

Highly Efficient and Enantioselective Synthesis of 2,3-dihydroquinazolinones Through Intramolecular Amidation of Imines

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Supporting Information

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General remarks: All reactions were carried out in a flame dried flask. Solvents used for reactions and column chromatography were commercial grade and distilled prior to use. Toluene and THF were dried over sodium/benzophenone, whereas CH₂Cl₂ and CHCl₃ were dried over CaH₂. Solvents for HPLC analysis were bought as analytical grade and used without further purification. TLC was performed on pre-coated Merck silica gel aluminium plates with 60F254 indicator, visualised by irradiation with UV light. Column chromatography was performed using silica gel Merck 60-100 mesh. ¹H-NMR and ¹³C-NMR were recorded on a Bruker AV 500 MHz using DMSO-d₆ or CDCl₃ as solvent and multiplicity indicated as follows: s (singlet), d (doublet), t (triplet), q (quartet), m (multiplet), dd (doublet of doublet), dt (doublet of triplet) bs (broad singlet). Coupling constants J are reported in Hz. High resolution mass spectra were obtained by ESI using Waters/Micromass Q-TOF mass spectrometer. IR spectra were recorded on a Perkin Elmer FT/IR-420 spectrometer and are reported in terms of frequency of absorption (cm⁻¹). X-ray analysis of compound **4b** was recorded on Bruker-AXS (Kappa Apex2). The structure was solved by direct method (SHELXS-97) and refined by full-matrix least squares techniques against F² (SHELXL-97). The enantiomeric excesses were obtained by HPLC analysis on a chiral stationary phase column (CHIRALPAK AD-H; AS-H, and CHIRAL CEL OD-H) Optical rotation was recorded on a Jasco DIP polarimeter at a wavelength of 589 nm.

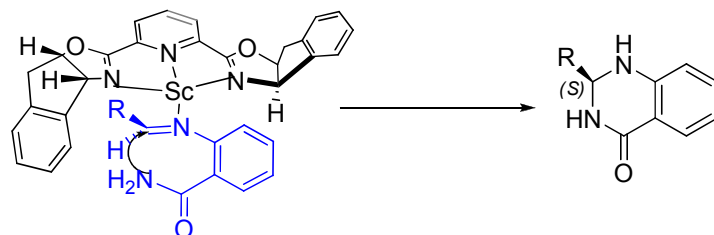
General procedure for the enantioselective synthesis of 2,3-dihydroquinazolinones.

In a oven dried flask pybox ligand **11** (7.5 μmol) and Sc(OTf)₃ (3 μmol) were taken in 1 mL of anhydrous dichloromethane. 40mg of 4Å molecular sieves was added to the solution and the resulting mixture was stirred further. After 3 h, Anthranilamide (300 μmol) solubilized in 1 mL of dichloromethane was added at the indicated temperature, followed by aldehyde (360 μmol) and stirred further at the same temperature for 4-36h. Completion of the reaction was ascertained by TLC, and the product was purified by using a small pad of silica gel 60-100 mesh to afford dihydroquinazolinones as colourless solids.

STEREOCHEMICAL OUTCOME

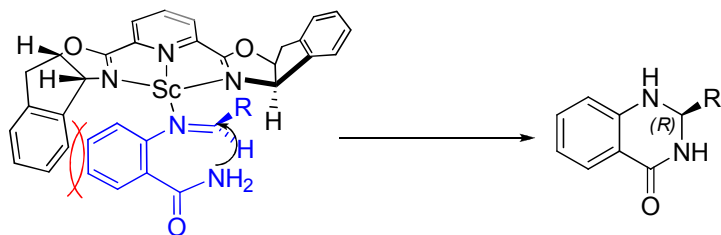
It would be difficult to predict the coordination site of monodentate imines with the Sc(III)-*inda*-pybox complexes to synthesize 2,3-dihydroquinazolinones through intramolecular amidation of imines. It is not possible without exploring mechanistic pathways. Hence we restricted ourselves to postulate herewith the approach of the substrates i.e imines to the metal complex to explain the stereochemical outcome of the product. A plausible mechanism for the stereochemical outcome of the product can be explained by a model proposed by Evans *et al.*¹ Intramolecular amidation of imines may proceed through more favoured *Si* face attack rather than unfavoured *Re* face attack since less steric hindrance is expected in the approach of reactant with the metal complex in *Si* face, which results in the formation *S*-stereoisomer (figure 2). Further mechanistic studies are currently being investigated in our laboratory.

Figure 2. A plausible mechanistic pathway



Si-face approach; less sterically hindered and more favoured

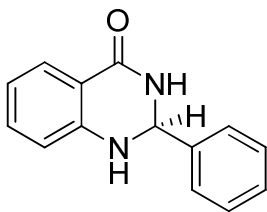
Co-ordinating triflate anions are not shown for clarity purposes



Re-face approach; more sterically hindered and less favoured

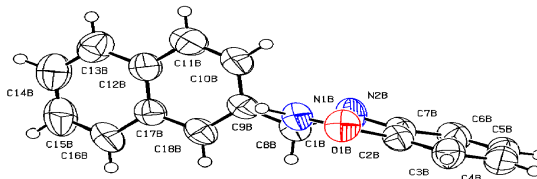
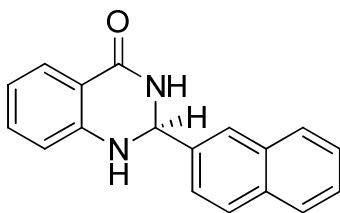
¹ Evans, D. A.; Fandrick, K. R.; Song, H.-J.; Scheidt, K. A.; Xu, R. *J. Am. Chem. Soc.* **2007**, *129*, 10029.

(S)-2-phenyl-2,3-dihydroquinazolin-4(1H)-one (4a)



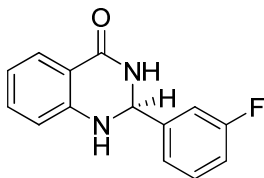
^1H NMR (500 MHz, DMSO- d_6): δ = 8.29 (bs, 1H), 7.63 (dd, J = 7.8 and 1.5 Hz, 1H), 7.51 – 7.40 (m, 2H), 7.44 – 7.30 (m, 3H), 7.28 – 7.17 (m, 1H), 7.11 (bs, 1H), 6.77 (d, J = 8 Hz, 1H), 6.68 (m, 1H), 5.75 (t, J = 1.5 Hz, 1H); ^{13}C NMR (125 MHz, DMSO- d_6): δ = 164.04, 148.32, 142.10, 133.79, 128.90, 128.79, 127.82, 127.31, 117.59, 115.41, 114.87, 67.03; IR (KBr): $\bar{\nu}$ = 3303, 3186, 3062, 1652, 1613, 1511, 1391, 1300, 1148, 809, 748, 699 cm^{-1} ; $[\alpha]_D^{RT}$ = +214.1° (c = 1.0 in THF, e.r. 99 : 1); HPLC conditions: AD-H column, n -hexane/2-propanol = 80/20, flow rate = 0.8 mL min^{-1} , minor enantiomer: t_R = 15.27 min, major enantiomer: t_R = 12.40 min.

(S)-2-(naphthalen-2-yl)-2,3-dihydroquinazolin-4(1H)-one (4b)



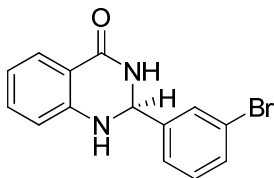
Melting Point : 216° C ^1H NMR (500 MHz, DMSO- d_6): δ = 8.37 (bs, 1H), 7.96 – 7.92 (m, 4H), 7.70 (d, J = 7.5 Hz, 1H), 7.64 (d, J = 7.5 Hz, 1H), 7.55 – 7.53 (m, 2H), 7.25 (t, J = 8 Hz, 1H), 7.19 (bs, 1H), 6.73 (d, J = 8 Hz, 1H), 6.69 (t, J = 7.5 Hz, 1H), 5.96 (bs, 1H); ^{13}C NMR (125 MHz, DMSO- d_6): δ = 164.05, 148.35, 139.34, 133.81, 133.47, 132.94, 128.58, 128.44, 128.04, 127.84, 126.89, 126.84, 126.32, 125.31, 117.64, 115.42, 114.488, 67.30; IR (KBr): $\bar{\nu}$ = 3447, 3281, 3187, 3052, 1660, 1610, 1513, 1387, 1297, 1157, 809, 744, 689 cm^{-1} ; HRMS (ESI): m/z calculated for $\text{C}_{18}\text{H}_{15}\text{N}_2\text{O}$ [$\text{M}^+ + \text{H}$] 275.1184, found: 275.1172; $[\alpha]_D^{RT}$ = +193.0° (c = 0.75 in THF, e.r. 99 : 1); HPLC conditions: AD-H column, n -hexane/2-propanol = 80/20, flow rate = 0.8 mL min^{-1} , minor enantiomer: t_R = 21.46 min, major enantiomer: t_R = 19.14 min. Absolute configuration was confirmed by single crystal XRD, CCDC deposition number is 853458.

(S)-2-(3-fluorophenyl)-2,3-dihydroquinazolin-4(1H)-one (4c)



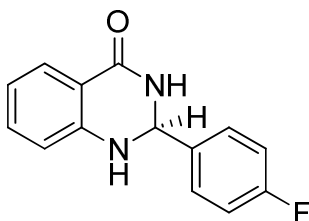
^1H NMR (500 MHz, DMSO- d_6): δ = 8.40 (bs, 1H), 7.63-7.61 (dd, J = 7.5, 1.5 Hz, 1H), 7.46 – 7.41 (m, 1H), 7.34 (d, J = 8Hz, 1H), 7.31 (dt, J = 10, 2.5Hz, 1H), 7.26 (m, 1H), 6.78 (d, J = 8Hz, 1H), 6.70 – 6.67 (m, 1H), 5.79 (bs, 1H); ^{13}C NMR (125 MHz, DMSO- d_6): δ = 163.91, 162.52 (d, J = 242.37 Hz), 148.01, 145.29 (d, J = 6.25 Hz), 133.89, 130.25 (d, J = 8 Hz), 127.82, 123.24 (d, J = 2.5Hz), 117.16, 115.12, 114.84, 114.34, 114.04 (d, J = 21.87 Hz), 66.08 (d, J = 1.12Hz); IR (KBr): $\bar{\nu}$ = 3421, 3212, 3075, 2629, 1676, 1607, 1523, 1424, 1208, 1121, 837, 799, 744, 720, 598; $[\alpha]_D^{RT}$ = +173.4° (c = 1.0 in THF, e.r. 99 : 1); HPLC conditions: OD-H column, *n*-hexane/2-propanol = 80/20, flow rate = 0.8 mL min $^{-1}$, minor enantiomer: t_R = 19.00 min, major enantiomer: t_R = 13.19 min.

(S)-2-(3-bromophenyl)-2,3-dihydroquinazolin-4(1H)-one (4d)



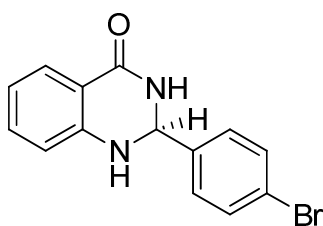
^1H NMR (500 MHz, DMSO- d_6): δ = 8.40 (bs, 1H), 7.68 (t, J = 1.8 Hz, 1H), 7.62-7.60 (dd, J = 7.5 and 1.5 Hz, 1H), 7.55-7.53 (m, 1H), 7.50-7.48 (m, 1H), 7.36 (t, J = 7.5Hz), 7.28-7.24 (m, 1H), 7.22 (bs, 1H), 6.76 (d, J = 7.5 Hz, 1H), 6.70 – 6.67 (m, 1H), 5.79 (t, J = 2Hz, 1H); ^{13}C NMR (125 MHz, DMSO- d_6): δ = 163.87, 147.95, 145.01, 133.93, 131.63, 131.05, 130.11, 127.83, 126.25, 122.06, 117.79, 115.36, 114.94, 65.99; IR (KBr): $\bar{\nu}$ = 3289, 3198, 3062, 1645, 1613, 1515, 1429, 1299, 1157, 865, 791, 757, 698 cm $^{-1}$; $[\alpha]_D^{RT}$ = + 115.3° (c = 1.0 in THF, e.r. 90 : 10); HPLC conditions: OD-H column, *n*-hexane/2-propanol = 80/20, flow rate = 0.8 mL min $^{-1}$, minor enantiomer: t_R = 21.12 min, major enantiomer: t_R = 17.82 min.

(S)-2-(4-fluorophenyl)-2,3-dihydroquinazolin-4(1H)-one (4e)



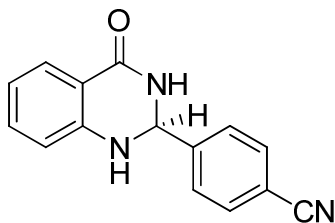
^1H NMR (500 MHz, DMSO- d_6): δ = 8.30 (s, 1 H), 7.61 (d, J = 7.2 Hz, 1 H), 7.54 (dd, J = 5.6, 8.4 Hz, 2 H), 7.21 – 7.27 (m, 3 H), 7.11 (s, 1 H), 6.75 (d, J = 8.0 Hz, 1 H), 6.68 (t, J = 7.2 Hz, 1 H), 5.78 (s, 1 H); ^{13}C NMR (125 MHz, DMSO- d_6): δ = 164.04, 162.58 (d, J = 243.75 Hz), 148.27, 138.27, 133.83, 129.5 (d, J = 8.75 Hz), 127.83, 117.72, 115.53 (d, J = 21.25 Hz), 115.42, 115.17, 66.41; IR (KBr): $\bar{\nu}$ = 3414, 3300, 3184, 3067, 2935, 1651, 1614, 1486, 1389, 1232, 1157, 841, 757, 673 cm^{-1} ; $[\alpha]_D^{RT}$ = +158.7° (c = 1.0 in THF, e.r. 95 : 5); HPLC conditions: AD-H column, *n*-hexane/2-propanol = 80/20, flow rate = 0.8 mL min^{-1} , minor enantiomer: t_R = 17.57 min, major enantiomer: t_R = 12.30 min.

(S)-2-(4-bromophenyl)-2,3-dihydroquinazolin-4(1H)-one (4f)



^1H NMR (500 MHz, DMSO- d_6): δ = 8.32 (s, 1 H), 7.61 – 7.59 (m, 3 H), 7.51 (d, J = 8.4 Hz, 2 H), 7.23 – 7.27 (m, 1 H), 7.16 (s, 1 H), 6.74 (d, J = 8.4 Hz, 1 H), 6.68 (t, J = 7.6 Hz, 1 H), 5.76 (s, 1H); ^{13}C NMR (125 MHz, DMSO- d_6): δ = 163.93, 148.07, 141.58, 133.86, 131.69, 129.53, 127.83, 122.02, 117.76, 115.41, 114.49, 62.27; IR (KBr): $\bar{\nu}$ = 3446, 3308, 3190, 3064, 2936, 1654, 1608, 1484, 1384, 1152, 834, 795, 752, 678 cm^{-1} ; $[\alpha]_D^{RT}$ = +146.9° (c = 0.5 in THF, e.r. 97 : 3); HPLC conditions: AD-H column, *n*-hexane/2-propanol = 80/20, flow rate = 0.8 mL min^{-1} , minor enantiomer: t_R = 17.80 min, major enantiomer: t_R = 12.21 min.

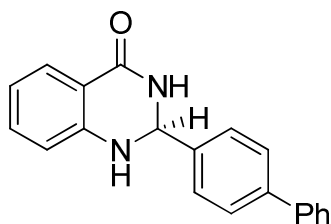
(S)-4-(4-oxo-1,2,3,4-tetrahydroquinazolin-2-yl)benzonitrile (4g)



^1H NMR (500 MHz, DMSO- d_6): δ = 8.47 (s, 1H), 7.75 (d, J = 8 Hz, 2H), 7.66 (d, J = 8 Hz, 2H), 7.60 (d, J = 7.5 Hz, 1H), 7.29-7.24 (m, 2H), 6.76 (d, J = 7 Hz, 1H), 6.69 (t, J = 7.5 Hz, 1H), 5.85 (s, 1H); ^{13}C NMR (125 MHz, DMSO- d_6): δ = 163.77, 147.83, 147.78, 134.00, 133.00, 132.87, 128.14, 127.85, 119.11, 117.88, 115.36, 114.97, 111.51, 65.97; IR (KBr): $\bar{\nu}$ = 3452, 3353, 3335, 2227, 1666, 1611, 1486, 1374, 1150, 838, 799, 772, 617 cm^{-1} ; $[\alpha]_D^{RT}$ = +174.08° (c = 0.5 in THF, e.r. 97 : 3); HPLC conditions: AD-H column, *n*-hexane/2-

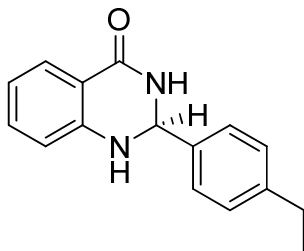
propanol = 80/20, flow rate = 0.8 mL min⁻¹, minor enantiomer: t_R = 18.52 min, major enantiomer: t_R = 14.77 min.

(S)-2-(Biphenyl-4-yl)-2,3-dihydroquinazolin-4(1H)-one (4h)



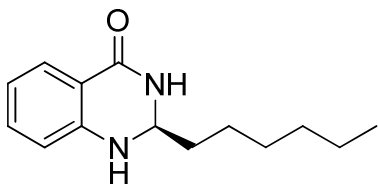
¹H NMR (500 MHz, DMSO-d₆): δ = 8.35 (bs, 1H), 7.70 – 7.58 (m, 7H), 7.48 – 7.45 (m, 2H), 7.39 – 7.36 (m, 1H), 7.28 – 7.25 (m, 1H), 7.18 (bs, 1H), 6.78 (d, *J* = 8 Hz, 1H), 6.71 – 6.68 (m, 1H), 5.81 (bs, 1H); ¹³C NMR (125 MHz, DMSO-d₆): δ = 164.10, 148.30, 141.32, 140.81, 140.19, 133.83, 129.43, 128.04, 127.92, 127.87, 127.17, 127.12, 117.63, 115.46, 114.92, 66.69; IR (KBr): $\bar{\nu}$ = 3290, 3183, 3057, 1652, 1611, 1508, 1386, 1297, 1153, 750, 689 cm⁻¹; [α]_D^{RT} = +158.0° (c = 1.0 in THF, e.r. 98 : 2); HPLC conditions: AS-H column, *n*-hexane/2-propanol = 70/30, flow rate = 0.6 mL min⁻¹, minor enantiomer: t_R = 33.58 min, major enantiomer: t_R = 43.41 min.

(S)-2-(4-ethylphenyl)-2,3-dihydroquinazolin-4(1H)-one (4i)



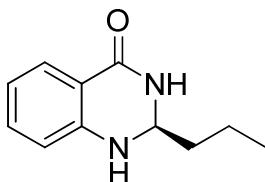
Melting Point : 197° C ¹H NMR (500 MHz, DMSO-d₆): δ = 8.26 (s, 1H), 7.61 (d, *J* = 8 Hz, 1H), 7.40 (d, *J* = 8 Hz, 2H), 7.24 – 7.22 (m, 3H), 7.056 (bs, 1H), 6.74 (d, *J* = 8.0 Hz, 1H), 6.66 (t, *J* = 8 Hz, 1H), 5.72 (s, 1H), 2.06 (q, *J* = 7.5 Hz, 2H), 1.16 (t, *J* = 7.5 Hz, 3H); ¹³C NMR (125 MHz, DMSO-d₆): δ = 164.19, 148.36, 144.68, 139.51, 133.83, 128.35, 127.74, 127.29, 117.57, 115.33, 114.84, 67.11, 28.37, 16.12; IR (KBr): $\bar{\nu}$ = 3446, 3302, 3192, 3060, 1655, 1612, 1512, 1388, 1297, 1157, 809, 744, 689 cm⁻¹; HRMS (ESI): *m/z* calculated for C₁₆H₁₆N₂O [M⁺+Na] 275.1160, found: 275.1160; [α]_D^{RT} = +185.4° (c = 1.0 in THF, e.r. 93 : 7); HPLC conditions: AD-H column, *n*-hexane/2-propanol = 80/20, flow rate = 0.8 mL min⁻¹, minor enantiomer: t_R = 14.23 min, major enantiomer: t_R = 12.02 min.

(S)-2-hexyl-2,3-dihydroquinazolin-4(1H)-one (4j)



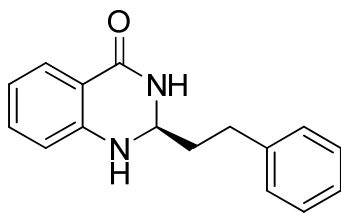
Melting Point : 158° C ^1H NMR (500 MHz, DMSO- d_6): δ 7.89 – 7.87 (dd, J = 1.0, 8 Hz, 1H) 7.32 – 7.28 (m, 1H), 6.87-6.84 (t, J = 7.5 Hz, 1H), 6.71 (d, J = 8.0 Hz, 1H), 6.24 (bs, 1H), 4.88 (t, J = 5.5 Hz, 1H), 4.23 (bs, 1H), 1.78 – 1.75 (m, 2H), 1.41 – 1.5 (m, 2H), 1.36-1.27 (m, 7H), 0.89 (t, J = 7 Hz, 3H); ^{13}C NMR (125 MHz, DMSO- d_6): δ = 165.39, 147.44, 133.78, 128.6, 119.38, 116.03, 114.72, 65.38, 35.63, 31.62, 28.98, 24.01, 22.50, 14.01; IR (KBr): $\bar{\nu}$ cm^{-1} ; = 3326, 3215, 3072, 2953, 1644, 1616, 1509, 1388, 1259, 1153, 754, 699 cm^{-1} ; HRMS (ESI): m/z calculated for $\text{C}_{14}\text{H}_{21}\text{N}_2\text{O}$ [$\text{M}^+ + \text{H}$] 233.1654, found: 233.1653; $[\alpha]_D^{RT} = + 91.7^\circ$ (c = 1.0 in THF, e.r. 96 : 4); HPLC conditions: AD-H column, n -hexane/2-propanol = 90/10, flow rate = 0.8 mL min^{-1} , minor enantiomer: t_R = 15.45 min, major enantiomer: t_R = 13.40 min.

(S)-2-Propyl-2,3-dihydroquinazolin-4(1H)-one (4k)



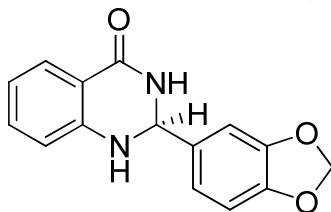
^1H NMR (500 MHz, DMSO- d_6): δ 7.91 – 7.89 (m, 1H), 7.33 – 7.28 (m, 1H), 6.86 (t, J = 7.5 Hz, 1H), 6.67 (d, J = 8.0 Hz, 1H), 6.56 (bs, 1H), 4.90 (t, J = 5.5 Hz, 1H), 4.26 (bs, 1H), 1.74 – 1.79 (m, 2H), 1.44 – 1.54 (m, 2H), 1.01 (t, J = 7.5 Hz, 3H); ^{13}C NMR (125 MHz, DMSO- d_6): δ = 165.39, 147.48, 133.75, 128.55, 119.30, 116.06, 114.73, 65.15, 37.67, 17.40, 13.82; IR (KBr): $\bar{\nu}$ cm^{-1} ; = 3326, 3165, 3041, 2965, 1640, 1621, 1502, 1384, 1252, 1146, 756, 687 cm^{-1} $[\alpha]_D^{RT} = + 84.22^\circ$ (c = 1.0 in THF, e.r. 93 : 7); HPLC conditions: OD-H column, n -hexane/2-propanol = 80/20, flow rate = 0.8 mL min^{-1} , minor enantiomer: t_R = 11.14 min, major enantiomer: t_R = 9.47 min.

(S)-2-phenethyl-2,3-dihydroquinazolin-4(1H)-one (4l)



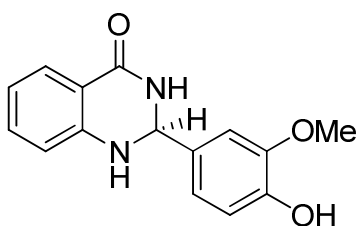
^1H NMR (500 MHz, DMSO-d_6): δ 7.92 – 7.90 (dd, J = 7.5 and 1.5 Hz, 1H), 7.35 – 7.24 (m, 6H), 6.87 (t, J = 7.5 Hz, 1H), 6.60 (d, J = 7.5 Hz, 1H), 4.94 (t, J = 5.5 Hz, 1H), 4.18 (bs, 1H), 2.87 – 2.78 (m, 2H), 2.19 – 2.12 (m, 2H); ^{13}C NMR (125 MHz, DMSO-d_6): δ = 165.33, 147.23, 140.38, 133.79, 128.53, 128.40, 126.46, 119.39, 115.99, 114.81, 65.07, 37.18, 30.51; IR (KBr): $\bar{\nu}$ = 3296, 3169, 3052, 2950, 1654, 1609, 1519, 1391, 1256, 1155, 780, 700 cm^{-1} ; $[\alpha]_D^{RT}$ = + 98.03° (c = 1.0 in THF, e.r. 93 : 7); HPLC conditions: AD-H column, n -hexane/2-propanol = 80/20, flow rate = 0.8 mL min^{-1} , minor enantiomer: t_R = 10.99 min, major enantiomer: t_R = 9.69 min.

(S)-2-(Benzo[d][1,3]dioxol-5-yl)-2,3-dihydroquinazolin-4(1H)-one (4m)



^1H NMR (500 MHz, DMSO-d_6): δ = 8.24 (bs, 1H), 7.52 (d, J = 7.5 Hz, 1H), 7.25 (t, J = 7.3 Hz, 1H), 7.11 – 7.03 (m, 2H), 7.01 – 6.87 (m, 2H), 6.81 – 6.61 (m, 2H), 6.02 (bs, 2H), 5.68 (bs, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): δ = 163.55, 147.80, 147.27, 147.19, 135.55, 133.27, 127.31, 120.40, 117.12, 114.94, 114.40, 107.84, 107.15, 101.09, 66.25; IR (KBr): $\bar{\nu}$ = 3282, 3186, 3127, 2903, 1653, 1611, 1486, 1445, 1383, 1248, 1036, 755 cm^{-1} ; $[\alpha]_D^{RT}$ = +170.2° (c = 1.0 in THF, e.r. 95 : 5); HPLC conditions: AD-H column, n -hexane/2-propanol = 70/30, flow rate = 0.8 mL min^{-1} , minor enantiomer: t_R = 16.66 min, major enantiomer: t_R = 15.05 min.

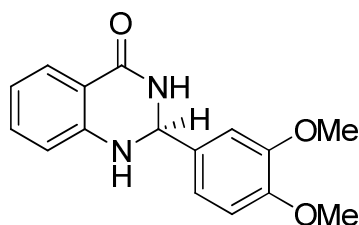
(S)-2-(4-hydroxy-3-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one (4n)



Melting Point : 217° C ^1H NMR (500 MHz, DMSO-D_6): δ = 9.15 (bs, 1H), 8.09 (bs, 1H), 7.62 3 (d, J = 7.5 Hz, 1H), 7.24 (t, J = 7.5 Hz, 1H), 7.09 (bs, 1H), 6.95 (s, 1H), 6.89 (d, J = 7.5 Hz, 1H), 6.77 – 6.74 (m, 2H), 6.82 (t, J

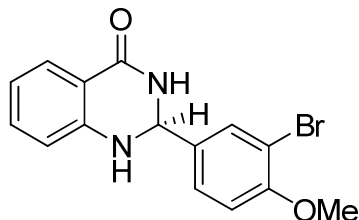
= 7.5 Hz, 1H), 5.66 (bs, 1H), 3.77 (s, 3H); ^{13}C NMR (125 MHz, DMSO- D_6): δ = 164.23, 148.64, 147.87, 147.62, 133.57, 132.36, 127.87, 120.16, 117.55, 115.53, 115.37, 114.69, 111.47, 67.37, 55.93; IR (KBr): $\bar{\nu}$ = 3388, 3354, 3058, 2969, 2935, 2841, 1646, 1610, 1499, 1427, 1357, 1270, 1125, 1021, 766 cm^{-1} ; HRMS (ESI): m/z calculated for $\text{C}_{15}\text{H}_{15}\text{N}_2\text{O}_3\text{Na}$ [$\text{M}^+ + \text{Na}$] 293.0902, found: 293.0902; $[\alpha]_D^{RT} = +159.2^\circ$ ($c = 1.0$ in THF, e.r. 95 : 5); HPLC conditions: AD-H column, n -hexane/2-propanol = 80/20, flow rate = 0.8 mL min^{-1} , minor enantiomer: $t_R = 21.58$ min, major enantiomer: $t_R = 26.49$ min.

(S)-2-(3,4-Dimethoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one (4o)



^1H NMR (500 MHz, DMSO- d_6): δ = 8.17 (bs, 1H), 7.62 (dd, $J = 7.8$ and 1.5 Hz, 1H), 7.30 – 7.19 (m, 1H), 7.13 (d, $J = 1.8$ Hz, 1H), 7.06 – 6.89 (m, 3H), 6.76 (d, $J = 7.8$ Hz, 1H), 6.72 – 6.63 (m, 1H), 5.70 (bs, 1H), 3.80 – 3.71 (2 x s, 6H); ^{13}C NMR (125 MHz, DMSO- d_6): δ = 164.19, 149.48, 149.07, 148.51, 134.10, 133.69, 127.80, 119.67, 117.60, 114.90, 114.90, 111.80, 111.13, 66.98, 56.07, 55.96; IR (KBr): $\bar{\nu}$ = 3356, 3332, 2967, 2835, 1669, 1609, 1496, 1414, 1364, 1270, 1227, 1144, 1014, 769 cm^{-1} ; $[\alpha]_D^{RT} = +151.2^\circ$ ($c = 1.0$ in THF, e.r. 95 : 5); HPLC conditions: AS-H column, n -hexane/2-propanol = 50/50, flow rate = 0.6 mL min^{-1} , minor enantiomer: $t_R = 20.79$ min, major enantiomer: $t_R = 34.54$ min.

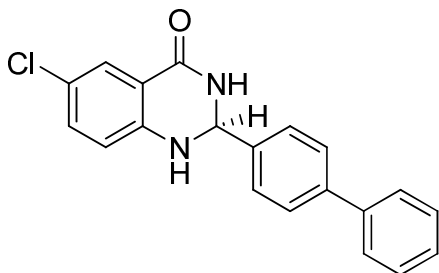
(S)-2-(3-Bromo-4-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one (4p)



^1H NMR (500 MHz, DMSO- d_6): δ = 8.29 (bs, 1H), 7.69 (d, $J = 2.0$ Hz, 1H), 7.61 (dd, $J = 7.8$ and 1.5 Hz, 1H), 7.42 (dd, $J = 8.5$ and 2.3 Hz, 1H), 7.32 – 7.20 (m, 1H), 7.18 – 7.04 (m, 2H), 6.82 – 6.58 (m, 2H), 5.73 (bs, 1H), 3.84 (s, 3H); ^{13}C NMR (125 MHz, DMSO- d_6): δ = 163.40, 155.27, 147.54, 135.25, 133.23, 131.26, 127.30, 127.22, 117.13, 114.85, 114.35, 112.25, 110.20, 65.21, 56.21; IR (KBr): $\bar{\nu}$ = 3281, 3180, 2836, 1644, 1612,

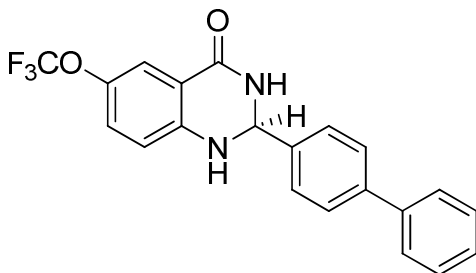
1496, 1438, 1386, 1298, 1266, 1158, 1054, 890, 808, 747, 675, 623 cm^{-1} ; $[\alpha]_D^{RT} = +136.41^\circ$ ($c = 0.75$ in THF, e.r. 96 : 4); HPLC conditions: AS-H column, *n*-hexane/2-propanol = 50/50, flow rate = 0.6 mL min^{-1} , minor enantiomer: $t_R = 27.45$ min, major enantiomer: $t_R = 20.60$ min.

(S)-2-(biphenyl-4-yl)-6-chloro-2,3-dihydroquinazolin-4(1H)-one (4q)



Melting Point : 245°C ^1H NMR (500 MHz, DMSO-d_6): $\delta = 8.6$ (bs, 1H), 7.71 – 7.65 (m, 4H), 7.58 – 7.57 (m, 3H), 7.48 – 7.45 (m, 2H), 7.41 (bs, 1H), 7.39-7.36 (m, 1H) 7.31- 7.29 (dd, $J = 7.5$ and 2.5 Hz, 1H), 6.81 (d, $J = 9$ Hz 1H), 5.85 (bs, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): $\delta = 162.91, 147.02, 140.95, 140.92, 140.14, 133.60, 129.43, 128.07, 127.88, 127.19, 127.18, 126.92, 121.25, 116.93, 116.55, 66.55$; IR (KBr): $\bar{\nu} = 3435, 3272, 3177, 3057, 1647, 1611, 1513, 1388, 1299, 1153, 805, 755, 664\text{ cm}^{-1}$; HRMS (ESI): m/z calculated for $\text{C}_{20}\text{H}_{16}\text{N}_2\text{OCl}$ [$\text{M}^+ + \text{H}$] 335.0951, found: 335.0943; $[\alpha]_D^{RT} = +168.6^\circ$ ($c = 1.0$ in THF, e.r. 98 : 2); HPLC conditions: AD-H column, *n*-hexane/2-propanol = 80/20, flow rate = 0.8 mL min^{-1} , minor enantiomer: $t_R = 11.41$ min, major enantiomer: $t_R = 12.91$ min.

