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Synthesis, Characterization, and Cytotoxicity study of Some Pyridine Chalcone Derivatives

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Abstract

Currently, chalcone derivatives are an interest research for the designing a new compounds as anticancer agents due to the privilate structure of chalcone compounds in the drug discovery system. In this study, we synthesized 5 chalcone derivates which are (CH (A) , CH (B) , CH (C) , CH (E) , and CH (D)) from the reaction of substituted acetophenone with 2- pyridine carboxyaldehyde by using Claisen- Schmidt condensation method and catalyze the reaction by KOH pellets. After purification process, the yield was good to characterize them by using chemical structure analysis (FTIR, C^{13}NMR, Mass spectrum), which approved their structures. Cytotoxicity evaluation was with 100 µM for each concentration compound against Human Breast Cancer MDA-MB231 Cells and we identified two pyridine chalcone derivatives showed a moderate action. The results of toxicity evaluation pave a forward step to develop new anticancer agents as from pyridine chalcones as a lead structure.

Keywords: Chalcone, Chalcone derivatives, Chalcone bioactivity, Claisen- Schmidt condensation reaction, Cytotoxicity, Breast cancer.

1. Introduction

Chalcones are aromatic ketone found in some plants such as (Humulus, Haematoxilon genus, Pulicaria incise, Heteropyxis natalensis, and Glycyrrhiza) which were used as a traditional medicine [1-3], and it's one of the most important classes of flavonoids in the secondary metabolism of plant kingdom [4, 5]. It's also used as a drug system designing to discover new agents in treating diseases [6]. The attracted basic structure of chalcone increased the developing of many compounds as antibacterial [7], antifungal [8], anti-inflammatory [9], antitumor [10]. There are several methods used to synthesis chalcone derivatives such via aldol condensation procedure or microwave irradiation [11-13]. Pyridine nitrogen chalcone derivatives have been investigated in their biological activities [14-15]. In this study, Chalcones derivatives were synthesized via Claisen- Schmidt condensation reaction, which is include condensing aryl ketones with aromatic aldehydes in the presence of (aqueous) alkaline bases(KOH).

Develop new anticancer agents with decreasing the side effects will raise ratios of reducing the risk of cancer in the world. Hence, many reports showed the importance of chalcone derivatives in field of cancer researches and the researchers have identified highly active synthetic chalcones derived from the chalcone scaffold, and these cytotoxic compounds were found by modifying the chalcone lead structure to evaluate their cytotoxic potential in different cancer cell line [16-18]. In addition, may QSARs study help in the understanding and designing of the role of chalcones and their effect on cancer [19,20]. In this study, we prepared 5 pyridine chalcone derivatives, characterize by FTIR, Mass spetra, and C^{13}NMR and evaluated their cytotoxcitiy against Human Breast Cancer MDA-MB231 Cells.

1- (CH (A) [(1-(2,4-dihydroxyphenyl)-3-(pyridin-2-yl)prop-2-en-1-one].

2- CH(B)[1-(2,4-dichlorophenyl)-3-(pyridin-2-yl)prop-2-en-1-one].

3- CH (C) [1,3-di(pyridin-2-yl) prop-2-en-1-one]

4- CH (D)[3-(2,4-dihydroxyphenyl)-1-(pyridin-2-yl)prop-2-en-1-one]

5- CH (E)[3-(2,4-dichlorophenyl)-1-(pyridin-2-yl)prop-2-en-1-one].

To characterize the synthesized compounds, we used three structure analysis methods FTIR cm-1 spectrum (neat liquid, KBr disk, Mass spectrum, and C^{13} NMR spectrum.

2. Materials and methods:

2.1. Materials:

All chemical reagents were purchased from commercial supplier (Acros Organic, Sigma –Aldrich and Schrlau) companies. Melting point have been measured by capillary melting point apparatus and are uncorrected. FTIR spectrum was used to detect the occurrence of the reaction (FTIR spectrometer 84005-shimadzu), for the determination of molecular weight of synthesized chalcones. Mass spectrum was used (Mass Spectrum/ EI detector /70 v) and for the characterization of compounds C^{13}NMR (500 MHz) with DMSO as solvent was used .

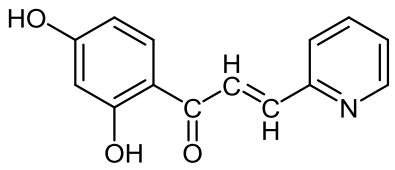
2.2 General synthesis of pyridine chalcone derivatives:

In (100ml) round shaped flask contains (10 ml) methanol (0.01mole) from ketone was added the mixture stirring till it completely dissolved. Then added (0.3 gm.) (KOH) with stirring for (10 minutes)in ice bath . (0.01 mole) of appropriate aldehyde added with stirring in ice bath for (30 minutes) after removing ice bath solution must continue in stirring at room temperature for (12) hours. The mixture cooled and acidifies by few drops of hydrochloric acid. The product

filtered and washed by water and re-crystalized by methanol.

2.2.1 CH (A) [(1-(2,4-dihydroxyphenyl)-3-(pyridin-2-yl)prop-2-en-1-one]:

(1.52 gm.).of (2,4di hydroxyl acetophenone)reacted with (0.95 ml.) (1.07gm.) from (2-pyridine carboaxyaldehyde) and the yield would be appear as 50% (pale yellow crystals), melting point (160-162°C). CH(A): $C_{14}H_{11}N(O)_{33}$. [241mg./mole]



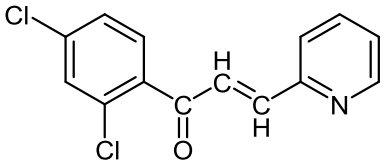
(1-(2,4-dihydroxyphenyl)-3-(pyridin-2-yl)prop-2-en-1-one

2.2.2 : CH (A) characterization:

FTIR technique was used to detect the disappearance of the vibration band for carbonyl group that belonged to aldehyde and ketone and appearance of the vibration band for carbonyl group for chalcones and aliphatic carbon-carbon double bond. For CH (A), (C=O) stretching band for chalcone (1627.92 cm-1). Aliphatic (C=C), stretching band (1602.85 cm-1). Mass spectrum molecular Ion value(m/z). 241.2. Base Peak value (m/z). 137.1. C13 NMR spectrum, shifting values were measured by (ppm). (114.3887, 141.4384, 128.7058, 134.0774, 124.3340, 132.6838, 115.6509, 194.3814, 129.5166, 108.5545, 164.6870, 105.7740, 165.3338, 113.3034) . as showing in (Figure 1- a,b, and c)

2.2.3 CH (B): [1-(2,4-dichlorophenyl)-3-(pyridin-2-yl)prop-2-en-1-one]:

(1.89gm.) of (2,4-di chloro acetophenone) reacted with (0.95 ml.) (1.07gm.) from (2 pyridine carboaxyaldehyde).The yield will be 65 %(yellow crystals). Melting point value (197-197.4 °C). CH (B): $C_{14}H_9NO(Cl)_2$. [278 gm./mole].



1-(2,4-dichlorophenyl)-3-(pyridin-2-yl)prop-2-en-1-one

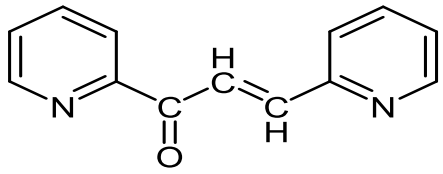
2.2.4 CH (B) Characterization :

FTIR spectrum, C=O (1685.79 cm-1), C=C(1585.49 cm-1). Mass spectrum, Molecular Ion value (m/z). 277.1. Base Peak value (m/z). 214.1. C^{13}NMR spectrum: (ppm) [123.1675, 149.0136, 130.9107, 141.2726, 129.5867, 135.9228, 126.3027, 198.4456, 131.6932,126.5011,130.1965,129.2258,136. 6569, 135.3186]. as showing in (Figure 2- a,b, and c)

2.2.5 CH C: [1, 3-di (pyridin-2-yl)prop-2-en-1-one]:

(1.12ml) (1.21 gm.) of (2- acetyl pyridine) reacted with (0.95 ml.) (1.07gm.) from (2 pyridine carboaxyaldehyde). The yield will be 60% (Brown Crystals) .melting point (75-77°C).

CH (C): $C_{13}H_{10}O(N)_2$ [210 gm./mole].



