4. EXPERIMENTAL

All the reagents and solvents required for synthesis were purified by general laboratory techniques before use. Purity of the compounds and completion of reactions were monitored by thin layer chromatography (TLC) on silica gel plates (60 F_{254}; Merck), visualizing with ultraviolet light or iodine vapors. The yields reported here are un-optimized. Compounds were purified by passing them through silica gel H (100-200 mesh) purifying column using suitable solvents as eluents. Melting points were determined using a Veego make silicon oil bath-type melting point apparatus and are uncorrected. The IR spectra were recorded using KBr disc method in cm^{-1} on a Bruker FT-IR, Model 8300. The ^{1}H-NMR (ppm) spectra (on a Bruker 400 MHz spectrometer) were recorded in $CDCl_3$ (chemical shifts in δ ppm) or otherwise stated.

4-Formyl-2-methoxyphenyl acetate (10)

To a solution of vanillin (5.0 g, 32 mmole) in freshly distilled acetic anhydride (10 mL), dry pyridine (10-12 drops) was added. The reaction mixture was heated on water bath under anhydrous condition for 20 min. The reaction mixture was cooled to RT and quenched in ice water (100 mL). Precipitate thus formed was filtered and dried under vacuum to afford 4-formyl-2-methoxyphenyl acetate **(10)** as white solid (6 g, 96.5 %), m.p. 77-9 °C.

Anal.:

TLC (R_f): 0.43 (20% Ethyl acetate in hexane)

IR: 2846, 1755, 1669, 1596, 1507, 1328 & 735

4-Acetoxy-3-methoxybenzoic acid (11)

4-Formyl-2-methoxyphenyl acetate **(10)** (20.0 g, 103.09 mmole) was dissolved in aldehyde-free acetone (100 ml) in an Rb flask (1000 mL). An aqueous solution of potassium permanganate (19.54 g, 123.71 mmole in 400 mL water) was added drop-wise to the above solution through a pressure equalizing dropping funnel over a period of 2 h. The reaction mixture was stirred at RT for another 2 h and filtered through filtering aid (Hyflosupercel). The filtrate was concentrated to remove acetone and acidified with conc. hydrochloric acid. The precipitated material so obtained was filtered, washed with cold water and dried under vacuum to afford 4-acetoxy-3-methoxybenzoic acid **(11)** as white crystals (18.0 g, 83.1 %), m.p. 129-31°C.[188]

Anal.:

TLC (R_f): 0.46 (50% Ethyl acetate in hexane)

IR: 3400-3000, 1763, 1689, 1600, 1301 &1022

4-Hydroxy-3-methoxybenzoic acid (12)

4-Acetoxy-3-methoxybenzoic acid **(11)** (6.0 g, 28.5 mmole) was dissolved in methanol (30 mL) in a 100 mL Rb flask. Solution of potassium hydroxide (2.4 g, 42.5 mmole) in water (10 mL) was added drop-wise over a period of 20 min with stirring. The reaction mixture was further stirred for 3 h at RT, quenched in cold water (200 mL) and acidified with conc. hydrochloric acid to a *p*H in between 2-3. Precipitate thus formed was filtered and dried under vacuum to afford 4-hydroxy-3-methoxybenzoic acid **(12)** as white solid (4.8 g, 87.7 %), m.p. 207-09 °C.

Anal.:

TLC (R_f): 0.45 (50% Ethyl acetate in hexane)

IR: 3481, 1682, 1600, 1521 & 1403

Methyl 4-hydroxy-3-methoxybenzoate (13)

A solution of 4-hydroxy-3-methoxybenzoic acid **(12)** (5.0 g, 29.7 mmole) in methanol (25 mL) was cooled to 0-5 °C. Thionyl chloride (3.23 mL, 44.6 mmole) was added drop-wise through dropping funnel to the above solution and was refluxed on a water bath for 2 h. The reaction mixture was concentrated to remove methanol and quenched in ice water (150 mL). Precipitate thus formed was filtered, washed with cold water and dried to obtain methyl4-hydroxy-3-methoxybenzoate **(13)** as white solid (4.8 g, 88.8%), m.p. 51-3 °C.[188]

Anal.:

TLC (R_f): 0.5 (30 % Ethyl acetate in hexane)

IR: 3476, 3471, 1690, 1601, 1527, 1438 & 1118

4-Hydroxy-3-methoxy-2-nitrobenzoic acid (14)

A solution of 4-acetoxy-3-methoxybenzoic acid **(11)** (2.0 g, 9.5 mmole) in glacial acetic acid (6 mL) was cooled over ice-bath in between 10-15 °C. A cold mixture of conc. nitric acid (8 mL) and conc. sulphuric acid (6 mL) was added to the above solution drop-wise over a period of 15 min. The reaction mixture was stirred at temperature in between 5-10 °C

for another 1h and quenched into ice-cold water (50 mL). Precipitate thus formed was filtered, washed with cold water and dried under vacuum to afford 4-hydroxy-3-methoxy-2-nitrobenzoic acid **(14)** as white solid (1.1 g, 43%), m.p.176-78^{o}C.[189]

Anal.:

TLC (R_f): 0.34 (50 % Ethyl acetate in hexane)

IR: 1692, 1554, 1377, 1170

Methyl 4-hydroxy-3-methoxy-2-nitrobenzoate (15)

To a cold solution of 4-hydroxy-3-methoxy-2-nitrobenzoic acid **(14)** (2.0 g, 9.38 mmole) in methanol (10 mL), thionyl chloride (1.36 mL, 18.7 mmole) was added. The above reactiom mixture was refluxed under anhydrous conditions for 4h and quenched into ice-cold water (50 mL). Precipitate thus formed was filtered, washed with cold water and dried to get methyl 4-hydroxy-3-methoxy-2-nitrobenzoate **(15)** as white solid (1.8 g, 84.5%), m.p.180-82^{o}C.[189]

Anal.:

TLC (R_f): 0.43 (50 % Ethyl acetate in hexane)

IR: 3408, 1685, 1550, 1350, 1060.

1-[(2-Chloro-4-nitrophenoxy)methyl]benzene (19)

To a solution of benzyl bromide **(17)** (4.32 g, 25.3 mmole) in DMF (7 mL), 2-chloro-4-nitrophenol **(16)** (5.25 g, 30.3 mmole) and potassium carbonate (10.35 g, 75.6 mmole) were added. The reaction mixture was stirred at RT for 6 h and quenched into cold water (250 mL). Precipitate thus formed was filtered, washed with cold water and dried to afford 1-((2-chloro-4-nitrophenoxy)methyl)benzene **(19)** as white solid (6.4 g, 97.15 %), m.p. 103-05 °C.[190]

Anal.:

TLC (R_f): 0.54 (30% Ethyl acetate in hexane)

IR: 1516, 1342, 1253, 778

1-[(2-Chloro-4-nitrophenoxy)methyl]-3-fluorobenzene (20)

To a solution of 1-(bromomethyl)-3-fluorobenzene **(18)** (0.308 g, 1.6 mmole) in DMF (3 mL), 2-chloro-4-nitrophenol **(16)** (0.4 g, 2.08 mmole) and potassium carbonate (0.66 g, 4.8 mmole) were added. The reaction mixture was stirred at RT for 6 h and quenched into

cold water (50 mL). Precipitate thus formed was filtered, washed with cold water and dried to afford 1-[(2-chloro-4-nitrophenoxy)methyl]-3-fluorobenzene **(20)** as white solid (0.4 g, 68.3%), m.p. 77-79 °C.[190]

Anal.:

TLC (R_f): 0.58 (30% Ethyl acetate in hexane)

IR: 1543, 1343, 1262, 764

4-(Benzyloxy)-3-chloroaniline (21)

A solution of 1-[(2-chloro-4-nitrophenoxy)methyl]benzene **(19)** (6.4 g, 24.2 mmole) in methanol (80 mL) was refluxed in a two-neck Rb flask (250 mL). Iron powder (6.7 g, 121.4 mmole) and a solution of sodium chloride (4.2 g, 72.3 mmole) in water (10-12 mL) were added portion-wise (in 5-7 parts at an interval of every 45 min) to the refluxing solution. Refluxing was continued for further 3 h, filtered while hot through the filtering aid (Hyflosupercel) and additionally washed with hot methanol (2 × 15 mL). The filtrate was concentrated under reduced pressure to remove excess methanol and the concentrated reaction mixture was kept in refrigerator overnight. The precipitate thus formed was filtered and dried under reduced pressure to get 4-(benzyloxy)-3-chloro aniline **(21)** as light brown solid (4.9 g, 86.7%), m.p. 53-55 °C.[190]

Anal.:

TLC (R_f): 0.29 (30 % Ethyl acetate in hexane)

IR: 3407, 1463, 1245, 765

3-Chloro-4-(3-fluorobenzyloxy)aniline (22)

A solution of 1-[(2-chloro-4-nitrophenoxy)methyl]-3-fluorobenzene **(20)** (6.0 g, 21.3 mmole) in methanol (80 mL) was refluxed in a two-neck Rb flask (250 mL). Iron powder (5.88 g, 105.4 mmole) and a solution of sodium chloride (3.64 g, 63.8 mmole) in water (10-12 mL) were added portion-wise (in 5-7 parts at an interval of every 45 min) to the refluxing solution. Refluxing was continued for further 3 h, filtered while hot through the filtering aid (Hyflosupercel) and additionally washed with hot methanol (2 × 15 mL). The filtrate was concentrated under reduced pressure to remove excess methanol and the concentrated reaction mixture was kept in refrigerator overnight. The precipitate thus formed was filtered

and dried under reduced pressure to get 4-(3-fluorobenzyloxy)-3-chloroaniline **(22)** as light brown solid (4.9 g, 74.76%), m.p. 65-67 °C.[186]

Anal.:

TLC (R_f): 0.29 (30 % Ethyl acetate in hexane)

IR: 3349, 1472, 1238, 759

Pyridin-2-ylmethanol (24)

A solution of piconaldehyde (1.12 g, 10.46 mmole) in methanol (30) was cooled to a temperature in between 0-5 °C and sodium borohydride (0.47 g, 12.56 mmole) was added portion-wise over a period of 10 min. The reaction mixture was further stirred for 30 min and concentrated under reduced pressure to remove excess methanol. The residue so obtained was quenched into ice water (50 mL), neutralized with cold hydrochloric acid (5%) and extracted with chloroform (3 X 40 mL). The chloroform extract was concentrated under vacuum to obtain pyridine-2-methanol **(24)** as brown semisolid (0.7 g, 61.75 %).[186]

Anal.:

TLC (R_f): 0.18 (30 % Ethyl acetate in hexane)

2-(Chloromethyl)pyridine (25)

To a solution of pyridin-2-ylmethanol **(24)** (2.0 g, 18.3 mmole) in chloroform (40 mL), TEA (0.3 mL) was added, cooled to 5 °C and thionyl chloride (3.0 mL) was added to it drop-wise over a period of 10 min maintaining the temperature in between 5-10 °C. The reaction mixture was stirred for further 2 h at RT, quenched in ice cold water (30 mL) and extracted with chloroform (3 X 40 mL). The chloroform extract was concentrated under vacuum to obtain 2-(chloromethyl)pyridine **(25)** as brown semisolid (1.4 g, 60.2 %).[186]

Anal.:

TLC (R_f): 0.61 (30 % Ethyl acetate in hexane)

2-[(2-Chloro-4-nitrophenoxy)methyl]pyridine (27)

To a solution of 2-(chloromethyl)pyridine **(25)** (0.2 g, 1.57 mmole) in DMF (3 mL), 2-chloro-4-nitrophenol (0.326 g, 1.88 mmole) and potassium carbonate (0.64 g, 4.7 mmole) were added. The reaction mixture was stirred at RT for 4 h and quenched into cold water (50 mL). Precipitate thus formed was filtered, washed with cold water and dried to afford 2-[(2-

chloro-4-nitrophenoxy)methyl]pyridine **(27)** as white solid (0.13 g, 32.3 %), m.p. 117-19 °C. [187]

Anal.:

TLC (R_f): 0.56 (30 % Ethyl acetate in hexane)

IR: 1588, 1354, 1271, 762

3-Chloro-4-(pyridin-2-yl)methoxyaniline (28)

A solution of 2-[(2-chloro-4-nitrophenoxy)methyl]pyridine **(27)** (0.5 g, 1.89 mmole) in methanol (30 mL) was refluxed in a two-neck Rb flask (100 mL). Iron powder (0.53 g, 9.5 mmole) and a solution of sodium chloride (0.33 g, 5.7 mmole) in water (5-7 mL) were added portion-wise (in 3-4 parts at an interval of every 45 min) to the refluxing solution. Refluxing was continued for further 3 h, filtered the reaction mixture while hot through the filtering aid (Hyflosupercel) and additionally washed with hot methanol (2 × 10 mL). The filtrate was concentrated under reduced pressure to remove excess methanol and the concentrated reaction mixture was kept in refrigerator overnight. The precipitate thus formed was filtered and dried under reduced pressure to get 3-chloro-4-[(pyridin-2-yl)methoxy] aniline **(28)** as light brown solid (0.4 g, 89.7%), m.p. 67-69 °C. [187]

Anal.:

TLC (R_f): 0.11 (30 % Ethyl acetate in hexane)

IR: 3394, 1263, 1181, 762

Methyl 4-(3-chloropropoxy)-3-methoxybenzoate (32)

To a solution of methyl 4-hydroxy-3-methoxybenzoate **(13)** (5 g, 27.4 mmole) in DMF (15 mL); 1-bromo-3-chloropropane (3.3 mL, 33.2 mmole) and potassium carbonate (5.59 g, 40.5 mmole) were added. The reaction mixture was stirred at RT for 4 h and quenched into cold water (200 mL). Precipitate thus formed was filtered, washed with cold water and dried to afford methyl 4-(3-chloropropoxy)-3-methoxybenzoate **(32)** as white solid (6.75 g, 95 %), m.p. 139-41 °C.[189]

Anal.:

TLC (R_f): 0.64 (30 % Ethyl acetate in hexane)

IR: 1709, 1597, 1516, 1469, 1271, 1220, 1026, 762

Methyl 4-(2-chloroethoxy)-3-methoxybenzoate (33)

To a solution of methyl 4-hydroxy-3-methoxybenzoate **(13)** (15.5 g, 85 mmole) in DMF (30 mL), 1,2-dichloroethane (13.5 mL, 1702 mmole) and potassium carbonate (23.45 g, 170 mmole) were added. The reaction mixture was stirred at RT for 4 h and quenched into cold water (500 mL). Precipitate thus formed was filtered, washed with cold water and dried to afford methyl 4-(chloroethoxy)-3-methoxybenzoate **(33)** as white solid (13 g, 62.5 %), m.p. 65-67 °C.[189]

Anal.:

TLC (R_f): 0.67 (30 % Ethyl acetate in hexane)

IR: 1709, 1597, 1518, 1225, 1029, 762

Methyl 4-(3-chloropropoxy)-5-methoxy-2-nitrobenzoate (34)

Glacial acetic acid (30 mL) was taken in a 250 mL Rb flask, methyl 4-(3-chlooropropoxy)-3-methoxybenzoate **(32)** (10.0 g, 38.65 mmole) was added to it and cooled between 0-5 °C. Conc. nitric acid (40 mL) was added into the above solution drop-wise through pressure equalizing dropping funnel over a period of 1 h keeping the temperature below 15°C. The reaction mixture was further stirred at RT for 1h and quenched in cold water (500 mL). Precipitate thus formed was filtered, washed with sodium bicarbonate solution, dried and crystallized in methanol to afford methyl 4-(3-chlooropropoxy)-5-methoxy-2-nitrobenzoate **(34)** as yellow crystals (9.4 g, 81.50 %), m.p. 53-55 °C.

Anal.:

TLC (R_f): 0.43 (30 % Ethyl acetate in hexane)

IR: 2917, 1736, 1527, 1352, 1350, 1053, 754

Methyl 4-(2-chloroethoxy)-5-methoxy-2-nitrobenzoate (35)

Glacial acetic acid (50 mL) was taken in a 250 mL Rb flask, methyl 4-(2-chlooroethoxy)-3-methoxybenzoate **(33)** (12.5 g, 51.1 mmole) was added to it and cooled between 0-5 °C. Conc. nitric acid (50 mL) was added into the above solution drop-wise through pressure equalizing dropping funnel over a period of 1 h keeping the temperature below 15°C. The reaction mixture was further stirred at RT for 1h and quenched in cold water (500 mL). Precipitate thus formed was filtered, washed with sodium bicarbonate solution, dried and crystallized in methanol to afford methyl 4-(2-chlooroethoxy)-5-methoxy-2-nitrobenzoate **(35)** as yellow crystals (13.5 g, 91.10 %), m.p. 113-15 °C.