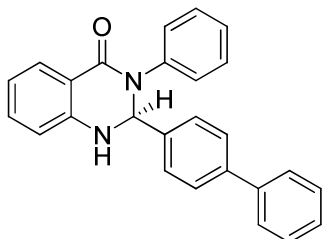
(S)-2-(biphenyl-4-yl)-6-(trifluoromethoxy)-2,3-dihydroquinazolin-4(1H)-one (4r)



Melting Point : 209°C ^1H NMR (500 MHz, DMSO-d_6): $\delta = 8.6$ (bs, 1H), 7.72 – 7.70 (d, $J = 8.5$ Hz 2H), 7.68 – 7.66 (d, $J = 7.5$ Hz 2H), 7.59 – 7.58 (d, $J = 8$ Hz 2H), 7.52 (s, 1H), 7.49-7.45 (m, 3H), 7.39 – 7.36 (t, $J = 7.5$ Hz, 1H), 7.30 - 7.28 (dd, $J = 7.5$ and 2.5 Hz, 1H), 6.88 – 6.86 (d, $J = 9$ Hz 1H), 5.89 (bs, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): $\delta = 162.92, 147.33, 141.00, 140.82, 140.13, 139.72, 129.43, 128.08, 127.91, 127.40, 127.21, 127.18, 123.81, 121.78, 120.01, 119.76, 116.41, 115.55, 66.60$; IR (KBr): $\bar{\nu} = 3547, 3468, 3414, 3187, 3120, 3087, 1672, 1601, 1553, 1485, 1348, 1264, 1175, 842, 733, 693\text{ cm}^{-1}$; HRMS (ESI): m/z calculated for

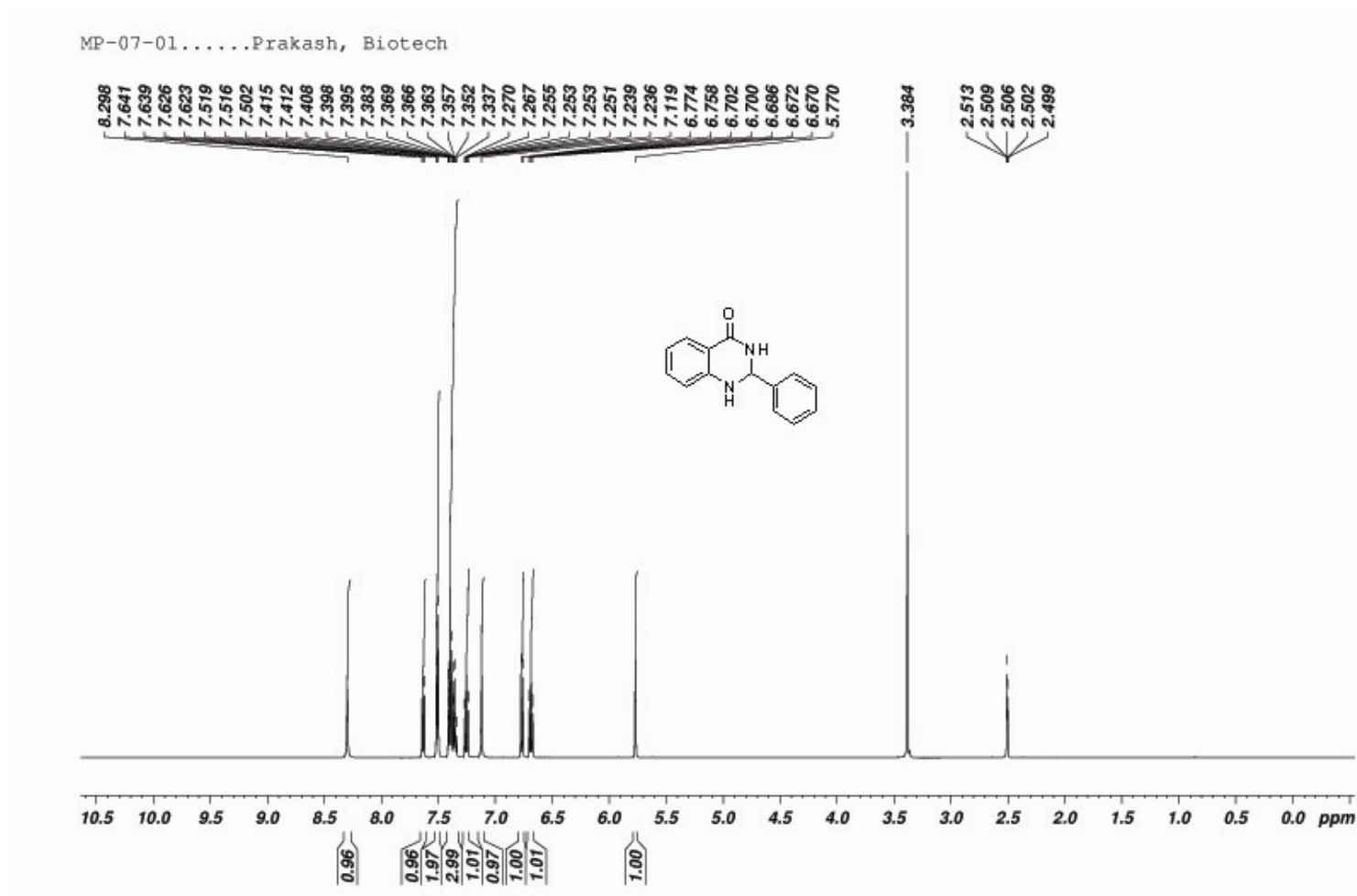
$C_{21}H_{16}N_2O_2F_3$ $[M^+ + H]$ 385.1164, found: 383.1153; $[\alpha]_D^{RT} = +196.04^\circ$ ($c = 1.0$ in THF, e.r. 97 : 3); HPLC conditions: AD-H column, *n*-hexane/2-propanol = 80/20, flow rate = 0.8 mL min⁻¹, minor enantiomer: $t_R = 8.02$ min, major enantiomer: $t_R = 9.57$ min.

(S)-2-(biphenyl-4-yl)-3-phenyl-2,3-dihydroquinazolin-4(1H)-one (4s)

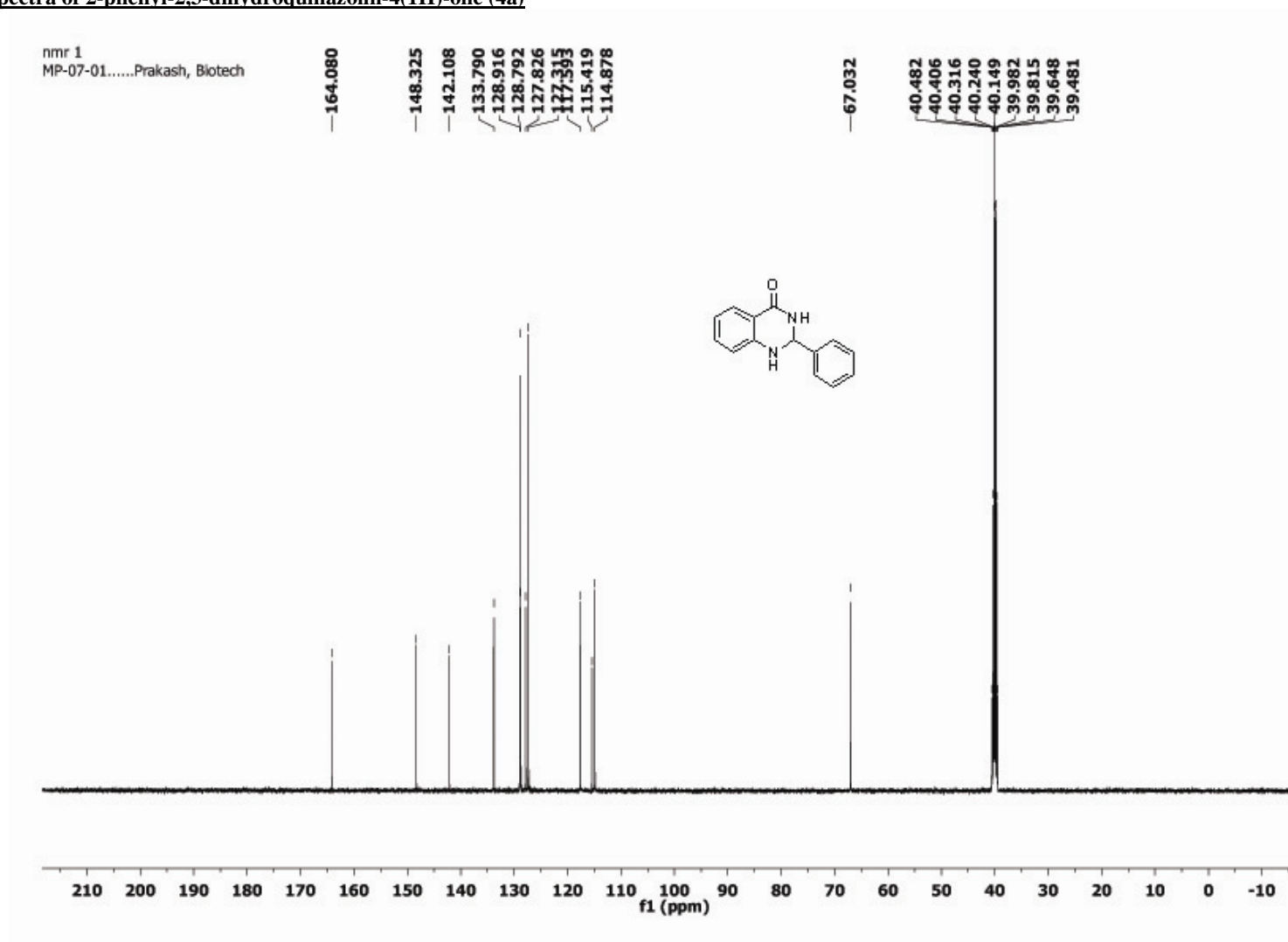


¹H NMR (500 MHz, CDCl₃): $\delta = 8.05 - 8.03$ (dd, $J = 8$ and 1.5 Hz, 1H), 7.52 – 7.47 (m, 4H), 7.42 – 7.39 (m, 4H), 7.34 – 7.29 (m, 4H), 7.24 – 7.22 (m, 2H), 7.20-7.18 (m, 1H), 6.90 – 6.87 (td, $J = 4$ and 1Hz, 1H), 6.65 – 6.63 (d, $J = 7.5$, 1H), 6.12 (s, 1H), 4.92 (s, 1H); ¹³C NMR (125 MHz, CDCl₃): $\delta = 163.09, 145.29, 141.75, 140.69, 140.16, 138.91, 133.90, 129.07, 128.98, 128.85, 127.61, 127.39, 127.21, 127.01, 126.88, 126.80, 119.65, 117.02, 115.00, 74.36$; IR (KBr): $\bar{\nu} = 3315, 3300, 3288, 3056, 3029, 1637, 1613, 1586, 1487, 1397, 1262, 1155, 844, 736, 696$ cm⁻¹; HRMS (ESI): m/z calculated for $C_{26}H_{21}N_2O$ $[M^+ + H]$ 377.1654, found: 377.1656; $[\alpha]_D^{RT} = +139.7^\circ$ ($c = 1.0$ in THF, e.r. 92 : 8); HPLC conditions: AD-H column, *n*-hexane/2-propanol = 80/20, flow rate = 0.8 mL min⁻¹, minor enantiomer: $t_R = 26.57$ min, major enantiomer: $t_R = 21.51$ min.

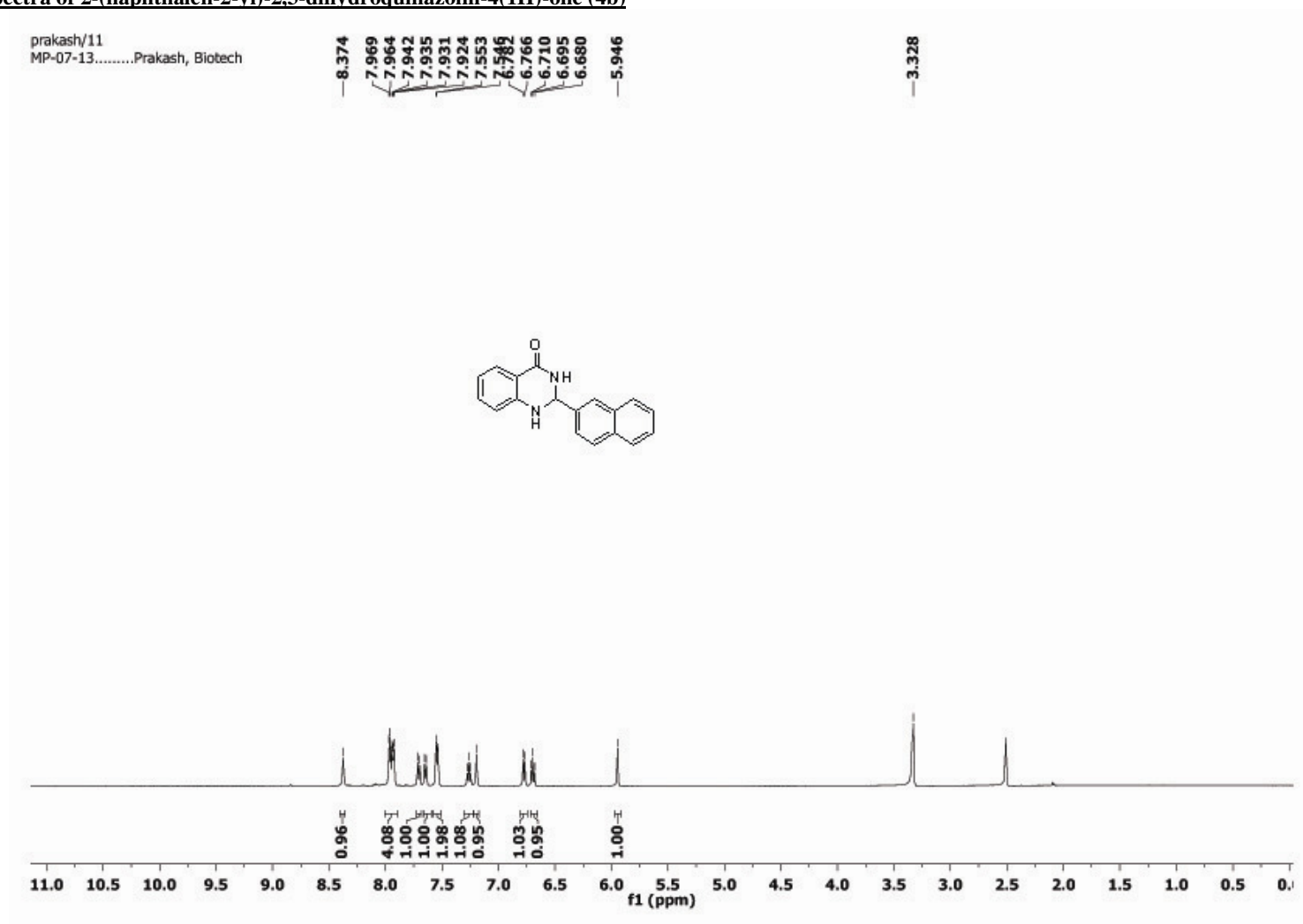
¹H NMR Spectra of 2-phenyl-2,3-dihydroquinazolin-4(1H)-one (4a)



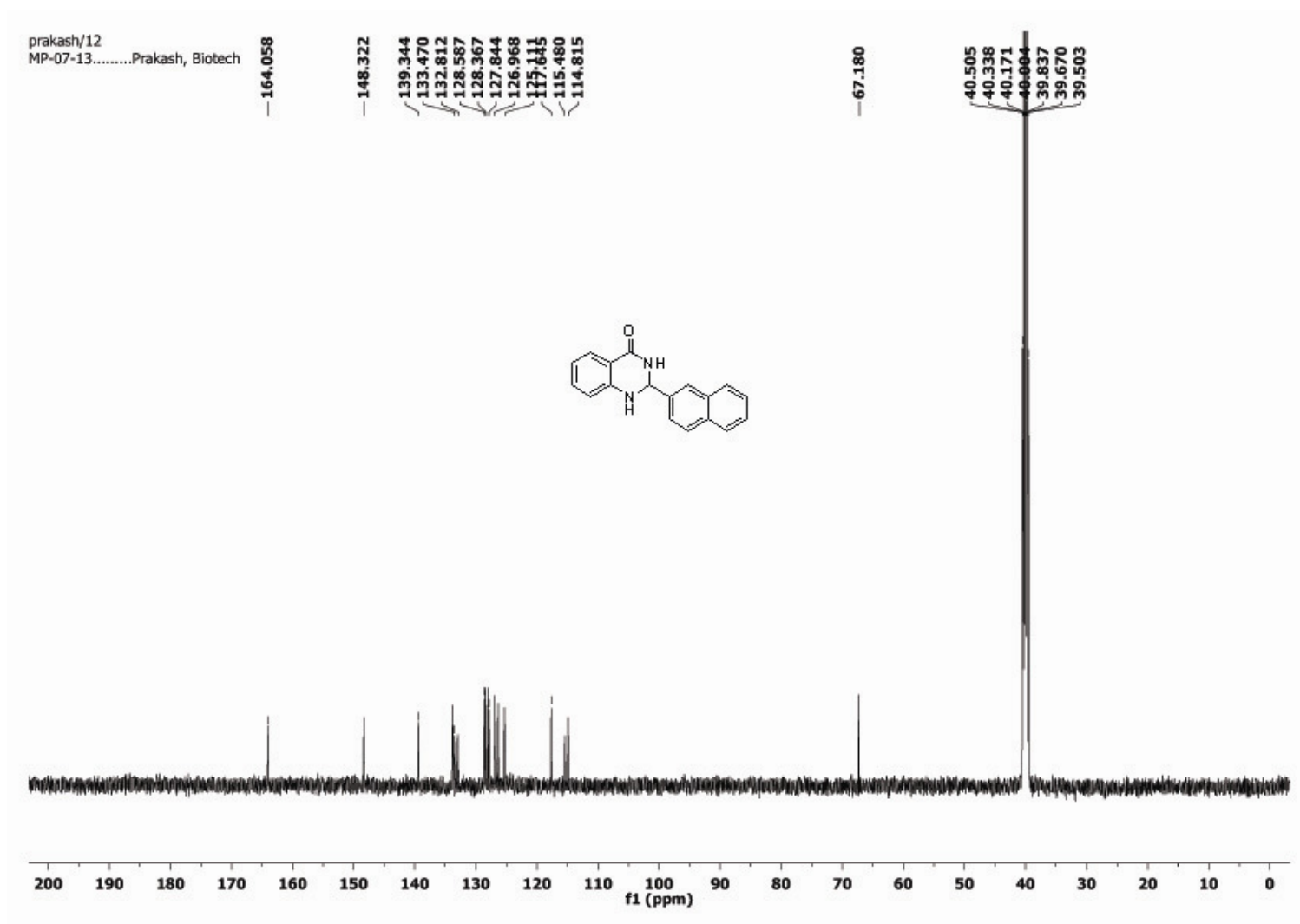
^{13}C NMR Spectra of 2-phenyl-2,3-dihydroquinazolin-4(1H)-one (4a)



¹H NMR Spectra of 2-(naphthalen-2-yl)-2,3-dihydroquinazolin-4(1H)-one (4b)

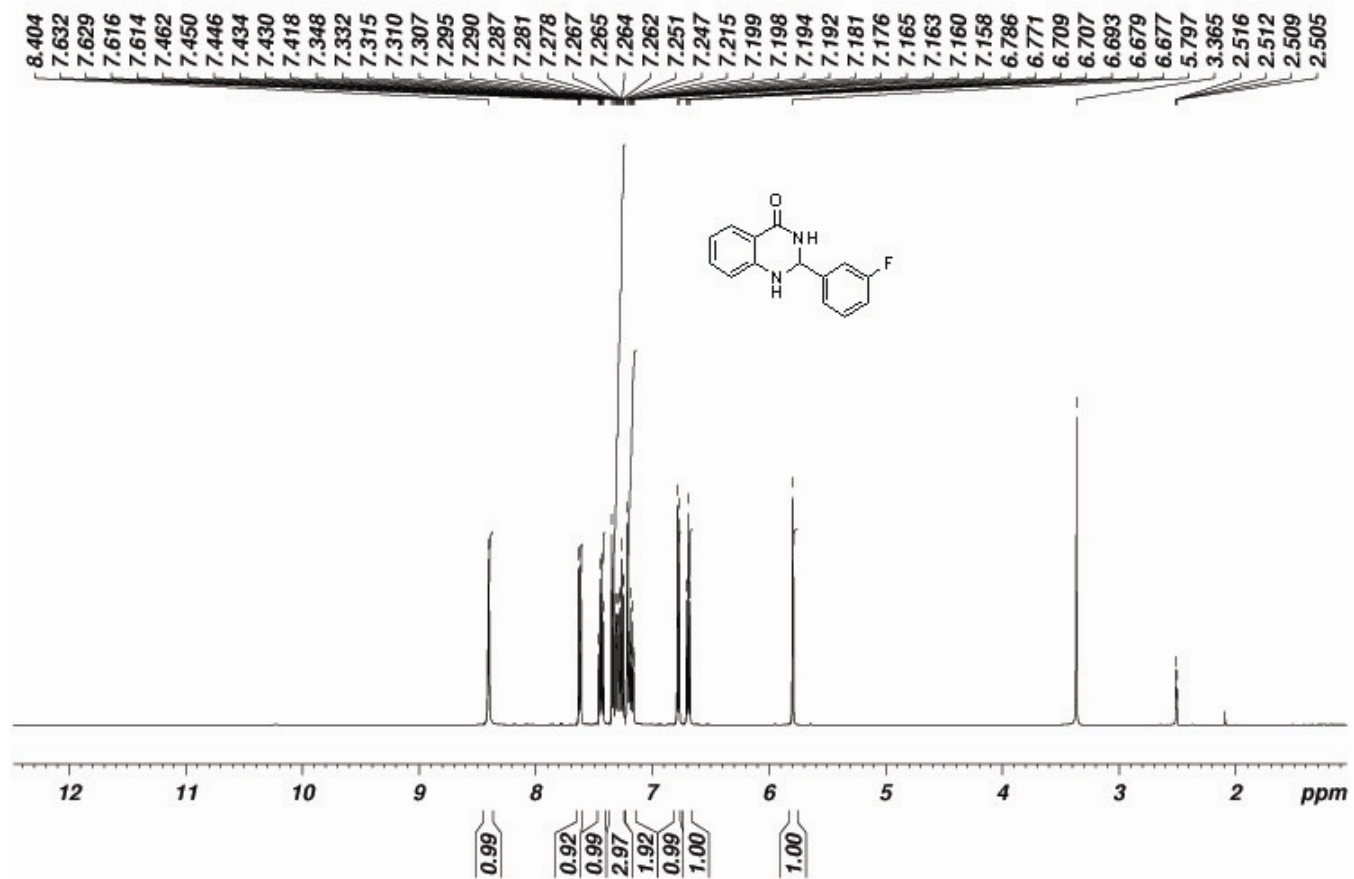


¹³C NMR Spectra of 2-(naphthalen-2-yl)-2,3-dihydroquinazolin-4(1H)-one (4b)



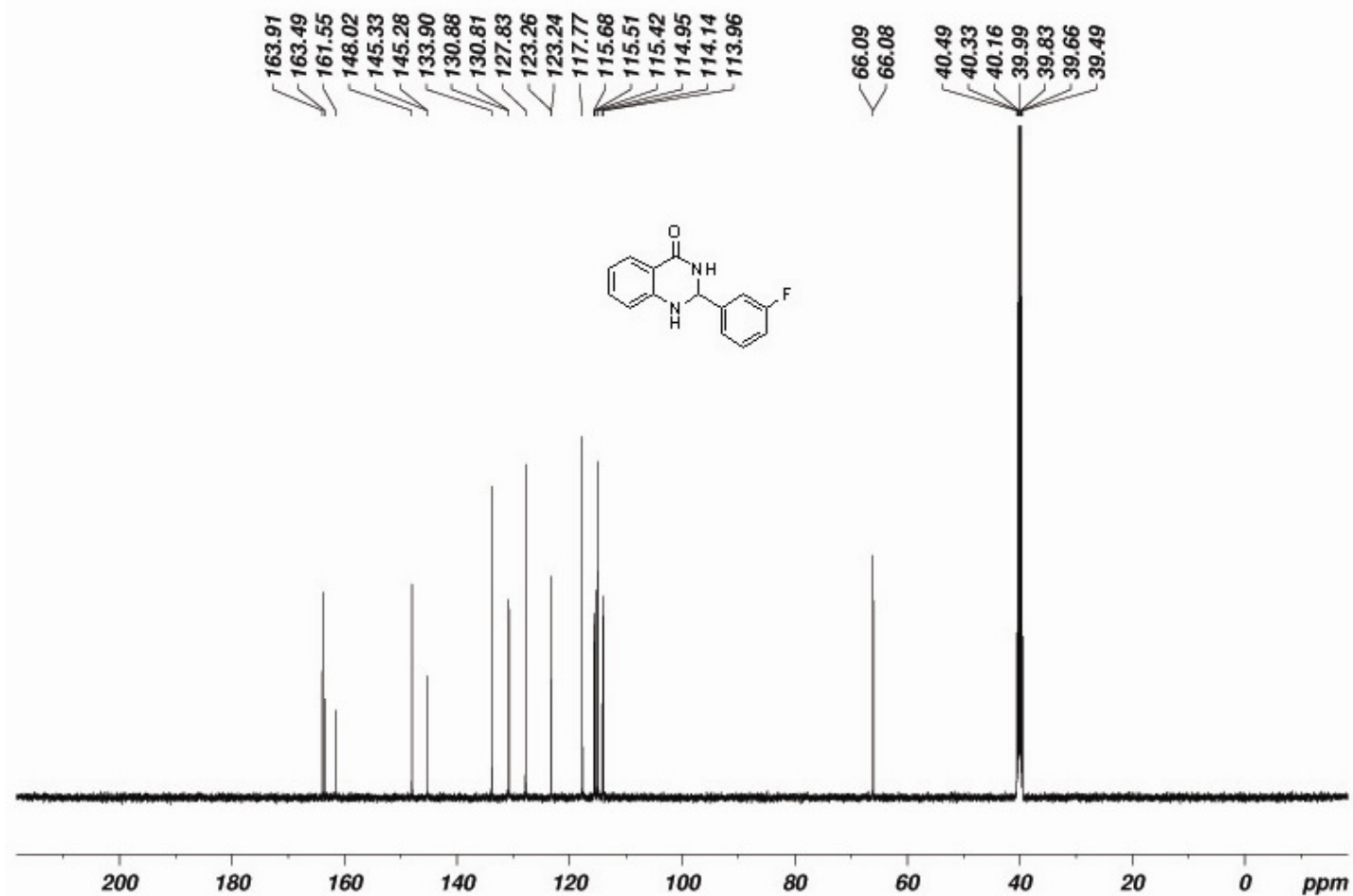
¹H NMR Spectra of 2-(3-fluorophenyl)-2,3-dihydroquinazolin-4(1H)-one (4c)

MP-07-MF.....Prakash.



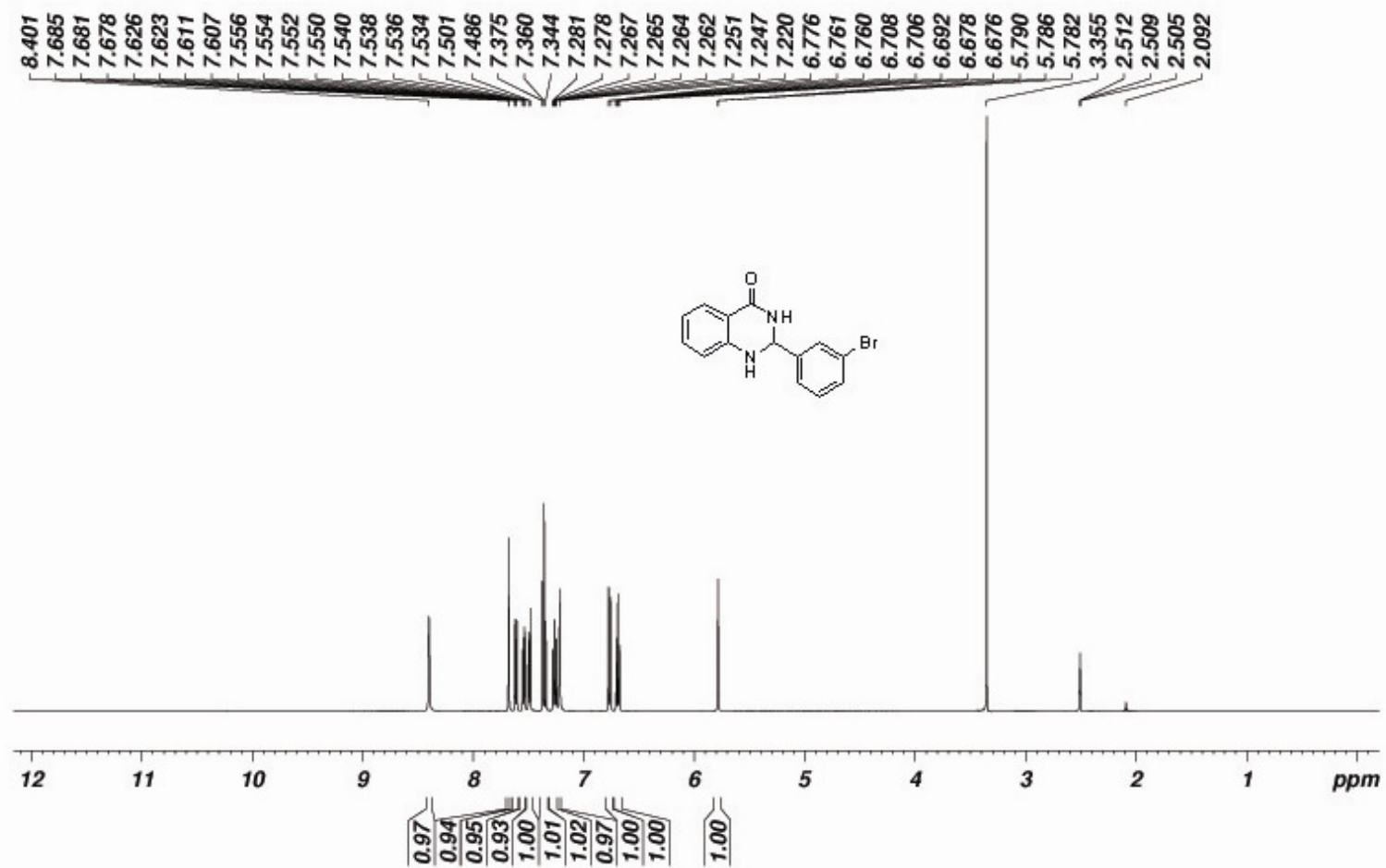
^{13}C NMR Spectra of 2-(3-fluorophenyl)-2,3-dihydroquinazolin-4(1H)-one (4c)

MP-07-MF.....Prakash.



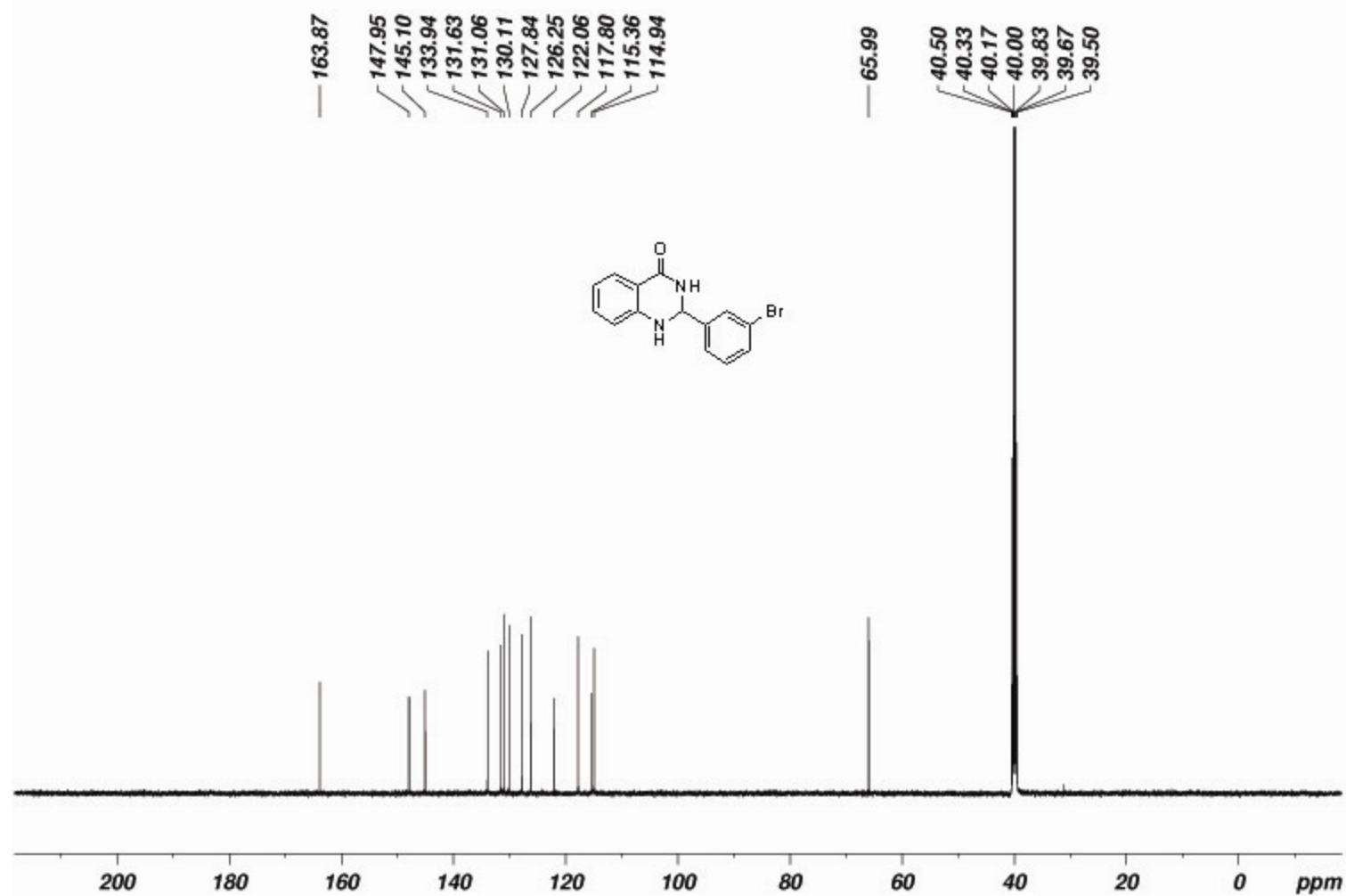
¹H NMR Spectra of 2-(3-bromophenyl)-2,3-dihydroquinazolin-4(1H)-one (4d)

MP-07-M-Br.....Prakash.



