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# One-Pot Three Component Cascade Synthesis of Fused Ring Quinazoline-2,4-dione Derivatives Employing Heterocyclic Ketene Aminals as a Versatile Synthone

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One-pot three component cascade protocol for the synthesis of quinazoline-2,4-dione derivatives was designed and a series of twenty fused ring quinazoline-2,4-dione derivatives **11a-11j** and **12a-12j** were synthesized by the reaction of heterocyclic ketene aminal, barbituric acid and benzaldehyde. Structure of all the synthesized quinazoline-2,4-dione derivatives was established on the basis of analytical (C, H, N) and spectroscopic ($^1$H NMR, $^{13}$C NMR and mass) data and mechanism for the cascade reaction was proposed.

**Keywords: Heterocyclic ketene aminal, Barbituric acid, Quinazoline-2,4-dione, Cascade synthesis.**

## INTRODUCTION

Fused ring quinazoline-dione **1-5** (Fig. 1) containing three or more than three nitrogen atoms, are the metabolites[1] of fungi family and posses number of biological activities like antibacterial[2], antianxiety agents[3], antiviral[4], antileishmanial agents[5]. Synthesis of naturally occurring compounds or similitude to them (as compound **6**) is worth pursuing in the field of organic chemistry. Here we wish to employ the heterocyclic ketene aminals (HKAs) as a versatile synthon to synthesize fused ring quinazoline-dione derivatives having four nitrogen atom in the rings and have partially resembled with the naturally occurring metabolites **1-5**[6].

Aliphatic/cyclic ketene aminals **7a/7b** (Fig. 2) are important synthons to build synthetic operations especially the construction of novel heterocyclic compounds[7-11]. It is due to their diversity and *bis*-nucleophilic property that the electrophiles may be attacked in three different ways *i.e.*, either by N, or C, or O atom of the heterocyclic ketene aminals (HKAs) depending upon the nature of amino group at β-carbon and effect of electron attracting group at α-carbon[12]. Condensation with *bis*-electrophile through α-carbon and secondary nitrogen to form heterocyclic ring is one of the outstanding features[13,14] of HKAs **7b**. The nucleophilicity of the α-carbon atom is much higher than the nitrogen atom of the secondary amino moieties of HKAs which makes the α-carbon more capable to participate predominantly in the variety of chemical transformations[15,16] and to obtain compounds having biological properties[17].

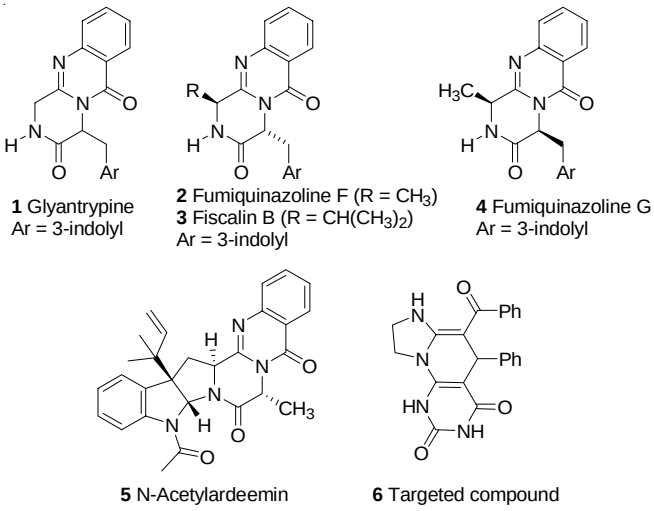



Fig. 1. Naturally occurring fused ring quinazoline-dione **1-5** and target compound **6**

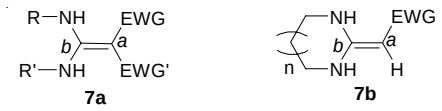



Fig. 2. Heterocyclic ketene aminals

Such significant and diverse properties have raised an interest to investigate some more acyclic and cyclic derivatives

of HKAs. A number of synthetic methodologies have been employed to access the simple and poly heterocycles having two or three fused rings utilizing HKAs motifs by previous workers[18-22]. Here we wish to report our efforts to develop a new sequential one-pot synthetic method for the preparation of polycyclic fused ring quinazolone-3,4-dione derivatives employing HKAs **7b** as synthons and arylidine derivative of barbituric acid as *bis*-electrophile to react in a cascade way to build novel fused ring heterocycles.

## EXPERIMENTAL

All chemicals and solvents were purchased from Aldrich, Fluka and Merck-Schuchatdt. Heterocyclic ketone animals were synthesized through the standard procedure[23]. Melting points were determined on cover slips by using a Fisher-Johns melting point apparatus and are uncorrected. Elemental (C, H, N) analyses were performed on a Leco CHNS-9320 (USA) elemental analyzer and were in full agreement with the proposed structures within ± 0.4 % of the theoretical limits, except where noted otherwise. Infrared (IR) spectra (KBr discs) were run on Shimadzu Prestige-21 FT-IR spectrometer. Proton nuclear magnetic resonance ($^1$H NMR) spectra were recorded in DMSO-$d_6$ on Bruker (Rhenistetten-Forchheim, Germany) AM 300 spectrometer, operating at 300 MHz and using TMS as an internal standard. The chemical shifts (δ) are reported in parts per million (ppm) and coupling constants in Hz. Carbon-13 nuclear magnetic resonance ($^{13}$C NMR) spectra were recorded at 75 MHz with the same internal standard. The electron impact mass spectra (EI MS) were determined with MAT-312, JEOL MSRoute and JEOL JMS 600 mass spectrometers. The progress of the reaction and purity of the products were checked on TLC plates coated with Merck silica gel 60 $GF_{254}$ and the spots were visualized under ultraviolet light at 254 and 366 nm.

**General procedure for the preparation of substituted quinazoline-2,4(3*H*)-dione (11a-11j and 12a-12j):** Malononitrile **8** (1 mmol) and respective aldehyde (1 mmol) were stirred in DMSO (10 mL) containing a catalytic amount of pyridine at 80 ºC for 0.5 h. The appropriate HKAs (1.0 mmol) was added and the reaction mixture was further stirred for 2 h at 80 ºC. After completion of reaction, as indicated by TLC, the crystalline or amorphous solid formed at room temperature was collected by suction filtration. Thorough washing with aqueous ethanol furnished the target fused ring heterocycles **11a-11j** and **12a-12j** in pure form.

