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SYNTHESIS AND ANTIMICROBIAL ACTIVITIES OF NOVEL 2-(BENZO [D][1,3]DIOXOL-5-YL)-6,7-DIMETHOXYLQUINAZOLIN-4(3H)-ONES

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ABSTRACT:- In view of generatingnew compounds for future drug development, we have synthesized some 2-(benzo[*d*][l,3]dioxol-5-yl)-6,7-dimethoxylquinazolin-4(3H)-one derivatives of 4,5-dimethoxy-2-nitrobenzamide **(5)**, synthesized by the ortho-nitro acid **4** was converted to its acid chloride by using thionyl chloride, followed by treatment with ammonia (aq.) gave the substituted ortho-nitro benzanilide **(5).** 4,5-Dimethoxy-2-nitrobenzamide **(5)** react withbenzo(d)[1,3] dioxole-5-carbaldehyde **(6a)** in presence of $SnCl_2.2H_2O$ in MeOH was heated to gave 2-(benzo[*d*][l,3]dioxol-5-yl)-6,7-dimethoxylquinazolin-4(3H)-ones **(7a-k).** All the synthesized compounds were fully characterized on the basis of their detailed spectral studies and were evaluated for their antimicrobial activities in two Gram-positive bacteria (Staphylococcus aureus, Bacillus subtillis) and two Gram-negative bacteria (Echerichia coli and Pseudomonas aeuroginosa) and two fungi (Aspergillusniger and Aspergillusfumigatus) strains using Cup plate method

KEYWORDS: - Antimicrobial activity, 4, 5-Dimethoxy-2-nitrobenzamide, $SnCl_2.2H_2O$,thionyl chloride.

INTRODUCTION

Quinazolinone is a building block for approximately 150 naturally occurring alkaloids isolated to date from a number of families of the plant kingdom, animals and microorganisms. The first quinazolinone was synthesized [1] in the late 1860s from anthranilic acid and cyanogens to give 2-cyanoquinazolinone. Interest in the medicinal chemistry of quinazolinone derivatives was stimulated in the early 1950's with the elucidation of a quinazolinone alkaloid, 3-[b-keto-g-(3-hydroxy-2-piperidyl)- propyl]-4-quinazolone febrifugine [2] , from an Asian plant Dichroafebrifuga, which is an ingredient of a traditional Chinese herbal remedy, effective against maleria.

In a quest to find additional potential quinazolinone-based drugs, various substituted quinazolinones have been synthesized, which led to the synthesis of the derivative, 2-methyl-3-o-tolyl-4-(3H)-quinazolinonemethaqualone.Methaqualone was synthesized [3] for the first time in 1951 and it is the most well-known synthetic quinazolinone drug, famous for its sedative-hypnotic effects [4]. The introduction of methaqualone and its discovery as a hypnotic triggered the research activities toward the isolation, synthesis, and studies on the

pharmacological properties of the quinazolinones and related compounds. Quinazolinones and their derivatives are now known to have a wide range of useful biological properties, such as hypnotic, sedative, analgesic, anti-convulsant, anti-tussive, anti-bacterial, anti-diabetic, anti-inflammatory, anti-tumor, and several others [5,6]. Quinazolinone derivatives are of interest because of their pharmacological properties, [6,7]. Anti-microbial, anticonvulsant, sedative, hypotensive, anti-depressant, antiinflammatory, and anti-allergy properties. Some of these compounds also have interesting biological properties [7-10] such as anti-malarial activity, biofungicide, and diuretic properties.

In view of their biological activity, 2-substituted 3H-quinazoline-4-ones represent one of the most interesting groups of alkaloids. In particular, quinazoline-4-one alkaloids such as sedative hypnotic drug Methaqualone. The development of new methods for the expeditious synthesis of biologically relevant heterocyclic compounds, we became interested in the possibility of developing a one pot analogue of the Quinazolinones. Most of the methods reported in the literature for constructing Quinazolinone ring system, containing ortho-amino benzanilides as starting materials, which involves the reduction of nitro group of ortho-nitrobenzanilide, we have successfully tried to minimize the number of steps, in which the intermediate o-aminobenzanilide was not isolated, but rather immediately converted in situ to a Quinazolinone derivatives, we have discovered a novel one-pot intramolecular reductive cyclization of *o*-nitrobenzamides and aromatic or aliphatic aldehydes to Quinazolinones derivatives

MATERIALS AND METHODS

General conditions

Vanillic acid and all aliphatic aldehydes were purchased from Aldrich chemicals. All the other used reactants, reagents and solvents were obtained on commercially (SD fine, India) and used with further purification. Melting points were determined by open capillary method. ^{1}H NMR (400MHz, DMSO-d_6, TMS) and ^{13}C NMR (100.6 MHz, DMSO-d_6, TMS) were recorded on spectrometer TMS as internal standard (chemical shifts and ppm). Mass spectra were recorded on a VG micromass70-70H instrument.