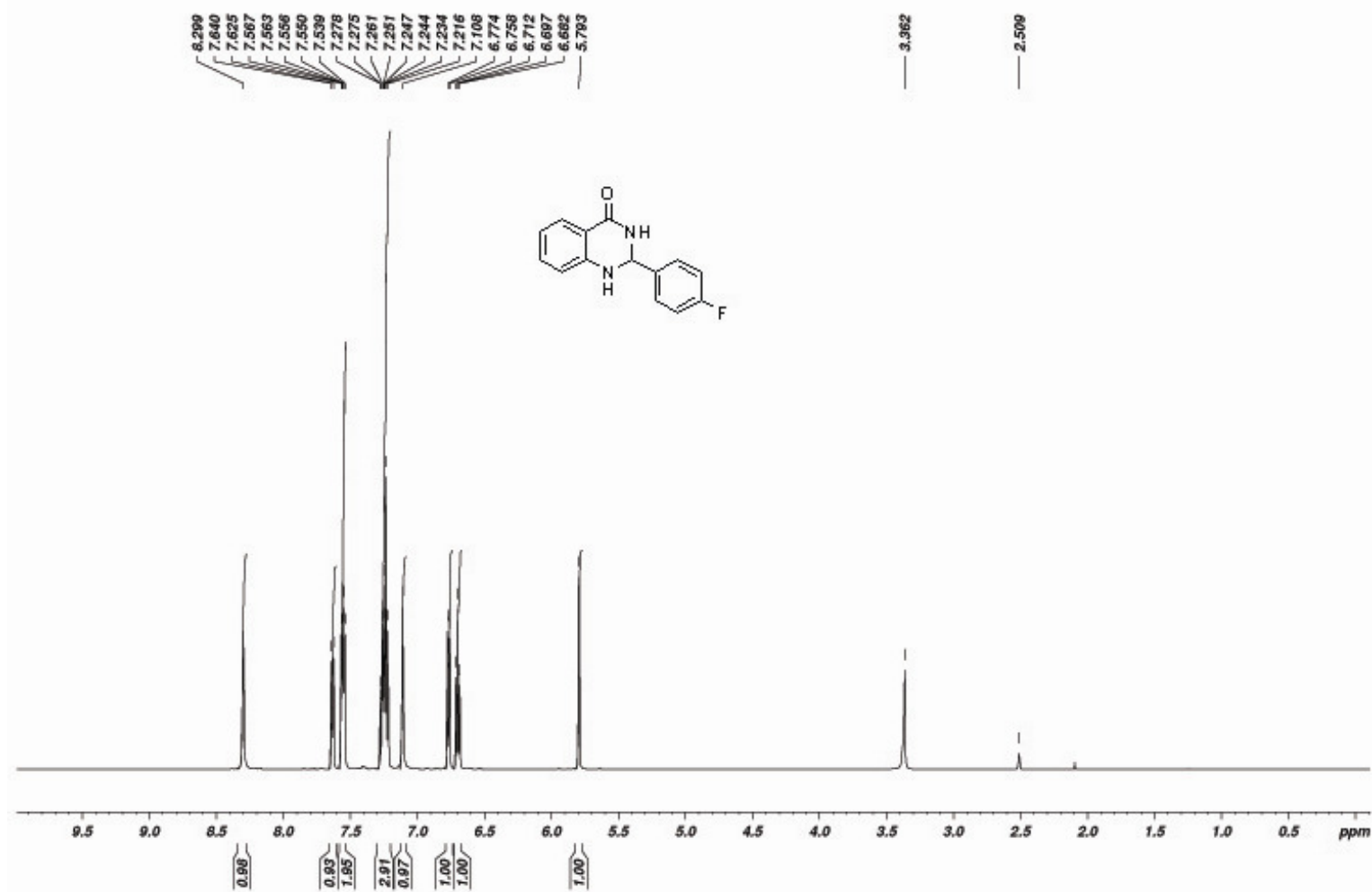
^{13}C NMR Spectra of 2-(3-bromophenyl)-2,3-dihydroquinazolin-4(1H)-one (4d)

MP-07-M-Br.....Prakash.

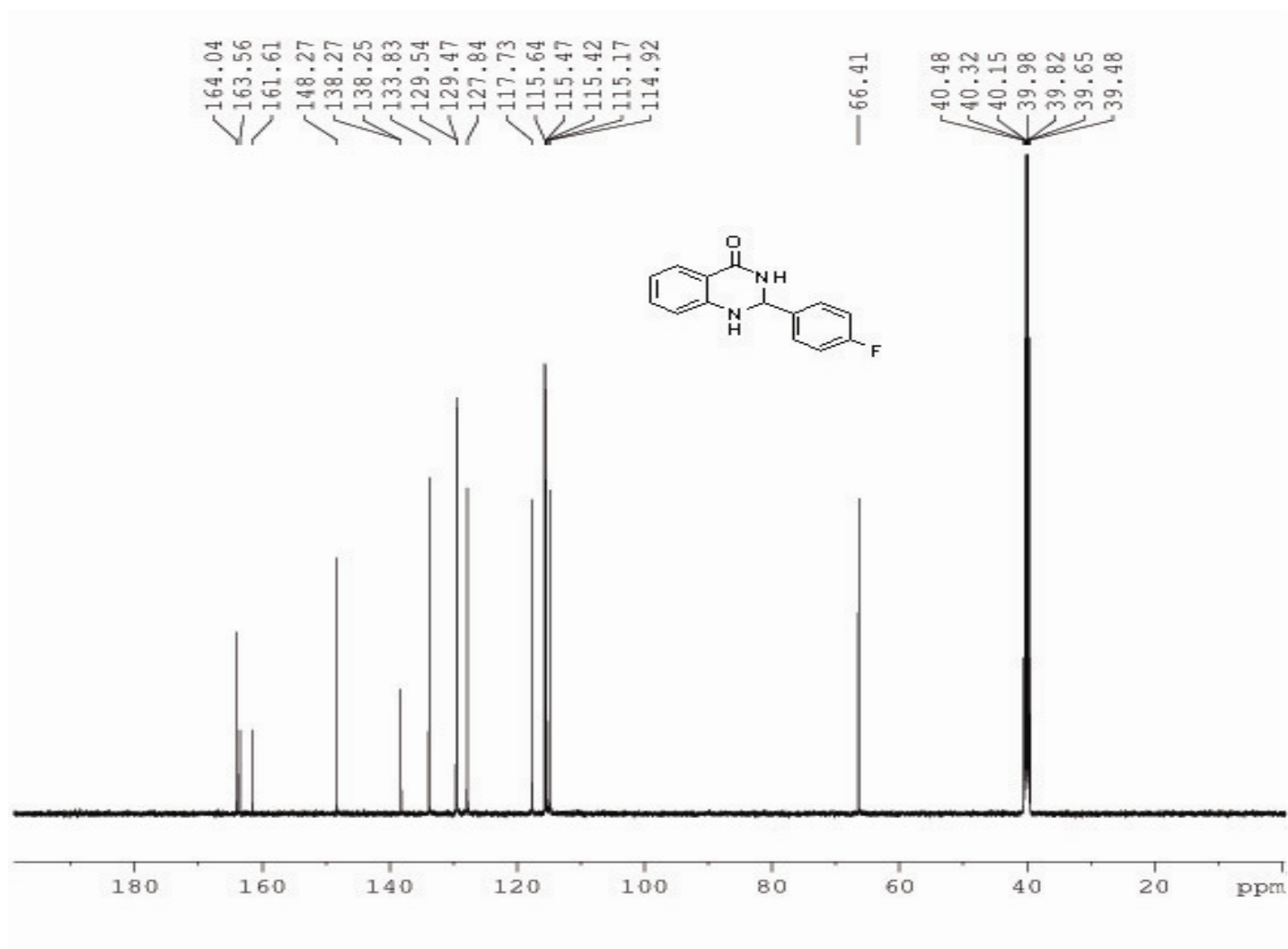


¹H NMR Spectra of 2-(4-fluorophenyl)-2,3-dihydroquinazolin-4(1H)-one (4e).

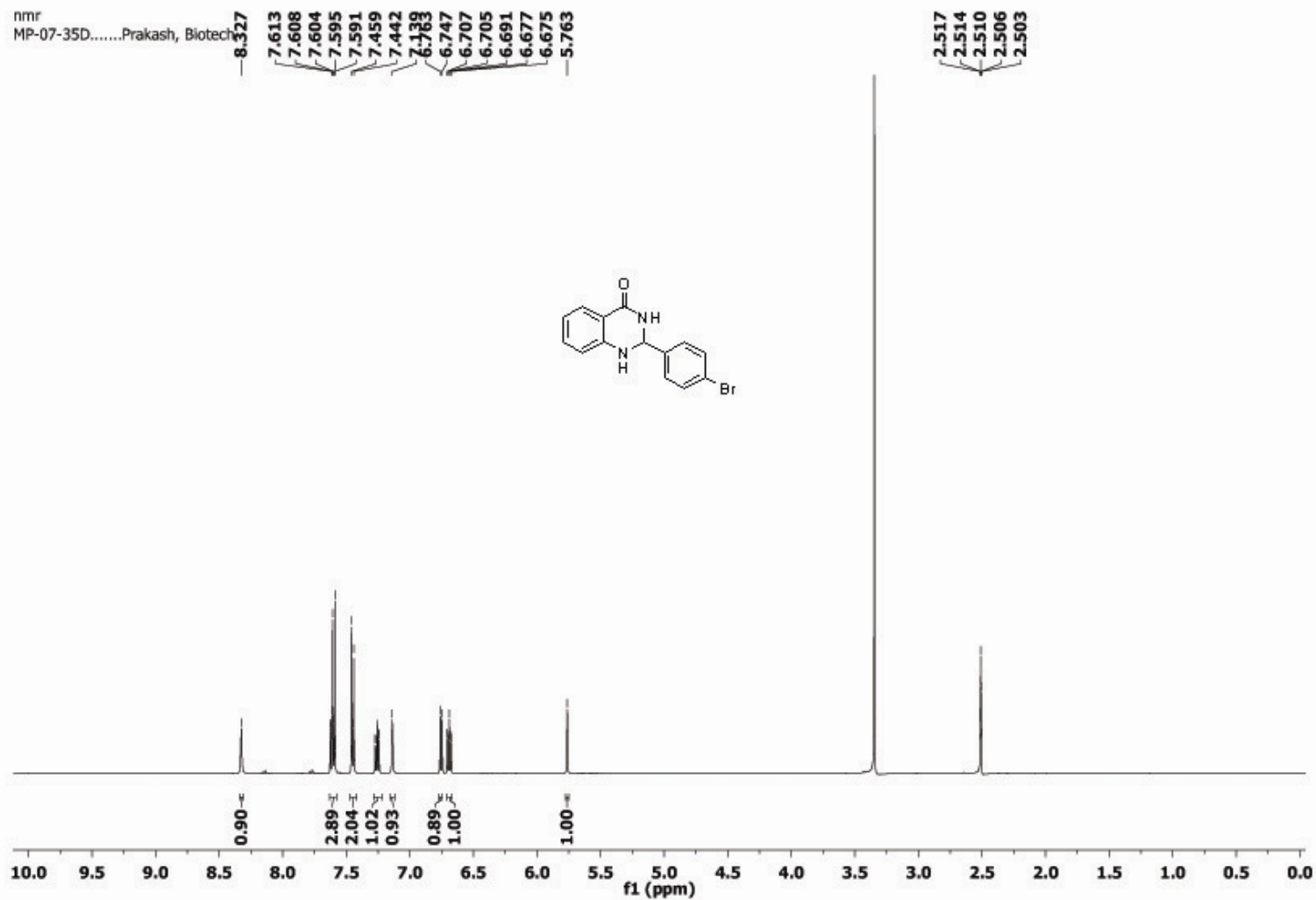
P-07-05.....Prakash, Biotech



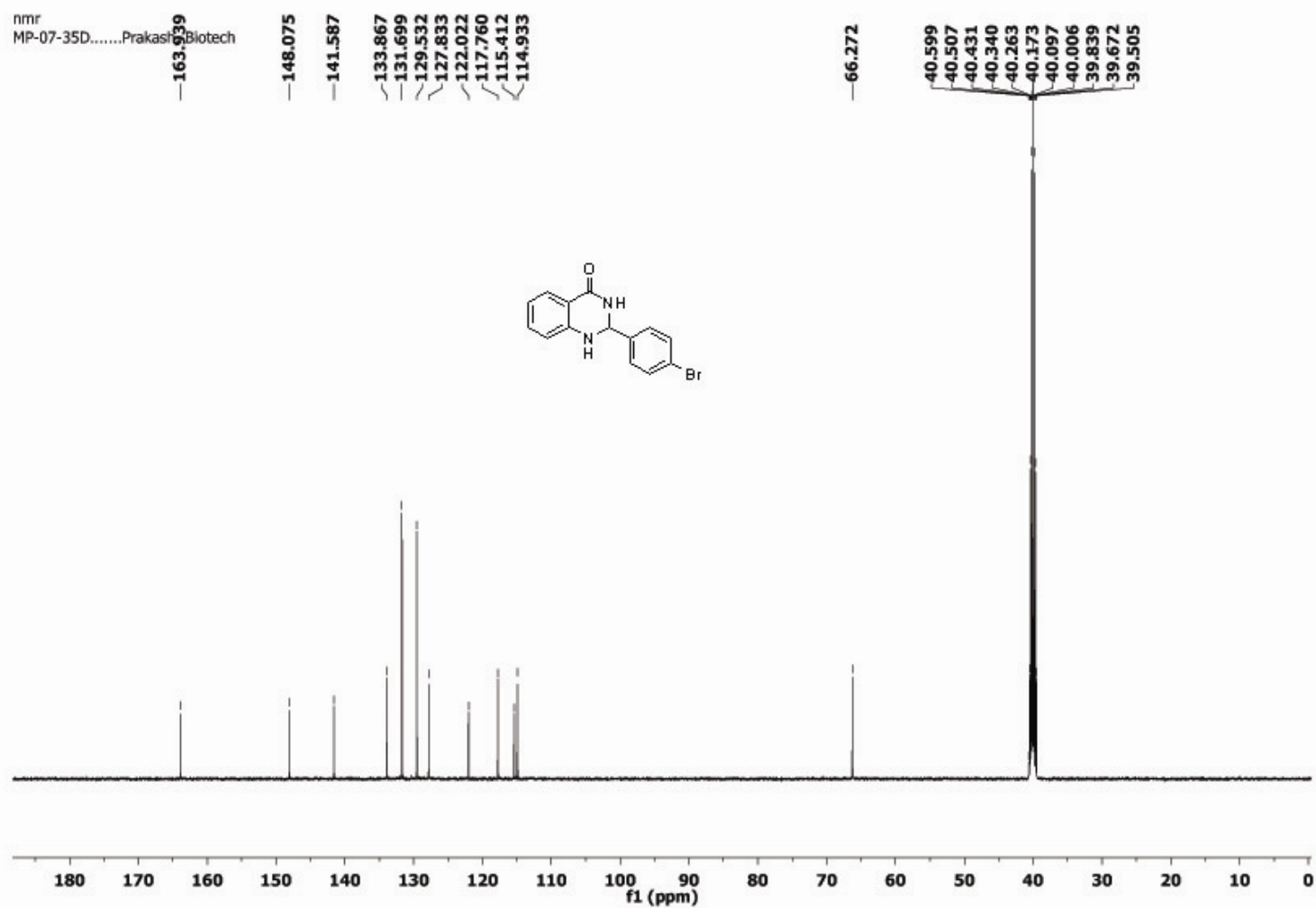
¹³C NMR Spectra of 2-(4-fluorophenyl)-2,3-dihydroquinazolin-4(1H)-one (4e)



¹H NMR Spectra of 2-(4-bromophenyl)-2,3-dihydroquinazolin-4(1H)-one (4f)

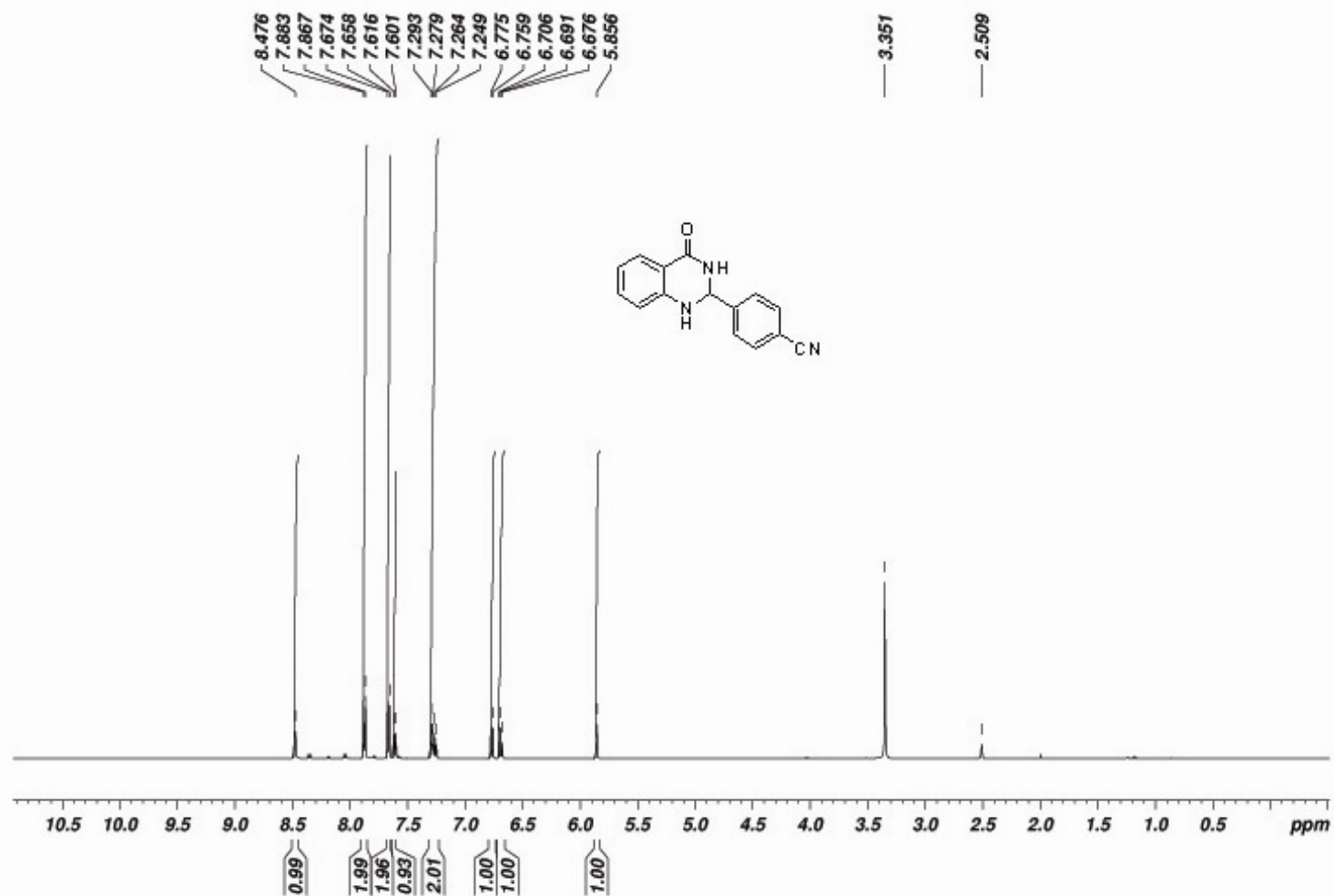


^{13}C NMR Spectra of 2-(4-bromophenyl)-2,3-dihydroquinazolin-4(1H)-one (4f)



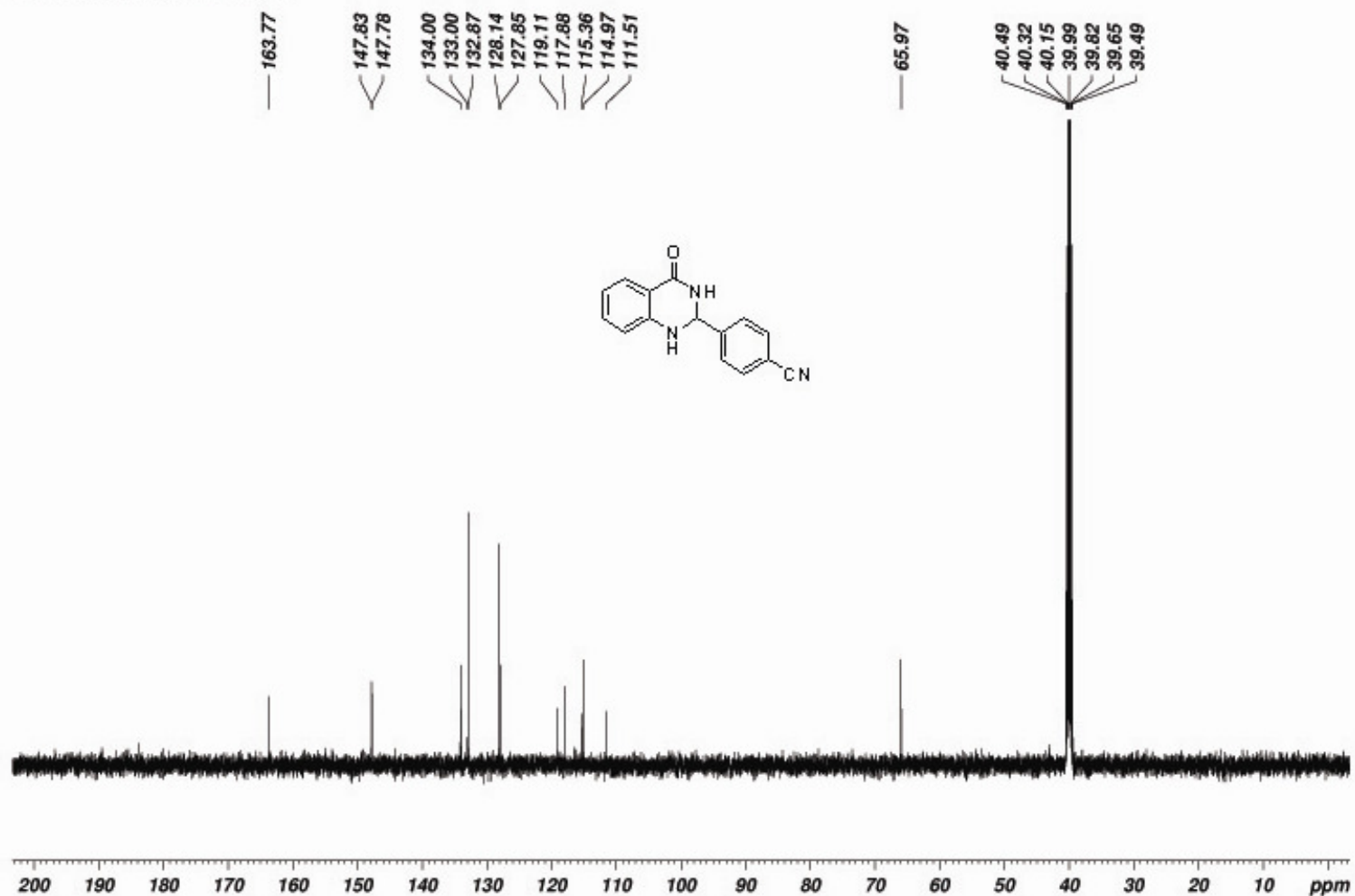
¹H NMR Spectra of 4-(4-oxo-1,2,3,4-tetrahydroquinazolin-2-yl)benzonitrile (4g)

MP-07-23.....Prakash



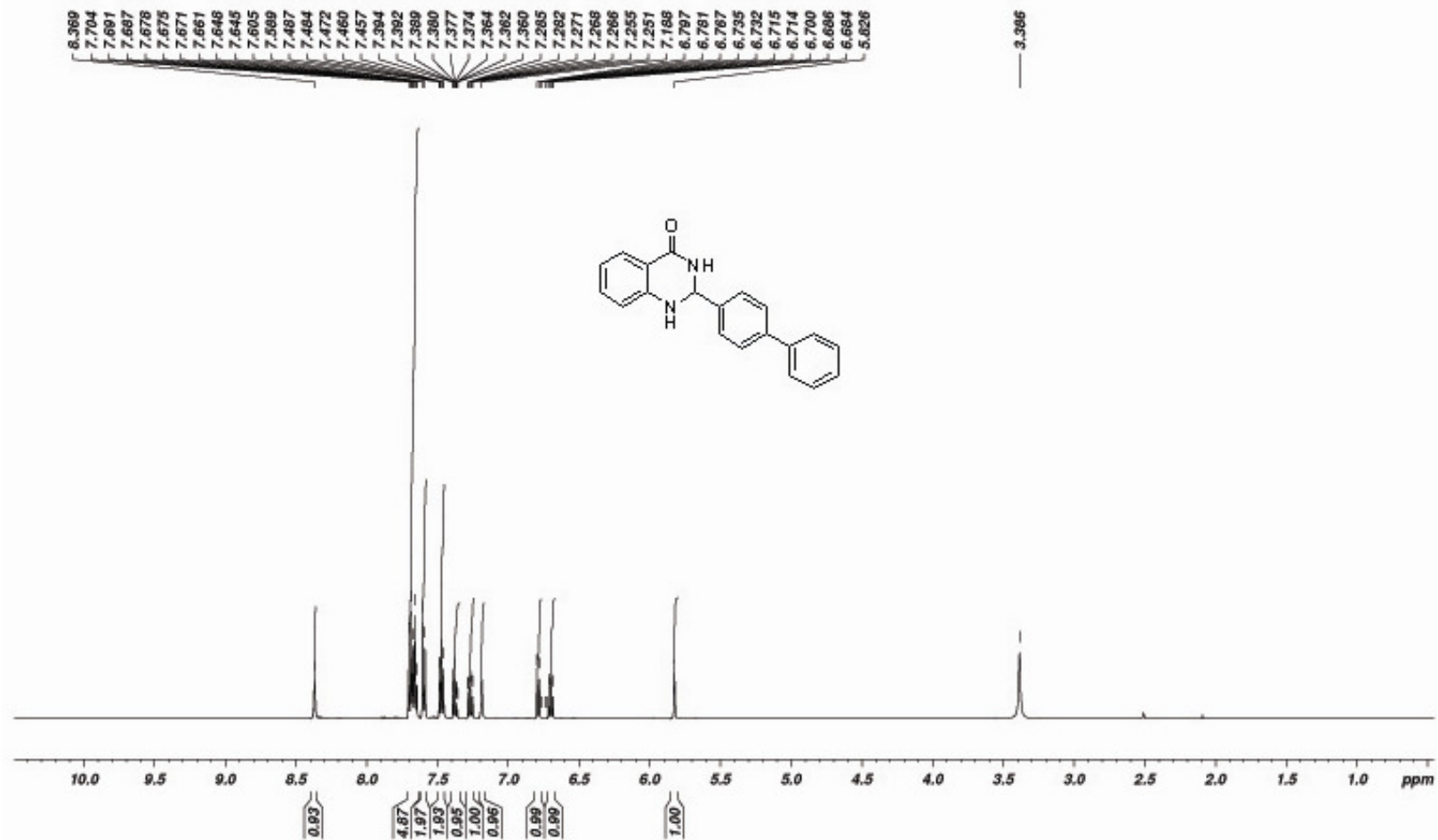
^{13}C NMR Spectra of 4-(4-oxo-1,2,3,4-tetrahydroquinazolin-2-yl)benzonitrile (4g)

MP-07-23.....Prakash



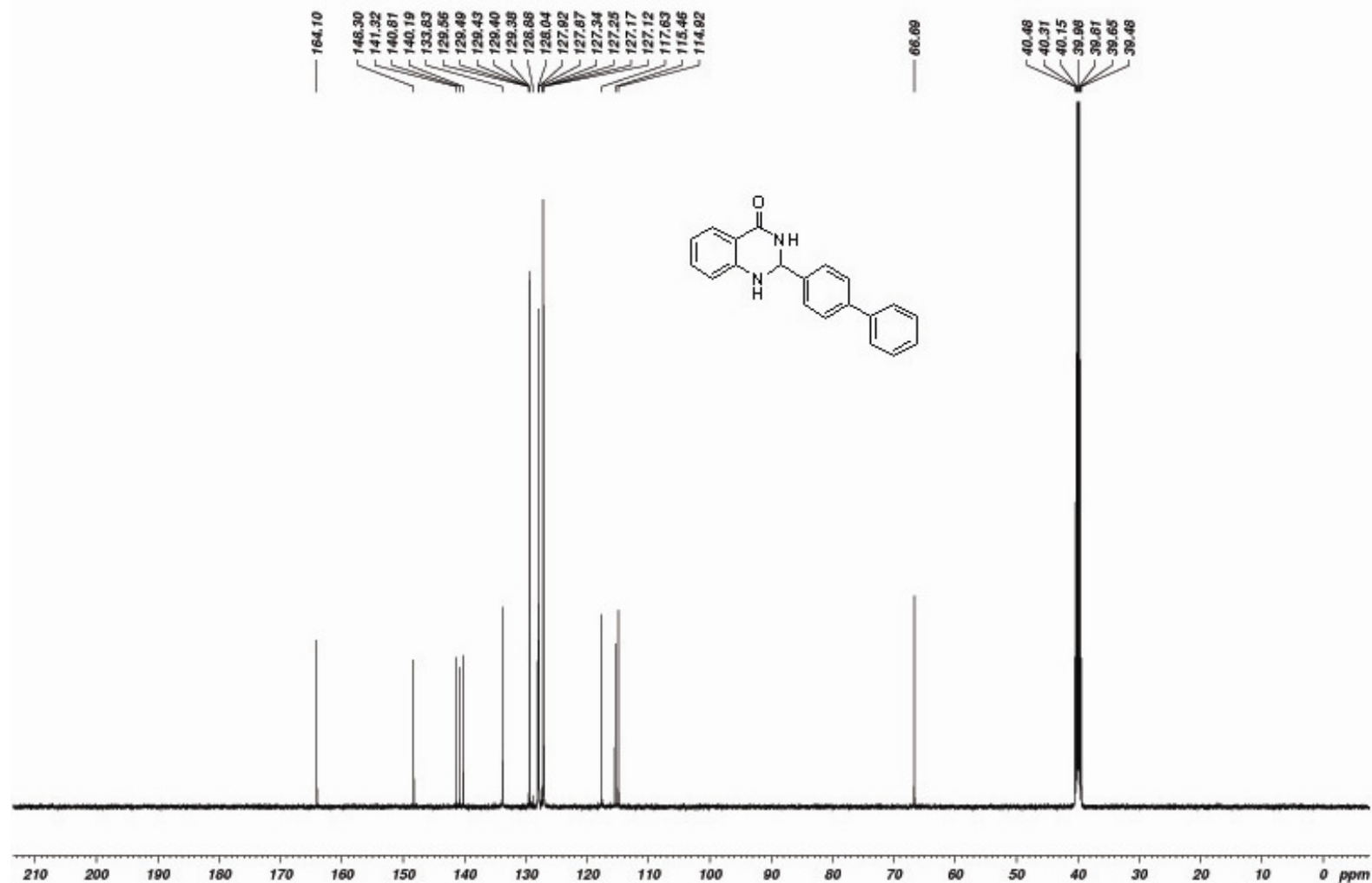
¹H NMR Spectra of 2-(Biphenyl-4-yl)-2,3-dihydroquinazolin-4(1H)-one (4h)

MP-07-11.....Prakash, Biotech

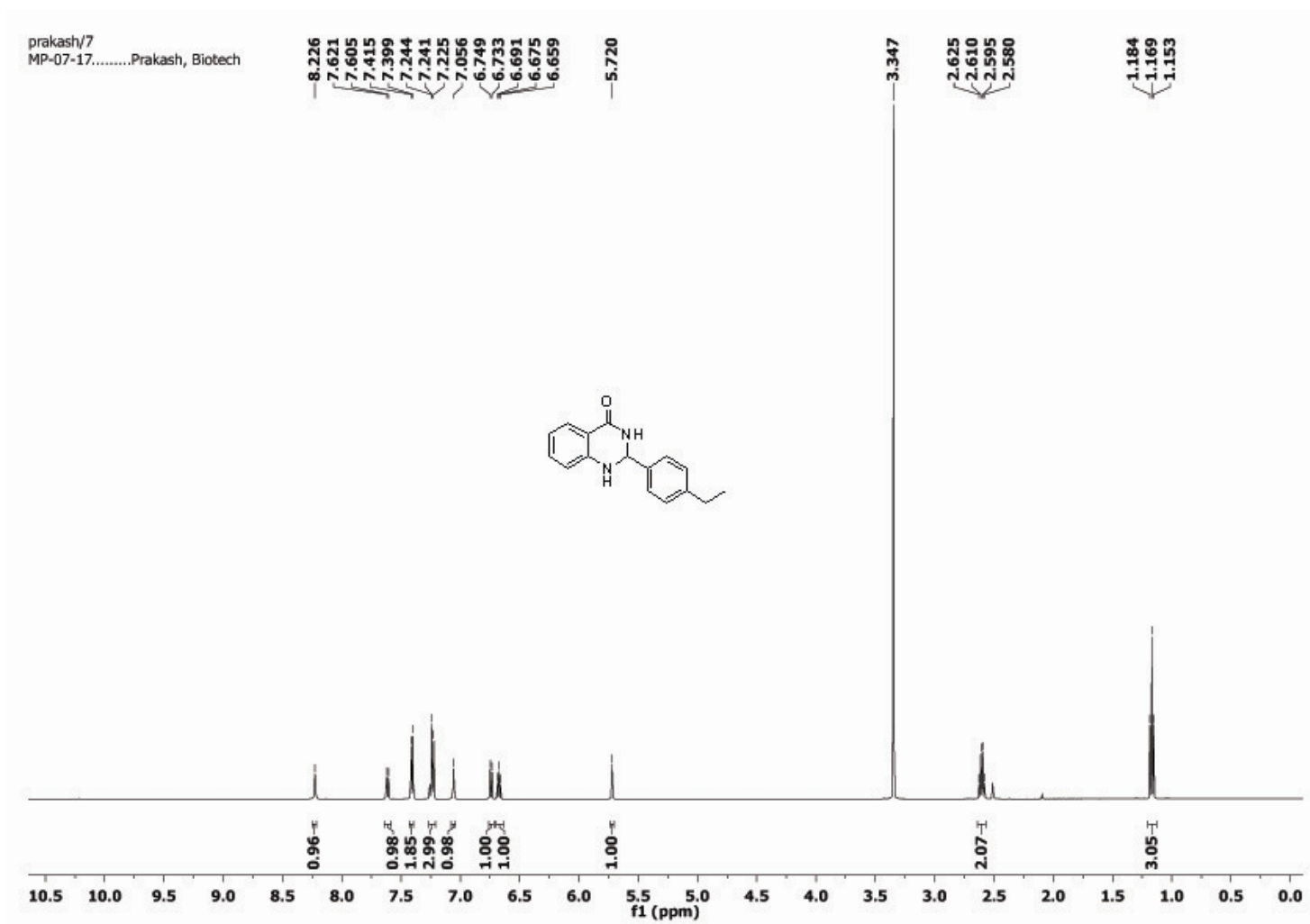


¹³C NMR Spectra of 2-(Biphenyl-4-yl)-2,3-dihydroquinazolin-4(1H)-one (4h)

