

A. R. Desai¹ and K. R. Desai^{1*}

¹ Department of Chemistry, Veer Narmad South Gujarat University, Surat-395007 (Gujarat), India
 Ph: - +91-0261-2256012, Fax: - +91-261-2256012
 Email: - k_r_desai@rediffmail.com, amardesai1978@yahoo.com
 Received November 29, 2004

Several 6-substituted-3-[(5-mercepto-1,3,4-oxadiazol-2-yl)methyl]-2-substituted quinazolin-4(3H)-one or 6-substituted-3-[4-(5-mercepto-1,3,4-oxadiazol-2-yl)phenyl]-2-substitutedquinazolin-4(3H)-one **2(a-l)** and 6-substituted-3-[(5-phenyl-1,3,4-oxadiazol-2-yl)methyl]-2-substitutedquinazolin-4(3H)-one or 6-substituted-3-[4-(5-phenyl-1,3,4-oxadiazol-2-yl) phenyl]-2-substitutedquinazolin-4(3H)-one **3(a-l)** were synthesized using conventional and microwave techniques respectively and were screened for antibacterial and antifungal activity.

J. Heterocyclic Chem., **42**, 995 (2005).

Introduction.

The increasing environmental consciousness throughout the world has put a pressing need to develop an alternate synthetic approach for biologically and synthetically important compounds. The present day industrialization has led to immense environmental deterioration. One of the advances in the field of green chemistry [1] where substantial progress has been made is the microwave-assisted solid-supported one-pot synthesis [2]. Inorganic solid supports (aluminas, silicas, zeolites, clays) coupled with microwaves have made a landmark in this direction as reactions can be performed in dry media or under solventless conditions [3].

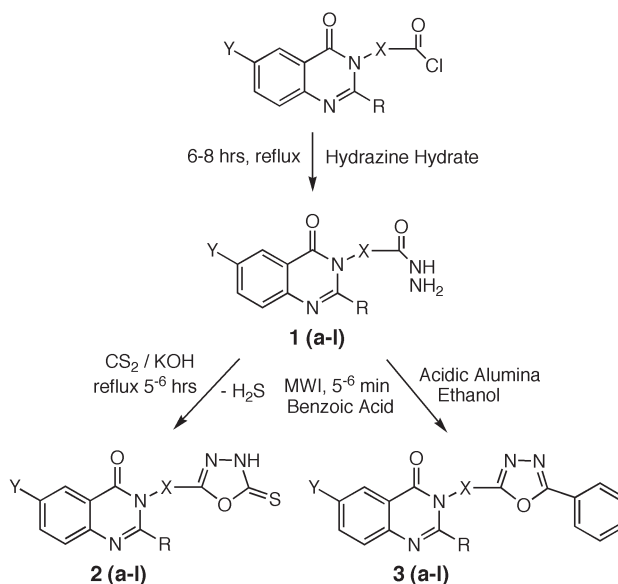
Substituted 1,3,4-oxadiazoles are of considerable pharmaceutical and material interest, which is documented by a steadily increasing number of publications and patents. For instance, 2-amino-1,3,4-oxadiazoles acts as muscle relaxants [4] and show antimitotic activity [5]. Analgesic, anti-inflammatory, anticonvulsive, diuretic and antiemetic properties are exhibited by 5-aryl-2-hydroxymethyl-1,3,4-oxadiazole derivatives [6], and 2-hydroxyphenyl-1,3,4-oxadiazole acts as a hypnotic and as a sedative [7]. Some material applications of 1,3,4-oxadiazole derivatives lie in the fields of photosensitizers [8] and liquid crystals [9].

1,3,4-Oxadiazoles are associated with a broad spectrum of biological activities including antifungal, antibacterial and antitumor properties [10-12]. Several methods for the synthesis of these biologically active compounds are reported in literature [13-14].

