Available online at www.pelagiaresearchlibrary.com

Pelagia Research Library

Der Chemica Sinica, 2014, 5(2):37-43

Der Chemica Sinica
Pelagia Research Library
ISSN: 0976-8505
CODEN (USA) CSHIA5

Synthesis and antimicrobial activity of some new quinazoline derivatives

Dhaval J. Patel*, Anantkumar M. Patel and Kishor S. Pandya

Department of Chemistry, Sir P. T. Science College, Modasa, Gujarat, India

---

ABSTRACT

Some new substituted 2-Chloromethyl-4-methyl-quinazoline derivatives *have been synthesised from different their chemical structures have been confirmed by IR, 1H NMR, and MASS and by elemental analysis. Investigation of antimicrobial activity of compound was done by the disk diffusion technique. Among the compound with Nitrogen containing heterocyclic compounds showed the most favourable antimicrobial activity.*

Keywords: Quinazolinone, antibacterial and antifungal activity.

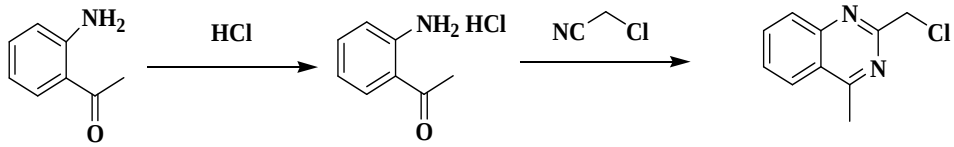
INTRODUCTION

Quinazolinone and their derivatives constitute an important class of heterocyclic compounds. It is evident from literature that Quinazolinone derivatives are known to be associated with broad spectrum of biological activity like antibacterial[1,10], anti-inflammatory[2,11,12], analgesic[3], antiviral[4], antifungal[5], antitubercular[6] and anticancer activity[7,13].

MATERIALS AND METHODS

All the melting points were determined by open capillary using V-Scientific Melting Point apparatus and are uncorrected. Purity of compound was checked by TLC on silica Gel-coated plates. IR spectra were recorded in KBr on FTIR Prestige-211 Simadzu spectrophotometer.^{1}H NMR spectra were recorded on 300 MHz Bruker using $CDCl_3$/DMSO and Mass spectra were recorded on using EI-MS mode. Elemental analysis was performed on Perkin-Elmer Series 2400.

Scheme-I

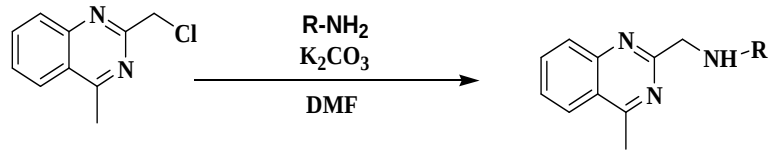


1-(2-Amino-phenyl)-ethanone
C_8H_9NO
Mol. Wt.: 135.16

$C_8H_{10}ClNO$
Mol. Wt.: 171.62

2-Chloromethyl-4-methyl-quinazoline
$C_{10}H_9ClN_2$
Mol. Wt.: 192.64

Scheme-II



2-Chloromethyl-4-methyl-quinazoline

$C_{10}H_9ClN_2$
Mol. Wt.: 192.64

2-Chloromethyl-4-methyl-quinazoline derivatives

R-Different substituent
*Synthesis of 2-Chloromethyl-4-methyl-quinazoline :(**DJP/001-010**)*
As shown in above scheme. As literature serve the synthesis 2-Chloromethyl-4-methyl-quinazoline derivatives synthesis by the process [8].

The 1-(2-Amino-phenyl)-ethanone was reacted with HCl gas in anhydrous condition we get Hydrochloride salt of 1-(2-Amino-phenyl)-ethanone (**a**) further more reaction of them with chloro acetonitrile subjected to a intramolecular cyclization in presence of dioxane to yield corresponding *2*-Chloromethyl-4-methyl-quinazoline **(b**) further more reaction with different derivatives get *2*-Chloromethyl-4-methyl-quinazoline derivatives.(**DJP/D101-120**).All these 2-Chloromethyl-4-methyl-quinazoline derivatives were identified by IR, ^{1}H NMR and MASS.

Synthesis of substituted 2-Chloromethyl-4-methyl-quinazoline derivatives:

(DJP/A101-110)
General Procedure
2-Chloromethyl-4-methyl-quinazoline derivatives (0.01 mol) **DJP/D101-120** and different amine derivatives (0.01 mol) and potassium carbonate(0.01) in 10 ml of N,N-Dimethyl formamide stir for 2-3 hours at 90-95°C temperature then charge 100 ml water in to reaction mass then stir for another 1 hour and add 50ml x 2 times of Dichloromethane for extraction then distil out solvent completely then crystallization in ether and dry material at 50-60°C.As shown in **scheme-II**.

