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Research Article

Synthesis of 2-Aryl-2-Oxazolines from β-hydroxy Amides using PPh_3/Tf_2O System

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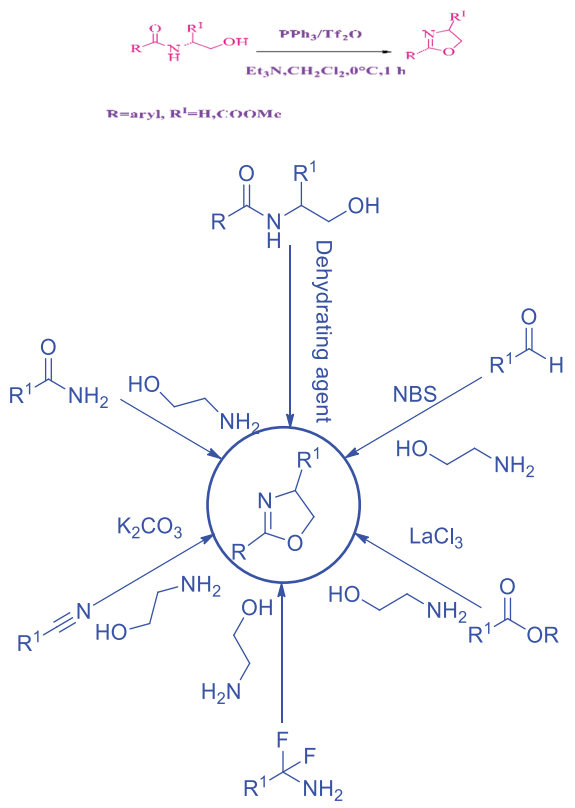
ABSTRACT

A one- pot, mild, and rapid synthesis of 2-aryl-2-oxazolines starting from β-hydroxy amides using triphenylphosphine/triflic anhydride system has been described. Various 2-aryl-2-oxazolines were synthesized utilizing this new protocol. All the products were obtained in good yields.

Key words: 2-aryl-2-oxazolines, triflic anhydride, triphenylphosphine, β-hydroxy amides.

1. INTRODUCTION



Synthetic routes to 2-oxazolines

2-Oxazoline ring is a prominent scaffold in medicinal chemistry due to its presence in many natural products [1] as well as biologically active compounds which exhibit antimalarial [2], antitumor [3], antiviral [4], and antioxidant [5] activity. In the field of synthetic organic chemistry, 2-oxazolines have been used as protecting groups for carboxylic acids [6], directing groups [7], ligands in asymmetric synthesis [8], precursors for the synthesis of aldehydes [9], thiazolines [10], oxazoles [11]. Polyoxazolines find applications in drug delivery [12], gene delivery [13], and tissue engineering [14].

A number of synthetic methods have been reported for the synthesis of 2-oxazolines employing the condensation of carboxylic acids with 2-haloethylammonium salts using 4-(4,6-dimethoxy-1,3,5-triazin-2-yl)-4-methyl-morpholinium chloride [15], 2-chloroethyl isocyanate using 4-dimethylaminopyridine [16], with amino alcohol using 1,1'-carbonyldiimidazole [17], from dehydration of β-hydroxy amides using xtalFlour-E [18], polyphosphoric acid esters [19], rhenium(VII) oxide (Re_2O_7) in hexafluoroisopropyl alcohol [20], diethylaminosulfur trifluoride and deoxofluor [21], triphenylphosphine/2,3-Dichloro-5,6-dicyano-1,4-benzoquinone [22], vilsmeier reagent [23], from nitriles and amino alcohols using potassium carbonate [24], aldehydes and amino alcohols using N-bromosuccinimide [25] and 1,3-diiodo-5,5-dimethylhydantoin [26], acid halides and amino alcohol using resin capture [27], from esters and amino alcohol using lanthanum(III)chloride($LaCl_3$) [28], from α,α- diflouralkylamines and amino alcohol [29], from haloamidation of alkenes using N-bromosuccinimide [30], from halooxygenation of N-allyl carboxamides using diacetoxy iodobenzene [31], electrochemical dehydrogenative cyclisation of β-aminoaryl ketones [32], by transamidation-cyclodehydrosulfurisation of thioamides and aminoalcohol [33], and cycloisomerisation of propargylic amides using gold(1) catalyst [34]. In addition to these protocols, 2-oxazolines can also be synthesized through multicomponent protocol [35].

