# Supplementary Material

# Synthesis of Quinazolindionyl Amino Acid and Hydrazone Derivatives as Possible Antitumour Agents

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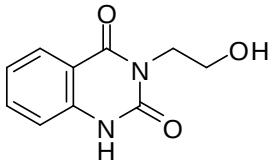
## [1] Synthesis of the starting compounds 1 & 2.

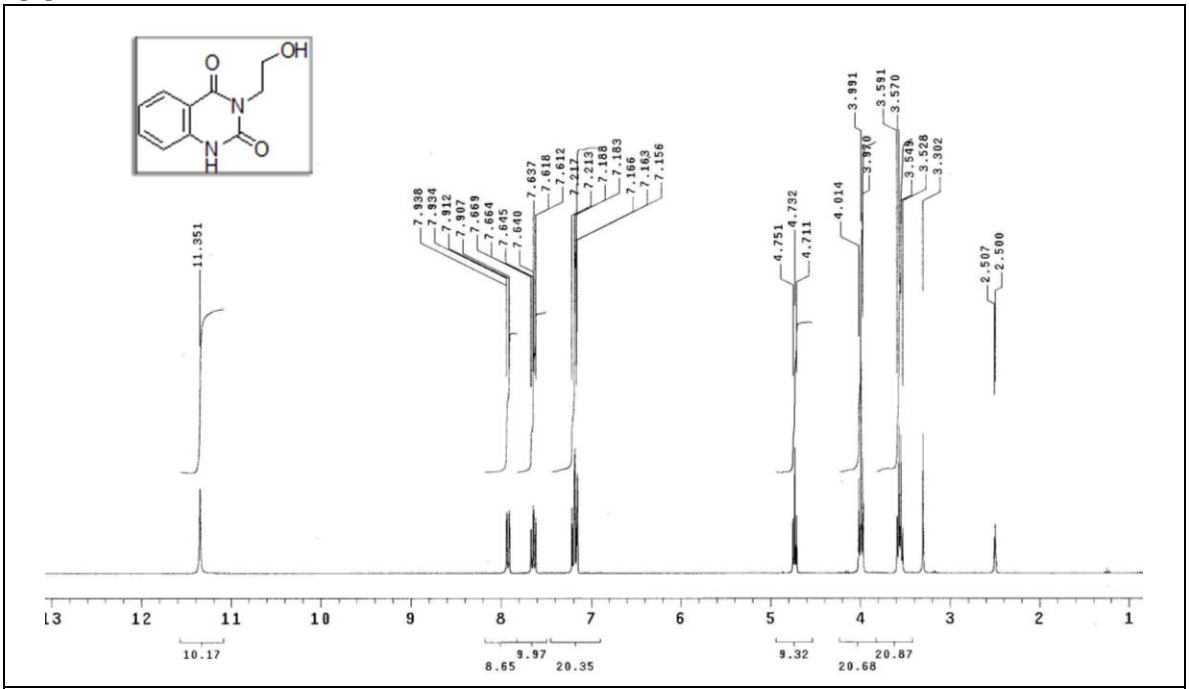
### Synthesis of 3-(2-hydroxyethyl)-2,4-di-oxo-(1H,3H)-quinazoline (1)




**(1)**

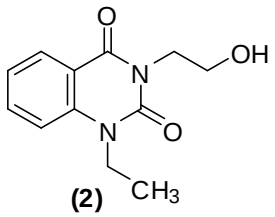
To a solution of methyl anthranilate (12.90 ml, 0.1 mol) in dry toluene (50 ml) Ethyl chloroformate (19.00 ml, 0.2 mol) was added and refluxed for 8 h. Solvent was distilled off under reduced pressure and the residue was crystallized from hexane to give ethyl 2-(Methoxycarbonylamino)benzoate
A mixture of ethyl 2-(ethoxycarbonylamino)benzoate (5.0 g, 0.02 mol) and 2-aminoethanol (1.48 ml, 0.022 mol) was fused together and held for 30 min in an oil bath at 140 ºC. The reaction mixture was treated with water and acidified with HCl to pH 4. The precipitate was filtered off, washed with water, dried and drystalized from ethanol to give 3-(2-hydroxyethyl)-2,4-di-oxo-(1H,3H)-quinazoline **(1)** (3.29 g, 71.21 %), m.p.: 242-244 °C (Ref. [23] 239-241 °C).

**[2]**




**$^1$H NMR (300 MHz, DMSO) of compound 1**

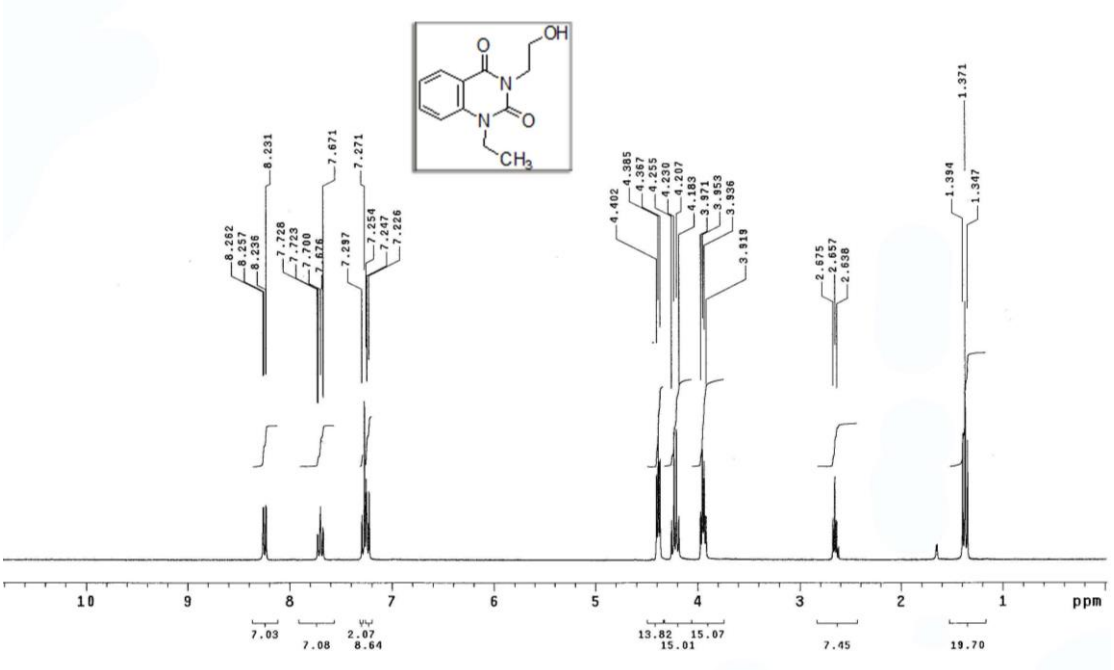
**[3] Synthesis of 1-ethyl-3-(2-hydroxyeth-yl)-2,4-dioxo-(1H,3H)-quinazoline (2)**



**(2)**

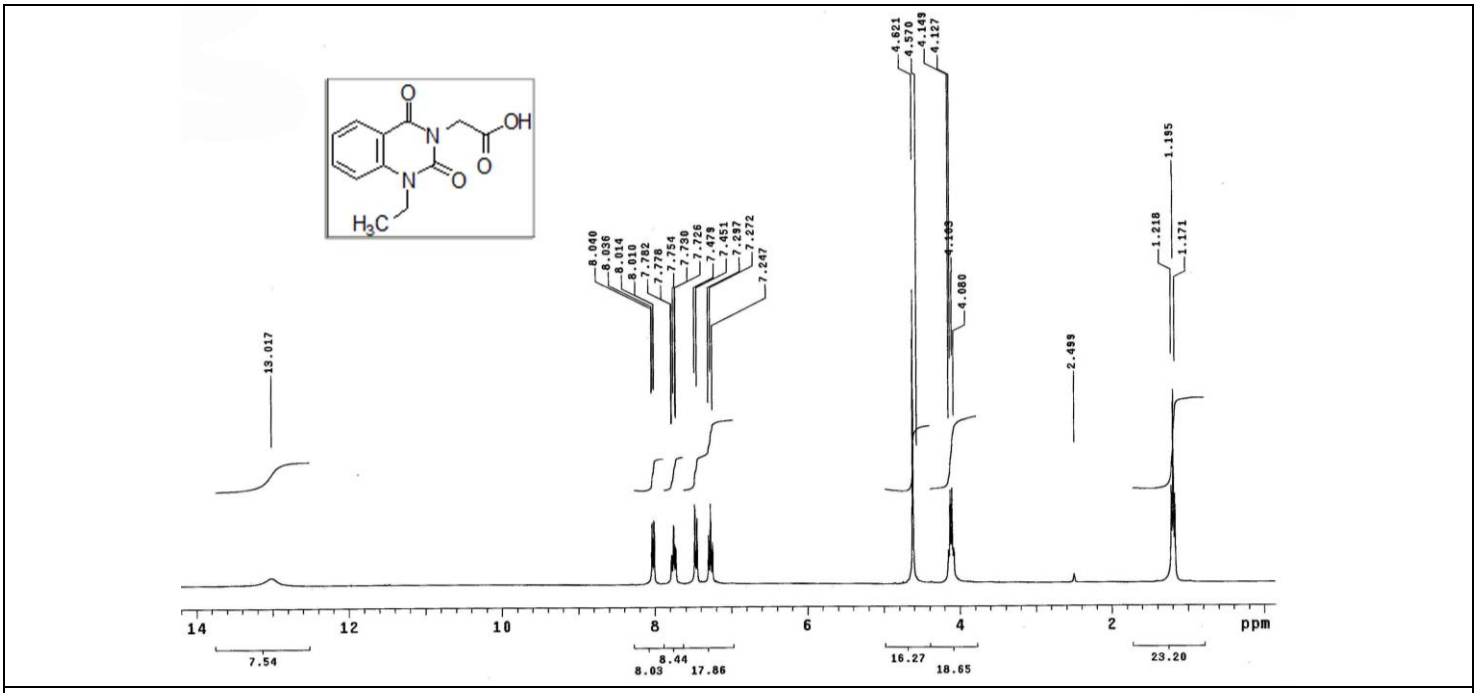
To a solution of 3-(2-hydroxyethyl)-2,4-di-oxo-(1H,3H)-quinazoline **(1)** (3.00 g, 0.015 mol) in DMSO (30 ml) anhydrous $K_2CO_3$ (4.14 g, 0.02 mol) and ethyl iodide (1.40 ml, 0.017 mol) were added. The reaction mexture stirred at 90ºC for 4 h. afterward cooled and diluted with cold water. The precipitate was filtered off, washed with cold water, dried, and crystallized from ethanol to give 1-ethyl-3-(2-hydroxyeth-yl)-2,4-dioxo-(1H,3H)-quinazoline **(2)** (2.84 g, 83.28 %), m.p.: 122-125 °C (Ref. [23] 121-123 °C), $R_f$ = 0.23 (ethyl acetate/ petroleum ether 1:1).

**[4]**

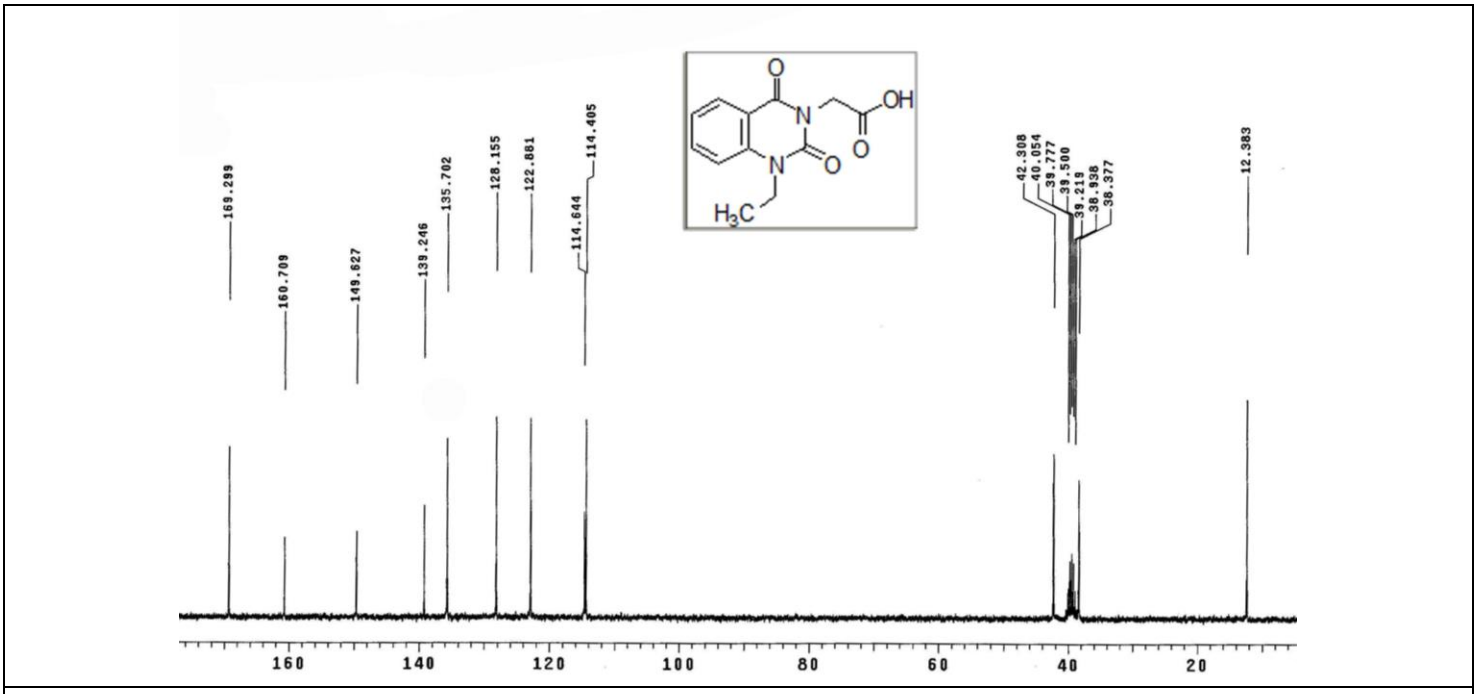



**$^1$H NMR (300 MHz, $CDCl_3$) of compound 2**

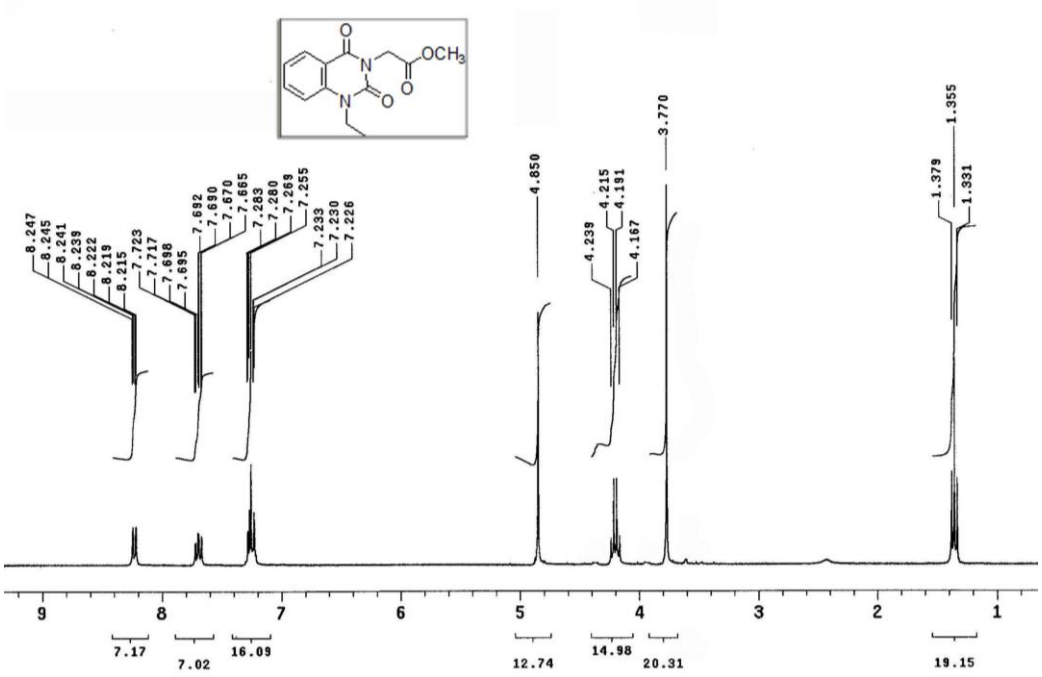
## [5] $^{1}$H and $^{13}$C NMR spectra of the new compounds