Spectral Data
1. *2-Chloromethyl-4-methyl-quinazoline* **(DJP/D101)**:
IR (KBr): 3021.96 (Aromatic –C-H stretching), 2916.98 (Aliphatic stretching), 1560.62(Aromatic –C=C– stretching), 1560.6 2(–C=N Stretching), 1396.65(–C=C– ring skeleton vibration); 1 H NMR ($CDCl_3$) ppm: 2.98 (3H,s,-CH_3), 4.86 (2H,s,-CH_2-), 7.63-7.68 (1H,m,ArH), 7.88-7.90 (2H,m,ArH), 8.02-8.04 (1H,d,ArH), 8.09-8.12 (1H,d,ArH); MS m/z:192.9[M^+]

2. *4-(4-Methyl-quinazolin-2-ylmethyl)-piperazine-1-carboxylic acid tert-butyl ester* **(DJP/D102)**:
IR (KBr): 3001.34 (Aromatic –C-H stretching), 1570.11 (Aromatic –C=C– stretching), 2976.26 (Aliphatic –C-H stretching), 1362.72(Aliphatic –C-H bending), 1639.56 (–C=O stretching of carbonyl), 1570.11 (–C=N Conjugation), 1411.94 (–C=C– ring skeleton vibration); 1 H NMR ($CDCl_3$) ppm: 1.488 (3H,s,-CH_3), 2.59-2.68 (4H,m,2-CH_2-), 2.96 (3H,s,-CH_3), 3.51-3.54 (4H,m,2-CH_2-), 3.95 (2H,s,-CH_2-), 7.59-7.647 (2H,m, ArH), 7.84-789 (1H,m,ArH), 8.02-8.10 (2H,m,ArH),; MS m/z: 343.1[M^+],365.4 [M^{+1}+Na]

3. *1-(4-Methyl-quinazolin-2-ylmethyl)-piperidine-4-carboxylic acid ethyl ester* **(DJP/D103)**:
IR (KBr): 3057.27 (Aromatic –C-H stretching), 1562.39 (Aromatic–C=C–stretching), 2951.19 (Aliphatic –C-H stretching), 1438.94 (Aliphatic –C-H bending), 1730.21 (–C=O stretching of carbonyl), 1570.11(–C=N Conjugation), 1438.94 (–C=C– ring skeleton vibration); 1 H NMR ($CDCl_3$) ppm: 1.88-1.93 (4H,m,2-CH_2-), 2.20-2.27 (2H,m,-CH_2-), 2.30-2.37 (1H,m,-CH-), 2.96 (3H, m,-CH_3), 3.04-3.07 (2H,m,-CH_2-), 3.67 (3H,s,-CH_3), 3.92(2H,s,-CH_2-), 7.58-7.62 (1H, m, ArH), 7.8-7.83 (1H, m, ArH), 8.02-8.07 (1H, m, ArH), 8.08-8.1(1H, m, ArH); MS m/z: 314[M^+],322 [M^{+1}+Na]

4. *2-(4-Ethyl-piperazin-1-ylmethyl)-4-methyl-quinazoline* **(DJP/D104)**:
IR (KBr):3045.58 (Aromatic –C-H stretching), 1582.19 (Aromatic-C=C-stretching), 2975.21 (Aliphatic –C-H stretching), 1448.74 (Aliphatic –C-H bending), 1710.42,(–C=O stretching of carbonyl), 1560.24 (–C=N Conjugation), 1452.11 (–C=C– ring skeleton vibration); 1 H NMR ($CDCl_3$) ppm: 1.82-1.90 (3H, m,-CH_3), 2.62-2.71

(4H,m,-2CH_2-), 2.73-2.75 (2H,m,-2CH_2-), 2.78-2.82 (4H,m,-2CH_2-), 3.65 (3H,s,-CH_3), 3.83(2H,s,-CH_2-), 7.48-7.5 (1H, m, ArH), 7.56-7.82 (2H, m, ArH), 7.83-7.84 (1H, m, ArH); MS m/z: 271[M^+],294 [M^{+1}+Na]

