Synthesis of 5-(2-Hydroxyphenyl)-1,3-oxazoles and *N*-(2-Hydroxyphenacyl)benzamides

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1,3-Oxazole moiety has been found as a subunit of many biologically active natural products[1] and synthetic intermediates leading to many other systems.[2] In addition, the derivatives of 1,3-oxazole show a variety of biological activities.[3] Accordingly, the preparation of 1,3-oxazoles has recently been of great interest.[4]

Lee *et al.* has reported the synthesis of 1,3-benzoxazepines by the Staudinger reaction followed by an intramolelcuar aza-Wittig reaction of *O*-acyloxyphenacyl azides **2**.[5,6] Peet *et al.* have reinvestigated Lee's results and reassigned the products as 5-(2-hydroxyphenyl)-1,3-oxazoles **5** rather than 5-hydroxy-1,3-benzoxazepines **3** by the synthesis of 5-(2-hydroxyphenyl)-2-methyl-1,3-oxazole (**5b**) and NMR spectra analysis.[7]

Peet's results including the interpretation of NMR spectra leading to the structure of **5** rather than **3** are considered more reasonable. In connection with this study, it is of interest to examine whether the synthetic method can be applied to the synthesis of 5-(2-hydroxyphenyl)-1,3-oxazoles regardless of the substutuent (acyl or aroyl) on the hydroxy oxygen of *O*-hydroxyphenacyl azide **1**.

In order to investigate the synthetic method, we chose *O*-acyloxyphenacyl azides **2b-f** and *O*-aroyloxyphenacyl azides **4b-f** as starting materials. These compounds, **2b-f** and **4b-f**, are readily prepared in good yields according to the Scheme 1 by the reaction of *O*-hydroxyphenacyl azide **1** with corresponding acid chlorides in the presence of triethyl amine in tetrahydrofuran at 0-5 °C (yields: 51-95%).[5,8]

The reaction of the *O*-acyloxyphenacyl azides **2b-f** and triethyl phosphite in refluxing benzene gives 2-alkyl-5-(2-hydroxyphenyl)-1,3-oxazoles **5b-f** without notable side products in isolated yields ranging from 30 to 82%, as expected. It means that this is a useful method for the synthesis of 2-alkyl-5-(2-hydroxyphenyl)-1,3-oxazoles **5b-f** from *O*-acyloxyphenacyl azides **2b-f**. The results are summarized in Table 1.

