E.J.Chem

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CODEN ECJHAO

E-Journal of Chemistry

Vol. 2, No. 2, pp 101 -108, March 2005

Synthesis and Antimicrobial Screening of Quinazolone Containing Novel Heterocyclic Schiff Base and Azetidinone by Niementowski Reaction

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Received 29 November 2004; Accepted 20 February 2005

Abstract: Several 2-[2-((4-substitutedbenzylidene)-phenyl)vinyl]-4-oxo-3,4-dihydroquinazolin-6-sulfonic acid **4(a-l)** and 2-[2-((4-(3-chloro-4-substitutedphenyl)-azetidin-2-one)-phenyl)vinyl]-4-oxo-3,4-dihydroquinazolin-6-sulfonic acid **5(a-l)** were synthesized by using conventional techniques and were screened for antibacterial and antifungal activity. The compounds showed good to moderate antimicrobial activity and were characterized on the basis of spectral analysis.

Key words: Niementowski reaction, Quinazolone, Schiff Base, Azetidinone, Antibacterial, Antifungal

Introduction

Quinazolinone derivatives attract a widespread interest due to the diverse biological activities¹ associated with them. They are pharmaceutically important as antituberculars², antibacterial³, antiparkinsons⁴ and they also show blood platelet anti-aggregating activity.⁵ Formation of 2-alkyl-4 (3H) quinazolinones by condensation of anthranilic acid or substituted anthranilic acid and amides is designated as the Niementowski reaction.⁶

Schiff base possesses diversified biological applications⁷⁻⁸. Azetidinone also possesses a variety of pharmacological properties viz CNS depressant⁹, anticonvulsant¹⁰, amebicidal¹¹, fungicidal and antibacterial¹². In a view of these observations we thought it of interest to undertake the synthesis and bioassay of compounds having quinazolinone nucleus along with Schiff Base and Azetidinone moieties in a single molecular framework as possible antibacterial and antifungal agents. The present paper reports the synthesis of some new Schiff Base and Azetidinone in quinazolinone by Niementowski reaction.

Condensation of 5-Sulpho anthranilic acid with Acetyl Chloride in presence of pyridine gave 2-methyl-6-sulpho-4H-3, 1-benzoxazin-4-one which on reaction with ammonia gave the 2-methyl-4-oxo-3,4-dihydroquinazolin-6-sulfonic acid (**1**). This on further reaction with 4-nitro benzaldehyde and consequent reduction gave 2-[2-(4-aminophenyl) vinyl]-4-oxo-3, 4- dihydroquinazolin-6-sulfonic acid (**3**). Condensation with substituted aldehydes yields the title compounds

2-[2-{(4-substitutedbenzylidene)-phenyl} vinyl]-4-oxo-3,4-dihydroquin-azolone-6-sulfonic acid **4(a-l)** which on further reaction with CAC (Chloro Acetyl Chloride) in presence of TEA (triethyl amine) in catalytic amount resulted into titled compound 2-[2-{4-(3-chloro-4-substituedphenyl)-azetidin-2-one)-phenyl} vinyl]-4-oxo-3,4-dihydroquin-azolone -6-sulfonic acid **5(a-l)**. The compounds are confirmed by their elemental analysis (**Table-I**), IR spectral analysis (**Table-IIa**) and ^1H NMR spectral analysis (**Table-IIb**).

Experimental

All melting points were determined in PMP-DM scientific melting point apparatus and are uncorrected. The IR spectra were recorded in KBr on a "Perkin-Elmer RX 1 FT-IR" Spectrophotometer (serial No. 51448) and ^1H NMR spectra was recorded in CDCl_3 as well as mixture of CDCl_3 +DMSO with TMS as an internal Standard on 300 MHz "Bruker A/C 300 F Spectrophotometer".

