Electronic Supplementary Material (ESI) for ChemComm.

Supporting Information

Ruthenium Catalyzed Dehydrogenative Cyclization to Synthesize Polysubstituted 4-Quinolones under Solvent-Free Condition

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A. General Information:

Unless otherwise mentioned, all chemicals were purchased from common commercial sources and used as received. $RuCl_2(PPh_3)_3$ was purchased from Sigma-Aldrich. All solvents were dried by standard procedure.[1] Solvents such as toluene were pre-dried using CaH_2 over Na with benzophenone indicator. The catalyst preparation was carried out under argon atmosphere with freshly distilled dry THF or dichloromethane. All catalytic reactions were carried out under argon atmosphere using dry glassware and standard syringe/septa techniques. Bruker Avance III 600, 500, 400 spectrometers were used to record ^{1}H, ^{13}C NMR and ^{31}P NMR respectively. Chemical shifts (δ) are reported in ppm downfield from tetramethylsilane; spin-spin coupling constants (*J*) are expressed in Hz and other data are reported as follows: s = singlet, d = doublet, t = triplet, m = multiplet, q = quartet, and br s = broad singlet. Column chromatography was done with SRL silica gel 100-200 mesh. Analytical thin layer chromatography (TLC) was carried out on silica gel plates (silica gel 60 F254), that were visualized by exposure to ultraviolet light and an aqueous solution of *p*-anisaldehyde.

B. Experimental Procedures:

Table S1: Optimization condition for the reaction between 2′-aminoacetophenone and benzyl alcohol:

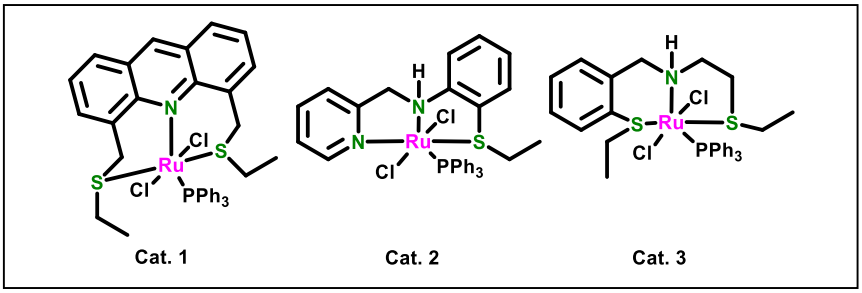
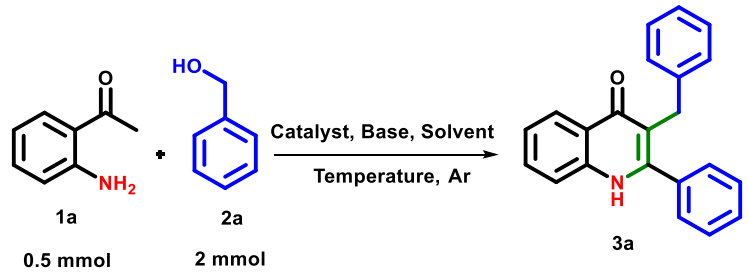


Figure S1: Ruthenium complexes

Table S1: General reaction for the optimization of reaction parameters.

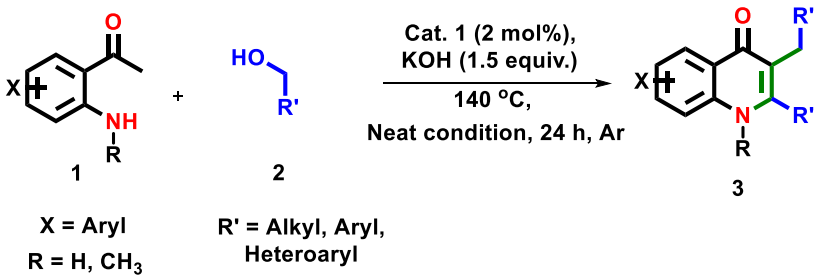


Serial No:	Catalyst Loading (mol%)	Base Loading (mmol)	Solvent	Temperature	Yield of 3a[a, b]
1	**Cat 1**, 2 mol%	KO^{t}Bu (0.5)	Neat	140 °C	52%
2	**Cat 1**, 2 mol%	KOH (0.5)	Neat	140 °C	65%
3	**Cat 1**, 2 mol%	NaOH (0.5)	Neat	140 °C	25%
4	**Cat 1**, 2 mol%	NaO^{t}Bu (0.5)	Neat	140 °C	32%
5[c]	**Cat 1**, 2 mol%	K_2CO_3 (0.5)	Neat	140 °C	-
6	**Cat 1**, 2 mol%	Cs_2CO_3 (0.5)	Neat	140 °C	41%
7	**Cat 1, 2 mol%**	**KOH (0.75)**	**Neat**	**140 °C**	**72%**
8	**Cat 1**, 2 mol%	KOH (1.0)	Neat	140 °C	73%
9[d]	**Cat 1**, 2 mol%	KOH (0.75)	Neat	140 °C	45%
10	**Cat 1**, 1 mol%	KOH (0.75)	Neat	140 °C	45%
11	**Cat 1**, 2 mol%	KOH (0.75)	Toluene	140 °C	35%
12	**Cat 1**, 2 mol%	KOH (0.75)	Dioxane	140 °C	17%
13	**Cat 1**, 2 mol%	KOH (0.75)	Xylene	140 °C	33%
14	**Cat 1**, 2 mol%	KOH (0.75)	^{t}Amyl alcohol	140 °C	55%
15	-	KOH (0.75)	Neat	140 °C	15%
16	**Cat 2**, 2 mol%	KOH (0.75)	Neat	140 °C	32%
17	**Cat 3**, 2 mol%	KOH (0.75)	Neat	140 °C	55%
18[c]	**Cat 1**, 2 mol%	K_2CO_3 (2.0)	Neat	140 °C	-
19	**$RuCl_2(PPh_3)_3$**, 2 mol%	KOH (0.75)	Neat	140 °C	35%
20[e]	**Cat 1**, 2 mol%	KOH (0.75)	Neat	140 °C	42%

[a]Reaction conditions: **1a** (0.5 mmol), 2a (2.0 mmol), **Cat. 1** (2 mol%), KOH (0.5 - 1.0 mmol), solvent (0 - 2 ml) at 140 °C of a preheated oil bath for 24 h in a 15 ml Schlenk tube under Ar. [b]Isolated yield. [c]Yield of compound 2-phenylquinolin-4(1H)-one, 55%. [d]**1a** (0.5 mmol), **2a** (1.0 mmol). [e] Under O_2

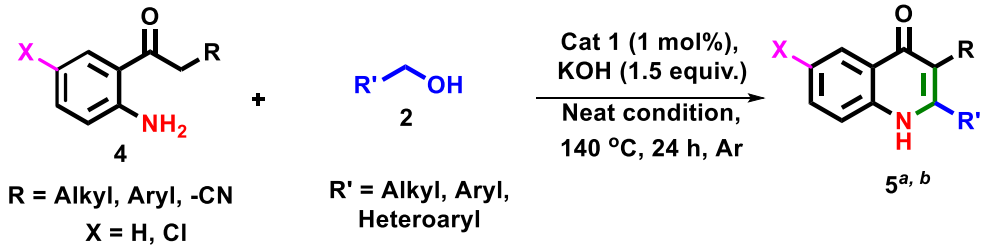
1. General procedure for the synthesis of 4-quinolones from various alcohols and 2′-aminoacetophenones:

To a 15 ml schelnk tube, 2′-Aminoacetophenone analogue, **1** (0.5 mmol, 1 equiv.), alcohol, **2** (2 mmol, 4 equiv.), acridine based Ru-SNS[2] catalyst, Cat. **1** (2 mol%), KOH (42 mg, 0.75 mmol, 1.5 equiv.) were added under argon atmosphere. The reaction mixture was heated at 140 °C for 24 h. After cooling to room temperature, ethyl acetate (15 ml) was added and the reaction mixture was passed through a small pad of celite filter and was transferred into another flask. The filtrate was then evaporated and the crude product was purified by column chromatography (typically ethyl acetate/petroleum ether as an eluent) using silica to afford a pure product.



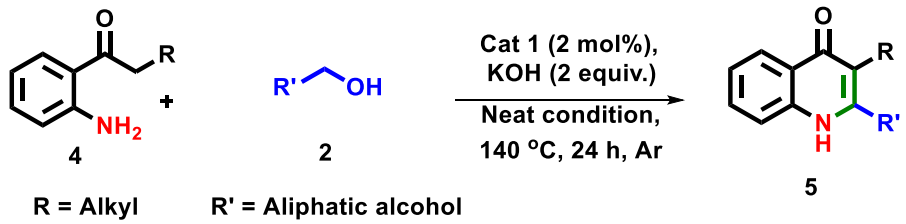
2. General procedure for the synthesis of 4-quinolones from alcohols and functionally diverse α-alkylated 2'-aminoacetophenone based derivatives:

To a 15 ml schelnk tube, α-alkylated 2'-aminoacetophenones, **1** (0.5 mmol, 1 equiv.), aryl alcohols, **2** (1 mmol, 2 equiv.), acridine based Ru-SNS[2] catalyst, Cat. **1** (1 mol%), KOH (42 mg, 0.75 mmol, 1.5 equiv.) were added under argon atmosphere. The reaction mixture was heated at 140 °C for 24 h. After cooling to room temperature, ethyl acetate (15 ml) was added and the reaction mixture was passed through a small pad of celite filter and was transferred into another flask. The filtrate was then evaporated and the crude product was purified by column chromatography (typically ethyl acetate/petroleum ether as an eluent) using silica to afford a pure product.



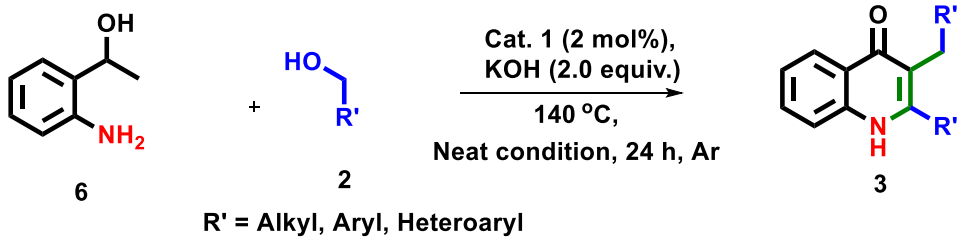
3. General procedure for the synthesis of quinolones from aliphatic alcohols and functionally diverse α-alkylated 2'-aminoacetophenone based derivatives:

To a 15 ml schelnk tube, α-alkylated 2'-aminoacetophenones, **1** (0.5 mmol, 1 equiv.), aliphatic alcohols, **2** (2 mmol, 4 equiv.), acridine based Ru-SNS[2] catalyst, Cat. **1** (2 mol%), KOH (56 mg, 1 mmol, 2.0 equiv.) were added under argon atmosphere. The reaction mixture was heated at 140 °C for 24 h. After cooling to room temperature, ethyl acetate (15 ml) was added and the reaction mixture was passed through a small pad of celite filter and was transferred into another flask. The filtrate was then evaporated and the crude product was purified by column chromatography (typically ethyl acetate/petroleum ether as an eluent) using silica to afford a pure product.



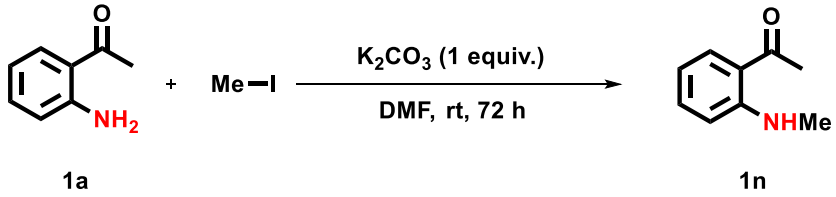
4. General procedure for the synthesis of 4-quinolones from substituted benzyl alcohols and 1-(2-aminophenyl)ethan-1-ol:

To a 15 ml schelnk tube, 1-(2-aminophenyl)ethan-1-ol, **6** (0.5 mmol, 1 equiv.), benzyl alcohol, **2** (2 mmol, 4 equiv.), acridine based Ru-SNS[2] catalyst, Cat. **1** (2 mol%), KOH (56 mg, 1 mmol, 2.0 equiv.) were added under argon atmosphere. The reaction mixture was heated at 140 °C for 24 h. After cooling to room temperature, ethyl acetate (15 ml) was added and the reaction mixture was passed through a small pad of celite filter and was transferred into another flask. The filtrate was then evaporated and the crude product was purified by column chromatography (typically ethyl acetate/petroleum ether as an eluent) using silica to afford a pure product.



5. Preparation of various starting materials:

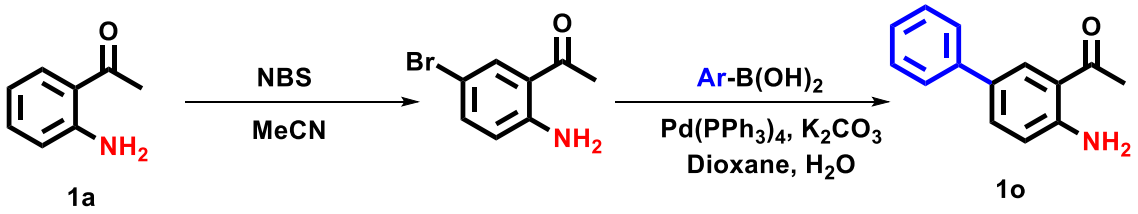
5a. Procedure for the synthesis of 1-(2′-(methylamino)phenyl)ethan-1-one (1n): In a flame dried 100 ml round bottom flask, 2′-aminoacetophenone (608 mg, 4.5 mmol, 1.0 equiv.) was dissolved in 10 ml dry DMF and K_2CO_3 (622 mg, 4.5 mmol, 1 equiv.) was added to it, and the mixture was stirred for 15 minutes at room temperature (23 °C). Next, methyl iodide (0.28 ml, 4.5 mmol, 1 equiv.) was added dropwise via syringe and the reaction mixture was stirred for 3 days at room temperature (23 °C). After completion of the reaction as monitored by thin layer chromatography, water was added (15 ml) and the reaction mixture was extracted with ethyl acetate. The combined organic layer was washed with brine, dried over anhydrous Na_2SO_4, filtered, and concentrated under reduced pressure. The residue was purified by silica gel flash column chromatography to give the expected product in 60% yield (403 mg) using ethyl acetate/petroleum ether as the eluent. The analytical data of the prepared compound matched with reported literature data.[6]



5b. Preparation procedure of 1-(4-amino-[1,1'-biphenyl]-3-yl)ethan-1-one (1o):

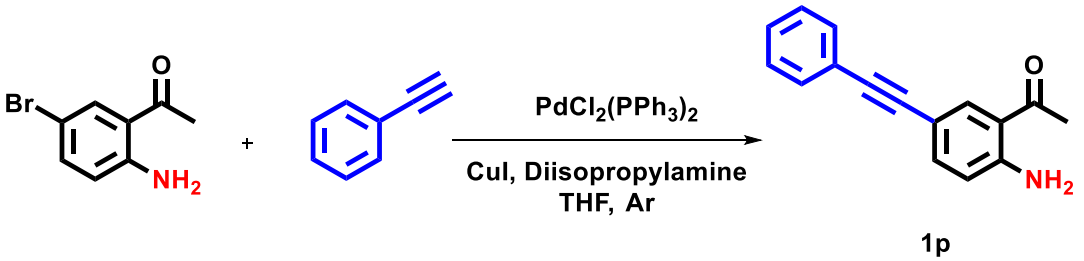
To a 50 ml round-bottom flask was added 1-(2-aminophenyl)ethanone (1.35 g, 10.0 mmol, 10 equiv.) and 10 mL MeCN. N-bromosuccinimide (1.77 g, 10.0 mmol, 10 equiv.) in 10 ml MeCN was added to the solution at 0 °C over 3 min under stirring. The mixture was allowed to warm to room temperature and stirred for 3 h. The solvent was removed in vacuum and the crude residue was filtered through a short plug of silica (washed with petroleum ether:ethyl acetate = 5: 1). The filtrate was concentrated in vacuum to afford 1-(2-amino-5-bromophenyl)ethanone as a dark yellow solid (2.08 g, 97 % yield). The product was utilized for next step without further purification.

To a 100 ml round-bottom Schlenk bottle was added 1-(2-amino-5-bromophenyl)ethanone (1.07 g, 5.0 mmol, 1.0 equiv.), aryl boronic acid (5.5 mmol, 670 mg, 1.1 equiv.), K_2CO_3 (2.28 g, 16.5 mmol, 3.3 mmol), $Pd(PPh_3)_4$ (58 mg, 0.05 mmol), 14 ml dioxane and 14 ml H_2O. The bottle was evacuated and backfilled with Ar for three times. The mixture was stirred at 100 °C for 3 h. Upon the completion of the reaction, the mixture was poured into 50 ml ethyl acetate and the organic layer was separated. The organics was washed with 1 M HCl and brine, dried over Na_2SO_4 and concentrated. The residue was purified by flash column chromatography to afford the coupling product (824 mg, 78 % yield). [5]



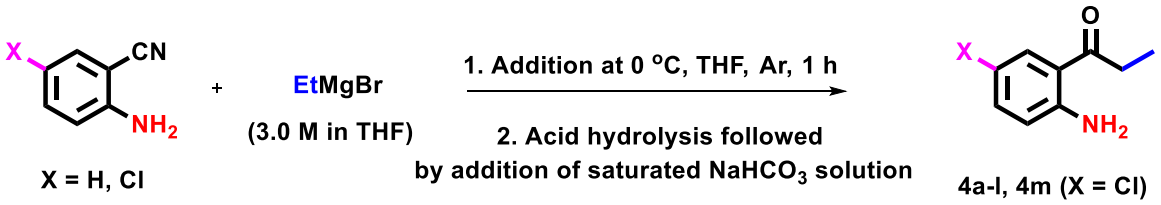
5c. Preparation procedure of 1-(2-Amino-5-(phenylethynyl)phenyl)ethanone (1p):

To a round-bottom flask was added 1-(2-amino-5-bromophenyl)ethanone (2.13 g, 10.0 mmol, 1.0 equiv.), ethynylbenzene (1.12 g, 11.0 mmol, 1.1 equiv.), bis(triphenylphosphine)palladium(II) dichloride (281 mg, 0.4 mmol), copper iodide (380 mg, 2.0 mmol), diisopropylamine (5.7 ml, 40 mmol, 4.0 equiv.) and 20 ml THF. The bottle was evacuated and backfilled with Ar for three times. The reaction mixture was stirred at room temperature overnight and was allowed to pass through a short plug of silica gel (washed with ethyl acetate/petroleum ether = 1: 1). The filtrate was concentrated in vacuum and the residue was further purified by flash column chromatography with ethyl acetate/petroleum ether (1:15) to yield the target product as a yellow solid (1.79 g, 76 % yield).[5]

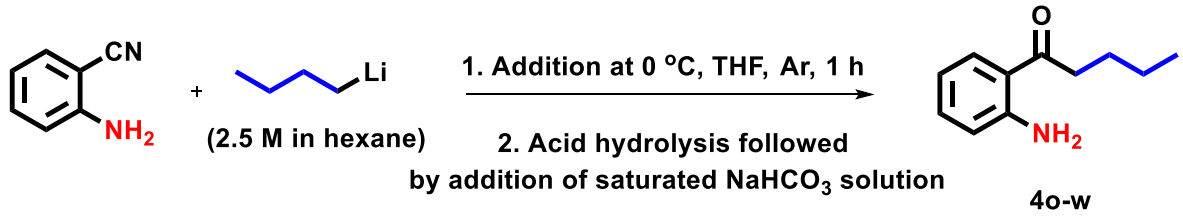


5d. Preparation procedure of 1-(2-(methylamino)phenyl)ethan-1-one (4a-l, 4m): A solution of substituted 2-aminobenzonitrile in THF (0.3 M) was stirred at 0 °C. Next, Grignard reagent (3.0 M, 3.0 equiv.) was slowly added to the mixture. After the completion of the reaction (monitored by TLC), the temperature of the reaction mixture was promoted to room

temperature. Later, the reaction mixture was slowly quenched with 6 M HCl and left for overnight imine hydrolysis at room temperature. Next, it was treated with excess saturated aqueous $NaHCO_3$ solution to remove the traces of HCl and extracted three times with ethyl acetate. The combined extracts was washed by brine. The organic layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. Finally, the residue was purified by silica gel column chromatography in ethyl acetate/petroleum ether (1:9).[5]



5e. Preparation procedure of 1-(2-aminophenyl)pentan-1-one (4o-w): ^{n}BuLi (2.5 M in hexane, 30 mmol, 3.0 equiv.) was added slowly to a solution of 2-aminobenzonitrile (10 mmol, 1.0 equiv.) in THF (50 ml) at 0 °C under Ar. Afterwards, the mixture was stirred for 1 h at 0 °C. After the reaction completion, the reaction mixture was quenched by 1M aqueous HCl at 0 °C. The mixture was extracted with ethyl acetate (3×20 ml) and the combined organic extracts was washed with saturated $NaHCO_3$ solution and brine. Later, it was dried over Na_2SO_4, filter, and concentrated. It was later purified by flash column chromatography on silica gel with ethyl acetate/petroleum ether (1:15).[5]

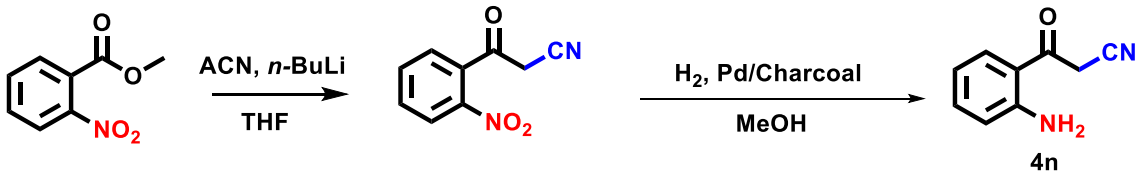


5f. Synthesis of 3-(2-aminophenyl)-3-oxopropanenitrile (4n):

Acetonitrile (0.9 mL, 16.6 mmol, 2.0 equiv.) and dry THF (10.0 ml) were added to an oven-dried round bottom flask under argon gas. The mixture was cooled to -78 ℃ and then, 2.0 M ^{n}BuLi in hexane (8.5 ml, 16.6 mmol, 2.0 equiv.) was added to a stirred mixture. After 1 h, methyl-2-nitrobenzoate (1.2 ml, 8.3 mmol, 1.0 equiv.) in THF (5.0 ml) was added dropwise for 15 min by syringe pump. The reaction mixture was stirred for 1 h, and then stirred for further 2 h at -45 ℃. Upon the completion of reaction, mixture was quenched saturated solution of NH_4Cl (25 ml). The mixture was extracted with ethyl acetate (4 x 40 ml). The organic layer was washed with brine (40 ml), dried over anhydrous Na_2SO_4, concentrated and then, purified

by flash column chromatography on silica gel using hexane and ethyl acetate (5:1 to 3:1). 3-(2-nitrophenyl)-3-oxopropanenitrile was obtained as red solid (1.1 g, 55% yield).

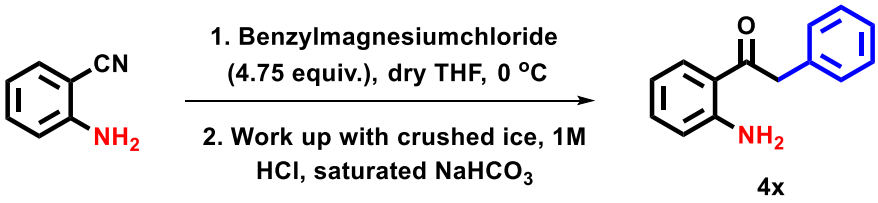
3-(2-nitrophenyl)-3-oxopropanenitrile (800 mg, 4.2 mmol, 1.0 equiv.), 10% Pd/C (156 mg, 1.5 mmol, 0.35 equiv.) and ethyl acetate (18.0 mL) were added to an oven-dried round bottom flask under argon gas. A reaction vessel was charged with H_2 balloon and stirred for 3 h at room temperature. Upon the completion of reaction, mixture was filtered on celite using DCM. A mixture was concentrated and then, purified by flash column chromatography on silica gel using ethyl acetate/petroleum ether (1:3). The target product was obtained as pale yellow solid (560 mg, 64% yield).



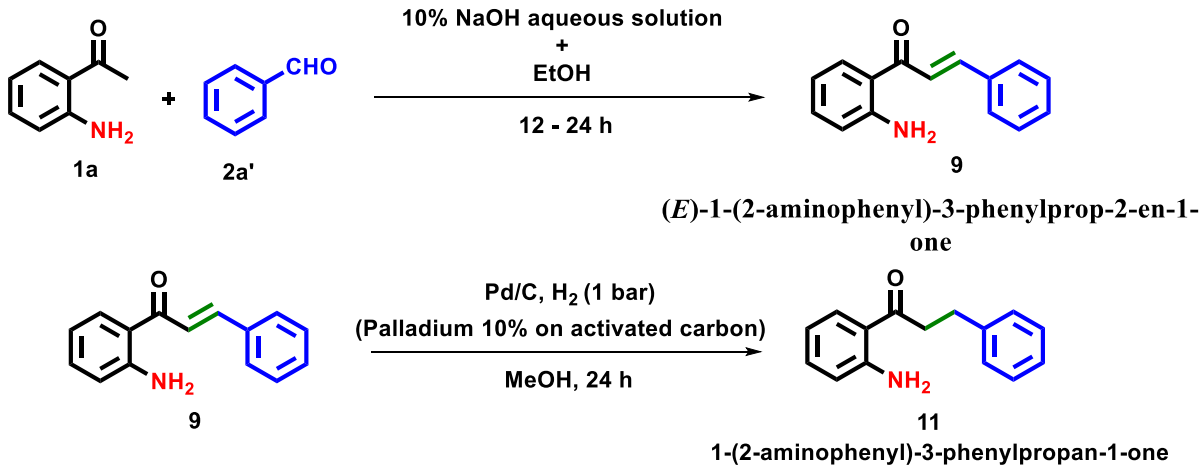
5f. Preparation procedure of 2-phenyl-2,3-dihydroquinolin-4(1H)-one (4x):

Magnesium turnings (4.75 equiv.), iodine crystalline (1 piece, catalytic amount) and dry THF (1.0 M) were added to an oven-dried 2-necked round bottom flask under argon gas. Benzyl halide (4.75 equiv.) was added to a stirred mixture and then, reaction mixture was heated to 60 ℃ using oil bath and stirred for 1.5 h. After the reaction mixture was warmed to room temperature, a freshly prepared Grignard was directly used in next reaction.

Next, 1.4 M benzylmagnesium chloride in THF (47.0 ml, 66.5 mmol, 4.75 equiv.) was added drop wise to a solution of 2-aminobenzonitrile (1.6 g, 14.0 mmol, 1.0 equiv.) in dry THF (0.5 M) for 1 h using syringe pump. The reaction was allowed to stir for overnight at room temperature. Upon the completion of reaction, mixture was poured into a crushed ice and 1 M HCl was added (adjusted with pH 1). After neutralization using sat. $NaHCO_3$, the mixture was extracted with ethyl acetate (2 x 40 ml). The organic layer was washed with brine (40 ml), dried over anhydrous Na_2SO_4, concentrated and then, it was purified by silica gel column chromatography, obtained as a white solid (1.48 g, 50% yield).[5]

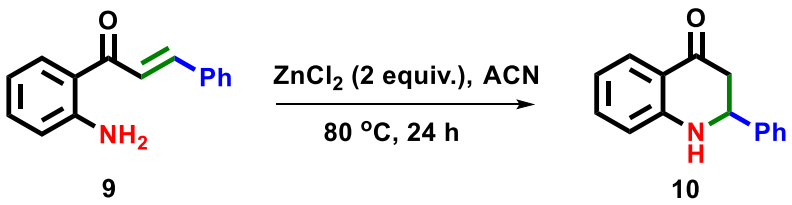


5g. Preparation procedure of (*E*)-1-(2-aminophenyl)-3-phenylprop-2-en-1-one 1-(2-aminophenyl)-3-phenylpropan-1-one (9 and 11): To a 100 ml round-bottom flask were added 2′-aminoecetophenone (1.2 ml, 10 mmol, 1.0 equiv.), benzaldehyde (1.1 ml, 11 mmol, 1.1 equiv.), 10% NaOH aqueous solution (40 ml), and EtOH (10 ml). The reaction mixture was stirred for another 12−24 h at room temperature. After the reaction was completed, the mixture was solid recrystallized in EtOH and afforded **(*E*)-1-(2-aminophenyl)-3-phenylprop-2-en-1-one** in good yield. Later, it was reduced by H_2 (balloon) and Pd/C (Palladium 10% on activated carbon, 100 mg) in methanol to afford 1-**(2-aminophenyl)-3-phenylpropan-1-one.**[3, 4]



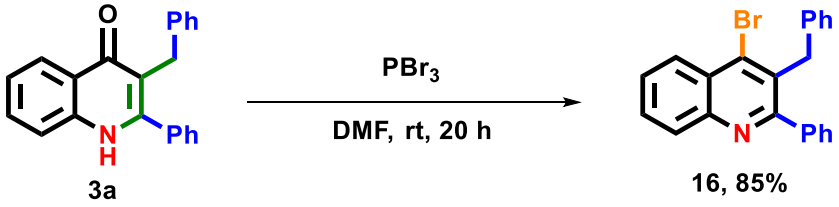
5h. Preparation procedure of 2-phenyl-2,3-dihydroquinolin-4(1H)-one (10):

(*E*)-1-(2-aminophenyl)-3-phenylprop-2-en-1-one **9** (446 mg, 2 mmol, 1.0 equiv.) was taken in a 15 ml schlenk tube and was refluxed with 2 equiv. of $ZnCl_2$ (545 mg, 4 mmol) in ACN solvent for 24 h. Later, the crude reaction mixture was purified by silica gel column chromatography using ethyl acetate/petroleum ether (3:7). The target product was obtained as yellow solid (312 mg, 70% yield). [7]



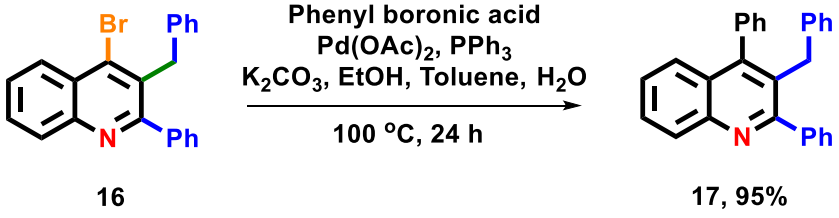
6. Post synthetic modification:

6a. Preparation procedure of 3-benzyl-4-bromo-2-phenylquinoline: 3a (311 mg, 1.0 mmol, 1.0 equiv.) and dry DMF (5 ml) was added to an oven-dried round bottom flask under argon gas. A mixture was cooled to 0 ℃ and then, PBr_3 (0.192 ml, 2.0 mmol, 2.0 equiv.) was added slowly to a stirred mixture. The reaction mixture was warmed to room temperature, and stirred for overnight. The mixture was monitored by TLC and quenched with sat. $NaHCO_3$ to make a mixture neutral. The mixture was extracted with DCM (2 x 15 ml). The organic layer was washed with H_2O (2 x 15 ml) and brine (15 ml), dried over anhydrous Na_2SO_4, concentrated, and then residues were purified by flash column chromatography on silica gel, using ethyl acetate/petroleum ether (1:9). **16** was obtained as white solid (318 mg, 85% yield).[8]



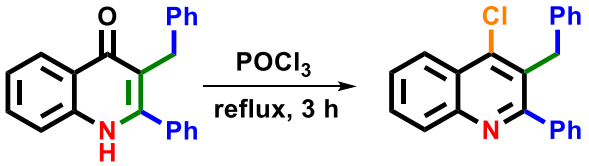
6b. Preparation procedure of 3-benzyl-4-bromo-2-phenylquinoline:

16 (186 mg, 0.5 mmol, 1.0 equiv.), phenyl boronic acid (92 mg, 8.0 mmol, 8.0 equiv.), anhydrous K_2CO_3 (298 mg, 2.0 mmol, 4.0 equiv.), PPh_3 (19 mg, 0.075 mmol, 15 mol%), $Pd(OAc)_2$ (6 mg, 0.025 mmol, 5 mol%), H_2O (1.0 ml), EtOH (0.5 ml) and toluene (2.0 ml) were added to an oven-dried Borosilicate Glass Tube. The reaction vessel was charged with argon and sealed. The mixture was heated to 100 ℃ using oil bath and stirred for 24 h. The mixture was diluted with DCM (5.0 ml) and H_2O (5.0 ml), and extracted with DCM (2 x 15.0 ml). The organic layer was washed with H_2O (10.0 ml) and brine (10.0 ml), dried over anhydrous Na_2SO_4, concentrated, and then residues were purified by flash column chromatography on silica gel using ethyl acetate/petroleum ether (1:9). **17** was obtained as white solid (176 mg, 95% yield).[8]



6c. Preparation procedure of 3-benzyl-4-bromo-2-phenylquinoline:

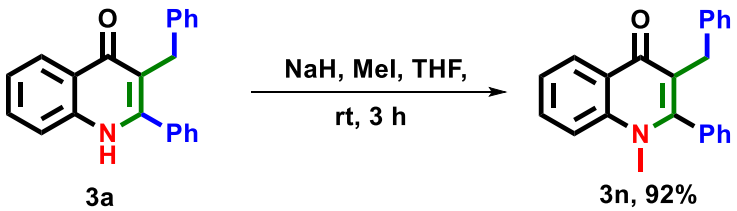
3a (311 mg, 1.0 mmol, 1.0 equiv.) and $POCl_3$ (0.753 ml, 8.0 mmol, 8.0 equiv.) were added to an oven-dried round bottom flask. The reaction vessel was equipped with reflux condenser, charged with argon gas and stirred for 3 h at 80 ℃ using oil bath. The mixture was monitored by TLC and quenched with sat. $NaHCO_3$ to make a mixture neutral. The mixture was extracted with DCM (2 x 15 ml). The organic layer was washed with H_2O (2 x 15 ml) and brine (15 ml), dried over anhydrous Mg_2SO_4, concentrated, and then residues were purified by flash column chromatography on silica gel, using ethyl acetate/petroleum ether (1:9). **18** was obtained as yellow solid (296 mg, 90% yield).[8]



3a 18, 90%

6d. Preparation procedure of 3-benzyl-4-bromo-2-phenylquinoline:

3a (155 mg, 0.5 mmol, 1.0 equiv.) and dry THF (10.0 ml) were added to an oven-dried round bottom flask. The mixture was cooled to 0 ℃ and stirred for 10 min, and then NaH (40 mg, 1.0 mmol, 2.0 equiv.) was added portionwise for 15 min. Iodomethane (94.0 µL, 1.5 mmol, 3.0 equiv.) was added to the mixture and the mixture was stirred for 3 h at room temperature. The mixture was quenched with H_2O (10 ml) and extracted with ethyl acetate (2 x 20 ml). The organic layer was washed with brine (10 ml), dried over anhydrous Na_2SO_4, concentrated, and then residues were purified by flash column chromatography on silica gel using ethyl acetate/petroleum ether (1:1). **3n** was obtained as yellow solid (149 mg, 92% yield).[8]

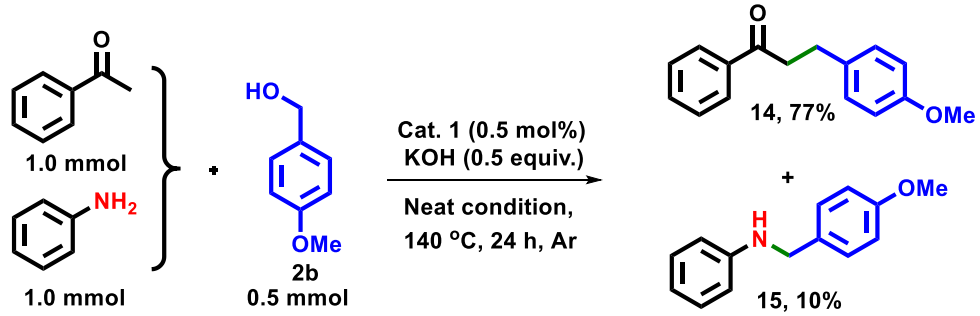


3a 3n, 92%

C. Mechanistic investigation:

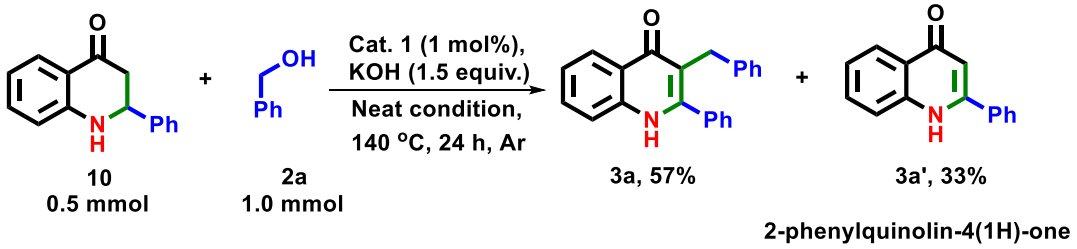
Control experiment A:

To a 15 ml schelnk tube , acetophenone (120 mg, 1.0 mmol, 2.0 equiv.), aniline (93 mg, 1.0 mmol, 2.0 equiv.), 4-methoxybenzyl alcohol (69 mg, 0.5 mmol, 1.0 equiv.), acridine based Ru-SNS catalyst (0.5 mol%) and KOH (28 mg, 0.5 mmol, 1.0 equiv.) were added under an atmosphere of Ar. The reaction mixture was then heated at 140 °C with stirring for 24 h. After cooling, ethyl acetate (5 ml) was added and the crude mixture was passed through a short plug of celite filter. The filtrate was then evaporated and the crude product was purified by column chromatography using ethyl acetate/petroleum ether. **14** was obtained as colour less liquid (185 mg, 77%) and **15** was obtained as yellow solid (21 mg, 10% yield).



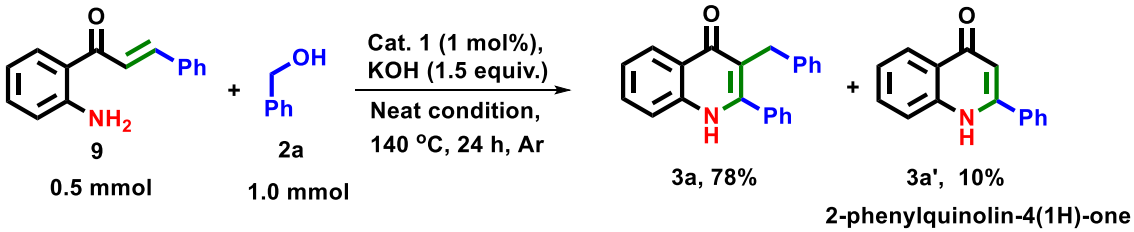
Control experiment B:

To a 15 ml schlenk tube, 2-phenyl-2,3-dihydroquinolin-4(1H)-one, **10** (111 mg, 0.5 mmol, 1.0 equiv.), benzyl alcohol (108 mg, 1 mmol, 2.0 equiv.), acridine based Ru-SNS catalyst (1 mol%) and KOH (42 mg, 0.75 mmol, 1.5 equiv.) were added under an atmosphere of Ar. The reaction mixture was then heated at 140 °C with stirring for 24 h. After cooling, ethyl acetate (5 ml) was added and the crude mixture was passed through a short plug of celite filter. The filtrate was then evaporated and the crude product was purified by column chromatography using ethyl acetate/petroleum ether (ranging from 2:3 to 9:1). **3a** was obtained as white solid (88 mg, 57% yield) and **3a′** was obtained as grey solid (36 mg, 33% yield).



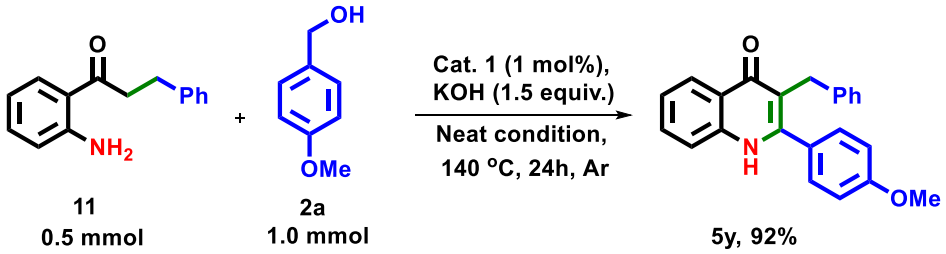
Control experiment C:

To a 15 ml schlenk tube, (*E*)-1-(2-aminophenyl)-3-phenylprop-2-en-1-one, **9** (111 mg, 0.5 mmol, 1.0 equiv.), benzyl alcohol (108 mg, 1 mmol, 2.0 equiv.), acridine based Ru-SNS catalyst (1 mol%) and KOH (42 mg, 0.75 mmol, 1.5 equiv.) were added under an atmosphere of Ar. The reaction mixture was then heated at 140 °C with stirring for 24 h. After cooling, ethyl acetate (5 ml) was added and the crude mixture was passed through a short plug of celite filter. The filtrate was then evaporated and the crude product was purified by column chromatography using ethyl acetate/petroleum ether (ranging from 2:3 to 9:1). **3a** was obtained as white solid (121 mg, 78% yield) and **3a′** was obtained as grey solid (11 mg, 10% yield).



Control experiment D:

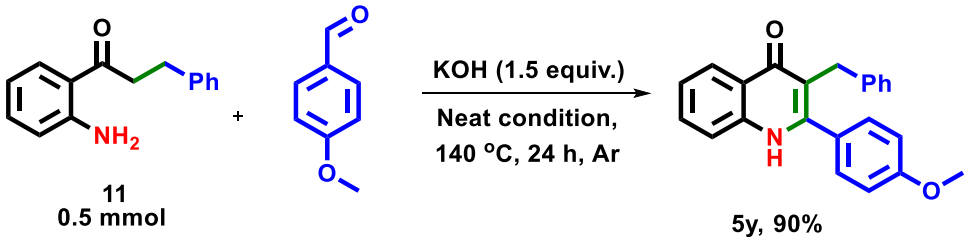
To a 15 ml schlenk tube, 1-(2-aminophenyl)-3-phenylpropan-1-one, **11** (112 mg, 0.5 mmol, 1.0 equiv.), 4-methoxybenzyl alcohol (138 mg, 1 mmol, 2.0 equiv.), acridine based Ru-SNS catalyst (1 mol%) and KOH (42 mg, 0.75 mmol, 1.5 equiv.) were added under an atmosphere of Ar. The reaction mixture was then heated at 140 °C with stirring for 24 h. After cooling, ethyl acetate (5 ml) was added and the crude mixture was passed through a short plug of celite filter. The filtrate was then evaporated and the crude product was purified by column chromatography using ethyl acetate/petroleum ether (4:1). **5y** was obtained as white solid (157 mg, 92% yield).



Control experiment E:

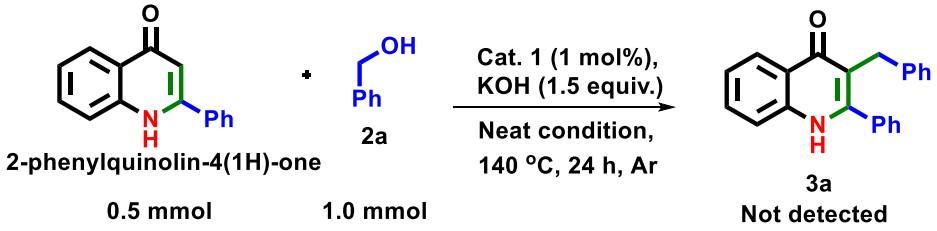
To a 15 ml schlenk tube, 1-(2-aminophenyl)-3-phenylpropan-1-one, **11** (112 mg, 0.5 mmol, 1.0 equiv.), 4-methoxy benzaldehyde (136 mg, 1 mmol, 2.0 equiv.) and KOH (42 mg, 0.75

mmol, 1.5 equiv.) were added under an atmosphere of Ar. The reaction mixture was then heated at 140 °C with stirring for 24 h. After cooling, ethyl acetate (5 ml) was added and the crude mixture was passed through a short plug of celite filter. The filtrate was then evaporated and the crude product was purified by column chromatography using ethyl acetate/petroleum ether (4:1). **5y** was obtained as white solid (153 mg, 90% yield).



Control experiment F:

To a 15 ml schlenk tube, 2-phenylquinolin-4(1H)-one (110 mg, 0.5 mmol, 1.0 equiv.), benzyl alcohol (108 mg, 1 mmol, 2.0 equiv.), Cat. **1** (1 mol%) and KOH (42 mg, 0.75 mmol, 1.5 equiv.) were added under an atmosphere of Ar. The reaction mixture was then heated at 140 °C with stirring for 24 h. After cooling, ethyl acetate (5 ml) was added and the crude mixture was passed through a short plug of celite filter and analysed with TLC. The target product was not detected.



Control experiment G:

Ruthenium catalyzed dehydrogenation of alcohol and detection of evolved hydrogen gas:

To an oven-dried 100 mL seal tube, benzyl alcohol (2.0 mmol), 2'-amino acetophenone (0.5 mmol), Cat 1 (2 mol%) and KOH (0.75 mmol) were added under argon. Then the reaction mixture was kept for stirring in preheated oil bath at 140 °C for the next 24 h. After completion of the reaction, seal tube was cooled at 0 °C. Then the evolved gas syringed out and detected from PerkinElmer clarus-590 GC instrument using Elite Plot-Q column (30 m length x 530 µm x 20 µm ID) employing the following method:

TCD starting temperature: 40 °C

Oven temperature: 60 °C

Time at starting temperature: 0 min

Hold time: 5 min

Ramp: 28 °C/ min up to 200 °C

Flow rate: 5 ml/ min (N_2)

Split ration: 20

Inlet temperature: 40 °C

Detector temperature TCD: 200 °C

The detected gas chromatogram was shown in figure S2 (right).

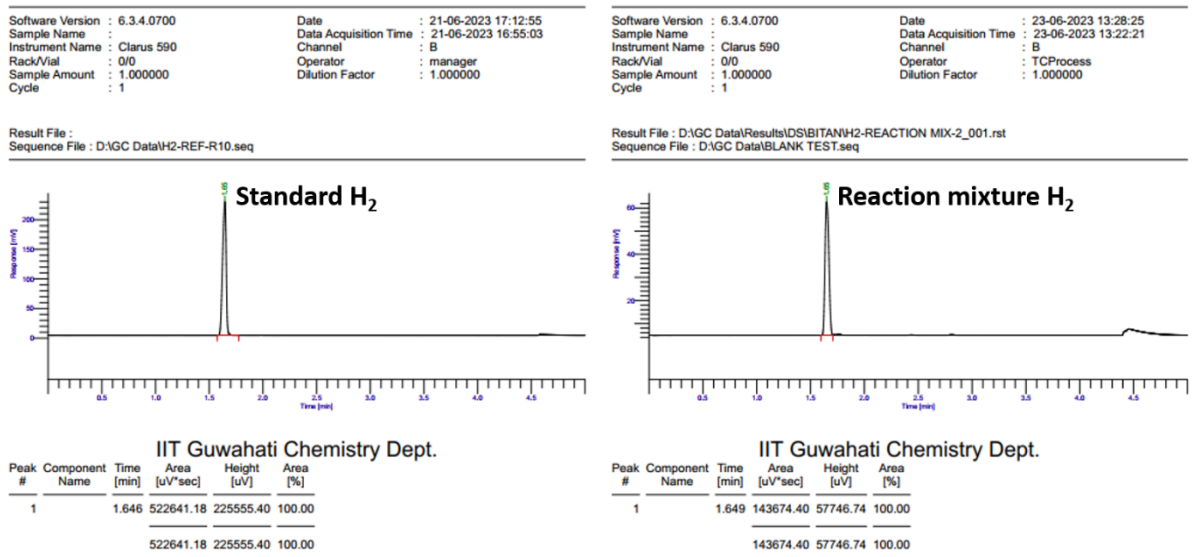
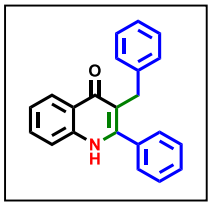


Figure S2. Chromatogram of standard hydrogen gas (left) and evolved hydrogen gas during catalysis (right).

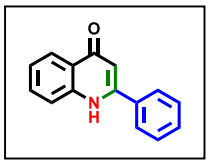
D. Analytical data for products:

***3-benzyl-2-phenylquinolin-4(1H)-one (3a)*:** The title compound was isolated as a white solid



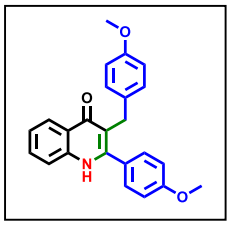
using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (2:3), (Yield: 72%), ^{1}H NMR (500 MHz, DMSO-d_6) δ 11.72 (s, 1H), 8.13 (d, J = 8.1 Hz, 1H), 7.66 – 7.62 (m, 2H), 7.54 – 7.50 (m, 3H), 7.44 (d, J = 7.4 Hz, 2H), 7.34 – 7.31 (m, 1H), 7.13 (t, J = 7.4 Hz, 2H), 7.06 (t, J = 7.2 Hz, 1H), 6.95 (d, J = 7.4 Hz, 2H), 3.74 (s, 2H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 181.5, 154.3, 146.7, 144.7, 140.0, 136.7, 134.7, 133.9, 133.7, 133.1, 133.0, 130.5, 130.3, 128.9, 128.1, 123.5, 122.8, 36.3.[9]

2-phenylquinolin-4(1H)-one (3a'): The title compound was isolated as a grey solid using



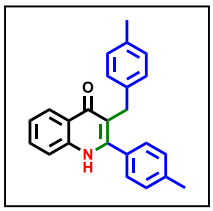
silica-gel column chromatography eluting with ethyl acetate/petroleum ether (4:1), (Yield: 55%), ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.79 (s, 1H), 8.15 (d, J = 7.6 Hz, 1H), 7.88 – 7.85 (m, 2H), 7.81 (d, J = 8.3 Hz, 1H), 7.70 (t, J = 8.2 Hz, 1H), 7.62 – 7.60 (m, 3H), 7.37 (t, J = 7.5 Hz, 1H), 6.38 (s, 1H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 177.5, 150.5, 141.0, 134.7, 132.3, 130.9, 129.5, 127.9, 125.4, 125.2, 123.7, 119.2, 107.8.[8]

3-(4-methoxybenzyl)-2-(4-methoxyphenyl)quinolin-4(1H)-one (3b): The title compound was



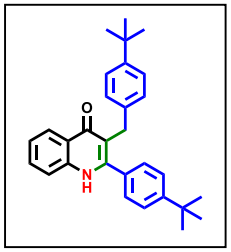
isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (2:3), (Yield: 85%), ^{1}H NMR (500 MHz, DMSO-d_6) δ 11.55 (s, 1H), 8.05 (d, J = 8.0 Hz, 1H), 7.58 – 7.53 (m, 2H), 7.31 (d, J = 8.6 Hz, 2H), 7.23 (t, J = 7.9 Hz, 1H), 7.00 (d, J = 8.6 Hz, 2H), 6.82 (d, J = 8.5 Hz, 2H), 6.64 (d, J = 8.6 Hz, 2H), 3.75 (s, 3H), 3.62 (s, 2H), 3.59 (s, 3H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 176.8, 160.5, 157.5, 149.3, 140.0, 134.0, 131.8, 130.6, 129.2, 127.6, 125.5, 124.2, 123.2, 118.7, 118.5, 114.3, 113.8, 55.8, 55.3, 30.7. [9]

3-(4-methylbenzyl)-2-(p-tolyl)quinolin-4(1H)-one (3c): The title compound was isolated as a



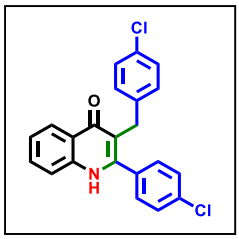
white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (2:3), (Yield: 82%), ^{1}H NMR (500 MHz, DMSO-d_6) δ 11.68 (s, 1H), 8.16 (d, J = 8.1 Hz, 1H), 7.67 (d, J = 3.8 Hz, 2H), 7.37 (s, 5H), 6.99 (d, J = 7.7 Hz, 2H), 6.91 (d, J = 7.7 Hz, 2H), 3.73 (s, 2H), 2.43 (s, 3H), 2.24 (s, 3H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 176.7, 149.5, 140.0, 139.5, 138.9, 134.5, 132.5, 131.8, 129.4, 129.0, 128.9, 128.2, 125.5, 124.2, 123.3, 118.7, 118.2, 31.2, 21.4, 21.0. [9]

3-(4-(tert-butyl)benzyl)-2-(4-(tert-butyl)phenyl)quinolin-4(1H)-one (3d): The title compound



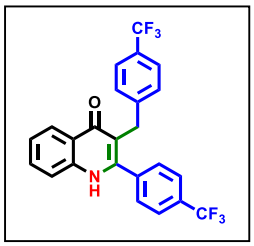
was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (2:3), (Yield: 71%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.68 (s, 1H), 8.10 (d, J = 8.0 Hz, 1H), 7.63 (s, 2H), 7.56 (d, J = 8.2 Hz, 2H), 7.43 (d, J = 8.1 Hz, 2H), 7.32 (dd, J = 9.3, 6.5 Hz, 1H), 7.16 (d, J = 8.2 Hz, 2H), 6.92 (d, J = 8.0 Hz, 2H), 3.71 (s, 2H), 1.34 (s, 9H), 1.22 (s, 9H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 181.5, 157.4, 154.3, 152.7, 144.8, 143.5, 137.3, 136.7, 133.6, 132.7, 130.6, 130.2, 129.8, 128.9, 128.1, 123.5, 122.8, 39.7, 39.1, 36.4, 36.2. HRMS (ESI-TOF) m/z $[M+H]^+$ calculated for $C_{30}H_{33}NO$ is 425.2674. Found 425.2674.