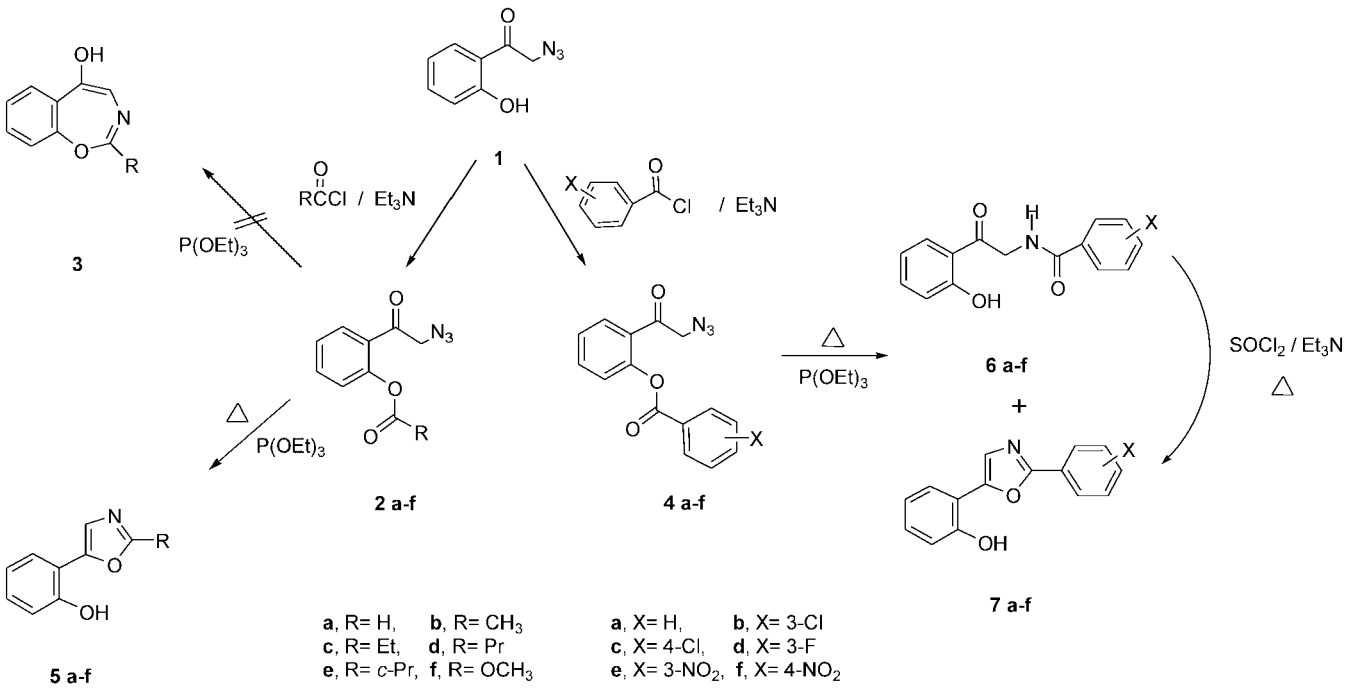
Interestingly, however, the reaction of *O*-aroyloxyphenacyl azides **4b-f** and triethyl phosphite gives *N*-(2-hydroxyphenacyl)benzamides **6b-f** (compounds **6b-f** have not been reported previously) as major products (isolated yields: 20-48%) rather than 2-aryl-5-(2-hydroxyphenyl)-1,3-oxazoles **7b-f**. In this reaction, only a small amount of **7b-f** were obtained as minor products (isolated yields: 6-22%). The result shows that this method can be used for the preparation of hitherto unknown *N*-(2-hydroxyphenacyl)benzamides **6b-f**. In addition, *N*-(2-hydroxyphenacyl)benzamides **6b-f** could be converted to 2-aryl-5-(2-hydroxyphenyl)-1,3-oxazoles **7b-f** by the reacion with thionyl chloride in the presence of triethyl amine in refluxing toluene. In fact, 11-27% of **6b-f** were converted to the corresponding **7b-f** and 65-85% of starting materials **6b-f** were recovered. The results are summarized in Table 3.

In summary, the reaction of *O*-acyloxyphenacy azides **2** with triethyl phosphite is a useful method for the preparation of 2-alkyl-5-(2-hydroxyphenyl)-1,3-oxazoles **5b-f**, in which the alkyl groups are methyl, ethyl, propyl, cyclopropyl and methoxy. The reaction of *O*-aroyloxyphenacyl azides **4b-f** with triethyl phosphite gives *N*-(2-hydroxyphenacyl)benzamides **6b-f** as major products rather than 2-aryl-5-(2-hydroxyphenyl)-1,3-oxazoles **7b-f**. In addition, *N*-(2-hydroxyphenacyl)benzamides **6b-f** can be easily converted to the corresponding 2-aryl-5-(2-hydroxyphenyl)-1,3-oxazoles **7b-f** by the reaction with thionyl chloride.

Experimental Section

Commercially available reagents were purchased from Aldrich Chemical Co. and Junsei and used without further purification. All solvents were dried and distilled by general purification methods. Merck silica gel 60 (63-200 mesh) and silica gel 60 F_{254} were used for silica gel column chromatography and TLC (Thin-Layer Chromatography), respectively. Ethyl acetate and n-hexane were used for silica gel column chromatography as eluents. Melting points were determined with a Electrothermal 9100 melting point apparatus and uncorrected. Infrared spectra (IR) were run on an MIDAC prospect FT-IR spectrometer. Absorption values were expressed in wavenumber (cm^{-1}). Proton (300 MHz, 500 MHz ^{1}H-NMR) and carbon (75 MHz, 125 MHz ^{13}C-NMR) nuclear magnetic resonance spectra were taken on Varian (U.S.A) Unity plus 300 NMR instrument and Bruker Avance 500 FT-NMR spectrometer. Chemical shifts (δ) are on parts per million (ppm) relative to tetramethylsilane and coupling constants (J values) are in hertz. Mass spectra were obtained on a Hewlett-Packard 5890A gas chromatography/HP 5971 MSD (EI) low resolution instrument.