**6-Benzoyl-5-phenyl-5,7,8,9-tetrahydro-1*H*-imidazo-[1,2-h]quinazoline-2,4(3*H*)-dione (11a):** Yield 86 % as yellow powder; m.p. 256-258 ºC; IR (KBr, $\nu_{max}$, cm$^{-1}$): 3380, 3302, 3260 (NH stretching), 1710, 1695, 1687 (C=O), 1606 (C=C); $^1$H NMR (300 MHz, DMSO-$d_6$) δ, ppm, 3.98 (t, *J* = 9.1 Hz, 2H, $CH_2$), 4.35 (t, *J* = 8.4 Hz, 2H, $CH_2$), 4.95 (s, 1H, $C_5$-H), 7.02-7.65 (m, 8H, phenyl-H), 7.95 (d, *J* = 7.8Hz, 2H, phenyl-H), 8.50 (s, 1H, NH), 10.85 (s, 1H, CONH), 13.26 (s, 1H, CONHCO); $^{13}$C NMR (75 MHz, DMSO-$d_6$) δ, ppm, 43.10 ($CH_2$), 43.77 ($CH_2$), 67.47 (CH), 94.14, 115.47 (CH), 115.76 (CH), 120.81, 124.67 (CH), 125.71 (CH), 125.87 (CH), 127.52 (CH), 131.79, 139.81, 146.11, 155.64, 157.39, 158.95, 166.83, 191.03; EI MS (70 eV) *m/z* (%), 386 ($M^+$, 31), 358(10), 330(36), 309(9), 281(18), 263(53), 235(14), 205(11), 186(37), 135(61), 123(63), 105(42), 77(100); Anal calcd. (%) for $C_{22}H_{18}N_4O_3$: C, 68.38; H, 4.70; N, 14.50. Found (%): C, 68.14; H, 4.69; N, 14.47.

**6-(4-Methylbenzoyl)-5-phenyl-5,7,8,9-tetrahydro-1*H*-imidazo[1,2-h]quinazoline-2,4(3) -dione (11b):** Yield 81 % as yellow powder; m.p. 244-246 ºC; IR (KBr, $\nu_{max}$, cm$^{-1}$): 3380, 3290, 3215 (NH stretching), 1715, 1695, 1680 (C=O), 1606 (C=C); $^1$H NMR (300 MHz, DMSO-$d_6$) δ, ppm, 2.27 (s, 3H, $CH_3$), 3.96 (t, *J* = 9.0 Hz, 2H, $CH_2$), 4.33 (t, *J* = 8.6 Hz, 2H, $CH_2$), 4.97 (s, 1H, $C_5$-H), 7.04 (d, *J* = 7.9 Hz, 2H, phenyl-H), 7.18-7.43 (m, 5H, phenyl-H), 7.85 (d, *J* = 8.1 Hz, 2H, phenyl-H), 8.71 (s, 1H, NH), 10.76 (s, 1H, CONH), 13.23 (s, 1H, CONHCO); $^{13}$C NMR (75 MHz, DMSO-$d_6$) δ, ppm, 20.59 ($CH_3$), 42.04 ($CH_2$), 42.76 ($CH_2$), 48.40 (CH), 93.59, 106.20, 124.56 (CH), 125.09 (CH), 126.33 (CH), 128.18 (CH), 128.49 (CH), 131.07, 136.84, 139.01, 145.04, 155.64, 158.95, 166.83, 191.03; EI MS (70 eV) *m/z* (%), 400 ($M^+$, 42), 372(18), 323(52), 309(21), 295(31), 281(73), 252(9), 205(23), 186(12), 105(42), 91(100), 77(63); Anal calcd. (%) for $C_{23}H_{20}N_4O_3$: C, 68.99; H, 5.03; N, 13.99. Found (%): C, 68.73; H, 4.68; N, 14.45.

**6-(4-Chlorobenzoyl)-5-phenyl-5,7,8,9-tetrahydro-1*H*-imidazo[1,2-h]quinazoline-2,4(3*H*) -dione (11c):** Yield 75 % as orange powder; m.p. 210-212 ºC; IR (KBr, $\nu_{max}$, cm$^{-1}$): 3390, 3275, 3210 (NH stretching), 1710, 1690, 1687 (C=O), 1606 (C=C); $^1$H NMR (300 MHz, DMSO-$d_6$) δ, ppm, 3.95 (t, *J* = 9.0 Hz, 2H, $CH_2$) 4.33 (t, *J* = 8.82 Hz, 2H, $CH_2$), 4.87 (s, 1H, $C_5$-H), 7.15-7.38 (m, 5H, phenyl-H), 7.44 (d, *J* = 7.5 Hz, 2H, phenyl-H), 7.59 (d, *J* = 7.6 Hz, 2H, phenyl-H), 8.91 (s, 1H, NH), 9.84 (s, 1H, CONH), 13.15 (s, 1H, CONHCO); $^{13}$C NMR (75 MHz, DMSO-$d_6$) δ, ppm, 42.09 ($CH_2$), 42.73 ($CH_2$), 45.63 (CH), 93.09, 105.97, 123.67 (CH), 124.70 (CH), 124.88 (CH), 126.56 (CH), 127.76 (CH), 132.04, 137.40, 137.96, 145.08, 149.80, 157.93,164.92, 190.03; EI MS (70 eV) *m/z* (%), 420($M^+$, 100), 392(15), 343(51), 315(36), 281(41), 204(60), 186(32), 139(48), 77(22); Anal calcd. (%) for $C_{22}H_{17}N_4O_3Cl$: C, 62.79; H, 4.07; N, 13.31. Found (%): C, 62.64; H, 4.06; N, 13.28.

**6-(4-Fluorobenzoyl)-5-phenyl-5,7,8,9-tetrahydro-1*H*-imidazo[1,2-h]quinazoline-2,4(3*H*)-dione (11d):** Yield 72 % as orange crystals; m.p. 252-254 ºC; IR (KBr, $\nu_{max}$, cm$^{-1}$): 3382, 3291, 3213 (NH stretching), 1714, 1697, 1682 (C=O), 1603 (C=C); $^1$H NMR (300 MHz, DMSO-$d_6$) δ, ppm, 3.94 (t, *J* = 9.2 Hz, 2H, $CH_2$) 4.31 (t, *J* = 8.8 Hz, 2H, $CH_2$), 4.91 (s, 1H, $C_5$-H), 7.20-7.37 (m, 5H, phenyl-H), 7.40 (t, *J* = 8.56Hz, 2H, phenyl-H), 7.69 (dd, *J* = 7.22 Hz, 4.82 Hz, 2H, phenyl-H), 8.95 (s, 1H, NH), 9.80 (s, 1H, CONH), 13.23 (s, 1H, CONHCO); $^{13}$C NMR (75 MHz, DMSO-$d_6$) δ, ppm, 43.10 ($CH_2$), 43.77 ($CH_2$), 49.31 (CH), 94.14, 107.01, 115.47 (CH), 115.76 (CH), 120.81, 124.67 (CH), 125.71 (CH), 125.87 (CH), 127.52 (CH), 131.79 (CH), 134.91, 136.86, 139.81, 150.91, 157.39, 158.95, 166.83, 191.03; EI MS (70 eV) *m/z* (%), 404($M^+$, 100), 376(21), 327(47), 309(20), 281(57), 204(54), 123 (32), 77(62); Anal calcd. (%) for $C_{22}H_{17}N_4O_3F$: C, 65.34; H, 4.24; N, 13.85. Found (%): C, 65.30; H, 4.25; N, 13.83.