Preparation of 2-methyl-4-oxo-3,4-dihydroquinazolin-6-sulfonic acid (1):

In a 250 mL Beaker 5-Sulpho anthranilic acid (2.17g, 0.01M) in presence of pyridine (0.79 g, 0.01M) were taken. Acetyl chloride (0.78 g, 0.01M) at $0^\circ\text{--}5^\circ\text{C}$ was added drop wise to afford cyclization to give 2-methyl-6-sulpho-4H-3, 1-benzoxazin-4-one. The resulting compound on treatment with ammonia gave 2-methyl-4-oxo-3,4-dihydroquinazolin-6-sulfonic acid (1). The resulting solid was filtered, washed, dried and recrystallised from glacial acetic acid. The % yield was 85%, m.p 225°C .

Preparation of 2-[2-(4-nitrophenyl) vinyl]-4-oxo-3,4-dihydroquinaz- oline-6-sulphonic acid (2):

In a 250 mL R.B.F a mixture of 2-methyl-4-oxo-3,4-dihydroquinazolin-6-sulfonic acid (**1**) (3.63g, 0.01M) and 4-Nitro benzaldehyde (1.51g, 0.01M) in acetic anhydride was taken. The reaction mixture was refluxed for 6-8 hrs and cooled to room temperature. The excess of solvent was then distilled off and then the contents were poured on to crushed ice. The resulting solid was filtered, washed, dried and recrystallised from glacial acetic acid. The % yield was 75%, m. p 210°C .

Preparation of 2-[2-(4-aminophenyl) vinyl]-4-oxo-3,4-dihydroquinaz-oline-6-sulphonic acid (3):

In a 250 mL R.B.F 2-[2-(4-nitrophenyl) vinyl]-4-oxo-3,4-dihydroquinazoline-6-sulphonic acid (**2**) (7.46g, 0.02M) was taken. The solution of sodium sulphide (0.06M) in water (75mL) was added to it. The reaction mixture was refluxed for 2-3 hrs. A deep reddish brown solution was obtained. After cooling, it was diluted with water. The conc. HCl was added to the solution and was boiled gently till the removal of H_2S was ceased completely. Neutralization with sodium carbonate precipitate 2-[2-(4-aminophenyl) vinyl]-4-oxo-3,4-dihydroquinazoline-6-sulphonic acid (**3**) as free amino compound as yellow product. The product was filtered, washed, dried and recrystallised from ethanol. The % yield is 60%, m.p 281°C .

Preparation of 2-[2-{(4-substitutedbenzylidene)-phenyl} vinyl]-4-oxo-3, 4-dihydroquinazolone-6-sulfonic acid 4(a-l):-

In a 250 mL R.B.F 2-[2-(4-aminophenyl) vinyl]-4-oxo-3,4-dihydroquinazoline-6-sulphonic acid (**3**) (3.43g, 0.01M) and substituted benzaldehyde (0.01M) were taken in methanol (30 mL) as a solvent. The reaction mixture was refluxed with the help of reflux condenser attached with a dean-stark apparatus, till azeotropic removal of water. The reaction was carried out in presence of an acid catalyst. The resulting mixture was cooled and poured into crushed ice. The solid obtained was filtered, washed, dried and recrystallised by methanol. The % yield was 80%. IR: ν_{max} 1630 (C=N), 1713 (C=O), 1410 (CH=CH), 1670 ($>\text{N}=\text{CH}-$); ^1H NMR (CDCl_3 +DMSO; TMS as internal standard): δ 7.1-8.1 (m, 3H, H-5, and H-7-8), δ 9.1 (broad, s, 1H, NH), δ 2.5 (d, 1H, CH=CH), δ 2.7 (d, 1H, CH=CH).