However, these protocols suffer from limitations such as use of expensive reagents, harsh reaction conditions, longer reaction time, poor yields, side reaction, and racemisation.

Therefore, we report a mild and racemisation free synthesis of 2-oxazolines from β-hydroxy amides using PPh_3/Tf_2O system as the dehydrating agent.

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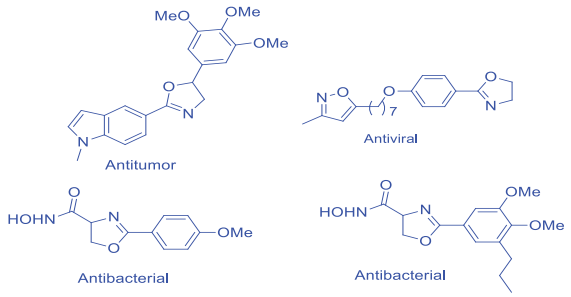
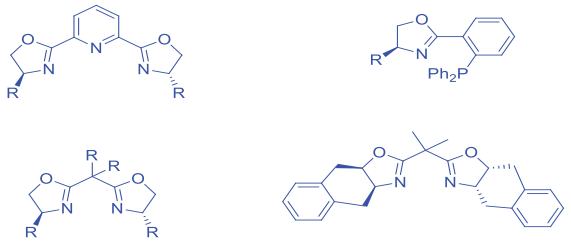
Biologically active compounds containing 2-oxazoline ring



Chiral oxazoline ligands



2. EXPERIMENTAL

2.1. General

All chemicals were purchased from Sigma Aldrich Company, USA.CAS registry number for Triflic anhydride (358-23-6). All the solvents were dried and purified using recommended procedures in the literature whenever necessary. High resolution mass spectra were recorded with Agilent Q-TOF mass spectrometer. ^{1}H nuclear magnetic resonance (NMR) and ^{13}C NMR spectra were recorded on Bruker AV NMR 400 MHz and 100 MHz spectrometers, respectively, at the Indian Institute of Science, Bangalore. The high-performance liquid chromatography (HPLC) analysis of isomers was carried out using an Waters instrument at λ = 254 nm; flow rate: 0.500 mL/min; column: Phenomenex Lux 5 µ Cellulose-1, pore size-5 µm, diameter × length = 4.6 × 250 nm; method: Hexane-isopropanol 70: 30; 20 min. Thin layer chromatography (TLC) experiments were performed using MERCK TLC aluminum sheets (silica gel 60 F254), and chromatograms were visualized by exposing to a ultraviolet-lamp. Column chromatography was performed on silica gel (100–200 mesh) using ethyl acetate and hexane mixtures as the eluent.

2.2. General Procedure for the Prepation of 2-aryl-2-oxazolines

A mixture of PPh_3 (1.3 eq) and Tf_2O (1.0 eq) in dichloromethane was stirred at 0°C for 15 min. *β*-hydroxy amide (1.0 eq) and Et_3N (1.0 eq) were added, and the reaction mixture was stirred till the completion of reaction. The solvent was evaporated in vacuo the residue was diluted with ethyl acetate, the organic layer was washed with 10% HCl, 10% Na_2CO_3, brine and dried over anhydrous Na_2SO_4. The organic layer was evaporated. The crude was purified by column chromatography using ethyl acetate: hexane - 15%.

2.2.1. 2-phenyl-4,5-dihydrooxazole(O1)

White solid(90%); ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.87 (d, *J* = 7.0 Hz, 2H), 7.50 (dt, *J* = 14.7, 7.2 Hz, 3H), 4.41 (t, *J* = 9.5 Hz, 2H), 3.96 (t, *J* = 9.5 Hz, 2H). ^{13}C NMR (101 MHz, DMSO) δ 163.35, 131.83, 129.06, 128.15, 128.04, 67.80, 54.87; HRMS calcd for C_9H_9NO [M+H]: 148.0762, found: 148.0740.

2.2.2. 2-(4-chlorophenyl)-4,5-dihydrooxazole(O2)

Yellow solid (80%); ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.87 (d, *J* = 6.8 Hz, 2H), 7.54 (d, *J* = 8.6 Hz, 2H), 4.41 (t, *J* = 9.5 Hz, 2H), 3.96 (t, *J* = 9.5 Hz, 2H); ^{13}C NMR (101 MHz, DMSO) δ 162.48, 136.62, 129.95, 129.23, 126.82, 68.42, 55.02; HRMS calcd for C_9H_8ClNO [M+H]: 182.0373, found: 182.0372.

