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A Quick Route for the Synthesis of 3-Aryl-3,4-dihydro-2*H*-benz[e]-1,3-oxazin-2-ones

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N-(2-Hydroxy)-benzyl-arylamines (**1**) gave substantially pure 3-aryl-3,4-dihydro-2*H*-benz[e]-1,3-oxazin-2-one **2** on cyclization with carbonyldiimidazole in DMSO in 20-30 min at 20-25 ºC in excellent yields.

Key Words: 2*H*-Benzoxazin-2-ones, 2*H*-Benz[e]-1,3-oxazin-2-ones, Carbonyldiimidazole, Cyclization, Heterocycles.

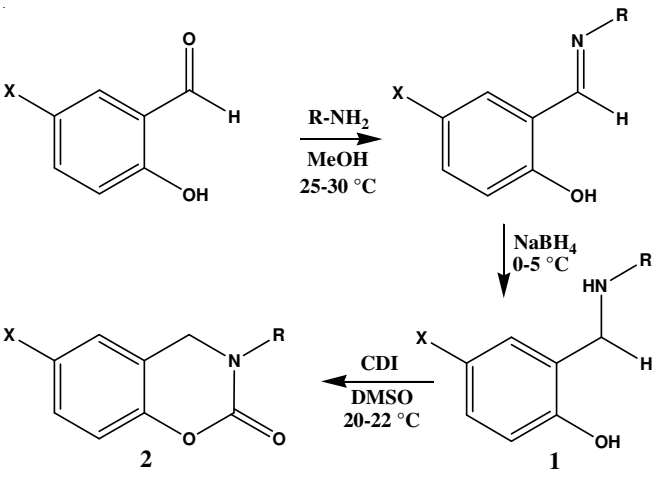
INTRODUCTION

Many important medicines, dyes, insecticides, *etc*., are found in the series of heterocyclic compounds, called oxazines[1] and thiazines[2]. They are found mainly in the polycyclic divisions in which other rings, such as the benzene ring, are fused to the oxazines or thiazine ring. A considerable number of reports concerning 1,3-oxazine[3-6] derivatives which have undergone their greatest development in the last few years. This is due to partially the fact that these compounds show interesting pharmacological[7-11] activity. Efavirenz[12] (Sustiva), a non-nucleoside reverse transcriptase inhibitor, explored a new dimension for 2*H*-benzoxazin-2-ones in the field of medicinal world. Thus, the emphasis of the derivatization of benzoxazinones has got a new pace to counter HIV in recent times[13-15].

EXPERIMENTAL

Salicyldehyde (Spectrochem), sodium borohydride (Spectrochem), carbonyldiimidazole (GLR scientific), aniline (CDH), 4-methyl aniline (Thomas Baker), 4-chloroaniline (CDH), 4-bromo aniline (Spectrochem), 1-naphthylamine (CDH), 2-amino pyridine (Spectrochem), 3-amino pyridine (Spectrochem), 4-toluene sulfonamide (CDH) and rafoxinide (Fluka) were used as received. Solvents were purified by standard procedures.

All reactions and workup were conducted under air, except when noted otherwise. TLC was performed on MERCK TLC Silica gel 60 F_{254} plates and visualized by iodine. Yields refer to pure isolated substances. NMR spectra were recorded on a Bruker AV300 MHz spectrophotometer. The chemical shifts are reported in ppm downfield of internal standard tetramethylsilane for ^{1}H and ^{13}C NMR. Mass spectra were recorded on a Shimadzu GCMS QP2010plus instrument and fragments having intensity more than 20 % has been given. Infrared spectrum was recorded on Shimadzu FTIR-8700. Elemental analysis was carried out using EURO EA 3000 elemental analyzer. Melting points were recorded in open capillary method and are uncorrected.



Scheme-I

Synthesis of N-(2-hydroxy)-benzyl-arylamines 1: Schiff bases were prepared by dissolving aldehyde (0.1 mol) in methanol, was mixed with aryl amine (0.1 mol) at room temperature. The mixture was stirred at 20-25 ºC for 0.5 h and poured in ice water. The separated product was collected by filtration and re-crystallized with methanol. The re-crystallized Schiff bases (0.1 mol) were dissolved in methanol, sodium borohydride (0.25 mol) was added lot wise at 0-5 ºC over a period of 1 h. The contents were further stirred for 2-3 h at 25-30 ºC. The solvent was removed under vacuum, added water to

precipitate the product. Filter and wash with water to get crude product. The crude product thus obtained was re-crystallised with methanol to get **1** as crystalline solid.