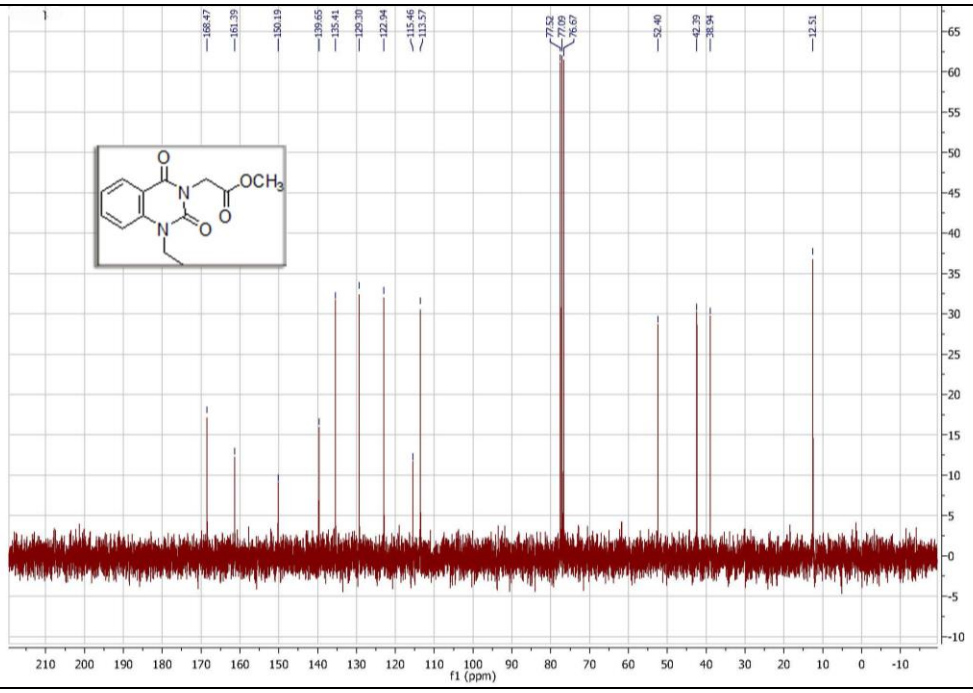

**$^{1}$H NMR (300 MHz, DMSO) of compound 3**



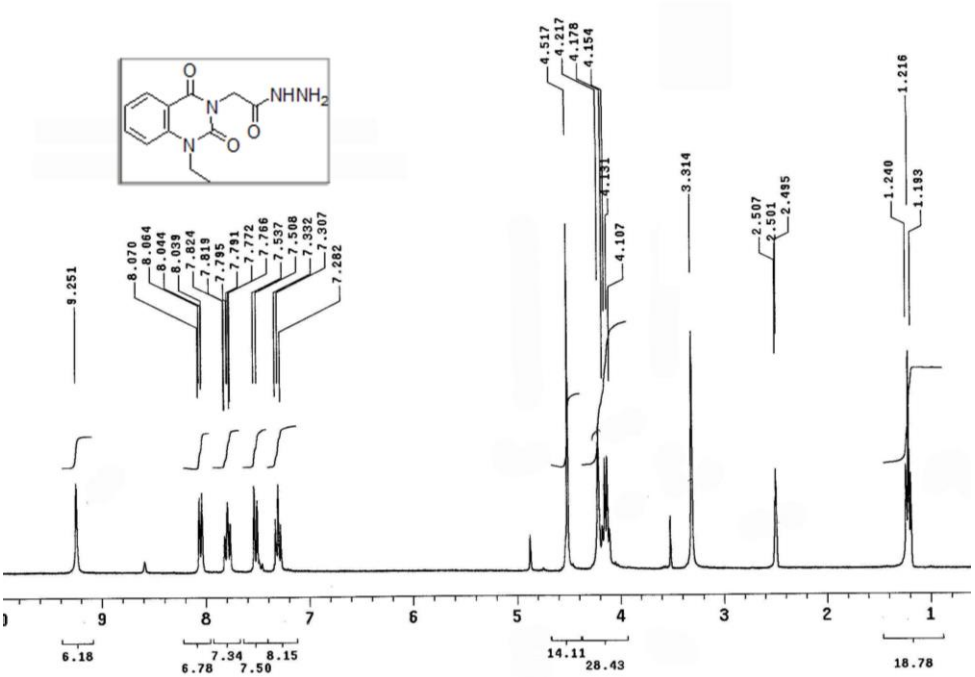

**$^{13}$C NMR (75 MHz, DMSO) of compound 3**



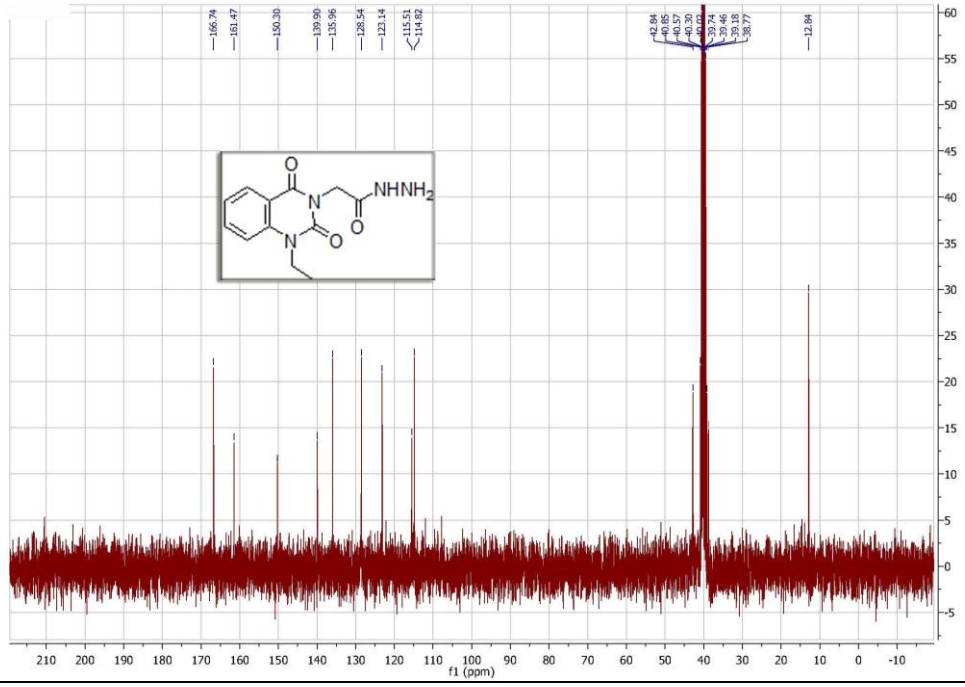

**$^{1}$H NMR (300 MHz, $CDCl_3$) of compound 4**



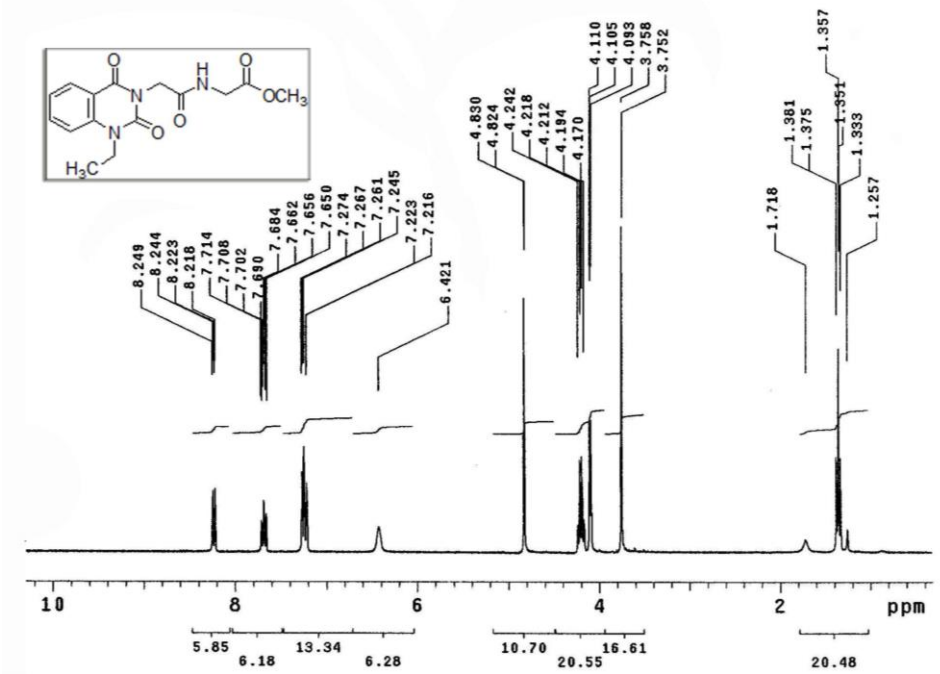

**$^{13}$C NMR (75 MHz, $CDCl_3$) of compound 4**



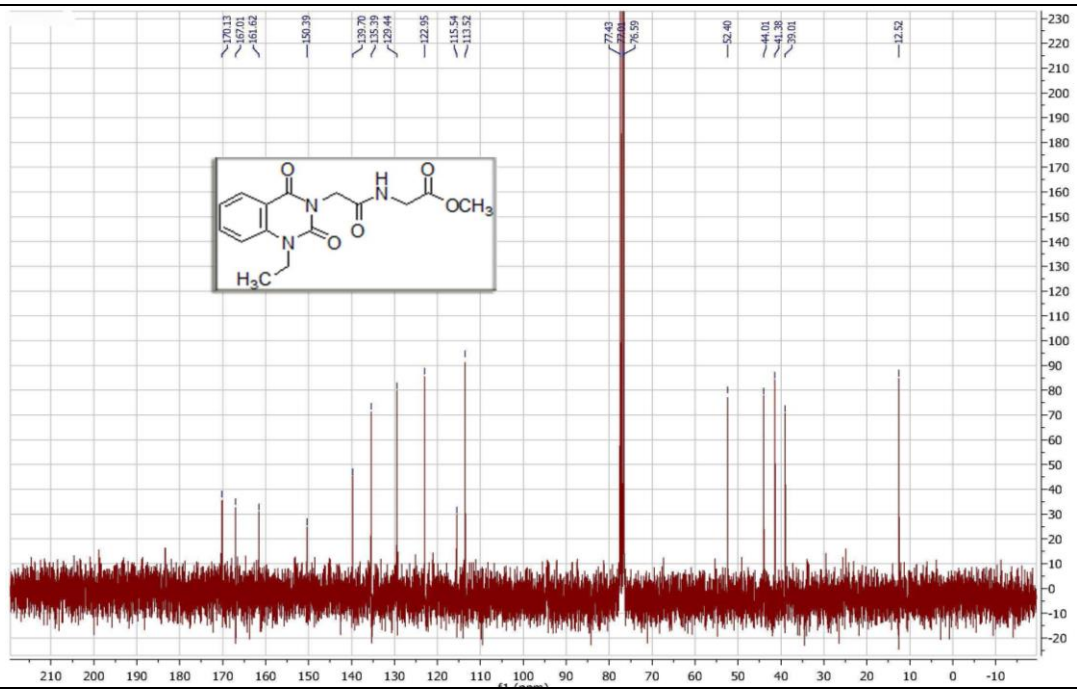

**$^{1}$H NMR (300 MHz, DMSO) of compound 5**



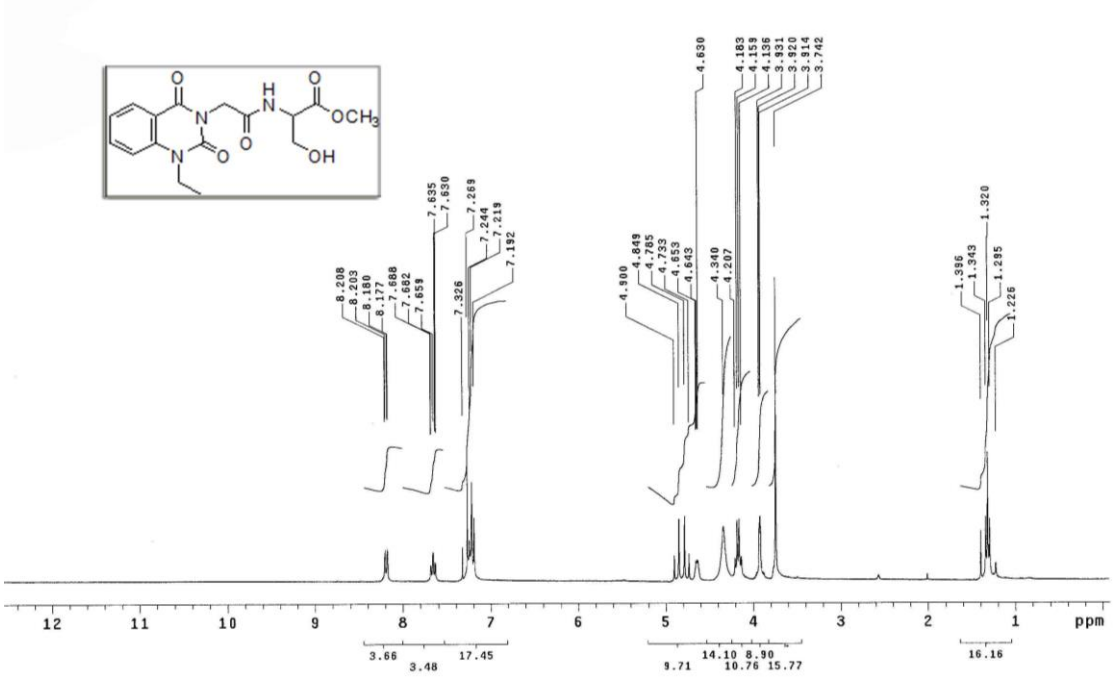

**$^{13}$C NMR (75 MHz, DMSO) of compound 5**



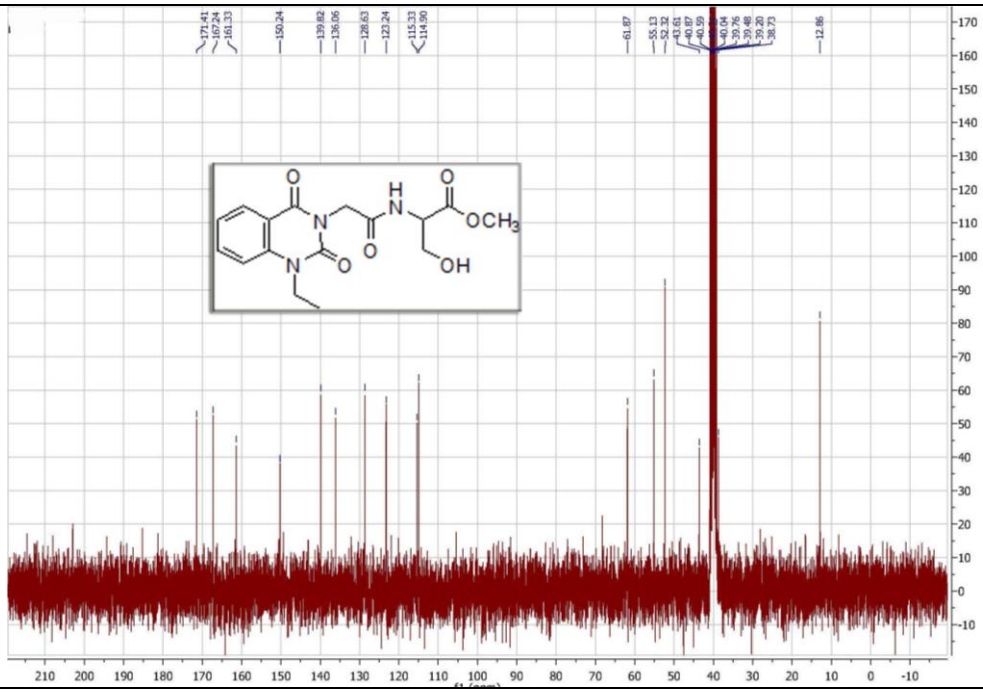

**$^{1}$H NMR (300 MHz, $CDCl_3$) of compound 6a**



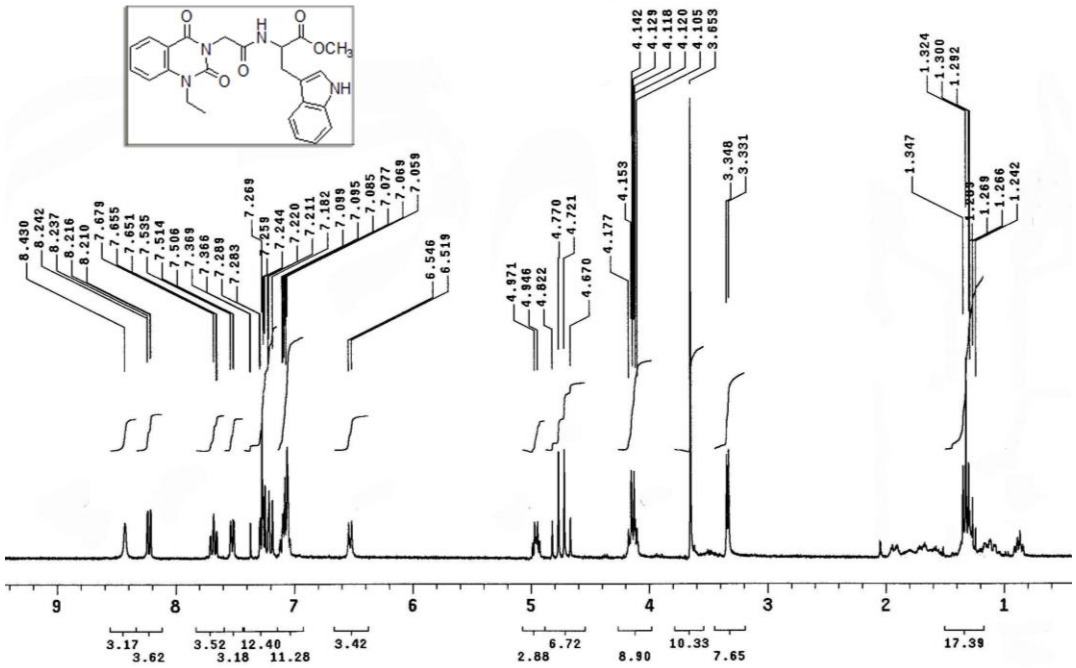