3-(4-chlorobenzyl)-2-(4-chlorophenyl)quinolin-4(1H)-one (3e): The title compound was



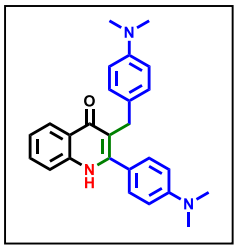
isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (2:3), (Yield: 75%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.82 (s, 1H), 8.15 (d, J = 8.1 Hz, 1H), 7.68 – 7.63 (m, 2H), 7.59 (d, J = 8.4 Hz, 2H), 7.46 (d, J = 8.4 Hz, 2H), 7.34 (t, J = 7.3 Hz, 1H), 7.19 (d, J = 8.4 Hz, 2H), 6.99 (d, J = 8.3 Hz, 2H), 3.71 (s, 2H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 176.7, 148.7, 140.8, 140.0, 134.9, 133.8, 132.2, 131.0, 130.0, 129.1, 128.3, 125.6, 124.2, 123.6, 118.8, 117.9, 30.9. [9]

3-(4-(trifluoromethyl)benzyl)-2-(4-(trifluoromethyl)phenyl)quinolin-4(1H)-one (3f): The



title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (2:3), (Yield: 45%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.92 (s, 1H), 8.16 (d, J = 8.1 Hz, 1H), 7.90 (d, J = 7.9 Hz, 2H), 7.72 – 7.68 (m, 3H), 7.64 (d, J = 8.6 Hz, 1H), 7.50 (d, J = 8.1 Hz, 2H), 7.38 – 7.35 (m, 1H), 7.20 (d, J = 8.0 Hz, 2H), 3.82 (s, 2H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 176.6, 148.5, 146.6, 140.0, 138.9, 132.4, 130.4 (q, J = 31.9 Hz), 130.2, 128.9, 126.7 (q, J = 31.3 Hz), 126.0 (q, J = 3.9 Hz), 125.5, 125.4, 125.2 (q, J = 3.9 Hz), 124.4 (q, J = 270 Hz), 118.8, 117.4, 31.4.[9]

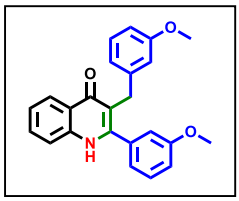
3-(4-(dimethylamino)benzyl)-2-(4-(dimethylamino)phenyl)quinolin-4(1H)-one (3g): The



title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (2:3), (Yield: 45%), ^{1}H NMR (500 MHz, DMSO-d_6) δ 11.44 (s, 1H), 8.09 (d, J = 8.1 Hz, 1H), 7.65 – 7.58 (m, 2H), 7.31 – 7.26 (m, 3H), 6.83 (dd, J = 17.7, 8.3 Hz, 4H), 6.56 (d, J = 8.2 Hz, 2H), 3.69 (s, 2H), 2.97 (s, 6H), 2.79 (s, 6H).

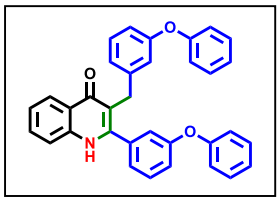
^{13}C NMR (125 MHz, DMSO-d_6) δ 176.8, 151.4, 149.7, 148.9, 140.1, 131.6, 130.2, 130.0, 128.8, 125.5, 124.1, 122.9, 122.6, 118.6, 118.4, 112.9, 111.9, 40.9, 40.4, 30.8. HRMS (ESI-TOF) m/z $[M+H]^+$ calculated for $C_{26}H_{27}N_3O$ 398.2232. Found 398.2291.

3-(3-methoxybenzyl)-2-(3-methoxyphenyl)quinolin-4(1H)-one (3h): The title compound was



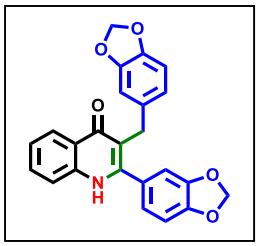
isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (2:3), (Yield: 80%), ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.76 (s, 1H), 8.16 (d, J = 8.1 Hz, 1H), 7.65 – 7.64 (m, 2H), 7.44 (t, J = 7.9 Hz, 1H), 7.35 – 7.31 (m, 1H), 7.12 – 7.05 (m, 3H), 6.97 (s, 1H), 6.66 (dd, J = 8.1, 2.2 Hz, 1H), 6.60 (d, J = 7.6 Hz, 1H), 6.53 (s, 1H), 3.74 (s, 2H), 3.69 (s, 3H), 3.63 (s, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 176.8, 159.5, 159.4, 149.4, 143.7, 140.0, 136.4, 131.9, 130.2, 129.3, 125.5, 124.2, 123.4, 121.2, 120.6, 118.7, 117.9, 115.7, 114.5, 114.1, 111.1, 55.5, 55.2, 31.6. [9]

3-(3-phenoxybenzyl)-2-(3-phenoxyphenyl)quinolin-4(1H)-one (3i): The title compound was



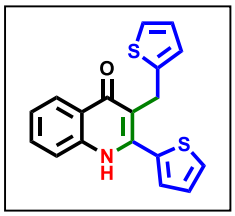
isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (2:3), (Yield: 75%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.77 (s, 1H), 8.13 (d, J = 8.1 Hz, 1H), 7.65 – 7.60 (m, 2H), 7.51 (t, J = 7.9 Hz, 1H), 7.36 (t, J = 7.9 Hz, 2H), 7.34 – 7.31 (m, 3H), 7.19 (d, J = 7.6 Hz, 1H), 7.16 – 7.13 (m, 3H), 7.07 (t, J = 7.3 Hz, 1H), 6.98 (d, J = 7.8 Hz, 3H), 6.88 (d, J = 7.9 Hz, 2H), 6.74 – 6.70 (m, 2H), 6.58 (s, 1H), 3.76 (s, 2H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 176.7, 157.2, 157.1, 156.7, 156.5, 148.9, 144.2, 139.9, 136.8, 132.1, 130.9, 130.6, 130.3, 129.9, 125.5, 124.3, 124.2, 124.1, 123.6, 123.5, 119.9, 119.3, 118.9, 118.7, 118.6, 117.8, 116.1, 31.2. HRMS (ESI-TOF) m/z $[M+H]^+$ calculated for $C_{34}H_{25}NO_3$ is 496.1913. Found 496.1914.

2-(benzo[d][1,3]dioxol-5-yl)-3-(benzo[d][1,3]dioxol-5-ylmethyl)quinolin-4(1H)-one (3j):



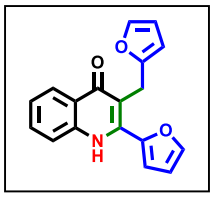
The title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (1:1), (Yield: 42%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.66 (s, 1H), 8.13 (d, J = 8.1 Hz, 1H), 7.64 (s, 2H), 7.32 (dt, J = 8.1, 3.7 Hz, 1H), 7.08 – 7.05 (m, 2H), 6.94 (dd, J = 7.9, 1.9 Hz, 1H), 6.69 (d, J = 7.9 Hz, 1H), 6.59 (s, 1H), 6.42 (dd, J = 8.0, 1.9 Hz, 1H), 6.13 (s, 2H), 5.91 (s, 2H), 3.70 (s, 2H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 176.7, 149.2, 148.6, 147.7, 147.4, 145.3, 139.9, 135.9, 131.9, 128.8, 125.5, 124.2, 123.3, 123.3, 120.9, 118.7, 118.3, 109.7, 108.9, 108.8, 108.2, 102.0, 100.9, 31.3. [9]

2-(thiophen-2-yl)-3-(thiophen-2-ylmethyl)quinolin-4(1H)-one (3k): The title compound was



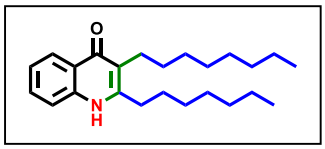
isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (1:1), (Yield: 78%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.80 (s, 1H), 8.15 (d, *J* = 8.0 Hz, 1H), 7.89 (d, *J* = 5.0 Hz, 1H), 7.68 (d, *J* = 3.4 Hz, 2H), 7.45 (d, *J* = 2.7 Hz, 1H), 7.36 (dt, *J* = 8.0, 4.2 Hz, 1H), 7.29 (dd, *J* = 5.1, 3.5 Hz, 1H), 7.20 (d, *J* = 4.3 Hz, 1H), 6.86 (dd, *J* = 5.1, 3.4 Hz, 1H), 6.65 (d, *J* = 4.3 Hz, 1H), 4.05 (s, 2H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 176.3, 144.6, 142.5, 140.0, 134.5, 132.3, 130.3, 129.5, 128.0, 126.9, 125.5, 124.7, 124.1, 123.9, 123.7, 119.3, 118.8, 26.7. [9]

2-(furan-2-yl)-3-(furan-2-ylmethyl)quinolin-4(1H)-one (3l): The title compound was isolated



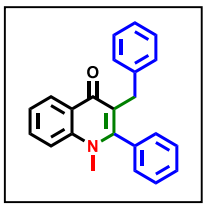
as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (1:1), (Yield: 45%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.63 (s, 1H), 8.12 (d, *J* = 8.0 Hz, 1H), 8.05 (s, 1H), 7.80 (d, *J* = 8.3 Hz, 1H), 7.67 (t, *J* = 7.6 Hz, 1H), 7.47 (s, 1H), 7.33 (t, *J* = 7.5 Hz, 1H), 7.01 (d, *J* = 3.1 Hz, 1H), 6.78 (s, 1H), 6.28 (s, 1H), 5.87 (s, 1H), 4.08 (s, 2H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 176.5, 154.6, 146.6, 145.6, 141.5, 140.1, 138.2, 125.5, 123.8, 123.6, 118.9, 114.6, 114.3, 112.8, 110.9, 105.6, 24.8. HRMS (ESI-TOF) m/z $[M+H]^+$ calculated for $C_{18}H_{13}NO_3$ 292.0974. Found 292.0975.

2-heptyl-3-octylquinolin-4(1H)-one (3m): The title compound was isolated as a brown solid



using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (2:3), (Yield: 28%), ^{1}H NMR (400 MHz, $CDCl_3$) δ 11.54 (s, 1H), 8.27 (d, *J* = 8.1 Hz, 1H), 7.64 (d, *J* = 8.3 Hz, 1H), 7.41 (t, *J* = 7.4 Hz, 1H), 7.16 (t, *J* = 7.3 Hz, 1H), 2.65 (t, *J* = 8.1 Hz, 2H), 2.57 (t, *J* = 7.9 Hz, 2H), 1.59 – 1.54 (m, 2H), 1.47 – 1.43 (m, 2H), 1.22 – 1.10 (m, 16H), 0.85 – 0.66 (m, 8H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 172.9, 146.6, 134.9, 126.2, 120.7, 119.2, 118.1, 115.4, 113.4, 27.6, 27.2, 26.9, 25.4, 25.1, 25.1, 24.9, 24.9, 24.6, 24.4, 24.3, 24.2, 20.9, 17.9, 17.8, 9.34, 9.29. HRMS (ESI-TOF) m/z $[M+H]^+$ calculated for $C_{23}H_{19}NO$ is 356.2953. Found 356.2926.

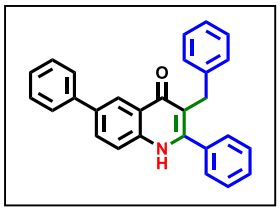
3-benzyl-1-methyl-2-phenylquinolin-4(1H)-one (3n): The title compound was isolated as a



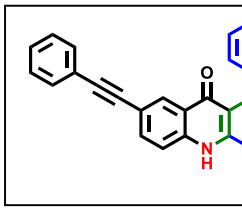
brown solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (1:1), (Yield: 65%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 8.30 (d, *J* = 7.8 Hz, 1H), 7.78 (d, *J* = 4.2 Hz, 2H), 7.53 – 7.49 (m, 3H), 7.46 – 7.43 (m, 1H), 7.27 (dd, *J* = 7.6, 1.7 Hz, 2H), 7.09 (t, *J* = 7.3 Hz, 2H), 7.04 (t, *J* = 7.2 Hz, 1H), 6.86 (d, *J* = 7.1 Hz, 2H), 3.57 (s, 2H), 3.42 (s, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 175.9, 153.0, 141.6, 141.3, 134.9, 132.6, 129.6, 129.3, 128.9, 128.3, 128.2, 126.2,

125.7, 125.5, 123.7, 120.1, 117.5, 37.7, 32.7. HRMS (ESI-TOF) m/z $[M+H]^+$ calculated for $C_{23}H_{19}NO$ is 326.1545. Found 326.1524.

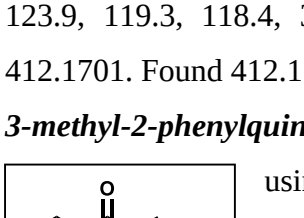
3-benzyl-2,6-diphenylquinolin-4(1H)-one (3o): The title compound was isolated as a brown solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (1:1), (Yield: 70%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.84 (s, 1H), 8.38 (d, *J* = 2.0 Hz, 1H), 8.01 (dd, *J* = 8.7, 2.2 Hz, 1H), 7.75 (t, *J* = 8.0 Hz, 3H), 7.56 – 7.47 (m, 7H), 7.39 (t, *J* = 7.8 Hz, 1H), 7.15 (t, *J* = 7.5 Hz, 2H), 7.08 (t, *J* = 7.3 Hz, 1H), 6.98 (d, *J* = 7.4 Hz, 2H), 3.77 (s, 2H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 176.8, 149.5, 141.9, 140.0, 139.4, 135.3, 135.2, 130.7, 130.0, 129.6, 129.2, 129.0, 128.4, 128.3, 127.9, 127.0, 125.8, 124.4, 122.9, 119.6, 118.3, 31.6. HRMS (ESI-TOF) m/z $[M+H]^+$ calculated for $C_{28}H_{21}NO$ is 388.1701. Found 388.1699.



3-benzyl-2-phenyl-6-(phenylethynyl)quinolin-4(1H)-one (3p): The title compound was isolated as a brown solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (1:1), (Yield: 62%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.81 (s, 1H), 8.28 (d, *J* = 1.6 Hz, 1H), 7.99 (dd, *J* = 8.7, 1.9 Hz, 1H), 7.65 (dd, *J* = 8.1, 3.3 Hz, 2H), 7.55 – 7.51 (m, 3H), 7.46 – 7.43 (m, 2H), 7.41 – 7.38 (m, 2H), 7.32 (s, 1H), 7.28 (d, *J* = 7.1 Hz, 1H), 7.14 (t, *J* = 7.5 Hz, 2H), 7.08 (t, *J* = 7.2 Hz, 1H), 6.98 (d, *J* = 7.4 Hz, 2H), 3.77 (s, 2H). ^{13}C NMR (150 MHz, DMSO- d_6) δ 176.7, 149.3, 141.9, 139.6, 137.6, 135.2, 132.4, 129.9, 129.7, 129.2, 129.1, 129.0, 128.9, 128.7, 128.6, 128.5, 128.4, 128.3, 128.1, 126.9, 125.8, 124.4, 123.9, 119.3, 118.4, 31.5. HRMS (ESI-TOF) m/z $[M+H]^+$ calculated for $C_{30}H_{21}NO$ is 412.1701. Found 412.1702.



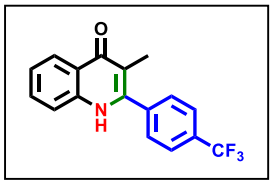
3-methyl-2-phenylquinolin-4(1H)-one (5a): The title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (3:2), (Yield: 88%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.65 (s, 1H), 8.15 (d, *J* = 8.1 Hz, 1H), 7.63 (d, *J* = 5.52 Hz, 2H), 7.57 (d, *J* = 5.2 Hz, 5H), 7.31(t, *J* = 7.8 Hz, 1H), 1.90 (s, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 178.3, 149.3, 140.9, 136.5, 132.8, 130.9, 130.4, 130.1, 126.4, 124.5, 124.2, 119.6, 115.9, 13.6.[8]



2-(4-methoxyphenyl)-3-methylquinolin-4(1H)-one (5b): The title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (3:2), (Yield: 92%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.53 (s, 1H), 8.13 (d, *J* = 8.0 Hz, 1H), 7.63 (t, *J* = 7.9 Hz, 2H), 7.51 (d, *J* = 8.5 Hz, 2H), 7.30 (t, *J* = 7.2 Hz, 1H), 7.13

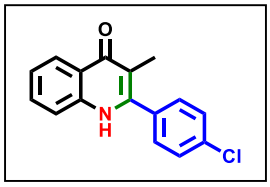
(d, *J* = 8.5 Hz, 2H), 3.86 (s, 3H), 1.92 (s, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 177.2, 160.4, 148.0, 139.9, 131.6, 130.9, 127.7, 125.4, 123.4, 123.0, 118.5, 114.7, 114.3, 55.8, 12.7.[10]

3-methyl-2-(4-(trifluoromethyl)phenyl)quinolin-4(1H)-one (5c): The title compound was



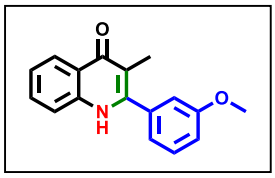
isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (3:2), (Yield: 52%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.74 (s, 1H), 8.15 (d, *J* = 8.1 Hz, 1H), 7.96 (d, *J* = 8.0 Hz, 2H), 7.82 (d, *J* = 7.9 Hz, 2H), 7.65 (t, *J* = 7.6 Hz, 1H), 7.60 (d, *J* = 8.3 Hz, 1H), 7.34 (t, *J* = 7.5 Hz, 1H), 1.89 (s, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 177.2, 146.8, 139.9, 139.4, 132.0, 130.5, 130.2 (q, *J* = 32.1 Hz) , 125.9 (q, *J* = 3.9 Hz), 125.5, 124.5 (q, *J* = 271 Hz), 123.5, 123.4, 118.6, 115.1, 12.4.[10]

2-(4-chlorophenyl)-3-methylquinolin-4(1H)-one (5d): The title compound was isolated as a



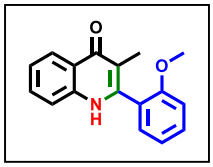
white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (3:2), (Yield: 68%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.74 (s, 1H), 8.14 (d, *J* = 8.1 Hz, 1H), 7.63 (d, *J* = 8.8 Hz, 4H), 7.58 (d, *J* = 8.2 Hz, 2H), 7.33 (t, *J* = 7.3 Hz, 1H), 1.88 (s, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 177.4, 147.2, 139.8, 134.7, 134.0, 132.0, 131.3, 129.1, 125.4, 123.5, 123.4, 118.6, 115.1, 12.5. [10]

2-(3-methoxyphenyl)-3-methylquinolin-4(1H)-one (5e): The title compound was isolated as



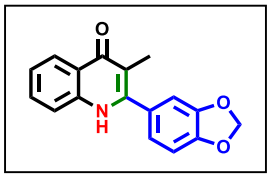
a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (3:2), (Yield: 83%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.62 (s, 1H), 8.15 (d, *J* = 7.7 Hz, 1H), 7.63 (s, 2H), 7.50 (t, *J* = 6.2 Hz, 1H), 7.30 (t, *J* = 7.9 Hz, 1H), 7.13 (s, 3H), 3.84 (s, 3H), 1.92 (s, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 177.2, 159.6, 147.9, 139.9, 136.8, 131.7, 130.2, 125.4, 123.5, 123.1, 121.6, 118.6, 115.4, 114.9, 114.8, 55.8, 12.6.[11]

2-(2-methoxyphenyl)-3-methylquinolin-4(1H)-one (5f): The title compound was isolated as a

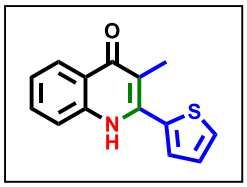


white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (4:1), (Yield: 35%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.60 (s, 1H), 8.14 (d, *J* = 8.0 Hz, 1H), 7.62 (q, *J* = 7.9 Hz, 2H), 7.49 (d, *J* = 8.6 Hz, 2H), 7.30 (t, *J* = 7.3 Hz, 1H), 7.11 (d, *J* = 8.6 Hz, 2H), 3.84 (s, 3H), 1.92 (s, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 177.3, 160.4, 148.2, 139.8, 131.7, 130.8, 127.6, 125.3, 123.4, 123.1, 118.5, 114.8, 114.3, 55.8, 12.7. HRMS (ESI-TOF) m/z $[M+H]^+$ calculated for $C_{17}H_{15}NO_2$ is 266.1181. Found 266.1178.

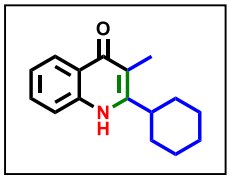
2-(benzo[d][1,3]dioxol-5-yl)-3-methylquinolin-4(1H)-one (5g): The title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (4:1), (Yield: 38%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.56 (s, 1H), 8.12 (d, *J* = 8.1 Hz, 1H), 7.62 (s, 2H), 7.30 (t, *J* = 6.8 Hz, 1H), 7.16 (s, 1H), 7.11 (d, *J* = 7.9 Hz, 1H), 7.05 (d, *J* = 7.9 Hz, 1H), 6.14 (s, 2H), 1.93 (s, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 177.2, 148.4, 147.8, 147.7, 139.8, 131.7, 129.1, 125.3, 123.5, 123.4, 123.1, 118.5, 114.8, 109.9, 108.8, 102.0, 12.7. HRMS (ESI-TOF) m/z $[M+H]^+$ calculated for $C_{17}H_{13}NO_3$ is 280.0974. Found 280.0974.



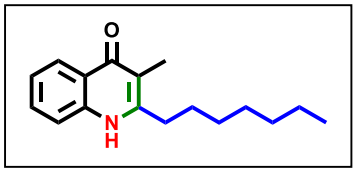
3-methyl-2-(thiophen-2-yl)quinolin-4(1H)-one (5h): The title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (4:1), (Yield: 73%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.61 (s, 1H), 8.13 (d, *J* = 8.1 Hz, 1H), 7.90 (d, *J* = 5.1 Hz, 1H), 7.67 – 7.62 (m, 2H), 7.52 (d, *J* = 3.6 Hz, 1H), 7.31 (d, *J* = 5.4 Hz, 2H), 2.06 (s, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 177.0, 141.3, 139.9, 135.4, 131.9, 130.3, 129.3, 128.0, 125.4, 123.4, 123.3, 118.6, 116.0, 12.8.[12]



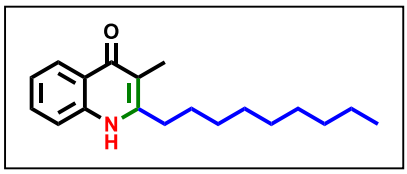
2-cyclohexyl-3-methylquinolin-4(1H)-one (5i): The title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (4:1), (Yield: 53%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 10.73 (s, 1H), 8.07 (d, *J* = 8.0 Hz, 1H), 7.73 (d, *J* = 8.4 Hz, 1H), 7.58 (t, *J* = 7.6 Hz, 1H), 7.25 (t, *J* = 7.5 Hz, 1H), 2.97 (t, *J* = 11.7 Hz, 1H), 2.06 (s, 3H), 1.86 (d, *J* = 12.8 Hz, 2H), 1.80 – 1.75 (m, 5H), 1.43 (q, *J* = 13.6, 12.1 Hz, 2H), 1.33 (t, *J* = 10.3 Hz, 1H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 176.9, 153.5, 139.8, 131.3, 125.3, 123.2, 122.8, 118.4, 113.4, 30.2, 26.4, 25.8, 10.5.[10]



2-heptyl-3-methylquinolin-4(1H)-one (5j): The title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (1:1), (Yield: 63%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.35 (s, 1H), 8.06 (d, *J* = 7.8 Hz, 1H), 7.58 (t, *J* = 7.4 Hz, 1H), 7.50 (d, *J* = 8.2 Hz, 1H), 7.24 (t, *J* = 7.2 Hz, 1H), 2.68 (t, *J* = 7.8 Hz, 2H), 2.00 (s, 3H), 1.63 (t, *J* = 7.1 Hz, 2H), 1.37 – 1.27 (m, 8H), 0.86 (t, *J* = 6.3 Hz, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 176.7, 150.2, 139.6, 131.4, 125.5, 123.3, 122.7, 118.0, 114.2, 32.1, 31.7, 29.3, 28.9, 28.8, 22.5, 14.4, 10.8.[12]

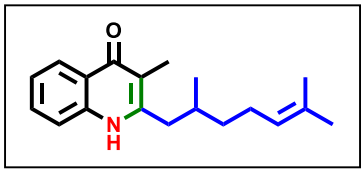


3-methyl-2-nonylquinolin-4(1H)-one (5k): The title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (1:1),



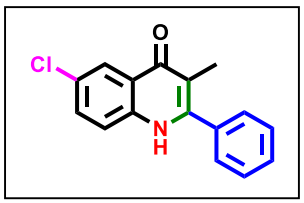
(Yield: 65%), , ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.39 (s, 1H), 8.05 (d, J = 8.0 Hz, 1H), 7.57 (t, J = 8.2 Hz, 1H), 7.50 (d, J = 8.1 Hz, 1H), 7.24 (t, J = 7.5 Hz, 1H), 2.67(t, J = 7.9 Hz, 2H), 1.98 (s, 3H), 1.61 (p, J = 7.6 Hz, 2H), 1.35 – 1.23 (m, 12H), 0.83 (t, J = 6.7 Hz, 3H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 176.8, 150.3, 139.6, 131.4, 125.4, 123.3, 122.8, 118.0, 114.2, 32.1, 31.7, 29.4, 29.3, 29.2, 29.1, 28.7, 22.5, 14.4, 10.7.[13]

2-(2,6-dimethylhept-5-en-1-yl)-3-methylquinolin-4(1H)-one (5l): The title compound was



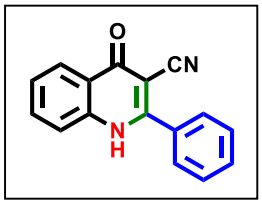
isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (1:1), (Yield: 52%), ^{1}H NMR (600 MHz, $CDCl_3$) δ 9.96 (s, 1H), 8.39 (d, J = 8.2 Hz, 1H), 7.54 (q, J = 8.6 Hz, 2H), 7.29 (t, J = 7.8 Hz, 1H), 5.02 (t, J = 7.0 Hz, 1H), 2.81 (dd, J = 13.8, 6.4 Hz, 1H), 2.56 (dd, J = 13.8, 8.7 Hz, 1H), 2.20 (s, 3H), 2.05 (dq, J = 14.8, 6.9, 6.4 Hz, 1H), 1.96 (dq, J = 16.4, 7.1 Hz, 2H), 1.68 (s, 3H), 1.58 (s, 3H), 1.42 – 1.37 (m, 1H), 1.28 (ddd, J = 22.6, 13.9, 8.3 Hz, 1H), 0.94 (d, J = 6.6 Hz, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 178.1, 148.8, 139.1, 131.7, 131.2, 126.0, 124.1, 123.6, 122.9, 117.3, 116.3, 40.2, 36.9, 32.8, 25.7, 25.5, 19.3, 17.7, 11.2. HRMS (ESI-TOF) m/z $[M+Na]^+$ calculated for $C_{19}H_{25}NO$ 284.2014. Found 284.2027.

6-chloro-3-methyl-2-phenylquinolin-4(1H)-one (5m): The title compound was isolated as a



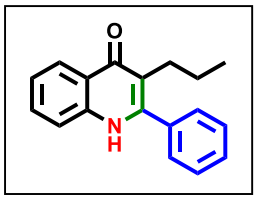
white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (1:1), (Yield: 78%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.79 (s, 1H), 8.06 (s, 1H), 7.65 (s, 2H), 7.59 – 7.56 (m, 5H), 1.89 (s, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 176.0, 148.5, 138.5, 135.2, 131.8, 130.0, 129.3, 129.0, 127.7, 124.4, 124.2, 121.1, 115.3, 12.6.[14]

4-oxo-2-phenyl-1,4-dihydroquinoline-3-carbonitrile (5n): The title compound was isolated as

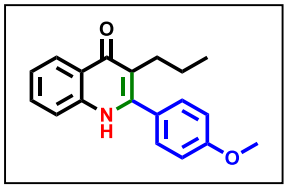


a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (9:1), (Yield: 42%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 12.79 (brs, 1H), 8.16 (d, J = 7.7 Hz, 1H), 7.82 – 7.79 (m, 3H), 7.76 (d, J = 8.1 Hz, 1H), 7.70 – 7.65 (m, 3H), 7.51 (t, J = 7.9 Hz, 1H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 175.6, 157.7, 139.8, 133.9, 132.8, 131.9, 129.3, 129.2, 126.0, 125.3, 124.4, 120.0, 117.4, 93.9. [8]

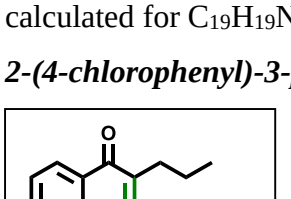
2-phenyl-3-propylquinolin-4(1H)-one (5o): The title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (4:1), (Yield: 81%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.58 (s, 1H), 8.14 (d, J = 7.4 Hz, 1H), 7.61-7.53 (m, 7H), 7.31 (t, J = 7.0 Hz, 1H), 2.31 (t, J = 7.4 Hz, 2H), 1.41 – 1.37 (m, 2H), 0.73 (t, J = 7.4 Hz, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 176.8, 148.6, 139.8, 135.7, 131.7, 129.7, 129.2, 129.0, 125.5, 124.1, 123.1, 119.6, 118.6, 28.4, 22.5, 14.7. HRMS (ESI-TOF) m/z $[M+Na]^+$ calculated for $C_{18}H_{17}NO$ 286.1208. Found 286.1219.



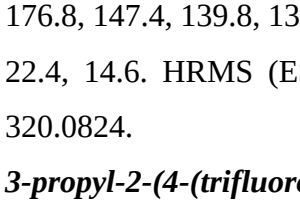
2-(4-methoxyphenyl)-3-propylquinolin-4(1H)-one (5p): The title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (4:1), (Yield: 75%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.49 (s, 1H), 8.12 (d, J = 8.1 Hz, 1H), 7.62 -7.59 (m, 2H), 7.46 (d, J = 8.3 Hz, 2H), 7.29 (t, J = 6.9 Hz, 1H), 7.13 (d, J = 8.4 Hz, 2H), 3.86 (s, 3H), 2.34 (t, J = 7.8 Hz, 2H), 1.42 - 1.38 (m, 2H), 0.75 (t, J = 7.3 Hz, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 176.9, 160.3, 148.5, 139.9, 131.7, 130.6, 127.9, 125.4, 123.9, 123.0, 119.7, 118.5, 114.3, 55.8, 28.5, 22.5, 14.6. HRMS (ESI-TOF) m/z $[M+Na]^+$ calculated for $C_{19}H_{19}NO_2$ 316.1313. Found 316.1322.



2-(4-chlorophenyl)-3-propylquinolin-4(1H)-one (5q): The title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (4:1), (Yield: 86%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.60 (s, 1H), 8.13 (d, J = 8.1 Hz, 1H), 7.65 – 7.62 (m, 1H), 7.57 (d, J = 8.3 Hz, 3H), 7.32 (t, J = 7.5 Hz, 1H), 2.30 (t, J = 7.7 Hz, 2H), 1.38 (q, J = 7.5 Hz, 2H), 0.74 (t, J = 7.3 Hz, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 176.8, 147.4, 139.8, 134.6, 134.4, 131.9, 131.2, 129.1, 125.5, 124.0, 123.2, 119.7, 118.6, 28.3, 22.4, 14.6. HRMS (ESI-TOF) m/z $[M+Na]^+$ calculated for $C_{18}H_{16}ClNO$ 320.0818. Found 320.0824.

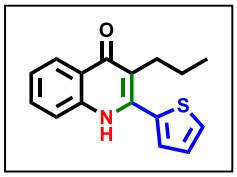


3-propyl-2-(4-(trifluoromethyl)phenyl)quinolin-4(1H)-one (5r): The title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (4:1), (Yield: 55%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.65 (s, 1H), 8.15 (d, J = 8.0 Hz, 1H), 7.96 (d, J = 8.0 Hz, 3H), 7.79 (d, J = 7.9 Hz, 3H), 7.64 (t, J = 7.1 Hz, 1H), 7.57 (d, J = 8.3 Hz, 1H), 7.33 (t, J = 7.4 Hz, 1H), 2.30 (t, J = 7.7 Hz, 2H), 1.40 (q, J = 7.5 Hz, 2H), 0.73 (t, J = 7.3 Hz, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 176.8, 147.1, 139.9, 139.6, 131.9, 130.4, 130.1 (q, J = 32.0 Hz), 125.9 (q, J = 3.8 Hz), 125.5, 124.5 (q, J= 271.5 Hz), 124.1,

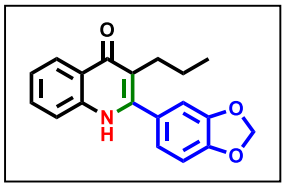


123.3, 119.7, 118.6, 28.3, 22.4, 14.5. HRMS (ESI-TOF) m/z $[M+H]^+$ calculated for $C_{19}H_{16}F_3NO$ is 332.1262. Found 332.1209.

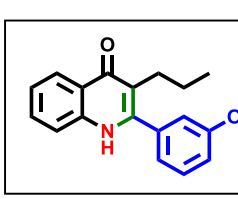
3-propyl-2-(thiophen-2-yl)quinolin-4(1H)-one (5s): The title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (4:1), (Yield: 65%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.61 (s, 1H), 8.12 (d, J = 8.0 Hz, 1H), 7.87 (d, J = 5.0 Hz, 1H), 7.63 (s, 2H), 7.46 (d, J = 3.3 Hz, 1H), 7.32 – 7.28 (m, 2H), 2.47 (t, J = 7.8 Hz, 2H), 1.40 (q, J = 7.5 Hz, 2H), 0.83 (t, J = 7.3 Hz, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 176.7, 141.4, 139.9, 135.3, 132.0, 129.9, 129.1, 127.9, 125.5, 123.9, 123.3, 121.2, 118.6, 28.7, 22.9, 14.6. HRMS (ESI-TOF) m/z $[M+H]^+$ calculated for $C_{16}H_{15}NOS$ is 270.0953. Found 270.0976.



2-(benzo[d][1,3]dioxol-5-yl)-3-methylquinolin-4(1H)-one (5t): The title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (9:1), (Yield: 40%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.50 (s, 1H), 8.12 (d, J = 8.0 Hz, 1H), 7.60 (q, J = 8.0 Hz, 2H), 7.29 (t, J = 7.2 Hz, 1H), 7.11 (d, J = 9.2 Hz, 2H), 7.01 (d, J = 7.8 Hz, 1H), 6.15 (s, 2H), 2.47 (t, J = 7.8 Hz, 2H), 1.40 (q, J = 7.5 Hz, 2H), 0.76 (t, J = 7.3 Hz, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 176.9, 148.4, 148.2, 147.7, 139.8, 131.7, 129.3, 125.5, 123.9, 123.2, 123.0, 119.7, 118.5, 109.7, 108.8, 101.9, 28.4, 22.4, 14.7. HRMS (ESI-TOF) m/z calculated for $C_{19}H_{17}NO_3$ is 330.1106. Found 330.1108.



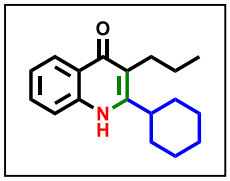
2-(3-methoxyphenyl)-3-propylquinolin-4(1H)-one (5u): The title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (9:1), (Yield: 87%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 8.08 (d, J = 8.0 Hz, 1H), 7.57 – 7.54 (m, 2H), 7.44 (t, J = 8.1 Hz, 1H), 7.24 (ddd, J = 8.0, 5.8, 2.1 Hz, 1H), 7.08 (dd, J = 9.1, 1.8 Hz, 1H), 7.04 – 7.03 (m, 2H), 3.78 (s, 3H), 2.30 (t, J = 7.8 Hz, 2H), 1.36 (q, J = 7.9 Hz, 2H), 0.70 (t, J = 7.4 Hz, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 181.6, 164.3, 153.1, 144.6, 141.7, 136.4, 134.9, 130.2, 128.7, 127.8, 126.1, 124.2, 123.3, 120.0, 119.4, 60.5, 33.2, 27.2, 19.4. HRMS (ESI-TOF) m/z calculated for $C_{19}H_{19}NO_2$ is 294.1494. Found 294.1492.



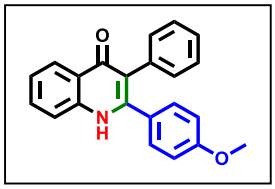
2-heptyl-3-propylquinolin-4(1H)-one (5v): The title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (1:1), (Yield: 50%), ^{1}H NMR (500 MHz, $CDCl_3$) δ 11.35 (s, 1H), 8.25 (d, J = 8.1 Hz, 1H), 7.59 – 7.57 (m, 1H), 7.40 (t, J = 7.6 Hz, 1H), 7.15 (t, J = 7.6 Hz, 1H), 2.62 (t, J = 7.6 Hz, 2H),

2.53 (t, *J* = 7.6 Hz, 2H), 2.30 (t, *J* = 7.5 Hz, 1H), 1.57 (q, *J* = 7.2 Hz, 2H), 1.48 (q, *J* = 7.2 Hz, 2H), 1.27 – 1.07 (m, 6H), 0.85 (t, *J* = 7.3 Hz, 2H), 0.80 (t, *J* = 6.9 Hz, 2H), 0.75 (t, *J* = 7.0 Hz, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 177.6, 139.5, 131.0, 125.5, 123.8, 123.0, 120.0, 118.0, 34.4, 34.3, 32.3, 31.7, 29.8, 29.7, 29.6, 29.1, 28.9, 28.9, 27.7, 24.9, 22.9, 22.6, 22.6, 14.4, 14.1, 14.0. HRMS (ESI-TOF) m/z $[M+H]^+$ calculated for $C_{19}H_{27}NO$ is 286.2171. Found 286.2133.

2-cyclohexyl-3-propylquinolin-4(1H)-one (5w): The title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (1:1), (Yield: 51%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 10.70 (s, 1H), 8.04 (d, *J* = 8.0 Hz, 1H), 7.70 (d, *J* = 8.3 Hz, 1H), 7.57 (t, *J* = 7.6 Hz, 1H), 7.23 (t, *J* = 7.5 Hz, 1H), 2.93 (t, *J* = 12.1 Hz, 1H), 2.55 (t, *J* = 7.6 Hz, 2H), 1.86 – 1.80 (m, 4H), 1.76 – 1.68 (m, 3H), 1.45 – 1.33 (m, 5H), 0.91 (t, *J* = 7.3 Hz, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 176.7, 153.6, 139.9, 131.4, 125.4, 123.5, 122.7, 118.2, 118.2, 30.8, 26.8, 26.4, 25.7, 23.4, 14.5. HRMS (ESI-TOF) m/z $[M+H]^+$ calculated for $C_{18}H_{23}NO$ is 270.1858. Found 270.1565.

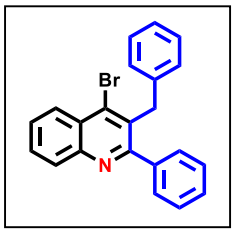


2-(4-methoxyphenyl)-3-phenylquinolin-4(1H)-one (5x): The title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (4:1), (Yield: 40%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.64 (s, 1H), 8.15 (d, *J* = 8.1 Hz, 1H), 7.71 – 7.65 (m, 2H), 7.34 (t, *J* = 6.9 Hz, 1H), 7.24 (d, *J* = 8.7 Hz, 2H), 7.19 (t, *J* = 7.4 Hz, 2H), 7.13 (d, J = 7.2 Hz, 1H), 7.08 (d, *J* = 7.9 Hz, 2H), 6.88 (d, *J* = 8.7 Hz, 2H), 3.75 (s, 3H).^{13}C NMR (150 MHz, DMSO-d_6) δ 175.8, 160.0, 148.7, 140.1, 136.4, 132.2, 132.1, 131.4, 127.8, 127.7, 126.3, 125.7, 125.0, 123.5, 120.7, 118.8, 113.9, 55.7.

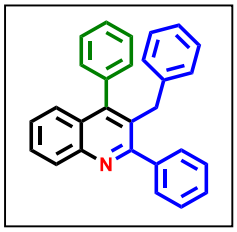


3-benzyl-2-(4-methoxyphenyl)quinolin-4(1H)-one (5y): The title compound was isolated as a white solid using silica-gel column chromatography eluting with ethyl acetate/ petroleum ether (4:1), (Yield: 92%), ^{1}H NMR (600 MHz, DMSO-d_6) δ 11.64 (s, 1H), 8.13 (d, *J* = 8.1 Hz, 1H), 7.65 (d, *J* = 3.6 Hz, 2H), 7.40 (d, *J* = 8.3 Hz, 2H), 7.33 (dt, *J* = 7.9, 3.9 Hz, 1H), 7.16 (t, *J* = 7.4 Hz, 2H), 7.09 (t, *J* = 7.0 Hz, 3H), 7.0 (d, *J* = 7.5 Hz, 2H), 3.83 (s, 3H), 3.78 (s, 2H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 176.7, 160.5, 149.4, 142.1, 140.1, 131.9, 130.6, 128.4, 128.3, 127.5, 125.7, 125.5, 124.2, 123.3, 118.7, 118.1, 114.4, 55.8, 31.6. HRMS (ESI-TOF) m/z $[M+Na]^+$ calculated for $C_{23}H_{19}NO_2$ 364.1313. Found 364.1316.

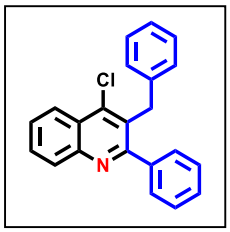
3-benzyl-4-bromo-2-phenylquinoline (16): ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.32 (d, *J* = 8.4 Hz, 1H), 8.19 (d, *J* = 8.4 Hz, 1H), 7.79 (t, *J* = 7.6 Hz, 1H), 7.69 (t, *J* = 7.6 Hz, 1H), 7.42 – 7.38 (m, 5H), 7.21 (dt, *J* = 13.6, 6.9 Hz, 3H), 6.95 (d, *J* = 7.3 Hz, 2H), 4.45 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 161.4, 147.1, 140.6, 138.8, 137.9, 132.3, 130.0, 129.8, 128.6, 128.5, 128.4, 128.2, 128.1, 127.9, 127.6, 127.2, 126.1, 39.6. HRMS (ESI-TOF) m/z $[M+H]^+$ calculated for $C_{22}H_{16}BrN$ 374.0544. Found 374.0528.



3-benzyl-2,4-diphenylquinoline (17): ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.23 (d, *J* = 8.4 Hz, 1H), 7.72 (t, *J* = 7.4 Hz, 1H), 7.46 – 7.41 (m, 7H), 7.36 (s, 3H), 7.24 (d, *J* = 3.9 Hz, 2H), 7.03 (d, *J* = 5.5 Hz, 3H), 6.60 (d, *J* = 5.9 Hz, 2H), 4.05 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 161.6, 148.8, 146.6, 141.3, 140.7, 137.0, 129.6, 129.5, 129.4, 129.0, 128.7, 128.3, 128.2, 128.1, 127.9, 127.8, 127.4, 126.4, 126.3, 125.5, 36.1. HRMS (ESI-TOF) m/z $[M+H]^+$ calculated for $C_{28}H_{21}NO$ 372.1752. Found 372.1764.



3-benzyl-4-chloro-2-phenylquinoline (18): ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.33 (d, *J* = 8.4 Hz, 1H), 8.20 (d, *J* = 8.4 Hz, 1H), 7.80 (t, *J* = 7.6 Hz, 1H), 7.69 (t, *J* = 7.6 Hz, 1H), 7.43 – 7.41 (m 5H), 7.24 – 7.19 (m, 3H), 6.95 (d, *J* = 7.4 Hz, 2H), 4.40 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 161.5, 147.1, 143.4, 140.4, 138.9, 130.0, 129.9, 129.8, 128.6, 128.5, 128.3, 128.2, 128.1, 127.5, 126.1, 125.8, 124.3, 36.6. HRMS (ESI-TOF) m/z $[M+H]^+$ calculated for $C_{22}H_{16}ClN$ 330.1050. Found 330.1025.