SYNTHESIS

Synthesis of Methyl 3,4-dimethoxybenzoate (2)

To a solution of methyl 4-hydroxy-3-methoxybenzoate **1** in Dimethyl sulphate in acetone and the reaction mixture was heated under reflux for 8-12 hours. After the completion of reaction, the reaction mixture was extracted with ethyl acetate and washed with aqueous solution of $NaHCO_3$ to remove starting material **1** if found unreacted in the reaction mixture. The organic layer was separated and the solvent was removed under reduced pressure to obtain the solid methyl 3,4-dimethoxybenzoate **2** in 90 % yield, m.p. 57-60 °C. ^{1}H NMR (DMSO-$d_6$400 MHz) δ 3.90 (s, 3H, CO_2CH_3), 3.94 (s, 6H, OCH_3), 6.83- 6.96 (d, IE, J = 8.49 Hz, Ar-H), 7.51-7.59 (d, 1H, .7= 1.88 Hz, Ar-H), 7.63-7.75 (dd, 1H, J_1 -8.30 Hz &J_1 = 1.88 Hz, Ar-H).

Synthesis of methyl 4,5-dimethoxy-2-nitrobenzoate (3)

To a round bottom flask containing cone. HNO_3 was added methyl 3,4-dimethoxy benzoate **2** slowly at -5 °C. The reaction was continued at the same temperature for 2-3 hours. After the completion of the reaction (monitored by TLC), the reaction mixture was

quenched with water and filtered off through Buchner funnel with repeated washing with water to afford powder of methyl 4,5-dimethoxy-2-nitrobenzoate **3** in 85 % yield. ^{1}H NMR (DMSO-$d_6$400 MHz) δ 3.89 (s, 3H, OCH_3), 3.98 (s, 6H, OCH_3), 7.05 (s, ^{1}H, Ar-H), 7.39 (s, 1H, Ar-H).^{13}C NMR (DMSO-d_6, 100.6 MHz) δ 52.49, 56.03, 56.08, 106.47, 110.31, 120.65, 140.62, 149.89, 151.87, 165.31.

Synthesis of 4,5-dimethoxy-2-nitrobenzoic acid (4)

5 % of NaOH solution was added to the reaction mixture of nitrated **3** in THF: H_2O (1:1) and heated for 4 hours. After the completion of the reaction (monitored by TLC), the solvent was evaporated and the reaction mixture was acidified with HC1 (1 M). Then, reaction mixture was extracted with ethyl acetate (30 mL) and organic layer was separated, removed under reduced pressure in vacuo to give crude product. Recrystallization of resulted crude product yielded in nitro acid **4** in 86 % yields. ^{1}H NMR (DMSO-$d_6$400 MHz) δ 3.89 (s, 3H, OCH_3), 3.91 (s, 3H, OCH_3), 7.29 (s, 1H, Ar-H), 7.58 (s, 1H, Ar-H).^{13}C NMR (DMSO-d_6, 100.6 MHz) δ 56.28, 107.03, 111.08, 121.07, 141.29, 150.03, 151.59, 165.89.

Synthesis of 4,5-dimethoxy-2-nitrobenzamide (5)

To a stirred solution of nitro acid **4** in benzene was added thionyl chloride, cat. DMF and refluxed for 1-2 hours. Then, the benzene solvent was evaporated under reduced pressure to give acid chloride. The resulting acid chloride was dissolved in THF and was added drop wise to a solution of aqueous ammonia and stirred for 4-5 hours. The solvent was evaporated from the reaction mixture to obtain residue which was washed with H_2O repeatedly. The resulting residue was filtered off to obtain nitro amide **5** in 85-90 % yields, m.p. 196-197 °C. ^{1}H NMR (DMSO-$d_6$400 MHz) δ 3.90 (s, 6H, OCH_3), 7.29 (s, 1H, Ar-H), 7.62 (s, 1H, Ar-H).^{13}C NMR (DMSO-d_6, 100.6 MHz) δ 53.00, 56.49, 107.27, 111.03, 120.36, 140.73, 150.26, 152.22, 165.42. ESI-MS: m/z $(M+H)^+$.

