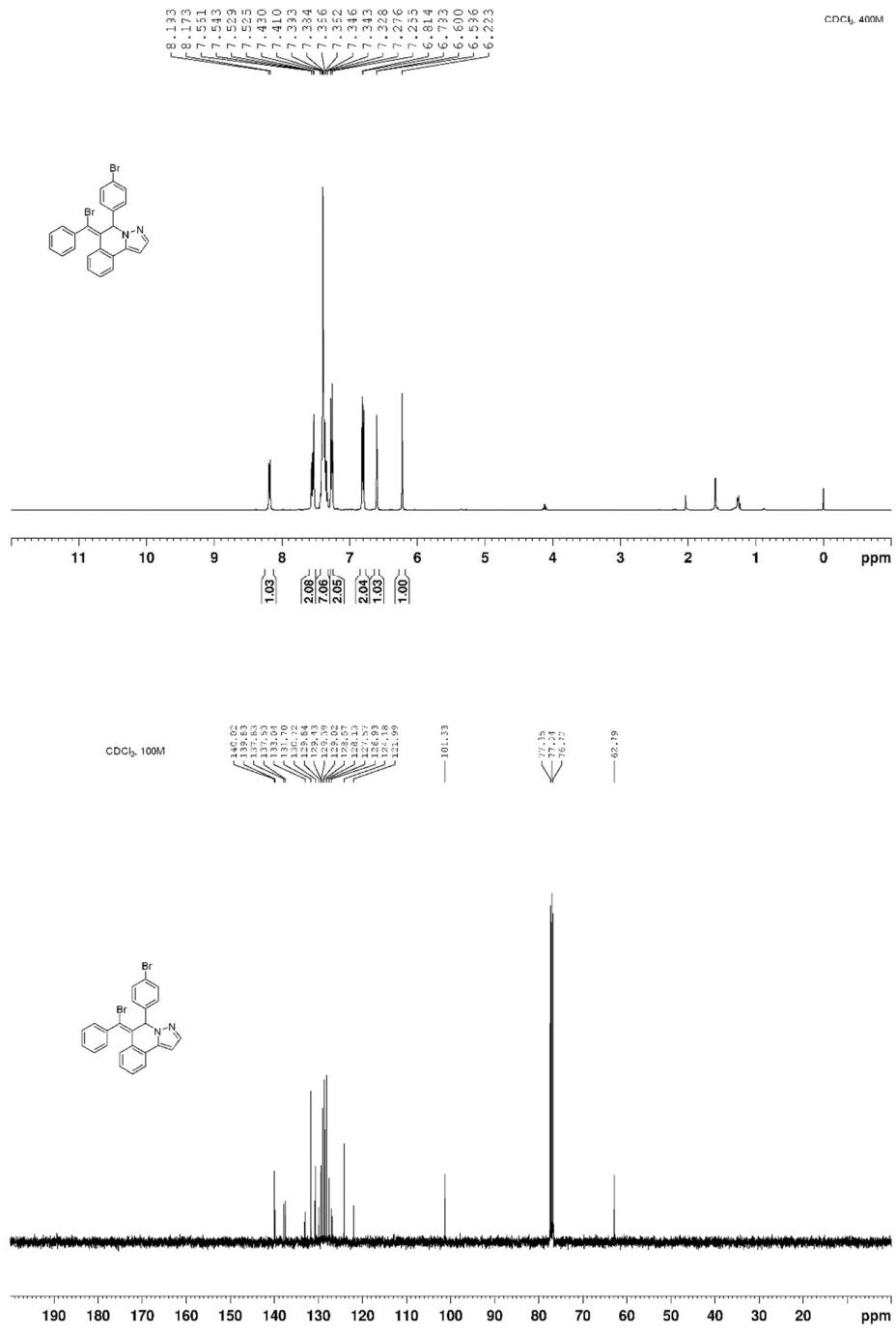


5-((difluoro-*l*3-methyl)-*l*2-fluoranyl)-6-(iodo(phenyl)methylene)-5,6-dihdropyrazolo[5,1-*a*]isoqui-

noline(**2n**)

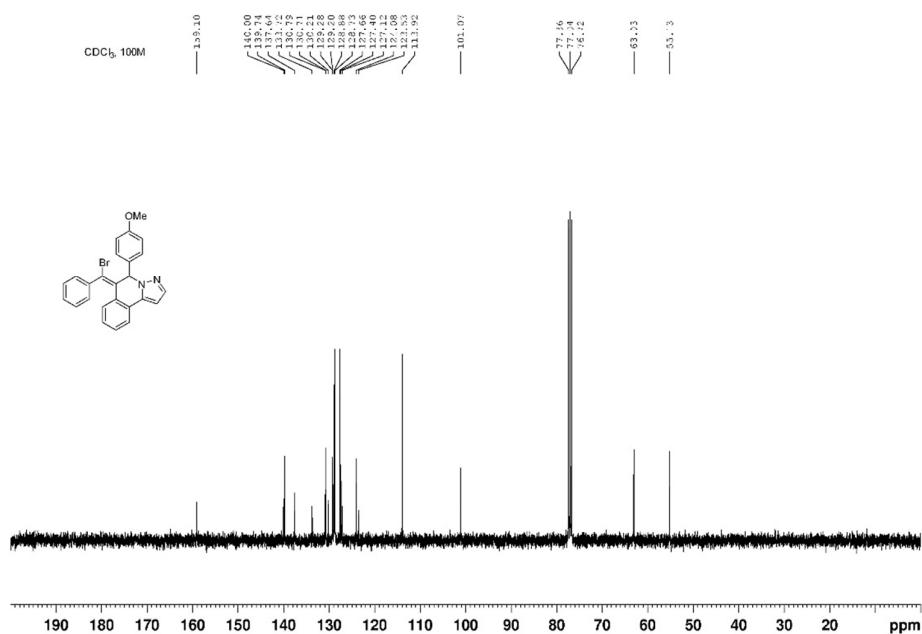
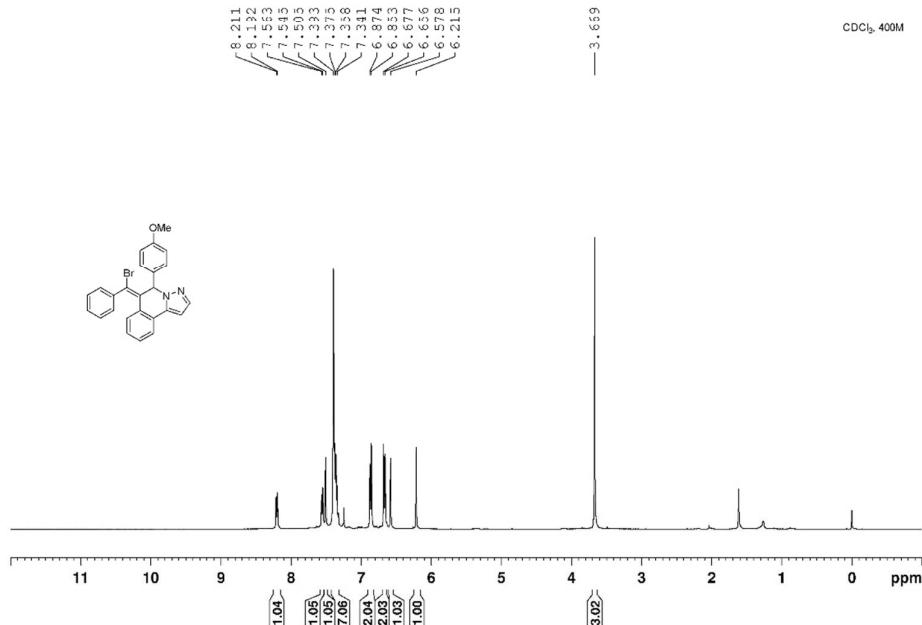


(Z)-6-(bromo(phenyl)methylene)-5-(4-bromophenyl)-5,6-dihydropyrazolo[5,1-*a*]isoquinoline(**3a**)

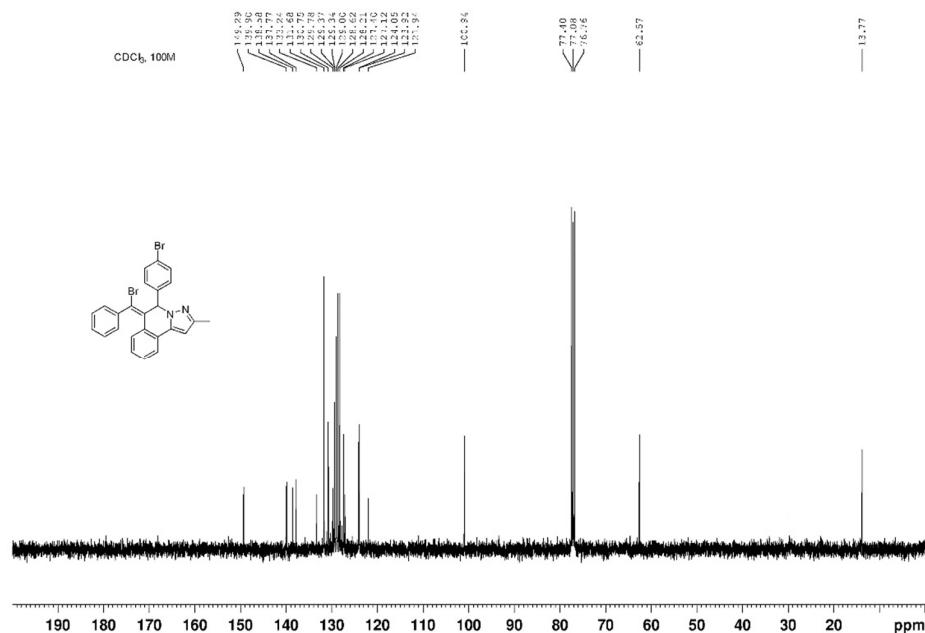
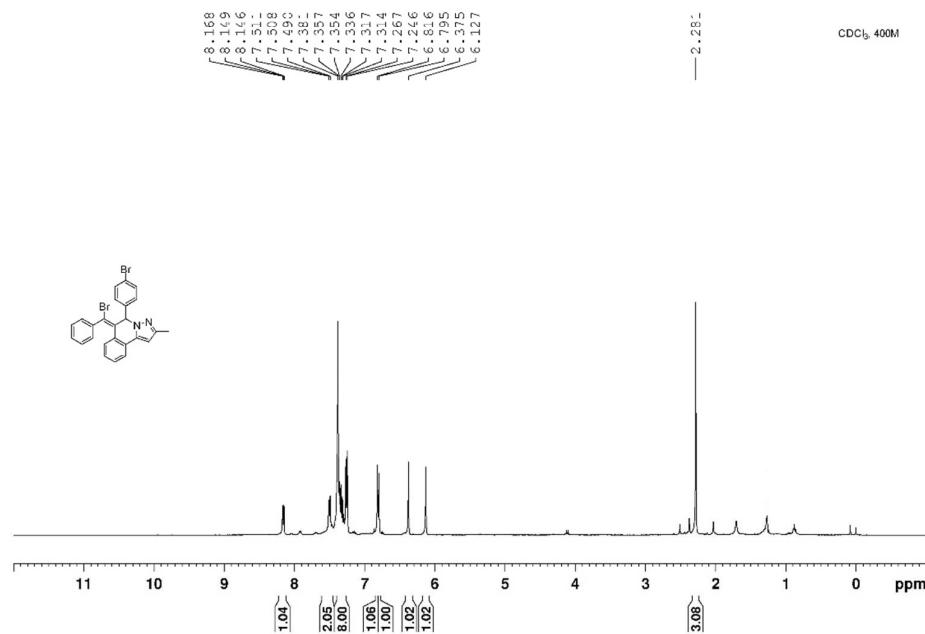


(Z)-6-(bromo(phenyl)methylene)-5-(4-methoxyphenyl)-5,6-dihdropyrazolo[5,1-*a*]isoquinoline (**3c**)

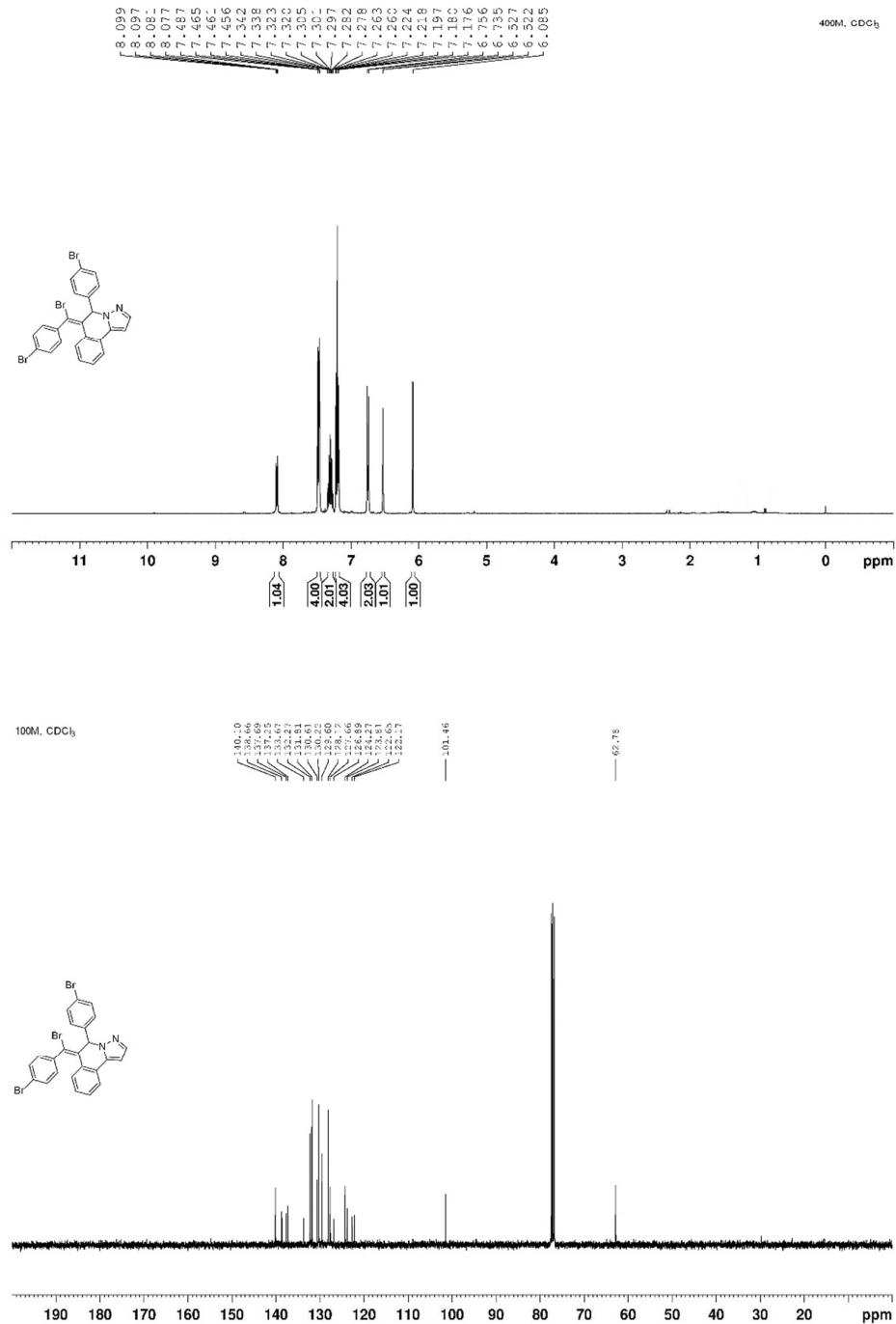
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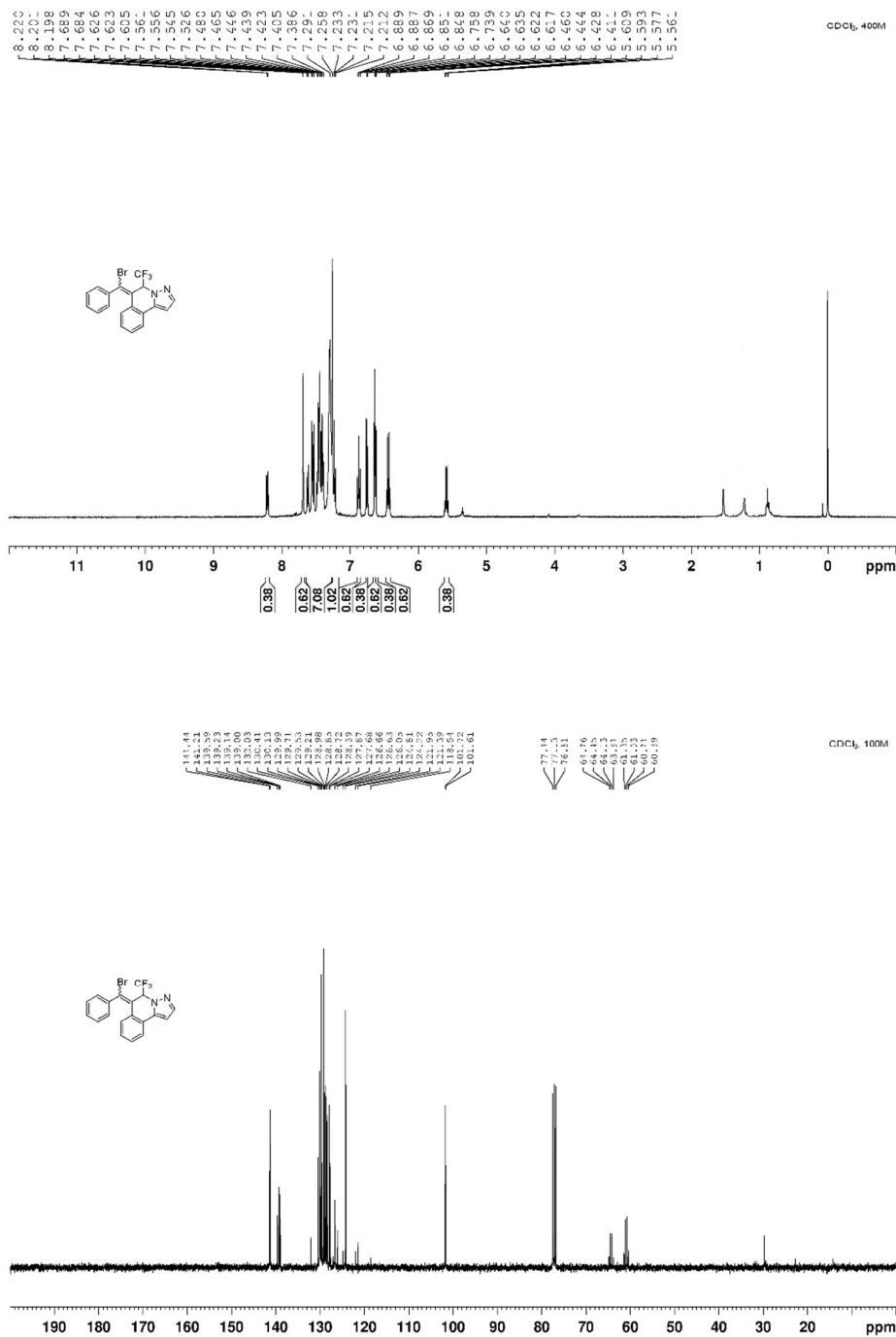
(Z)-6-(bromo(phenyl)methylene)-5-(4-bromophenyl)-2-methyl-5,6-dihdropyrazolo[5,1-*a*]isoquinoline (**3k**)



