



e-ISSN: 2319-8753 | p-ISSN: 2347-6710

# IJIRSET

International Journal of Innovative Research in  
**SCIENCE | ENGINEERING | TECHNOLOGY**

# INTERNATIONAL JOURNAL OF INNOVATIVE RESEARCH

IN SCIENCE | ENGINEERING | TECHNOLOGY

Volume 12, Issue 7, July 2023

**ISSN** INTERNATIONAL  
STANDARD  
SERIAL  
NUMBER  
INDIA

Impact Factor: 8.423

9940 572 462

6381 907 438

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# Synthesis and Biological Evaluation of 1, 4-Naphthoquinone Derivatives

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**ABSTRACT:** Total six 1, 4-Naphthoquinone derivatives using alkyl (methyl, ethyl) esters of glycine, lysine, leucine and were synthesized. Spectro-analytical techniques were employed to establish the purity and the structural features of the synthesized compounds. All synthesized derivatives were identified on the basis of melting point range, R<sub>f</sub> values, IR and <sup>1</sup>H NMR spectral data. The antimicrobial activity of synthesized compounds was determined against bacterial strains viz. E.coli and S.aureus using ciprofloxacin as standard respectively. All the synthesized compounds showed good to moderate antimicrobial activity. Results have been discussed to indicate the antimicrobial potential of 1,4-naphthoquinone derivatives and to highlight the obvious advantages of incorporating alkyl amino acid esters in the 1,4-naphthoquinone nucleus as far as its therapeutic potential is concerned.

## I.INTRODUCTION

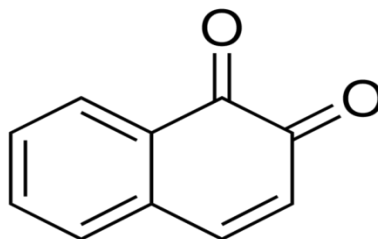
Naphthoquinones are present in bacteria, fungi, plants and mammals and are widely distributed in nature. Lapachol is a naturally occurring naphthoquinone. Naphthoquinone is a class of chemicals produced by the naphthalene molecule. Usually, two isomers are discussed:

- Naphthoquinone (1,2-Naphthoquinone)
- 1,4-naphthoquinone chemically derived from the group of vitamins K.

### 1.1Naphthoquinone (1,2-Naphthoquinone)

Ortho-naphthoquinone (C<sub>10</sub>H<sub>6</sub>O<sub>2</sub>), a fragrant polycyclic formula made with the formula C<sub>10</sub>H<sub>6</sub>O<sub>2</sub>, is a polycyclic aromatic compound with the formula C<sub>10</sub>H<sub>6</sub>O<sub>2</sub>.

Quinone is an active naphthalene metabolite that can be seen as double ketone in particles of diesel exhaust (quinone). Cataract formation in mice after exposure to high doses of naphthalene has been linked to the accumulation of this harmful metabolite.



### 1.2Naphthoquinone (1,4-Naphthoquinone)

The composition of 1, 4-naphthoquinone is associated with biological functions such as enzyme inhibition, antifungal, antibacterial, anticancer, anti-proliferative, antiplatelet, anti-inflammatory, antiallergic, and antimalarial properties. Naphthoquinone compounds have been associated with anti-abscess, anti-ulcer, anti-leishmanial, anticarcinomaic, anti-epidemic, anti-inflammatory, antiseptic, antiviral, antifungal, and other therapies. Many natural ingredients and synthetic naphthoquinone have been studied extensively by their therapeutic properties. For years naphthoquinone has

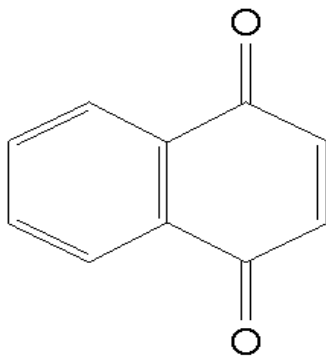
been used to treat cancer, disease and other disorders. Plumbagone, juglone, lawsone, and lapachol are naturally occurring naphthoquinones found in plants.

Diterpene, amino-naphthoquinones have been replaced, silver, and the bismuth complex of naphthoquinones are all examples of the important activity in obtaining naphthoquinones. Considering the information presented above, as well as the beneficial effects of naphthoquinones. It was decided that the study would benefit. The goal is to investigate the production of antimony and biological activity of naphthoquinone derivatives. A range of amino acids and heterocyclic 1,4-naphthoquinones have been used to create many biologically important chemicals.

1,4-naphthoquinone, a raw ingredient in drugs, agrochemicals, and other beneficial compounds, belongs to the quinone family. It can be released into the environment as a chemical adjuvant in the production of dyes and pharmaceuticals, as well as algicide and fungicide. It is possible that the biodegrade is evenly distributed in the soil, moderately in the surface water, and may be affected by the weather. Vitamin K is a group of 1,4-naphthoquinone compounds that have been shown to help build blood clots. Antibiotics are divided into two categories: antibacterial and antifungal. They are also said to have anti-cancer skills. Vitamin K-based proteins have recently been identified as important for bone and vascular health.

Vitamin K, which contains vitamin K1 and vitamin K2, is a group of naturally occurring chemicals. Vitamin K3 (menadione) is often used as a model quinone in cell culture and in vivo studies. It goes with redox cycling processes and arylation, both common to quinones and have biological effects. The ability of menadione to kill cancer cells is linked to the activity of a small family group of MAPK (mitogen-activated protein kinase) called extracellular signal-regulated kinase (ERK 1 and ERK 2).

Only a few biological responses produced by 1,4-naphthoquinone derivatives include antibacterial, antifungal, anti-inflammatory, antithrombotic antiplatelet, antiviral, anticancer, antiallergic, apoptotic, lipoxygenase, scavenging radical, and anti-ringworm. 1,4-naphthoquinone derivatives have been shown to contain human DNA inhibitors topoisomerase I and II. They can also produce active forms of oxygen (ROS) such as semiquinone and hydroxyl radicals by enzymatic reduction (eg. NADPH-cytochrome P 450 reductase).



A wide range of plants, fungi, and mammals contain naphthoquinones. Their biological functions have been studied, as well as their effect on prokaryotic and eukaryotic cells. Plumbagone, juglone, and lawsone are plant-derived naphthoquinones that have anti-bacterial properties for aerobic and anaerobic bacteria, and *Fusarium solani* produces naphthazarin toxins (5,8-dihydroxy-1,4-naphthoquinone) which attacks plants, other fungi, and bacteria.

Alkannin and shikonin, along with some of them, are effective against Gram-positive bacteria such as *Staphylococcus aureus*, *Enterococcus faecium*, and *Bacillus subtilis*, but inert anti-Gram-negative bacteria such as *Staphylococcus aureus*, *Enterococcus faecium*, and *Bacillus subtilis* harmful bacteria. 2,3-diamino-1,4-naphthoquinone was found to have antibacterial activity against *S. aureus*, with IC<sub>50</sub> values ranging from 30 to 125 µg / mL.