Synthesis of 3-aryl-3,4-dihydro-2*H*-benz[e]-1,3-oxazin-2-ones (2): N-(2-Hydroxy)-benzyl-arylamines **1** (0.01 mol) dissolved in DMSO (20 mL) was taken in round bottom flask. Contents were cooled in an ice bath to 20-22 ºC. Carbonyl-diimidazole (0.011 mol) dissolved in 20 mL DMSO was added drop wise with constant stirring in 0.5 h at 20-22 ºC. The reaction mixture was further stirred at 20-22 ºC for 0.5 h. The reaction was monitored by TLC (EtOAc:hexane 80:20). After completion of reaction, 1 N HCl (0.02 mol) was added drop wise over a period of 0.5 h. The reaction mixture was further stirred for 0.5 h. Filtered and washed the content with 150 mL water to get white shining material, which was crystallized from methanol to give compound **2** as white crystalline material.

3,4-Dihydro-3-phenyl-2*H*-benz[e]-1,3-oxazin-2-one (2a): White crystalline solid, yield 2.07 g, 92 %; m.p. 141-142 ºC (from methanol). Elemental analysis calcd. (%) for $C_{14}H_{11}NO_2$: C, 74.65; H, 4.92; N, 6.22. Found (%): C, 74.36; H, 4.73; N, 6.38. FTIR (cm^{-1}) 1710 (>C=O); ^{1}H NMR (300 MHz, $CDCl_3$, Me_4Si): δ 4.820 (s, 2H, $ArCH_2N$), 7.135-7.406 (m, 9H, aromatic); ^{13}C NMR (75 MHz, $CDCl_3$): δ 150.27, 149.76, 141.61, 129.3, 129.0, 127.19, 125.28, 125.21, 124.33, 117.89, 116.25, 50.48; GCMS m/z: 225.0, mass fragments, 51.20 (26.3 %), 78.15 (100.0), 91.10 (21.5), 106.05 (77.7), 119.00 (82.9), 224.95 (44.8).

3,4-Dihydro-3-(4-methylphenyl)-2*H*-benz[e]-1,3-oxazin-2-one (2b): White crystalline solid. Yield 2.1 g, 88 %, m.p. 116-117 ºC (from methanol); elemental analysis calcd. (%) for $C_{15}H_{13}NO_2$: C, 75.29; H, 5.47; N, 5.85. Found (%): C, 75.09; H, 5.28; N, 5.46; FTIR (cm^{-1}) 1728 (>C=O); ^{1}H NMR (300 MHz, $CDCl_3$, Me_4Si): δ 2.363 (s, 3H, $ArCH_3$), 4.805 (s, 2H, $ArCH_2N$), 7.121-7.259 (m, 8H, aromatic); ^{13}C NMR (75 MHz, $CDCl_3$): δ 150.26, 149.73, 139.01, 137.07, 129.84, 128.90, 125.02, 124.56, 124.2, 117.86, 116.14, 50.54, 20.87; GCMS m/z: 239, mass fragments, 78.05 (22.5 %), 133.00 (100.0), 239.00 (23.1).

3,4-Dihydro-3-(4-chlorophenyl)-2*H*-benz[e]-1,3-oxazin-2-one (2c): White crystalline solid. Yield 2.2 g, 85 %, m.p. 149-150 ºC (from methanol); elemental analysis calcd. (%) for $C_{14}H_{10}NO_2Cl$: C, 64.75; H, 3.88; N, 5.39. Found (%): C, 64.21; H, 3.34; N, 5.12; FTIR (cm^{-1}) 1703 (>C=O); ^{1}H NMR (300 MHz, $CDCl_3$, Me_4Si): δ 4.821 (s, 2H, $ArCH_2N$), 7.145-7.415 (m, 8H, aromatic); ^{13}C NMR (75 MHz, $CDCl_3$): δ 150.21, 149.71, 140.22, 132.74, 129.47, 129.27, 126.62, 126.15, 125.45, 124.60, 117.74, 116.34, 50.44; GCMS m/z: 259, mass fragments, 78.05 (100.0 %), 89.85 (22.9), 106.00 (96.8), 152.90 (81.5), 154.95 (29.9), 258.95 (29.8).

