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단 신

2'-아미노아세토페논으로부터 2,3-다이하이드로-2-페닐-4-퀴놀론의 효과적 합성

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An Efficient Synthesis of 2,3-Dihydro-2-phenyl-4-quinolones from 2'-Aminoacetophenones

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INTRODUCTION

2,3-Dihydro-2-phenyl-4-quinolones, the aza analogues of flavanones, display various pharmacological activities and also serve valuable precursors to medicinally important 4-quinolones.[1] The preparation of 2,3-dihydro-2-phenyl-4-quinolones is generally accomplished by acid or base catalyzed cyclization of 2'-aminochalcones which are prepared from 2'-aminoacetophenones and benzaldehydes. The treatment of 2'-aminochalcones with orthophosphoric acid in acetic acid,[2] sodium ethoxide,[3] and sodium hydroxide in ethanol[4] leads to the formation of 2,3-dihydro-2-phenyl-4-quinolones, but these procedures involve the use of an excess of corrosive reagents and strong alkalis, respectively, and furthermore the yields are moderate. 2,3-Dihydro-2-phenyl-4-quinolones can also be prepared by microwave-assisted cyclization of 2'-aminochalcones on montmorillonite K-10 clay[5] or silica gel impregnated with indium(III) chloride.[6] Alternatively, 2,3-dihydro-2-phenyl-4-quinolones are prepared from the isomerization and subsequent cyclization of 1-(2'-tosylaminophenyl)-3-butene-1-ones with 2 equivalents of DBU[7] or acid catalyzed one-pot reaction of anilines and ethyl benzoylacetates.[8] The former, however, proceeds in four steps from nitrobenzaldehydes and some of the latter are of limited synthetic scope due to low yields. In this paper we wish to report an efficient synthesis of 2,3-dihydro-2-phenyl-4-quinolones through the cyclization of 2'-aminochalcones using zinc chloride in high yields.

EXPERIMENTAL

Preparation of 1-(2'-aminophenyl)-3-phenyl-2-propene-1-one (3a) <typical procedure>. To a solution of 2'-aminoacetophenone (540.7 mg, 4.0 mmol) in THF (16 mL) was added sodium methoxide (25 wt.% in CH_3OH, 1.0 mL, 4.4 mmol) and benzaldehyde (424.5 mg, 4.0 mmol) at 0 °C. The reaction mixture was stirred for 2 h between 0 °C and room temperature. After evaporation of THF, the mixture was poured into sat. NH_4Cl (30 mL), extracted with methylene chloride (3×25 mL), and washed with sat. $NaHCO_3$ (30 mL). The combined organic phases were dried over $MgSO_4$, filtered, and concentrated *in vacuo*. The residue was puri-

fied by silica gel column chromatography using 20% EtOAc/*n*-hexane as an eluant to give **3a** (840.0 mg, 94%). M.p. 70-71 °C (lit.[2c] 71-72 °C); ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.86 (dd, J_1=8.3 Hz, J_2=1.5 Hz, 1H), 7.74 (d, J=15.6 Hz, 1H), 7.61 (d, J=15.6 Hz, 1H), 7.60-7.64 (m, 2H), 7.37-7.43 (m, 3H), 7.25-7.31 (m, 1H), 6.67-6.72 (m, 2H), 6.33 (s, 2H); FT-IR (KBr) 3462 & 3334 (NH_2), 3021, 1645 (C=O), 1614, 1575, 1448, 1212, 1012, 746, 696 cm^{-1}; Ms *m/z* (%) 223 (M^+, 34), 222 (51), 146 (100), 120 (9), 103 (11), 77 (11).