Anal.:

TLC (Rf): 0.6 (30 % Ethyl acetate in hexane)

IR: 1719, 1526, 1361, 1292, 1218, 1133, 875, 670

4-(3-Chloropropoxy)-5-methoxy-2-nitrobenzoic acid (36)

Methyl 4-(3-chloropropoxy)-5-methoxy-2-nitrobenzoate **(34)** (4.0 g, 13.8 mmole) was dissolved in methanol (20 mL). A solution of potassium hydroxide (1.16 g, 20.70 mmole) in water (5.0 mL) was added drop-wise to the above mixture over a period of 20 min. The reaction mixture was stirred at RT for another 3h and quenched in ice water (200 mL) and acidified with dil HCl. The precipitate so obtained was filtered and dried under vacuum to afford 4-(3-chlooropropoxy)-5-methoxy-2-nitrobenzoic acid as white solid (3.4 g, 90 %), m.p. 143-45 °C.

Anal.:

TLC (R_f): 0.43 (Ethyl acetate)

IR: 1707, 1578, 1526, 1464, 1416, 1339, 1269, 1042

4-(2-Chloroethoxy)-5-methoxy-2-nitrobenzoic acid (37)

Methyl 4-(2-chloroethoxy)-5-methoxy-2-nitrobenzoate **(35)** (0.5g, 1.72 mmole) was dissolved in methanol (2 mL). A solution of potassium hydroxide (0.15 g, 2.7 mmole) in water (1.0 mL) was added drop-wise to the above mixture over a period of 15 min. The reaction mixture was stirred at RT for another 3h and quenched in ice water (100 mL) and acidified with dil HCl. The precipitate so obtained was filtered and dried under vacuum to afford 4-(2-chlooroethoxy)-5-methoxy-2-nitrobenzoic acid **(37)** as white solid (0.4 g, 84.4%), m.p. 174-76°C.

Anal.:

TLC (Rf): 0.51 (30 % Ethyl acetate in hexane)

IR: 1700, 1581, 153, 1422, 1347, 1294, 1220, 1040

4-(3-Chloropropoxy)-5-methoxy-2-nitrobenzamide (38)

A solution of 4-(3-chloropropoxy)-5-methoxy-2-nitrobenzoic acid **(36)** (4.0 g, 13.8 mmole) in thionyl chloride (4.0 mL) was refluxed under anhydrous conditions for 3 h in an Rb flask (100 mL). Excess of thionyl chloride was recovered under reduced pressure and the residue was dissolved in THF (30 mL). The above freshly prepared acid chloride solution was cooled to 5 °C and an aqueous ammonia solution (4.0 mL) was added to it drop-wise over a period of 15 min maintaining temperature in between 5-10 °C. The reaction mixture

was stirred for further 4 h at RT and quenched in cold water (100 mL). The precipitate thus formed was filtered, washed with sodium bicarbonate solution and dried under vacuum to get 4-(3-chloropropoxy)-5-methoxy-2-nitrobenzamide **(38)** as white solid (3.3 g, 83 %), m.p. 178-80 °C.

Anal.:

TLC (R_f): 0.53 (Ethyl acetate)

IR: 3437, 1671, 1617, 1507, 1411, 1277, 1215, 1051

4-(2-Chloroethoxy)-5-methoxy-2-nitrobenzamide (39)

A solution of 4-(2-chloroethoxy)-5-methoxy-2-nitrobenzoic acid **(37)** (2.5 g, 9 mmole) in thionyl chloride (1.01 mL) was refluxed under anhydrous conditions for 3 h in an Rb flask (100 mL). Excess of thionyl chloride was recovered under reduced pressure and the residue was dissolved in THF (3 mL). The above freshly prepared acid chloride solution was cooled to 5 °C and an aqueous ammonia solution (4.0 mL) was added to it drop-wise over a period of 15 min maintaining temperature in between 5-10 °C. The reaction mixture was stirred for further 4 h at RT and quenched in cold water (100 mL). The precipitate thus formed was filtered, washed with sodium bicarbonate solution and dried under vacuum to get 4-(2-chloroethoxy)-5-methoxy-2-nitrobenzamide **(39)** as white solid (1.9 g, 76.9%), m.p. 223-25 °C.

Anal.:

TLC (Rf): 0.61 (Ethyl acetate)

IR: 3441, 3280, 1675, 1584, 1509, 1409, 1361, 1275, 1046

2-Amino-4(3-chloropropoxy)-5-methoxybenzamide (40)

A solution of 4-(3-chloropropoxy)-5-methoxy-2-nitrobenzamide **(38)** (4.0 g, 13.8 mmole) in methanol (200 mL) was refluxed in a two-neck Rb flask (500 mL). Iron powder (7.76 g, 138 mmole) and a solution of sodium chloride (3.0 g, 51 mmole) in water (8-10 mL) were added portion-wise (in 8-10 parts at an interval of every 45 min) to the refluxing solution. Refluxing was continued for further 3 h, filtered the reaction mixture while hot through the filtering aid (Hyflosupercel) and additionally washed with hot methanol (2 × 15 mL). The filtrate obtained was concentrated under reduced pressure to remove excess methanol and the concentrated reaction mixture was kept in refrigerator overnight. The precipitate thus formed was filtered and dried under reduced pressure to get the desired product **(40)** as light brown solid (2.9 g, 81.15 %), m.p. 115-17 °C.

Anal.:

TLC (R_f): 0.36 (20 % Ethyl acetate)

IR: 3371, 3271, 3180, 1655, 1613

^{1}H-NMR: 6.87 (s, 1H, Ar*H*), 6.2 (s, 1H, Ar*H*), 5.61 (bs, 4H, NH_2), 4.18-4.15 (t, 2H, CH_2), 3.79 (s, 3H, OCH_3), 3.79-3.77 (t, 2H, CH_2), 2.31-2.27 (m, 2H, CH_2)

2-Amino 4-(2-chloroethoxy)-5-methoxybenzamide (41)

A solution of 4-(2-chloroethoxy)-5-methoxy-2-nitrobenzamide **(39)** (5.0 g, 18.2 mmole) in methanol (400 mL) was refluxed in a two-neck Rb flask (500 mL). Iron powder (10.19 g, 182 mmole) and a solution of sodium chloride (3.19 g, 54.6 mmole) in water (8-10 mL) were added portion-wise (in 8-10 parts at an interval of every 45 min) to the refluxing solution. Refluxing was continued for further 3 h, filtered while hot through the filtering aid (Hyflosupercel) and additionally washed with hot methanol (2 × 15 mL). The filtrate was concentrated under reduced pressure to remove excess methanol and the concentrated reaction mixture was kept in refrigerator overnight. The precipitate thus formed was filtered and dried under reduced pressure to get the desired amine **(41)** as light brown solid (3.8 g, 85.4%), m.p. 133-35 °C.

Anal.:

TLC (R_f): 0.39 (Ethyl acetate)

IR: 3423, 3346, 1655, 1634, 1587, 1535, 1408, 1263, 1181

4-(3-Chloropropoxy)-5-methoxy-2[2-(1-naphthyl)acetamido]benzamide (42)

A solution of 2-(1-naphthyl)acetic acid (1.61 g, 8.7 mmole) and EDC. HCl (1.66 g, 8.7 mmole) in dichloromethane (30 mL) was stirred at temperature in between 5-10 °C for a time period of 20 min. To the above solution 2-amino-4-(3-chloropropoxy)-5-methoxybenzamide **(40)** (1.5g, 5.8 mmole) was added, stirring was continued at RT for 3 h and dichloromethane was removed under reduced pressure. The resulting semisolid was cooled, ice added and kept overnight in refrigerator. Solid thus formed was filtered and dried under vacuum to afford the diamide **(42)** as white solid (2.3 g, 93 %), m.p. 99-101 °C.

Anal.:

TLC (R_f): 0.72 (Ethyl acetate)

IR: 3393, 3154, 1659, 1616, 1523, 1386, 1210

4-(3-Chloropropoxy)-5-methoxy 2-(2,2-diphenylacetamido)benzamide (43)

A solution of 2-amino-4-(3-chloropropoxy)-5-methoxybenzamide **(40)** (2 g, 7.7 mmole) and EDC.HCl (2.21 g, 11.55 mmole) in dichloromethane (30 mL) was stirred at temperature in between 5-10 °C for a time period of 20 min. To the above solution 2,2-diphenylacetic acid (1.97 g, 9.2 mmole) was added, stirring was continued at RT for 3 h and dichloromethane was removed under reduced pressure. The resulting semisolid was cooled, ice added and kept overnight in refrigerator. Solid thus formed was filtered and dried under vacuum to afford the diamide **(43)** as white solid (3.2 g, 91.8 %), m.p.147-49 °C.

Anal.:

TLC (R_f): 0.5 (70 % Ethyl acetate in hexane)

IR: 3352, 3163, 1669, 1621, 1525, 1389, 1221, 1082, 742

2[2-(4-Biphenyl)acetamido]-4-(3-chloropropoxy)-5-methoxybenzamide (44)

A solution of 2-amino-4(3-chloropropoxy)-5-methoxybenzamide **(40)** (1.5 g, 5.8 mmole) and EDC.HCl (1.66 g, 8.7 mmole) in dichloromethane (30 mL) was stirred at temperature in between 5-10 °C for a time period of 20 min. To the above solution 2-(4-biphenyl)acetic acid (1.46 g, 8.7 mmole) was added, stirring was continued at RT for additional 2 h and dichloromethane was removed under reduced pressure. The resulting semisolid was cooled, ice added and kept overnight in refrigerator. Solid thus formed was filtered and dried under vacuum to afford the benzamide derivative **(44)** (2.5 g, 95.2 %), m.p. 136- 38 °C .

Anal.:

TLC (R_f): 0.46 (70 % Ethyl acetate in hexane)

IR: 3394, 3166, 1664, 1622, 1525, 1390, 1256, 1022, 751

4-(3-Chloropropoxy)-2-[2-(6-methoxy-2-naphthyl)acetamido]-5-methoxybenzamide (45)

A solution of 2-amino-4-(3-chloropropoxy)-5-methoxybenzamide **(40)** (1.5 g, 5.8 mmole) and EDC.HCl (1.67 g, 8.7 mmole) in dichloromethane (30 mL) was stirred at temperature in between 5-10 °C for a time period of 20 min. To the above solution 2-(6-methoxy-2-naphthyl)acetic acid (1.5 g, 6.9 mmole) was added, stirring continued at RT for additional 2h and dichloromethane was removed under reduced pressure. The resulting semisolid was cooled, ice added and the suspension was kept overnight in refrigerator. Solid

thus formed was filtered and dried under vacuum to afford the desired product **(45)** as white solid (2.4 g, 90.6 %), m.p. 146-48 °C.

Anal.:

TLC (R_f): 0.45 (70 % Ethyl acetate in hexane)

IR: 3389, 1653, 1625, 1527, 1388, 1285, 1189, 1025, 847

4-(3-Chloropropoxy)-5-methoxy 2-[2-(2-naphthyl)acetamido]benzamide (46)

A solution of 2-amino-4-(3-chloropropoxy)-5-methoxybenzamide **(40)** (1.5g, 5.8 mmole) and EDC.HCl (1.67 g, 8.7 mmole) in dichloromethane (30 mL) was stirred at temperature in between 5-10 °C for a time period of 20 min. To the above solution 2-(2-naphthyl)acetic acid (1.61 g, 8.7 mmole) was added, stirring continued at RT for 3 h and dichloromethane was removed under reduced pressure. The resulting semisolid was cooled, ice added and it was kept overnight in refrigerator. Solid thus formed was filtered and dried under vacuum to obtain the desired diamide **(46)** as white solid (2.3 g, 92.9 %), m.p. 161-63 °C.

Anal.:

TLC (R_f): 0.47 (70 % Ethyl acetate in hexane)

IR: 3380, 3178, 1660, 1621, 1526, 1387, 1214, 1024

2-[4-(Biphenyl)carboxamido]-4-(3-chloropropoxy)-5-methoxybenzamide (47)

A solution of 2-amino-4-(3-chloropropoxy)-5-methoxybenzamide **(40)** (1.5g, 5.8 mmole) and EDC.HCl (1.67 g, 8.7 mmole) in dichloromethane (30 mL) was stirred at temperature in between 5-10 °C for a time period of 20 min. To the above solution biphenyl-4-carboxylic acid (2 g, 8.17 mmole) was added, stirring continued at RT for 3 h and dichloromethane was removed under reduced pressure. The resulting semisolid was cooled, ice added and it was kept overnight in refrigerator. Solid thus formed was filtered and dried under vacuum to obtain the desired product **(47)** as white solid (2.2 g, 86.5 %), m.p. 181-83 °C.

Anal.:

TLC (R_f): 0.31(60 % Ethyl acetate in hexane)

IR: 3361, 1656, 1617, 1527, 1477, 1366, 1269, 1180, 742

7-(3-Chloropropoxy)-6-methoxy-2-[(1-naphthyl)methyl]quinazolin-4(3*H*)-one (48)

4-(3-Chloropropoxy)-5-methoxy-2[2-(1-naphthyl)acetamido]benzamide **(42)** (2.0 g, 4.68 mmole) was dissolved in methanol (30 mL) in a 100 mL Rb flask. A solution of potassium hydroxide (0.52 g, 9.3 mmole) in water (4 mL) was added drop-wise over a period of 20 min with stirring. The reaction mixture was further stirred at RT for 2 h, quenched in cold water and acidified with conc. hydrochloric acid to a *p*H in between 2-3. The precipitate thus formed was filtered and dried under vacuum to afford the quinazolinone **(48)** as white solid (1.6 g, 83.6 %), m.p. 151-53 °C.

Anal.:

TLC (R_f): 0.75 (Ethyl acetate)

IR: 3173, 1650, 1616, 1500, 1433, 1290, 1094, 800

Mass (m/z): 408 (M^+) & 410 ($M+2^+$)

2-Benzhydryl-7-(3-chloropropoxy)-6-methoxyquinazolin-4(3*H*)-2-one (49)

4-(3-Chloropropoxy)-5-methoxy 2-(2,2-diphenylacetamido)benzamide **(43)** (2.7 g, 5.9 mmole) was dissolved in methanol (40 mL) in a 100 mL Rb flask. A solution of potassium hydroxide (0.66 g, 11.8 mmole) in water (8-10 mL) was added drop-wise over a period of 20 min with stirring. The reaction mixture was stirred at RT for 2 h, quenched in cold water (250 mL) and acidified with conc. hydrochloric acid to a *p*H in between 2-3. The precipitate thus formed was filtered and dried under vacuum to afford the quinazoline derivative **(49)** as white solid (2.1 g, 82 %), m.p. 232-34 °C.

Anal.:

TLC (R_f): 0.47 (50 % Ethyl acetate in hexane)

IR: 1650, 1611, 1494, 1278, 1226, 1094, 870

Mass (m/z): 434 (M^+) & 436 ($M+2^+$)

7-(3-Chloropropoxy)-6-methoxy-2-[(4-biphenyl)methyl]quinazolin-4(3*H*)-one (50)

2[2-(4-Biphenyl)acetamido]-4-(3-chloropropoxy)-5-methoxybenzamide **(44)** (1.5 g, 3.3 mmole) was dissolved in methanol (30 mL) in a 100 mL Rb flask. A solution of potassium hydroxide (0.55 g, 9.9 mmole) in water (8-10 mL) was added drop-wise over a period of 20 min with stirring. The reaction mixture was stirred further at RT for 2 h, quenched in cold water (200 mL) and acidified with conc. hydrochloric acid to a *p*H in

between 2-3. The precipitate thus formed was filtered and dried under vacuum to afford the product **(50)** as white solid **(**1.2 g, 83.6 %**),** m.p. 226-28 °C.

Anal.:

TLC (R_f): 0.48 (70 % Ethyl acetate in hexane)

IR: 1663, 1612, 1492, 1268, 1012, 844, 760

Mass (m/z): 434 (M^+) & 436 $(M+2)^+$

7-(3-Chloropropoxy)-6-methoxy-2-[2-(6-methoxy-2-naphthyl)methyl]quinazolin-4-(3*H*)-one (51)

4-(3-Chloropropoxy)-2-[2-(6-methoxynaphthalen-2-yl)acetamido]-5-methoxy-benzamide **(45)** (1.5 g, 3.28 mmole) was dissolved in methanol (30 mL) in a 100 mL Rb flask. A solution of potassium hydroxide (0.55 g, 9.85 mmole) in water (8-10 mL) was added drop-wise over a period of 20 min with stirring. The reaction mixture was further stirred at RT for 2 h, quenched in cold water (200 mL) and acidified with conc. hydrochloric acid to a *p*H in between 2-3. The precipitate thus formed was filtered and dried under vacuum to obtain 7-(3-chloropropoxy)-6-methoxy-2-[2-(6-methoxy-2-naphthyl)methyl] quinazolin-4-(3*H*)-one **(51)** as white solid (1.2 g, 82.9 %), m.p. 229-31 °C.