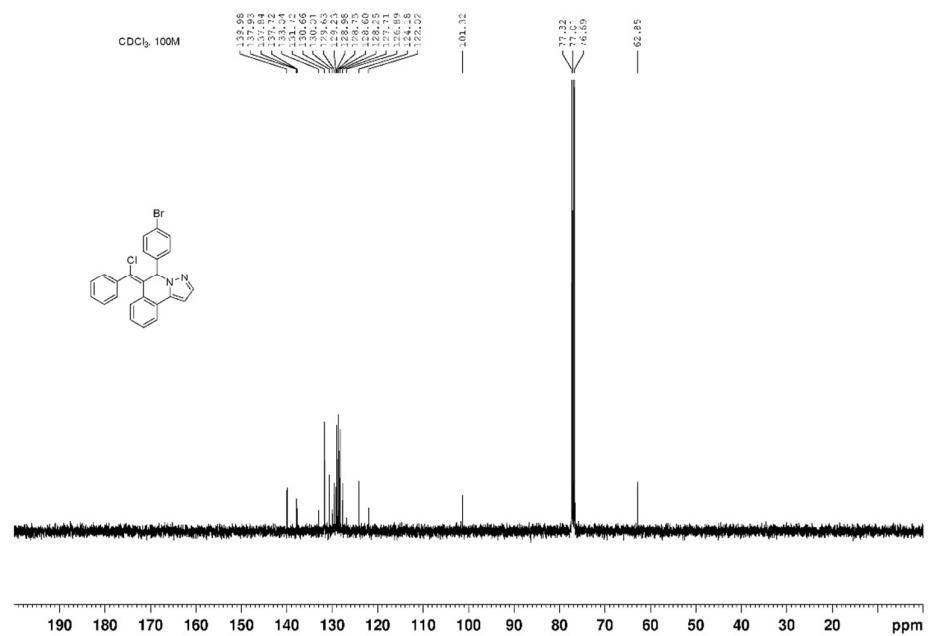
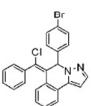
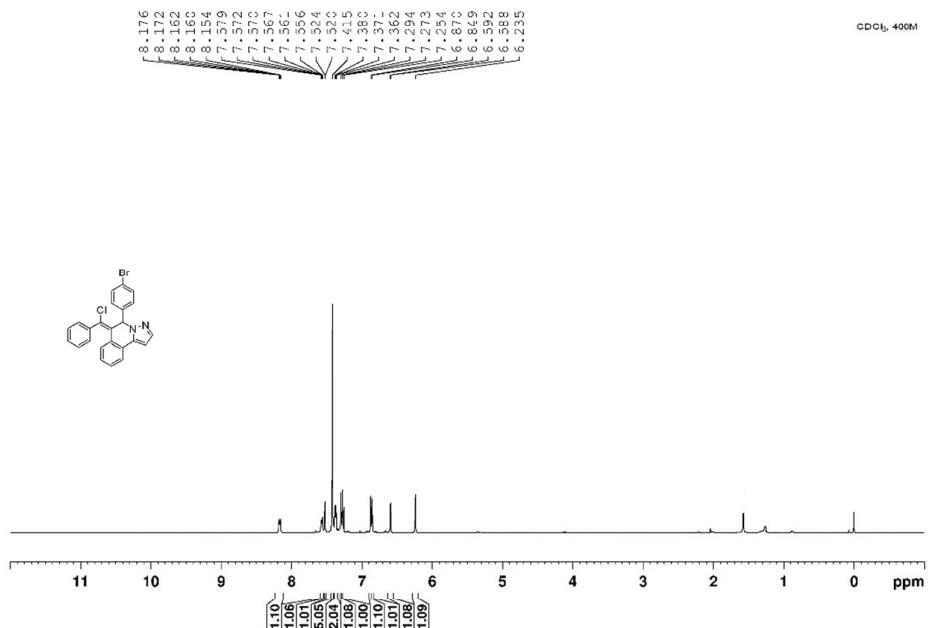
(Z)-6-(bromo(phenyl)methylene)-5-(4-bromophenyl)-2-methyl-5,6-dihdropyrazolo[5,1-*a*]isoquinoline(3m)



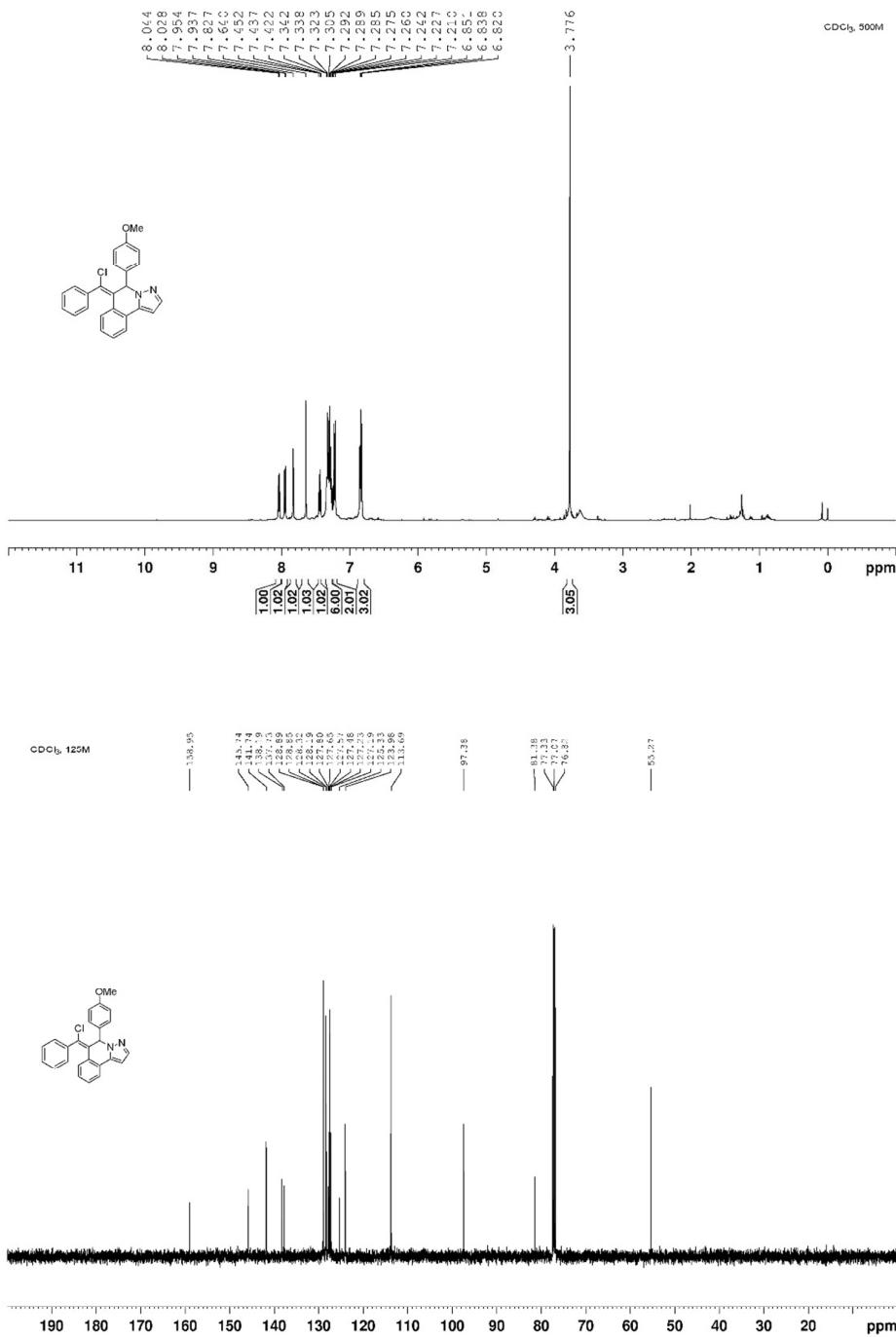
(Z)-6-(bromo(phenyl)methylene)-5-(trifluoromethyl)-5,6-dihydropyrazolo[5,1-*a*]isoquinoline(3n**)**



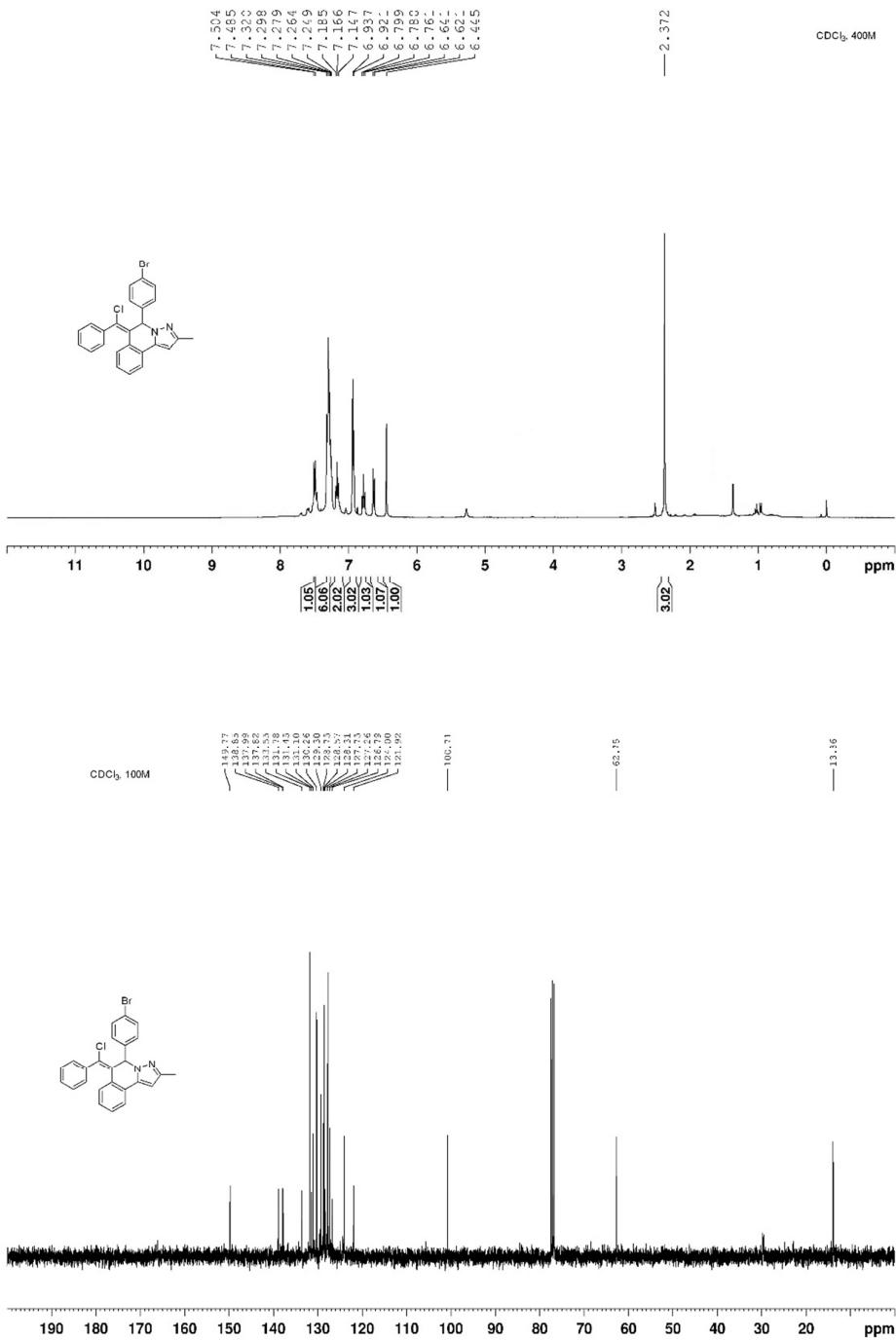
(Z)-5-(4-bromophenyl)-6-(chloro(phenyl)methylene)-5,6-dihdropyrazolo[5,1-*a*]isoquinoline (**4a**)



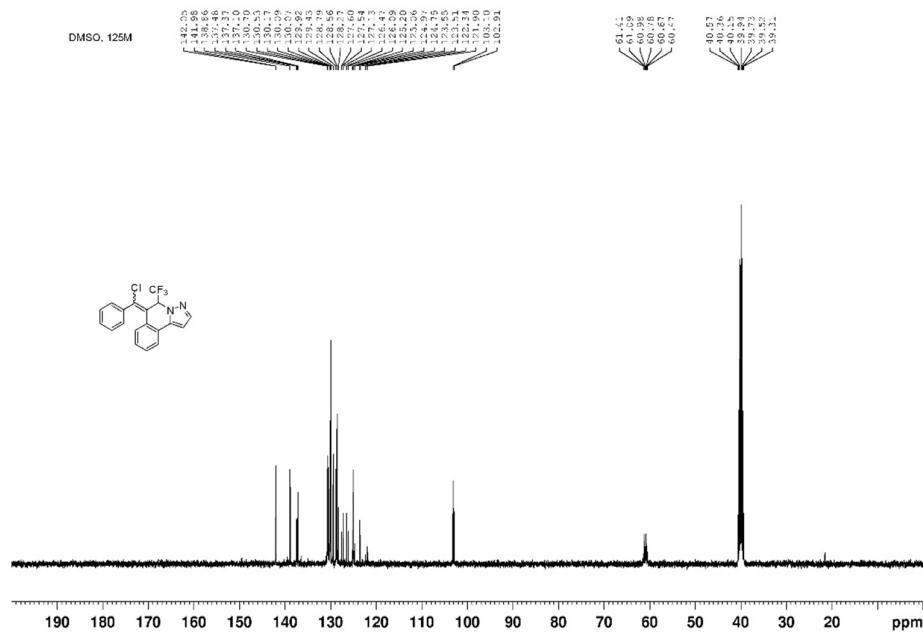
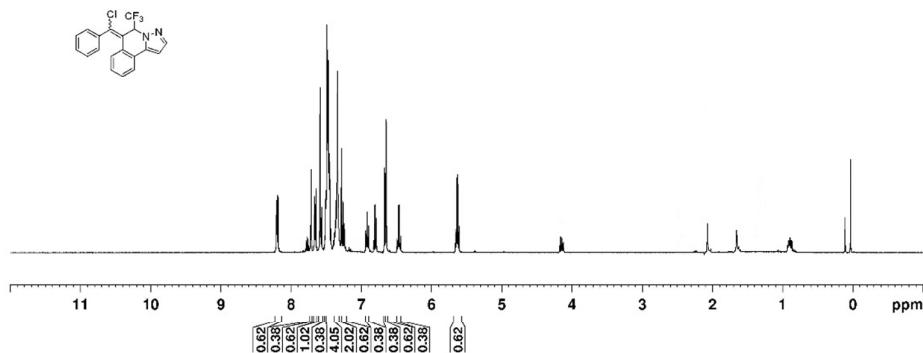
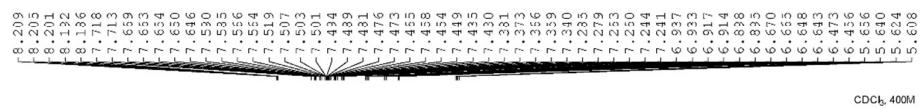
(Z)-6-(chlorophenyl)methylene-5-(4-methoxyphenyl)-5,6-dihdropyrazolo[5,1-*a*]isoquinoline(**4c**)