General Procedure for the Preparation of 2-Alkyl-5-(2-hydroxyphenyl)-1,3-oxazoles 5, *N*-(2-Hydroxyphenacyl)



Scheme 1

Table 1. Synthesis of 2-alkyl-5-(2-hydroxyphenyl)-1,3-oxazoles **5**

Reactant	R	Time (h)	Product	Yield (%)[a]
2a	H[b]	2	**5a**	30
2b	methyl[b]	1	**5b**	82 (74[b])
2c	ethyl	1.5	**5c**	45
2d	propyl	1.5	**5d**	50
2e	*c*-propyl[b]	1.5	**5e**	68
2f	methoxy	1	**5f**	40

[a]Isolated yield. [b]Ref. 5.

Table 2. Synthesis of *N*-(2-hydroxyphenacyl)benzaimdes **6** and 2-aryl-5-(2-hydroxyphenyl)-1,3-oxazoles **7**

Reactant	X	Time (h)	Product/Yield (%)[a]			
4a	H[b]	1	**6a**	15	**7a**	39
4b	3-Cl	1	**6b**	22	**7b**	6
4c	4-Cl	1	**6c**	48	**7c**	8
4d	3-F	1	**6d**	42	**7d**	17
4e	3-NO_2	1	**6e**	26	**7e**	22
4f	4-NO_2	1	**6f**	20	**7f**	10

[a]Isolated yield. [b]Ref. 5.

Table 3. Conversion of *N*-(2-hydroxyphenacyl)benzamides **6** to 2-aryl-5-(2-hydroxyphenyl)-1,3-oxazoles **7**

No.	X	Equivalents ($SOCl_2$/Et_3N)	Time (h)	Yield (%)[a]	S.M. recovered (%)[a]
7b	3-Cl	2 / 2	12	27	65
7c	4-Cl	2 / 2	5	11	85
7d	3-F	2.5 / 2.5	6	22	75
7e	3-NO_2	2.5 / 2.5	12	15	75
7f	4-NO_2	2.5 / 2.5	12	22	70

[a]Isolated yield.

benzamides 6 and 2-Aryl-5-(2-hydroxyphenyl)-1,3-oxazoles 7. *O*-Acyloxyphenacyl azide **2** (or *O*-aroyloxyphenacyl azide **4**) (4.45 mmol) was dissolved in benzene (15 mL) and then triethyl phosphite (4.45 mmol) was added dropwise at 0-5 °C. The reaction mixture was refluxed for 1 h. The solvent was removed under reduced pressure and then the product was purified by silica gel column chromatography (EtOAc/*n*-hexane) to give the corresponding 2-alkyl-5-(2-hydroxyphenyl)-1,3-oxazole **5** (or the corresponding *N*-(2-hydroxyphenacyl)benzamide **6** and 2-aryl-5-(2-hydroxyphenyl)-1,3-oxazole **7**).

5-(2-Hydroxyphenyl)-2-methyl-1,3-oxazole (5b). Yield = 82%; mp = 167 °C; R_f = 0.36 (EtOAc/*n*-hexane = 1 : 1); ^{1}H NMR (DMSO-d_6) δ = 2.46 (s, CH_3), 6.89 (dd, 1H$_{arom}$, J = 7.8, 7.2), 6.96 (d, 1H$_{arom}$, J = 8.4), 7.16 (dd, 1H$_{arom}$, J = 8.4, 7.2), 7.36 (s, CHN), 7.57 (d, 1H$_{arom}$, J = 7.8), 10.26 (s, OH); ^{13}C NMR (DMSO-d_6) δ = 13.75, 115.13, 115.97, 119.46, 125.10, 125.26, 128.89, 147.50, 153.67, 159.49; IR (KBr): ν = 3053, 2933, 1582, 1452.