Anal.:

TLC (R_f): 0.52 (60 % Ethyl acetate in hexane)

IR: 1663, 1609, 1496, 1266, 1225 & 860

Mass (m/z): 438 (M^+) & 440 $(M+2)^+$

7-(3-Chloropropoxy)-6-methoxy-2-[(2-naphthyl)methyl]quinazolin-4-(3*H*)-one (52)

4-(3-Chloropropoxy)-5-methoxy-2-[2-(2-naphthyl)acetamido]benzamide **(46)** (1.0 g, 2.34 mmole) was dissolved in methanol (20 mL) in a 100 mL Rb flask. A solution of potassium hydroxide (0.39 g, 7.0 mmole) in water (6- 8 mL) was added drop-wise over a period of 20 min with stirring. The reaction mixture was stirred further at RT for 2 h, quenched in cold water (200 mL) and acidified with conc. hydrochloric acid to a *p*H in between 2-3. The precipitate thus formed was filtered and dried under vacuum to obtain 7-(3-chloropropoxy)-6-methoxy-2-[(2-naphthyl)methyl]quinazolin-4-(3*H*)-one **(52)** as white solid (0.8 g, 83.6 %), m.p. 245-47 °C.

Anal.:

TLC (R_f): 0.49 (70 % Ethyl acetate in hexane)

IR: 1653, 1612, 1502, 1281, 1220, 1178, 854

Mass (m/z): 408 (M^+) & 410 $(M+2)^+$

7-(3-Chloropropoxy)-6-methoxy-2-(4-biphenyl]quinazolin-4(3*H*)-one (53)

2-[(4-Biphenyl)carboxamido]-4-(3-chloropropoxy)-5-methoxybenzamide **(47)** (1.0 g, 2.28 mmole) was dissolved in methanol (20 mL) in a 100 mL Rb flask. A solution of potassium hydroxide (0.38 g, 6.84 mmole) in water (6- 8 mL) was added drop-wise over a period of 20 min with stirring. The reaction mixture was further stirred at RT for 2 h, quenched in cold water (100 mL) and acidified with conc. hydrochloric acid to a *p*H in between 2-3. The precipitate thus formed was filtered and dried under vacuum to obtain 7-(3-chloropropoxy)-6-methoxy-2-(4-biphenyl]quinazolin-4(3*H*)-one **(53)** as white solid (0.75 g, 78.2 %), m.p. 244-46 °C.

Anal.:

TLC (R_f): 0.5 (50 % Ethyl acetate in hexane)

IR: 1656, 1613, 1491, 1277, 1100, 843, 731

Mass (m/z): 420 (M^+) & 422 $(M+2)^{+2}$

6-Methoxy-7-[3-(4-morpholino)propoxy]-2-[(1-naphthyl)methyl]quinazolin-4(3*H*)-one (54a)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(1-naphthyl)methyl]quinazolin-4(3*H*)-one **(48)** (0.2 g, 0.49 mmole) in DMF (3 mL), morpholine (0.12 mL, 1.46 mmole) and anhydrous potassium carbonate (0.2 g, 1.46 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (100 mL). The precipitate thus formed was filtered, dried under reduced pressure and further purified by washing with ethyl acetate to afford the desired product **(54a)** as white solid (0.13 g, 57.3 %)**,** m.p. 232-35 °C.

Anal.:

TLC (R_f): 0.68 (Methanol)

IR: 1651, 1610, 1499, 1390, 1175, 1109, 860, 785

^{1}H-NMR: 9.05 (bs, 1H, NH), 8.05 - 7.2 (m, 9H, ArH), 4.52 (s, 2H, CH_2), 4.27-4.25 (t, 2H, CH_2), 3.95 (s, 3H, OCH_3), 3.75-3.73 (t, 4H, CH_2), 2.56-2.54 (t, 2H, CH_2), 2.49 (bs, 4H, C*H*$_2$) & 2.14-2.10 (m, 2H, C*H*$_2$)

Mass (m/z): 459 (M^+)

7-[3-(4-Methylpiperazin-1-yl)propoxy]-6-methoxy-2-[(1-naphthyl)methyl]quinazolin-4(3*H*)-one (54b)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(1-naphth-yl)methyl]quinazolin-4(3*H*)-one **(48)** (0.2 g, 0.49 mmole) in DMF (3 mL), N-methylpiperazine (0.08 mL, 0.74 mmole)) and anhydrous potassium carbonate (0.2 g, 1.45 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (100 mL). The precipitate thus formed was filtered, dried under reduced pressure and further purified by washing with ethyl acetate to afford the desired derivative **(54b)** as white solid (0.12 g, 51.8 %), m.p. 205-07 °C.

Anal.:

TLC (R_f): 0.26 (Methanol)

IR: 1653, 1612, 1500, 1257, 1172, 1097

Mass (m/z): 472 (M^+)

6-Methoxy-2-[(1-naphthyl)methyl]-7-[3-(1-piperidinyl)propoxy]quinazolin-4(3*H*)-one (54c)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(1-naphthyl)methyl]quinazolin-4(3H)-one **(48)** (0.2 g, 0.49 mmole) in DMF (3 mL), piperidine (0.096 mL, 0.97 mmole) and anhydrous potassium carbonate (0.33 g, 2.4 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (100 mL). The precipitate thus formed was filtered, dried under reduced pressure and further purified by washing with ethyl acetate to afford the piperidine derivative **(54c)** as white solid (0.11 g, 49.4 %), m.p. 203-05 °C.

Anal.:

TLC (R_f): 0.33 (Methanol)

IR: 1658, 1611, 1501, 1269, 1095, 789

Mass (m/z): 457 (M^+)

6-Methoxy-2-[(1-naphthyl)methyl]-7-[3-(1,2,4-triazol-1-yl)propoxy]quinazolin-4(3*H*)-one (54d)

To a solution of [7-(3-chloropropoxy)-6-methoxy-2-[(1-naphthyl)methyl]quinazolin-4(3*H*)-one **(48)** (0.2 g, 0.49 mmole) in DMF (3 mL), 1,2,4-triazole (0.1 g, 1.46 mmole) and anhydrous potassium carbonate (0.2 g, 1.46 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (100 mL). The precipitate thus formed was filtered, dried under reduced pressure and further purified by washing with ethyl acetate to afford the desired thiazole derivative **(54d)** as white solid (0.14 g, 64.9 %), m.p. 209-11 °C.

Anal.:

TLC (R_f): 0.61 (25 % Methanol in ethyl acetate)

IR: 1670, 1612, 1502, 1273, 1096, 1006, 789

^{1}H-NMR: 9.02 (bs, 1H, b, N*H*), 8.25-7.12 (m, 11H, Ar*H*), 5.53 (s, 2H, CH_2), 4.53-4.50 (t, 2H, CH_2), 4.15-4.12 (t, 2H, CH_2), 3.97 (s, 3H, OCH_3) & 2.54-2.51 (2H, m, CH_2).

Mass (m/z): 441 (M^+)

6-Methoxy-2-[(1-naphthyl)methyl]-7-[3-(4*H*-1,3,4-triazol-4-ylamino)propoxy]quinazolin-4-(3*H*)-one (54e)

To a solution of [7-(3-chloropropoxy)-6-methoxy-2-(1-naphthyl)methyl]quinazolin-4(3*H*)-one **(48)** (0.2 g, 0.49 mmole) in DMF (3 mL), 4-amino-1,3,4-triazole (0.082, 0.97mmole) and anhydrous potassium carbonate (0.2 g, 1.46 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered, dried under reduced pressure and purified further by washing with ethyl acetate to afford the desired product **(54e)** as white solid (0.14 g, 62.7%) , m.p. 168-71 °C.

Anal.:

TLC (R_f): 0.32 (20 % Methanol in chloroform)

IR: 1659, 1614, 1501, 1269, 1095, 789

Mass (m/z): 443 (M^+)

6-Methoxy-2-[(1-naphthyl)methyl]-7-[3-(1-pyrrolidinyl)propoxy]quinazolin-4(3*H*)-one (54f)

To a solution of [7-(3-chloropropoxy)-6-methoxy-2-[(1-naphthyl)methyl]quinazolin-4(3*H*)-one **(48)** (0.2 g, 0.49 mmole) in DMF (3 mL), pyrrolidine (0.081 mL, 0.97 mmole) and anhydrous potassium carbonate (0.338 g, 2.45 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the pyrrolidino derivative **(54f)** as white solid (0.13 g, 60%) , m.p. 187-89 °C.

Anal.:

TLC (R_f): 0.18 (Methanol)

IR: 3410, 2955, 1660, 1615, 1499, 1271, 789

Mass (m/z): 444 (M^+)

6-Methoxy-2-[(1-naphthyl)methyl]-7-[3-(1-piperazinyl)propoxy]quinazolin-4(3*H*)-one (54g)

To a solution of [7-(3-chloropropoxy)-6-methoxy-2-[(1-naphthyl)methyl]quinazolin-4(3*H*)-one **(48)** (0.2 g, 0.49 mmole) in DMF (3 mL), piperazine (0.1 g, 1.46 mmole) and anhydrous potassium carbonate (0.2 g, 1.46 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired product **(54g)** as white solid (0.12 g, 53.57%), m.p. 182-84 °C.

Anal.:

TLC (R_f): 0.35 (20 % methanol in chloroform)

IR: 3415, 2949, 1660, 1611, 1497, 1268, 1095

2-Benzhydryl-6-methoxy-7-[3-(4-morpholino)propoxy]-quinazolin-4(3*H*)-one (55a)

To a solution of 2-benzhydryl-7-(3-chloropropoxy)-6-methoxyquinazolin-4(3*H*)-2-one **(49)** (0.2 g, 0.46 mmole) in DMF (3 mL), morpholine (0.06 mL, 0.69 mmole) and anhydrous potassium carbonate (0.2 g, 1.38 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the morpholino derivative **(55a)** as white solid **(**0.14, 62.6 %**),** m.p. 204- 06 °C.

Anal.:

TLC (R_f): 0.77 (Methanol)

IR: 1658, 1610, 1498, 1277, 1226, 1095, 869

^{1}H-NMR: 9.2 (bs ,1H, N*H*), 7.56-7.16 (m, 12H, Ar*H*), 5.60 (s,1H, C*H*), 4.22-4.20 (t, 2H, CH_2), 3.98 (s, 3H, OCH_3), 3.73-4.71 (t, 4H, CH_2), 2.58-2.54 (m, 4H, CH_2), 2.53-2.51 (t, 2H, CH_2) & 2.13-2.10 (m, 2H, CH_2)

Mass (m/z): 485 $(M)^+$

2-Benzhydryl-6-methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]quinazolin-4(3*H*)-one (55b)

To a solution of 2-benzhydryl-7-(3-chloropropoxy)-6-methoxyquinazolin-4(3*H*)-2-one **(49)** (0.2 g, 0.46 mmole) in DMF (3 mL), N-methylpiperazine (0.076 mL, 0.69 mmole) and anhydrous potassium carbonate (0.19 g, 1.38 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired product **(55b)** as white solid (0.15 g, 65.5 %), m.p. 210-12 °C.

Anal.:

TLC (R_f): 0.27 (Methanol)

IR: 1659, 1611, 1498, 1278, 1166, 699

Mass (m/z): 498 (M^+)

2-Benzhydryl-6-methoxy-7-[3-(1-piperidinyl)propoxy]quinazolin-4(3*H*)-one (55c)

To a solution of 2-benzhydryl-7-(3-chloropropoxy)-6-methoxyquinazolin-4(3*H*)-2-one **(49)** (0.2 g, 0.46 mmole) in DMF (3 mL), piperidine (0.09 mL, 0.92 mmole) and anhydrous potassium carbonate (0.317 g, 2.3 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired product **(55c)** as white solid (0.13 g, 58.5 %), m.p. 99-101°C.

Anal.:

TLC (R_f): 0.31(Methanol)

IR: 1657, 1611, 1498, 1278, 1227, 871, 699

Mass (m/z): 483 (M^+)

2-Benzhydryl-6-methoxy-7-[3-(1,2,4-triazol-1-yl)propox]quinazolin-4(3*H*)-one (55d)

To a solution of 2-benzhydryl-7-(3-chloropropoxy)-6-methoxyquinazolin-4(3*H*)-2-one **(49)** (0.2 g, 0.46 mmole) in DMF (3 mL), 1,2,4-triazole (0.06 g, 0.92 mmole) and anhydrous potassium carbonate (0.317 g, 2.3 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired triazolo derivative **(55d)** as white solid (0.15 g, 69.8 %), m.p. 165-67 °C.

Anal.:

TLC (R_f): 0.8 (Methanol)

IR: 1661, 1605, 1500, 1395, 1277

^{1}H-NMR: 9.00 (bs, 1H, N*H*), 7.94 -7.04 (m, 14H, Ar*H*), 5.55 (s, 1H, C*H*), 4.46-4.44 (t, 2H, CH_2), 4.07-4.04 (t, 2H, CH_2), 3.99 (s, 3H, OCH_3) & 2.48-2.44 (m, 2H, CH_2)

Mass (m/z): 467 (M^+)

2-Benzhydryl-6-methoxy-7-[3-(1,3,4-triazol-1-amino)propoxy]quinazolin-4(3*H*)-one (55e)

To a solution of 2-benzhydryl-7-(3-chloropropoxy)-6-methoxyquinazolin-4(3*H*)-2-one **(49)** (0.2 g, 0.46 mmole) in DMF (3 mL), 1-amino-1,3,4-triazole (0.077 g, 0.92 mmole) and anhydrous potassium carbonate (0.317 g, 2.3 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous condition for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired product **(55e)** as white solid (0.13 g, 58.6 %), m.p. 160-62 °C.

Anal.:

TLC (R_f): 0.48 (Methanol)

IR: 3419, 1661, 1613, 1499, 1277, 1169

2-Benzhydryl-6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]quinazolin-4(3*H*)-one (55f)

To a solution of 2-benzhydryl-7-(3-chloropropoxy)-6-methoxyquinazolin-4(3*H*)-2-one **(49)** (0.2 g, 0.46 mmole) in DMF (3 mL) , pyrrolidine (0.076 mL, 0.92 mmole) and anhydrous potassium carbonate (0.317 g, 2.3 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water

(50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired product **(55f)** as white solid (0.12 g, 55.6 %), m.p. 171-73 °C.

Anal.:

TLC (R_f): 0.2 (Methanol)

IR: 3027, 1657, 1611, 1499, 1279, 1227, 1096

Mass (m/z): 469 (M^+)

2-Benzhydryl-6-methoxy-7-[3-(1-piperazinyl)propoxy]quinazolin-4(3*H*)-one (55g)

To a solution of 2-benzhydryl-7-(3-chloropropoxy)-6-methoxyquinazolin-4(3*H*)-2-one **(49)** (0.2 g, 0.46 mmole) in DMF (3 mL), piperazine (0.099 g, 1.38 mmole) and anhydrous potassium carbonate (0.317 g, 2.3 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired product **(55g)** as white solid (0.13 g, 58.3 %), m.p. 174-77 °C.

Anal.:

TLC (R_f): 0.26 (20% Methanol in chloroform)

IR: 1661, 1605, 1510, 1390, 1275

^{1}H-NMR: 9.72 (bs, 1H, N*H*), 7.47- 7.06 (m, 12H, Ar*H*), 5.42 (s, 1H, C*H*), 4.17-4.15 (t, 2H, CH_2), 3.91-3.88 (t, 3H, OCH_3), 3.50 (bs, 1H, N*H*), 2.81-2.79 (m, 4H, CH_2) 2.48-2.46 (t, 2H, CH_2), 2.41-2.38 (t, 4H, CH_2) & 1.99-1.95 (m, 2H, CH_2)

Mass (m/z): 484 (M^+)

6-Methoxy-7-[3-(4-morpholino)propoxy]-2-[(4-biphenyl)methyl]quinazolin-4(3*H*)-one (56a)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(4-biphenyl)methyl]quinazolin-4(3*H*)-one **(50)** (0.2 g, 0.46 mmole) in DMF (3 mL), morpholine (0.08 mL, 0.92 mmole) and anhydrous potassium carbonate (0.317 g, 2.3 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the dessired product **(56a)** as white solid (0.14 g, 62.7 %), m.p. 240-42 °C.

Anal.:

TLC (R_f): 0.62 (Methanol)

IR: 1667, 1608, 1488, 1386, 1269

^{1}H-NMR: 12.20 (bs, 1H, N*H*), 7.57 - 7.12 (m, 11H, Ar*H*), 4.20-4.18 (t, 2H, CH_2), 3.99 (s, 2H, CH_2), 3.66 (s, 3H, OCH_3), 3.65-3.63 (m, 2H, CH_2), 2.59-2.57 (m, 2H, CH_2), 2.54-2.51 (t, 2H, CH_2), 2.45-2.43 (m, 4H, CH_2) & 2.06-2.04 (m, 2H, CH_2)

Mass (m/z): 485 (M^+)

6-Methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]-[2-(4-biphenyl)methyl]quinazolin-4(3*H*)-one (56b)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(4-biphenyl)methyl]quinazolin-4(3*H*)-one **(50)** (0.2 g, 0.46 mmole) in DMF (3 mL), N-methylpiperazine (0.099 mL, 0.92 mmole) and anhydrous potassium carbonate (0.317 g, 2.3 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired product **(56b)** as white solid (0.15 g, 65.47 %), m.p. 212-14 °C.