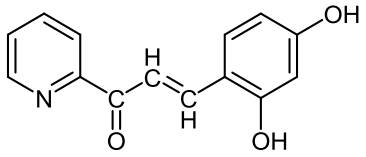
1,3-di(pyridin-2-yl)prop-2-en-1-one

2.2.6: CH (C) Charectarization:

FTIR spectrum, C=O (1691.57 cm-1), C=C(1612.49cm-1). Mass spectrum: molecular Ion value(m/z). 210.2, Base Peak value (m/z). 181.1. C^{13}NMR spectrum: (ppm) [148.1358, 124.2779, 136.2502, 126.1384, 161.3565, 142.6404, 127.6416, 194.5137, 150.1544, 123.2040, 137.2865, 136.2227, 149.2509]. as showing in (Figure 3- a,b, and c)

2.2.7 CH D: [3-(2,4-dihydroxyphenyl)-1-(pyridin-2-yl)prop-2-en-1-one]:

(1.12ml) (1.21 gm.) of (2- acetyl pyridine) reacted with(1.38 gm) from (2,4-dihydroxyl-benzaldehyde). The yield will be 50% (pale yellow crystals) .melting point (155-157°C). CH (D): $C_{14}H_{11}N(O)_3$ [241gm/mole].



3-(2,4-dihydroxyphenyl)-1-(pyridin-2-yl)prop-2-en-1-one

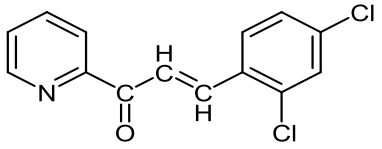
2.2.8 CH (D) characterization:

FTIR spectrum: C=O (1633.71 cm-1), C=C(1616.35cm-1). Mass spectrum: molecular Ion value(m/z). 241.2, Base Peak value (m/z). 137.2. C^{13}NMR spectrum: (ppm) [155.6283, 130.5621 , 137.1249, 122.3286, 157.4328, 191.4645, 125.4276, 143.4227, 117.4421, 133.7719, 110.5242, 160.6865, 102.5578, 162.6081]. as showing in (Figure 4- a,b, and c)

2.2.9 CH E: [3-(2,4-dichlorophenyl)-1-(pyridin-2-yl)prop-2-en-1-one]:

(1.12ml) (1.21 gm.) of (2- acetyl pyridine) reacted with(1.75gm.) from (2,4-dichloro benzaldehyde)..The yield will be 60 %(yellow crystals) and melting point (104-106).

CH (E): $C_{14}H_9ON(Cl)_2$ [278 gm/mole].



3-(2,4-dichlorophenyl)-1-(pyridin-2-yl)prop-2-en-1-one

2.2.10 CH (E) characterization:

FTIR spectrum: C=O (1674.21cm-1), C=C(1610.56cm-1). Mass spectrum: molecular Ion value(m/z). 277.1, Base Peak value (m/z). 214.11. C^{13}NMR spectrum: (ppm) [149.1669, 129.5511, 137.2954, 122.6120, 152.9773, 188.4086, 124.1852, 137.7719, 135.1393, 131.2723, 128.1036, 127.8158, 129.5733, 135.6976], as showing in (Figure 5- a,b, and c)

3. Cell culture:

Human breast cancer MDA-MB231 cells were maintained in 10cm plate contained DMEM supplemented with 10% FBS, 100 units/ml penicillin and 100µg/ml/ml streptomycin at 37°C a humidified atmosphere with 5% CO2.

4. Cytotoxicity:

MDA-MB231 cells were growth in 96 well/ plate for 24 h and then treated with 100µM of each pyridine chalcone compound (CH (A) , CH (B) , CH (C) , CH (E) , CH (D)) for 24h. Cell viability was measure at 570 nm in a micro-plate reader (Thermo Scientific), and the experiment repeated 3 times.

5. Results and discussion:

The structure analysis values of 5 pyridine chalcone derivatives by FTIR, C13NMR, and Mass spectrum showed main bonds approved their right structures, for CH (A), FTIR showed (C=O) (1627.92 cm-1). (C=C), (1602.85 cm-1). Mass spectrum molecular Ion value(m/z). 241.2. Base Peak value (m/z). 137.1, and for CH (B) FTIR , C=O (1685.79 cm-1), C=C(1585.49 cm-1). Mass spectrum, Molecular Ion value (m/z). 277.1. Base Peak value (m/z). 214.1. For CH (C) FTIR , C=O (1691.57 cm-1), C=C(1612.49cm-1). Mass spectrum: molecular Ion value(m/z). 210.2, Base Peak value (m/z). 181.1. For CH (D) FTIR spectrum, C=O (1633.71 cm-1), C=C(1616.35cm-1). Mass spectrum: molecular Ion value(m/z). 241.2, Base Peak value (m/z). 137.2 For CH (E) FTIR spectrum: C=O (1674.21cm-1), C=C (1610.56cm-1). Mass spectrum: molecular Ion value(m/z). 277.1, Base

Peak value (m/z). 214.11. In table .1 showing the properties of synthesized pyridine chalcone compounds.

Compound Symbol	Color	Yield %	Melting point °C	M.W.
CH A	Pale yellow	50 %	160-162	241
CH B	Yellow	65%	197-197.4	278
CH C	Brown	60%	75-77	210
CH D	Pale yellow	50%	155-157	241
CH E	Yellow	60%	104-106	278

Table 1. Properties of synthesized pyridine chalcone derivatives.

The reports of anticancer activity for pyridine chalcone compounds showed the ability of pyridine chalcone derivatives in developing anticancer agents, and found it induced apoptosis in cancer cells MCF-7, Hep3B and MDA-MB-435 cells by activating procaspase-8, -9 and -3 [22, 23]. Therefore, the cell viability (cytotoxicity assay) is used for the new synthesized pryridine chalcone derivatives screening which have dihyroxy and dichloro groups to observe their ability in destroying cancer living cells and reduce living cells growth for human breast MDA-MB231 cancer cells. After 24h of treatment 100 µM for each compound, we got the results as shown in table 2.

Sample	Viability% 1	Viability % 2	Viability% 3	Average %
Control	**100**	**100**	**100**	**100**
CH (A)	58.304498	68.571428	66.3904236	64.42212
CH (B)	64.186851	67.863720	65.3571429	65.80257
CH (C)	72.375696	76.785713	61.5916955	70.25103
CH (D)	79.742171	75.714287	76.47058824	77.30902
CH €	79.742171	71.607149	80.44982699	77.26638

Table 2. Show the values of cell viability after 24h of treatment with 100µM for each pyridine chalcone derivatives. Each assay repeat 3 times.

The results of cytotoxicity showed two moderate action of pyridine chalcone derivative which are (CH (A) and CH (B)), may due to the hydroxyl and chloro groups and also due to the small molecule structure. The compounds CH (C), CH (D), and CH(E) were no effective on breast cancer cell. Therefore, develop the structures of (CH (A) and CH (B)) in future increase to discover new anticancer agents. In conclusion, chalcones have flexible structure which give more new targets and developing of pyridine chalcone derivatives may suggested as anticancer lead compounds.