A small bactericidal filter of 2,3-diamino-1,4-naphthoquinone was greater than 500 µg / mL, suggesting that its effect was bacteriostatic. Raised in harsh environments, carnivorous plants have developed different ways of catching insects and eating their parts. The production of secondary metabolites containing the amino-naphthoquinone moiety in insect trap tissue also defines food. Chemical, biotic, or immune suppression causes the formation of secondary protective metabolites in many plants. Amino-naphthoquinones are found in several Caryophyllales plants, including Nepenthaceae, Droseraceae, Plumbaginaceae, Drosophyllaceae and Ebenaceae, and are considered promising medicinal properties. In vitro tests revealed that the other 2,3-di substitutes 1,4-naphthoquinones were as effective as the clinically used drugs fluconazole and Amphotericin-B.

In addition, 4-aminoquinolines (chloroquine [CQ] for adults / amodiaquine for children) have been used as long-acting first-line antimalarials. However, since the mid-1970s, the therapeutic efficacy of 4-aminoquinolines, especially CQ, has declined. Malaria is a deadly malignant disease that kills two million people every year. Antimalarials are the most common treatment for malaria, but additional antiretroviral drugs that work on all types of parasite are much needed. Several synthetic and natural naphthoquinones have reported aminonaphthoquinones, a class of anti-malarial chemicals that have anti-malarial activity against Plasmodium falciparum, as a potential anti-malarial drug. 2-amino-3-chloro-1,4-naphthoquinone is the most potent of these chemicals. It is much stronger than the W2 clone, with an IC<sub>50</sub> of 0.18 μM (37.3 ng mL<sup>-1</sup>).

At IC<sub>50</sub> of 0.23 μM, CQ had lower IC<sub>50</sub> than others (72 ng mL<sup>-1</sup>). It has the ability to destroy the D6 clone. Both the 2-amino-1,4-naphthoquinone and the 4-amino-1,2-naphthoquinone analogue have shown promising anti-malarial activity in the bioassay. On the other hand, the amount of 2-hydroxy-1,4-naphthoquinones and dimeric quinones were less effective.

## II.MATERIALS

The reagents/chemicals/solvents used for the research study were commercially procured from various chemical industries including Merck (India), Qualigens, SD fine and CDH laboratories. The solvents, chemicals and reagents used were generally of AR grade. Purity of the synthesized compounds and reactions were monitored using thin-layer chromatography (TLC) on silica gel G plates. Ninhydrin was used as the detecting agent.

In TLC, the following solvents are used:

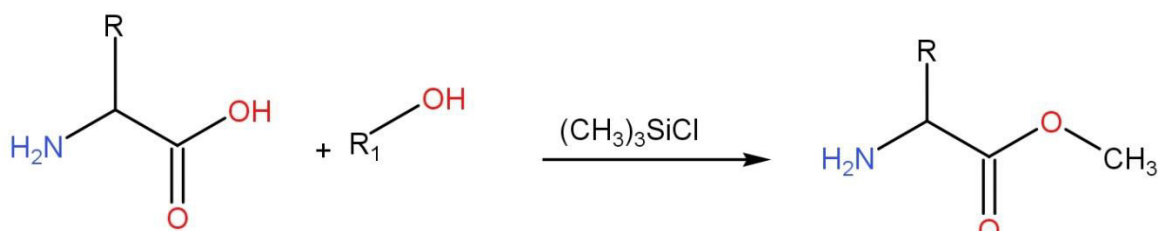
1- chloroform: methanol (4: 1)

2- n-Hexane: ethyl-acetate(9: 1)

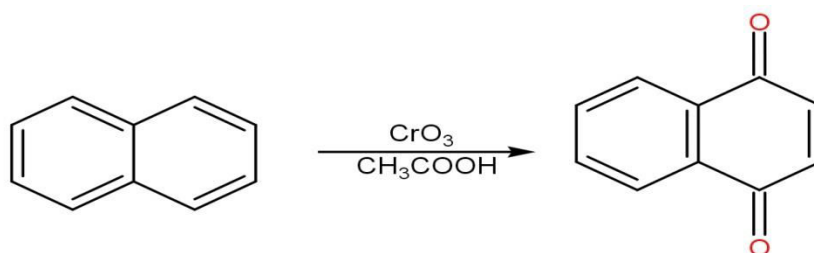
3- Butanol: Acetic acid: Water (4: 3: 1)