Anal.:

TLC (R_f): 0.29 (20 % chloroform in methanol)

IR: 3155, 1666, 1606, 1491, 1393, 1268

6-Methoxy-2-[(4-biphenyl)methyl]-7-[3-(1-piperidinyl)propoxy]quinazolin-4(3*H*)-one (56c)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(4-biphenyl)methyl]quinazolin-4(3*H*)-one **(50)** (0.2 g, 0.46 mmole) in DMF (3 mL), piperidine (0.09 mL, 0.92 mmole) and anhydrous potassium carbonate (0.317 g, 2.3 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired product **(56c)** as white solid (0.14 g, 63 %), m.p. 204-06 °C.

Anal.:

TLC (R_f): 0.24 (20 % chloroform in methanol)

IR: 3419, 2931, 1666, 1609, 1487, 1388, 1096

6-Methoxy-2-[(4-biphenyl)methyl]-7-[3-(1,2,4-triazol-1-yl)propoxy])quinazolin-4(3*H*)-one (56d)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(4-biphenyl)methyl]quinazolin-4(3*H*)-one **(50)** (0.2 g, 0.46 mmole) in DMF (3 mL), 1,2,4-triazole (0.06 g, 0.92 mmole) and anhydrous potassium carbonate (0.317 g, 2.3 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired triazole derivative **(56d)** as white solid (0.15 g, 69.8 %), m.p. 237-39 °C.

Anal.:

TLC (R_f): 0.76 (Methanol)

IR: 3420, 1667, 1610, 1488, 1390, 1270, 867

6-Methoxy-2-[(4-biphenyl)methyl]-7-[3-(1,3,4-triazol-1-ylamino)propoxy]quinazolin-4(3*H*)-one (56e)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(biphenyl-4-yl)methyl] quinazolin-4(3*H*)-one **(50)** (0.2 g, 0.46 mmole) in DMF (3 mL), 1-amino-1,3,4-triazole (0.077 g, 0.92 mmole) and anhydrous potassium carbonate (0.317 g, 2.3 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired trizolylamino derivative **(56e)** as white solid (0.13 g, 58.6 %), m.p. 217-19 °C.

Anal.:

TLC (R_f): 0.57 (Methanol)

IR: 3384, 1667, 1611, 1469, 1389, 1174

6-Methoxy-2-[(4-biphenyl)methyl]-7-[3-(1-pyrrolidinyl)propoxy]quinazolin-4(3*H*)-one (56f)

To a solution of 7-(3-chloropopoxy)-6-methoxy-2-[(4-biphenyl)methyl]quinazolin-4(3*H*)-one **(50)** (0.2 g, 0.46 mmole) in DMF (3 mL), pyrrolidine (0.076 mL, 0.92 mmole) and anhydrous potassium carbonate (0.317 g, 2.3 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired product **(56f)** as white solid (0.12 g, 55.6 %), m.p. 210-13 °C.

Anal.:

TLC (R_f): 0.25 (40% Chloroform in methanol)

IR: 3384, 2956, 1666, 1609, 1487, 1389, 1267, 901

6-Methoxy-2-[(4-biphenyl)methyl]-7-[3-(1-piperazinyl)propoxy]quinazolin-4(3*H*)-one (56g)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(4-biphenyl)methyl]quinazolin-4(3*H*)-one **(50)** (0.2 g, 0.46 mmole) in DMF (3 mL), piperazine (0.099 g, 1.38 mmole) and anhydrous potassium carbonate (0.317 g, 2.3 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired product **(56g)** as white solid (0.15 g, 67.3 %), m.p. 183-86 °C.

Anal.:

TLC (R_f): 0.25 (40% Chloroform in methanol)

IR: 1667, 1609, 1487, 1390, 1270

^{1}H-NMR: 8.61 (bs, 1H, N*H*), 7.82-7.18 (m, 11H, Ar*H*), 4.25-2.23 (t, 2H, CH_2), 4.12 (s, 2H, CH_2), 3.98 (s, 3H, OCH_3), 2.94-2.92 (m, 4H, CH_2), 2.56-2.53 (t, 2H, CH_2), 2.49-2.47 (m, 4H, CH_2) & 2.15-2.11 (m, 2H, CH_2).

Mass (m/z): 484 (M^+)

6-Methoxy-2-[(6-methoxy-2-naphthyl)methyl]-7-[3-[4-morpholino)propoxy]quinazolin-4(3*H*)-one (57a)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(6-methoxy-2-naphthyl)methyl] quinazolin-4-(3*H*)-one **(51)** (0.2 g, 0.456 mmole) in DMF (3 mL), morpholine (0.079 mL, 0.912 mmole) and anhydrous potassium carbonate (0.314 g, 2.28 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired morpholino derivative **(57a)** as white solid (0.13 g, 58.5 %), m.p. 161- 63 °C.

Anal.:

TLC (R_f): 0.64 (Methanol)

IR: 3421, 3166, 1666, 1613, 1497, 1394, 1266, 1171

7-[3-(4-Methylpiperazin-1-yl)propoxy]-6-methoxy-2-[(6-methoxy-2-naphthyl)methyl] quinazolin-4(3*H*)-one (57b)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(6-methoxy-2-naphthyl)methyl] quinazolin-4-(3*H*)-one **(51)** (0.2 g, 0.456 mmole) in DMF (3 mL), N-methylpiperazine (0.0913 mL, 0.912 mmole) and anhydrous potassium carbonate (0.314 g, 2.28 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered, dried under reduced pressure to afford the desired product **(57b)** as white solid (0.14 g, 61.1 %), m.p. 211- 14 °C.

Anal.:

TLC (R_f): 0.38 (20% Chloroform in ethyl acetate)

IR: 3409, 3152, 1664, 1609, 1494, 1393, 1265, 1168

6-Methoxy-2-[(6-methoxy-2-naphthyl)methyl]-7-[3-(1-piperidinyl)propoxy]quinazolin-4(3*H*)-one (57c)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(6-methoxy-2-naphthyl)methyl] quinazolin-4-(3*H*)-one **(51)** (0.2 g, 0.456 mmole) in DMF (3 mL), piperidine (0.089 mL, 0.912 mmole) and anhydrous potassium carbonate (0.314 g, 2.28 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired piperidine derivative **(57c)** as white solid (0.12 g, 54 %), m.p. 212-14 °C.

Anal.:

TLC (R_f): 0.29 (20% Methanol in chloroform)

IR: 3416, 1664, 1611, 1494, 1397, 126

6-Methoxy-2-[(6-methoxy-2-naphthyl)methyl]-7-(3-(1,2,4-triazol-1-yl)propoxy) quinazolin-4(3*H*)-one (57d)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(6-methoxy-2-naphthyl)methyl] quinazolin-4-(3*H*)-one **(51)** (0.2 g, 0.456 mmole) in DMF (3 mL), 1,2,4-triazole (0.06 g, 0.912 mmole) and anhydrous potassium carbonate (0.314 g, 2.28 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under

reduced pressure to afford the desired triazole derivative **(57d)** as white solid (0.15 g, 69.8 %)**,** m.p. 208-10 °C.

Anal.:

TLC (R_f): 0.63 (Methanol)

IR: 1667, 1609, 1495, 1397, 1263, 859

^{1}H-NMR: 12.24 (bs, 1H, N*H*), 8.40-7.05 (m, 10H, Ar*H*), 4.41-2.39 (t, 2H, CH_2), 4.13 (s, 2H, CH_2), 3.89 (s, 3H, OCH_3), 3.87 (s, 3H, OCH_3) 2.61-2.59 (m, 2H, CH_2) & 2.37-2.35 (m, 2H, CH_2)

Mass (m/z): 471 (M^+)

6-Methoxy-2-[(6-methoxy-2-naphthyl)methyl]-7-[3-(1-pyrrolidinyl)propoxy]quinazolin-4-(3*H*)-one (57f)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(6-methoxy-2-naphthyl)methyl] quinazolin-4-(3*H*)-one **(51)** (0.2 g, 0.456 mmole) in DMF (3 mL), pyrrolidine (0.076 mL, 0.912 mmole) and anhydrous potassium carbonate (0.314 g, 2.28 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired product **(57f)** as white solid (0.12 g, 55.6 %)**,** m.p. 239-42 °C.

Anal.:

TLC (R_f): 0.29 (40 % Methanol in chloroform)

IR: 3418, 3154, 1664, 1611, 1494, 1396, 1264

6-Methoxy-2-[(6-methoxy-2-naphthyl)methyl]-7-[3-(1-piperazinyl)propoxy)quinazolin-4(3*H*)-one (57g)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(6-methoxy-2-naphthyl)methyl] quinazolin-4-(3*H*)-one **(51)** (0.2 g, 0.456 mmole) in DMF (3 mL), piperazine (0.065 g, 0.912 mmole) and anhydrous potassium carbonate (0.314 g, 2.28 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired product **(57g)** as white solid **(**0.14 g, 62.9 %**)**, m.p. 195 °C (decom).

Anal.:

TLC (R_f): 0.47 (20 % Chloroform in methanol)

IR: 1663, 1607, 1494, 1263, 1223, 863

^{1}H-NMR: 10.81, 8.52 (bs, 1H, N*H*), 7.72-7.13 (m, 8H, Ar*H*), 4.24-2.26 (t, 2H, CH_2) 4.23 (s, 2H, CH_2), 3.98 (s, 3H, OCH_3), 3.91 (s, 3H, OCH_3), 2.93-2.89 (m, 4H, CH_2), 2.55-2.53 (t, 2H, CH_2), 2.47-2.43 (m, 4H, CH_2) & 2.13-2.10 (m, 2H, CH_2)

Mass (m/z): 488 (M^+)

6-Methoxy-7-[3-(4-morphpolino)propoxy]-2-[(2-naphthyl)methyl]quinazolin-4-(3*H*)-one (58a)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(2-naphthyl)methyl]quinazolin-4-(3*H*)-one **(52)** (0.2 g, 0.489 mmole) in DMF (3 mL), morpholine (0.08 mL, 0.979 mmole) and anhydrous potassium carbonate (0.337 g, 2.44 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired morpholine derivative **(58a)** as white solid (0.13 g, 57.9 %), m.p. 213-16 °C.

Anal.:

TLC (R_f): 0.67 (Methanol)

IR: 1666, 1611, 1497, 1263, 1174, 859

^{1}H-NMR: 9.80 (bs, 1H, N*H*), 7.83- 7.18 (m, 9H, Ar*H*), 4.36-2.34 (t, 2H, CH_2), 4.31 (s, 2H, CH_2), 3.98 (s, 3H, OCH_3), 3.73-2.70 (m, 4H, CH_2), 2.56-2.54 (t, 2H, CH_2), 2.43-2.41 (m, 4H, CH_2) & 2.09-2.07 (m, 2H, CH_2)

Mass (m/z): 459 (M^+)

6-Methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]-2-[(2-naphthyl)methyl]quinazolin-4-(3*H*)-one (58b)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(2-naphthyl)methyl]quinazolin-4-(3*H*)-one **(52)** (0.2 g, 0.489 mmole) in DMF (3 mL), N-methylpiperazine (0.097 mL, 0.979 mmole) and anhydrous potassium carbonate (0.337 g, 2.44 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired product **(58b)** as white solid (0.14 g, 60.6 %), m.p. 194-97 °C.

Anal.:

TLC (R_f): 0.28 (50 % Chloroform in methanol)

IR: 1665, 1610, 1498, 1265, 1150 & 880

^{1}H-NMR: 10.2 (bs, 1H, N*H*), 7.86 -7.15 (m, 9H, Ar*H*), 4.47-4.45 (t, 2H, CH_2), 4.37 (s, 2H, CH_2), 4.25-4.23 (t, 2H, CH_2), 3.97 (s, 3H, OCH_3), 3.94-3.91 (m, 2H, CH_2), 2.58-2.54 (m, 6H, CH_2), 2.26 (s, 3H, NCH_3), 2.16-2.12 (m, 2H, CH_2)

Mass (m/z): 472 (M^+)

6-Methoxy-2-[(2-naphthyl)methyl]-7-[3-(1-piperidinyl)propoxy]quinazolin-4-(3*H*)-one (58c)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(2-naphthyl)methyl]quinazolin-4-(3*H*)-one **(52)** (0.2 g, 0.489 mmole) in DMF (3 mL), piperidine (0.096 mL, 0.979 mmole) and anhydrous potassium carbonate (0.337 g, 2.44 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired piperidine derivative **(58c)** (0.12 g, 53.7 %), m.p. 207-10 °C.

Anal.:

TLC (R_f): 0.39 (Methanol)

IR: 1666, 1611, 1498, 1394, 1263, 859

^{1}H-NMR: 10.13 (bs, 1H, N*H*), 7.77-7.10 (m, 9H, Ar*H*), 4.19-4.17 (t, 2H, CH_2), 4.16 (s, 2H, CH_2), 3.87-3.85 (m, 2H, CH_2), 3.83 (s, 3H, OCH_3), 3.66-3.63 (m, 4H, CH_2), 2.48-2.46 (m, 4H, CH_2), 2.37-3.34 (m, 2H, CH_2) & 2.04-2.02 (m, 2H, CH_2)

Mass (m/z): 457 (M^+)

6-Methoxy-2-[(2-naphthyl)methyl]-7-[3-(1,2,4,-triazol-1-yl)propoxy]quinazolin-4-(3*H*)-one (58d)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(2-naphthyl)methyl]quinazolin-4-(3*H*)-one **(52)** (0.2 g, 0.489 mmole) in DMF (3 mL), 1,2,4- triazole (0.067 g, 0.979 mmole) and anhydrous potassium carbonate (0.337 g, 2.44 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired triazole derivative **(58d)** as white solid (0.15 g, 69.5 %), m.p. 269-72 °C.

Anal.:

TLC (R_f): 0.57 (50 % Methanol in ethyl acetate)

IR: 3116, 1667, 1610, 1496, 1390, 1265, 1142

^{1}H-NMR: 8.96 (bs, 1H, N*H*), 7.99-7.12 (m, 11H, Ar*H*), 4.52-4.50 (2H, t, CH_{2e}), 4.15-4.13 (2H, t, CH_{2j}), 4.06 (3H, s, OCH_{3f}), 2.53-2.51 (2H, t, CH_2c) & 1.72 (2H, s, CH_{2d})

Mass (m/z): 441 (M^+)

6-Methoxy-2-[(2-naphthyl)methyl]-7-[3-(1,3,4-triazol-1-ylamino)propoxy]-quinazolin-4-(3*H*)-one (58e)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(2-naphthyl)methyl]quinazolin-4-(3*H*)-one **(52)** (0.2 g, 0.456 mmole) in DMF (3 mL), 1-amino-1,3,4-triazole (0.082 g, 0.979mmole) and anhydrous potassium carbonate (0.337 g, 2.44 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired product **(58e)** as white solid (0.12 g, 53.58 %), m.p. 199-201 °C.

Anal.:

TLC (R_f): 0.56 (80 % Methanol in ethyl acetate)

IR: 3130, 1667, 1610, 1497, 1396, 1265, 1094

Mass (m/z): 456 (M^+)

6-Methoxy-2-[(2-naphthyl)methyl]-7-[3-(1-pyrrolidinyl)propoxy]quinazolin-4-(3*H*)-one (58f)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(2-naphthyl)methyl]quinazolin-4-(3*H*)-one **(52)** (0.2 g, 0.489 mmole) in DMF (3 mL), pyrrolidine (0.082 mL, 0.979 mmole) and anhydrous potassium carbonate (0.337 g, 2.44 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired pyrrolidine derivative **(58f)** as white solid (0.11 g, 50.7 %), m.p. 218-21 °C.

Anal.:

TLC (R_f): 0.26 (10 % Chloroform in methanol)

IR: 1662, 1611, 1495, 1392, 1260, 1094, 814, 711

Mass (m/z): 443 (M^+)

6-Methoxy-2-[(2-naphthyl)methyl]-7-[3-(1-piperazinyl)propoxy]quinazolin-4-(3*H*)-one (58g)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-[(2-naphthyl)methyl]quinazolin-4-(3*H*)-one **(52)** (0.2 g, 0.489 mmole) in DMF (3 mL), piperazine (0.14 g, 1.95 mmole) and anhydrous potassium carbonate (0.14 g, 2.9 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 Ml). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired piperazine derivative **(58g)** as white solid (0.13 g, 58 %), m.p.205-07 °C.

Anal.:

TLC (R_f): 0.29 (20 % Methanol in chloroform)

IR: 3157, 1667, 1610, 1495, 1434, 1263, 1094

2-(4-Biphenyl)-6-methoxy-7-[3-(4-morpholino)propoxy]quinazolin-4(3*H*)-one (59a)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-(4-biphenyl)quinazolin-4(3*H*)-one **(53)** (0.2 g, 0.475 mmole) in DMF (3 mL), morpholine (0.082 mL, 0.951mmole) and anhydrous potassium carbonate (0.327 g, 2.37 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired product **(59a)** as white solid (0.14 g, 62.5 %), m.p. 221- 24 °C.

Anal.:

TLC (R_f): 0.67 (Methanol)

IR: 1667, 1609, 1494, 1393, 845, 711

^{1}H-NMR: 11.1(bs, 1H, N*H*), 8.28-7.25 (m, 11H, Ar*H*), 4.42-4.40 (t, 2H, CH_2), 4.05 (s, 3H, CH_3), 2.58-2.56 (t, 2H, CH_2), 3.83-3.79 (m, 4H, CH_2), 2.54-2.50 (m, 4H, CH_2) & 2.14-2.12 (m, 2H, CH_2).

