A New Method for the Synthesis of Functionalized 5-Hydroxy-1,5-dihydro-2*H*-pyrrol-2-one: Reaction of an Enamine, Derived from Addition of a Secondary Amine to Dibenzoylacetylene, with an Arylsulfonyl Isocyanate

Abdolali Alizadeh,*[a] Farnaz Movahedi,[a] Hassan Masrouri,[a] Long-Guan Zhu[b]

[a] Department of Chemistry, Tarbiat Modarres University, P. O. Box 14115-175, Tehran, Iran
Fax +98(21)88006544; E-mail: abdol_alizad@yahoo.com; E-mail: aalizadeh@modares.ac.ir

[b] Chemistry Department, Zhejiang University, Hangzhou 310027, P. R. of China

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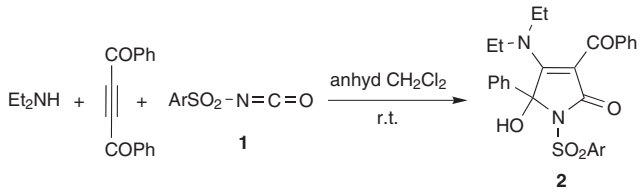
Abstract: An effective route to novel 5-hydroxy-1,5-dihydro-2*H*-pyrrol-2-one is described which involves the reaction of an enamine, derived from addition of a secondary amine to dibenzoylacetylene, with an arylsulfonyl isocyanate.

Key words: dibenzoylacetylene, secondary amine, isocyanate, 5-hydroxy-1,5-dihydro-2*H*-pyrrol-2-one, multicomponent reaction

Substituted 1,5-dihydro-2*H*-pyrrol-2-ones are widely used as herbicide components[1] and as building blocks for total syntheses of natural compounds.[2] Pyrrolones have received considerable attention[3] because of the presence of a lactam ring in some antibiotics, in bile pigments,[4] and in the natural alkaloid jatropham, which shows inhibitory activity towards P-388 lymphocytic leukemia.[5] Enaminones are widely used as building blocks for the synthesis of various organic compounds,[6] especially for natural bioactive substances and their analogues.[7]

The enamine is one of the most important intermediates for carbon–carbon bond formation in both organic chemistry and the biological world. In organic synthesis, pyrrolidine derivatives are used to efficiently form enamines with carbonyl compounds in many reactions. Herein, we report a simple one-pot reaction of enamines, derived from addition of a secondary amine to dibenzoylacetylene, and an arylsulfonyl isocyanate, leading to 5-hydroxy-1,5-dihydro-2*H*-pyrrol-2-one derivatives **2** (Scheme 1).



Scheme 1

The reaction of enamines, derived from addition of a secondary amine to dibenzoylacetylene, and an arylsulfonyl isocyanate proceeds by a smooth 1:1:1 addition reaction in anhydrous dichloromethane at ambient temperature, to produce 5-hydroxy-1,5-dihydro-2*H*-pyrrol-2-one derivatives **2** in 93–98% yields (Scheme 1). Table 1 contains the results of our study. The molecular structures of compounds **2a**–**2j** were deduced from elemental analysis, IR, ^{1}H NMR, ^{13}C NMR spectra, and X-ray analysis. Any products other than **2** could not be detected.

^{1}H and ^{13}C NMR spectra of the crude precipitate clearly indicated the formation of 5-hydroxy-1,5-dihydro-2*H*-pyrrol-2-one derivatives **2**. The mass spectrum of **2a** displayed the molecular ion peak at *m*/*z* = 490, which is consistent with the structure, 3-benzoyl-4-(diethylamino)-5-hydroxy-5-phenyl-1-(phenylsulfonyl)-1,5-dihydro-2*H*-pyrrol-2-one. The IR spectrum of **2a** exhibited absorption bands due to the carbonyl groups of the pyrrol-2-one ring and benzoyl at 1705 cm^{-1} and 1620 cm^{-1}, respectively, and a hydroxy group at 3433 cm^{-1}. The absorption bands of the sulfonyl moiety appeared at 1359 cm^{-1} and 1170 cm^{-1}. The room temperature ^{1}H NMR spectrum of compound **2a** exhibited one sharp signal readily recognized as arising from hydroxy (δ = 5.56 ppm) H-atom. Two broad signals (δ = 0.69−0.94 and 3.42−3.52 ppm) were observed for the NEt_2 group. The phenyl residues gave rise to characteristic signals in the aromatic region of the spectrum. This 5-hydroxy-1,5-dihydro-2*H*-pyrrol-2-one in solution indicates dynamic NMR because of restricted rotation around the carbon−nitrogen bond resulting from conjugation of the side-chain nitrogen with the adjacent α,β-unsaturated ketone group.