**$^{13}$C NMR (75 MHz, $CDCl_3$) of compound 6a**



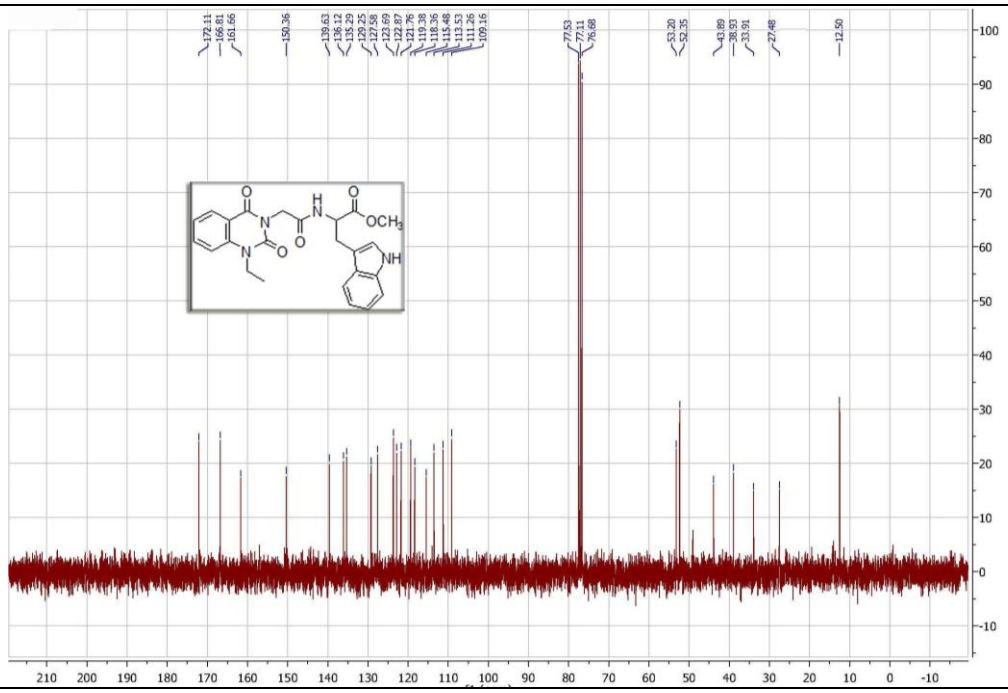

**$^{1}$H NMR (300 MHz, $CDCl_3$) of compound 6b**




**$^{13}$C NMR (75 MHz, $CDCl_3$) of compound 6b**




**$^{1}$H NMR (300 MHz, $CDCl_3$) of compound 6c**

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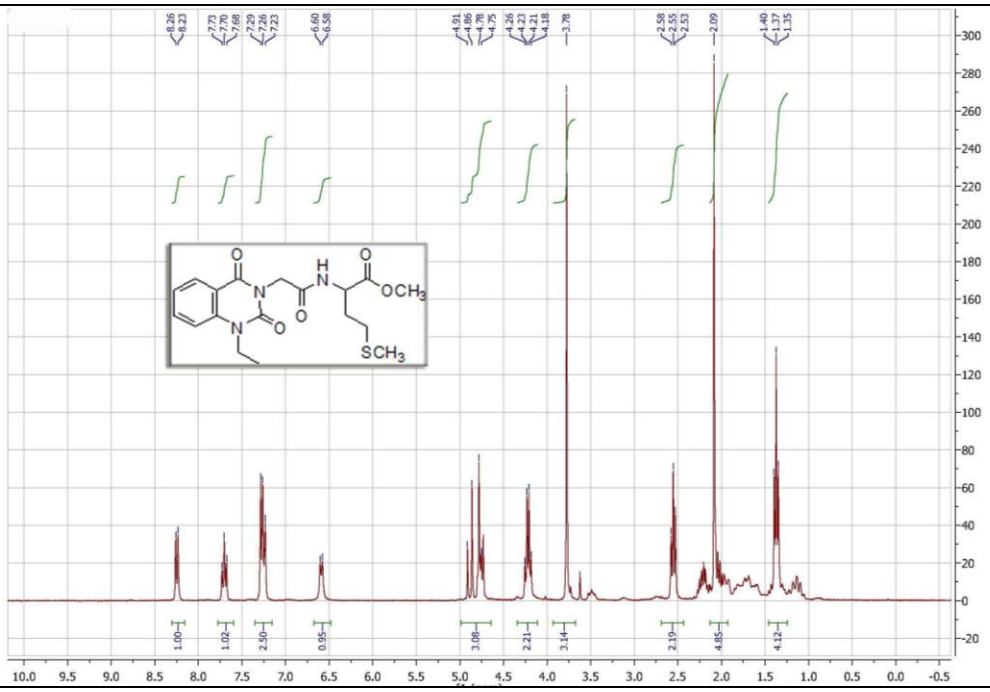
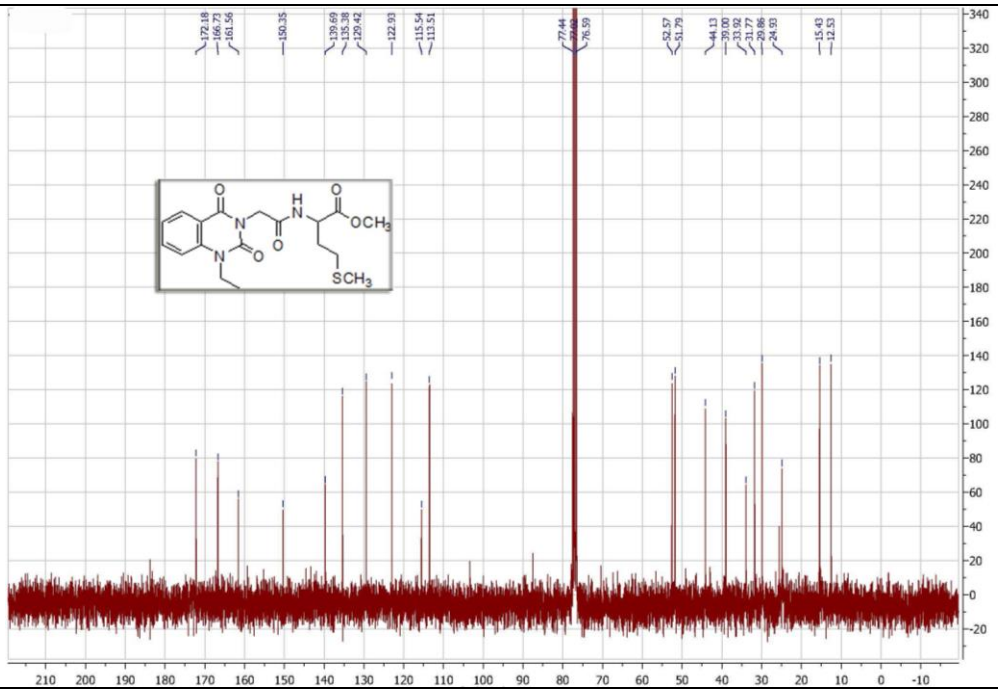
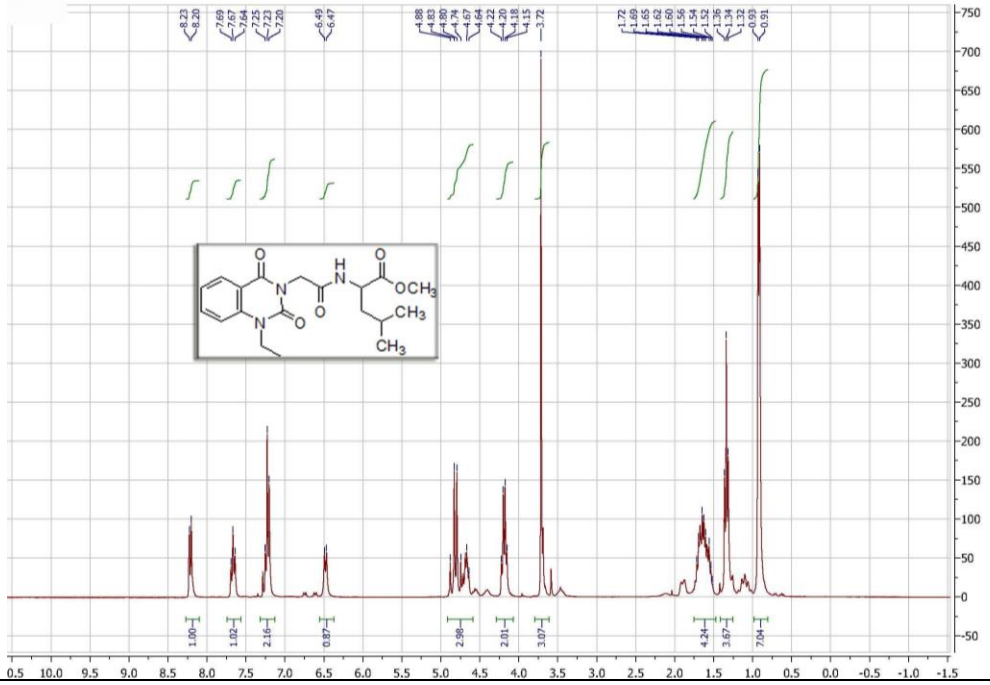


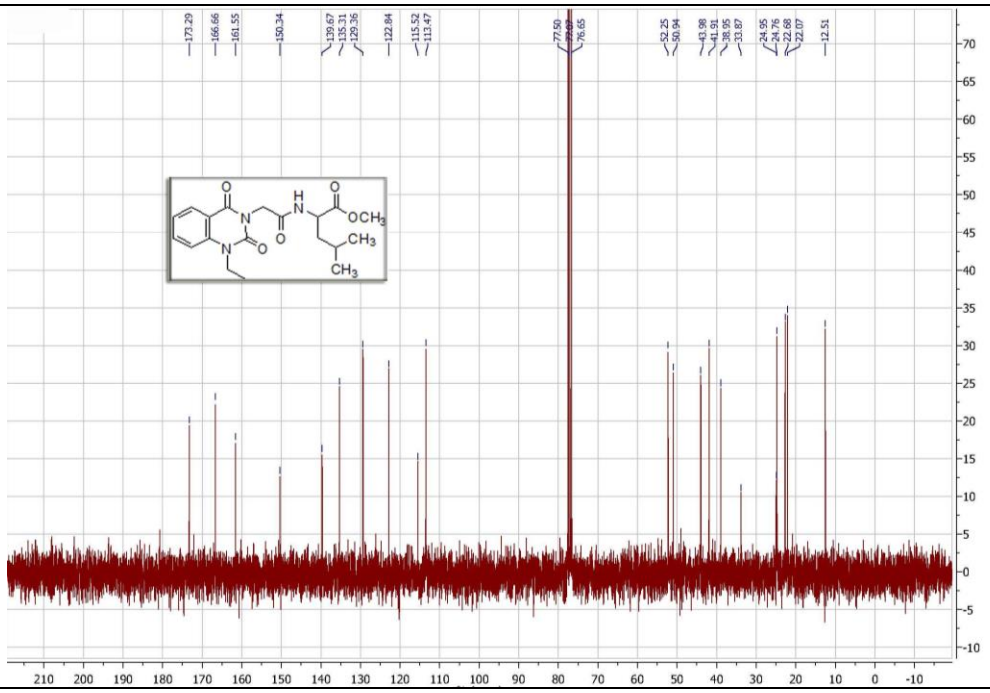
**$^{1}$H NMR (300 MHz, $CDCl_3$) of compound 6d**



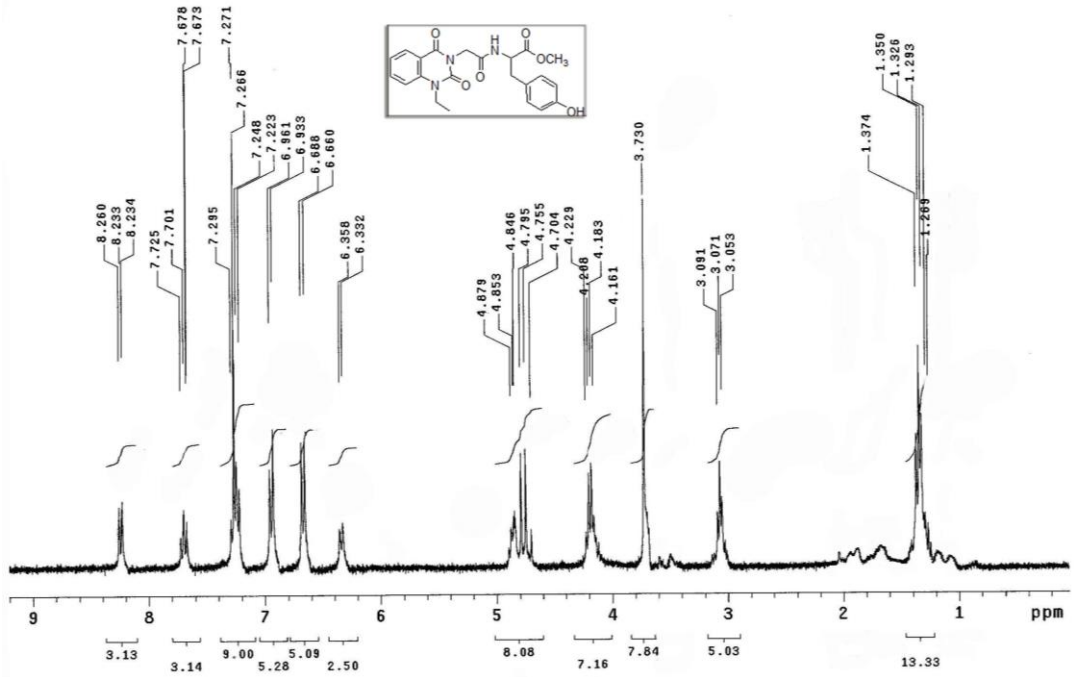
**$^{13}$C NMR (75 MHz, $CDCl_3$) of compound 6d**



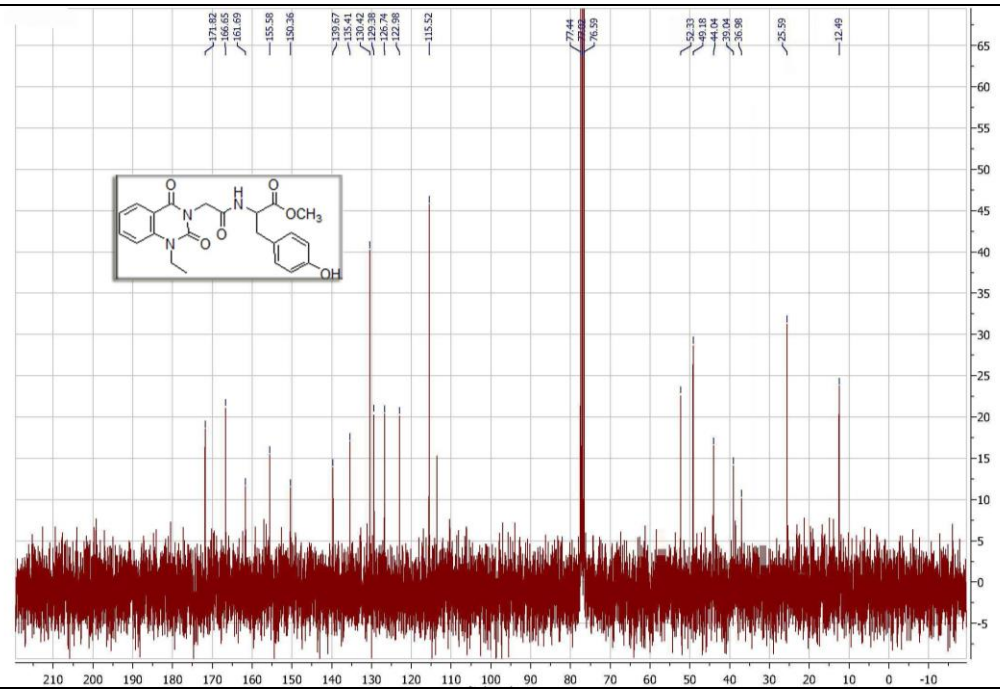
**$^{1}$H NMR (300 MHz, $CDCl_3$) of compound 6e**



