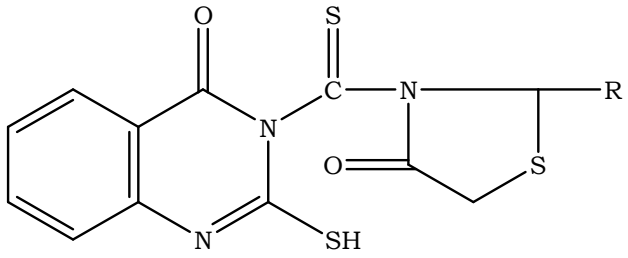
2. LITERATURE REVIEW

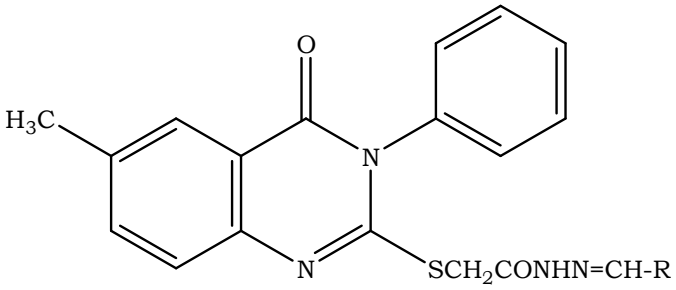
2.1 ANTITUBERCULAR ACTIVITY

In the year 2004, some new quinazolinyl-4-thiazolidinone derivatives **(1)** were synthesized and its antitubercular activity was studied by V. P. Trivedi[15] *et. al.,* The 4-thiazolidinone derivatives were primarily screened at 12.5 µg/ml concentration against H_{37}RV strain of *M. tuberculosis* in BACTEC 12B medium using broth micro dilution assay and microplate alamar blue assay method. Some of the test compounds were found to be effective against *M. tuberculosis* at this concentration.



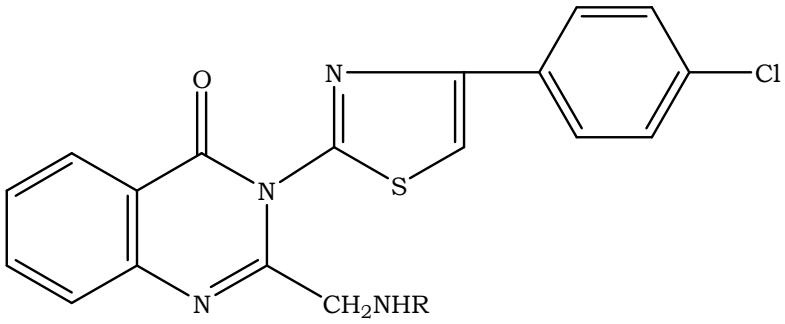
(1)

In the year 2005, A. Gursoy[16] *et. al.,* reported the synthesis and primary antimicrobial activity evaluation of 3-phenyl-6-methyl-4-(3*H*)-quinazolinone-2-yl-mercapto acetic acid arylidene hydrazide **(2).** The synthesized compounds were tested against *M. tuberculosis* H_{37}RV strain using BACTEC radiometric sensitivity test.



(2)

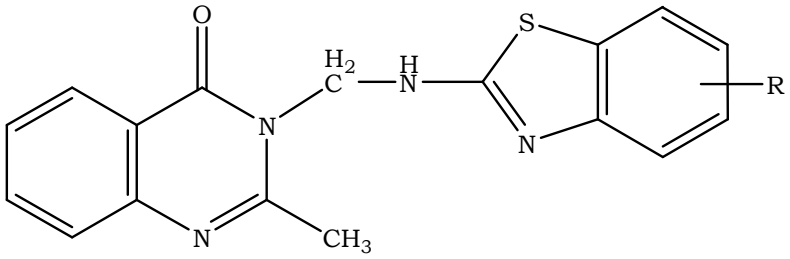
In the year 2006, *N*-3-(4-(4-chloro phenyl thiazol-2-yl)-(2-(amino)methyl)-quinazolin-4-(3*H*)-one **(3)** and their derivatives were synthesized and evaluated for their antitubercular activity by S. R. Pattan[17] *et. al.,* The antitubercular activity was carried out against $H_{37}RV$ strain using L. J. medium. Results indicated that all the compounds exhibited antitubercular activity except *p*-amino benzoic acid derivative.



(3)

P. Nandy[18] *et. al., i*n the year 2006, reported the synthesis of mannich base of 2-methyl quinazolin-4-(3*H*)-one **(4).** The test compounds were evaluated for their antitubercular activity against M. tuberculosis $H_{37}RV$ strain using L. J. medium at a concentration of 100, 10 and 1 µg/ml. The results are compared with reference streptomycin. Out of four synthesized

compounds, 6-chloro benzothiazole derivative showed complete growth inhibition at all tested concentration which is equivalent to standard streptomycin.



(4)

In 2007, Raghavendra[19] and coworkers prepared some substituted furyl quinazolin-3(4*H*)-ones. These compounds showed potent antitubercular and anticancer activity.

In 2009, Waisser[20] *et. al.,* prepared a series of quinazolines and screened for their antitubercular activity. These compounds were found to be exhibit more potent antitubercular activity.

Chevalier and coworkers[21] in 2010, synthesized some quinazoline derivatives and screened for their antitubercular activity.

In 2010, Bonde and coworker[22] synthesized azetidine, quinazoline and triazolo thiadiazole containing pyrazine derivatives and reported their antimycobacterial activity.

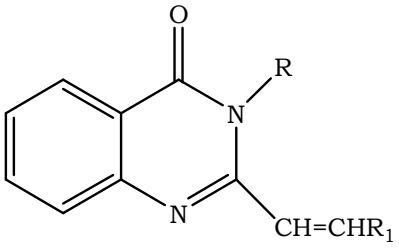
In 2010, S. Rajasekaran[23] *et. al.,* prepared some derivatives of 2-phenyl-3-substituted quinazolin-4(3*H*)-one and studied their antitubercular, antibacterial and antioxidant activities.

In 2010, Gopal Krishna rao[24] *et. al.,* synthesized some *N*-(4-oxo-2-substituted-phenyl quinazolin-3(4*H*)-yl)-2-[(5-aryl-1,3,4-oxadiazol-2-yl)sulfanyl]acetamides and found that these derivatives possessing antitubercular property.