Acknowledgment

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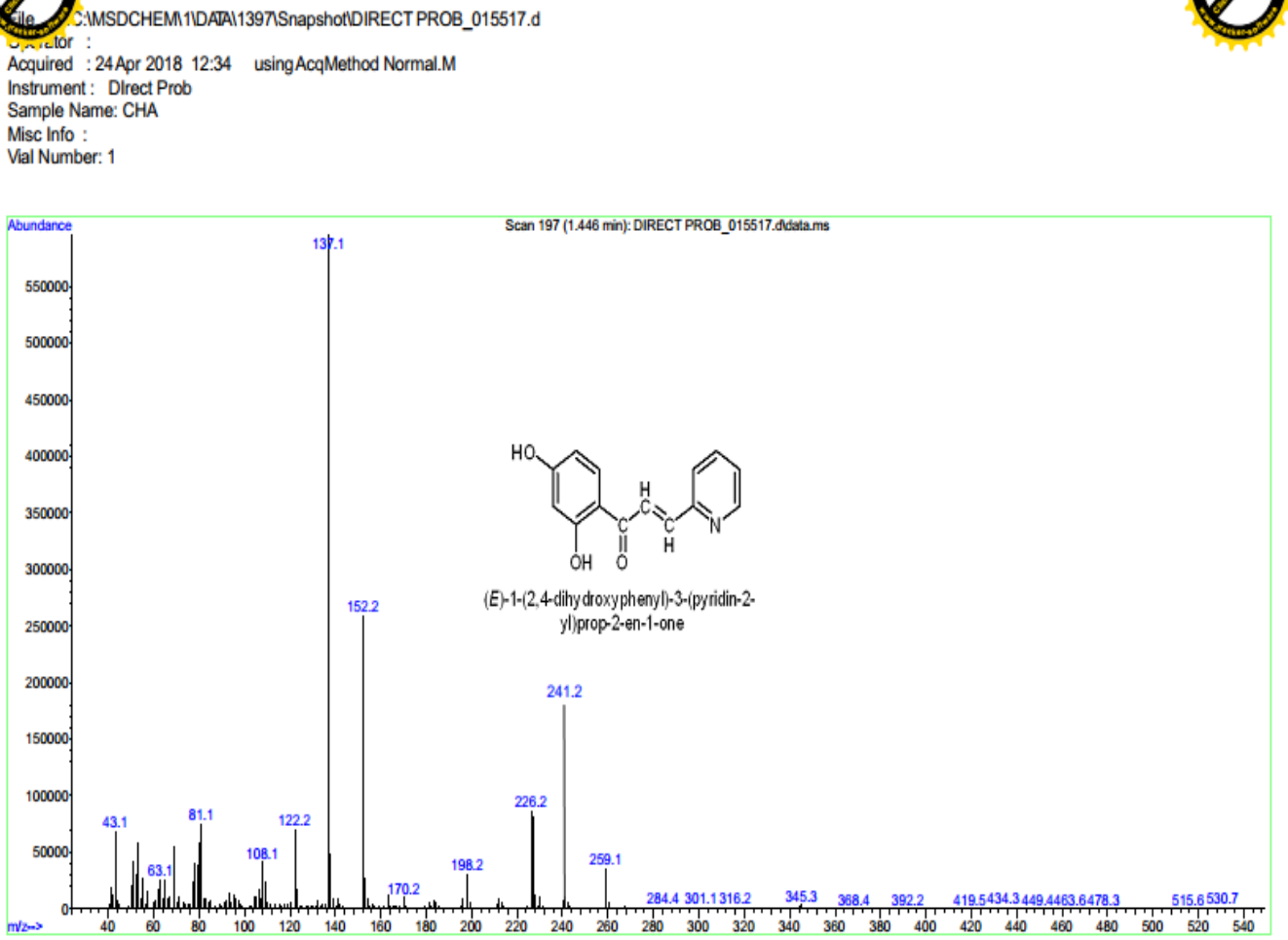
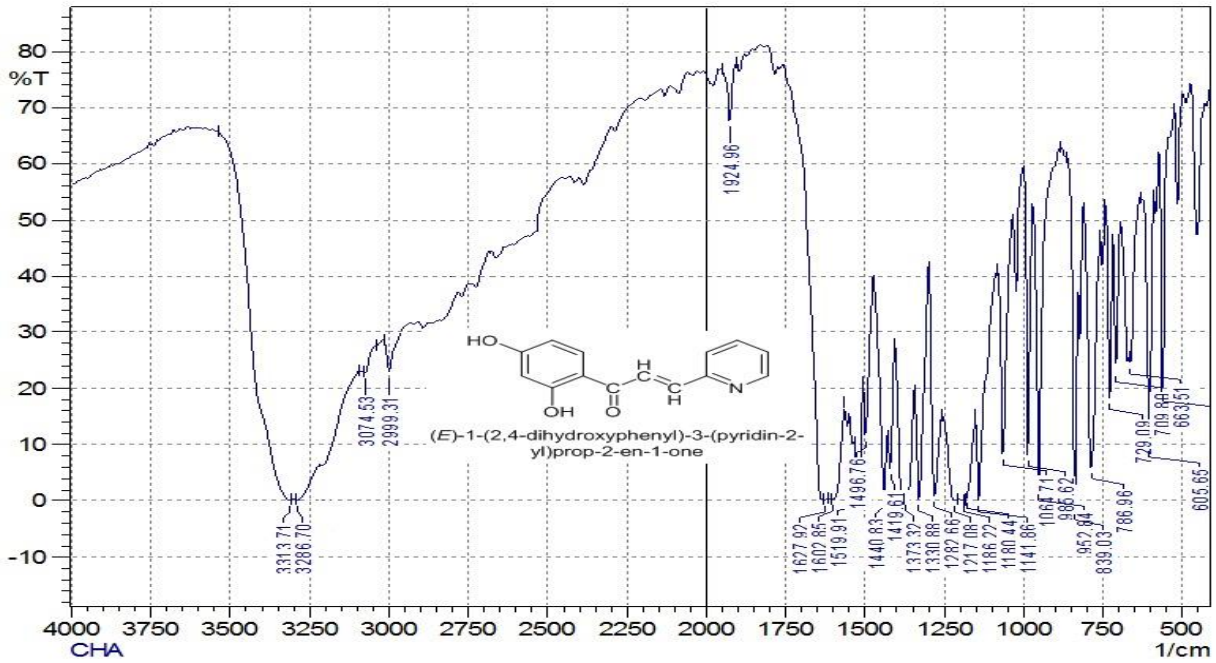
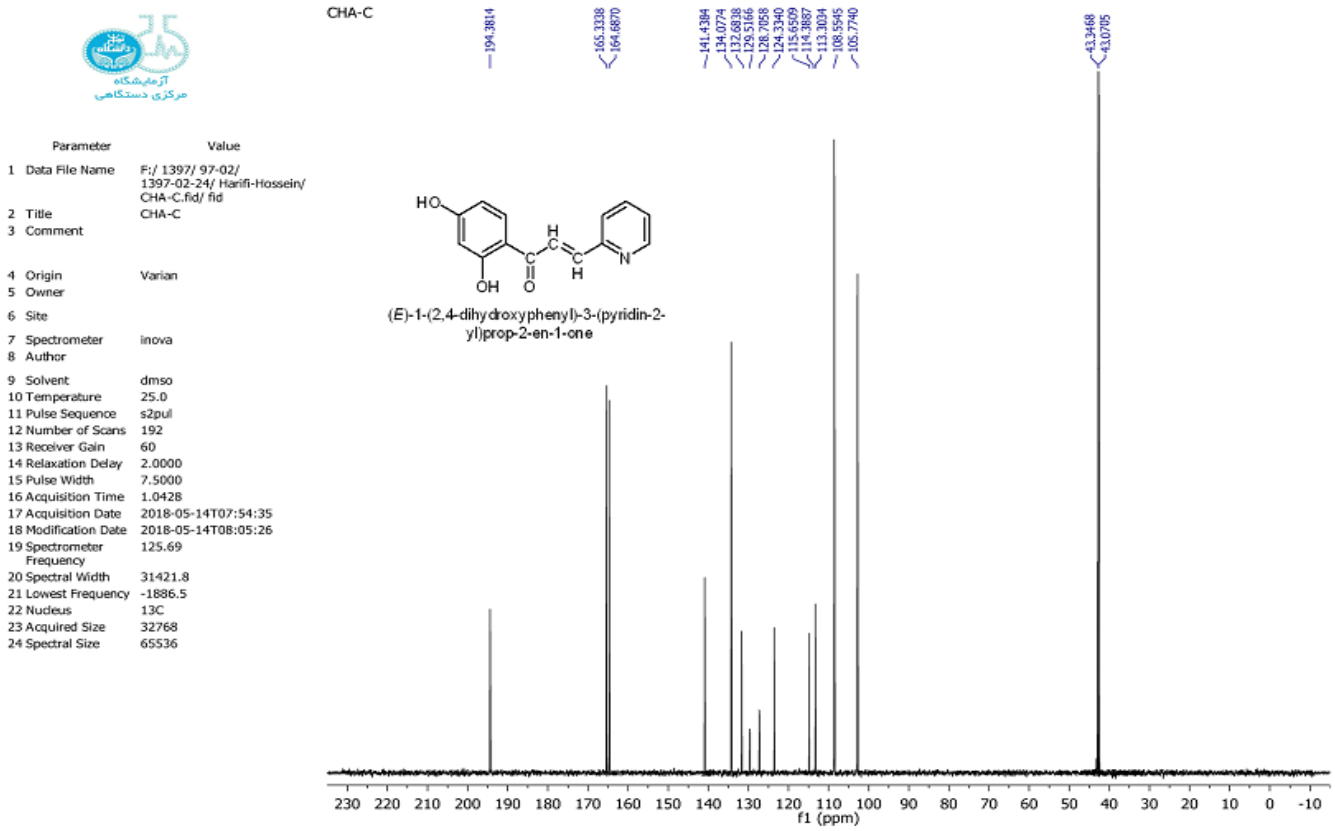