The ^{1}H-decoupled ^{13}C NMR spectrum of **2a** showed 21 distinct resonances in agreement with the 3-benzoyl-4-(diethylamino)-5-hydroxy-5-phenyl-1-(phenylsulfonyl)-1,5-dihydro-2*H*-pyrrol-2-one structure. Partial assignment of these resonances is given in experimental section. Finally, **2e** was further elucidated by a single crystal X-ray diffraction analysis. The molecular structure of **2e** is shown in Figure 1.

The ^{1}H NMR and ^{13}C NMR spectra of compounds **2b**–**2j** are similar to those of **2a**, except for the amine moieties, which exhibit characteristic signals with appropriate chemical shifts.

Although we have not established the mechanism of the reaction of enamines, which derived from the addition of a secondary amine to dibenzoylacetylene, with arylsulfo-

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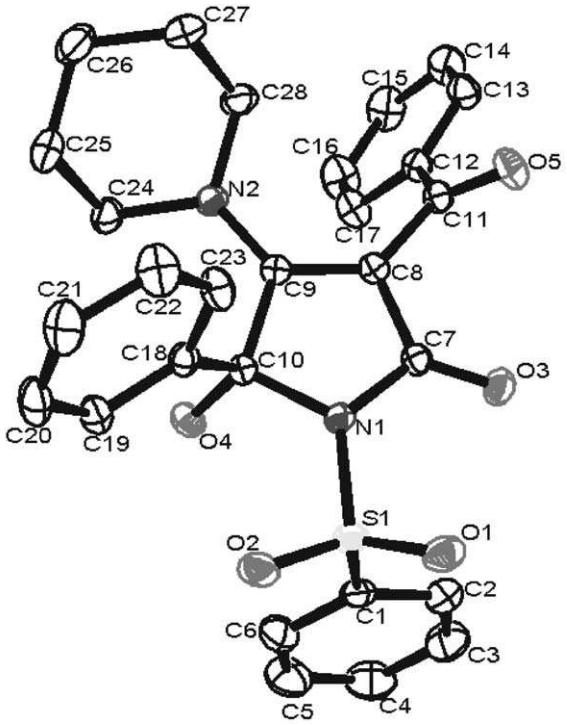
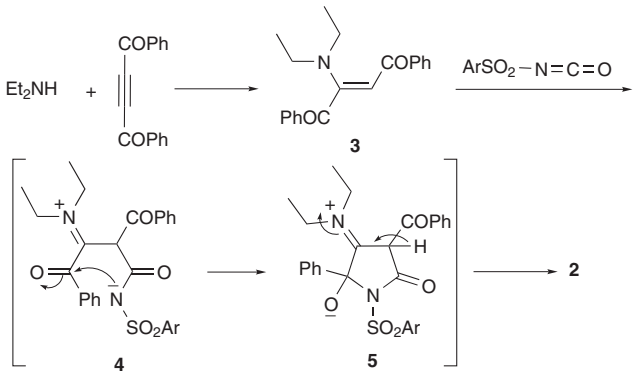


Figure 1 The molecular structure of compound **2e**

nyl isocyanates in an experimental manner, a possible explanation is proposed in Scheme 2.

Compounds **2** apparently result from the initial addition of secondary amine to the dibenzoylacetylene and subsequent attack of the resulting reactive enamine **3** on the arylsulfonyl isocyanate[8,9] to yield a betaine **4**, which cyclizes to produce **5**. Finally, protonation of alkoxide gives the 5-hydroxy-1,5-dihydro-2*H*-pyrrol-2-one **2** (Scheme 2).