General procedure for the synthesis of title compounds dimethoxyl quinazolin-4(3H)-ones (7a-k)

A solution of 4,5-dimethoxy-2-nitrobenzamide **(5)**, (1 gm, 3.311 mmol, 1 equiv.), benzo(d)[1,3] dioxole-5-carbaldehyde **(6a)** and $SnCl_2.2H_2O$ (2.98 gm, 13.24 mmol, 4 equiv) in MeOH was heated at reflux temperature for 3-4 hours. After the completion of reaction, monitored by TLC, the solvent was removed by evaporation in vacuo and the residue was extracted with ethyl acetate (20 mL) and the resulting residue was treated with saturated solution of $NaHCO_3$ to adjust pH up to 12. The resulting mixture was filtered through a bed of celite. Then, the two layers i.e. organic and aqueous layers were separated and the organic layer was evaporated in vacuo to give a solid product. Recrystallization of this crude product with Methanol afforded pure colorless compound **7a-k.** Characterization data of **7a-k** are given below.

2-(benzo[*d*][l,3]dioxol-5-yI)-6,7-dimethoxylquinazolin-4(3H)-one(7a)

Yield 70%,mp: 310-312 °C. ^{1}H NMR (DMSO-$d_6$400 MHz) δ 3.85 (s, 3H, OCH_3), 3.90 (s, 3H, OCH_3), 6.11 (s, 2H, OCH_2O), 7.03 (d, 1H, *J*= 8.03 Hz, Ar-H), 7.15 (s, 1H, Ar-H), 7.43 (s, 1H, Ar-H), 7.7 (d, 1H, *J* = 1.5 Hz),7.73-7.78 (dd, 1H, J_1 - 8.03, J2 = 1.5Hz, Ar-H). ^{13}C NMR (DMSO-d_6, 100.6 MHz) δ 55.65, 55.91, 101.76, 104.87, 107.23, 108.01, 108.22, 113.63, 122.30, 126.63, 144.76, 147.60, 148.33, 149.70, 150.14, 154.68, 161.57. ESI-MS: m/z 327 $(M+H)^+$.

6, 7-dimethoxy-2-styrylqumazolin-4(3*H*)-one (7b)
Yield 72%, mp: 293-295 °C. ^{1}H NMR(DMSO-$d_6$400 MHz) δ 3.88 (s, 3H, OCH_3), 3.91 (s, 3H, OCH_3), 6.96 (d, 1H, *J* = 15.99 Hz), 7.14 (s, 1H, Ar-H), 7.44 (s, 1H, Ar-H), 7.38 (m, 3H, Ar-H), 7.62 (d, 2H, J= 6.99 Hz, Ar-H), 7.88 (s, 1H, 7 = 15.99 Hz). ^{13}C NMR (DMSO-d_6, 100.6 MHz) δ 55.61, 55.82, 79.07, 104.99, 107.80, 114.02, 121.06, 127.36, 128.95, 129.47, 135.03, 137.05, 144.96, 148.32, 149.89, 154.56, 160.96. ESI-MS: m/z 309

2-(3-hydroxy-4-methoxyphenyl)-6,7-dimethoxyquinazolin-4(3*H*)-one (7c)
Yield 70%, mp: 245-247 °C. ^{1}H NMR (DMSO-$d_6$400 MHz) δ 3.88 (s, 6H, OCH_3), 3.92 (s, 3H, OCH_3), 6.89 (d, 1H, *J* =7.82 Hz, Ar-H), 7.15 (s, 1H, Ar-H), 7.45 (d, 1H, *J*= 11.17 Hz, Ar-H), 7.71 (d, 1H, *J*= 8.93Hz, Ar-H), 7.78 (s, 1H, Ar-H), 9.70 (broad singlet, 1H, OH), 12.14 (broad singlet, 1H, NH). ^{13}C NMR (DMSO-d_6, 100.6 MHz) δ 55.62, 55.68, 55.88, 104.90, 107.95, 110.91, 113.42, 115.29, 120.93, 123.55, 145.05, 147.43, 148.13, 149.53, 150.57, 154.65, 161.66. ESI-MS: m/z 329 $(M+H)^+$.