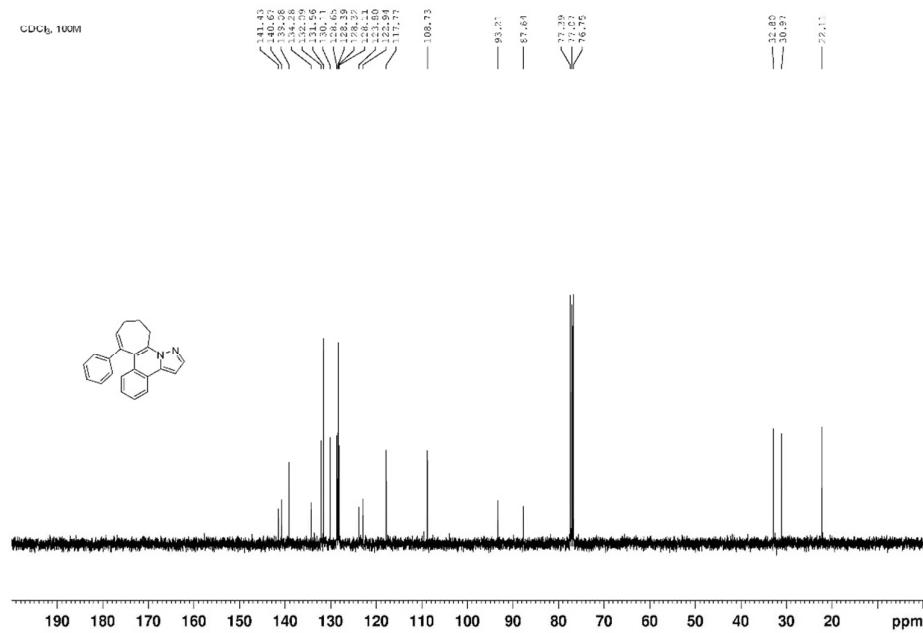
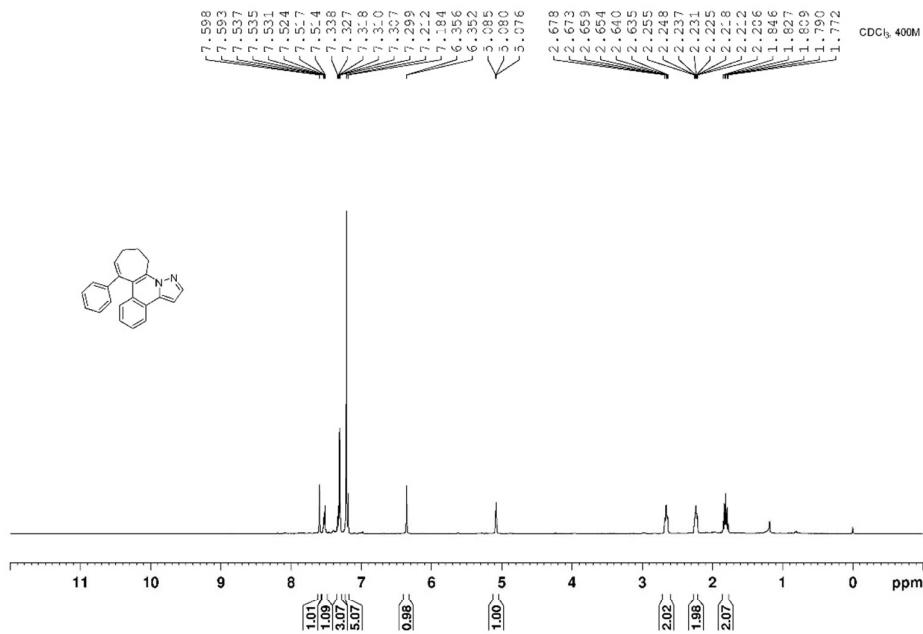
(Z)-5-(4-bromophenyl)-6-(chloro(phenyl)methylene)-2-methyl-5,6-dihdropyrazolo[5,1-*a*]isoquinoline (4k)



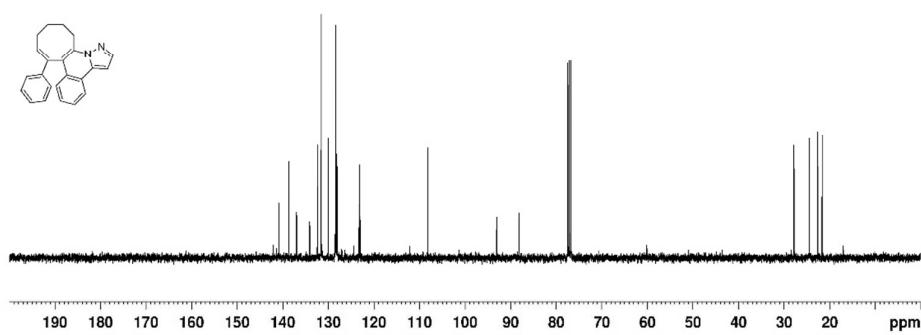
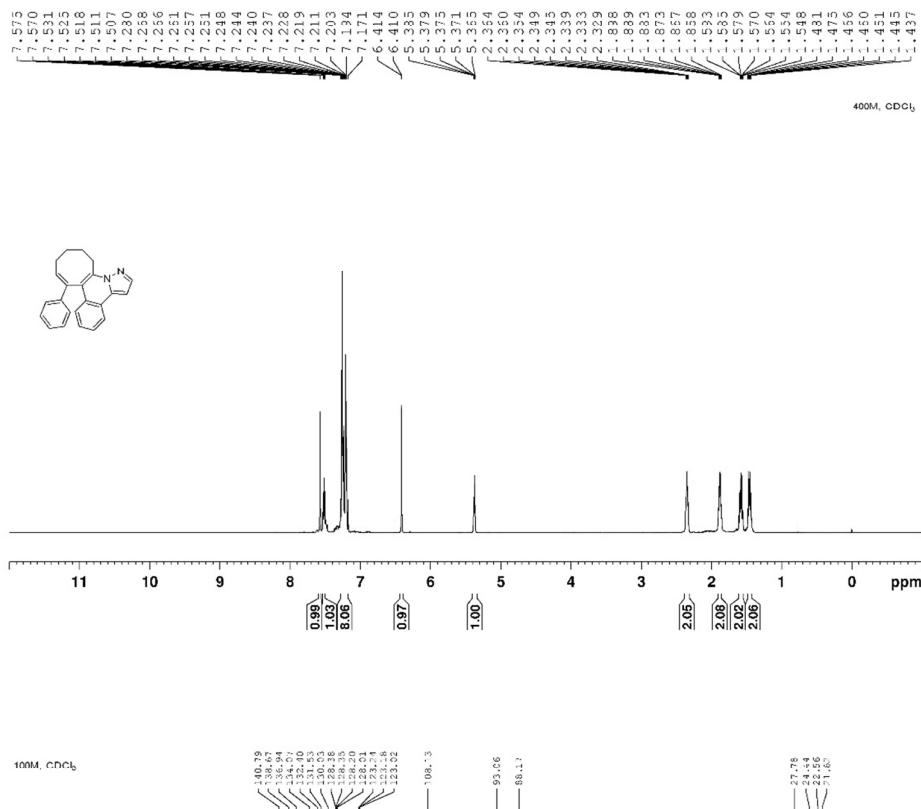
(Z)-6-(chlorophenyl)methylene-5-(trifluoromethyl)-5,6-dihydropyrazolo[5,1-*a*]isoquinoline(**4n**)

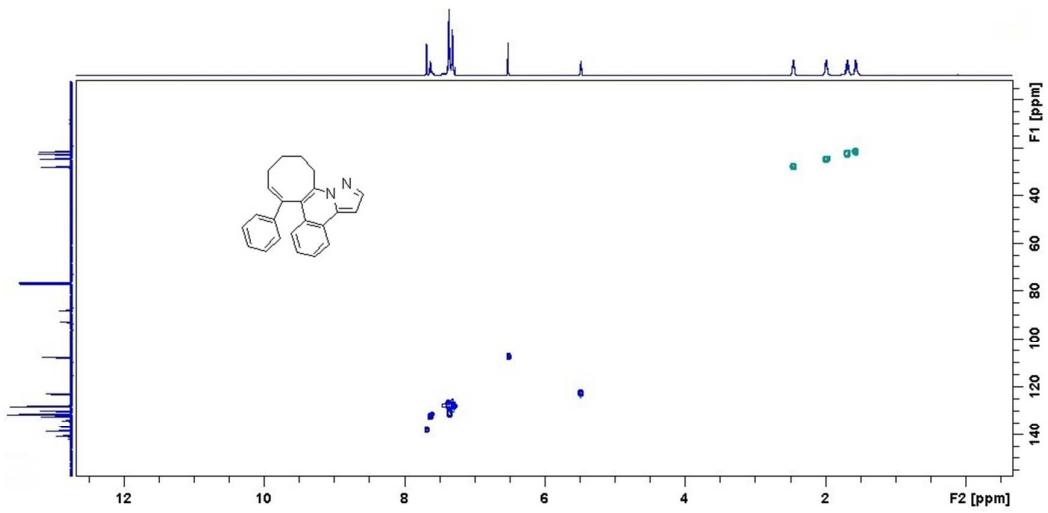


8-phenyl-11,12-dihydro-10H-cyclohepta[c]pyrazolo[5,1-*a*]isoquinoline (**5a**)

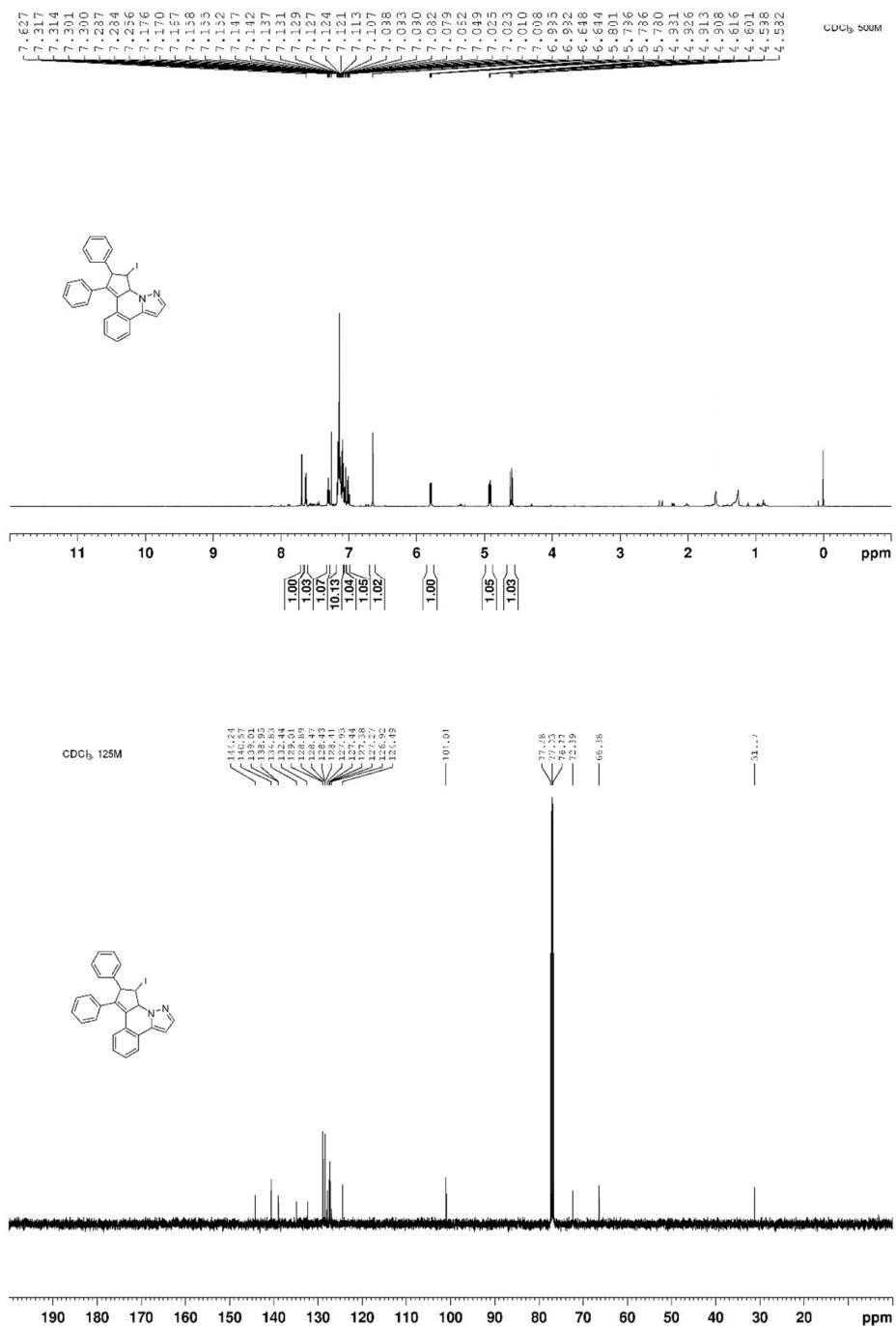


(Z)-8-phenyl-10,11,12,13-tetrahydrocycloocta[c]pyrazolo[5,1-*a*]isoquinoline (**5b**)

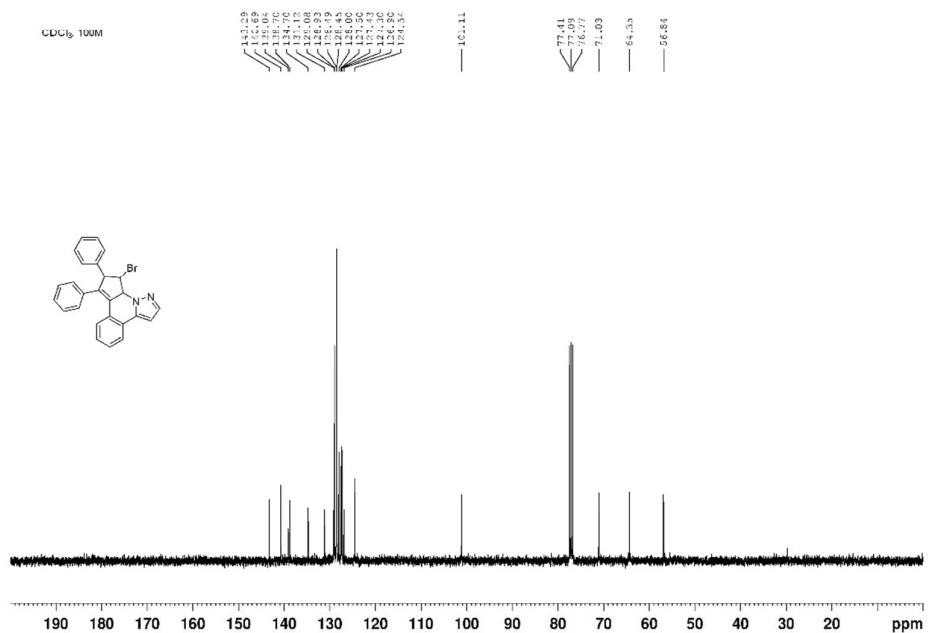
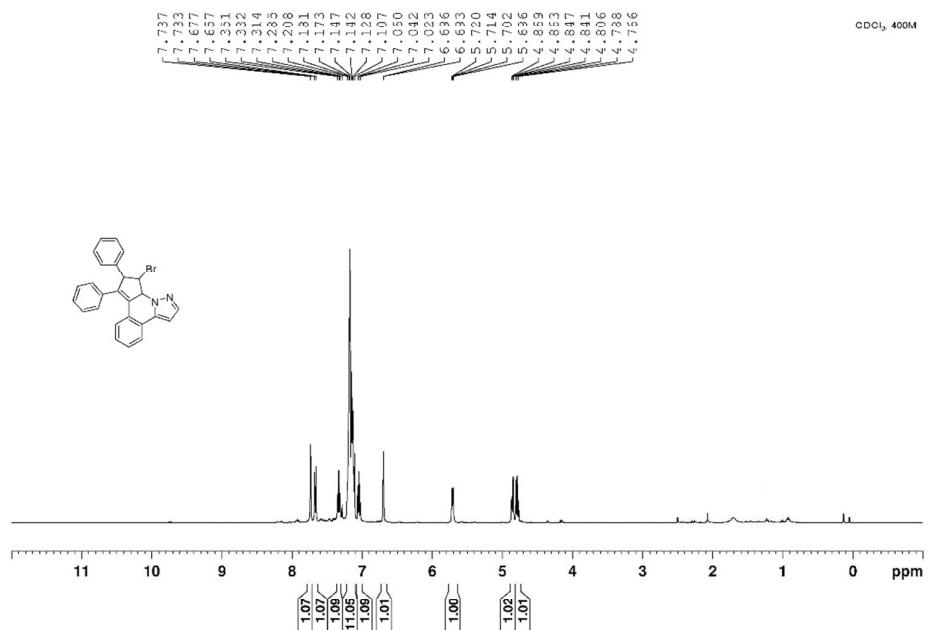