Scheme 2

In summary, the reaction between a secondary amine and dibenzoylacetylene in the presence of an arylsulfonyl isocyanate provides a simple one-pot entry into the synthesis of 5-hydroxy-1,5-dihydro-2*H*-pyrrol-2-one derivatives of potential synthetic and pharmaceutical interest. The present method carries the advantage of being performed under neutral reaction conditions and requires no activation or modification of the educts. The simplicity of the present procedure makes it an interesting alternative to complex multi-step approaches.[10]

Table 1 Condensation Cyclization Reaction of Dibenzoylacetylene with Secondary Amines in the Presence of Arylsulfonyl Isocyanate

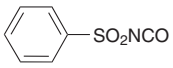
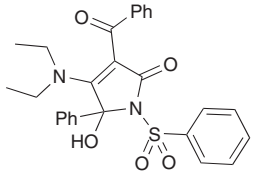
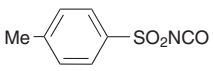
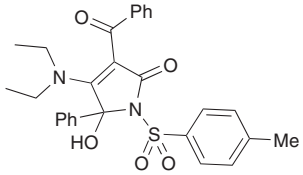
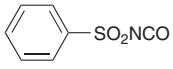
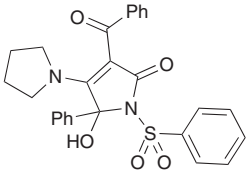
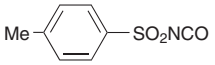
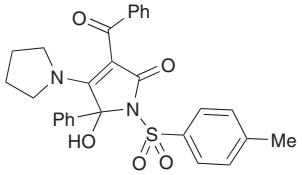
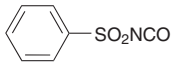
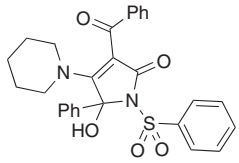
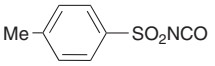
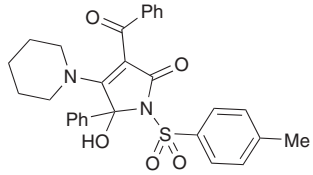
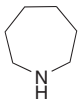
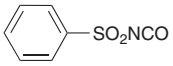
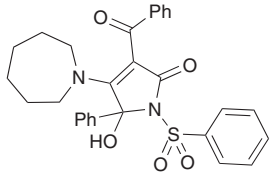
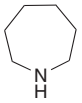
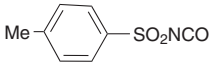
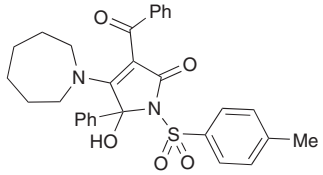
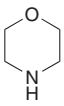
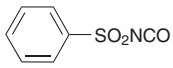
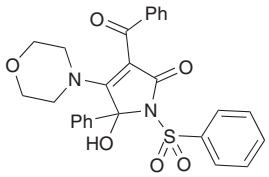
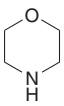
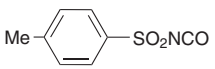
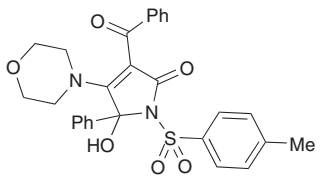
Entry	Secondary amine	Arylsulfonyl isocyanate	Product **2**	Yield (%)[a]
a				98
b				96
c				98

Table 1 Condensation Cyclization Reaction of Dibenzoylacetylene with Secondary Amines in the Presence of Arylsulfonyl Isocyanate (continued)

Entry	Secondary amine	Arylsulfonyl isocyanate	Product **2**	Yield (%)[a]
d				93
e				97
f				98
g				96
h				98
i				95
j				97

[a] Isolated yields.

Melting points were measured on an Electrothermal 9100 apparatus. Elemental analyses for C, H, and N were performed using a Heraeus CHN-O-Rapid analyzer. IR spectra were recorded on a Shimadzu IR-460 spectrometer. Mass spectra were recorded on a Finnigan-MAT 8430 mass spectrometer operating at an ionization potential of 70 eV. ^{1}H NMR and ^{13}C NMR spectra were recorded at 500.1 MHz and 125.7 MHz, respectively, on a Bruker DRX 500-Avance FT-NMR instrument with $CDCl_3$ as solvent. The reagents and solvents used in this work were obtained from Fluka (Buchs, Switzerland) and used without further purification. Dibenzoylacetylene was prepared according to a published procedure.[11,12]

Synthesis of Pyrrol-2-one 2a; Typical Procedure

To a magnetically stirred solution of dibenzoylacetylene (0.23 g, 1 mmol) and diethylamine (0.073 g, 1 mmol) in anhyd CH_2Cl_2 (5 mL) after 5 h was added dropwise a solution of phenylsulfonyl isocyanate (0.18 g, 1 mmol) in anhyd CH_2Cl_2 (3 mL) at r.t. The reaction mixture was stirred for 5 h. The resulting precipitate was filtered off, washed with anhyd MeOH, and dried in vacuo. The product **2a** was obtained as pale yellow powder; yield: 0.48 g (98%); mp 146–148 °C.