2-(l*H*-indol-3-yl)-6/7-dimethoxyquinazolin-4(3*H*)-one (7d)
Yield 74%, mp: 250-251 °C. ^{1}H NMR (DMSO-$d_6$400 MHz) δ 3.78 (s, 3H, OCH_3), 3.82 (s, 3H, OCH_3), 7.04 (s, 1H, Ar-H), 7.11-7.16 (m, 2H, Ar-H), 7.33-7.41 (m, 2H, Ar-H), 7.91 (s, 1H, Ar-H), 8.22 (s, 1H, Ar-H), 11.73 (broad singlet, NH), 11.96 (broad singlet, NH). ESI-MS: m/z 322 $(M+H)^+$.

6,7-dimethoxy-2-(3,4,5-trimethoxyphenyl)quinazolin-4(3*H*)-one (7e)
Yield 70%, mp: 286-287 °C. ^{1}H NMR (DMSO-$d_6$400 MHz) δ 3.75 (s, 3H, OCH_3), 3.90 (s, 12H, OCH_3), 3.94 (s, 3H, OCH_3), 7.21 (s, 1H, Ar-H), 7.48 (s, 1H, Ar-H), 7.55 (s, 1H, Ar-H), 7.56 (s, 1H, Ar-H), 12.37 (broad singlet, 1H, NH). ^{13}C NMR (DMSO-d_6 100.6 MHz) δ 55.73, 70.02, 105.10, 109.50, 114.38, 125.35, 127.85, 128.26, 128.46, 136.26, 136.79, 144.32, 149.00, 149.73, 153.57, 161.57.ESI-MS: m/z 374 $(M+H)^+$.

6,7-dimethoxy-2-(3-(trifluoromethyl)phenyl)quinazolin-4(3*H*)-one (7f)
Yield 72%, mp: 312-313 °C. ^{1}H NMR(DMSO-$d_6$400 MHz) δ 3.9 (s, 3H, OCH_3), 3.94 (s, 3H, OCH_3), 7.27 (s, 1H, Ar-H), 7.5 (s, 1H, Ar-H), 7.75-7.78 (t, 1H, J_1 = 7.55 Hz, J_2 = 7.55 Hz, Ar-H), 7.93 (d, 1H, J_1 = 7.55 Hz, Ar-H), 8.47 (d, 1H, J_2 = 7.55 Hz, Ar-H), 8.54 (s, 1H, Ar-H). ^{13}C NMR (DMSO-d_6, 100.6 MHz) δ 55.67, 55.95, 104.91, 108.31, 114.17, 124.10, 127.34, 129.72, 129.79, 131.24, 133.89, 144.48, 148.77, 149.50, 154.68, 161.57. ESI-MS: m/z 351 $(M+H)^+$.

2-(5-bromofuran-2-yl)-6,7-dimethoxyquinazolin-4(3H)-one (7g)
Yield 70%, mp: 293.5-294.5 °C. ^{1}H NMR (DMSO-d_6 400 MHz) δ 3.87 (s, 3H, OCH_3), 3.91 (s, 3H, OCH_3), 6.85 (d, 1H, *J* = 3.21 Hz, Ar-H), 7.22 (s, 1H, Ar-H), 7.44 (s, 1H, Ar-H), 7.57 (d, 1H, *J*= 3.21 Hz, Ar-H), 12.41 (broad singlet, 1H, NH). ^{13}C NMR (DMSO-d_6, 100.6 MHz) δ 55.72, 56.02, 79.15, 105.16, 108.27, 114.20, 114.41, 115.85, 125.70, 141.76, 144.45, 148.09, 148.69, 154.76, 160.79.
ESI-MS: m/z 350 $(M+H)^+$.