2.2.3. 2-(3-bromophenyl)-4,5-dihydrooxazole(O3)

White solid (80%); ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.98 (s, 1H), 7.86 (d, *J* = 7.7 Hz, 1H), 7.77 (d, *J* = 10.0 Hz, 1H), 7.46 (t, *J* = 7.9 Hz, 1H), 4.43 (t, *J* = 9.5 Hz, 2H), 3.98 (t, *J* = 9.6 Hz, 2H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 162.19, 134.72, 131.45, 130.57, 127.16, 68.18, 54.93; HRMS calcd for C_9H_8BrNO [M+H]: 225.9868, found: 225.9869.

2.2.4. (S)-methyl 2-(4-chlorophenyl)-4,5- dihydrooxazole -4-carboxylate(O4)

White solid (80%); ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.90 (d, *J* = 8.6 Hz, 2H), 7.58 (d, *J* = 8.6 Hz, 2H), 4.99 (dd, *J* = 10.1, 7.9 Hz, 1H), 4.62 (p, *J* = 8.7 Hz, 2H), 3.71 (s, 3H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 171.79, 164.40, 137.32, 130.33, 129.42, 125.93, 70.43, 68.47, 52.77. HRMS calcd for $C_{11}H_{10}ClNO_3$ [M+H]: 240.0427, found: 240.0103.

2.2.5. (R)-methyl 2-phenyl-4,5-dihydrooxazole-4-carboxylate(O5)

White solid (70%); ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.03–7.82 (m, 2H), 7.66–7.37 (m, 3H), 5.01–4.94 (m, 1H), 4.66–4.56 (m, 2H), 3.37 (s, 3H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 171.95, 165.23, 132.53, 129.22, 128.51, 127.12, 70.17, 68.51, 52.74; HRMS calcd for $C_{11}H_{11}NO_3$ [M+H]: 206.0817, found: 206.0492.

2.2.6. (R)-methyl 2-(4-methoxyphenyl)-4,5-dihydrooxazole-4-carboxylate(O6)

White solid (75%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (s, 1H), 7.86–7.76 (m, 1H), 7.09 (s, 1H), 7.07 (s, 1H), 4.75–4.64 (m, 1H), 4.57 (dd, *J* = 10.6, 8.8 Hz, 2H), 3.81 (s, 3H), 3.79 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 170.04, 166.25, 163.74, 132.33, 132.23, 130.96, 130.87, 129.59, 69.69, 68.46, 64.53, 53.10; HRMS calcd for $C_{12}H_{14}NO_4$ [M+H]:236.0923, found: 236.0629.

2.2.7. 4-(4,5-dihydrooxazol-2-yl)benzonitrile(O7)

White solid (80%); ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.03 (d, *J* = 8.5 Hz, 2H), 7.97 (d, *J* = 8.5 Hz, 2H), 4.46 (t, *J* = 9.6 Hz, 2H), 4.02 (t, *J* = 9.6 Hz, 2H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 162.27, 133.19, 132.03, 128.90, 118.77, 114.18, 68.37, 55.07; HRMS calcd for $C_{10}H_{10}N_2O_4$ [M+H]:173.0715, found:173.1173.

2.2.8. 2-(4-methoxyphenyl)-4,5-dihydrooxazole(O8)

Brown oil (90%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (d, *J* = 7.0 Hz, 1H), 7.72 (d, *J* = 8.8 Hz, 1H), 6.90 (d, *J* = 10.7 Hz, 2H), 4.53–4.48 (m, 2H), 4.28 (t, *J* = 6.7 Hz, 1H), 4.08 (dd, *J* = 13.7, 6.9 Hz, 1H), 3.84 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 163.60, 162.20, 131.75, 128.72, 113.75, 113.70, 63.57, 55.44, 55.37; HRMS calcd for $C_{10}H_{11}NO_2$ [M+H]: 178.0868, found: 178.0509.

2.2.9. (S)-methyl 2-phenyl-4,5-dihydrooxazole-4-carboxylate(O9)

White solid (70%); ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.03–7.82 (m, 2H), 7.66–7.37 (m, 3H), 5.01–4.94 (m, 1H), 4.66–4.56 (m, 2H), 3.37 (s, 3H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 171.95, 165.23, 132.53, 129.22, 128.51, 127.12, 70.17, 68.51, 52.74; HRMS calcd for $C_{11}H_{11}NO_3$ [M+H]: 206.0817, found: 206.0492.

