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SYNTHESIS, CHARACTERIZATION AND ANTIMICROBIAL EVALUATION OF SOME PEPTIDE COUPLED QUINAZOLIN-4(3H)-ONES

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ABSTRACT

The present study describes the synthesis, characterization, and antimicrobial evaluation of a series of novel peptide-coupled quinazolin-4(3H)-one derivatives. Sixteen substituted styryl peptide-linked quinazolinone analogues (QP-1 to QP-16) were synthesized through a three-step synthetic route involving the preparation of 2-methyl-4H-3,1-benzoxazin-4-one, peptide-coupled quinazolinone intermediates, and their condensation with various substituted aromatic aldehydes. The synthesized compounds were purified and structurally characterized using melting point determination, thin-layer chromatography (TLC), elemental analysis, FT-IR, ^1H NMR, and FAB-mass spectrometry, confirming the successful formation of the target molecules. The antimicrobial activity was evaluated against Gram-positive bacteria (*Staphylococcus aureus* and *Micrococcus luteus*), Gram-negative bacteria (*Escherichia coli* and *Klebsiella pneumoniae*), and fungal strains (*Saccharomyces cerevisiae* and *Aspergillus niger*) using the disc diffusion method. Several derivatives exhibited promising antibacterial and antifungal activities, with compounds QP-1, QP-4, QP-9, QP-12, and QP-13 demonstrating comparatively higher zones of inhibition. The results suggest that electron-withdrawing substituents, particularly halogen and nitro groups, enhance antimicrobial potency. These findings indicate that peptide-coupled quinazolin-4(3H)-one derivatives represent promising lead molecules for the development of new antimicrobial agents and warrant further pharmacological and structure–activity relationship investigations.

KEYWORDS: Quinazolin-4(3h)-One; Peptide-Coupled Derivatives; Antimicrobial Activity; Antibacterial; Antifungal.

1. INTRODUCTION

1.1. Quinazolinone

Quinazolinone ring is an benzo-pyrimidine system, earlier known as benzo-1,3-diazine was prepared in the laboratory by Gabriel in 1903. It is yellow and crystalline in nature [1]. The recent literature contains much information concerning the synthesis and Pharmacological activity of the quinazolinone. The quinazolinone skeleton is a building block for the preparation of natural purine base, alkaloids, many biologically active compounds and intermediates in organic synthesis. The quinazolinone moiety is a key pharmacophore with a wide range of pharmacological properties, including anti-cancer, antibacterial, anti-HIV, anti-inflammatory, antifungal, analgesic, antihyperglycemic, and anticonvulsant properties [2-4].

Compounds containing a fused quinazolinone ring belong to a broad class of compounds which have received a considerable attention over the past years due to their wide range of biological activities. Some of amino-quinazolinone derivatives were found to be cardiac stimulants, they were also found as inhibitors of the tyrosine kinase or dihydrofolate reductase enzymes so they work as potent anticancer agents. They are also used for hypertension, malaria and to fight infections involving AIDS [5]. Besides biological activity, quinazolinones have O and N donor atoms, so they can act as good chelating agents. Many efforts have been focused on the modification of structure of quinazolinone for development of clinically suitable compounds. Both naturally occurring and synthetic quinazolines and quinazolinones have attracted widespread attention due to their diverse range of pharmacological activities. These structures are defined by the location of the oxygen and the hydrogen on the nitrogen [6]. Of the many derivatives of quinazoline system known so far, keto-quinazolines also called as quinazolinones, are the most important compounds. Depending upon the position of the keto or oxo group, these compounds may be classified into two types: 2-(1H) quinazolinones (or) 1,2-dihydro-2-oxo quinazolines and 4(3H)-quinazolines or 3, 4- dihydro-oxoquinazoline [7]. These systems exhibit lactam-lactim tautomerism and undergo hydroxyl group replacement reactions. 2-Cyano-4(3H)-quinazolinone was the first quinazolinone derivative to be synthesized.

1.2. Peptides

A peptide consists of two or more amino acids linked by a peptide bond between carboxylic group of one amino acid and the amino group of another with removal of one mole of water. These are short polymers formed from the linking in a defined order of alpha amino acids. The link between one amino acid residue and the next is called an bond or peptide bond.

Depending upon the number of amino acids residue per molecule, they are classified as dipeptides, tripeptides and tetrapeptides [8,9].

The monomers present as repeated units in peptides and proteins are α-amino acids. The α-carbon is an asymmetric, bonded to four different substituent groups and is thus a chiral center. Today 20 amino acids are known as genetically encoded as building blocks of peptides and proteins [10]. Almost all of them present in peptides have L-configuration. D amino acids have been found only in small peptides of bacterial cell walls, peptide antibiotic and peptides in South American frog skin Synthetic peptides are used as drugs and diagnostic agents. Peptide drugs are either naturally-occurring peptides or altered natural peptides. There are many naturally occurring peptides that are biologically active. In addition, the amino acids in an active peptide can be altered to make analogues of the original peptide. If the analogue is more biochemically active than the original peptide it is known as an agonist and if it has the reverse effect is known as an antagonist [11,12].

2. Materials and method

The 2-amino benzoic acid, acetic anhydride was purchased from Merck, India. The methyl 3-(2-aminopentanamido)-2-methylpropanoate, ethyl 3-(2-aminopentanamido)-2-methyl propanoate, glacial acetic acid, and substituted aldehydes were procured from sigma Aldrich, India. All the chemicals were purchased from Sigma Aldrich and Merck India are analytical grade and solvents used for the reactions were distilled before use.

2.1. Synthesis scheme

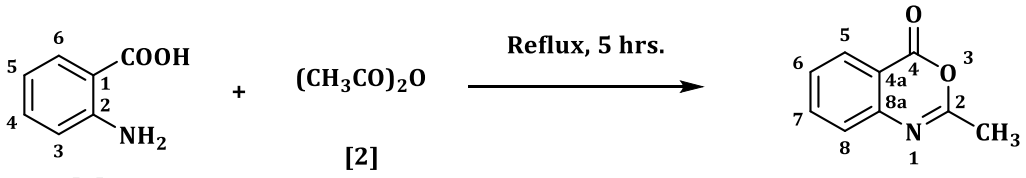
Synthesis of new 4(3H)-quinazolinone derivatives involves the following three steps.

Step 1: Synthesis of 2-methyl-4H-3,1-benzoxazin-4-one

Step 2: Synthesis of 2-methyl-3-peptido quinazolin-4-(3H)-ones

Step 3: Synthesis of 2-styryl-3-peptido quinazolin-4-(3H) ones

STEP 1: Synthesis of 2-methyl-4H-3,1-benzoxazin-4-one



[1] 2-aminobenzoic acid + [2] acetic anhydride → [3] 2-methyl-4*H*-benzo[*d*][1,3]oxazin-4-one

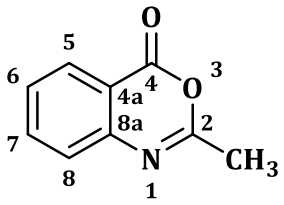
Procedure: Anthranilic acid (2-aminobenzoic acid) (0.01M) [Compound 1] and acetic anhydride (0.02M) [Compound 2] were refluxed for 5 hours and the surplus acetic anhydride

was removed under pressure in this reaction carried out under anhydrous conditions. The result (2-methyl-4H-benzo[d][1,3]oxazin-4-one) Compound 3 was soon cooled to room temperature and employed for the following phase due to its longer-term instability. [55] Table 1 shows the amount of chemicals used in the synthesis process. Table 2 shows the physicochemical parameters of Compound 3.

Table 1: Quantity of chemicals taken

S. No.	Name of Chemicals	Mol. formula	Mol. Weight	Quantity (gm)
1.	2-aminobenzoic acid	$C_7H_7NO_2$	137.14	1.37
2.	Acetic anhydride	$C_4H_6O_3$	102.09	2.04

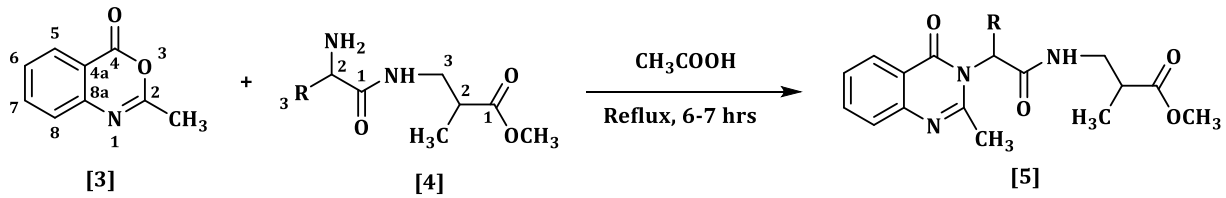
Table 2: Physicochemical properties of synthesized compounds



Compound 3

S. No.	Code	Percent Yield	Melting point	Chemical formula	Mol. Weight	Rf Value
1.	Comp. 3	78.54%	144-145 ˚C	$C_9H_7NO_2$	161.16	0.51

Step 2: Synthesis of 2-methyl-3-peptido quinazolin-4-(3H)-ones



[3] [4] [5]

Procedure: This process, 2-methyl-4H-benzo[d][1,3]oxazin-4-one, is carried out under anhydrous condition (0.01M) Compound 3 was dissolved in methyl 3-(2-aminopentanamido)-2-methylpropanoate and glacial acetic acid (0.01M) In the reaction mixture, compound 4 was added. Under regulated conditions, the reaction mixture was refluxed for 6-7 hours. TLC was used to monitor the reaction using a solvent system of n-hexane: ethyl acetate (8:2). The reaction mixture was allowed to cool to ambient temperature before being poured onto crushed ice to yield the crude solid product[56] Compound 5. Table

3 shows the chemical quantities, whereas Table 4 shows the physical attributes of Compound 5.

Table 3: Quantity of chemicals taken.