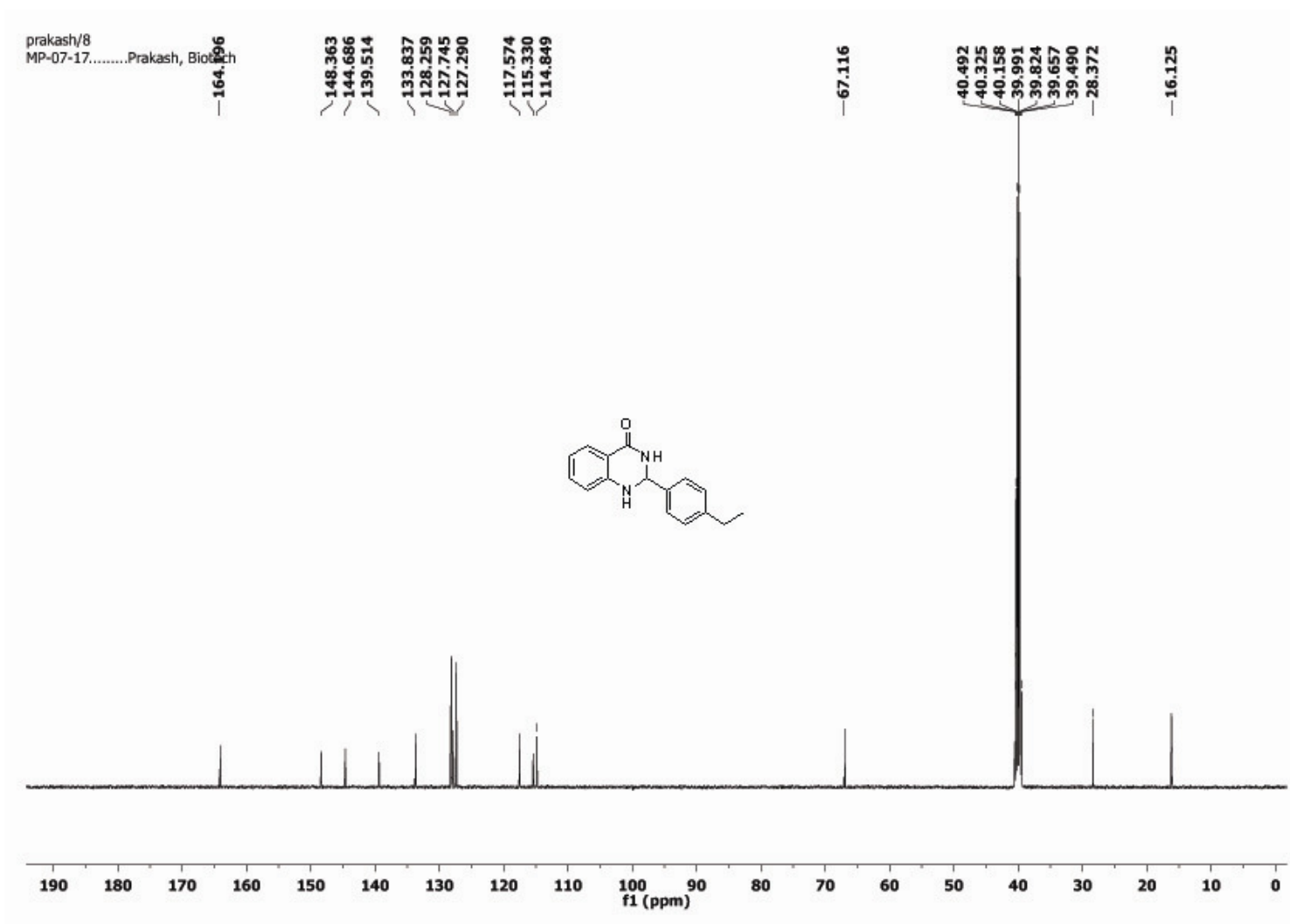
MP-07-11.....Prakash, Biotech



¹H NMR Spectra of 2-(4-ethylphenyl)-2,3-dihydroquinazolin-4(1H)-one (4i)

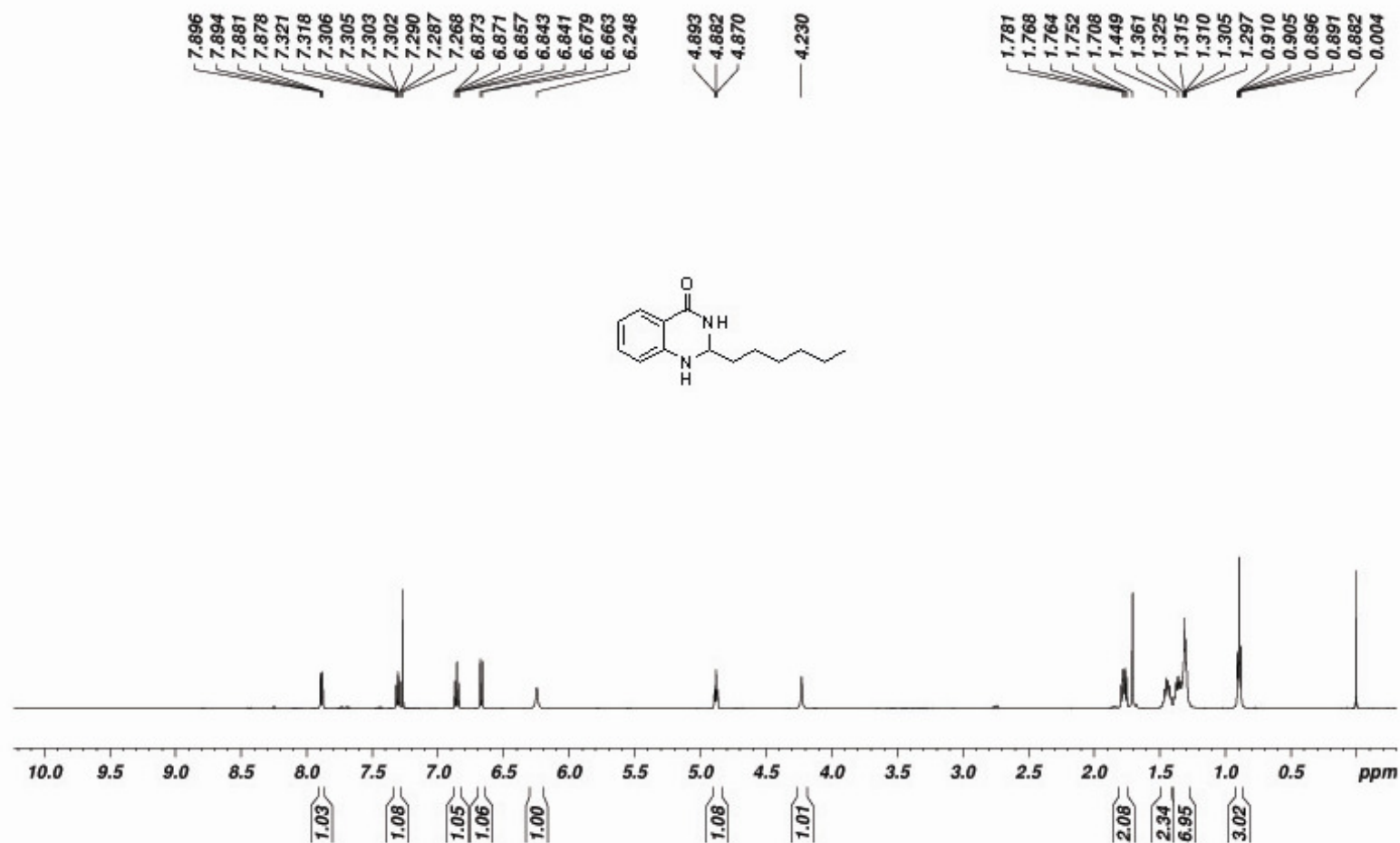


¹³C NMR Spectra of 2-(4-ethylphenyl)-2,3-dihydroquinazolin-4(1H)-one (4i)

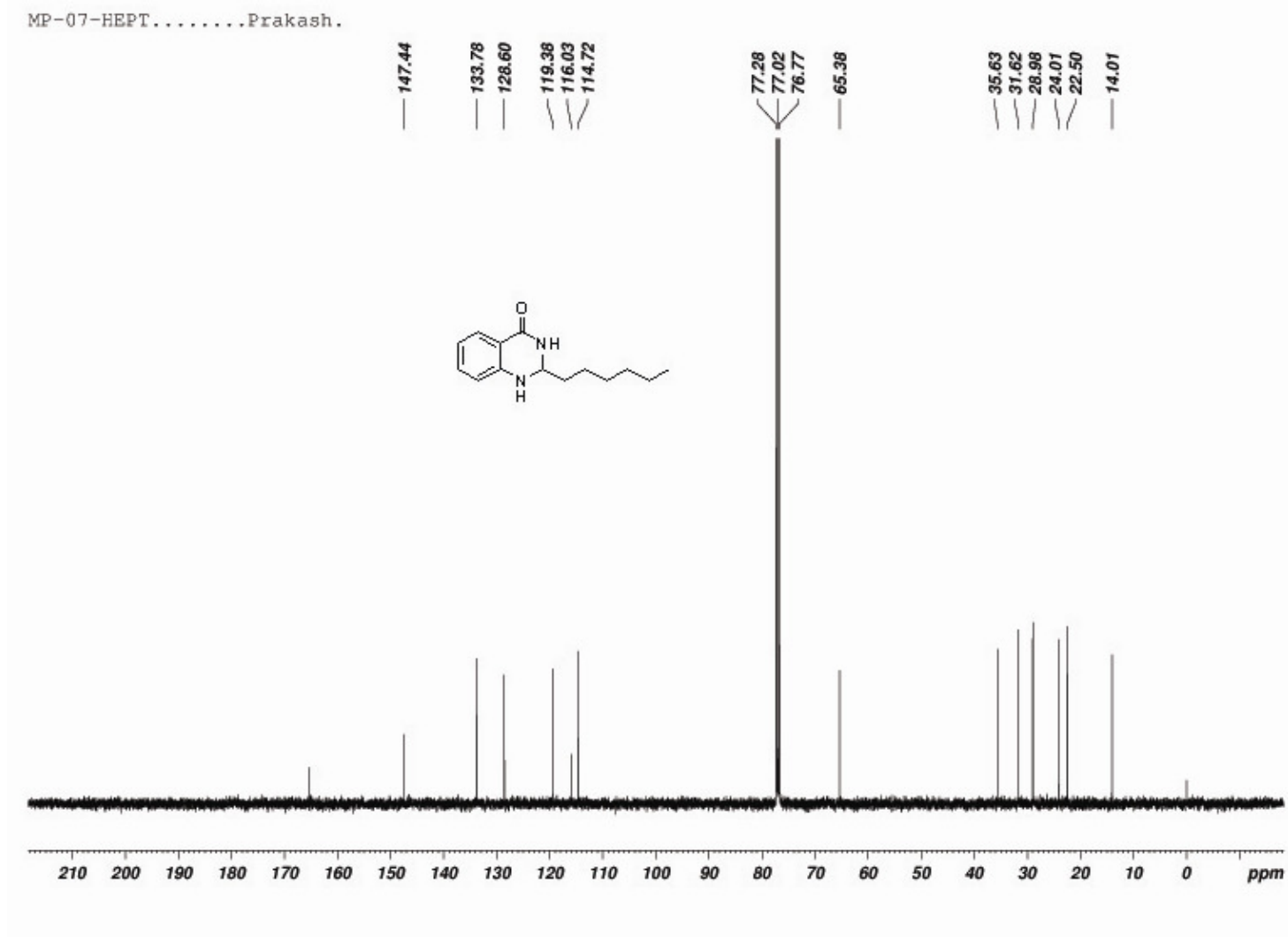


¹H NMR Spectra of 2-hexyl-2,3-dihydroquinazolin-4(1H)-one (4i)

MP-07-HEPT.....Prakash.

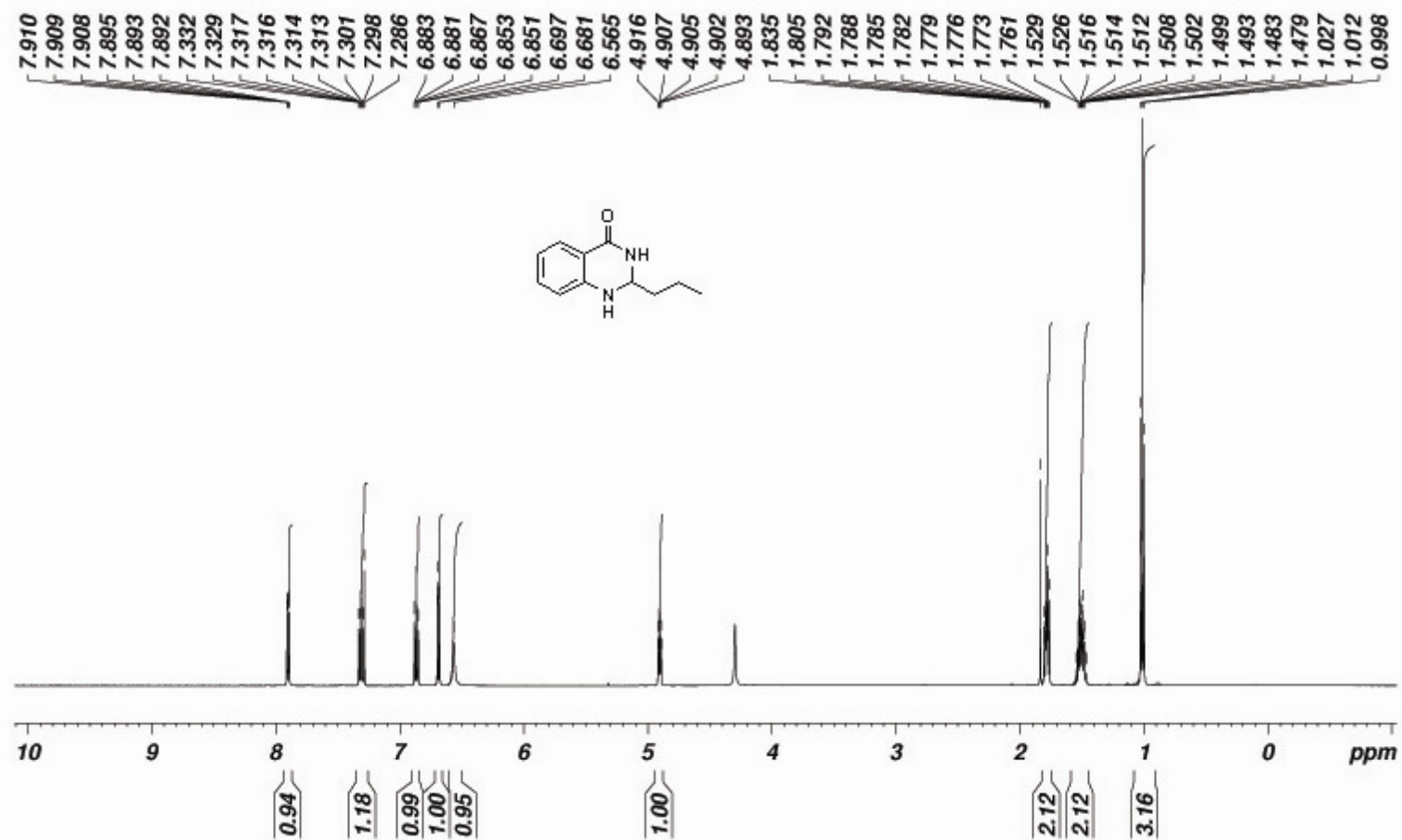


^{13}C NMR Spectra of 2-hexyl-2,3-dihydroquinazolin-4(1H)-one (4j)



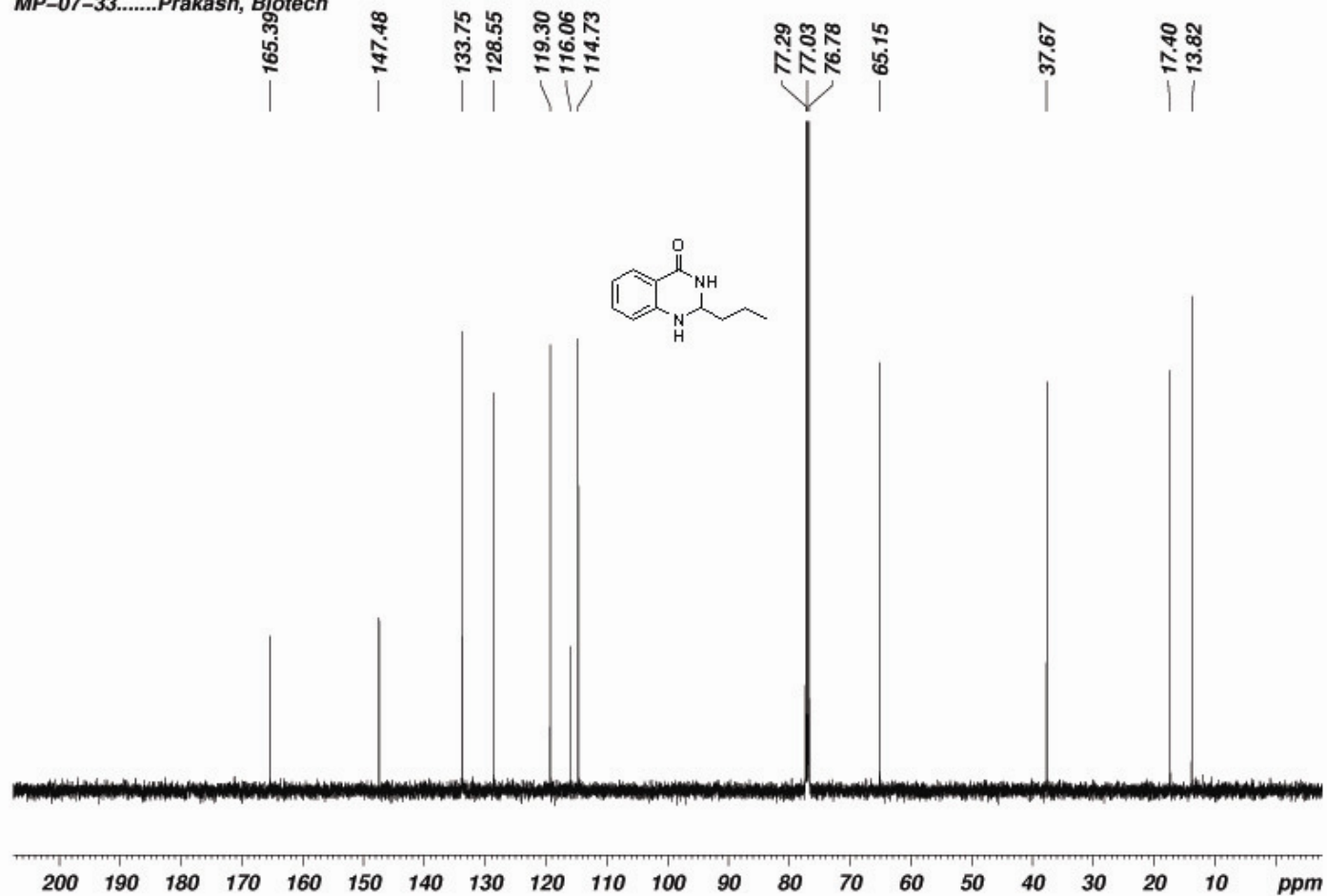
¹H NMR Spectra of 2-propyl-2,3-dihydroquinazolin-4(1H)-one (4k)

MP-07-33.....Prakash, Biotech



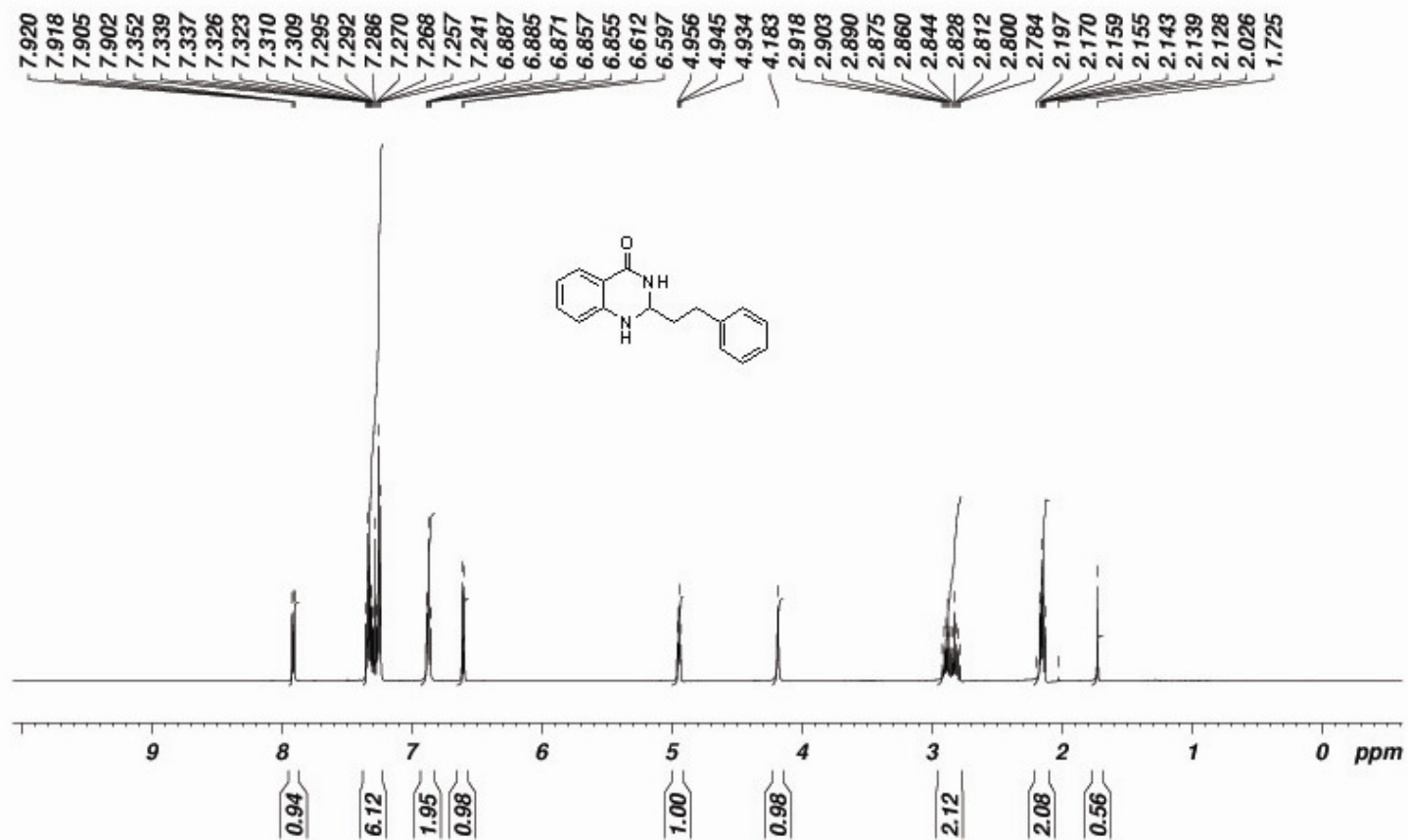
¹³C NMR Spectra of 2-propyl-2,3-dihydroquinazolin-4(1H)-one (4k)

MP-07-33.....Prakash, Biotech



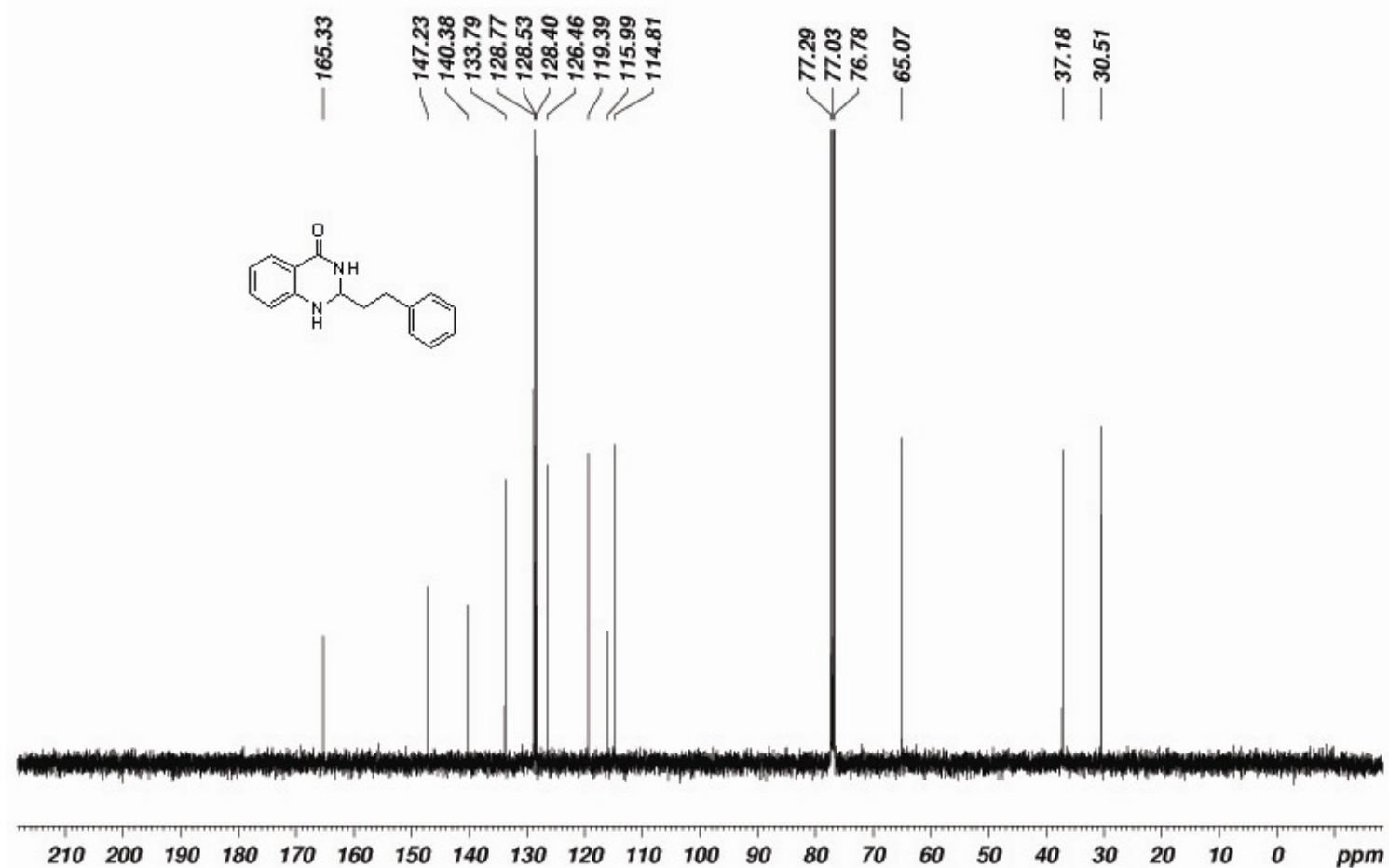
¹H NMR Spectra of 2-phenethyl-2,3-dihydroquinazolin-4(1H)-one (4l)

MP-07-35.....Prakash, Biotech

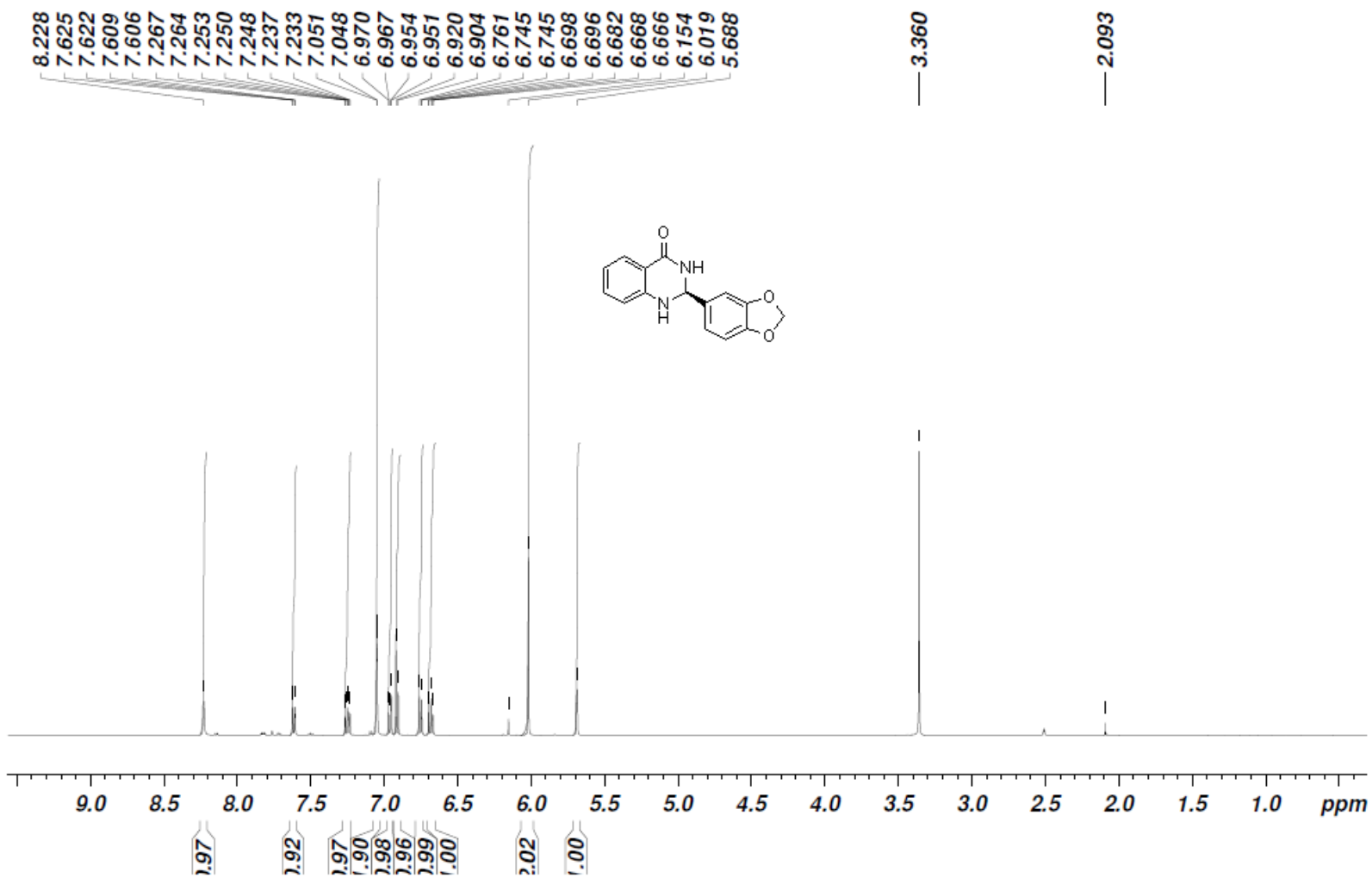


^{13}C NMR Spectra of 2-phenethyl-2,3-dihydroquinazolin-4(1H)-one (4l)

MP-07-35.....Prakash, Biotech

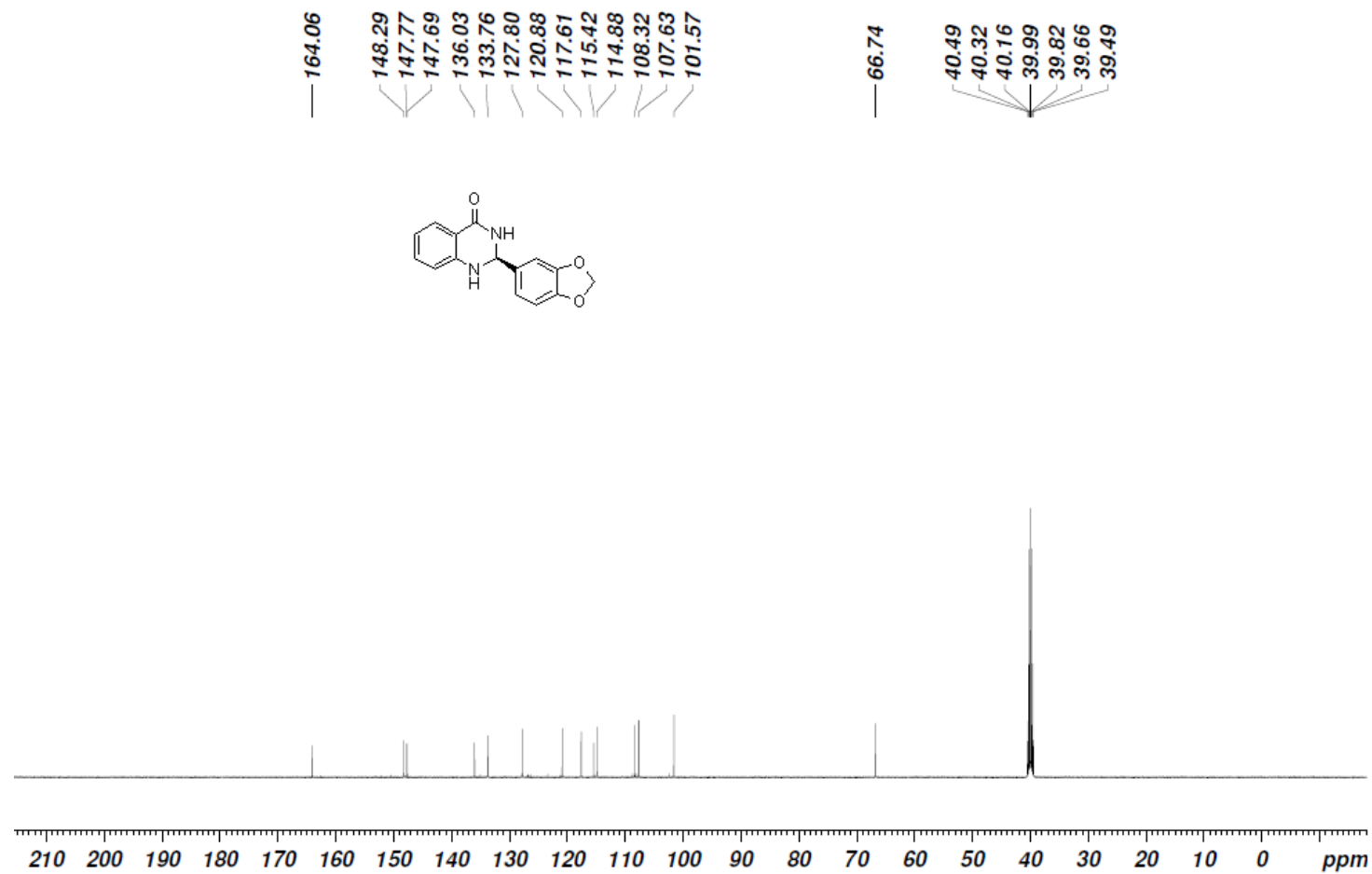


¹H NMR Spectra of 2-(Benzo[d][1,3]dioxol-5-yl)-2,3-dihydroquinazolin-4(1H)-one (4m)