### SYNTHETIC SCHEME

#### Synthesis of Alkyl Amino Acid Ester Hydrochlorides



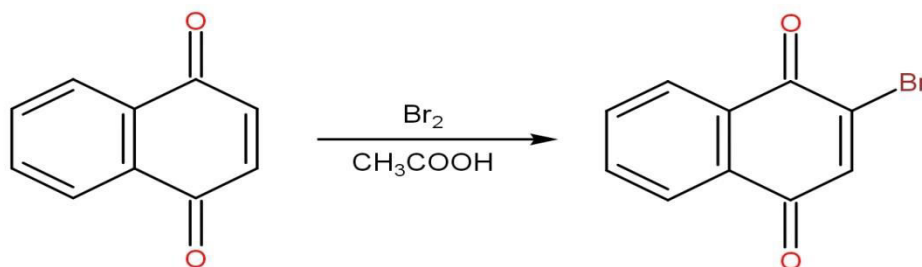
#### Synthesis of 1,4-Naphthoquinone



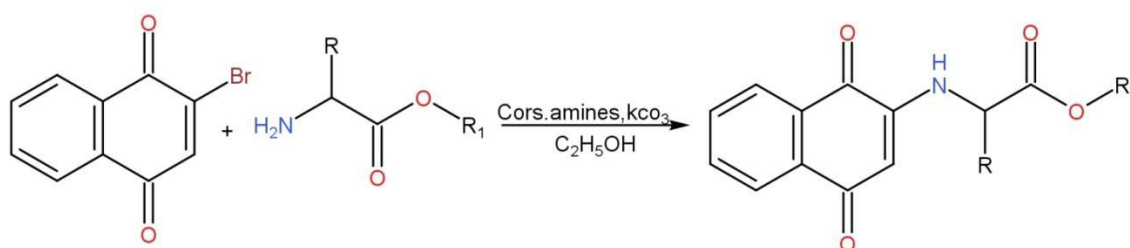
#### Naphthoquinone

#### Synthesis of 2-bromo,1,4-Naphthoquinone

#### 1,4-naphthoquinone



### Synthesis of (S)-N-(1,4-naphthoquinone-2-yl)- amino acid alkyl esters

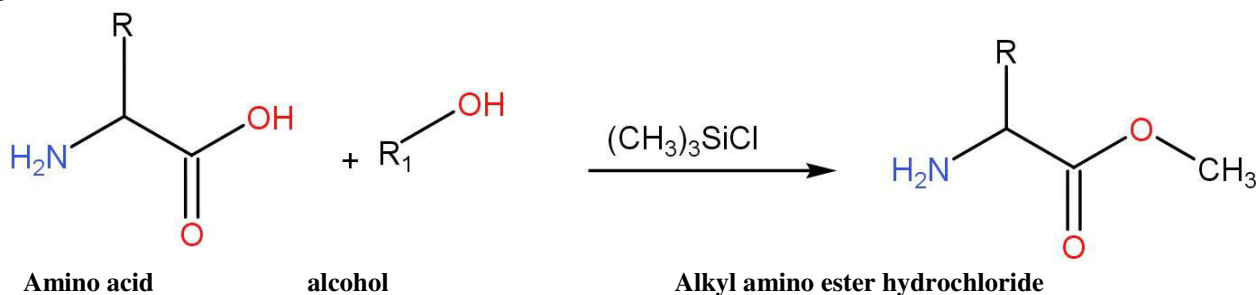


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## SYNTHESIS OF COMPOUNDS

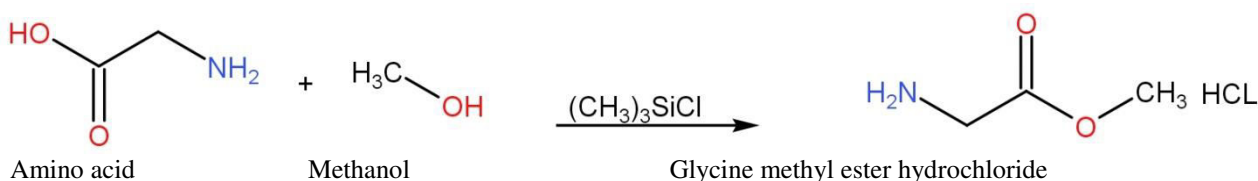
### General Synthesis of Amino Acid Ester Hydrochloride (A)

The Amino acid was taken in a round bottom flask. Freshly distilled Chlorotrimethylsilane (equimolar amount) was added slowly and stirred on a magnetic stirrer for 1 h at room temperature. Then, the corresponding alcohol was added to it and the resulting solution / suspension was stirred further for 1 h at room temperature. When the amino acid completely dissolved, the reaction mixture was subjected to TLC analysis to monitor the completeness of the reaction. The resultant reaction mixture was concentrated on a rotary evaporator to give solid products.



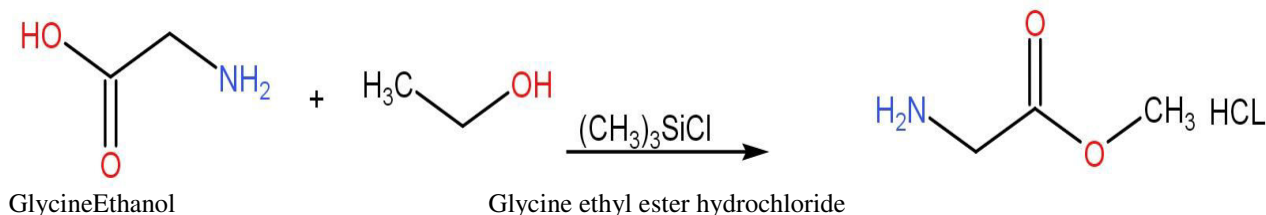
#### 4.3.1.1 Synthesis of Glycine Methyl Ester Hydrochloride (A1)

Amino acid (glycine 3.2gm) was taken in round bottom flask. Freshly distilled chlorotrimethylsilane<sup>4</sup> (4.36ml) was added slowly and stirred on magnetic stirrer for 1hr at room temperature. Then, corresponding methanol (20ml) was added to this and the resulting solution / suspension was further stirred for 1hr at room temperature. When the amino acid completely got dissolved, the reaction mixture was subjected to TLC analysis to monitor the completeness of the reaction. The reaction mixture was concentrated on a rotary evaporator to give the solid products. The resultant products were dried and its melting points were recorded.



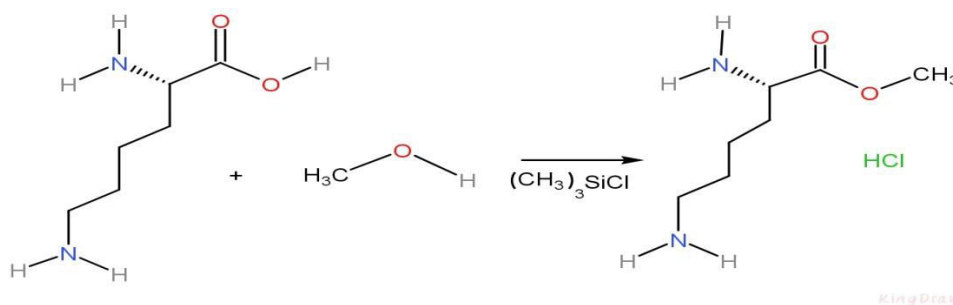
#### 4.3.1.2 Synthesis of Glycine Ethyl Ester Hydrochloride (A2)

Amino acid (glycine 3.2gm)<sup>3</sup> was taken in round bottom flask. Freshly distilled chlorotrimethylsilane<sup>4</sup> (4.36ml) was added slowly and stirred on magnetic stirrer for 1hr at room temperature. Then, corresponding (ethanol 20ml) was added to this and the resulting solution / suspension was further stirred for 1hr at room temperature. When the amino acid completely got dissolved, the reaction mixture was subjected to TLC analysis to monitor the completeness of the reaction. The reaction mixture was concentrated on a rotary evaporator to give the solid products. The resultant products were dried and its melting points were recorded.



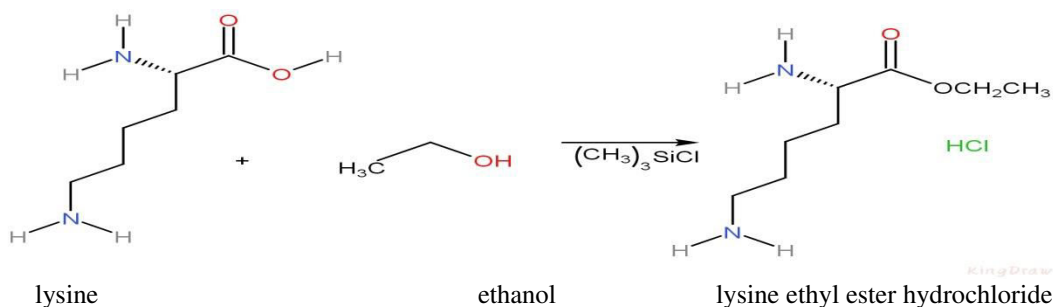
#### 4.3.1.3 Synthesis of Lysine Methyl Ester Hydrochlorides(A3)

Amino acid (Lysine 3.2gm)<sup>3</sup> was taken in round bottom flask. Freshly distilled chlorotrimethylsilane<sup>4</sup> (4.36ml) was added slowly and stirred on magnetic stirrer for 1hr at room temperature. Then, corresponding methanol (20ml) was added to this and the resulting solution / suspension was further stirred for 1hr at room temperature.