Preparation of 2,3-dihydro-2-phenyl-4-quinolone (4a) <typical procedure>. A solution of **3a** (670.0 mg, 3.0 mmol) and zinc chloride (1M in Et_2O, 3.3 mL, 3.3 mmol) in acetonitrile (10 mL) was heated to 80 °C for 24 h. After evaporation of acetonitrile, the mixture was poured into sat. NH_4Cl (30 mL) and the aqueous phase was extracted with methylene chloride (3×20 mL). The combined organic phases were dried over $MgSO_4$, filtered, and evaporated to dryness *in vacuo*. The residue was recrystallized from 90% *n*-hexane/EtOAc to give **4a** (624.1 mg, 93%) as a pale yellow solid. M.p. 150-151 °C (lit.[5] 148-150 °C); ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.87 (dd, J_1=7.9 Hz, J_2=1.5 Hz, 1H), 7.32-7.48 (m, 6H), 6.75-6.81 (m, 1H), 6.69 (d, J=8.3 Hz, 1H), 4.76 (dd, J_1=13.4 Hz, J_2=4.1 Hz, 1H), 4.51 (s, 1H), 2.90 (dd, J_1=16.2 Hz, J_2=13.4 Hz, 1H), 2.78 (dd, J_1=16.2 Hz, J_2=4.1 Hz, 1H); ^{13}C NMR (75 MHz, $CDCl_3$) δ 193.7, 151.9, 141.4, 135.8, 129.4, 128.9, 128.0, 127.0, 119.4, 118.9, 116.3, 58.9, 46.9; FT-IR (KBr) 3330 (N-H), 1655 (C=O), 1608, 1328, 1154, 761, 700 cm^{-1}; Ms *m/z* (%) 223 (M^+, 100), 222 (44), 146 (73), 145 (15), 119 (19), 77 (10).

2,3-Dihydro-2-(2'-methoxyphenyl)-4-quinolone (4b): M.p. 91-92 °C; ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.85 (dd, J_1=7.9 Hz, J_2=1.4 Hz, 1H), 7.47 (dd, J_1=7.6 Hz, J_2=1.5 Hz, 1H), 7.25-7.32 (m, 2H), 6.94-6.99 (m, 1H), 6.89 (d, J=8.3 Hz, 1H), 6.69-6.76 (m, 2H), 5.15 (dd, J_1=11.6 Hz, J_2=4.6 Hz, 1H), 4.69 (s, 1H), 3.83 (s, 3H), 2.89 (dd, J_1=16.2 Hz, J_2=4.6 Hz, 1H), 2.79 (dd, J_1=16.2 Hz, J_2=11.6 Hz, 1H); ^{13}C NMR (75 MHz, $CDCl_3$) δ 194.4, 157.0, 152.4, 135.6, 129.5, 129.3, 127.9, 126.9, 121.3, 119.4, 118.4, 116.5, 111.0, 55.8, 51.7, 44.1; FT-IR (KBr) 3335 (N-H), 1660 (C=O), 1607, 1492, 1330, 1242, 1026, 752 cm^{-1}; Ms *m/z* (%) 253 (M^+, 100), 252 (57), 146 (63), 119 (26), 91 (19), 77 (9).

2,3-Dihydro-2-(2'-chlorophenyl)-4-quinolone (4c): M.p. 144-145 °C (lit.[6] 146-147 °C); ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.85 (d, J=7.9 Hz, 1H), 7.66 (dd, J_1=7.4 Hz, J_2=1.8 Hz, 1H), 7.25-7.39 (m, 4H), 6.70-6.79 (m, 2H), 5.23 (dd, J_1=12.4 Hz, J_2=4.1 Hz, 1H), 4.64 (s, 1H), 2.91 (dd, J_1=16.3 Hz, J_2=4.1 Hz, 1H), 2.73 (dd, J_1=16.3 Hz, J_2=12.4 Hz, 1H); ^{13}C NMR (75 MHz, $CDCl_3$) δ 193.2, 151.9, 138.7, 135.9, 133.2, 130.4, 129.7, 128.0, 127.9, 127.8, 119.5, 119.1, 116.5, 54.6, 44.4; FT-IR (KBr) 3324 (N-H), 3065, 1660 (C=O), 1608, 1482, 1327, 1154, 755 cm^{-1}; Ms *m/z* (%) 259 (M^++2, 34), 257 (M^+, 100), 256 (26), 146 (94), 119 (15), 92 (12), 77 (9).