**$^{13}$C NMR (75 MHz, $CDCl_3$) of compound 6e**




**$^{1}$H NMR (300 MHz, $CDCl_3$) of compound 6f**

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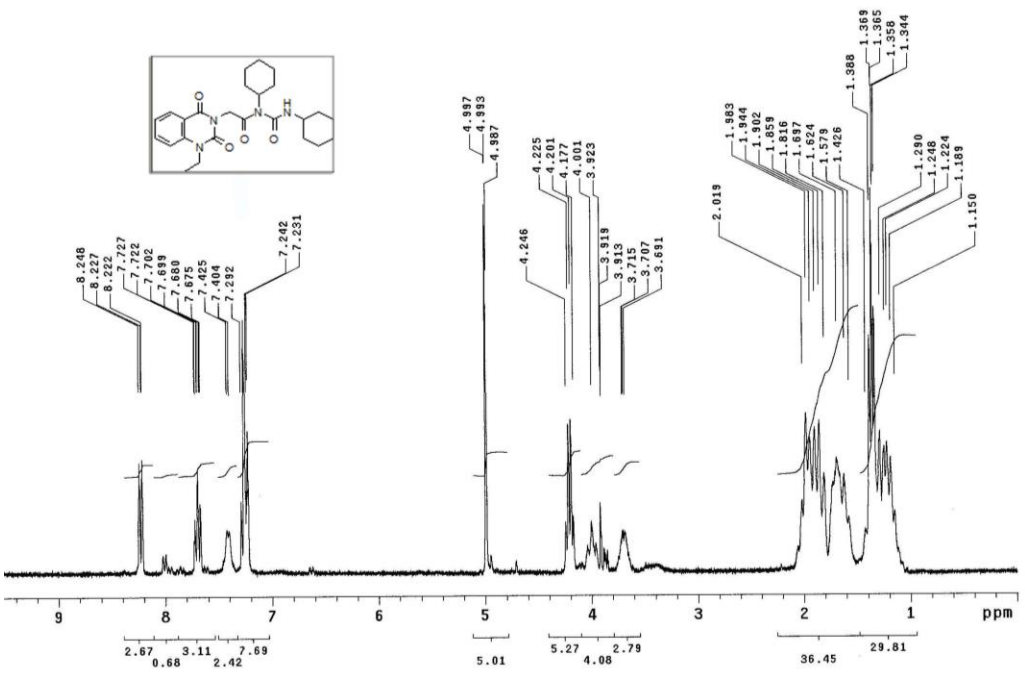
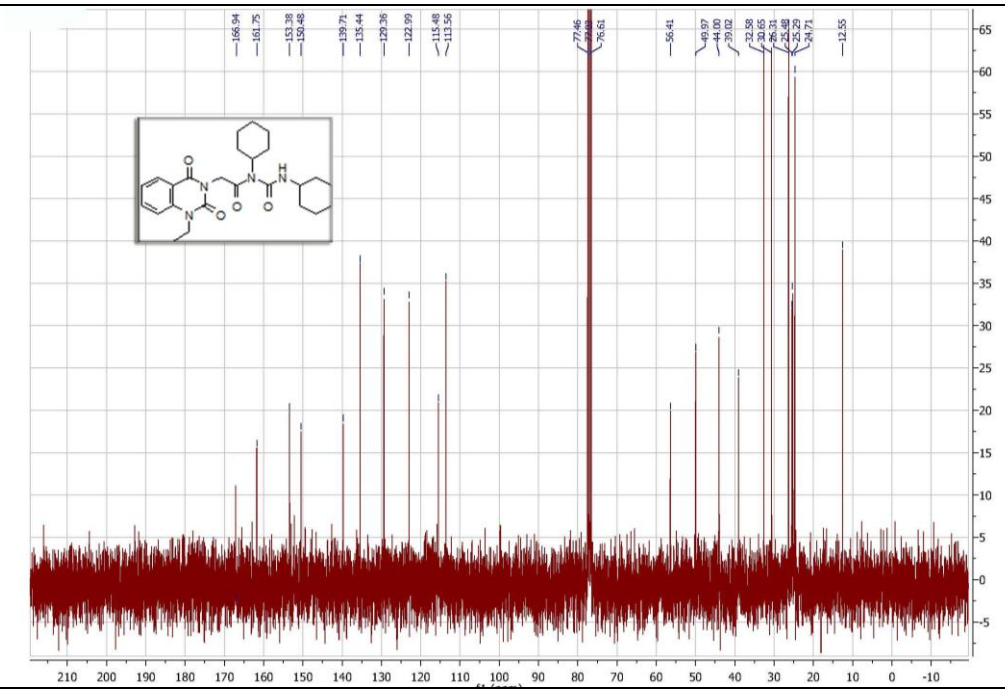
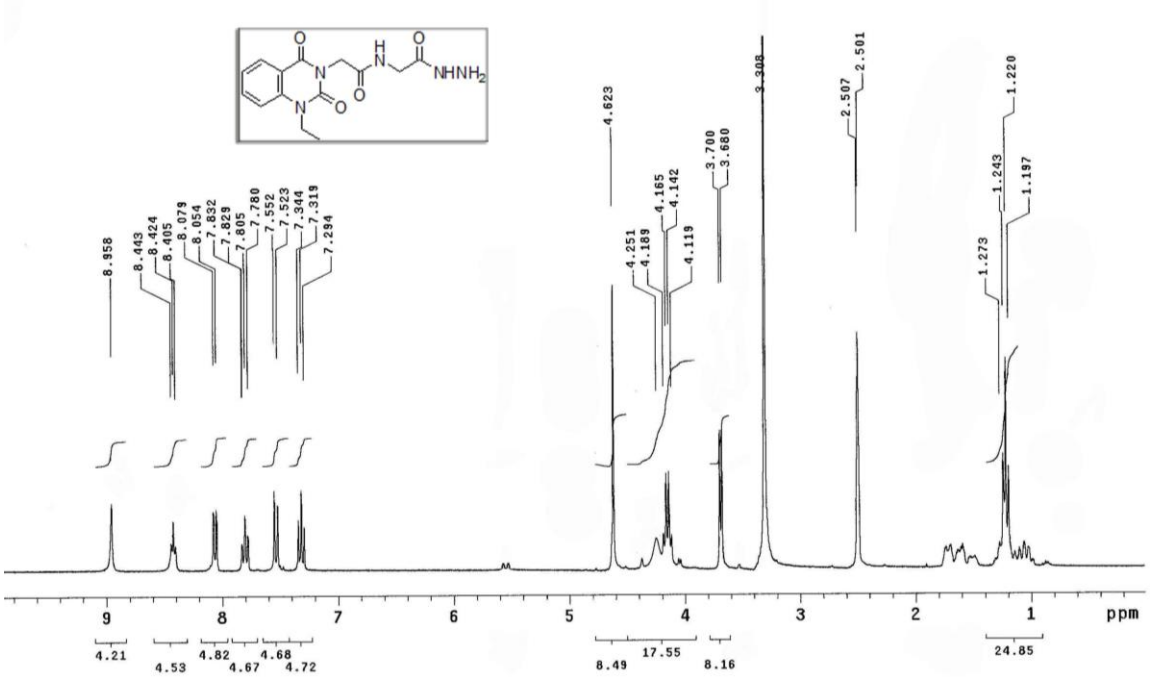