3,4-Dihydro-3-(4-bromophenyl)-2*H*-benz[e]-1,3-oxazin-2-one (2d): White crystalline solid. Yield 2.61 g, 86 %, m.p. 147-148 ºC (from methanol); elemental analysis calcd. (%) for $C_{14}H_{10}NO_2Br$: C, 55.28; H, 3.31; N, 4.60. Found (%): C, 54.88; H, 3.01; N, 4.39; FTIR (cm^{-1}) 1705 (>C=O); ^{1}H NMR (300 MHz, $CDCl_3$, Me_4Si): δ 4.817 (s, 2H, $ArCH_2N$), 7.144-7.538 (m, 8H, aromatic); ^{13}C NMR (75 MHz, $CDCl_3$): δ 150.2, 149.67, 140.69, 132.9, 132.47, 129.3, 126.92, 125.46, 124.63, 120.69, 117.69, 116.39, 50.35; GCMS m/z: 304 mass fragments, 63.15 (21.1 %), 78.15 (100.0), 90.10 (51.2), 106.05 (64.9), 196.90 (42.8), 303.00 (10.1), 305.00 (9.3).

3,4-Dihydro-3-(1-naphthyl)-2*H*-benz[e]-1,3-oxazin-2-one (2e): Light brown crystalline solid. Yield 2.2 g, 80 %, m.p. 176-177 ºC (from methanol); elemental analysis calcd. (%) for $C_{18}H_{13}NO_2$: C, 78.52; H, 4.75; N, 5.08. Found (%): C, 78.17; H, 4.23; N, 4.89; FTIR (cm^{-1}) 1728 (>C=O); ^{1}H NMR (300 MHz, $CDCl_3$, Me_4Si): δ 4.768 (d, 1H, *J* = 14.7 Hz, $ArCH_2N$), 4.912 (d, 1H, *J* = 14.7 Hz, $ArCH_2N$), 7.1780-7.880 (m, 11H, aromatic); ^{13}C NMR (75 MHz, $CDCl_3$): δ 150.39, 150.17, 137.87, 134.83, 129.28, 129.11, 129.06, 128.85, 127.37, 126.68, 125.94, 125.55, 125.12, 124.8, 124.58, 121.88, 117.75, 116.64, 51.61; GCMS m/z: 275, mass fragments, 114.05 (23.61 %), 128.00 (32.0), 141.05 (21.4), 169.05 (100.0), 275.05 (12.5).

3,4-Dihydro-3-pyridin-2-yl-2*H*-benz[e]-1,3-oxazin-2-one (2f): White crystalline solid. Yield 1.92 g, 85 %, m.p. 62-63 ºC (from methanol); elemental analysis calcd. (%) for $C_{13}H_{10}N_2O_2$: C, 69.02; H, 4.45; N, 12.38. Found (%): C, 68.56; H, 4.12; N, 12.19; FTIR (cm^{-1}) 1722 (>C=O); ^{1}H NMR (300 MHz, $CDCl_3$ Me_4Si): δ 5.128 (s, 2H, $ArCH_2N$), 7.187-8.441 (m, 8H, aromatic); ^{13}C NMR (75 MHz, $CDCl_3$): δ 152.97, 150.48, 149.47, 147.4, 137.87, 137.5, 128.97, 125.78, 124.64, 120.56, 119.05, 118.97, 115.95, 46.42; GCMS m/z: 226 mass fragments, 51.20 (25.92 %), 78.10 (100.0), 106.00 (26.5), 226.00 (20.8).