2,3-Dihydro-2-(3'-nitrophenyl)-4-quinolone (4d): M.p. 184-185 °C (lit.[6] 185-186 °C); ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.40 (dd, J_1=1.9 Hz, J_2=1.9 Hz, 1H), 8.23 (ddd, J_1=8.2 Hz, J_2=2.2 Hz, J_3=1.1 Hz, 1H), 7.90 (dd, J_1=7.9 Hz, J_2=1.5 Hz, 1H), 7.80 (d, J=7.7 Hz, 1H), 7.57-7.63 (m, 1H), 7.37-7.42 (m, 1H), 6.83-6.91 (m, 1H), 6.77 (d, J=8.2 Hz, 1H), 4.91 (dd, J_1=11.6 Hz, J_2=5.5 Hz, 1H), 4.53 (s, 1H), 2.81-2.95 (m, 2H); ^{13}C NMR (75 MHz, $CDCl_3$) δ 192.1, 151.0, 148.6, 143.3, 135.7, 132.8, 130.1, 129.5, 127.6, 123.5, 121.7, 119.1, 116.1, 57.8, 46.2; FT-IR (KBr) 3364 (N-H), 1664 (C=O), 1610, 1529, 1352, 1155, 775 cm^{-1}; Ms *m/z* (%) 268 (M^+, 87), 267 (13), 146 (100), 119 (17), 92 (14), 77 (9).

2,3-Dihydro-2-(4'-methylphenyl)-4-quinolone (4e): M.p. 149 °C (lit.[4] 149 °C); ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.86 (dd, J_1=7.9 Hz, J_2=1.3 Hz, 1H), 7.34 (d, J=8.0 Hz, 2H), 7.27-7.35 (m, 1H), 7.20 (d, J=8.0 Hz, 2H), 6.74-6.81 (m, 1H), 6.70 (d, J=8.3 Hz, 1H), 4.70 (dd, J_1=13.6 Hz, J_2=3.9 Hz, 1H), 4.52 (s, 1H), 2.86 (dd, J_1=16.2 Hz, J_2=13.6 Hz, 1H), 2.73 (dd, J_1=16.2 Hz, J_2=3.9 Hz, 1H), 2.36 (s, 3H); ^{13}C NMR (75 MHz, $CDCl_3$) δ 193.9, 152.0, 138.7, 138.4, 135.8, 130.0, 128.0, 126.9, 119.4, 118.7, 116.3, 58.6, 46.9, 21.6; FT-IR (KBr) 3325 (N-H), 1657 (C=O), 1606, 1507, 1326, 1117, 816, 757 cm^{-1}; Ms *m/z* (%) 237 (M^+, 100), 236 (91), 222 (26), 146 (93), 119 (30), 92 (15).

2,3-Dihydro-2-(4'-methoxyphenyl)-4-quinolone (4f): M.p. 144-145 °C (lit.[5] 146-147 °C); ^{1}H NMR

(300 MHz, $CDCl_3$) δ 7.88 (dd, J_1=7.9 Hz, J_2=1.5 Hz, 1H), 7.38 (d, J=8.7 Hz, 2H), 7.31-7.36 (m, 1H), 6.93 (d, J=8.7 Hz, 2H), 6.76-6.81 (m, 1H), 6.70 (d, J=8.2 Hz, 1H), 4.71 (dd, J_1=13.6 Hz, J_2=3.9 Hz, 1H), 4.45 (s, 1H), 3.83 (s, 3H), 2.88 (dd, J_1=16.2 Hz, J_2=13.6 Hz, 1H), 2.74 (dd, J_1=16.2 Hz, J_2=3.9 Hz, 1H); ^{13}C NMR (75 MHz, $CDCl_3$) δ 193.9, 160.0, 152.0, 135.8, 133.4, 128.2, 128.0, 119.4, 118.8, 116.3, 114.7, 58.3, 55.8, 47.0; FT-IR (KBr) 3331 (N-H), 1655 (C=O), 1608, 1510, 1327, 1251, 1032, 831, 761 cm^{-1}; Ms *m/z* (%) 253 (M^+, 100), 252 (91), 146 (76), 134 (20), 119 (23).

2,3-Dihydro-2-(4'-chlorophenyl)-4-quinolone (4g): M.p. 169-170 °C (lit.[5] 167-168 °C); ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.88 (dd, J_1=8.0 Hz, J_2=1.5 Hz, 1H), 7.34-7.46 (m, 5H), 6.76-6.81 (m, 1H), 6.72 (d, J=8.2 Hz, 1H), 4.75 (dd, J_1=12.8 Hz, J_2=4.6 Hz, 1H), 4.46 (s, 1H), 2.85 (dd, J_1=16.2 Hz, J_2=12.8 Hz, 1H), 2.76 (dd, J_1=16.2 Hz, J_2=4.6 Hz, 1H); ^{13}C NMR (75 MHz, $CDCl_3$) δ 193.2, 153.3, 135.9, 134.7, 130.1, 129.6, 128.4, 128.0, 119.8, 119.1, 116.3, 58.3, 46.8; FT-IR (KBr) 3329 (N-H), 1655 (C=O), 1608, 1490, 1327, 1154, 1014, 825, 761 cm^{-1}; Ms *m/z* (%) 259 (M^++2, 34), 257 (M^+, 100), 256 (45), 146 (93), 119 (34), 92 (19), 77 (12).