2-Ethyl-5-(2-hydroxyphenyl)-1,3-oxazole (5c). Yield = 45%; mp = 153-155 °C; R_f = 0.46 (EtOAc/*n*-hexane = 1 : 1); ^{1}H NMR (DMSO-d_6) δ = 1.29 (t, CH_3, J = 7.5), 2.81 (q, CH_2, J = 7.5), 6.89 (dd, 1H$_{arom}$, J = 7.8, 7.1), 6.96 (d, 1H$_{arom}$, J = 8.4), 7.15 (dd, 1H$_{arom}$, J = 8.4, 7.1), 7.36 (s, CHN), 7.57 (d, 1H$_{arom}$, J = 7.8), 10.26 (s, OH); ^{13}C NMR (DMSO-d_6) δ = 11.10, 21.02, 115.17, 115.92, 119.37, 125.03, 125.10, 128.78, 147.33, 153.63, 163.49; IR (KBr): ν = 3062, 2985, 1579, 1451; MS (70 eV) m/z (rel. intensity) 189 (M^+, 87), 134 (55), 119 (12), 105 (100), 91 (7) 77 (24), 65 (14).

5-(2-Hydroxyphenyl)-2-propyl-1,3-oxazole (5d). Yield

= 50%; mp = 167 °C; R_f = 0.59 (EtOAc/*n*-hexane = 1 : 1); ^{1}H NMR (DMSO-d_6) δ = 0.97 (t, CH_3, J = 7.4), 1.76 (sext, CH_2, J = 7.4), 2.76 (t, CH_2, J = 7.4), 6.89 (dd, $1H_{arom}$, J = 7.5), 6.96 (d, $1H_{arom}$, J = 8.4), 7.15 (dd, $1H_{arom}$, J = 8.4, 7.5), 7.37 (s, CHN), 7.57 (d, $1H_{arom}$, J = 7.5), 10.20 (s, OH); ^{13}C NMR (DMSO-d_6) δ = 13.41, 19.95, 29.28, 115.16, 115.88, 119.30, 124.98, 125.05, 128.68, 147.28, 153.55, 162.43; IR (KBr): ν = 3053, 2968, 1577, 1452; MS (70 eV) *m*/*z* (rel. intensity) 203 (M^-, 83), 175 (70), 134 (55), 119 (40), 105 (97), 77 (32), 64 (23).

2-Cyclopropyl-5-(2-hydroxyphenyl)-1,3-oxazole (5e). Yield = 68%; mp = 187 °C; R_f = 0.51 (EtOAc/*n*-hexane = 1 : 1); ^{1}H NMR (DMSO-d_6) δ = 1.00-1.09 (m, CH_2), 2.12-2.18 (m, CH), 6.89 (dd, $1H_{arom}$, J = 7.8, 7.5), 6.95 (d, $1H_{arom}$, J = 8.4), 7.15 (dd, $1H_{arom}$, J = 8.4, 7.5), 7.33 (s, CHN), 7.55 (d, $1H_{arom}$, J = 7.7), 10.25 (s, OH); ^{13}C NMR (DMSO-d_6) δ = 8.01, 8.59, 115.16, 115.87, 119.53, 125.01, 125.20, 128.67, 146.86, 153.50, 163.74; IR (KBr): ν = 3056, 2961, 1576, 1452; MS (70 eV) *m*/*z* (rel. intensity) 201 (M^-, 100), 134 (36), 131 (22), 121 (15), 105 (84), 77 (20), 65 (14).

5-(2-Hydroxyphenyl)-2-methoxy-1,3-oxazole (5f). Yield = 40%; mp = 153 °C; R_f = 0.42 (EtOAc/*n*-hexane = 1 : 1); ^{1}H NMR (DMSO-d_6) δ = 3.36 (s, CH_3), 4.53 (s, CH_2), 6.91 (dd, $1H_{arom}$, J = 7.5, 7.4), 6.98 (d, J = 8.1), 7.19 (dd, $1H_{arom}$, J = 8.1, 7.4), 7.47 (s, CHN), 7.60 (d, $1H_{arom}$, J = 7.5), 10.31 (s, OH); ^{13}C NMR (DMSO-d_6) δ = 57.99, 65.61, 114.70, 115.97, 119.36, 125.04, 125.34, 129.22, 148.44, 153.85, 158.79; IR (KBr): ν = 3067, 2934, 1549, 1453; MS (70 eV) *m*/*z* (rel. intensity) 205 (M^-, 77), 175 (34), 133 (100), 119 (48), 105 (47), 77 (19), 65 (22).