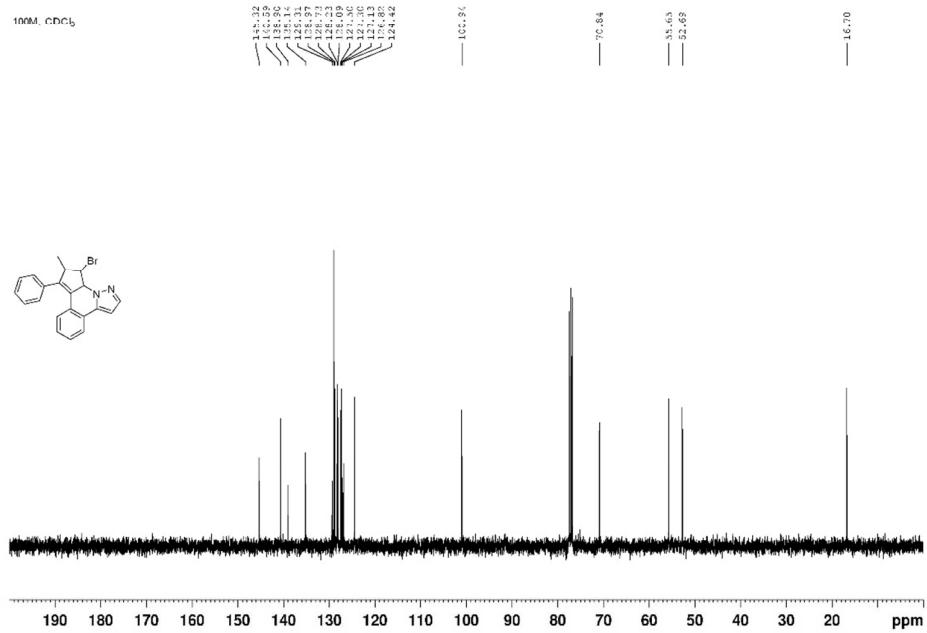
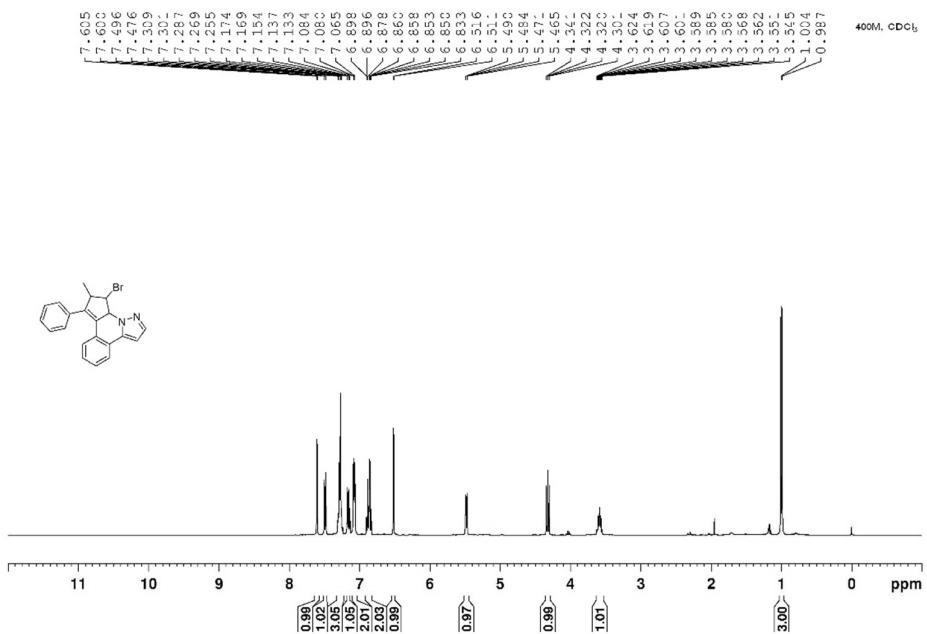
10-iodo-8,9-diphenyl-10,10a-dihydro-9H-cyclopenta[c]pyrazolo[5,1-*a*]isoquinoline(6**)**



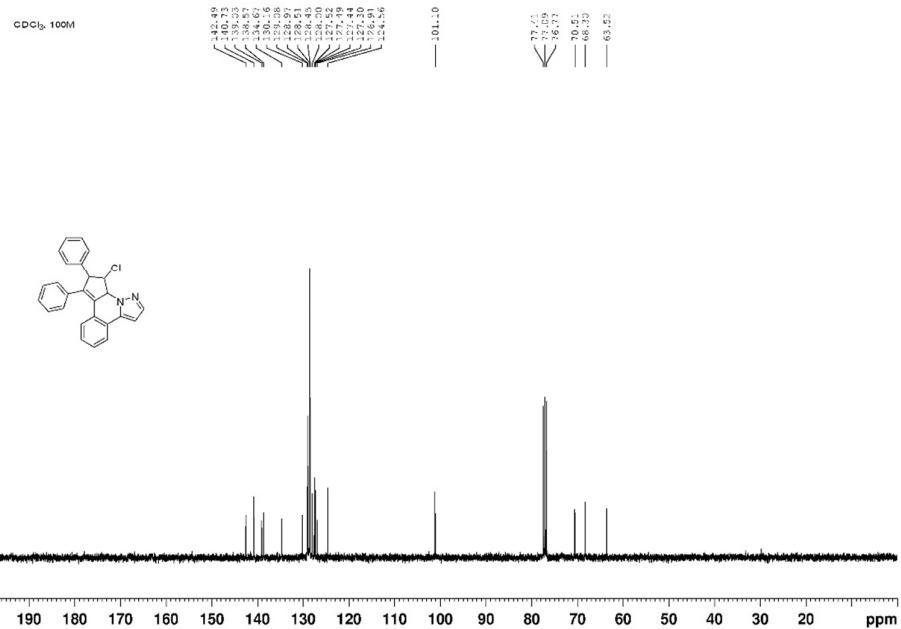
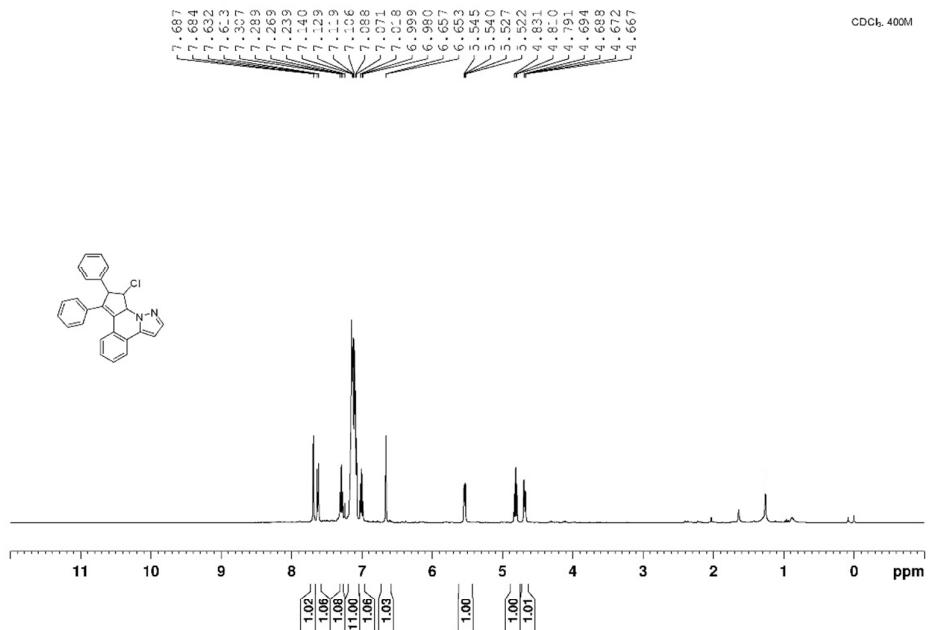
10-bromo-8,9-diphenyl-10,10a-dihydro-9H-cyclopenta[c]pyrazolo[5,1-a]isoquinoline (**7a**)

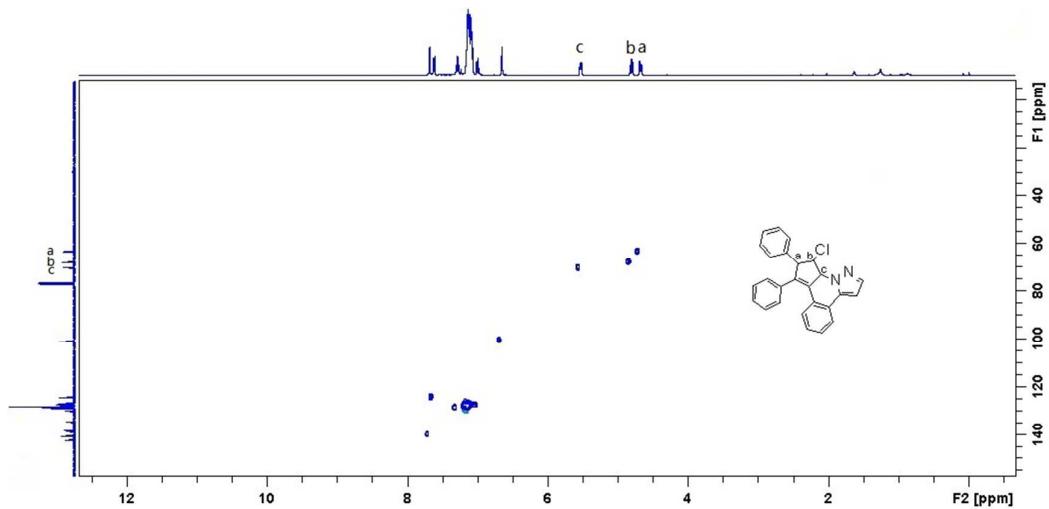


10-bromo-9-methyl-8-phenyl-10,10a-dihydro-9H-cyclopenta[c]pyrazolo[5,1-*a*]isoquinoline (**7b**)

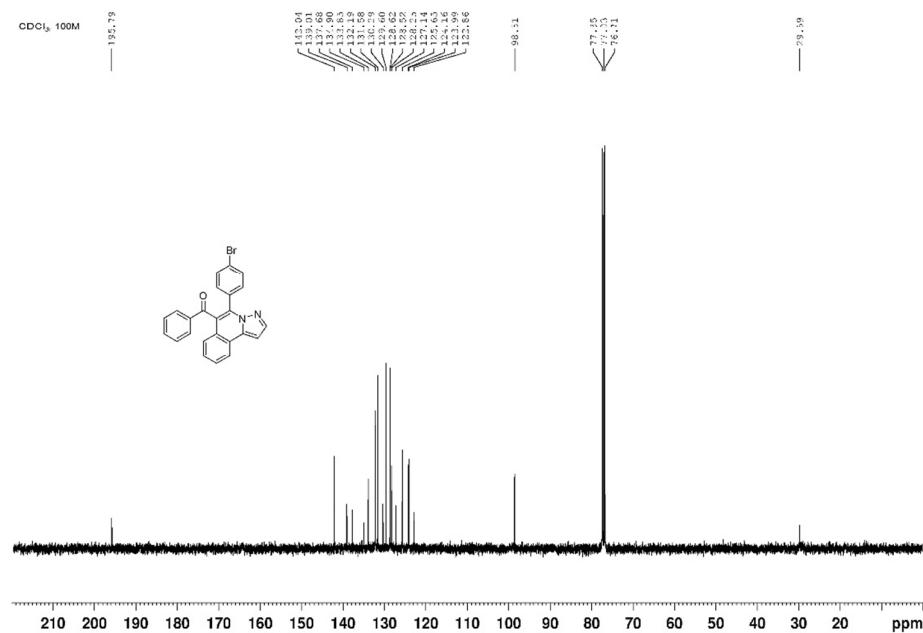
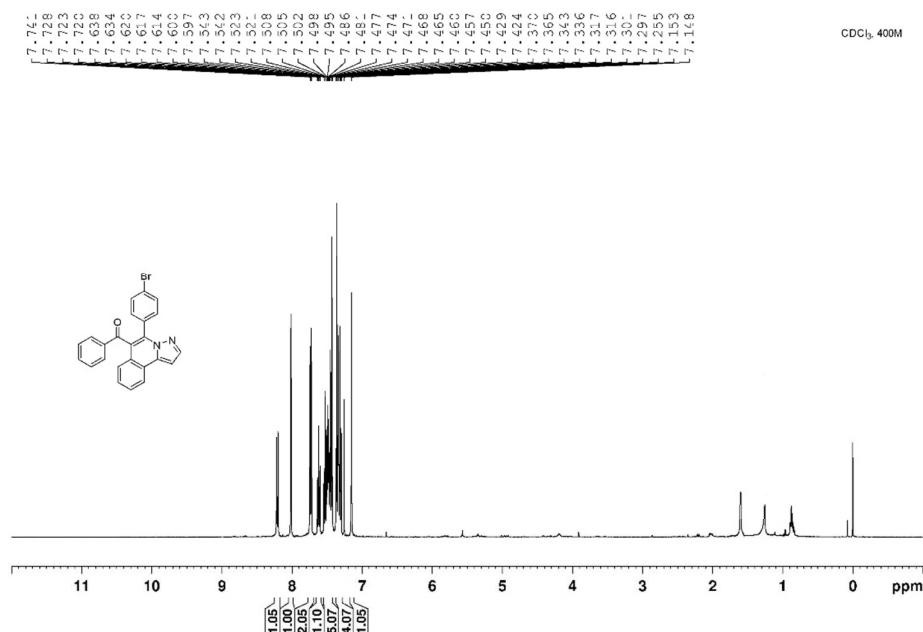


10-chloro-8,9-diphenyl-10a-dihydro-9H-cyclopenta[c]pyrazolo[5,1-a]isoquinoline(8)