5. *Methyl-quinazolin-2-ylmethyl)-piperidine-4-carboxylic acid hydrazide* **(DJP/D105)**:
IR (KBr) : 3437.26, 3348.24 (–NH_2 stretching), 3061.35 (Aromatic –C-H Stretching), 1407.54 (Aromatic–C=C– stretching), 2958.54 (Aliphatic –C-H stretching), 1379.84 (Aliphatic –C-H bending), 1670.24 (–C=O stretching of carbonyl), 1550.86 (–C=N Conjugation), 1447.25 (–C=C– ring skeleton vibration; 1 H NMR ($CDCl_3$) ppm: 1.94-2.012.204 (4H,m,-2CH_2-), 2.2 (2H broad singlet of –NH_2), 2.42-3.48 (4H,m,-2CH_2-), 2.96 (3H, m,-CH_3), 3.62 (2H,m,-CH_2-), 7.58-7.59 (1H,m,ArH), 7.80-7.81 (1H,s,ArH), 7.84-7.88 ; MS m/z: 300.1[M^+], 323.1 [M^{+1}+Na]

6. *4-Methyl-2-morpholin-4-ylmethyl-quinazoline* **(DJP/D106)**:
IR (KBr): 3115.14 (Aromatic –C-H stretching), 1537.32 (Aromatic –C=C– stretching), 2928.04 (Aliphatic –C-H stretching), 1396.51 (Aliphatic –C-H bending), 15582.25 (–C=N Conjugation), 1435.52 (–C=C– ring skeleton vibration ; 1 H NMR ($CDCl_3$) ppm: 2.35-3.38 (4H,m,-2CH_2-), 2.98 (3H,s,-CH_3), 3.61 (2H,s,-CH_2), 3.65-3.69 (4H,m,2-CH_2-), 6.997-6.960 (1H,m,ArH), 7.173 (1H,s,ArH), 7.613-7.533 (2H,m,ArH) ; MS m/z: 244.2[M^+], 261 [M^{+1}+NH_3]

7. *Methyl-(4-methyl-quinazolin-2-ylmethyl)-phenyl-amine* **(DJP/D107)**:
IR (KBr): 3125.24 (Aromatic –C-H stretching), 1565.86 (Aromatic –C=C–stretching), 2928.68 (Aliphatic –C-H stretching), 1365.87 (Aliphatic –C-H bending), 1596.35 (–C=N Conjugation), 1425.59 (–C=C– ring skeleton vibration ; 1 H NMR ($CDCl_3$) ppm: 2.31 (3H,s,-CH_3), 2.96 (3H,s,-CH_3), 4.61 (2H,s,-CH_2-), 6.58-6.6 (1H,m,ArH), 6.78-6.73 (1H,m,ArH), 6.75-6.8 (2H,m,ArH), 7.57-7.58 (2H,m,ArH), 7.62-7.79 (1H,m,ArH), 8.01-8.03 (1H,m,ArH); MS m/z: 264.2[M^+], 287 [M^{+1}+Na]

8. *1-(4-Methyl-quinazolin-2-ylmethyl)-pyrrolidine-2-carboxylic acid amide* **(DJP/D108)**:
IR (KBr): 3105.47 (Aromatic –C-H stretching), 1583.46 (Aromatic –C=C–stretching), 2928.41 (Aliphatic –C-H stretching), 1379.15 (Aliphatic –C-H bending), 1610.24 (–C=O stretching of carbonyl), 1582.25 (–C=N Conjugation), 1445.42 (–C=C– ring skeleton vibration ; 1 H NMR ($CDCl_3$) ppm: 1.52-1.53 (2H,m,-CH_2-), 1.80-1.83 (2H,m,-CH_2-), 2.34-3.25 (2H,m,-CH_2-), 2.96(3H,s,-CH_3), 3.19 (1H,m.-CH-), 3.58 (2H,s,-CH_2-), 5.84 (2H broad singlet of amide), 7.57-7.58 (1H,m,ArH), 7.62-7.79 (2H,m,ArH), 8.01-8.03 (1H,m,ArH); MS m/z: 371.4[M^+], 413.4 [M^{+1}+ACN]

9. *4-Methyl-2-(4-pyrimidin-2-yl-piperazin-1-ylmethyl)-quinazoline* **(DJP/D109)**:
IR (KBr): 3123.24 (Aromatic –C-H stretching), 1510.32 (Aromatic –C=C– stretching), 2943.47 (Aliphatic –C-H stretching), 1341.83 (Aliphatic –C-H bending), 1498.42 (–C=N Conjugation), 1415.68 (–C=C– ring skeleton vibration ; 1 H NMR ($CDCl_3$) ppm: 2.49-2.58 (4H,m,2-CH_2-), 2.98 (3H,s,-CH_3), 3.10-3.15 (4H,m,2-CH_2-), 3.57 (2H,m,-CH_2-), 7.57-7.59 (1H,s,ArH), 7.6-7.68 (1H,d,ArH), 7.65-8.01 (1H,d,ArH), 8.2-8.23 (1H,m,Pyrimidine ring), 8.28-8.36 (2H,m,pyrimidine ring) ; MS m/z: 322[M^+], 363.2 [M^{+1}+ACN]