Hydrazide derivatives have been extensively used as useful precursors for the synthesis of these derivatives [15-16]. Keeping in view the biological importance of the above mentioned heterocyclic compounds and in continuation to our endeavor towards environmentally benign synthesis [17], we report herein the synthesis of 6-substituted-3-[(5-

mercepto-1,3,4-oxadiazol-2-yl)methyl]-2-substitutedquinazolin-4(3H)-one or 6-substituted-3-[4-(5-mercepto-

Scheme 1



Comp. No. 2 & 3	Y	R	X
a	Br	CH ₃	4-C ₆ H ₄
b	H	CH ₃	4-C ₆ H ₄
c	Br	C ₆ H ₅	4-C ₆ H ₄
d	H	C ₆ H ₅	4-C ₆ H ₄
e	Br	CH ₃	CH ₂
f	H	CH ₃	CH ₂
g	Br	C ₆ H ₅	CH ₂
h	H	C ₆ H ₅	CH ₂
i	Br	CH ₃	3-C ₆ H ₄
j	H	CH ₃	3-C ₆ H ₄
k	Br	C ₆ H ₅	3-C ₆ H ₄
l	H	C ₆ H ₅	3-C ₆ H ₄

Table Ia
Reaction Conditions for Microwave and Conventional Techniques **2(a-l)** and **3(a-l)**

Comp. No.	Conventional			Comp. No.	Microwave [a]		
	Time (hr)	Yield (%)	m.p./°C		Time (min) [b]	Yield (%)	m.p./°C
2a	5.5	62	156~157	3a	7.0	85	192
2b	6.0	68	182	3b	6.5	88	175~177
2c	5.5	72	225	3c	7.5	90	152
2d	6.0	75	172	3d	7.0	82	221
2e	6.5	65	190~192	3e	6.0	92	242
2f	6.0	60	250	3f	7.5	85	280
2g	5.5	74	>300	3g	6.5	80	148
2h	6.0	72	110~115	3h	7.0	78	164
2i	6.5	68	152	3i	7.5	82	182~184
2j	5.0	70	245	3j	6.5	86	205
2k	5.5	65	221	3k	7.0	88	210
2l	6.5	70	252	3l	6.5	85	272

[a] Microwave irradiations were carried out in a Kenstar microwave oven, model No. OM9925E (2450 MHz, 750 Watts); [b] Acidic Alumina.

Table Ib
Elemental Analysis and Physical Data of Compound **2(a-l)** and **3(a-l)**

Comp. No.	Formula (M _r)	Anal. Calcd. (found) / %			Comp. No.	Formula (M.P./°C)	Anal. Calcd. (found) / %		
		C	H	N			C	H	N
2a	C ₁₇ H ₁₁ BrN ₄ O ₂ S (415)	49.17 (49.21)	2.67 (2.64)	13.49 (13.52)	3a	C ₂₃ H ₁₅ BrN ₄ O ₂ (459)	60.15 (60.12)	3.29 (3.32)	12.20 (12.22)
2b	C ₁₇ H ₁₂ N ₄ O ₂ S (336)	60.70 (60.72)	3.60 (3.58)	16.66 (16.70)	3b	C ₂₃ H ₁₆ N ₄ O ₂ (380)	72.62 (72.58)	4.24 (4.21)	14.73 (14.75)
2c	C ₂₂ H ₁₃ BrN ₄ O ₂ S (477)	59.35 (59.38)	3.52 (3.49)	9.28 (9.25)	3c	C ₂₈ H ₁₇ BrN ₄ O ₂ (521)	64.50 (64.54)	3.29 (3.31)	10.75 (10.78)
2d	C ₂₂ H ₁₄ N ₄ O ₂ S (398)	66.32 (66.34)	3.54 (3.51)	14.06 (14.02)	3d	C ₂₈ H ₁₈ N ₄ O ₂ (442)	76.01 (76.04)	4.10 (4.08)	12.66 (12.70)
2e	C ₁₂ H ₉ BrN ₄ O ₂ S (353)	40.81 (40.83)	2.57 (2.61)	15.86 (15.82)	3e	C ₁₈ H ₁₃ BrN ₄ O ₂ (397)	54.43 (54.41)	3.30 (3.32)	14.10 (14.12)
2f	C ₁₂ H ₁₀ N ₄ O ₂ S (274)	52.54 (52.51)	3.67 (3.69)	20.43 (20.41)	3f	C ₁₈ H ₁₄ N ₄ O ₂ (318)	67.91 (67.93)	4.43 (4.45)	17.60 (17.58)
2g	C ₁₇ H ₁₁ BrN ₄ O ₂ S (415)	49.17 (49.21)	2.67 (2.64)	13.49 (13.52)	3g	C ₂₃ H ₁₅ BrN ₄ O ₂ (459)	60.15 (60.17)	3.29 (3.31)	12.20 (12.25)
2h	C ₁₇ H ₁₂ N ₄ O ₂ S (336)	60.70 (60.72)	3.60 (3.57)	16.66 (16.67)	3h	C ₂₃ H ₁₆ N ₄ O ₂ (380)	72.62 (72.65)	4.24 (4.27)	14.73 (14.75)
2i	C ₁₇ H ₁₁ BrN ₄ O ₂ S (415)	49.52 (49.49)	2.85 (2.83)	13.85 (13.87)	3i	C ₂₃ H ₁₅ BrN ₄ O ₂ (459)	60.28 (60.32)	3.42 (3.41)	12.85 (12.82)
2j	C ₁₇ H ₁₂ N ₄ O ₂ S (336)	60.25 (60.27)	3.21 (3.18)	16.42 (16.40)	3j	C ₂₃ H ₁₆ N ₄ O ₂ (380)	72.05 (72.03)	4.65 (4.63)	14.25 (14.28)
2k	C ₂₂ H ₁₃ BrN ₄ O ₂ S (477)	59.98 (59.96)	3.22 (3.25)	9.75 (9.72)	3k	C ₂₈ H ₁₇ BrN ₄ O ₂ (521)	64.94 (64.92)	3.52 (3.48)	10.20 (10.18)
2l	C ₂₂ H ₁₄ N ₄ O ₂ S (398)	66.32 (66.34)	3.54 (3.51)	14.06 (14.02)	3l	C ₂₈ H ₁₈ N ₄ O ₂ (442)	76.65 (76.68)	4.18 (4.22)	12.97 (12.98)