3-Chloro-*N*-(2-hydroxyphenacyl)benzamide (6b). Yield = 22%; mp = 192 °C; R_f = 0.62 (EtOAc/*n*-hexane = 1 : 1); ^{1}H NMR (DMSO-d_6) δ = 4.77 (d, CH_2, J = 5.6), 6.95-7.02 (m, $2H_{arom}$), 7.50-7.63 (m, $3H_{arom}$), 7.85-7.94 (m, $3H_{arom}$), 8.98 (t, NH, J = 5.6), 11.30 (s, OH); ^{13}C NMR (DMSO-d_6) δ = 48.13, 117.60, 119.35, 120.36, 126.06, 127.14, 130.10, 130.46, 131.30, 133.28, 135.81, 135.91, 159.71, 165.20, 198.59; IR (KBr): ν = 3403, 3067, 1678, 1639, 1540; MS (70 eV) *m*/*z* (rel. intensity) 289 (M^+, 35), 139 (45), 121 (100), 111 (27), 93 (12), 75 (14), 65 (20).

4-Chloro-*N*-(2-hydroxyphenacyl)benzamide (6c). Yield = 48%; mp = 221 °C; R_f = 0.62 (EtOAc/*n*-hexane = 1 : 1); ^{1}H NMR (DMSO-d_6) δ = 4.76 (d, CH_2, J = 5.6), 6.94-7.02 (m, $2H_{arom}$), 7.49-7.59 (m, $3H_{arom}$), 7.88-7.93 (m, $3H_{arom}$), 8.93 (t, NH, J = 5.6), 11.31 (s, OH); ^{13}C NMR (DMSO-d_6) δ = 48.08, 117.60, 119.35, 120.36, 128.52, 129.24, 130.09, 132.68, 135.81, 136.27, 159.73, 165.56, 198.76; IR (KBr): ν = 3406, 3063, 1678, 1639, 1533; MS (70 eV) *m*/*z* (rel. intensity) 289 (M^-, 31), 139 (55), 121 (100), 111 (25), 93 (10), 75 (13), 65 (17).

3-Fluoro-*N*-(2-hydroxyphenacyl)benzamide (6d). Yield = 42%; mp = 172 °C; R_f = 0.63 (EtOAc/*n*-hexane = 1 : 1); ^{1}H NMR (DMSO-d_6) δ = 4.77 (d, CH_2, J = 5.7), 6.94-7.02 (m, $2H_{arom}$), 7.41-7.57 (m, $3H_{arom}$), 7.66-7.70 (m, $1H_{arom}$), 7.74-7.77 (m, $1H_{arom}$), 7.88-7.91 (m, $1H_{arom}$), 8.95 (t, NH, J = 5.7), 11.31 (s, OH); ^{13}C NMR (DMSO-d_6) δ = 48.11, 114.11 (d, J_{CF} = 22.5), 117.60, 118.37 (d, J_{CF} = 20.0) 119.35, 120.37, 123.47, 130.10, 130.62 (d, J_{CF} = 8.8), 135.80, 136.29 (d, J_{CF} = 6.3), 159.72, 162.03 (d, J_{CF} = 242.5), 198.65; IR (KBr): ν = 3404, 3062, 1679, 1638; MS (70 eV) *m*/*z* (rel. intensity) 273 (M^+, 45), 121 (100), 95 (31), 75 (9), 65 (16).

3-Nitro-*N*-(2-hydroxyphenacyl)benzamide (6e). Yield = 26%; mp = 238 °C; R_f = 0.48 (EtOAc/*n*-hexane = 1 : 1); ^{1}H NMR (DMSO-d_6) δ = 4.82 (d, CH_2, J = 5.4), 6.98 (dd, $1H_{arom}$, J = 8.0, 7.5), 7.02 (d, $1H_{arom}$, J = 8.4), 7.53 (dd, $1H_{arom}$, J = 8.4, 7.5), 7.82 (dd, $1H_{arom}$, J = 8.1, 7.8), 7.91 (d, $1H_{arom}$, J = 8.0), 8.34 (d, $1H_{arom}$, J = 7.8), 8.41 (d, $1H_{arom}$, J = 8.1) 8.73 (br.s, $1H_{arom}$), 9.17 (t, CHN, J = 5.4), 11.25 (s, OH); ^{13}C NMR (DMSO-d_6) δ = 48.27, 117.60, 119.36, 120.41, 122.04, 126.11, 130.11, 130.29, 133.72, 135.32, 135.81, 147.84, 159.68, 164.55, 198.32; IR (KBr): ν = 3403, 3091, 1679, 1637, 1523, 1353; MS (70 eV) *m*/*z* (rel. intensity) 300 (M^+, 20), 150 (18), 121 (100), 104 (15), 93 (13), 77 (21), 65 (20).