Mass (m/z): 471 (M^+)

2-(4-Biphenyl)-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]quinazolin-4(3*H*)-one (59b)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-(4-biphenyl)quinazolin-4(3*H*)-one **(53)** (0.2 g, 0.475 mmole) in DMF (3 mL), N-methylpiperazine (0.095 mL, 0.951 mmole) and anhydrous potassium carbonate (0.327 g, 2.37 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired methylpiperazine derivative **(59b)** as white solid (0.15 g, 65.1 %), m.p. 214-16 °C.

Anal.:

TLC (R_f):	0.23 (Methanol)
IR:	1667, 1609, 1495, 1277, 1097, 846
^{1}H-NMR:	10.08 (bs, 1H, N*H*), 8.21- 7.26 (m, 11H, Ar*H*), 4.51-2.49 (t, 2H, CH_2), 3.95 (s, 3H, OCH_3), 2.57-2.55 (t, 4H, CH_2), 2.29 (s, 3H, CH_3), 2.51-2.47 (m, 6H, CH_2) & 2.32-2.30 (m, 2H, CH_2)
Mass (m/z):	484 (M^+)

2-(4-Biphenyl)-6-methoxy-7-[3-(1-piperidinyl)propoxy]quinazolin-4(3*H*)-one (59c)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-(4-biphenyl)quinazolin-4(3*H*)-one **(53)** (0.2 g, 0.475 mmole) in DMF (3 mL), piperidine (0.093 mL, 0.951 mmole) and anhydrous potassium carbonate (0.327 g, 2.37 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 24 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the piperidine derivative **(59c)** as white solid (0.14 g, 62.8 %), m.p. 211-14 °C.

Anal.:

TLC (R_f):	0.21 (Methanol)
IR:	3162, 2930, 1656, 1609, 1496, 1277, 1098

2-(4-Biphenyl)-6-methoxy-7-[3-(1,2,4,-triazol-1-yl)propoxy]quinazolin-4(3*H*)-one (59d)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-(4-biphenyl)quinazolin-4(3*H*)-one **(53)** (0.2 g, 0.475 mmole) in DMF (3 mL), 1,2,4-triazole (0.065 g, 0.951 mmole) and anhydrous potassium carbonate (0.327 g, 2.37 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 5 h and quenched into cold water

(50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the triazole derivativ e **(59d)** as white solid (0.16 g, 74.3 %), m.p. 224-27 °C.

Anal.:

TLC (R_f): 0.72 (Methanol)

IR: 3122, 1656, 1610, 1496, 1276, 1202, 1097

Mass (m/z): 453 (M^+)

2-(4-Biphenyl)-6-methoxy-7-[3-(1-piperazinyl)propoxy]quinazolin-4(3*H*)-one (59g)

To a solution of 7-(3-chloropropoxy)-6-methoxy-2-(4-biphenyl)quinazolin-4(3*H*)-one **(53)** (0.2 g, 0.475 mmole) in DMF (3 mL), piperazine (0.068 g, 0.975 mmole) and anhydrous potassium carbonate (0.327 g, 2.37 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 16 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired piperazine derivative **(59g)** as white solid (0.14 g, 62.7 %), m.p. 237-40 °C.

Anal.:

TLC (R_f): 0.29 (20 % Methanol in chloroform)

IR: 3160, 2940, 1659, 1607, 1494, 1274, 1095

4-(2-Chloroethoxy)-5-methoxy-2-[2-(1-naphthyl)acetamido]benzamide (60)

A solution of 2-(1-naphthyl)acetic acid (1.14 g, 6.13 mmole) and EDC. HCl (1.17 g, 6.13 mmole) in chloroform (10 mL) was stirred at temperature in between 5-10 °C for a time period of 20 min. To the above solution 2-amino-4-(3-chloroethoxy)-5-methoxybenzamide **(41)** (1.0 g, 4.08 mmole) was added, stirring continued at RT for 3 h and chloroform recovered under reduced pressure. The resulting semisolid was cooled, ice added into it and was kept overnight in refrigerator. Solid thus formed was filtered and dried under vacuum to afford the desired diamide **(60)** as white solid (1.95 g, 89.12 %), m.p. 173-76 °C.

Anal.:

TLC (R_f): 0.47 (70 % Ethyl acetate in Hexane)

IR: 3395, 1659, 1617, 1523, 1395, 1265

4-(2-Chloroethoxy)-5-methoxy-2-(2,2-diphenylacetamido)benzamide (61)

A solution of 2,2-diphenylacetic acid (2.6 g, 12.2 mmole) and EDC. HCl (2.35 g, 12.2 mmole) in chloroform (10 mL) was stirred at temperature in between 5-10 °C for a time period of 20 min. To the above solution 2-amino-4-(3-chloroethoxy)-5-methoxybenzamide

(41) (2 g, 8.17 mmole) was added, stirring was continued at RT for 3 h and chloroform was recovered under reduced pressure. The resulting semisolid was cooled, ice added into it and was kept overnight in refrigerator. Solid thus formed was filtered and dried under vacuum to the required product **(61)** as white solid (3.4 g, 94.9 %), m.p.160-62 °C.

Anal.:

TLC (R_f): 0.54 (70 % Ethyl acetate in hexane)

IR: 3393, 1664, 1620, 1525, 1391, 1215, 1085, 702

4-(2-Chloroethoxy)-5-methoxy-2-[2-(6-methoxy-2-naphthyl)acetamido]benzamide (62)

A solution of 2-(6-methoxy-2-naphthyl)acetic acid (0.656 g, 3.06 mmole) and EDC. HCl (0.58 g, 3.06 mmole) in chloroform (10 mL) was stirred at temperature in between 5-10 °C for a time period of 20 min. To the above solution 2-amino-4-(3-chloroethoxy)-5-methoxybenzamide **(41)** (0.5 g, 2.04 mmole) was added, stirring was continued at RT for 3 h and chloroform was recovered under reduced pressure. The resulting semisolid was cooled, ice added into it and was kept overnight in refrigerator. Solid thus formed was filtered and dried under vacuum to afford the desired derivative **(62)** as white solid (0.72 g, 79.7 %), m.p. 151-53 °C.

Anal.:

TLC (R_f): 0.72 (Ethyl acetate)

IR: 3389, 1662, 1617, 1526, 1388, 1277

2-[2-(4-Biphenyl)acetamido]-4-(2-chloroethoxy)-5-methoxybenzamide (63)

A solution of 2-(4-biphenyl)acetic acid (2.57 g, 12.26 mmole) and EDC. HCl (2.35 g, 12.27 mmole) in chloroform (20 mL) was stirred at temperature in between 5-10 °C for a time period of 20 min. To the above solution 2-amino-4-(3-chloroethoxy)-5-methoxybenzamide **(41)** (2 g, 8.17 mmole) was added, stirring was continued at RT for 3 h and chloroform was recovered under reduced pressure. The resulting semisolid was cooled, ice added into it and it was kept overnight in refrigerator. Solid thus formed was filtered and dried under vacuum to afford the required derivative **(63)** as white solid (3.4 g, 95.3 %), m.p. 146-49 °C.

Anal.:

TLC (R_f): 0.72 (Ethyl acetate)

IR: 3369, 1658, 1612, 1524, 1388, 1275, 1017

7-(2-Chloroethoxy)-6-methoxy-2-[(1-naphthyl)methyl]quinazolin-4(3*H*)-one (64)

4-(2-Chloroethoxy)-5-methoxy-2-[2-(1-naphthyl)acetamido]benzamide **(60)** (1.5 g, 3.63 mmole) was dissolved in methanol (10 mL) in a 100 mL Rb flask. A solution of potassium hydroxide (0.61 g, 10 mmole) in water (4 mL) was added drop-wise over a period of 20 min with stirring. The reaction mixture was further stirred at RT for 20 min and quenched in cold water (200 mL). The precipitate thus formed was filtered and dried under vacuum to afford the required product **(64)** as white solid (1.1 g, 76.8 %), m.p. 260-62 °C.

Anal.:

TLC (R_f): 0.58 (Ethyl acetate)

IR: 1650, 1608, 1149, 1394, 1259, 1173, 781

Mass (m/z): 394 (M^+) & 396 ($M+2^+$)

2-Benzhydryl-7-(2-chloroethoxy)-6-methoxyquinazolin-4(3*H*)-one (65)

4-(2-Chloroethoxy)-5-methoxy-2-(2,2-diphenylacetamido)benzamide **(61)** (3.4 g, 7.75 mmole) was dissolved in methanol (20 mL) in a 100 mL Rb flask. A solution of potassium hydroxide (1.3 g, 23 mmole) in water (8-10 mL) was added drop-wise over a period of 20 min with stirring. The reaction mixture was further stirred at RT for 20 min and quenched in cold water (250 mL). The precipitate thus formed was filtered and dried under vacuum to afford the desired product **(65)** as white solid (3.15 g, 96.6 %), m.p. 215-18 °C.

Anal.:

TLC (R_f): 0.75 (80 % Ethyl acetate in hexane)

IR: 1658, 1610, 1497, 1394, 1277, 1168, 702

Mass (m/z): 420 (M^+) & 422 ($M+2^+$)

7-(2-Chloroethoxy)-6-methoxy-2-[(6-methoxy-2-naphthyl)methyl]quinazolin-4-(3*H*)-one (66)

4-(2-Chloroethoxy)-5-methoxy-2-[2-(6-methoxy-2-naphthyl)acetamido]benzamide **(62)** (0.7 g, 1.58 mmole) was dissolved in methanol (10 mL) in a 100 mL Rb flask. A solution of potassium hydroxide (0.26 g, 4.74 mmole) in water (8-10 mL) was added drop-wise over a period of 20 min with stirring. The reaction mixture was further stirred at RT for 20 min and quenched in cold water (200 mL). The precipitate thus formed was filtered and dried under vacuum to afford the required quinazoline derivative **(66)** as white solid (0.58 g, 86.07 %), m.p.248-51 °C.

Anal.:

TLC (R_f): 0.33 (50 % Ethyl acetate in hexane)

IR: 1660, 1608, 1494, 1438, 1391, 1264

Mass (m/z): 424 (M^+) & 426 ($M+2^+$)

7-(2-Chloroethoxy)-6-methoxy-2-[(4-biphenyl)methyl]quinazolin-4(3*H*)-one (67)

2-[2-(4-Biphenyl)acetamido]-4-(2-chloroethoxy)-5-methoxybenzamide **(63)** (3 g, 6.84 mmole) was dissolved in methanol (20 mL) in a 100 mL Rb flask. A solution of potassium hydroxide (1.5 g, 20.5 mmole) in water (8-10 mL) was added drop-wise over a period of 20 min with stirring. The reaction mixture was further stirred at RT for 20 min and quenched in cold water (250 mL). The precipitate thus formed was filtered and dried under vacuum to afford the desired quinazoline derivative **(67)** as white solid (2.6 g, 90.3 %)**,** m.p. 237-39 °C.

Anal.:

TLC (R_f): 0.63 (70 % Ethyl acetate in hexane)

IR: 1710, 1657, 1610, 1506, 1398, 1290, 1079, 751

Mass (m/z): 406 (M^+) & 408 ($M+2^+$)

6-Methoxy-7-[(4-morpholino)ethoxy]-2-[(1-naphthyl)methyl]quinazolin-4(3*H*)-one (68a)

To a solution of 7-(2-chloroethoxy)-6-methoxy-2-[(1-naphthyl)methyl]quinazolin-4(3*H*)-one **(64)** (0.2 g, 0.506 mmole) in DMF (3 mL), morpholine (0.088 mL, 1.01 mmole) and anhydrous potassium carbonate (0.349 g, 2.53 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired product **(68a)** as white solid (0.14 g, 62.17 %)**,** m.p. 227-29 °C.

Anal.:

TLC (R_f): 0.41 (20 % Methanol in ethyl acetate)

IR: 3133, 1663, 1612, 1399

^{1}H-NMR: 9.81(bs, 1H, N*H*), 8.28- 7.42 (m, 9H, Ar*H*), 4.38 (s, 2H, CH_2), 4.18-4.16 (t, 2H, CH_2), 3.87 (s, 3H, OCH_3), 3.62-3.59 (t, 4H, CH_2), 2.77-2.75 (t, 2H, CH_2) & 2.53-2.51 (m, 4H, CH_2)

6-Methoxy-7-[2-(4-methyl-1-piperazinyl)ethoxy]-2-[(1-naphthyl)methyl]quinazolin-4(3*H*)-one (68b)

To a solution of 7-(2-chloroethoxy)-6-methoxy-2-[(1-naphthyl)methyl]quinazolin-4(3*H*)-one **(64)** (0.2 g, 0.506 mmole) in DMF (3 mL), N-methylpiperazine (0.1 mL, 1.01 mmole) and anhydrous potassium carbonate (0.349 g, 2.53 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired product **(68b)** as white solid (0.13 g, 56.08 %)**,** m.p. 252-54 °C.

Anal.:

TLC (R_f): 0.32 (20 % Chloroform in methanol)

IR: 3133, 1668, 1616, 1499, 1398, 1290, 1010

6-Methoxy-2-[(1-naphthyl)methyl]-7-[2-(1-piperidinyl)ethoxy]quinazolin-4(3*H*)-one (68c)

To a solution of 7-(2-chloroethoxy)-6-methoxy-2-[(1-naphthyl)methyl]quinazolin-4(3*H*)-one **(64)** (0.2 g, 0.506 mmole) in DMF (3 mL), piperidine (0.1 mL, 1.01 mmole) and anhydrous potassium carbonate (0.349 g, 2.53 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the piperidine derivative **(68c)** as white solid (0.15 g, 67.3 %)**,** m.p. 202-05 °C.

Anal.:

TLC (R_f): 0.58 (50 % Methanol in ethyl acetate)

IR: 3145, 1673, 1612, 1498, 1399, 1289, 1095

6-Methoxy-2-[(1-naphthyl)methyl]-7-[2-(1,2,4-triazol-1-yl)ethoxy]quinazolin-4(3*H*)-one (68d)

To a solution of 7-(2-chloroethoxy)-6-methoxy-2-[(1-naphthyl)methyl]quinazolin-4(3*H*)-one **(64)** (0.2 g, 0.506 mmole) in DMF (3 mL), 1,2,4-triazole (0.069 g, 1.01 mmole) and anhydrous potassium carbonate (0.349 g, 2.53 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired triazole derivative **(68d)** as white solid (0.15 g, 69.4 %)**,** m.p. 207-10 °C.

Anal.:

TLC (R_f): 0.8 (Methanol)

IR: 3133, 1692, 1656, 1612, 1502, 1280, 1098

6-Methoxy-2-[(1-naphthyl)methyl]-7-[2-(1,3,4-triazol-1-ylamino)ethoxy]quinazolin-4(3*H*)-one (68e)

To a solution of 7-(2-chloroethoxy)-6-methoxy-2-[(1-naphthyl)methyl]quinazolin-4(3*H*)-one **(64)** (0.2 g, 0.506 mmole) in DMF (3 mL), 1-amino-1,3,4-triazole (0.08, 1.01 mmole) and anhydrous potassium carbonate (0.349 g, 2.53 mmole) were added. The reaction mixture was stirred at 45 °C on oil bath under anhydrous conditions for 15 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired derivative **(68e)** as white solid (0.13 g, 58.1 %)**,** m.p. 222-25 °C.

Anal.:

TLC (R_f): 0.35 (50 % Methanol in ethyl acetate)

IR: 3367, 3127, 1668, 1611, 1498, 1398, 1282, 1172

6-Methoxy-2-[(1-naphthyl)methyl]-7-[2-(1-pyrrolidinyl)ethoxy]quinazolin-4(3*H*)-one (68f)

To a solution of 7-(2-chloroethoxy)-6-methoxy-2-[(1-naphthyl)methyl]quinazolin-4(3*H*)-one **(64)** (0.2 g, 0.506 mmole) in DMF (3 mL), pyrrolidine (0.08 mL, 1.01 mmole) and anhydrous potassium carbonate (0.349 g, 2.53 mmole) were added. The reaction mixture was stirred at 50 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired product **(68f)** as white solid (0.14 g, 64.48 %)**,** m.p. 240-42 °C.

Anal.:

TLC (R_f): 0.28 (50 % Methanol in ethyl acetate)

IR: 3134, 2961, 1659, 1611, 1498, 1399, 1286

6-Methoxy-2-[(1-naphthyl)methyl]-7-[2-(1-piperazinyl)ethoxy]quinazolin-4(3*H*)-one (68g)

To a solution of 7-(2-chloroethoxy)-6-methoxy-2-[(1-naphthyl)methyl]quinazolin-4(3*H*)-one **(64)** (0.2 g, 0.506 mmole) in DMF (3 mL), piperazine (0.07 g, 1.01 mmole) and

anhydrous potassium carbonate (0.349 g, 2.53 mmole) were added. The reaction mixture was stirred at 50 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired product **(68g)** as white solid (0.15 g, 66.75 %)**,** m.p. 259-62 °C.