Table-1. Elemental Analysis of compound **4 (a-l)** & **5(a-l)**

Comp No.	Formula (M.P/°C)	Anal. Calcd. (found) / %			Comp No.	Formula (M.P/°C)	Anal. Calcd. (found) / %		
		C	H	N			C	H	N
4a	C ₂₅ H ₂₂ N ₄ O ₄ S (84)	63.28 (63.32)	4.67 4.64	11.81 11.83)	5a	C ₂₇ H ₂₃ ClN ₄ O ₅ S (182)	58.85 (58.83)	4.21 4.25	10.17 10.21)
4b	C ₂₃ H ₁₆ ClN ₃ O ₄ S (102)	59.29 (59.24)	3.46 3.42	9.02 9.05)	5b	C ₂₅ H ₁₇ Cl ₂ N ₃ O ₅ S (210)	55.36 (55.40)	3.16 3.18	7.75 7.81)
4c	C ₂₃ H ₁₆ ClN ₃ O ₄ S (210)	59.35 (59.38)	3.52 3.49	9.28 9.25)	5c	C ₂₅ H ₁₇ Cl ₂ N ₃ O ₅ S (225)	55.52 (55.49)	3.25 3.21	7.91 7.94)
4d	C ₂₃ H ₁₇ N ₃ O ₅ S (192)	61.74 (61.72)	3.83 3.85	9.39 9.42)	5d	C ₂₅ H ₁₈ ClN ₃ O ₆ S (190)	57.31 (57.34)	3.46 3.42	8.02 8.05)
4e	C ₂₃ H ₁₇ N ₃ O ₅ S (225)	61.89 (61.92)	3.73 3.78	9.52 9.50)	5e	C ₂₅ H ₁₈ ClN ₃ O ₆ S (125)	57.82 (57.79)	3.05 3.07	8.25 8.27)
4f	C ₂₃ H ₁₆ N ₄ O ₆ S (280)	57.95 (57.98)	3.38 3.42	11.76 11.78)	5f	C ₂₅ H ₁₇ ClN ₄ O ₇ S (252)	54.30 (54.27)	3.10 3.12	10.13 10.11)
4g	C ₂₃ H ₁₆ N ₄ O ₆ S (>300)	57.75 (57.72)	3.45 3.48	11.55 11.52)	5g	C ₂₅ H ₁₇ ClN ₄ O ₇ S (272)	54.62 (54.58)	3.85 3.82	10.72 10.75)
4h	C ₂₄ H ₁₉ N ₃ O ₆ S (255)	60.37 (60.32)	4.01 4.05	8.80 8.82)	5h	C ₂₅ H ₁₇ BrClN ₃ O ₅ S (210)	51.17 (51.21)	2.92 2.89	7.16 7.12)
4i	C ₂₃ H ₁₆ BrN ₃ O ₄ S (270)	54.13 (54.15)	3.16 3.12	8.23 8.27)	5i	C ₂₆ H ₂₀ ClN ₃ O ₇ S (182)	56.37 (56.41)	3.64 3.62	7.59 7.63)
4j	C ₂₄ H ₁₉ N ₃ O ₅ S (200)	62.46 (62.49)	4.15 4.12	9.11 9.12)	5j	C ₂₆ H ₂₀ ClN ₃ O ₆ S (290)	58.05 (58.09)	3.75 3.78	7.81 7.84)
4k	C ₂₃ H ₁₅ Cl ₂ N ₃ O ₄ S (210)	55.21 (55.25)	3.02 3.05	8.40 8.44)	5k	C ₂₅ H ₁₆ Cl ₃ N ₃ O ₅ S (152)	52.05 (52.07)	2.80 2.79	7.28 7.30)
4l	C ₂₉ H ₂₁ N ₃ O ₅ S (240)	66.53 (66.55)	4.04 4.01	8.03 8.07)	5l	C ₃₁ H ₂₂ ClN ₃ O ₆ S (221)	62.05 (62.07)	3.70 3.72	7.00 7.02)

Comp. No	R	Comp. No	R
4a-5a	4-N(CH ₃)	4g-5g	2-NO ₂
4b-5b	4-Cl	4h-5h	2-Br
4c-5c	2-Cl	4i-5i	3-OH, 4-OCH ₃
4d-5d	4-OH	4j-5j	3-OCH ₃
4e-5e	2-OH	4k-5k	2;4-Cl ₂
4f-5f	4-NO ₂	4l-5l	3-OC ₆ H ₅

