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# SYNTHESIS, ANTIMICROBIAL AND ANTICANCER EVALUATION OF 2-AZETIDINONES CLUBBED WITH QUINAZOLINONE

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A novel series of 2-azetidinones clubbed with quinazolinone was synthesized using anthranilic acid. All the synthesized compounds were evaluated for their antimicrobial activity against two Gram positive bacterial strains (*Bacillus subtilis* and *Staphylococcus aureus*), one Gram negative bacterial strain (*Escherichia coli*) and two fungal strains (*Candida albicans* and *Aspergillus niger*). All the synthesized compounds were also screened for their anticancer activity against human breast cancer cell line, MCF-7. Results of antimicrobial and anticancer study indicate that compounds **12** and **5** ($IC_{50}$ = 49.52 μM) are the most potent antimicrobial and anticancer agents, respectively.

**Keywords:** antibacterial; antifungal; anticancer activity.

## INTRODUCTION

Cancer is a disease characterized by a shift in the controlled mechanisms that govern cell proliferation and differentiation. Malignancy is caused by abnormalities in cells, which might be due to inherited genes or caused by outside exposure of the body to chemicals, radiation, or even infectious agents. Several techniques were adopted for the treatment and eradication of cancerous cells. These techniques include surgery, radiation, immunotherapy, chemotherapy, and chemoprevention. Ideal anticancer drugs would eradicate cancer cells without harming normal tissues. Unfortunately, no currently available agents meet this criterion and clinical use of drugs involves weighing benefits against toxicity in the search of favorable therapeutic index [1]. In recent decades, problems of multidrug resistant microorganisms have reached an alarming level around the world. These pose a serious challenge to the scientific community; hence, emphasis has been laid on the development of new antimicrobial agents [2]. The 2-azetidinone structural motifs have attracted a great deal of interest due to their broad biological activity profile including antimicrobial [3], anticancer [4], antimycobacterial [5], anti-inflammatory, analgesic [6], and antiviral [7] activities. In the light of facts mentioned above and in continuation of our previous research effort in the field of synthesis, antimicrobial and anticancer evaluation [8], the present article reports on the synthesis, antimicrobial, and anticancer evaluation of 2-azetidinone derivatives.

A series of 2-azetidinone derivatives (**1 – 17**) has been synthesized using a synthetic procedure outlined in Fig. 1, and their physicochemical properties are presented in Table 1.

## EXPERIMENTAL CHEMICAL PART

Reaction progress was observed by thin layer chromatography (TLC) using commercial silica gel plates (Merck), Silica gel F254 on aluminum sheets. The $^1$H nuclear magnetic resonance ($^1$H NMR) spectra were measured using a Bruker AV300 NMR spectrometer. The infrared (IR) spectra were recorded on an Agilent Resolutions Pro FTIR spectrometer.

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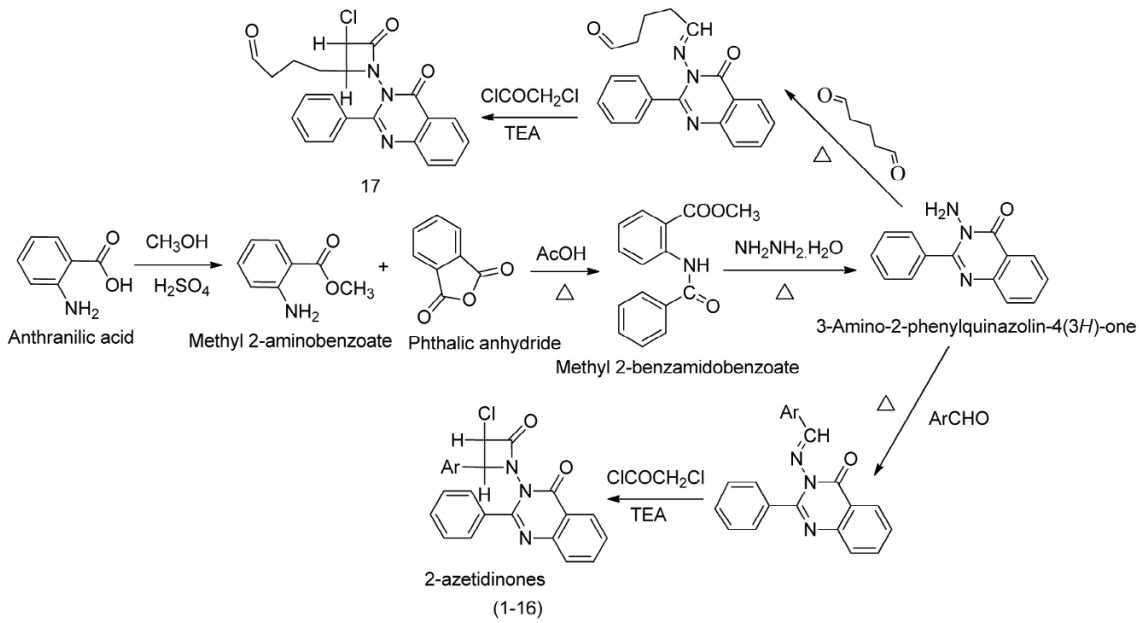