S. No.	Name of Chemicals	Mol. formula	Mol. Weight	Quant (gm)
1.	2-methyl-4H-benzo[d][1,3]oxazin-4-one	$C_9H_7NO_2$	161.16	1.61
2.	methyl 3-(2-aminopentanamido)-2-methyl propanoate	$C_{10}H_{20}N_2O_3$	216.28	2.16
3.	methyl 3-(2-aminobutanamido)-2-methyl propanoate	$C_9H_{18}N_2O_3$	202.25	2.30

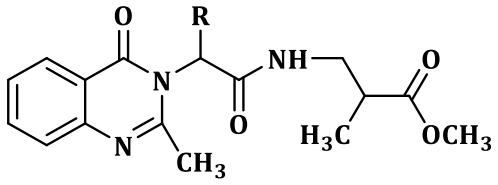


Table 4: Physicochemical properties of synthesized compounds.

S. No.	R	Name	Percent Yield	Melting point	Chemical formula	Mol. Weight	Rf Value
1.	CH_3	methyl 2-methyl-3-(2-(2-methyl-4-oxoquinazolin-3(4H)-yl)propanamido) propanoate **(Comp. 5)**	88.25%	172-174 ˚C	$C_{17}H_{21}N_3O_4$	331.37	0.64
2.	C_2H_5	methyl 2-methyl-3-(2-(2-methyl-4-oxoquinazolin-3(4H)-yl) butanamido) propanoate **(Comp. 5)**	75.26%	235-237 ˚C	$C_{18}H_{23}N_3O_4$	345.40	0.57

IR spectral analysis of compound 5

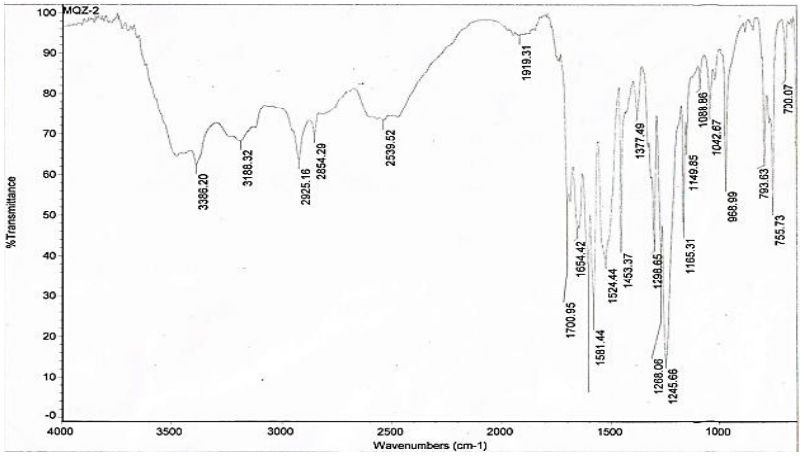


Figure 1: IR spectrum of Compound 5 contain CH_3 at R position.

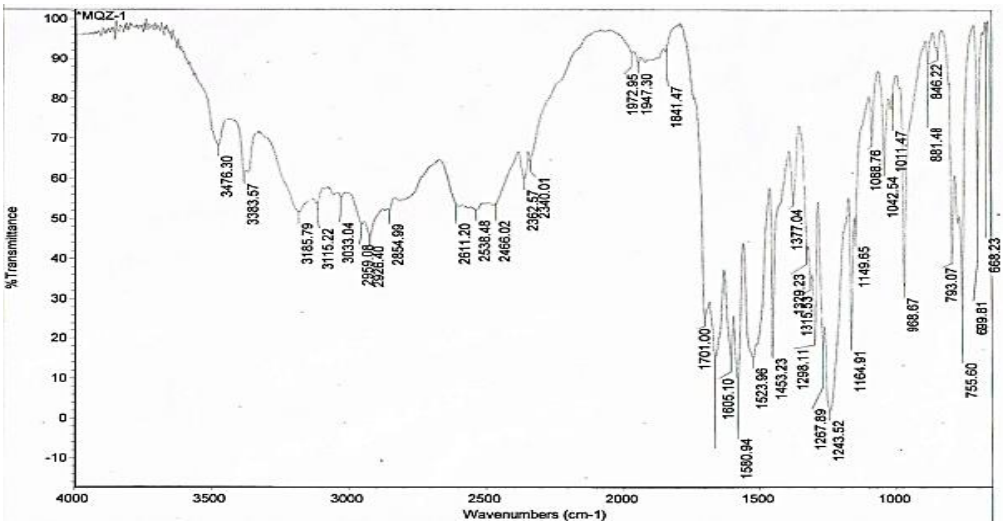
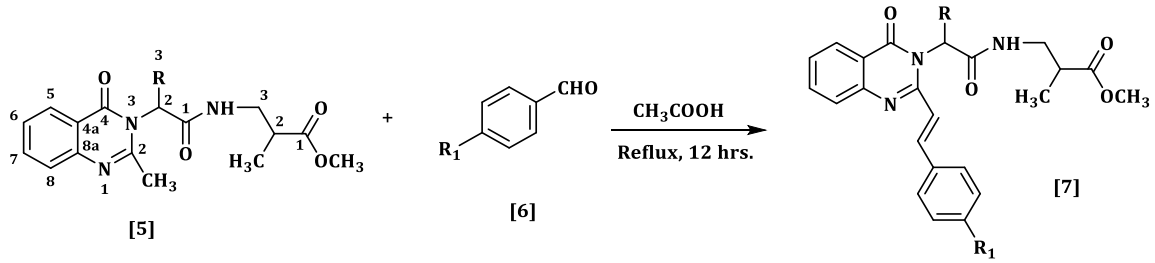


Figure 2: IR spectrum of Compound 5 contain C_2H_5 at R position.

Step 3: Synthesis of 2-styryl-3-peptido quinazolin-4-(3H) ones



Procedure: Compound 5 was dissolved in glacial acetic acid and refluxed for 12 hrs with a combination of substituted-2-methyl-3-peptido quinazolin-4-(3H)-ones (0.01M) and different 4-substituted benzaldehydes (0.01M). The reaction was monitored using a solvent system (n-hexane: ethyl acetate) and thin layer chromatography (TLC) (7:3). To get the solid product (Compound 7, QP-1 to QP16), the reaction mixture was put into ice cold water, filtered, dried, and recrystallized from ethanol. [57] Table 5 shows the chemical amount, whereas Table 6 shows the physicochemical parameters of Compound 7, (QP-1 to QP16).

Table 5: Quantity of chemicals taken.

S. No.	Name of Chemicals	Mol. formula	Mol. Weight	Quant (gm)
1.	methyl 2-methyl-3-(2-(2-methyl-4-oxoquinazolin-3(4H)-yl)propanamido)propanoate	$C_{17}H_{21}N_3O_4$	331.37	3.31
2.	methyl 2-methyl-3-(2-(2-methyl-4-oxoquinazolin-3(4H)-yl)butanamido)propanoate	$C_{18}H_{23}N_3O_4$	345.40	3.45
3.	4-chlorobenzaldehyde	C_7H_5ClO	140.57	1.40
4.	4-bromobenzaldehyde	C_7H_5BrO	185.02	1.85
5.	4-fluorobenzaldehyde	C_7H_5FO	124.11	1.24

6.	4-nitrobenzaldehyde	$C_7H_5NO_3$	151.12	1.51
7.	4-methylbenzaldehyde	C_8H_8O	120.15	1.20
8.	4-methoxybenzaldehyde	C_8H_8O2	136.15	1.36
9.	4-ethylbenzaldehyde	$C_9H_{10}O$	134.18	1.34
10.	4-ethoxybenzaldehyde	$C_9H_{10}O_2$	150.18	1.50

2.2. Characterization

List of Synthesized compounds: In this thesis total 16 (sixteen) compounds have been synthesized (Table 6) and purified by column chromatography. All compound were characterized by the IR, 1HNMR, mass and elemental analysis.

Table 6: List of Final synthesized compounds.

S. No.	Code	Chemical name
1.	QP-1	Methyl-(E)-3-(2-(2-(4-chlorostyryl)-4-oxoquinazolin-3(4H)-yl)propan-amido)-2-methyl propanoate
2.	QP-2	Methyl-(E)-3-(2-(2-(4-bromostyryl)-4-oxoquinazolin-3(4H)-yl)propan-amido)-2-methylpropanoate
3.	QP-3	Methyl-(E)-3-(2-(2-(4-fluorostyryl)-4-oxoquinazolin-3(4H)-yl)propan-amido)-2-methylpropanoate
4.	QP-4	Methyl-(E)-2-methyl-3-(2-(2-(4-nitrostyryl)-4-oxoquinazolin-3(4H)-yl)propanamido)propanoate
5.	QP-5	Methyl-(E)-2-methyl-3-(2-(2-(4-methylstyryl)-4-oxoquinazolin-3(4H)-yl)propanamido)propanoate
6.	QP-6	Methyl-(E)-3-(2-(2-(4-methoxystyryl)-4-oxoquinazolin-3(4H)-yl)propanamido)-2-methylpropanoate
7.	QP-7	Methyl-(E)-3-(2-(2-(4-ethylstyryl)-4-oxoquinazolin-3(4H)-yl)propan amido)-2-methylpropanoate
8.	QP-8	Methyl-(E)-3-(2-(2-(4-ethoxystyryl)-4-oxoquinazolin-3(4H)-yl)propan-amido)-2-methylpropanoate
9.	QP-9	Methyl-(E)-3-(2-(2-(4-chlorostyryl)-4-oxoquinazolin-3(4H)-yl)butanamido)-2-methylpropanoate
10.	QP-10	Methyl-(E)-3-(2-(2-(4-bromostyryl)-4-oxoquinazolin-3(4H)-yl)butan-amido)-2-methylpropanoate
11.	QP-11	Methyl-(E)-3-(2-(2-(4-fluorostyryl)-4-oxoquinazolin-3(4H)-yl)butan amido)-2-methylpropanoate
12.	QP-12	Methyl-(E)-2-methyl-3-(2-(2-(4-nitrostyryl)-4-oxoquinazolin-3(4H)-yl)-butanamido)propanoate
13.	QP-13	Methyl-(E)-2-methyl-3-(2-(2-(4-methylstyryl)-4-oxoquinazolin-3(4H)-yl) butanamido)propanoate
14.	QP-14	Methyl-(E)-3-(2-(2-(4-methoxystyryl)-4-oxoquinazolin-3(4H)-yl)butan amido)-2-methylpropanoate
15.	QP-15	Methyl-(E)-3-(2-(2-(4-ethylstyryl)-4-oxoquinazolin-3(4H)-yl)butanamido)-2-methylpropanoate
16.	QP-16	Methyl-(E)-3-(2-(2-(4-ethoxystyryl)-4-oxoquinazolin-3(4H)-yl)butanamido)-2-methylpropanoate

2.3. Qualitative analysis

(a) Melting point- Open capillary tubes were used to determine the melting point of the produced compounds, as stated in Table 7.