**6-(2-Furanyl)-5-phenyl-5,7,8,9-tetrahydro-1*H*-imidazo[1,2-h]quinazoline-2,4(3*H*)-dione (11e):** Yield 68 % as orange solid; m.p. 250-252 ºC; IR (KBr, $\nu_{max}$, cm$^{-1}$): 3384,

3275, 3211 (NH stretching), 1715, 1696, 1687 (C=O), 1613 (C=C); $^1$H NMR (300 MHz, DMSO-$d_6$) δ, ppm, 3.89 (t, $J$ = 8.99 Hz, 2H, $CH_2$), 4.26 (t, $J$ = 8.68 Hz, 2H, $CH_2$), 4.86 (s, 1H, $C_5$-H), 6.39 (dd, $J$ = 3.52, 1.69 Hz, 1H, fuanyl-H), 7.00 (dd, $J$ = 3.50, 0.57 Hz, 1H, fuanyl-H), 7.17 (td, $J$ = 7.50, 0.99 Hz, 1H, phenyl-H), 7.21-7.26 (m, 3H, phenyl-H, fuanyl-H), 7.30-7.41 (m, 3H, phenyl-H), 8.76 (s, 1H, NH), 9.71 (s, 1H, CONH), 13.12 (s, 1H, CONHCO); $^{13}$C NMR (75 MHz, DMSO-$d_6$) δ, ppm, 42.13 ($CH_2$), 42.71 ($CH_2$), 47.52, 92.93, 105.92, 115.43 (CH), 116.65 (CH), 119.95, 123.48 (CH), 123.62 (CH), 125.22 (CH), 126.45 (CH), 139.70, 144.83, 149.87, 157.97, 165.91, 178.41; EI MS (70 eV) *m/z* (%), 376($M^+$, 100), 348(20), 343(51), 310(15), 299(26), 282(51), 206(36), 186(32), 96(19), 77(35); Anal calcd. (%) for $C_{20}H_{16}N_4O_4$: C, 63.82; H, 4.28; N, 14.89. Found (%): C, 63.77; H, 4.27; N, 14.85.

**6-Benzoyl, 5-phenyl, 7,8,9,10-tetrahydro-1*H*-pyrimido-[1,2-h]quinazoline-2,4(3*H*,5*H*)-dione (11f):** Yield 87 % as orange solid; m.p. 206-207 ºC; IR (KBr, $\nu_{max}$, $cm^{-1}$): 3374, 3291, 3242 (NH stretching), 1702, 1683, 1671 (C=O), 1603 (C=C); $^1$H NMR (300 MHz, DMSO-$d_6$) δ, ppm, 2.31 (p, $J$ = 5.8 Hz, 2H, $CH_2$), 3.52 (td, $J$ = 6.0, 2.6 Hz, 2H, $CH_2$), 4.25 (t, $J$ = 5.9 Hz, 2H, $CH_2$), 4.89 (s, 1H, $C_5$-H), 7.10-7.25 (m, 3H, phenyl-H), 7.28-7.32 (m, 5H, phenyl-H), 7.67 (dd, $J$ = 8.1, 1.4 Hz, 2H, phenyl-H), 9.71 (s, 1H, CONH), 10.29 (s, 1H, NH), 13.12 (s, 1H, CONHCO); $^{13}$C NMR (75 MHz, DMSO-$d_6$) δ, ppm, 19.70 ($CH_2$), 38.95 ($CH_2$), 39.52 ($CH_2$), 46.84 (CH), 94.60, 107.02, 124.36 (CH), 125.45 (CH), 126.06 (CH), 127.17 (CH), 128.31 (CH), 129.78 (CH), 140.52, 141.51, 145.66, 150.88, 159.89, 168.24, 192.72; EI MS (70 eV) *m/z* (%), 400($M^+$, 100), 372(42), 323(36), 295(25), 246(23), 218(51), 186(32), 105(67), 77(48); Anal calcd. (%) for $C_{23}H_{20}N_4O_3$: C, 68.99; H, 5.03; N, 13.99. Found (%): C, 68.94; H, 5.02; N, 13.97.

**6-(4-Methylbenzoyl),5-phenyl,7,8,9,10-tetrahydro-1*H*-pyrimido[1,2-h]quinazoline-2,4(3*H*,5*H*)-dione (11g):** Yield 87 % as orange amorphous; m.p. 246-247 ºC; IR (KBr, $\nu_{max}$, $cm^{-1}$): 3384, 3282, 3209 (NH stretching), 1712, 1690, 1683 (C=O), 1603 (C=C); $^1$H NMR (300 MHz, DMSO-$d_6$) δ, ppm, 2.11 (p, $J$ = 5.84 Hz, 2H, $CH_2$), 2.29 (s, 3H, $CH_3$), 3.50 (td, $J$ = 5.83, 2.68 Hz, 2H, $CH_2$), 4.20 (t, $J$ = 5.71 Hz, 2H, $CH_2$), 4.71 (s, 1H, $C_5$-H), 7.04-7.28 (m, 7H, phenyl-H), 7.57 (d, $J$ = 8.09 Hz, 2H, phenyl-H), 9.68 (s, 1H, CONH), 10.22 (s, 1H, NH), 13.01 (s, 1H, CONHCO); $^{13}$C NMR (75 MHz, DMSO-$d_6$) δ, ppm, 19.75 ($CH_2$), 21.52 ($CH_3$), 38.94 ($CH_2$), 39.53 ($CH_2$), 45.47 (CH), 94.61, 106.29, 124.34 (CH), 125.47 (CH), 126.21 (CH), 127.14 (CH), 129.01 (CH), 130.84, 138.83, 140.59, 142.56, 145.70, 150.88, 159.89, 164.81, 192.76; EI MS (70 eV) *m/z* (%), 414($M^+$, 100), 386(51), 337(42), 323(24), 309(39), 295(63), 246(6), 218(17), 119(21), 91(34), 77(20); Anal calcd. (%) for $C_{24}H_{22}N_4O_3$: C, 69.55; H, 5.35; N, 13.52. Found (%): C, 69.52; H, 5.34; N, 13.50.