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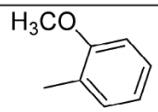
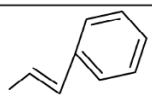
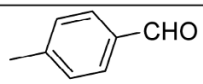
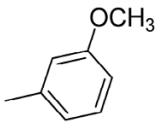
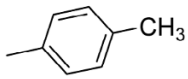
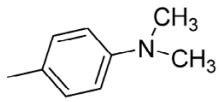
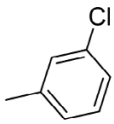
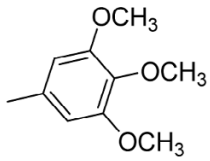
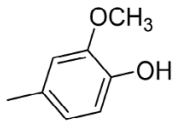
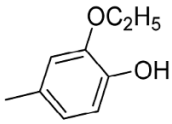
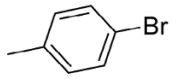
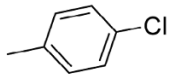
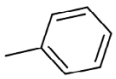
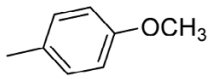
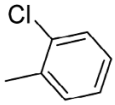
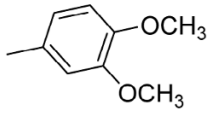
| 1 |  | 7 |  | 13 |  |
| 2 |  | 8 |  | 14 |  |
| 3 |  | 9 |  | 15 |  |
| 4 |  | 10 |  | 16 |  |
| 5 |  | 11 |  | 17 | -- |
| 6 |  | 12 |  | | |

**Fig. 1.** Scheme of the synthesis of 2-azetidinone derivatives (**1 – 17**).

*Synthesis*

A mixture of 0.25 M anthranillic acid and excess of methanol (250 mL) with 1 mL of sulfuric acid was refluxed for 3 – 4 h in round-bottom flask and then cooled. The precipitated methyl 2-aminobenzoate was separated by filtration and recrystallized from methanol. A mixture of the product (0.2 M) and phthalic anhydride (0.2 M) was heated under reflux for 3 h and then allowed to cool. The solid product was collected and recrystallized from acetic acid to yield methyl 2-benzamidobenzoate, 0.2 M of which was refluxed with excess of hydrazine hydrate (0.30 M) in ethanol (250 mL) for about 3 h and cooled. The solid was separated by filtration and recrystallized from ethanol to afford 3-amino-2-phenylquinazolin-4(3H)-one. A mixture of the product (0.025 M) and appropriate aldehyde (0.025 M) was refluxed in metha-

nol (50 mL) in the presence of a catalytic amount of glacial acetic acid for about 2 h. The mixture was cooled and the precipitated solid was separated by filtration and recrystallized from methanol to give the corresponding hydrazone, 0.02 M of which was refluxed with triethylamine (0.02 M) and chloroacetyl chloride (0.02 M) in dimethylformamide (40 mL) for 3 h on water bath to yield 2-azetidinone derivative. After cooling, the solution was poured on crushed ice to precipitate the crude product, which was recrystallized from rectified ethanol.