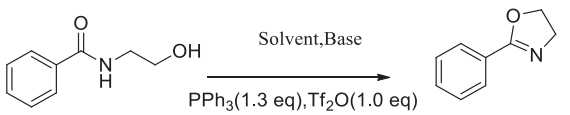
2.2.10. 2-(4-fluorophenyl)-4,5-dihydrooxazole(O10)

Brown oil (90%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.78 (m, *J* = 109.7 Hz, 2H), 7.10 (m, 2H), 4.42 (m, 1H), 4.27 (m, 2H), 4.05 (m, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 175.59, 165.50, 132.32, 130.82, 128.74, 67.79, 60.38; HRMS calcd for C_9H_8FNO [M+H]: 166.0668, found: 166.0945.

2.2.11. (R)-methyl 2-(4-fluorophenyl)-4,5-dihydrooxazole-4-carboxylate(O11)

Brown solid (80%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (m, 2H), 7.36 (m, 2H), 4.93 (m, 1H), 4.60 (m, *J* = 41.1 Hz, 2H), 3.80 (s, 3H); ^{13}C NMR

Table 1: Optimization of reaction conditions for the synthesis of 2-oxazolines.



1a 2a

Entry	Solvent	Base (eq)	Time	Yield (%)
1	DCM	DIPEA (1)	1 h	50
2	DCM	DBU (1)	1 h	60
3	DCM	Pyridine (1)	1 h	50
4	DCM	Et_3N (1)	1 h	90
5	DCM	Et_3N (1.5)	1 h	90
6	THF	-	1 h	0
7	ACN	-	1 h	0
8	Dioxane	-	1 h	0

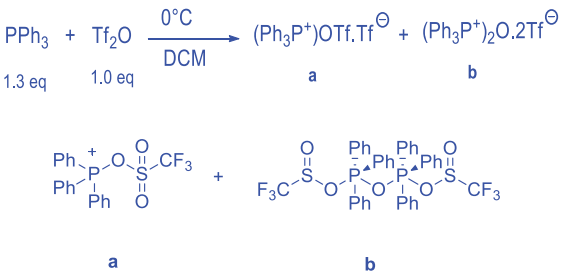
DIPEA: Diisopropylethylamine, DBU: 1,8-diazabicyclo (5.4.0) undec-7-ene

(101 MHz, $CDCl_3$) δ 171.40, 165.43, 138.13, 129.91, 128.67, 69.69, 68.56, 52.75; HRMS calcd for $C_{11}H_{10}FNO_3$ [M+H]: 224.0723, found: 224.0760.

3. RESULTS AND DISCUSSION

Moussa *et al.* have demonstrated the utility of PPh_3/Tf_2O system as dehydrating agent in the synthesis of nitriles from aldoximines [36] [Scheme 1].

PPh_3 (1.3 eq) reacted with Tf_2O (1.0 eq) in CH_2Cl_2 at 0°C to give an equivalent mixture of a and b. Both a and b are involved in dehydration.



a b

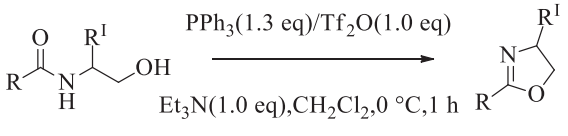
Hilton *et al.* have used PPh_3/Tf_2O system for the selective functionalization of pyridine [37] [Scheme 2].

1a was chosen as the substrate to screen the optimum reaction conditions (Table 1). 1.3 eq of PPh_3 was reacted with 1.0 eq of Tf_2O in dichloromethane at 0°C for 15 min to generate the dehydrating species. One eq of 1a and 1 eq of Et_3N were added to the reaction mixture. The product 2a was obtained in 90% in an hour. No improvement in yield was observed on increasing the concentration of Et_3N to 1.5 eq. Further screening with bases diisopropylethylamine, 1,8-diazabicyclo(5.4.0) undec-7-ene, and pyridine resulted in poor yield. The dehydrating species failed to form in acetonitrile, tetrahydrofuran and dioxane. No elimination product was observed in this protocol.