E. Copies of ^{1}H and ^{13}C spectra of newly synthesised compounds:

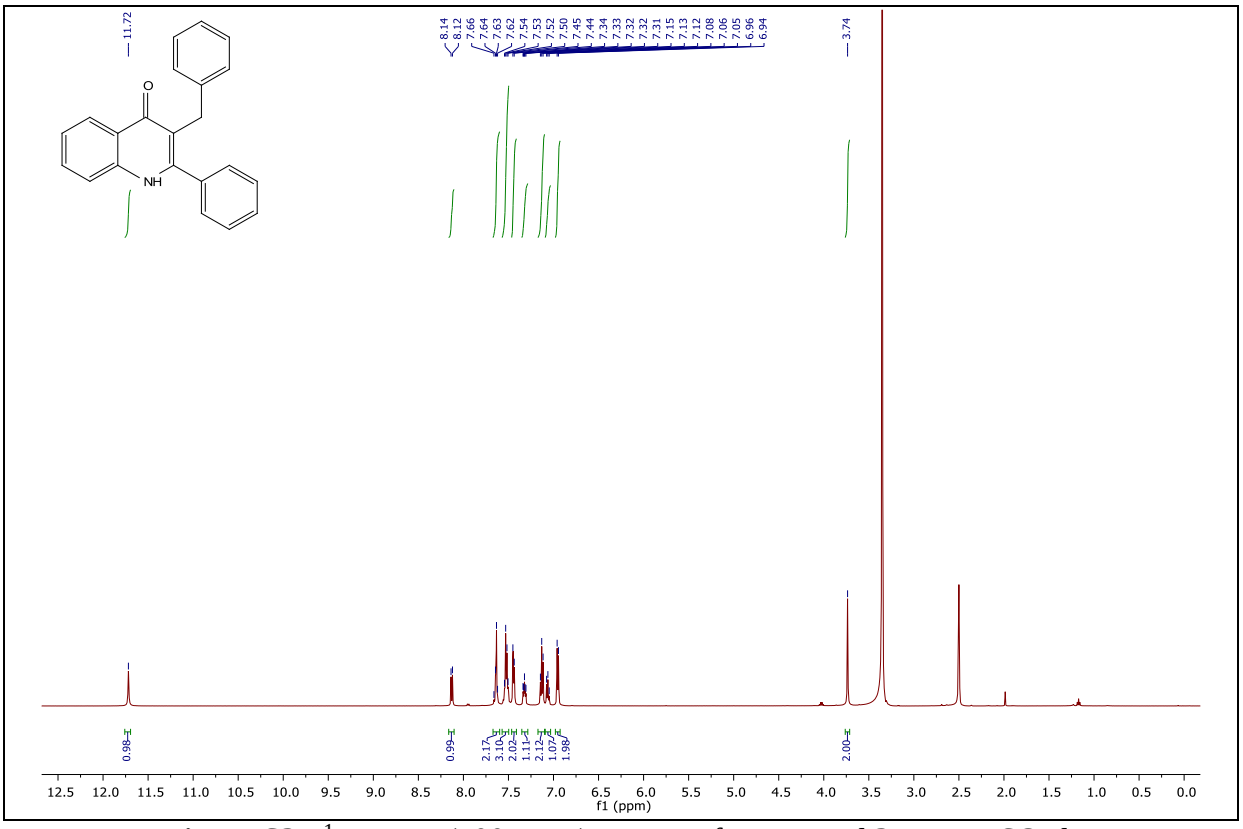


Figure S3: ^{1}H NMR (500 MHz) spectra of compound **3a** in DMSO-d_6

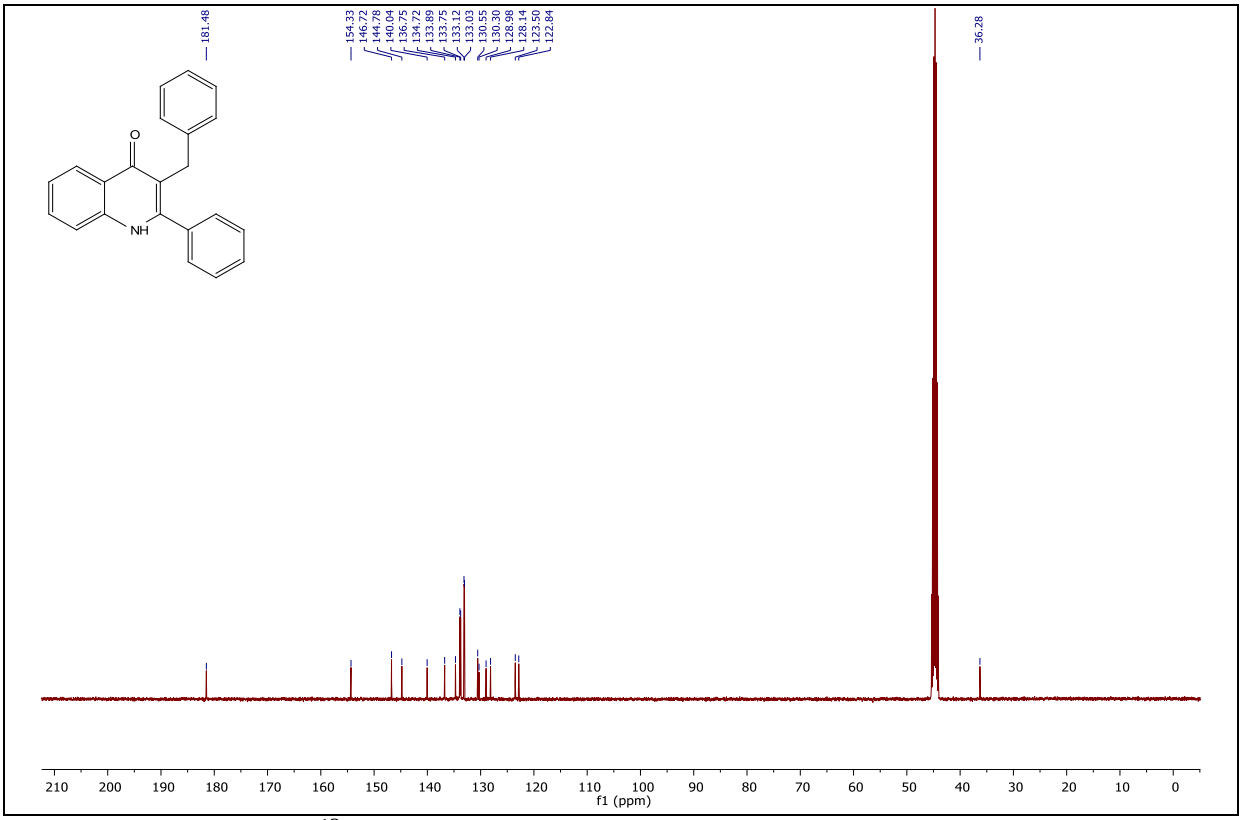


Figure S4: ^{13}C NMR (100 MHz) spectra of compound **3a** in DMSO-d_6

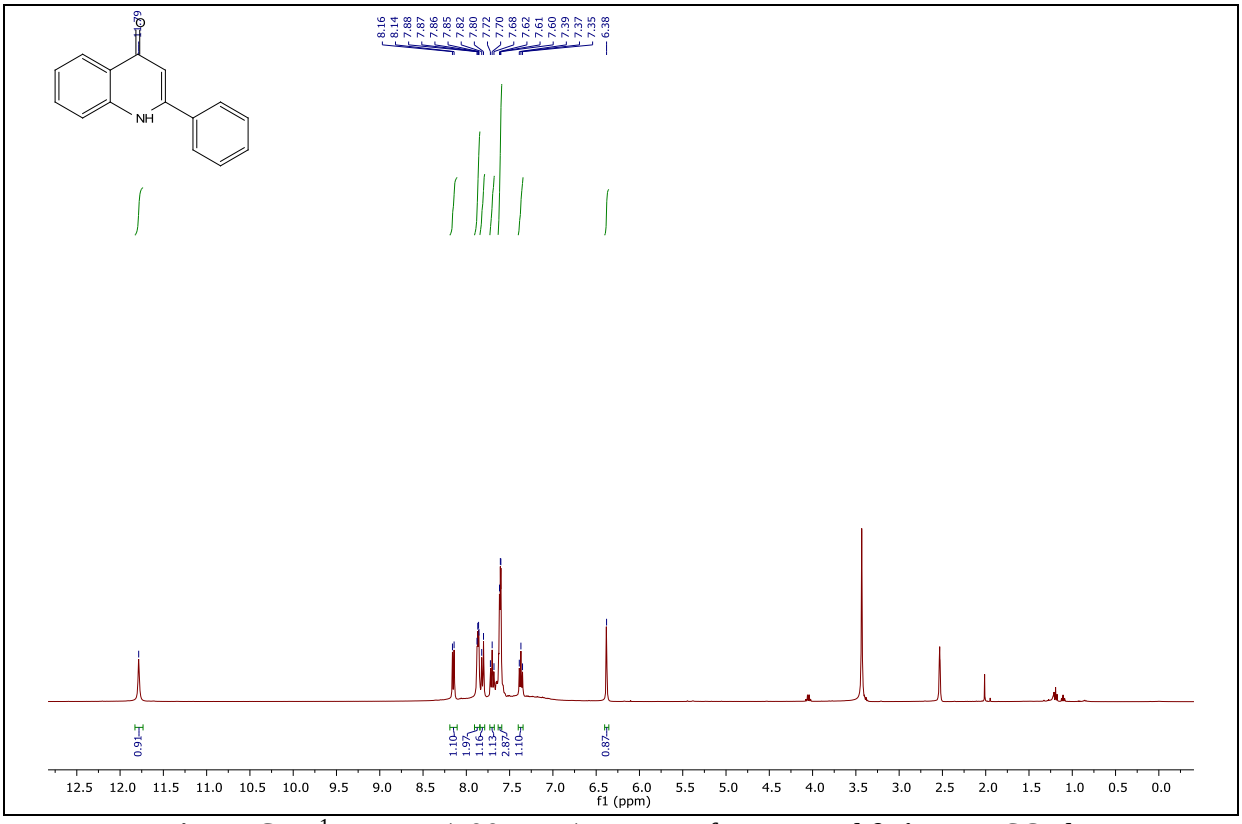


Figure S5: ^{1}H NMR (400 MHz) spectra of compound **3a′** in DMSO-d_6

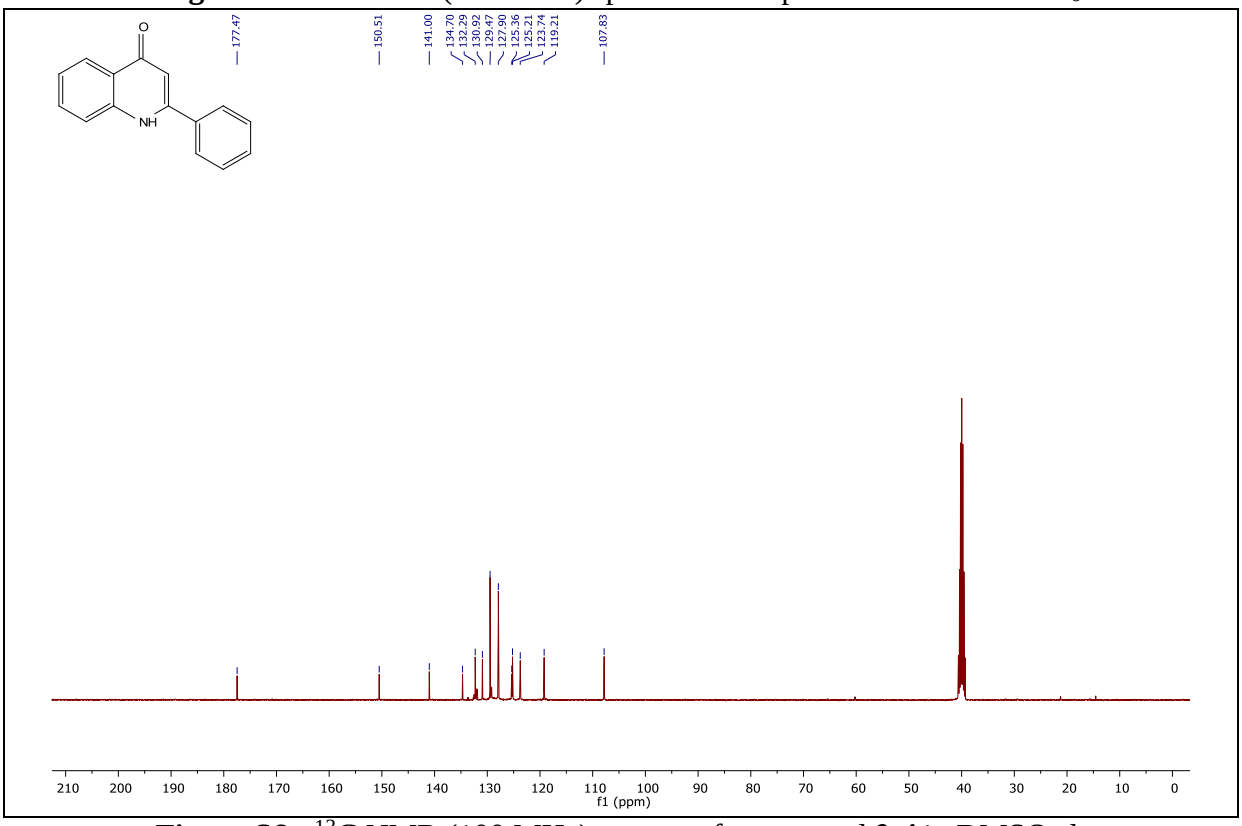


Figure S6: ^{13}C NMR (100 MHz) spectra of compound **3a′** in DMSO-d_6

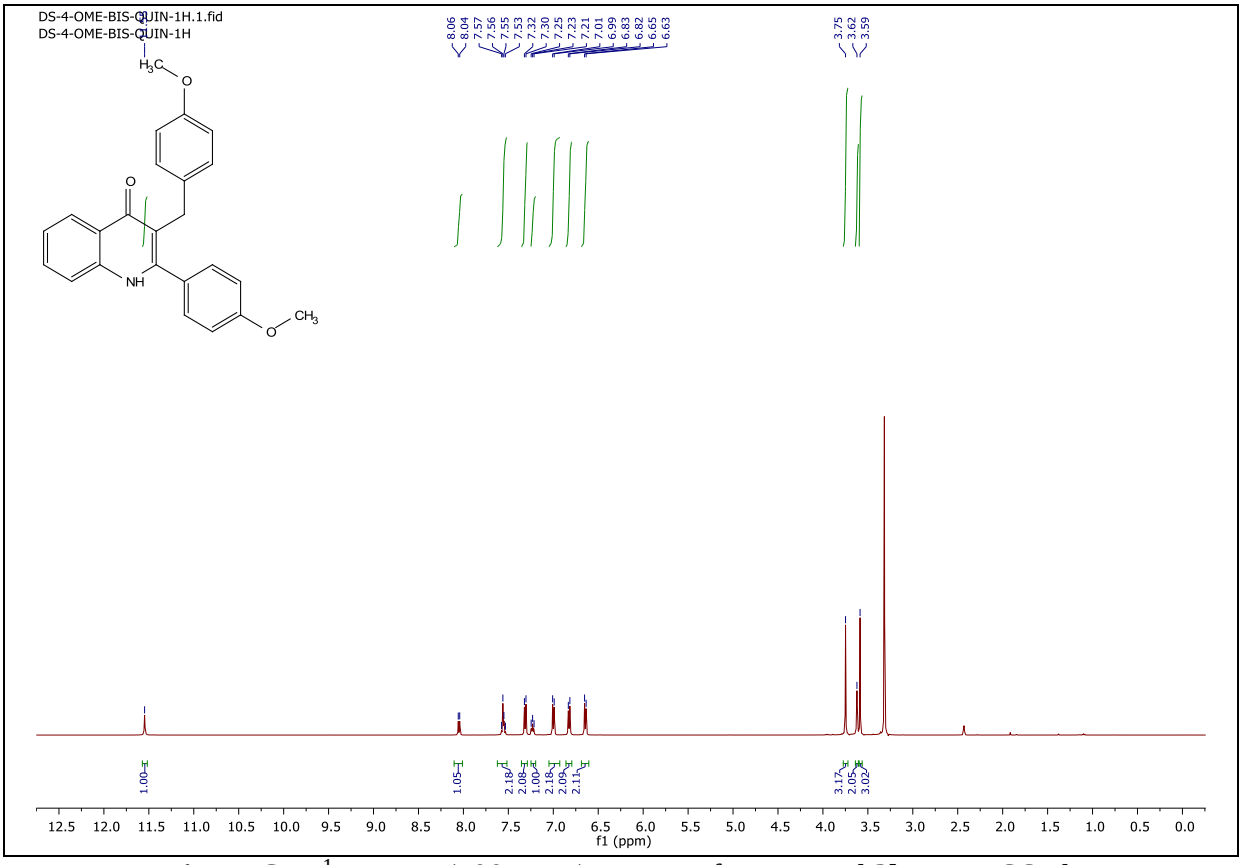


Figure S7: ^{1}H NMR (500 MHz) spectra of compound **3b** in DMSO-d_6

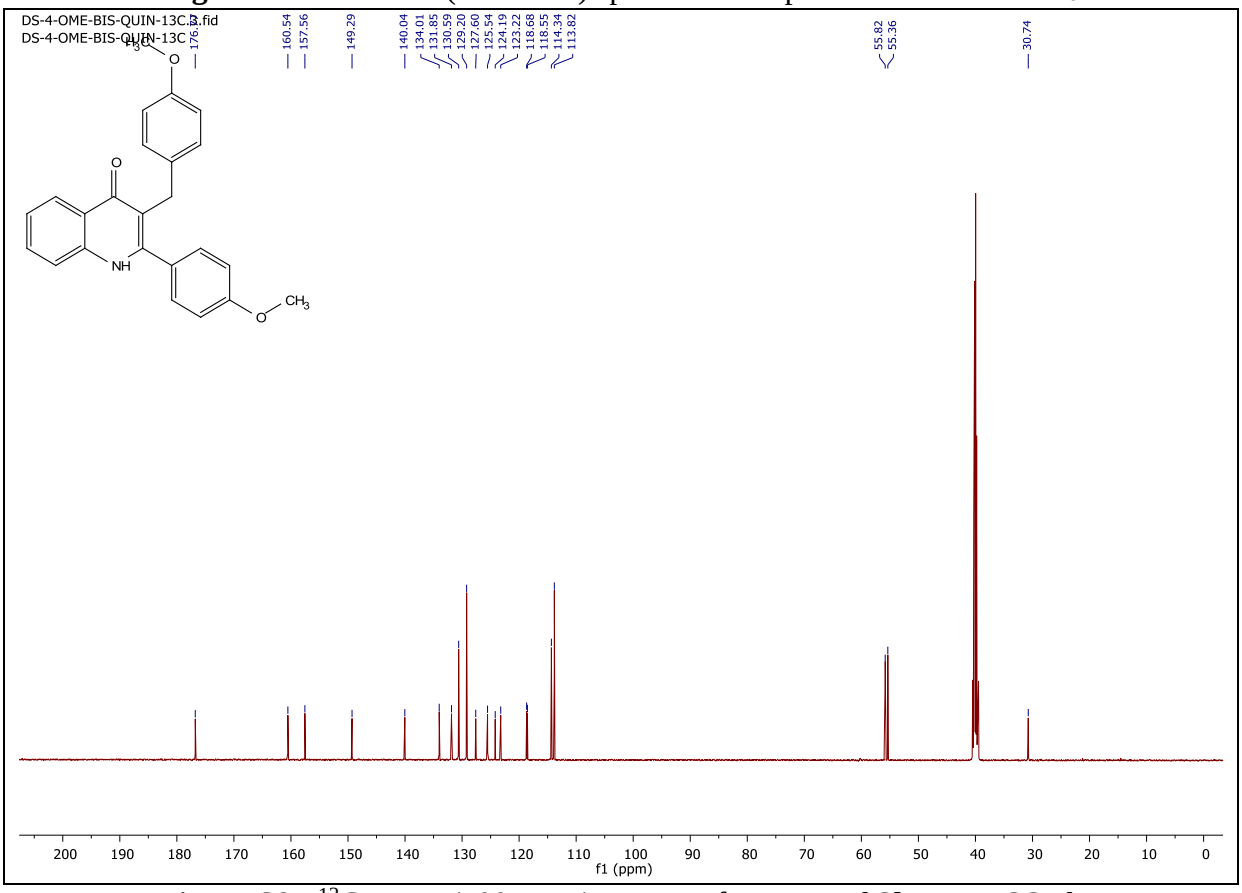


Figure S8: ^{13}C NMR (100 MHz) spectra of compound **3b** in DMSO-d_6

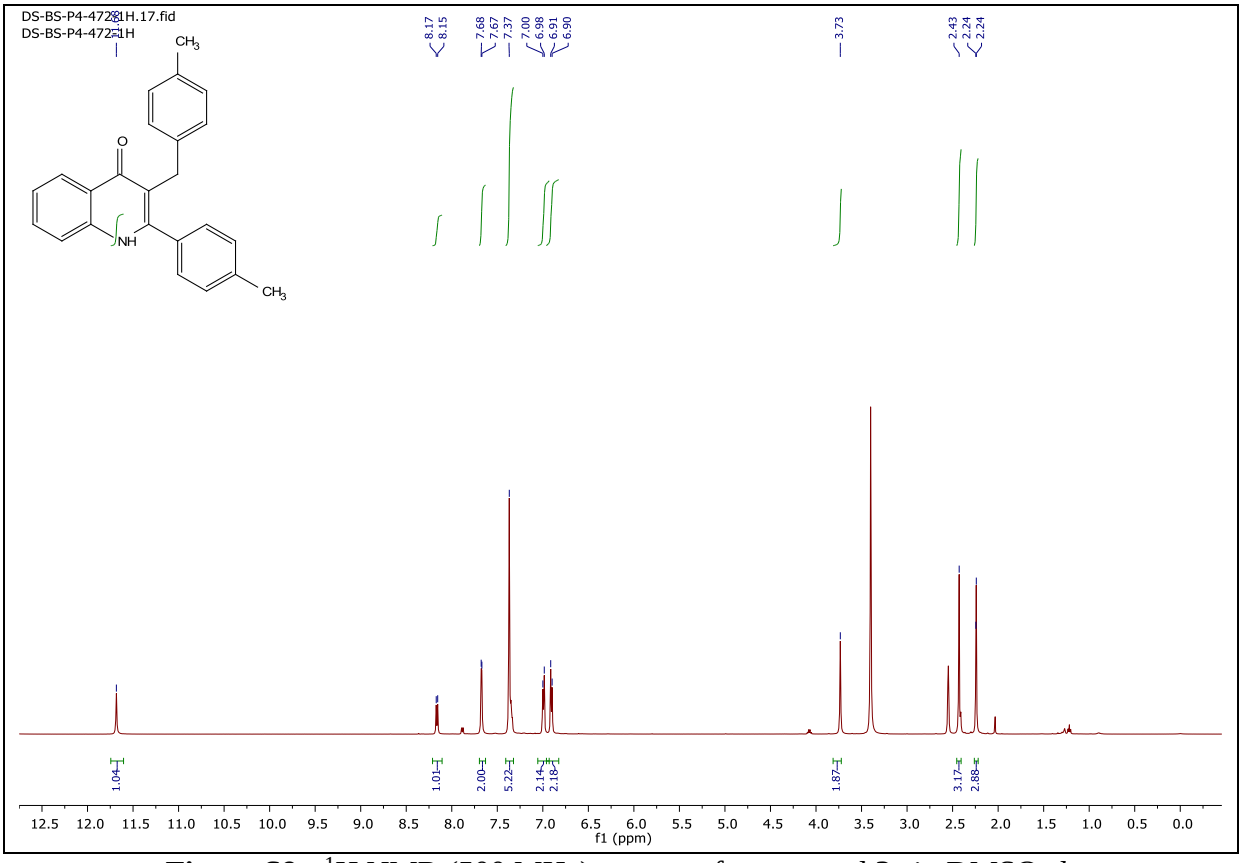


Figure S9: ^{1}H NMR (500 MHz) spectra of compound **3c** in DMSO-d_6

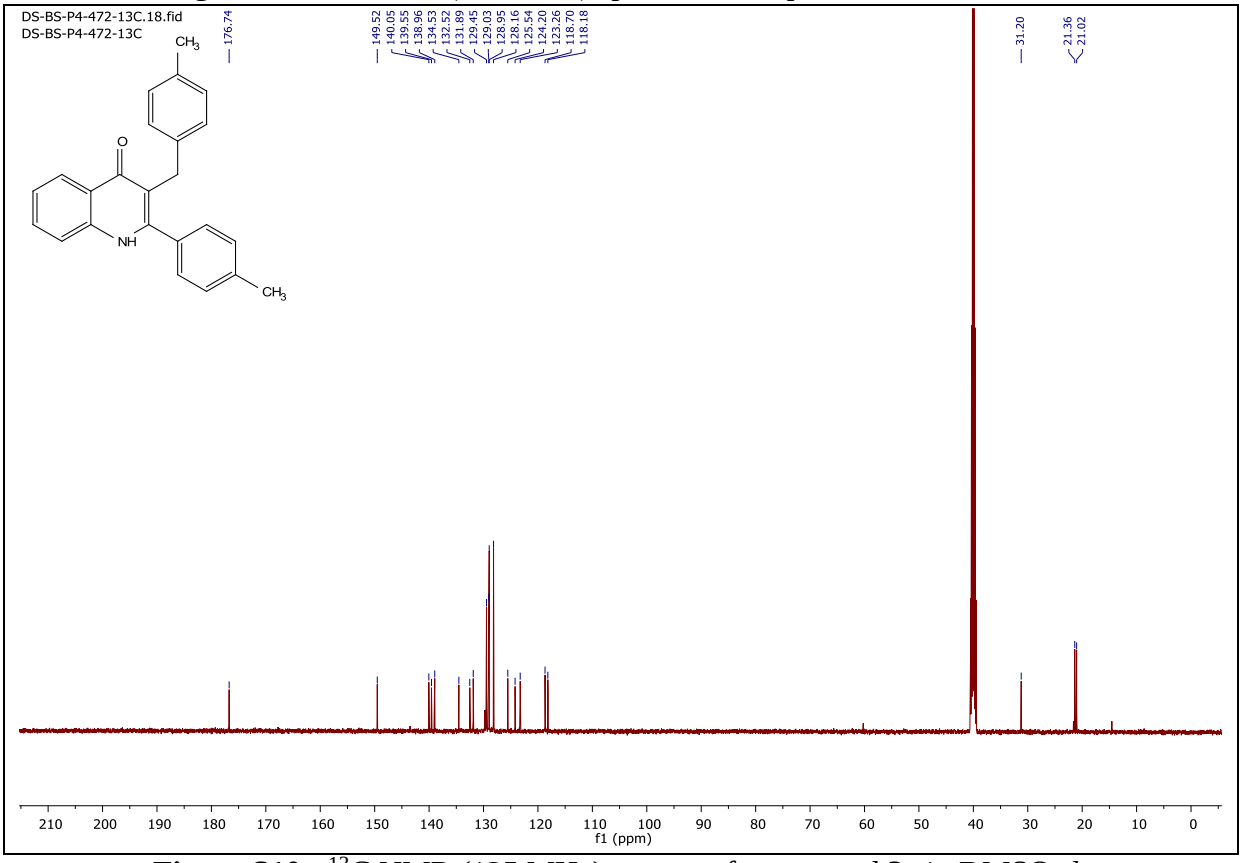


Figure S10: ^{13}C NMR (125 MHz) spectra of compound **3c** in DMSO-d_6

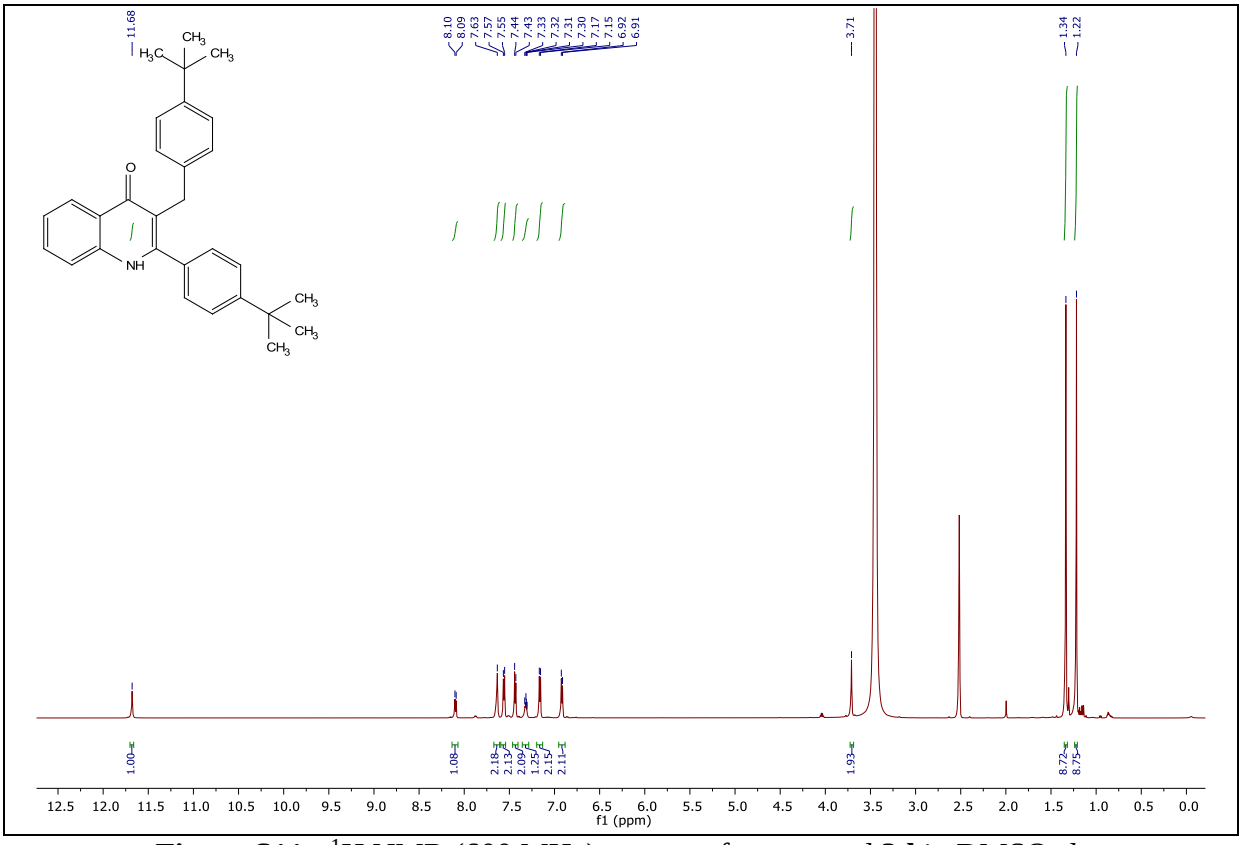


Figure S11: ^{1}H NMR (600 MHz) spectra of compound **3d** in DMSO-d_6

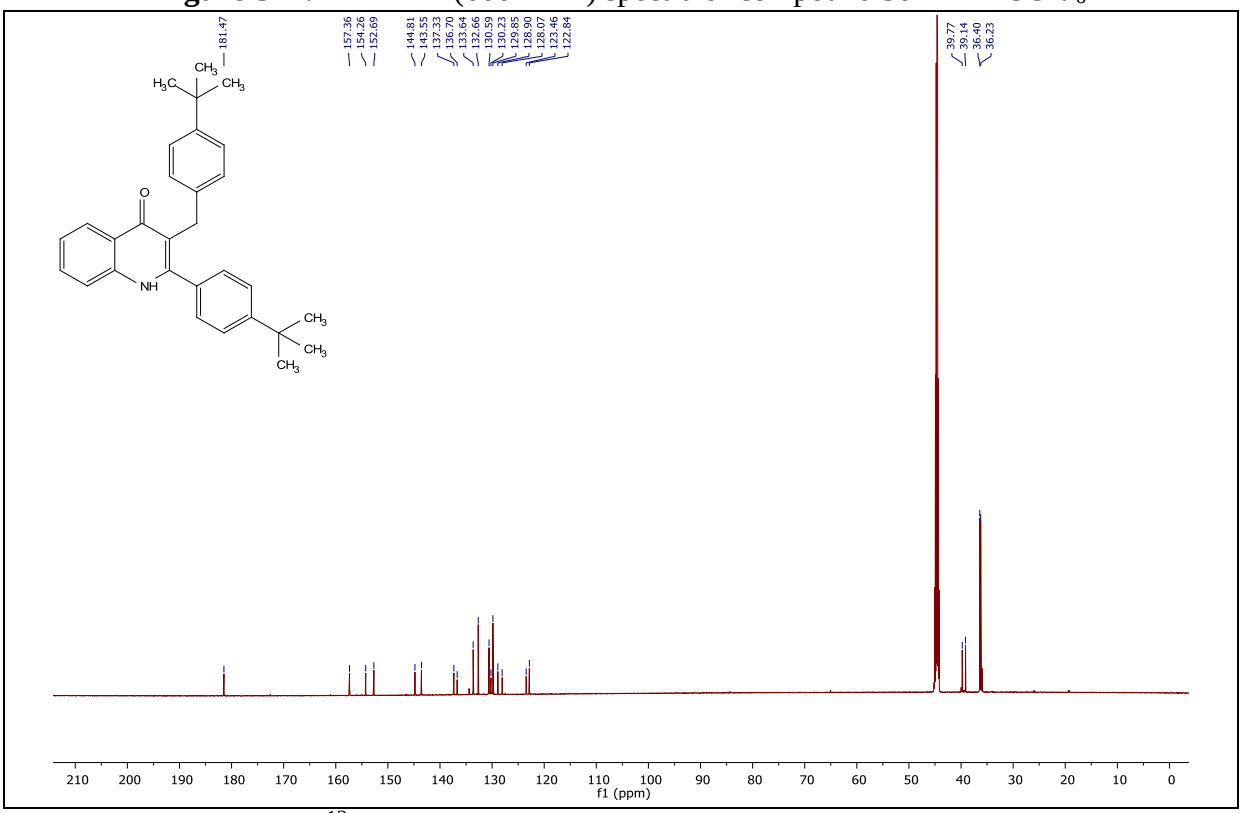


Figure S12: ^{13}C NMR (150 MHz) spectra of compound **3d** in DMSO-d_6

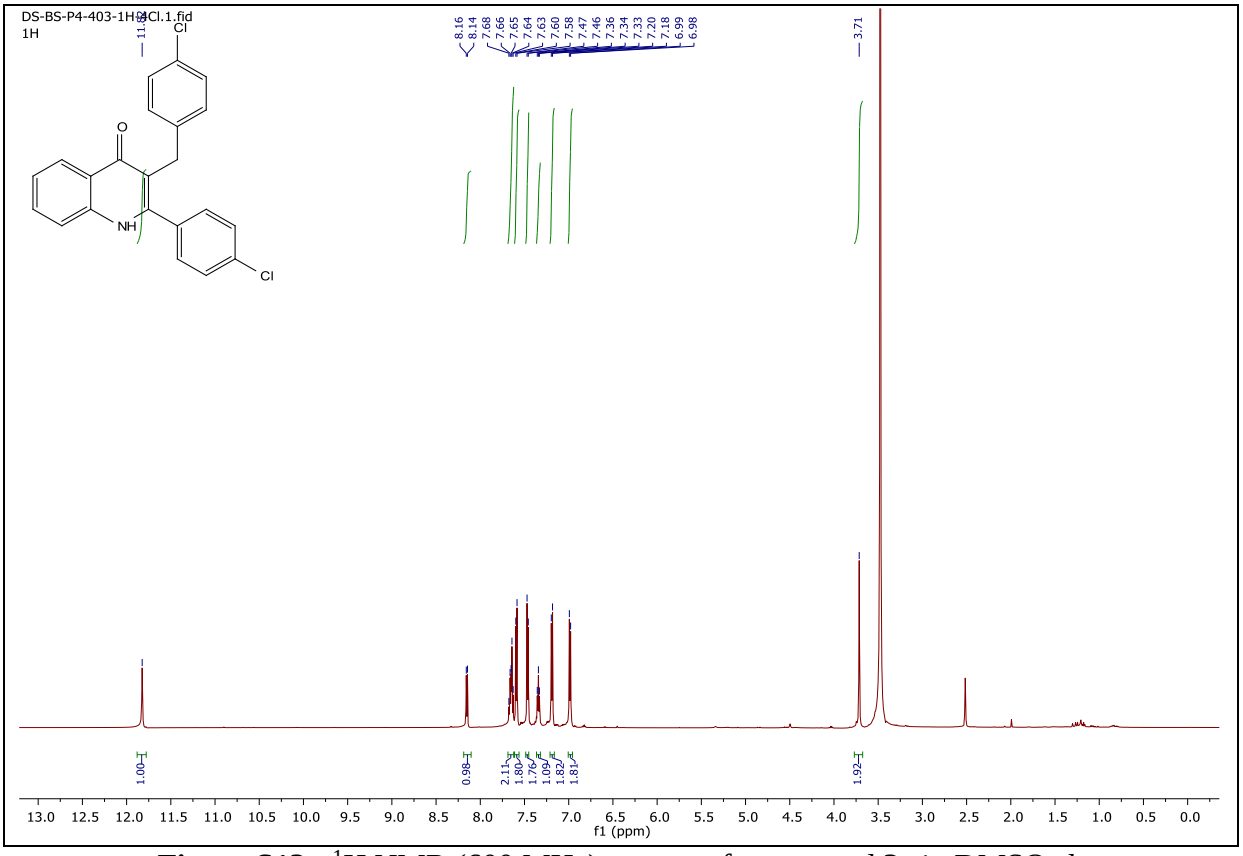


Figure S13: ^{1}H NMR (600 MHz) spectra of compound **3e** in DMSO-d_6

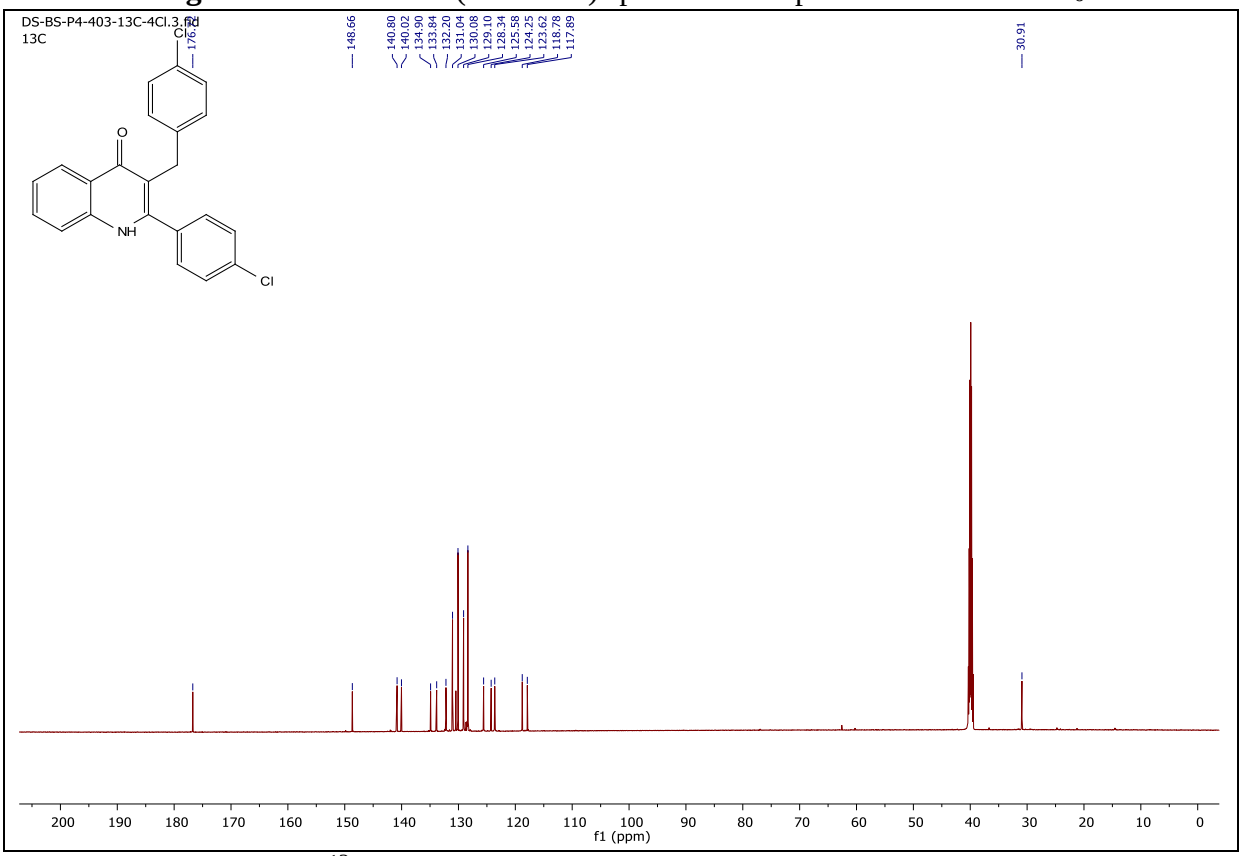


Figure S14: ^{13}C NMR (150 MHz) spectra of compound **3e** in DMSO-d_6

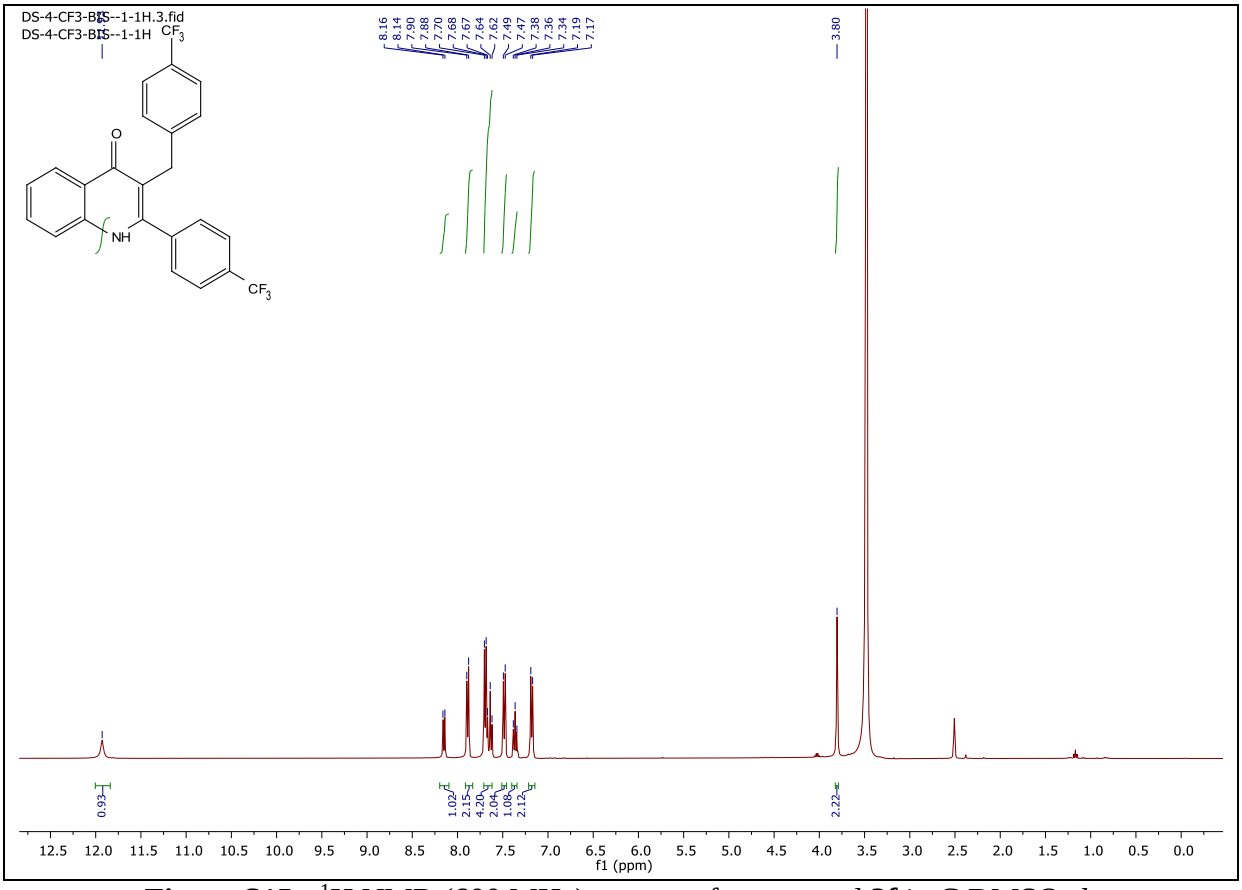


Figure S15: ^{1}H NMR (600 MHz) spectra of compound **3f** in C DMSO-d_6

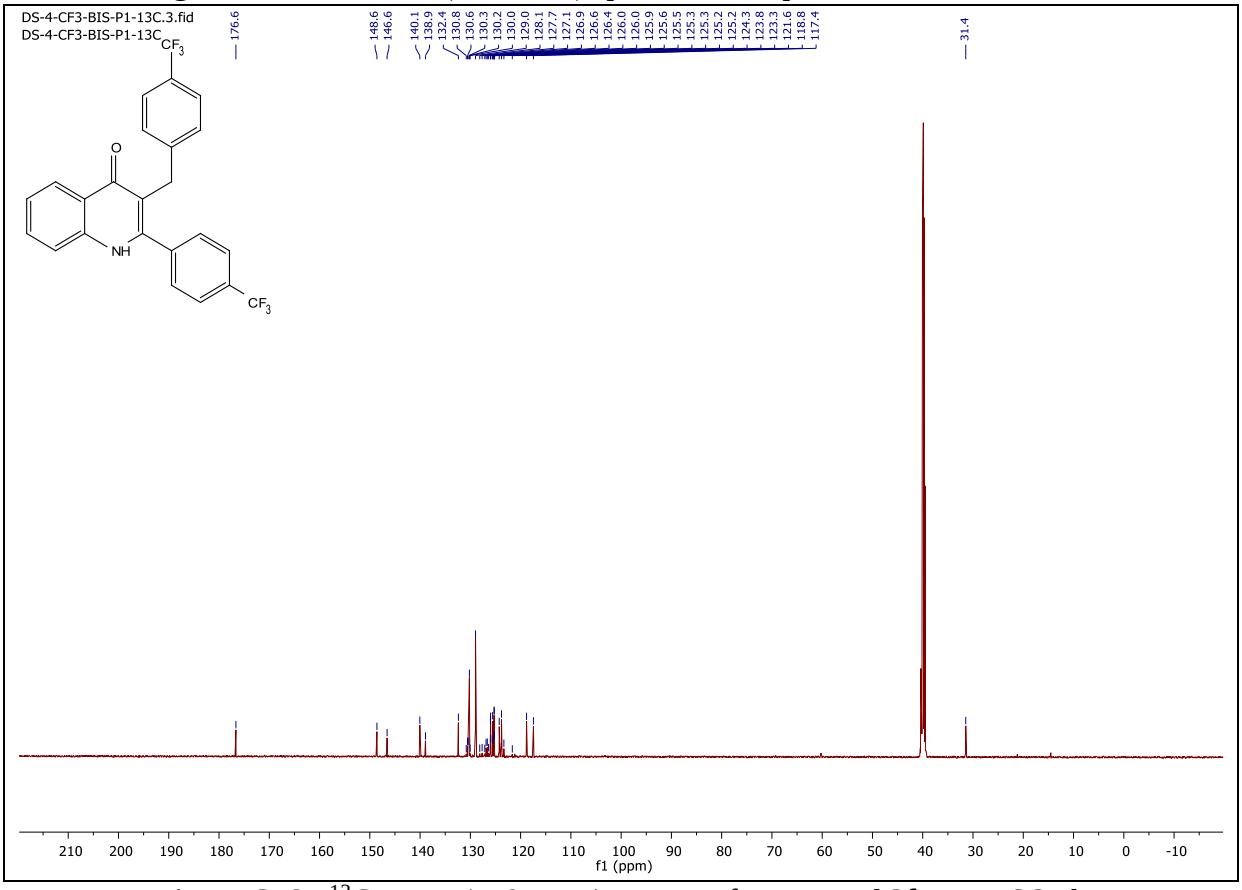


Figure S16: ^{13}C NMR (150 MHz) spectra of compound **3f** in DMSO-d_6

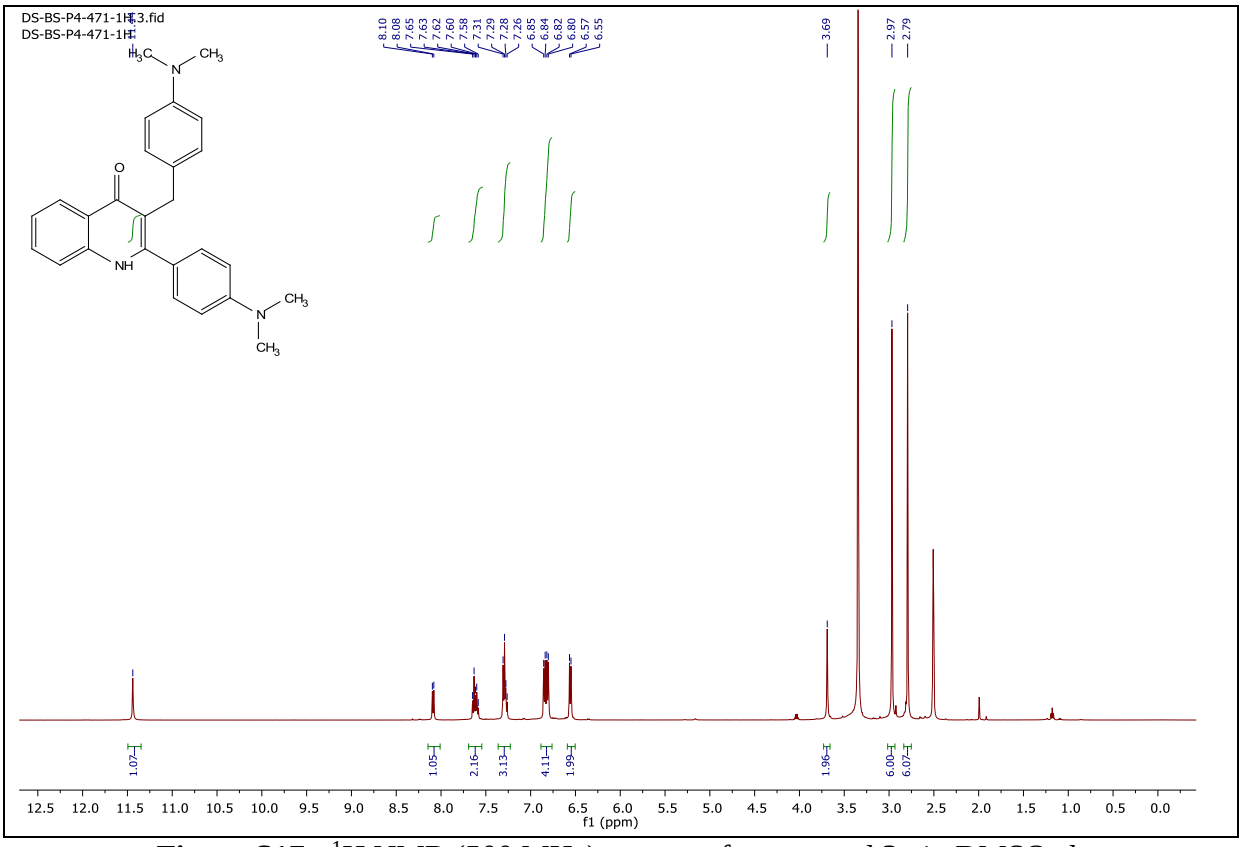


Figure S17: ^{1}H NMR (500 MHz) spectra of compound **3g** in DMSO-d_6

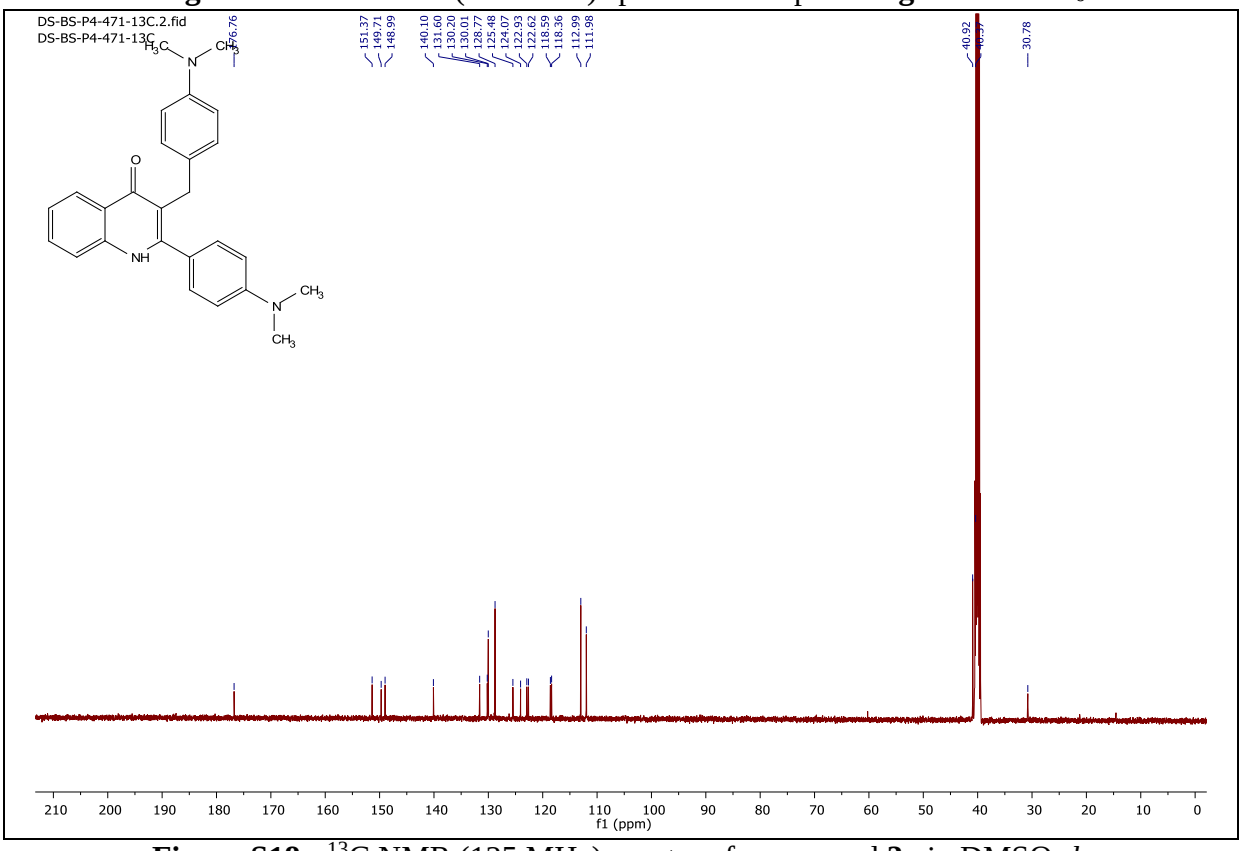


Figure S18: ^{13}C NMR (125 MHz) spectra of compound **3g** in DMSO-d_6

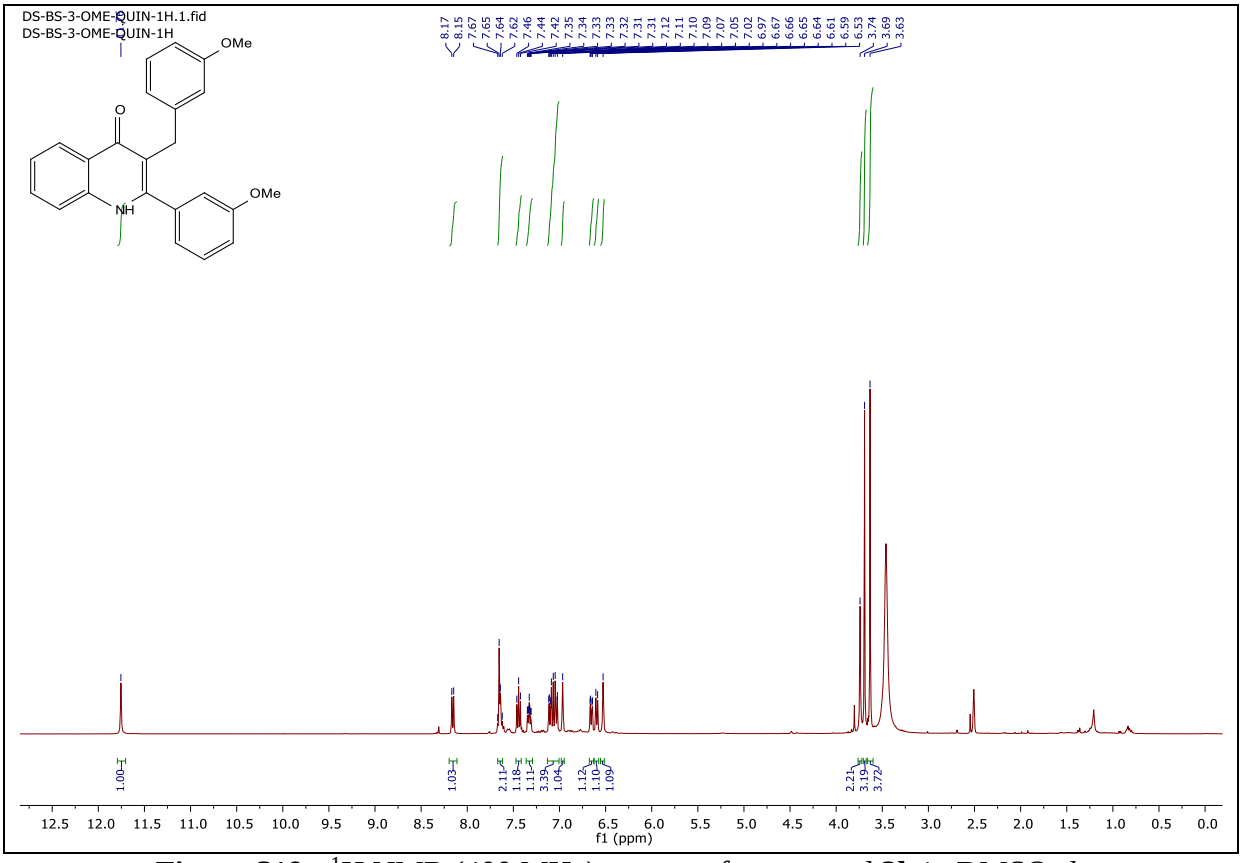


Figure S19: ^{1}H NMR (400 MHz) spectra of compound **3h** in DMSO-d_6

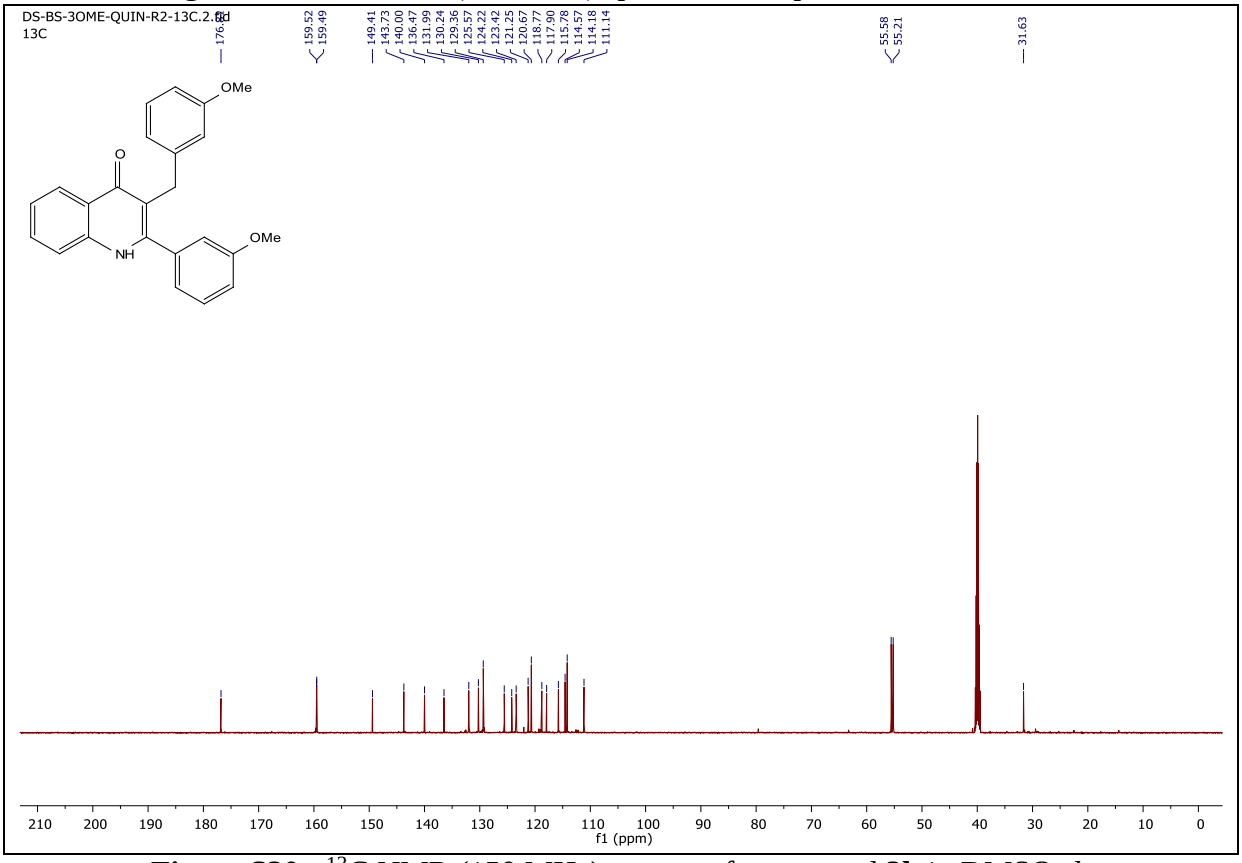


Figure S20: ^{13}C NMR (150 MHz) spectra of compound **3h** in DMSO-d_6

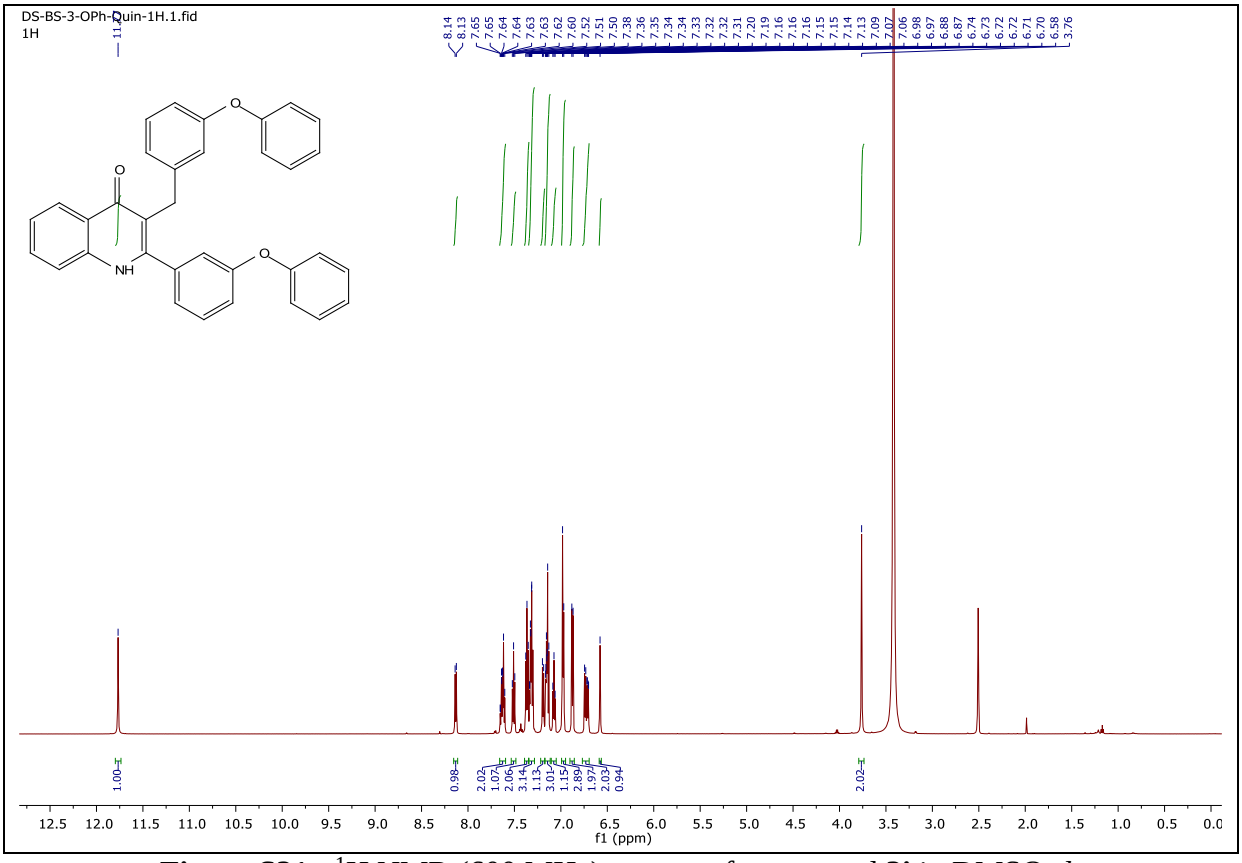