Anal.:

TLC (R_f): 0.33 (20 % Methanol in chloroform)

IR: 3178, 2939, 1667, 1611, 1497, 1279, 1007

2-Benzhydryl-6-methoxy-7-[2-(4-morpholino)ethoxy]quinazolin-4(3*H*)-one (69a)

To a solution of 2-benzhydryl-7-(2-chloroethoxy)-6-methoxyquinazolin-4(3*H*)-one **(65)** (0.2 g, 0.475 mmole) in DMF (3 mL), morpholine (0.082 mL, 0.95 mmole) and anhydrous potassium carbonate (0.327 g, 2.7 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the required morpholine derivative **(69a)** as white solid (0.14 g, 64.6 %)**,** m.p. 226-29 °C.

Anal.:

TLC (R_f): 0.44 (Ethyl acetate)

IR: 3424, 3148, 1659, 1609, 1500, 1399, 1274

2-Benzhydryl-6-methoxy-7-[2-(4-methyl-1-piperazinyl)ethoxy]quinazolin-4(3*H*)-one (69b)

To a solution of 2-benzhydryl-7-(2-chloroethoxy)-6-methoxyquinazolin-4(3*H*)-one **(65)** (0.2 g, 0.475 mmole) in DMF (3 mL), N-methylpiperazine (0.093 mL, 0.95 mmole) and anhydrous potassium carbonate (0.327 g, 2.73 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired piperazine derivative **(69b)** as white solid (0.14 g, 62.8%)**,** m.p. 94-96 °C.

Anal.:

TLC (R_f): 0.28 (Methanol)

IR: 3163, 1662, 1613, 1498, 1399, 1297, 1167

2-Benzhydryl-6-methoxy-7-[2-(1-piperidinyl)ethoxy]quinazolin-4(3*H*)-one (69c)

To a solution of 2-benzhydryl-7-(2-chloroethoxy)-6-methoxyquinazolin-4(3*H*)-one **(65)** (0.2 g, 0.475 mmole) in DMF (3 mL), piperidine (0.09 mL, 0.95 mmole) and anhydrous potassium carbonate (0.327 g, 2.73 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired piperidine derivative **(69c)** as white solid (0.13 g, 60.20%)**,** m.p. 215-18 °C.

Anal.:

TLC (R_f): 0.46 (30 % Methanol in ethyl acetate)

IR: 1656, 1612, 1455, 1397, 1281, 1167

^{1}H-NMR: 12.28 (bs, 1H, N*H*), 7.44-7.07 (m, 12H, Ar*H*), 5.42 (s, 1H, CH_2), 4.20-4.18 (t, 2H, CH_2), 3.88 (s, 3H, OCH_3), 2.76-2.74 (t, 2H, CH_2), 2.49-2.46 (m, 4H, CH_2), 1.54-1.51 (m, 4H, CH_2) & 1.43-1.41 (m, 2H, CH_2)

Mass (m/z): 455 (M^+)

2-Benzhydryl-6-methoxy-7-[2-(1,2,4-triazol-1-yl)ethoxy]quinazolin-4(3*H*)-one (69d)

To a solution of 2-benzhydryl-7-(2-chloroethoxy)-6-methoxyquinazolin-4(3*H*)-one **(65)** (0.2 g, 0.475mmole) in DMF (3 mL), 1,2,4-triazole (0.063 g, 0.95 mmole) and anhydrous potassium carbonate (0.327 g, 2.73 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired triazole derivative **(69d)** as white solid (0.12 g, 58.80%)**,** m.p. 199-201 °C.

Anal.:

TLC (R_f): 0.67 (20 % methanol in ethyl acetate)

IR: 3377, 3133, 1670, 1617, 1500, 1399, 1280, 1170

.**2-Benzhydryl-6-methoxy-7-[2-(1,3,4-triazol-1-ylamino)ethoxy]quinazolin-4(3*H*)-one (69e)**

To a solution of 2-benzhydryl-7-(2-chloroethoxy)-6-methoxyquinazolin-4(3*H*)-one **(65)** (0.2 g, 0.475 mmole) in DMF (3 mL), 1-amino-1,3,4-triazole (0.077 g, 0.95mmole) and anhydrous potassium carbonate (0.327 g, 2.73 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h and quenched into cold

water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the required product **(69e)** as white solid (0.13 g, 60.36%)**,** m.p. 252-55°C.

Anal.:

TLC (R_f): 0.29 (Ethyl acetate)

IR: 3418, 3160, 1664, 1612, 1501, 1399, 1269

2-Benzhydryl-6-methoxy-7-[2-(1-pyrrolidinyl)ethoxy]quinazolin-4(3*H*)-one (69f)

To a solution of 2-benzhydryl-7-(2-chloroethoxy)-6-methoxyquinazolin-4(3*H*)-one **(65)** (0.2 g, 0.475 mmole) in DMF (3 mL), pyrrolidine (0.066 mL, 0.95 mmole) and anhydrous potassium carbonate (0.327 g, 2.73 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired pyrrolidine derivative **(69f)** as white solid (0.11 g, 52.5%)**,** m.p. 164-67°C.

Anal.:

TLC (R_f): 0.38 (Methanol)

IR: 3421, 3157, 1656, 1612, 1496, 1398, 1281

2-Benzhydryl-6-methoxy-7-[2-(1-piperazinyl)ethoxy]quinazolin-4(3*H*)-one (69g)

To a solution of 2-benzhydryl-7-(2-chloroethoxy)-6-methoxyquinazolin-4(3*H*)-one **(65)** (0.2 g, 0.475 mmole) in DMF (3 mL), piperazine (0.068 g, 0.95 mmole) and anhydrous potassium carbonate (0.327 g, 2.73 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired piperazine derivative **(69g)** as white solid (0.12 g, 55.5%)**,** m.p. > 280 °C.

Anal.:

TLC (R_f): 0. 37 (10 % Methanol in chloroform)

IR: 3164, 1668, 1598, 1442, 1286, 1092

6-Methoxy-2-[(6-methoxy-2-naphthyl)methyl]-7-[2-(4-morpholino)ethoxy]quinazolin-4(3*H*)-one (70a)

To a solution of 7-(2-chloroethoxy)-6-methoxy-2-[(6-methoxy-2-naphthyl)methyl] quinazolin-4-(3*H*)-one **(66)** (0.2 g, 0.47 mmole) in DMF (3 mL), morpholine (0.082 mL, 0.94 mmole) and anhydrous potassium carbonate (0.324 g, 2.35 mmole) were added. The reaction

mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired morpholine derivative **(70a)** as white solid (0.14 g, 62.52%)**,** m.p. 190-93 °C.

Anal.:

TLC (R_f): 0. 58 (Methanol)

IR: 3424, 3172, 1666, 1619, 1500, 1479, 1267, 1168

6-Methoxy-2-[(6-methoxy-2-naphthyl)methyl]-7-[2-(1-piperdinyl)ethoxy]quinazolin-4(3*H*)-one (70c)

To a solution of 7-(2-chloroethoxy)-6-methoxy-2-[(6-methoxy-2-naphthyl)methyl] quinazolin-4-(3*H*)-one **(66)** (0.2 g, 0.47 mmole) in DMF (3 mL), piperidine (0.093 mL, 0.94 mmole) and anhydrous potassium carbonate (0.324 g, 2.35 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired piperidine derivative **(70c)** as white solid (0.15 g, 67.34%)**,** m.p. 202-05 °C.

Anal.:

TLC (R_f): 0. 69 (Methanol)

IR: 3418, 1665, 1611, 1480, 1265, 1199

6-Methoxy-2-[(6-methoxy-2-naphthyl)methyl]-7-[2-(1,2,4triazol-1-yl)ethoxy]quinazolin-4(3*H*)-one (70d)

To a solution of 7-(2-chloroethoxy)-6-methoxy-2-[(6-methoxy-2-naphthyl) methyl]quinazolin-4-(3*H*)-one **(66)** (0.2 g, 0.47 mmole) in DMF (3 mL), 1,2,4-triazole (0.064 g, 0.94 mmole) and anhydrous potassium carbonate (0.324 g, 2.35 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired triazole derivative **(70d)** as white solid (0.16 g, 74.42%)**,** m.p. 217-20 °C.

Anal.:

TLC (R_f): 0.82 (30 % Methanol in ethyl acetate)

IR: 3441, 3129, 1666, 1612, 1505, 1392, 1180

6-Methoxy-2-[(6-methoxy-2-naphthyl)methyl]-7-[2-(1-piperazinyl)ethoxy]quinazolin-4(3*H*)-one (70g)

To a solution of 7-(2-chloroethoxy)-6-methoxy-2-[(6-methoxy-2-naphthyl)methyl] quinazolin-4-(3*H*)-one **(66)** (0.2 g, 0.47 mmole) in DMF (3 mL), piperazine (0.067 g, 0.94 mmole) and anhydrous potassium carbonate (0.324 g, 2.35 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired piperazine derivative **(70g)** as white solid (0.15 g, 67.23 %), m.p. 220-2 °C.

Anal.:

TLC (R_f): 0.63 (30 % Methanol in chloroform)

IR: 1656, 1612, 1498, 1284, 858

^{1}H-NMR: 12.32 & 8.62 (bs, 1H, N*H*), 7.91-7.07 (m, 8H, Ar*H*), 4.20-4.18 (t, 2H, CH_2), 3.94 (s, 2H, CH_2), 3.91 (s, 3H, OCH_3), 3.87 (s, 3H, OCH_3), 2.81 -3.79 (t, 2H, CH_2), 2.79-2.76 (m, 4H, CH_2) & 2.49-2.46 (m, 4H, CH_2)

2-(4-Biphenyl)-6-methoxy-7-[2-(4-morpholino)ethoxy]quinazolin-4(3*H*)-one (71a)

To a solution of 7-(2-chloroethoxy)-6-methoxy-2-(4-biphenyl)quinazolin-4(3*H*)-one **(67)** (0.2 g, 0.49 mmole) in DMF (3 mL), morpholine (0.085 mL, 0.98 mmole) and anhydrous potassium carbonate (0.339 g, 2.45 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired morpholine derivative **(71a)** as white solid (0.15 g, 66.84 %), m.p. 225-28 °C.

Anal.:

TLC (R_f): 0. 83 (Methanol)

IR: 3126, 2951, 1656, 1610, 1497, 1398, 1277, 1110

2-(4-Biphenyl)-6-methoxy-7-[2-(4-methyl-1-piperazinyl)ethoxy]quinazolin-4(3*H*)-one (71b)

To a solution of 7-(2-chloroethoxy)-6-methoxy-2-(4-biphenyl)quinazolin-4(3*H*)-one **(67)** (0.2 g, 0.49 mmole) in DMF (3 mL), N-methylpiperazine (0.098 mL, 0.98 mmole) and

anhydrous potassium carbonate (0.339 g, 2.45 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired derivative **(71b)** as white solid (0.13 g, 56.3 %), m.p. 215-18 °C.

Anal.:

TLC (R_f): 0.46 (30 % Methanol in ethyl acetate)

IR: 2935, 1656, 1609, 1495, 1396, 1279, 845.

^{1}H-NMR: 11.93 (bs, 1H, N*H*), 8.31- 7.18 (m, 11H, Ar*H*), 4.26-4.24 (t, 2H, CH_2), 3.91 (s, 3H, OCH_3), 2.82-2.80 (t, 2H, CH_2), 2.56-2.53 (m, 4H, CH_2) & 2.39-2.36 (m, 4H, CH_2) & 2.19 (s, 3H, CH_3).

2-(4-Biphenyl)-6-methoxy-7-[2-(1-piperidinyl)ethoxy] quinazolin-4(3*H*)-one (71c)

To a solution of 7-(2-chloroethoxy)-6-methoxy-2-(4-biphenyl)quinazolin-4(3*H*)-one **(67)** (0.2 g, 0.49 mmole) in DMF (3 mL), piperidine (0.096 mL, 0.098 mmole) and anhydrous potassium carbonate (0.334 g, 2.45 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired piperidine derivative **(71c)** as white solid (0.14 g, 62.7 %), m.p. 203-06 °C.

Anal.:

TLC (R_f): 0.33 (Ethyl acetate)

IR: 3123, 1656, 1609, 1495, 1397, 1277, 1097

2-(4-Biphenyl)-6-methoxy-7-[2-(1,2,4-triazol-1-yl)ethoxy] quinazolin-4(3*H*)-one (71d)

To a solution of 7-(2-chloroethoxy)-6-methoxy-2-(4-biphenyl)quinazolin-4(3H)-one **(67)** (0.2 g, 0.49mmole) in DMF (3 mL) , 1,2,4- triazole (0.068 g, 0.098 mmole) and anhydrous potassium carbonate (0.339 g, 2.45 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 20 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired triazole derivative **(71d)** as white solid (0.16 g, 74.2 %), m.p. 265-67 °C.

Anal.:

TLC (R_f): 0.56 (20 % Methanol in ethyl acetate)

IR: 3126, 1657, 1611, 1497, 1398, 1202, 1017

2-(4-Biphenyl)-6-methoxy-7-[2-(1,3,4-triazol-1-ylamino)ethoxy]quinazolin-4(3*H*)-one (71e)

To a solution of 7-(2-chloroethoxy)-6-methoxy-2-(4-biphenyl)quinazolin-4(3*H*)-one **(67)** (0.2 g, 0.49 mmole) in DMF (3 mL), 1-amino-1,3,4-triazole (0.08, 0.098 mmole) and anhydrous potassium carbonate (0.339 g, 2.45 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 15 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to yield the triazole derivative **(71e)** as white solid (0.13 g, 58.36 %), m.p. 224-27 °C.

Anal.:

TLC (R_f): 0.86 (Methanol)

IR: 3127, 2857, 1658, 1609, 1492, 1399, 1199

2-(4-Biphenyl)-6-methoxy-7-[2-(1-pyrrolidinyl)ethoxy]quinazolin-4(3H)-one (71f)

To a solution of 7-(2-chloroethoxy)-6-methoxy-2-(4-biphenyl)quinazolin-4(3*H*)-one **(67)** (0.2 g, 0.49 mmole) in DMF (3 mL), pyrrolidine (0.07 mL, 0.098 mmole) and anhydrous potassium carbonate (0.339 g, 2.45 mmole) were added. The reaction mixture was stirred at 50 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the desired product **(71f)** as white solid (0.13 g, 59.73 %), m.p. 220-23 °C.

Anal.:

TLC (R_f): 0. 38 (20 % Methanol in chloroform)

IR: 3125, 2957, 1656, 1610, 1496, 1399, 1204

2-(4-Biphenyl)-6-methoxy-7-[2-(1-piperazinyl)ethoxy]quinazolin-4(3H)-one (71g)

To a solution of 7-(2-chloroethoxy)-6-methoxy-2-(4-biphenyl)quinazolin-4(3*H*)-one **(67)** (0.2 g, 0.49 mmole) in DMF (3 mL), piperazine (0.07 g, 0.098 mmole) and anhydrous potassium carbonate (0.339 g, 2.45 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h and quenched into cold water (50 mL). The precipitate thus formed was filtered and dried under reduced pressure to afford the piperazine derivative (0.15 g, 67.15 %), m.p. 214-16 °C.

Anal.:

TLC (R_f): 0.40 (40 % Methanol in ethyl acetate)

IR: 3393, 3164, 1658, 1609, 1494, 1275, 1096

Methyl 4-(3-chloropropoxy)-3-methoxy-2-nitrobenzoate (72)

To a solution of methyl 4-hydroxy-3-methoxy-2-nitrobenzoate **(15)** (1.2 g, 5.2 mmole) in DMF (7 mL), 1-bromo-3-chloropropane (0.78 mL, 7.9 mmole) and potassium carbonate (1.43 g, 10.2 mmole) were added. The reaction mixture was stirred at RT for 4 h and quenched into cold water. Precipitate thus formed was filtered, washed with cold water and dried to afford methyl 4-(chloropropoxy)-3-methoxybenzoate **(72)** as white solid (1.4 g, 88.7 %) m.p.77-79°C.

Anal.:

TLC (R_f): 0.63 (30 % Ethyl acetate in hexane)

IR: 1723, 1548, 1377, 1031, 747

4-(3-Chloropropoxy)-3-methoxy-2-nitrobenzoic acid (73)

Methyl 4-(3-chlooropropoxy)-3-methoxy-2-nitrobenzoate **(72)** (2.0 g, 6.58 mmole) was dissolved in methanol (15 mL). A solution of potassium hydroxide (1.1 g, 19.7 mmole) in water (5.0 mL) was added drop-wise to the above mixture over a period of 20 min. The reaction mixture was stirred at RT for another 3 h and quenched in ice water (200 mL). The precipitate so obtained was filtered and dried under vacuum to afford 4-(3-chlooropropoxy)-3-methoxy-2-nitrobenzoic acid **(73)** as white solid (1.70 g, 89.24 %) m.p. 168-70 °C.