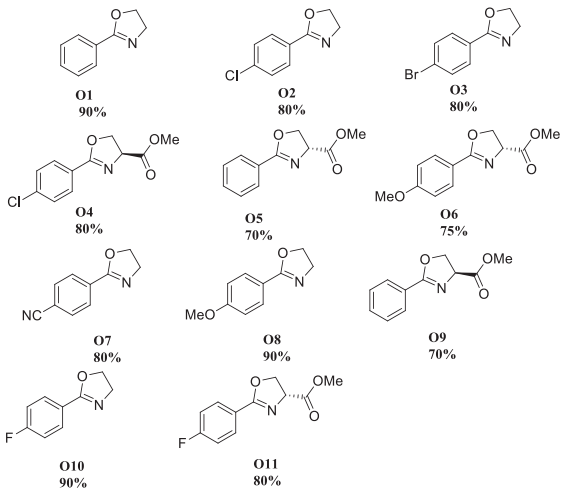
Using the optimal conditions, a number of 2-aryl-2-oxazolines were synthesized in good yields (Table 2). The products were characterized by HRMS, ^{13}C NMR, and ^{1}H NMR. The optical purity of the chiral 2-oxazolines was confirmed by chiral HPLC analysis.

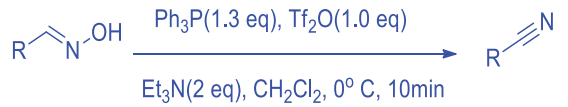
The amino acid serine is prone to base catalyzed racemization. The products O5 and O9 were chosen for racemization studies through the chiral HPLC analysis. The enantiomers O5 and O9 showed single peaks at retention times 3.720 and 3.872, respectively. The appearance distinct single peaks in the chromatograms conclude that the enantiomers are chirally pure and no racemization has occurred during the reaction.

Table 2: List of 2-aryl-2-oxazolines synthesized.

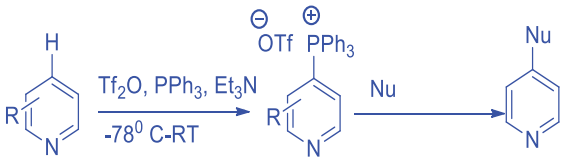


R=Aryl, R^I=H, COOMe





Scheme 1: Synthesis of nitriles from oximes using PPh_3/Tf_2O system.



Scheme 2: Nucleophilic substitution of pyridine using PPh_3/Tf_2O system.

4. CONCLUSION

We have developed a mild and effective protocol for the synthesis of 2-aryl-2-oxazolines from β-hydroxy amides in good yields using Tf2O/PPh3.

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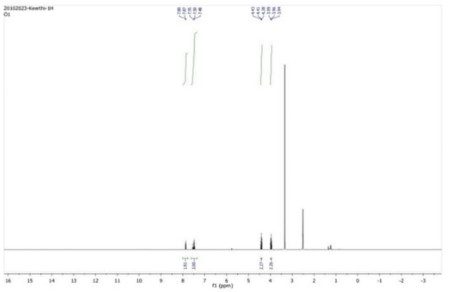
***Bibliographical Sketch**

Dr. V.V. Sureshbabu is a Professor in the Department of Chemistry in Bangalore University, Bangalore. He completed his Ph.D in Organic Chemistry from Bangalore University, Bangalore in the year of 1990. He is having 32 years of teaching experience. He is having 190 research publications. He is Life member in Chemical Research Society of India since 2000

SUPPLEMENTARY INFORMATION

^{1}H NMR SPECTRA OF COMPOUNDS



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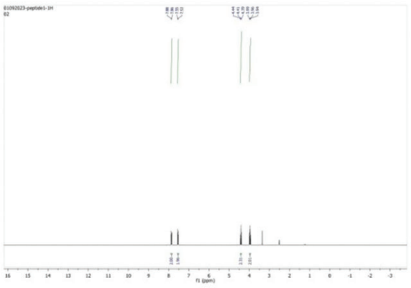

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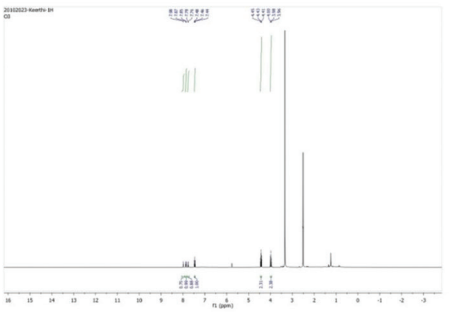

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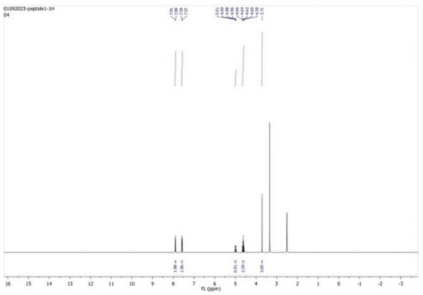