(Figure 1-a) CH (A) Mass spectrum analysis



(Figure 1-b) CH (A) FTIR analysis



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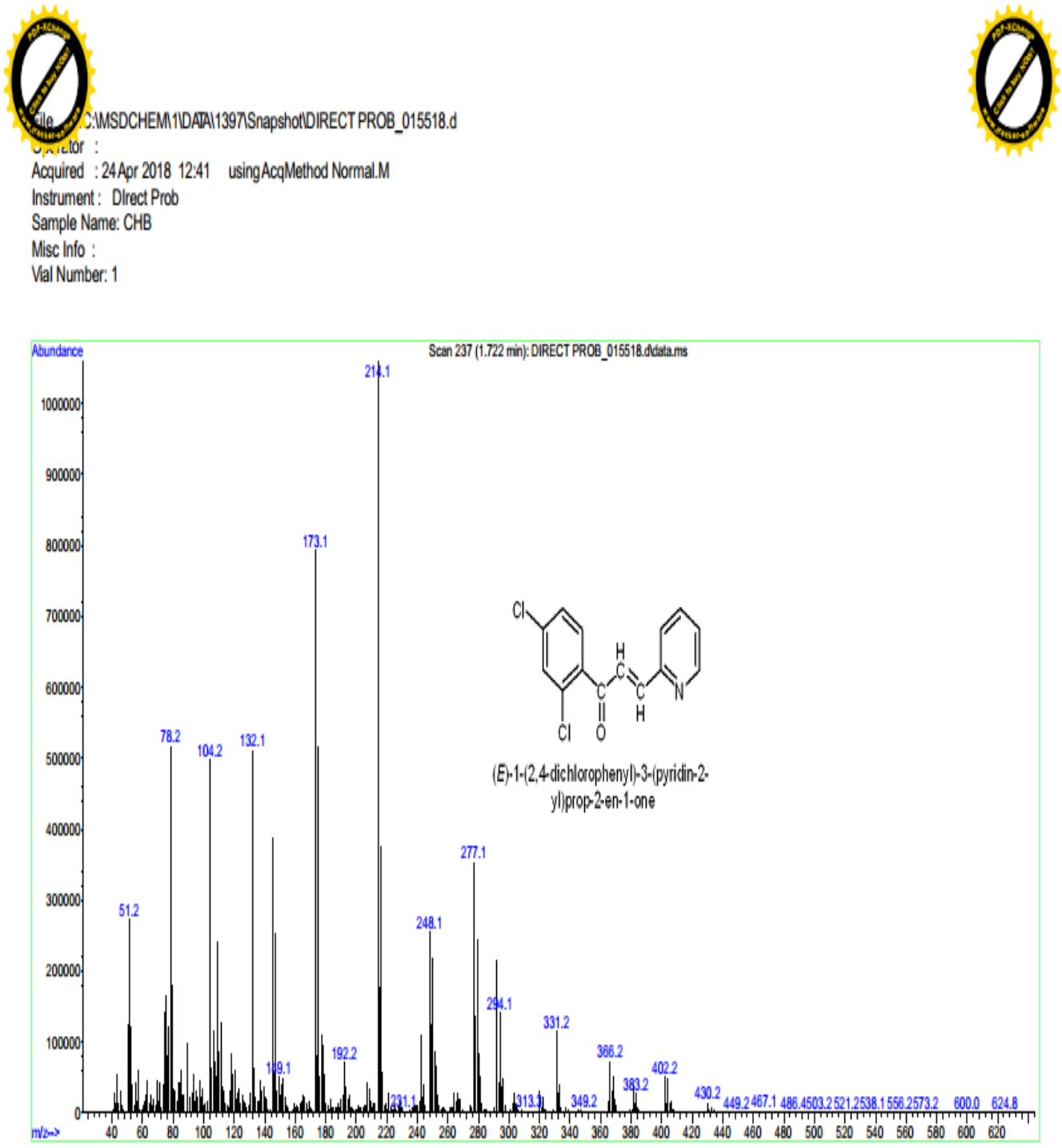
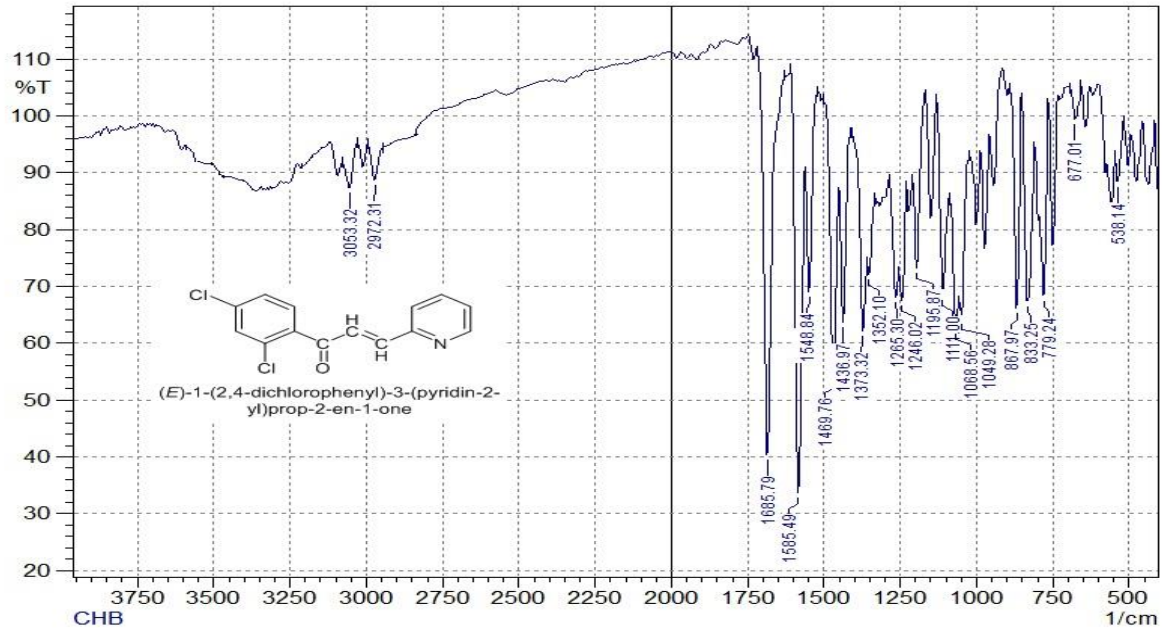
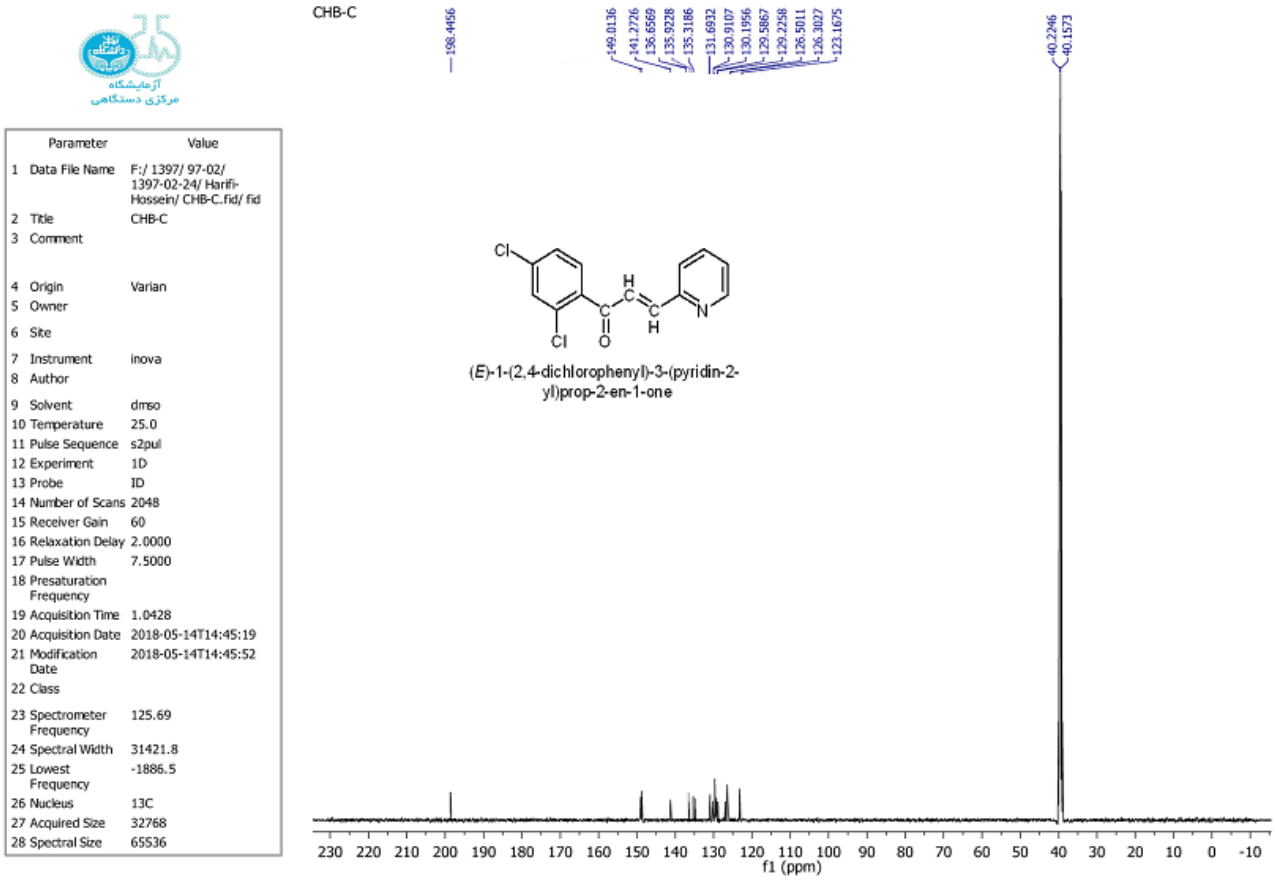
(Figure 1- c) CH (A) C^{13}NMR analysis