10. *(2-Methyl-4-nitro-phenyl)-(4-methyl-quinazolin-2-ylmethyl)-amine* **(DJP/D110)**:
IR (KBr): 3315.75 (-N-H stretching), 3028.54 (Aromatic –C-H stretching), 1538.63 (Aromatic –C=C- stretching), 2937.35 (Aliphatic –C-H Stretching), 1351.23(Aliphatic –C-H bending), 1526.32(-N-O stretching of Nitro), 1498.42 (–C=N Conjugation), 1415.68 (–C=C– ring skeleton vibration) ; 1 H NMR ($CDCl_3$) ppm: 2.96 (3H,s,-CH_3), 3.35 (3H,s,-CH_3), 4.36 (2H,s,-CH_2-), 6.57 (1H,s,ArH), 7.27-7.3 (1H,d,ArH), 7.403-7.413 (2H,dd,ArH), 7.438-7.482 (1H,d,ArH), 7.484-7.524 (1H,m,ArH); MS m/z: 309.3 [M^+], 333.3 [M^{+1}+Na]

11. *[(4-Methyl-quinazolin-2-ylmethyl)-amino]-acetic acid ethyl ester* **(DJP/D111)**:
IR (KBr): 3230.48 (-N-H stretching), 3104.94 (Aromatic –C-H stretching), 1534.23 (Aromatic –C=C– stretching), 2948.40 (Aliphatic –C-H stretching), 1340.86 (Aliphatic –C-H bending), 1570.29 (-C=O stretching of carbonyl), 1545.71 (–C=N Conjugation), 1417.42 (–C=C– ring skeleton vibration); 1 H NMR ($CDCl_3$) ppm: 1.28 (3H,s,-CH_3), 2.96 (3H,s,-CH_3), 3.51 (2H,s,-CH_2-), 4.21 (2H,m,-CH_2-), 6.957-7.03 (1H,m,ArH), 7.135-7.214 (1H,s,ArH), 7.177 (1H,d,ArH), 7.21 (1H,d,ArH),; MS m/z: 260.4 [M^+], 277.4 [M^{+1}+NH_3]

12. *4-[(4-Methyl-quinazolin-2-ylmethyl)-amino]-benzoic acid ethyl ester* **(DJP/D112)**:
IR (KBr): 3435.73 (-N-H stretching), 3124.94 (Aromatic –C-H stretching), 1532.43 (Aromatic –C=C- stretching), 2992.30 (Aliphatic –C-H stretching), 1326.86 (Aliphatic –C-H bending), 1667.54 (-C=O stretching of carbonyl), 1574.11 (–C=N Conjugation), 1467.21 (–C=C– ring skeleton vibration) ; 1 H NMR ($CDCl_3$) ppm: 1.28 (3H,triplet,-CH_3), 2.98 (3H,s,-CH_3), 4.28 (2H,s,-CH_2-), 7.63-7.69 (1H,m,ArH), 7.7-7.72 (1H,s,ArH), 7.75-7.84 (2H,m,ArH); MS m/z: 322.4 [M^+], 364.4 [M^{+1}+ACN]

13. *4-Methyl-2-[1,2,4]triazol-1-ylmethyl-quinazoline* **(DJP/D113)**:
IR (KBr): 3174.94 (Aromatic –C-H stretching), 1570.14 (Aromatic –C=C– stretching), 2915.68 (Aliphatic –C-H stretching), 1341.31(Aliphatic –C-H bending), 1534.29 (–C=N Conjugation), 1446.20 (–C=C– ring skeleton vibration) ; 1 H NMR ($CDCl_3$) ppm: 2.96 (3H,s,-CH_3), 4.89 (2H,s,-CH_2-), 6.47-6.52 (1H,m,triazole ring), 6.543-6.549 (1H,m,triazole ring), 6.58-6.60 (1H,m,ArH), 7.01-7.32 (1H,m,ArH), 8.02-8.14 (1H,d,ArH), 7.21(1H,d,ArH); MS m/z: 226.1 [M^+], 249 [M^{+1}+Na]

14. *4-Methyl-2-pyrrolidin-1-ylmethyl-quinazoline* **(DJP/D114)**:
IR (KBr): 3024.86 (Aromatic –C-H stretching), 1519.27 (Aromatic –C=C- stretching), 2938.15 (Aliphatic –C-H stretching), 1341.31 (Aliphatic –C-H bending), 1519.47 (–C=N Conjugation), 1481.62 (–C=C– ring skeleton vibration) ; 1 H NMR ($CDCl_3$) ppm: 2.12-2.23 (4H,m,2-CH_2-), 2.41-2.45 (4H,m,2-CH_2-), 2.98 (3H,s,-CH_3), 4.82 (2H,s,-CH_2-), 6.54-6.62 (1H,m,ArH), 6.651-6.589 (1H,s,ArH), 6.671-6.781 (1H,d,ArH); MS m/z: 228.3 [M^+], 270.3 [M^{+1}+ACN]