(a) Solubility- At room temperature (18-30°C), the solubility of the produced product was tested in various solvents. Table 8 shows the solubility of the produced chemical.

(c) Elements test (Lassaigne's test): Each compound's sodium fusion extract was made and evaluated for nitrogen, sulphur, and halogens. All of the produced compounds were found to be nitrogen, sulphur, and halogen positive [146].

(d) Bromine test for un-saturation:

With steady shaking, dissolve the synthesized final product in a suitable solvent with 4-5 drops of bromine water added. The chemical was unsaturated and the double bond was present, as evidenced by the brown color discharge. Wherever possible, the synthesized compounds were submitted to qualitative analyses for nitrogen, sulphur, and halogen. Elemental vario EL III Carlo-Erba 1108 was used to do quantitative analysis for nitrogen, oxygen, and sulphur.

(e) Bruker alpha-II software was used to record IR spectra.

(f) NMR spectra were obtained using the C13 Advance Brucker DRX 300 MHz spectrometer.

(g) Mass spectra were acquired using the rapid moving bombardment (FAB) method on a JeolSx 102/DA-6000 mass spectrometer.

Table 7: Physicochemical properties of the synthesized compounds.

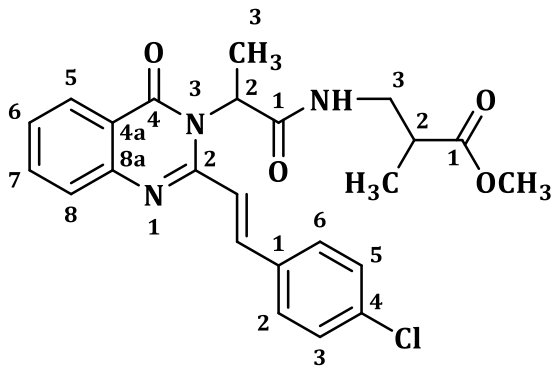
S. No.	Code	Percent Yield	Melting point	Chemical formula	Mol. Weight
1.	QP-1	85	232-234°C	$C_{24}H_{24}ClN_3O_4$	453.92
2.	QP-2	78	226-228°C	$C_{24}H_{24}BrN_3O_4$	498.38
3.	QP-3	62	245-247°C	$C_{24}H_{24}FN_3O_4$	437.47
4.	QP-4	80	223-225°C	$C_{24}H_{24}N_4O_6$	464.48
5.	QP-5	72	204-206°C	$C_{25}H_{27}N_3O_4$	433.51
6.	QP-6	68	210-212°C	$C_{25}H_{27}N_3O_5$	449.51
7.	QP-7	62	205-207°C	$C_{26}H_{29}N_3O_4$	447.54
8.	QP-8	60	222-224°C	$C_{26}H_{29}N_3O_5$	463.53
9.	QP-9	82	232-234°C	$C_{25}H_{26}ClN_3O_4$	467.95
10.	QP-10	75	252-254°C	$C_{25}H_{26}BrN_3O_4$	512.40
11.	QP-11	60	214-216°C	$C_{25}H_{26}FN_3O_4$	451.50
12.	QP-12	75	208-210°C	$C_{25}H_{26}N_4O_6$	478.51
13.	QP-13	68	226-228°C	$C_{26}H_{29}N_3O_4$	447.54
14.	QP-14	62	200-202°C	$C_{26}H_{29}N_3O_5$	463.53
15.	QP-15	58	196-198°C	$C_{27}H_{31}N_3O_4$	451.56
16.	QP-16	48	165-168°C	$C_{27}H_{31}N_3O_5$	477.56

Table 8: Solubility Data of Synthesized Compounds.

S. No.	Code No.	Cool water	Hot water	Ethyl alcohol	Ether	Acetone	Chloroform	DMSO
1.	QP-1	-	-	+++	++	-	-	+++
2.	QP-2	-	-	+++	++	+	-	+++
3.	QP-3	-	-	+++	++	+	+	+++
4.	QP-4	-	-	+++	++	++	-	+++
5.	QP-5	-	-	+++	++	++	-	+++
6.	QP-6	-	-	+++	++	+	-	+++
7.	QP-7	-	-	+++	++	+	+	+++
8.	QP-8	-	-	+++	++	-	-	+++
9.	QP-9	-	-	+++	++	+	-	+++
10.	QP-10	-	-	+++	++	++	-	+++
11.	QP-11	-	-	+++	++	-	-	+++
12.	QP-12	-	-	+++	++	+	-	+++
13.	QP-13	-	-	+++	++	++	-	+++
14.	QP-14	-	-	+++	++	-	-	+++
15.	QP-15	-	-	+++	++	++	-	+++
16.	QP-16	-	-	+++	++	-	-	+++

2.4. Characterization of the synthesized compounds by IR, NMR, MASS and Elementary analysis

Compound Code QP-1



IUPAC name: Methyl-(E)-3-(2-(2-(4-chlorostyryl)-4-oxoquinazolin-3(4H)-yl)propan amido)-2-methyl propanoate

Chemical Formula: $C_{24}H_{24}ClN_3O_4$

Molecular Weight: 453.92

Elemental Analysis

Elements	C	N	O
Calculated	63.51	9.26	14.10
Found	63.49	9.25	14.09

IR (cm^{-1})

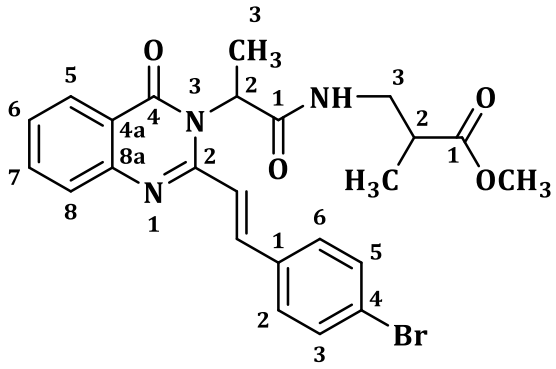
S. No.	Wave No. (cm^{-1})	Vibration mode
1.	3428.15	(N-H)
2.	1702.12	(C=O)
3.	1456.31	(C=N)
4.	1652.23	(C=C)
5.	1092.32	(C-O)
6.	2917.32	(C-H)
7.	2854.23	$-CH_2$
8.	852.22	(C-Cl)

1HNMR (ppm)

7.48-8.02 (4H); 7.56-7.68 (2H, Phenylic proton in 2-position of quinazolinone); 8.02 (1H, s, 3-propionamidopropanoate); 8.15 (1H, s ethylene); 6.66 (1H, s, ethylene), 1.46 (3H, s, methylene); 3.50 (3H, s, methyl), 4.62 (1H, s, methine)

FAB Mass (m/z): 453.15 (Quassi-molecular ion peak (M+H)+)

Compound code: QP-2



IUPAC Name: methyl (E)-3-(2-(2-(4-bromostyryl)-4-oxoquinazolin-3(4H)-yl)propan-amido)-2-methylpropanoate

Chemical Formula: $C_{24}H_{24}BrN_3O_4$

Molecular Weight: 498.38

Elemental Analysis

Elements	C	N	O
Calculated	57.84	8.43	12.84
Found	57.80	8.40	12.80

IR (cm^{-1})

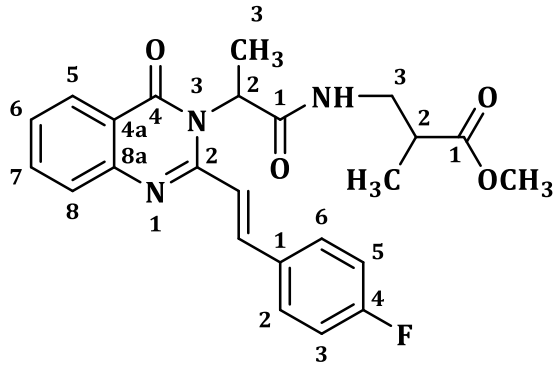
S. No.	Wave No. (cm^{-1})	Vibration mode
1.	3438.15	(N-H)
2.	1705.12	(C=O)
3.	1453.31	(C=N)
4.	1653.23	(C=C)
5.	1092.32	(C-O)
6.	2928.32	(C-H)
7.	2852.23	$-CH_2$
8.	1015.32	(C-Br)

1HNMR (ppm)

7.52-8.16 (4H); 7.62-7.70 (2H, Phenylic proton in 2-position of quinazolinone); 8.06 (1H, s, 3-propionamidopropanoate); 8.12 (1H, s ethylene); 6.65 (1H, s, ethylene), 1.48 (3H, s, methylene); 2.88 (1H, s, methine), 3.52 (3H, s, methyl), 4.64 (1H, s, methine)

FAB Mass (m/z): 497.10 (Quassi-molecular ion peak (M+H)+)

Compound code: QP-3



IUPAC name: Methyl-(E)-3-(2-(2-(4-fluorostyryl)-4-oxoquinazolin-3(4H)-yl)propan-amido)-2-methylpropanoate

Chemical Formula: $C_{24}H_{24}FN_3O_4$

Molecular Weight: 437.47

Elemental Analysis

Elements	C	N	O
Calculated	65.89	9.61	14.63
Found	65.85	9.60	14.60

IR (cm^{-1})