3,4-Dihydro-3-pyridin-3-yl-2*H*-benz[e]-1,3-oxazin-2-one (2g): White crystalline solid. Yield 1.89 g, 84 %, m.p. 142-143 ºC (from methanol); elemental analysis calcd. (%) for $C_{13}H_{10}N_2O_2$: C, 69.02; H, 4.45; N, 12.38. Found (%): C, 68.77; H, 4.26; N, 12.11; FTIR (cm^{-1}) 1708 (>C=O); ^{1}H NMR (300 MHz, $CDCl_3$, Me_4Si): δ 4.894 (s, 2H, $ArCH_2N$), 7.180-7.357 (m, 5H, aromatic), 7.785 (d, 1H, *J* = 8.1 Hz, aromatic), 8.547 (d, 1H, *J* = 2.4 Hz, aromatic), 8.705 (d, 1H, *J* = 2.4 Hz, aromatic); ^{13}C NMR (75 MHz, $CDCl_3$): δ 150.15, 149.55, 148.02, 146.18, 138.23, 132.78, 129.38, 125.36, 124.7, 123.71, 117.36, 116.43, 50.21; GCMS m/z: 226, mass fragments, 51.15 (22.83 %), 78.10 (100.0), 106.00 (63.8), 225.90 (21.1).

3,4-Dihydro-3-pyridin-4-yl-2*H*-benz[e]-1,3-oxazin-2-one (2h): White crystalline solid. Yield 1.44 g, 64 %, m.p. 185-186 ºC (from methanol); elemental analysis calcd. (%) for $C_{13}H_{10}N_2O_2$: C, 69.02; H, 4.45; N, 12.38. Found (%): C, 68.67; H, 4.22; N, 12.31; FTIR (cm^{-1}) 1710.7 (>C=O); ^{1}H NMR (300 MHz, $CDCl_3$, Me_4Si): δ 4.899 (s, 2H, $ArCH_2N$), 7.138-7.207 (m, 3H, aromatic), 7.369-7.421 (m, 3H, aromatic), 8.652 (s, 2H, aromatic); ^{13}C NMR (75 MHz, $CDCl_3$): δ 150.65, 149.46, 149.23, 148.66, 129.52, 125.44, 124.86, 117.62, 117.41, 116.30, 48.53; GCMS m/z: 226.20, mass fragments, 51.15 (19.4 %), 78.10 (100.0), 106.15 (65.4), 226.20 (42.0).

6-Bromo-3,4-dihydro-3-(4-methylphenyl)-2*H*-benz[e]-1,3-oxazin-2-one (2i): White crystalline solid. Yield 2.25 g, 71 %, m.p. 170-171 ºC (from methanol); elemental analysis calcd. (%) for $C_{15}H_{12}NO_2Br$: C, 56.62; H, 3.80; N, 4.40. Found (%): C, 56.60; H, 3.78; N, 4.36; FTIR (cm^{-1}) 1712 (>C=O); ^{1}H NMR (300 MHz, $CDCl_3$, Me_4Si): δ 2.373 (s, 3H, $ArCH_3$), 4.781 (s, 2H, $ArCH_2N$), 6.991 (d, 1H, *J* = 8.4 Hz, aromatic), 7.252 (s, 5H, aromatic), 7.411 (d, 1H, *J* = 8.4 Hz, aromatic); ^{13}C

NMR (75 MHz, $CDCl_3$): δ 149.69, 148.88, 138.66, 137.36, 131.92, 129.95, 128.10, 125.03, 119.94, 117.99, 116.62, 50.09, 20.92; GCMS m/z: 317.20, mass fragments, 77.10 (13.9 %), 104.10 (10.8), 105.10 (11.4), 133.15 (100.0), 317.20 (8.3).

6-Bromo-3,4-dihydro-3-(4-chlorophenyl)-2*H*-benz[e]-1,3-oxazin-2-one (2j): White crystalline solid. Yield 2.02 g, 60 %, m.p. 210-211 ºC (from methanol); elemental analysis calcd. (%) for $C_{14}H_9NO_2BrCl$: C, 49.66; H, 2.68; N, 4.14. Found (%): C, 49.59; H, 2.65; N, 4.12; FTIR (cm^{-1}) 1707 (>C=O); ^1H NMR (300 MHz, $CDCl_3$, Me_4Si): δ 4.787 (s, 2H, $ArCH_2N$), 6.997 (d, 1H, *J* = 8.4 Hz, aromatic), 7.262-7.340 (m, 3H, aromatic), 7.402-7.458 (m, 3H, aromatic); ^{13}C NMR (75 MHz, $CDCl_3$): δ 149.63, 148.83, 139.77, 133.13, 132.31, 129.62, 128.21, 126.58, 119.66, 118.21, 117.02, 50.00; GCMS m/z: 337.20, mass fragments, 51.10 (22.7 %), 77.10 (49.6), 153.10 (100.0), 155.10 (30.0), 184.00 (28.9), 186.00 (25.4), 337.20 (11.5), 339.15 (14.1).