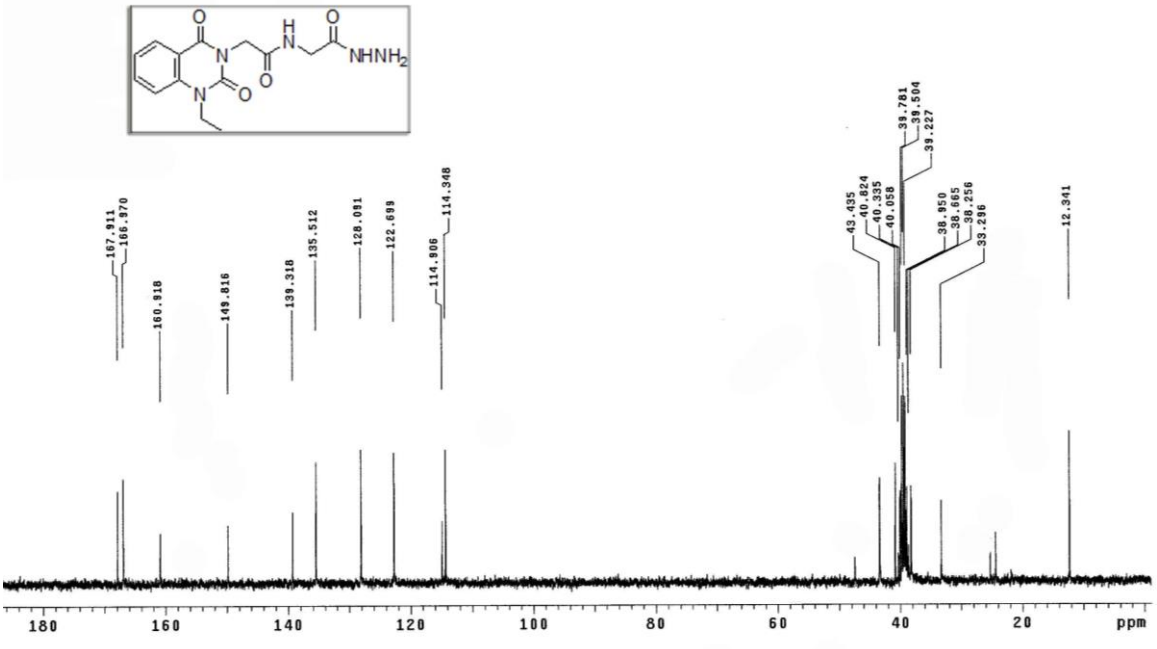

**$^{1}$H NMR (300 MHz, $CDCl_3$) of compound 7**



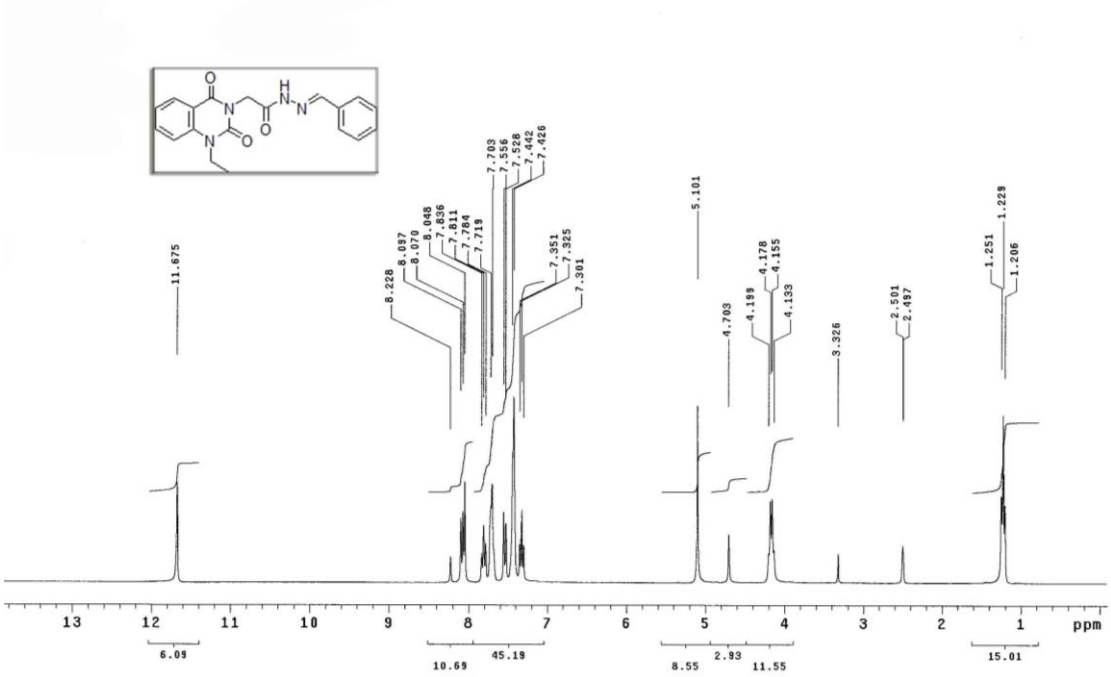

**$^{13}$C NMR (75 MHz, $CDCl_3$) of compound 7**



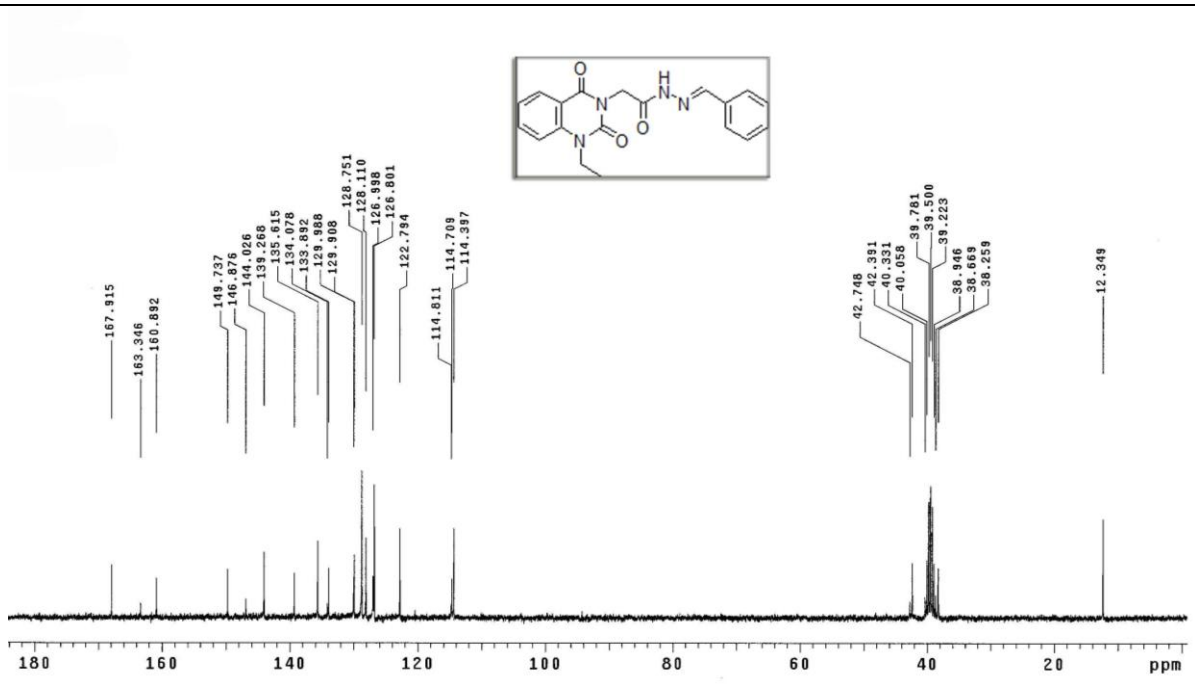

**$^{1}$H NMR (300 MHz, DMSO) of compound 9**



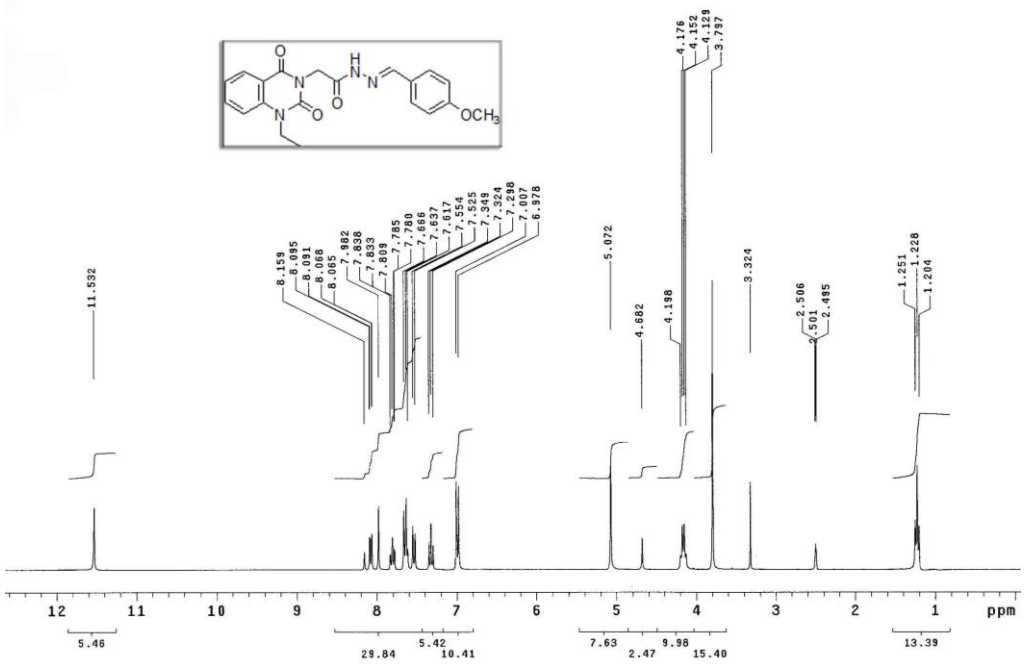

**$^{13}$C NMR (75 MHz, $CDCl_3$) of compound 9**



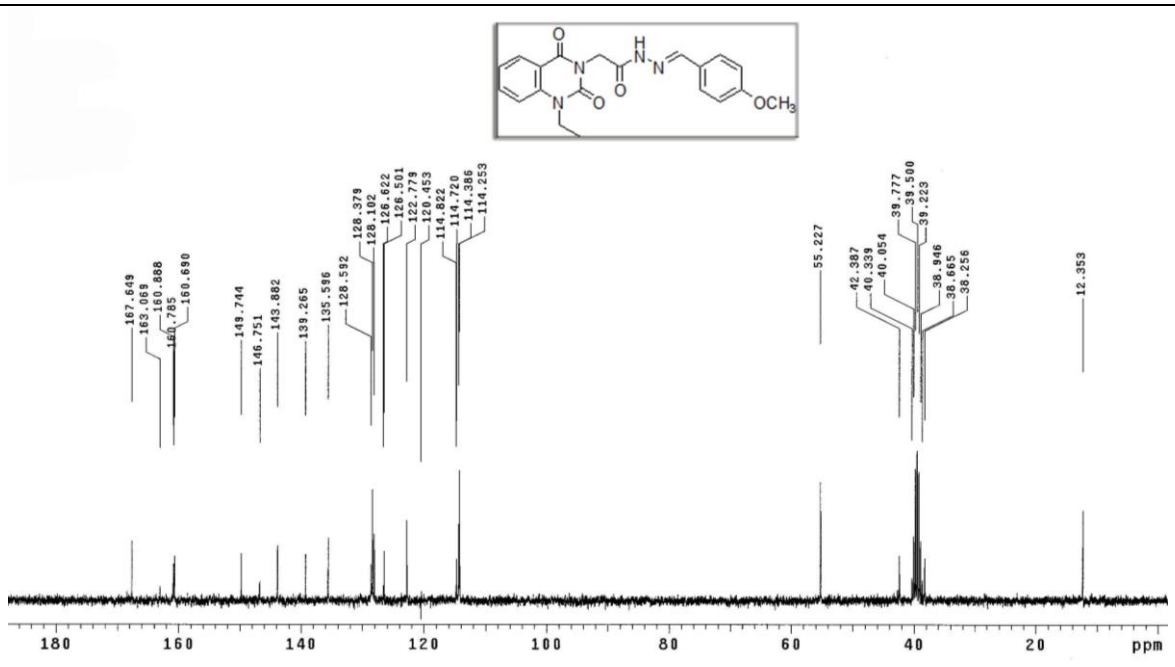

**$^{1}$H NMR (300 MHz, DMSO) of compound 8a**



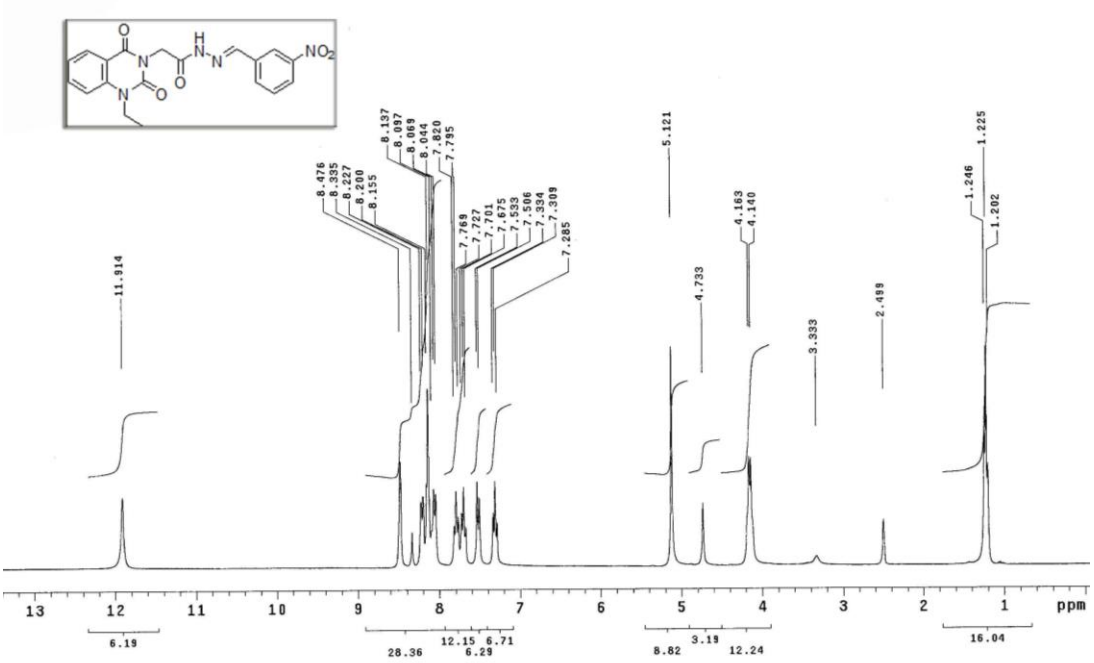

**$^{13}$C NMR (75 MHz, $CDCl_3$) of compound 8a**




**$^{1}$H NMR (300 MHz, DMSO) of compound 8b**




**$^{13}$C NMR (75 MHz, $CDCl_3$) of compound 8b**

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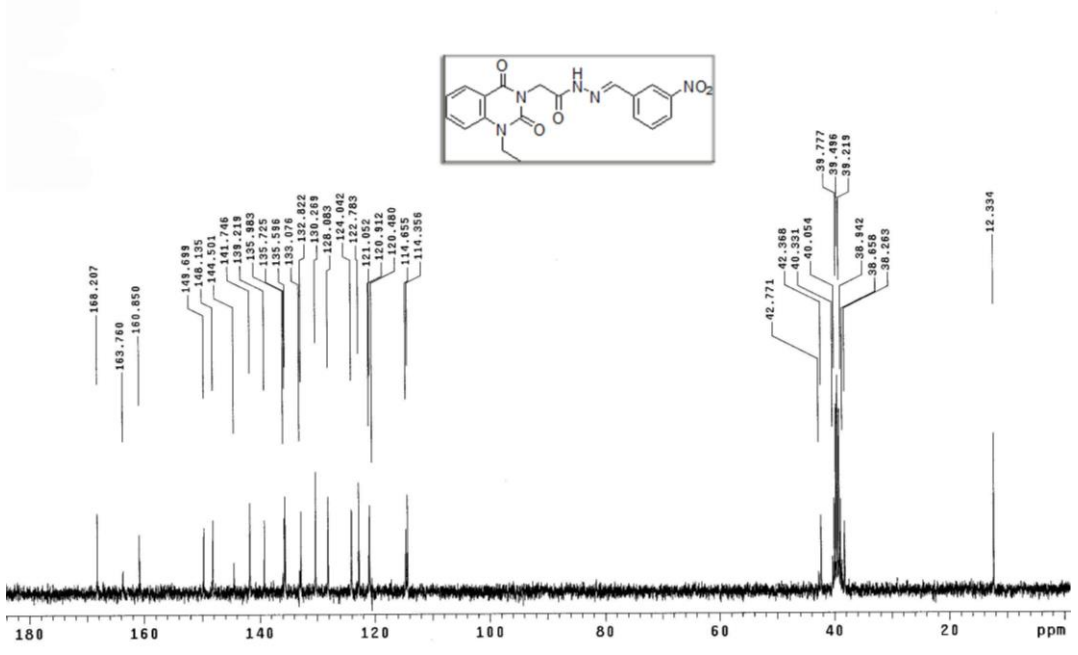
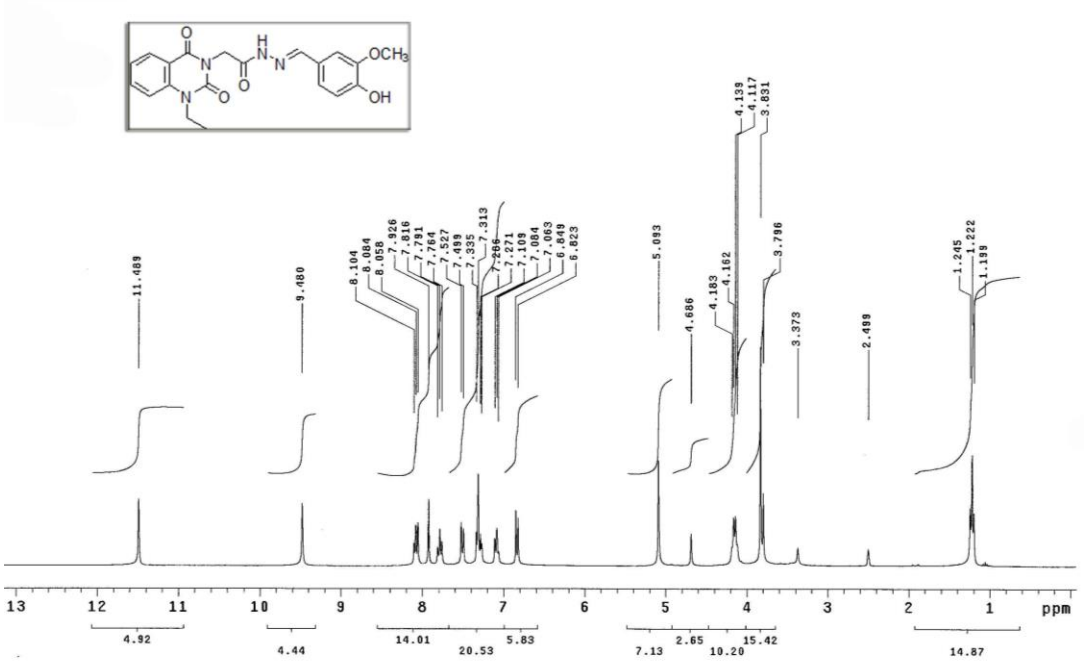
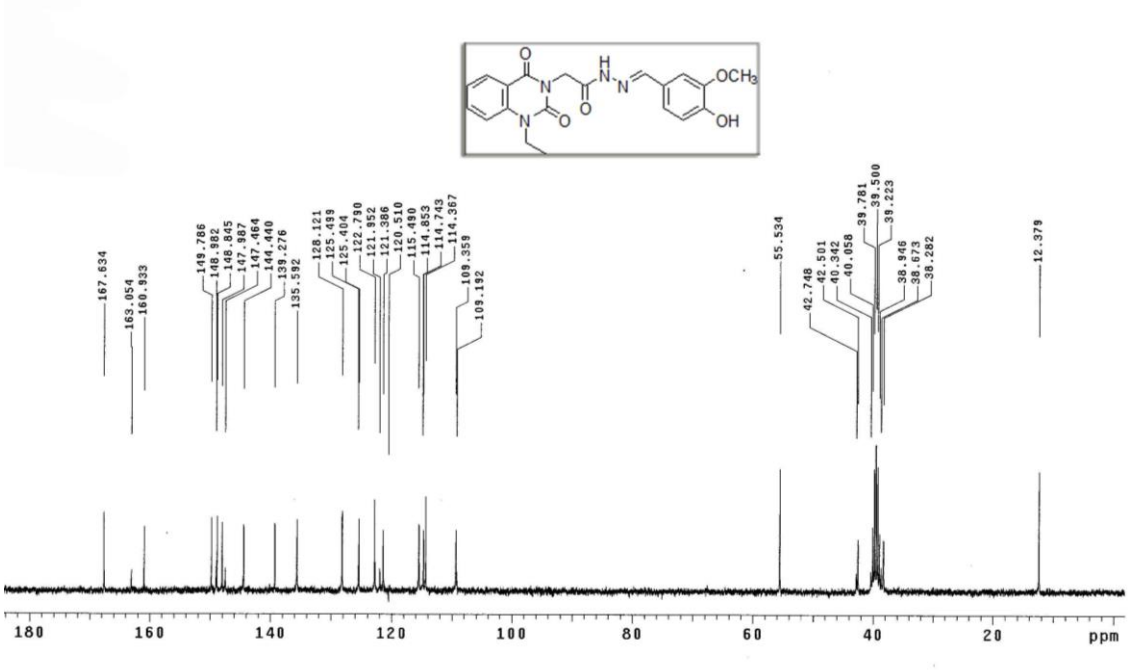



**$^{13}$C NMR (75 MHz, $CDCl_3$) of compound 8c**




**$^{1}$H NMR (300 MHz, DMSO) of compound 8d**

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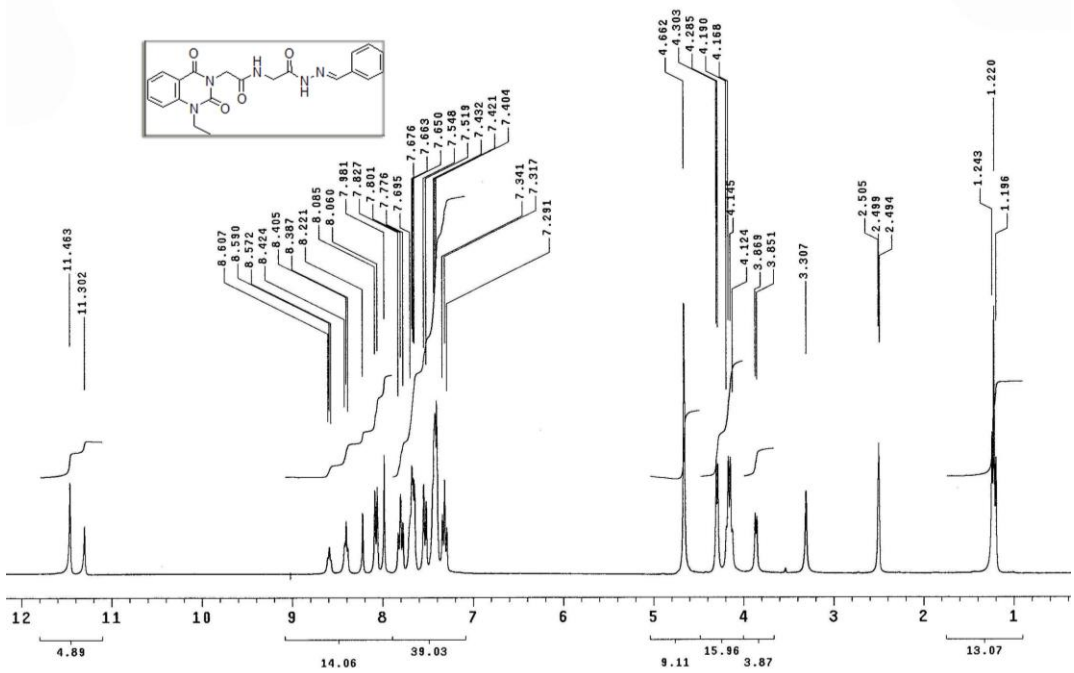


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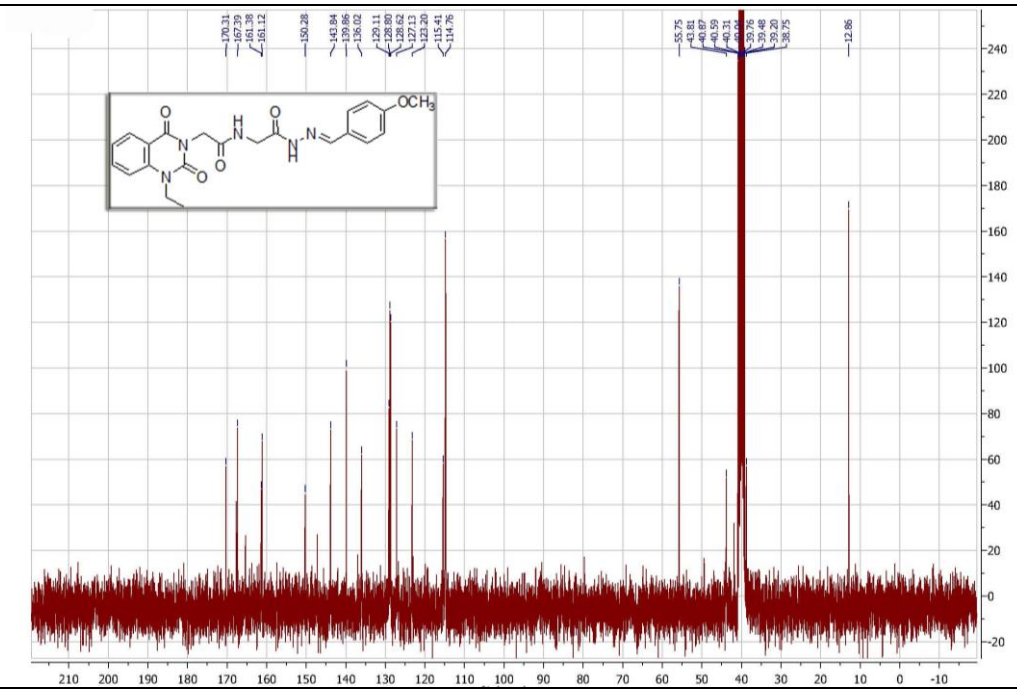
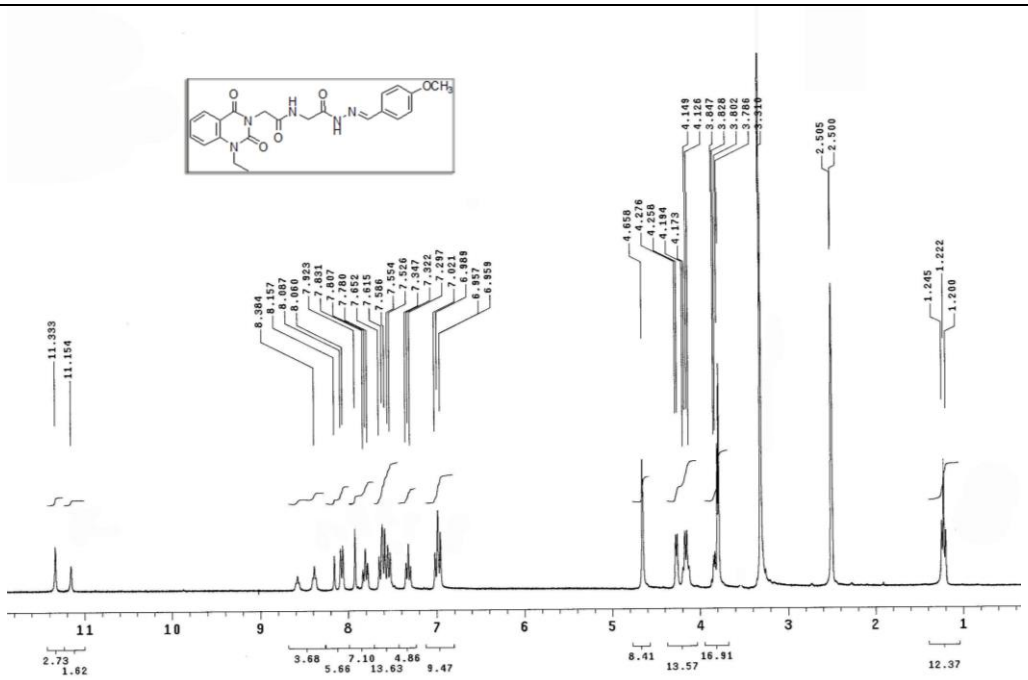
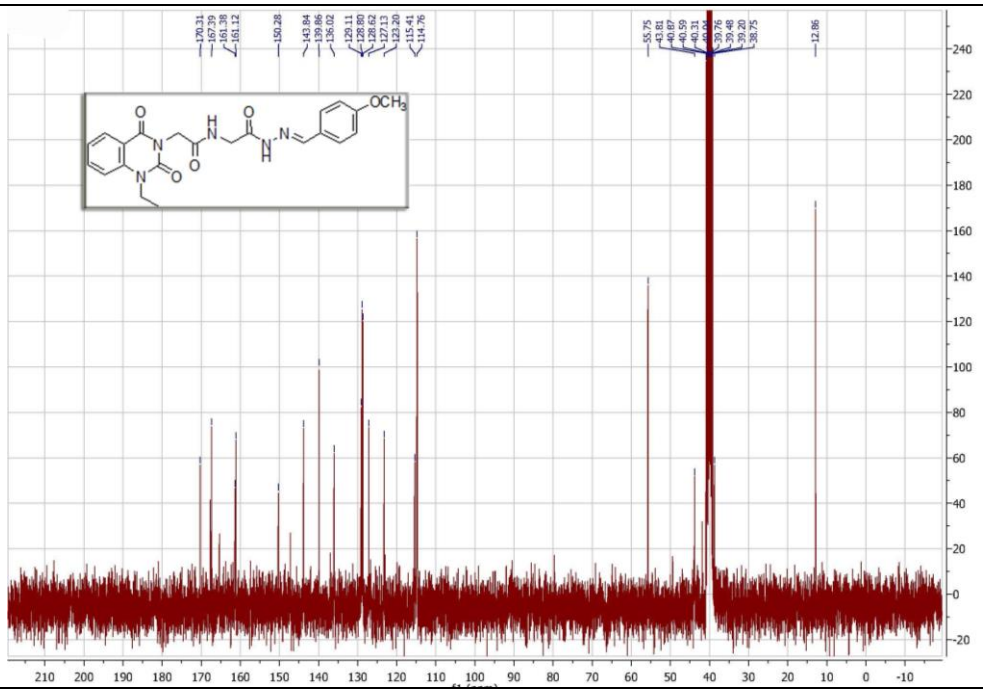