S. No.	Wave No. (cm^{-1})	Vibration mode
1.	3439.15	(N-H)
2.	1705.12	(C=O)

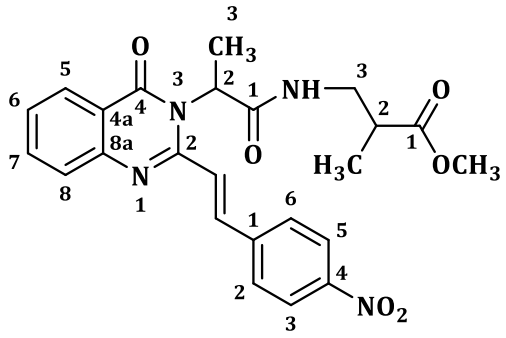
3.	1452.31	(C=N)
4.	1652.23	(C=C)
5.	1090.32	(C-O)
6.	2917.32	(C-H)
7.	2854.23	-CH_2
8.	1102.22	(C-F)

1HNMR (ppm)

7.52-8.16 (4H); 7.62-7.70 (2H, Phenylic proton in 2-position of quinazolinone); 8.06 (1H, s, 3-propionamidopropanoate); 8.12 (1H, s ethylene); 6.65 (1H, s, ethylene), 1.48 (3H, s, methylene); 2.88 (1H, s, methine), 3.52 (3H, s, methyl), 4.64 (1H, s, methine)

FAB Mass (m/z): 437.18 (Quassi-molecular ion peak (M+H)+)

Compound Code QP-4



IUPAC name: methyl-(E)-2-methyl-3-(2-(2-(4-nitrostyryl)-4-oxoquinazolin-3(4H)-yl)-propanamido)propanoate

Chemical Formula: $C_{24}H_{24}N_4O_6$

Molecular Weight: 464.48

Elemental Analysis

Elements	C	N	O
Calculated	61.59	11.59	19.25
Found	61.52	11.56	19.20

IR (cm^{-1})

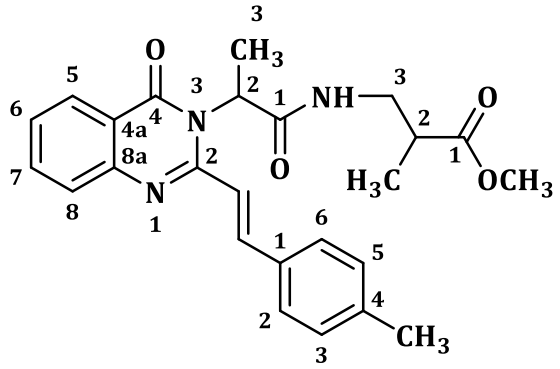
S. No.	Wave No. (cm^{-1})	Vibration mode
1.	3445.15	(N-H)
2.	1702.12	(C=O)
3.	1450.31	(C=N)
4.	1650.23	(C=C)
5.	1092.32	(C-O)
6.	2925.32	(C-H)
7.	2852.23	-CH_2
8.	1368.32	(N-O)
9.	1565.22	(N=O)

1HNMR (ppm)

7.52-8.16 (4H); 7.62-7.70 (2H, Phenylic proton in 2-position of quinazolinone); 8.06 (1H, s, 3-propionamidopropanoate); 8.12 (1H, s ethylene); 6.65 (1H, s, ethylene), 1.48 (3H, s, methylene); 2.88 (1H, s, methine), 3.52 (3H, s, methyl), 4.64 (1H, s, methine).

FAB Mass (m/z): 464.17 (Quassi-molecular ion peak (M+H)+)

Compound Code QP-5



IUPAC name: Methyl-(E)-2-methyl-3-(2-(2-(4-methylstyryl)-4-oxoquinazolin-3(4H)-yl) propanamido)propanoate

Chemical Formula: $C_{25}H_{27}N_3O_4$

Molecular Weight: 433.51

Elemental Analysis

Elements	C	N	O
Calculated	69.27	9.69	14.76
Found	69.25	9.65	14.72

IR (cm^{-1})

S. No.	Wave No. (cm^{-1})	Vibration mode
1.	3442.57	(N-H)
2.	1702.12	(C=O)
3.	1457.31	(C=N)
4.	1662.78	(C=C)
5.	1064.11	(C-O)
6.	3210.12	(C-H)
7.	2920.19	-CH_2

1HNMR (ppm)

7.54-8.12 (4H); 7.62-7.70 (2H, Phenylic proton in 2-position of quinazolinone); 8.06 (1H, s, 3-propionamidopropanoate); 8.15 (1H, s ethylene); 6.62 (1H, s, ethylene), 1.45 (3H, s, methylene); 2.86 (1H, s, methine), 3.51 (3H, s, methyl), 4.62 (1H, s, methine)

FAB Mass (m/z): 433.20 (Quassi-molecular ion peak (M+H)+)

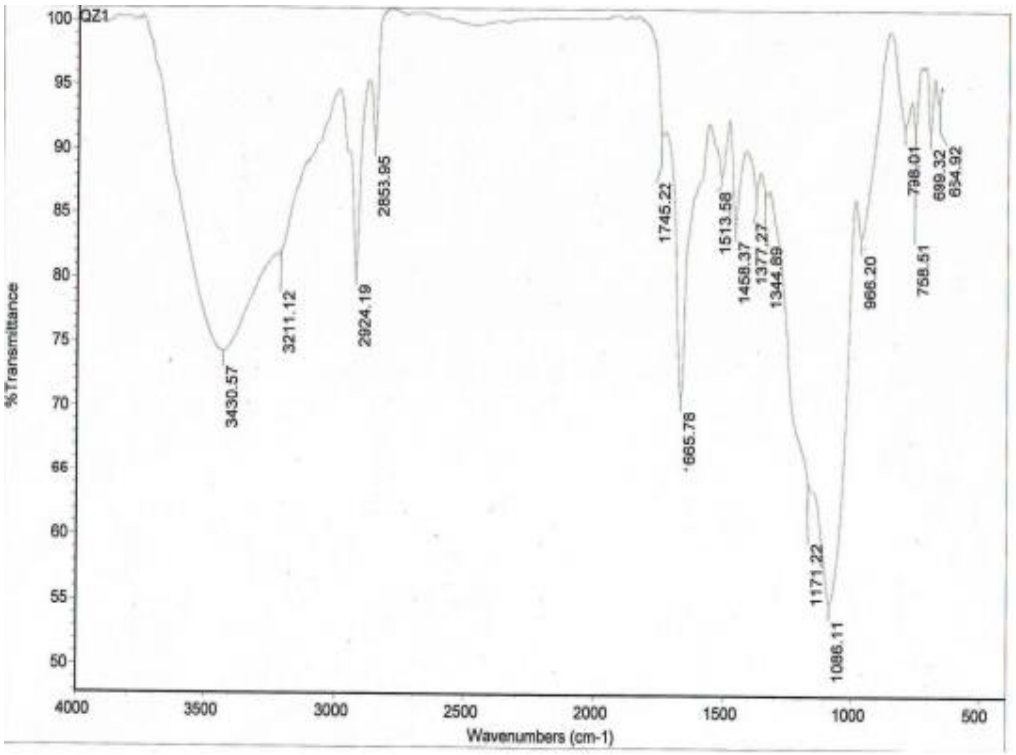


Fig. 3: IR spectrum of compound QP-5.

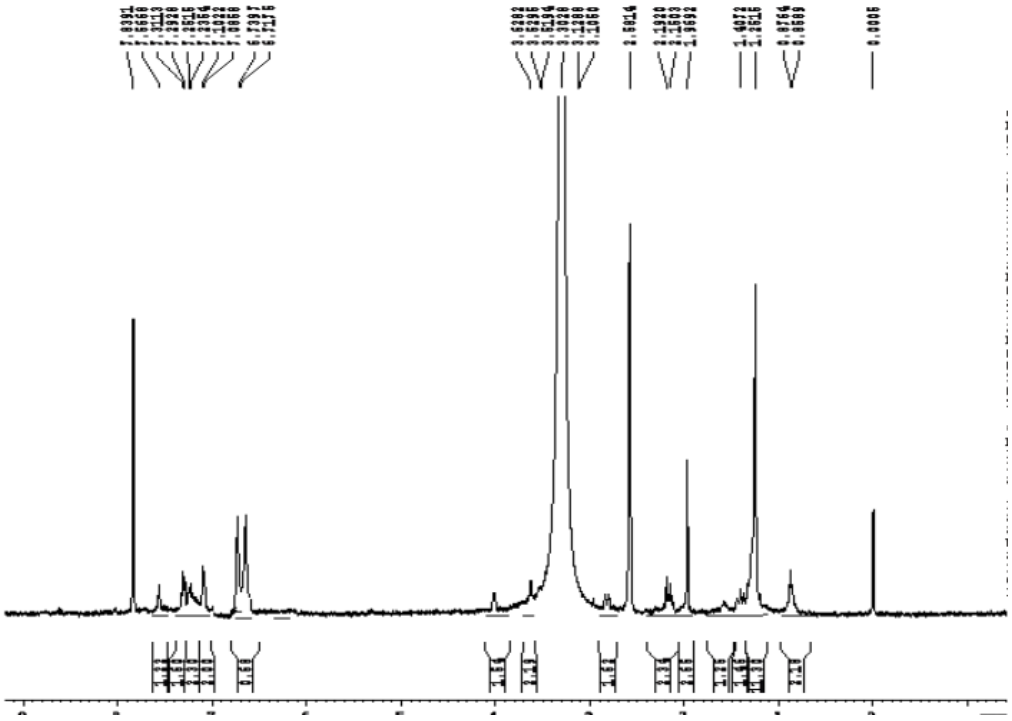
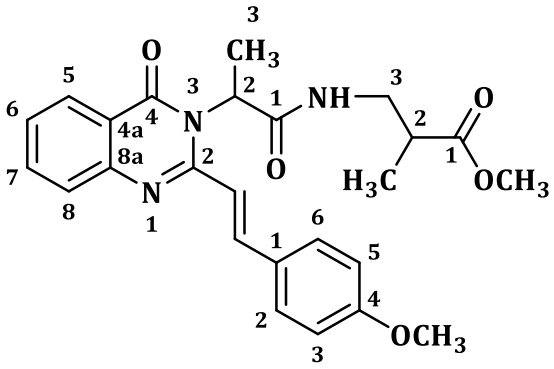


Fig. 4: 1HNMR spectrum of compound QP-5.