6,7-dimethoxy-2-(3-phenoxyphenyl)quinazolin-4(3H)-one (7h)
Yield 71%, mp: 279.5-281 °C. ^{1}H NMR (DMSO-$d_6$400 MHz) δ 3.98 (s, 3H, OCH_3), 3.92 (s, 3H, OCH_3), 7.09 (d, 2H, *J* = 7.55, Ar-H), 7.19 (s, 1H, Ar-H), 7.22 (d, 2H, *J* = 7.93, Ar-H), 7.40-7.50 (m, 3H, Ar-H), 7.52-7.60 (m, 1H, Ar-H), 7.84 (s, 1H, Ar-H), 7.96 (d, 1H, *J* = 7.17 Hz Ar-H). ESI-MS: m/z 375 $(M+H)^+$.

2-(4-fluorophenyl)-6,7-dimethoxyquinazolin-4(3H)-one (7i)
Yield 74%, mp: 316-317 °C. ^{1}H NMR (DMSO-$d_6$400 MHz) δ 3.90 (s, 3H, OCH_3), 3.93 (s, 3H, OCH_3), 7.21 (s, 1H, Ar-H), 7.35-7.42 (m, 2H, Ar-H), 7.48 (s, 1H, Ar-H), 8.21 (dd, 2H, J_1 = 5.45, J_2 = 5.45, Ar-H), 12.48 (broad singlet, 1H, NH). ESI-MS: m/z 301 (M+H)+.

6,7-dimethoxy-2-(4-methoxyphenyl)quinazolin-4(3*H*)-one (7j)
Yield 69%, mp: 270-271 °C. ^{1}H NMR (DMSO-d_6 400 MHz) δ 3.86 (s, 3H, OCH_3), 3.92 (s, 3H, OCH_3), 3.95 (s, 3H, OCH_3), 7.0 (d, 2H, *J* = 8.87 Hz, Ar-H), 7.13 (s, 1H, Ar-H), 7.46 (s, 1H, Ar-H), 8.17 (d, 2H, *J*= 8.67 Hz, Ar-H), ESI-MS: m/z 313 $(M+H)^+$.

6,7-dimethoxy-2-(thiophen-2-yl))quinazolm-4(3H)-one (7k)
Yield 72%, mp: 285.5-287 °C. ^{1}H NMR (DMSO-$d_6$400 MHz) δ 3.96 (s, 3H, OCH_3), 4.0 (s, 3H, OCH_3), 7.08 (s, 1H, Ar-R), 7.11 (dd, 1H, J_1 = 4.15 Hz, J_2 = 4.721 Hz), 7.49 (s, 2H, Ar-H), 8.12 (d, 1H, *J*= 3.58 Hz, Ar-H). ^{13}C NMR (DMSO-d_6, 100.6 MHz) δ 55.62, 55.91, 105.14, 107.72, 113.72, 128.30, 128.52, 131.35, 137.50, 144.56, 146.39, 148.36, 154.71, 160.96. ESI-MS: m/z 289 (M+H)+.

RESULTS AND DISCUSSION

Chemistry

We have successfully synthesized ten novel compounds **7a-k** in good yields via 4,5-dimethoxy-2-nitrobenzamide **5** by employing the reaction sequences shown in various schemes (scheme **1** and **2**).

The starting material (**5**) for this methodology development was synthesized by a known method reported in the literature. It was started from compound **1** which was synthesized in scheme 1. Phenolic OH group in compound **1** was methylated using Dimethyl sulphate in acetone gave dimethoxy ester **2** in 68 % yield. The formation of compound **2** was characterized from the ^{1}H NMR spectrum which showed the presence of three singlets for three methoxy groups at δ 2 x 3.84, and 3.99. Nitration of compound **2** was carried out in presence of nitric acid to obtain nitrated ester **3** in 85 % yield. Formation of compound **3** was characterized from the ^{1}H NMR which showed two singlets for two aromatic protons at δ 7.05 and δ 7.39. Nitrated ester **3** was hydrolysed using sodium hydroxide (5 %) to obtain ortho-nitro acid **4** in 86 % yield. Thus, ortho-mtro acid **4** was converted to its acid chloride by using thionyl chloride, followed by treatment with ammonia (aq.) gave the substituted ortho-mirobenzanilide **5** in 90 % yield as shown in Scheme 1. ^{1}H NMR spectrum of ortho-mtrobenzanilide**5** showed the disappearance of proton of acid which was again confirmed with its ESTMS peak at 227 $(M+H)^+$.