Table-IIa . IR Spectral Analysis of compound **4(a-l)** and **5(a-l)**

Comp No.	IR (KBr) ν_{max}/cm^{-1}	Comp No.	IR (KBr) ν_{max}/cm^{-1}
4a	1595 (C=N), 1710 (C=O), 1410 (-CH=CH-) 1670 (>N=CH-)	5a	1630 (C=N), 1710 (C=O), 1420 (-CH=CH-), 1730 (-C=O), 785 (C-Cl)
4b	1580 (C=N), 1705 (C=O), 1412 (-CH=CH-) 1675 (>N=CH-), 775 (C-Cl)	5b	1580 (C=N), 1705 (C=O), 1412 (-CH=CH-), 1725 (C=O), 775 (C-Cl)
4c	1605 (C=N), 1700 (C=O), 1415 (-CH=CH-) 1645 (>N=CH-), 785 (C-Cl)	5c	1605 (C=N), 1700 (C=O), 1415 (-CH=CH-), 1728 (C=O), 780 (C-Cl)
4d	1590 (C=N), 1715 (C=O), 1411 (-CH=CH-) 1652 (>N=CH-), 3310 (Ar-OH)	5d	1590 (C=N), 1715 (C=O), 1411 (-CH=CH-), 1715 (C=O), 765 (C-Cl), 3310 (Ar-OH)
4e	1595 (C=N), 1708 (C=O), 1410 (-CH=CH-) 1670 (>N=CH-), 3380 (Ar-OH)	5e	1595 (C=N), 1708 (C=O), 1410 (-CH=CH-), 1720 (C=O), 758 (C-Cl), 3380 (Ar-OH)
4f	1610 (C=N), 1720 (C=O), 1418 (-CH=CH-) 1672 (>N=CH-), 1370, 1542 (Ar-NO ₂)	5f	1610 (C=N), 1720 (C=O), 1418 (-CH=CH-), 1725 (C=O), 785 (C-Cl), 1370, 1542 (Ar-NO ₂)
4g	1600 (C=N), 1695 (C=O), 1405 (-CH=CH-) 1665 (>N=CH-), 1365, 1550 (Ar-NO ₂)	5g	1600 (C=N), 1695 (C=O), 1405 (-CH=CH-), 1720 (C=O), 790 (C-Cl), 1365, 1550 (Ar-NO ₂)
4h	1595 (C=N), 1705 (C=O), 1418 (-CH=CH-) 1675 (>N=CH-), 545 (C-Br)	5h	1595 (C=N), 1705 (C=O), 1418 (-CH=CH-), 1710 (C=O), 765 (C-Cl), 545 (C-Br)
4i	1590 (C=N), 1715 (C=O), 1410 (-CH=CH-) 1670 (>N=CH-), 3310 (Ar-OH), 2825(C-OCH ₃)	5i	1590 (C=N), 1715 (C=O), 1410 (-CH=CH-), 1725 (C=O), 795 (C-Cl), 3310 (Ar-OH), 2825 (C-OCH ₃)
4j	1595 (C=N), 1710 (C=O), 1410 (-CH=CH-) 1670 (>N=CH-), 2825 (C-OCH ₃)	5j	1595 (C=N), 1710 (C=O), 1410 (-CH=CH-), 1732 (C=O), 752 (C-Cl), 2825 (C-OCH ₃)
4k	1595 (C=N), 1710 (C=O), 1410 (-CH=CH-) 1670 (>N=CH-), 780 (C-Cl)	5k	1595 (C=N), 1710 (C=O), 1410 (-CH=CH-), 1725 (C=O), 780 (C-Cl), 780 (C-Cl)
4l	1595 (C=N), 1710 (C=O), 1410 (-CH=CH-) 1670 (>N=CH-), 1032, 1245 (Ar-O-Ar)	5l	1595 (C=N), 1710 (C=O), 1410 (-CH=CH-), 1725 (C=O), 755 (C-Cl), 1032, 1245 (Ar-O-Ar)