**6-(4-Chlorobenzoyl),5-phenyl,7,8,9,10-tetrahydro-1*H*-pyrimido[1,2-h]quinazoline-2,4(3*H*,5*H*)-dione (11h):** Yield 91 % as orange solid; m.p. 232-234 ºC; IR (KBr, $\nu_{max}$, $cm^{-1}$): 3360, 3306, 3240 (NH stretching), 1706, 1695, 1690 (C=O), 1615 (C=C); $^1$H NMR (300 MHz, DMSO-$d_6$) δ, ppm, 2.13 (p, $J$ = 5.82 Hz, 2H, $CH_2$), 3.52 (td, $J$ = 5.89, 2.67 Hz, 2H, $CH_2$), 4.21 (t, $J$ = 5.91 Hz, 2H, $CH_2$), 4.92 (s, $C_5$-H), 7.15-7.32 (m, 7H, phenyl-H), 7.61 (d, $J$ = 8.37 Hz, 2H, phenyl-H), 9.73 (s, 1H, CONH), 10.36 (s, 1H, NH), 13.13 (s, 1H, CONHCO); $^{13}$C NMR (75 MHz, DMSO-$d_6$) δ, ppm, 19.62 ($CH_2$), 38.97 ($CH_2$), 39.57 ($CH_2$), 46.92 (CH), 94.36, 105.82, 124.55(CH), 125.64(CH), 126.03(CH), 127.42(CH), 128.59(CH), 131.10, 138.03, 139.89, 140.24, 151.74, 159.89, 167.31 191.04; EI-MS (*m/z*, %) 434($M^+$, 100), 406(47), 357(23), 323(14), 295(71), 218(8), 139(42), 111(13), 77(27); Anal calcd. (%) for $C_{23}H_{19}N_4O_3Cl$: C, 63.52; H, 4.40; N, 12.88. Found (%): C, 63.47; H, 4.40; N, 12.89.

**6-(4-Fluorobenzoyl),5-phenyl,7,8,9,10-tetrahydro-1*H*-pyrimido[1,2-h]quinazoline-2,4(3*H*,5*H*)-dione (11i):** Yield 73 % as orange solid; m.p. 258-260 ºC; IR (KBr, $\nu_{max}$, $cm^{-1}$): 3410, 3285, 3242 (NH stretching), 1704, 1696, 1685 (C=O), 1610 (C=C); $^1$H NMR (300 MHz, DMSO-$d_6$) δ, ppm, 2.13 (p, $J$ = 6.03 Hz, 2H, $CH_2$), 3.53 (t, $J$ = 5.62 Hz, 2H, $CH_2$), 4.20 (t, $J$ = 5.40 Hz, 2H, $CH_2$), 4.81 (s, $C_5$-H), 7.14-7.26 (m, 5H, phenyl-H), 7.44 (t, $J$ = 8.49 Hz, 2H, phenyl-H), 7.68 (dd, $J$ = 8.24, 4.62 Hz, 2H, phenyl-H), 9.65 (s, 1H, CONH), 10.32 (s, 1H, NH), 13.12 (s, 1H, CONHCO); $^{13}$C NMR (75 MHz, DMSO-$d_6$) δ, ppm, 19.65 ($CH_2$), 38.95 ($CH_2$), 39.54 ($CH_2$), 47.53 (CH), 94.32, 106.94, 115.24, 115.53, 117.88, 124.52, 125.61, 125.98, 127.33, 132.05, 132.17, 140.30, 150.64, 156.78, 159.85, 164.74, 191.04; EI-MS (*m/z*, %) 418($M^+$, 100), 390(21), 341(17), 323(23), 295(65), 218(11), 123(42), 77(25); Anal calcd. (%) for $C_{23}H_{19}N_4O_3F$: C, 66.02; H, 4.58; N, 13.39. Found (%): C, 65.97; H, 4.58; N, 13.38.

**6-(2-Furanyl),5-phenyl,7,8,9,10-tetrahydro-1*H*-pyrimido[1,2-h]quinazoline-2,4(3*H*,5*H*)-dione (11j):** Yield 76 % as orange solid; m.p. 254-256ºC; IR (KBr, $\nu_{max}$, $cm^{-1}$): 3414, 3290, 3212 (NH stretching), 1701, 1686, 1673 (C=O), 1603 (C=C); $^1$H NMR (300 MHz, DMSO-$d_6$) δ, ppm, 2.12 (p, $J$ = 5.84 Hz 2H, $CH_2$), 3.51 (t, $J$ = 5.9 Hz 2H,), 4.18 (t, $J$ = 5.68 Hz, 2H, $CH_2$), 4.85 (s, 1H, $C_5$-H), 6.38 (dd, $J$ = 3.4, 1.6 Hz, 1H, furan-H), 6.71 (d, $J$ = 7.9 Hz, 1H, furan-H), 7.12-7.40 (m, 6H, phenyl-H and furan-H), 9.41 (s, 1H, CONH), 10.02 (s, 1H, NH); 13.14 (s, 1H, CONHCO); $^{13}$C NMR (75 MHz, DMSO-$d_6$) δ, ppm, 19.67 ($CH_2$), 38.97 ($CH_2$), 39.40 ($CH_2$), 47.19 (CH), 94.19, 104.98, 112.28 (CH), 117.72 (CH), 118.15, 124.53 (CH), 126.17 (CH), 127.29 (CH), 141.24, 145.21 (CH), 145.45, 150.42, 159.86, 165.93, 179.45; EI-MS (*m/z*, %) 390($M^+$, 100), 362(34), 313(7), 323(17), 295(49), 218(24), 95(57), 77(38); Anal calcd. (%) for $C_{21}H_{18}N_4O_4$: C, 64.61; H, 4.65; N, 14.35. Found (%): C, 64.58; H, 4.64; N, 14.33.

**6-Benzoyl-5-(4-chlorophenyl)-5,7,8,9-tetrahydro-1*H*-imidazo[1,2-h]quinazoline-2,4(3*H*)-dione (12a):** Yield 86 % as yellow powder; m.p. 185-186 ºC; IR (KBr, $\nu_{max}$, $cm^{-1}$): 3364, 3331, 3252 (NH stretching), 1704, 1687, 1671 (C=O), 1623 (C=C); $^1$H NMR (300 MHz, DMSO-$d_6$) δ, ppm, 3.76 (t, $J$ = 9.0 Hz, 2H, $CH_2$), 4.13 (t, $J$ = 8.5 Hz, 2H, $CH_2$), 4.97 (s, 1H, $C_5$-H), 7.12-7.50 (m, 7H, phenyl-H), 7.67 (d, $J$ = 7.7Hz, 2H, phenyl-H), 8.52 (s, 1H, NH), 10.76 (s, 1H, CONH), 13.01 (s, 1H, CONHCO); $^{13}$C NMR (75 MHz, DMSO-$d_6$) δ, ppm, 43.12 ($CH_2$), 43.68 ($CH_2$), 45.35 (CH), 94.71, 107.01, 114.35 (CH), 114.81 (CH), 121.73, 123.83 (CH), 124.94 (CH), 127.29 (CH), 129.31 133.41, 140.74, 144.28, 154.61, 159.63, 165.41, 192.42; EI MS (70 eV) *m/z* (%), 420 ($M^+$, 85), 392(25), 357(71), 343(42), 329(20), 315(100), 281(9), 234(27), 218(40), 204(23), 152(45), 135(61), 123(63), 111(46),

105(82), 77(61); Anal calcd. (%) for $C_{22}H_{17}N_4O_3Cl$: C, 62.79; H, 4.07; N, 13.31. Found (%): C, 62.75; H, 4.06; N, 13.30.