15. *4-Methyl-2-(3-methyl-piperidin-1-ylmethyl)-quinazoline* **(DJP/D115)**:
IR (KBr): 3104.64 (Aromatic –C-H stretching), 1534.23 (Aromatic –C=C– stretching), 2929.40 (Aliphatic –C-H stretching), 1341.31 (Aliphatic –C-H bending), 1574.11 (–C=N Conjugation), 1467.21 (–C=C– ring skeleton vibration) ; 1 H NMR ($CDCl_3$) ppm: 1.85 (3H,s,–CH_3), 2.15-2.32 (2H,m,-CH_2-), 2.4-2.48(4H,m,2-CH_2-), 2.49-2.51(1H,m,-CH-), 2.51-2.57(2H,m,-CH_2-), 2.98 (3H,s, –CH_3), 6.957-6.976 (1H,m,ArH), 7.128-7.157 (1H,s,ArH), 7.177-7.251 (2H,d,ArH): MS m/z: 257 [M^+], 298 [M^{+1}+ACN]

16. *1-(4-Methyl-quinazolin-2-ylmethyl)-1H-pyrrole-2-carbaldehyde* **(DJP/D116)**:
IR (KBr): 3174.94 (Aromatic –C-H stretching), 1525.16 (Aromatic –C=C– stretching), 2987.24 (Aliphatic –C-H stretching), 1347.54 (Aliphatic –C-H bending), 1570.29 (-C=O stretching of carbonyl), 1574.11 (–C=N Conjugation), 1467.21 (–C=C– ring skeleton vibration); 1 H NMR ($CDCl_3$) ppm: 2.98 (3H,s,-CH_3), 4.87(2H,s,-CH_2-), 6.36 (1H,m,Pyrazole ring), 7.01 (1H,m,Pyrazole ring), 7.28 (1H,m,Pyrazole ring), 7.639-7.689 (1H,m,ArH), 7.88-7.93 (1H,m,ArH), 8.03-8.13 (1H,d,ArH), 9.52(1H,s,aldehyde); MS m/z: 252.3 [M^+], 275 [M^{+1}+Na]

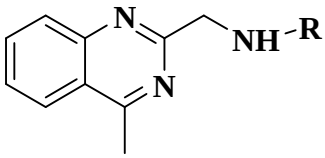
17. *4-Methyl-2-piperidin-1-ylmethyl-quinazoline* **(DJP/D117)**:
IR (KBr): 3020.63 (Aromatic –C-H stretching), 1562.39 (Aromatic –C=C- stretching), 2985.91 (Aliphatic –C-H stretching), 1319.35 (Aliphatic –C-H bending), 1570.11 (–C=N Conjugation), 1438.94 (–C=C– ring skeleton vibration) ; 1 H NMR ($CDCl_3$) ppm: 1.83-1.93 (4H,m,2-CH_2-), 2.28-2.27(2H,m,-CH_2-), 2.30-2.35 (4H,m.2-CH_2-), 3.67(3H,s,-CH_3), 3.924 (2H,s,-CH_2-), 7.837-7.858 (1H,m,ArH), 7.861-7.879(1H,s,ArH), 8.026-8.093(1H,d,ArH); MS m/z: 242.3 [M^+], 284.3 [M^{+1}+ACN]

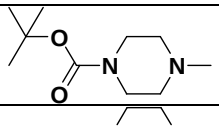
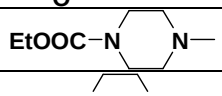
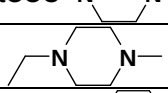
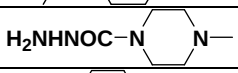
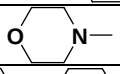
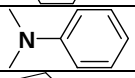
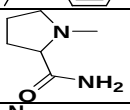
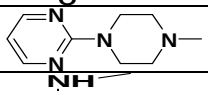
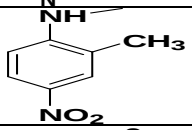
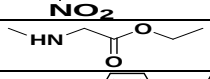
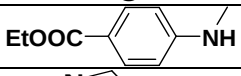
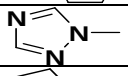
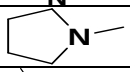
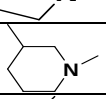
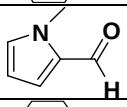
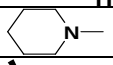
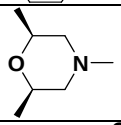
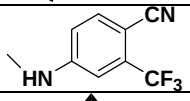
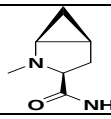
18. *2-(2, 6-Dimethyl-morpholin-4-ylmethyl)-4-methyl-quinazoline* **(DJP/D118)**:
IR (KBr): 3435.73(-N-H stretching), 3174.94(Aromatic –C-H stretching), 1543.32(Aromatic –C=C- stretching), 2929.40(Aliphatic –C-H stretching), 1341.31(Aliphatic –C-H bending), 1570.29(-C=O stretching of carbonyl), 1531.21 (–C=N Conjugation), 1415.74 (–C=C– ring skeleton vibration) ;; 1H NMR ($CDCl_3$) ppm: 1.21 (6H,s,-CH_3), 2.35-2.41 (4H,m,2-CH_2-), 3.85 (4H,m,2-CH_2-), 3.96 (3H,s,-CH_3), 4.02 (2H,s,-CH_2-), 7.564-7.587 (1H,m,ArH), 7.641-7.671 (1H,s,ArH), 7.689-7.846 (2H,d,ArH); MS m/z: 272.4 [M^+], 314.4 [M^{+1}+ACN]