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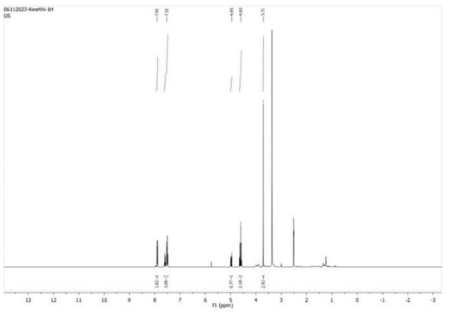

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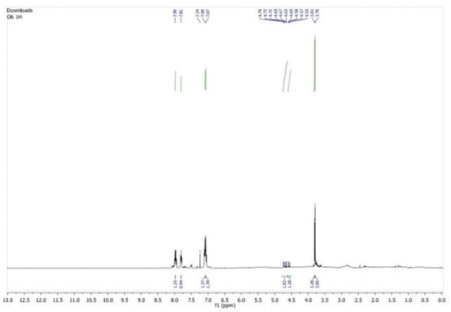

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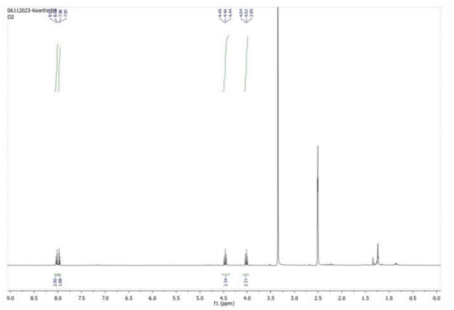

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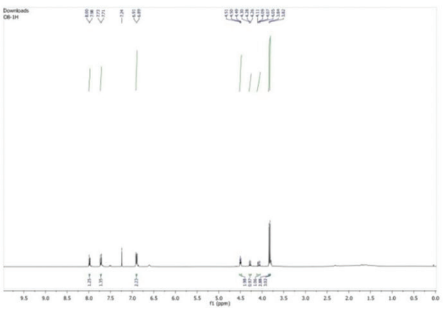

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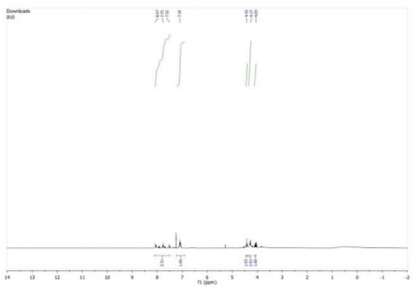

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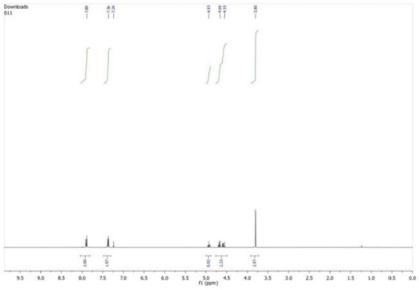

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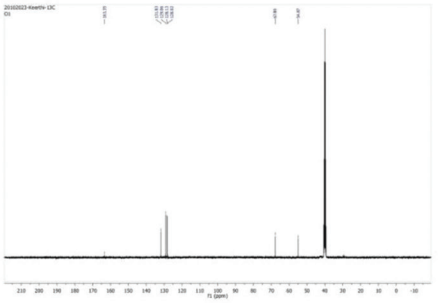
^{13}C NMR SPECTRA OF COMPOUNDS



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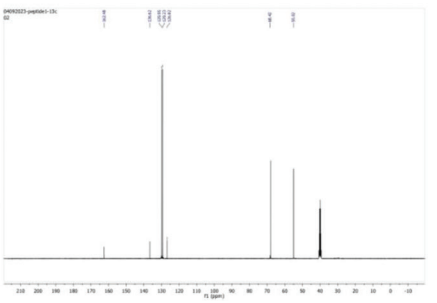

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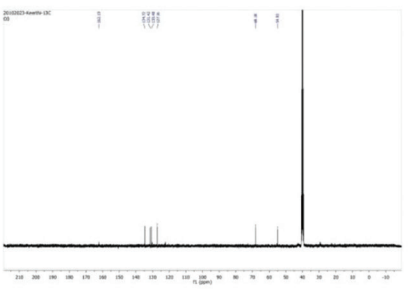