**3-(3-Chloro-2-(2-methoxyphenyl)-4-oxoazetidin-1-yl)-2-phenylquinazolin-4(3H)-one (1)**: m.p. (°C), 111 – 113; yield, 67.04%; IR (KBr pellets; ν, $cm^{-1}$): 3001 (C-H aromatic), 1615 (C=C aromatic), 1665 (C=O), 1520 (C=N), 1291 (C-N), 1248 (N-N), 751 (C-Cl), 1156 (C-O-C str., $-OCH_3$); $^1H$ NMR (DMSO-$d_6$, 400 MHz; δ, ppm): 7.15 – 7.99 (m, 13H, ArH), 7.05 (d, 1H, N-CH), 7.04 (d, IH, CH-Cl) 3.89 (s, 3H, $-OCH_3$).

**3-(3-Chloro-2-(3-methoxyphenyl)-4-oxoazetidin-1-yl)-2-phenylquinazolin-4(3H)-one (2):** m.p. (°C), 137 – 139; yield, 68.10%; IR (KBr pellets; ν, $cm^{-1}$): 3010 (C-H aromatic), 1601 (C=C aromatic), 1656 (C=O), 1556 (C=N), 1261 (C-N), 1220 (N-N), 785 (C-Cl), 1163 (C-O-C str., $-OCH_3$); $^1H$ NMR (DMSO-$d_6$, 400 MHz; δ, ppm): 7.45 – 8.69 (m, 13H, ArH), 7.43 (d, 1H, N-CH), 7.44 (d, IH, CH-Cl) 3.40 (s, 3H, $-OCH_3$).

**3-(3-Chloro-2-(3-chlorophenyl)-4-oxoazetidin-1-yl)-2-phenylquinazolin-4(3H)-one (3):** m.p. (°C); 155 – 157; yield, 77.52%; IR (KBr pellets; ν, $cm^{-1}$): 3003 (C-H aromatic), 1626 (C=O), 1565 (C=N), 1263 (C-N), 1210 (N-N), 780 (C-Cl); $^1H$ NMR (DMSO-$d_6$, 400 MHz; δ, ppm): 7.57 – 7.93 (m, 13H, ArH), 7.54 (d, 1H, N-CH), 7.56 (d, IH, CH-Cl).

**3-(3-Chloro-2-(3-ethoxy-4-hydroxyphenyl)-4-oxoazetidin-1-yl)-2-phenylquinazolin-4(3H)-one (4):** m.p. (°C), 172 – 174; yield, 57.29%; IR (KBr pellets; ν, $cm^{-1}$): 2970 (C-H aromatic), 1597 (C=C aromatic), 1629 (C=O), 1514 (C=N), 1280 (C-N), 1246 (N-N), 768 (C-Cl), 1177 (C-O-C str., $-OC_2H_5$), 3298 (OH-Ar); $^1H$ NMR (DMSO-$d_6$, 400 MHz; δ, ppm): 7.23 – 8.54 (m, 13H, ArH), 6.87 (d, 1H, N-CH), 6.89 (d, IH, CH-Cl), 1.24 (t, 3H, $CH_3$ of $-OC_2H_5$), 3.33 (m, 2H, $CH_2$ of $-OC_2H_5$), 4.10 (s,1H, OH).

**3-(3-Chloro-2-oxo-4-phenylazetidin-1-yl)-2-phenylquinazolin-4(3H)-one(5):** m.p. (°C), 145 – 147; yield, 86.20%; IR (KBr pellets; ν, $cm^{-1}$): 3050 (C-H aromatic),1622 (C=O), 1571 (C=N), 1210 (N-N), 750 (C-Cl); $^1H$ NMR (DMSO-$d_6$, 400 MHz; δ, ppm): 7.88 – 8.72 (m, 14H, ArH), 7.51 (d, 1H, N-CH), 7.53 (d, IH, CH-Cl).

**3-(3-Chloro-2-(2-chlorophenyl)-4-oxoazetidin-1-yl)-2-phenylquinazolin-4(3H)-one (6):** m.p. (°C), 188 – 190; yield, 61.41%; IR (KBr pellets; ν, $cm^{-1}$): 3005 (C-H aromatic), 1615 (C=C aromatic), 1700 (C=O), 1563 (C=N), 1272 (C-N), 1209 (N-N), 681 (C-Cl); $^1H$ NMR (DMSO-$d_6$, 400 MHz; δ, ppm): 7.51 – 8.16 (m, 13H, ArH), 7.49 (d, 1H, N-CH), 7.48 (d, IH, CH-Cl).