3-Benzoyl-4-(diethylamino)-5-hydroxy-5-phenyl-1-(phenylsulfonyl)-1,5-dihydro-2*H*-pyrrol-2-one (2a)

Yield: 0.48 g (98%); pale-yellow powder; mp 146–148 °C.

IR (KBr): 3435 (OH), 1705 (NC=O), 1620 (C=O), 1566, 1438 (Ph), 1359, 1170 (SO_2) cm^{-1}.

^1H NMR (500.1 MHz, $CDCl_3$): δ = 0.69−0.94 [br, 6 H, $N(CH_2CH_3)_2$], 3.42−3.52 [br, 4 H, $N(CH_2CH_3)_2$], 5.56 (s, 1 H, OH), 7.14−7.98 (m, 15 H, 3 × C_6H_5).

^{13}C NMR (125.7 MHz, $CDCl_3$): δ = 11.09 (NCH_2CH_3), 13.12 (NCH_2CH_3), 42.15 (NCH_2CH_3), 47.11 (NCH_2CH_3), 90.93 (COH), 98.90 ($CCOC_6H_5$), 126.10 (2 × CH_{ortho} of C_6H_5), 127.59 (2 × CH_{meta} of C_6H_5), 128.33 (2 × CH_{ortho} of C_6H_5), 128.40 (2 × CH_{meta} of C_6H_5), 128.78 (2 × CH_{ortho} of C_6H_5), 129.10 (CH_{para} of C_6H_5), 130.10 (2 × CH_{meta} of C_6H_5), 132.99 (CH_{para} of C_6H_5), 133.13 (CH_{para} of C_6H_5), 137.28 ($C_{ipso}SO_2$), 138.28 (C_{ipso} of COC_6H_5), 139.00 (C_{ipso} of C_6H_5), 165.27 (CON), 165.73 (NC=C), 190.85 (COC_6H_5).

MS: *m*/*z* (%) = 490 (3) [M^+], 350 (4), 349 (13), 333 (12), 318 (4), 306 (4), 278 (3), 202 (5), 175 (4), 158 (4), 141 (7), 124 (4), 105 (100), 96 (4), 77 (94), 68 (13), 51 (15), 41 (4).

Anal. Calcd for $C_{27}H_{26}N_2O_5S$ (490.6): C, 66.11; H, 5.34; N, 5.71. Found: C, 66.10; H, 5.40; N, 5.70.

3-Benzoyl-4-(diethylamino)-5-hydroxy-1-[(4-methylphenyl)sulfonyl]-5-phenyl-1,5-dihydro-2*H*-pyrrol-2-one (2b)

Yield: 0.48 g (96%); pale-yellow powder; mp 174−176 °C.

IR (KBr): 3425 (OH), 1698 (NC=O), 1624 (C=O), 1571, 1460 (Ph), 1355, 1166 (SO_2) cm^{-1}.

^1H NMR (500.1 MHz, $CDCl_3$): δ = 0.76 [br, 6 H, $N(CH_2CH_3)_2$], 2.29 (s, 3 H, CH_3), 3.25 (br, 2 H, NCH_2CH_3), 3.49 (br, 2 H, NCH_2CH_3), 5.63 (s, 1 H, OH), 6.93−7.95 (m, 14 H, Ar).

^{13}C NMR (125.7 MHz, $CDCl_3$): δ = 12.10 [br, $N(CH_2CH_3)_2$], 21.53 (CH_3), 47.03 [br, $N(CH_2CH_3)_2$], 90.95 (COH), 98.90 ($CCOC_6H_5$), 126.17 (2 × CH_{ortho} of C_6H_5), 127.79 (2 × CH_{meta} of C_6H_5), 128.37 (2 × CH_{ortho} of C_6H_5), 128.77 (2 × CH of C_6H_4), 128.92 (2 × CH of C_6H_4), 129.05 (CH_{para} of C_6H_5), 130.11 (2 × CH_{meta} of C_6H_5), 132.95 (CH_{para} of C_6H_5), 136.13 ($C_{ipso}SO_2$), 137.43 (C_{ipso} of COC_6H_5), 138.35 (C_{ipso} of C_6H_5), 144.18 ($C_{ipso}CH_3$), 165.42 (CON), 165.78 (NC=C), 190.87 (COC_6H_5).