Compound Code QP-6



IUPAC name: Methyl-(E)-3-(2-(2-(4-methoxystyryl)-4-oxoquinazolin-3(4H)-yl)propan-amido)-2-methylpropanoate

Chemical Formula: $C_{25}H_{27}N_3O_5$

Molecular Weight: 449.51

Elemental Analysis

Elements	C	N	O
Calculated	66.80	9.35	17.80
Found	66.75	9.30	17.80

IR (cm^{-1})

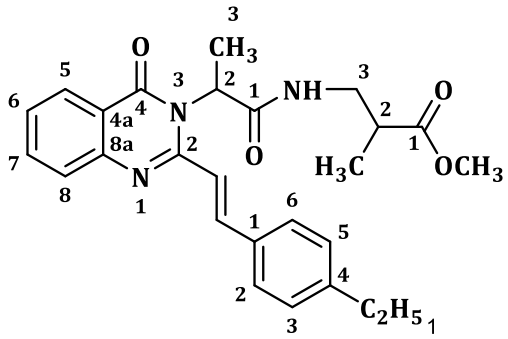
S. No.	Wave No. (cm^{-1})	Vibration mode
1.	3437.15	(N-H)
2.	1702.12	(C=O)
3.	1452.31	(C=N)
4.	1656.23	(C=C)
5.	1098.32	(C-O)
6.	2930.32	(C-H)
7.	2854.12	$-CH_2$

1HNMR (ppm)

7.50-8.10 (4H); 7.62-7.74 (2H, Phenylic proton in 2-position of quinazolinone); 8.03 (1H, s, 3-propionamidopropanoate); 8.15 (1H, s ethylene); 6.62 (1H, s, ethylene), 1.45 (3H, s, methylene); 2.85 (1H, s, methine), 3.50 (3H, s, methyl), 4.62 (1H, s, methine)

FAB Mass (m/z): 449.20 (Quassi-molecular ion peak (M+H)+)

Compound Code QP-7



IUPAC name: Methyl-(E)-3-(2-(2-(4-ethylstyryl)-4-oxoquinazolin-3(4H)-yl)propan amido)-2-methylpropanoate

Chemical Formula: $C_{26}H_{29}N_3O_4$

Molecular Weight: 447.54

Elemental Analysis

Elements	C	N	O
Calculated	69.78	9.39	14.30
Found	69.75	9.36	14.25

IR (cm^{-1})

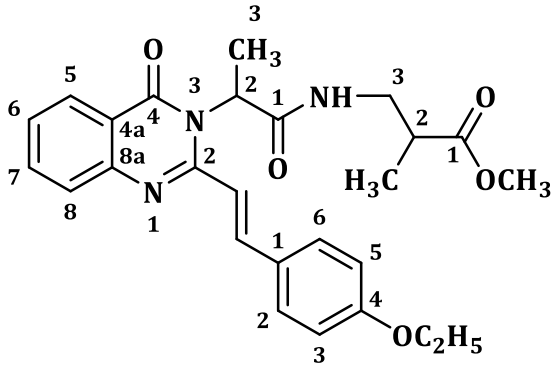
S. No.	Wave No. (cm^{-1})	Vibration mode
1.	3438.35	(N-H)
2.	1705.23	(C=O)
3.	1452.65	(C=N)
4.	1652.13	(C=C)
5.	1095.42	(C-O)
6.	2920.12	(C-H)
7.	2757.23	$-CH_2$
8.	850.03	(C-Cl)

1HNMR (ppm)

δ 7.54-8.16 (4H); 7.62-7.70 (2H, Phenylic proton in 2-position of quinazolinone); 8.03 (1H, s, 3-propionamidopropanoate); 8.15 (1H, s ethylene); 6.62 (1H, s, ethylene), 1.52 (3H, s, methylene); 2.85 (1H, s, methine), 3.50 (3H, s, methyl), 4.62 (1H, s, methine).

FAB Mass (m/z): 447.22 (Quassi-molecular ion peak (M+H)+)

Compound Code QP-8



IUPAC name: Methyl-(E)-3-(2-(2-(4-ethoxystyryl)-4-oxoquinazolin-3(4H)-yl)propan-amido)-2-methylpropanoate

Chemical Formula: $C_{26}H_{29}N_3O_5$

Molecular Weight: 463.53

Elemental Analysis

Elements	C	N	O
Calculated	67.37	9.07	17.26
Found	67.35	9.05	17.22

IR (cm^{-1})

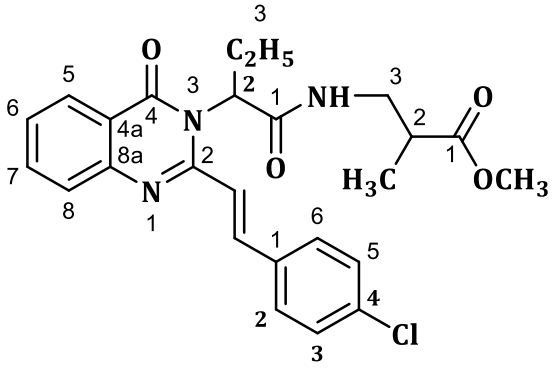
S. No.	Wave No. (cm^{-1})	Vibration mode
1.	3423.15	(N-H)
2.	1708.12	(C=O)
3.	1450.31	(C=N)
4.	1652.23	(C=C)
5.	1093.32	(C-O)
6.	2917.32	(C-H)
7.	2854.12	$-CH_2$
8.	850.46	(C-Cl)

1HNMR (ppm)

δ 7.50-8.15 (4H); 7.62-7.68 (2H, Phenylic proton in 2-position of quinazolinone); 8.03 (1H, s, 3-propionamidopropanoate); 8.12 (1H, s ethylene); 6.65 (1H, s, ethylene), 1.45 (3H, s, methylene); 2.86 (1H, s, methine), 3.50 (3H, s, methyl), 4.62 (1H, s, methine)

FAB Mass (m/z): 463.45 (Quassi-molecular ion peak (M+H)+)

Compound Code QP-9



IUPAC name: Methyl-(E)-3-(2-(2-(4-chlorostyryl)-4-oxoquinazolin-3(4H)-yl)butanamido)-2-methylpropanoate

Chemical Formula: $C_{25}H_{26}ClN_3O_4$

Molecular weight: 467.95

Elemental Analysis

Elements	C	N	O
Calculated	64.17	8.98	13.68
Found	64.15	8.96	13.65

IR (cm^{-1})

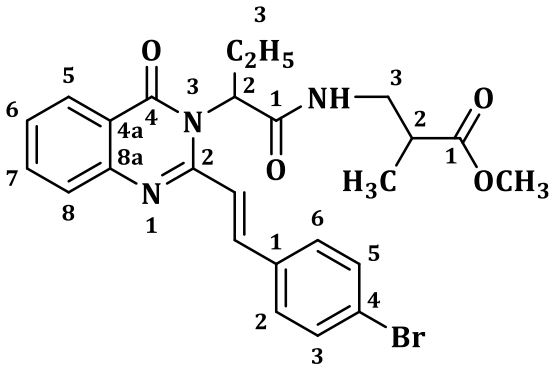
S. No.	Wave No. (cm^{-1})	Vibration mode
1.	3423.15	(N-H)
2.	1702.12	(C=O)
3.	1456.31	(C=N)
4.	1652.23	(C=C)
5.	1090.32	(C-O)
6.	2917.32	(C-H)
7.	2850.23	$-CH_2$
8.	849.22	(C-Cl)

1HNMR (ppm)

7.52-8.16 (m, 4H); 7.62-7.70 (m, 2H, Phenylic proton in 2-position of quinazolinone); 8.02 (1H, s, 3-propionamidopropanoate); 8.21 (1H, s ethylene); 6.62 (s, 1H, ethylene), 1.46 (m, 3H, s, methylene); 2.86 (1H, s, methine), 3.50 (m, 3H, s, methyl), 4.64 (1H, s, methine)

FAB Mass (m/z): 467.16 (Quassi-molecular ion peak (M+H)+)

Compound Code QP-10



IUPAC name: Methyl-(E)-3-(2-(2-(4-bromostyryl)-4-oxoquinazolin-3(4H)-yl)butan-amido)-2-methylpropanoate

Chemical Formula: $C_{25}H_{26}BrN_3O_4$

Molecular Weight: 512.40

Elemental Analysis

Elements	C	N	O
Calculated	58.60	8.20	12.49
Found	58.56	8.15	12.45

IR (cm^{-1})

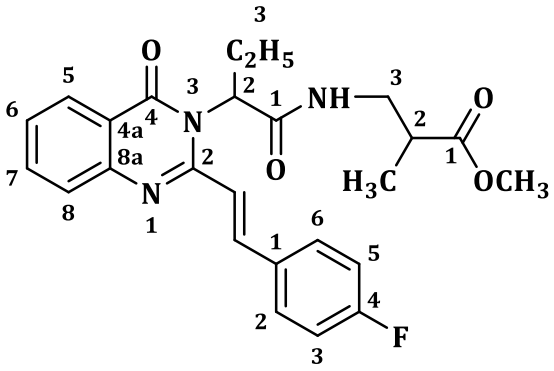
S. No.	Wave No. (cm^{-1})	Vibration mode
1.	3438.25	(N-H)
2.	1705.13	(C=O)
3.	1450.45	(C=N)
4.	1652.63	(C=C)
5.	1092.32	(C-O)
6.	2920.42	(C-H)
7.	2852.13	$-CH_2$
8.	1012.38	C-Br