**3-(3-Chloro-2-oxo-4-styrylazetidin-1-yl)-2-phenylquinazolin-4(3H)-one (7):** m.p. (°C), 179 – 181; yield, 59.29%; IR (KBr pellets; ν, $cm^{-1}$): 2972 (C-H aromatic), 2876 (C-H str.,-CH=CH-), 1629 (C=C aromatic), 1513 (C=N), 1279 (C-N), 769 (C-Cl); $^1H$ NMR (DMSO-$d_6$, 400 MHz; δ, ppm): 7.25 – 8.54 (m, 14H, ArH), 7.23 (d, 1H, N-CH), 6.89 (d, IH, CH-Cl), 6.87 (d, 1H, CH).

**3-(3-Chloro-2-(4-methoxyphenyl)-4-oxoazetidin-1-yl)-2-phenylquinazolin-4(3H)-one (8):** m.p. (°C), 130 – 132; yield, 79.77%; IR (KBr pellets; ν, $cm^{-1}$): 2967 (C-H aromatic), 1601 (C=C aromatic), 1509 (C=N), 1250 (C-N), 1216 (N-N), 780 (C-Cl), 1166 (C-O-C str., $-OCH_3$); $^1H$ NMR (DMSO-$d_6$, 400 MHz; δ, ppm): 7.80 – 8.63 (m, 13H, ArH), 7.07 (d, 1H, N-CH), 7.05 (d, IH, CH-Cl) 3.83 (s, 3H, $-OCH_3$).

**3-(3-Chloro-2-oxo-4-p-tolylazetidin-1-yl)-2-phenylquinazolin-4(3H)-one (9):** m.p. (°C), 135 – 137; yield, 66.86%; IR (KBr pellets; ν, $cm^{-1}$): 3031 (C-H aromatic),

**TABLE 1.** Physicochemical Characteristics and Anticancer Activity of 2-Azetidinone derivatives **1 – 17**

| Comp. | Formula | MW | *$R_f$ | $IC_{50}$ (μM) | Comp. | Formula | MW | *$R_f$ | $IC_{50}$ (μM) |
|---|---|---|---|---|---|---|---|---|---|
| 1 | $C_{24}H_{18}ClN_3O_3$ | 431.87 | 0.54 | 122.72 | 10 | $C_{26}H_{22}ClN_3O_5$ | 491.92 | 0.61 | >203.29 |
| 2 | $C_{24}H_{18}ClN_3O_3$ | 431.87 | 0.61 | >231.55 | 11 | $C_{23}H_{15}BrClN_3O_2$ | 480.74 | 0.52 | >208.01 |
| 3 | $C_{23}H_{15}Cl_2N_3O_2$ | 436.29 | 0.71 | >229.21 | 12 | $C_{25}H_{20}ClN_3O_4$ | 461.90 | 0.67 | >216.50 |
| 4 | $C_{25}H_{20}ClN_3O_4$ | 461.90 | 0.69 | >216.50 | 13 | $C_{24}H_{16}ClN_3O_3$ | 429.86 | 0.75 | >232.63 |
| 5 | $C_{23}H_{16}ClN_3O_2$ | 401.85 | 0.58 | 49.52 | 14 | $C_{25}H_{21}ClN_4O_2$ | 444.91 | 0.70 | >224.76 |
| 6 | $C_{23}H_{15}Cl_2N_3O_2$ | 436.29 | 0.72 | >229.21 | 15 | $C_{23}H_{16}ClN_3O_3$ | 417.84 | 0.56 | >239.33 |
| 7 | $C_{25}H_{18}ClN_3O_2$ | 427.88 | 0.50 | >233.71 | 16 | $C_{23}H_{15}Cl_2N_3O_2$ | 436.29 | 0.73 | >229.21 |
| 8 | $C_{24}H_{18}ClN_3O_3$ | 431.87 | 0.67 | >231.55 | 17 | $C_{21}H_{18}ClN_3O_3$ | 395.84 | 0.57 | >252.63 |
| 9 | $C_{24}H_{18}ClN_3O_2$ | 415.87 | 0.58 | >240.46 | 5-FU | | | | 6.00 |

* TLC mobile phase: benzene.