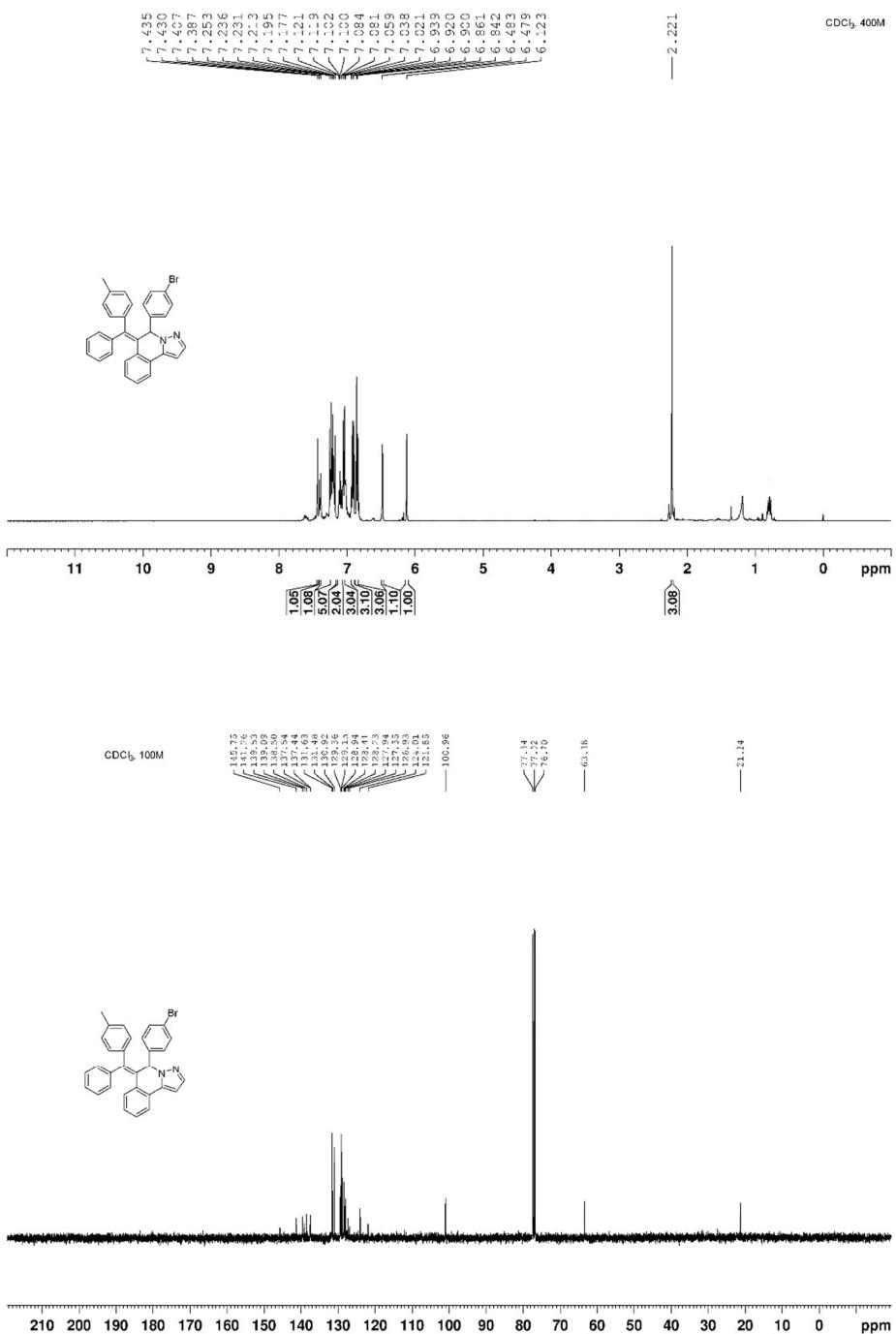




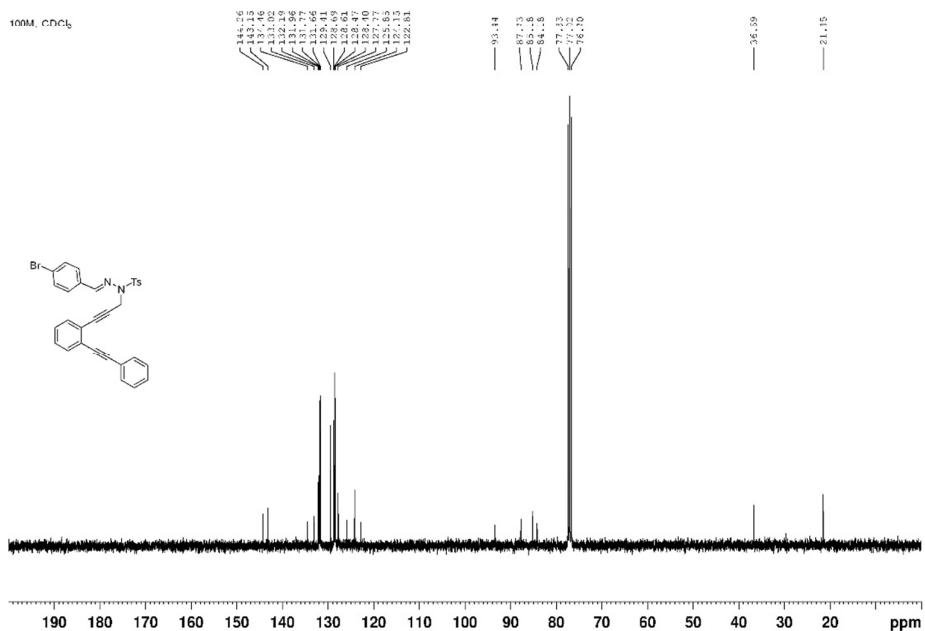
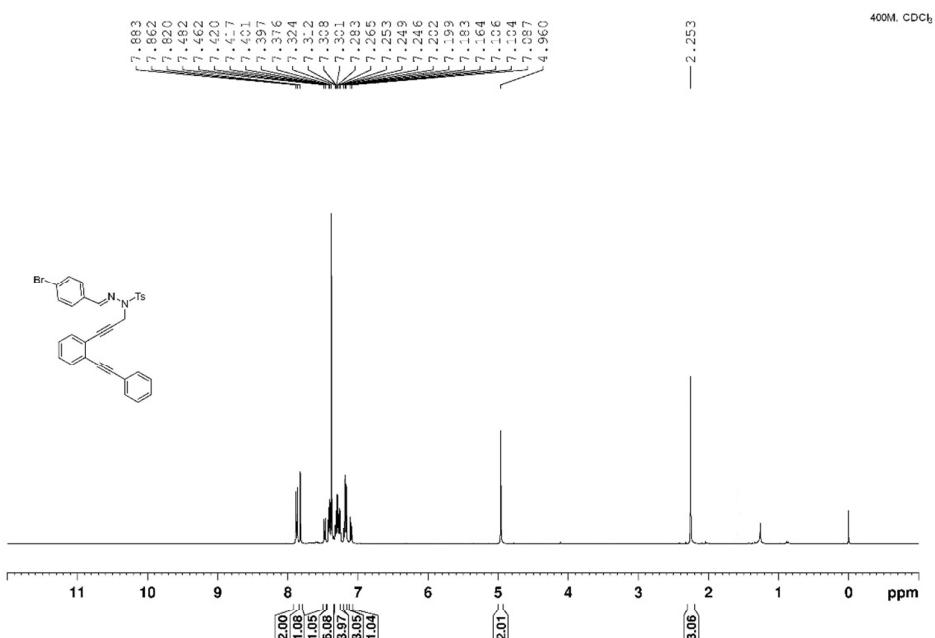
(5-(4-bromophenyl)pyrazolo[5,1-*a*]isoquinolin-6-yl)(phenyl)methanone (**9**)



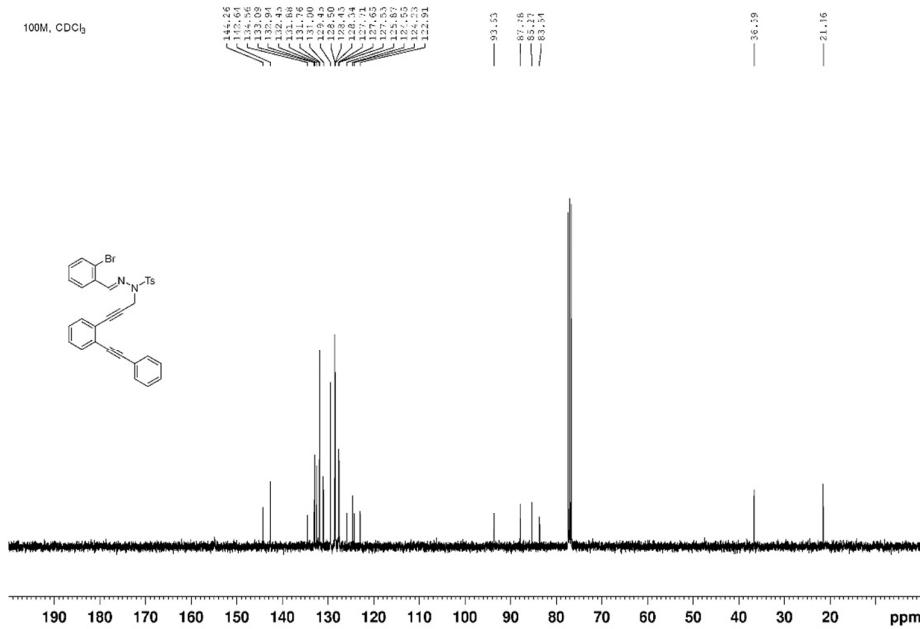
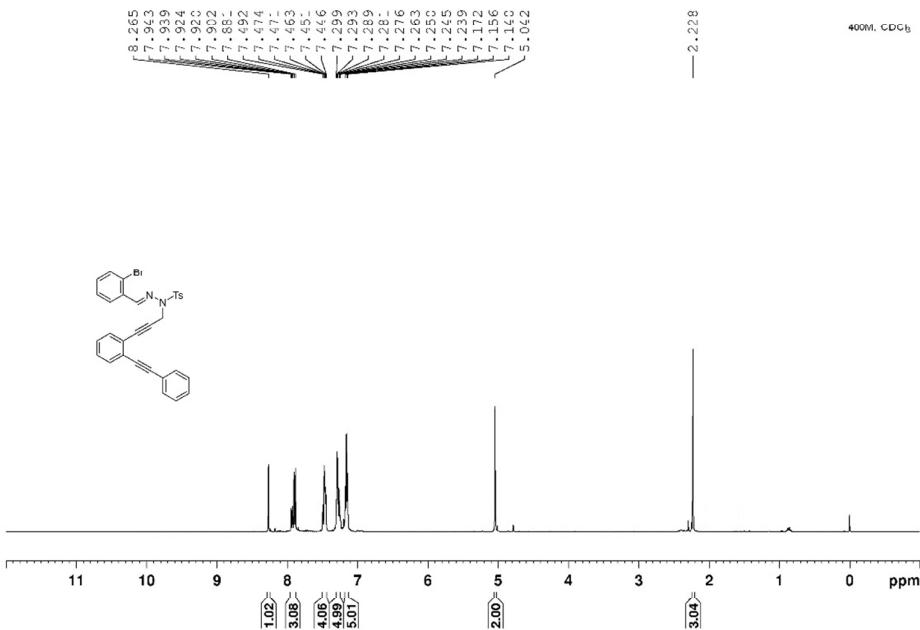
(Z)-5-(4-bromophenyl)-6-(phenyl(p-tolyl)methylene)-5,6-dihdropyrazolo[5,1-*a*]isoquinoline (**10**)



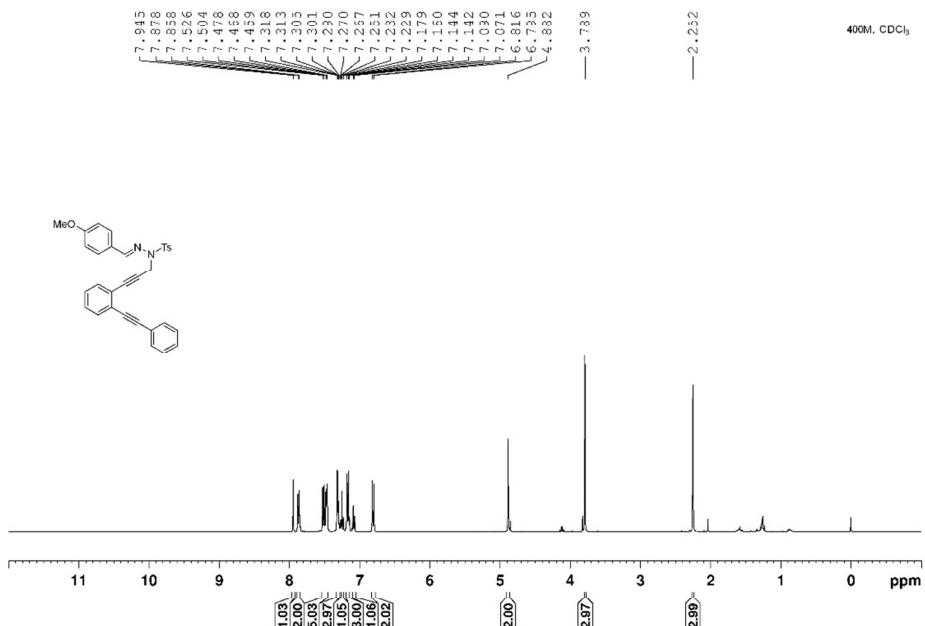
(E)-N'-(4-bromobenzylidene)-4-methyl-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)benzenesulfonohydrazide(**1a**)



(E)-N'-(2-bromobenzylidene)-4-methyl-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)benzenesulfonohydrazide (**1b**)



(E)-N'-(4-methoxybenzylidene)-4-methyl-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)benzenesulfonylhydrazide(1c)



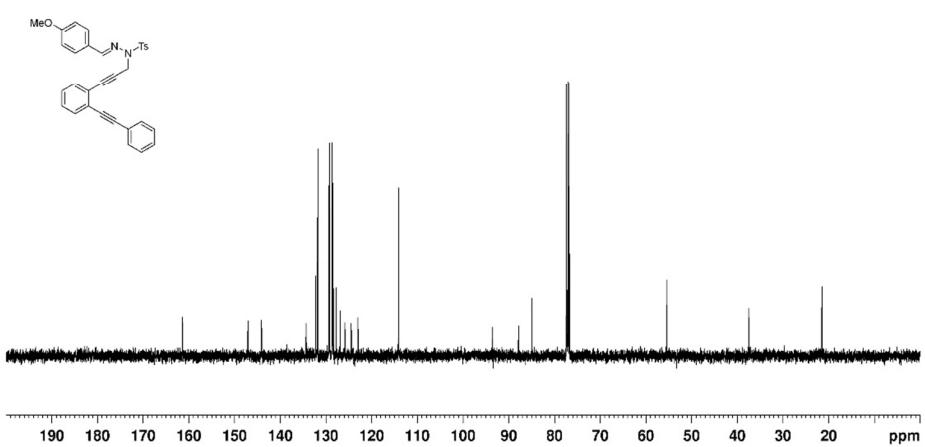
161.20

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144.02
134.29
132.02
131.63
131.76
119.32
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118.73
116.91
115.81
115.84
112.94
114.06

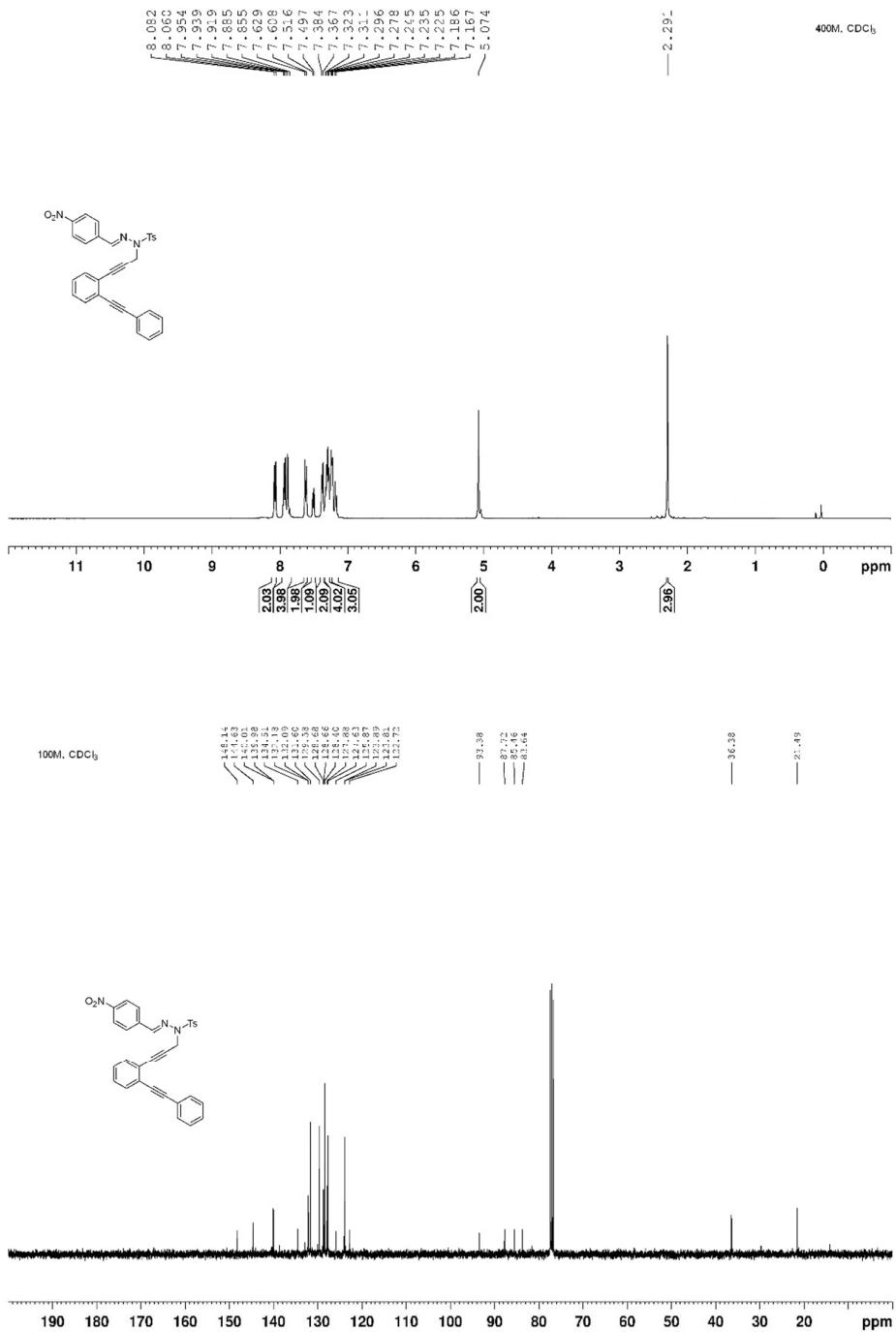
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67.13
94.37