1HNMR (ppm)

7.52-8.16 (m, 4H); 7.62-7.66 (m, 2H, Phenylic proton in 2-position of quinazolinone); 8.05 (1H, s, 3-propionamidopropanoate); 8.16 (1H, s ethylene); 6.62 (s, 1H, ethylene), 1.45 (m, 3H, s, methylene); 2.82 (1H, s, methine), 3.50 (m, 3H, s, methyl), 4.62 (1H, s, methine)

FAB Mass (m/z): 512.02 (Quassi-molecular ion peak (M+H)+)

Compound Code QP-11



IUPAC name: methyl (E)-3-(2-(2-(4-fluorostyryl)-4-oxoquinazolin-3(4H)-yl)butan amido)-2-methylpropanoate

Chemical Formula: $C_{25}H_{26}FN_3O_4$

Molecular weight: 451.50

Elemental Analysis

Elements	C	N	O
Calculated	66.51	9.31	14.17
Found	66.49	9.29	14.15

IR (cm^{-1})

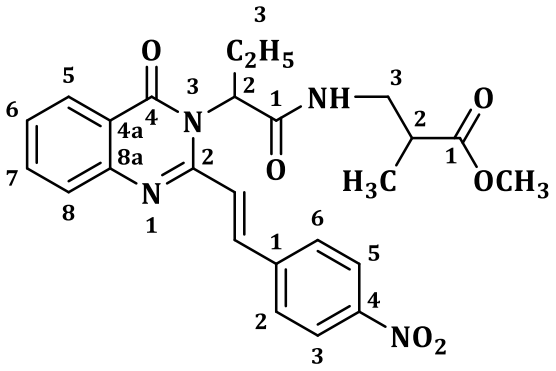
S. No.	Wave No. (cm^{-1})	Vibration mode
1.	3438.15	(N-H)
2.	1705.13	(C=O)
3.	1450.25	(C=N)
4.	1652.53	(C=C)
5.	1097.32	(C-O)
6.	2920.62	(C-H)
7.	2852.12	$-CH_2$
8.	1102.22	(C-F)

1HNMR (ppm)

7.52-8.12 (m, 4H); 7.62-7.75 (m, 2H, Phenylic proton in 2-position of quinazolinone); 8.02 (1H, s, 3-propionamidopropanoate); 8.15 (1H, s ethylene); 6.62 (s, 1H, ethylene), 1.45 (m, 3H, s, methylene); 2.84 (1H, s, methine), 3.50 (m, 3H, s, methyl), 4.62 (1H, s, methine)

FAB Mass (m/z): 451.19 (Quassi-molecular ion peak (M+H)+)

Compound Code QP-12



IUPAC name: Methyl-(E)-2-methyl-3-(2-(2-(4-nitrostyryl)-4-oxoquinazolin-3(4H)-yl)-butanamido)propanoate

Chemical Formula: $C_{25}H_{26}N_4O_6$

Molecular Weight: 478.51

Elemental Analysis

Elements	C	N	O
Calculated	62.75	11.71	20.06
Found	62.70	11.70	20.05

IR (cm^{-1})

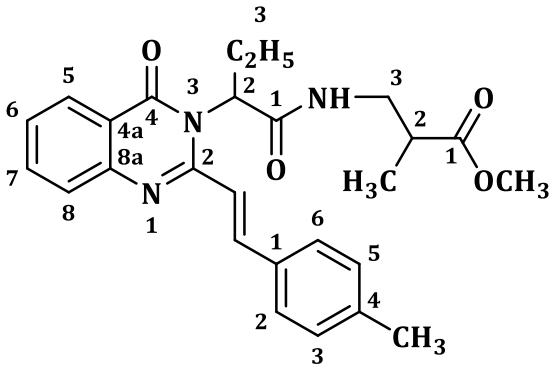
S. No.	Wave No. (cm^{-1})	Vibration mode
1.	3422.15	(N-H)
2.	1700.12	(C=O)
3.	1453.31	(C=N)
4.	1654.23	(C=C)
5.	1094.32	(C-O)
6.	2925.32	(C-H)
7.	2854.23	$-CH_2$
8.	1365.32	(N-O)
9.	1564.22	(N=O)

1HNMR (ppm)

7.52-8.13 (m, 4H); 7.60-7.72 (m, 2H, Phenylic proton in 2-position of quinazolinone); 8.04 (1H, s, 3-propionamidopropanoate); 8.12 (1H, s ethylene); 6.62 (s, 1H, ethylene), 1.45 (m, 3H, s, methylene); 2.85 (1H, s, methine), 3.50 (m, 3H, s, methyl), 4.62 (1H, s, methine)

FAB Mass (m/z): 478.16 (Quassi-molecular ion peak (M+H)+)

Compound Code QP-13



IUPAC name: Methyl-(E)-2-methyl-3-(2-(2-(4-methylstyryl)-4-oxoquinazolin-3(4H)-yl) butanamido)propanoate

Chemical Formula: $C_{26}H_{29}N_3O_4$

Molecular Weight: 447.54

Elemental Analysis

Elements	C	N	O
Calculated	69.78	9.39	14.30
Found	69.75	9.35	14.29

IR (cm^{-1})

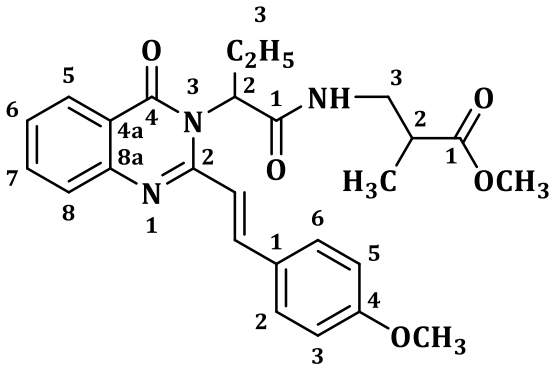
S. No.	Wave No. (cm^{-1})	Vibration mode
1.	3442.15	(N-H)
2.	1702.12	(C=O)
3.	1453.31	(C=N)
4.	1650.23	(C=C)
5.	1092.32	(C-O)
6.	2920.32	(C-H)
7.	2852.23	$-CH_2$

1HNMR (ppm)

7.52-8.16 (m, 4H); 7.62-7.72 (m, 2H, Phenylic proton in 2-position of quinazolinone); 8.05 (1H, s, 3-propionamidopropanoate); 8.15 (1H, s ethylene); 6.62 (s, 1H, ethylene), 1.46 (m, 3H, s, methylene); 2.85 (1H, s, methine), 3.51 (m, 3H, s, methyl), 4.62 (1H, s, methine)

FAB Mass (m/z): 447.22 (Quassi-molecular ion peak (M+H)+)

Compound Code QP-14



IUPAC name: Methyl-(E)-3-(2-(2-(4-methoxystyryl)-4-oxoquinazolin-3(4H)-yl)butan amido)-2-methylpropanoate

Chemical Formula: $C_{26}H_{29}N_3O_5$

Molecular Weight: 463.53

Elemental Analysis

Elements	C	N	O	S
Calculated	60.24	12.56	5.73	5.78
Found	60.22	12.54	5.75	5.76

IR (cm^{-1})

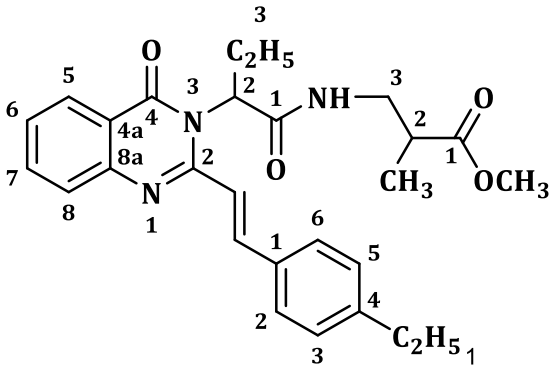
S. No.	Wave No. (cm^{-1})	Vibration mode
1.	3438.15	(N-H)
2.	1705.12	(C=O)
3.	1452.31	(C=N)
4.	1652.23	(C=C)
5.	1090.32	(C-O)
6.	2920.32	(C-H)
7.	2854.12	(-CH_2)
8.	849.32	(C-Cl)

1HNMR (ppm)

7.52-8.16 (m, 4H); 7.62-7.70 (m, 2H, Phenylic proton in 2-position of quinazolinone); 8.02 (1H, s, 3-propionamidopropanoate); 8.16 (1H, s ethylene); 6.62 (s, 1H, ethylene), 1.45 (m, 3H, s, methylene); 2.83 (1H, s, methine), 3.50 (m, 3H, s, methyl), 4.62 (1H, s, methine)

FAB Mass (m/z): 463.21 (Quassi-molecular ion peak (M+H)+)

Compound Code QP-15



IUPAC name: Methyl-(E)-3-(2-(2-(4-ethylstyryl)-4-oxoquinazolin-3(4H)-yl)butanamido)-2-methylpropanoate

Chemical Formula: $C_{27}H_{31}N_3O_4$

Molecular Weight: 461.56

Elemental Analysis

Elements	C	N	O
Calculated	70.26	9.10	13.87
Found	70.25	9.07	13.85

IR (cm^{-1})

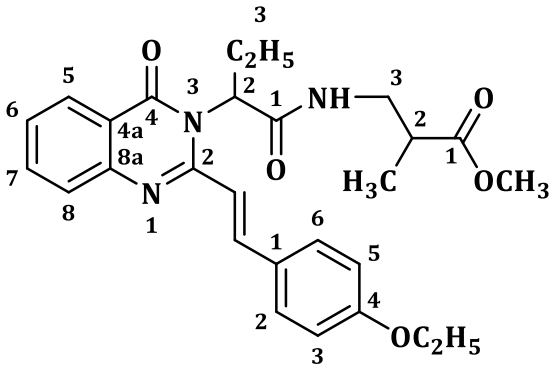
S. No.	Wave No. (cm^{-1})	Vibration mode
1.	3440.15	(N-H)
2.	1705.12	(C=O)
3.	1450.31	(C=N)
4.	1652.23	(C=C)
5.	1092.32	(C-O)
6.	2920.32	(C-H)
7.	2852.23	$-CH_2$