Figure S21: ^{1}H NMR (600 MHz) spectra of compound **3i** in DMSO-d_6

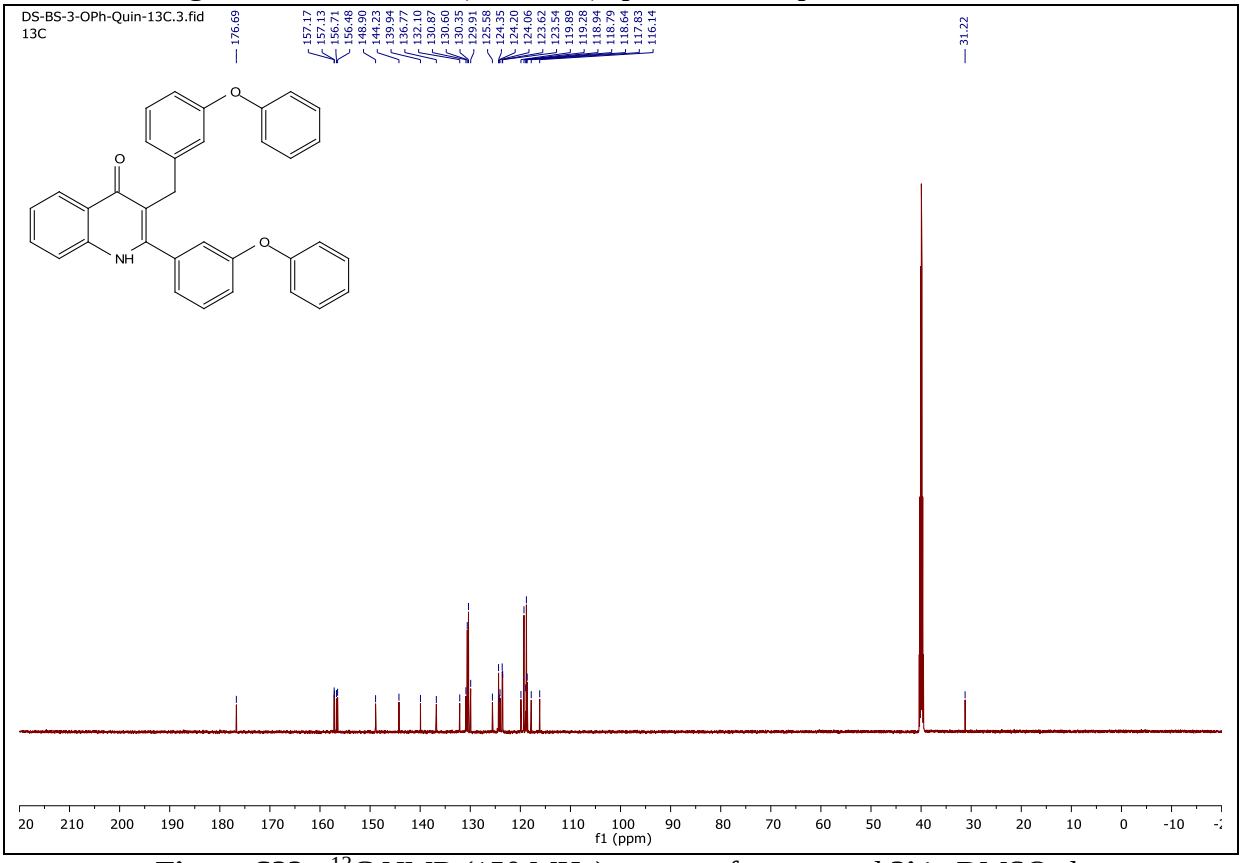


Figure S22: ^{13}C NMR (150 MHz) spectra of compound **3i** in DMSO-d_6

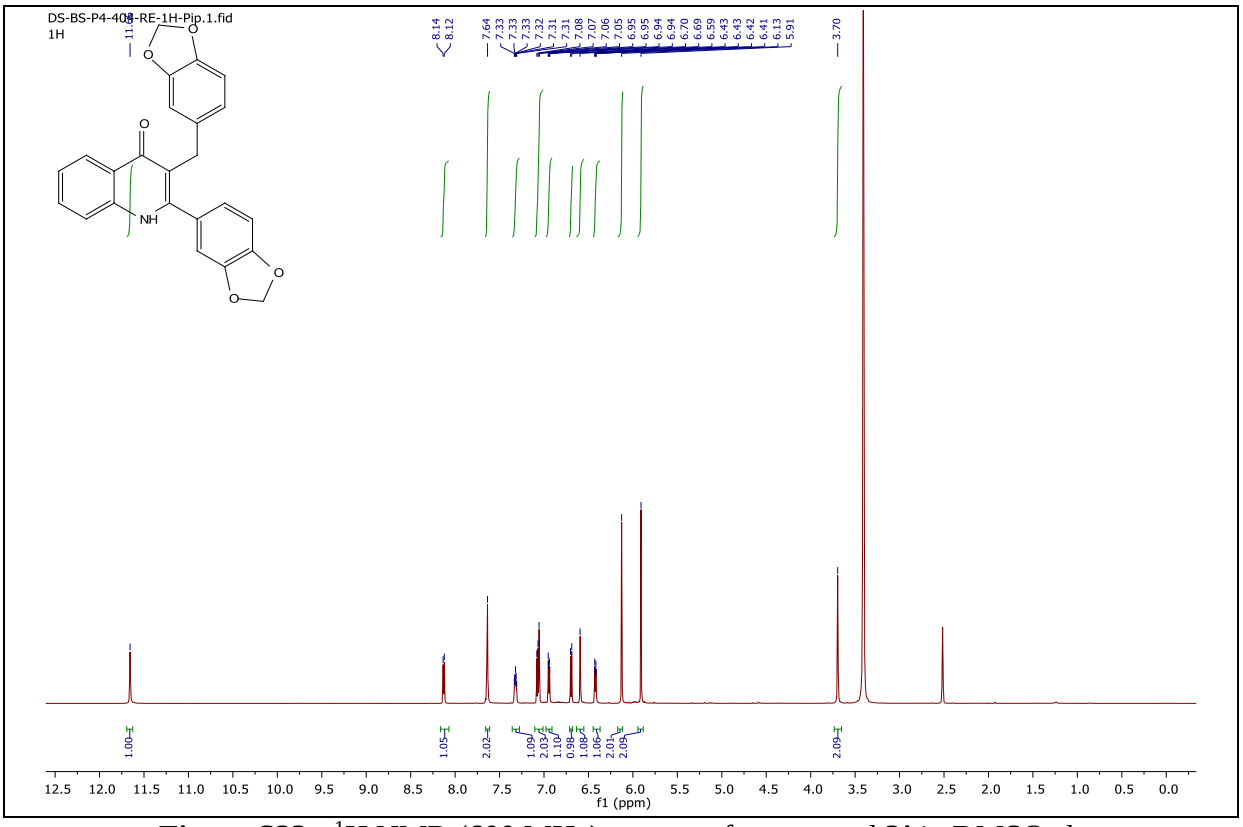


Figure S23: ^{1}H NMR (600 MHz) spectra of compound **3j** in DMSO-d_6

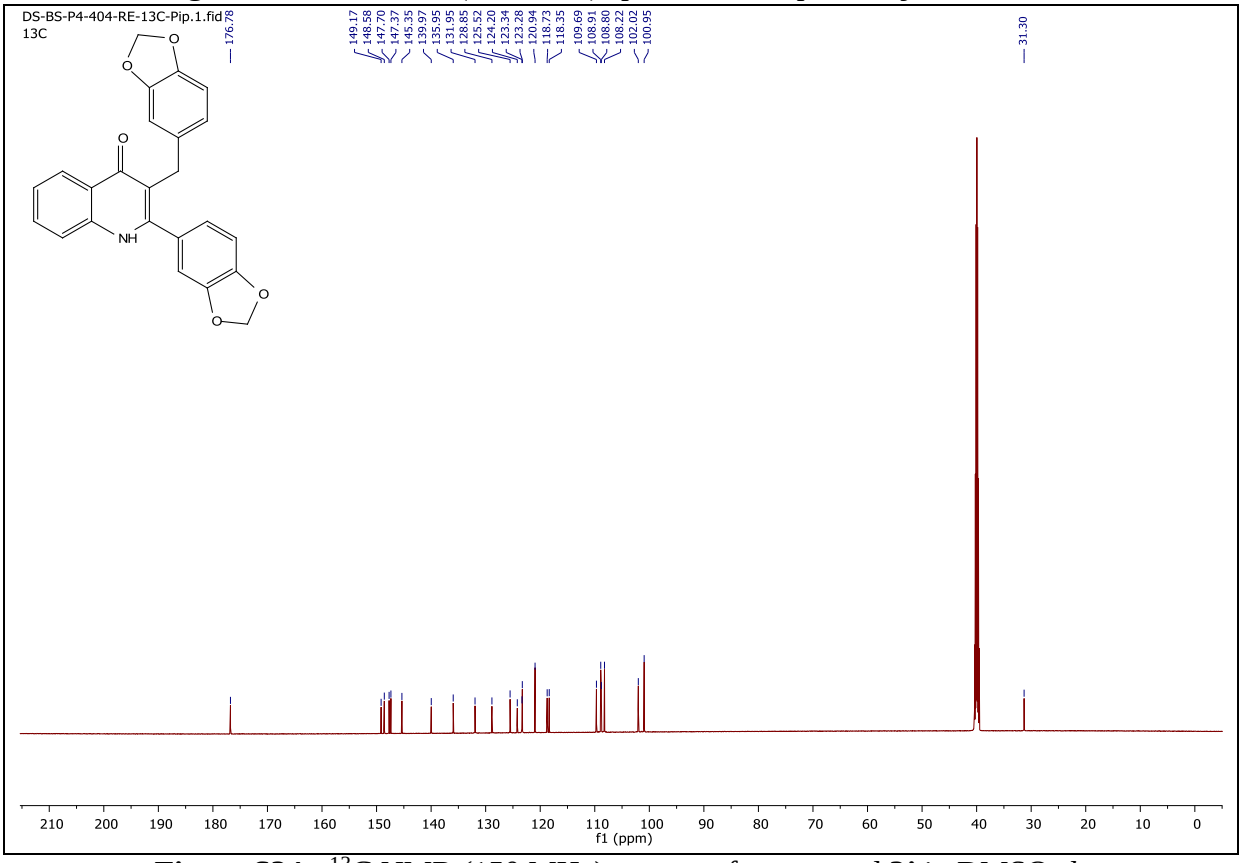


Figure S24: ^{13}C NMR (150 MHz) spectra of compound **3j** in DMSO-d_6

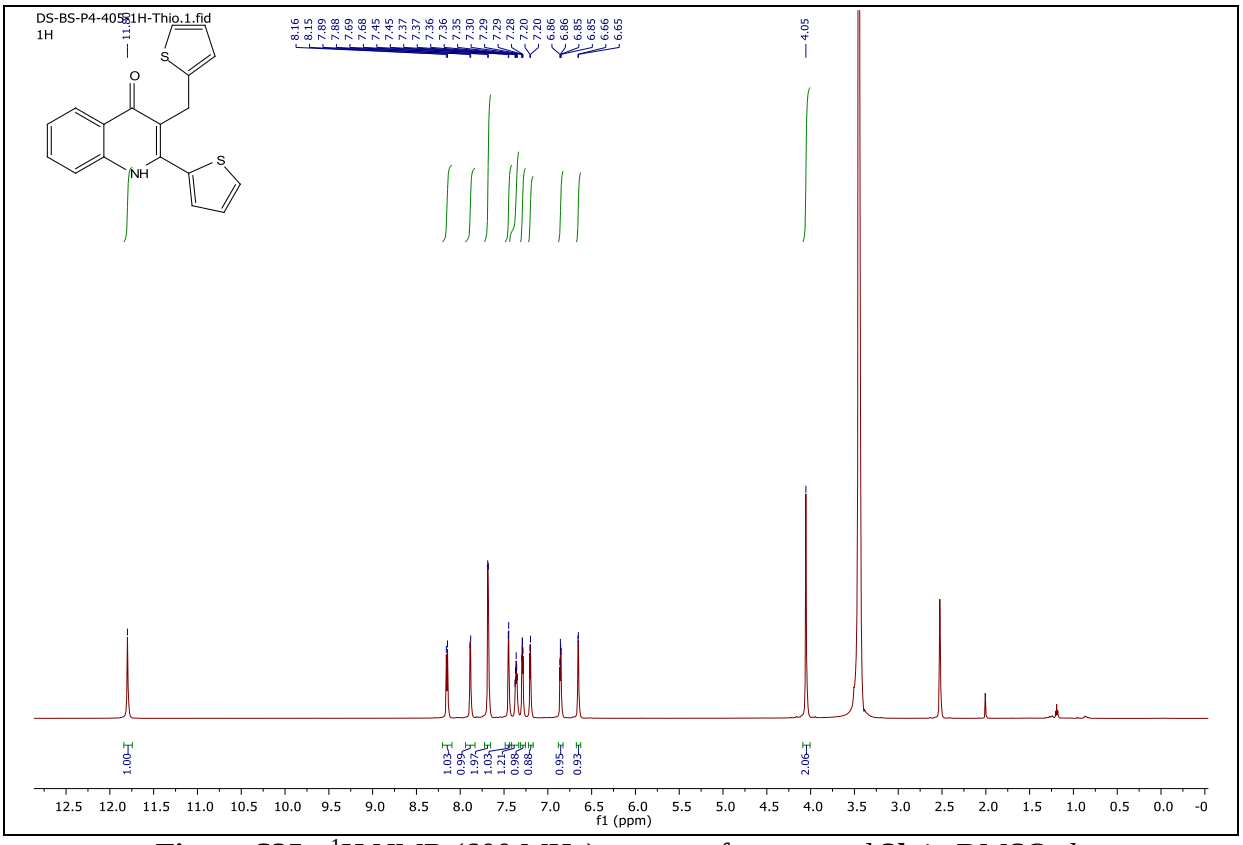


Figure S25: ^{1}H NMR (600 MHz) spectra of compound **3k** in DMSO-d_6

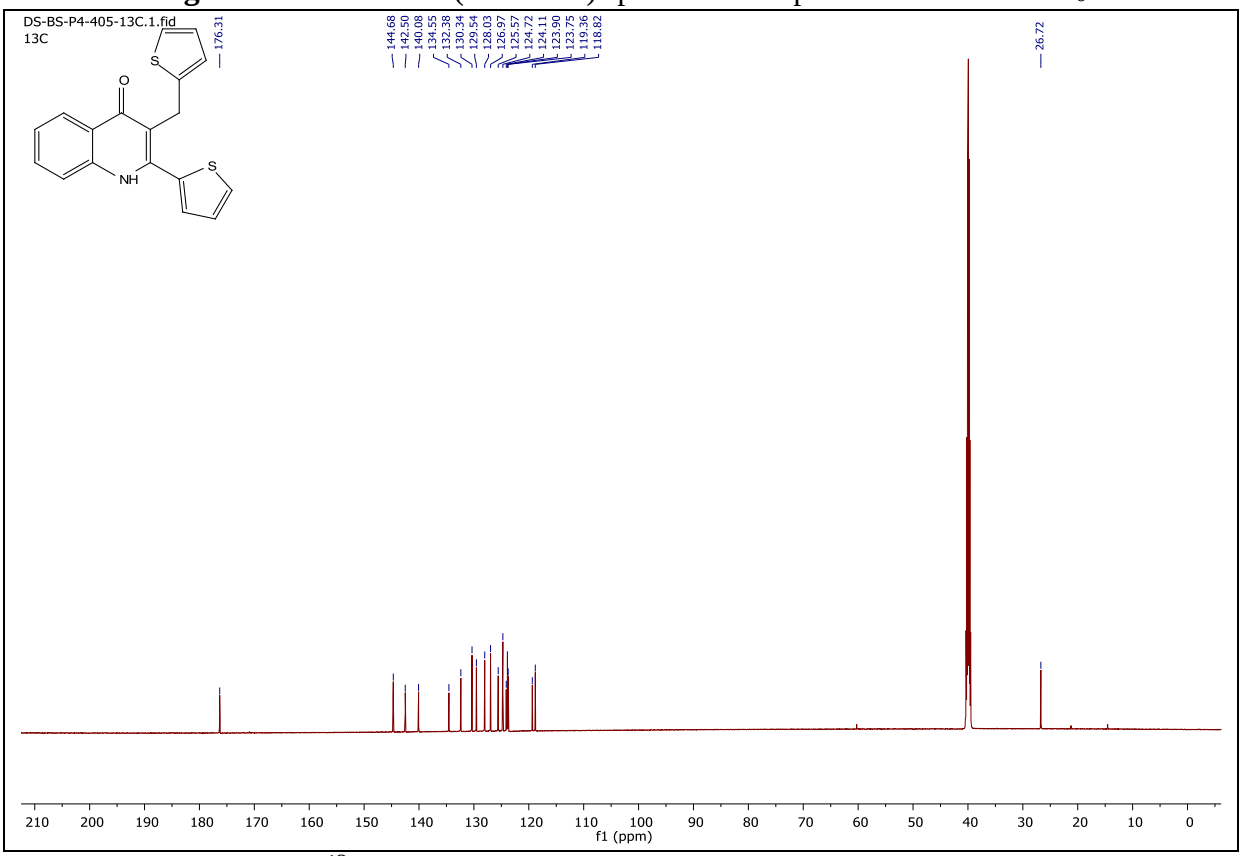


Figure S26: ^{13}C NMR (150 MHz) spectra of compound **3k** in DMSO-d_6

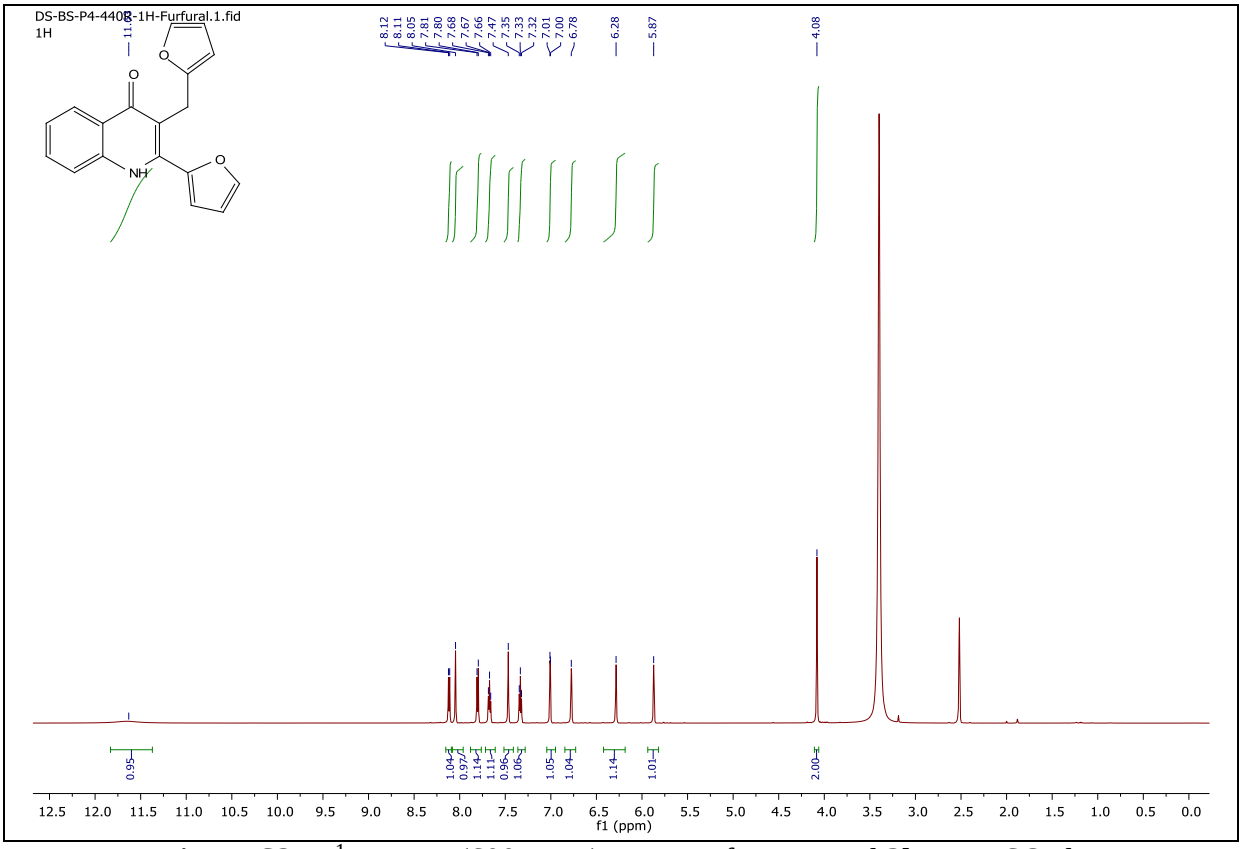


Figure S27: ^{1}H NMR (600 MHz) spectra of compound **3l** in DMSO-d_6

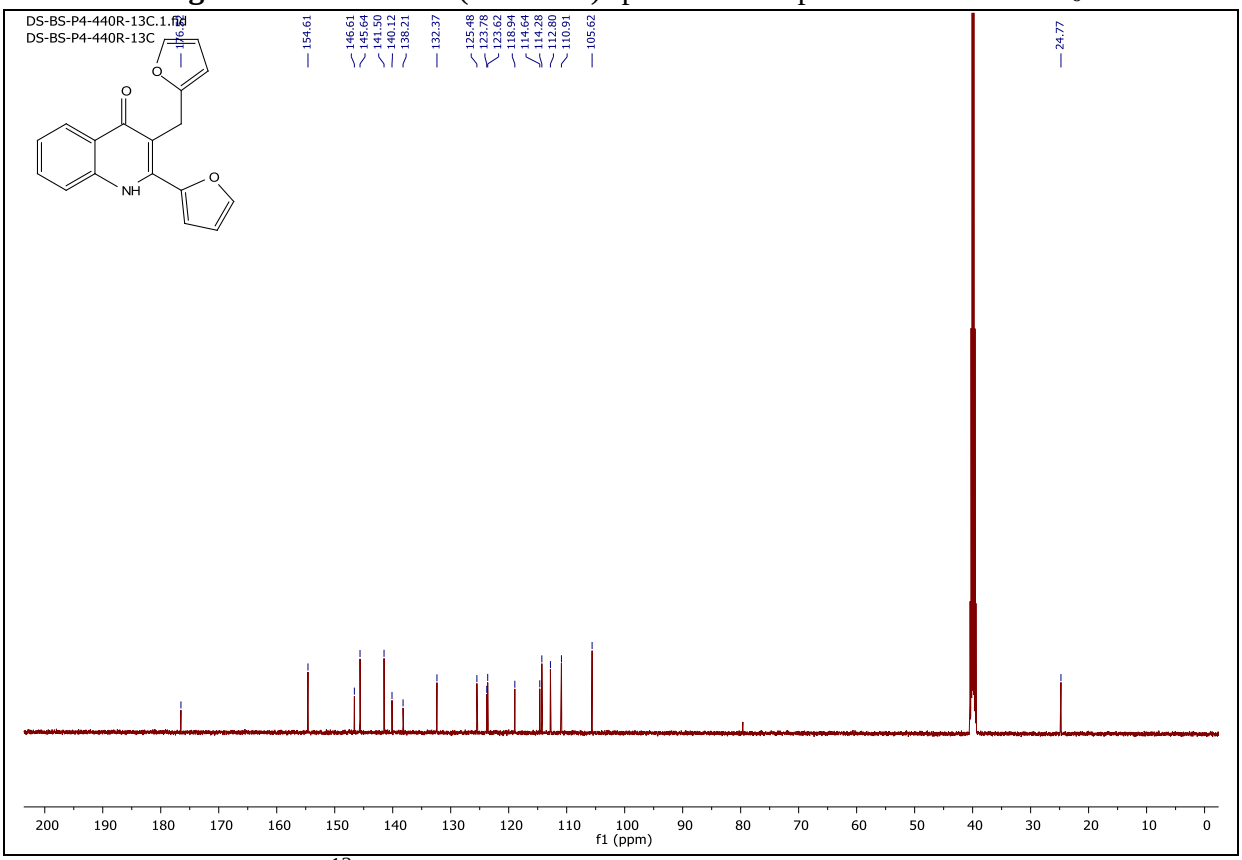


Figure S28: ^{13}C NMR (150 MHz) spectra of compound **3l** in DMSO-d_6

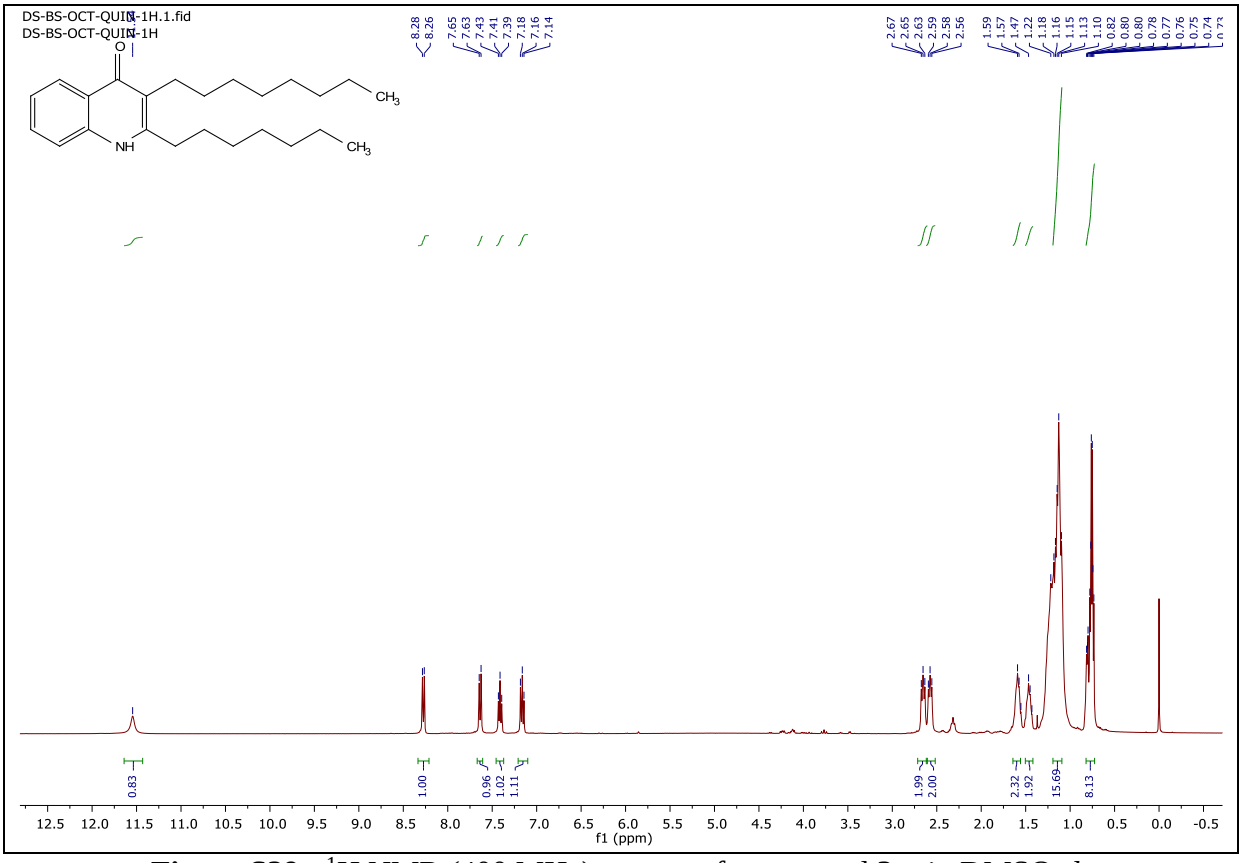


Figure S29: ^{1}H NMR (400 MHz) spectra of compound **3m** in DMSO-d_6

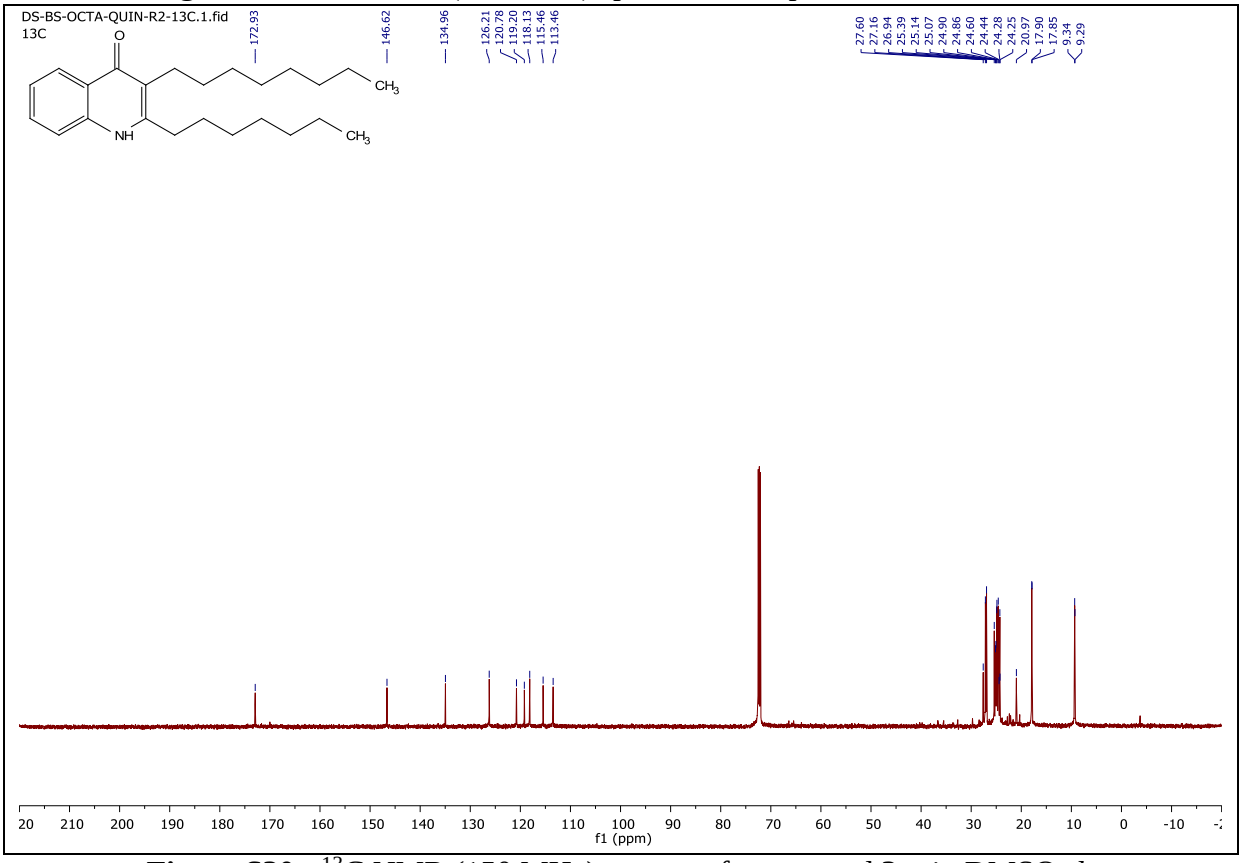


Figure S30: ^{13}C NMR (150 MHz) spectra of compound **3m** in DMSO-d_6

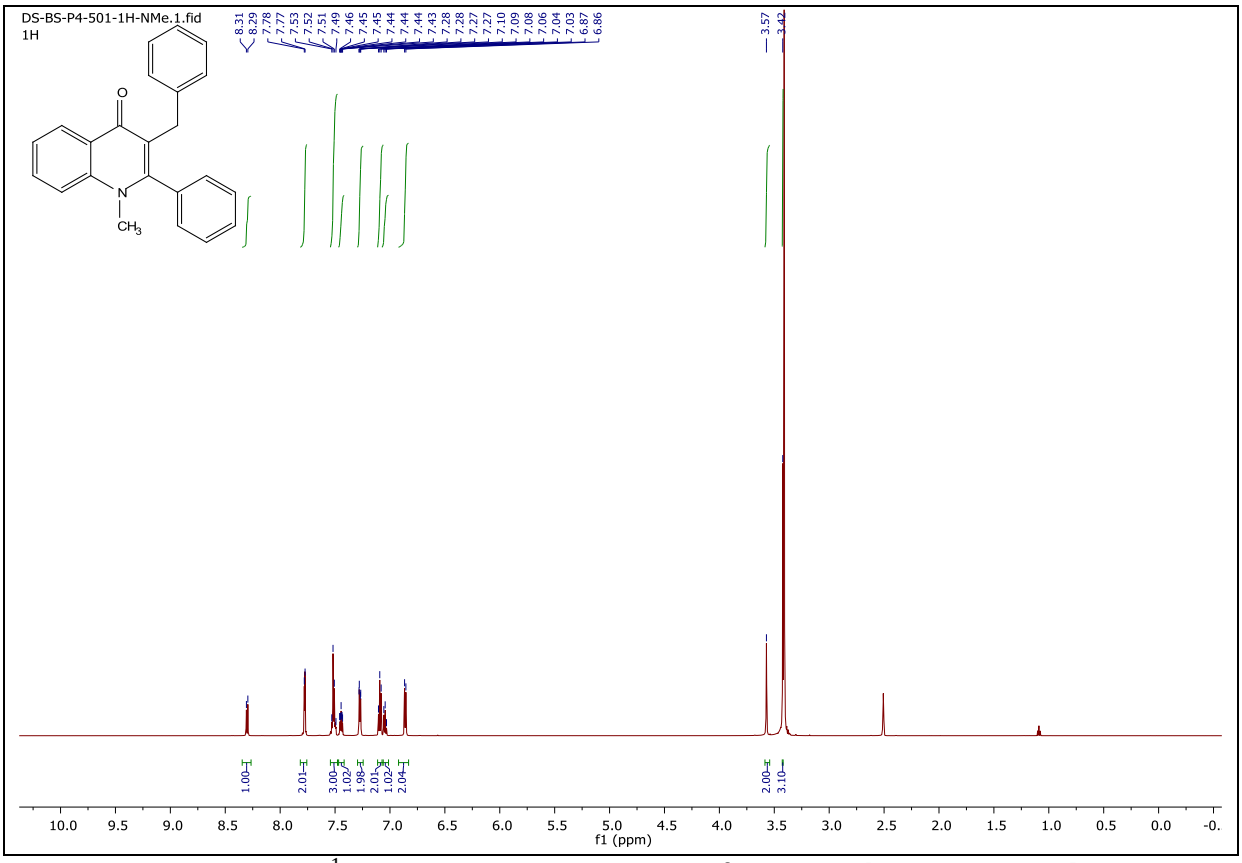


Figure S31: ^{1}H NMR (600 MHz) spectra of compound **3n** in DMSO-d_6

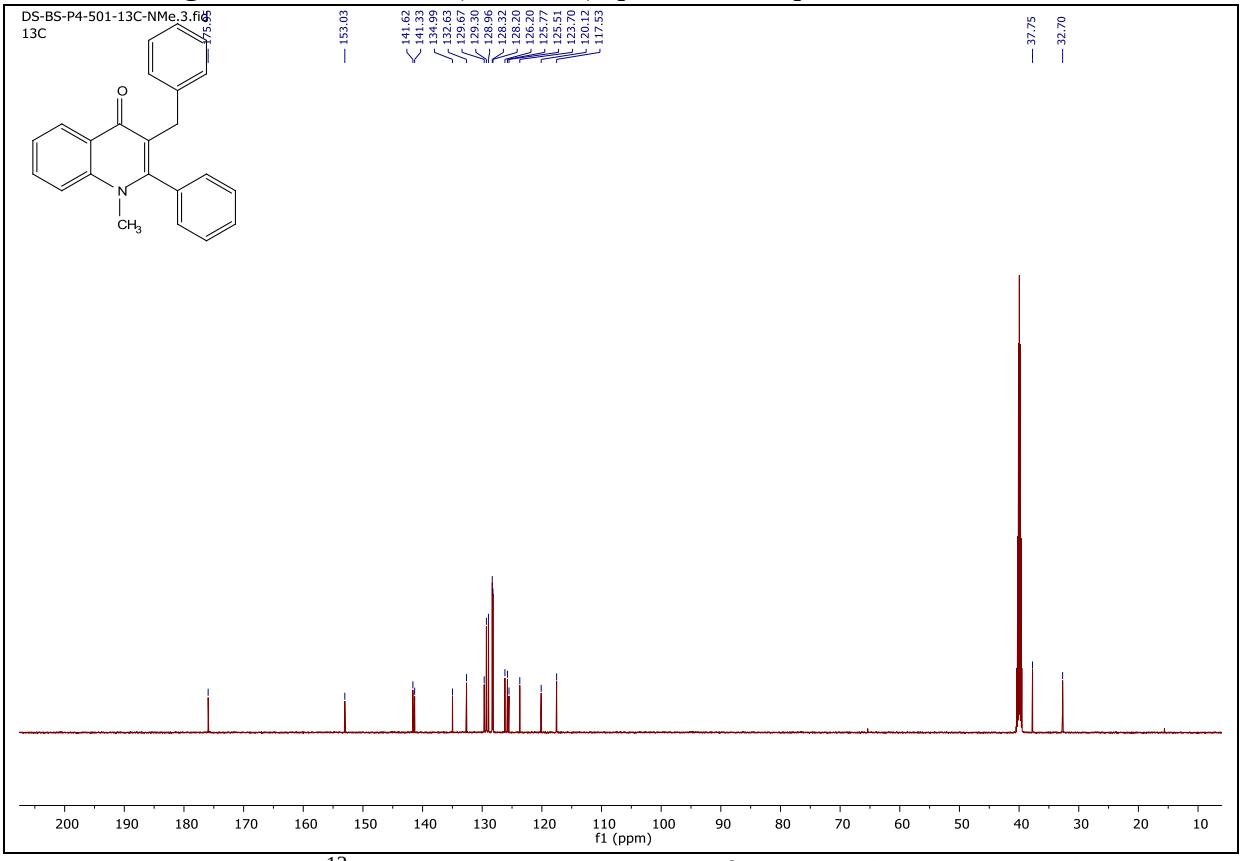


Figure S32: ^{13}C NMR (150 MHz) spectra of compound **3n** in DMSO-d_6

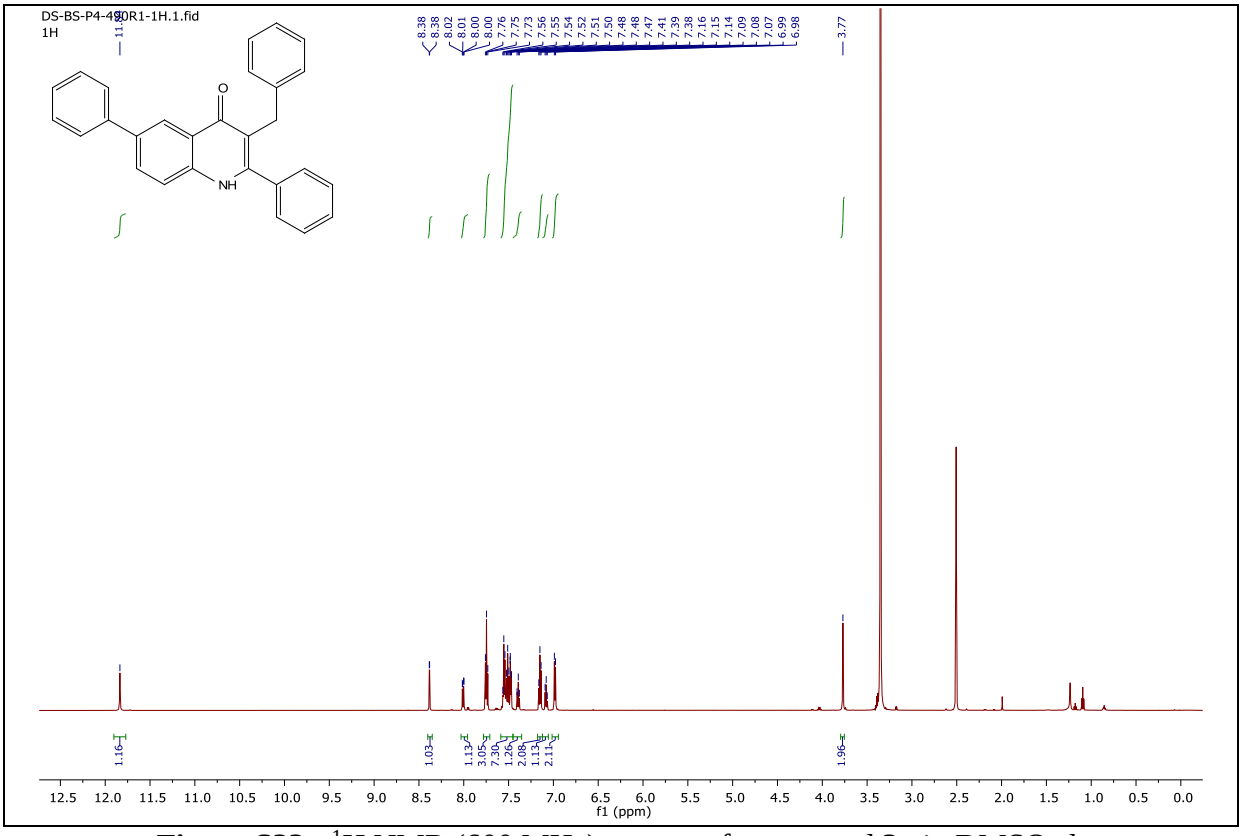


Figure S33: ^{1}H NMR (600 MHz) spectra of compound **3o** in DMSO-d_6

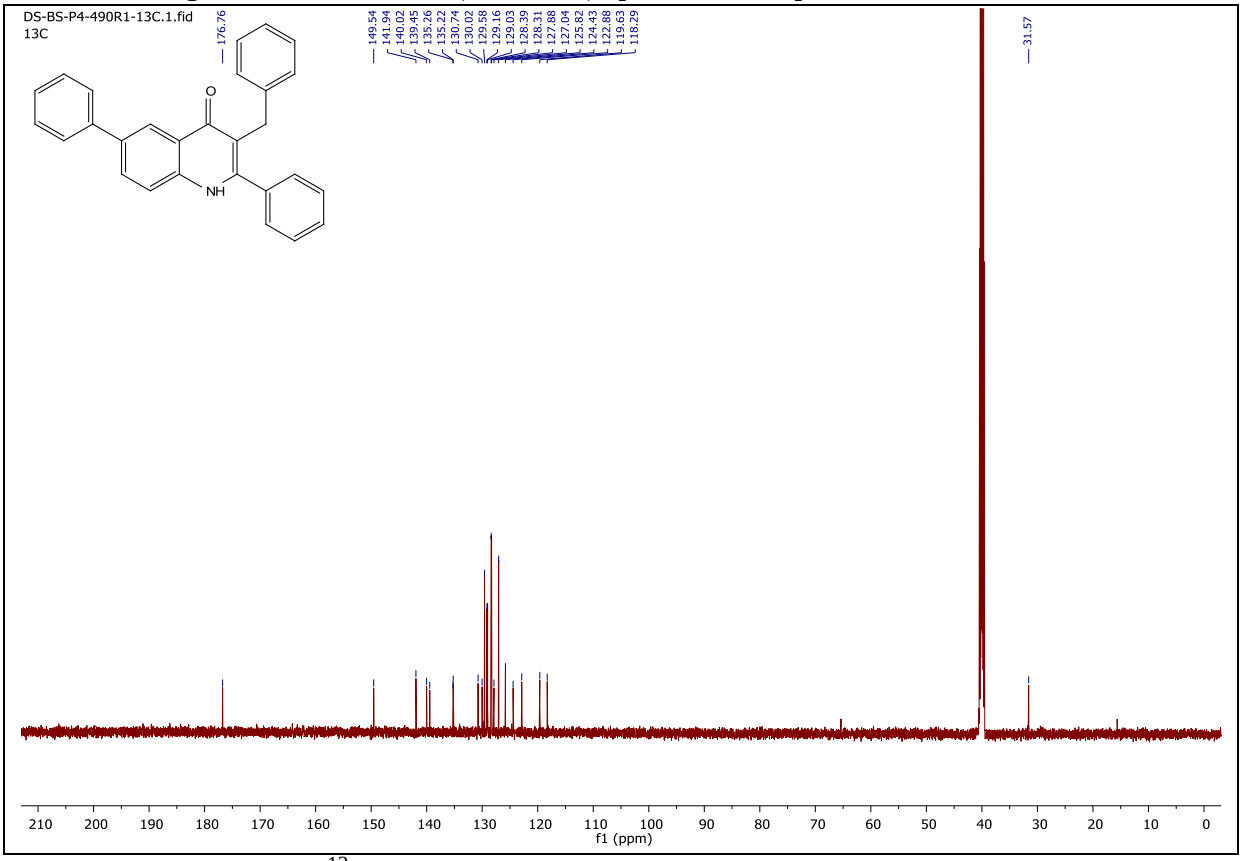


Figure S34: ^{13}C NMR (150 MHz) spectra of compound **3o** in DMSO-d_6

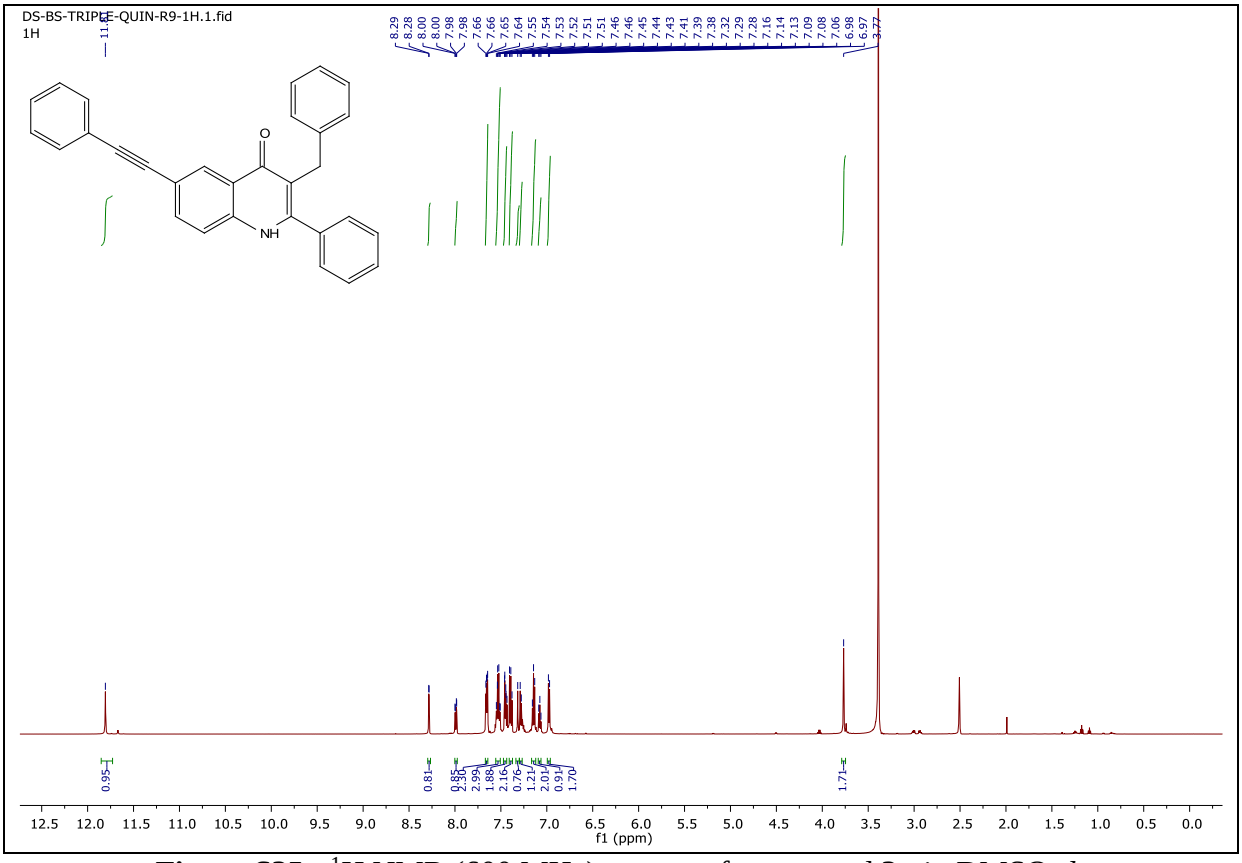


Figure S35: ^{1}H NMR (600 MHz) spectra of compound **3p** in DMSO-d_6

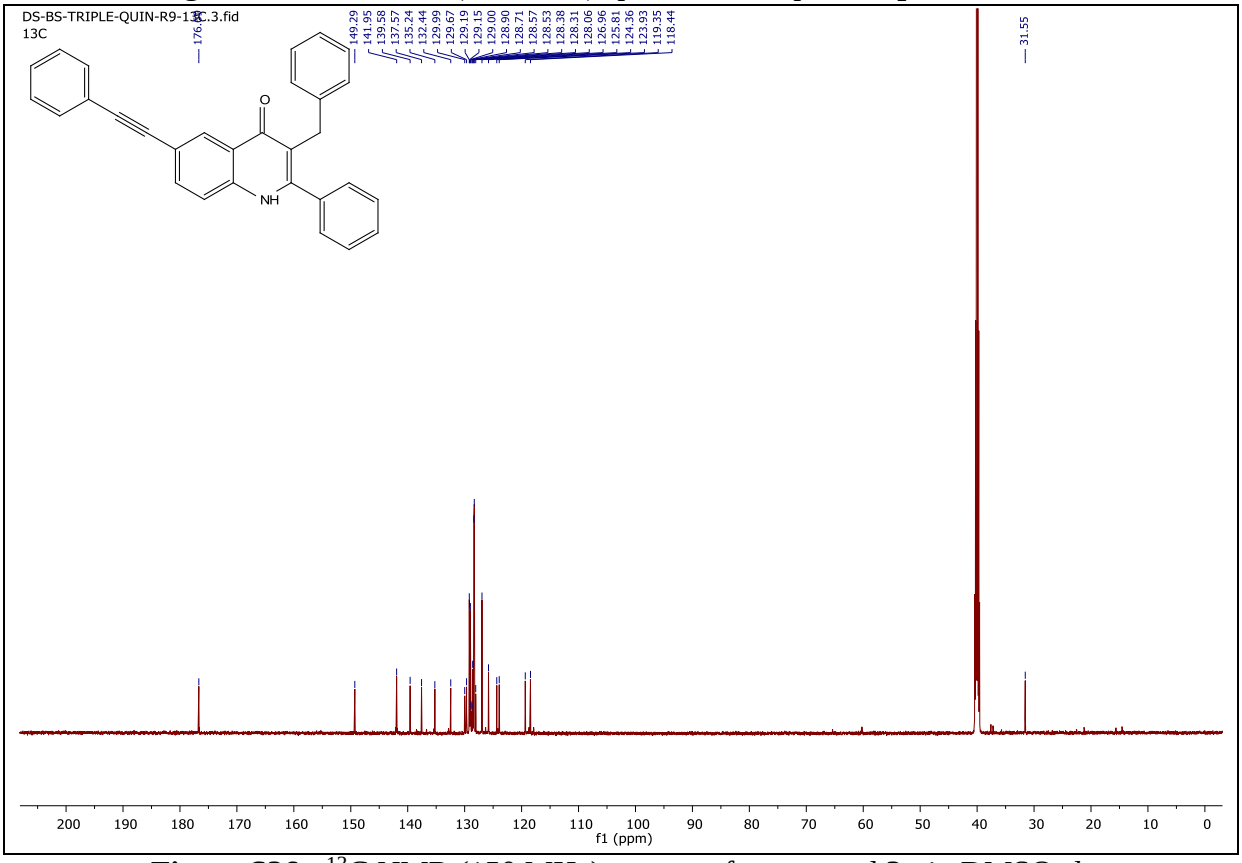


Figure S36: ^{13}C NMR (150 MHz) spectra of compound **3p** in DMSO-d_6

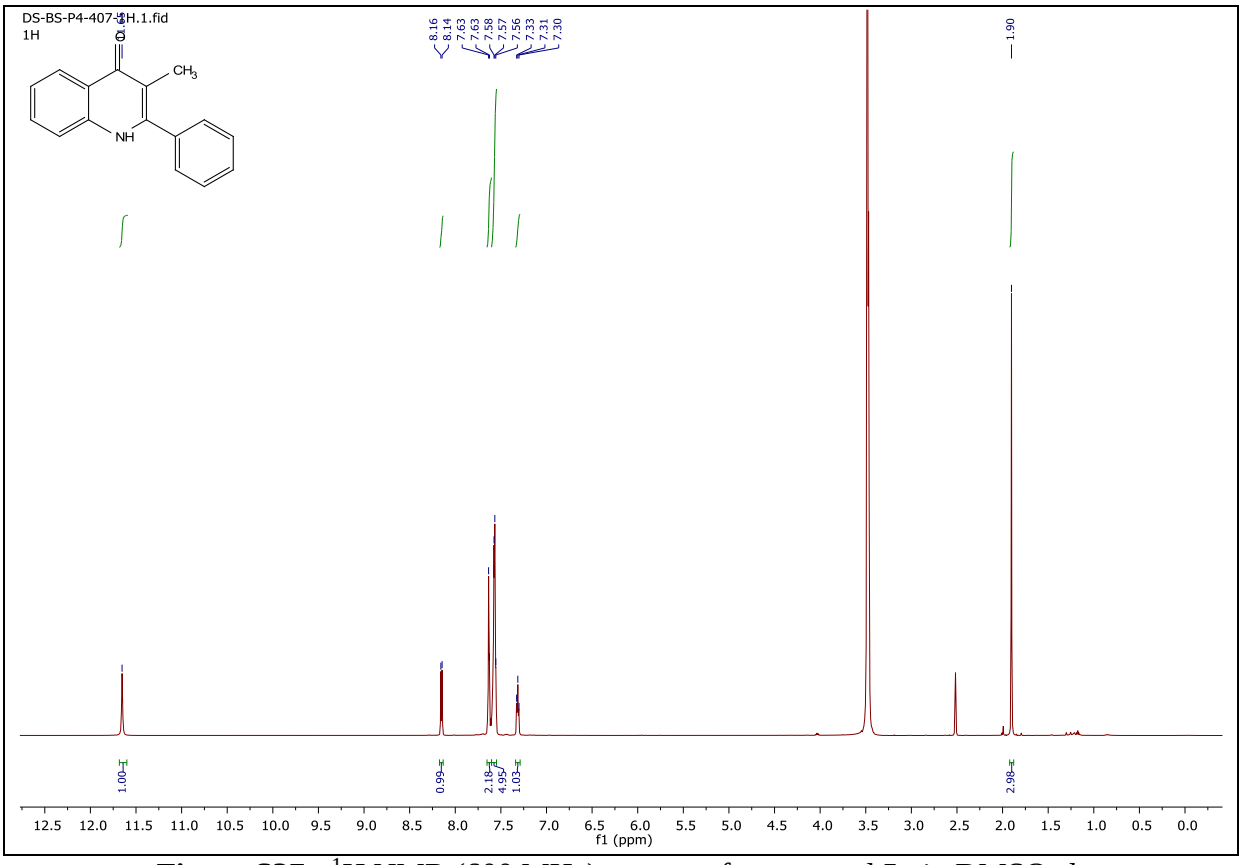


Figure S37: ^{1}H NMR (600 MHz) spectra of compound **5a** in DMSO-d_6

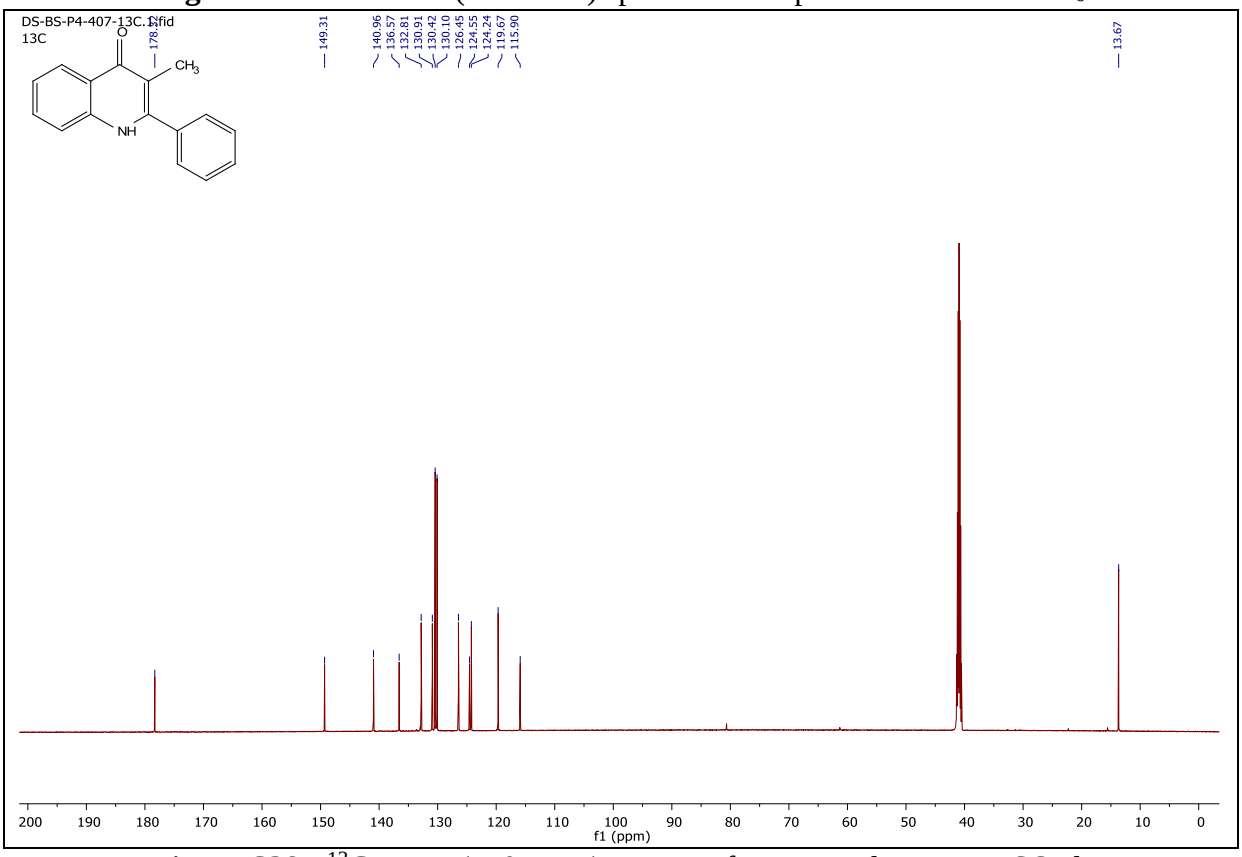


Figure S38: ^{13}C NMR (150 MHz) spectra of compound **5a** in DMSO-d_6

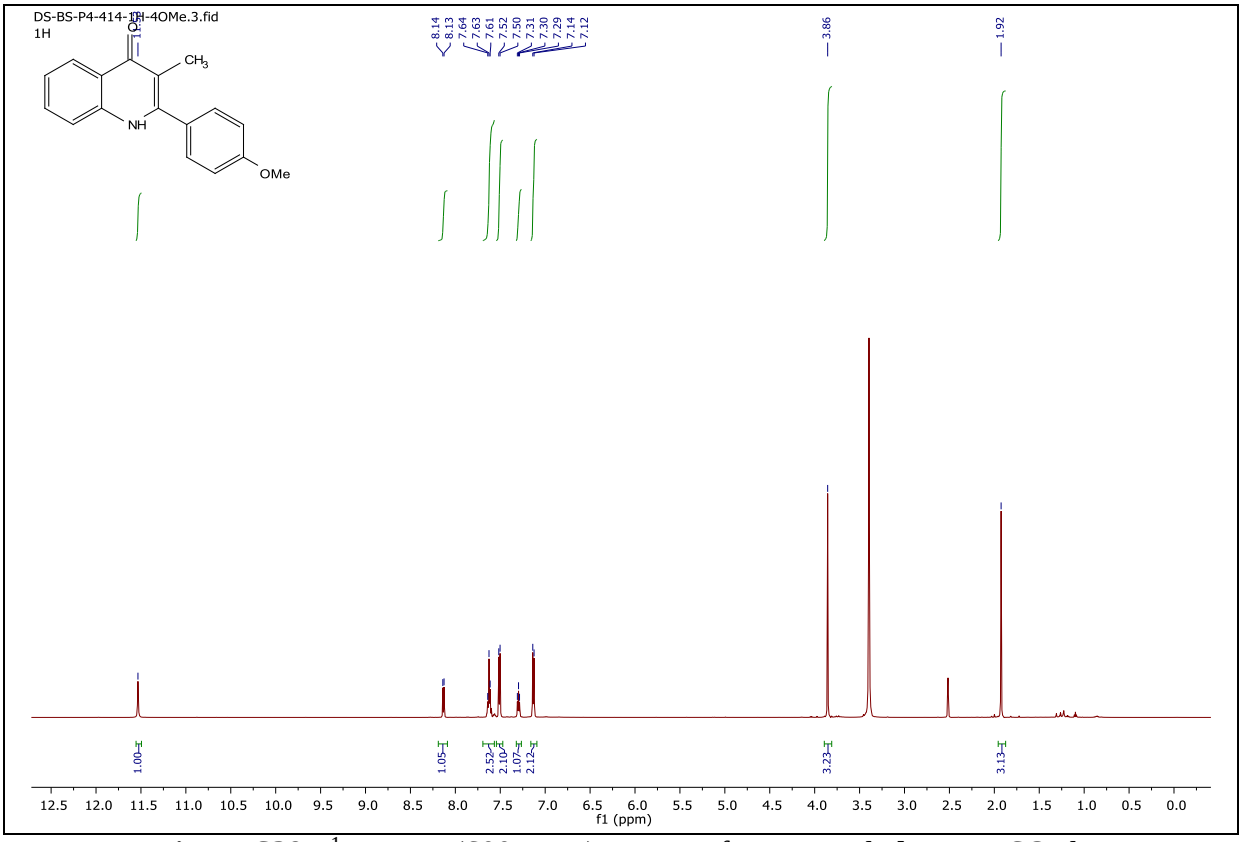


Figure S39: ^{1}H NMR (600 MHz) spectra of compound **5b** in DMSO-d_6

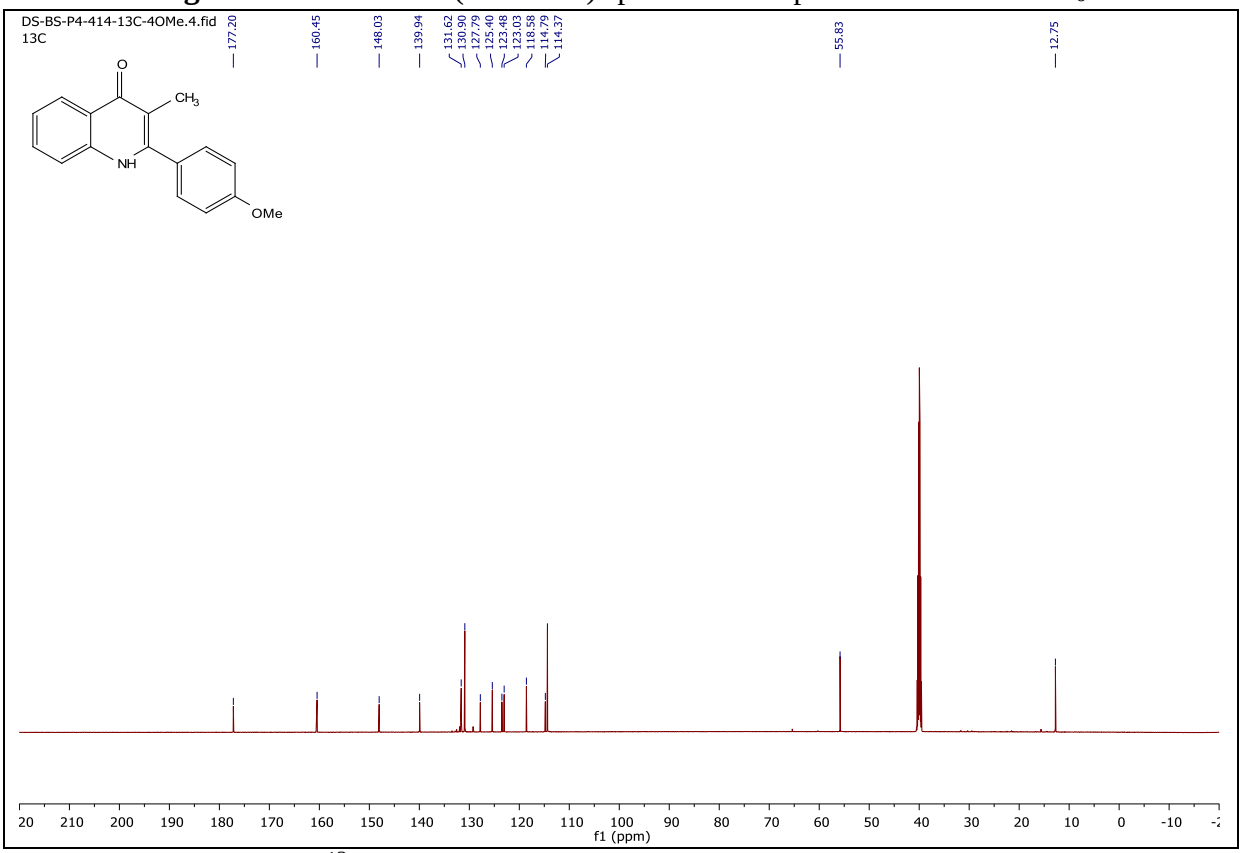