**6-(4-Methylbenzoyl)-5-(4-chlorophenyl)-5,7,8,9-tetrahydro-1*H*-imidazo[1,2-h]quinazoline-2,4(3*H*)-dione (12b):** Yield 78 % as yellow powder; m.p. 213-214 ºC; IR (KBr, $\nu_{max}$, $cm^{-1}$): 3345, 3260, 3201 (NH stretching), 1701, 1683, 1669 (C=O), 1614 (C=C); $^1$H NMR (300 MHz, DMSO-$d_6$) δ, ppm, 2.31 (s, 3H, $CH_3$), 3.83 (t, *J* = 9.1 Hz, 2H, $CH_2$), 4.25 (t, *J* = 8.7 Hz, 2H, $CH_2$), 4.61 (s, 1H, $C_5$-H), 7.14-7.47 (m, 6H, phenyl-H), 7.73 (d, *J* = 8.2 Hz, 2H, phenyl-H), 8.93 (s, 1H, NH), 9.54 (s, 1H, CONH), 13.12 (s, 1H, CONHCO); $^{13}$C NMR (75 MHz, DMSO-$d_6$) δ, ppm, 21.28 ($CH_3$), 40.12 ($CH_2$), 43.49 ($CH_2$), 47.11 (CH), 94.60, 106,41, 125.71 (CH), 126.53 (CH), 128.20 (CH), 128.93 (CH), 129.74 (CH), 132.42, 137.50, 140.32, 146.37, 155.41, 157.30, 165.71, 190.03; EI MS (70 eV) *m/z* (%), 434 ($M^+$, 68), 406(18), 357(21), 343(100), 329(35), 315(08), 295(20), 281(51), 252(10), 218(27), 204(46), 161(14), 111(33), 91(70); Anal calcd. (%) for $C_{23}H_{19}N_4O_3Cl$: C, 63.52; H, 4.40; N, 12.88. Found (%): C, 63.48; H, 4.40; N, 12.86.

**6-(4-Chlorobenzoyl)-5-(4-chlorophenyl)-5,7,8,9-tetrahydro-1*H*-imidazo[1,2-h]quinazoline-2,4(3*H*)-dione (12c):** Yield 82 % as orange crystalline solid; m.p. 237-238 ºC; IR (KBr, $\nu_{max}$, $cm^{-1}$): 3353, 3270, 3216 (NH stretching), 1698, 1682, 1672 (C=O), 1630 (C=C); $^1$H NMR (300 MHz, DMSO-$d_6$) δ, ppm, 3.81 (t, *J* = 9.0 Hz, 2H, $CH_2$) 4.31 (t, *J* = 8.8 Hz, 2H, $CH_2$), 4.76 (s, 1H, $C_5$-H), 7.14-7.45 (m, 6H, phenyl-H), 7.59 (d, *J* = 7.6 Hz, 2H, phenyl-H), 8.81 (s, 1H, NH), 9.70 (s, 1H, CONH), 13.11 (s, 1H, CONHCO); $^{13}$C NMR (75 MHz, DMSO-$d_6$) δ, ppm, 41.86 ($CH_2$), 43.02 ($CH_2$), 46.40 (CH), 92.73, 106.72, 124.38 (CH), 125.31 (CH), 126.08 (CH), 126.49 (CH), 127.32, 132.13, 136.09, 137.84, 146.75, 150.31, 157.04, 166.80, 191.46; EI MS (70 eV) *m/z* (%), 456/454($M^+$, 62/100), 426(10), 419(9), 392(15), 357(31), 343(30), 329(21), 315(14), 300(20), 287(32), 271(41), 218(13), 204(35), 139(50), 111(57); Anal calcd. (%) for $C_{22}H_{16}N_4O_3Cl_2$: C, 58.04; H, 3.54; N, 12.31. Found (%): C, 58.01; H, 3.53; N, 12.29.

**6-(4-Fluorobenzoyl)-5-(4-chlorophenyl)-5,7,8,9-tetrahydro-1*H*-imidazo[1,2-h]quinazoline-2,4(3*H*)-dione (12d):** Yield 74 % as orange amorphous solid; m.p. 281-282 ºC; IR (KBr, $\nu_{max}$, $cm^{-1}$): 3376, 3281, 3230 (NH stretching), 1703, 1688, 1675 (C=O), 1621 (C=C); $^1$H NMR (300 MHz, DMSO-$d_6$) δ, ppm, 3.91 (t, *J* = 9.1 Hz, 2H, $CH_2$) 4.22 (t, *J* = 8.9 Hz, 2H, $CH_2$), 4.80 (s, 1H, $C_5$-H), 7.16-7.40 (m, 4H, phenyl-H), 7.42 (t, *J* = 8.6Hz, 2H, phenyl-H), 7.63 (dd, *J* = 7.2 & 4.8 Hz, 2H, phenyl-H), 8.90 (s, 1H, NH), 9.72 (s, 1H, CONH), 13.10 (s, 1H, CONHCO); $^{13}$C NMR (75 MHz, DMSO-$d_6$) δ, ppm, 42.24 ($CH_2$), 43.59 ($CH_2$), 47.50 (CH), 93.52, 105.89, 116.53 (CH), 117.20 (CH), 121.72, 123.81 (CH), 125.87 (CH), 126.02 (CH), 127.90 (CH), 132.63 (CH), 134.02, 137.12, 140.27, 147.38, 151.02, 159.04, 167.27, 190.84; EI MS (70 eV) *m/z* (%), 438($M^+$, 100), 410(11), 357(39), 343(60), 329(27), 315(51), 272(20), 234(19), 218(30) 204(53), 123(57), 111(13),; Anal calcd. (%) for $C_{22}H_{16}N_4O_3ClF$: C, 60.21; H, 3.67; N, 12.77. Found (%): C, 60.19; H, 3.66; N, 12.75.