Table-IIb. ^1H NMR Spectral Analysis of compounds **4(a-l)**

No	^1H NMR ^(a) δ/ppm
4a	7.1-8.1 (m, 11H, 2Ph, H-5, and H-7-8), 9.2 (broad, s, 1H, NH), 2.4 (d, 1H, CH=CH), 2.8 (d, 1H, CH=CH), 2.2 (s, 1H, N-CH ₃)
4b	7.2-8.0 (m, 11H, 2Ph, H-5, and H-7-8), 9.1 (broad, s, 1H, NH), 2.5 (d, 1H, CH=CH), 2.9 (d, 1H, CH=CH)
4c	7.0-8.2 (m, 11H, 2Ph, H-5, and H-7-8), 9.2 (broad, s, 1H, NH), 2.2 (d, 1H, CH=CH), 2.7 (d, 1H, CH=CH)
4d	7.1-8.3 (m, 11H, 2Ph, H-5, and H-7-8), 9.1 (broad, s, 1H, NH), 2.3 (d, 1H, CH=CH), 2.6 (d, 1H, CH=CH), 10.1 (s, 1H, Ar-OH)
4e	7.2-8.2 (m, 11H, 2Ph, H-5, and H-7-8), 9.1 (broad, s, 1H, NH), 2.4 (d, 1H, CH=CH), 2.7 (d, 1H, CH=CH), 10.2 (s, 1H, Ar-OH)
4f	7.0-8.2 (m, 11H, 2Ph, H-5, and H-7-8), 9.2 (broad, s, 1H, NH), 2.5 (d, 1H, CH=CH), 2.8 (d, 1H, CH=CH)
4g	7.0-8.1 (m, 11H, 2Ph, H-5, and H-7-8), 9.1 (broad, s, 1H, NH), 2.4 (d, 1H, CH=CH), 2.7 (d, 1H, CH=CH)
4h	7.2-8.3 (m, 11H, 2Ph, H-5, and H-7-8), 9.1 (broad, s, 1H, NH), 2.3 (d, 1H, CH=CH), 2.8 (d, 1H, CH=CH)
4i	7.1-8.4 (m, 11H, 2Ph, H-5, and H-7-8), 9.2 (broad, s, 1H, NH), 2.4 (d, 1H, CH=CH), 2.9 (d, 1H, CH=CH), 3.8 (s, 1H, Ar-OCH ₃)
4j	7.0-8.3 (m, 11H, 2Ph, H-5, and H-7-8), 9.1 (broad, s, 1H, NH), 2.3 (d, 1H, CH=CH), 2.8 (d, 1H, CH=CH), 3.7 (s, 1H, Ar-OCH ₃)
4k	7.2-8.2 (m, 11H, 2Ph, H-5, and H-7-8), 9.2 (broad, s, 1H, NH), 2.4 (d, 1H, CH=CH), 2.6 (d, 1H, CH=CH)
4l	7.0-8.2 (m, 16H, 3Ph, H-5, and H-7-8), 9.1 (broad, s, 1H, NH), 2.5 (d, 1H, CH=CH), 2.8 (d, 1H, CH=CH)