2.2. ANTIMICROBIAL ACTIVITY

In 1982, Agnihotri and coworkers[25] prepared some 2,3-disubstituted quinazolin-4(3*H*)-ones **(5).**

In 1983, Pandey and coworkers[26] prepared a series of 1,2,4-triazolo quinazolines **(6)** and tested them for their antimicrobial property. These compounds were found to possess significant antibacterial and antifungal activities



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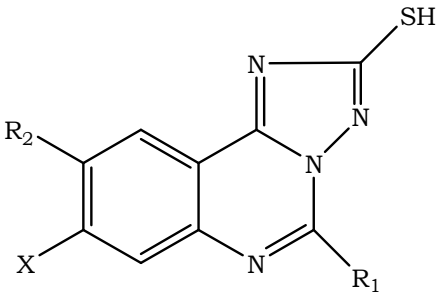
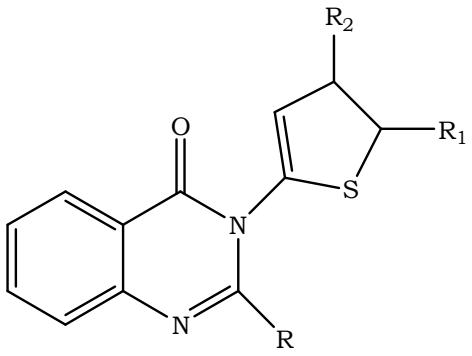


(6)

In the same year, Dash and coworkers[27] synthesized a series of 2-substituted quinazolines bearing a thiophene ring at 3rd position **(7)** and tested the compounds for their antimicrobial

activity. These compounds were found to exhibit significant antifungal activity.



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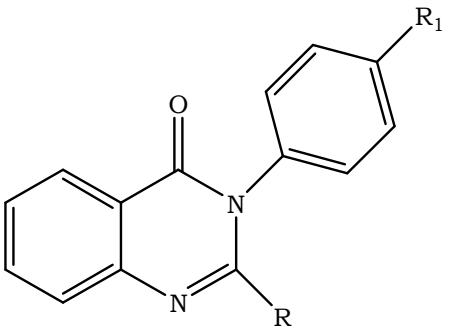
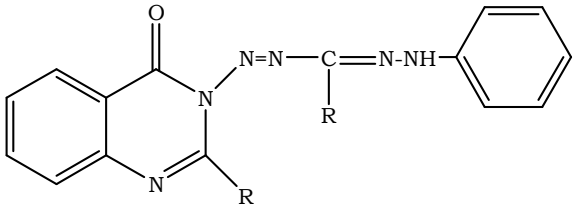


(8)

In 1985, Parasharya and coworkers[28] prepared a series of 2,3-disubstituted quinazolin-4-ones **(8)** and found them to possess antibacterial activity.

Pandey and co-workers,[29] in the same year synthesized a series of 2,3-disubstituted quinazolines **(9).** Biological investigation of these compounds showed antiviral activity.

In 1989, Khalil and coworkers[30] prepared a series of 2-oxatriazolin-4(3*H*)-quinazolines **(10).** These compounds were found to exhibit antimicrobial activity.



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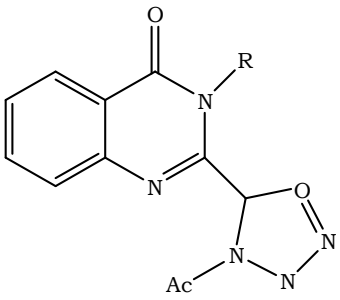
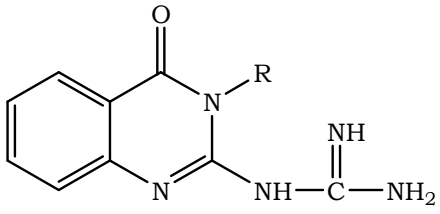


(10)

Barakat[31] in 1990, prepared a series of 3-aryl-2-pyridinium ethyl-4(3*H*)-quinazolines and studied their antimicrobial activity.

In 1993, Kreiutzberger and coworkers[32] prepared a series of 2-guanidino-3-substituted quinazolin-4-ones **(11)** and studied them for antibacterial activity.



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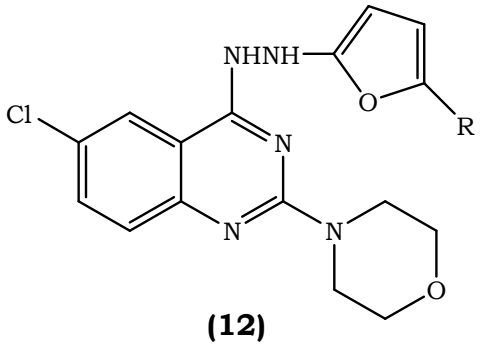


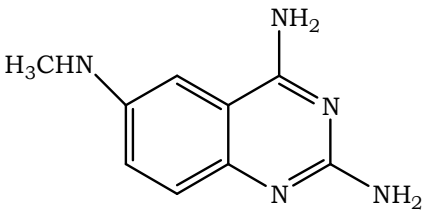
(12)

In 1995, Jantova and coworkers reported[33] the synthesis of 2-morpholino-6-chloro-4-substituted quinazolines **(12).** These compounds were found to exhibit antibacterial activity.

In 1995, Ganjee and coworkers[34] reported the synthesis of a series of 2,4-diamino-6-methylamino quinazolines **(13)** and its

derivatives. These compounds were found to exhibit antifungal activity.

In the same year Chan and coworkers[35] prepared a series of 2,4-diamino quinazolines **(14)** by replacing the 6-methylamino group with the thiophenyl group. These compounds were found to exhibit selective inhibition of *Candida albicans* dihydrofolate reductase, with MIC of 0.05 µg/ml.