**$^{13}$C NMR (75 MHz, $CDCl_3$) of compound 10a**



**$^{1}$H NMR (300 MHz, DMSO) of compound 10b**



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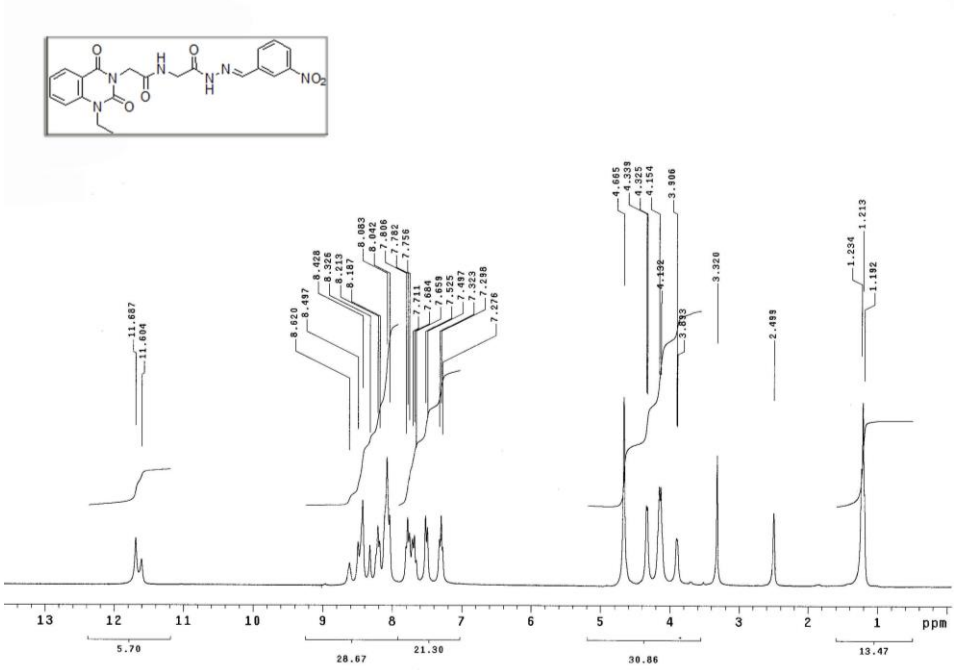

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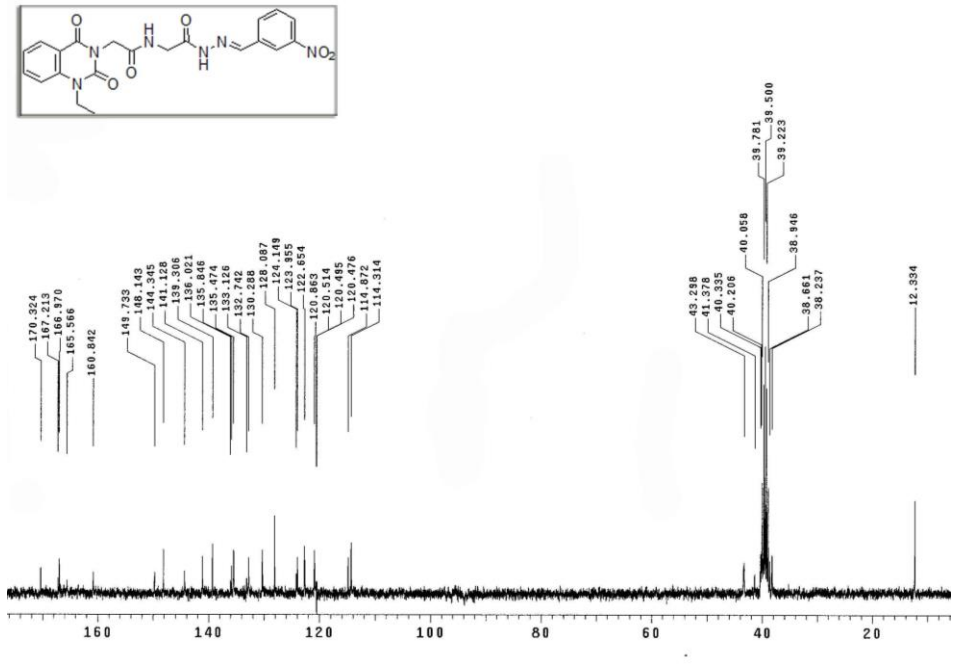
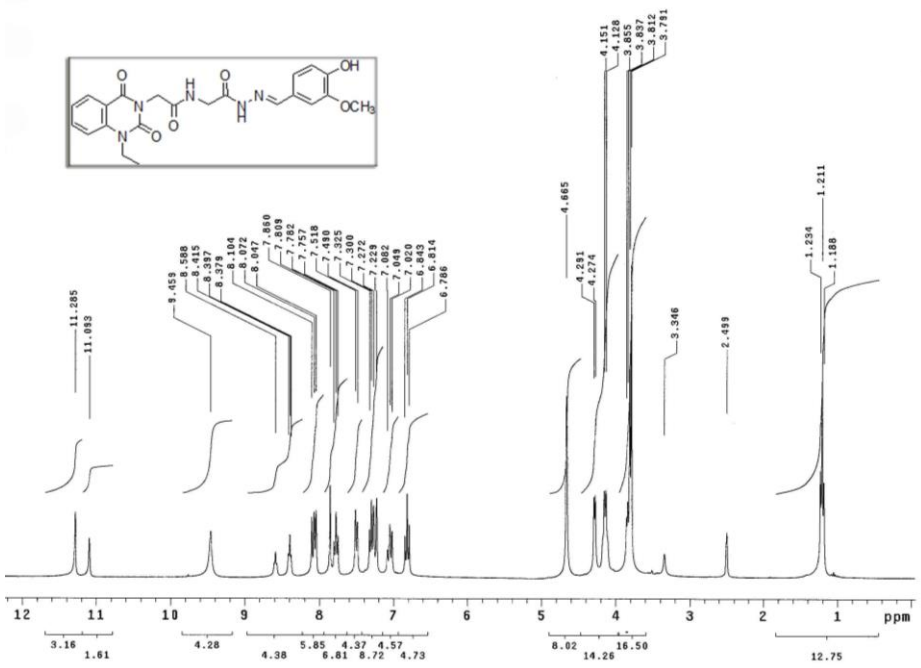
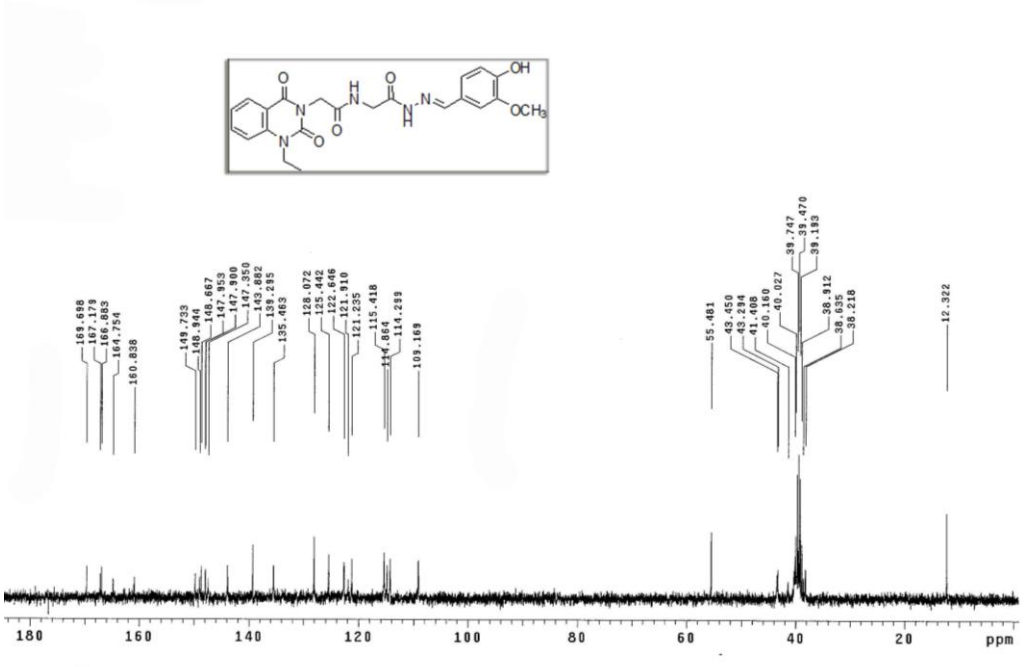


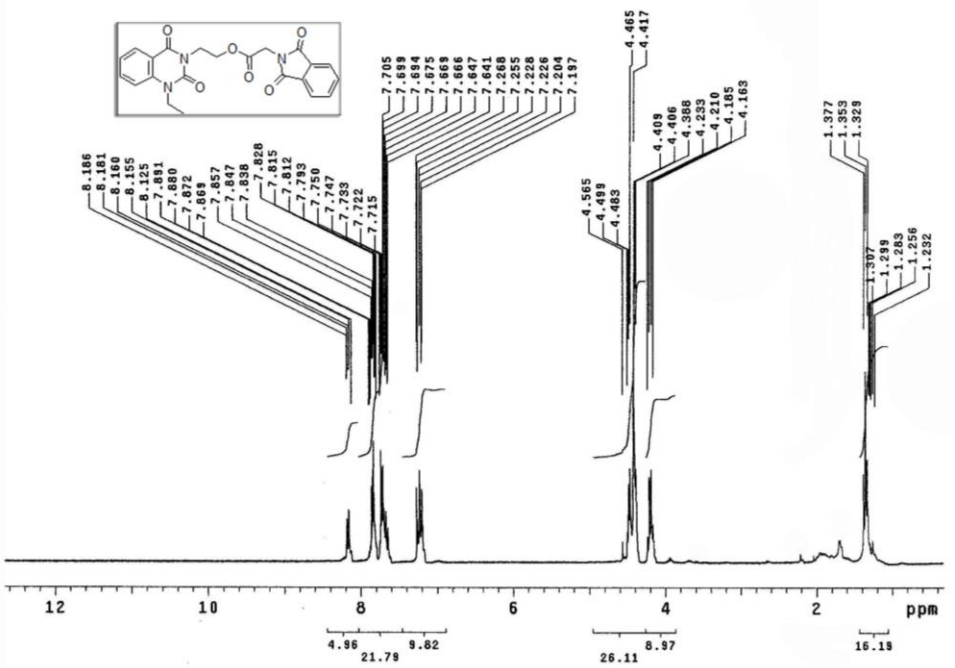
**$^{13}$C NMR (75 MHz, $CDCl_3$) of compound 10c**



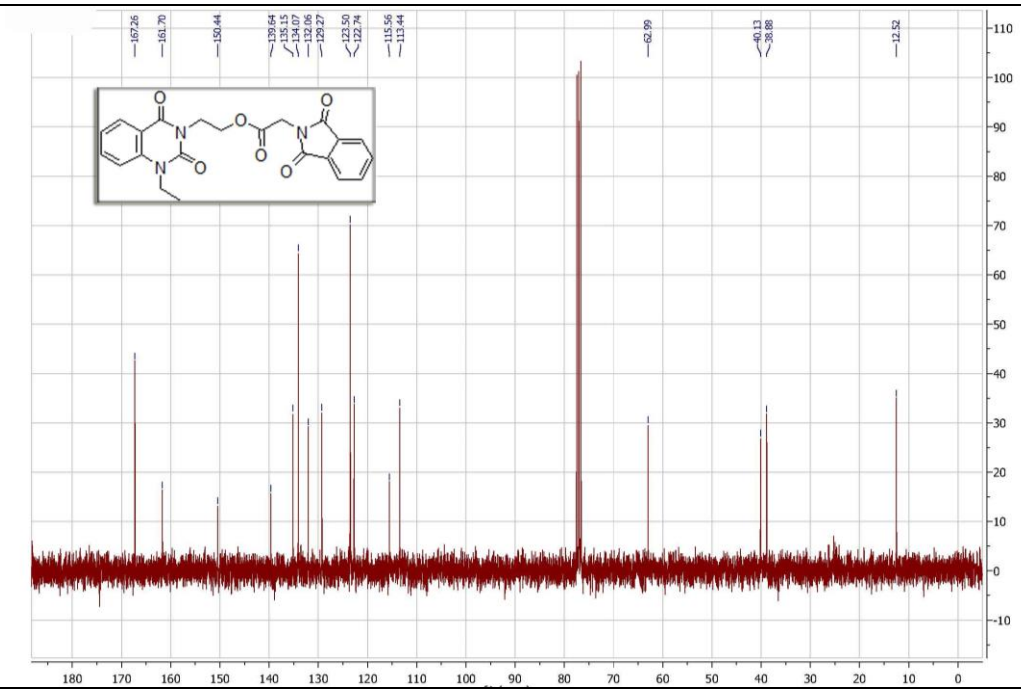
**$^{1}$H NMR (300 MHz, DMSO) compound 10d**



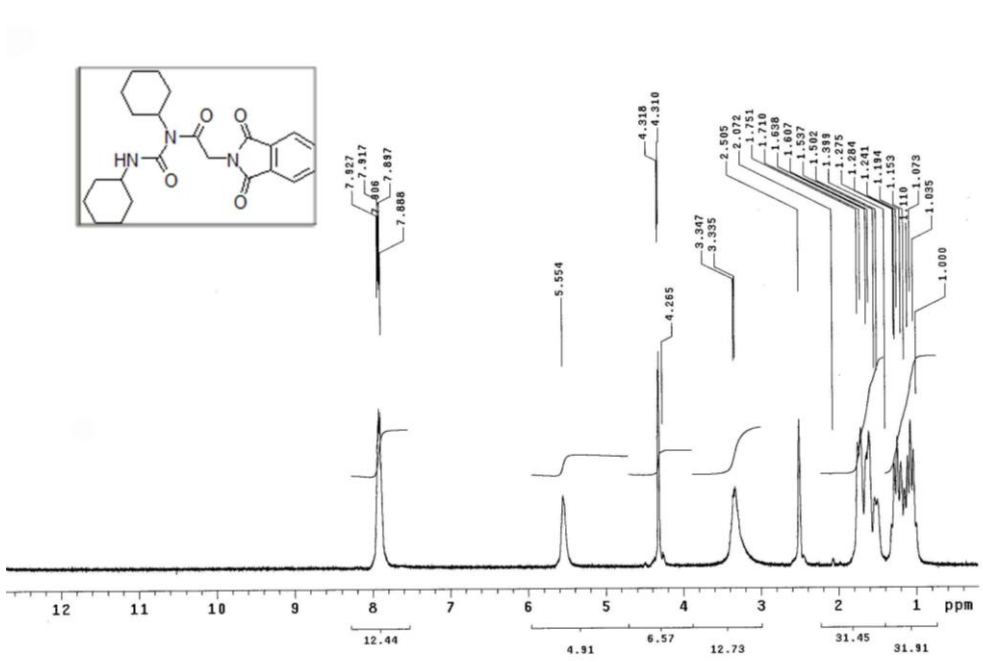
**$^{13}$C NMR (75 MHz, $CDCl_3$) of compound 10d**