Table-IIb. ^1H NMR Spectral Analysis of compounds **5(a-l)**

No	^1H NMR ^(a) δ/ppm
5a	7.1-8.1 (m, 11H, 2Ph, H-5, and H-7-8), 9.2 (broad, s, 1H, NH), 2.4 (d, 1H, CH=CH), 2.8 (d, 1H, CH=CH), 2.2 (s, 1H, N-CH ₃), 2.5 (1H, d, N-CH), 4.5 (1H, d, CH-Cl)
5b	7.2-8.0 (m, 11H, 2Ph, H-5, and H-7-8), 9.1 (broad, s, 1H, NH), 2.5 (d, 1H, CH=CH), 2.9 (d, 1H, CH=CH), 2.4 (1H, d, N-CH), 4.4 (1H, d, CH-Cl)
5c	7.0-8.2 (m, 11H, 2Ph, H-5, and H-7-8), 9.2 (broad, s, 1H, NH), 2.2 (d, 1H, CH=CH), 2.7 (d, 1H, CH=CH), 2.6 (1H, d, N-CH), 4.5 (1H, d, CH-Cl)
5d	7.1-8.3 (m, 11H, 2Ph, H-5, and H-7-8), 9.1 (broad, s, 1H, NH), 2.3 (d, 1H, CH=CH), 2.6 (d, 1H, CH=CH), 10.1 (s, 1H, Ar-OH), 2.4 (1H, d, N-CH), 4.4 (1H, d, CH-Cl)
5e	7.2-8.2 (m, 11H, 2Ph, H-5, and H-7-8), 9.1 (broad, s, 1H, NH), 2.4 (d, 1H, CH=CH), 2.7 (d, 1H, CH=CH), 10.2 (s, 1H, Ar-OH), 2.5 (1H, d, N-CH), 4.6 (1H, d, CH-Cl)
5f	7.0-8.2 (m, 11H, 2Ph, H-5, and H-7-8), 9.2 (broad, s, 1H, NH), 2.5 (d, 1H, CH=CH), 2.8 (d, 1H, CH=CH), 2.6 (1H, d, N-CH), 4.4 (1H, d, CH-Cl)
5g	7.0-8.1 (m, 11H, 2Ph, H-5, and H-7-8), 9.1 (broad, s, 1H, NH), 2.4 (d, 1H, CH=CH), 2.7 (d, 1H, CH=CH), 2.4 (1H, d, N-CH), 4.5 (1H, d, CH-Cl)
5h	7.2-8.3 (m, 11H, 2Ph, H-5, and H-7-8), 9.1 (broad, s, 1H, NH), 2.3 (d, 1H, CH=CH), 2.8 (d, 1H, CH=CH), 2.5 (1H, d, N-CH), 4.5 (1H, d, CH-Cl)
5i	7.1-8.4 (m, 11H, 2Ph, H-5, and H-7-8), 9.2 (broad, s, 1H, NH), 2.4 (d, 1H, CH=CH), 2.9 (d, 1H, CH=CH), 3.8 (s, 1H, Ar-OCH ₃), 2.4 (1H, d, N-CH), 4.4 (1H, d, CH-Cl)
5j	7.0-8.3 (m, 11H, 2Ph, H-5, and H-7-8), 9.1 (broad, s, 1H, NH), 2.3 (d, 1H, CH=CH), 2.8 (d, 1H, CH=CH), 3.7 (s, 1H, Ar-OCH ₃), 2.6 (1H, d, N-CH), 4.4 (1H, d, CH-Cl)
5k	7.2-8.2 (m, 11H, 2Ph, H-5, and H-7-8), 9.2 (broad, s, 1H, NH), 2.4 (d, 1H, CH=CH), 2.6 (d, 1H, CH=CH), 2.4 (1H, d, N-CH), 4.5 (1H, d, CH-Cl)
5l	7.0-8.2 (m, 16H, 3Ph, H-5, and H-7-8), 9.1 (broad, s, 1H, NH), 2.5 (d, 1H, CH=CH), 2.8 (d, 1H, CH=CH), 2.6 (1H, d, N-CH), 4.6 (1H, d, CH-Cl)

Preparation of 2-[2-{(4-substitutedbenzylidene)-phenyl} vinyl]-4-oxo-3, 4-dihydroquinazalone-6-sulfonic acid 5(a-l)

In a 250 mL R.B.F 2-[2-{(4-substitutedbenzylidene)-phenyl} vinyl]-4-oxo-3, 4-dihydroquinazalone-6-sulfonic acid 4(a-l) (0.001M) and Triethylamine (0.296g, 0.003M) were dissolved in DMF, Chloroacetyl Chloride (0.097g, 0.0012M) in DMF was added dropwise at 0-5°C. The reaction mixture was stirred for 2 hrs and refluxed for 10-12 hrs. Half the solvent was then removed by distillation and cooled. The solid separated was recrystallised from glacial acetic acid, (60%). The % yield is 75%. IR: ν max 1630 (C=N), 1710 (C=O), 1420 (-CH=CH-), 1730 (C=O), 785 (C-Cl); ^1H NMR (CDCl₃+DMSO; TMS as internal standard): δ 7.1-8.1 (m, 11H, 2Ph, H-5, and H-7-8), δ 9.1 (broad, s, 1H, NH), δ 2.5 (d, 1H, CH=CH), δ 2.7 (d, 1H, CH=CH), δ 2.5 (1H, d, N-CH), δ 4.45 (1H, d, CH-Cl).