(Figure 2- a) CH (B) Mass spectrum analysis



(Figure 2- b) CH (B) FTIR analysis



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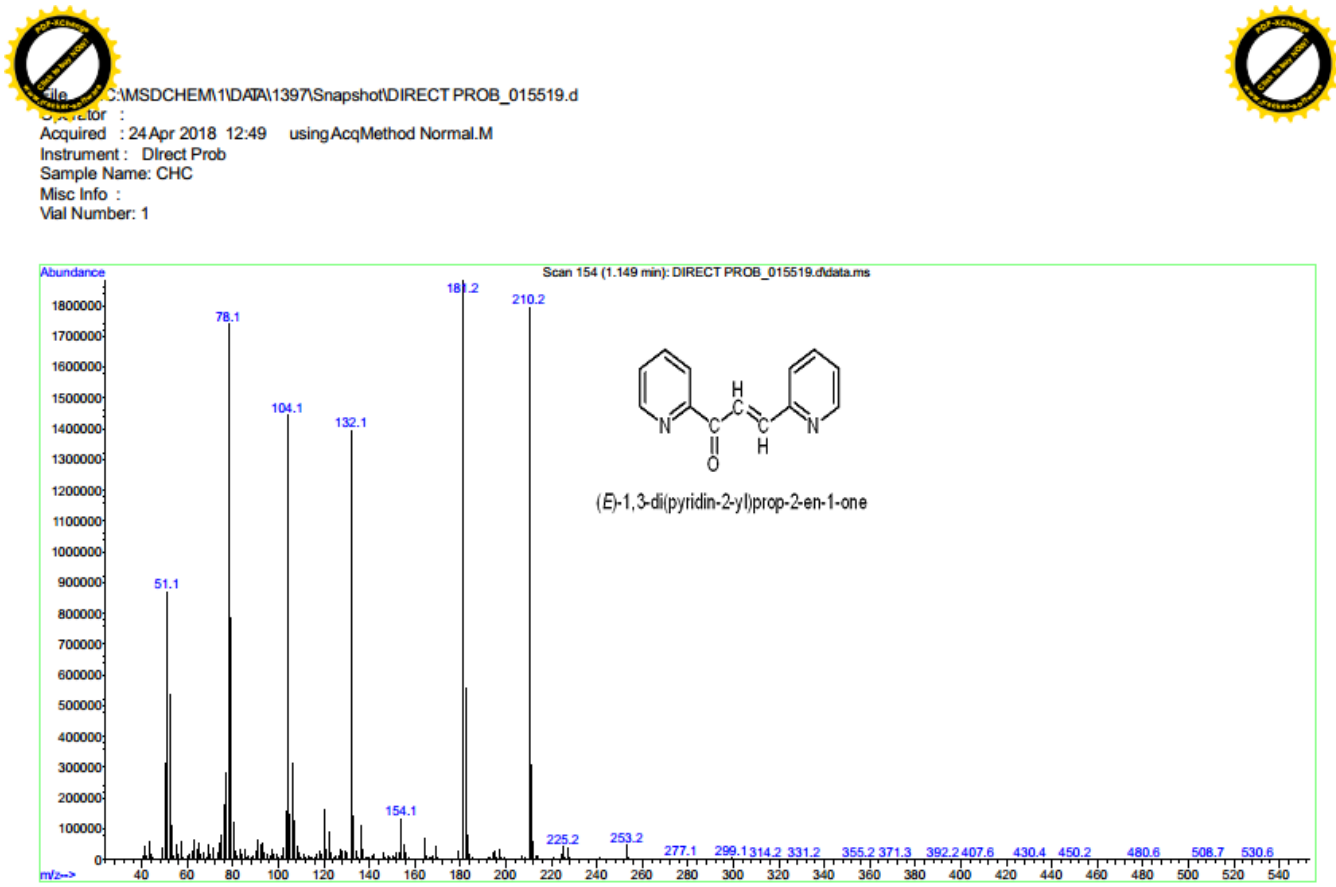
28	Spectral Size	65536