Figure S40: ^{13}C NMR (150 MHz) spectra of compound **5b** in DMSO-d_6

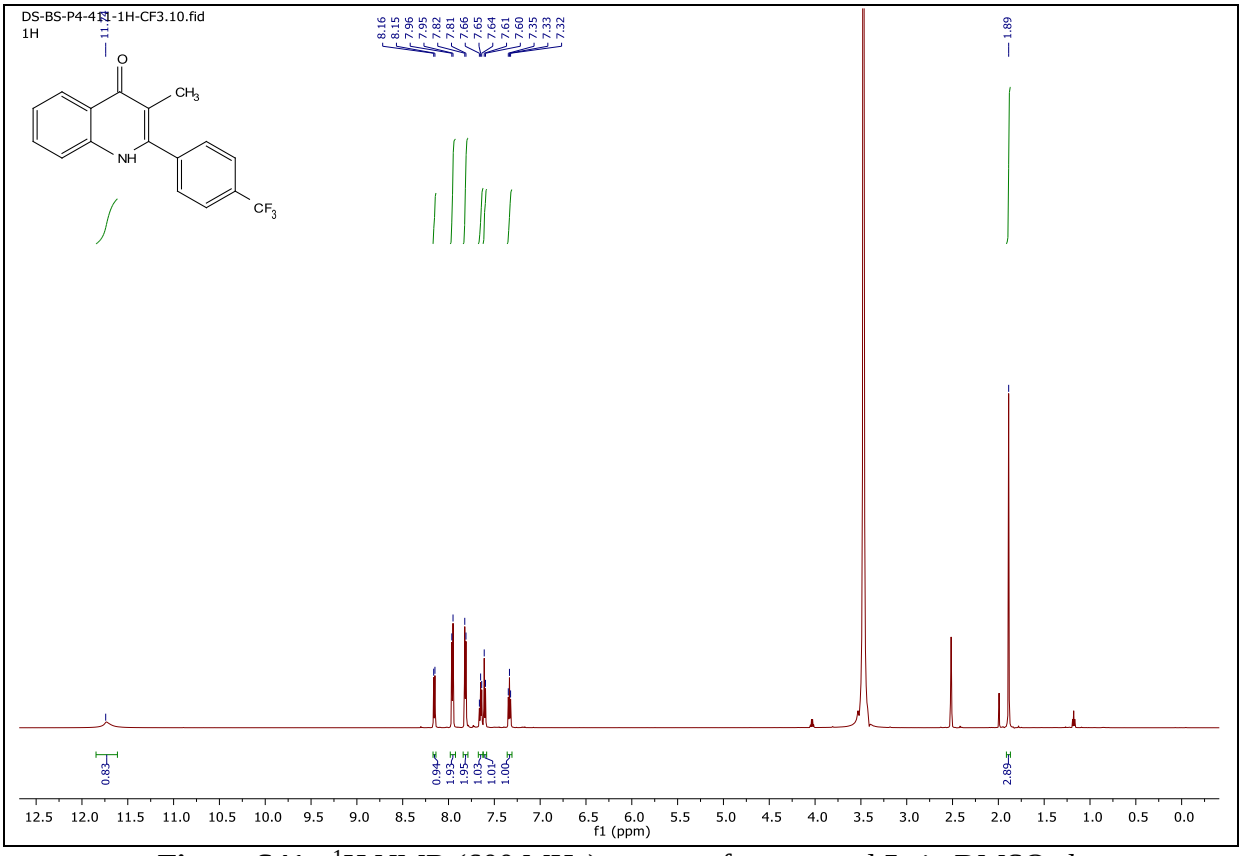


Figure S41: ^{1}H NMR (600 MHz) spectra of compound **5c** in DMSO-d_6

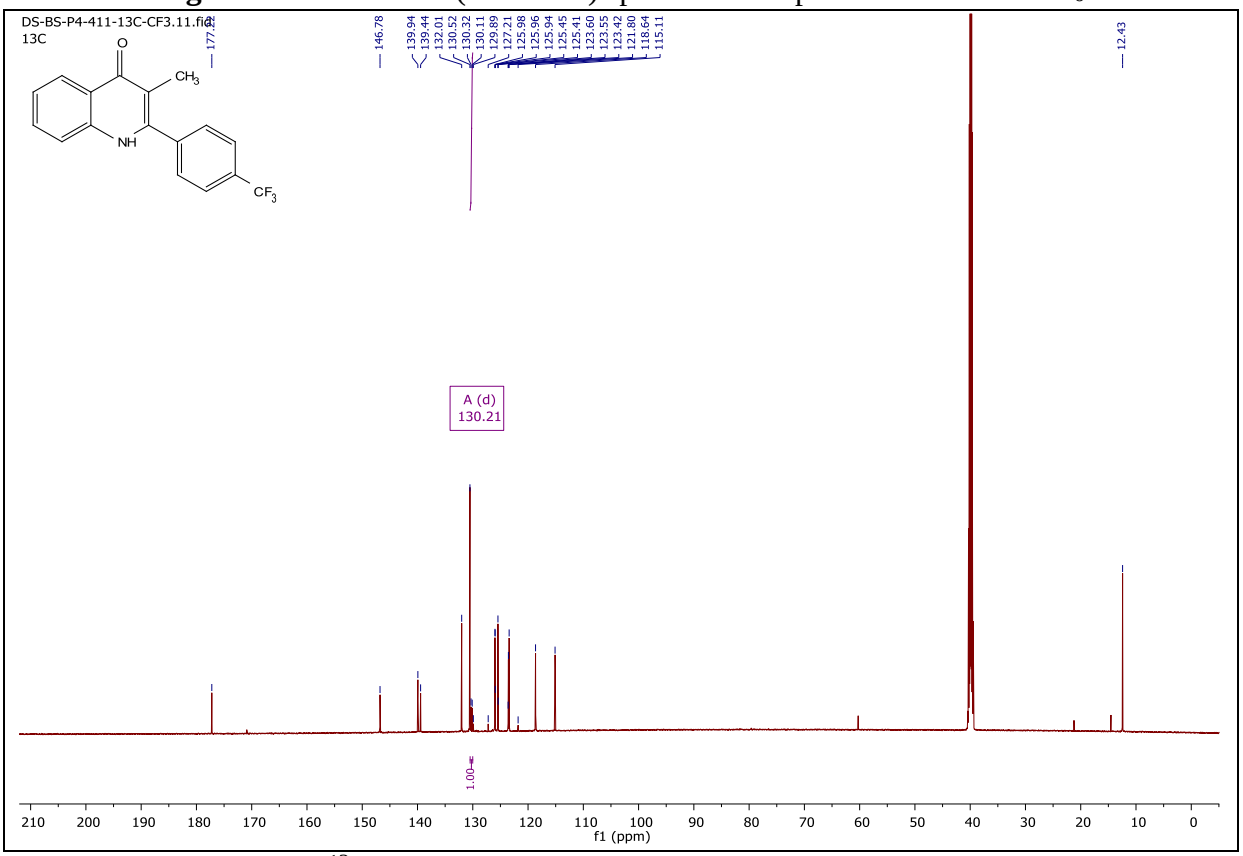


Figure S42: ^{13}C NMR (150 MHz) spectra of compound **5c** in DMSO-d_6

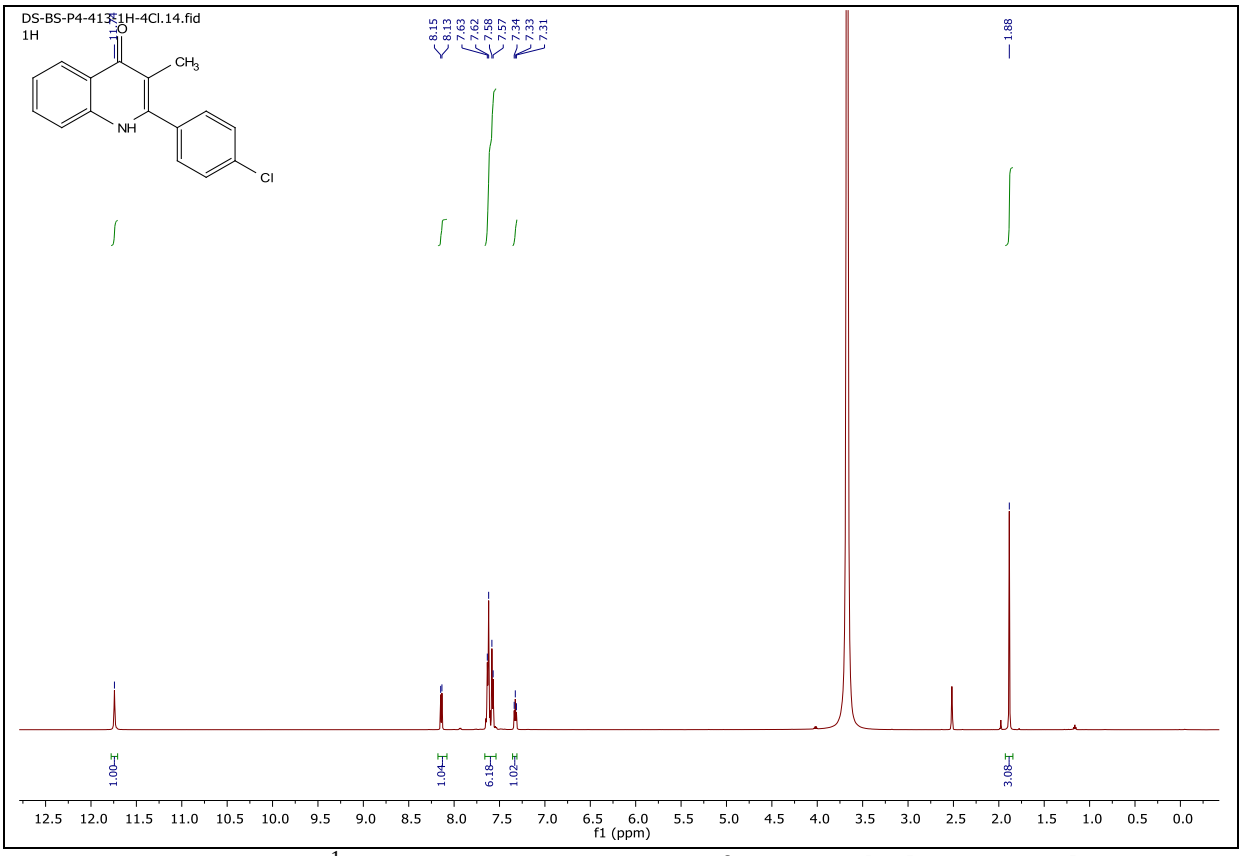


Figure S43: ^{1}H NMR (500 MHz) spectra of compound **5d** in DMSO-d_6

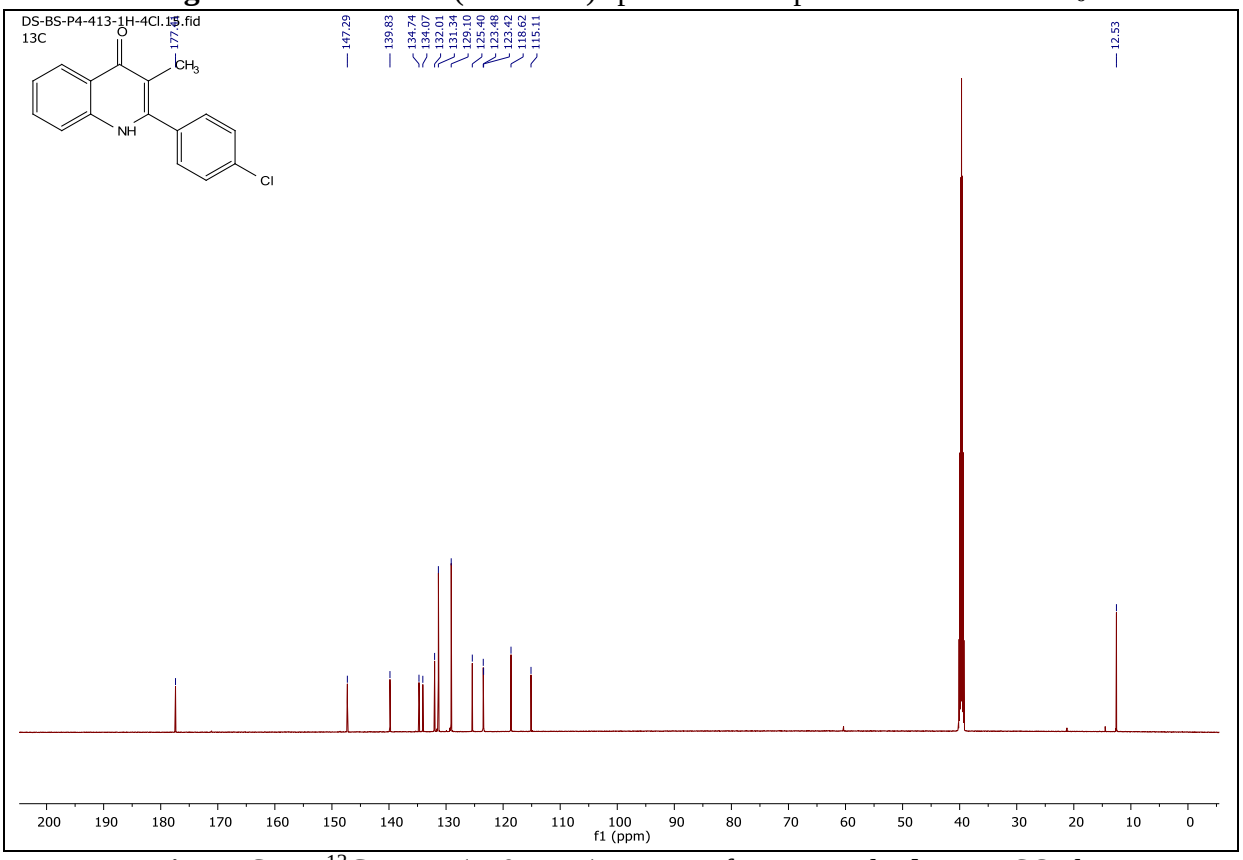


Figure S44: ^{13}C NMR (150 MHz) spectra of compound **5d** in DMSO-d_6

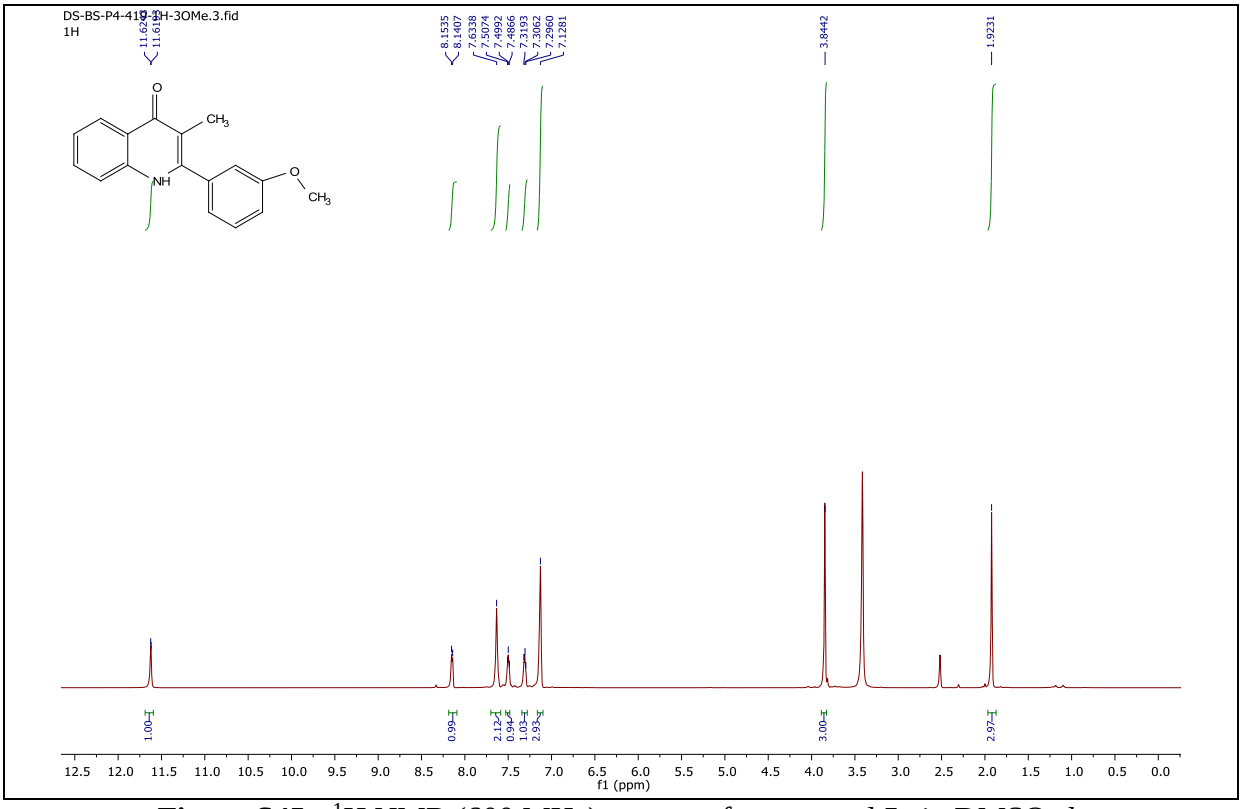


Figure S45: ^{1}H NMR (600 MHz) spectra of compound **5e** in DMSO-d_6

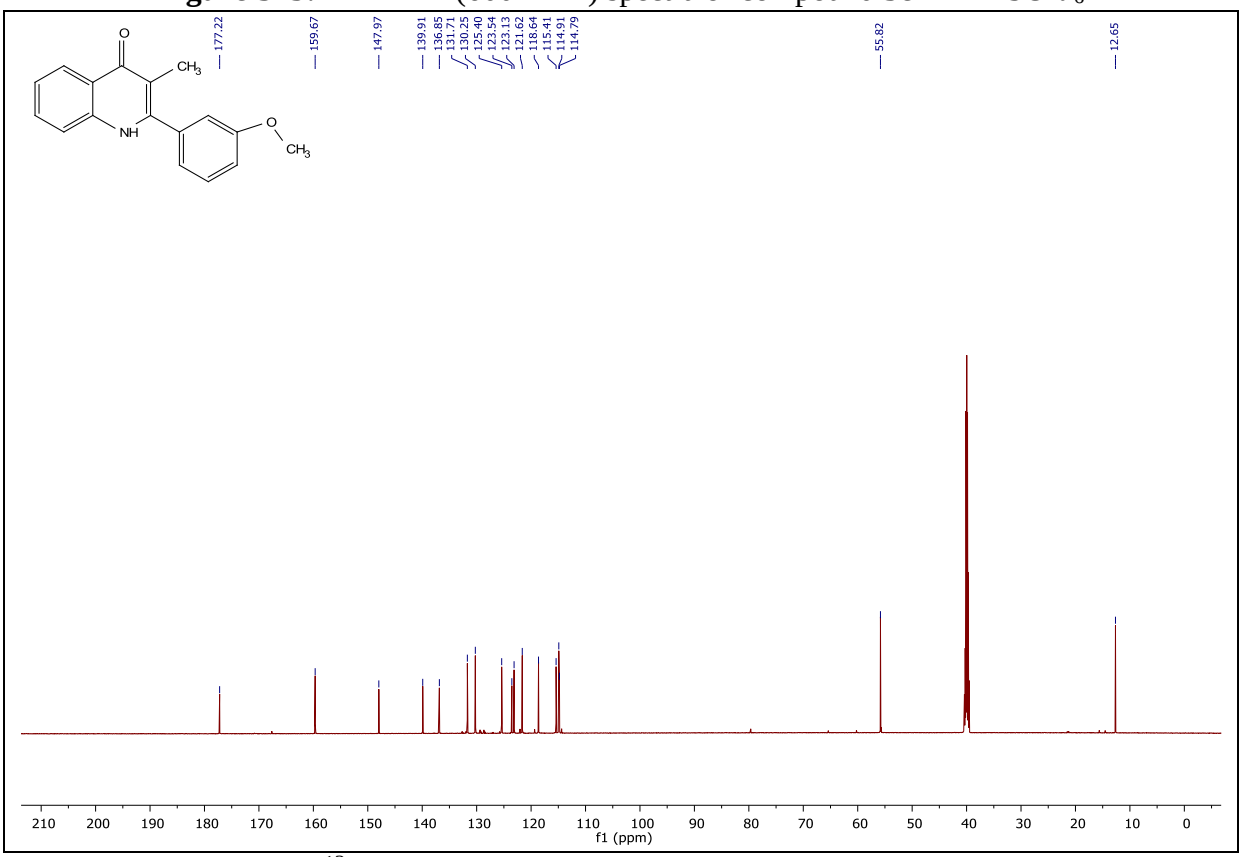


Figure S46: ^{13}C NMR (150 MHz) spectra of compound **5e** in DMSO-d_6

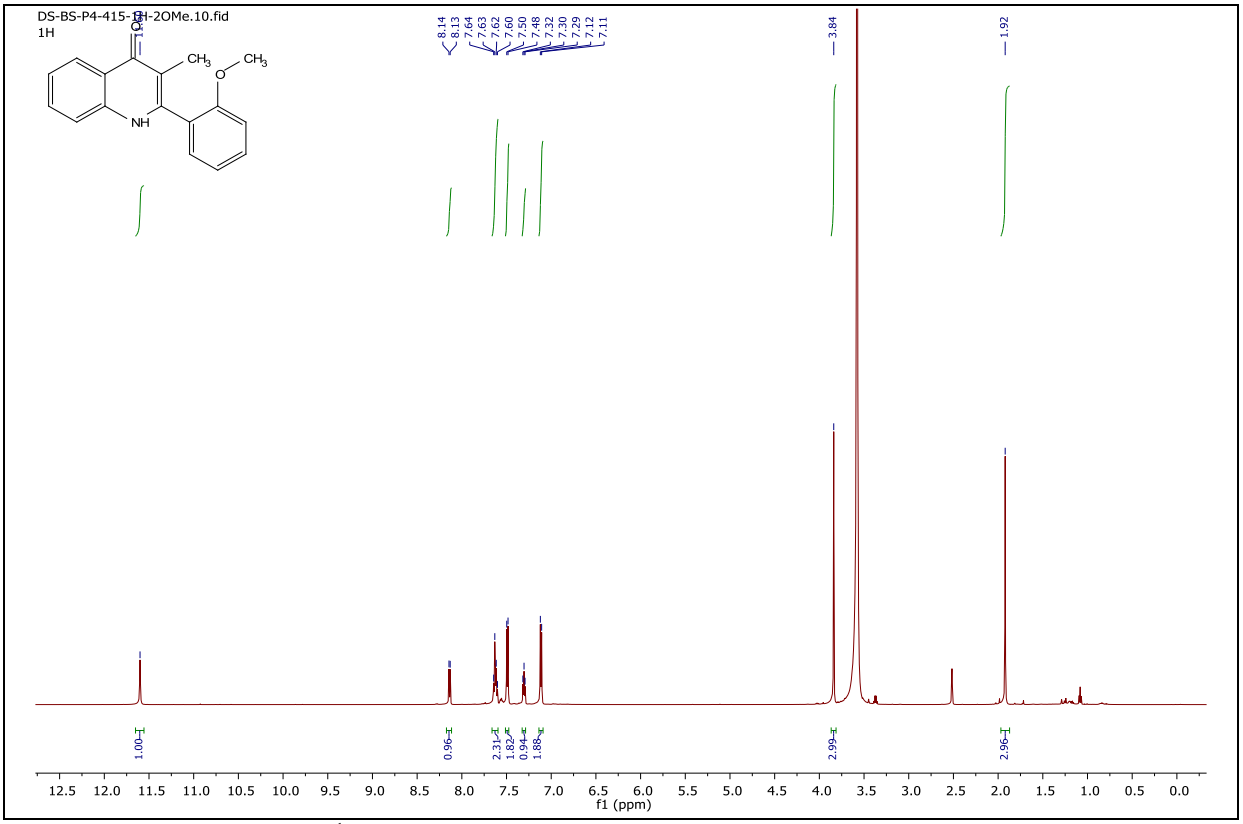


Figure S47: ^{1}H NMR (600 MHz) spectra of compound **5f** in DMSO-d_6

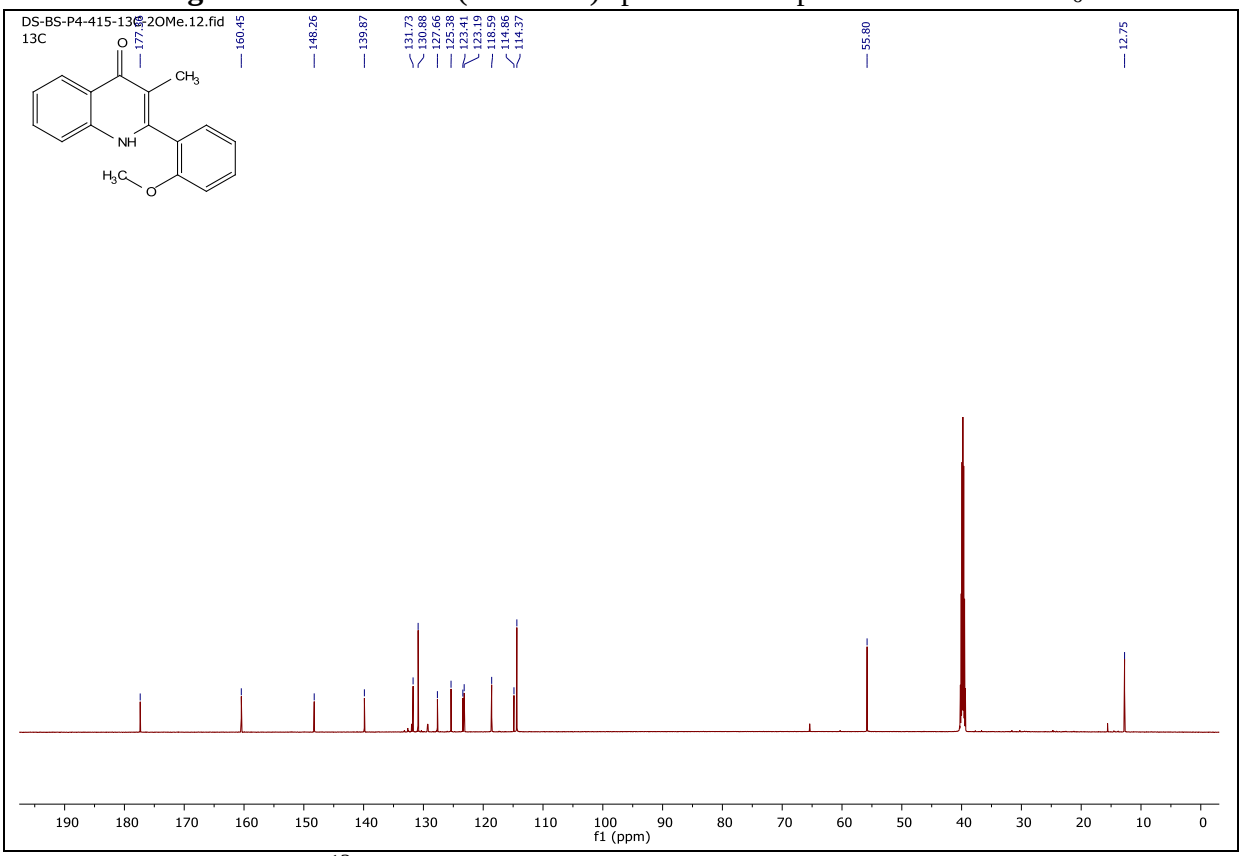


Figure S48: ^{13}C NMR (150 MHz) spectra of compound **5f** in DMSO-d_6

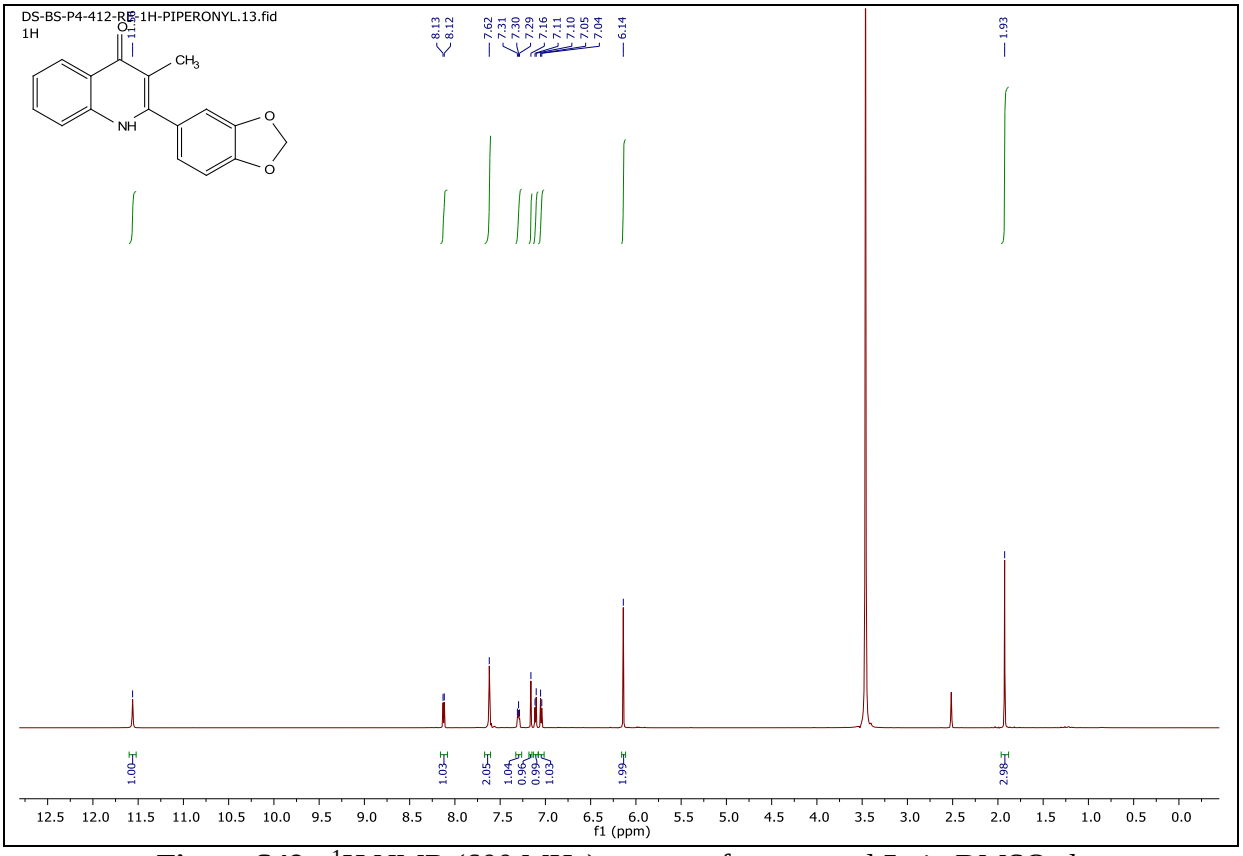


Figure S49: ^{1}H NMR (600 MHz) spectra of compound **5g** in DMSO-d_6

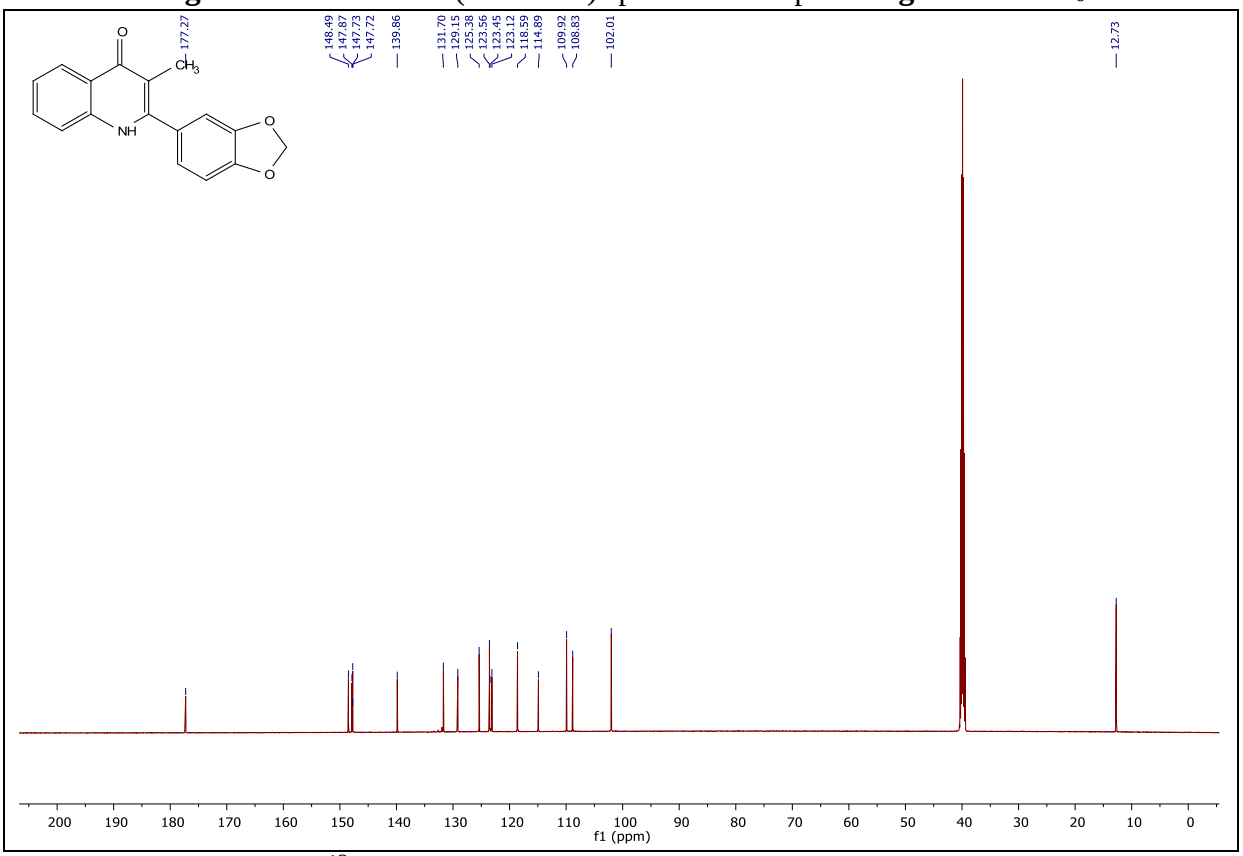


Figure S50: ^{13}C NMR (150 MHz) spectra of compound **5g** in DMSO-d_6

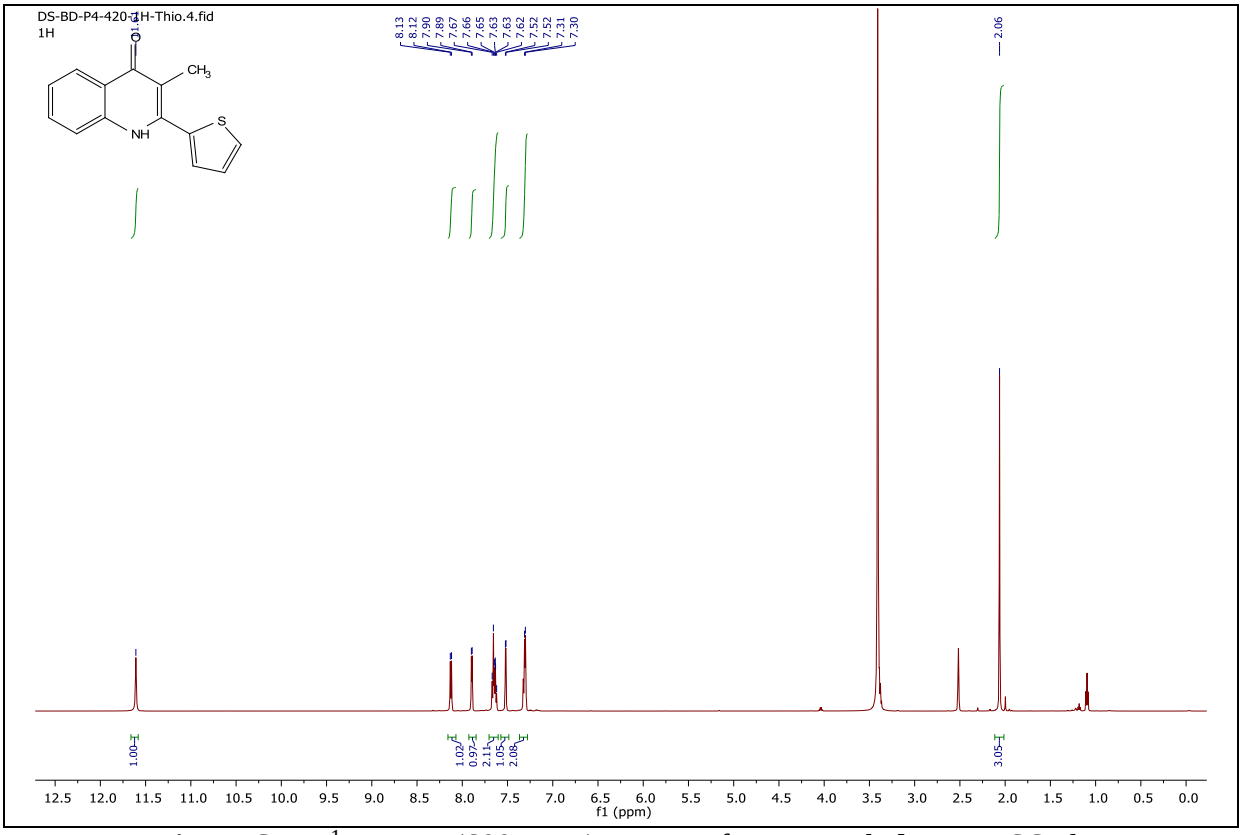


Figure S51: ^{1}H NMR (600 MHz) spectra of compound **5h** in DMSO-d_6

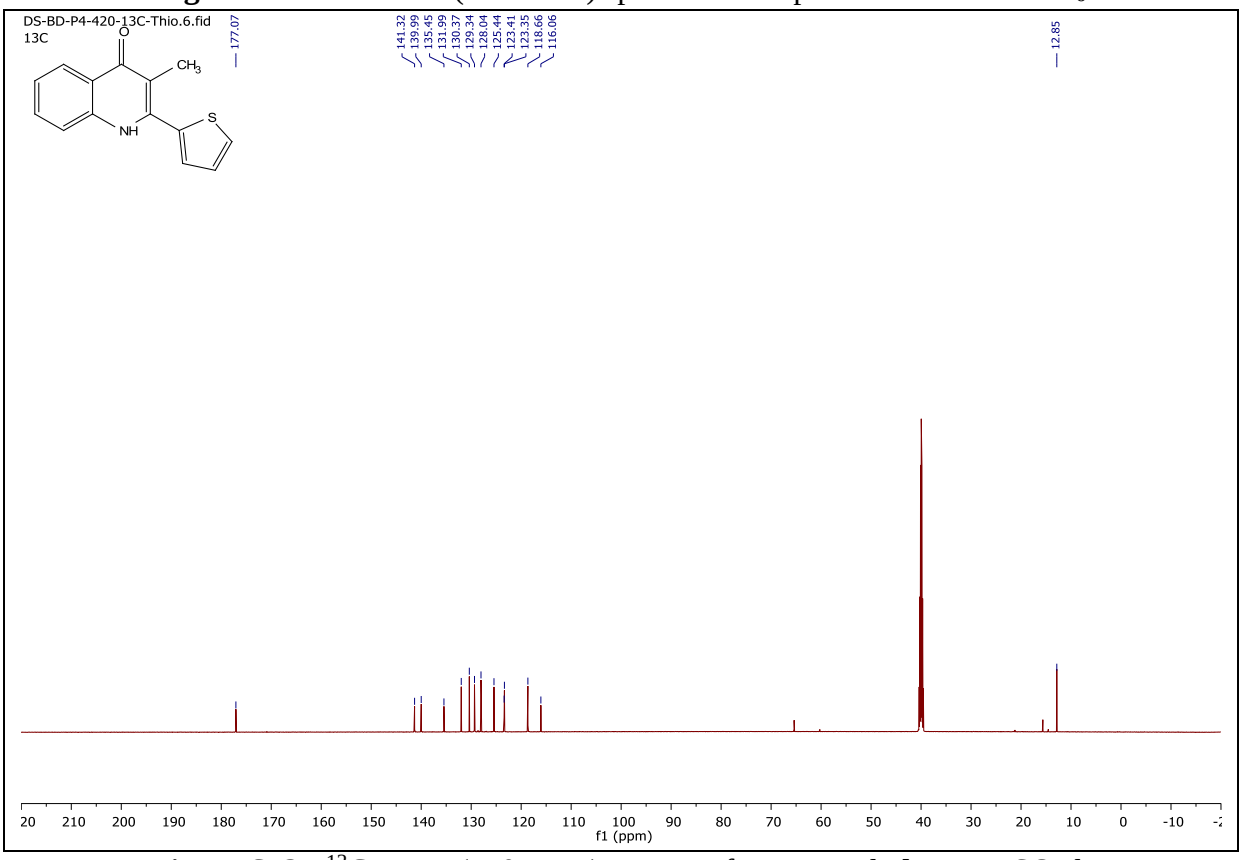


Figure S52: ^{13}C NMR (150 MHz) spectra of compound **5h** in DMSO-d_6

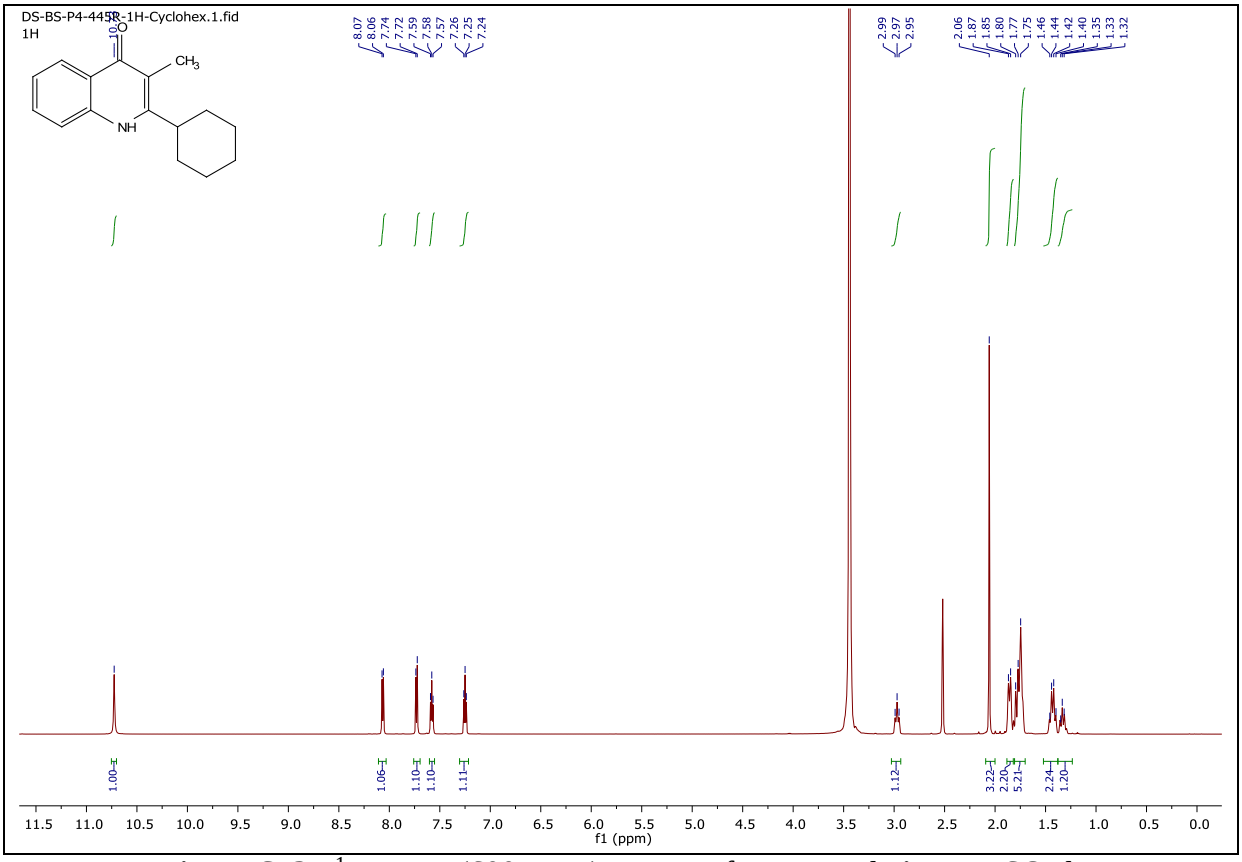


Figure S53: ^{1}H NMR (600 MHz) spectra of compound **5i** in DMSO-d_6

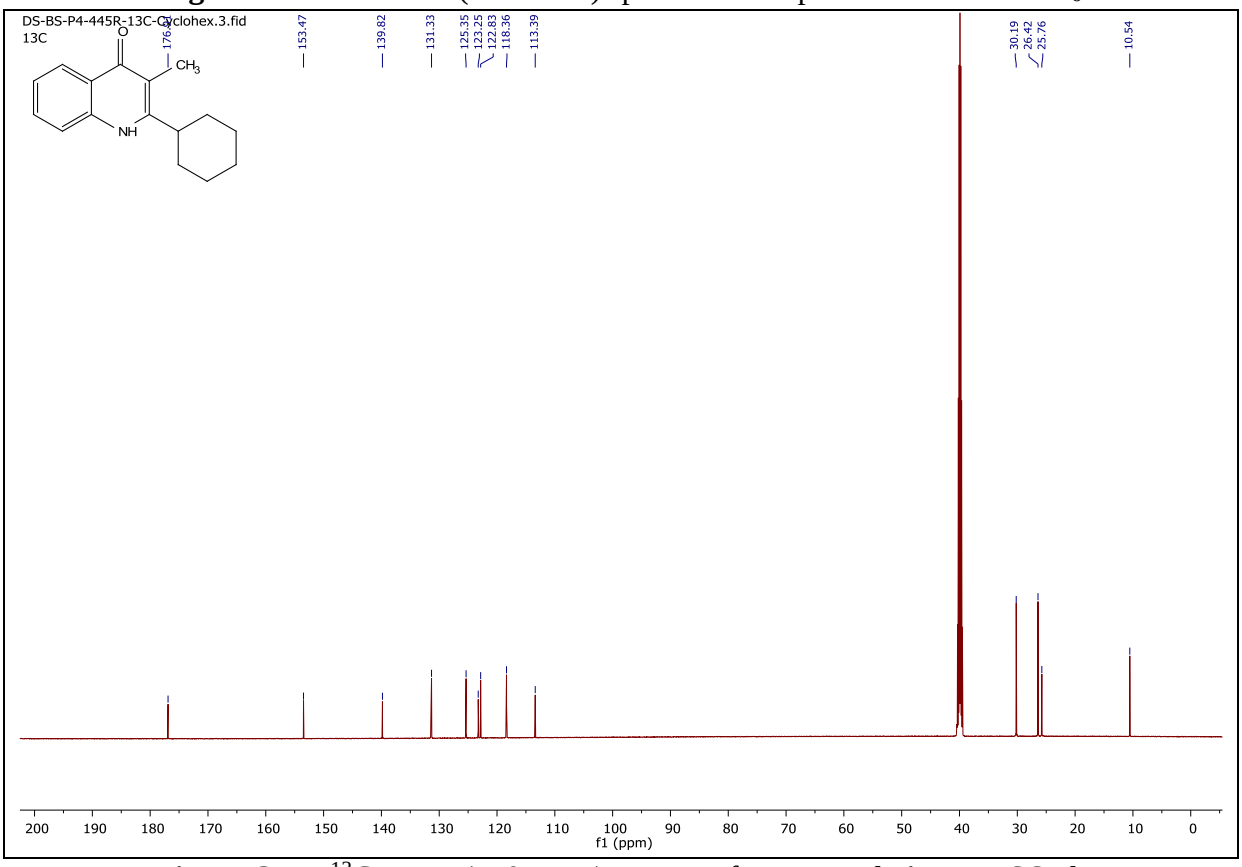


Figure S54: ^{13}C NMR (150 MHz) spectra of compound **5i** in DMSO-d_6

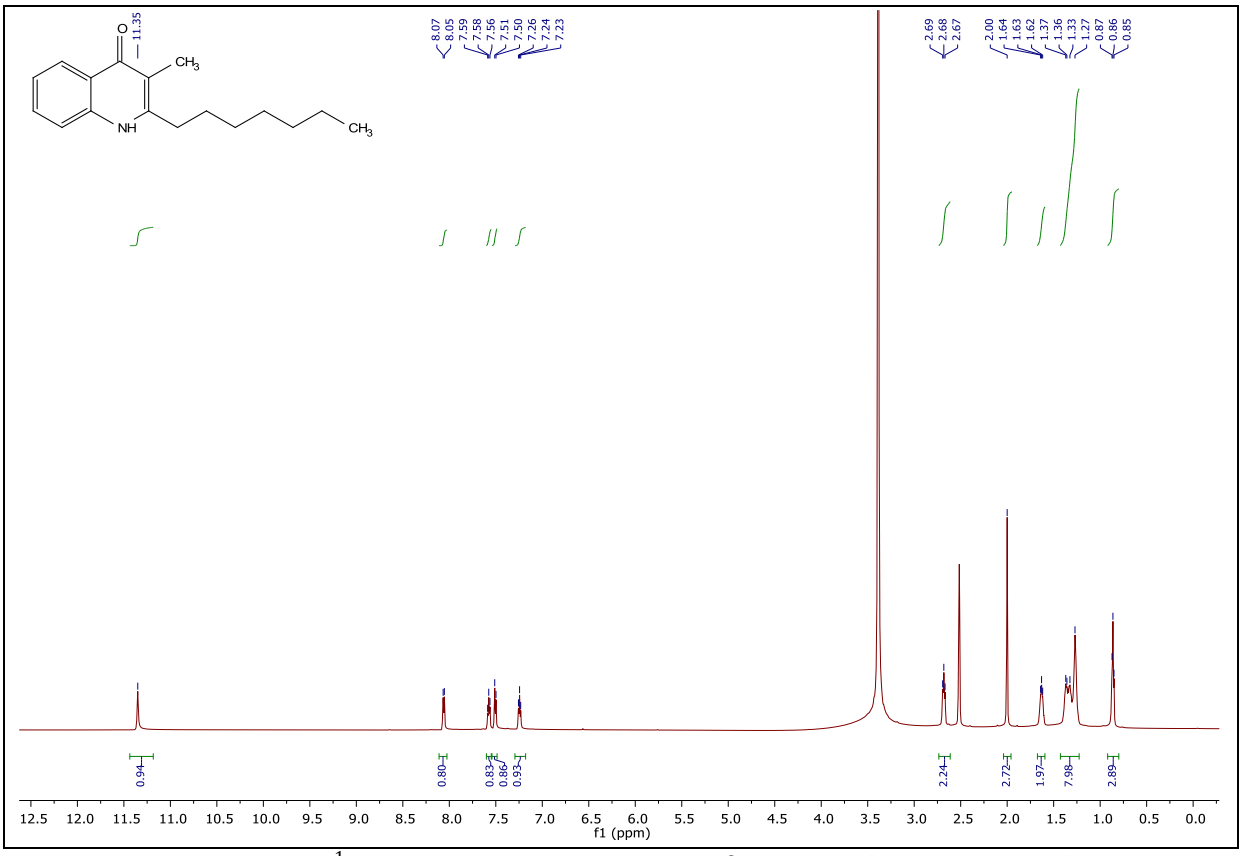


Figure S55: ^{1}H NMR (400 MHz) spectra of compound **5j** in DMSO-d_6

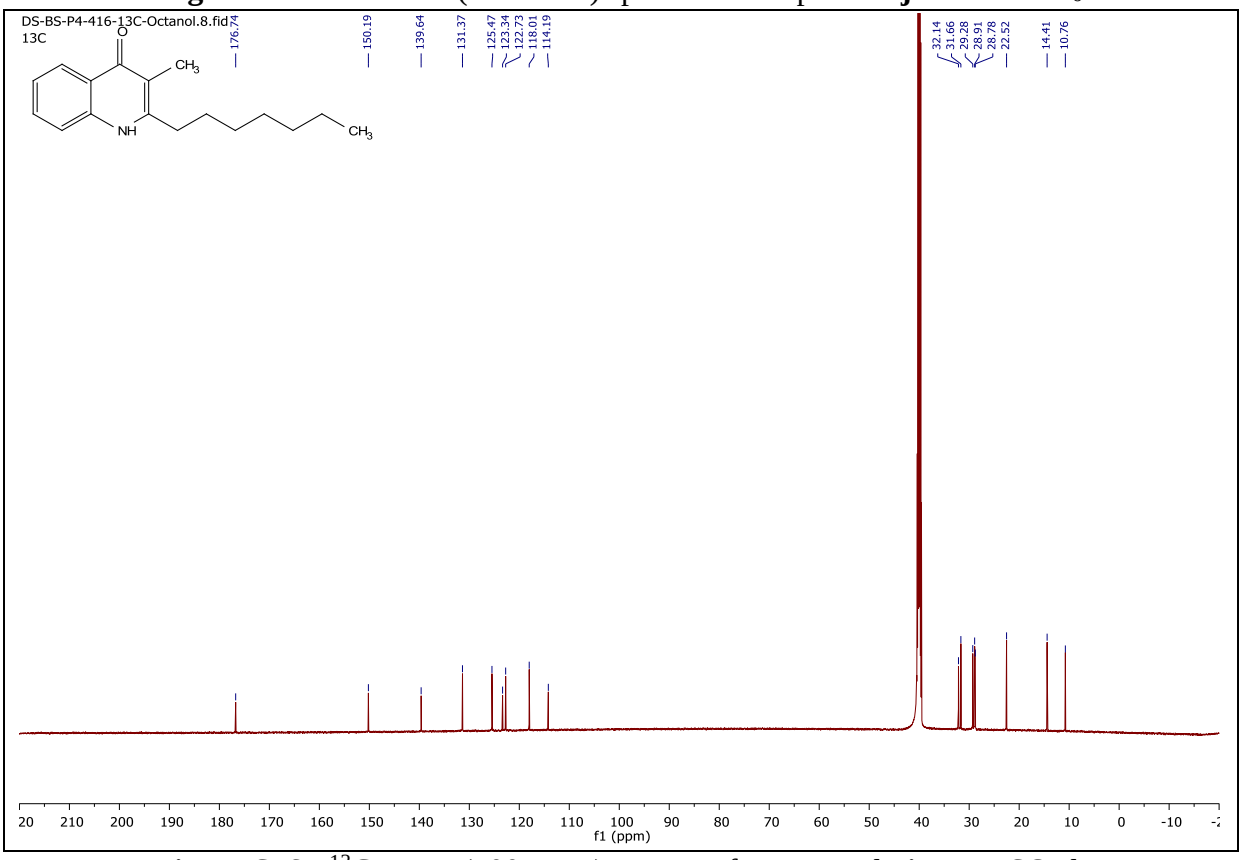


Figure S56: ^{13}C NMR (100 MHz) spectra of compound **5j** in DMSO-d_6

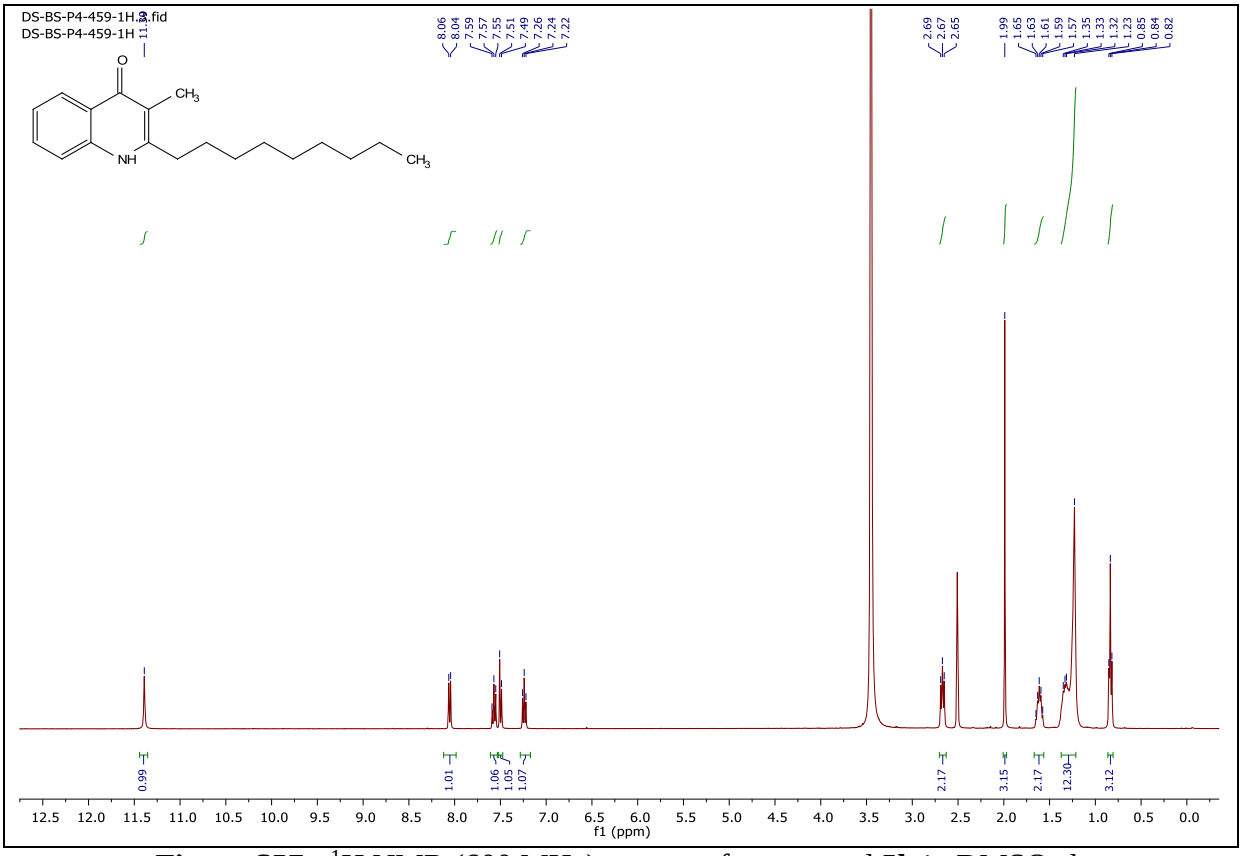


Figure S57: ^{1}H NMR (600 MHz) spectra of compound **5k** in DMSO-d_6

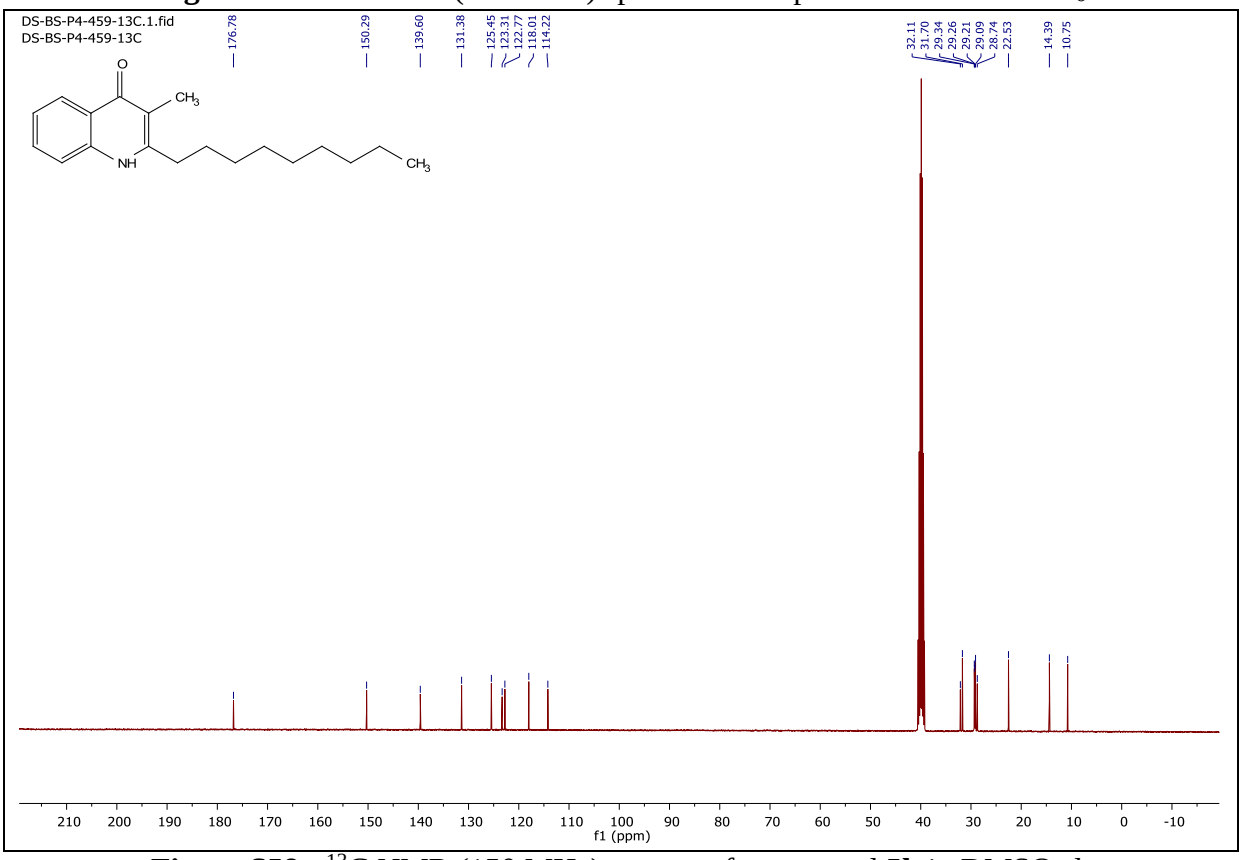


Figure S58: ^{13}C NMR (150 MHz) spectra of compound **5k** in DMSO-d_6

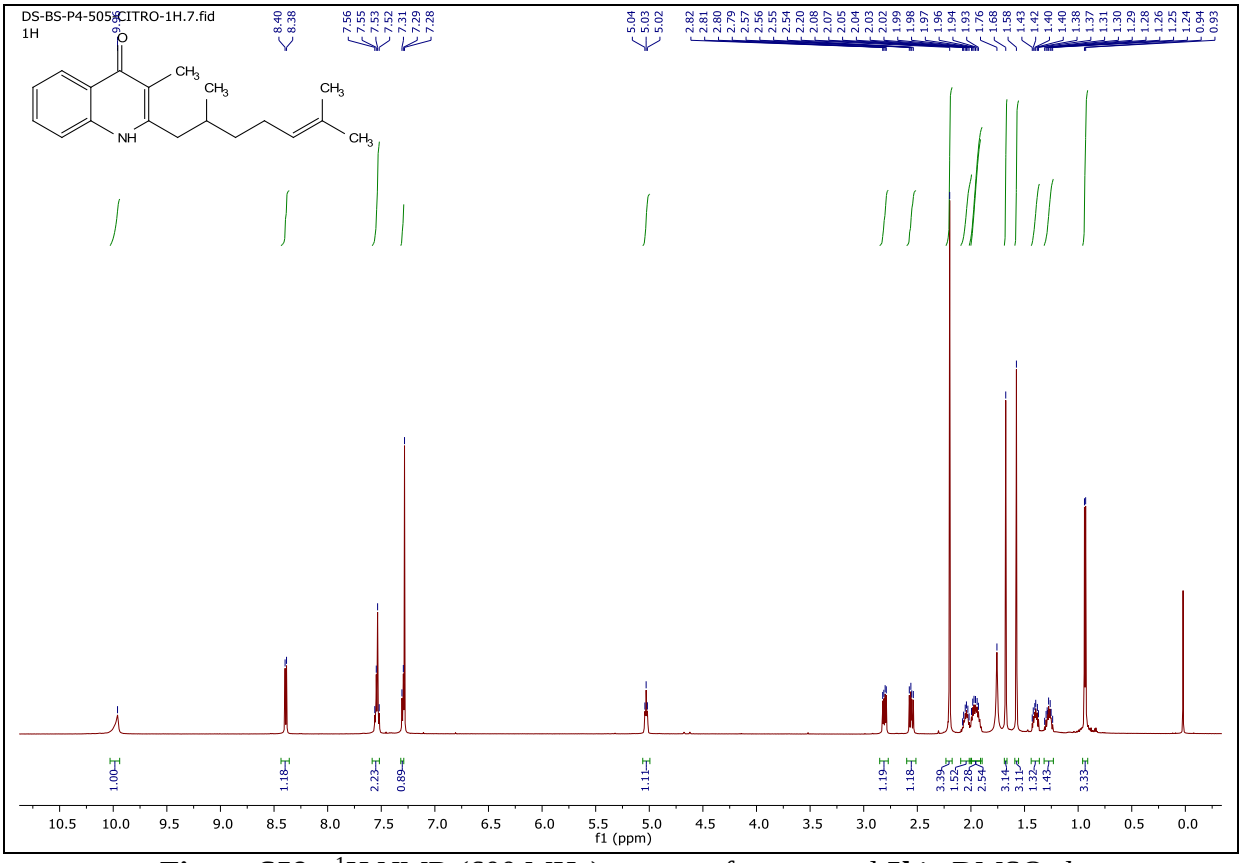