55.33
37.47

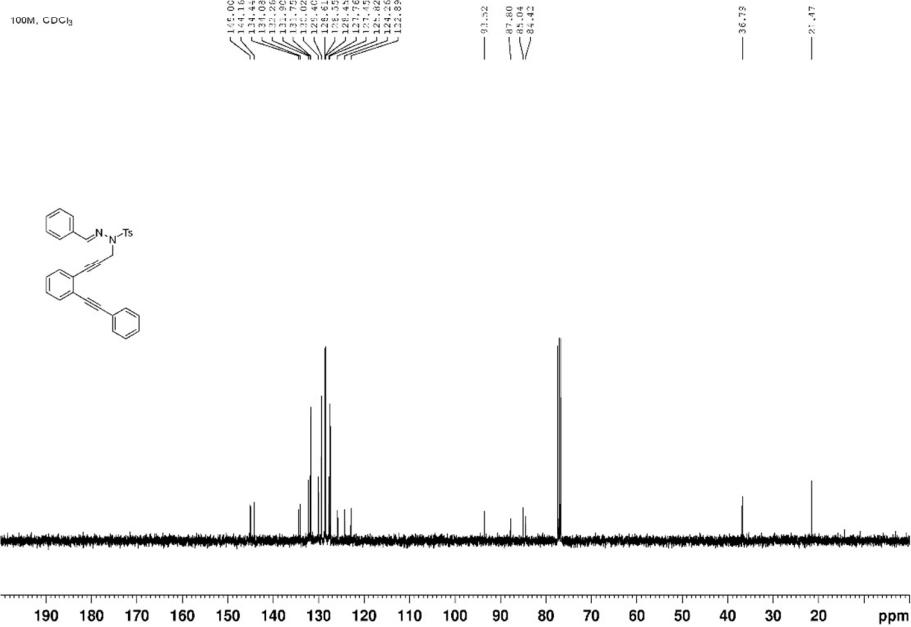
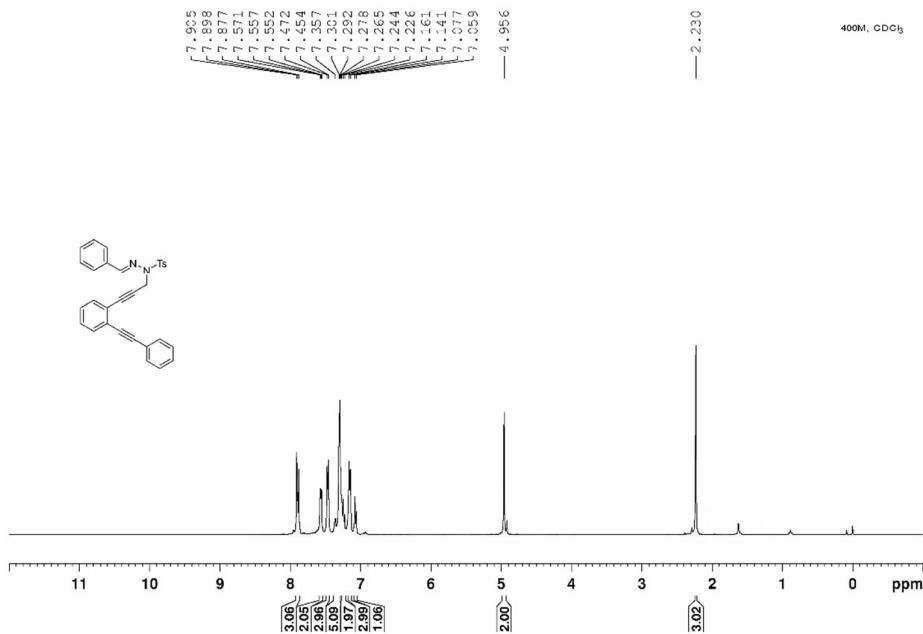
211.45



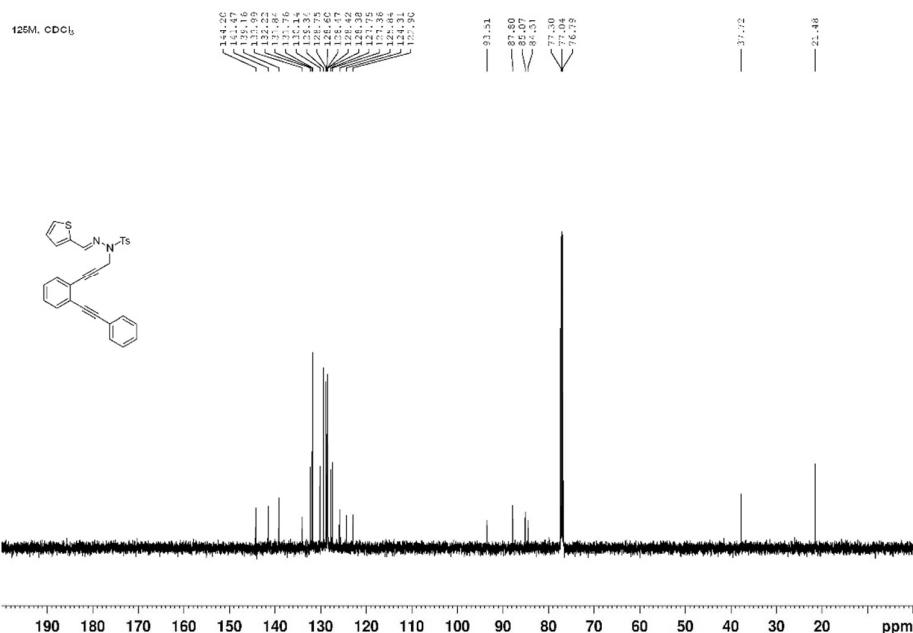
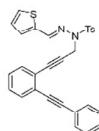
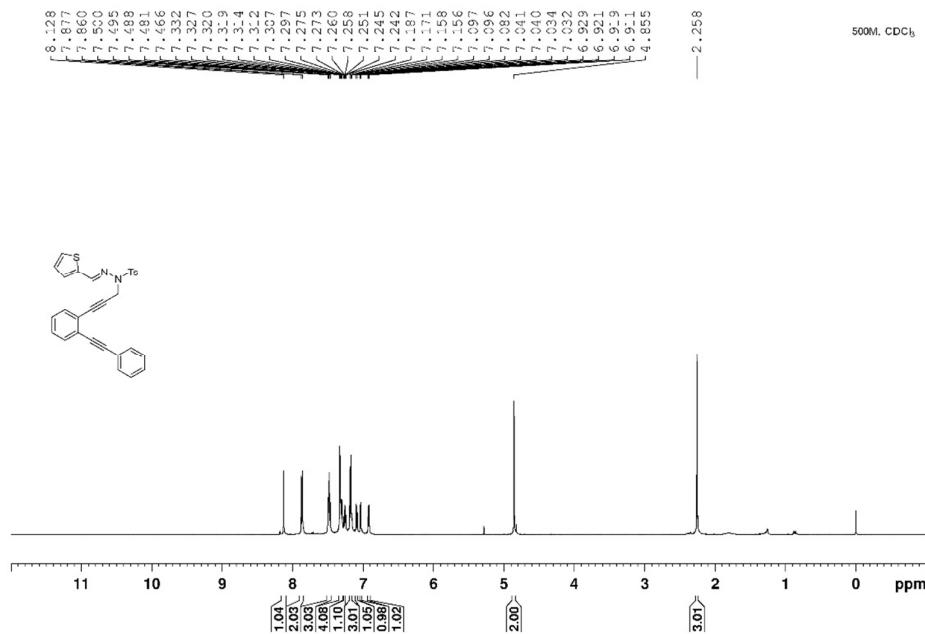
(E)-4-methyl-N'-(4-nitrobenzylidene)-N-(3-(2-(phenylethyynyl)phenyl)prop-2-yn-1-yl)benzenesulfo nohydrazide(**1d**)



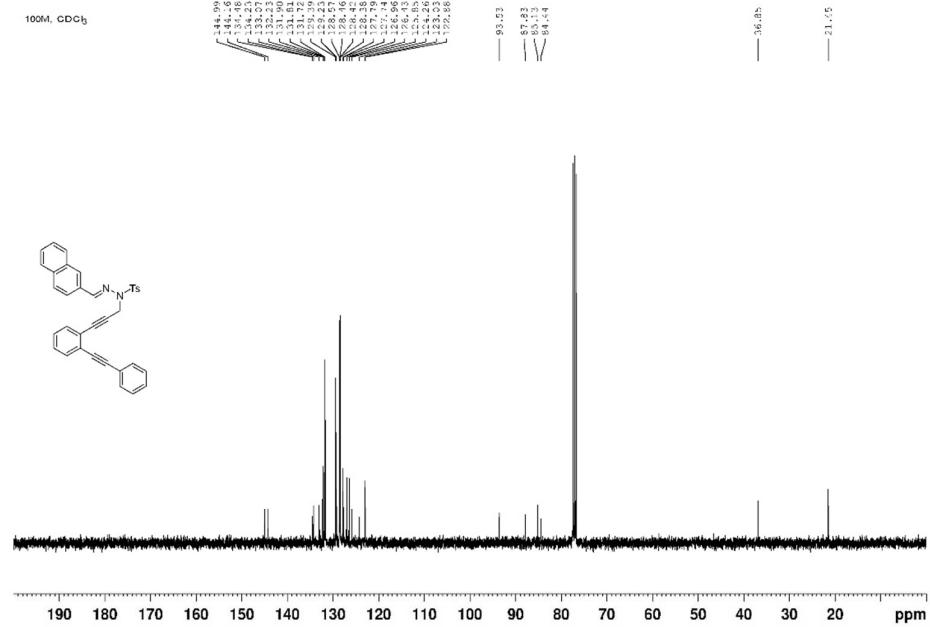
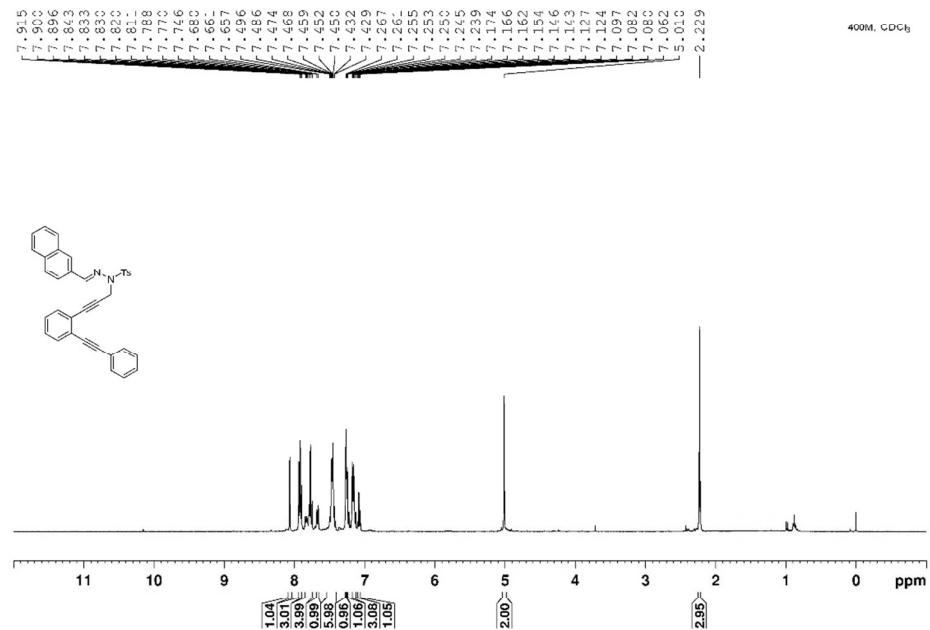
(*E*)-N'-benzylidene-4-methyl-N-(3-(2-(phenylethyynyl)phenyl)prop-2-yn-1-yl)benzenesulfonohydrazide (**1e**)



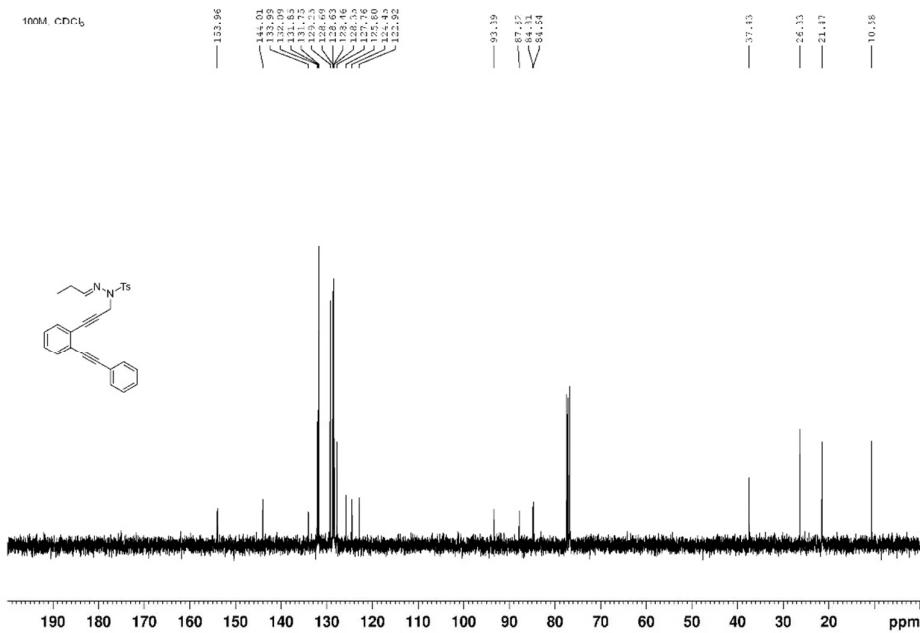
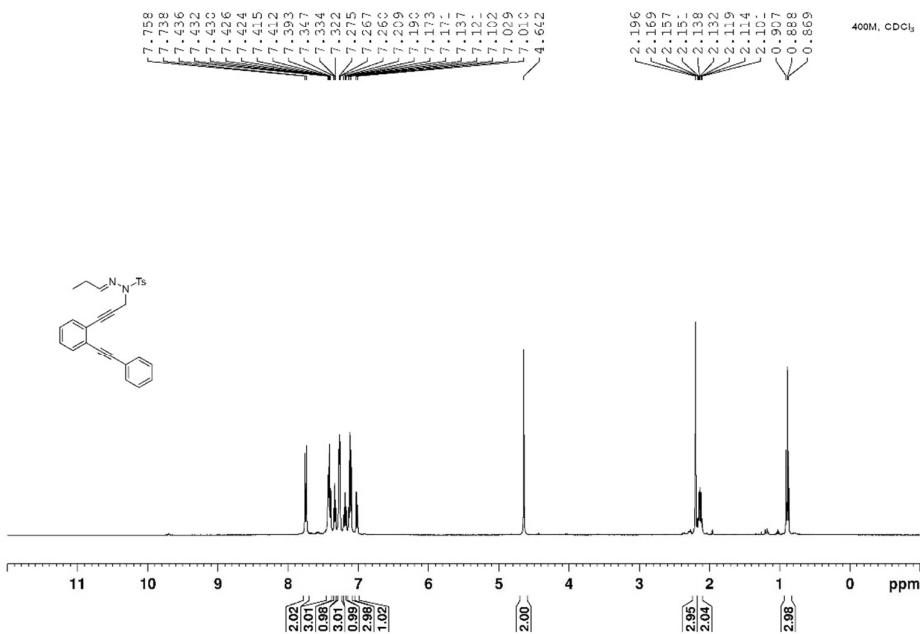
(E)-4-methyl-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)-N'-(thiophen-2-ylmethylene)benzene sulfonohydrazide (**1f**)