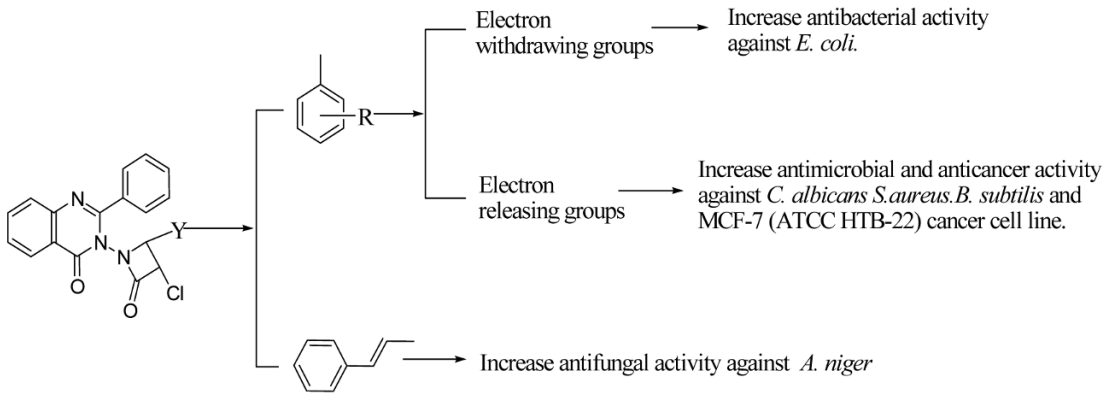



**Fig. 2.** Structural requirements for the antimicrobial and anticancer activity of 2-azetidinones.

1620 (C=C aromatic), 1511 (C=N), 1301 (C-N), 1212 (N-N), 779 (C-Cl), 2965(C-H str., Ar $CH_3$); $^1$H NMR (DMSO-$d_6$, 400 MHz; δ, ppm): 7.76 – 8.66 (m, 13H, ArH), 7.33 (d, 1H, N-CH), 7.31(d, IH, CH-Cl), 2.38 (s, 3H, $CH_3$).

**3-(3-Chloro-2-oxo-4-(3,4,5-trimethoxyphenyl)azetidin-1-yl)-2-phenylquinazolin-4(3H)-one (10):** m.p. (°C), 151 – 153; yield, 53.49%; IR (KBr pellets; ν, cm$^{-1}$): 2946 (C-H aromatic), 1622 (C=C aromatic), 1502 (C=N), 1295 (C-N), 1231 (N-N), 763 (C-Cl), 1184 (C-O-C str., -$OCH_3$); $^1$H NMR (DMSO-$d_6$, 400 MHz; δ, ppm): 8.66 – 9.90 (m, 11H, ArH), 7.27 (d, 1H, N-CH), 7.23 (d, IH, CH-Cl) 3.99 (s, 9H, -$OCH_3$).

**3-(2-(4-Bromophenyl)-3-chloro-4-oxoazetidin-1-yl)-2-phenylquinazolin-4(3H)-one (11):** m.p. (°C), 141 – 143; yield, 68.01%; IR (KBr pellets; ν, cm$^{-1}$): 2995 (C-H aromatic), 1626 (C=C aromatic), 1585 (C=N), 1295 (C-N), 701 (C-Cl), 597 (C-Br); $^1$H NMR (DMSO-$d_6$, 400 MHz; δ, ppm): 7.82 – 8.70 (m, 13H, ArH), 7.74 (d, 1H, N-CH), 7.72 (d, IH, CH-Cl).

**3-(3-Chloro-2-(3,4-dimethoxyphenyl)-4-oxoazetidin-1-yl)-2-phenylquinazolin-4(3H)-one (12):** m.p. (°C), 161 – 163; yield, 62.60%; IR (KBr pellets; ν, cm$^{-1}$): 3004 (C-H aromatic), 1622 (C=C aromatic), 1509 (C=N), 1259 (C-N), 1238 (N-N), 754 (C-Cl), 1141 (C-O-C str., -$OCH_3$); $^1$H NMR (DMSO-$d_6$, 400 MHz; δ, ppm): 7.37 – 8.64 (m, 12 H, ArH), 7.09 (d, 1H, N-CH), 7.07 (d, IH, CH-Cl) 3.83 (s, 3H, -$OCH_3$).