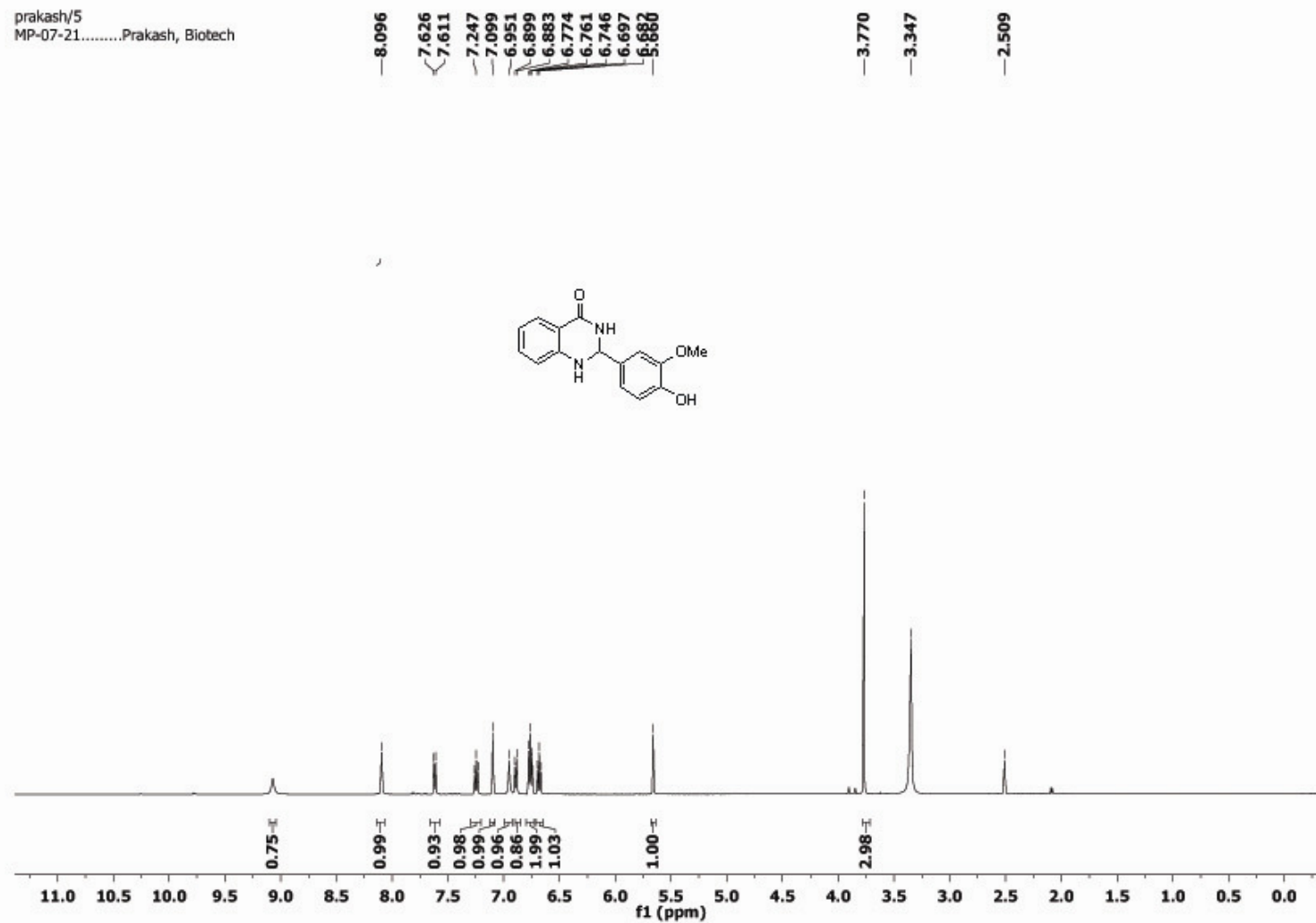
¹³C NMR Spectra of 2-(Benzo[d][1,3]dioxol-5-yl)-2,3-dihydroquinazolin-4(1H)-one (4m)

P-07-15.....Prakash, Biotech

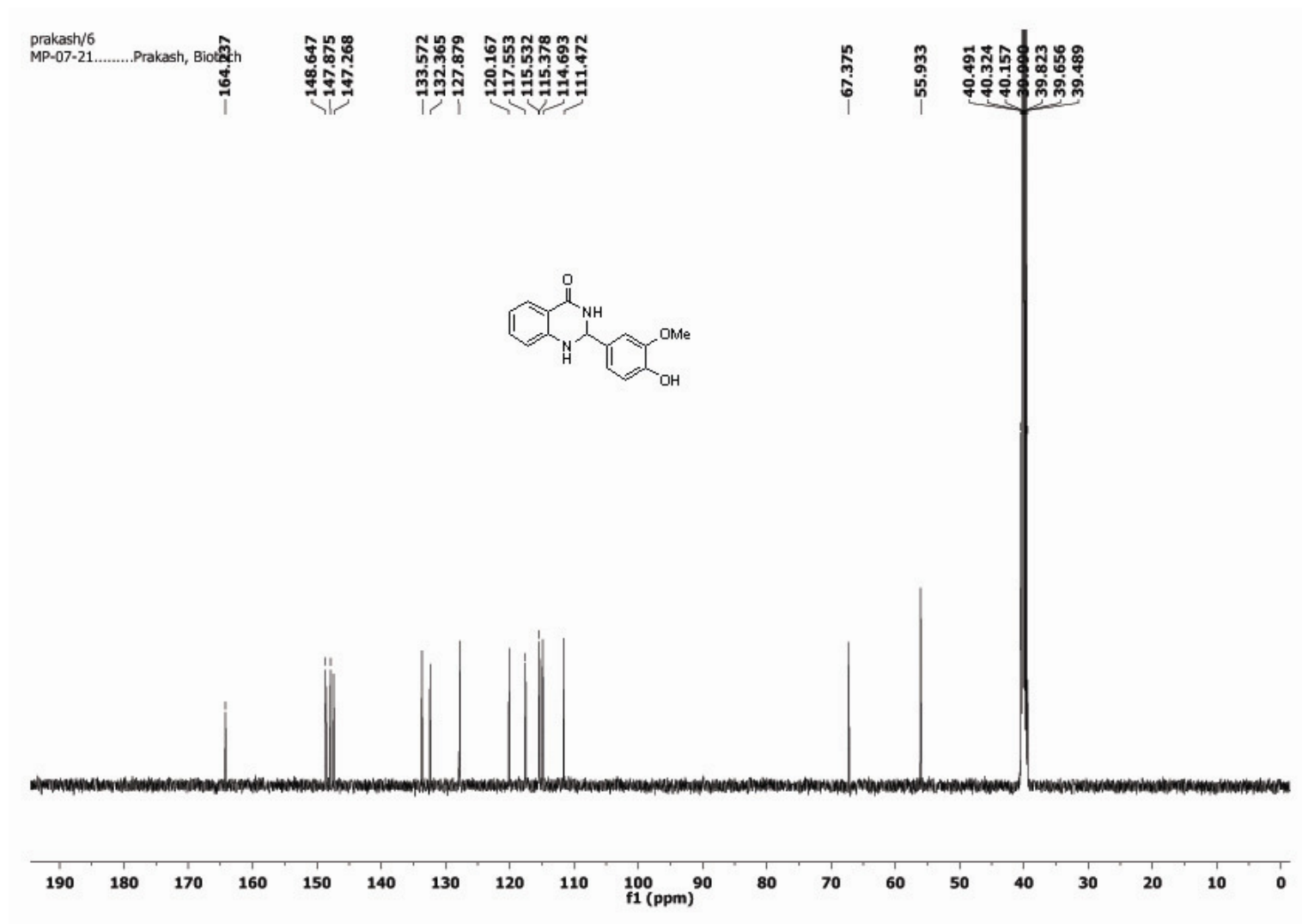


¹H NMR Spectra of 2-(4-hydroxy-3-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one (4n).

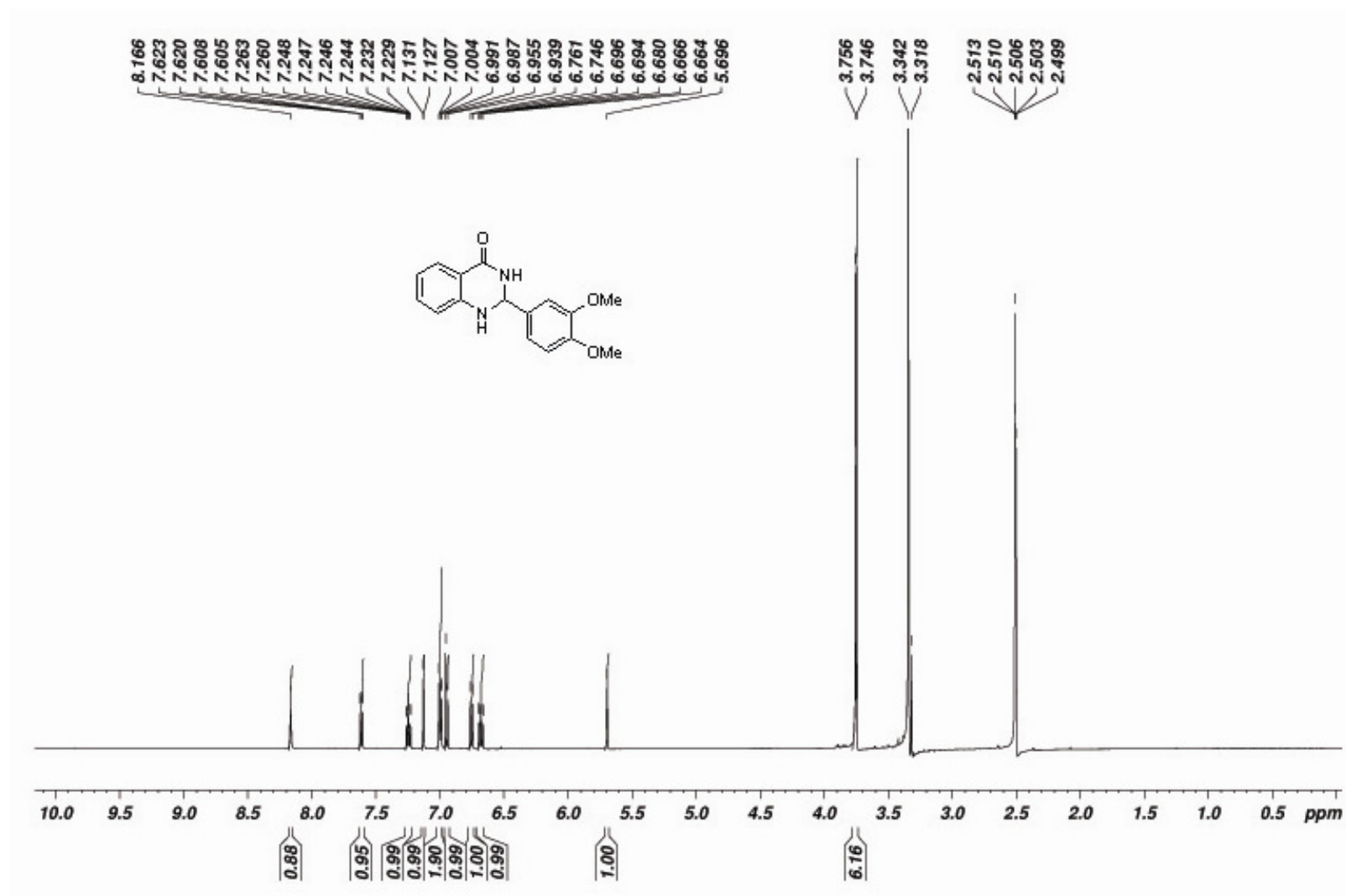
prakash/5
MP-07-21.....Prakash, Biotech



¹³C NMR Spectra of 2-(4-hydroxy-3-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one (4n).

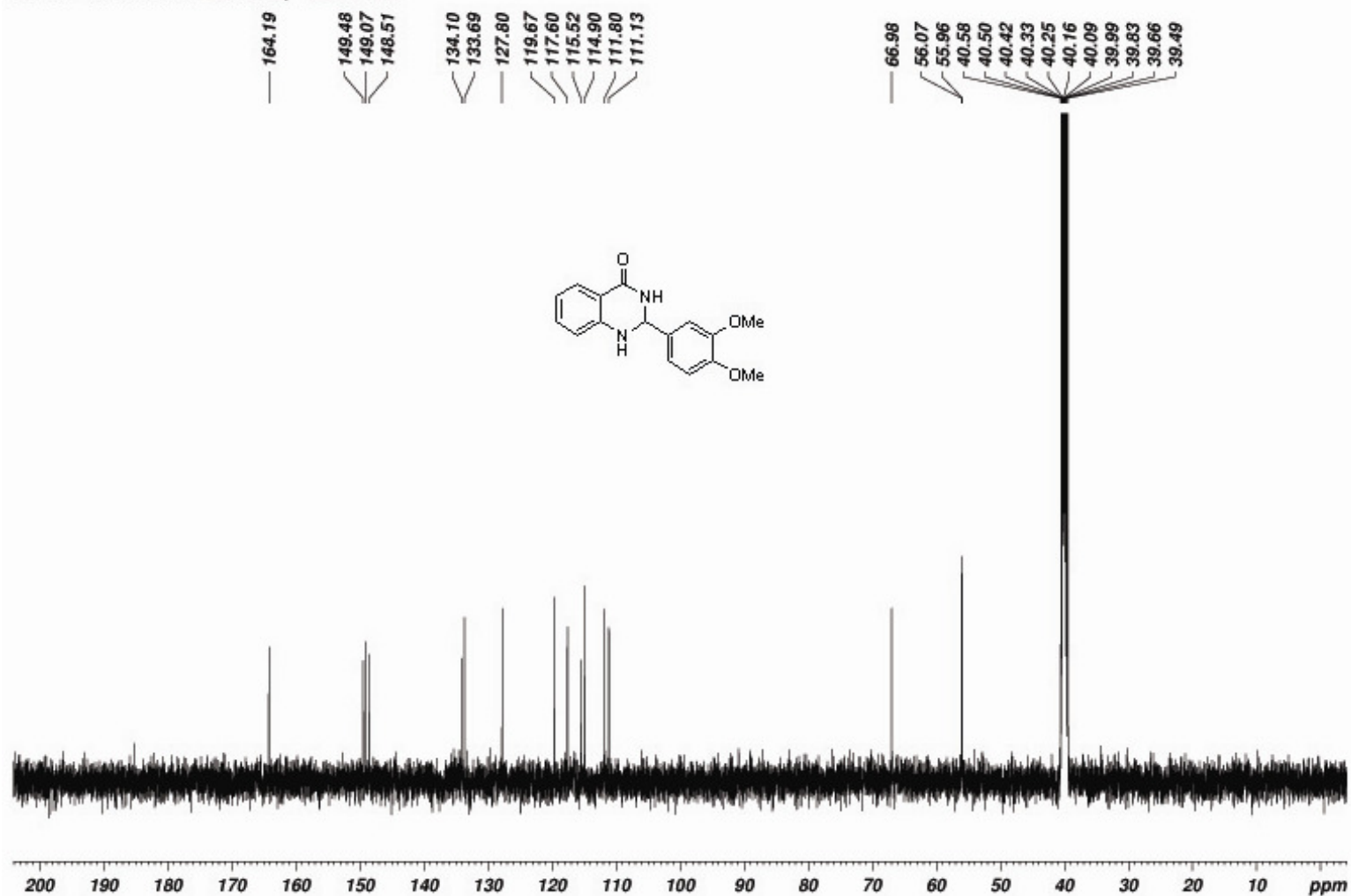


¹H NMR Spectra of 2-(3,4-Dimethoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one (4o).



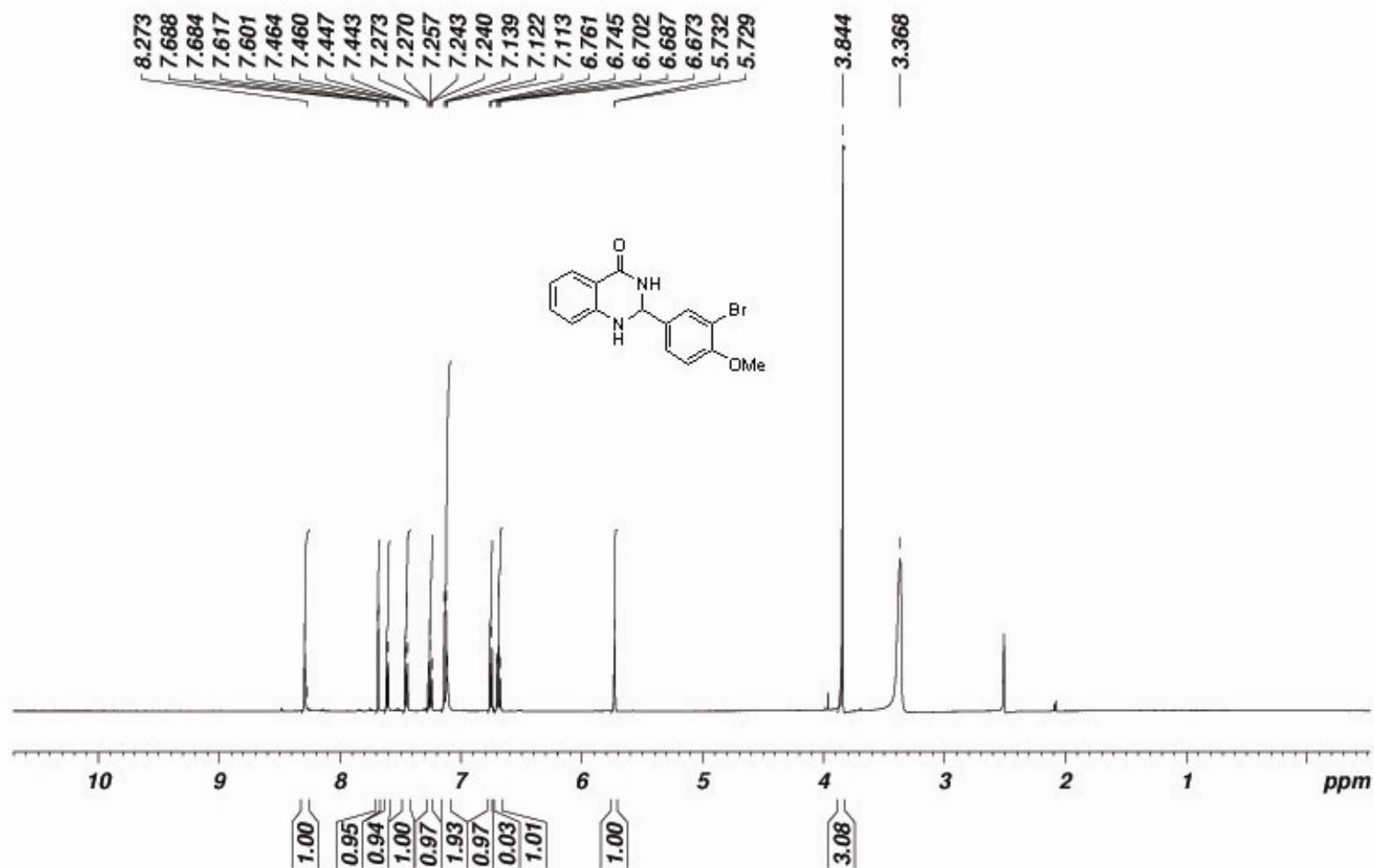
¹³C NMR Spectra of 2-(3,4-Dimethoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one (4o)

MP-07-03.....Prakash, Biotech

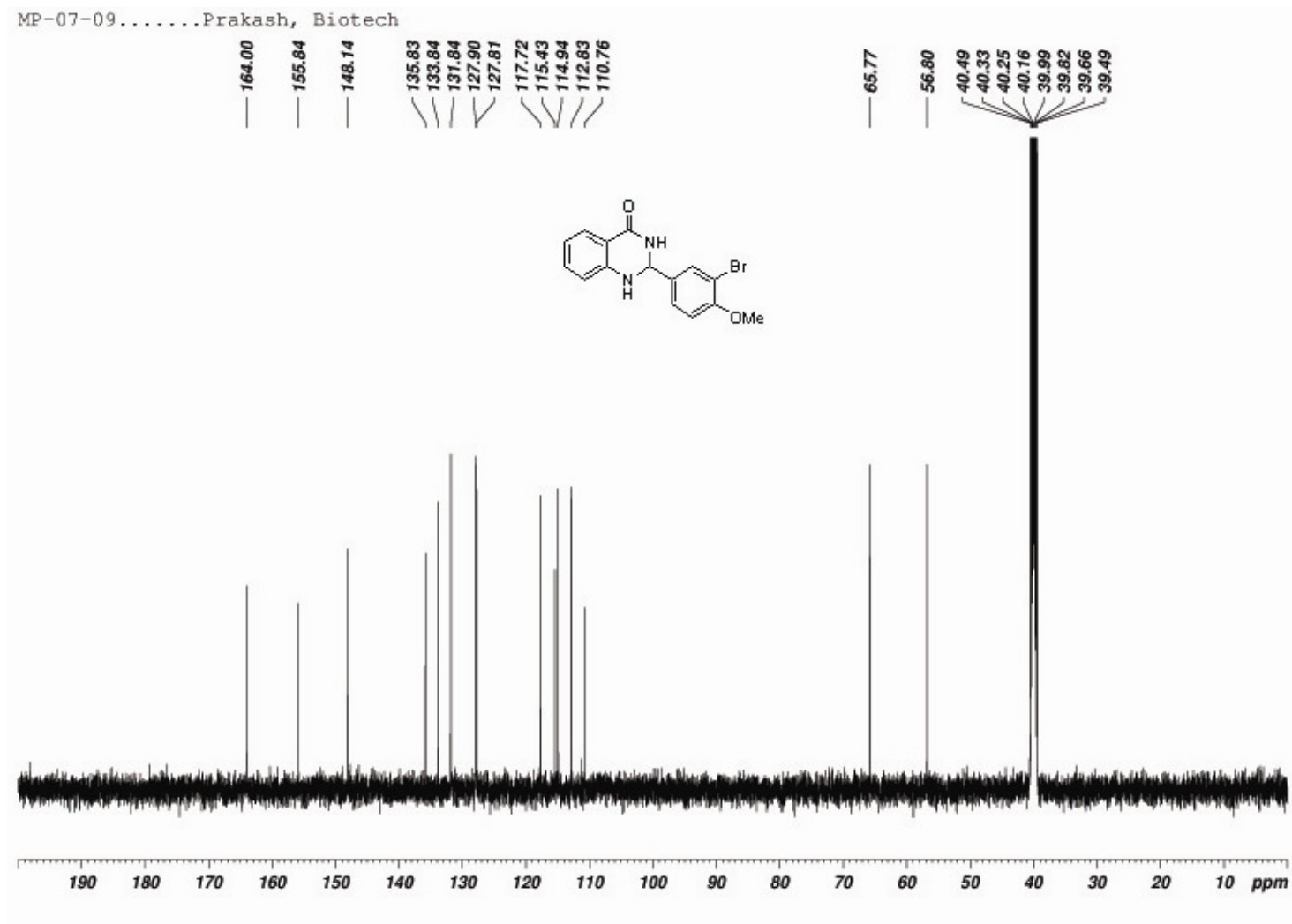


¹H NMR Spectra of 2-(3-Bromo-4-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one (4p).

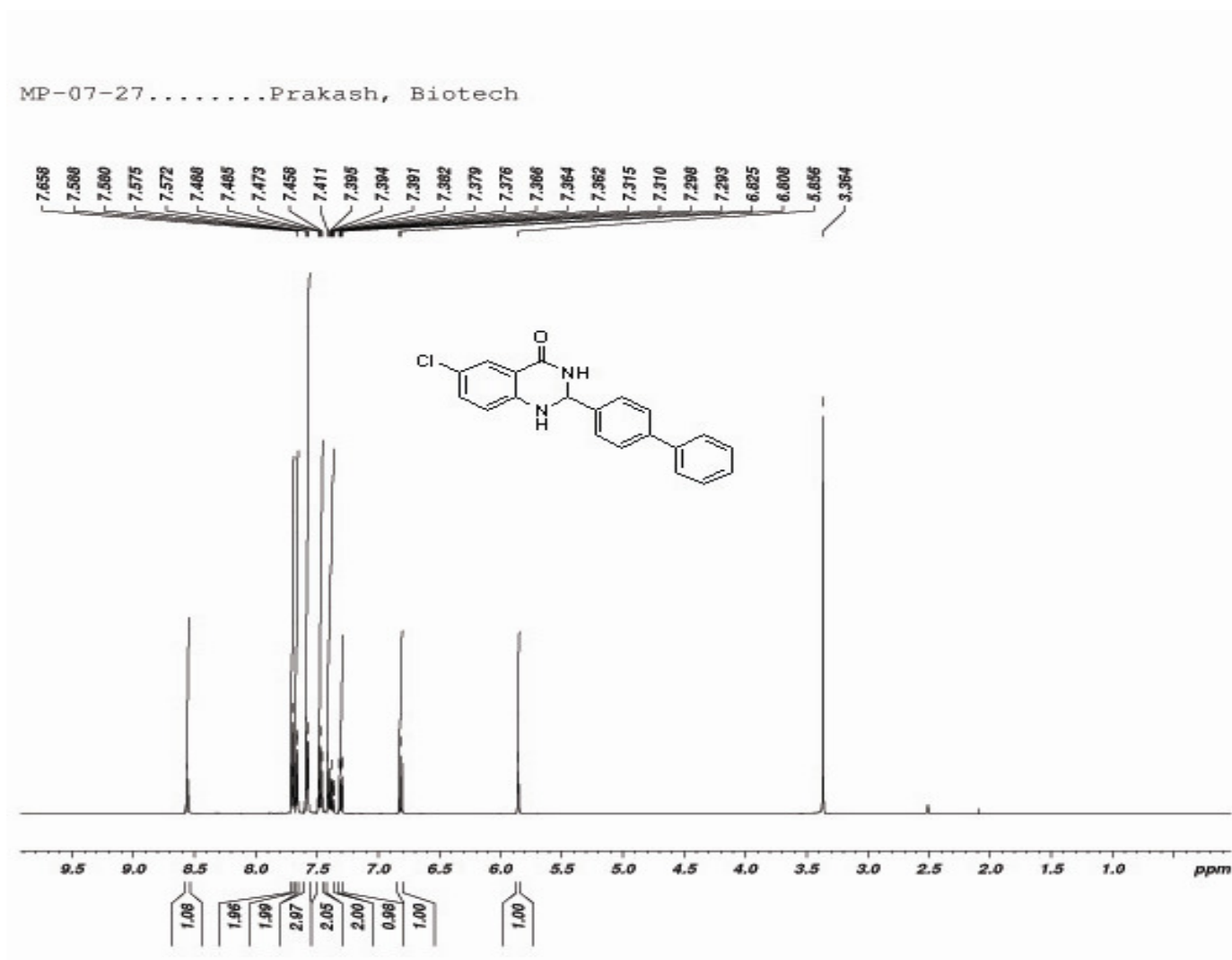
MP-07-09.....Prakash, Blotech



^{13}C NMR Spectra of 2-(3-Bromo-4-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one (4p).

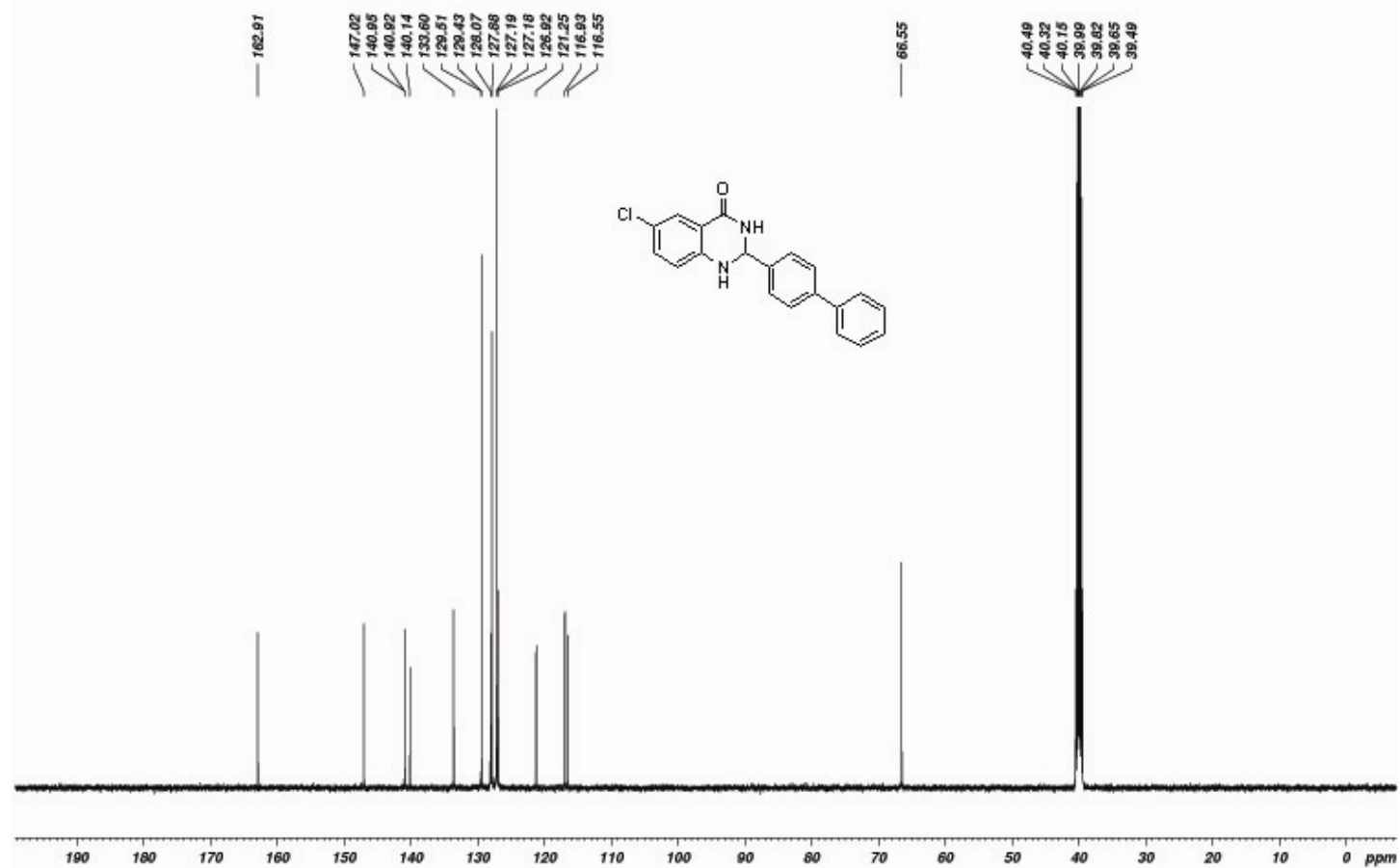


¹H NMR Spectra of 2-(biphenyl-4-yl)-6-chloro-2,3-dihydroquinazolin-4(1H)-one (4q).



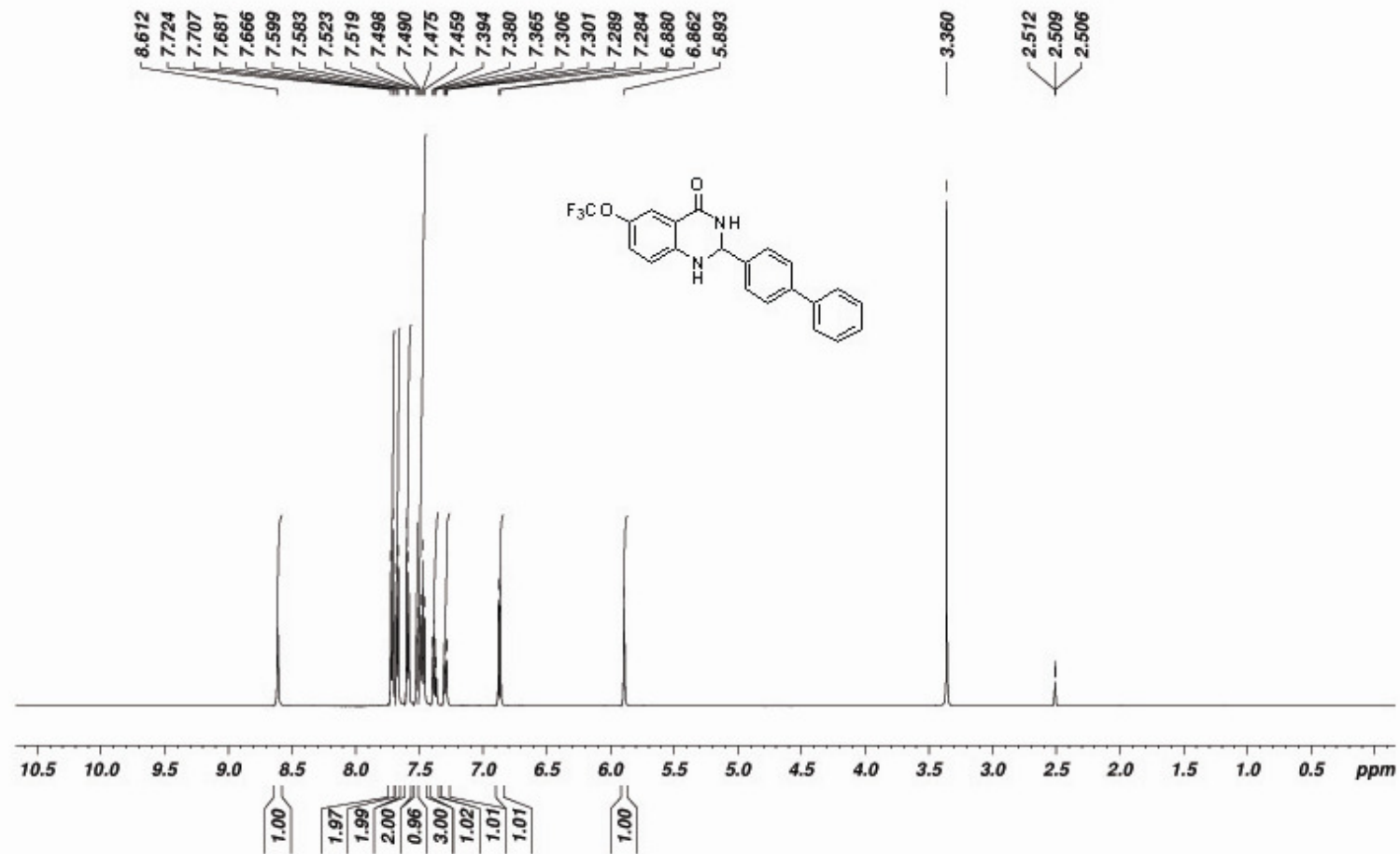
^{13}C NMR Spectra of 2-(biphenyl-4-yl)-6-chloro-2,3-dihydroquinazolin-4(1H)-one (4g).