1HNMR (ppm)

7.52-8.15 (m, 4H); 7.62-7.70 (m, 2H, Phenylic proton in 2-position of quinazolinone); 8.05 (1H, s, 3-propionamidopropanoate); 8.15 (1H, s ethylene); 6.68 (s, 1H, ethylene), 1.42 (m, 3H, s, methylene); 2.86 (1H, s, methine), 3.50 (m, 3H, s, methyl), 4.64 (1H, s, methine)

FAB Mass (m/z): 461.23 (Quassi-molecular ion peak (M+H)+)

Compound Code QP-16



IUPAC name: Methyl-(E)-3-(2-(2-(4-ethoxystyryl)-4-oxoquinazolin-3(4H)-yl)butanamido)-2-methylpropanoate

Chemical Formula: $C_{27}H_{31}N_3O_5$

Molecular Weight: 477.56

Elemental Analysis

Elements	C	N	O
Calculated	67.91	8.80	16.75
Found	67.85	8.78	16.72

IR (cm^{-1})

S. No.	Wave No. (cm^{-1})	Vibration mode
1.	3439.15	(N-H)
2.	1708.12	(C=O)
3.	1452.31	(C=N)
4.	1650.23	(C=C)
5.	1092.32	(C-O)
6.	2923.32	(C-H)
7.	2854.12	$-CH_2$

1HNMR (ppm)

7.52-8.16 (m, 4H); 7.60-7.72 (m, 2H, Phenylic proton in 2-position of quinazolinone); 8.02 (1H, s, 3-propionamidopropanoate); 8.15 (1H, s ethylene); 6.62 (s, 1H, ethylene), 1.45 (m, 3H, s, methylene); 2.85 (1H, s, methine), 3.51 (m, 3H, s, methyl), 4.62 (1H, s, methine)

FAB Mass (m/z): 477.23 (Quassi-molecular ion peak (M+H)+)

3. RESULT AND DISCUSSION

3.1. PHARMACOLOGICAL EVALAUTION

The sixteen compounds naming as QP-1 to QP16 was synthesized and now evaluated for the antimicrobial activity i.e., antimicrobial and antifungal. In antibacterial screening of

compounds, both gram positive and gram-negative strains were utilized in that case of Gram-positive strains (*Micrococlus luteus* ATCC 9341 and *Staphylococcus aureus* MTCC 96); Gram negative Organisms (*Klebsiella pneumoniae* ATCC 29665 and *Escherichia coli* MTCC-40) were used respectively. In other screening as antifungal activity, two fungal strains naming as *Candida albicans* ATCC 10231 and *Fusarium oxysporum* MTCC 284 were utilized. Disc diffusion method is applied for the determination of zone of inhibition. Ciprofloxacin and ketoconazole was used as standard drug for the antibacterial and antifungal activity respectively.

3.1.1. RESULTS OF ANTIBACTERIAL ACTIVITY

The antibacterial activity of synthesized compounds **(Compound 7, QP-1 to QP16)** was screened against each gram positive *Micrococlus luteus* ATCC 9341 & *Staphylococcus aureus* MTCC 96 and Gram-negative Organisms (*Klebsiella pneumoniae* ATCC 29665 & *Escherichia coli* MTCC-40) by disc diffusion method using ciprofloxacin as standard drug. The data of antibacterial activity of synthesized quinazolinone derivatives against gram-positive and gram-negative bacteria was represented in Table 9.

Table 9: Antibacterial activity of synthesized quinazolinone derivatives against gram-positive and gram-negative bacteria.

Compound	Zone of Inhibition (mm)							
	GRAM POSITIVE BACTERIA				GRAM NEGATIVE BACTERIA			
	Staphylococcus Aureus		*Micrococlus luteus*		*Klebsiella pneumoniae*		*Escherichia coli*	
Conc.	50µg/ml	100µg/ml	50µg/ml	100 µg/ml	50 µg/ml	100 µg/ml	50 µg/ml	100 µg/ml
QP-1	12.42±0.3	14.10±0.8	12.52±0.2	17.62±0.6	14.15±0.5	16.22±0.3	15.32±0.8	17.62±0.7
QP-2	7.42±0.7	9.32±0.3	8.22±0.1	10.54±0.6	7.22±0.6	9.14±0.7	7.13±0.4	8.56±0.3
QP-3	5.32±0.1	6.62±0.7	6.22±0.5	6.12±0.7	6.32±0.7	6.26±0.2	6.14±0.3	6.23±0.4
QP-4	11.22±0.6	13.62±0.3	11.42±0.8	15.22±0.5	12.12±0.3	14.52±0.7	12.52±0.2	14.22±0.5
QP-5	8.52±0.5	9.22±0.4	6.22±0.5	7.15±0.5	5.32±0.2	6.15±0.5	6.54±0.5	7.11±0.5
QP-6	9.22±0.3	10.62±0.6	7.42±0.7	8.54±0.4	6.13±0.5	8.44±0.3	6.25±0.2	7.32±0.6
QP-7	7.62±0.8	8.02±0.7	8.31±0.2	10.12±0.4	6.62±0.4	8.12±0.8	7.07±0.3	8.17±0.7
QP-8	6.22±0.2	7.52±0.5	5.52±0.3	6.02±0.3	4.42±0.3	6.46±0.4	6.06±0.5	7.22±0.7
QP-9	11.72±0.3	13.15±0.4	13.28±0.5	15.32±0.2	12.03±0.5	13.37±0.6	13.42±0.3	15.17±0.5
QP-10	6.36±0.3	6.12±0.2	6.12±0.3	6.22±0.3	6.12±0.3	6.14±0.4	6.02±0.2	6.14±0.3
QP-11	4.42±0.6	5.22±0.6	6.32±0.3	6.32±0.2	6.52±0.6	6.15±0.7	6.14±0.4	6.16±0.8
QP-12	10.22±0.7	12.52±0.2	10.14±0.5	13.32±0.7	9.42±0.8	11.32±0.4	10.32±0.7	12.62±0.3
QP-13	8.42±0.8	9.22±0.4	9.31±0.3	11.42±0.8	7.52±0.7	9.42±0.9	8.52±0.4	9.52±0.2
QP-14	05.22±0.2	6.42±0.3	6.22±0.5	6.32±0.5	6.22±0.2	6.22±0.7	6.22±0.7	6.22±0.8
QP-15	04.72±0.8	6.62±0.5	6.22±0.7	6.12±0.3	6.02±0.5	6.22±0.4	6.12±0.3	6.22±0.3
QP-16	3.22±0.4	4.52±0.2	6.22±0.4	6.02±0.5	5.69±0.7	6.12±0.7	6.02±0.6	6.35±0.8
Ciprofloxacin (25µg/ml)	16.22±0.3	19.45±0.5	15.25±0.5	21.52±0.4	16.64±0.3	17.45±0.3	17.64±0.2	20.65±0.4

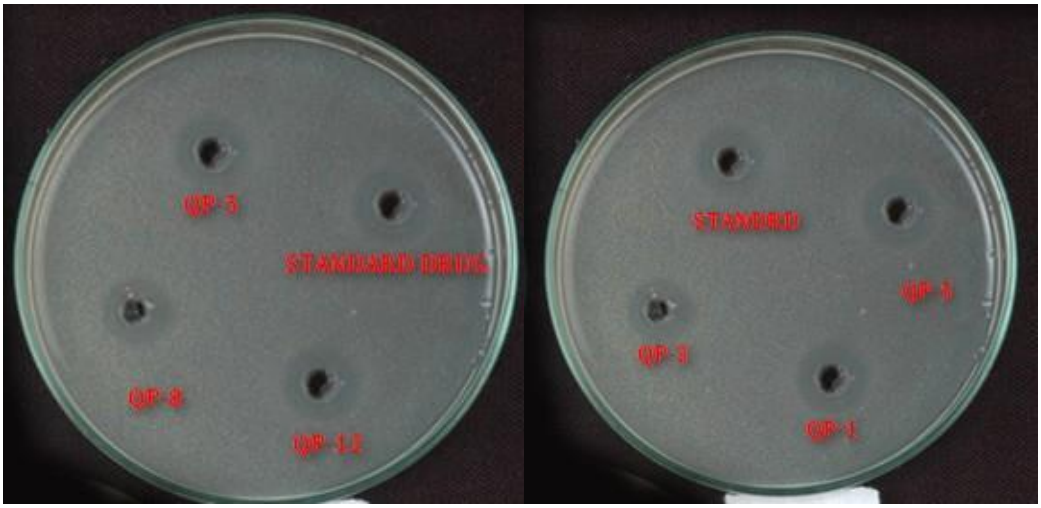


Fig. 5: The pictorial representation of Zone of inhibition of compound against gram-positive bacteria (*Micrococlus luteus* ATCC 9341)

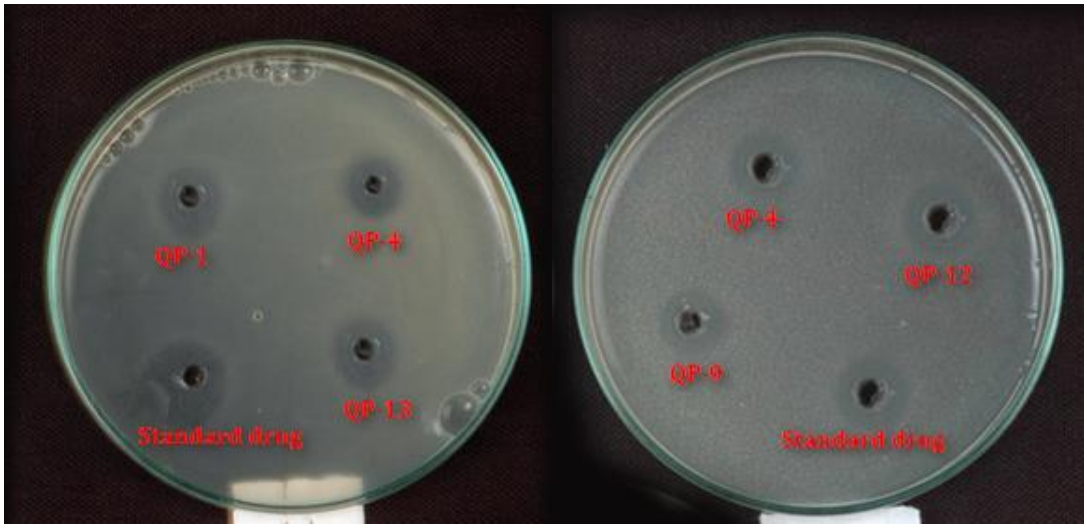


Fig. 6: The pictorial representation of Zone of inhibition of compound against gram negative bacteria.