1,3,4-oxadiazol-2-yl)phenyl]-2-substituedquinazolin-4(3H)-one **2(a-l)** and 6-substitued-3-[(5-phenyl-1,3,4-oxadiazol-2-yl) methyl]-2-substituedquinazolin-4(3H)-one or 6-substitued-3-[4-(5-phenyl-1,3,4-oxadiazol-2-yl)phenyl]-2-substituedquinazolin-4(3H)-one **3(a-l)**.

Results and Discussion.

6-Substitued-3-[(5-mercepto-1,3,4-oxadiazol-2-yl)-methyl]-2-substitued quinaz-olin-4(3H)-one or 6-substitued-3-[4-(5-mercepto-1,3,4-oxadiazol-2-yl)phenyl]-2-

substituedquinazolin-4(3H)-one **2(a-l)** were prepared by the cyclization of substituted anthranilic acid with acetyl chloride or benzoyl chloride in presence of pyridine base. Use of an appropriate amine *viz* *p*-amino benzoic acid or glycine generated the corresponding 6-substitued-2-substitued-4-oxoquinazolin-3(4H)-yl)benzoic acid or 6-substitued-2-substitued-4-oxoquinazolin-3(4H)-yl)acetic acid. This on subsequent chlorination with thionyl chloride yields acid chlorides which on further reaction with hydrazine hydrate yields hydrazides **1(a-l)**, the desired pre-