**6-(2-Furanyl)-5-(4-chlorophenyl)-5,7,8,9-tetrahydro-1*H*-imidazo[1,2-h]quinazoline-2,4(3*H*)-dione (12e):** Yield 71 % as orange solid; m.p. 271-272 ºC; IR (KBr, $\nu_{max}$, $cm^{-1}$): 3391, 3268, 3201 (NH stretching), 1709, 1686, 1672 (C=O), 1632 (C=C); $^1$H NMR (300 MHz, DMSO-$d_6$) δ, ppm, 3.82 (t, *J* = 8.9 Hz, 2H, $CH_2$), 4.18 (t, *J* = 8.7 Hz, 2H, $CH_2$), 4.94 (s, 1H, $C_5$-H), 6.82 (dd, *J* = 3.52, 1.7 Hz, 1H, fuanyl-H), 7.02 (dd, *J* = 3.6, 0.55 Hz, 1H, fuanyl-H), 7.10 (td, *J* = 7.5, 1.0 Hz, 1H, phenyl-H), 7.21-7.37 (m, 5H, phenyl-H, fuanyl-H), 8.93 (s, 1H, NH), 9.69 (s, 1H, CONH), 13.10 (s, 1H, CONHCO); $^{13}$C NMR (75 MHz, DMSO-$d_6$) δ, ppm, 41.20 ($CH_2$), 42.92 ($CH_2$), 46.95, 93.18, 105.68, 114.02 (CH), 115.43 (CH), 120.86, 124.56 (CH), 124.97 (CH), 126.30 (CH), 126.97 (CH), 140.80, 145.12, 149.31, 158.19, 166.31, 180.13; EI MS (70 eV) *m/z* (%), 410($M^+$, 100), 382(12), 357(52), 343(40), 329(57), 310(56), 299(9), 282(51), 218(20) 204(36), 176(61), 111(25), 96(19); Anal calcd. (%) for $C_{20}H_{15}N_4O_4Cl$: C, 58.47; H, 3.68; N, 13.64. Found (%): C, 58.44; H, 3.67; N, 13.61.

**6-Benzoyl,5-(4-chlorophenyl),7,8,9,10-tetrahydro-1*H*-pyrimido[1,2-h]quinazoline-2,4(3*H*,5*H*)-dione (12f):** Yield 88 % as orange fluffy solid; m.p. 210-211 ºC; IR (KBr, $\nu_{max}$, $cm^{-1}$): 3382, 3280, 3226 (NH stretching), 1697, 1681, 1670 (C=O), 1620 (C=C); $^1$H NMR (300 MHz, DMSO-$d_6$) δ, ppm, 2.28 (p, *J* = 5.9 Hz, 2H, $CH_2$), 3.43 (td, *J* = 6.2, 2.3 Hz, 2H, $CH_2$), 4.30 (t, *J* = 5.4 Hz, 2H, $CH_2$), 4.80 (s, 1H, $C_5$-H), 7.13-7.32 (m, 6H, phenyl-H), 7.40-7.43. (m, 1H, phenyl-H), 7.59 (dd, *J* = 8.0, 1.3 Hz, 2H, phenyl-H), 9.65 (s, 1H, CONH), 10.30 (s, 1H, NH), 13.08 (s, 1H, CONHCO); $^{13}$C NMR (75 MHz, DMSO-$d_6$) δ, ppm, 20.18 ($CH_2$), 39.24 ($CH_2$), 40.13 ($CH_2$), 45.05 (CH), 93.01, 106.48, 125.18 (CH), 125.97 (CH), 126.80 (CH), 127.62 (CH), 128.94 (CH), 130.17, 141.03, 142.02, 144.98, 149.97, 160.03, 167.51, 191.23; EI MS (70 eV) *m/z* (%), 434($M^+$, 100), 406(9), 357(35), 329(50), 323(10), 286(14), 218(51), 175(19), 147(13), 105(51), 111(37), 77(20); Anal calcd. (%) for $C_{23}H_{19}N_4O_3Cl$: C, 63.52; H, 4.40; N, 12.88, 13.99. Found (%): C, 63.50; H, 4.39; N, 12.87.

**6-(4-Methylbenzoyl),5-(4-chlorophenyl),7,8,9,10-tetrahydro-1*H*-pyrimido[1,2-h]quinazoline-2,4(3*H*,5*H*)-dione (12g):** Yield 85 % as orange crystalline solid; m.p. 220-221 ºC; IR (KBr, $\nu_{max}$, $cm^{-1}$): 3374, 3260, 3218 (NH stretching), 1709, 1687, 1674 (C=O), 1628 (C=C); $^1$H NMR (300 MHz, DMSO-$d_6$) δ, ppm, 2.09 (p, *J* = 5.8 Hz, 2H, $CH_2$), 2.30 (s, 3H, $CH_3$), 3.61 (td, *J* = 5.8, 2.7 Hz, 2H, $CH_2$), 4.19 (t, *J* = 5.7 Hz, 2H, $CH_2$), 4.89 (s, 1H, $C_5$-H), 7.14-7.37 (m, 6H, phenyl-H), 7.57 (d, *J* = 8.1 Hz, 2H, Ph), 9.70 (s, 1H, CONH), 10.31 (s, 1H, NH), 13.12 (s, 1H, CONHCO); $^{13}$C NMR (75 MHz, DMSO-$d_6$) δ, ppm, 19.82 (CH2), 20.97 (CH3), 38.94 ($CH_2$), 39.53 ($CH_2$), 47.01 (CH), 93.90, 106.84, 123.98 (CH), 124.86 (CH), 126.30 (CH), 127.53 (CH), 129.92, 131.74, 136.20, 141.58, 142.80, 145.70, 151.04, 160.17, 168.02, 191.24; EI MS (70 eV) *m/z* (%), 448($M^+$, 100), 420(12), 357(50), 337(18), 329(61), 323(10), 218(51), 175(19), 147(7), 105(51), 119(11), 111(30), 91(64); Anal calcd. (%) for $C_{24}H_{21}N_4O_3Cl$: C, 64.21; H, 4.72; N, 12.48. Found (%): C, 64.20; H, 4.72; N, 12.47.