(Figure 2- c) CH (B) C^{13}NMR analysis



(Figure 3- a) CH (C) Mass spectrum analysis

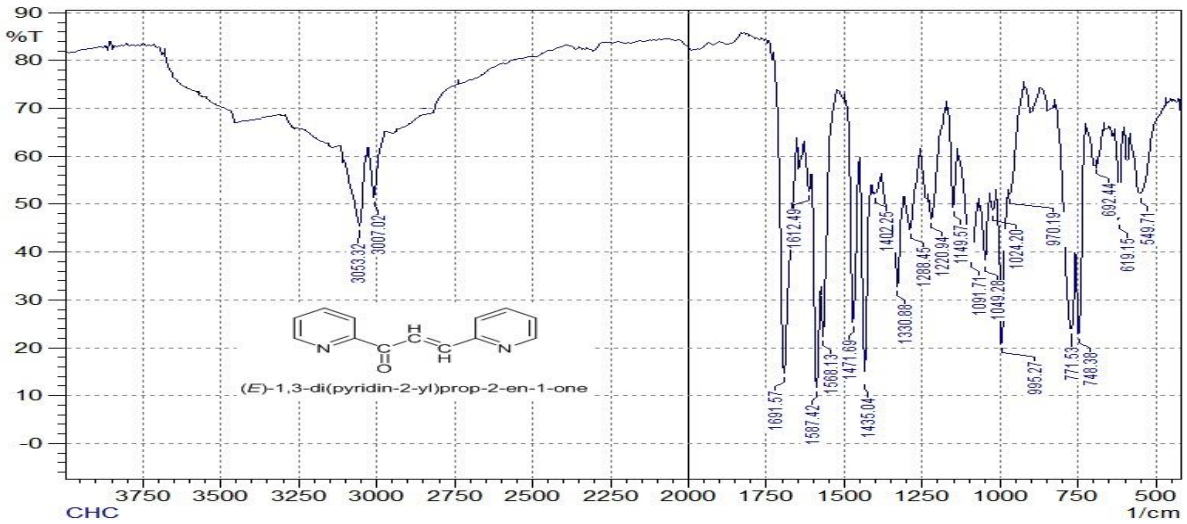
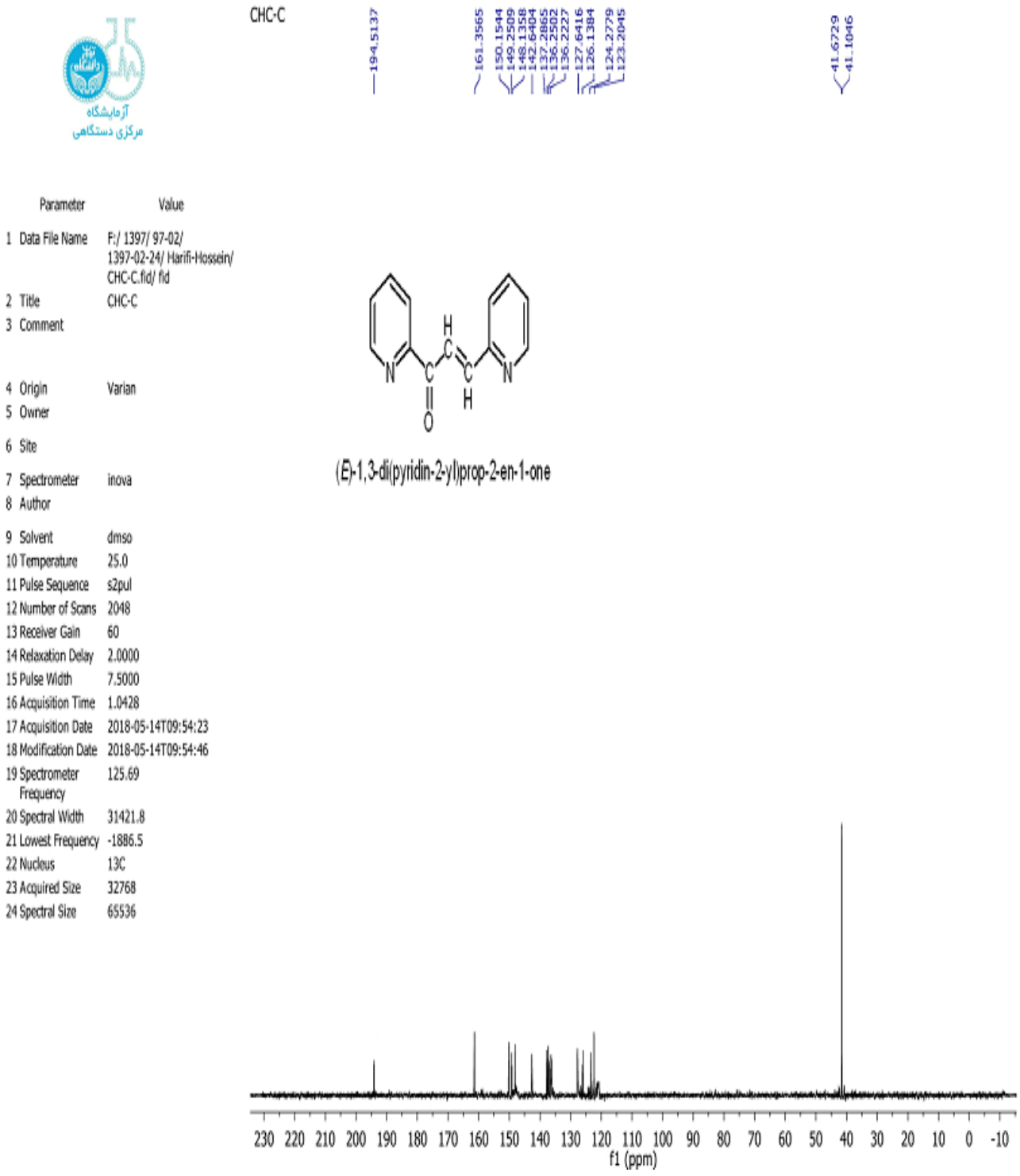
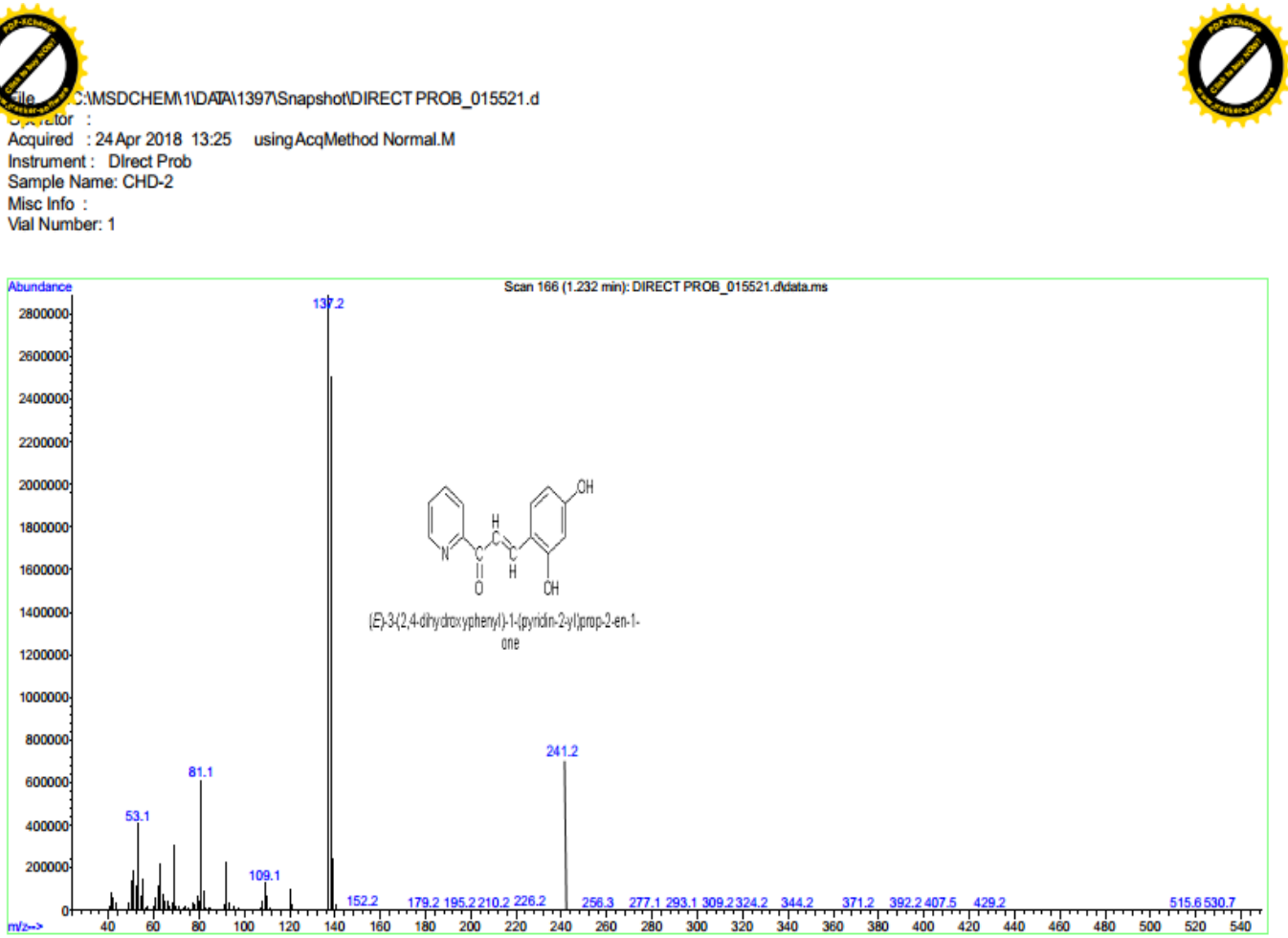