Table IIa
IR Spectral Analysis of Compound **2(a-l)** and **3(a-l)**

Comp No.	IR (KBr) max/cm ⁻¹	Comp No.	IR (KBr) max/cm ⁻¹
2a	1690 (C=O), 1593 (C=N), 1342 (C-O-C), 1267 (C=S), 540 (C-Br), 1310 (C-CH ₃).	3a	1699 (C=O), 1595 (C=N), 1334 (C-O-C), 565 (C-Br), 1312 (C-CH ₃).
2b	1699 (C=O), 1595 (C=N), 1334 (C-O-C), 1269 (C=S), 1312 (C-CH ₃).	3b	1690 (C=O), 1593 (C=N), 1342 (C-O-C), 1315 (C-CH ₃).
2c	1710 (C=O), 1598 (C=N), 1335 (C-O-C), 1270 (C=S), 565 (C-Br).	3c	1691 (C=O), 1594 (C=N), 1345 (C-O-C), 540 (C-Br).
2d	1690 (C=O), 1593 (C=N), 1342 (C-O-C), 1267 (C=S).	3d	1715 (C=O), 1589 (C=N), 1348 (C-O-C).
2e	1691 (C=O), 1594 (C=N), 1345 (C-O-C), 1271 (C=S), 540 (C-Br), 1315 (C-CH ₃).	3e	1698 (C=O), 1593 (C=N), 1350 (C-O-C), 558 (C-Br), 1310 (C-CH ₃).
2f	1715 (C=O), 1589 (C=N), 1348 (C-O-C), 1258 (C=S), 1308 (C-CH ₃).	3f	1695 (C=O), 1590 (C=N), 1339 (C-O-C), 1312 (C-CH ₃).
2g	1710 (C=O), 1582 (C=N), 1352 (C-O-C), 1255 (C=S), 545 (C-Br).	3g	1705 (C=O), 1599 (C=N), 1332 (C-O-C), 542 (C-Br).
2h	1698 (C=O), 1593 (C=N), 1350 (C-O-C), 1262 (C=S).	3h	1695 (C=O), 1590 (C=N), 1339 (C-O-C).
2i	1705 (C=O), 1605 (C=N), 1345 (C-O-C), 1272 (C=S), 548 (C-Br), 1308 (C-CH ₃).	3i	1700 (C=O), 1602 (C=N), 1352 (C-O-C), 560 (C-Br), 1311 (C-CH ₃).
2j	1699 (C=O), 1595 (C=N), 1334 (C-O-C), 1269 (C=S), 1312 (C-CH ₃).	3j	1690 (C=O), 1593 (C=N), 1342 (C-O-C), 1309 (C-CH ₃).
2k	1695 (C=O), 1595 (C=N), 1348 (C-O-C), 1258 (C=S), 560 (C-Br).	3k	1710 (C=O), 1598 (C=N), 1335 (C-O-C), 572 (C-Br).
2l	1692 (C=O), 1600 (C=N), 1345 (C-O-C), 1272 (C=S).	3l	1699 (C=O), 1598 (C=N), 1345 (C-O-C).

Table IIb
¹H nmr Spectral Analysis of Compounds **2(a-l)** and **3(a-l)**

No.	¹ H nmr / ppm	No.	¹ H nmr / ppm
2a	2.54 (s, 3H, Me), 7.05-8.18 (m, 7H, Ph & H-5, H-7-8), 9.54 (s, br, 1H, NH).	3a	2.62 (s, 3H, Me), 7.15-8.18 (m, 12H, 2Ph & H-5, H-7-8).
2b	2.50 (s, 3H, Me), 7.15-8.10 (m, 8H, Ph & H-5-8), 9.68 (s, br, 1H, NH).	3b	2.25 (s, 3H, Me), 7.12-8.20 (m, 13H, 2Ph & H-5-8).
2c	2.25 (s, 3H, Me), 7.10-8.25 (m, 13H, 2Ph & H-5, H-7-8), 9.72 (s, br, 1H, NH).	3c	2.42 (s, 3H, Me), 7.08-8.32 (m, 17H, 3Ph & H-5, H-7-8).
2d	2.62 (s, 3H, Me), 7.20-8.30 (m, 14H, 2Ph & H-5-8), 9.40 (s, br, 1H, NH).	3d	2.64 (s, 3H, Me), 7.21-8.10 (m, 18H, 2Ph & H-5-8).
2e	2.52 (s, 3H, Me), 7.15-8.19 (m, 3H, H-5, H-7-8), 9.58 (s, br, 1H, NH), 5.58 (s, 2H, NCH ₂ N).	3e	2.58 (s, 3H, Me), 7.14-8.28 (m, 8H, Ph & H-5, H-7-8), 5.52 (s, 2H, NCH ₂ N).
2f	2.58 (s, 3H, Me), 7.07-8.17 (m, 4H, H-5-8), 9.19 (s, br, 1H, NH), 5.45 (s, 2H, NCH ₂ N).	3f	2.42 (s, 3H, Me), 7.09-8.21 (m, 9H, Ph & H-5-8), 5.45 (s, 2H, NCH ₂ N).
2g	2.72 (s, 3H, Me), 7.19-8.20 (m, 8H, Ph, H-5, H-7-8), 9.29 (s, br, 1H, NH), 5.44 (s, 2H, NCH ₂ N).	3g	2.25 (s, 3H, Me), 7.25-8.21 (m, 13H, 2Ph, H-5, H-7-8), 5.54 (s, 2H, NCH ₂ N).
2h	2.54 (s, 3H, Me), 7.07-8.15 (m, 9H, H-5-8), 9.55 (s, br, 1H, NH), 5.41 (s, 2H, NCH ₂ N).	3h	2.48 (s, 3H, Me), 7.07-8.18 (m, 14H, Ph & H-5-8), 5.65 (s, 2H, NCH ₂ N).
2i	2.55 (s, 3H, Me), 7.12-8.25 (m, 7H, Ph & H-5, H-7-8), 9.16 (s, br, 1H, NH).	3i	2.68 (s, 3H, Me), 7.12-8.25 (m, 12H, 2Ph & H-5, H-7-8).
2j	2.60 (s, 3H, Me), 7.10-8.12 (m, 8H, Ph & H-5-8), 9.28 (s, br, 1H, NH).	3j	2.44 (s, 3H, Me), 7.09-8.19 (m, 13H, 2Ph & H-5-8).
2k	2.48 (s, 3H, Me), 7.07-8.24 (m, 13H, 2Ph & H-5, H-7-8), 9.32s, br, 1H, NH).	3k	2.54 (s, 3H, Me), 7.04-8.12 (m, 17H, 3Ph & H-5, H-7-8).
2l	2.54 (s, 3H, Me), 7.03-8.17 (m, 14H, 2Ph & H-5-8), 9.54s, br, 1H, NH).	3l	2.58 (s, 3H, Me), 7.14-8.18 (m, 18H, 2Ph & H-5-8).