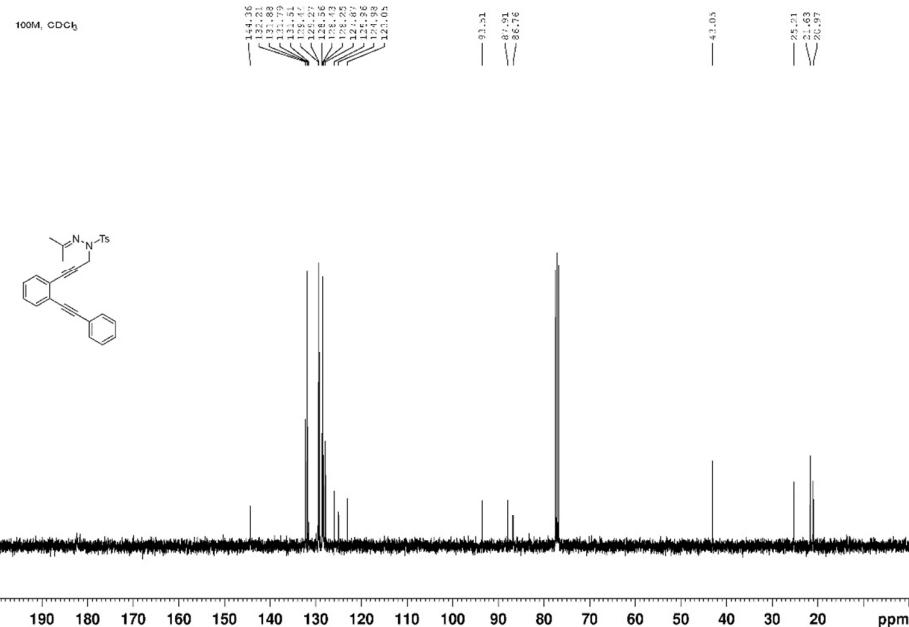
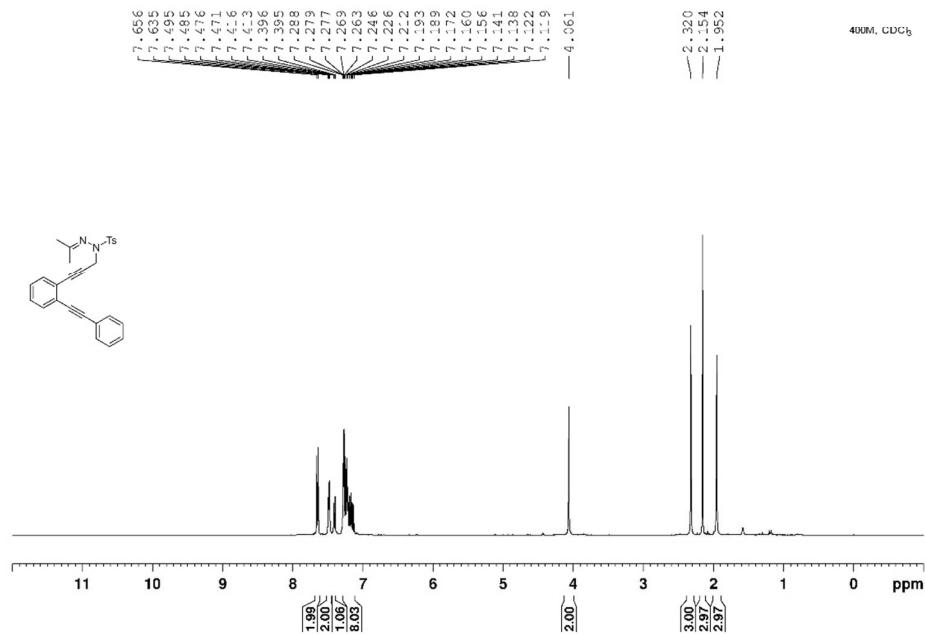
(E)-4-methyl-N'-(naphthalen-2-ylmethylene)-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)benzenesulfonohydrazide (**1g**)



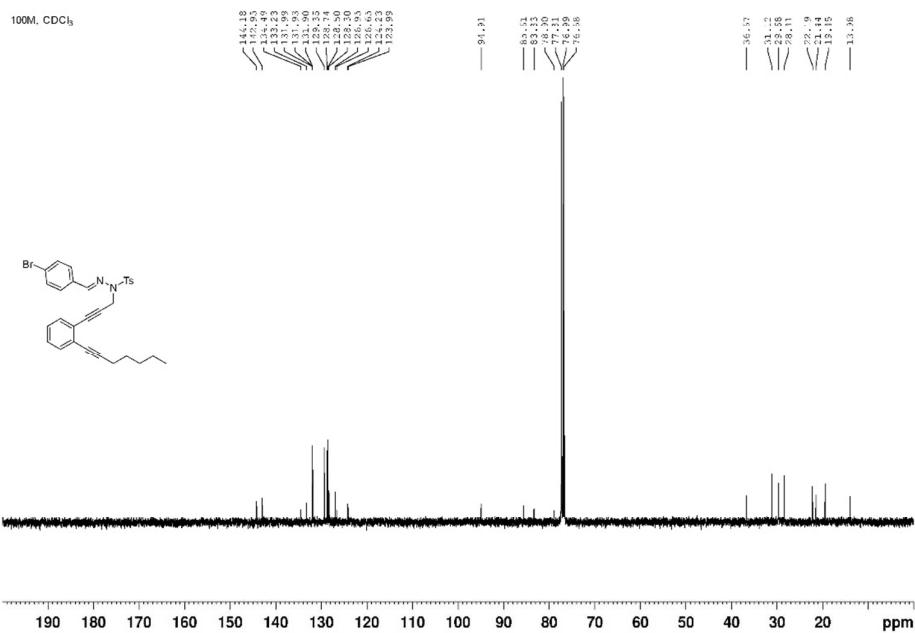
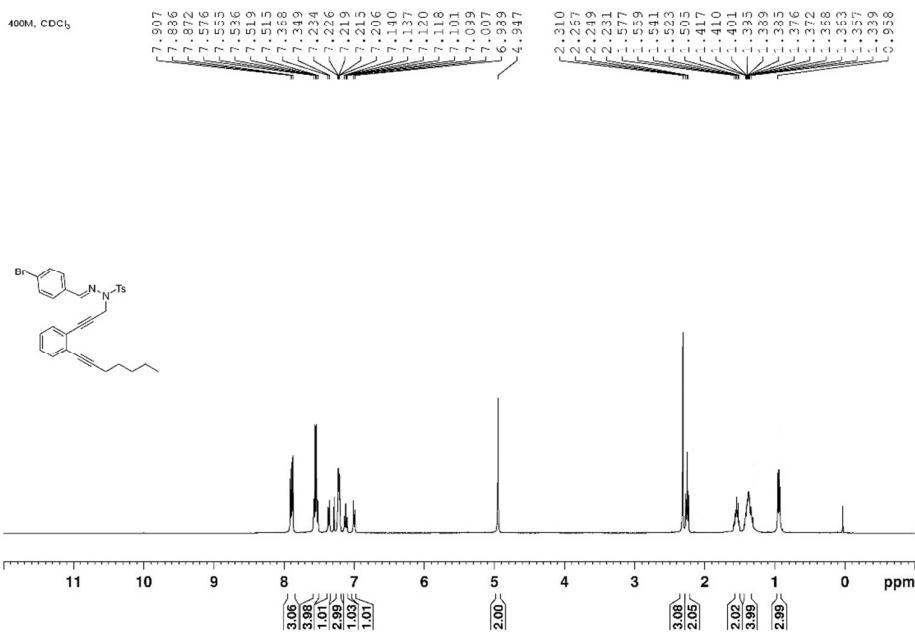
(E)-4-methyl-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)-N'-propylidenebenzenesulfonohydrazide (**1h**)



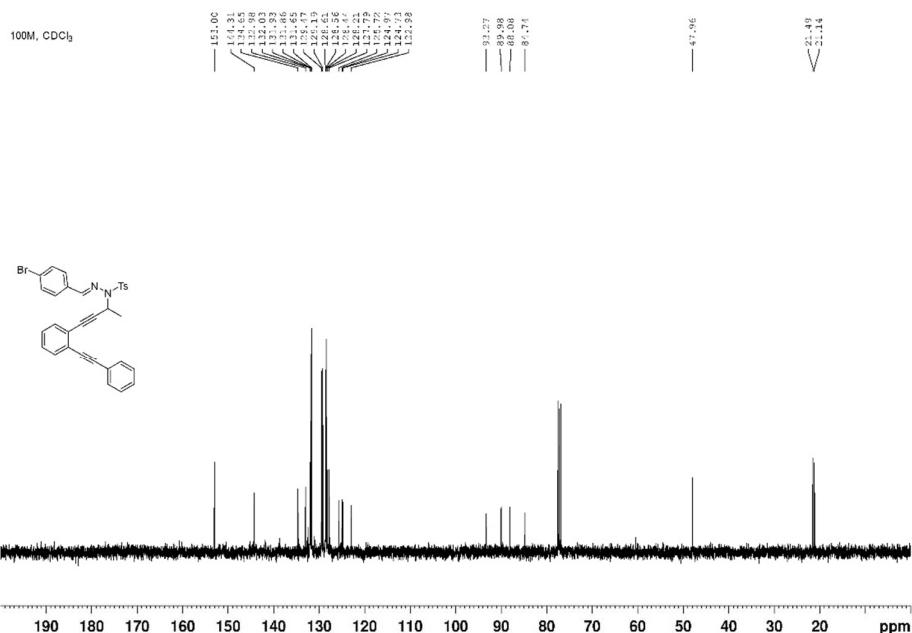
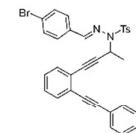
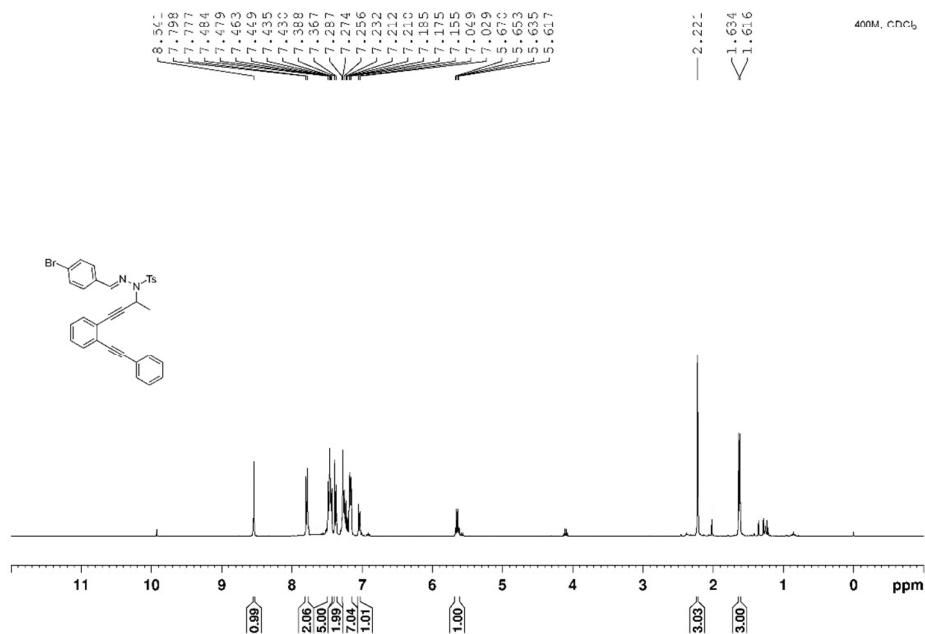
4-methyl-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)-N'-(propan-2-ylidene)benzenesulfonohydrazide (**1i**)



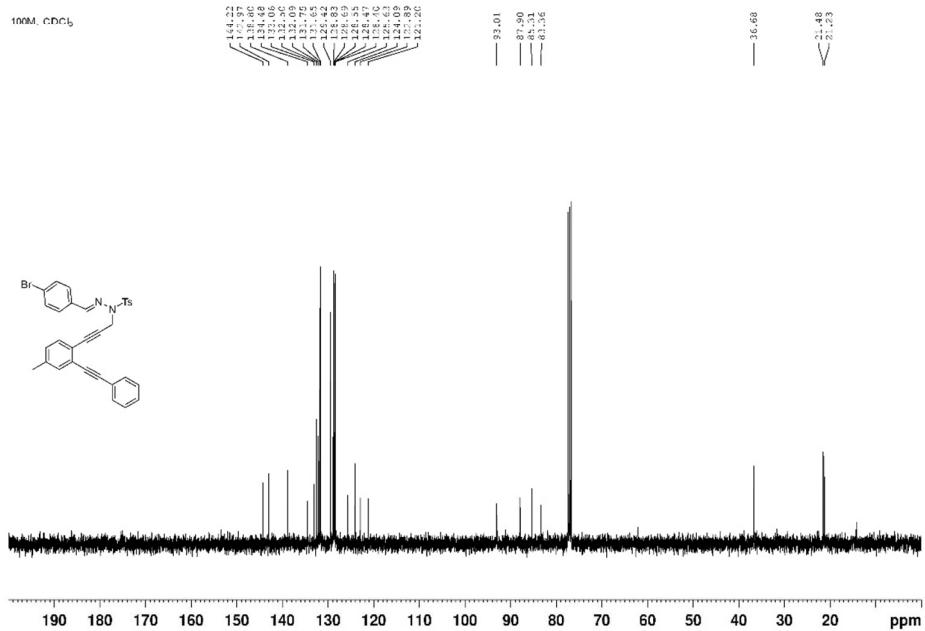
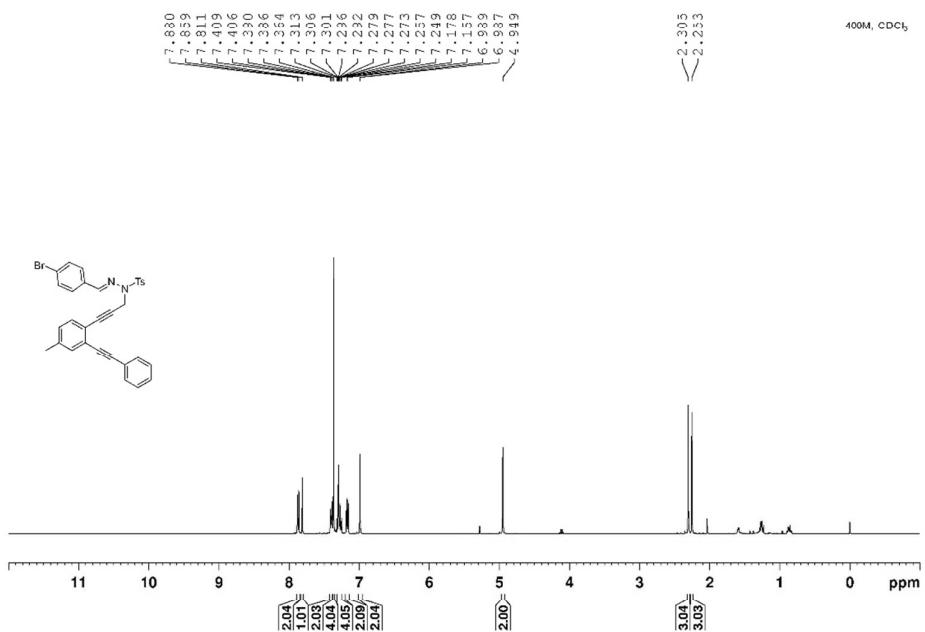
(E)-N'-(4-bromobenzylidene)-N-(3-(2-(hept-1-yn-1-yl)phenyl)prop-2-yn-1-yl)-4-methylbenzenesulfonohydrazide(1j)