6-Bromo-3,4-dihydro-3-pyridin-3-yl-2*H*-benz[e]-1,3-oxazin-2-one (2k): White crystalline solid. Yield 2.03 g, 67 %, m.p. 120-121 ºC (from methanol); elemental analysis calcd. (%) for $C_{13}H_9N_2O_2Br$: C, 51.17; H, 2.97; N, 9.18. Found (%): C, 51.11; H, 2.91; N, 9.09; FTIR (cm^{-1}) 1710 (>C=O); ^1H NMR (300 MHz, $CDCl_3$, Me_4Si): δ 4.862 (s, 2H, $ArCH_2N$), 7.022 (d, 1H, *J* = 8.7 Hz, aromatic), 7.317 (s, 1H, aromatic), 7.381-7.480 (m, 2H, aromatic), 7.768 (d, 1H, *J* = 8.1 Hz, aromatic), 8.567 (d, 1H, *J* = 4.2 Hz, aromatic), 8.690 (d, 1H, *J* = 2.1 Hz, aromatic); ^{13}C NMR (75 MHz, $CDCl_3$): δ 149.56, 148.68, 148.23, 146.19, 137.93, 132.82, 132.40, 131.40, 128.24, 123.80, 119.39, 118.22, 117.16, 49.76; GCMS m/z: 304.20, mass fragments, 51.10 (46.1 %), 77.10 (100.0), 156.05 (28.8), 184.05 (38.7), 186.05 (36.9), 207.10 (21.8), 304.20 (21.7).

3,4-Dihydro-3-[(4-methylphenyl)sulfonyl]-2*H*-benz[e]-1,3-oxazin-2-one (2l): White crystalline solid. Yield 1.93 g, 69 %, m.p. 118-120 ºC (from methanol); elemental analysis calcd. (%) for $C_{15}H_{13}NO_4S$: C, 59.39; H, 4.32; N, 4.62. Found (%): C, 59.1; H, 4.12; N, 4.24; FTIR 1749 (>C=O); ^1H NMR (300 MHz, $CDCl_3$, Me_4Si): δ 2.141 (s, 3H), 4.115 (d, 2H = 6.3 Hz), 6.098 (s, 1H), 6.761 (m, 2H), 6.977 (d, 1H), 7.114 (t, 1H, *J* = 7.8 Hz), 7.255 (d, 1H, *J* = 7.2 Hz), 7.710 (d, 2H, *J* = 7.8 Hz); ^{13}C NMR (75 MHz, $CDCl_3$): δ 154.39, 143.68, 136.21, 129.95, 129.68, 129.59, 127.1, 122.02, 102.51, 116.06, 44.21, 21.49.

3-[3-Chloro-4-(4-chlorophenoxy)phenyl]-6,8-diiodo-2*H*-1,3-benzoxazine-2,4(3*H*)-dione (2m): White crystalline solid. Yield 0.3 g, 58 %, m.p. 220-221 ºC (from methanol); elemental analysis calcd. (%) for $C_{20}H_9NO_4Cl_2I_2$: C, 36.84; H, 1.39; N, 2.15. Found (%): C, 36.53; H, 1.28; N, 2.05; FTIR 1701.1, 1766.7 (>C=O); ^1H NMR (300 MHz, DMDO-d_6, Me_4Si): δ 7.082-8.620 (m, 9H, aromatic); ^{13}C NMR (75 MHz, DMDO-d_6): δ 159.11, 154.70, 151.87, 146.72, 135.28, 131.26, 130.69, 127.92, 124.15, 120.75, 119.86, 118.61, 117.10, 90.11, 86.67, 41.07, 40.30, 39.46, 39.19, 38.63.