4-Nitro-*N*-(2-hydroxyphenacyl)benzamide (6f). Yield = 20%; mp = 238 °C; R_f = 0.56 (EtOAc/*n*-hexane = 1 : 1); ^{1}H NMR (DMSO-d_6) δ = 4.82 (d, CH_2, J = 5.4), 6.98 (dd, $1H_{arom}$, J = 8.7, 7.4), 7.02 (d, $1H_{arom}$, J = 8.1), 7.53 (dd, $1H_{arom}$, J = 8.1, 7.4), 7.91 (d, $1H_{arom}$, J = 8.7) 8.13 (d, $2H_{arom}$, J = 8.7), 8.34 (d, $2H_{arom}$, J = 8.7), 9.18 (t, CHN, J = 5.4), 11.25 (s, OH); ^{13}C NMR (DMSO-d_6) δ = 48.31, 117.60, 119.37, 120.42, 123.69, 128.82, 130.11, 135.82, 139.54, 149.16, 159.68, 165.03, 198.24; IR (KBr): ν = 3396, 3069, 1684, 1637, 1526, 1348; MS (70 eV) *m*/*z* (rel. intensity) 300 (M^-, 17), 150 (16), 121 (100), 104 (12), 93 (11), 77 (17), 65 (18).

2-(3-Chlorophenyl)-5-(2-hydroxyphenyl)-1,3-oxazole (7b). Yield = 6%; mp = 245 °C; R_f = 0.68 (EtOAc/*n*-hexane = 1 : 1); ^{1}H NMR (DMSO-d_6) δ = 6.95 (ddd, $1H_{arom}$, J = 7.7, 7.4, 0.9), 7.00 (dd, $1H_{arom}$, J = 8.3, 0.9), 7.22 (ddd, $1H_{arom}$, J = 8.3, 7.4, 1.7), 7.55-7.59 (m, $2H_{arom}$), 7.67 (s, CHN), 7.88 (dd, $1H_{arom}$, J = 7.7, 1.7), 8.02-8.06 (m, $1H_{arom}$), 8.09 (s, $1H_{arom}$), 10.52 (s, OH); ^{13}C NMR (DMSO-d_6) δ = 114.42, 115.86, 119.45, 124.48, 125.33, 125.72, 126.78, 128.79, 129.55, 130.19, 131.16, 133.93, 148.68, 154.07, 157.49; IR (KBr): ν = 3067, 1538, 1453; MS (70 eV) *m*/*z* (rel. intensity) 271 (M^+, 100), 181 (30), 151 (18), 134 (62), 105 (89), 77 (29), 65 (18).

2-(4-Chlorophenyl)-5-(2-hydroxyphenyl)-1,3-oxazole (7c). Yield = 8%; mp = 265 °C; R_f = 0.67 (EtOAc/*n*-hexane = 1 : 1); ^{1}H NMR (DMSO-d_6) δ = 6.95 (dd, $1H_{arom}$, J = 8.3, 7.8), 7.00 (d, $1H_{arom}$, J = 7.7), 7.21 (dd, $1H_{arom}$, J = 8.3, 7.7), 7.60 (d, $2H_{arom}$, J = 8.7), 7.66 (s, CHN), 7.82 (d, $1H_{arom}$, J = 7.8), 8.09 (d, $2H_{arom}$, J = 8.7), 10.52 (s, OH); ^{13}C NMR (DMSO-d_6) δ = 114.52, 115.89, 119.44, 125.51, 125.76, 126.76, 127.60, 129.28, 129.44, 135.07, 148.40, 154.03, 157.96; IR (KBr): ν = 3095, 1538, 1455; MS (70 eV) *m*/*z* (rel. intensity) 271 (M^+, 100), 181 (34), 151 (25), 134 (66), 105 (90), 77 (35), 65 (18).