cursor for one pot synthesis of 2-aryl or 2-mercepto 1,3,4-oxadiazole incorporated into quinazolone derivatives.

The compound **1(a-l)** on reaction with carbon disulphide in the presence of potassium hydroxide yields the title compound 6-substituted-3-[(5-mercepto-1,3,4-oxadiazol-2-yl)methyl]-2-substituted quinazolin-4(3*H*)-one or 6-substituted-3-[4-(5-mercepto-1,3,4-oxadiazol-2-yl)phenyl]-2-substituted quina-

zolin-4(3*H*)-one **2(a-l)**. Moreover the compound **1(a-l)** on microwave irradiation in the presence of acidic alumina catalyst with carboxylic acid yields the title compounds 6-substituted-3-[(5-phenyl-1,3,4-oxadiazol-2-yl)methyl]-2-substitutedquinazolin-4(3*H*)-one or 6-substituted-3-[4-(5-phenyl-1,3,4-oxadiazol-2-yl)phenyl]-2-substitutedquinazolin-4(3*H*)-one **3(a-l)**. This proved to be a high-yielding protocol.

Table III
Antibacterial and Antifungal Activity of Compound 2(a-l) and 3(a-l)

compound	Antibacterial in ($\mu\text{g/ml}$)				Antifungal in ($\mu\text{g/ml}$)	
	Gram positive		Gram negative		Candida	C.krusei
	S.a[a]	B.s[b]	E.c[c]	P.a[d]	ATCC 10231	G03
2a	7	12	19	13	-	15
2b	9	0.8	6	0.9	10	0.9
2c	15	-	12	9	15	9
2d	8	7	10	-	22	-
2e	-	22	9	25	-	21
2f	15	0.9	8	-	0.9	0.4
2g	8	-	0.2	-	10	25
2h	25	7	12	10	-	8
2i	-	28	-	18	0.7	7
2j	8	12	25	8	7	0.8
2k	9	-	10	-	-	8
2l	12	15	0.8	12	21	10
3a	8	10	21	15	-	20
3b	7	0.8	8	1.1	12	0.8
3c	12	-	15	10	17	9
3d	7	9	12	12	-	12
3e	5	15	0.9	1.8	20	-
3f	10	20	-	18	0.9	20
3g	12	1.1	0.9	-	10	17
3h	15	20	12	12	17	8
3i	-	15	-	17	10	0.7
3j	9	10	12	9	7	0.8
3k	24	-	10	-	10	-
3l	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

[a] S.a- S.aureus; [b] B.s- B.subtilis; [c] E.c-E.coli; [d] P.a-P.aeruginosa.

The Structure of **2(a-l)** and **3(a-l)** were confirmed on the basis of spectral and analytical data. IR spectra showed appearance of bands at 1699 cm^{-1} (C=O), 1600 cm^{-1} (C=N) for formation of quinazolone and 1590 cm^{-1} (C=N), 1339 cm^{-1} (C-O-C), 1267 cm^{-1} (C=S) for formation of 2-mercepto-1,3,4-oxadiazole. Similarly by appearance of bands at 1595 cm^{-1} (C=N), 1342 cm^{-1} (C-O-C) for 2-aryl-1,3,4-oxadiazole. In ^1H nmr, appearance of the signal at δ 7.1-8.1 (m, 3H, H-3, H-7-8), 9.54 (s, br, 1H, NH) for **2(a-l)** and 7.05-8.18 (m, 8H, Ph, H-3, H-7-8) for **3(a-l)**. Reaction conditions and % yields for microwave and conventional techniques are shown in Table-Ia. Elemental analysis and physical data are shown in Table-Ib. The compounds are confirmed on the basis of ir (Table-IIa) and ^1H nmr (Table-IIb) spectral studies. The reaction pathways are depicted in Scheme 1.