MP-07-27.....Prakash, Biotech



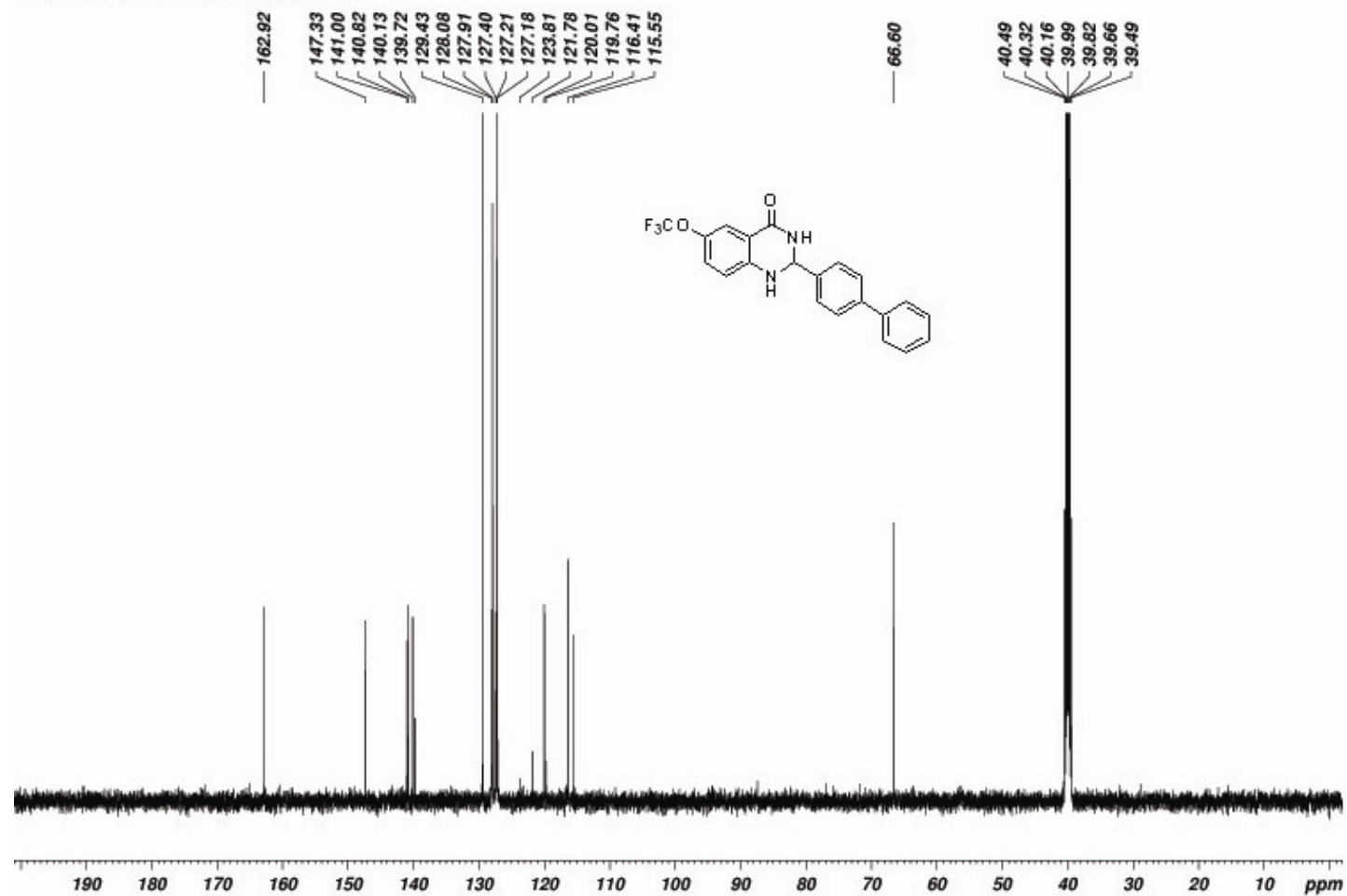
¹H NMR Spectra of 2-(biphenyl-4-yl)-6-(trifluoromethoxy)-2,3-dihydroquinazolin-4(1H)-one (4r).

MP-07-25.....Prakash

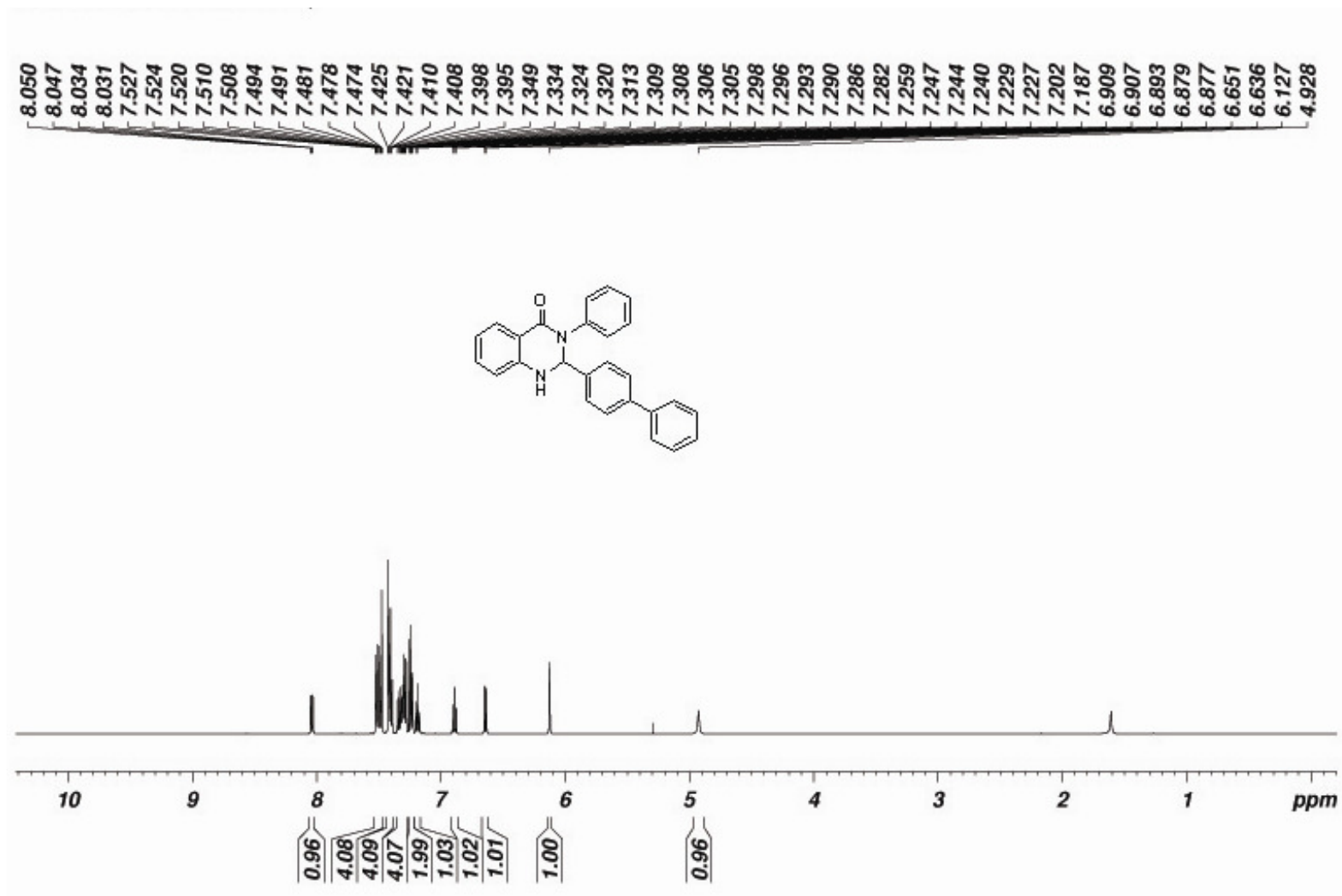


^{13}C NMR Spectra of 2-(biphenyl-4-yl)-6-(trifluoromethoxy)-2,3-dihydroquinazolin-4(1H)-one (4r).

4P-07-25.....Prakash

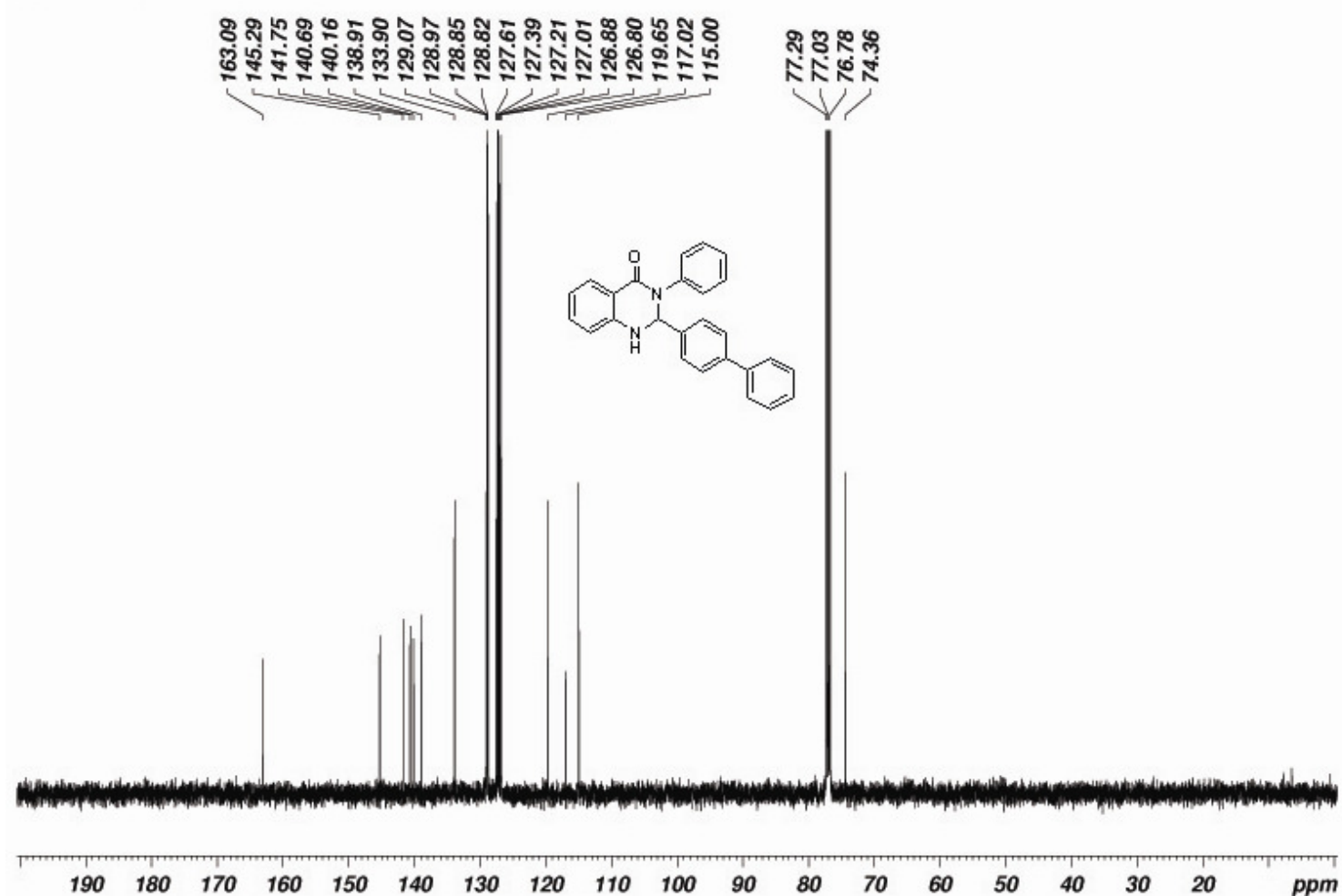


¹H NMR Spectrum of 2-(biphenyl-4-yl)-3-phenyl-2,3-dihydroquinazolin-4(1H)-one (4s)

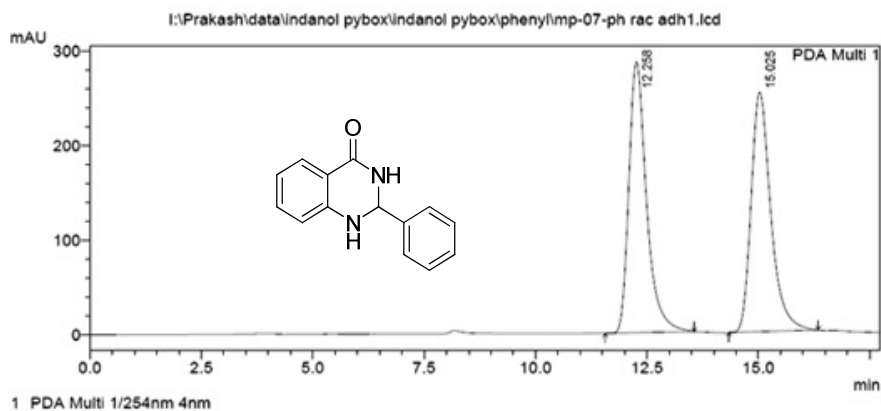


¹³C NMR Spectrum of 2-(biphenyl-4-yl)-3-phenyl-2,3-dihydroquinazolin-4(1H)-one (4s)

BS-1-9.....Prakash,



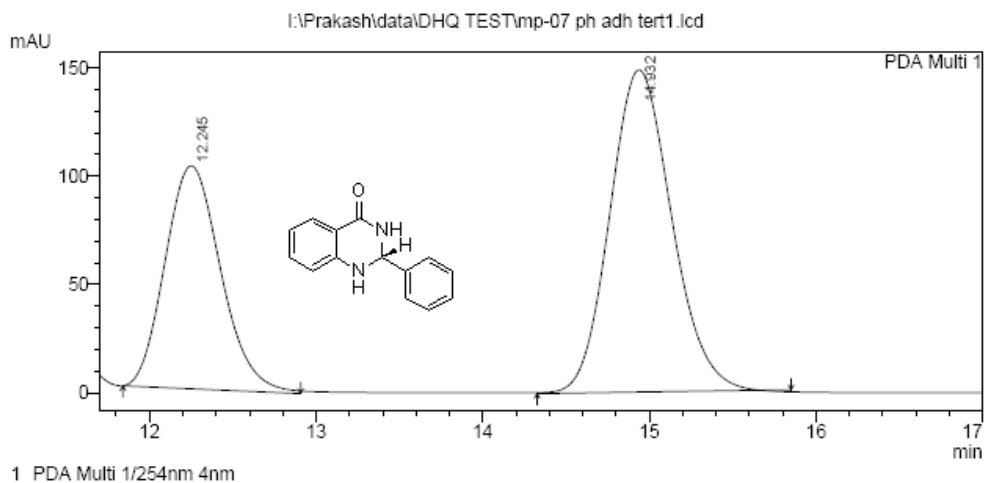
Chromatograms for Optimization: Table 1 Racemic



PeakTable

Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.258	7887327	286543	50.401	53.098
2	15.025	7761719	253110	49.599	46.902
Total		15649046	539653	100.000	100.000

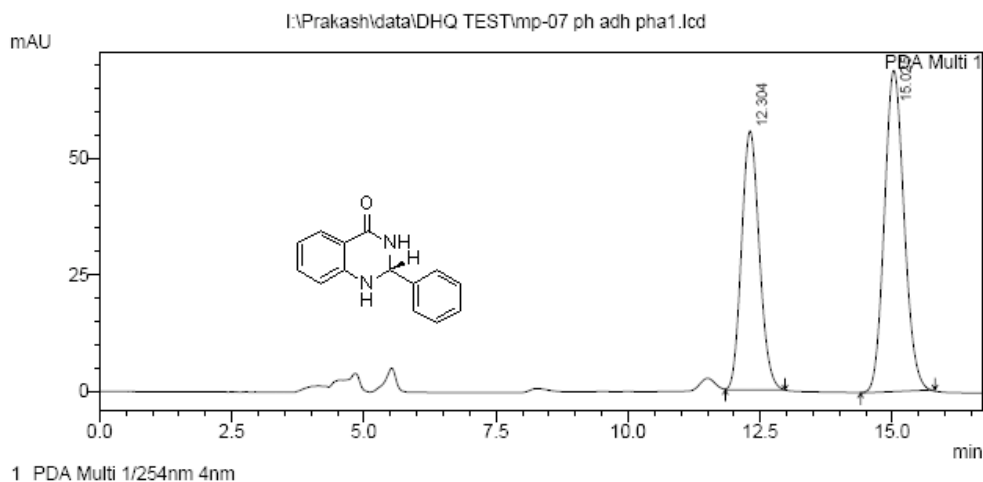
(S,S)-^tBu-Pybox 6 (Entry-1)



PeakTable

Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.245	2387549	102860	38.378	40.902
2	14.932	3833653	148617	61.622	59.098
Total		6221202	251477	100.000	100.000

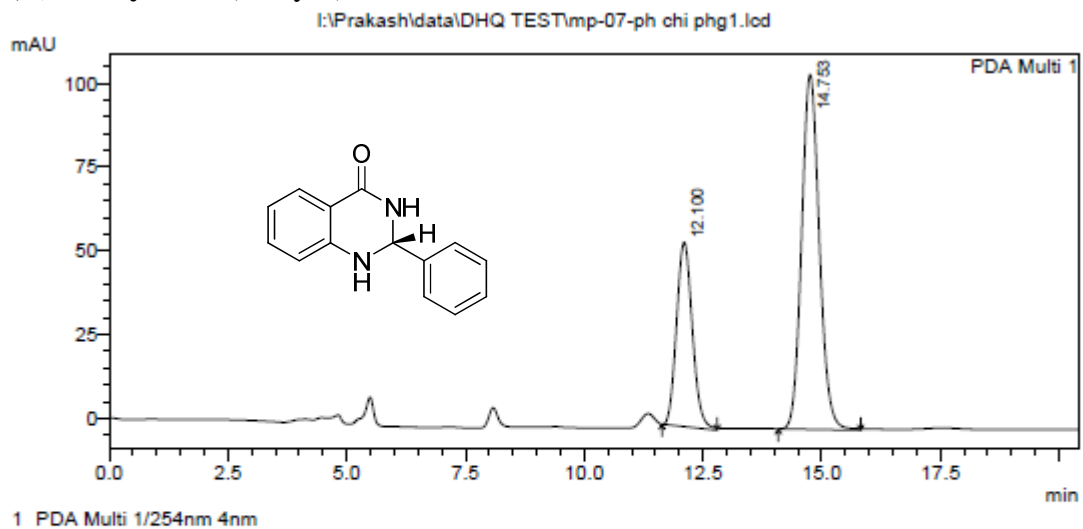
(S,S)-Bn-Pybox 8 (Entry-3)



PeakTable

Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.304	1302189	55583	42.055	44.622
2	15.025	1794242	68980	57.945	55.378
Total		3096431	124563	100.000	100.000

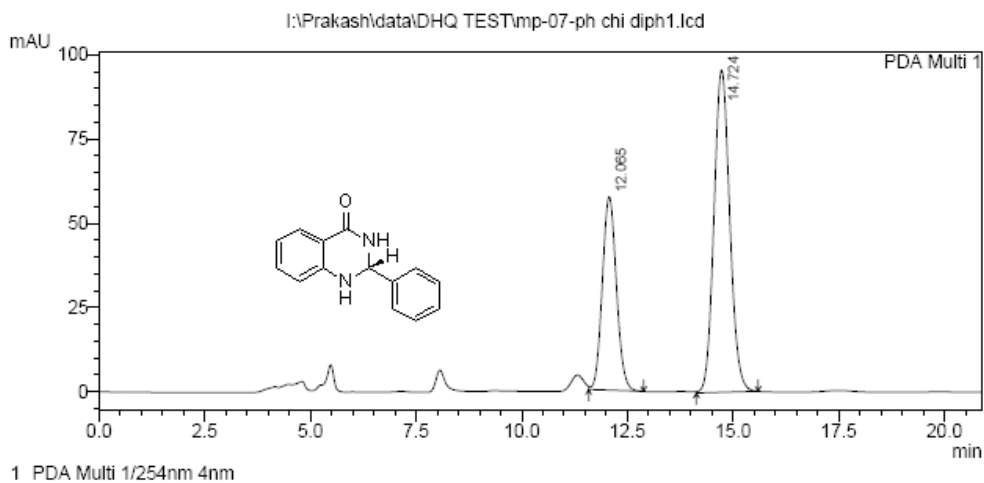
(S,S)-Ph-Pybox 9 (Entry-4)



PeakTable

Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.100	1272618	55081	31.645	34.189
2	14.753	2748878	106026	68.355	65.811
Total		4021496	161107	100.000	100.000

(S,S)-ⁱPr-Diph-Pybox 10 (Entry-5)

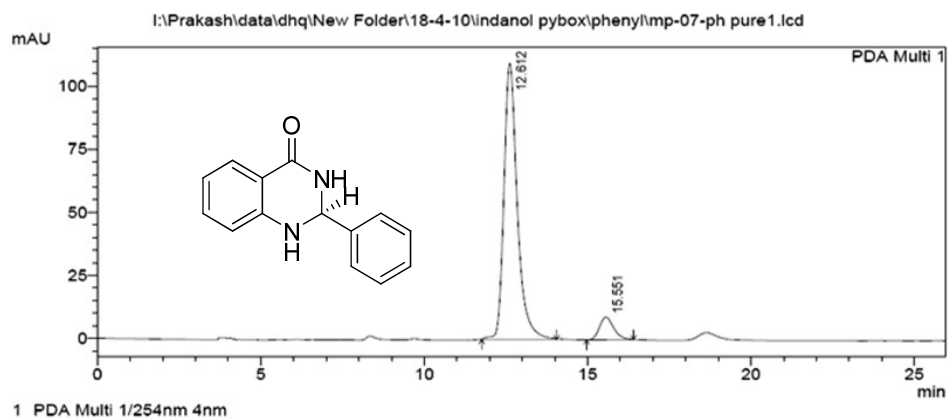


PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.065	1329903	57415	34.823	37.500
2	14.724	2489155	95693	65.177	62.500
Total		3819058	153107	100.000	100.000

(1R,2S)-Inda-Pybox 11 (5 : 10) mol% (Entry-6)

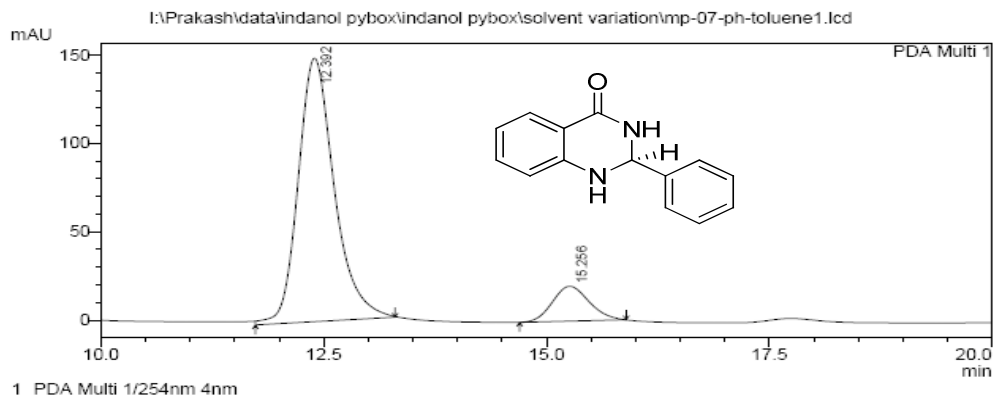


PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.612	3133949	109719	91.891	92.371
2	15.551	276545	9062	8.109	7.629
Total		3410495	118781	100.000	100.000

Ytterbium (1R,2S)-Inda-Pybox 11 (Entry-7)

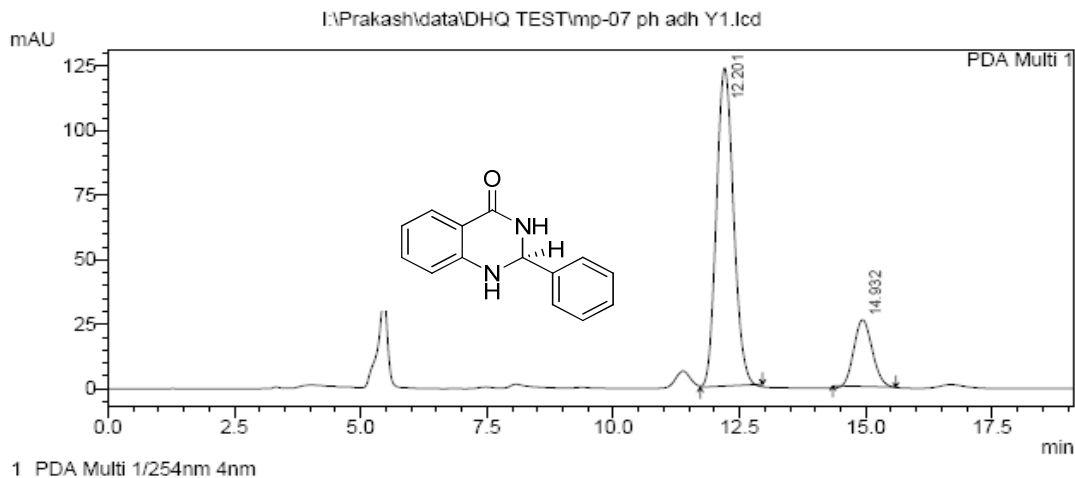


PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.392	4212941	149132	88.179	88.317
2	15.256	564789	19727	11.821	11.683
Total		4777729	168860	100.000	100.000

Ytterium (1R,2S)-Inda-Pybox 11 (Entry-8)

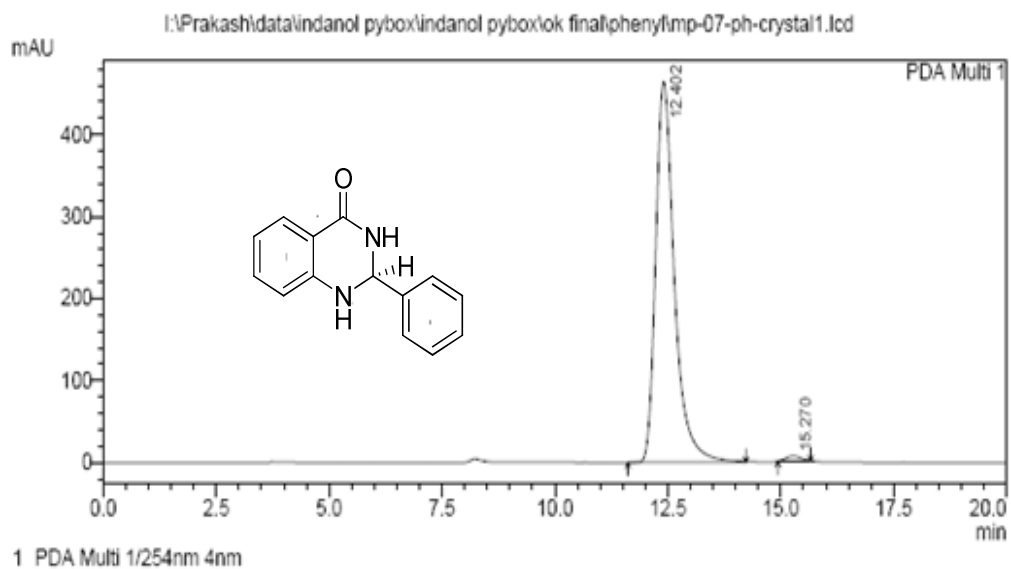


PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.201	2903667	123323	81.846	82.681
2	14.932	644060	25833	18.154	17.319
Total		3547727	149155	100.000	100.000

Scandium (1R,2S)-Inda-Pybox (1 : 2.5) mol% (Entry-10)

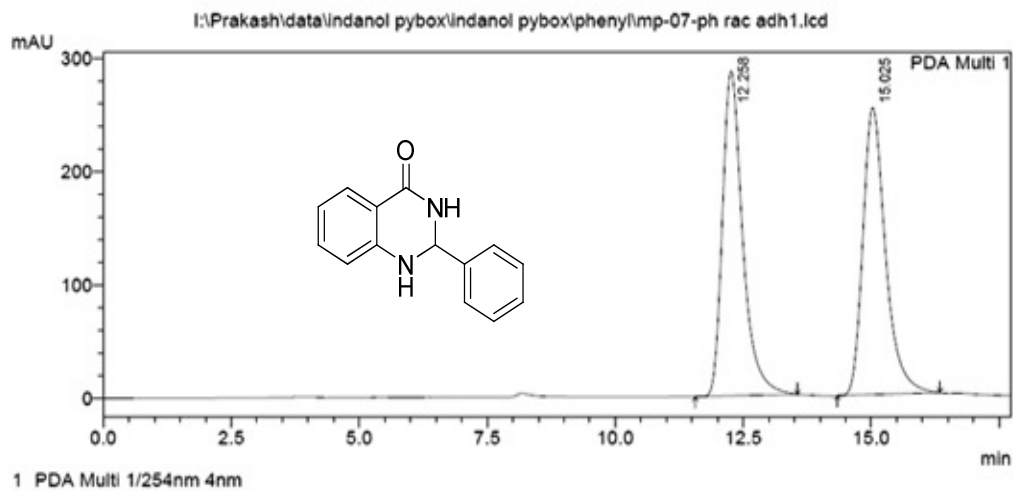


PeakTable

PDA Ch1 254nm 4nm

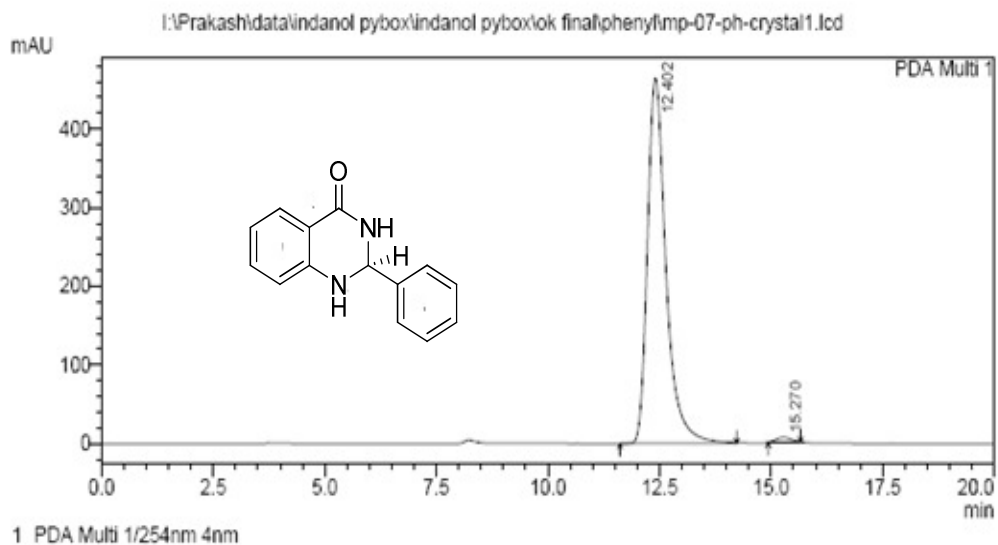
Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.402	13440387	464856	98.913	98.712
2	15.270	147707	6065	1.087	1.288
Total		13588093	470921	100.000	100.000

Table-2
HPLC Chromatogram of 2-phenyl-2,3-dihydroquinazolin-4(1H)-one (4a).(Entry-1)



PeakTable

Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.258	7887327	286543	50.401	53.098
2	15.025	7761719	253110	49.599	46.902
Total		15649046	539653	100.000	100.000

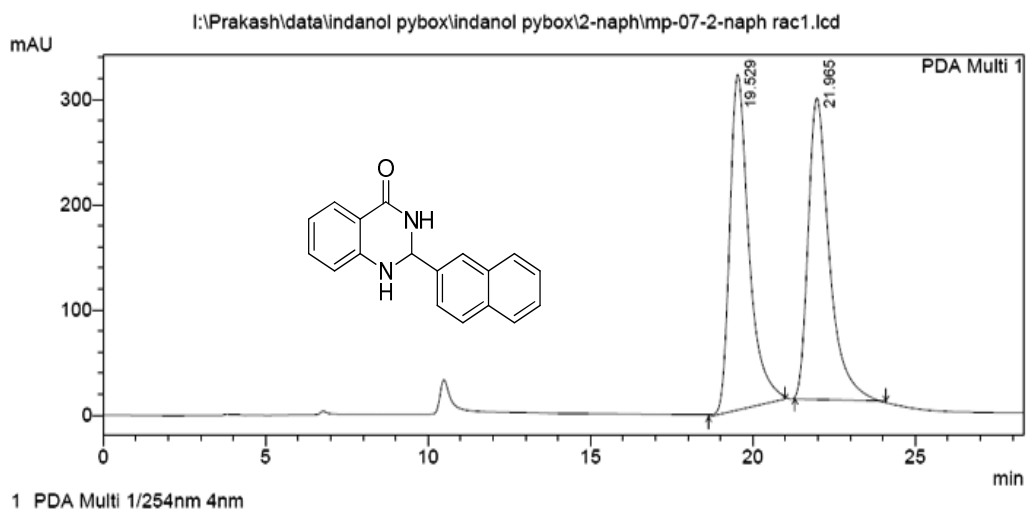


PeakTable

Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.402	13440387	464856	98.913	98.712
2	15.270	147707	6065	1.087	1.288
Total		13588093	470921	100.000	100.000

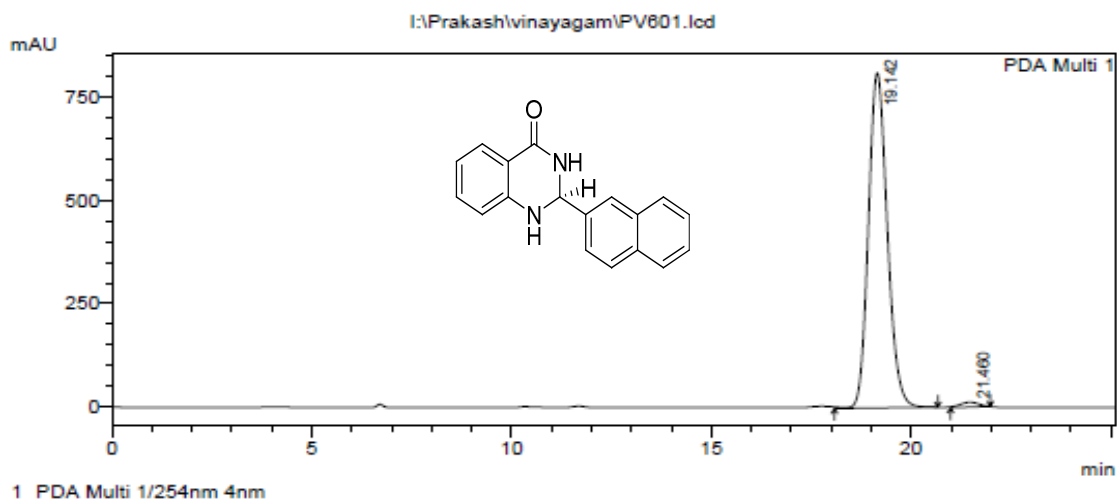
HPLC Chromatogram of 2-(naphthalen-2-yl)-2,3-dihydroquinazolin-4(1H)-one (4b).