19. *4-[(4-Methyl-quinazolin-2-ylmethyl)-amino]-2-trifluoromethyl-benzonitrile* **(DJP/D119)**:
IR (KBr): 3235.73 (-N-H stretching), 3154.74 (Aromatic –C-H stretching), 1553.72 (Aromatic –C=C- stretching), 2898.43 (Aliphatic –C-H stretching), 1402.21 (Aliphatic –C-H bending), 2178.46 (-CN stretching), 1498.41 (–C=N Conjugation), 1478.34 (–C=C– ring skeleton vibration); 1H NMR ($CDCl_3$) ppm: 3.68 (3H,s,-CH_3), 4.02 (2H,s,-CH_3), 7.234-7.239 (1H,m,ArH), 7.349-7.486 (1H,s,ArH), 7.427-7.459(1H,d,ArH), 7.514-7.589(2H,d,ArH), 7.648-7.841(2H,m,ArH); MS m/z: 343.3 [M^+], 360 [M^{+1}+NH_3]

20. *2-(4-Methyl-quinazolin-2-ylmethyl)-2-aza-bicyclo [3.1.0] hexane-3-carboxylic acid amide* **(DJP/D120)**:
IR (KBr): 3435.73 (-NH_2 stretching), 3174.94 (Aromatic –C-H stretching), 1543.32 (Aromatic –C=C- stretching), 2929.40 (Aliphatic –C-H stretching), 1341.31 (Aliphatic –C-H bending), 1570.29 (-C=O stretching of carbonyl), 1428.41 (–C=N Conjugation), 1385.54 (–C=C– ring skeleton vibration); 1 H NMR ($CDCl_3$) ppm: 0.487 (2H,m,-CH_2-), 0.491-0.648 (1H,m,2-CH-), 1.829 (2H,m,-CH_2-),3.21 (1H,m,-CH-), 3.62 (2H,s-CH_2-), 3.96 (3H,s,-CH_3), 4.982 (2H,broad singlet of amide) 7.581-7.592 (1H,m,ArH), 7.723-7.789 (1H,d,ArH), 7.823-7.841 (1H,d,ArH), 8.021-8.121 (1H,m,ArH); MS m/z: 284 [M^+], 326 [M^{+1}+ACN]

Table: 1 Physical data and elemental analysis of synthesized 2-Chloromethyl-4-methyl-quinazoline derivatives (DJP/D102-DJP/D120)

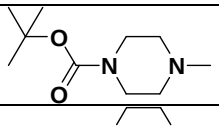
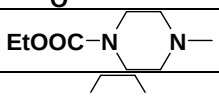
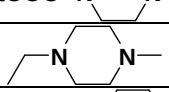
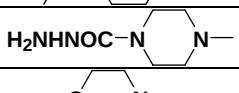
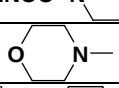
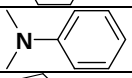
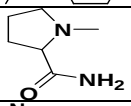
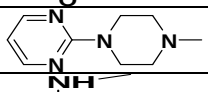
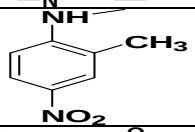
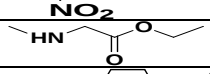
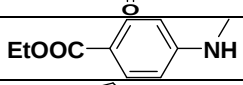
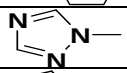
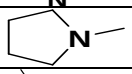
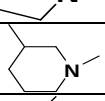
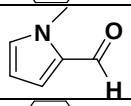
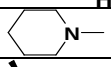
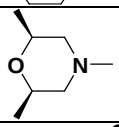
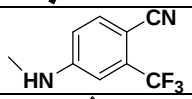
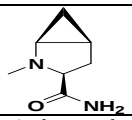