The reaction sequence employed for the synthesis of title compounds is shown in (**Scheme 2**). The one pot of reaction of ortho-nitro key intermediate compound **(5)**, react with (aromatic/aliphatic aldehydes) of benzo(d)[1,3] dioxole-5-carbaldehyde **(6a)** in presence of $SnCl_2.2H_2O$ in MeOH was heated at reflux temperature for 3-4 hours to gave a 2-(benzo[*d*][l,3]dioxol-5-yl)-6,7-dimethoxylquinazolin-4(3H)-one**(7a).** In the ^{1}H NMR spectrum of 2-(benzo[*d*][l,3]dioxol-5-yl)-6,7-dimethoxylquinazolin-4(3H)-one**(7a)** appeared at δ 3.85 and 3.90 (two methoxy groups) and aryl benzyl appeared at δ 7.03 (d, 1H, *J*= 8.03 Hz, Ar-H), 7.15 (s, 1H, Ar-H), 7.43 (s, 1H, Ar-H), 7.7 (d, 1H, *J* = 1.5 Hz),7.73-7.78 (dd, 1H, J_1 - 8.03, J2 = 1.5Hz, Ar-H). In the ^{13}C NMR spectrum of 2-(benzo[*d*][l,3]dioxol-5-yl)-6,7-dimethoxylquinazolin-4(3h)-one**(7a)** appeared at δ 55.65, 55.91, 101.76, 104.87, 107.23,

108.01, 108.22, 113.63, 122.30, 126.63, 144.76, 147.60, 148.33, 149.70, 150.14, 154.68, 161.57. In the ESI-MS: m/z 327 $(M+H)^+$.

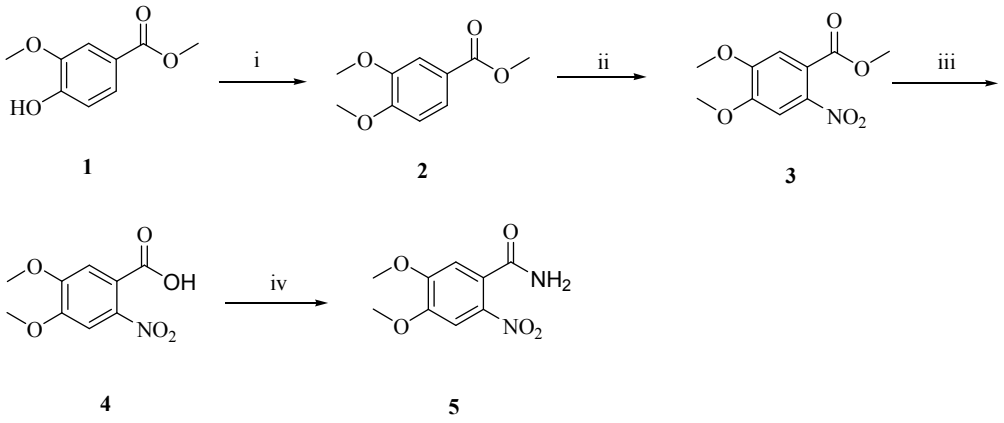
Antimicrobial Activity

In view of developing new class of antimicrobial agents, synthesized novel compounds were screened for their in vitro antimicrobial activities to determine zone of inhibition at 100 μg/mL against two Gram-positive bacteria (Staphylococcus aureus, Bacillus subtilis and two Gram-negative bacteria Escherichia coli, Pseudomonas aeruginosa, as well as two fungi Aspergillusniger, Aspergillus fumigates strains using Cup plate method [29,30] where inoculated Muller-Hilton agar for bacteria and Sabouraud dextrose agar for fungi was poured onto the sterilized petri dishes (25–30 mL each petri dish). The poured material was allowed to set (30 min.) and thereafter the 'CUPS' (06mm diameter) was made by punching into the agar surface with a sterile cork borer and scooping out the punched part of the agar. Into these cups the test compound solution (0.1mL) was added with the help of a micro pipette. The plates were incubated at 37° C for 14h for bacteria and 30 h for fungi and the results were noted. The test solution was prepared by DMSO as solvent. Clinically antimicrobial drugs Ciprofloxacin and Miconazole were used as the positive control and DMSO was used for blank.