**$^{1}$H NMR (300 MHz, $CDCl_3$) of compound 12**




**$^{13}$C NMR (75 MHz, $CDCl_3$) of compound 12**

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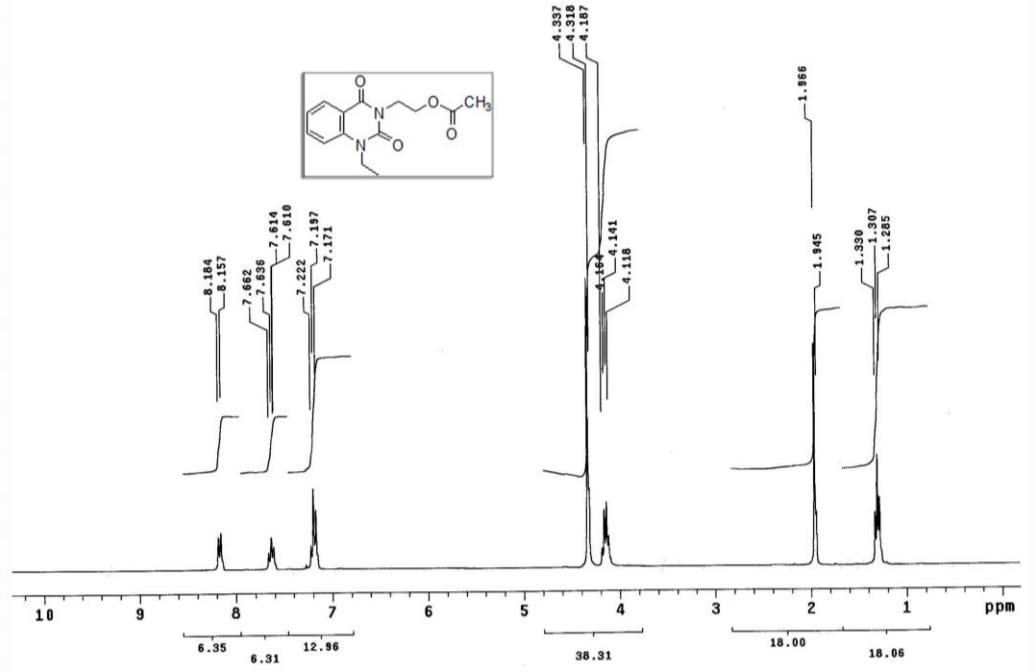
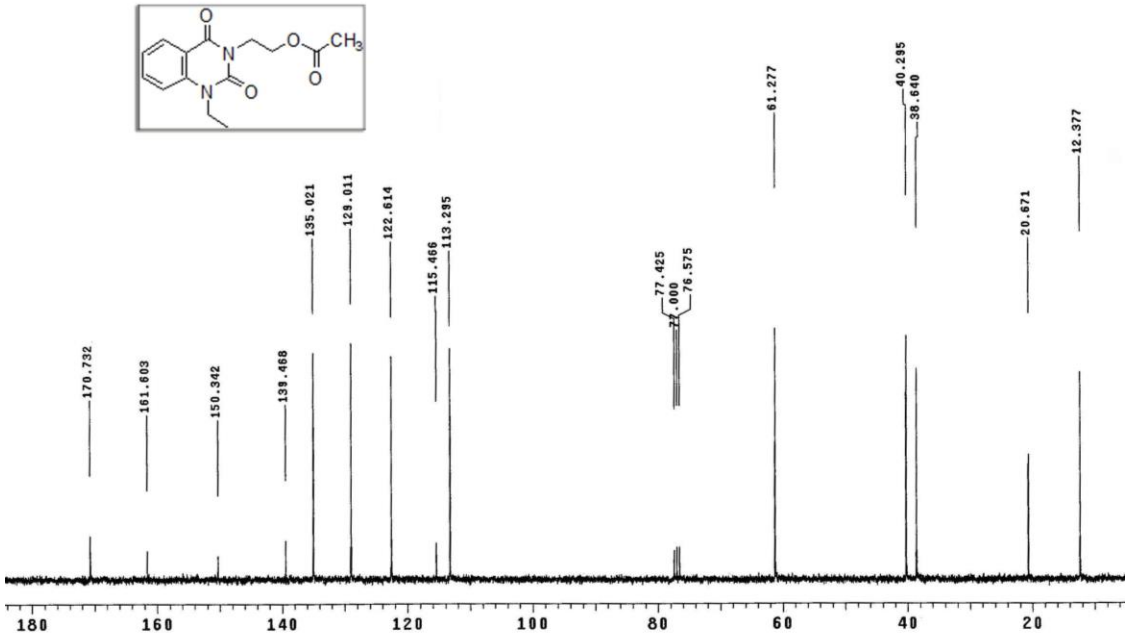
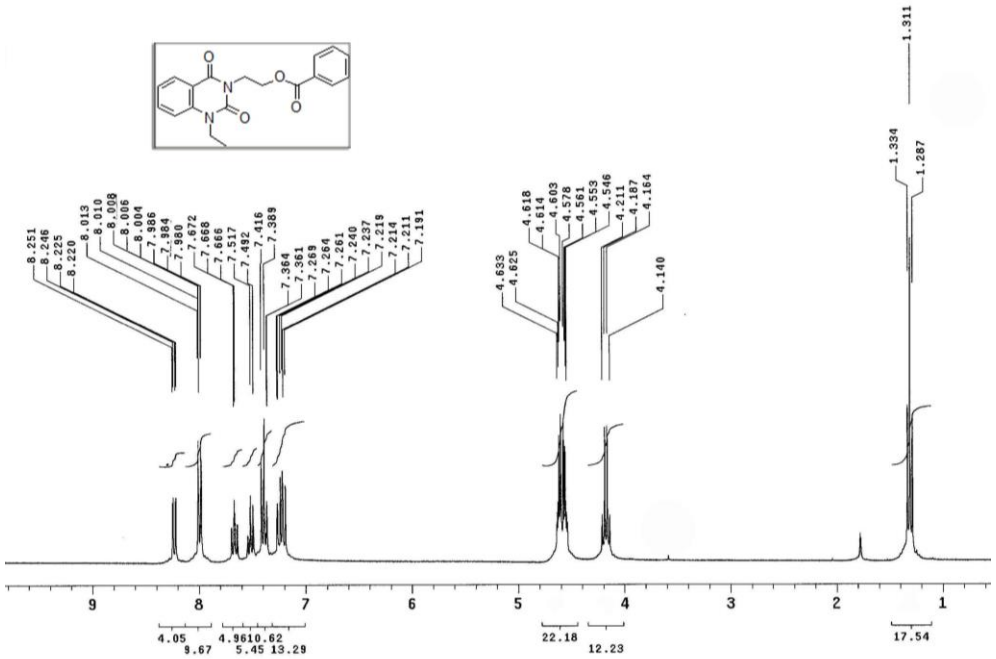