2,3-Dihydro-2-(3',4'-dimethoxyphenyl)-4-quinolone (4h): M.p. 138-139 °C (lit.[5] 136-137 °C); ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.88 (dd, J_1=7.9 Hz, J_2=1.5 Hz, 1H), 7.32-7.38 (m, 1H), 6.96-7.04 (m, 2H), 6.88 (d, J=8.3 Hz, 1H), 6.76-6.82 (m, 1H), 6.72 (d, J=8.2 Hz, 1H), 4.70 (dd, J_1=13.6 Hz, J_2=3.9 Hz, 1H), 4.49 (s, 1H), 3.91 (s, 3H), 3.90 (s, 3H), 2.89 (dd, J_1=16.2 Hz, J_2=13.6 Hz, 1H), 2.76 (dd, J_1=16.2 Hz, J_2=3.9 Hz, 1H); ^{13}C NMR (75 MHz, $CDCl_3$) δ 193.8, 151.9, 149.7, 149.5, 135.8, 133.9, 128.0, 119.4, 119.3, 118.9, 116.2, 111.6, 109.8, 58.8, 56.4 (overlapped OCH_3), 47.1; FT-IR (KBr) 3341 (N-H), 3058, 2936, 1663 (C=O), 1610, 1516, 1326, 1265, 1027, 760 cm^{-1}; Ms *m/z* (%) 283 (M^+, 100), 282 (72), 266 (19), 252 (35), 146 (75), 105 (8).

2,3-Dihydro-2-(3',4',5'-trimethoxyphenyl)-4-quinolone (4i): M.p. 136 °C; ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.86 (d, J=7.7 Hz, 1H), 7.31-7.37 (m, 1H), 6.73-6.82 (m, 2H), 6.67 (s, 2H), 4.62-4.69 (m, 2H), 3.86 (overlapped OCH_3, s, 9H), 2.71-2.91 (m, 2H); ^{13}C NMR (75 MHz, $CDCl_3$) δ 193.7, 153.9, 152.0, 138.1, 137.2, 135.8, 128.0, 119.4, 118.9, 116.4, 103.8, 61.2, 59.3, 56.5, 47.1; FT-IR (KBr) 3338 (N-H), 2938, 1663 (C=O), 1608, 1503, 1460, 1325, 1235, 1126, 757 cm^{-1}; Ms *m/z* (%) 313 (M^+, 100), 312 (49), 282 (52), 146 (52), 120 (9).

2,3-Dihydro-7-chloro-2-(2'-methoxyphenyl)-4-quinolone (4j): M.p. 134-135 °C; ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.76 (d, J=8.3 Hz, 1H), 7.40 (dd, J_1=7.5 Hz, J_2=1.4 Hz, 1H), 7.27-7.32 (m, 1H), 6.94-6.99 (m, 1H), 6.90 (d, J=8.2 Hz, 1H), 6.66-6.71 (m, 2H), 5.14 (dd, J_1=10.9 Hz, J_2=4.9 Hz, 1H), 4.78 (s, 1H), 3.84 (s, 3H), 2.90 (dd, J_1=16.5 Hz, J_2=4.9 Hz, 1H), 2.81 (dd, J_1=16.3 Hz, J_2=10.9 Hz, 1H); ^{13}C NMR (75 MHz, $CDCl_3$) δ 193.2, 157.0, 152.7, 141.7, 129.7, 129.5, 128.7, 126.8, 121.3, 119.1, 117.9, 115.9, 111.0, 55.8, 51.6, 43.6; FT-IR (KBr) 3331 (N-H), 3066, 1662 (C=O), 1605, 1493, 1464, 1244, 1086, 752 cm^{-1}; Ms *m/z* (%) 289 (M^++2, 33), 288 (39), 287 (M^+, 100), 286 (71), 182 (19), 180 (56), 119 (17).