(Entry-2)



PeakTable

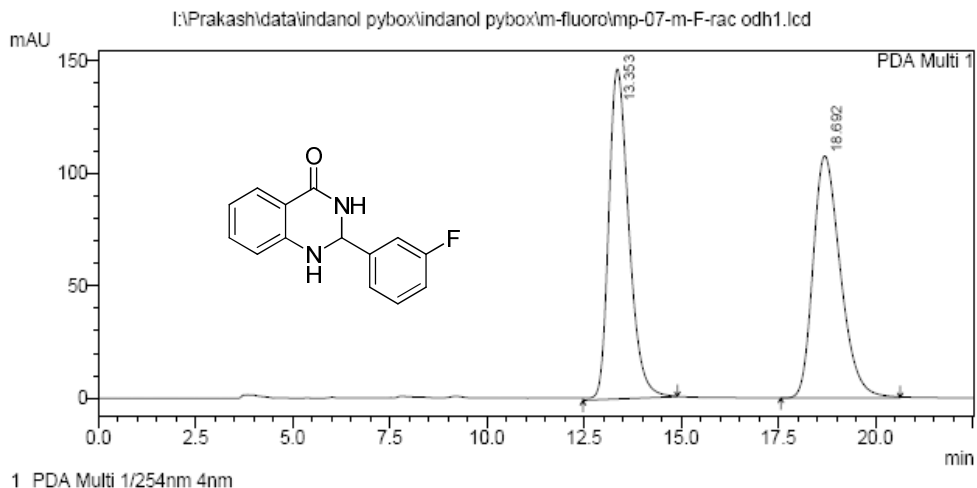
Peak#	Ret. Time	Area	Height	Area %	Height %
1	19.529	13158241	318981	50.294	52.674
2	21.965	13004462	286599	49.706	47.326
Total		26162702	605580	100.000	100.000



PeakTable

Peak#	Ret. Time	Area	Height	Area %	Height %
1	19.142	26727681	808695	98.601	98.577
2	21.460	379187	11677	1.399	1.423
Total		27106867	820372	100.000	100.000

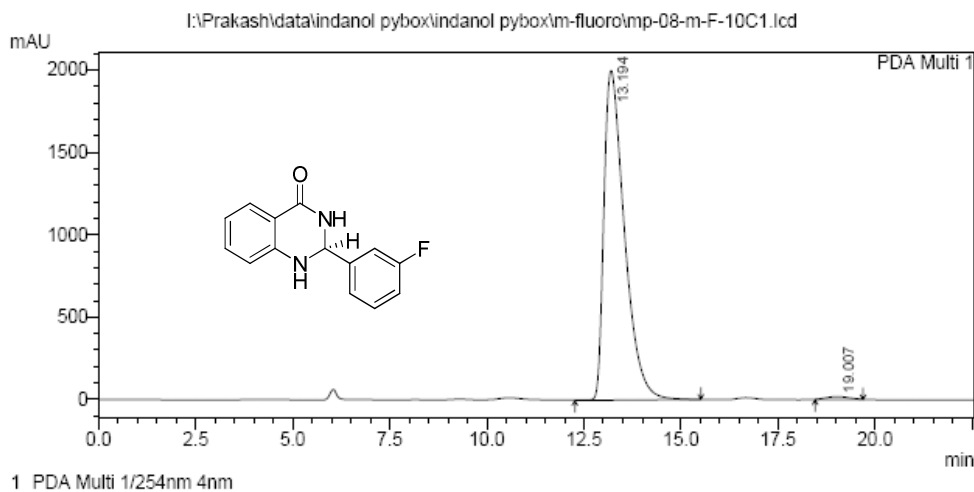
HPLC Chromatogram of 2-(3-fluorophenyl)-2,3-dihydroquinazolin-4(1H)-one (4c). (Entry-3)



PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	13.353	5299826	146752	50.143	57.687
2	18.692	5269647	107643	49.857	42.313
Total		10569473	254396	100.000	100.000



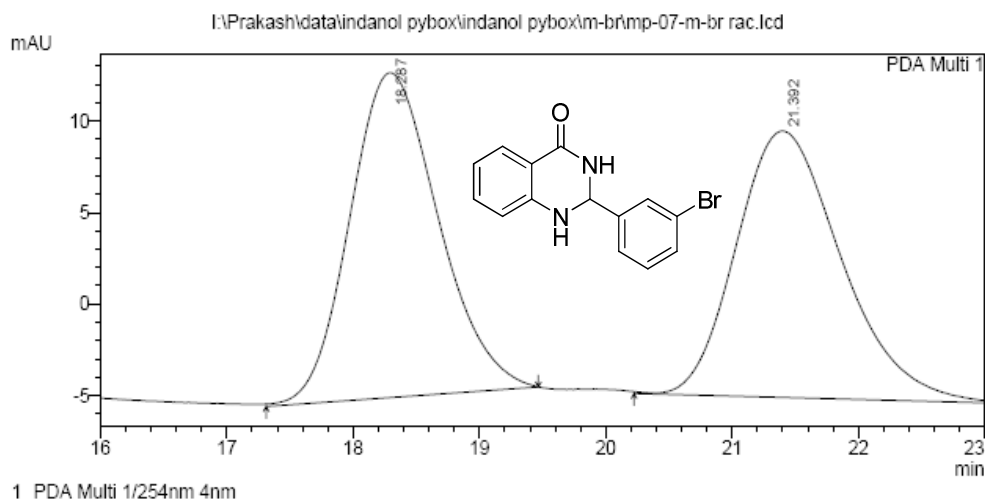
PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	13.194	73668553	1999344	98.902	99.083
2	19.007	817735	18500	1.098	0.917
Total		74486288	2017844	100.000	100.000

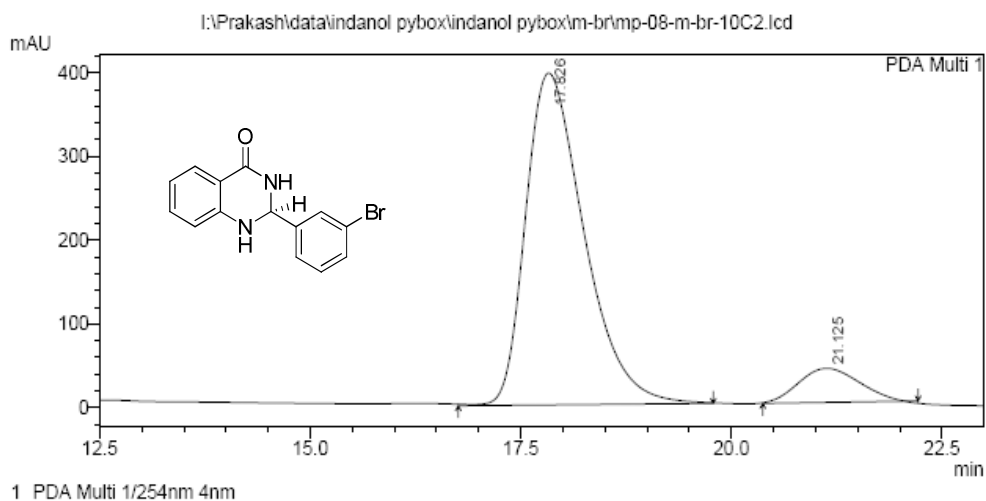
HPLC Chromatogram of 2-(3-bromophenyl)-2,3-dihydroquinazolin-4(1H)-one (4d).

(Entry-4)



PeakTable

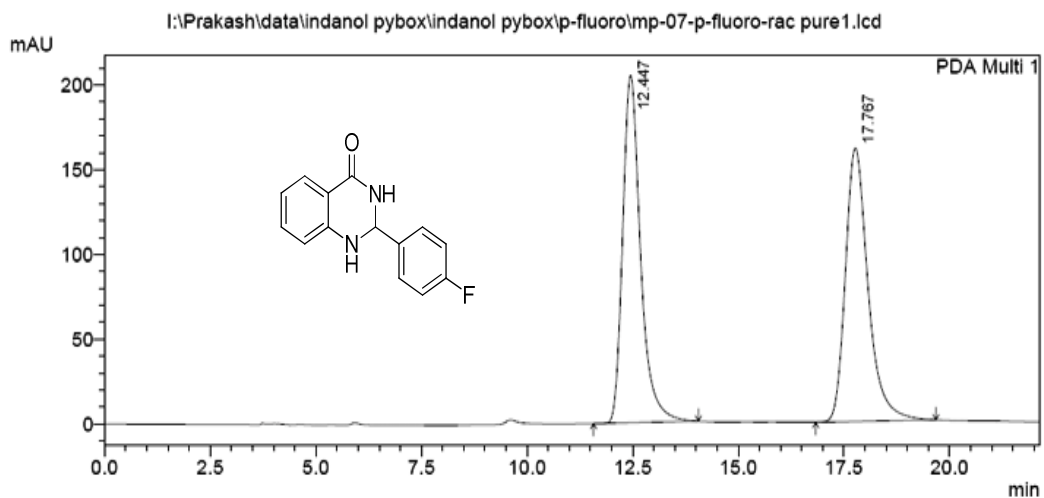
Peak#	Ret. Time	Area	Height	Area %	Height %
1	18.287	866230	17770	50.725	54.943
2	21.392	841455	14572	49.275	45.057
Total		1707684	32342	100.000	100.000



PeakTable

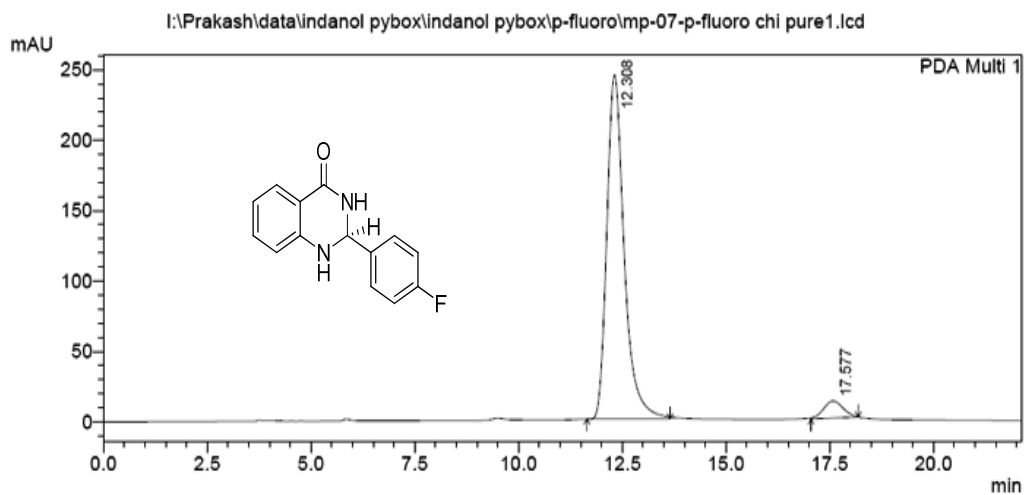
Peak#	Ret. Time	Area	Height	Area %	Height %
1	17.826	19146971	396327	90.440	90.702
2	21.125	2023940	40628	9.560	9.298
Total		21170911	436955	100.000	100.000

HPLC Chromatogram of 2-(4-fluorophenyl)-2,3-dihydroquinazolin-4(1H)-one (4e).
(Entry-5)



PeakTable

Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.447	6023847	204988	49.971	55.937
2	17.767	6030835	161473	50.029	44.063
Total		12054683	366460	100.000	100.000

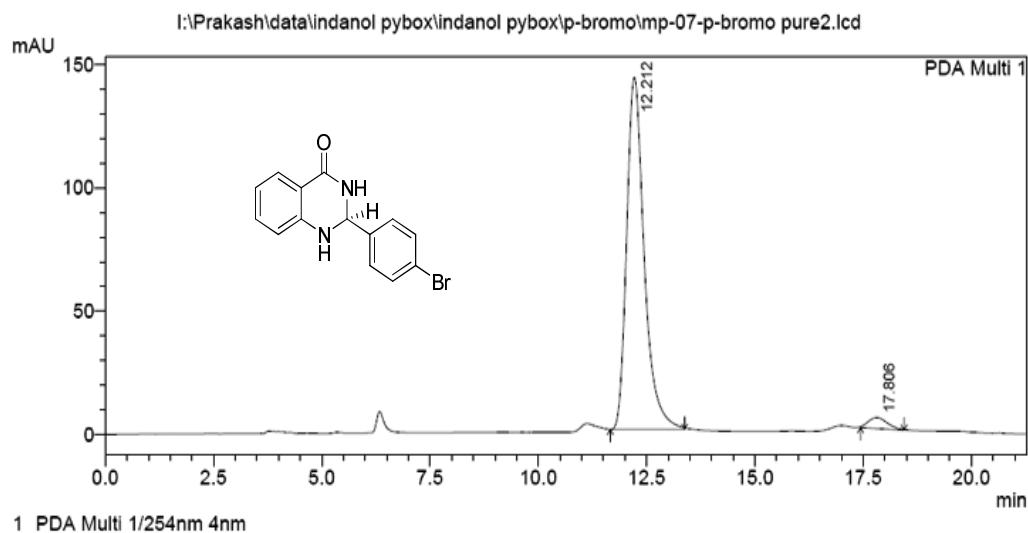
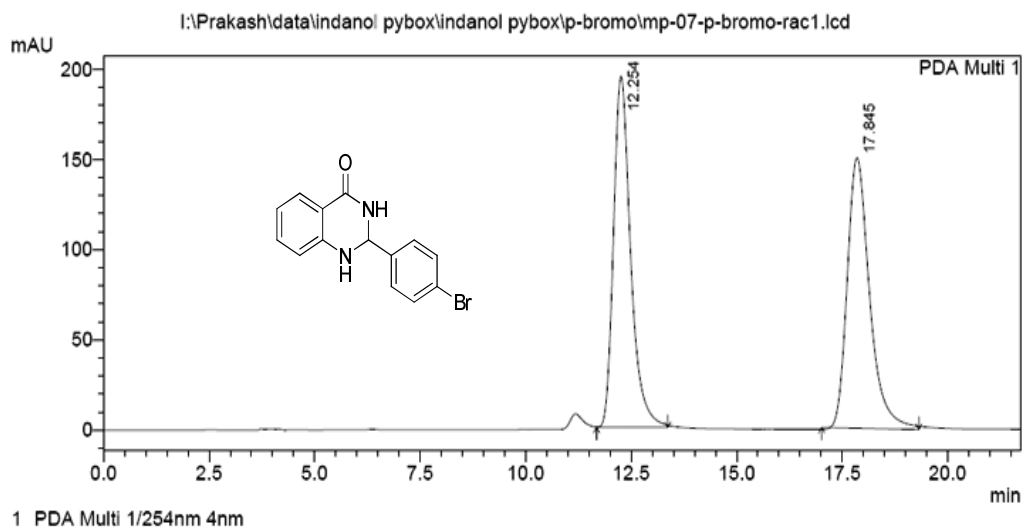


PeakTable

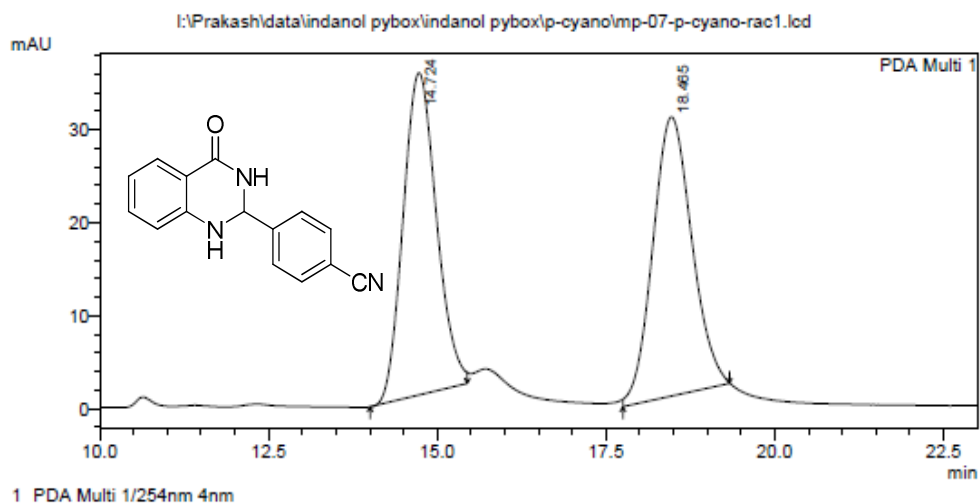
Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.308	7105100	244493	94.728	95.273
2	17.577	395453	12131	5.272	4.727
Total		7500553	256624	100.000	100.000

HPLC Chromatogram of 2-(4-bromophenyl)-2,3-dihydroquinazolin-4(1H)-one (4f).

(Entry-6)



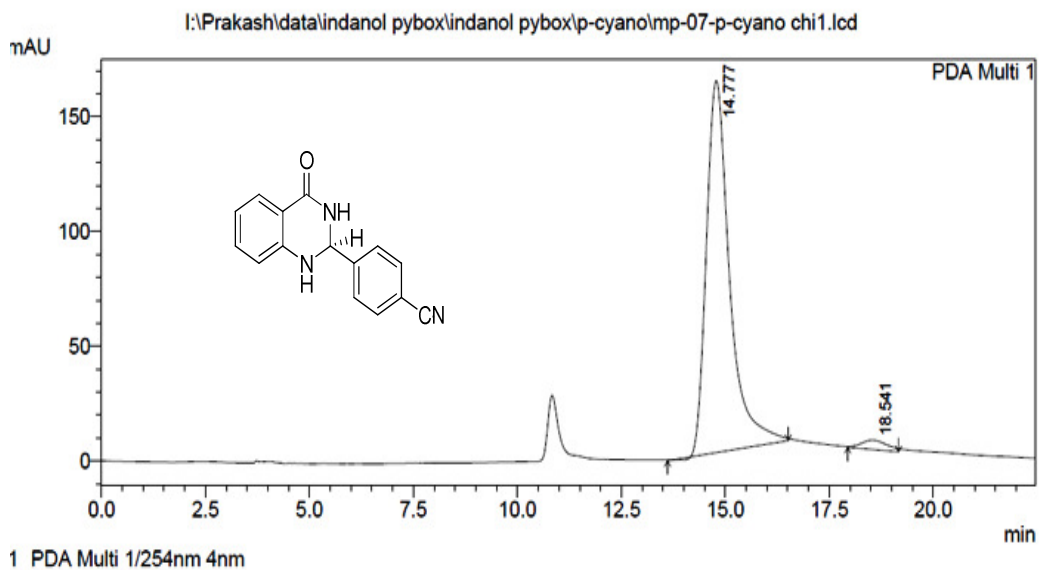
HPLC Chromatogram of 4-(4-oxo-1,2,3,4-tetrahydroquinazolin-2-yl)benzonitrile (4g).
(Entry-7)



PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	14.724	1189996	34693	49.625	53.581
2	18.465	1207976	30056	50.375	46.419
Total		2397972	64748	100.000	100.000

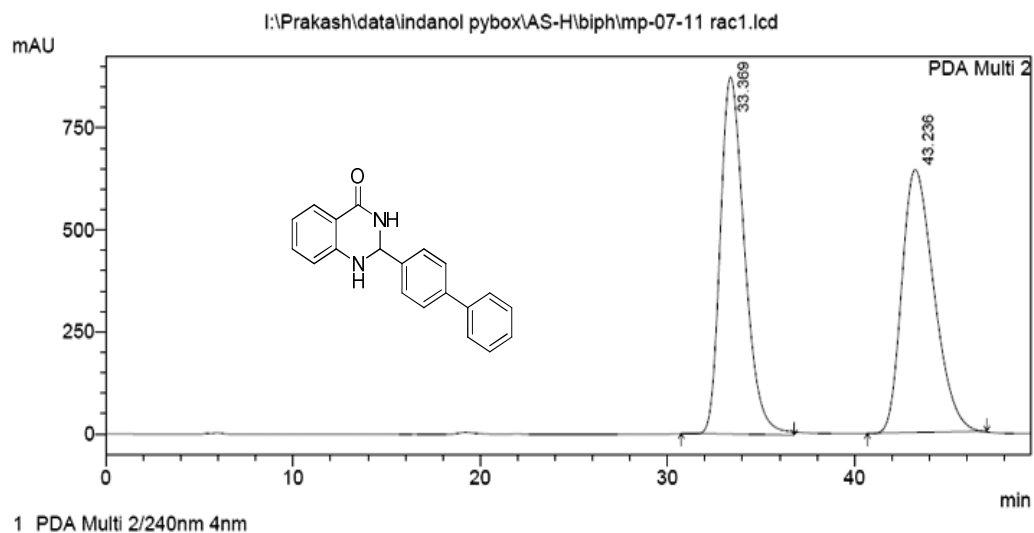


PeakTable

PDA Ch1 254nm 4nm

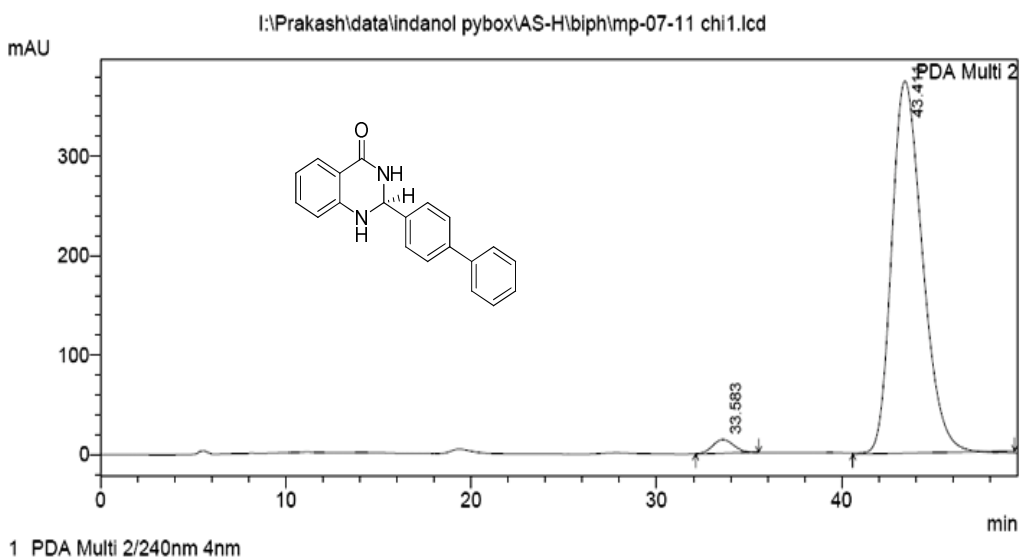
Peak#	Ret. Time	Area	Height	Area %	Height %
1	14.777	6315885	162164	97.217	97.539
2	18.541	180835	4092	2.783	2.461
Total		6496720	166256	100.000	100.000

HPLC Chromatogram of 2-(Biphenyl-4-yl)-2,3-dihydroquinazolin-4(1H)-one (4h).
(Entry-8)



PeakTable

Peak#	Ret. Time	Area	Height	Area %	Height %
1	33.369	78642633	874572	50.599	57.587
2	43.236	76781637	644129	49.401	42.413
Total		155424271	1518700	100.000	100.000

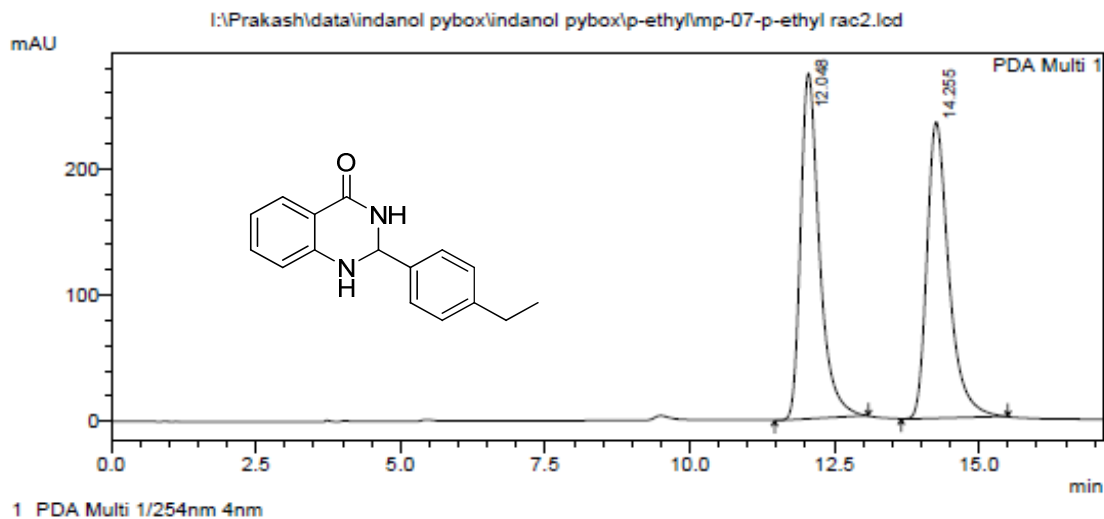


PeakTable

Peak#	Ret. Time	Area	Height	Area %	Height %
1	33.583	1100695	13834	2.491	3.564
2	43.411	43085907	374283	97.509	96.436
Total		44186602	388118	100.000	100.000

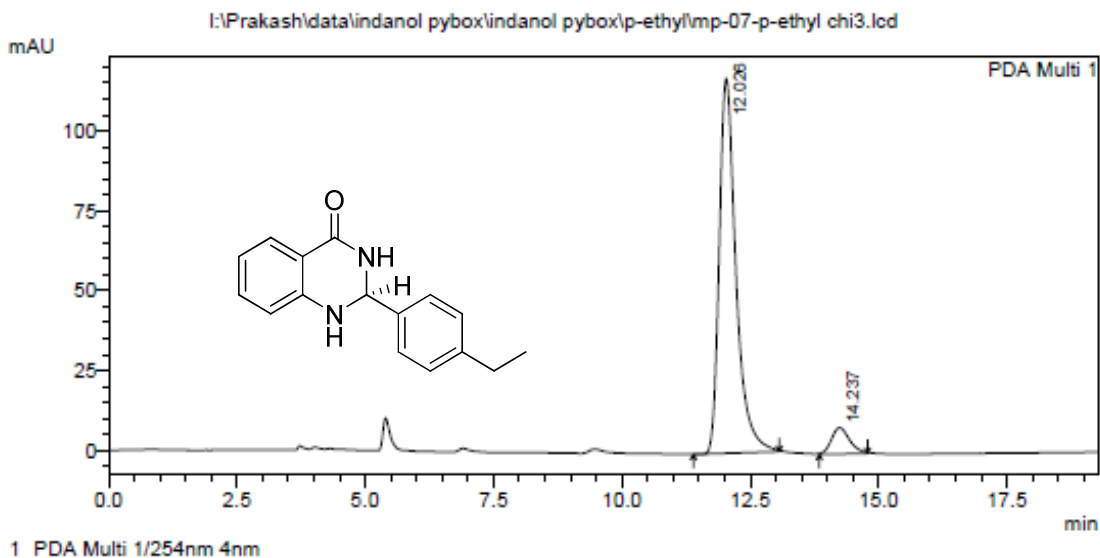
HPLC Chromatogram of 2-(4-ethylphenyl)-2,3-dihydroquinazolin-4(1H)-one (4i)

(Entry-9)



PeakTable

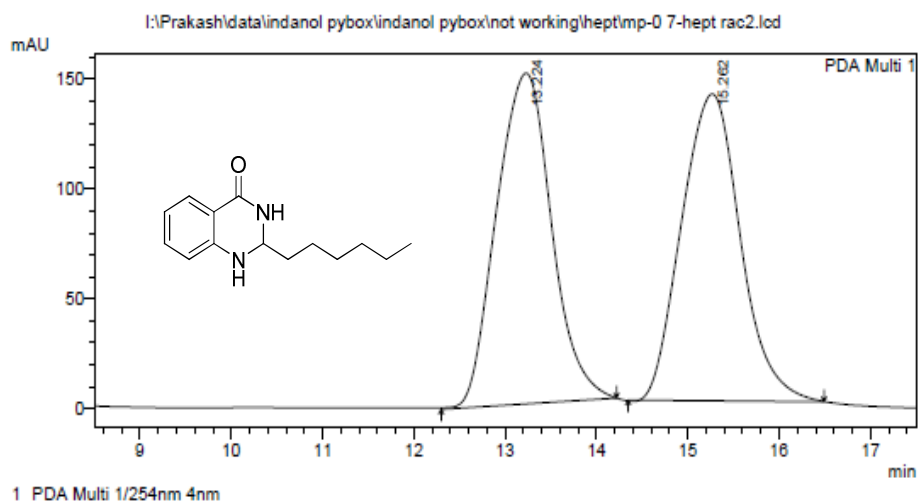
Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.048	6220345	274011	50.065	53.855
2	14.255	6204146	234784	49.935	46.145
Total		12424491	508796	100.000	100.000



PeakTable

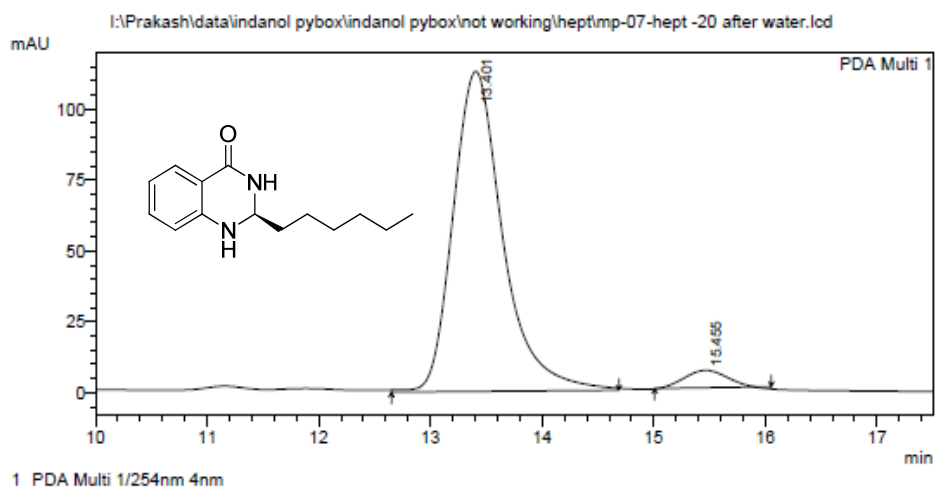
Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.026	2661665	117493	92.874	93.447
2	14.237	204220	8239	7.126	6.553
Total		2865886	125733	100.000	100.000

HPLC Chromatogram of 2-hexyl-2,3-dihydroquinazolin-4(1H)-one (4j) (Entry-10)



PeakTable

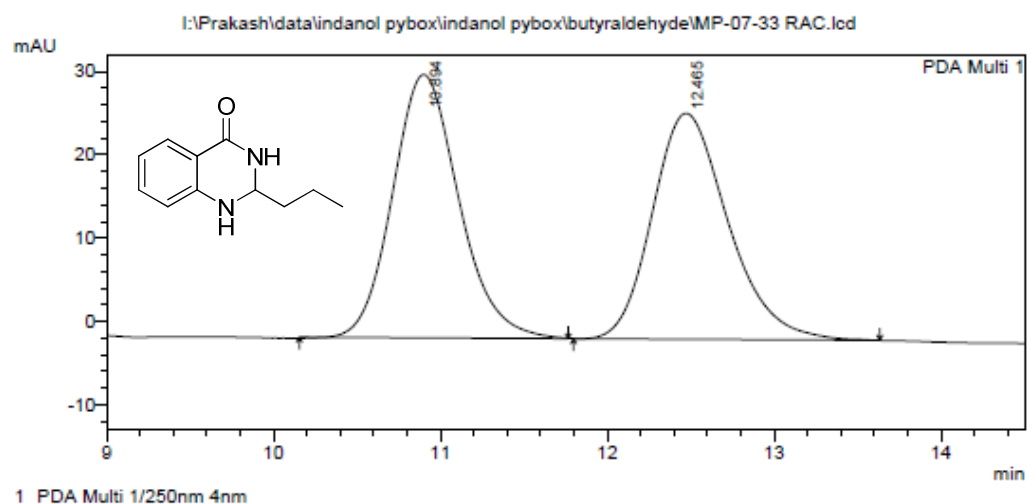
Peak#	Ret. Time	Area	Height	Area %	Height %
1	13.224	6329226	150741	50.265	51.882
2	15.262	6262376	139806	49.735	48.118
Total		12591602	290547	100.000	100.000



PeakTable

Peak#	Ret. Time	Area	Height	Area %	Height %
1	13.401	3500185	112909	95.710	94.874
2	15.455	156881	6101	4.290	5.126
Total		3657066	119010	100.000	100.000