Anal.:

TLC (R_f): 0.31 (30 % Ethyl acetate in hexane)

IR: 1692, 1554, 1378, 1044

4-(3-Chloropropoxy)-3-methoxy-2-nitrobenzamide (74)

A solution of 4-(3-chloropropoxy)-3-methoxy-2-nitrobenzoic acid **(73)** (4.0 g, 13.8 mmole) in thionyl chloride (4.0 mL) was refluxed under anhydrous condition for 3 h in an Rb flask (100 mL). Excess of thionyl chloride was recovered under reduced pressure and the residue was dissolved in THF (20 mL). The above, freshly prepared acid chloride solution was cooled to 5 °C and aqueous ammonia solution (4.0 mL) was added to it drop-wise over a period of 15 min maintaining the temperature in between 5-10 °C. The reaction mixture was stirred for further 4 h at RT and quenched in cold water (100 mL). Precipitate thus formed was filtered, washed with sodium bicarbonate solution and dried under vacuum to get 4-(3-chloropropoxy)-3-methoxy-2-nitrobenzamide **(74)** as white solid (1.7 g, 85.4 %) m.p. 156-8 °C.

Anal.:

TLC (R_f): 0.39 (60 % Ethyl acetate)

IR: 3366, 3186, 1664, 1622, 1533, 1377, 1048

4-(3-Chloropropoxy)-2-amino-3-methoxybenzamide (75)

A solution of 4-(3-chloropropoxy)-3-methoxy-2-nitrobenzamide **(74)** (1.3 g, 4.5 mmole) in methanol (200 mL) was refluxed in a two-neck Rb flask (500 mL). Iron powder (2.5 g, 45 mmole) and a solution of sodium chloride (0.79 g, 13.5 mmole) in water (4-6 mL) were added portion-wise (in 8-10 parts at an interval of every 45 min) to the refluxing solution. Refluxing was continued for further 3 h, filtered while hot through the filtering aid (Hyflosupercel) and additionally washed with hot methanol (2 × 15 mL). The filtrate was concentrated under reduced pressure to remove excess methanol and the concentrated reaction mixture was kept in refrigerator overnight. The precipitate thus formed was filtered and dried under reduced pressure to get 4-(3-chloropropoxy)-2-amino-3-methoxybenzamide **(75)** as light brown solid (1.1 g, 94.5 %) m.p. 189-92 °C.

Anal.:

TLC (R_f): 0.43 (60% Ethyl acetate in hexane)

IR: 3499, 3438, 1655, 1616, 1105

^{1}H-NMR: 8.18 (s, 2H, N*H*$_2$), 8.04-8.02 (d, 1H, Ar*H*), 7.19-7.17 (d, 1H, Ar*H*), 5.11- 5.09 (t, 2H, C*H*$_2$), 4.72 (s, 3H, O-C*H*$_3$) 4.69-4.67 (t, 2H, N*H*$_2$), 3.22-3.19 (t, 2H, C*H*$_2$) & 2.55-2.51(t, 2H, C*H*$_2$).

7-(3-Chloropropoxy)-8-methoxyquinazolin-4(3*H*)-one (76)

A solution of 4-(3-chloropropoxy)-2-amino-3-methoxybenzamide **(75)** (1.0 g, 3.87 mmole) in formic acid (20 mL) was stirred at 100 °C on oil bath for 8 h. The reaction mixture was cooled, quenched in ice cold water (30 mL) and kept overnight at RT. The precipitate thus formed was filtered and dried under vacuum to get 7-(3-chloropropoxy)-8-methoxyquinazolin-4(3*H*)-one **(76)** as white solid (0.9 g, 87.37 %) m.p. 174-76 °C.

Anal.:

TLC (R_f): 0.4 (Ethyl acetate)

IR: 1684, 1655, 1613, 1372, 1077, 741

Mass (m/z): 268.9 (M^+)

4*N*-(3-Chlorophenyl)-7-(3-chloropropoxy)-8-methoxyquinazolin-4-amine (77)

To a solution of 7-(3-chloropropoxy)-8-methoxyquinazolin-4(3*H*)-one **(76)** (0.5 g, 1.86 mmole) in thionyl chloride (4 mL), catalytic amount of DMF (2 drop) was added, cooled to 5 °C and the TEA (0.6 mL) was added drop-wise keeping the temperature below 10 °C. The above, reaction mixture was refluxed under anhydrous conditions for 75 min, dissolved in anhydrous dioxane (30 mL), cooled to 5 °C and 3-chloroaniline (0.23 mL) was added to it drop-wise over a period of 10 min maintaining the temperature in between 5-10 °C. The reaction mixture was stirred for further 2 h at RT and quenched in ice cold water (30 mL). The precipitate thus formed was filtered and dried under vacuum to afford 7-(3-chloropropoxy)-*N*-(3-chlorophenyl)-8-methoxyquinazolin-4-amine **(77)** as white solid (0.6 g, 85.7 %) m.p. 200-02 °C.

Anal.:

TLC (R_f): 0.66 (70 % Ethyl acetate in hexane)

IR: 3325, 3002, 2948, 1083, 784

4*N*-(3-Chloro-4-fluorophenyl)-7-(3-chloropropoxy)-8-methoxyquinazolin-4-amine (78)

To a solution of 7-(3-chloropropoxy)-8-methoxyquinazolin-4(3*H*)-one **(76)** (0.2 g, 0.74 mmole) in thionyl chloride (2 mL), catalytic amount of DMF (2 drop) was added. The above, solution was cooled to 5 °C and TEA (0.3 mL) was added drop-wise keeping the temperature below 10 °C. The reaction mixture was refluxed under anhydrous conditions for 75 min, dissolved in anhydrous dioxane (5 mL), cooled to 5 °C and 3-chloro-4-fluoroaniline (0.13 mL, 0.89 mmole) was added to it drop-wise over a period of 10 min maintaining the temperature in between 5-10 °C. The reaction mixture was stirred for further 2 h at RT and quenched in ice cold water (20 mL). The precipitate thus formed was filtered and dried under vacuum to afford the desired product **(78)** as white solid (0.25 g, 85.03 %) m.p. 209-11 °C.

Anal.:

TLC (R_f): 0.72 (70 % Ethyl acetate in hexane)

IR: 3375, 3073, 2946, 1083, 749

4*N*-(3-Bromophenyl)-7-(3-chloropropoxy)-8-methoxyquinazolin-4-amine (79)

To a solution of 7-(3-chloropropoxy)-8-methoxyquinazolin-4(3*H*)-one **(76)** (0.5 g, 1.86 mmole) in thionyl chloride (4 mL), catalytic amount of DMF (2 drop) was added, cooled to 5 °C and TEA (0.6 mL) was added drop-wise keeping the temperature below 10

°C. The above reaction mixture was refluxed under anhydrous conditions for 75 min, dissolved in anhydrous dioxane (10 mL), cooled to 5 °C and 3-bromoaniline (0.23 mL, 2.23 mmoles) was added to it drop-wise over a period of 15 min maintaining the temperature in between 5-10 °C. The reaction mixture was stirred for further 2 h at RT and quenched in ice cold water (30 mL). The precipitate thus formed was filtered and dried under vacuum to afford the desired product **(79)** as white solid (0.60 g, 76.92 %) m.p. 196-98 °C.

Anal.:

TLC (R_f): 0.57 (70 % Ethyl acetate in hexane)

IR: 3337, 3062, 2945, 1083, 763

4*N*-[4-(Benzyloxy-3-chloro)phenyl]-7-(3-chloropropoxy)-8-methoxyquinazolin-4-amine (80)

To a solution of 7-(3-chloropropoxy)-8-methoxyquinazolin-4(3*H*)-one **(76)** (0.5 g, 1.86 mmole) in thionyl chloride (4 mL), catalytic amount of DMF (2 drop) was added, cooled to 5 °C and TEA (0.6 mL) was added drop-wise keeping the temperature below 10 °C. The above reaction mixture was refluxed under anhydrous conditions for 75 min, dissolved in anhydrous dioxane (10 mL), cooled to 5 °C and 4-(benzyloxy)-3-chloroaniline (0.52 g, 2.23 mmoles) was added to it drop-wise over a period of 15 min maintaining the temperature in between 5-10 °C. The reaction mixture was stirred for further 2 h at RT and quenched in ice cold water (30 mL). The precipitate thus formed was filtered and dried under vacuum to afford the required product **(80)** as white solid (0.77 g, 97.7 %) m.p. 168-70 °C.

Anal.:

TLC (R_f): 0.24 (50 % Ethyl acetate in hexane)

IR: 3326, 3120, 2944, 1083, 734.

4*N*-[3-Chloro-4-(3-fluorobenzyloxy)phenyl]-7-(3-chloropropoxy)-8-methoxyquinazolin-4-amine (81)

To a solution of 7-(3-chloropropoxy)-8-methoxyquinazolin-4(3*H*)-one **(76)** (0.5 g, 1.86 mmole) in thionyl chloride (4 mL), catalytic amount of DMF (2 drop) was added, cooled to 5 °C and TEA (0.6 mL) was added drop-wise keeping the temperature below 10 °C. The above reaction mixture was refluxed under anhydrous conditions for 75 min, dissolved in anhydrous dioxane (10 mL), cooled to 5 °C and 3-chloro-4-(3-fluorobenzyloxy)aniline (0.56 g , 2.23 mmoles) was added to it drop-wise over a period of 15 min maintaining the temperature in between 5-10 °C. The reaction mixture was stirred for

further 2 h at RT and quenched in ice cold water (30 mL). The precipitate thus formed was filtered and dried under vacuum to afford the desired product **(81)** as white solid (0.76 g, 97.6 %) m.p. 184-86 °C.

Anal.:

TLC (R_f): 0.46 (50 % Ethyl acetate in hexane)

IR: 3339, 3112, 2943, 1084, 782

4*N*-[3-Chloro-4-(2-pyridinyl)methoxy]phenyl-7-(3-chloropropoxy)-8-methoxyquinazolin-4-amine (82)

To a solution of 7-(3-chloropropoxy)-8-methoxyquinazolin-4(3*H*)-one **(76)** (0.5 g, 1.86 mmole) in thionyl chloride (4 mL), catalytic amount of DMF (2 drop) was added, cooled to 5 °C and TEA (0.6 mL) was added drop-wise keeping the temperature below 10 °C. The above reaction mixture was refluxed under anhydrous conditions for 75 min, dissolved in anhydrous dioxane (10 mL), cooled to 5 °C and 3-chloro-4-(2-pyridinyl)methoxyaniline **(28)** (0.52 g, 2.23 mmoles) was added to it drop-wise over a period of 15 min maintaining the temperature in between 5-10 °C. The reaction mixture was stirred for further 2 h at RT and quenched in ice cold water (30 mL). The precipitate thus formed was filtered and dried under vacuum to afford the desired product **(82)** as white solid (0.56 g, 72.22 %) m.p. 118-21 °C.

Anal.:

TLC (R_f): 0.37 (Ethyl acetate)

IR: 3445, 3117, 2937, 1092, 751

4*N*-(3-Chlorophenyl)-7-(3-morpholinopropoxy)-8-methoxyquinazolin-4-amine (83a)

To a solution of 4*N*-(3-chlorophenyl)-7-(3-chloropropoxy)-8-methoxyquinazolin-4-amine **(77)** (0.2 g, 0.52 mmole) in DMF (3 mL), morpholine (0.67 mL, 0.78 mmole) and anhydrous potassium carbonate (0.21 g, 1.56 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h, quenched into cold water (20 mL) and kept in refrigerator for 48 h. The precipitate thus formed was filtered, dried under reduced pressure and crystallized with ethyl acetate to afford white crystals of the desired morpholine derivative **(83a)** (0.15 g, 68.18 %) m.p. 180-81 °C.

Anal.:

TLC (R_f): 0.61 (Methanol)

IR: 3168, 1081, 761

^{1}H-NMR: 8.79 (s, 1H, N*H*), 7.92-7.12 (m, 7H, Ar*H*), 4.27-4.25 (t, 2H, CH_2), 4.03 (s, 3H, O-CH_3), 3.77 (bs, 4H, CH_2), 2.67-2.65(t, 2H, CH_2), 2.56 (bs, 4H, CH_2) & 2.12-2.10 (m, 2H, CH_2).

4*N*-(3-Chlorophenyl)-8-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]quinazolin-4-amine (83b)

To a solution of 4*N*-(3-chlorophenyl)-7-(3-chloropropoxy)-8-methoxyquinazolin-4-amine **(77)** (0.2 g, 0.52 mmole) in DMF (3 mL), 1-methylpiperazine (0.08 mL, 0.78 mmole) and anhydrous potassium carbonate (0.21 g, 1.56 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h, quenched into cold water (20 mL) and kept in refrigerator for 48 h. The precipitate thus formed was filtered, dried under reduced pressure and crystallized with ethyl acetate to afford white crystals of the required piperazine derivative **(83b)** (0.19 g, 82.60 %) m.p. 185-87 °C.

Anal.:

TLC (R_f): 0.25 (Methanol)

IR: 3254, 1083, 761

^{1}H-NMR: 8.11 (s, 1H, Ar*H*), 7.86-7.11 (7H, Ar*H*), 4.24-4.22 (t, 2H, CH_2) 3.89 (s, 3H, O-CH_3), 3.25 (bs, 4H, CH_2), 2.47-2.45 (t, 2H, CH_2), 2.33-2.30 (m, 5H, NCH_3, CH_2) & 1.95-1.93 (m, 2H, CH_2).

4*N*-(3-Chlorophenyl)-8-methoxy-7-[3-(1,2,4-triazol-1-yl)propoxy]quinazolin-4-amine (83c)

To a solution of 4*N*-(3-chlorophenyl)-7-(3-chloropropoxy)-8-methoxyquinazolin-4-amine **(77)** (0.2 g, 0.52 mmole) in DMF (3 mL), 1,2,4-triazole (0.053 g, 0.78 mmole) and anhydrous potassium carbonate (0.21 g, 1.56 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h, quenched into cold water (20 mL) and kept in refrigerator for 48 h. The precipitate thus formed was filtered, dried under reduced pressure and crystallized with ethyl acetate to afford white crystals of the desired triazole **(83c)** (0.18 g, 85.71 %) m.p. 96-98 °C.

Anal.:

TLC (R_f): 0.77 (Methanol)

IR: 3354, 1088, 777

^{1}H-NMR: 9.69 (s, 1H, N*H*), 8.58-7.03 (m, 9H, Ar*H*), 4.45-4.43 (t, 2H, CH_2), 4.18-4.16 (t, 2H, CH_2), 3.97 (s, 3H, O-CH_3) & 2.37-2.35 (m, 2H, CH_2).

4*N*-(3-Chloro-4-fluoro)phenyl-8-methoxy-7-[3-(4-morpholino)propoxy]quinazolin-4-amine (84a)

To a solution of 4*N*-(3-chloro-4-fluorophenyl)-7-(3-chloropropoxy)-8-methoxy-quinazolin-4-amine **(78)** (0.2 g, 0.50 mmole) in DMF (3 mL), morpholine (0.065 mL, 0.75 mmole) and anhydrous potassium carbonate (0.20 g, 1.5 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h, quenched into cold water (20 mL) and kept in refrigerator for 48 h. The precipitate thus formed was filtered, the required morpholine derivative **(84a)** (0.16 g, 72.72 %) m.p. 191-93 °C.

Anal.:

TLC (R_f): 0.128 (Ethyl acetate)

IR: 3161, 1088, 777

^{1}H-NMR: 8.75 (s, 1H, Ar*H*), 7.90-7.15 (6H, Ar*H*), 4.27-4.24 (t, 2H, CH_2), 4.07 (s, 3H, OCH_3), 3.72 (s, b, 4H, CH_2), 2.59-2.57 (t, 2H, CH_2), 2.48 (bs, 4H, CH_2) & 2.07-2.05 (m, 2H, CH_2).

4*N*-(3-Chloro-4-fluoro)phenyl-8-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy] quinazolin-4-amine (84b)

To a solution of 4*N*-(3-chloro-4-fluorophenyl)-7-(3-chloropropoxy)-8-methoxy-quinazolin-4-amine **(78)** (0.2 g, 0.50 mmole) in DMF (3 mL), 1-methylpiperazine (0.08 mL, 0.75 mmole) and anhydrous potassium carbonate (0.2 g, 1.50 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h, quenched into cold water (20 mL) and kept in refrigerator for 48 h. The precipitate thus formed was filtered, dried under reduced pressure and crystallized with ethyl acetate to afford white crystals of the desired piperazine derivative **(84b)** (0.16 g, 69.56 %) m.p. 173-75 °C.

Anal.:

TLC (R_f): 0.18 (50 % Methanol in chloroform)

IR: 3260, 1085, 752

^{1}H-NMR: 8.74 (s, 1H, Ar*H*), 7.92-7.16 (7H, Ar*H*), 4.25-7.23 (t, 2H, CH_2), 4.07 (s, 3H, OCH_3), 2.61-2.59 (t, 2H, CH_2), 2.29 (s, 3H, NCH_3) & 2.08-2.05 (m, 2H, CH_2).