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 with TMS as an internal Standard on 300 MHz Bruker A/C 300 F Spectrophotometer.

Preparation of 2-(6-Bromo-2-methyl-4-oxoquinazolin-3(4H)-yl)-benzohydrazide **1(a-l)**.

To a solution of 3.77 g (0.01 mole) of 4-(6-bromo-2-methyl-4-oxo-quinazolin-3(4H)-yl) benzoyl chloride in ethanol was added 0.48 g (0.01 mole) hydrazine hydrate slowly with constant stirring and the reaction mixture was refluxed for 6-8 hrs in a 250 mL round bottom flask. The solvent was then removed by distillation under reduced pressure and the residue was poured into ice-cold water, and recrystallised by using ethanol. The yield 3.23 g (76%), mp $220\text{ }^\circ\text{C}$; ir (potassium bromide): 1690 (C=O) , 1600 (C=N) , 1710 (C=O) , 3394 , $3284\text{ (NH}_2\text{)}$, 3218 (NH) cm^{-1} ; ^1H nmr (CDCl_3): δ 2.54 (s, 3H, Me), 7.05-8.18 (m, 7H, Ph & H-5, H-7-8), 8.77 (s, br, 1H, NH), 5.88 (br, 2H, NH_2).

Anal. Calcd. For $\text{C}_{16}\text{H}_{13}\text{BrN}_2\text{O}_4$: C, 51.49; H, 3.51; N, 15.01. Found: C, 51.52; H, 3.49; N, 15.04.

Preparation of 6-Bromo-3-[4-(5-mercepto-1,3,4-oxadiazole-2-yl)phenyl]-2-methyl quinazolin-4(3H)-one **2(a-l)**.

To a solution of 3.73 g (0.01 mole) 2-(6-bromo-2-methyl-4-oxoquinazolin-3(4*H*)-yl)-benzohydrazide in ethanol (50 mL) was added potassium hydroxide 0.56 g (0.01 mole) and carbon disulphide (3 mL) in a 250 mL round bottom flask. The reaction mixture was refluxed until the evolution of hydrogen sulphide ceased. The reaction mixture was concentrated, dissolved in water and acidified with HCl. The precipitate was collected by filtration, washed, dried and recrystallised from ethanol to give 6-bromo-3-[4-(5-mercepto-1,3,4-oxadiazole-2-yl)phenyl]-2-methyl-quinazoline-4(3*H*)-one. The yield 3.50 g (62%), mp 156~157 °C; ir (potassium bromide): 1690 (C=O), 1593 (C=N), 1342 (C-O-C), 1267 (C=S) cm^{-1} ; ^1H nmr (DMSO- d_6): δ 2.54 (s, 3H, Me), 7.05-8.18 (m, 7H, Ph & H-5,H-7-8), 9.54 (s, br, 1H, NH).

Anal. Calcd. For $\text{C}_{17}\text{H}_{11}\text{BrN}_4\text{O}_2\text{S}$: C, 49.17; H, 2.67; N, 13.49. Found: C, 49.21; H, 2.65; N, 13.52.

Preparation of 6-Bromo-2-methyl-3-[4-(5-phenyl-1,3,4-oxadiazole-2-yl)phenyl]-quinazoline-4(3*H*)-one **3(a-1)**.

To a solution of 3.73 g (0.01 mole) 2-(6-bromo-2-methyl-4-oxoquinazolin-3(4*H*)-yl)-benzohydrazide in ethanol (50 mL) was added benzoic acid 1.22 g (0.01 mole) in 100 mL beaker, acidic alumina (20 g) was added as a solid support catalyst. The reaction mixture was stirred well and dried in air. It was then placed in an alumina bath and subjected to microwave irradiation (MWI) intermittently at an interval of 30s for specified time (Table Ia). On completion of reaction, as monitored by TLC examination, the product was extracted into ethanol (3x15 mL). Removal of solvent under reduced pressure gave the desired product which was recrystallised from ethanol. The yield 4.25 g (85%), mp 192; ir (potassium bromide): 1695 (C=O), 1590 (C=N), 1339 (C-O-C) cm^{-1} ; ^1H nmr (DMSO- d_6): δ 1.2 (s, 3H, Me), 7.05-8.18 (m, 12H, 2Ph & H-5, H-7-8).