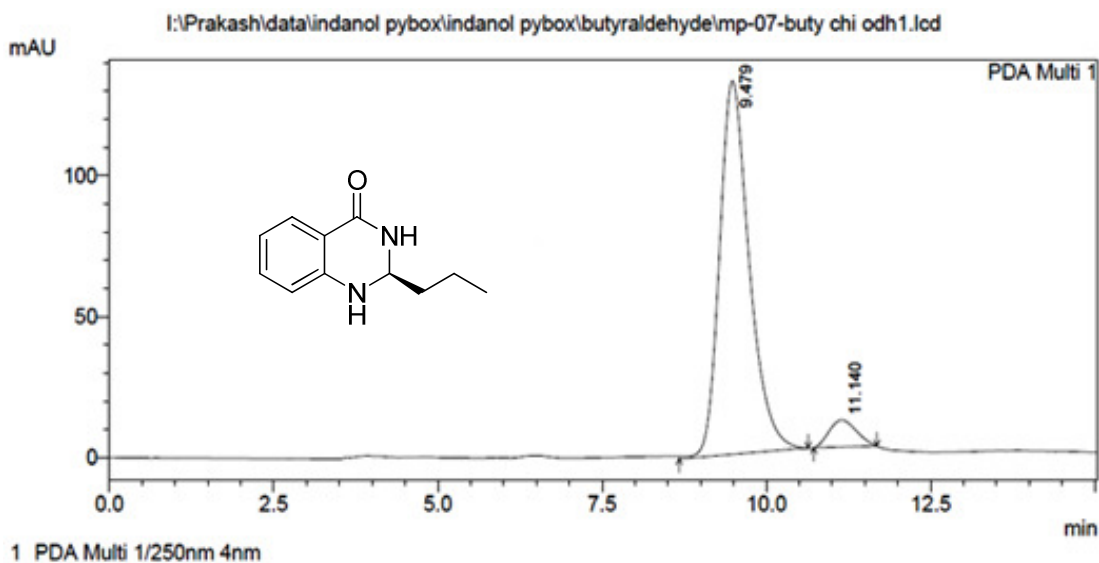
HPLC Chromatogram of 2-propyl-2,3-dihydroquinazolin-4(1H)-one (4k). (Entry-11)



PeakTable

PDA Ch1 250nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	10.894	871161	31582	50.472	53.819
2	12.465	854878	27099	49.528	46.181
Total		1726040	58682	100.000	100.000

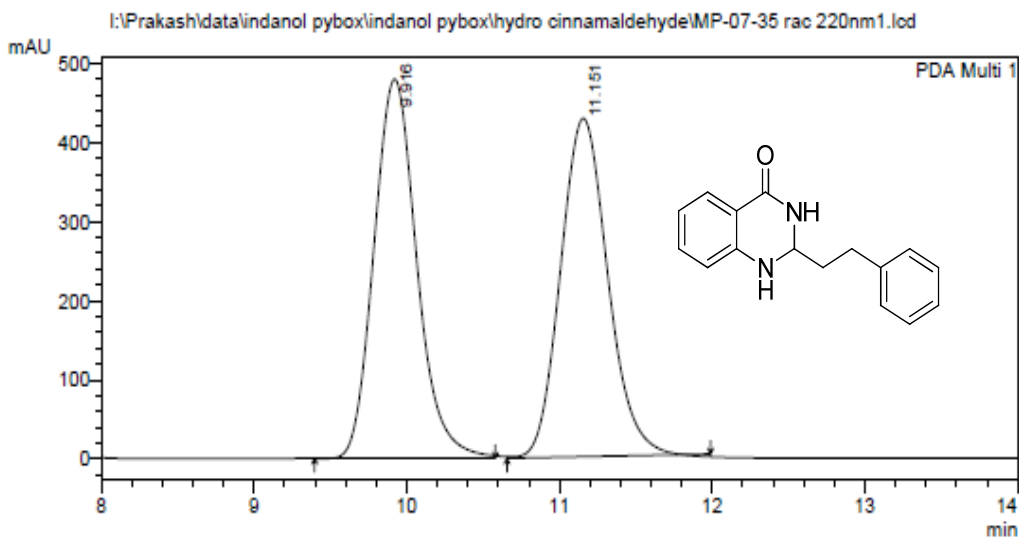


PeakTable

PDA Ch1 250nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	9.479	4177310	132485	93.790	93.297
2	11.140	276576	9519	6.210	6.703
Total		4453886	142004	100.000	100.000

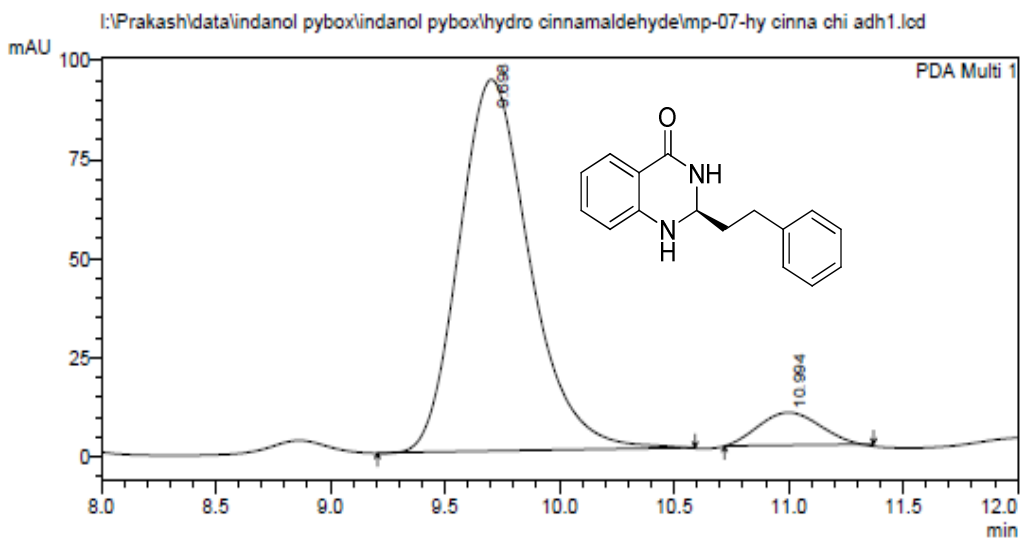
HPLC Chromatogram of 2-phenethyl-2,3-dihydroquinazolin-4(1H)-one (4l) (Entry-12)



PeakTable

PDA Ch1 254nm 4nm

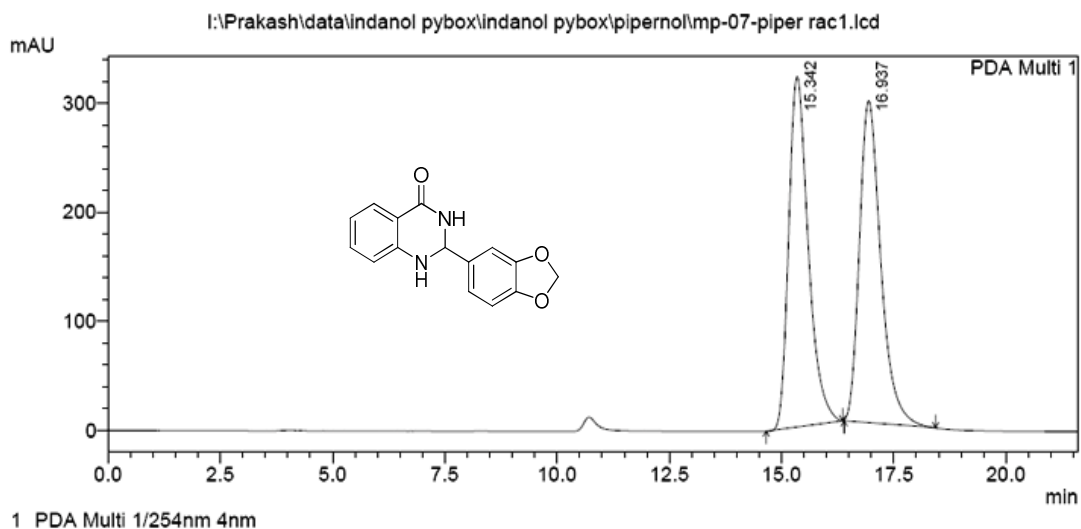
Peak#	Ret. Time	Area	Height	Area %	Height %
1	9.916	9328728	478924	50.456	52.844
2	11.151	9160058	427377	49.544	47.156
Total		18488786	906301	100.000	100.000



PDA Ch1 250nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	9.698	2009802	93732	92.988	91.943
2	10.994	151544	8213	7.012	8.057
Total		2161346	101945	100.000	100.000

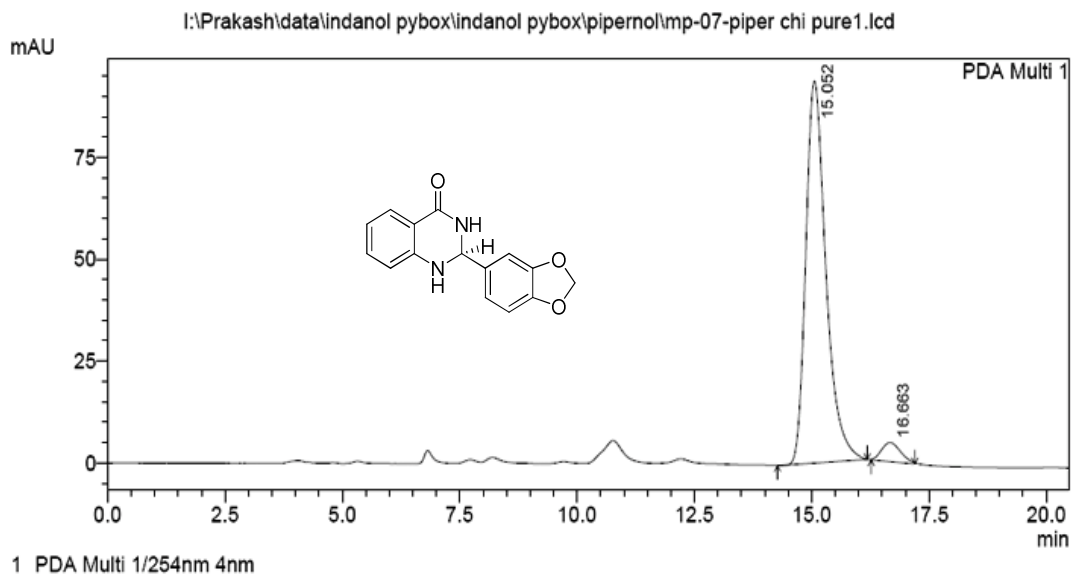
Table-3
HPLC Chromatogram of 2-(Benzo[d][1,3]dioxol-5-yl)-2,3-dihydroquinazolin-4(1H)-one (4m).



PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	15.342	9748483	321566	49.976	52.133
2	16.937	9757747	295251	50.024	47.867
Total		19506230	616818	100.000	100.000

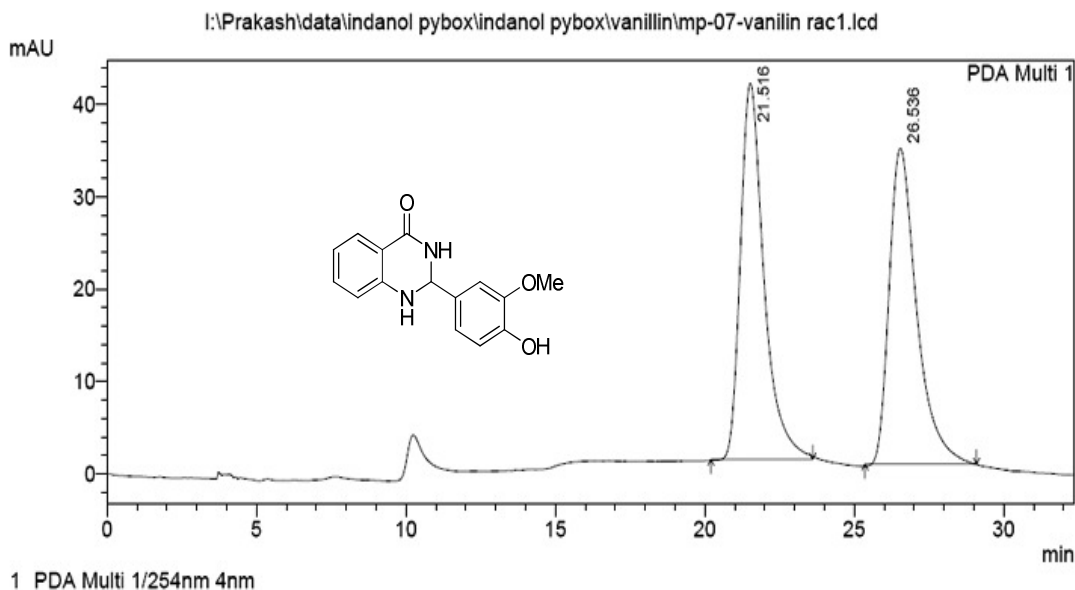


PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	15.052	2794749	93817	95.460	95.229
2	16.663	132910	4700	4.540	4.771
Total		2927659	98517	100.000	100.000

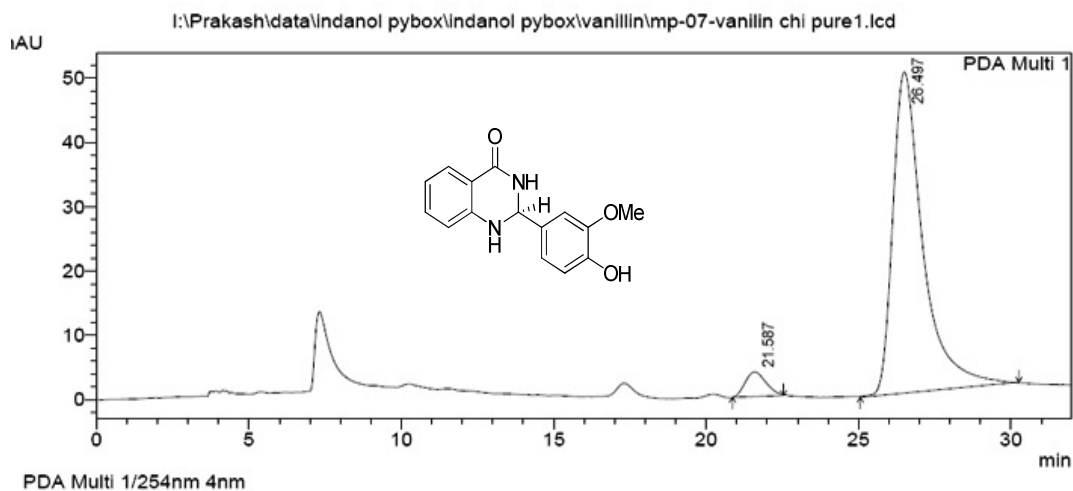
HPLC Chromatogram of 2-(4-hydroxy-3-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one (4n).



PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	21.516	2230650	40760	50.528	54.366
2	26.536	2184007	34213	49.472	45.634
Total		4414657	74972	100.000	100.000

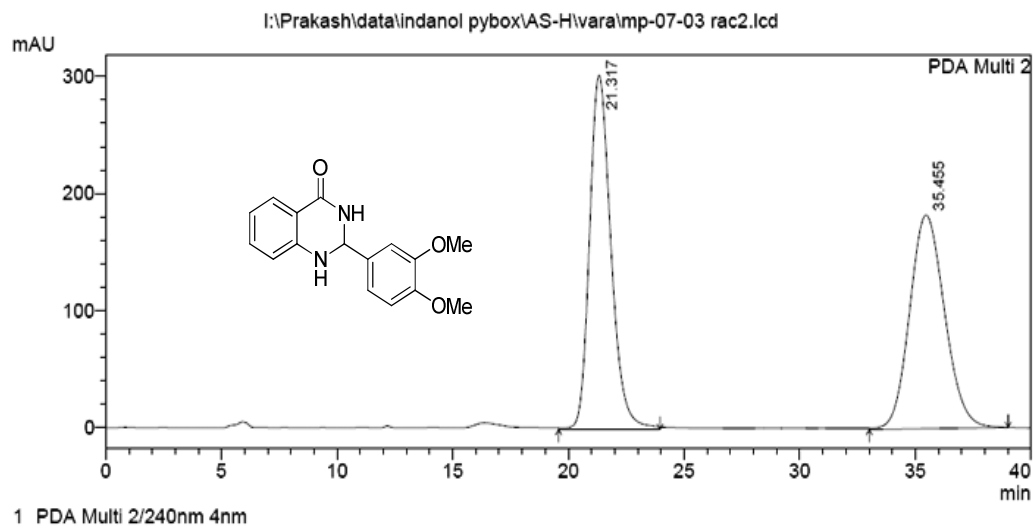


PeakTable

PDA Ch1 254nm 4nm

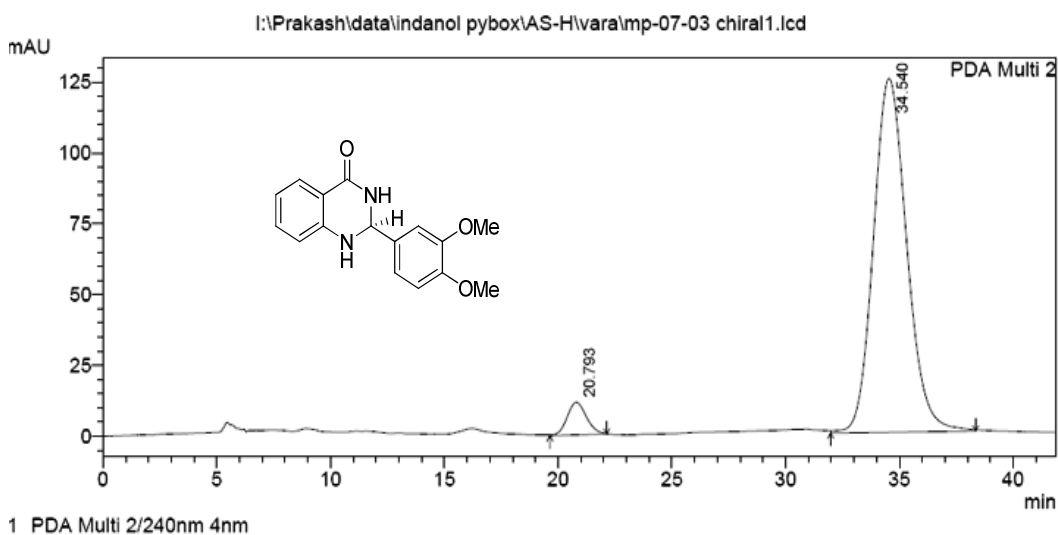
Peak#	Ret. Time	Area	Height	Area %	Height %
1	21.587	182105	3819	5.029	7.103
2	26.497	3439039	49947	94.971	92.897
Total		3621144	53766	100.000	100.000

HPLC Chromatogram of 2-(3,4-Dimethoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one (4o).



PeakTable

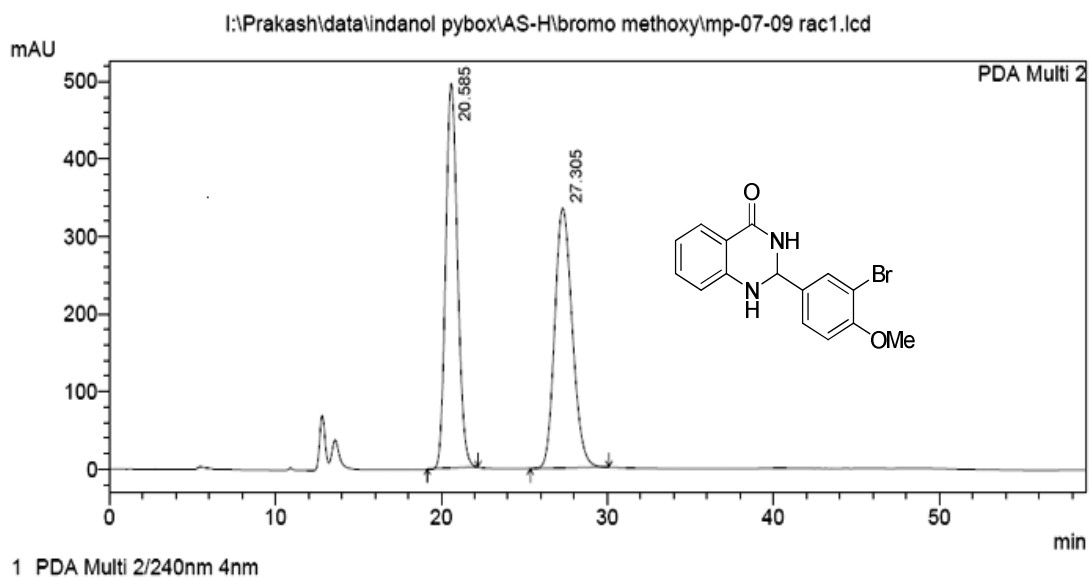
Peak#	Ret. Time	Area	Height	Area %	Height %
1	21.317	19528012	302607	50.388	62.399
2	35.455	19226888	182346	49.612	37.601
Total		38754899	484953	100.000	100.000



PeakTable

Peak#	Ret. Time	Area	Height	Area %	Height %
1	20.793	684513	11507	5.094	8.425
2	34.540	12754271	125072	94.906	91.575
Total		13438784	136578	100.000	100.000

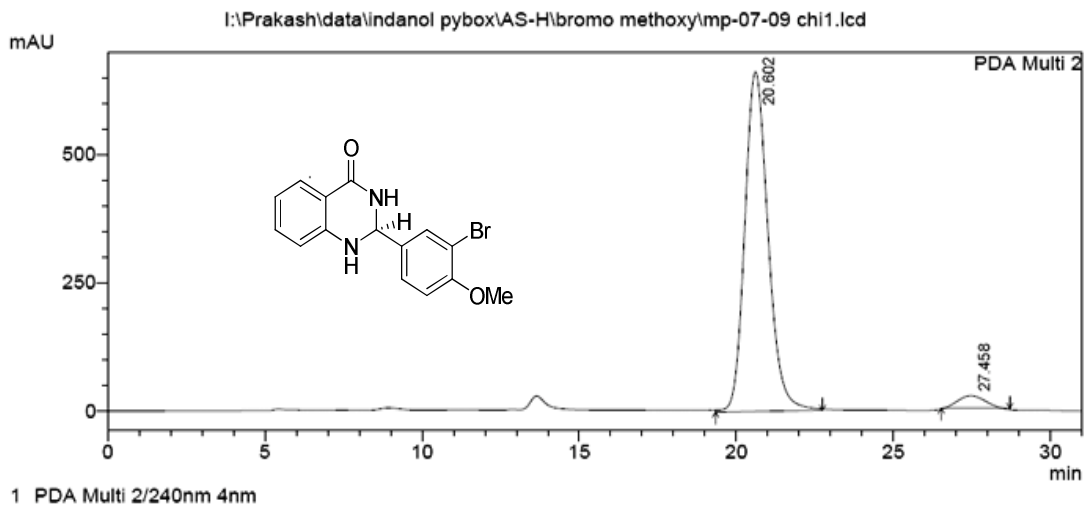
HPLC Chromatogram of 2-(3-Bromo-4-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one (4p).



PeakTable

PDA Ch2 240nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	20.585	24488968	496173	49.862	59.682
2	27.305	24624561	335195	50.138	40.318
Total		49113529	831368	100.000	100.000

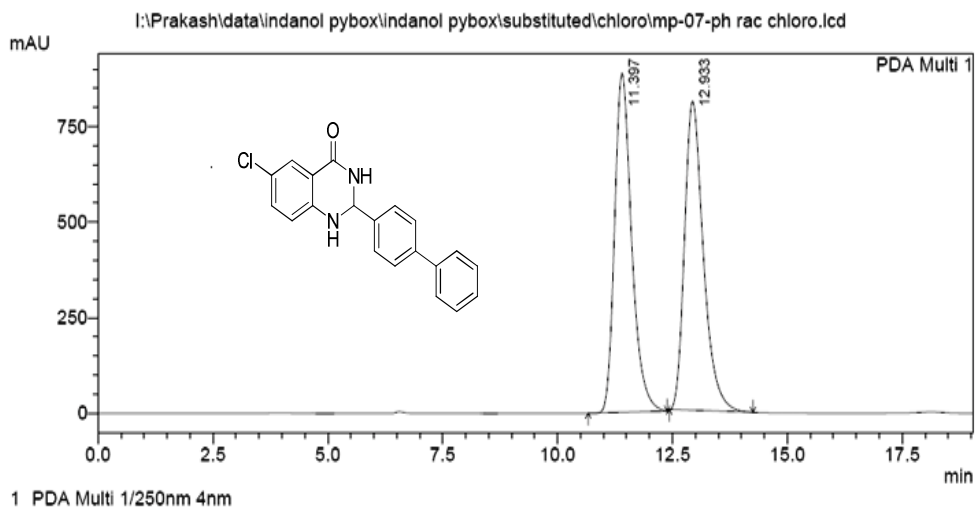


PeakTable

PDA Ch2 240nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	20.602	34178963	661843	95.999	96.431
2	27.458	1424327	24498	4.001	3.569
Total		35603289	686341	100.000	100.000

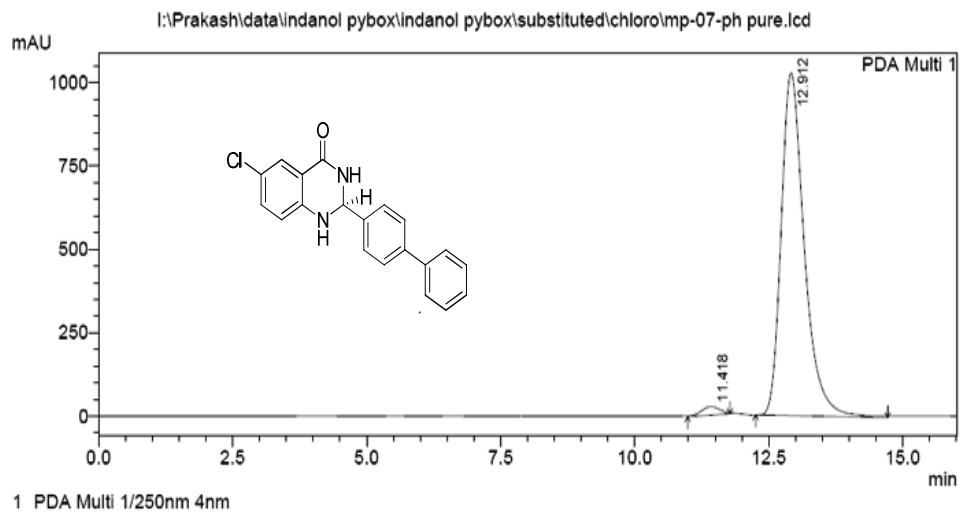
HPLC Chromatogram of 2-(biphenyl-4-yl)-6-chloro-2,3-dihydroquinazolin-4(1H)-one (4q).



PeakTable

PDA Ch1 250nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	11.397	22896731	887075	49.873	52.341
2	12.933	23013333	807734	50.127	47.659
Total		45910064	1694809	100.000	100.000

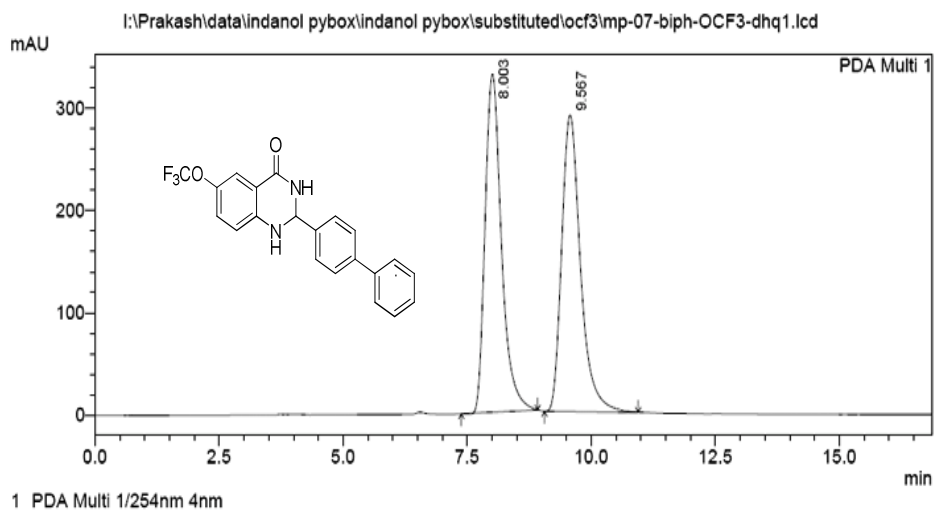


PeakTable

PDA Ch1 250nm 4nm

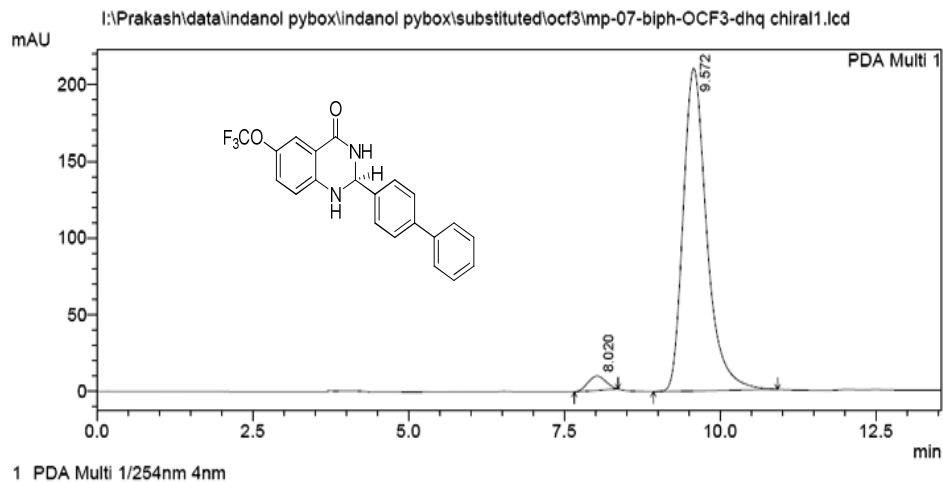
Peak#	Ret. Time	Area	Height	Area %	Height %
1	11.418	627000	25390	1.996	2.412
2	12.912	30786789	1027438	98.004	97.588
Total		31413789	1052829	100.000	100.000

HPLC Chromatogram of 2-(biphenyl-4-yl)-6-(trifluoromethoxy)-2,3-dihydroquinazolin-4(1H)-one (4r).



PeakTable

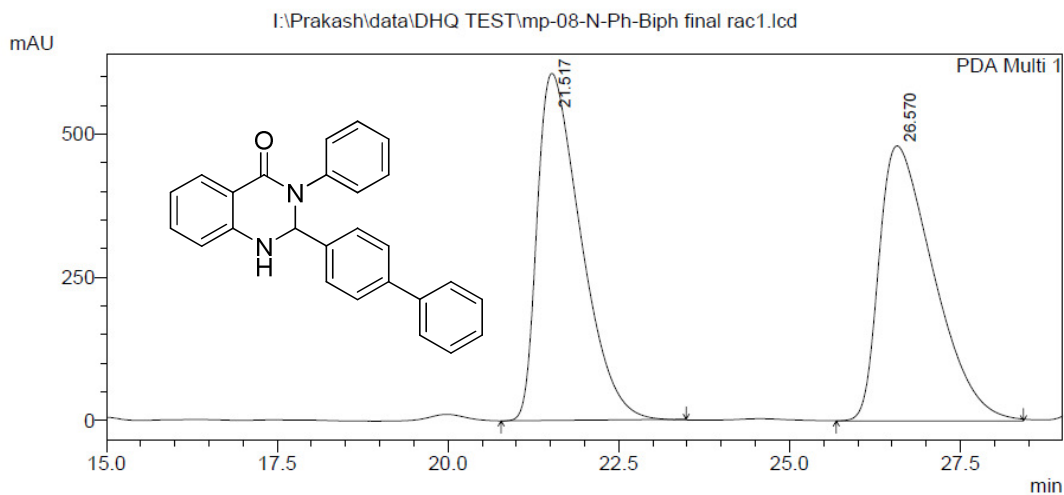
Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.003	7570252	330386	49.786	53.279
2	9.567	7635313	289719	50.214	46.721
Total		15205565	620105	100.000	100.000



PeakTable

Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.020	186784	9311	3.260	4.240
2	9.572	5542939	210263	96.740	95.760
Total		5729723	219574	100.000	100.000

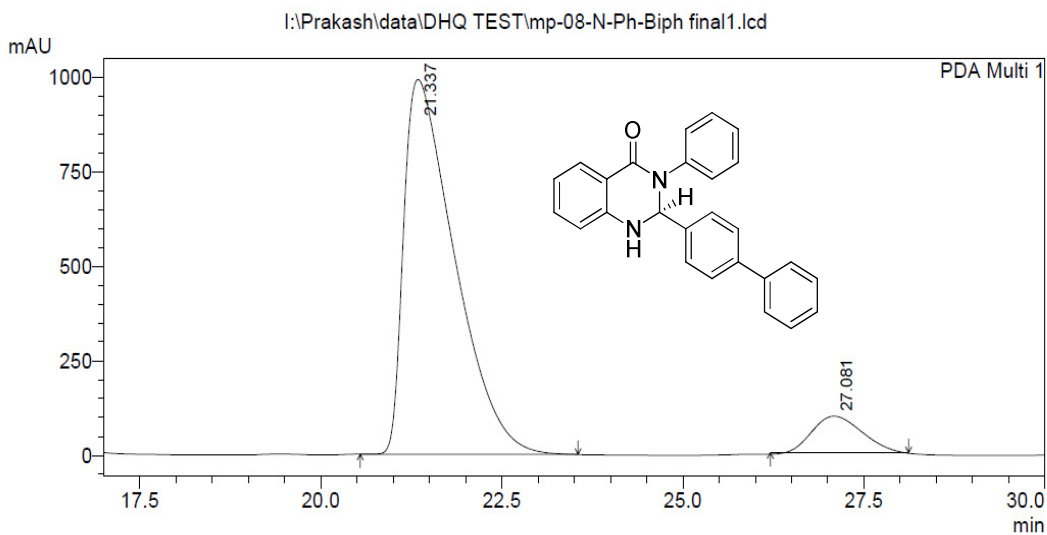
HPLC Chromatogram of 2-(biphenyl-4-yl)-3-phenyl-2,3-dihydroquinazolin-4(1H)-one (4s).



PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	21.517	26917561	605778	50.127	55.796
2	26.570	26781701	479923	49.873	44.204
Total		53699262	1085700	100.000	100.000



PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	21.337	48453686	990674	91.411	91.135
2	27.081	4552747	96361	8.589	8.865
Total		53006433	1087035	100.000	100.000