**4-(3-Chloro-4-oxo-1-(4-oxo-2-phenylquinazolin-3(4H)-yl)azetidin-2-yl)benzaldehyde (13):** m.p. (°C), 185 – 187; yield, 54.77%; IR (KBr pellets; ν, cm$^{-1}$): 2993 (C-H aromatic), 1618 (C=C aromatic), 1689 (C=O), 1504 (C=N), 1298 (C-N), 1208 (N-N), 692 (C-Cl), 2816 (C-H str., CHO).

**3-(3-Chloro-2-(4-(dimethylamino)phenyl)-4-oxoazetidin-1-yl)-2-phenylquinazolin-4(3H)-one (14):** m.p. (°C), 165 – 167; yield, 88.04%; IR (KBr pellets; ν, cm$^{-1}$): 2984 (C-H aromatic), 1599 (C=C aromatic), 1520 (C=N), 1228 (N-N), 743 (C-Cl), 1364 (C-N str., aryl tertiary amine), 2806 (C-H str., Ar $CH_3$); $^1$H NMR (DMSO-$d_6$, 400 MHz; δ, ppm): 7.70 – 8.50 (m, 13H, ArH), 6.78 (d, 1H, N-CH), 6.76 (d, IH, CH-Cl), 2.51 (s, 6H, N $(CH_3)_2$).

**3-(3-Chloro-2-(4-hydroxyphenyl)-4-oxoazetidin-1-yl)-2-phenylquinazolin-4(3H)-one (15):** m.p. (°C), 188 – 190; yield, 66.30%; IR (KBr pellets; ν, cm$^{-1}$): 3594(OH), 3049 (C-H aromatic), 1624 (C=C aromatic), 1592 (C=N), 1293 (C-N), 1210 (N-N), 703 (C-Cl); $^1$H NMR (DMSO-$d_6$, 400 MHz; δ, ppm): 7.90 – 8.72 (m, 13H, ArH), 7.60 (d, 1H, N-CH), 7.58 (d, IH, CH-Cl), 3.51 (s, 1H, -OH).

**3-(3-Chloro-2-(4-chlorophenyl)-4-oxoazetidin-1-yl)-2-phenylquinazolin-4(3H)-one (16):** m.p. (°C), 193 – 195; yield, 62.66%; IR (KBr pellets; ν, cm$^{-1}$): 3008 (C-H aromatic), 1600 (C=C aromatic), 1655 (C=O), 1554 (C=N), 1261 (C-N), 1220 (N-N), 783 (C-Cl); $^1$H NMR (DMSO-$d_6$, 400 MHz; δ, ppm): 7.90 – 8.08 (m, 13H, ArH), 7.89 (d, 1H, N-CH), 7.88(d, IH, CH-Cl).

**4-(3-Chloro-4-oxo-1-(4-oxo-2-phenylquinazolin-3(4H)-yl)azetidin-2-yl)butanal (17):** m.p. (°C), 148 – 150; yield, 80.12%; IR (KBr pellets; ν, cm$^{-1}$): 3013 (C-H aromatic), 1600 (C=C aromatic), 1656 (C=O), 1557 (C=N), 1260 (C-N), 1221 (N-N), 785 (C-Cl), 2895(C-H str.,-$CH_2$-$CH_2$-); $^1$H NMR (DMSO-$d_6$, 400 MHz; δ, ppm): 7.89 – 8.07 (m, 9H, ArH), 7.88 (d, 1H, N-CH), 7.87 (d, IH, CH-Cl), 1.24 – 2.64 (m, 6H $(CH_2)_3$).

## EXPERIMENTAL BIOLOGICAL PART

The antimicrobial activity of synthesized compounds was tested against bacterial strains of *S. aureus, B. subtilis,* and *E. coli* (37 ± 1°C for 24 h) and fungal strains of *C. albicans* (37 ± 1°C for 48 h) and *A. niger* (25 ± 1°C for 7 d) using the tube dilution method. All the compounds were dissolved in dimethyl sulfoxide (DMSO) to give a concentration of 10 μg mL$^{-1}$. The stock solution was serially diluted to give concentrations of 50 – 1.56 μg mL$^{-1}$ [9].