Figure S59: ^{1}H NMR (600 MHz) spectra of compound **5l** in DMSO-d_6

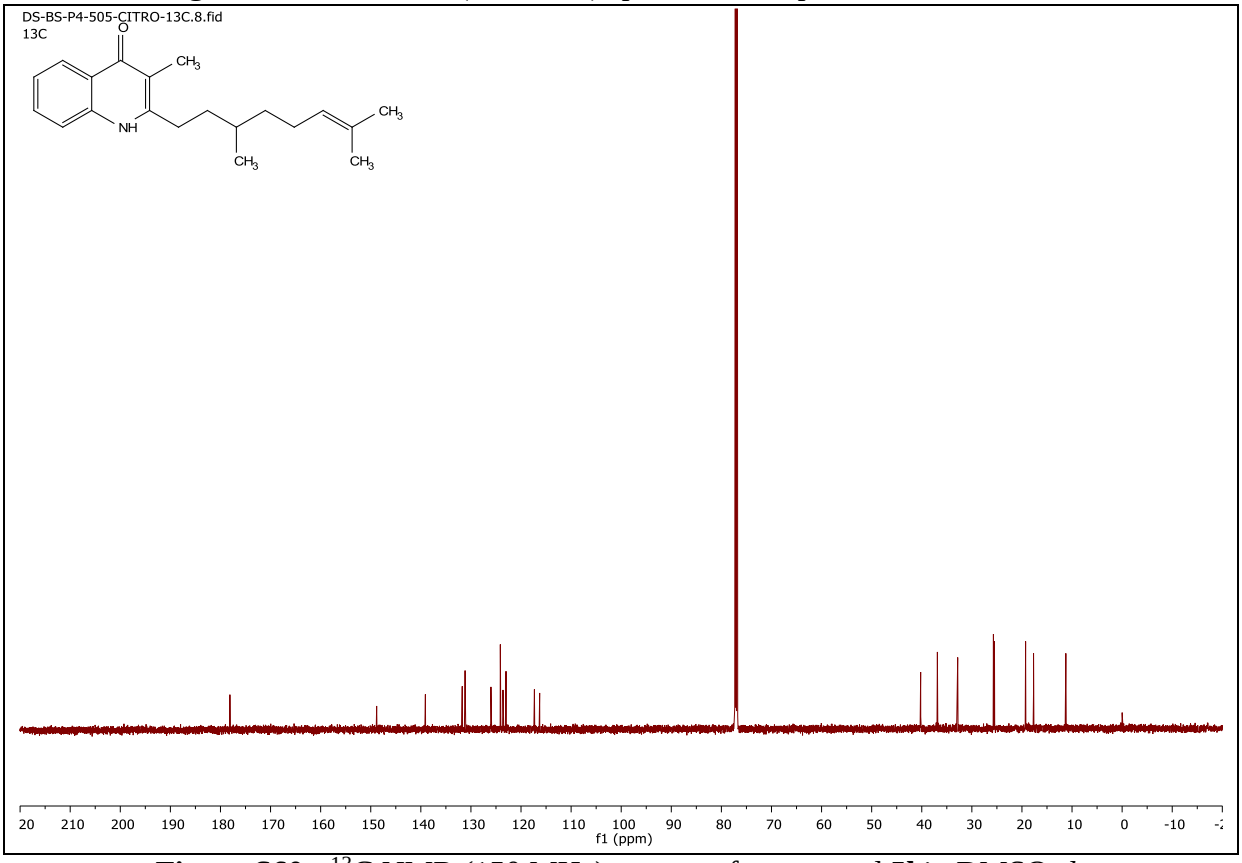


Figure S60: ^{13}C NMR (150 MHz) spectra of compound **5l** in DMSO-d_6

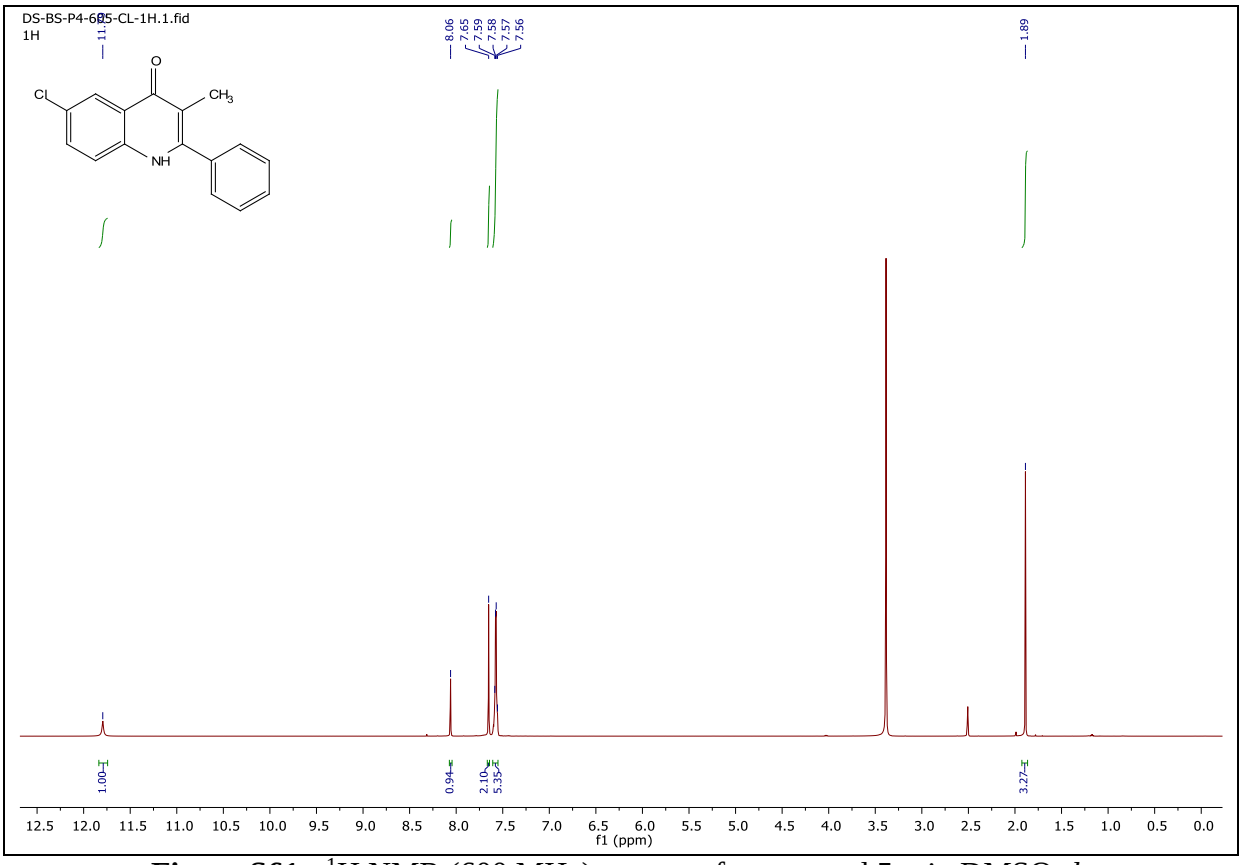


Figure S61: ^{1}H NMR (600 MHz) spectra of compound **5m** in DMSO-d_6

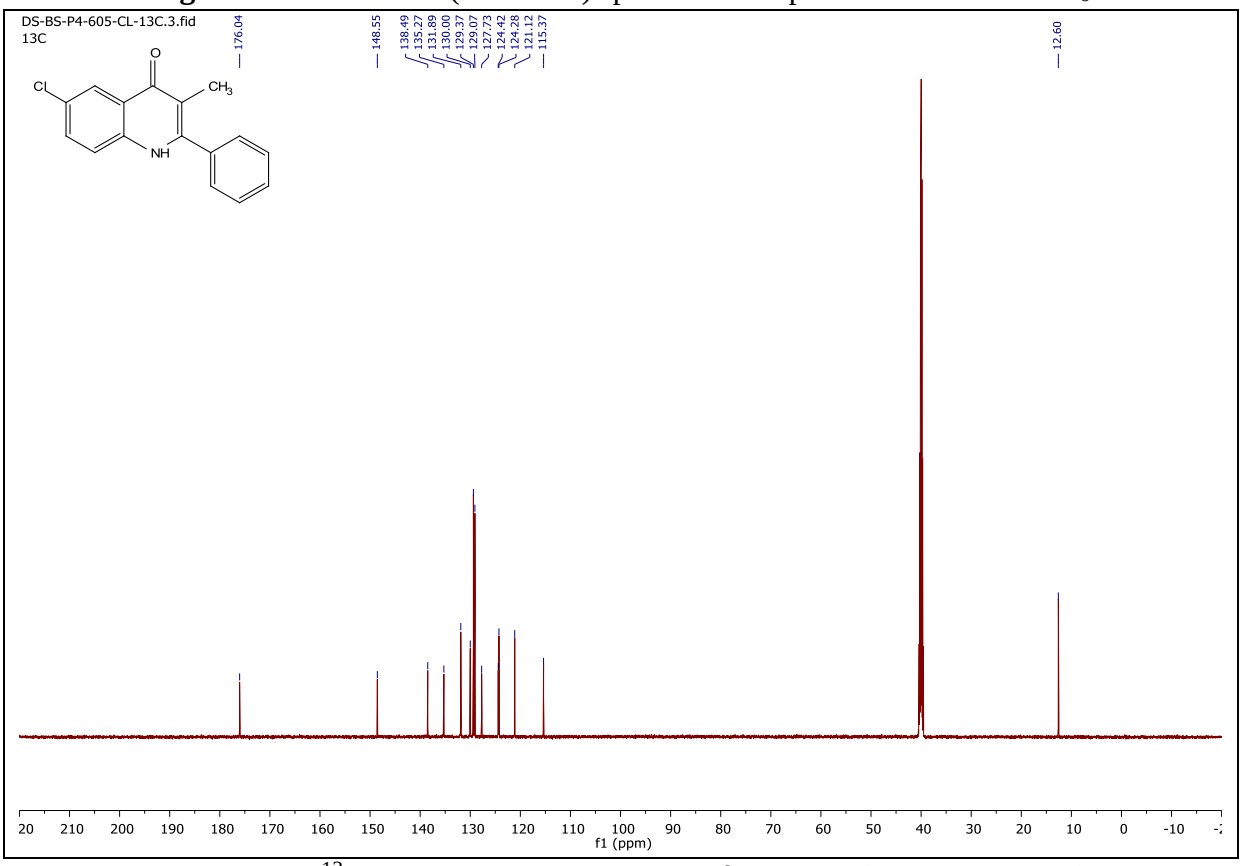


Figure S62: ^{13}C NMR (150 MHz) spectra of compound **5m** in DMSO-d_6

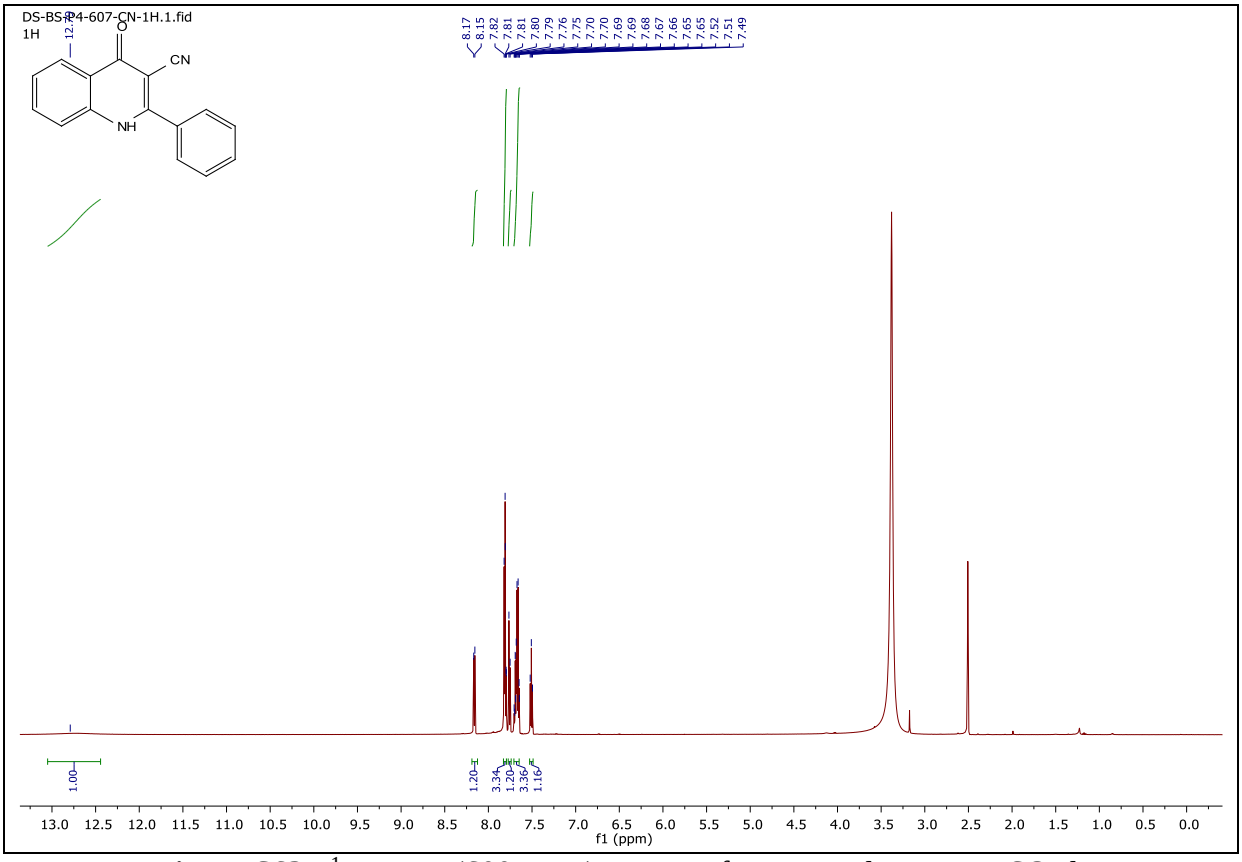


Figure S63: ^{1}H NMR (600 MHz) spectra of compound **5n** in DMSO-d_6

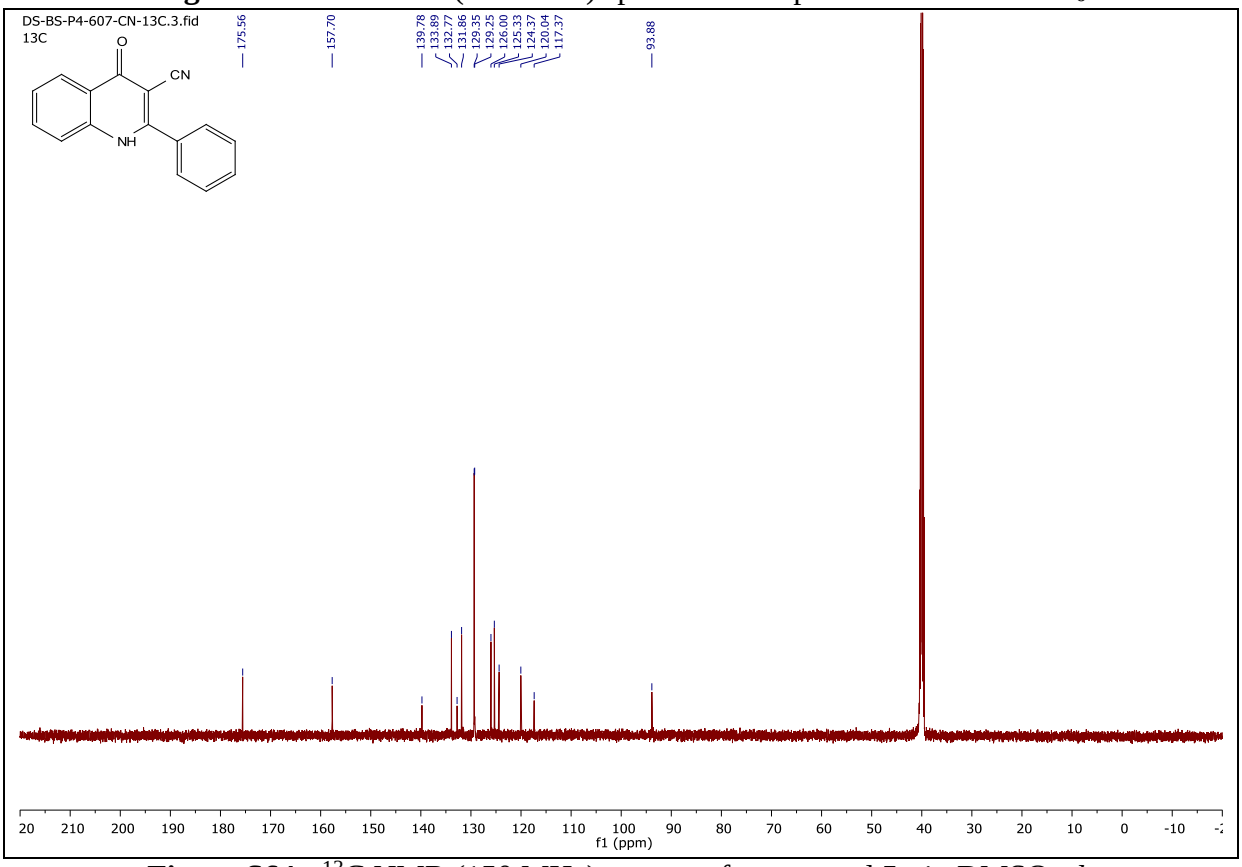


Figure S64: ^{13}C NMR (150 MHz) spectra of compound **5n** in DMSO- d_6

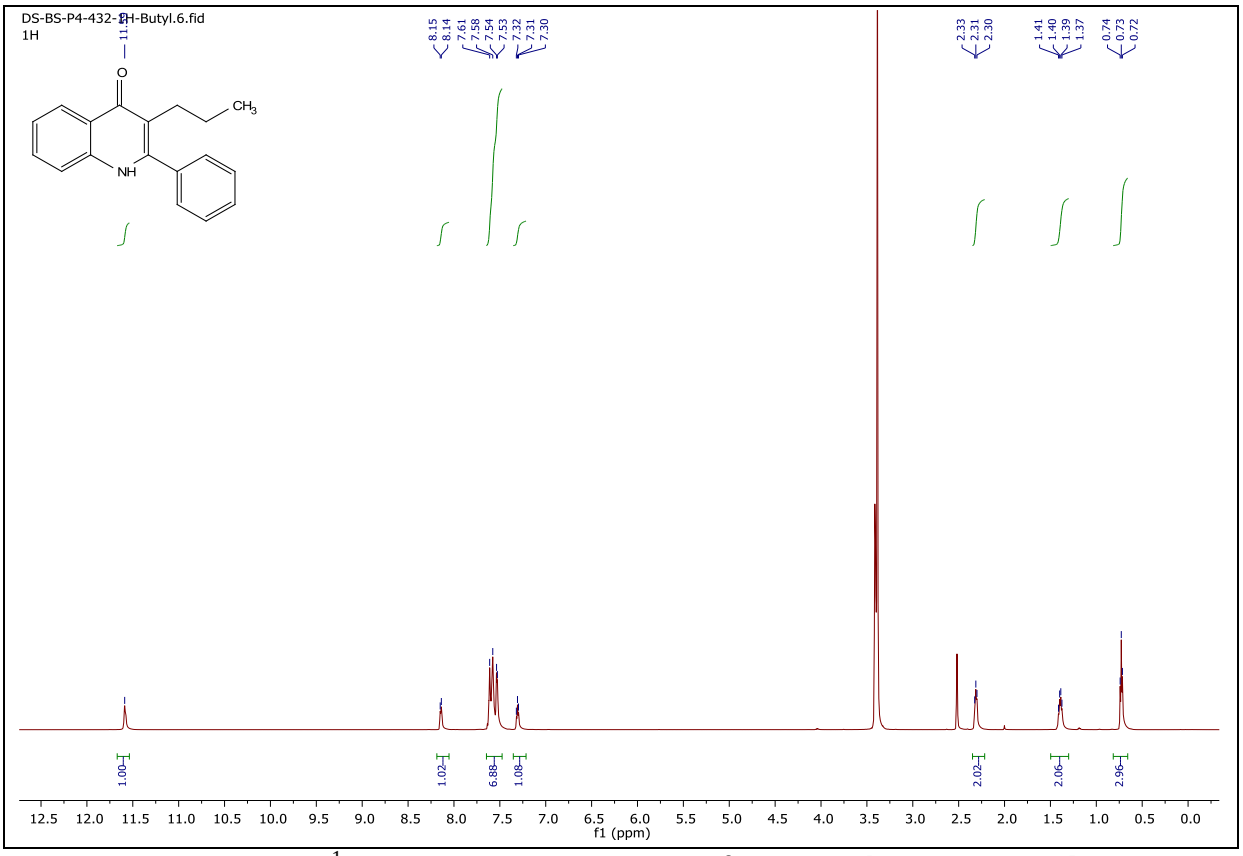


Figure S65: ^{1}H NMR (600 MHz) spectra of compound **5o** in DMSO-d_6

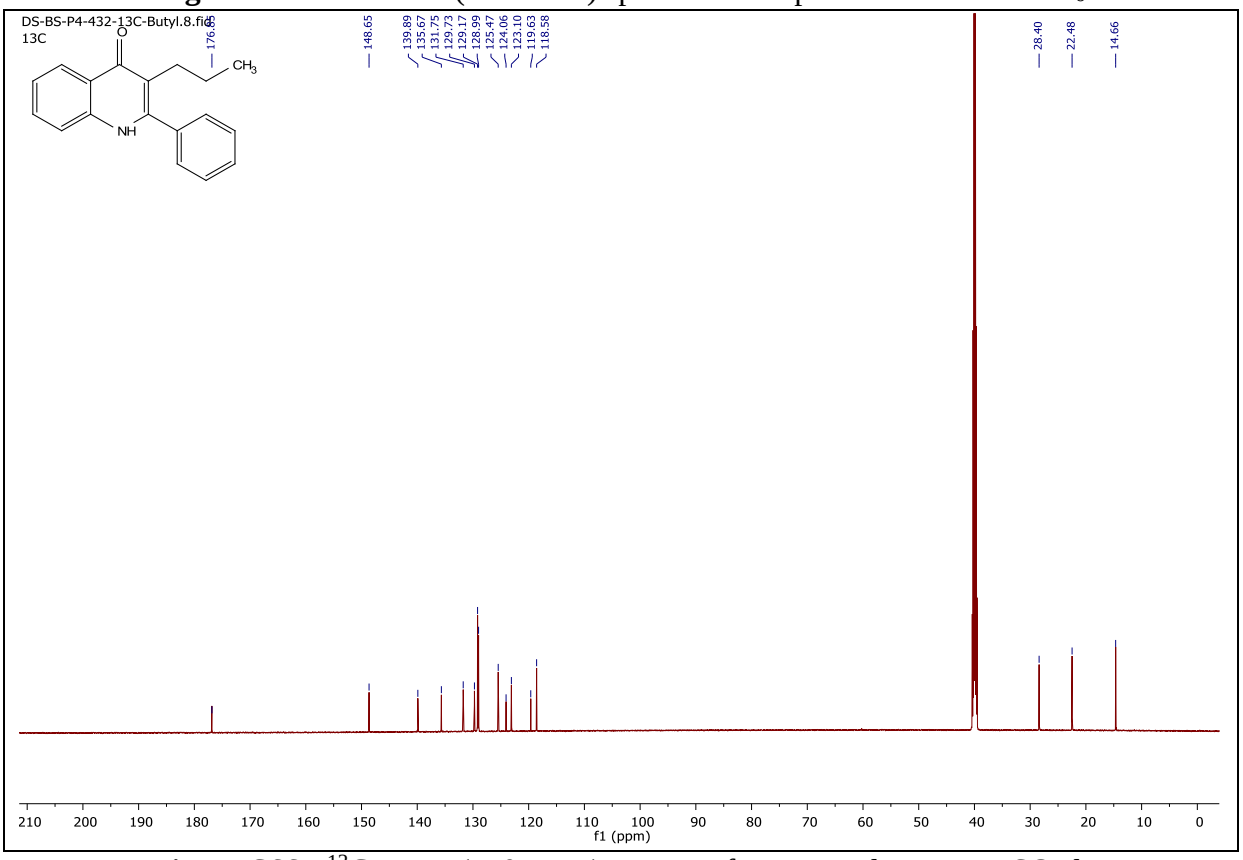


Figure S66: ^{13}C NMR (150 MHz) spectra of compound **5o** in DMSO-d_6

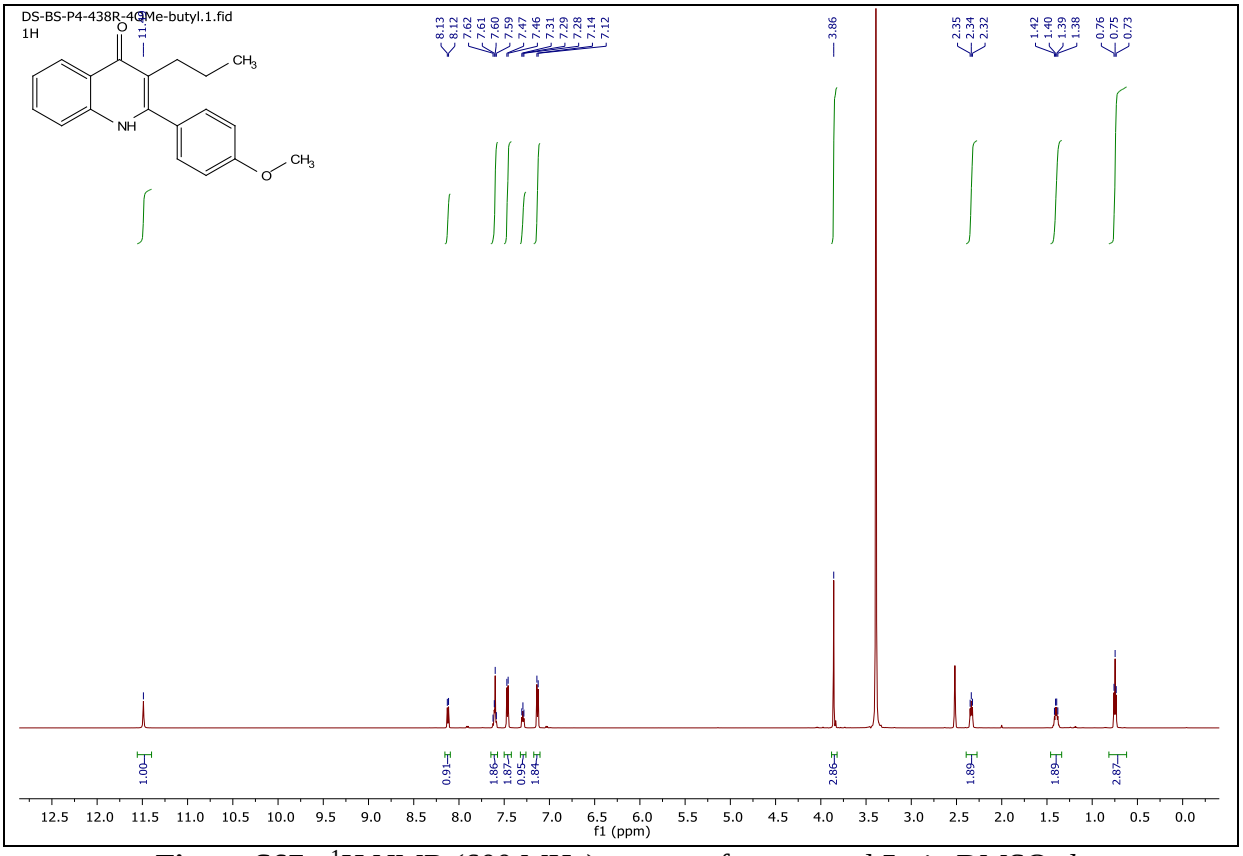


Figure S67: ^{1}H NMR (600 MHz) spectra of compound **5p** in DMSO-d_6

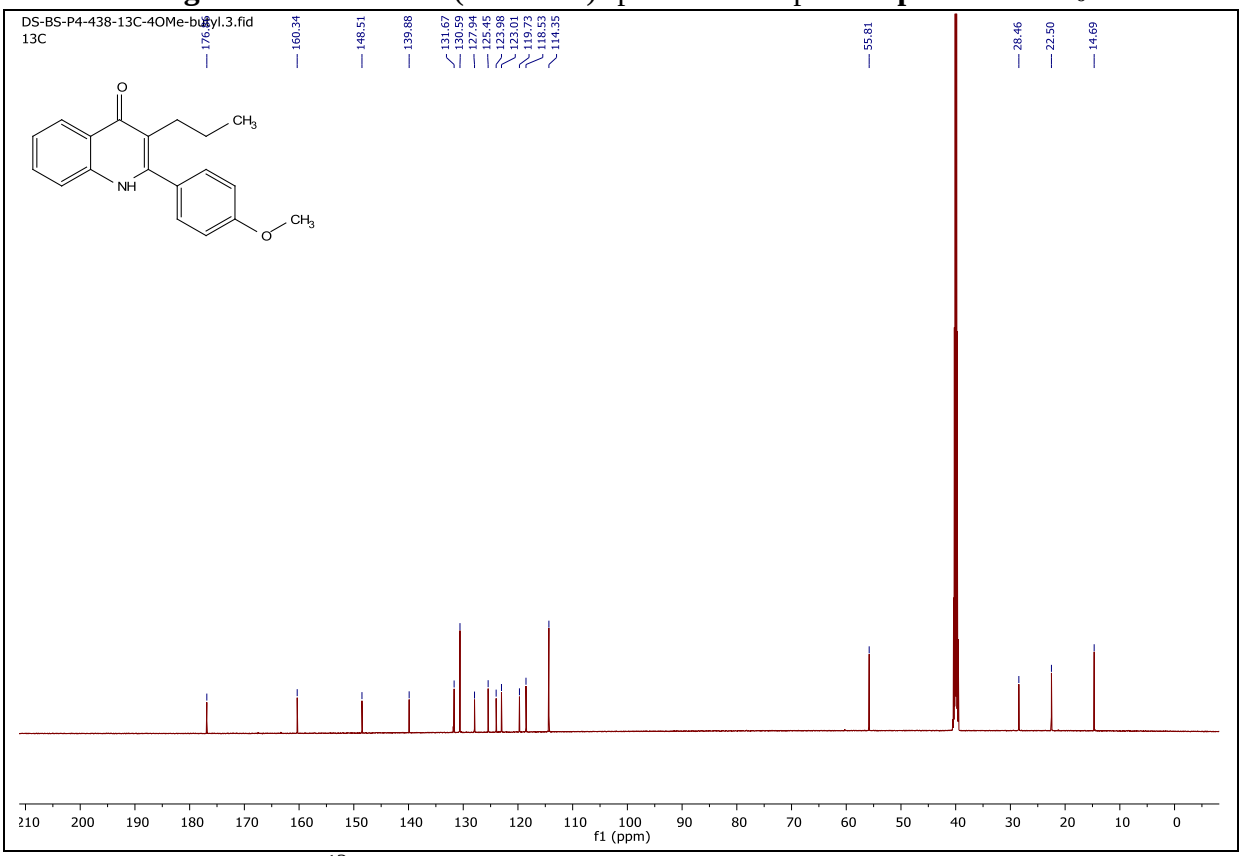


Figure S68: ^{13}C NMR (150 MHz) spectra of compound **5p** in DMSO-d_6

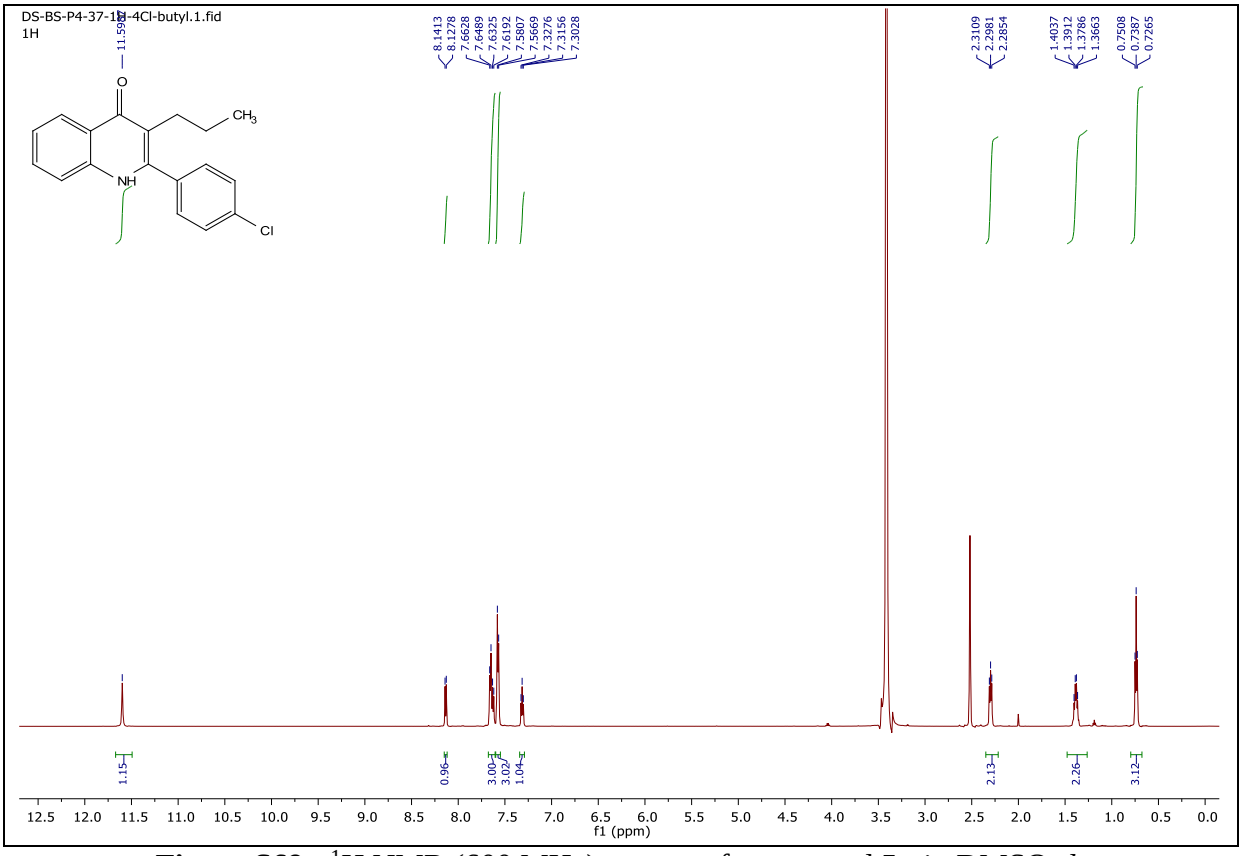


Figure S69: ^{1}H NMR (600 MHz) spectra of compound **5q** in DMSO-d_6

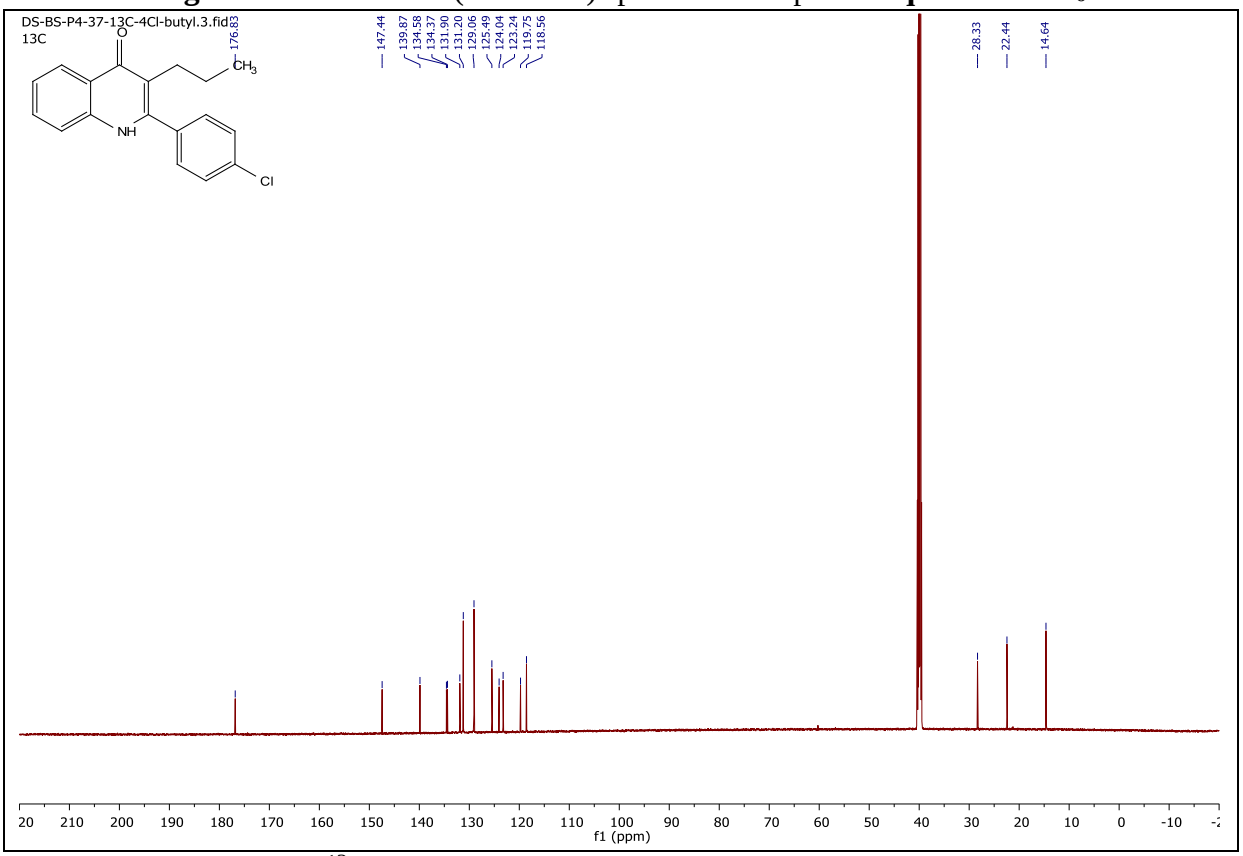


Figure S70: ^{13}C NMR (150 MHz) spectra of compound **5q** in DMSO-d_6

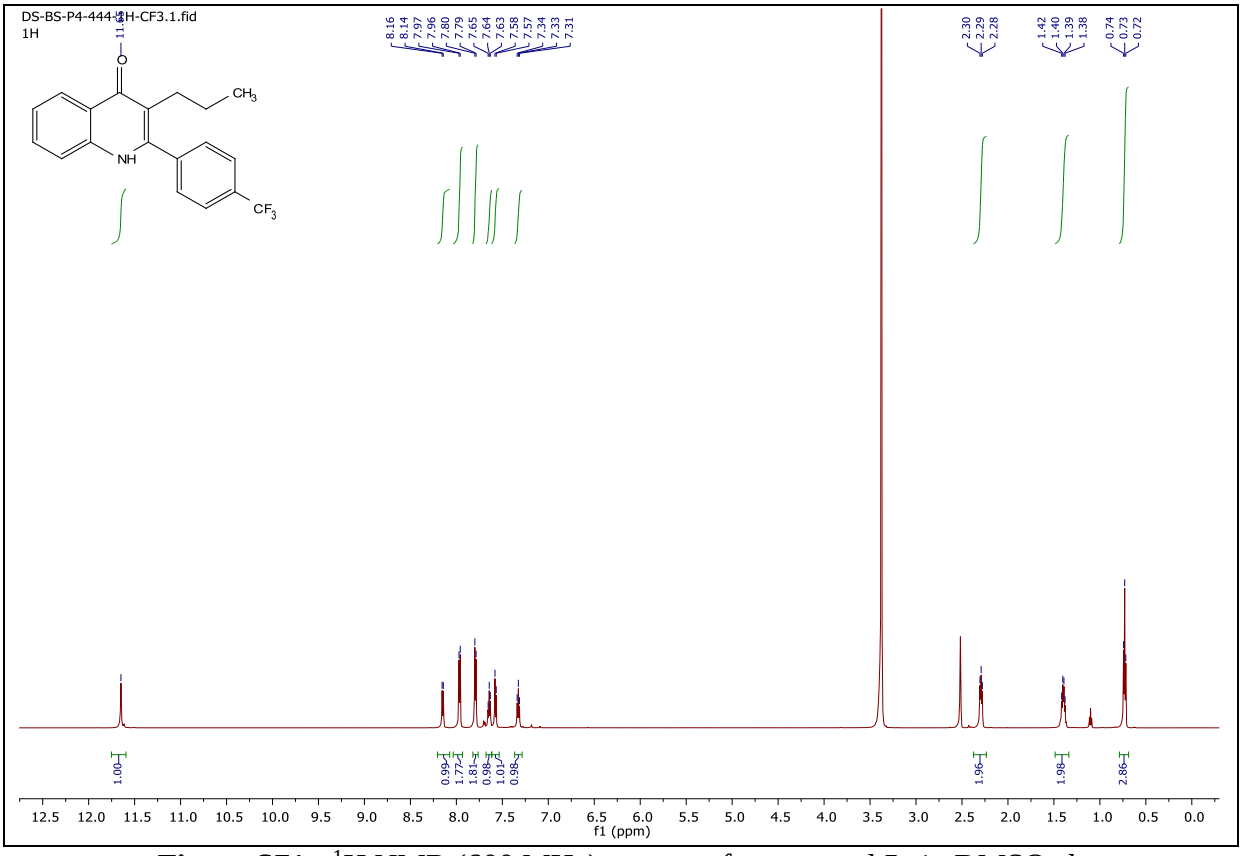


Figure S71: ^{1}H NMR (600 MHz) spectra of compound **5r** in DMSO-d_6

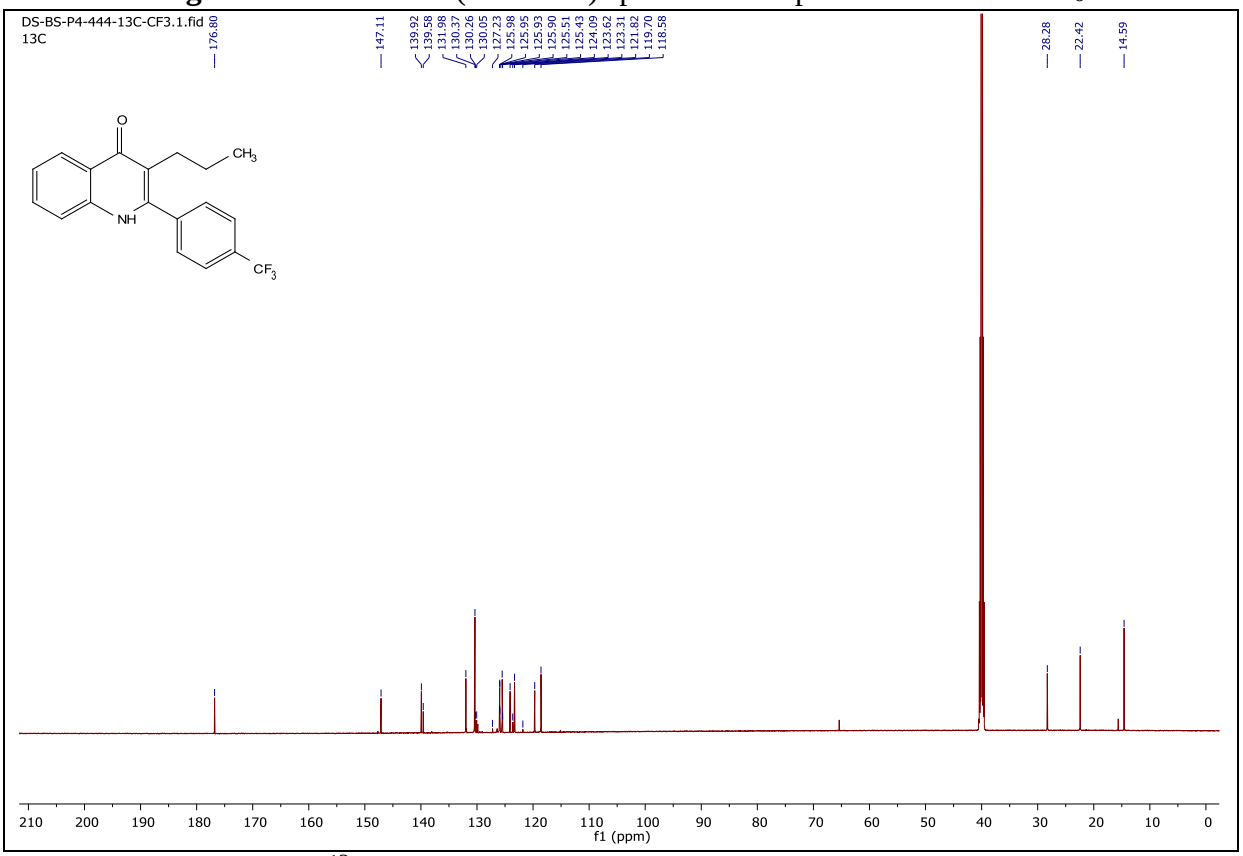


Figure S72: ^{13}C NMR (150 MHz) spectra of compound **5r** in DMSO-d_6

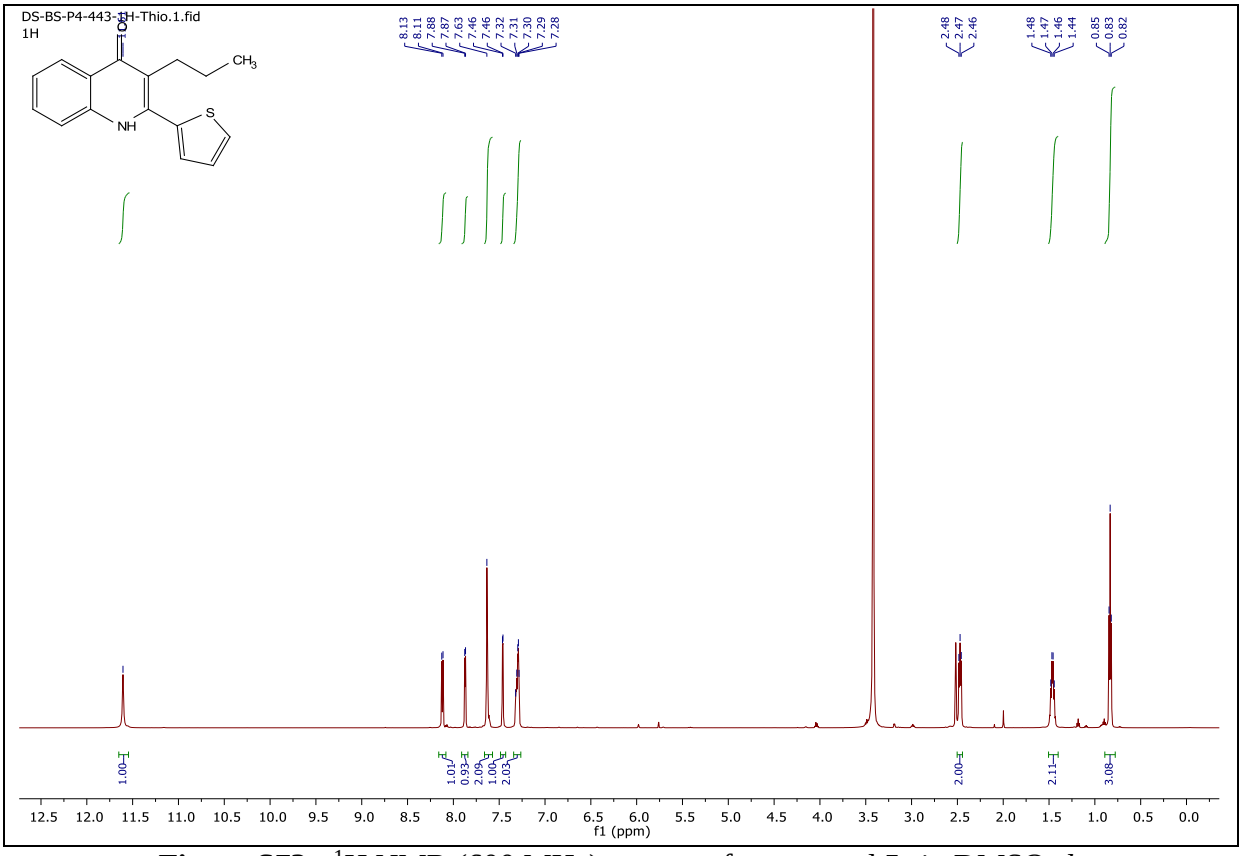


Figure S73: ^{1}H NMR (600 MHz) spectra of compound **5s** in DMSO-d_6

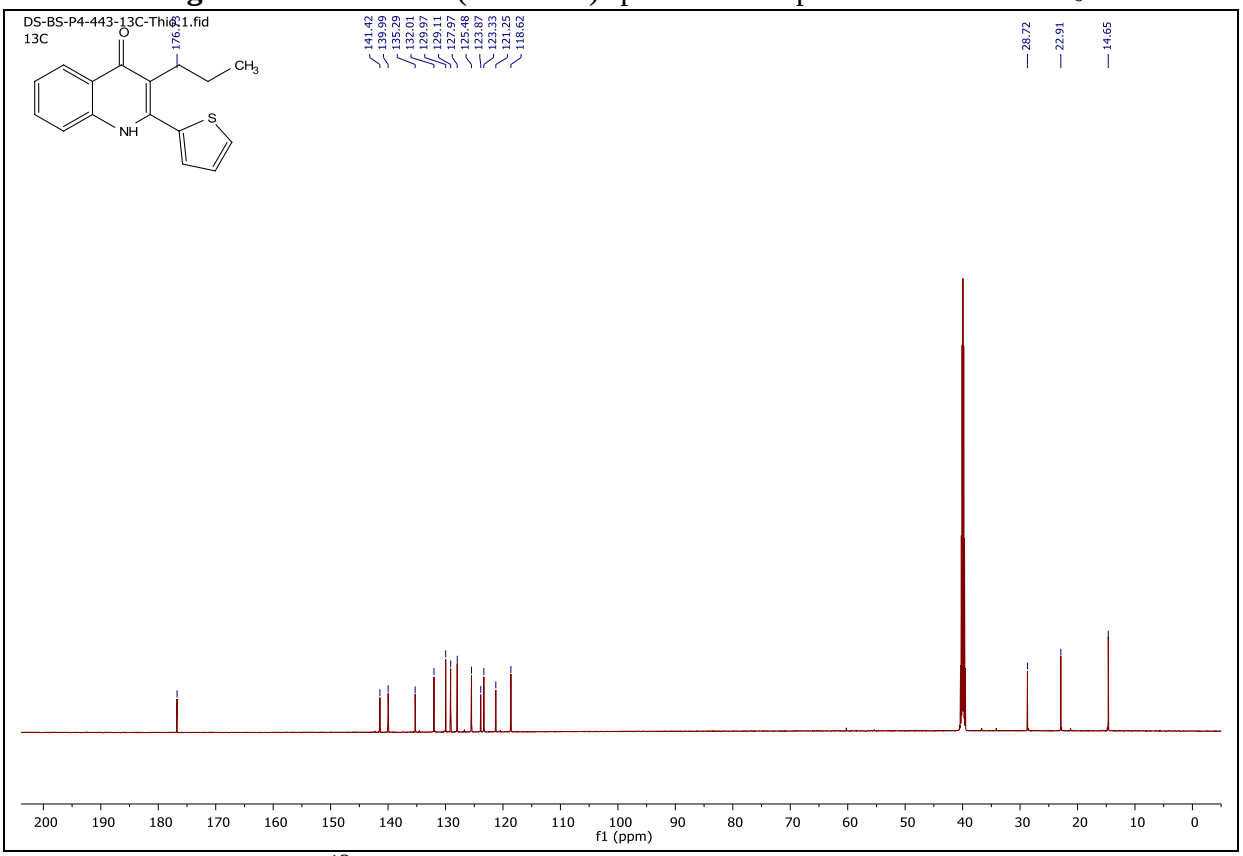


Figure S74: ^{13}C NMR (150 MHz) spectra of compound **5s** in DMSO-d_6

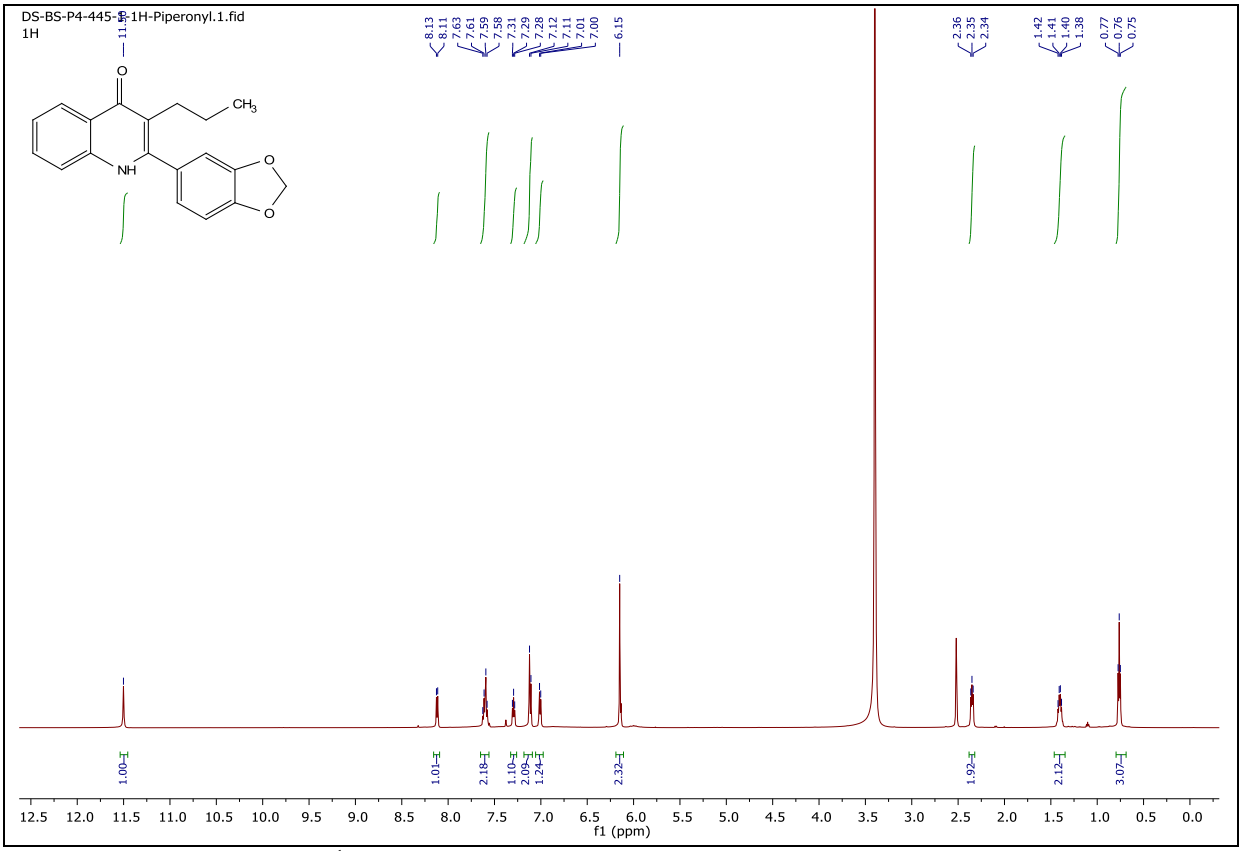


Figure S75: ^{1}H NMR (600 MHz) spectra of compound **5t** in DMSO-d_6

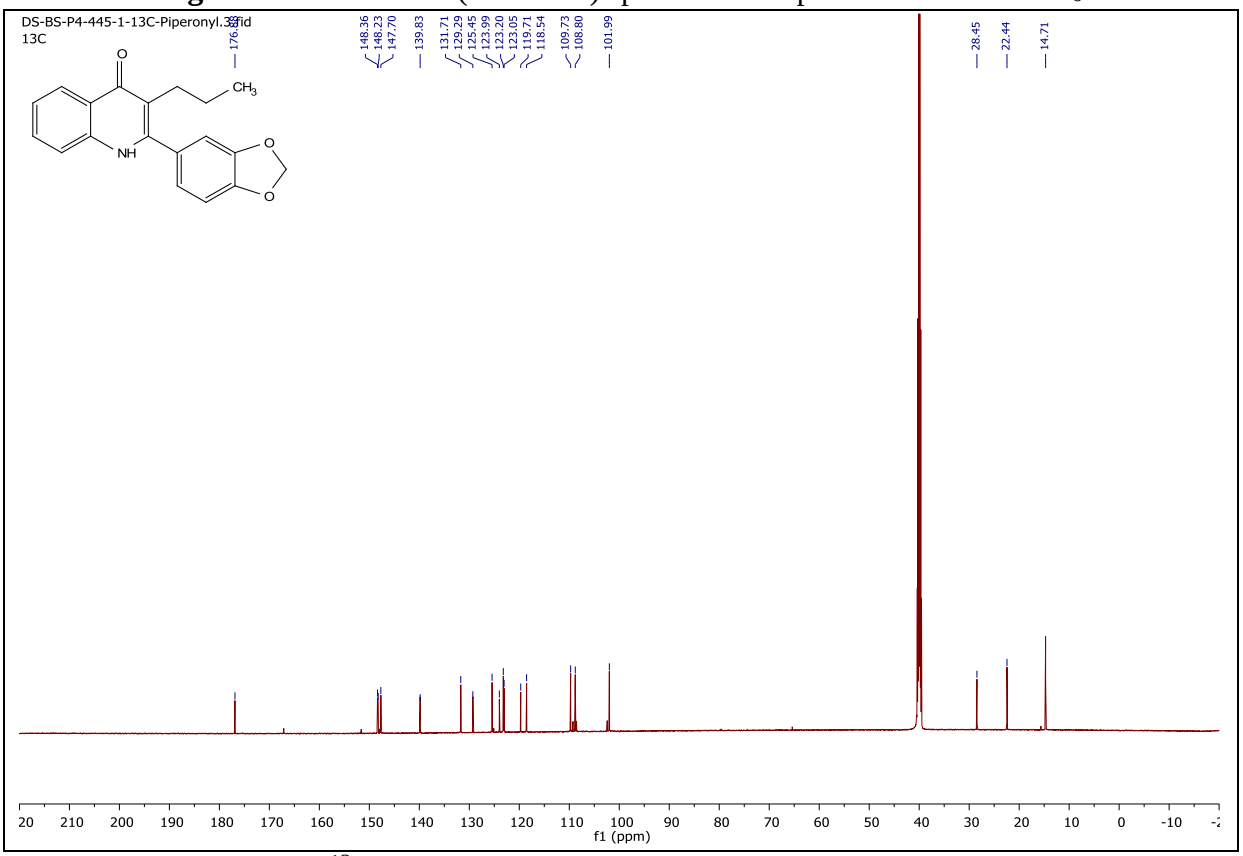


Figure S76: ^{13}C NMR (150 MHz) spectra of compound **5t** in DMSO-d_6

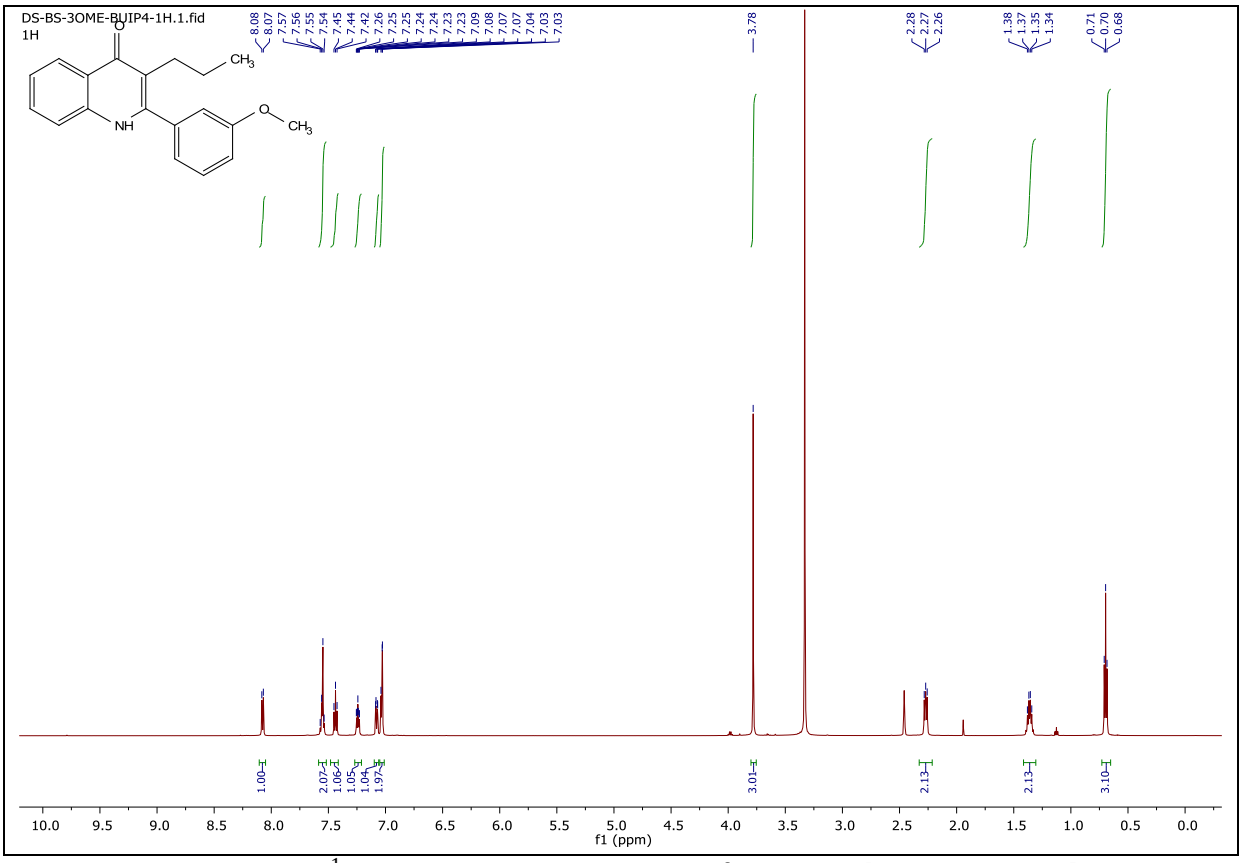


Figure S77: ^{1}H NMR (500 MHz) spectra of compound **5u** in DMSO-d_6

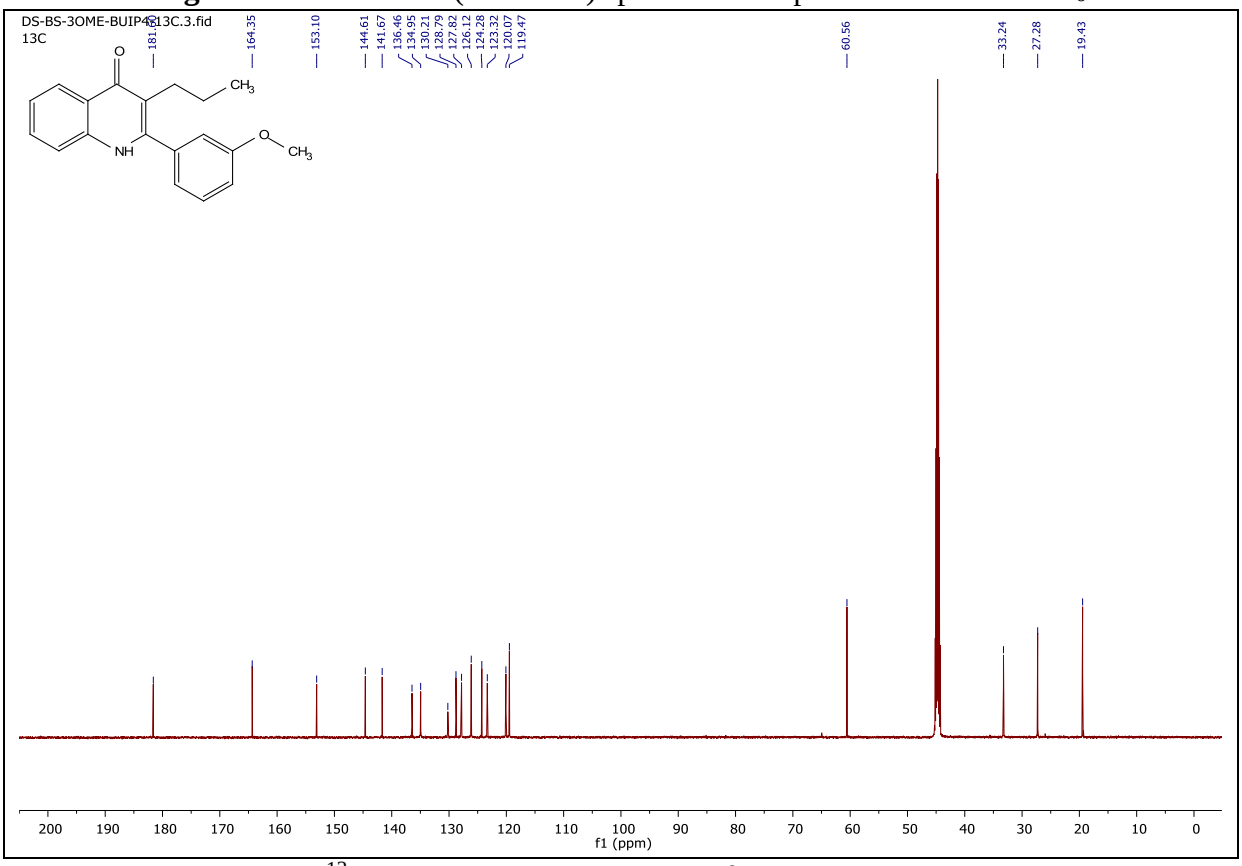