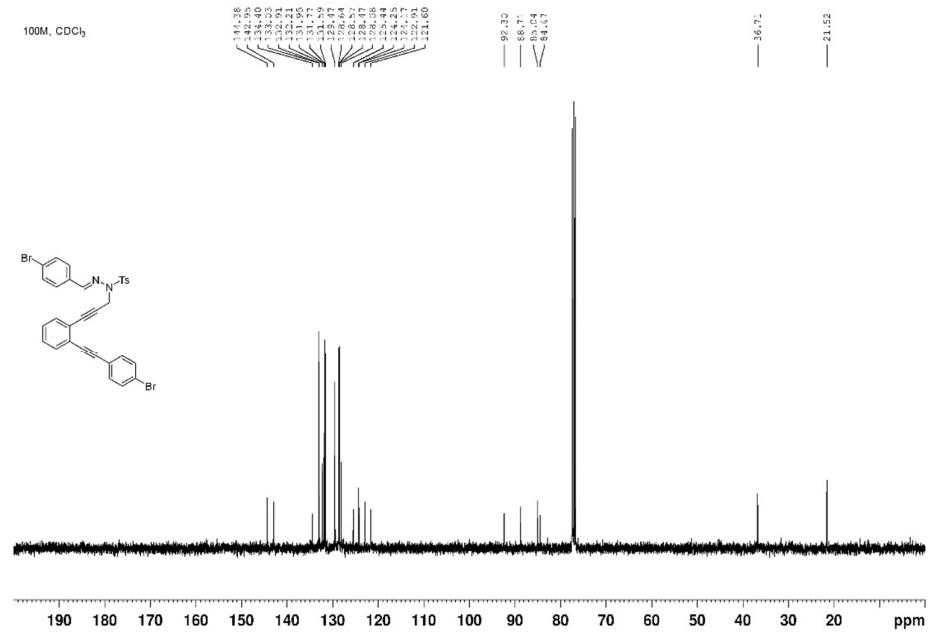
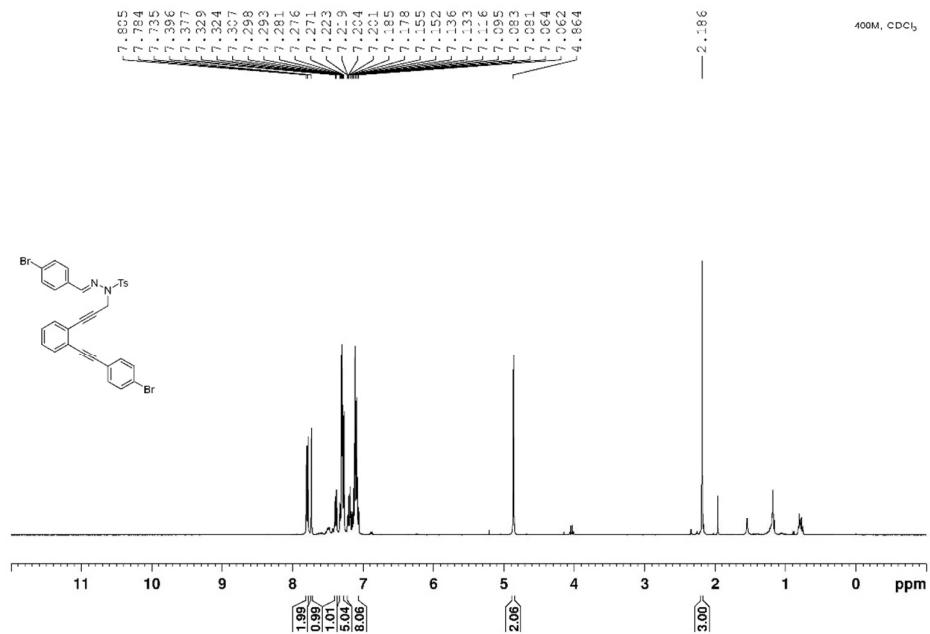
(E)-N'-(4-bromobenzylidene)-4-methyl-N-(4-(2-(phenylethyynyl)phenyl)but-3-yn-2-yl)benzenesulfonohydrazide (**1k**)



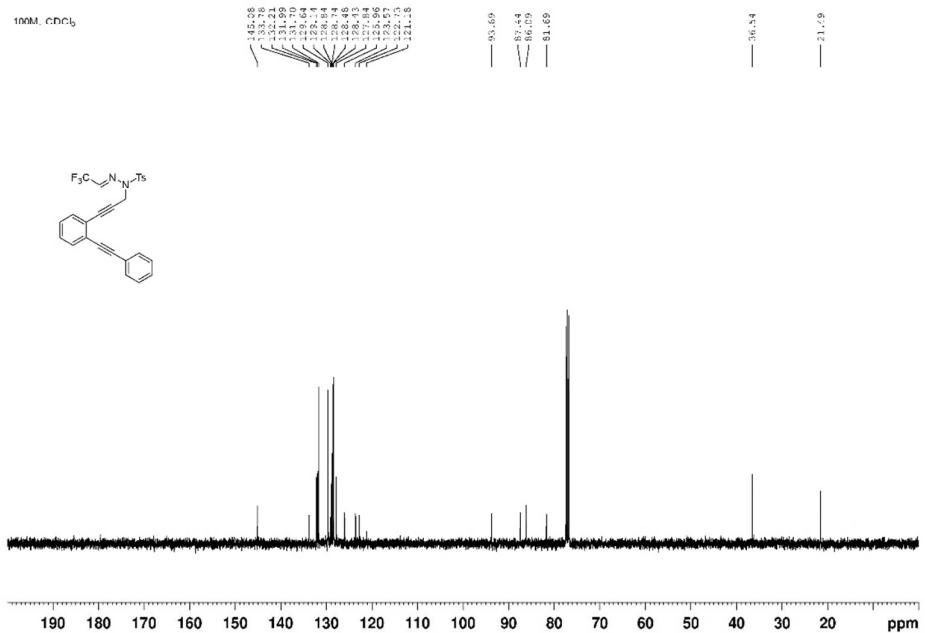
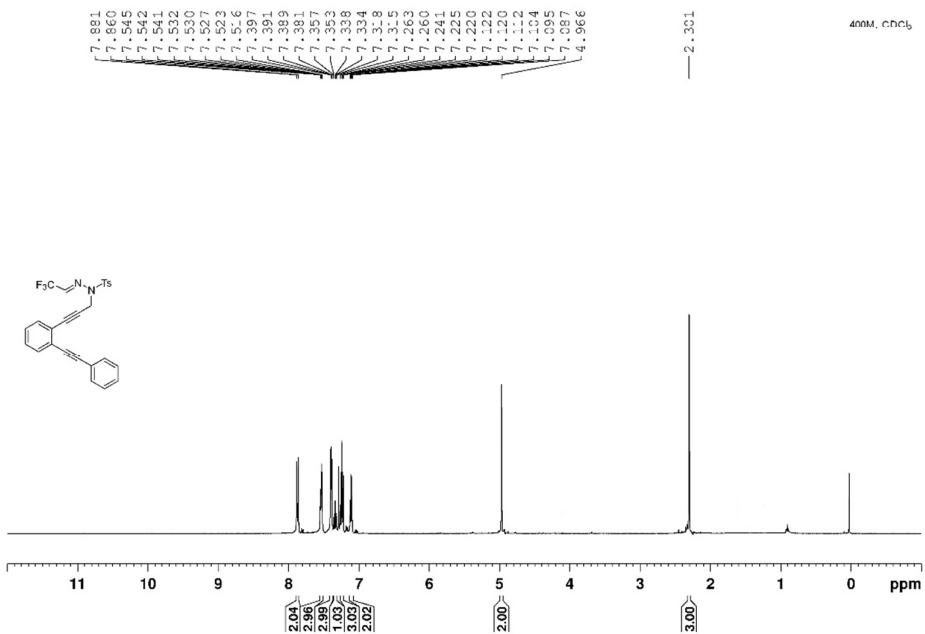
(E)-N'-(4-bromobenzylidene)-4-methyl-N-(3-(4-methyl-2-(phenylethyynyl)phenyl)prop-2-yn-1-yl)beneznesulfonohydrazide (**1I**)



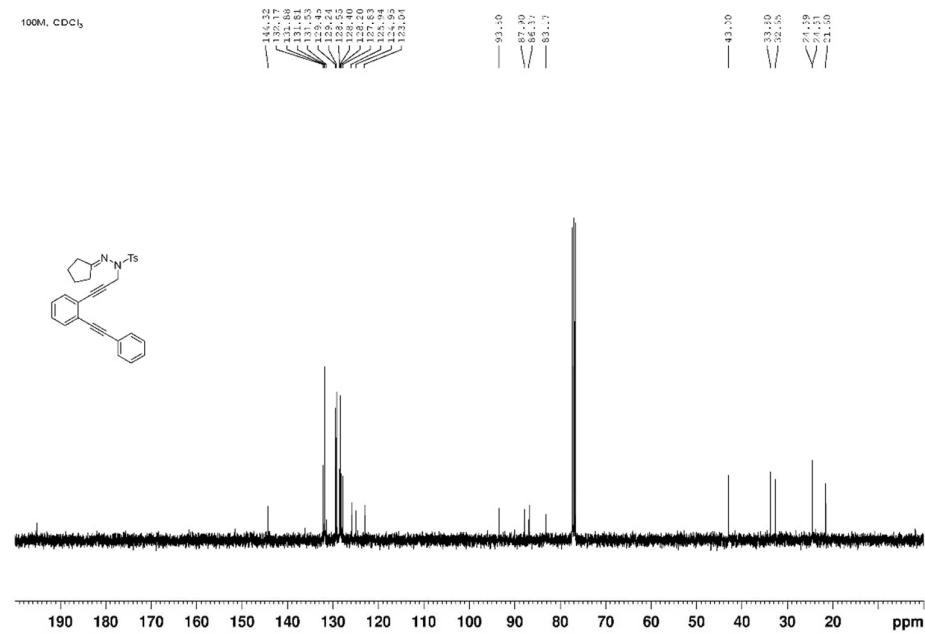
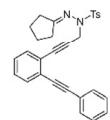
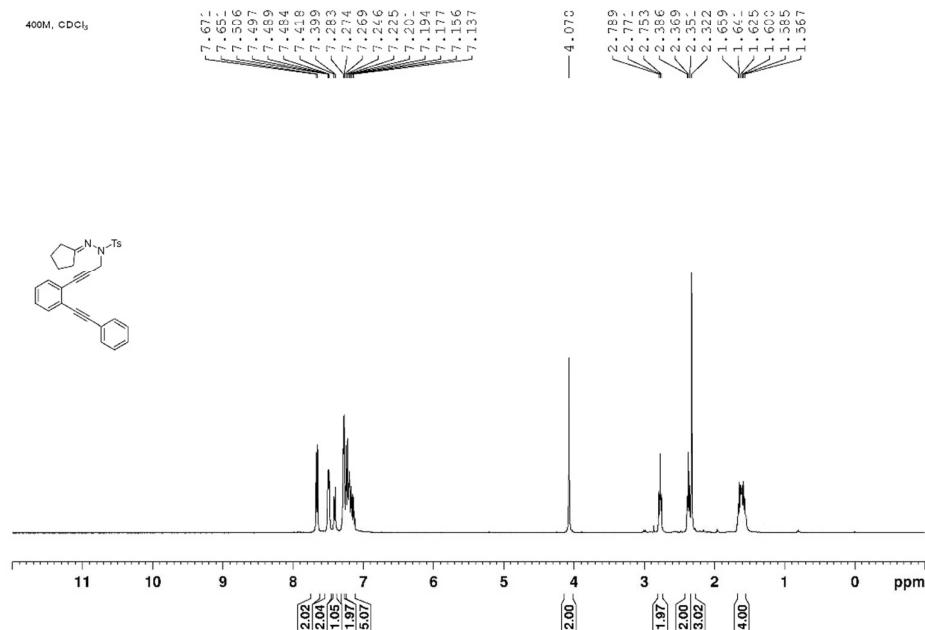
(E)-N'-(4-bromobenzylidene)-N-(3-(2-((4-bromophenyl)ethynyl)phenyl)prop-2-yn-1-yl)-4-methylbenzenesulfonohydrazide (**1m**)



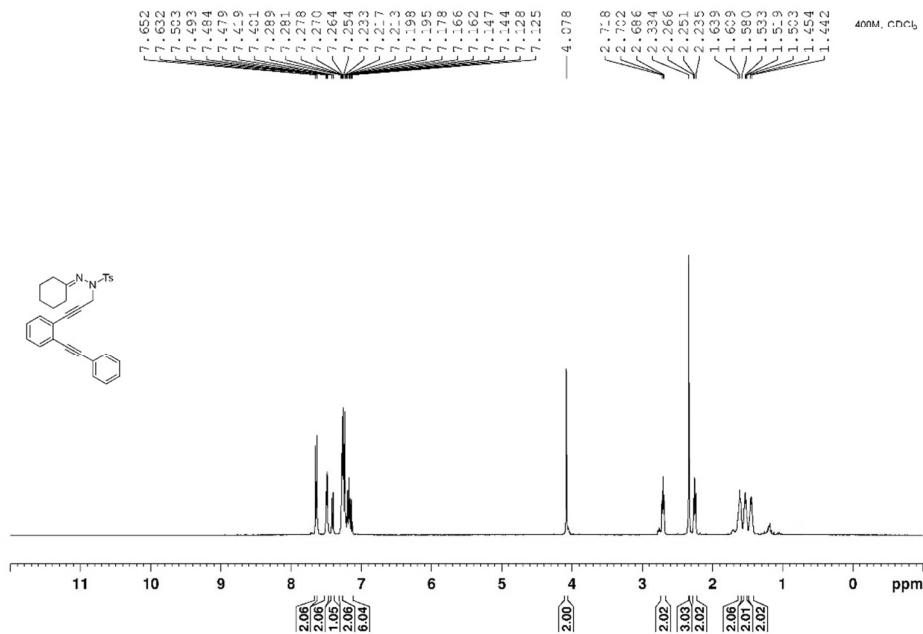
(E)-4-methyl-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)-N'-(2,2,2-trifluoroethylidene)benzene sulfonohydrazide (**1n**)



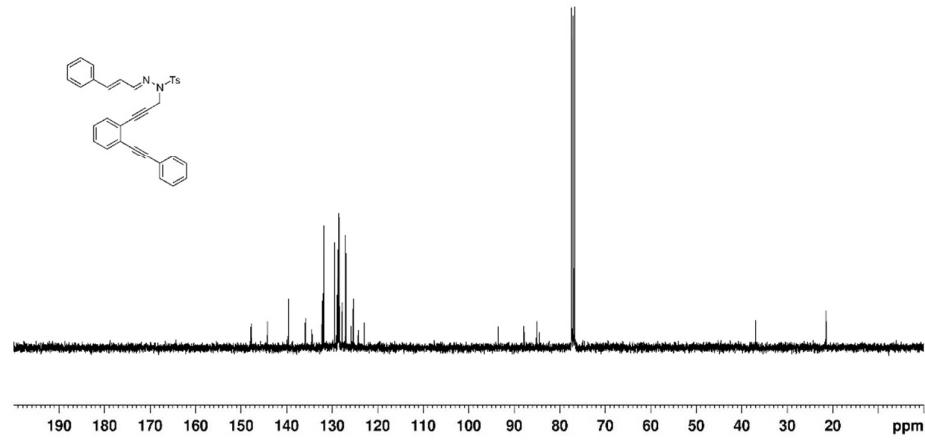
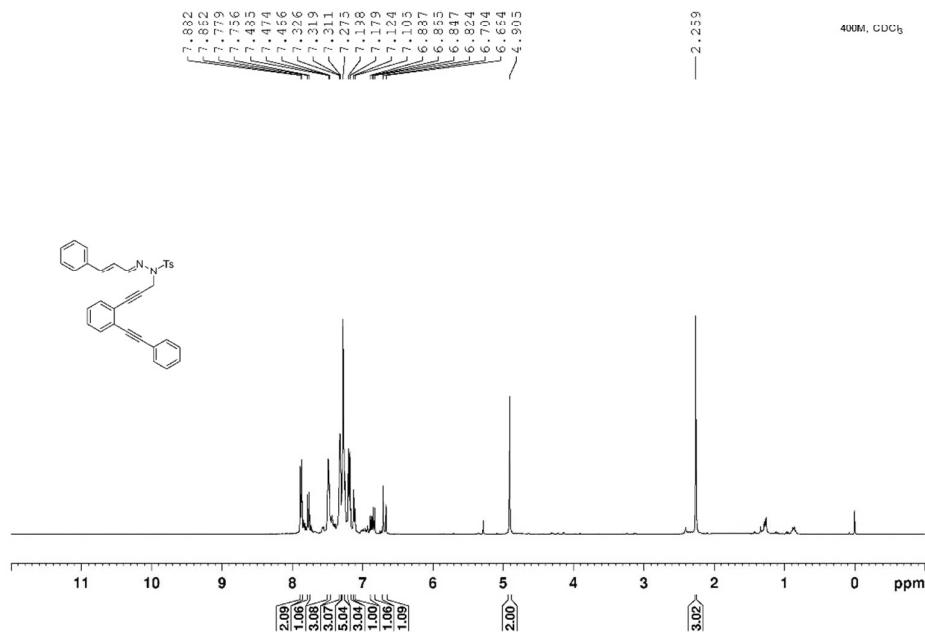
N'-cyclopentylidene-4-methyl-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)benzenesulfonohydrazide (**1o**)



N'-cyclohexylidene-4-methyl-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)benzenesulfonohydrazide(1p)



4-methyl-N'-(*(1E,2E*)-3-phenylallylidene)-N-(3-(2-(phenylethynyl)phenyl)prop-2-yn-1-yl)benzenesulfonohydrazide (**1q**)



N'-(*(1E,2E*)-but-2-en-1-ylidene)-4-methyl-N-(3-(2-(phenylethyynyl)phenyl)prop-2-yn-1-yl)benzenesulfonohydrazide(1r**)**