**TABLE 2.** Antimicrobial Activity (pMIC in μM/mL) of Synthesized 2-Azetidinone Derivatives **1 – 17**

| No. | $pMIC_{bs}$ | $pMIC_{ec}$ | $pMIC_{sa}$ | $pMIC_{an}$ | $pMIC_{ca}$ |
|---|---|---|---|---|---|
| 1 | 1.84 | 1.84 | 0.94 | 1.54 | 1.24 |
| 2 | 1.54 | 1.84 | 0.94 | 1.84 | 1.54 |
| 3 | 1.54 | 1.54 | 1.54 | 1.24 | 0.94 |
| 4 | 1.87 | 1.57 | 1.57 | 1.57 | 1.27 |
| 5 | 1.51 | 1.51 | 1.21 | 1.81 | 1.81 |
| 6 | 1.54 | 2.15 | 1.54 | 1.24 | 1.24 |
| 7 | 1.61 | 1.91 | 1.61 | 2.21 | 1.91 |
| 8 | 1.84 | 1.84 | 1.24 | 1.24 | 1.24 |
| 9 | 0.92 | 1.52 | 1.82 | 1.52 | 0.92 |
| 10 | 1.59 | 1.90 | 1.90 | 1.29 | 1.29 |
| 11 | 1.89 | 1.59 | 1.89 | 1.59 | 1.59 |
| 12 | 2.17 | 1.57 | 2.17 | 1.27 | 2.17 |
| 13 | 1.84 | 1.84 | 2.14 | 1.54 | 1.84 |
| 14 | 1.55 | 2.15 | 1.55 | 0.95 | 1.25 |
| 15 | 1.52 | 1.83 | 1.52 | 1.22 | 1.22 |
| 16 | 1.24 | 1.54 | 1.84 | 1.54 | 1.54 |
| 17 | 1.50 | 1.50 | 1.50 | 1.50 | 1.80 |
| Std. | 2.61* | 2.61* | 2.61* | 2.64** | 2.64** |

Standard drug: * norfloxacin; ** fluconazole.

The anticancer activity of synthesized compounds (**1 – 17**) was determined against human breast cancer cell line (MCF 7) using 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyl tetrazolium bromide (MTT, Sigma) assay, as described by Mosmann [10]. All tested compounds were dissolved in DMSO as stock of 100 mg/mL. DMSO solution ≤ 0.1% did not result in killing cell. The highest concentration of each compound tested (100 mg/mL) contained only 0.1% DMSO [10].

Antimicrobial activity results (Table 2) indicated that the synthesized compounds were having good antimicrobial activity but was less active as compared to standard drugs. Compound **12** was found to be most effective against Gram positive bacterial strains *S. aureus* and *B. subtilis*, as well as fungal strain *C. albicans* ($pMIC_{ca}$ = 2.17 μM/mL). In case of Gram negative bacterium *E. coli*, compounds **6** and **14** ($pMIC_{ec}$ = 2.15 μM/mL) were found to be most potent antimicrobial agents. Compound **7** ($pMIC_{an}$ = 2.21 μM/mL) was found to be most potent antifungal agent against *A. niger*. In general, compound **12** was found to be most potent antimicrobial agent among the synthesized derivatives but less active as compared to the standard drug.

Anticancer activity results (Table 1) indicated that the synthesized compounds exhibited weak anticancer activity against breast cancer cell line (MCF-7) as compared to standard drug. Compound **5** ($IC_{50}$ = 49.52 μM) was found to be most potent anticancer agent. Data on the structure – activity relationships for antimicrobial and anticancer activity of synthesized compounds are presented in Figure 2.

## CONCLUSION

In the present study, a series of 2-azetidinone derivatives (**1 – 17**) was synthesized and evaluated for their *in vitro* antimicrobial and anticancer potentials. The synthesized compounds exhibit more potent antimicrobial activity as compared to their anticancer activity. Compounds **12** and **5** ($IC_{50}$ = 49.52 μM) were found to be most potent antimicrobial and anticancer agents, respectively.

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