Figure S78: ^{13}C NMR (125 MHz) spectra of compound **5u** in DMSO-d_6

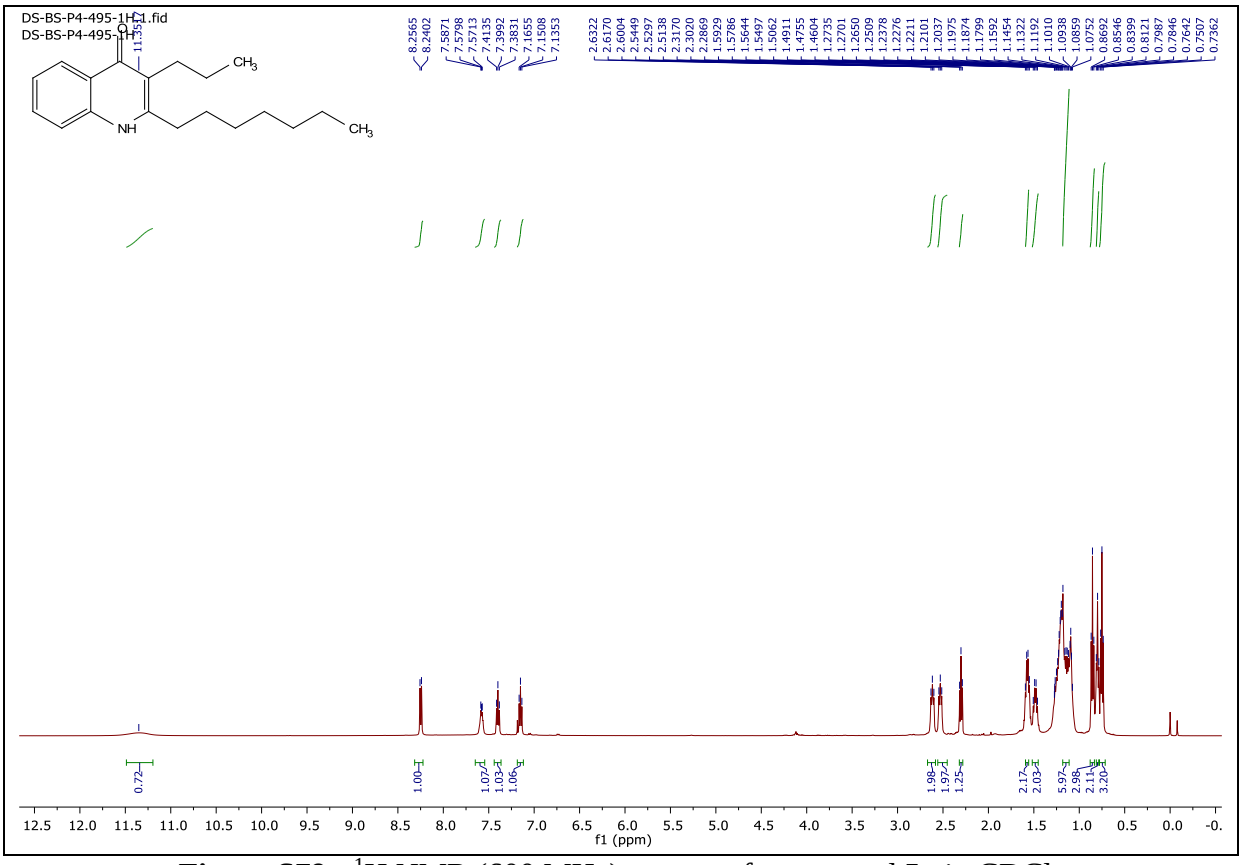


Figure S79: ^{1}H NMR (600 MHz) spectra of compound **5v** in $CDCl_3$

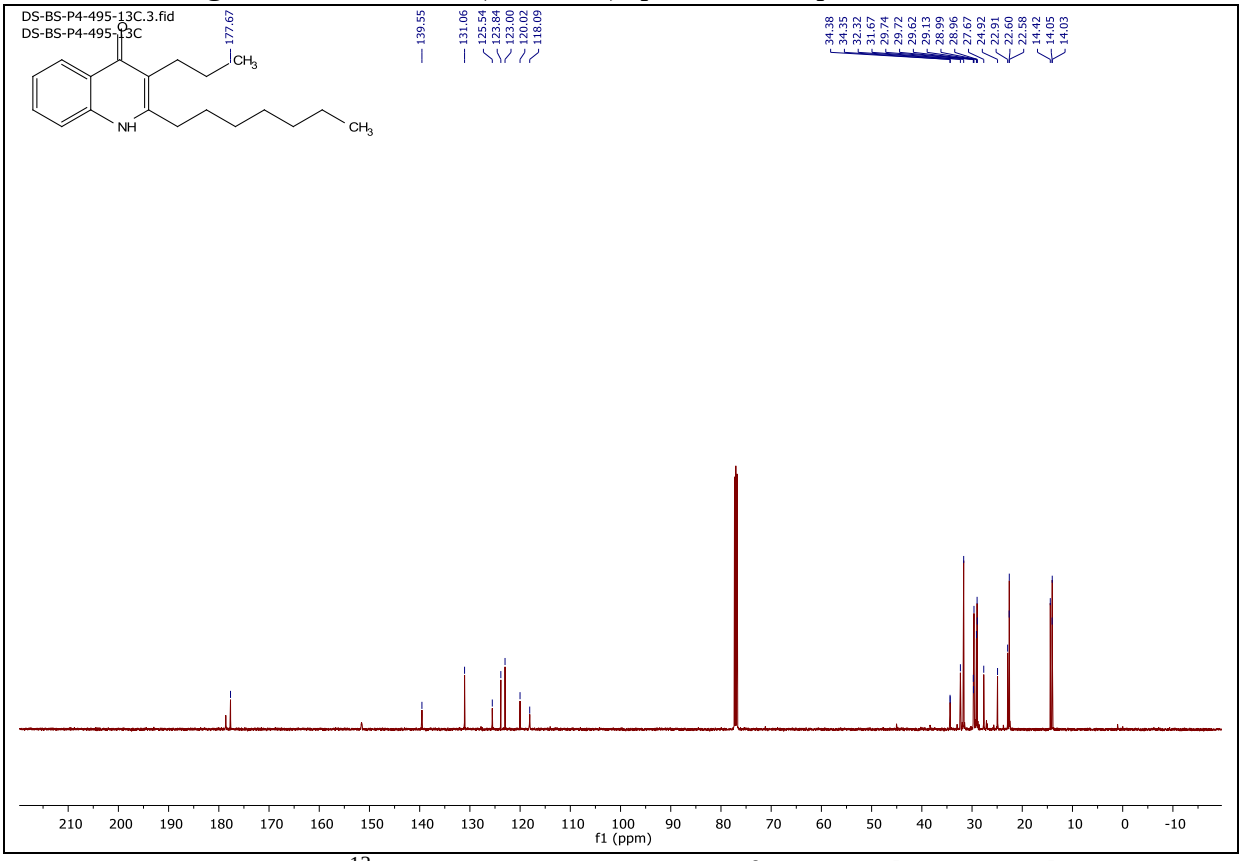


Figure S80: ^{13}C NMR (150 MHz) spectra of compound **5v** in $CDCl_3$

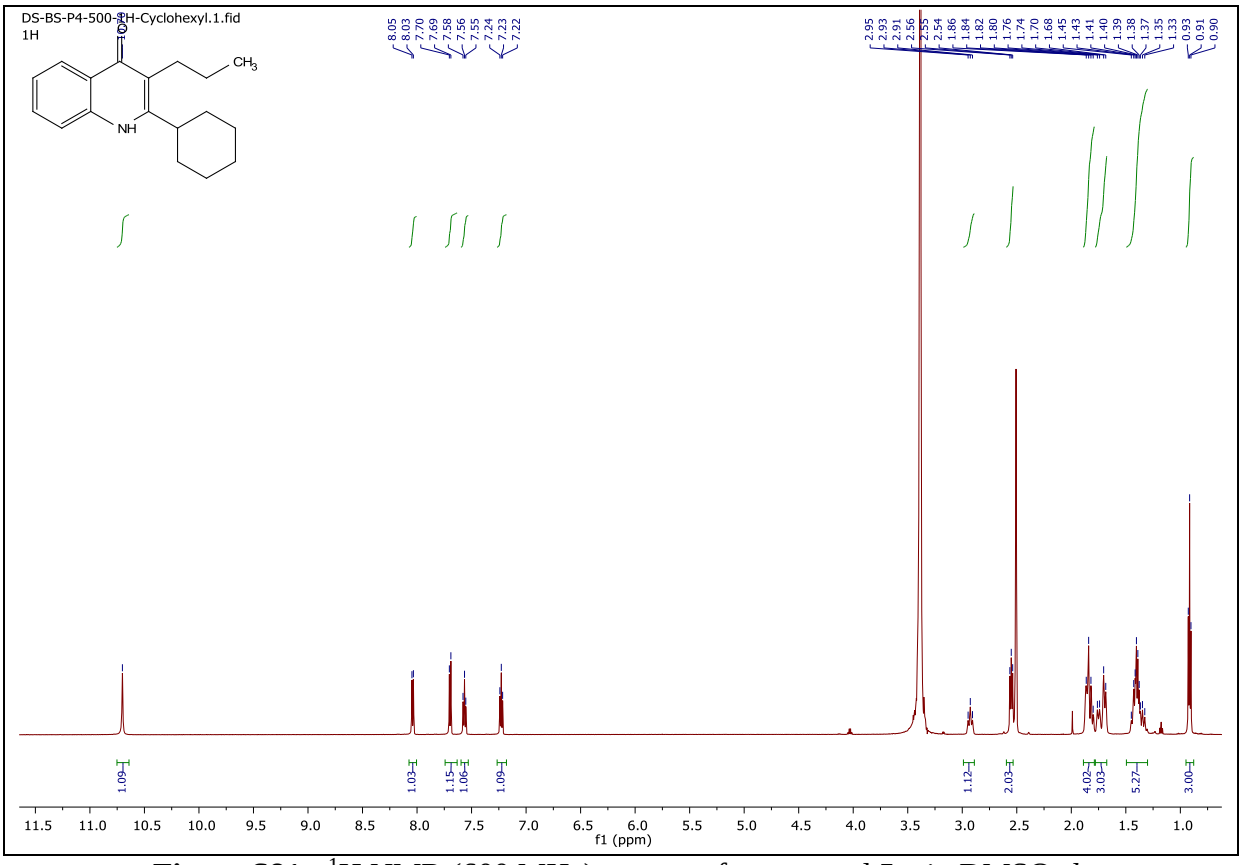


Figure S81: ^{1}H NMR (600 MHz) spectra of compound **5w** in DMSO-d_6

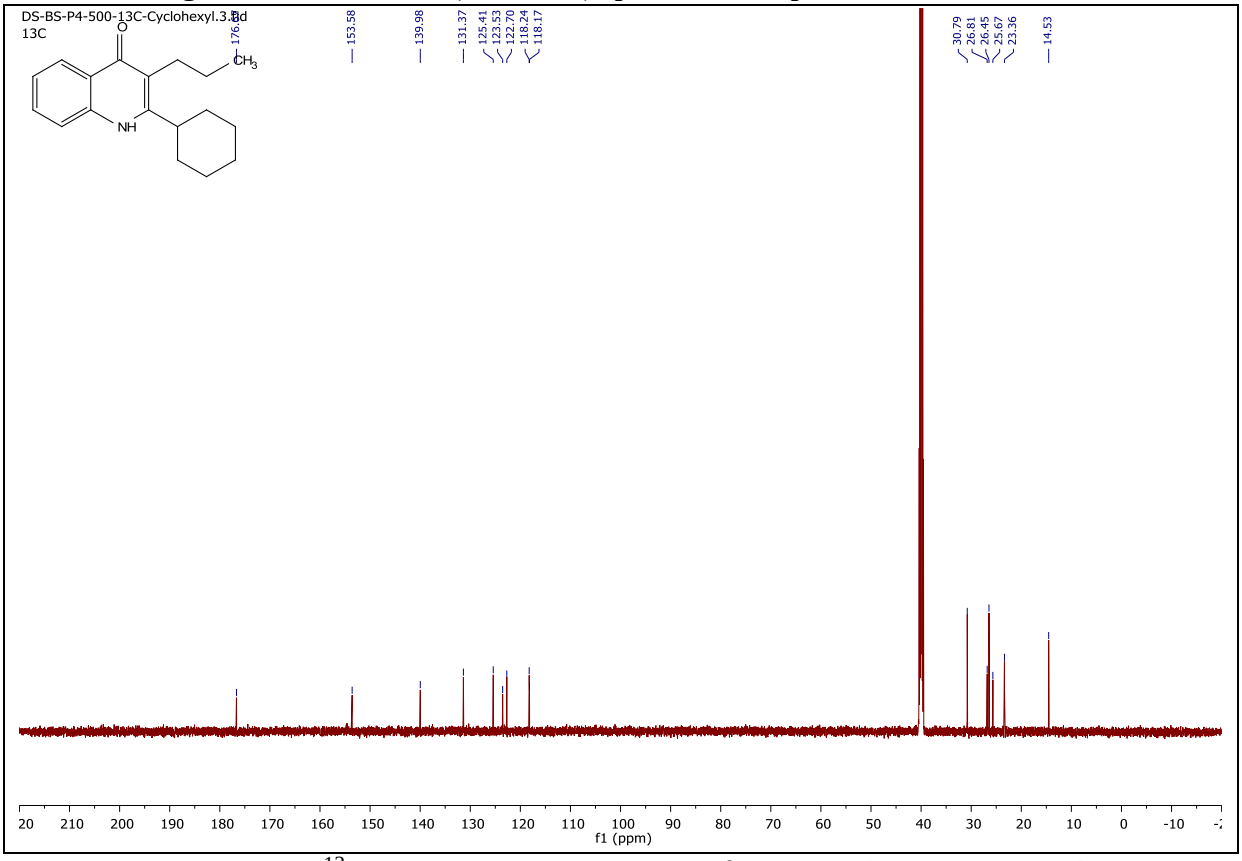


Figure S82: ^{13}C NMR (150 MHz) spectra of compound **5w** in DMSO-d_6

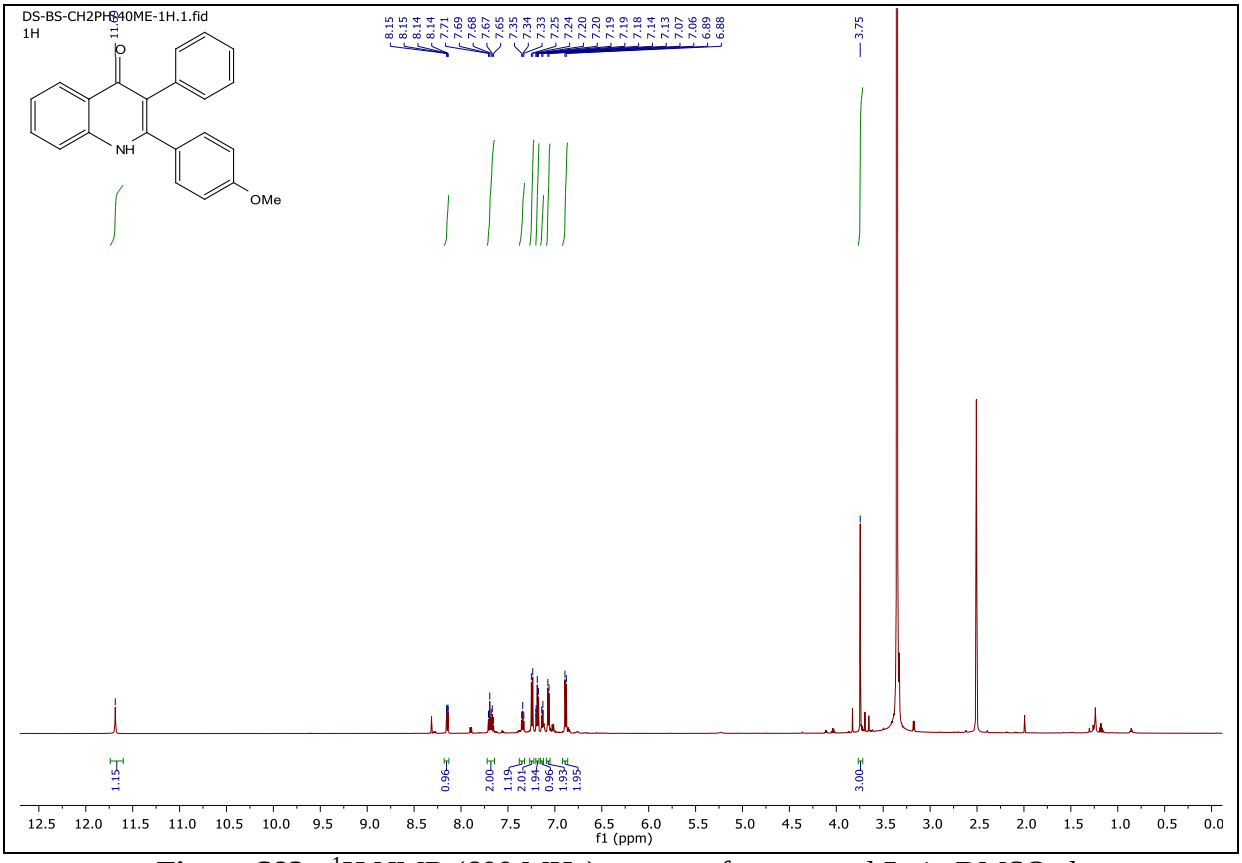


Figure S83: ^{1}H NMR (600 MHz) spectra of compound **5x** in DMSO-d_6

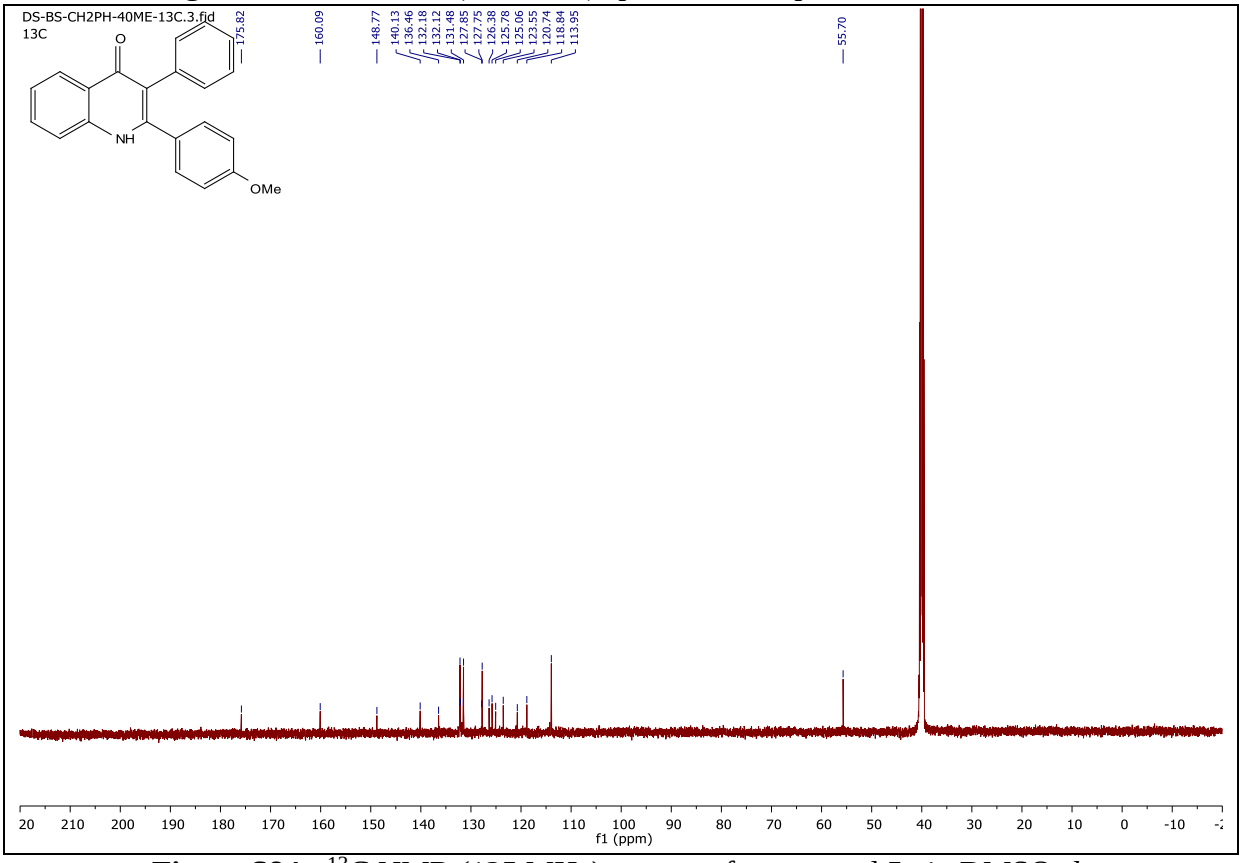


Figure S84: ^{13}C NMR (125 MHz) spectra of compound **5x** in DMSO-d_6

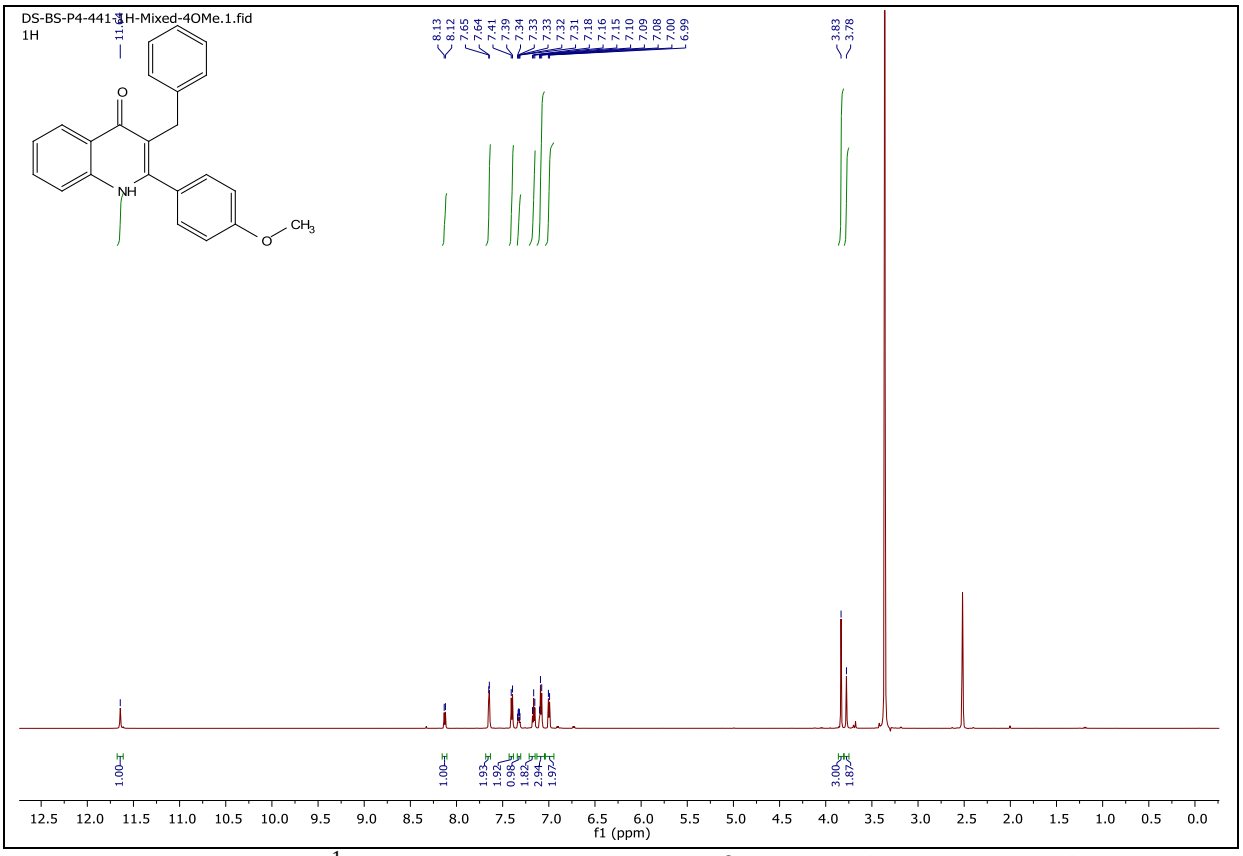


Figure S85: ^{1}H NMR (600 MHz) spectra of compound **5y** in DMSO-d_6

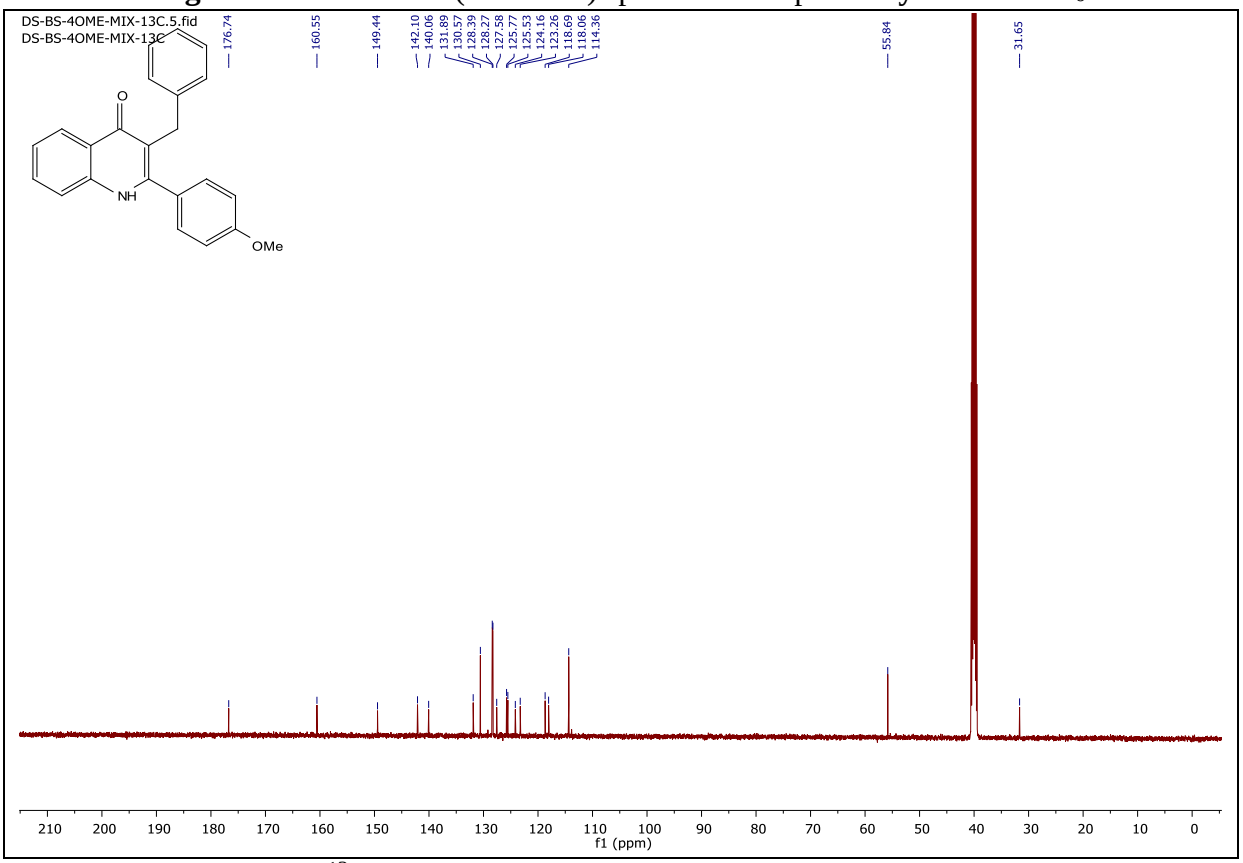


Figure S86: ^{13}C NMR (125 MHz) spectra of compound **5y** in DMSO-d_6

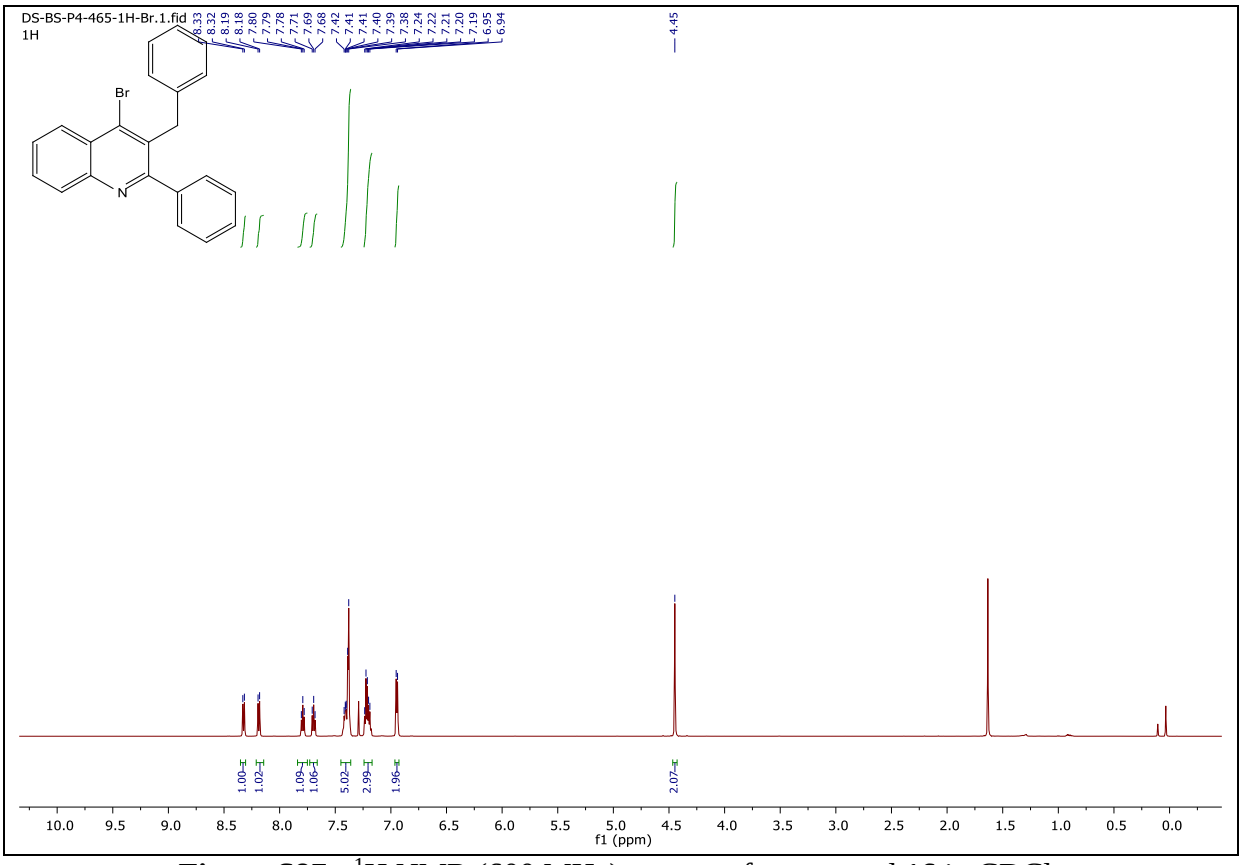


Figure S87: ^{1}H NMR (600 MHz) spectra of compound **16** in $CDCl_3$

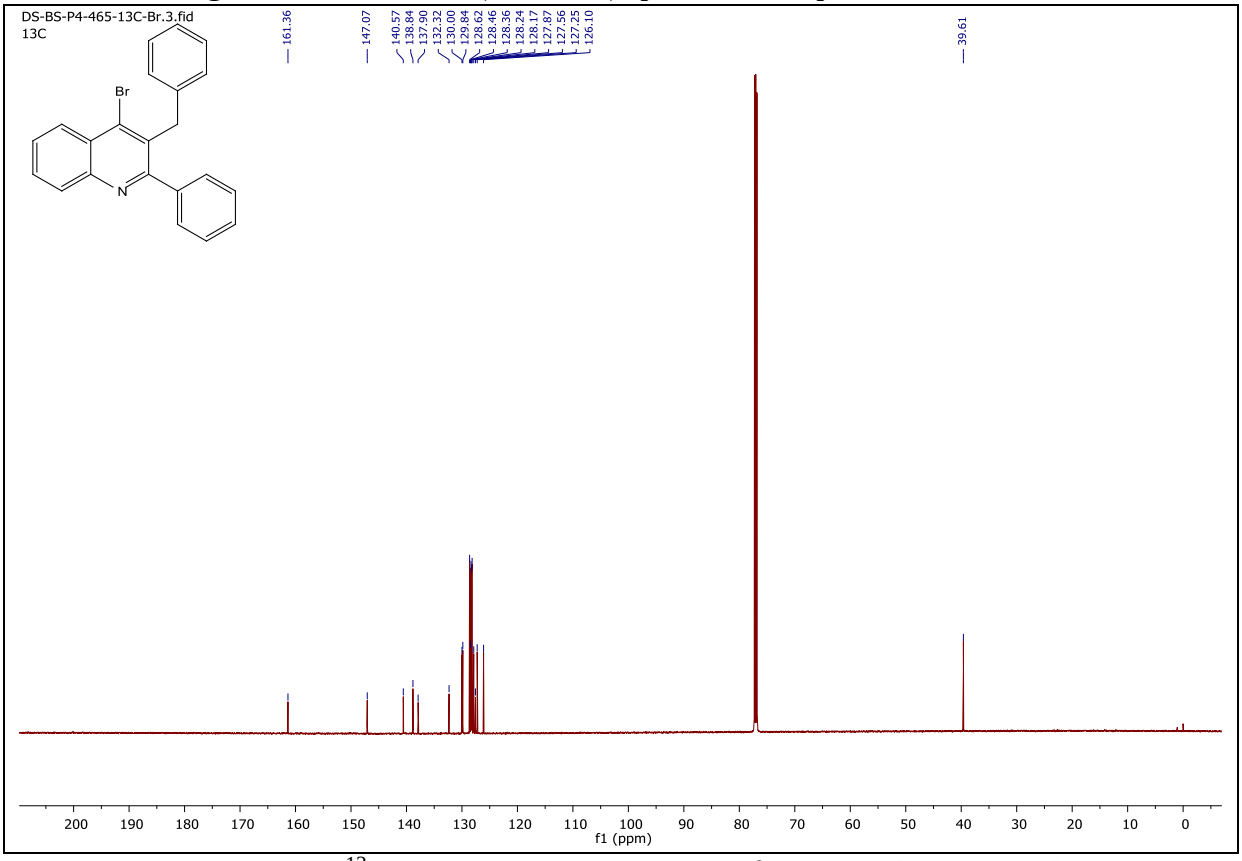


Figure S88: ^{13}C NMR (150 MHz) spectra of compound **16** in $CDCl_3$

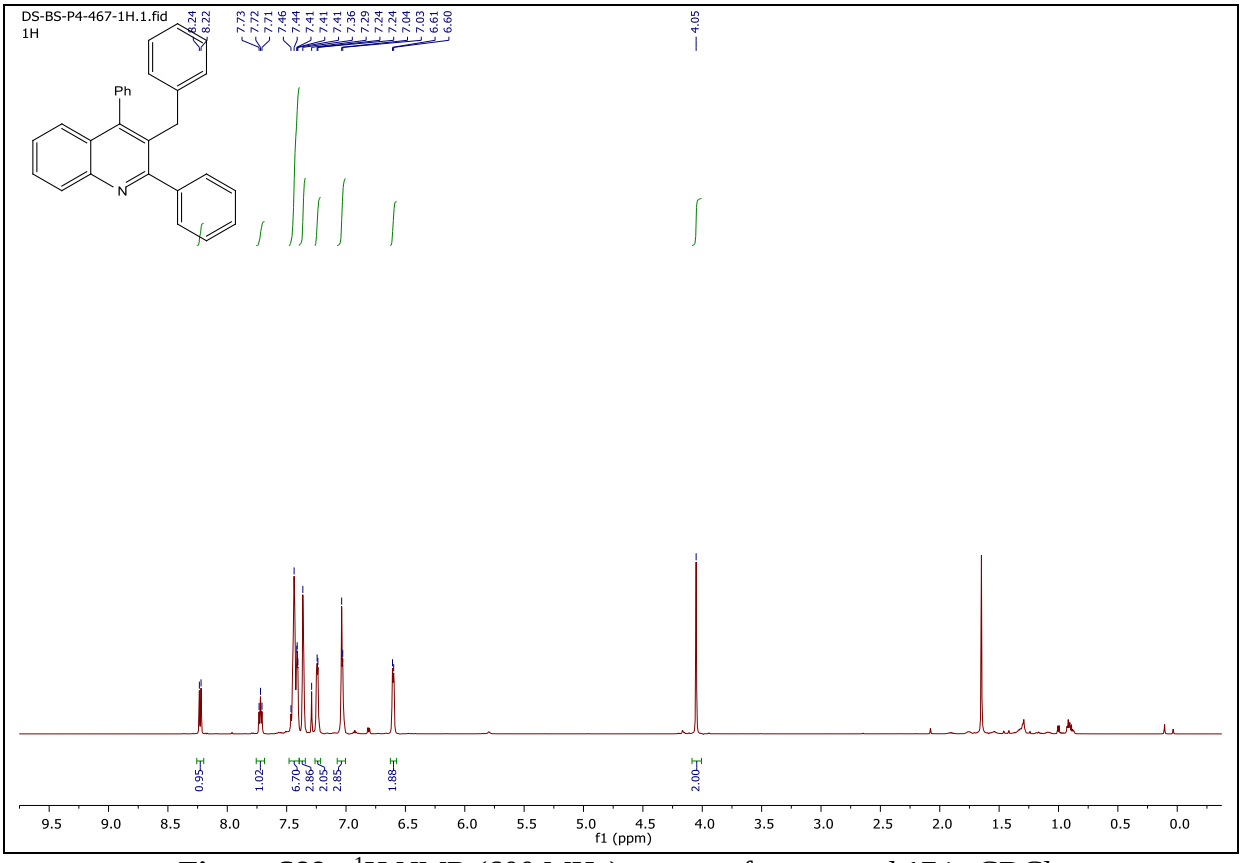


Figure S89: ^{1}H NMR (600 MHz) spectra of compound **17** in $CDCl_3$

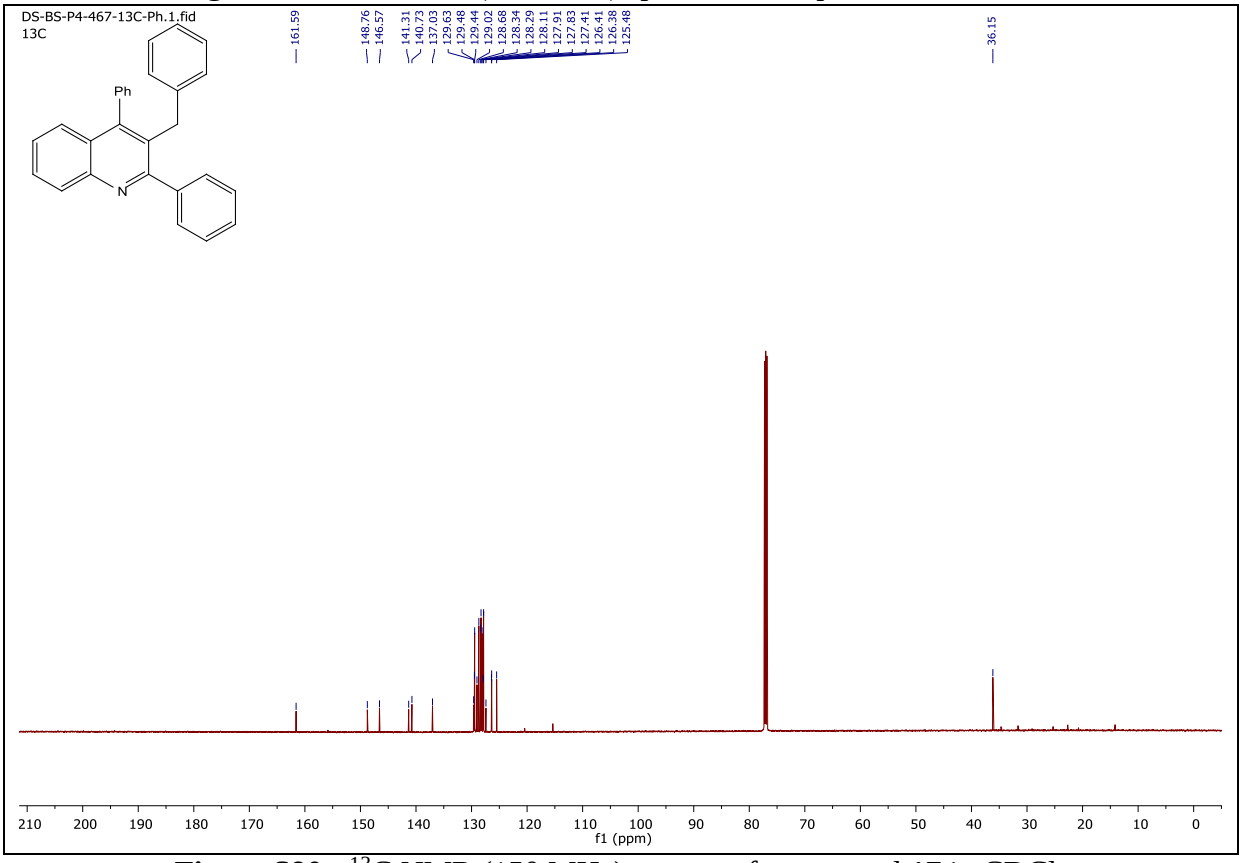


Figure S90: ^{13}C NMR (150 MHz) spectra of compound **17** in $CDCl_3$

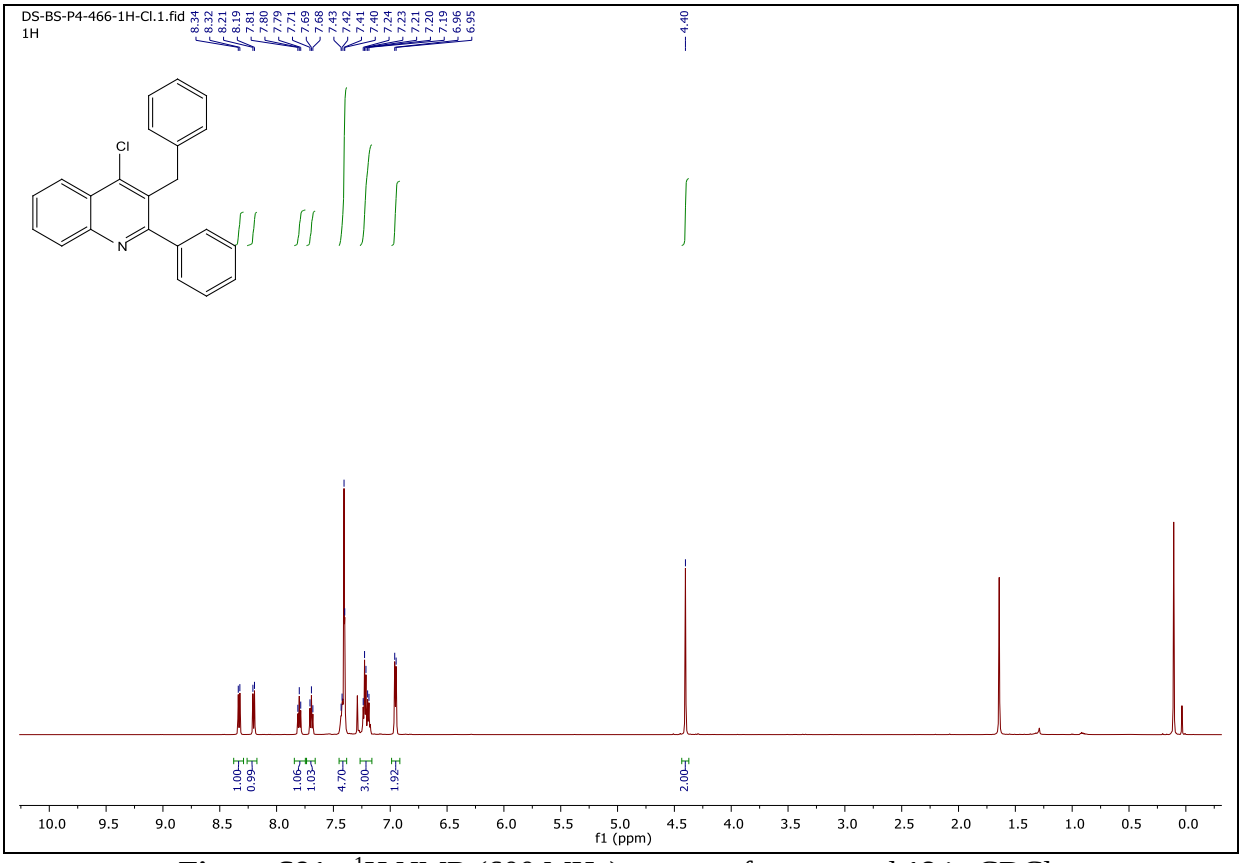


Figure S91: ^{1}H NMR (600 MHz) spectra of compound **18** in $CDCl_3$

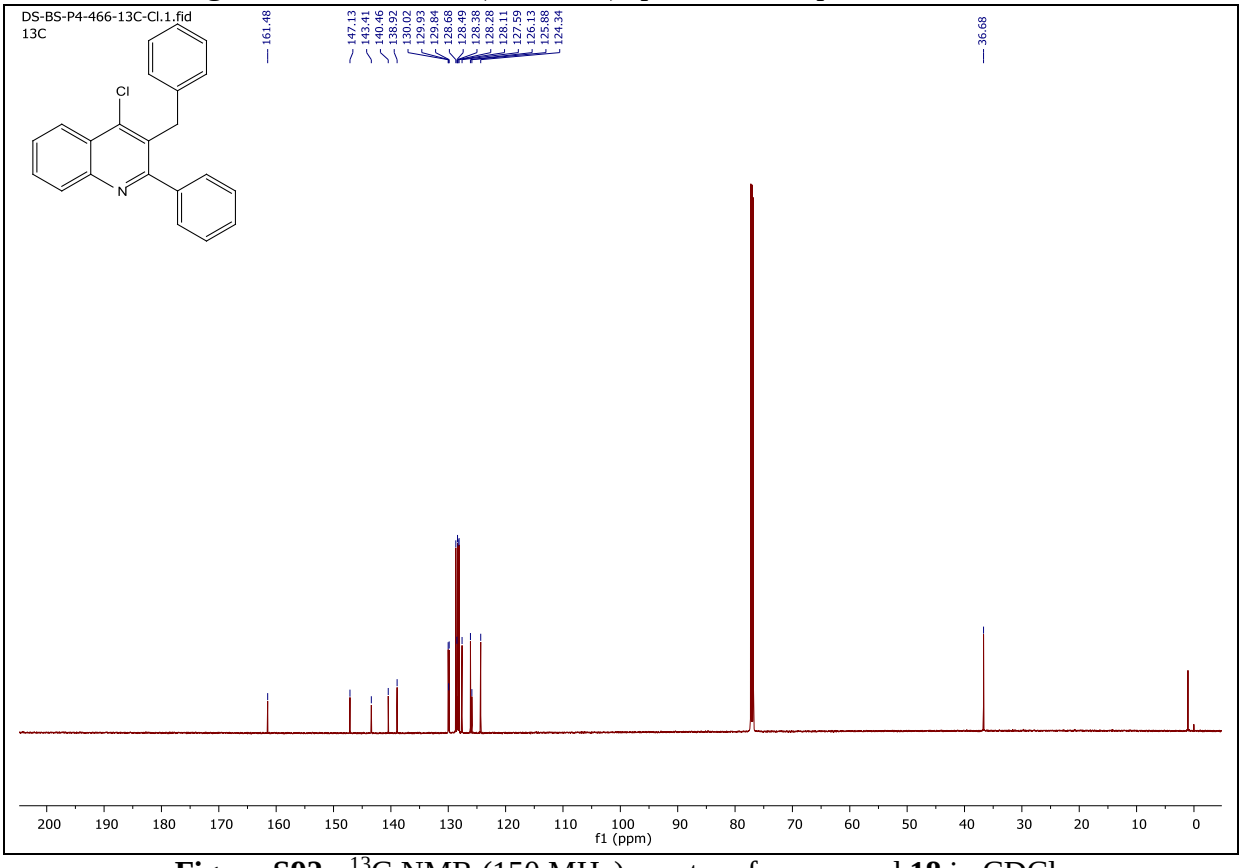


Figure S92: ^{13}C NMR (150 MHz) spectra of compound **18** in $CDCl_3$

F. References:

1. D. D. Perrin, W. L. F.Armarego, Purification of Laboratory Chemicals, Butterworth-Heinemann, Oxford, 3rd edn, 1988.

2. N. Biswas, K. Das, B. Sardar, D. Srimani, Acceptorless dehydro-genative construction of C=N and C=C bonds through catalytic aza-Wittig and Wittig reactions in the presence of an air-stable ruthenium pincer complex, *Dalton Trans*. 2019, **48**, 6501.

3. S. K. Bera, R. R. Maharana, K. Samanta, P. Mal, CBr_4 catalyzed activation of α,β-unsaturated ketones, *Org. Biomol. Chem.*, 2022, **20**, 7085–7091.