Sr. No.	R	Molecular Formula	M.P. (^{0}C)	Mol. Weight	Yield (%)	Elemental analysis Found (Calculated)		
						% C	% H	% N
DJP/D102		$C_{19}H_{26}N_4O_2$	184-190	342.44	82	65.54 (66.64)	7.23 (7.65)	15.23 (16.36)
DJP/D103		$C_{18}H_{23}N_3O_2$	150-154	313.39	78	67.48 (68.98)	6.75 (7.40)	12.89 (13.41)
DJP/D104		$C_{16}H_{22}N_4$	125-128	270.37	75	70.58 (71.08)	8.45 (8.20)	18.96 (20.72)
DJP/D105		$C_{16}H_{21}N_5O$	175-178	299.37	80	63.16 (64)	7.26 (7.07)	22.49 (23.39)
DJP/D106		$C_{14}H_{17}N_3O$	110-114	243.30	90	68.25 (69.11)	6.47 (7.04)	17.3 (17.27)
DJP/D107		$C_{17}H_{17}N_3$	124-128	263.34	68	76.51 (77.54)	5.89 (6.51)	15.48 (15.96)
DJP/D108		$C_{15}H_{18}F_2N_4O$	136-139	270.33	68	65.45 (66.64)	5.98 (6.71)	19.09 (20.73)
DJP/D109		$C_{18}H_{20}N_6$	204-207	320.39	62	66.45 (67.48)	6.05 (6.29)	26.12 (26.23)
DJP/D110		$C_{17}H_{16}N_4O_2$	133-136	308.33	47	66.37 (66.22)	5.12 (5.23)	15.57 (18.17)
DJP/D111		$C_{14}H_{17}N_3O_2$	89-92	259.3	77	66.45 (64.85)	6.05 (6.61)	15.12 (16.20)
DJP/D112		$C_{19}H_{19}N_3O_2$	122-125	321.37	53	71.37 (71.01)	5.82 (5.96)	12.57 (13.08)
DJP/D113		$C_{21}H_{11}N_5$	111-114	225.25	39	62.45 (63.99)	4.98 (4.92)	30.89 (31.09)
DJP/D114		$C_{14}H_{17}N_3$	68-71	227.3	74	73.24 (73.98)	7.45 (7.54)	18.42 (18.49)
DJP/D115		$C_{16}H_{21}N_3$	112-115	255.36	87	75.21 (75.26)	8.12 (8.29)	14.57 (16.46)
DJP/D116		$C_{15}H_{13}N_3O$	156-160	251.28	71	71.45 (71.7)	5.05 (5.21)	16.12 (16.72)
DJP/D117		$C_{15}H_{19}N_3$	148-152	241.33	89	73.37 (74.65)	7.82 (7.94)	17.37 (17.41)
DJP/D118		$C_{16}H_{21}N_3O$	112-115	271.36	72	70.45 (70.82)	6.87 (7.80)	15.57 (15.49)
DJP/D119		$C_{18}H_{13}N_4F_3$	122-125	342.32	57	62.24 (63.16)	3.82 (3.83)	16.12 (16.37)
DJP/D120		$C_{16}H_{18}N_4O$	155-158	282.34	62	67.48 (68.06)	5.82 (6.43)	19.52 (19.84)

In Vitro Antimicrobial Activity

Evaluation of antibacterial and antifungal activities was done by the disk diffusion techniqu[9]. The tested compound solution were prepared in dimethylformamide (DMF) and evaluated them for their in vitro antibacterial and antifungal activity against *Bacillus subtillis* NCIM 2250, *Staphylococcus aureus* NCIM 2079, *Escherichia coli* NCIM 2109, *Aspergillus niger* NICM 501 and *Candida albicans* NICM 7431, respectively.

Table: 2 In Vitro antimicrobial activity of 2-Chloromethyl-4-methyl-quinazoline derivatives (DJP/D102-DJP/D120)

Sr. No.	R	*E-coli*	*B-Subtillis*	*S-Aureus*	*S-Cerevisiae*	*A-niger*
DJP/D102		+	++	++	+	++
DJP/D103		++	+	++	++	+
DJP/D104		+	+	+	++	+
DJP/D105		+	++	+	+	++
DJP/D106		+	+	+	++	+
DJP/D107		+	+	++	++	+
DJP/D108		++	++	+	+	+
DJP/D109		++	+	+	+	++
DJP/D110		+	+	++	+	++
DJP/D111		+	++	+	+	++
DJP/D112		+	+	+	++	+
DJP/D113		++	++	+	+	+
DJP/D114		++	+	+	+	++
DJP/D115		+	++	++	+	++
DJP/D116		++	+	+	++	+
DJP/D117		+	++	+	+	+
DJP/D118		++	+	+	++	++
DJP/D119		++	++	+	+	+
DJP/D120		+	++	++	+	++

**Effectively was classified in to three zones on the bases of the diameter of zone of inhibition*

+++ : *Most effective*
++ : *Moderate effective*
+ : *Slightly effective*
- : *Non effective*

All bacteria were grown on Mueller-Hinton agar (Hi media) plates (37°C, 24 h) and fungi were grown on subouraud dextrose agar (Hi media) plates (26°C, 48-72h). The results were established by the presence of clear zone of inhibition around the activity compound.