Anal. Calcd. For $\text{C}_{23}\text{H}_{15}\text{BrN}_4\text{O}_2$: C, 60.15; H, 3.29; N, 12.20. Found: C, 60.12; H, 3.27; N, 12.18.

Conclusion.

Similarly 2-(6-bromo-2-phenyl-4-oxoquinazolin-3(4*H*)-yl)-benzohydrazide or 2-(6-bromo-2-phenyl-4-oxoquinazolin-3(4*H*)-yl)-acetohydrazide and other substituted quinazolone hydrazide can be prepared by using amino carboxylic acid in conjugation with acetyl or benzoyl chloride. All compounds were screened for their antifungal activity 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 were compared to the standard drug flucanazole (35-40 mm) with DMF as solvent. Ampicillin (40-50 mm), Amoxicillin (35-45 mm) and penicillin (38-48 mm) were used as standard drugs for antibacterial activity. Compounds **2e**, **2h**, **2i**,

2j, **3a**, **3f**, **3h** and **3k** showed significant antibacterial activity. Compound **2d**, **2e**, **2f**, **2l**, **3a**, **3e**, **3f** and **3l** showed moderate to good 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.

REFERENCES AND NOTES

- [1] W. Xie, Y. Jin and P. G. Wang, *Chemtech.*, **29**, 23 (1999).
- [2] R. S. Verma, *Green Chem.*, **1**, 43 (1999).
- [3] M. Kidwai, *Pure Appl. Chem.*, **73**, 147 (2001).
- [4] H. L. Yale and K. Losee, *J. Med. Chem.*, **9**, 478 (1966).
- [5] D. Ghiran, I. Schwartz and I. Simiti, *Farmac.*, **22**, 141 (1974).
- [6] J. Thomas, German Patent 2403357 (1974); *Chem. Abstr.*, **81**, 136153 (1974).
- [7] G. W. Adelstein, C. H. Yen, E. Z. Dajani and R. G. Bianchi, *J. Med. Chem.* **19**, 1221 (1976).
- [8] E. Schinzel, T. Martini, W. Spatzeier, H. Probst and A. G. Hoechst and De. Patent 3126464 (1983/1981); *Chem Abstr.*, **98**, 199850 (1983).
- [9] N. K. Chudhgar, S. N. Shah and R. A. Vora, *Mol. Cryst. Liq. Cryst.*, **51**, 172 (1989).
- [10] Y. Gan, D. Lu, J. Liu and M. Tian, *Zhongguo Yaouri Zazhi*, **11**, 85 (2001); *Chem Abstr.*, **136**, 216696 (2002).
- [11] G. Turan-Zitouni, M. Sivaci, F. S. Kilic and K. Erol, *Eur. J. Med. Chem.*, **36**, 685 (2002).
- [12] S. Sharma, V. K. Srivastava and A. Kumar, *Eur. J. Med. Chem.*, **37**, 689 (2002).
- [13] J. C. Jung, E. B. Watkins and M. A. Avery, *Tetrahedron.*, **58**, 3696 (2002).
- [14] V. K. Ahluwalia and S. Dudeja, *Synth. Commun.*, **31**, 3175 (2001).
- [15a] R. S. Sharma and S. C. Bahel, *J. Ind. Chem. Soc.*, **59**, 877 (1982); [b] S. A. Shiba, A. A. El-Khamry, M. E. Shaban and K. S. Atia, *Indian J. Chem.*, **36B**, 361 (1997).
- [16] J. C. Jung, E. B. Watkins and M. A. Avery, *Synth. Commun.*, **32**, 3767 (2002).
- [17a] M. Kidwai, S. Saxena, R. Mohan and R. Venkataramanan, *J. Chem. Soc., Perkin Trans I.* **16**, 1845 (2002); [b] M. Kidwai, S. Rastogi and R. Venkataramanan, *Bull. Chem. Soc. Jpn.*, **76**, 203 (2003).