4. Q. Yuan, W. Rao, S. Wang, S. Ji, Copper-Catalyzed Chemoselective Cyclization Reaction of 2-Isocyanoacetophenone: Synthesis of 4-Hydroxyquinoline Compounds, *J. Org. Chem.* 2020, **85**, 2, 1279–1284.

5. Z. Huang, Y. Yang, Q. Xiao, Y. Zhang, J. Wang, Auto-Tandem Catalysis: Synthesis of Acridines by Pd-Catalyzed C=C Bond Formation and C(sp^2)–N Cross-Coupling, *Eur. J. Org. Chem*. 2012, 6586–6593.

6. U. Karmakar, H. S. Hwang, Y. Lee, E. J. Cho, Photocatalytic *para*-Selective C–H Functionalization of Anilines with Diazomalonates, *Org. Lett.* 2022, **24**, 6137–6141.

7. J. I. Lee, A review of the syntheses of flavanones, thioflavanones, and azaflavanones, from 2′-substituted chalcones, *Bull. Korean. Chem. Soc*. 2022, **43**, 117 – 128.

8. S. B. Lee, Y. Jang, J. Ahn, S. Chun, D. Oh, S. Hong, One-Pot Synthesis of 4-Quinolone via Iron-Catalyzed Oxidative Coupling of Alcohol and Methyl Arene, *Org. Lett*. 2020, **22**, 8382–8386.

9. H. Ma, X. Zhou, D. Wei, J. Cao, C. Shi, Y. Fan, G. Huang, $KHCO_3$- and DBU-Promoted Cascade Reaction to Synthesize 3-Benzyl-2-phenylquinolin-4(1H)-ones, *Chem. Asian J.* 2016, **11**, 2829 – 2833.

10. X. Wu, L. L. Zheng, L. P. Zhao, C.-F. Zhu, Y. G. Li, Gold-catalyzed cyclization of 1-(20 -azidoaryl) propynols: synthesis of polysubstituted 4-quinolones, *Chem. Commun.*, 2019, **55**, 14769 -14772.

11. S. S. Mochalov, A. N. Fedotov, E. V. Trofimova, N. S. Zefirov, Transformations of *N*-(2-acylaryl)benzamides and their analogs under the Camps cyclization conditions, *Russian Journal of Organic Chemistry*, 2016, **52**, 956-969.

12. R. Shanahan, F. J. Reen, R. Cano, F. O'Gara, G. P. McGlacken, The requirements at the C-3 position of alkylquinolones for signalling in Pseudomonas aeruginosa, *Org. Biomol. Chem*., 2017, **15**, 306–310.

13. D. Szamosva´ri, M. Prothiwa, C. L. Dieterich, T. Boettcher, Profiling structural diversity and activity of 2-alkyl-4(1H)-quinolone N-oxides of Pseudomonas and Burkholderia, Chem. *Commun*., 2020, **56**, 6328 – 6331.

14. B. M. Frank, Preparation of antibiotic quinolones, European Patent Organization, EP811613 A1 1997-12-10.