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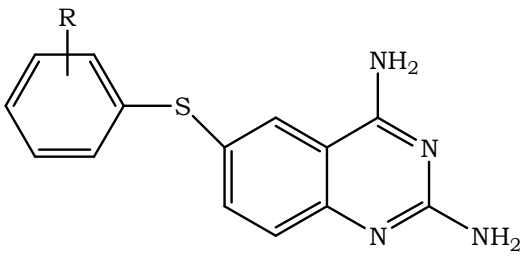
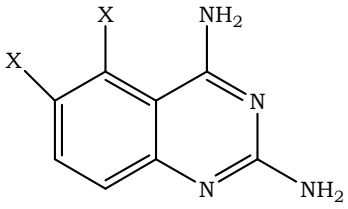


(14)

Rowosky and coworkers[36] in the same year synthesized a series of 2,4-diamino quinazolines **(15)** by introducing halogen at 5th and 6th position. These compounds showed significant antibacterial activity.

In 1996, Gaur and coworkers[37] synthesized some novel 2-substituted methyl-3-(4-substitutedsulphonamido phenyl) quinazolin-4(3*H*)-ones **(16)** and tested these compounds for their antibacterial activity.



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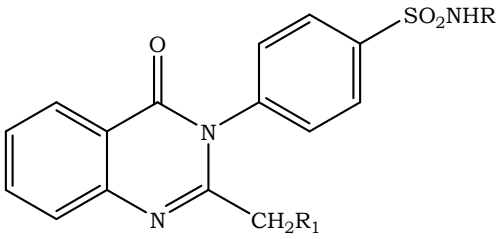
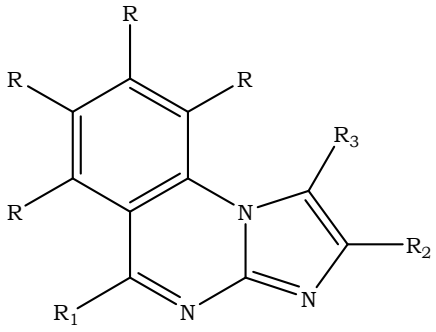


(16)

Zeid and coworkers[38] in the same year reported the synthesis of some 2,3-disubstituted quinazolines. These compounds were found to possess antimicrobial activity.

In 1996, Desai and coworkers[39] synthesized a few 1-substituted-2-phenyl-3-arylamino-4-oxo quinazolines. These compounds were found to exhibit potent anti HIV activity.

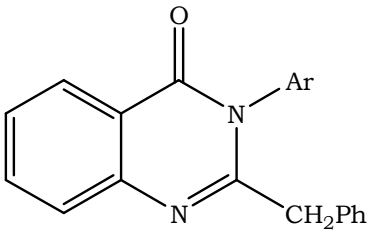
Oliver and coworkers[40] in 1996, prepared some imidazolo quinazolines and 3-substituted quinazolin-4-ones **(17).** Biological investigation of these compounds showed antifungal activity.



(17)

Mishra and coworkers[41] in 1997 synthesized some 2-benzyl-3-aryl quinazolin-4-ones **(18)** and studied their antimicrobial activity

In 1997, Abdul Hamid[42] synthesized certain analogs of 2-phenyl-3-substituted quinazolin-4(3*H*)-ones **(19).** These compounds were found to possess antimicrobial activity.



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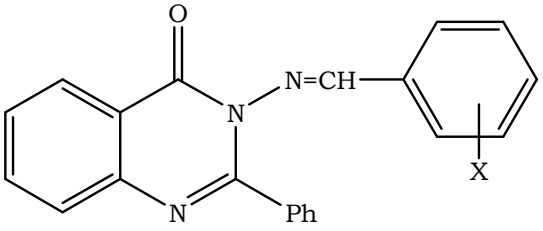
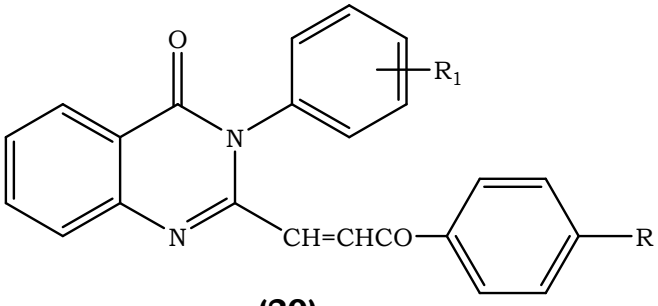


(19)

Abdul Rahman and coworkers[43] in the same year reported the synthesis of a series of 2,3-disubstituted quinazolines **(20).** These compounds were found to exhibit antimicrobial activity.

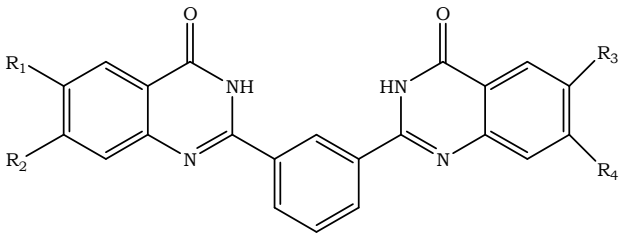


(20)

Shiba and coworkers[44] in 1997, synthesized some novel substituted bisquinazolin-4-ones **(21)** and tested them for their antimicrobial activity.

Kumar and coworker[45] in 1997 reported the synthesis and antifungal activity of a series of 2,6,8-trisubstituted-3-(2-thiazolyl) quinazolin-4-ones **(22).**

In 1997, Assy and coworkers[46] prepared certain analogs of substituted quinazolines. These compounds were found to exhibit significant antibacterial activity.



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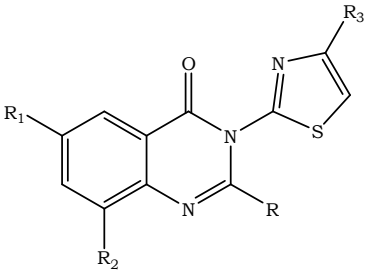


(22)

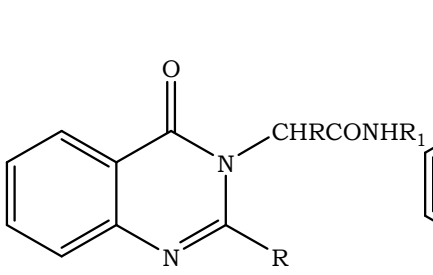
Tamany and coworkers[47] in 1997, reported the synthesis of some novel 2,6,8-trisubstituted quinazolines and studied their antimicrobial activity.