Figure (2- b) CH (C) FTIR analysis



(Figure 3- c) CH (C) C^{13}NMR analysis



(Figure 3- a) CH (D) Mass spectrum

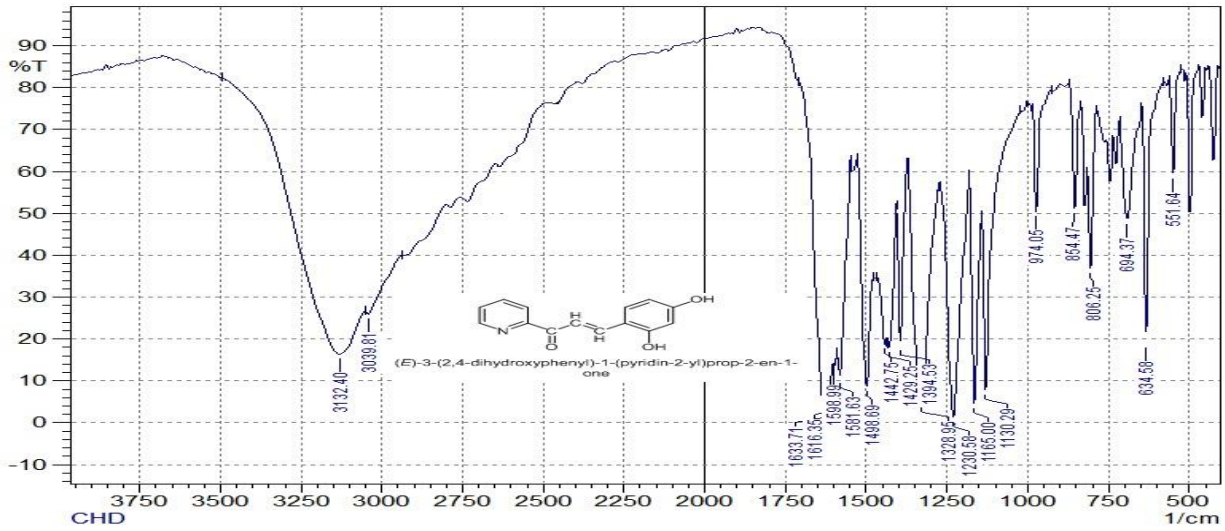


Figure (4-b) CH (D) FTIR analysis

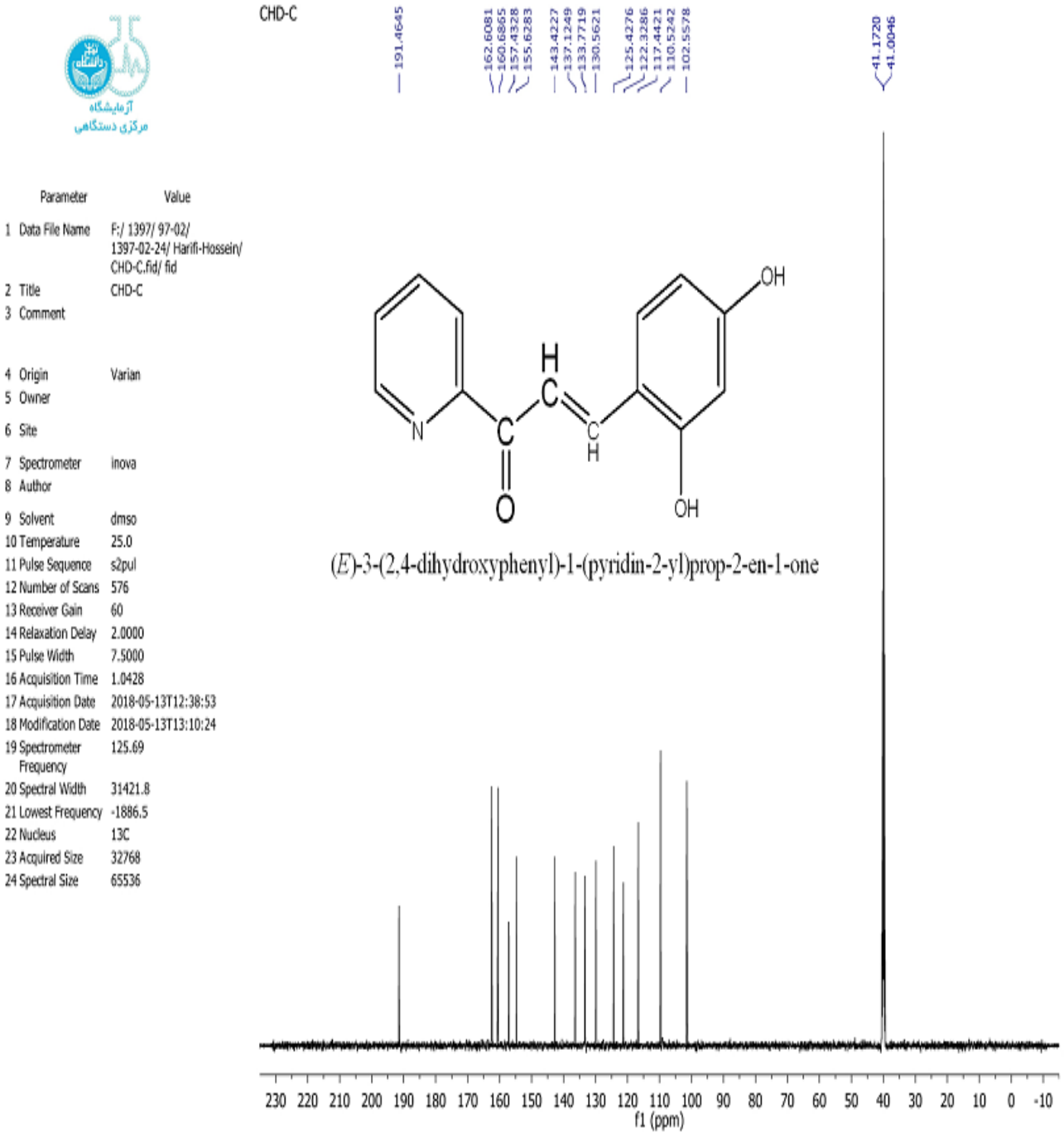


Figure (4-c) CH (D) C^{13}NMR analysis

File :C:\MSDCHEM\1\DATA\1397\Snapshot\DIRECT PROB_015522.d
Operator :
Acquired : 24 Apr 2018 13:38 using AcqMethod Normal.M
Instrument : Direct Prob
Sample Name: CHE
Misc Info :
Vial Number: 1