4*N*-(3-Chloro-4-fluoro)phenyl-8-methoxy-7-[3-(1,2,4-triazol-1-yl)propoxy]quinazolin-4-amine (84c)

To a solution of 4*N*-(3-chloro-4-fluorophenyl)-7-(3-chloropropoxy)-8-methoxy-quinazolin-4-amine **(78)** (0.2 g, 0.50 mmole) in DMF (3 mL), 1,2,4-triazole (0.051 g, 0.75 mmole) and anhydrous potassium carbonate (0.2 g, 1.5 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h, quenched into cold water (20 mL) and kept in refrigerator for 48 h. The precipitate thus formed was filtered, dried under reduced pressure and crystallized with ethyl acetate to afford white crystals of the required triazole derivative **(84c)** (0.15 g, 71.42 %) m.p. 90-92 °C.

Anal.:

TLC (R_f): 0.78 (Methanol)

IR: 3275, 1090, 745

^{1}H-NMR: 9.72 (s, 1H, N*H*), 8.60-7.33 (9H, Ar*H*), 7.24-7.22 (t, 1H, Ar*H*), 4.51-4.48 (t, 2H, CH_2), 4.23-4.20 (t, 2H, CH_2), 4.02 (s, 3H, OCH_3) & 2.42-2.39 (m, 2H, CH_2).

***N*-(3-Bromophenyl)-8-methoxy-7-(3-morpholinopropoxy)-quinazolin-4-amine (85a)**

To a solution of 4*N*-(3-bromophenyl)-7-(3-chloropropoxy)-8-methoxyquinazolin-4-amine **(79)** (0.2 g, 0.47 mmole) in DMF (3 mL), morpholine (0.06 mL, 0.70 mmole) and anhydrous potassium carbonate (0.19 g, 1.41 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h, quenched into cold water (20 mL) and kept in refrigerator for 48 h. The precipitate thus formed was filtered, dried under reduced pressure and crystallized with ethyl acetate to afford white crystals of the required product **(85a)** (0.16 g, 72.72 %) m.p. 179-81 °C.

Anal.:

TLC (R_f): 0.3 (Methanol)

IR: 3255, 1082, 743

^{1}H-NMR: 9.56 (s, 1H, N*H*), 8.56-7.18 (7H, Ar*H*), 4.23-4.21 (t, 2H, CH_2), 3.94 (s, 3H, O-CH_3), 3.61(s, b, 4H, CH_2), 2.54-2.51 (t, 2H, CH_2) 2.40 (s, b, 4H, CH_2) & 2.03-1.99 (m, 2H, CH_2).

4*N*-(3-Bromophenyl)-8-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]quinazolin-4-amine (85b)

To a solution of 4*N*-(3-bromophenyl)-7-(3-chloropropoxy)-8-methoxyquinazolin-4-amine **(79)** (0.2 g, 0.47 mmole) in DMF (3 mL), 1-methylpiperazine (0.076 mL, 0.70 mmole) and anhydrous potassium carbonate (0.19 g, 1.41 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h, quenched into cold water (20 mL) and kept in refrigerator for 48 h. The precipitate thus formed was filtered, dried under reduced pressure and crystallized with ethyl acetate to afford white crystals of the desired product **(85b)** (0.18 g, 78.26 %) m.p. 195-97 °C.

Anal.:

TLC (R_f): 0.25 (50% Methanol in chloroform)

IR: 3247, 1083, 747

^{1}H-NMR: 8.78 (s, 1H, N*H*), 8.04-7.27 (7H, Ar*H*), 4.25-4.23 (t, 2H, CH_2), 4.00 (s, 3H, OCH_3), 2.33-2.31 (t, 2H, CH_2), 2.29-2.22 (m, 6H, CH_2), 2.21 (s, 3H, NCH_3) & 2.13-2.09 (m, 2H, CH_2).

4*N*-(3-Bromophenyl)-8-methoxy-7-[3-(1,2,4-triazol-1-yl)propoxy]quinazolin-4-amine (85c)

To a solution of 4*N*-(3-bromophenyl)-7-(3-chloropropoxy)-8-methoxyquinazolin-4-amine **(79)** (0.2 g, 0.47 mmole) in DMF (3 mL), 1,2,4-triazole (0.048 g, 0.70 mmole) and anhydrous potassium carbonate (0.19 g, 1.41 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h, quenched into cold water (20 mL) and kept in refrigerator for 48 h. The precipitate thus formed was filtered, dried under reduced pressure and crystallized with ethyl acetate to afford white crystals of the desired product **(85c)** (0.16 g, 76.19 %) m.p. 55-57 °C.

Anal.:

TLC (R_f): 0.74 (50 % Methanol in chloroform)

IR: 3360, 1089,748

^{1}H-NMR: 9.66 (s, 1H, N*H*), 8.57-7.17 (9H, Ar*H*), 4.45-4.43 (t, 2H, CH_2), 4.17-4.14 (t, 2H, CH_2), 3.97 (s, 3H, OCH_3) & 2.37-2.34 (m, 2H, CH_2).

4*N*-[4-(Benzyloxy)-3-chlorophenyl]-8-methoxy-7-[3-(4-morpholino)propoxy]quinazolin-4-amine (86a)

To a solution of 4*N*-(4-(benzyloxy-3-chloro)phenyl-7-(3-chloropropoxy)-8-methoxy quinazolin-4-amine **(80)** (0.2 g, 0.41 mmole) in DMF (3 mL), morpholine (0.53mL, 0.61 mmole) and anhydrous potassium carbonate (0.16 g, 1.23 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h, quenched into cold water (20 mL) and kept in refrigerator for 48 h. The precipitate thus formed was filtered, dried under reduced pressure and crystallized with ethyl acetate to afford white crystals of the desired product **(86a)** (0.14 g, 72.4 %) m.p. 173-75 °C.

Anal.:

TLC (R_f): 0.39 (Methanol)

IR: 3262, 1115, 1084, 738

^{1}H-NMR: 8.70 (s, 1H, N*H*), 7.77-6.95 (11H, Ar*H*), 4.26-4.23 (t, 2H, CH_2), 5.14 (s, 2H, CH_2) 4.11 (s, 3H, OCH_3), 3.72 (bs, 4H, CH_2), 2.59-2.57 (t, 2H, CH_2), 2.48 (bs, 4H, CH_2) & 2.08-2.05 (m, 2H, CH_2).

4*N*-[4-(Benzyloxy)-3-chlorophenyl]-8-methoxy-7-(3-(4-methyl-1-piperazinyl)propoxy) quinazolin-4-amine (86b)

To a solution of 4*N*-(4-(benzyloxy-3-chloro)phenyl-7-(3-chloropropoxy)-8-methoxy quinazolin-4-amine **(80)** (0.2 g, 0.41 mmole) in DMF (3 mL), N-methylpiperazine (0.06mL, 0.61 mmole) and anhydrous potassium carbonate (0.16 g, 1.23 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h, quenched into cold water (20 mL) and kept in refrigerator for 48 h. The precipitate thus formed was filtered, dried under reduced pressure and crystallized with ethyl acetate to afford white crystals of the desired piperazine derivative **(86b)** (0.15 g, 79.5 %) m.p. 154-56 °C.

Anal.:

TLC (R_f): 0.22 (Methanol)

IR: 3139, 1076, 735

^{1}H-NMR: 8.73 (s, 1H, Ar*H*), 7.78-6.96 (11H, Ar*H*), 5.16 (s, 2H, CH_2), 4.25-4.23 (t, 2H, CH_2), 4.07 (s, 3H, OCH_3), 2.61-2.58 (t, 2H, CH_2), 2.32 (bs, 4H, CH_2) 2.29 (s, 3H, NCH_3) 2.08-2.04 (m, 2H, CH_2) 1.79 (bs, 4H, CH_2).

4*N*-[4-(Benzyloxy)-3-chlorophenyl]-8-methoxy-7-[3-(1,2,4-triazol-1-yl)propoxy] quinazolin-4-amine (86c)

To a solution of 4*N*-(4-(benzyloxy-3-chloro)phenyl-7-(3-chloropropoxy)-8-methoxy quinazolin-4-amine **(80)** (0.2 g, 0.41 mmole) in DMF (3 mL), 1,2,4-triazole (0.04 g, 0.61 mmole) and anhydrous potassium carbonate (0.16 g, 1.23 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h, quenched into cold water (20 mL) and kept in refrigerator for 48 h. The precipitate thus formed was filtered, dried under reduced pressure and crystallized with ethyl acetate to afford white crystals of the required triazole derivative **(86c)** (0.13 g, 70.3 %) m.p. 167-69 °C.

Anal.:

TLC (R_f): 0.6 (50 % Methanol in ethyl acetate)

IR (KBr): 3139, 1076, 744

^{1}H-NMR: 9.54 (s, 1H, N*H*), 8.52-7.01 (13H, Ar*H*), 5.19 (s, 2H, CH_2), 4.51-4.48 (t, 2H, CH_2), 4.20-4.18 (t, 2H, CH_2), 4.03 (s, 3H, OCH_3) & 2.45-4.41 (m, 2H, CH_2).

4*N*-[3-Chloro-4-(3-fluorobenzyloxy)phenyl]-8-methoxy-7-[3-(4-morpholino)propoxy] quinazolin-4-amine (87a)

To a solution of 4*N*-[3-chloro-4-(3-fluorobenzyloxy)phenyl]-7-(3-chloropropoxy)-8-methoxyquinazolin-4-amine **(81)** (0.2 g, 0.39 mmole) in DMF (3 mL), morpholine (0.52 mL, 0.59 mmole) and anhydrous potassium carbonate (0.16 g, 1.19 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h, quenched into cold water (20 mL) and kept in refrigerator for 48 h. The precipitate thus formed was filtered, dried under reduced pressure and crystallized with ethyl acetate to afford white crystals of the desired product **(87a)** (0.14 g, 64.3 %) m.p. 152-54 °C.

Anal.:

TLC (R_f): 0.55 (50% Methanol in ethyl acetate)

IR: 3262, 3145, 1115, 1084, 776

^{1}H-NMR: 8.73 (s, 1H, N*H*), 7.75--6.948 (10H, Ar*H*), 5.14 (s, 2H, CH_2), 4.27-4.24 (t, 2H, CH_2), 4.07 (s, 3H, OCH_3), 3.72 (bs, 4H, CH_2), 2.59-2.57 (t, 2H, CH_2) 2.48 (bs, 4H, CH_2) & 2.08-2.04 (m, 2H, CH_2).

4*N*-[3-Chloro-4-(3-fluorobenzyloxy)phenyl]-8-methoxy-7-[3-(4-methyl-1-piperazinyl) propoxy]quinazolin-4-amine (87b)

To a solution of 4*N*-[3-chloro-4-(3-fluorobenzyloxy)phenyl]-7-(3-chloropropoxy)-8-methoxyquinazolin-4-amine **(81)** (0.2 g, 0.39 mmole) in DMF (3 mL), N-methylpiperazine (0.06 mL, 0.59 mmole) and anhydrous potassium carbonate (0.16 g, 1.19 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h, quenched into cold water (20 mL) and kept in refrigerator for 48 h. The precipitate thus formed was filtered, dried under reduced pressure and crystallized with ethyl acetate to afford white crystals of the required piperazine derivative **(87b)** (0.15 g, 68.86 %) m.p. 141-43 °C.

Anal.:

TLC (R_f): 0.22 (30 % Chloroform in methanol)

IR: 3179, 1087, 784

^{1}H-NMR: 8.73 (s, 1H, N*H*), 7.77-6.95 (10H, Ar*H*), 5.14 (s, 3H, CH_2), 4.26-4.23 (t, 2H, CH_2), 4.07 (s, 3H, OCH_3), 2.60-2.58 (t, 2H, CH_2), 2.29 (b s, 4H, CH_2), 2.09-2.05 (m, 2H, CH_2) 1.79 (bs, 4H) & 1.25 (s, 3H,NCH_3).

4*N*-[3-Chloro-4-(3-fluorobenzyloxy)phenyl]-8-methoxy-7-[3-(1,2,4-triazol-1-yl)propoxy] quinazolin-4-amine (87c)

To a solution of 4*N*-[3-chloro-4-(3-fluorobenzyloxy)phenyl]-7-(3-chloropropoxy)-8-methoxyquinazolin-4-amine **(81)** (0.2 g, 0.39 mmole) in DMF (3 mL), 1,2,4-triazole (0.041gm, 0.59 mmole) and anhydrous potassium carbonate (0.16 g, 1.19 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h, quenched into cold water (20 mL) and kept in refrigerator for 48 h. The precipitate thus formed was filtered, dried under reduced pressure and crystallized with ethyl acetate to afford white crystals of the desired triazole derivative **(87c)** (0.11 g, 53.8 %) m.p. 169-71 °C.

Anal.:

TLC (R_f): 0.7 (70 % Ethyl acetate in hexane)

IR: 3257, 1098, 725

^{1}H-NMR: 9.53 (s, 1H, N*H*), 8.58-7.27 (12H, Ar*H*), 5.19 (s, 2H, CH_2), 4.52-4.49 (t, 2H, CH_2), 4.20-4.18 (t, 2H, CH_2), 4.03 (s, 3H, OCH_3) & 2.44-4.40 (m, 2H, CH_2).

4*N*-[3-Chloro-4-(2-pyridinyl)methoxyphenyl]-8-methoxy-7-[3-(4-morpholino)propoxy] quinazolin-4-amine (88a)

To a solution of 4*N*-[3-chloro-4-(2-pyridinyl)methoxy)phenyl]-7-(3-chloropropoxy)-8-methoxyquinazolin-4-amine **(82)** (0.2 g, 0.41 mmole) in DMF (3 mL), morpholine (0.53mL, 0.61 mmole) and anhydrous potassium carbonate (0.16 g, 1.23 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h, quenched into cold water (20 mL) and kept in refrigerator for 48 h. The precipitate thus formed was filtered, dried under reduced pressure and crystallized with ethyl acetate to afford white crystals of the desired product **(88a)** (0.13 g, 75.2 %) m.p. 154-56 °C.

Anal.:

TLC (R_f): 0.29 (50 % Methanol in ethyl acetate)

IR: 3132, 1089, 759.

^{1}H-NMR: 8.71 (s, 1H, N*H*), 8.58-8-7.00-6.99 (10H, Ar*H*), 4.26-4.23 (t, 2H, CH_2), 4.06 (s, 3H, OCH_3), 3.77-3.74 (t, 4H, CH_2), 2.66-2.64 (t, 2H, CH_2), 2.55 (bs, 4H, CH_2) & 2.12-2.08 (m, 2H, CH_2).

4*N*-[3-Chloro-4-(2-pyridinyl)methoxyphenyl]-8-methoxy-7-[3-(4-methy-1-lpiperazinyl) propoxy]quinazolin-4-amine (88b)

To a solution of of 4*N*-[3-chloro-4-(2-pyridinyl)methoxy)phenyl]-7-(3-chloropropoxy)-8-methoxyquinazolin-4-amine **(82)** (0.2 g, 0.41 mmole) in DMF (3 mL), *N*-methylpiperazine (0.06mL, 0.61 mmole) and anhydrous potassium carbonate (0.16 g, 1.23 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h, quenched into cold water (20 mL) and kept in refrigerator for 48 h. The precipitate thus formed was filtered, dried under reduced pressure and crystallized with ethyl acetate to afford white crystals of methylpiperazine derivative **(88b)** (0.13 g, 78.4 %) m.p. 137-39 °C.

Anal.:

TLC (R_f): 0.26 (50% Methanol in ethyl acetate)

IR: 3173, 1088, 756

^{1}H-NMR: 8.73 (s, 1H, N*H*), 8.59-7.02 (10H, Ar*H*), 5.15 (s, 2H, CH_2), 4.25-4.22 (t, 2H, CH_2), 4.07 (s, 3H, OCH_3), 2.66-2.64 (t, 2H, CH_2) 2.29 (s, 3H, NCH_3) & 2.09-2.05 (m, 2H, CH_2).

4*N*-[3-chloro-4-(2-pyridinyl)methoxyphenyl]-8-methoxy-7-[3-(1,2,4-triazole-1-yl)propoxy] quinazolin-4-amine (88c)

To a solution of 4*N*-[3-chloro-4-(2-pyridinyl)methoxy)phenyl]-7-(3-chloropropoxy)-8-methoxyquinazolin-4-amine **(82)** (0.2 g, 0.41 mmole) in DMF (3 mL), 1,2,4-triazole (0.04 g, 0.61 mmole) and anhydrous potassium carbonate (0.16 g, 1.23 mmole) were added. The reaction mixture was stirred at 60 °C on oil bath under anhydrous conditions for 12 h, quenched into cold water (20 mL) and kept in refrigerator for 48 h. The precipitate thus formed was filtered, dried under reduced pressure and crystallized with ethyl acetate to afford white crystals of the desired triazole derivative **(88c)** (0.12 g, 71.5 %) m.p. 162-64 °C.

Anal.:

TLC (R_f): 0.43 (50 % Methanol in ethyl acetate)

IR: 3121, 1078, 765

^{1}H-NMR: 8.69 (s, 1H, N*H*), 8.57-97 (12H, Ar*H*), 5.17 (s, 2H, CH_2) 4.48-4.45 (t, 2H, CH_2), 4.10-4.05 (m, 6H, CH_2), 4.03 (s, 3H, OCH_3) & 2.48-2.43 (m, 2H, CH_2).