In the same year Abdul Hamide[48] synthesized certain analogs of 2-Phenyl-6-iodo quinazolin-4(3*H*)-ones. On testing for antimicrobial activity, these compounds were found to exhibit antibacterial activity.

In 1997 Kumar and coworkers[49] reported the synthesis of some 2-substitutedaryl-6,8-disubstituted quinazolin-4-ones. These compounds were found to possess antifungal activity.

In 1998, Kovalenko[50] prepared some novel 2,3-disubstituted quinazolines **(23).** These compounds were reported to exhibit antimicrobial activity.

In 1998, Shivarama and coworkers[51] reported the synthesis and antibacterial activity of a series of 2-(nitrofurylvinyl)-3-substituted arylquinazolines **(24).**



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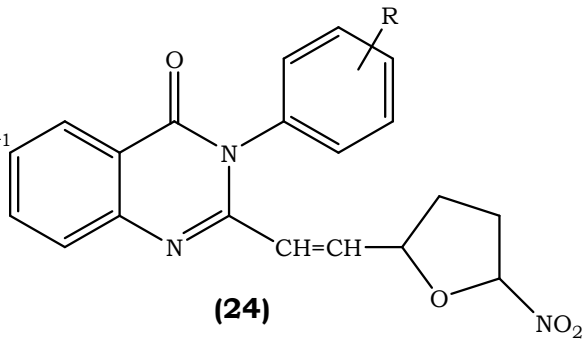
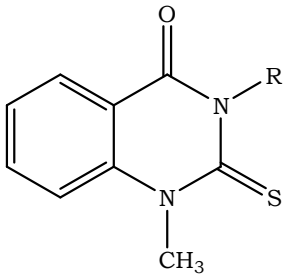


(24)

Rakesh and co-workers[52] in 1998 synthesized a series of 1-methyl-2-thioxo-3-substituted quinazolin-4-ones **(25).** These compounds were found to exhibit antimicrobial activity.

In the same year Habesh and coworker[53] synthesized certain analogs of 2-methyl-3-substituted quinazolines **(26)** and studied their antimicrobial activity.



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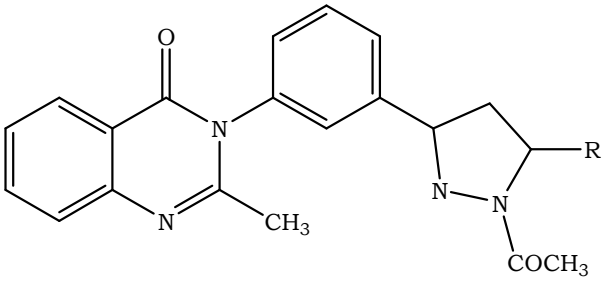
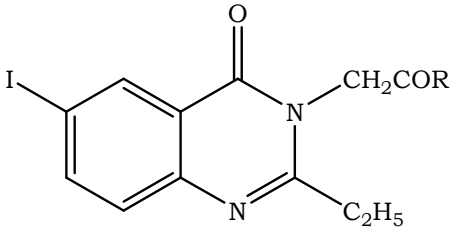


(26)

Aziza and coworkers[54] in the same year prepared a series of 2-ethyl-6-iodo-3-substituted quinazolines **(27).** These compounds were reported to exhibit antibacterial activity.



(27)

Abdul Rahman[55] in 1998, reported the synthesis of several 2,3- disubstituted quinazolin-4-ones. These compounds were shown to possess antimicrobial activity.

Arti and coworkers[56] in 1998, prepared a series of 2-methylbenzylamino quinazolin-4(3*H*)-ones. These compounds were reported to exhibit antimicrobial activity.

In 1998, Bhadbesh Naik and coworkers[57] synthesized some 1-(2-methyl-4-quinolinyl) quinazolin-2-ones and studied their antibacterial activity.

In 1998, Kumar and coworkers[58] synthesized a series of novel 6,8-disubstituted-2-aryl quinazolin-4(3*H*)-ones. These compounds were reported to possess antifungal activity.

In the same year, Patnaik and coworkers[59] reported the synthesis of certain analogs of 3-aryl-2-(4-arylthiazol-2-yl-amino methyl) quniazolin-4-ones and studied their antifungal activity.

James and coworkers[60] in 1998, synthesized and patented a series of substituted quinazolines. These compounds showed significant antifungal activity.

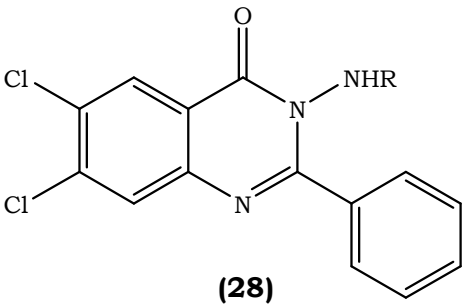
In 1998, Desai and coworkers[61] prepared a series of substituted quinazolines. These compounds were found to exhibit antitubercular activity.

Karel and coworkers[62] in 1998, synthesized some novel quinazoline derivatives. These compounds were reported to possess antitubercular activity.

In 1999, Ibrahim[63] synthesized various 2,3-disubstituted quinazolin-4-one derivatives **(28)** by introducing chloro group at 6th and 8th positions. These compounds were found to exhibit antimicrobial activity.

Kovalenko[64] in 1999, synthesized some 2,3,6,8-tetrasubstituted quinazolin-4(3*H*)-ones **(29)** and these

compounds were found to exhibit more potent antimicrobial activity.