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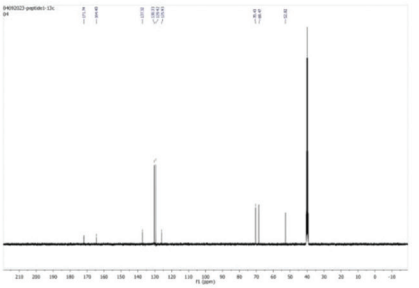

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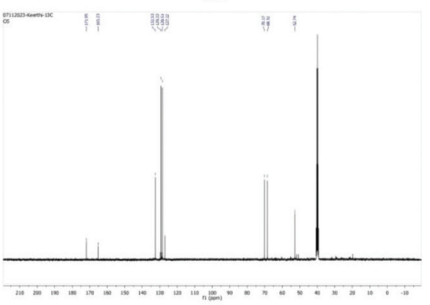

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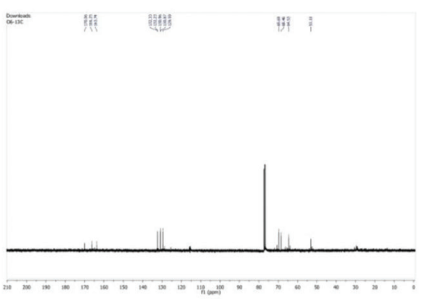

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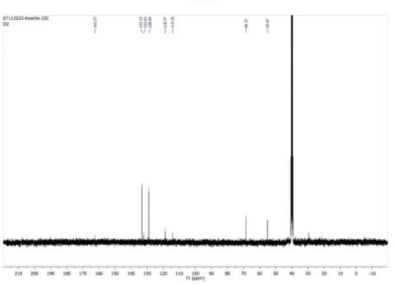

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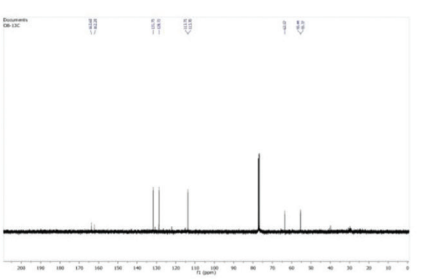

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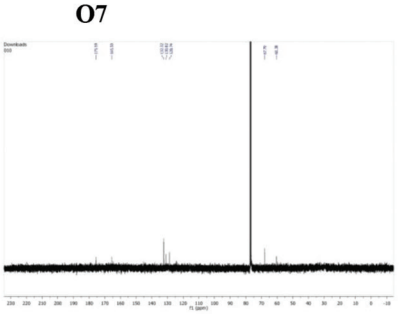