2,3-Dihydro-7-chloro-2-(4'-methylphenyl)-4-quinolone (4k): M.p. 164 °C; ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.76 (d, J=9.0 Hz, 1H), 7.30 (d, J=8.0 Hz, 2H), 7.20 (d, J=8.0 Hz, 2H), 6.70-6.77 (m, 2H), 4.69 (dd, J_1=13.3 Hz, J_2=4.1 Hz, 1H), 4.63 (s, 1H), 2.83 (dd, J_1=16.3 Hz, J_2=13.3 Hz, 1H), 2.71 (dd, J_1=16.3 Hz, J_2=4.1 Hz, 1H), 2.36 (s, 3H); ^{13}C NMR (75 MHz, $CDCl_3$) δ 192.9, 152.5, 141.9, 138.9, 137.9, 130.1, 129.5, 126.9, 119.3, 117.8, 115.7, 58.4, 46.5, 21.6; FT-IR (KBr) 3336 (N-H), 1650 (C=O), 1611, 1570, 1475, 1261, 1203, 817, 765 cm^{-1}; Ms *m/z* (%) 273 (M^++2, 33), 272 (38), 271 (M^+, 100), 270 (66), 182 (23), 180 (69), 153 (22).

2,3-Dihydro-7-chloro-2-(4'-methoxyphenyl)-4-quinolone (4l): M.p. 157-158 °C; ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.80 (d, J=8.4 Hz, 1H), 7.35 (d, J=8.7 Hz, 2H), 6.93 (d, J=8.7 Hz, 2H), 6.74 (dd, J_1=8.4 Hz, J_2=1.8 Hz, 1H), 6.71 (d, J=1.8 Hz, 1H), 4.70 (dd, J_1=13.3 Hz, J_2=4.1 Hz, 1H), 4.51 (s, 1H), 3.83 (s, 3H), 2.86 (dd, J_1=16.2 Hz, J_2=13.3 Hz, 1H), 2.74 (dd, J_1=16.2 Hz, J_2=4.1 Hz, 1H); ^{13}C NMR (75 MHz, $CDCl_3$) δ 192.8, 160.2, 152.4, 141.9, 132.9, 129.6, 128.2, 119.4, 117.9, 115.7, 114.8, 58.1, 55.8, 46.6; FT-IR (KBr) 3327 (N-H), 1648 (C=O), 1610, 1509, 1259, 1206, 1030, 764 cm^{-1}; Ms *m/z* (%) 289 (M^++

2, 33), 288 (46), 287 (M^+, 100), 286 (98), 182 (25), 180 (75), 134 (27).

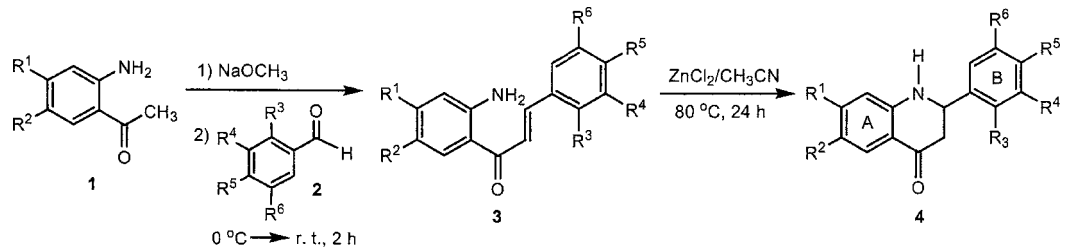
2,3-Dihydro-6,7-dimethoxy-2-phenyl-4-quinolone (4m): M.p. 134 °C; ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.44-7.49 (m, 2H), 7.37-7.44 (m, 3H), 7.32 (s, 1H), 6.18 (s, 1H), 4.72 (dd, J_1=13.6 Hz, J_2=4.2 Hz, 1H), 4.38 (s, 1H), 3.88 (s, 3H), 3.87 (s, 3H), 2.84 (dd, J_1=16.3 Hz, J_2=13.6 Hz, 1H), 2.72 (dd, J_1=16.3 Hz, J_2=4.2 Hz, 1H); ^{13}C NMR (75 MHz, $CDCl_3$) δ 192.1, 156.5, 148.7, 143.3, 141.5, 129.3, 128.8, 127.0, 112.0, 108.2, 98.4, 59.6, 56.5, 56.4, 46.4; FT-IR (KBr) 3342 (N-H), 2932, 1646 (C=O), 1617, 1504, 1464, 1360, 1259, 1055, 765, 705 cm^{-1}; Ms *m/z* (%) 283 (M^+, 100), 268 (69), 206 (18), 164 (22), 77 (5).