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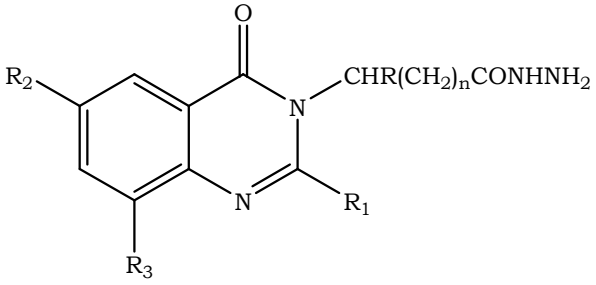
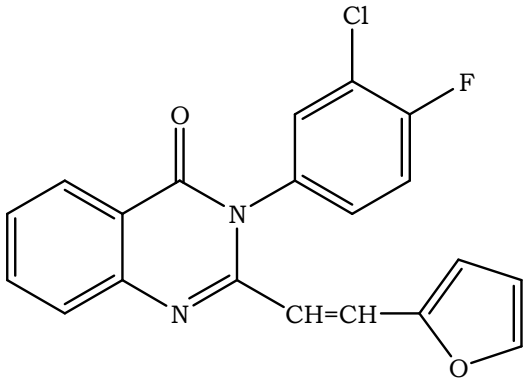


(29)

Shivarama and coworkers[65] in 1999 synthesized a series of 2-furyl vinyl-3-aryl quinazolin-4(3*H*)-ones **(30).** These compounds were reported to exhibit antibacterial activity.

In 1999, Farghaly and coworkers[66] prepared a series of *N,N*-disubstituted dithiocarbamic esters **(31)** derived from 2-methyl quinazolines. These compounds were reported to possess antifungal activity.



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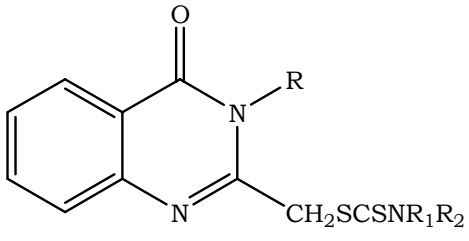


(31)

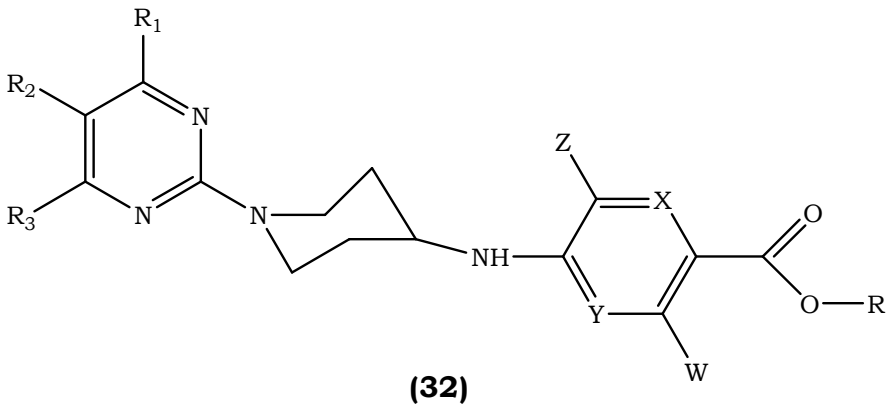
In 1999, Rama sharma and coworkers[67] reported the synthesis of some novel oxoquinazolyl thiosemicarbazones. These compounds were found to exhibit antimicrobial activity.

Hiti and coworkers[68] in the same year synthesized some quinazolin-4-yl hydrazones and dihydrazones. These compounds showed significant antimicrobial activity.

In 1999, Purohit and coworkers[69] synthesized certain analogs of 1-phenylamino quinazolones and studied them for their antimicrobial activity.

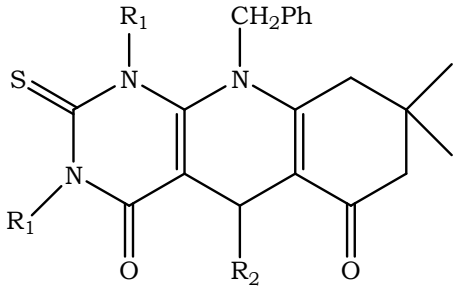
Jantova and coworkers[70] in 1999, prepared a series of substituted quinazolines. Biological investigation of these compounds showed antibacterial activity.

In the same year Kung Pei-pei and coworkers[71] reported the structural activity relationship of a series of 2-substituted quinazolines **(32)** and their antibacterial activity.



(32)

Ahluwalia and coworkers[72] in the year 1999, prepared a series of substituted quinazolines **(33)** and studied their antimicrobial activity.

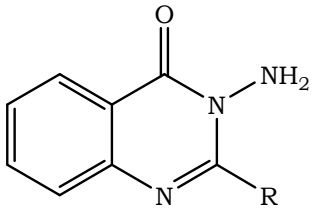


(33)

Pandeya and coworkers[73] in 1999, synthesized some Schiff's and Mannich bases of isatin derivatives with 3-amino-2-methylthio quinazolin-4(3*H*)-ones and reported their antibacterial, antifungal and anti HIV activities.

Liu Xin and coworkers[74] in 1999, reported the synthesis of some novel hydroxamic (4-quinazolinyl) thioesters. These compounds were reported to exhibit antifungal activity.

In 1999, Pandey and coworkers[75] synthesized a series of 3-amino-2-substituted quinazolin-4-ones **(34)** and studied their antiviral activity.

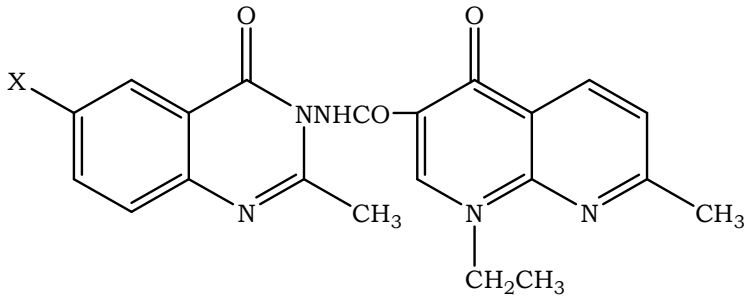


(34)

EL Gaby[76] in 2000, synthesizied a series of substituted quinazolines and studied their antibacterial activity. These compounds were found to possess good antibacterial activity.

In 2000, Jantova and coworkers[77] synthesized some trisubstituted quinazolines and studied their antibacterial activity.

Ghorab and coworkers[78] in the same year prepared some new fluorinated hydroquinazoline derivatives **(35)** and studied their antifungal activity.