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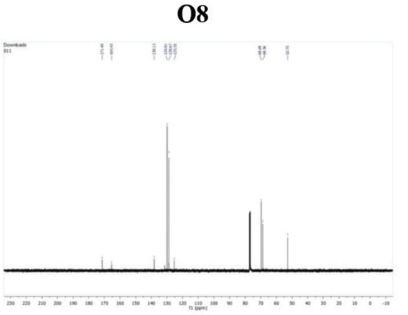
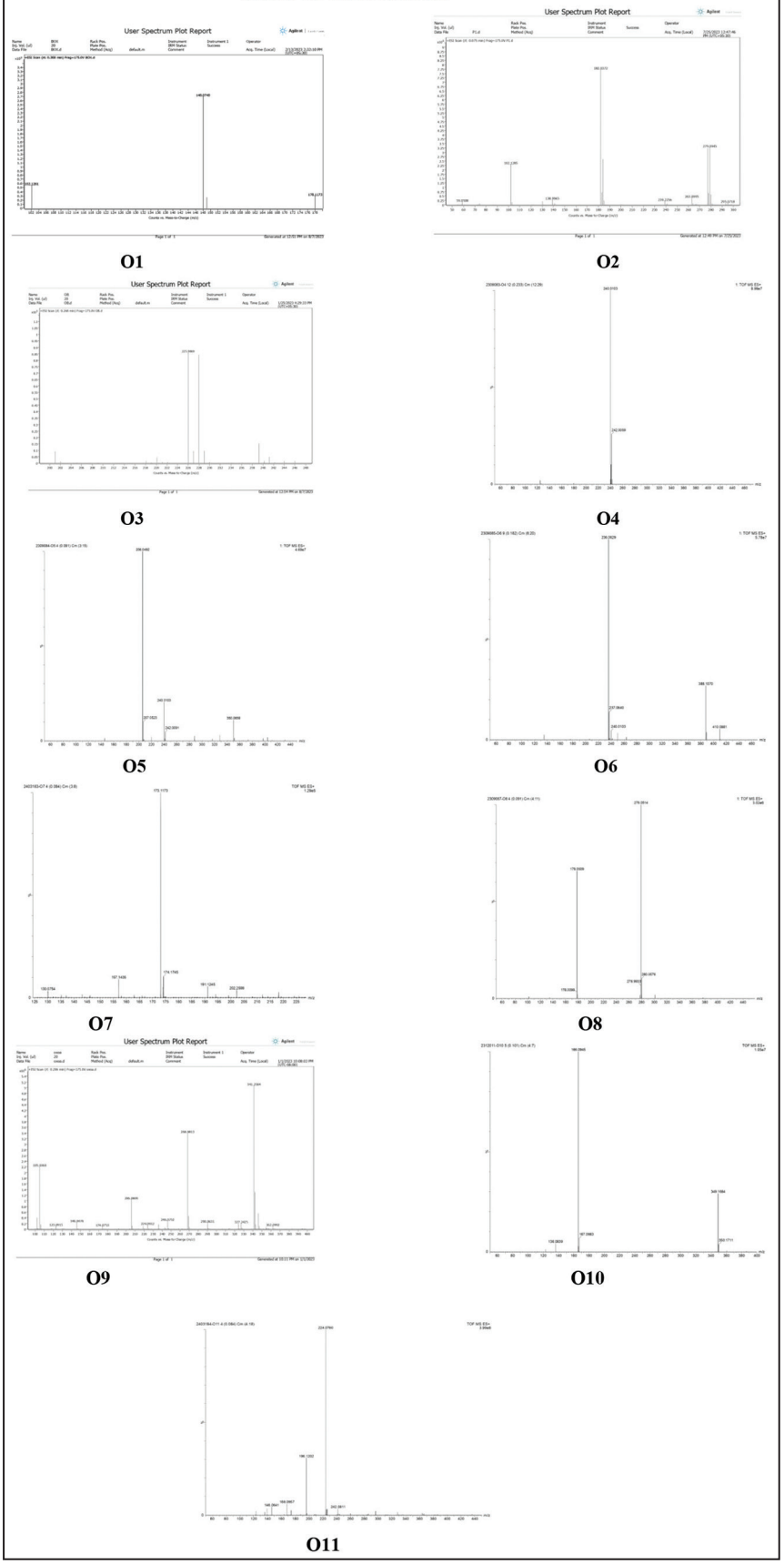
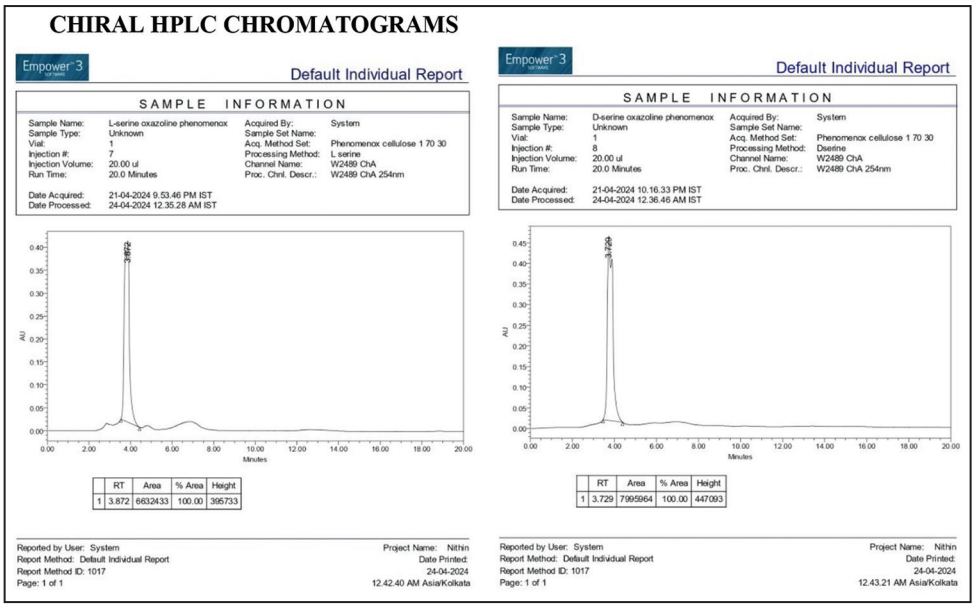


O11

HRMS OF COMPOUNDS

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