RESULTS AND DISCUSSION

2'-Aminochalcones were readily prepared by a modification of Murphy and Wattanasin's method,[9] the aldol condensation of a methanolic solution of acetophenones containing solid sodium hydroxide with benzaldehydes. To a solution of 2'-aminoacetophenones (**1**) in THF was added methanolic sodium methoxide and benzaldehydes (**2**) at 0 °C. The resulting greenish solution was stirred for 2 h between 0 °C and room temperature. After usual aqueous workup, the condensed residue was purified by silica gel column chromatography to give 2'-aminochalcones (**3**) as yellow solids in 76-95% yields (*Scheme* 1). The reaction proceeded well regardless of the kind of substituents (chloro, methoxy, methyl, and nitro) on both 2'-aminoacetophenones and benzaldehydes.

The cyclization of **3** proceeded by the intramolecular conjugate addition of the amino group to the a,ß-unsaturated carbonyl group of **3**. To find out optimum conditions of the cyclization of **3**, the effect of metal salts and solvents was briefly examined for the cyclization of 1-(2'-aminophenyl)-3-phenyl-2-propene-1-one (**3a**). The reaction of **3a** with 1 equiv of zinc chloride in acetonitrile, THF, and 1,2-dichloroethane at reflux temperature gave 2,3-dihydro-2-phenyl-4-quinolone (**4a**) in 91%, 23%, and 17% yield, respectively, after 24 h. The reaction of **3a** with tin(II) chloride and zirconium(IV) chloride in acetonitrile gave **4a** in 78% and 50% yield, respectively. Thus, the intramolecular cyclization of **3** was carried out using zinc chloride in acetonitrile at 80 °C. This cyclization seems to proceed by the intramolecular 1,4-addition of amino group to the activated a,ß-unsaturated carbonyl group of **3** by zinc chloride, followed by subsequent elimination of hydrogen chloride from the resulting cyclic intermediate. After completion of the reaction, the mixture was poured into sat. NH_4Cl, extracted with methylene chloride, and the condensed residue was recrystallized in 90% *n*-hexane/EtOAc to give **4** as pale yellow solids in high yields (76-93%).

As shown in *Table* 1, various 2,3-dihydro-2-phenyl-4-quinolones were synthesized in overall high yields (62-89%) by this method. The reaction worked well for the chloro (**4j-4l**) and methoxy substituent (**4m**) on the A-ring of **4**. Also, the reaction worked well for the chloro (**4c, 4g**), nitro (**4d**), methyl (**4e, 4k**), and methoxy substituents (**4f, 4h, 4i, 4l**) on the B-ring of **4** regardless of the properties and the position of substituents under the reaction conditions described. In summary, the present method provides an efficient synthesis of **4** from **1**



R^1, R^2 = H, Cl, OCH_3 ; R^3, R^4, R^5, R^6 = H, Cl, CH_3, OCH_3, NO_2

Scheme 1.

Table 1. Preparation of 2,3-dihydro-2-phenyl-4-quinolones from 2'-aminoacetophenones

Products **4**	R^1	R^2	R^3	R^4	R^5	R^6	Isolated yields, %[a]
a	H	H	H	H	H	H	88
b	H	H	OCH_3	H	H	H	83
c	H	H	Cl	H	H	H	75
d	H	H	H	NO_2	H	H	85
e	H	H	H	H	CH_3	H	82
f	H	H	H	H	OCH_3	H	89
g	H	H	H	H	Cl	H	74
h	H	H	H	OCH_3	OCH_3	H	79
i	H	H	H	OCH_3	OCH_3	OCH_3	73
j	Cl	H	OCH_3	H	H	H	72
k	Cl	H	H	H	CH_3	H	75
l	Cl	H	H	H	OCH_3	H	74
m	OCH_3	OCH_3	H	H	H	H	62

[a]Overall yields of two steps from the starting 2'-aminoacetophenones.

with regard to (i) operational simplicity (ii) high yields, and (iii) general applicability containing various substituents on both rings and, therefore, it may be utilized as a practical alternative to the previous methods.

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