Result and Discussion

Antibacterial and antifungal activity

All the compounds (**4a-4l** & **5a-5l**) from the series were screened for their antibacterial activity against *B. subtilis*, *S. aureus*, *P. aeruginosa* and *E. coli*. The standard drug used was ampicillin, amoxicillin and penicillin and DMF was kept as solvent control. Similarly the antifungal studies were carried out against fungus *C. albicans* and *C. krusei*, using Flucanazole as standard. Compounds **4e**, **4h**, **4i**, **4j**, **5a**, **5f**, **5h** and **5k** showed significant antibacterial activity. Compound **4d**, **4e**, **4f**, **4l**, **5a**, **5e**, **5f** and **5l** showed significant antifungal activity. The antibacterial and antifungal activity of compounds **4(a-l)** and **5(a-l)** are given in Table-III.

Table-III: - Antibacterial and Antifungal Activity of compound 4(a-l) & 5(a-l)

compound	Antibacterial in ($\mu\text{g/ml}$)				Antifungal in ($\mu\text{g/ml}$)	
	Gram positive		Gram negative		Candida	C.krusei
	S.a	B.s	E.c	P.a	ATCC 10231	G03
4a	7	12	19	13	-	15
4b	9	0.8	6	0.9	10	0.9
4c	15	-	12	9	15	9
4d	8	7	10	-	22	-
4e	-	20	9	28	-	21
4f	15	0.9	8	-	0.9	0.4
4g	8	-	0.2	-	10	25
4h	28	7	12	10	-	8
4i	-	25	-	21	0.7	7
4j	8	12	28	8	7	0.8
4k	9	-	10	-	-	8
4l	12	15	0.8	12	21	10
5a	8	10	21	15	-	20
5b	7	0.8	8	1.1	12	0.8
5c	12	-	15	10	17	9
5d	7	9	12	12	-	12
5e	5	15	0.9	1.8	20	-
5f	10	20	-	18	0.9	20
5g	12	1.1	0.9	-	10	17
5h	15	20	12	12	17	8
5i	-	15	-	17	10	0.7
5j	9	10	12	9	7	0.8
5k	28	-	10	-	10	-
5l	12	10	0.9	10	17	18
Zone of inhibition of standard drugs ($\mu\text{g/ml}$)						
Ampicillin	40	45	40	50	-	-
Amoxicillin	35	40	38	45	-	-
Penicillin	40	38	42	48	-	-
Flucanazole	-	-	-	-	40	35

S.a- *S.aureus*, B.s- *B.subtilis*, E.c-*E.coli*, P.a-*P.aeruginosa*

Where R = 4-N(CH₃)₂; 4-Cl; 2-Cl; 4-OH; 2-OH; 4-NO₂; 2-NO₂; 2-Br; 3-OH, 4-OCH₃; 3-OCH₃; 2,4-Cl₂; 3-OC₆H₅

Conclusion

All compounds were screened for their antifungal against *Candida albicans* and antibacterial against *S.aureus*, *B.subtilis*, *E.coli* and *P.aeruginosa*. Zone of inhibition were measured in millimeters. The antifungal activities of the test compounds were compared to the standard drug flucanazole (35-40mm). DMF was used as solvent. All compounds have shown good activity against *Candida albicans*. Ampicillin (40-50mm), Amoxicillin (35-45mm) and penicillin (38-48mm) were used as standard drugs for antibacterial activity. Compounds **4e**, **4h**, **4i**, **4j**, **5a**, **5f**, **5h** and **5k** showed significant antibacterial activity. Compound **4d**, **4e**, **4f**, **4l**, **5a**, **5e**, **5f** and **5l** showed significant antifungal activity (Table-III).

Acknowledgment

The authors are thankful to the Head, Department of chemistry, Veer Narmad South Gujarat University, Surat for providing research facilities and Screening of IR Spectra. The authors are also thankful to Quest institute of life sciences, C/O Nicholas Piramal Ltd, Mumbai for screening of antifungal and antibacterial activity and CDRI, Lucknow for providing ^1H NMR spectra and elemental analysis.

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