#### Synthesis of Lysine Ethyl Ester Hydrochloride (A4)

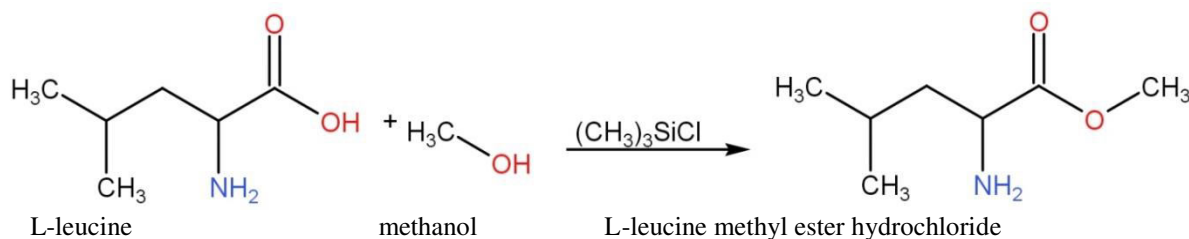
Amino acid (lysine, 3.0gm)<sup>3</sup> was taken in round bottom flask. Freshly distilled chlorotrimethylsilane<sup>4</sup> (4.36ml) was added slowly and stirred on magnetic stirrer for 1hr at room temperature. Then, corresponding ethanol (20ml) was added to this and the resulting solution / suspension was further stirred for 1hr at room temperature. When the amino acid completely got dissolved, the reaction mixture was subjected to TLC analysis to monitor the completeness of the reaction. The reaction mixture was concentrated on a rotary evaporator to give the solid products. The resultant products were dried and its melting points were recorded.



#### Synthesis of L-leucine Methyl Ester Hydrochloride (A5)

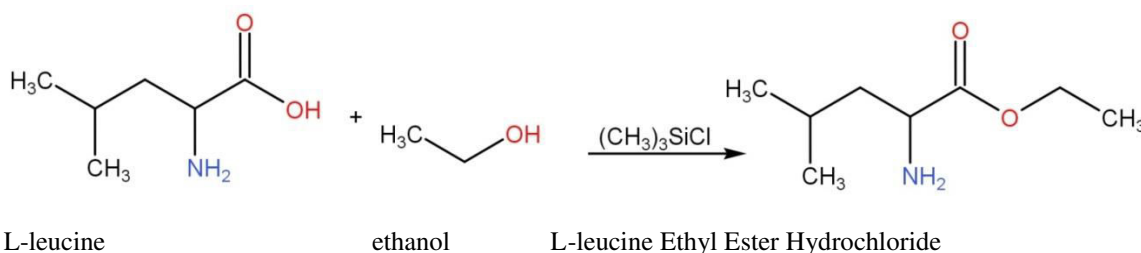
Amino acid (L-leucine 3.2gm)<sup>3</sup> was taken in round bottom flask. Freshly distilled chlorotrimethylsilane<sup>4</sup> (4.36ml) was added slowly and stirred on magnetic stirrer for 1hr at room temperature. Then, corresponding methanol (20ml) was added to this and the resulting solution / suspension was further stirred for 1hr at room temperature. When the amino acid completely got dissolved, the reaction mixture was subjected to TLC analysis to monitor the completeness of the

reaction. The reaction mixture was concentrated on a rotary evaporator to give the solid products. The resultant products were dried and its melting points were recorded.



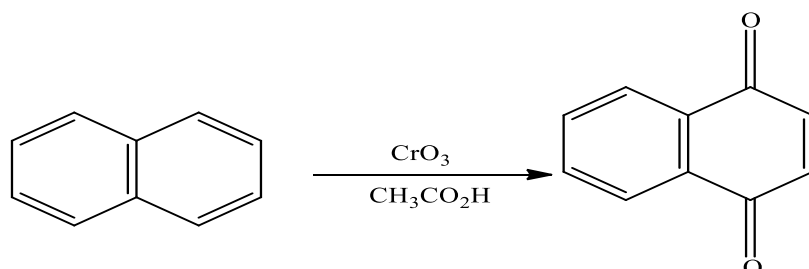
#### Synthesis of L-leucine Ethyl Ester Hydrochloride (A6)

Amino acid (L-leucine 3.2gm)<sup>3</sup> was taken in round bottom flask. Freshly distilled chlorotrimethylsilane<sup>4</sup> (4.36ml) was added slowly and stirred on magnetic stirrer for 1hr at room temperature. Then, corresponding ethanol (20ml) was added to this and the resulting solution / suspension was further stirred for 1hr at room temperature. When the amino acid completely got dissolved, the reaction mixture was subjected to TLC analysis to monitor the completeness of the reaction. The reaction mixture was concentrated on a rotary evaporator to give the solid products. The resultant products were dried and its melting points were recorded.



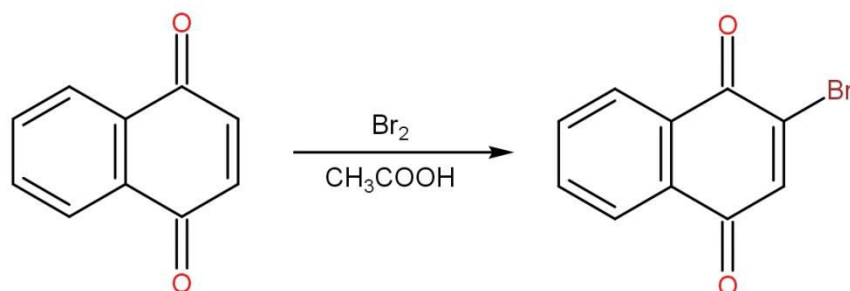
#### Procedure for the synthesis of 1,4-naphthoquinone (B)

A solution of 2.99g(0.06mol) of pure chromium trioxide in 3.01ml of 80% aq. Acetic acid in a 2 lit. was taken in a three necked flask, fitted with a thermometer, mechanical stirrer and 1lit. dropping funnel. Surrounded the flask by a mixture of ice and salt and when the temperature had fallen to 0°C., added a solution of 1.6gm. (0.025mol) of pure naphthalene in 15ml. of glacial acetic acid, with constant stirring, over a period of 2-3 hours while maintaining the internal temperature at 10-15°C. Continued the stirring overnight, during which time the reaction mixture and bath attained room temperature. Allowed the dark green solution to stand for 3 days and stirred occasionally. Poured the reaction mixture into 5-6 lit. of water, collected the crude product by suction filtration. Washd with 50 ml of water and dried in desiccator. Re-crystallized from 20ml. of petroleum ether (b.p.80-100°C). The yield of pure 1,4- naphthoquinone was found to be 72%. m.p. was 120-122°C.