**6-(4-Chlorobenzoyl),5-(4-chlorophenyl),7,8,9,10-tetrahydro-1*H*-pyrimido[1,2-h]quinazoline-2,4(3*H*,5*H*)-dione (12h):** Yield 91 % as orange solid; m.p. 204-205 ºC; IR (KBr, $\nu_{max}$, $cm^{-1}$): 3381, 3332, 3259 (NH stretching), 1697, 1680, 1676 (C=O), 1606 (C=C); $^1$H NMR (300 MHz, DMSO-$d_6$) δ, ppm, 2.22 (p, *J* = 5.8 Hz, 2H, $CH_2$), 3.5 (td, *J* = 5.9, 2.7 Hz, 2H, $CH_2$), 4.01 (t, *J* = 5.9 Hz, 2H, $CH_2$), 4.87 (s, $C_5$-H), 7.13-7.41 (m, 6H, phenyl-H), 7.59 (d, *J* = 8.4 Hz, 2H, phenyl-H), 9.81 (s, 1H, CONH), 10.42 (s, 1H, NH), 13.10 (s, 1H,

CONHCO); $^{13}$C NMR (75 MHz, DMSO-$d_6$) δ, ppm, 20.13 ($CH_2$), 39.06 ($CH_2$), 40.18 ($CH_2$), 46.14 (CH), 92.73, 105.71, 124.34 (CH), 124.98(CH), 127.12(CH), 127.80(CH), 131.75 132.61, 138.03, 138.76, 141.01, 150.92, 160.04, 166.29, 192.18; EI-MS (*m/z*, %) 468($M^+$, 100), 440(12*)*, 433(17), 357(58), 337(18), 329(72), 314(24), 218(63), 175(20), 147(6), 139(18), 111(25); Anal calcd. (%) for $C_{23}H_{18}N_4O_3Cl_2$: C, 58.86; H, 3.87; N, 11.94. Found (%): C, 58.82; H, 3.86; N, 11.93.

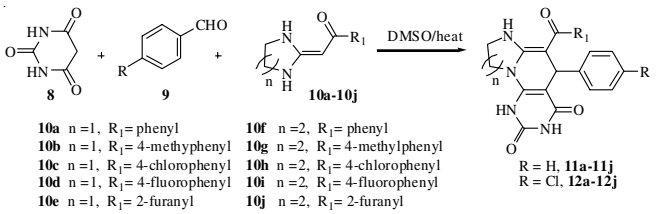
**6-(4-Fluorobenzoyl),5-(4-chlorophenyl),7,8,9,10-tetrahydro-1*H*-pyrimido[1,2-h]quinazoline-2,4(3*H*,5*H*)-dione (12i):** Yield 73 % as orange solid; m.p. 291-292 ºC; IR (KBr, $\nu_{max}$, $cm^{-1}$): 3408, 3294, 3237 (NH stretching), 1707, 1692, 1675 (C=O), 1625 (C=C); $^1$H NMR (300 MHz, DMSO-$d_6$) δ, ppm, 2.09 (p, *J* = 6.1 Hz, 2H, $CH_2$), 3.61 (t, *J* = 5.6 Hz, 2H, $CH_2$), 4.18 (t, *J* = 5.4 Hz, 2H, $CH_2$), 4.79 (s, $C_5$-H), 7.13-7.36 (m, 4H, phenyl-H), 7.44 (t, *J* = 8.5 Hz, 2H, phenyl-H), 7.68 (dd, *J* = 8.2, 4.6 Hz, 2H, Ph), 9.74 (s, 1H, NH), 10.40 (s, 1H, CONH), 13.09 (s, 1H, CONHCO); $^{13}$C NMR (75 MHz, DMSO-$d_6$) δ, ppm, 19.71 ($CH_2$), 38.04 ($CH_2$), 40.71 ($CH_2$), 47.82 (CH), 95.40, 107.04, 125.02, 125.97, 126.04, 126.84(CH), 127.51, 127.90(CH), 132.84, 133.08, 141.92, 146.04, 155.93, 161.02, 166.81, 192.52; EI-MS (*m/z*, %) 452($M^+$, 100), 390(21), 357(62), 424(16), 341(27), 329(78), 286(25), 218(51), 175(19), 123(18), 111(14), 95(10); Anal calcd. (%) for $C_{23}H_{18}N_4O_3ClF$: C, 61.00; H, 4.01; N, 12.37. Found (%): C, 60.93; H, 4.00; N, 12.35.

**6-(2-Furanyl),5-(4-chlorophenyl),7,8,9,10-tetrahydro-1*H*-pyrimido[1,2-h]quinazoline-2,4(3*H*,5*H*)-dione (12j):** Yield 76 % as orange fluffy crystals; m.p. 207-208 ºC; IR (KBr, $\nu_{max}$, $cm^{-1}$): 3404, 3312, 3279 (NH stretching), 1710, 1692, 1678 (C=O), 1635 (C=C); $^1$H NMR (300 MHz, DMSO-$d_6$) δ, ppm, 2.15 (p, *J* = 5.8 Hz 2H, $CH_2$), 3.62 (t, *J* = 5.9 Hz 2H, $CH_2$), 4.18 (t, *J* = 5.68 Hz, 2H, $CH_2$), 4.85 (s, 1H, $C_5$-H), 6.40 (dd, *J* = 3.5, 1.5 Hz, 1H, furan-H), 6.81 (d, *J* = 7.9 Hz, 1H, furan-H), 7.14-7.46 (m, 5H, phenyl-H & furan-H), 9.62 (s, 1H, NH), 10.73 (s, 1H, CONH); 13.18 (s, 1H, CONHCO); $^{13}$C NMR (75 MHz, DMSO-$d_6$) δ, ppm, 18.97 ($CH_2$), 38.06 ($CH_2$), 40.03 ($CH_2$), 45.86 (CH), 94.08, 107.08, 104.83, 115.49 (CH), 117.38 (CH), 119.04, 125.01 (CH), 126.98 (CH), 127.05 (CH), 144.83, 145.06, 151.97, 160.54, 165.01, 181.71; EI-MS (*m/z*, %) 424($M^+$, 100), 396(18), 357(75), 329(57), 313(26), 286(8) 218(51), 175(19), 147(7), 111(43), 119(11), 95(37); Anal calcd. (%) for $C_{21}H_{17}N_4O_4Cl$: C, 59.37; H, 4.03; N, 13.19. Found (%): C, 59.33; H, 4.02; N, 13.17.

## RESULTS AND DISCUSSION

For this purpose, barbituric acid **8** and benzaldehyde **9** (R=H) was chosen to investigate the scope of reaction with HKA **10a** (n = 1, $R_1$ = Ph) (**Scheme-I**) in various polar and non-polar solvents to obtain the targeted quinazolone derivative **11a** and DMSO was found to be the solvent of choice with respect to the isolated yield of **11a** (Table-1: entry 9).

Different catalysts were also screened in DMSO as solvent to check their effect on the product yield of **11a** (Table-1, entry 8-14). The solvent polarity was found to play an important role on the yield of the heterocycle **11a**. The product **11a** was observed as sole product when the solvent system was switched from non-polar dichloromethane to polar DMSO in the presence of pyridine base as catalyst whereas, moderate yields of **11a** were achieved in presence of other organic basis.