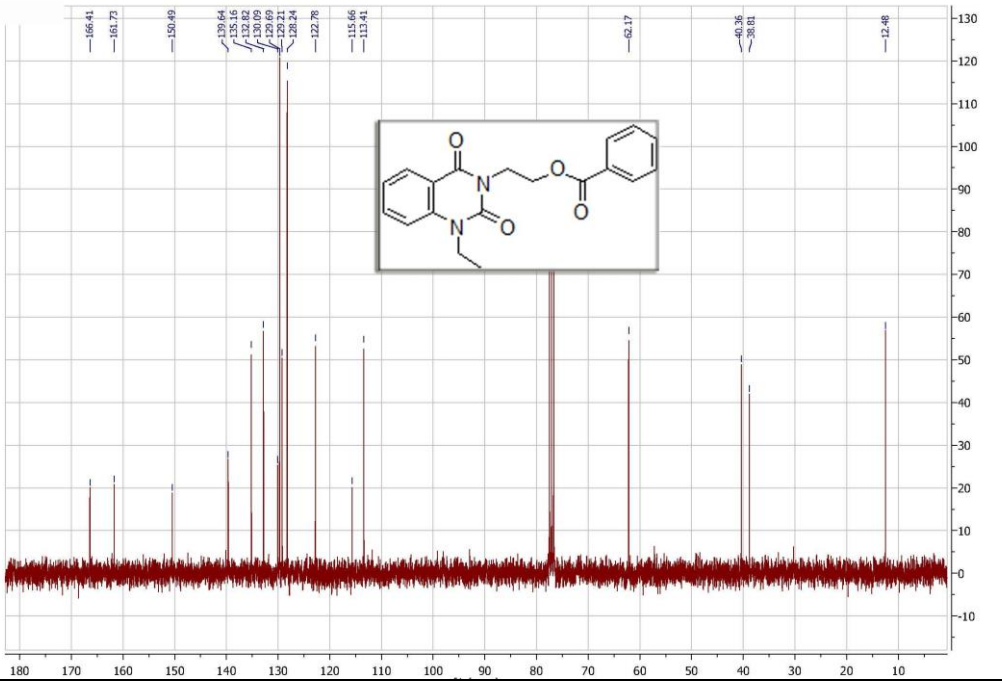

**$^{1}$H NMR (300 MHz, $CDCl_3$) of compound 13a**



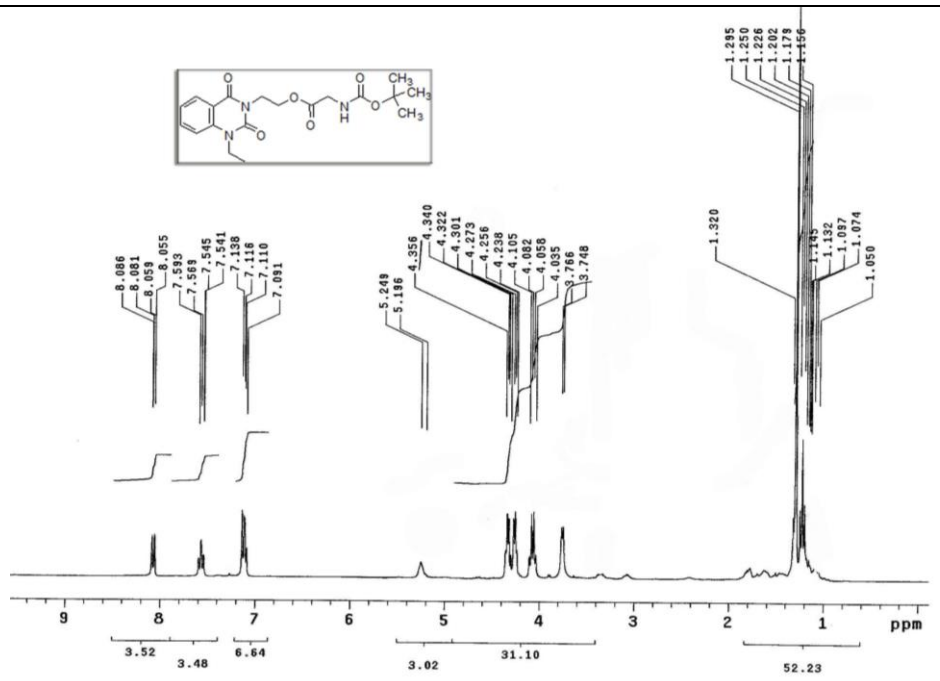

**$^{13}$C NMR (75 MHz, $CDCl_3$) of compound 13a**



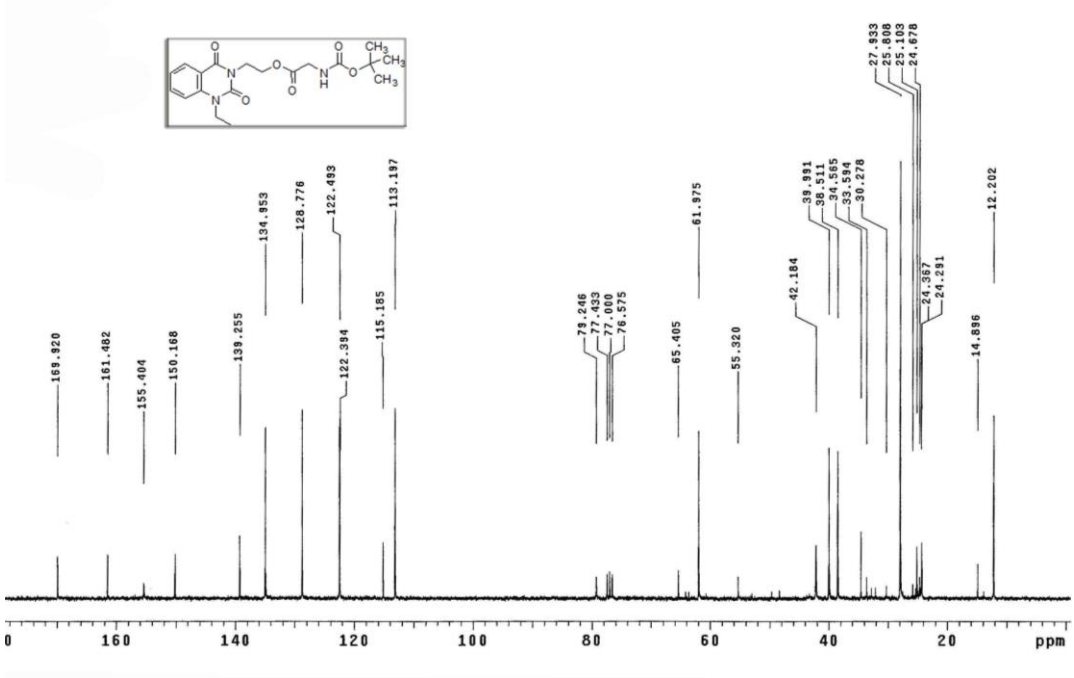

**$^{1}$H NMR (300 MHz, $CDCl_3$) of compound 13b**



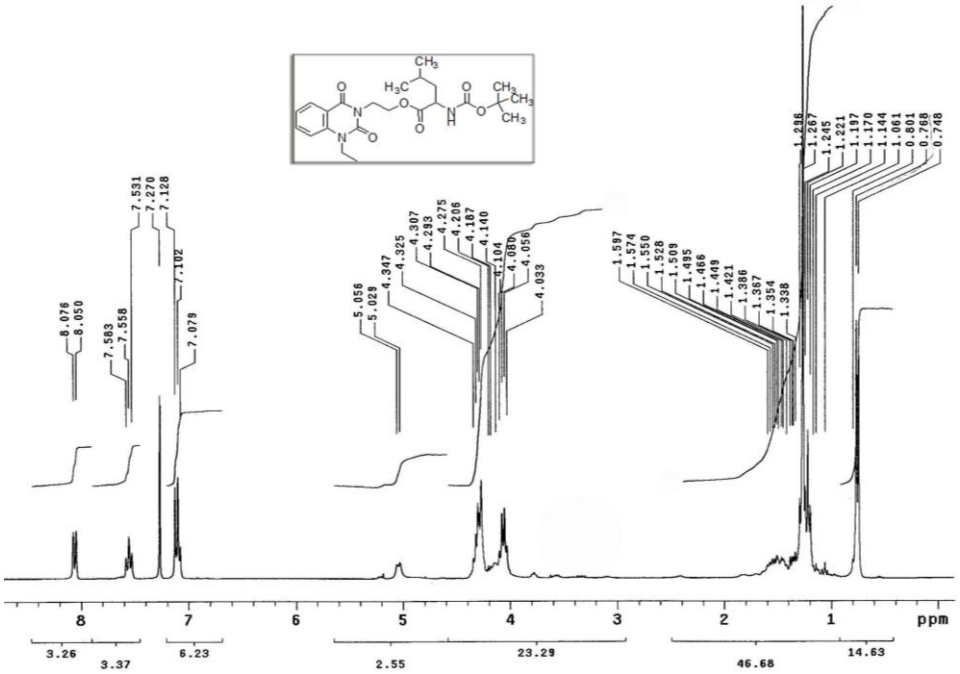

**$^{13}$C NMR (75 MHz, $CDCl_3$) of compound 13b**



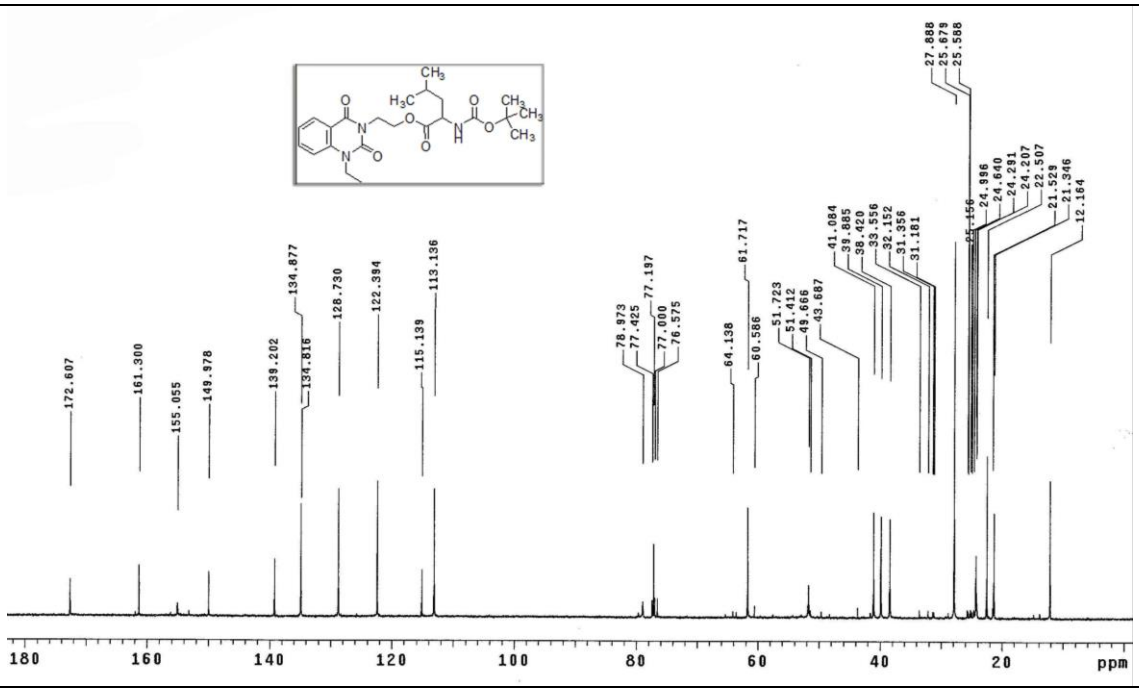

**$^{1}$H NMR (300 MHz, $CDCl_3$) of compound 14a**



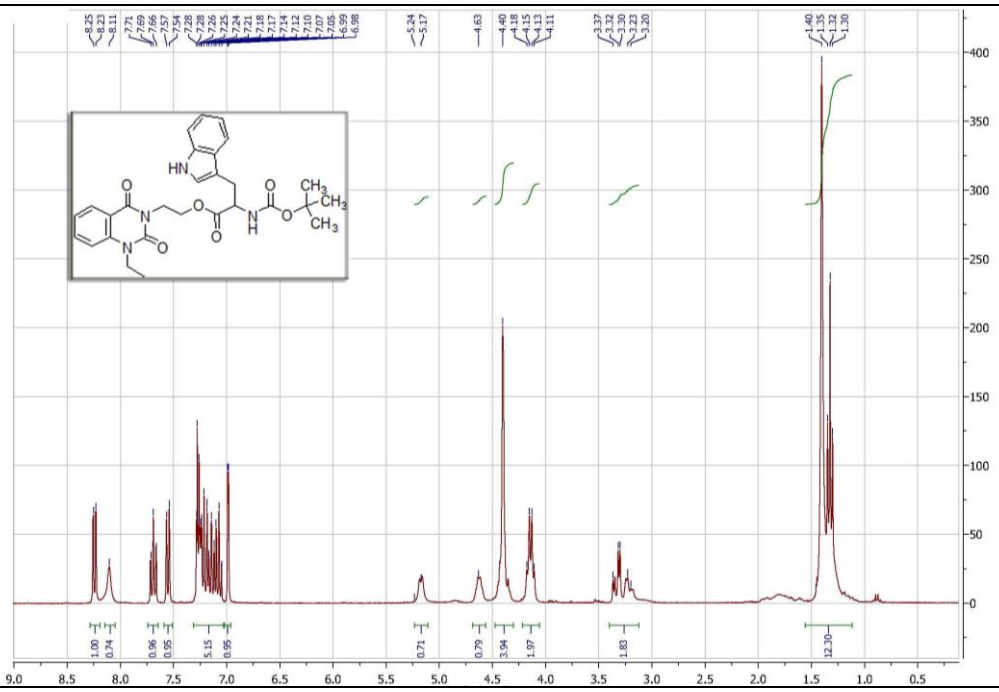

**$^{13}$C NMR (75 MHz, $CDCl_3$) of compound 14a**



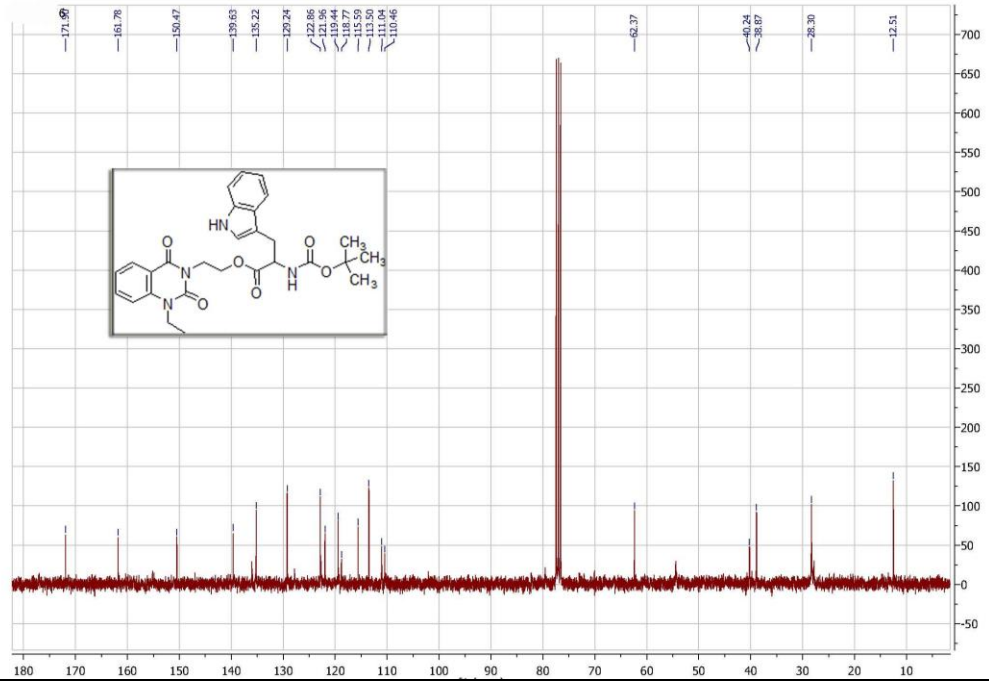

**$^{1}$H NMR (300 MHz, $CDCl_3$) of compound 14b**



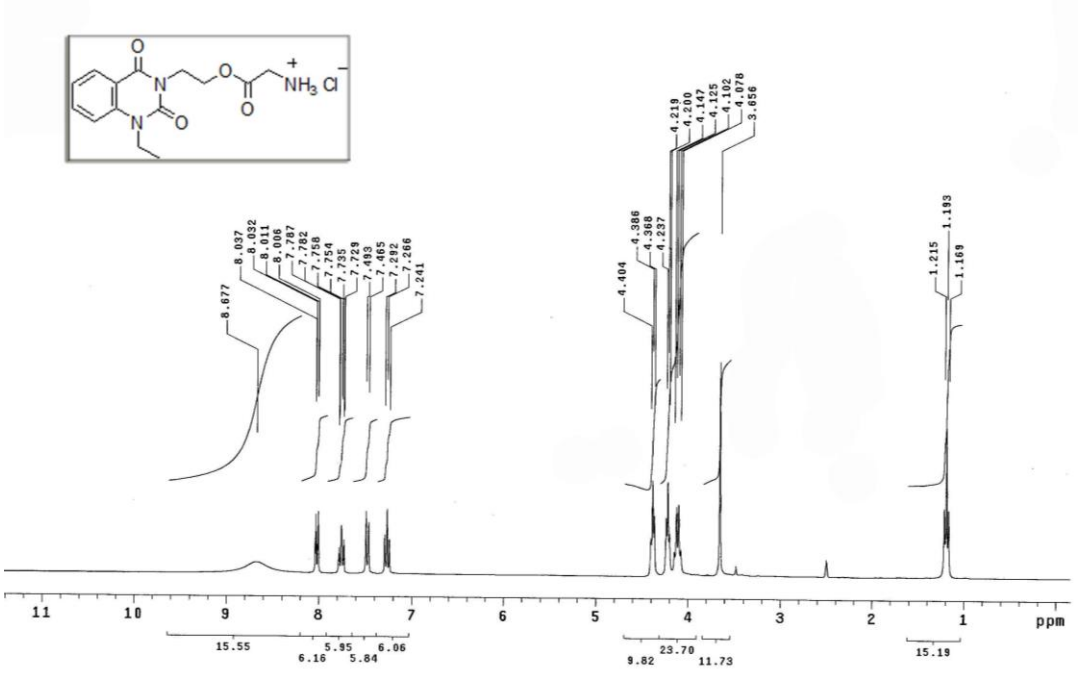

**$^{13}$C NMR (75 MHz, $CDCl_3$) of compound 14b**



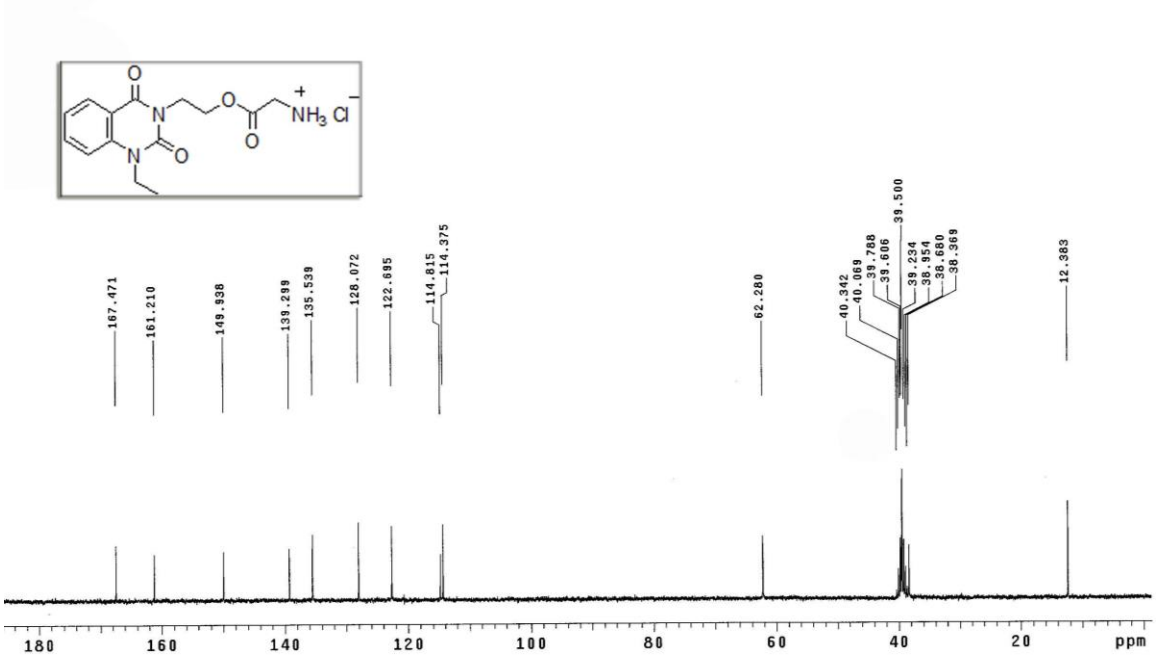
**$^{1}$H NMR (300 MHz, $CDCl_3$) of compound 14c**



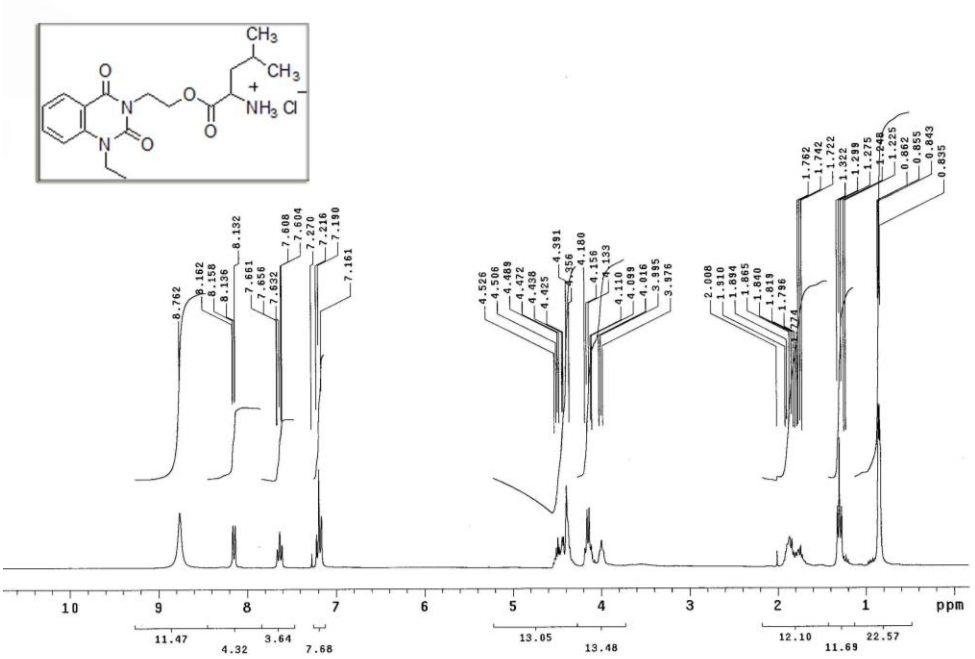
**$^{13}$C NMR (75 MHz, $CDCl_3$) of compound 14c**



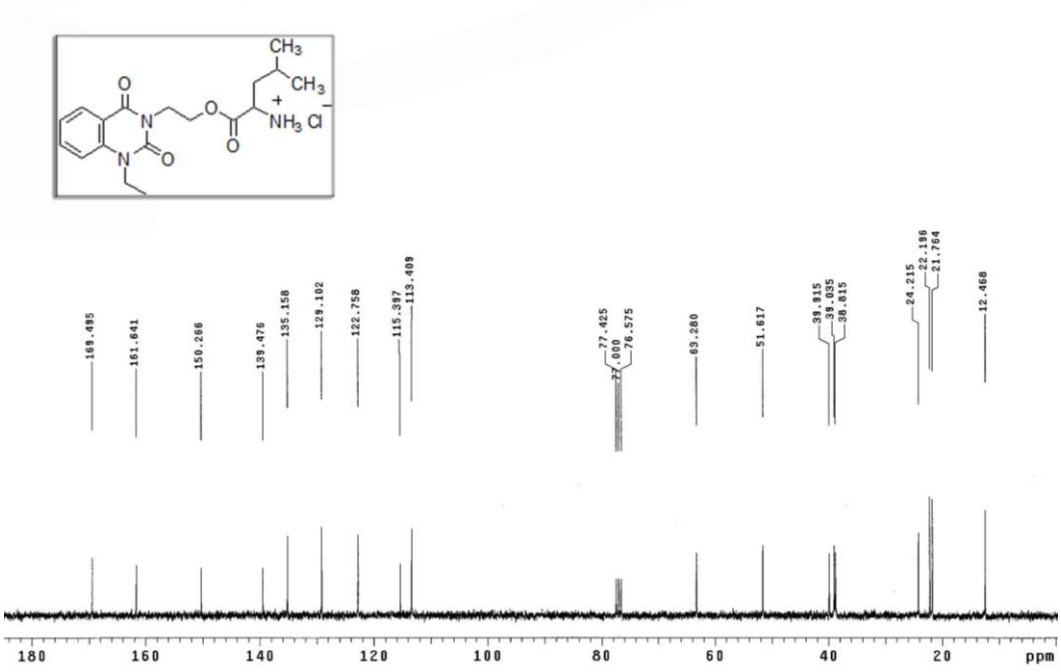

**$^{1}$H NMR (300 MHz, DMSO) of compound 15a**



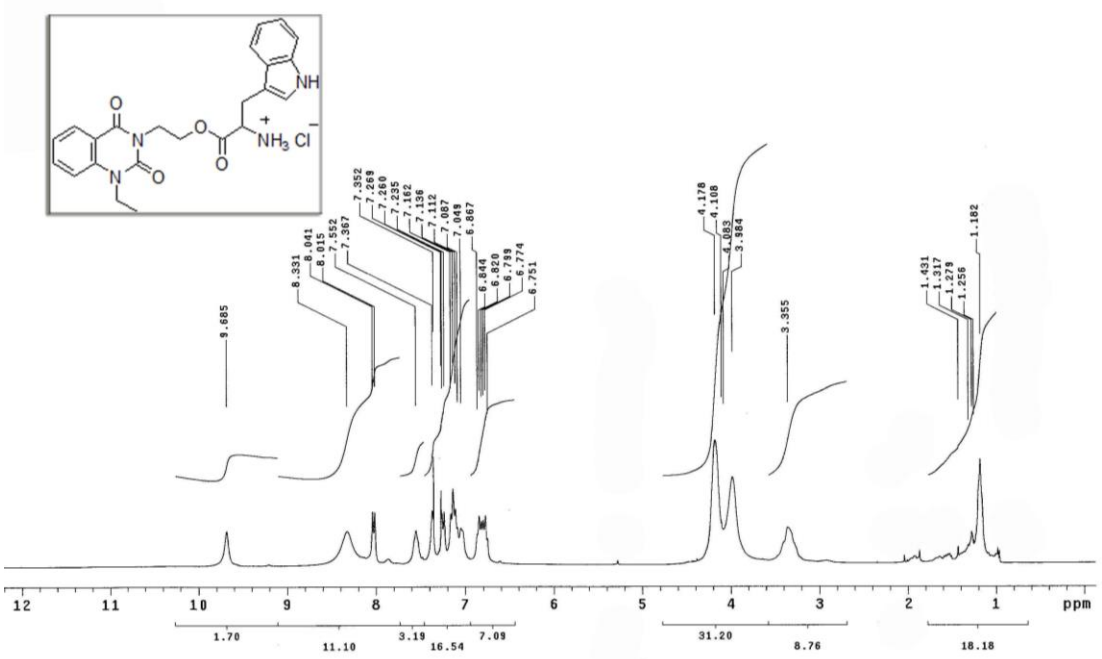

**$^{13}$C NMR (75 MHz, DMSO) of compound 15a**



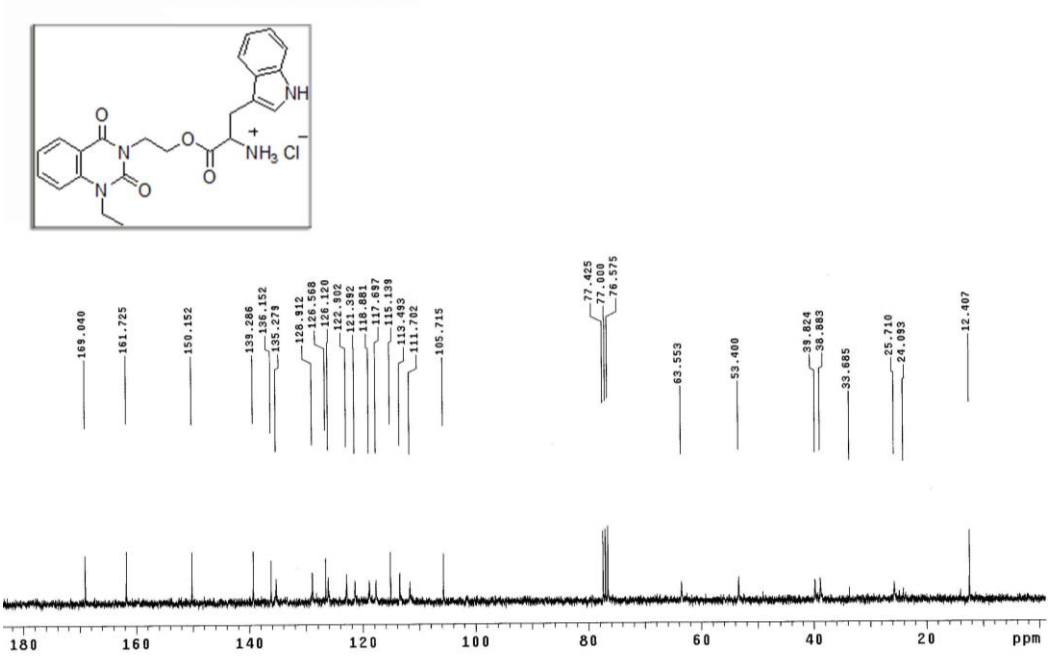

**$^{1}$H NMR (300 MHz, $CDCl_3$) of compound 15b**




**$^{13}$C NMR (75 MHz, $CDCl_3$) compound 15b**




**$^{1}$H NMR (300 MHz, $CDCl_3$) of compound 15c**




**$^{13}$C NMR (75 MHz, $CDCl_3$)of compound 15c**