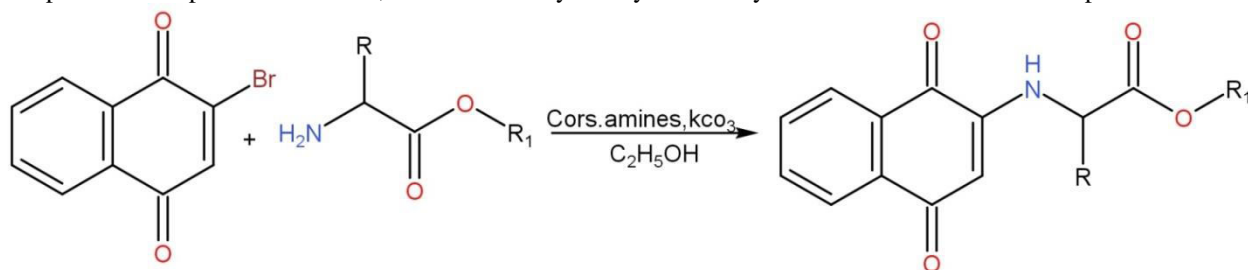


#### 4.3.3 Procedure for the synthesis of 2- bromo,1,4- naphthoquinone (C)

Compound (50) mg was allowed to react drop wise with bromine (49mg) in acetic acid at room temperature. The crude product obtained by filtration after addition of ice water was subjected to thin layer chromatography in toluene, ethyl acetate (9:1). The band Rf 0.45 was rechromatographed in chloroform to give the 2-bromo derivative (71%). Recrystallization from ethyl acetate/light petroleum gives fine orange needles m.p 131-133°C.

**General procedure for synthesis of (S)-N-(1,4-naphthoquinone-2-yl)-amino acid Alkyl esters. (D)**

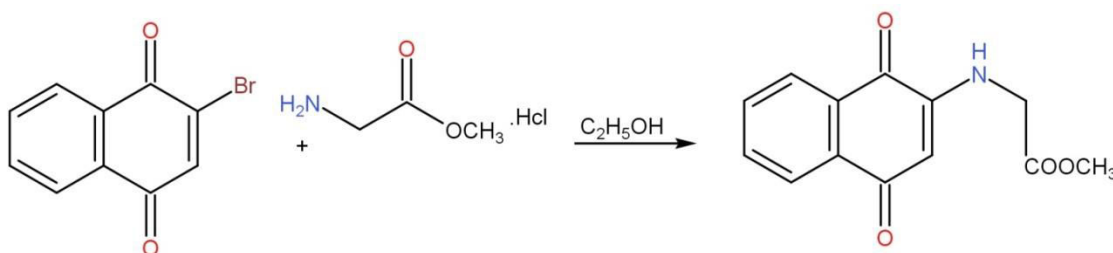
The alkyl amino acid ester (0.04mmol) was dissolved in 2.7 ml of tri ethyl amine in a beaker. On the other hand, 0.210 gm. of 2-bromo-1,4-naphthoquinone dissolved in 6.6 ml. of ethanol completely. The two solutions were mixed with motivation. The stirring was continued at room temperature for another 1 hour and the solvent evaporated to obtain the final product. The product is filtered, washed and recycled by ethstol crystallization to obtain the final product.



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**Synthesis of (S)-N-(1,4-naphthoquinone-2-yl)-glycine methyl esters. (A1)**

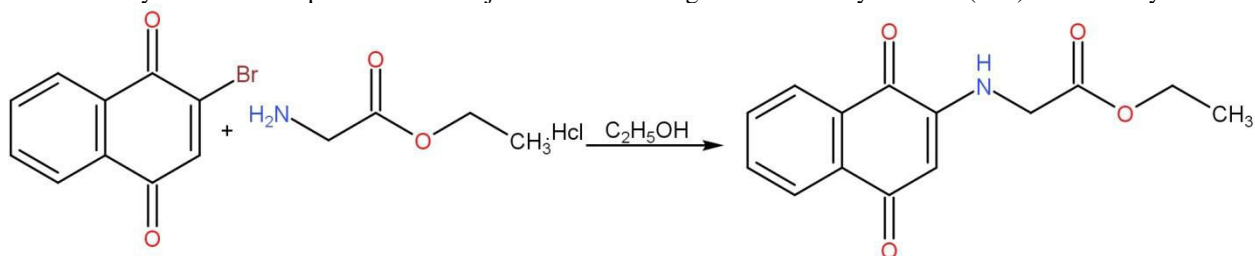
Equimolar quantity of corresponding compound and glycine methyl ester were taken in a round bottom flask and dissolved in corresponding alcohol. This reaction mixture was refluxed for 2 hours. After the completion of the reaction, the mixture was filtered off; cooled and solid crystals were obtained. Recrystallization was carried out with ethanol. The synthesized compounds were subjected to TLC using n-Hexane: ethyl-acetate (9: 1) as solvent system.



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**Synthesis of (S)-N-(1,4-naphthoquinone-2-yl)-glycine ethyl esters. (D2)**

Equimolar quantity of corresponding compound and glycine ethyl ester were taken in a round bottom flask and dissolved in corresponding alcohol. This reaction mixture was refluxed for 2 hours. After the completion of the reaction, the mixture was filtered off; cooled and solid crystals were obtained. Recrystallization was carried out with ethanol. The synthesized compounds were subjected to TLC using n-Hexane: ethyl-acetate (9: 1) as solvent system.

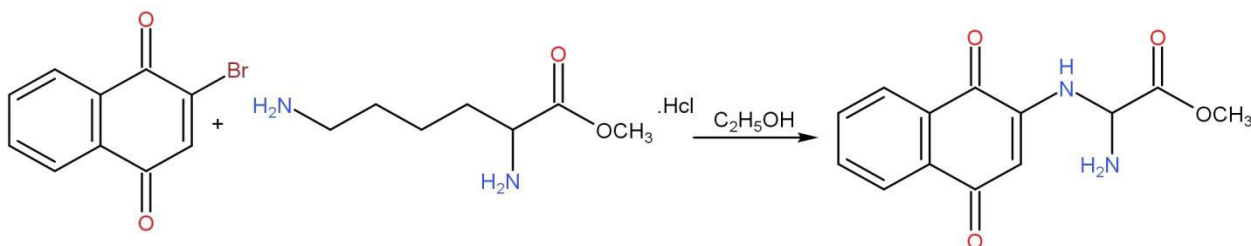


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**Synthesis of (S)-N-(1,4-naphthoquinone-2-yl)-L-lysine methyl ester. (D3)**

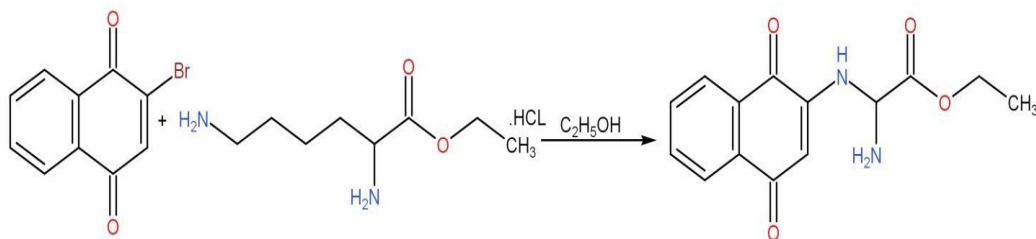
Equimolar quantity of corresponding compound and L-lysine methyl ester were taken in a round bottom flask and dissolved in corresponding alcohol. This reaction mixture was refluxed for 2 hours. After the completion of the reaction, the mixture was filtered off; cooled and solid crystals were obtained. Recrystallization was carried out with ethanol. The synthesized compounds were subjected to TLC using n-Hexane: ethyl-acetate (9: 1) as solvent system.