MS: *m*/*z* (%) = 504 (2) [M^+], 350 (3), 349 (11), 333 (12), 318 (3), 306 (4), 292 (1), 278 (2), 256 (1), 228 (2), 202 (4), 186 (1), 175 (4), 155 (6), 146 (2), 124 (4), 105 (100), 91 (39), 77 (51), 68 (14), 51 (13), 41 (3).

Anal. Calcd for $C_{28}H_{28}N_2O_5S$ (504.6): C, 66.65; H, 5.59; N, 5.55. Found: C, 66.60; H, 5.60; N, 5.60.

3-Benzoyl-5-hydroxy-5-phenyl-1-(phenylsulfonyl)-4-(1-pyrrolidinyl)-1,5-dihydro-2*H*-pyrrol-2-one (2c)

Yield: 0.48 g (98%); pale-yellow powder; mp 138−140 °C.

IR (KBr): 3440 (OH), 1701, 1677 (NC=O), 1616 (C=O), 1558, 1439 (Ph), 1359, 1198 (SO_2) cm^{-1}.

^1H NMR (500.1 MHz, $CDCl_3$): δ = 1.59−1.85 (m, 4 H, CH_2CH_2), 2.76 (br, 1 H, NCH_2CH_2), 2.87 (br, 1 H, NCH_2CH_2), 3.38 (br, 1 H, NCH_2CH_2), 4.03 (br, 1 H, NCH_2CH_2), 5.86 (s, 1 H, OH), 7.14−7.97 (m, 15 H, 3 × C_6H_5).

^{13}C NMR (125.7 MHz, $CDCl_3$): δ = 24.90 (NCH_2CH_2), 25.31 (NCH_2CH_2), 49.89 (NCH_2CH_2), 54.57 (NCH_2CH_2), 90.86 (COH), 99.32 ($CCOC_6H_5$), 126.63 (2 × CH_{ortho} of C_6H_5), 127.70 (2 × CH_{meta} of C_6H_5), 128.27 (2 × CH_{ortho} of C_6H_5), 128.32 (2 × CH_{meta} of C_6H_5), 128.66 (2 × CH_{ortho} of C_6H_5), 129.17 (CH_{para} of C_6H_5), 130.26 (2 × CH_{meta} of C_6H_5), 132.93 (CH_{para} of C_6H_5), 133.16 (CH_{para} of C_6H_5), 135.79 ($C_{ipso}SO_2$), 138.54 (C_{ipso} of C_6H_5CO), 139.09 (C_{ipso} of C_6H_5), 164.95 (CON), 165.85 (NC=C), 189.98 (COC_6H_5).

MS: *m*/*z* (%) = 488 (1) [M^+], 347 (4), 331 (6), 305 (5), 276 (3), 226 (3), 200 (8), 183 (3), 172 (5), 157 (1), 141 (9), 131 (3), 122 (3), 105 (72), 91 (4), 77 (100), 69 (4), 51 (23), 41 (8).

Anal. Calcd for $C_{27}H_{24}N_2O_5S$ (488.6): C, 66.38; H, 4.95; N, 5.73. Found: C, 66.40; H, 5.00; N, 5.70.

3-Benzoyl-5-hydroxy-1-[(4-methylphenyl)sulfonyl]-5-phenyl-4-(1-pyrrolidinyl)-1,5-dihydro-2*H*-pyrrol-2-one (2d)

Yield: 0.47 g (93%); pale-yellow powder; mp 155−157 °C.

IR (KBr): 3410 (OH), 1700 (NC=O), 1621 (C=O), 1567, 1438 (Ph), 1347, 1162 (SO_2) cm^{-1}.

^1H NMR (500.1 MHz, $CDCl_3$): δ = 1.59−1.81 (m, 4 H, CH_2CH_2), 2.29 (s, 3 H, CH_3), 2.75 (br, 1 H, NCH_2CH_2), 2.90 (br, 1 H, NCH_2CH_2), 3.39 (br, 1 H, NCH_2CH_2), 4.04 (br, 1 H, NCH_2CH_2), 5.55 (s, 1 H, OH), 6.94−7.97 (m, 14 H, Ar).