RESULTS AND DISCUSSION

As many as new ten compounds were synthesized by adopting similar above procedure and then characterized by their physical, analytical and spectral data. The detail of some of the representative compounds are given in the experimental section. Their physical and elemental analysis data are presented in **Table 1**.

The entire synthesized compounds were tested for in vitro antimicrobial activity by the disk diffusion technique. The results are summarized in **Table 2** that includes the activity of reference compound Ampicillin.

The tested compound exhibited mild to moderate antibacterial activity against all three strains of bacteria. The compound DJP/D102, DJP/D103, DJP/D105, DJP/D111, DJP/D115, DJP/D118, and DJP/D120 shows highest activity

The antifungal activity of the compound was studied for the two pathogenic fungi. Amphotericin B was used as reference for inhibitory activity against fungi. It was observed that compound DJP/D103, DJP/D103, DJP/D104, DJP/D106, DJP/D107, DJP/D116, DJP/D118 had highest activity against *S-Cerevisiae* and DJP/D103, DJP/D108, DJP/D109, DJP/D113, DJP/D116 and DJP/D118 tested against *E-coli* and showed good activity against *A-Niger*. It has also observed that compound DJP/D102, DJP/D105, DJP/D108, DJP/D111, DJP/D113, DJP/D115, DJP/D120 *B-Subtillis* and compound DJP/D102, DJP/D103, DJP/D110, DJP/D115, DJP/D120 against *S-Aureus.*

CONCLUSION

The antimicrobial study revealed that substitution in the 3rd position of quinazoline with methyl and Nitrogen containing heterocyclic compound produced more active compound in a series.

Acknowledgement
The authors are very much thankful to the Principal, Sir P.T. Science College, Modasa for providing necessary facility and guidance and motivation during research work.

REFERENCES

[1] Ashis Kumar Nanda, Subarna Ganguli, Randhir Chakraborty, *molecules*, **2007**; 12: 2413-2426.
[2] V Alagarsamy and K V Rameseshu. *Pharmazie*.**2003**, 58,233-236
[3] T.R. Jones, S.E. Webber, M.D. Varney, M.R. Reddy and K.K. Lewis, *J. Med. Chem*., 40, 677 (**1997**).
[4] N.C. Desai, N.K. Undavia, P.B. Trivedi, D. Dave, G.D. Vyas, *Indian J. Exp. Biol.* 36 (**1998**) 1280-1283.
[5] Guiping Ouyang,Peiquam Zhang, Gangfang Xu, Baoan Song, Song Yang, Linhong Jin,Wei Xue Deyu Hu, Pinglu,zhuo chem *Molecules* **2006**; 11: 383-392.
[6] Pattan SR, Reddy VVK, Manvi FV, Desai BG, Bhat A., *Indian journal of chemistry* **2006**; 45B: 1771-1781.
[7] V. Murugan, N.P. Padmavathy, G.V.S. Ramasarama, S.V. Sharma, B.Suresh. *Indian J. Heterocyclic Chem*. 13 (**2003**) 143- 146.
[8] M.R. Brana, J.M. Castellano, G. Keihauer, Y. Martin and C. Redondo, *Anticancer Drugs*, 9, 527(**1994**).
[9] For testing antimicrobial agent in agar media. In: Corian V (Ed) Antibiotics in Laboratory Medicine. 5 th ed. Williams and Wilkins, Baltimore. **1991**, 1-16.
[10] G. Nagarajan, S.Kavimani *Der Pharmacia Sinica*, **2010**, 1 (3): 109-116.
[11] Rashmi.P,LaxmivenKatesh.GandKuntal.H, *Der Chemica sinica*, **2011**, 2(2):165-171.
[12] B.R. Dravyakar, P. B. Khedekar, *Der Pharma Chemica*., **2012**, 4, 2, 699-706.
[13] S.Kumar, G. Mishra, P. Singh, K. K.Jha, R. L.Khosa, S.K. Gupta, et al, *Der Chemica Sinica*., **2011**, 2, 4, 36-58.