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**Synthesis of (S)-N-(1,4-naphthoquinone-2-yl)-L-lysine ethyl ester. (D4)**

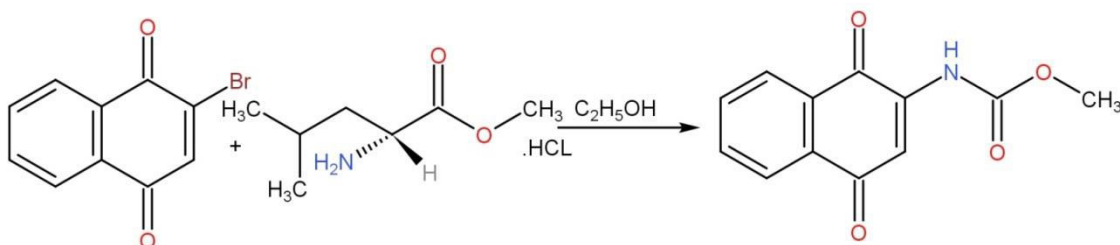
Equimolar quantity of corresponding compound and L-lysine ethyl ester were taken in a round bottom flask and dissolved in corresponding alcohol. This reaction mixture was refluxed for 2 hours. After the completion of the reaction, the mixture was filtered off; cooled and solid crystals were obtained. Recrystallization was carried out with ethanol. The synthesized compounds were subjected to TLC using n-Hexane: ethyl-acetate (9: 1) as solvent system.



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**Synthesis of (S)-N-(1,4-naphthoquinone-2-yl)-L-leucine methyl ester. (D5)**

Equimolar quantity of corresponding compound and L-leucine methyl ester were taken in a round bottom flask and dissolved in corresponding alcohol. This reaction mixture was refluxed for 2 hours. After the completion of the reaction, the mixture was filtered off; cooled and solid crystals were obtained.

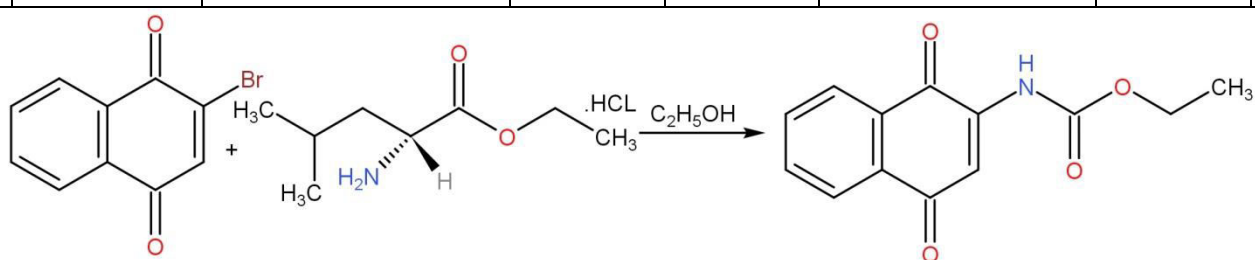


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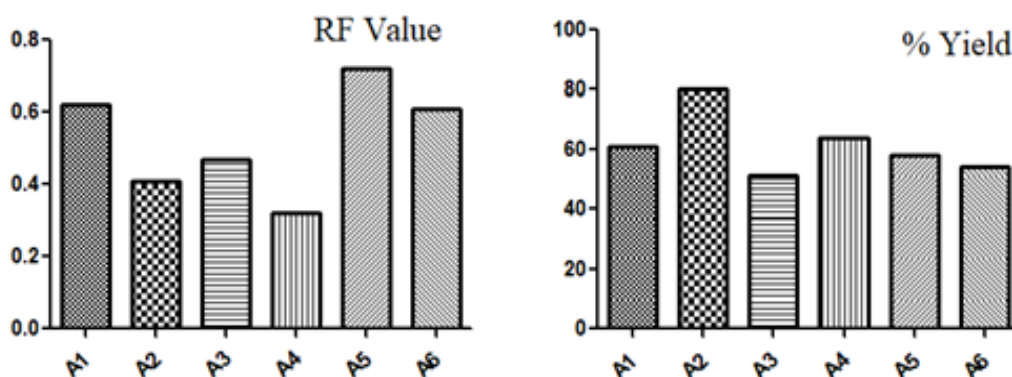
**Synthesis of (S)-N-(1,4-naphthoquinone-2-yl)-L-leucine ethyl ester. (D6)**

Equimolar quantity of corresponding compound and L-leucine ethyl ester were taken in a round bottom flask and dissolved in corresponding alcohol. This reaction mixture was refluxed for 2 hours. After the completion of the reaction, the mixture was filtered off; cooled and solid crystals were obtained. Recrystallization was carried out with ethanol. The synthesized compounds were subjected to TLC using n-Hexane: ethyl-acetate (9: 1) as solvent system.

S.NO.	Compound code	Mol.formula	Mol.wt.	m.p( <sup>0</sup> C )	Solvent used for TLC	Rf.Value	Yield( %)
1.	A1	C <sub>13</sub> H <sub>11</sub> O <sub>4</sub> N	245.07	115	n-Hexane: ethylacetate (9: 1)	0.62	61
2.	A2	C <sub>14</sub> H <sub>13</sub> O <sub>4</sub> N	259.29	118	n-Hexane: ethylacetate (9: 1)	0.41	80
3.	A3	C <sub>13</sub> H <sub>12</sub> O <sub>4</sub> N <sub>2</sub>	260.25	144	n-Hexane: ethylacetate (9: 1)	0.47	51
4.	A4	C <sub>14</sub> H <sub>14</sub> O <sub>4</sub> N <sub>2</sub>	274.28	147	n-Hexane: ethylacetate (9: 1)	0.32	64
5.	A5	C <sub>12</sub> H <sub>9</sub> O <sub>4</sub> N	231.12	128	n-Hexane: ethylacetate (9: 1)	0.72	58
6.	A6	C <sub>13</sub> H <sub>11</sub> O <sub>4</sub> N	245.47	135	n-Hexane: ethylacetate (9: 1)	0.61	54



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Synthesized compound v/s Rf value

synthesized compound v/s % yield

## BIOLOGICAL EVALUATION

All synthetic compounds were tested for antimicrobial, anti-inflammatory, and anticancer, with the exception of a few chemicals (selected by NCI) tested in vitro for anticancer activity.