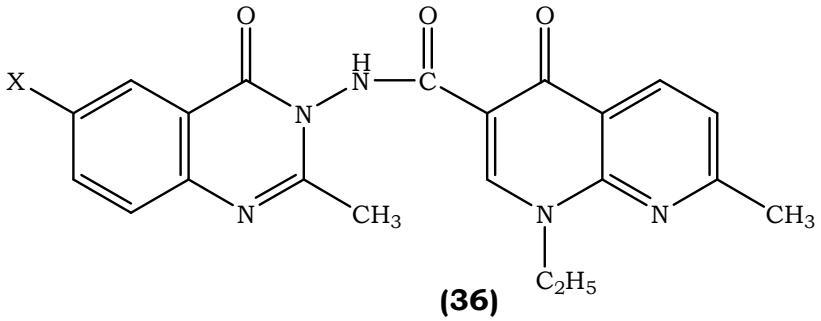
(35)

In 2003, Nasr[79] *et. al.,* synthesized imidazo[2',1':5,1]-1,2,4-triazolo[4,3-c]-quinazoline derivatives of 5-thioxo-1,2,4-triazole, 4-oxothiazolidine, and their open-chain counterparts and reported their antibacterial activity

In 2005, S. Jantova[80] *et. al.,* reported the antimicrobial activity of some substituted triazoloquinazolines

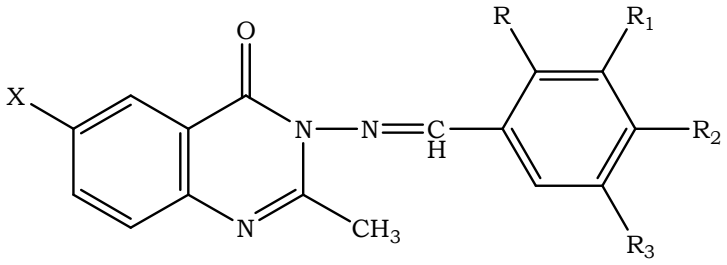
In the year 2006, G. Grover[81] *et. al.,* reported the synthesis and evaluation of new quinazolone **(36)** derivatives of nalidixic

acid as potential antibacterial and antifungal agents. Some of the derivatives showed marked inhibitory activity against enteric pathogen like aeromonas hydrophila, a causative agent of diarrhoea in both children as well as adults.



(36)

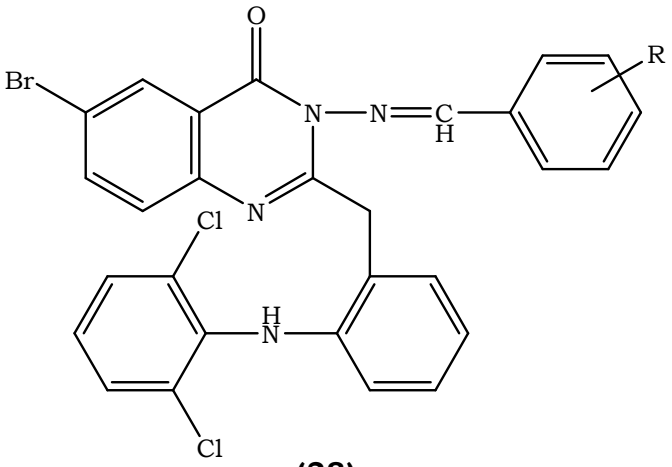
In the year 2006, synthesis of 6-iodo/bromo-3-amino-2-methylquinazolin-4(3*H*)-ones **(37)** by direct halogenations and their schiff base derivatives were reported by M. A. Sayyed[82] *et. al.,* The results showed that all the compounds exhibited a marked degree of activity against bacteria in comparison to tetracycline.



(37)

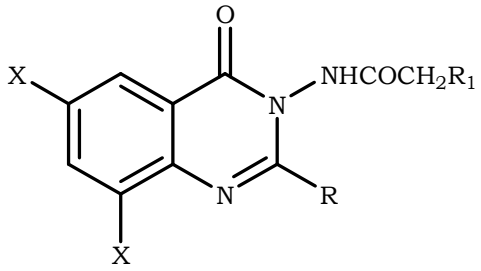
In the year 2007, N. B. Patel[83] *et. al.,* reported the new 2,3-disubstituted quinazolin-4(3*H*)-ones **(38)** as antimicrobial agents. The overall screening result showed that the compounds

containing chloro and methoxy group displayed significant antibacterial activity at 100 µg/ml and 200 µg/ml.



(38)

In 2007, Raghavendra[84] *et. al.,* synthesized some novel substituted 2-imidazolyl-*N*-(4-oxo-quinazolin-3(4*H*)-yl)-acetamides **(39)** and screened for antimicrobial activity.



(39)

In 2009, Musiol and coworkers[85] screened the biological activity spectrum of novel styrylquinazoline analogues.

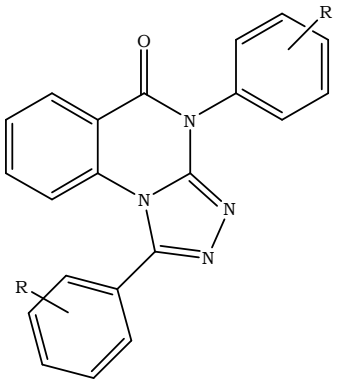
In 2009, Antipenko LN and coworkers[86] synthesized ([1,2,4]Triazolo[1,5-c]quinazolin-2-yl-thio)carboxylic acid amides

and these compounds showed cytotoxicity by bioluminescence inhibition, antibacterial and antifungal activity.

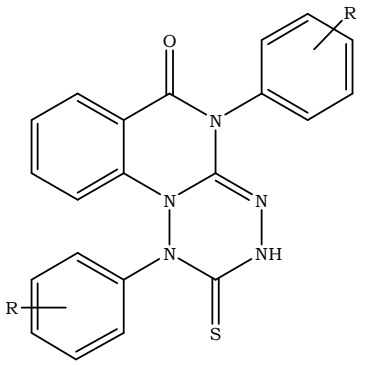
In 2009, Antipenko L and coworkers[87] synthesized 2-thio-[1,2,4]triazolo[1,5-c]quinazoline derivatives and reported that it exhibits good antimicrobial activity.