We have developed a simple and economically viable synthetic method for the preparation of various potential pharmaceutically useful 2*H*-benzoxazin-2-one derivatives starting from salicyldehyde and variously substituted amines. This simple and effective process will help to develop the compound of this class in future.

RESULTS AND DISCUSSION

Among previous reported processes, Mindl *et al.*[16] has studied the kinetics of cyclization of substituted phenyl-N-(2-hydroxybenzyl)carbamates and their N-methyl analogues. Yadav *et al.*[17], has reported compounds of this class under solvent-free microwave irradiation using montmorillonite K-10 clay as a support.

During our study, we had used phosgene (20 % solution in toluene) and carbonyldiimidazole[18,19] (CDI) as cyclization reagents. In case of phosgene, apart from handling and hazard, the reaction requires overnight stirring. The yield obtained was 55-62 % and the compounds need further purification. Effect of solvent in the study was vital. The reaction time varies as the polarity of solvent changes. We have used THF and dioxane with phosgene as cyclization reagent, in case of dioxane the yield and quality was better than in THF. While cyclization with carbonyldiimidazole, we have tried toluene, THF, dioxane and DMSO. Surprisingly, there is no reaction on TLC in case of toluene, THF and even in dioxane (Table-1). From all these synthetic manipulations, the condensation of substituted 2-hydroxybenzylamine with carbonyldiimidazole in DMSO seemed the most reliable for the synthesis of 3-aryl-3,4-dihydro-2*H*-benz[e]-1,3-oxazin-2-ones.

TABLE-1
STUDY OF SOLVENTS

S. No.	R	X	Cyclization reagent	Solvent	Yield (%)
1	$-C_6H_5$	-H	Phosgene	THF	55.0
2	$-C_6H_5$	-H	Phosgene	Dioxane	72.0
3	$-C_6H_5$	-H	CDI	Toluene	Nil
4	$-C_6H_5$	-H	CDI	Dioxane	Nil

TABLE-2
EXPERIMENTAL DETAILS OF **2**

Entry	R	X	Reaction time (min)	m.p. (ºC)	Yield (%)
2a	$-C_6H_5$	-H	25	141-142	92.0
2b	$-4-CH_3C_6H_4$	-H	25	116-117	88.0
2c	$-4-ClC_6H_4$	-H	25	149-150	85.0
2d	$-4-BrC_6H_4$	-H	25	147-148	86.0
2e	-1-Napthyl	-H	35	176-177	80.0
2f	-2-Aminopyridyl	-H	30	62-63	85.0
2g	-3-Aminopyridyl	-H	35	142-143	84.0
2h	-4-Aminopyridyl	-H	35	185-186	64.0
2i	$-4-CH_3C_6H_4$	-Br	25	170-171	71.0
2j	$-4-ClC_6H_4$	-Br	35	210-211	60.0
2k	-3-Aminopyridyl	-Br	30	120-121	67.0
2l	$-4-CH_3C_6H_4SO_2$	-H	45	118-120	69.0
2m	Rafoxinide	–	35	220-221	58.0

To the best of our knowledge pyridine amine and sulfonamide derived 1,3-benzoxazin-2-ones are not yet reported. Since reactivity of pyridine and sulfonamide derivative towards a variety of functional group as well as towards microbial activity is well documented in the literature[20,21]. Keeping these things on priority, we are presenting a library of 3-aryl-3,4-dihydro-2*H*-benz[e]-1,3-oxazin-2-ones by a simple, quick and cost effective synthetic route. For the present study, we prepared the various imines[22]/N-sulfonylimines[23,24] by reacting variously substituted arylamines/aryl sulfonamide with

salicyldehyde. These are further subjected to reduction by sodium borohydride in alcohol to give resultant N-(2-hydroxy)-benzyl-arylamines[25]/sulfonamide **1** (**Scheme-I**). 3-Aryl-3,4-dihydro-2*H*-benz[e]-1,3-oxazin-2-one **2**, were prepared by cyclization of N-(2-hydroxy)-benzyl-arylamines/sulfonamide **1** with carbonyldiimidazole in DMSO in 20-30 min at 20-25 ºC (Table-2).

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