The obtained results, depicted in Table 1, revealed that all the synthesized compounds 7**a-k** could effectively, to some extent, inhibit the growth of all tested strains In vitro. In antibacterial studies, all the compounds tested were found less active towards Bacillus subtilis, as compared to other one strain of bacteria. Most of the compounds showed moderate to good activity against Staphylococcus aureus, Pseudomonas aeruginosa. Compounds **7a**, **7h** and **7i** have shown good antibacterial activity against Staphylococcus aureus. **7a,7b** and **7i** have shown moderate activity against Escherichia coli. Out of two strains of fungi, these compounds were found to be less active against Aspergillusnigerwhereas showed moderate to good activity against Aspergillusfumigatus.

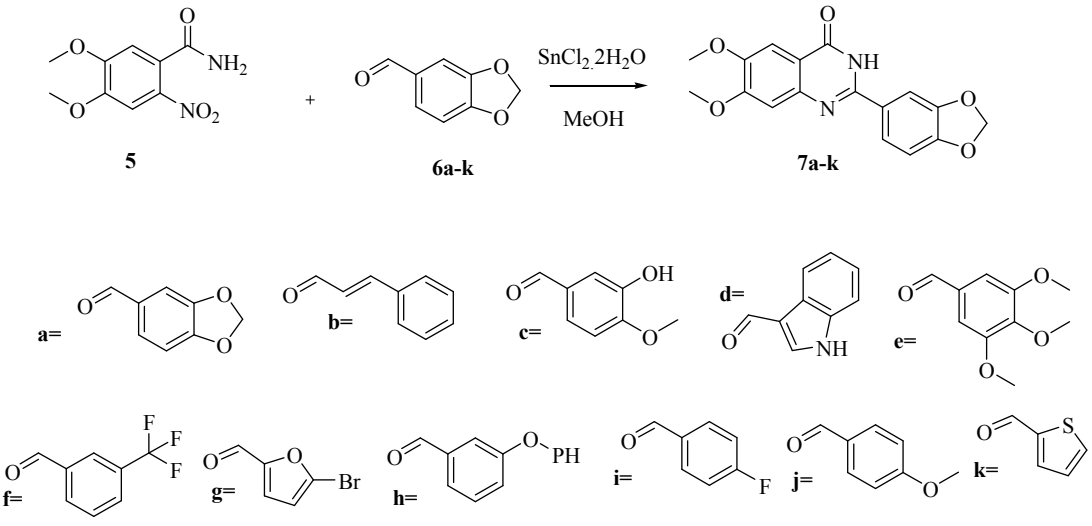
Table-1. Antimicrobial activity of title compounds 7a-k

Compound	Gram positive bacteria		Gram negative bacteria		Fungi	
	Aureus	subtillis	coli	aeuroginosa	niger	fumigatus
8a	15	12	11	13	11	18
8b	13	12	15	12	10	18
8c	14	10	15	12	11	15
8d	13	11	12	11	12	18
8e	13	10	10	11	11	18
8f	14	11	10	13	13	16
8g	13	10	12	12	13	18
8h	16	10	14	14	14	18
8i	15	13	16	14	14	18
8j	13	11	13	13	13	18
7k	12	10	14	12	13	18



Reagents and conditions : **(i)** Dimethyl sulphate, acetone, reflux,
(ii) HNO_3, CH_2C1_2, **(iii)** NaOH (5 %), heat 4 h,
(iv) $SOC1_2$, cat. DMF, ammonia (aq.).

Scheme-1 Synthesis of 4, 5-dimethoxy-2-nitrobenzamide



Scheme-2 Synthesis of title compounds 7a-k

CONCLUSION

We have successfully synthesized ten novel 2-(benzo[*d*][l,3]dioxol-5-yl)-6,7-dimethoxylquinazolin-4(3H)-ones**7a-k** containing heterocyclic aliphatic/aromatic aldehydes in good yields. The structures of all the compounds were confirmed by their spectral data. All the newly synthesized compounds were screened for their MIC and zone of inhibition against four strains of bacteria and two strains of fungi. Amongst the compounds screened, most of the compounds have shown moderate to good antibacterial and antifungal properties whereas some compounds have shown promising antifungal properties, which were further used to determine MBC and MFC against some selected strains of bacteria and fungi. It is also suggested 2-(benzo[*d*][l,3]dioxol-5-yl)-6,7-dimethoxylquinazolin-4(3H)-onesare worthy for further investigations as potential antimicrobial agents.

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