In 2009, Pandey SK and coworkers[88] synthesized some novel quinazolinones fused with [1,2,4]-triazole, [1,2,4]-triazine and [1,2,4,5]-tetrazine rings have been reported. These compounds were screened for their antibacterial activity against gram-negative bacteria, *Escherichia coli*, *Pseudomonas aeruginosa* and gram-positive bacteria, *Streptococcus pneumoniae*, *Bacillus subtilis*, as well as demonstrated significant antifungal activity against fungi viz. *Candida albicans*, *Aspergillus fumigat*us, *Aspergillus flavus*, and *Aspergillus niger*.

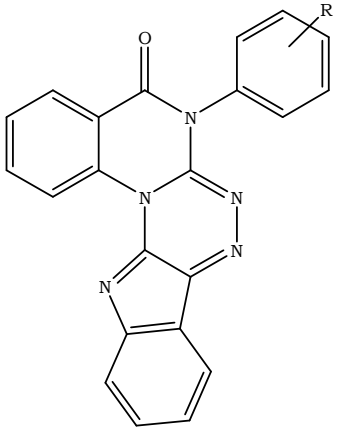
In the year 2009, S. K. Pandey[89] *et. al.,* reported the antimicrobial studies of some novel quinazolinones **(40**) fused with [1,2,3,4]-tetrazole, [1,2,4]-triazine and [1,2,4,5]-tetrazine rings. During their studies they found the presence of triazole ring exhibited greater activity than that of triazine and tetrazine.



(40a)



(40b)

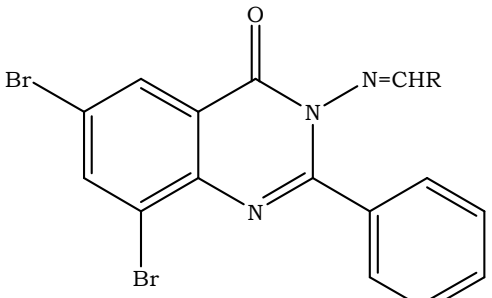


(40c)

Rohini[90] *et. al.,* in 2009 synthesied a 6-substituted quinazolines and found to possess antimicrobial activity.

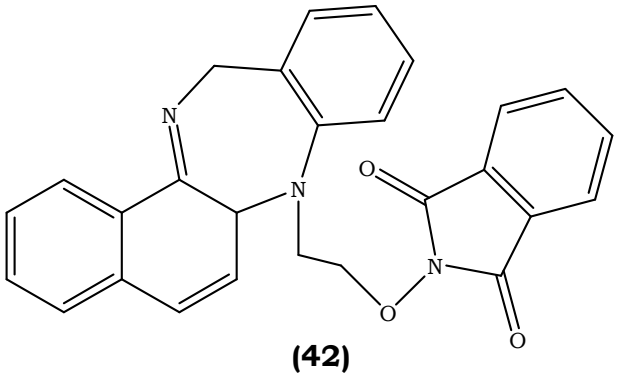
In 2009 Rohini[91] *et. al.,* were synthesized a series of Mono and bis-6-arylbenzimidazo[1,2-c]quinazolines and performed their antimicrobial activity

Panneerselvam[92] *et. al.,* in 2009 Synthesized a 3-amino-6,8-dibromo-2-phenylquinazolin-4(3*H*)-ones **(41)** and these compounds showed anti-microbial activity.



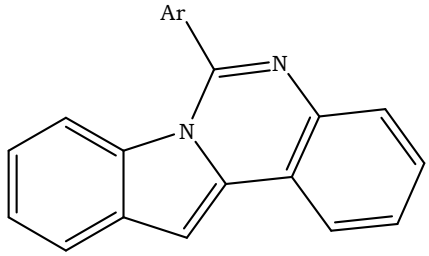
(41)

In 2009, Sharma and coworkers[93] prepared a series of ethoxy phthalimide derivatives of tetrahydro-naphtho[1,2-e][1,5]benzodiazepine and dihydrobenzo[*H*] quinazolines **(42)** and screened for their antimicrobial activity.



(42)

In 2009, Rohini and coworkers[94] performed the antimicrobial study of newly synthesized 6-substituted indolo[1,2-c]quinazolines **(43)**.



(43)

In 2010, Boyapati and coworkers[95] synthesized novel 4-substituted quinazoline derivatives and evaluated for antimicrobial and docking studies of as DNA-gyrase inhibitors.

Saraswathi and coworkers[96] in 2010 synthesized mannich bases of benzimidazo [1,2-c] quinazolin- 6(5*H*)-thione and evaluated for their antimicrobial activity.

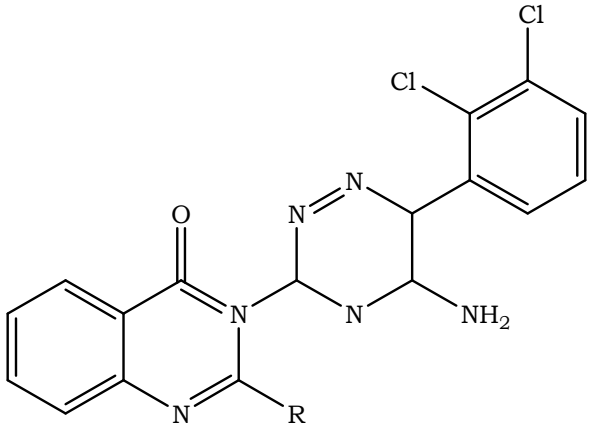
El-Sabbagh[97] *et. al.,* in 2010 synthesized some of new non-classical acridines, quinolines and quinazolines derived from dimedone and evaluated for biological activity.

Patel and coworkers[98] in 2010 synthesized some (4-oxo-thiazolidinyl) sulfonamides bearing quinazolin-4(3*H*)-ones and studied their antimicrobial activity.

In 2010, Mohamed and coworkers[99] reported the novel 6,8-dibromo-4(3*H*)-quinazolinone derivatives as antibacterial and antifungal agents.

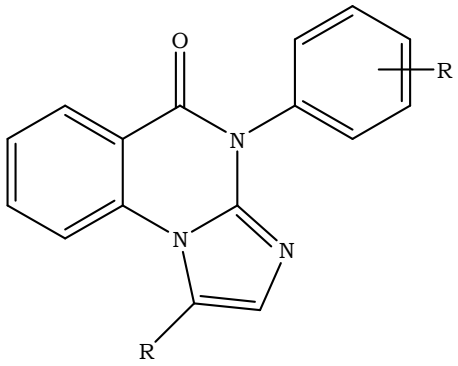
In 2010, Arfan and coworkers[100] synthesized some 2,3-disubstituted quinazolin-4(3*H*)-ones and studied their antileishmanial and antimicrobial activity.