2-(3-Fluorophenyl)-5-(2-hydroxyphenyl)-1,3-oxazole (7d). Yield = 17%; mp = 242 °C; R_f = 0.69 (EtOAc/*n*-hexane = 1 : 1); ^{1}H NMR (DMSO-d_6) δ = 6.92-6.98 (m, $2H_{arom}$), 7.19-7.40 (m, $2H_{arom}$), 7.55-7.61 (m, $1H_{arom}$), 7.67 (s, CHN), 7.84-7.95 (m, $3H_{arom}$), 10.49 (s, OH); ^{13}C NMR (DMSO-d_6) δ =

111.49 (d, J_{CF} = 24.3 Hz), 113.47, 114.89, 116.25 (d, J_{CF} = 21.3 Hz), 118.44, 121.05, 124.67, 125.73, 128.02 (d, J_{CF} = 8.4 Hz), 128.52, 130.42 (d, J_{CF} = 8.8 Hz), 147.60, 153.08, 156.76, 161.42 (d, J_{CF} = 242.4 Hz); IR (KBr): ν = 3061, 1540, 1453; MS (70 eV) *m/z* (rel. intensity) 255 (M^+, 100), 199 (20), 134 (36), 104 (64), 97 (17), 77 (14), 65 (7).

5-(2-Hydroxyphenyl)-2-(3-nitrophenyl)-1,3-oxazole (7e). Yield = 22%; mp = 130 °C; R_f = 0.41 (EtOAc/*n*-hexane = 1 : 1); ^{1}H NMR (DMSO-d_6) δ = 7.38-7.42 (m, 1H$_{arom}$), 7.46-7.52 (m, 2H$_{arom}$), 7.69 (s, CHN), 7.86 (t, 1H$_{arom}$, J = 7.9), 8.06 (d, 1H$_{arom}$, J = 7.9), 8.38 (d, 1H$_{arom}$, J = 7.9), 8.52 (d, 1H$_{arom}$, J = 7.9), 8.78 (br.s, 1H$_{arom}$), 10.25 (s, OH); ^{13}C NMR (DMSO-d_6) δ = 118.76, 119.99, 120.43, 125.22, 125.61, 127.15, 127.54, 127.86, 130.29, 131.09, 132.10, 146.45, 147.50, 148.35, 158.28; IR (KBr): ν = 3071, 1527, 1351; MS (70 eV) *m/z* (rel. intensity) 282 (M^+, 8), 212 (10), 185 (7), 150 (30), 133 (34), 105 (34), 77 (24).

5-(2-Hydroxyphenyl)-2-(4-nitrophenyl)-1,3-oxazole (7f). Yield = 10%; mp = 295 °C; R_f = 0.68 (EtOAc/*n*-hexane = 1 : 1); ^{1}H NMR (DMSO-d_6) δ = 6.89 (dd, 1H$_{arom}$, J = 7.7, 7.2), 6.96 (d, 1H$_{arom}$, J = 8.2), 7.14 (dd, 1H$_{arom}$, J = 8.2, 7.2), 7.70 (s, CHN), 7.77 (d, 1H$_{arom}$, J = 7.7), 8.23 (d, 2H$_{arom}$, J = 6.9), 8.29 (d, 2H$_{arom}$, J = 6.9) 10.27 (s, OH); ^{13}C NMR (DMSO-d_6) δ = 114.13, 115.70, 119.08, 123.90, 125.32, 126.41, 127.34, 129.29, 132.38, 147.71, 149.48, 154.12, 156.76; IR (KBr): ν = 3124, 1515, 1336; MS (70 eV) *m/z* (rel. intensity) 282 (M^+, 100), 252 (15), 134 (34), 121 (12), 105 (74), 77 (24), 65 (13).

General procedure for the conversion of *N*-(2-hydroxyphenacyl)benzamides 6 to 2-aryl-5-(2-hydroxyphenyl)-1,3-oxazole 7. To a stirred suspensin of *N*-(2-hydroxyphenacyl)benzamide **6** (0.074 mmol) in toluene (5 mL) was successively added thionyl chloride (0.15 mmol) and triethyl amine (0.15 mmol) at 0-5 °C. The reaction mixture was heated at reflux (5-12 h) and the resulting residue was purified by prepatrative TLC (MeOH/$CHCl_3$ = 1 : 19) to give the corresponding 2-aryl-5-(2-hydroxyphenyl)-1,3-oxazole **7**.

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