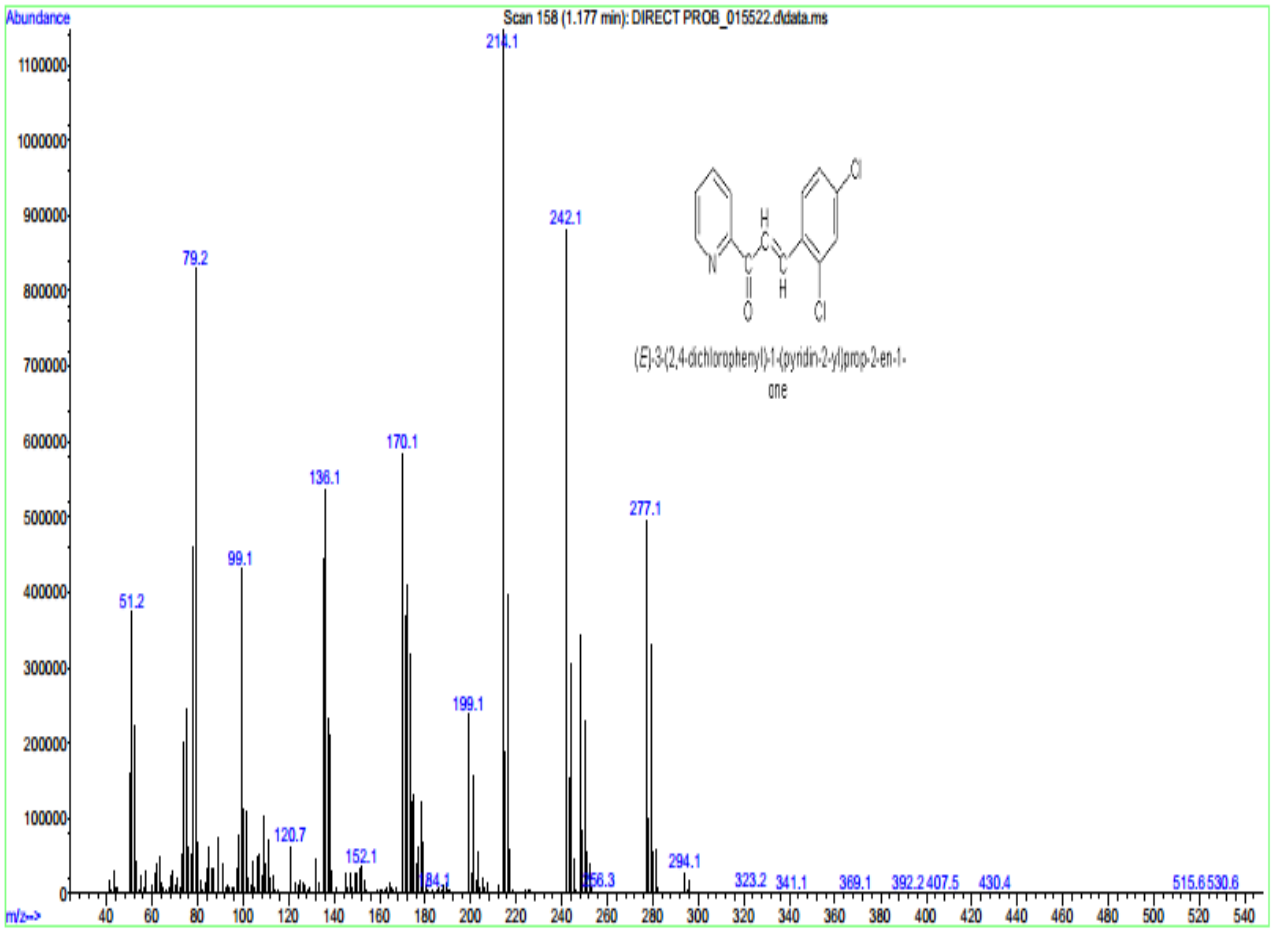


Figure (5- a) CH (E) Mass spectrum analysis

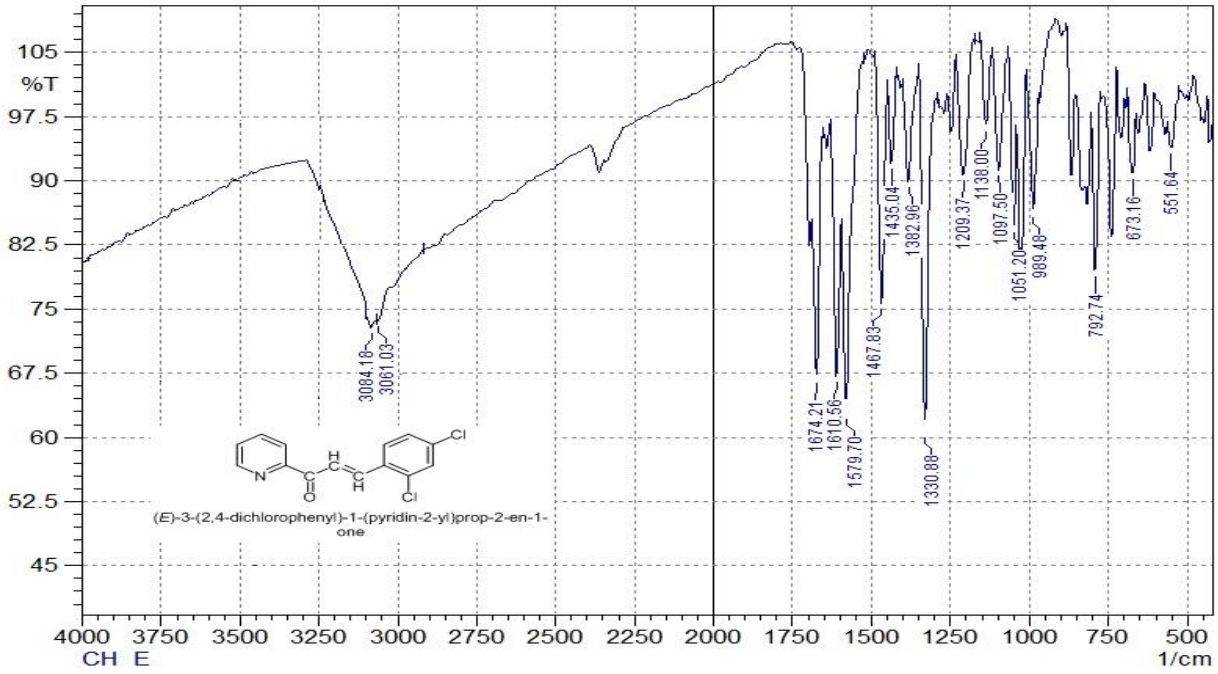


Figure (5- b) CH (E) FTIR analysis

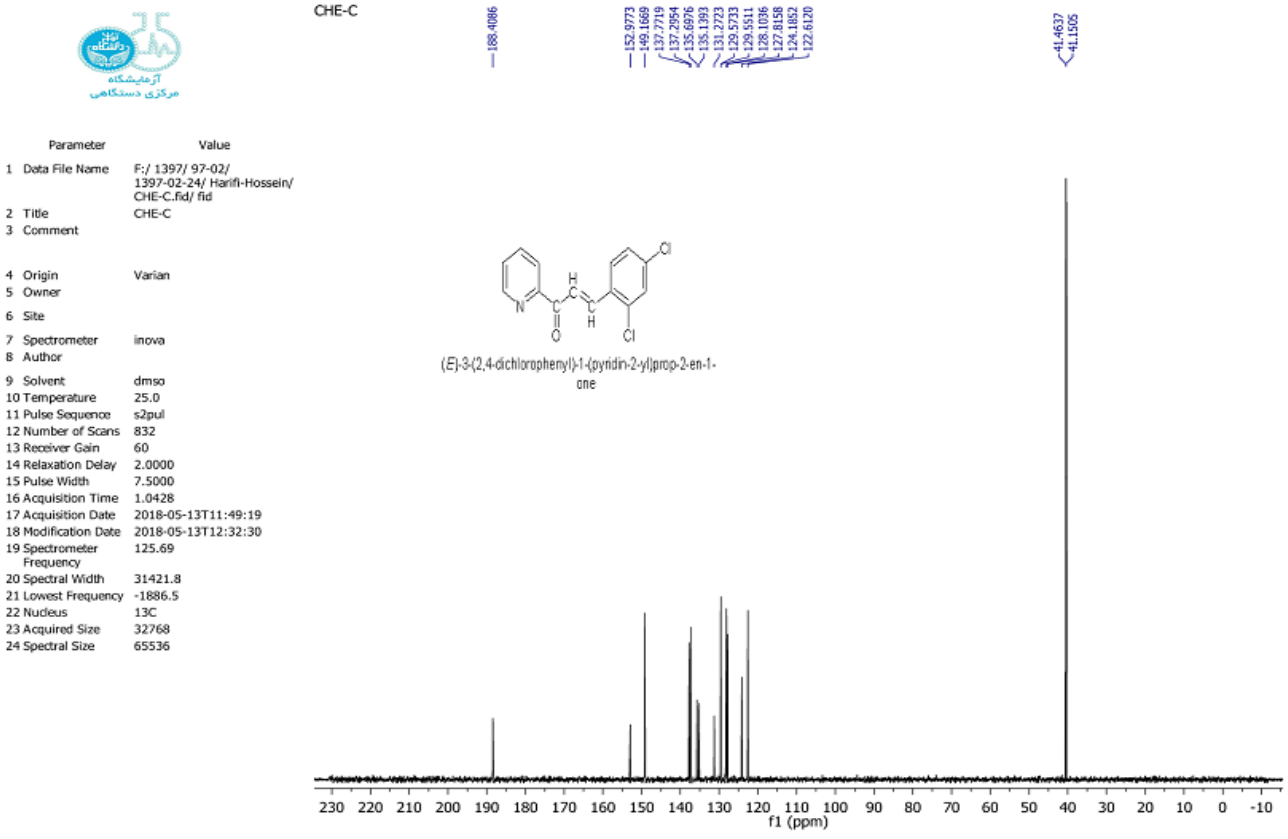


Figure (5- c) CH (E) C^{13}NMR analysis

تحضير وتشخيص ودراسة السمية لبعض مشتقات الجالكون البريدينية

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قسم الكيمياء – كلية التربية للعلوم الصرفة – جامعة البصرة – البصرة – العراق

الخلاصة

في هذه الدراسة, تم تحضير خمسة مشتقات جالكونية جديدة لتقييم فعاليتها السرطانية ضد سرطان الثدي, اثنان منهم حضرت عن طريق تفاعل ثنائي المعوض للاسيتوفينون مع 2- بيريدين كاربوكسالديهايد, وواحد حضر من تفاعل 2-اسيتايل بيريدين مع 2- بيريدين كاربوكسالديهايد والاثنين الاخيران حضرا من تفاعل ثنائي المعوض للاسيتوفينون مع 2-اسيتايل بيريدين بواسطة تفاعل تكاثف كلايزن – شميدت وبوجود حبات من هيدروكسيد البوتاسيوم كعامل مساعد. كانت الحصيلة جيدة لتشخيص المركبات المحضرة وتقييم فعاليتها.

لتحديد تراكيب المركبات المحضرة. (FTIR , GC Mass, ^{13}C NMR) استخدم طرق التحليل

MDA-MB231 قيمت السمية باستخدام تركيز 100 مايكرومل لكل مركب ضد خلايا الثدي السرطانية

حيث لوحظ وجود مركبان يمتلكان تأثير معتدل على الخلايا السرطانية. ان نتائج تقييم السمية تشير الى امكانية تطوير مركبات مضادة للسرطان جديدة من مشتقات الجالكونات البريدينية مستقبلا".

الكلمات المفتاحية: الجالكون , مشتقات الجالكونات , الفعالية البايولوجية للجالكونات , تفاعل تكاثف كلايزن-شميدت , السمية , سرطان الثدي.