**10a** n =1, $R_1$= phenyl
**10b** n =1, $R_1$= 4-methyphenyl
**10c** n =1, $R_1$= 4-chlorophenyl
**10d** n =1, $R_1$= 4-fluorophenyl
**10e** n =1, $R_1$= 2-furanyl
**10f** n =2, $R_1$= phenyl
**10g** n =2, $R_1$= 4-methylphenyl
**10h** n =2, $R_1$= 4-chlorophenyl
**10i** n =2, $R_1$= 4-fluorophenyl
**10j** n =2, $R_1$= 2-furanyl

**Scheme-I:** Cascade synthesis of fused ring heterocycles **11a-11j** and **12a-12j**

TABLE-1
OPTIMIZATION OF REACTION OF HKA **10a** (n = 1, $R_1$ = Ph) WITH BARBITURIC ACID **8** AND BENZALDEHYDE **9** (R=H)

| Solvent | Catalyst | Reaction condition | Time (h) | Yield (%)[a] |
|---|---|---|---|---|
| Ethanol | – | Reflux | 4 | 34 |
| Methanol | – | Reflux | 4 | 30 |
| Dichloromethane | – | Reflux | 4 | 31 |
| Dioxane | – | Reflux | 4 | 33 |
| Acetonitrile | – | Reflux | 4 | 25 |
| DMF | – | Stirrer at 80 ºC | 4 | 41 |
| DMSO | – | Stirrer at 80 ºC | 4 | 48 |
| DMSO | Triethylamine | Stirrer at 80 ºC | 3 | 62 |
| DMSO | Pyridine | Stirrer at 80 ºC | 2 | **86** |
| DMSO | Pyrimidine | Stirrer at 80 ºC | 4 | 57 |
| DMSO | Acetic acid | Stirrer at 80 ºC | 4 | 51 |
| DMSO | *p*-Toluene sulphonic acid | Stirrer at 80 ºC | 4 | 44 |
| DMSO | Proline | Stirrer at 80 ºC | 4 | 38 |
| DMSO | Ammonium acetate | Stirrer at 80 ºC | 6 | 23 |

[a]Isolated yield.

In order to expand the scope of reaction, we then examined the reaction of barbituric acid **8** and benzaldehyde **9** (R=H, Cl) with HKAs **10a-10j** under our optimized reaction conditions using DMSO as solvent. The reaction resulted in the formation of fused ring heterocycles **11a-11j** and **12a-12j** in good to excellent yields and results summarized in Table-2.

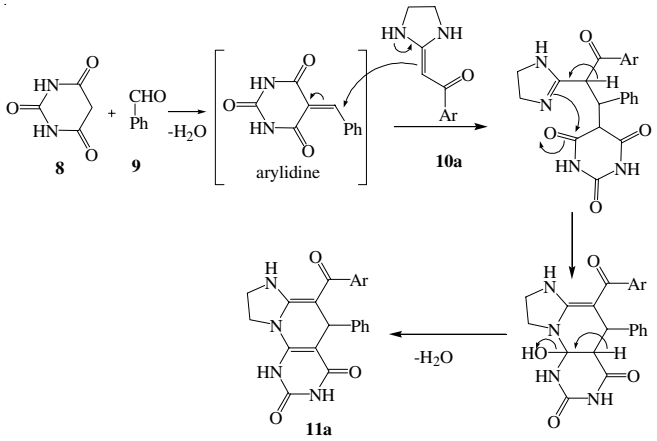
Structures of the quinazolone derivatives **11a-11j** and **12a-12j** were established on the basis of analytical *i.e.* CHN analysis and spectroscopic data, *i.e.* IR, $^1$H NMR, $^{13}$C NMR and mass spectrometry. The IR-spectra showed three broad absorption near 3400, 3300 and 3200 $cm^{-1}$ due to the presence of three different NH- bond whereas three strong signals appeared near 1710, 1690 and 1680 $cm^{-1}$ correspond to respective three different carbonyls present in the fused ring quinazolone derivatives **11a-11j** and **12a-12j**. These three different NH-protons also gave three signals in $^1$H NMR spectra at about 10, 11 and 13 ppm whereas other protons appeared at their respective regions showed the formation of said target compounds.

The plausible reaction mechanism of one-pot three component domino reaction to form fused ring heterocyclic quinazolone derivative **11a** is depicted in **Scheme-II**. Reaction is initiated with the Knoevenagel condensation of barbituric acid and aldehyde in presence of pyridine as base to form arylidine derivative with the loss of water molecule. The α-carbon of HKA **10a** would act as nucleophile and arylidine intermediate would undergo Michael addition reaction followed by intermolecular condensation through nitrogen of

TABLE-2
REACTION OF HKA **10a-j** WITH BARBITURIC ACID **8** AND BENZALDEHYDE **9**

| n | R | $R_1$ | Product | Yield (%)[a] |
|---|---|---|---|---|
| 1 | H | Phenyl | **11a** | 86 |
| 1 | H | 4-Methylphenyl | **11b** | 81 |
| 1 | H | 4-Chlorophenyl | **11c** | 75 |
| 1 | H | 4-Fluorophenyl | **11d** | 72 |
| 1 | H | 2-Furanyl | **11e** | 68 |
| 2 | H | Phenyl | **11f** | 87 |
| 2 | H | 4-Methylphenyl | **11g** | 87 |
| 2 | H | 4-Chlorophenyl | **11h** | 91 |
| 2 | H | 4-Fluorophenyl | **11i** | 73 |
| 2 | H | 2-Furanyl | **11j** | 76 |
| 1 | Cl | Phenyl | **12a** | 86 |
| 1 | Cl | 4-Methylphenyl | **12b** | 78 |
| 1 | Cl | 4-Chlorophenyl | **12c** | 82 |
| 1 | Cl | 4-Fluorophenyl | **12d** | 74 |
| 1 | Cl | 2-Furanyl | **12e** | 71 |
| 2 | Cl | Phenyl | **12f** | 88 |
| 2 | Cl | 4-Methylphenyl | **12g** | 85 |
| 2 | Cl | 4-Chlorophenyl | **12h** | 91 |
| 2 | Cl | 4-Fluorophenyl | **12i** | 73 |
| 2 | Cl | 2-Furanyl | **12j** | 76 |

[a]Isolated yield.




**Scheme-II:** Plausible mechanism of the reaction

HKA moiety with carbonyl of barbituric acid and consequently, loss of water molecule resulted the fused ring target quinazolone derivative **11a**.

## Conclusion

One-pot synthetic method has been developed for the preparation of polycyclic fused ring quinazolone-3,4-dione derivatives **11a-11j** and **12a-12j** employing HKAs as synthone and arylidine derivative of barbituric acid as *bis*-electrophile to react in a cascade way to build novel fused ring heterocycles. The newly synthesized quinazolone-3,4-dione derivatives **11a-11j** and **12a-12j** are resembles closely with the metabolites of fungi family (Fig. 1) and contain a number of tunable functional groups which can act as valuable precursors for the synthesis of medicinally active compounds.

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