3.1.2. Antifungal activity

The Sixteen synthesized compounds (QP-1 to QP-16) were evaluated for the antifungal screening and data obtained by the result stated that substituted quinazolinone derivatives has shown the mild to best activity against tested organism's strains. The screening carried out on two fungal strains i.e., *S. Cerevisiae* and A. *Niger*. The result data have represented in Table 10 The graphical representation of **zone of inhibition was shown in Figure 5.3 & 5.4.**

Table 10: Antifungal activity of the Synthesized compound. (QP-1 to QP-18)

Compound	Zone of inhibition in mm			
	S. Cerevisiae		*A. Niger*	
Conc.	**50 µg/ml**	**100 µg/ml**	**50 µg/ml**	**100 µg/ml**
QP-1	14.75±0.53	17.65±0.83	13.34±0.78	18.25±0.32
QP-2	7.32±0.31	7.65±0.45	3.42±0.52	6.25±0.31
QP-3	04.22±0.26	5.52±0.32	3.62±0.31	5.32±0.53
QP-4	12.45±0.28	16.32±0.26	12.23±0.63	16.35±0.65
QP-5	8.32±0.12	8.72±0.64	4.52±0.76	7.64±0.42
QP-6	5.32±0.22	6.62±0.53	2.62±0.37	5.12±0.12
QP-7	6.32±0.84	7.12±0.75	5.65±0.22	9.22±0.44
QP-8	4.32±0.14	5.72±0.72	3.32±0.57	5.22±0.73
QP-9	11.72±0.34	12.20±0.82	9.62±0.23	16.72±0.68
QP-10	9.62±0.72	11.62±0.23	7.24±0.53	12.52±0.72
QP-11	6.32±0.77	8.42±0.36	5.32±0.13	9.64±0.65
QP-12	10.42±0.67	12.72±0.37	8.52±0.85	14.32±0.546
QP-13	10.32±0.32	12.25±0.45	10.38±0.54	14.42±0.25
QP-14	5.32±0.37	5.22±0.27	3.22±0.34	5.32±0.36
QP-15	07.32±0.88	8.32±0.44	6.65±0.36	10.52±0.82
QP-16	3.32±0.63	4.122±0.67	3.42±0.35	5.42±0.27
Ketoconazole	15.25±0.32	20.35±0.26	14.24±0.32	19.23±0.23

RESULT OF ANTIFUNGAL ACTIVITY

The Data of antibacterial activity against two fungal strains i.e., *S. Cerevisiae* and A. *Niger* suggested that among substituted quinazolinone derivatives (QP-1 to QP-16), compound QP-3, QP-6, QP-8, QP-10, QP-16, QP-15, QP-14, QP-11, have shown mild activity while as compound QP-2, QP-5, QP-7 shown moderate activity and compound QP-1, QP-4, QP-9, QP-QP-10, 12, QP-13 have shown best activity against both fungal strains.

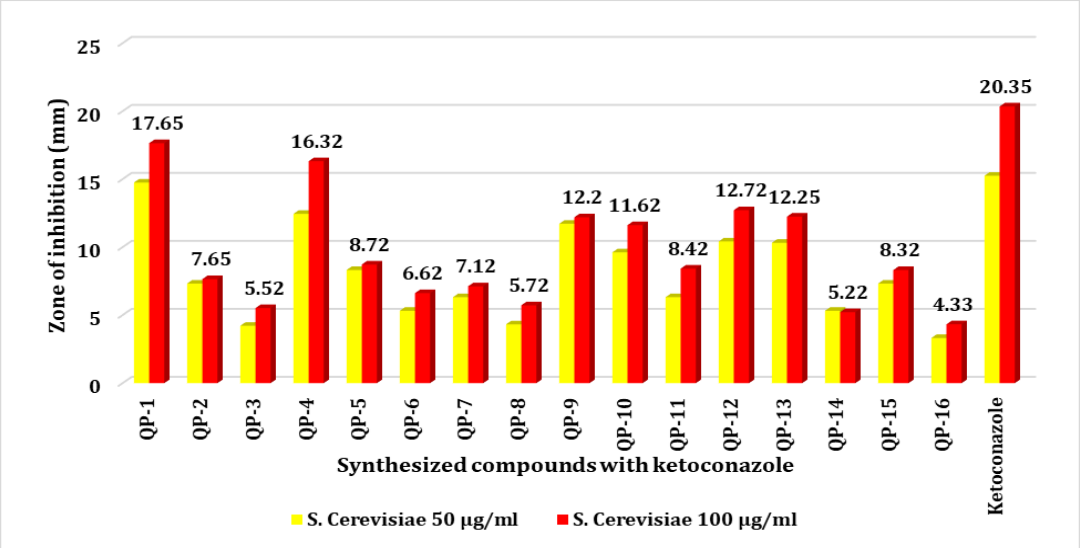


Figure 7: Zone of inhibition (mm) of synthesized compounds (QP-1 to QP-16) on *S. Cerevisiae* at 50 µg/ml and 100 µg/ml concentration.

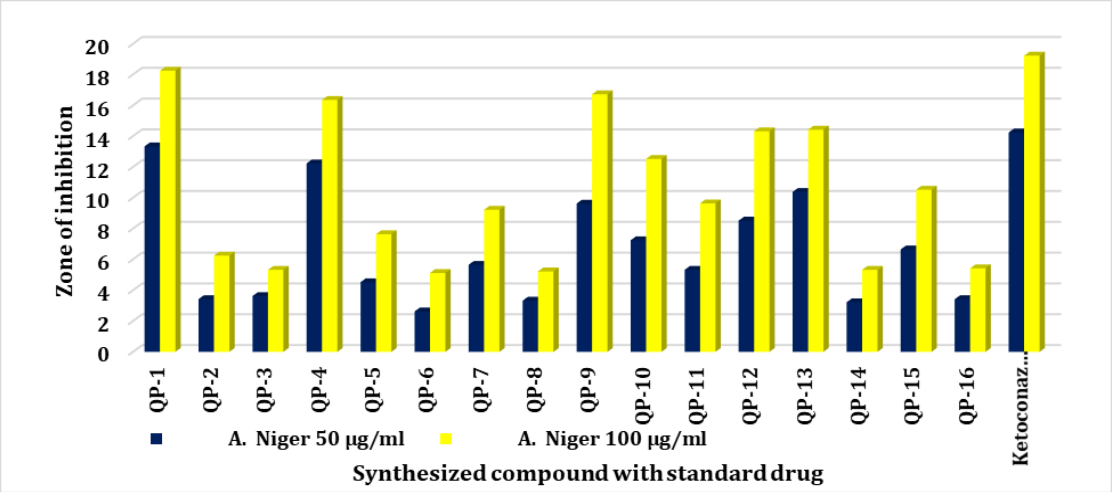


Figure 8: Zone of inhibition (mm) of synthesized compounds (QP-1 to QP-16) on *A. Niger* at 50 µg/ml and 100 µg/ml concentration.

3.2. DISCUSSION

The antibacterial activity of synthesized compounds **(Compound 7, QP-1 to QP16)** was screened against each gram positive *Micrococlus luteus* ATCC 9341 & *Staphylococcus aureus* MTCC 96 and Gram-negative Organisms (*Klebsiella pneumoniae* ATCC 29665 & *Escherichia coli* MTCC-40) by disc diffusion method using ciprofloxacin as standard drug as well as antifungal screening carried out on two fungal strains i.e., *S. Cerevisiae* and A. *Niger* by using ketoconazole drug as standard.

When compared to standard, antibacterial activity data for quinazolinone revealed that the compounds exhibit considerable inhibitory effect on all bacteria at both 50 g/ml and 100 g/ml dosing levels. QP-1, QP-4, QP-6, QP-9, and QP-12 had the highest activity of all the chemicals examined. These compounds have halogens on the ring, indicating that electron withdrawing groups play a favourable role in antibacterial and antifungal action. Potent antibacterial action requires the presence of an electronegative group (Cl, NO_2).

4. CONCLUSION

A series of sixteen novel peptide-coupled quinazolin-4(3H)-one derivatives were successfully synthesized through a convenient multi-step synthetic approach and characterized by physicochemical and spectral techniques, including FT-IR, ^1H NMR, elemental analysis, and FAB-mass spectrometry, confirming the proposed molecular structures. The synthesized compounds were evaluated for antibacterial and antifungal activities against selected Gram-positive, Gram-negative, and fungal microorganisms. Among the tested derivatives, compounds QP-1, QP-4, QP-9, QP-12, and QP-13 exhibited comparatively superior

antimicrobial activity, while several others demonstrated moderate to mild inhibition. The results indicate that the incorporation of electron-withdrawing substituents, particularly halogen and nitro groups, on the styryl moiety positively influences antimicrobial efficacy. Overall, the synthesized peptide-coupled quinazolinone derivatives constitute a promising scaffold for the development of novel antimicrobial agents. Further studies involving minimum inhibitory concentration (MIC), toxicity evaluation, molecular docking, and in vivo investigations are recommended to establish their therapeutic potential and optimize their biological activity.

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