In 2010, Nagarajan and Kavimani[101] prepared a series of novel 3-(5-amino-6(2,3-dichlorophenyl)-1,2,4-triazin-3-yl)-2-aryl quinazoline-4(3*H*)-ones **(44)** and reported that these compounds possess *in vitro* antibacterial activity.



(44)

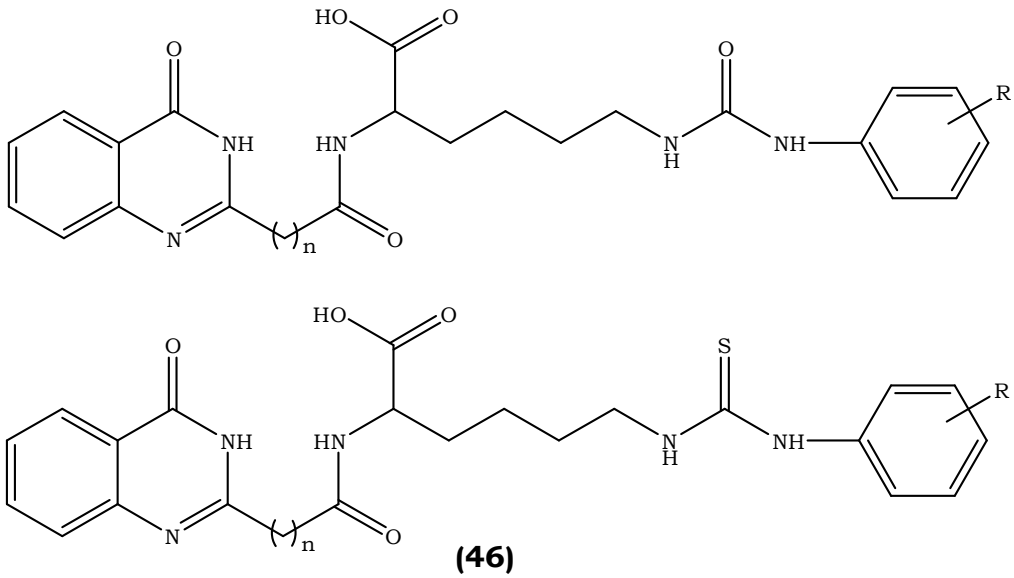
Deshmukh[102] *et. al.,* in 2010, synthesized and screened some pyrazolo-3-aryl-4(3*H*)-quinazolinones derivatives **(45)**, these compounds were found to be more potent antimicrobial agents.



(45)

In 2010, Abdel-Aal and coworkers[103] were synthesized a series of novel 5-amino-4-cyano-1*H*-pyrazole and quinazolin-4(3*H*)-one derivatives and studied their antimicrobial activity.

In 2011, Suresha and coworkers[104] prepared a series of urea/thiourea derivatives of quinazolinone-lysine conjugates **(46)** and studied their antimicrobial activity.

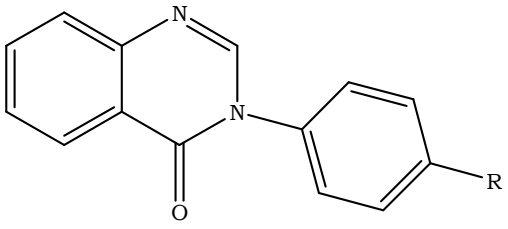


(46)

In 2011, Yuan-peng[105] *et. al.,* synthesized and studied antibacterial activitiy of pleuromutilin derivatives with quinazolinone and thioether groups.

Patel and Shaikh[106] in 2011 synthesized new 1,3-oxazolyl-7-chloro quinazolin-4(3*H*)-ones and evaluated for their antimicrobial activity.

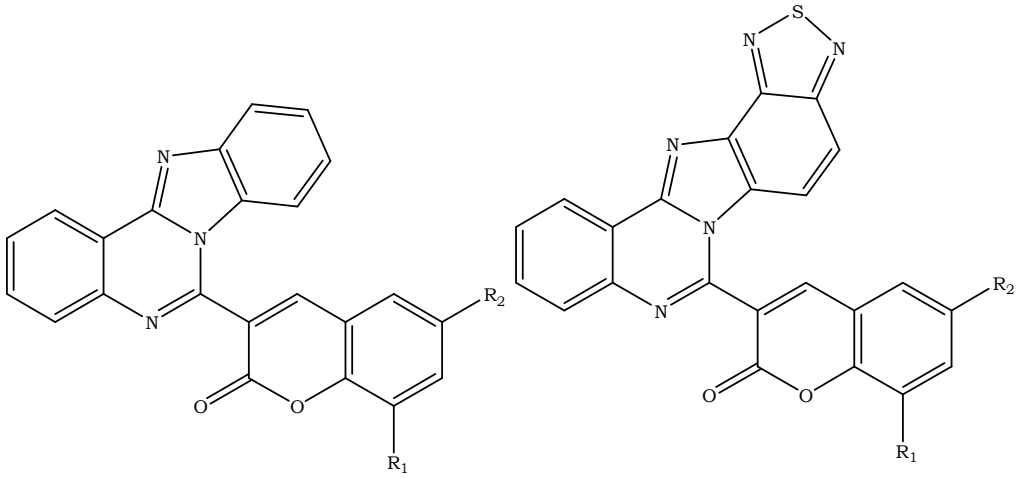
In 2011, Gnana Ruba Priya and coworkers[107] were synthesized 4(3*H*)-quinazolinones **(47)** by microwave assisted tandem reaction and evaluated their antibacterial and antifungal activities



(47)

In 2011, Mahamoud and coworkers[108] prepared a series of alkyl amino quinazoline and showed good antimicrobial activity**.**

Kuarm and coworker[109] in 2011, prepared and studied some 3-[benzimidazo-benzothiadiazoleimidazo-[1,2-c]quinazolin-5-yl]-2*H*-chromene-2-ones **(48)** as potent antimicrobial agents.



(48)