### ANTIMICROBIAL ACTIVITY

Paul Ehrlich has made extensive use of chemotherapy to treat minor ailments by foreseeing the development of chemicals that will kill the bacteria without harming the host. Pasteur found that airborne bacteria prevented anthrax bacilli from growing in the urine in 1877, confirming the presence of antibiotics. After the discovery of Alexander Fleming and penicillin, the first antibiotic, in 1929, sulpha treatment became popular in the late 1930's. Medical studies began in 1940 and graduated in 1941. Sulfapyridine was a major sulfonamide. In 1940, Awsman and his colleagues began experimenting with antibiotics in actinomycetes, and Streptomycin was discovered in 1944. Tetracyclines, chloramphenicol, erythromycin, and other antibiotics quickly track this group of soil microbes as a rich source of antibiotics. By 1960, many antifungals had been developed, and two key antibiotics had been introduced: Amphotericin-B to treat systemic mycosis and Griseofulvin to increase dermatophyte attacks.

The effects of killing flucytosine were well documented in 1970. However, it can be used as an Amphotericin test drug. In the mid-1970's, midazoles were introduced, followed by triazoles in the 1980's.

The focus has shifted over the past 40 years from the production of new antibiotics to the sub-semi synthetic formation of previous antibiotics with attractive traits or widespread action. Antibiotics are the most important investment in the 20th century. The importance of this is growing in developing countries where infectious diseases are rampant. It is one of the most widely used and effective tools as a team.

### Antibacterial agents

An antimicrobial agent is anything that can kill or inhibit the growth of bacteria, such as high heat or radiation or a chemical. Antibacterial chemicals can be grouped into three broad categories like antibacterial drugs, antiseptics and disinfectants. Antibacterial drugs are used in relatively low concentrations in or upon the bodies of organisms to prevent or treat specific bacterial diseases without harming the host organism. Unlike antibacterial drugs, antiseptics and Disinfectants are usually non-specific with respect to their targets i.e. they can kill or inhibit a variety of microbes. Antiseptics are used topically in or on living tissue, whereas disinfectants are used on objects or in water. Antimicrobial chemotherapy plays an important role in the treatment of many infectious diseases. However repeated and irrational use of some antibiotics results in resistance i.e. ineffectiveness of drug against the microorganisms. In the recent past, the emergence of drug resistance to antibiotics is more. This situation stimulated us to prepare new series of antimicrobials. The initial distinction between narrow and broad-spectrum antibiotics is no longer clear-cut. Drugs are available now with a wide spectrum of activity. However the terms narrow spectrum and broad spectrum are still applied.

### 5.1.5 Experimental procedure for Antimicrobial activity

#### Material and methods

The agar dispersion method was used to test the microbiological properties of the products.



**Standard drug**

Ciprofloxacin

**Media**

Nutrient agar media were used for the purpose, which contain the constituents as presented in

**Table. 5.1. Nutrient agar media**

S.NO	Constituents	Quantity Required
1.	Peptic Digest	5gm/liter
2.	Yeast Extract	1.5gm/liter
3.	Beef Extract	1.5gm/liter
4.	Sodium Chloride	5gm/liter
5.	Agar	15gm/liter
6.	Distilled Water	1 liter

**III. EXPERIMENTAL PROCEDURE**

The primary activities of antibacterial antagonists were determined using the agar-diffusion dispersion method. The agar center was nourished for 15 minutes at 15 lbs pressure (121 ° C) and cooled to 50-55 ° C in an ice bath, as described by Magaldi et al. 2004. These news reports were completed with static 4mm depth on petridges; this is about 40mL on a 90mm plate. After the user became firm, the culture was injected further. In a small air flow, this is done. To ensure uniform distribution, a sterile swab was applied to the outer part of the nutritious agar culture. Petrifiers are then allowed to rest for a few minutes to achieve maximum moisture absorption. In agar springs, a sterile plug borer (7mm) was used, and concentrated solution solutions were set at 25, 50, 75, 100, and 200 g / ml per source (Indian Pharmacopoeia, 1996).The formula for calculating resistance % is as follows-

$$\% \text{ Inhibition} = \frac{I(\text{diameter of inhibition zone in mm})}{90(\text{diameter of Petri-plates in mm})}$$

**Antibacterial activity**

**Test strains**

For the present work, efficacies of the test compounds were determined against following bacterial strains:

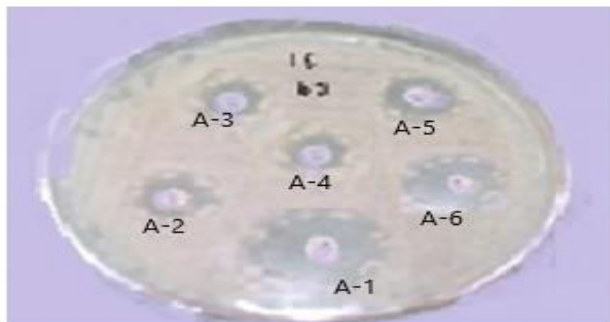
Gram +ve bacterial strains

1-*Staphylococcus aureus* 2- *Bacillus pumilus*

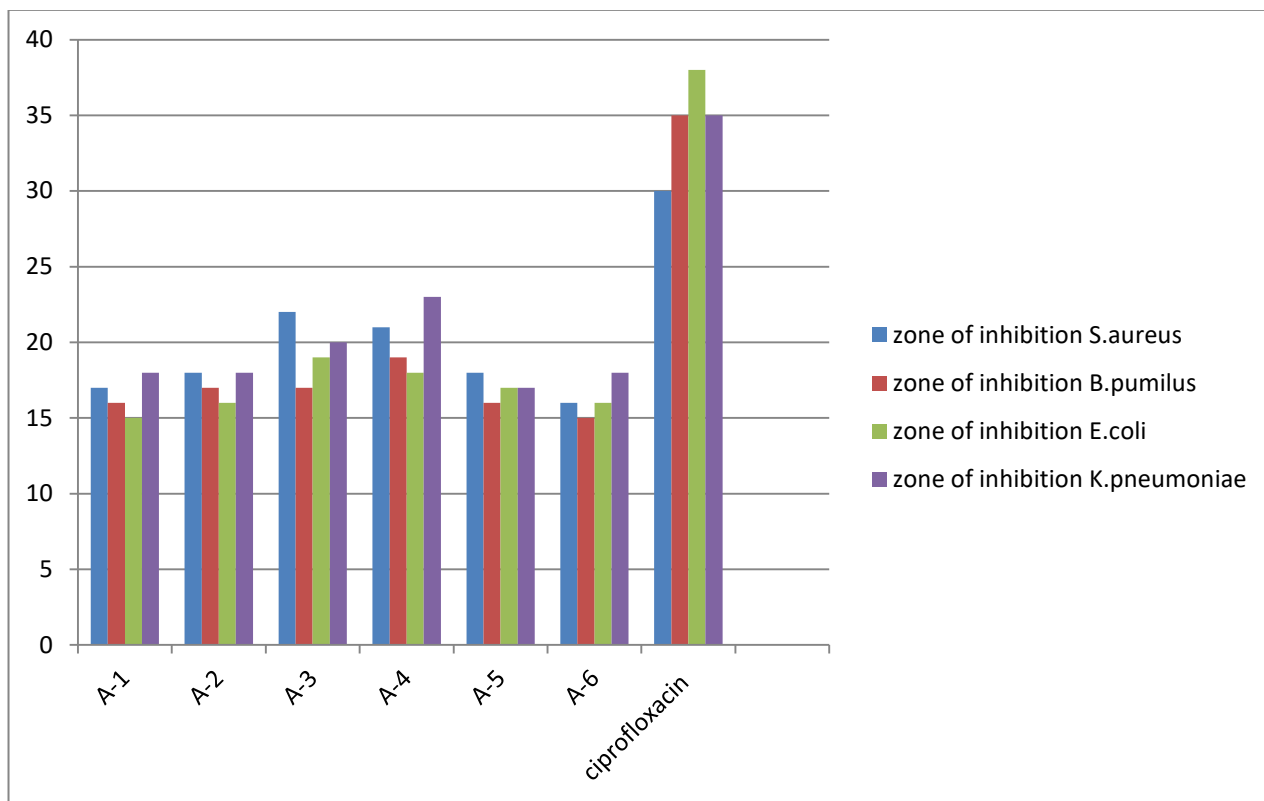
Gram -ve bacterial strains

1-*Escherichia coli* 2- *Klebsiella pneumonia*

S.No	Compound Code	Conc. (µg/ml)	zone of inhibition in mm			
			<i>S.aureus</i>	<i>B.pumilus</i>	<i>E.coli</i>	<i>K.pneumoniae</i>
1.	A-1	100	17	16	15	18
2.	A-2	100	18	17	16	18
3.	A-3	100	22	17	19	20
4.	A-4	100	21	19	18	23
5.	A-5	100	18	16	17	17
6.	A-6	100	16	15	16	18
7.	Ciprofloxacin	100	30	35	38	35



Images of zones observed during in vitro antibacterial activity of 1,4-Naphthoquinone derivatives synthesised



**Zone of inhibition by different compound in compare to the standard drug.**

#### IV. CONCLUSION

It can be concluded that a combination of alternatives from 1,4, naphthoquinone could suggest new techniques for researchers by conducting further research in related areas. The current research work also sets out the benefits of using alkyl amino acid ester for therapeutic purposes. The combination of such products offers a variety of benefits such as obtaining the desired biological function, reducing side effects, modifying the availability of body composition, increasing solubility and providing stability to chemical structures.

#### SUMMARY

Combined chemicals tested for antimicrobial and anti-inflammatory activity. The action of antimicrobials in combination chemicals was determined against bacterial strains. E. coli and S.aureus are used as usual using ciprofloxacin, respectively. All synthetic compounds have been shown to be effective in measuring antibacterial activity. Anti-inflammatory activity is performed in the form of carrageenan-induced rat-paw edema. Diclofenac sodium was used as a generic drug. The results are discussed to demonstrate the anti-inflammatory power of 1,4-naphthoquinone derivatives and to highlight the obvious benefits of incorporating alkyl amino acid esters into the 1,4-naphthoquinone nucleus in relation to its therapeutic activity. The chemicals A-1, A-4 and A-5 have a significant antibacterial activity compared to ciprofloxacin. Chemicals A-3 and A-6 were found to have more anti-inflammatory properties compared to diclofenac sodium.

#### REFERENCES

- Hongju Liu 1,\* , Chong Yan 1 , Changqun Li 1 (2020) Naphthoquinone Derivatives with Anti-Inflammatory Activity from Mangrove-Derived Endophytic Fungus Talaromyces sp. SK-S009.
- Palanisamy Ravichandiran 1 , Sunirmal Sheet 2 , Dhanraj Premnath 3 (2019) 1,4-Naphthoquinone Analogues: Potent Antibacterial Agents and Mode of Action Evaluation.
- Silvia Helena Cardoso,\* , Cleidijane Rodrigues de Oliveiraa , Emiliano Barretob,\*\*(2018) Synthesis of newly



- functionalized 1,4-naphthoquinone derivatives and their effects on wound healing in alloxan-induced diabetic mice.
4. Monika Kadela-Tomanek 1,\* , Ewa B łebenek 1 , Elwira Chrobak 1 ( 2017) Alkoxy and Eneidyne Derivatives Containing 1,4-Benzoquinone Subunits—Synthesis and Antitumor Activity.
  5. Juan M. Sa ́nchez-Calvo1 • Gara R. Barbero2 (2016) Synthesis, antibacterial and antifungal activities of naphthoquinone derivatives: a structure–activity relationship study.
  6. Liu, B.; Ji, S. J., *Synt. Commun.*, **2008**, 38, 1201.
  7. Bahmanyar, S.; Ye, W.; Dickman, P.W.; Nyren, O. Long-term risk of gastric cancer by subsite in operated and unoperated patients hospitalized for peptic ulcer. *Am. J. Gastroenterol.* **2007**, 102, 1185-1191.
  8. Fieser, L. F., and Fieser, M. (1948) *J. Am. Chem. SOC.* **70**, 3214-3222.
  9. Kieslich, K. (1976) *Microbial Transformations of Non-steroid Cyclic Compounds*, p. 531-533, John Wiley and Sons, Inc., New York.
  10. Kim, J. S.; Lee, H. J.; Suh, M. E.; Choo, H. Y. P.; Lee, S. K.; Park, H. J.; Kim, C.; Park, S. W.; Lee, C. O. *Bioorg. Med. Chem.* 2004, 12, 3683.
  11. Lee, E. J.; Lee, H. J.; Park, H. J.; Min, H. Y.; Suh, M. E.; Chung, H. J.; Lee, S. K. *Bioorg. Med. Chem. Lett.* 2004, 14, 5175.
  12. Tandon, V. K.; Chhor, R. B.; Singh, R. V.; Rai, S.; Yadav, D. B. *Bioorg. Med. Chem. Lett.* 2004, 14, 1079.
  13. Tandon, V. K.; Singh, R. V.; Yadav, D. B. *Bioorg. Med. Chem. Lett.* 2004, 14, 2901.
  14. Silva, M. N.; Ferreira, V. F.; Souza, M. C. B. V., *Quím. Nova*, **2003**, 26, 407.
  15. Cunha, A. C.; Pereira, L. O. R. ; Souza, R. O. P. ; Souza, M. C. B. V.; Ferreira, V. F., *Nucleosides, Nucleotides Nucleic Acids*, **2001**, 20, 1555.
  16. Phutdhawong, P. S.; Ruensamran, W.; Phutdhawong, W.; Taechowisan, T. *Biorg Med Chem Lett* 2009, 19, 5753.



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