^{13}C NMR (125.7 MHz, $CDCl_3$): δ = 21.52 (CH_3), 24.88 (NCH_2CH_2), 25.30 (NCH_2CH_2), 49.91 (NCH_2CH_2), 54.53 (NCH_2CH_2), 90.85 (COH), 99.43 ($CCOC_6H_5$), 126.65 (2 × CH_{ortho} of C_6H_5), 127.80 (2 × CH_{meta} of C_6H_5), 128.22 (2 × CH_{ortho} of C_6H_5), 128.66 (2 × CH of C_6H_4), 128.92 (2 × CH of C_6H_4), 129.13 (CH_{para} of C_6H_5), 130.25 (2 × CH_{meta} of C_6H_5), 132.83 (CH_{para} of C_6H_5), 135.91 ($C_{ipso}SO_2$), 136.17 (C_{ipso} of COC_6H_5), 138.65 (C_{ipso} of C_6H_5), 144.21 ($C_{ipso}CH_3$), 164.81 (CON), 165.86 (NC=C), 189.86 (COC_6H_5).

MS: *m*/*z* (%) = 502 (1) [M^+], 358 (1), 347 (1), 331 (6), 311 (1), 305 (18), 286 (1), 276 (9), 253 (2), 246 (1), 236 (2), 220 (1), 200 (21), 182 (1), 171 (13), 155 (20), 144 (1), 131 (5), 124 (3), 105 (100), 91 (67), 77 (86), 65 (22), 51 (19), 41 (11).

Anal. Calcd for $C_{28}H_{26}N_2O_5S$ (502.6): C, 66.92; H, 5.21; N, 5.57. Found: C, 66.90; H, 5.20; N, 5.50.

3-Benzoyl-5-hydroxy-5-phenyl-1-(phenylsulfonyl)-4-piperidino-1,5-dihydro-2*H*-pyrrol-2-one (2e)

Yield: 0.49 g (97%); pale-yellow powder; mp 177−179 °C (Et_2O).

IR (KBr): 3425 (OH), 1702 (NC=O), 1621 (C=O), 1580, 1439 (Ph), 1365, 1177 (SO_2) cm^{-1}.

^1H NMR (500.1 MHz, $CDCl_3$): δ = 1.45−1.75 (m, 6 H, 3 × CH_2), 3.16−3.27 (m, 4 H, 2 × NCH_2), 5.76 (s, 1 H, OH), 7.14−7.98 (m, 15 H, 3 × C_6H_5).

^{13}C NMR (125.7 MHz, $CDCl_3$): δ = 23.05 ($NCH_2CH_2CH_2$), 25.23 ($NCH_2CH_2CH_2$), 30.92 ($NCH_2CH_2CH_2$), 44.92 (NCH_2), 53.61 (NCH_2), 90.85 (COH), 98.32 ($CCOC_6H_5$), 126.04 (2 × CH_{ortho} of C_6H_5), 127.67 (2 × CH_{meta} of C_6H_5), 128.30 (2 × CH_{ortho} of C_6H_5), 128.38 (2 × CH_{meta} of C_6H_5), 128.75 (2 × CH_{ortho} of C_6H_5), 129.10 (CH_{para} of C_6H_5), 130.01 (2 × CH_{meta} of C_6H_5), 133.08 (CH_{para} of C_6H_5), 133.12 (CH_{para} of C_6H_5), 137.27 ($C_{ipso}SO_2$), 138.45 (C_{ipso} of COC_6H_5), 139.11 (C_{ipso} of C_6H_5), 165.48 (CON), 167.04 (NC=C), 191.32 (COC_6H_5).

MS: *m*/*z* (%) = 502 (1) [M^+], 361 (14), 345 (16), 319 (2), 278 (2), 240 (7), 214 (4), 184 (3), 175 (4), 157 (2), 136 (4), 112 (7), 105 (100), 84 (65), 77 (89), 69 (16), 51 (14).

Anal. Calcd for $C_{28}H_{26}N_2O_5S$ (502.6): C, 66.92; H, 5.21; N, 5.57. Found: C, 66.90; H, 5.20; N, 5.50.

Crystal Data for 2e

$C_{28}H_{26}N_2O_5S$ (CCDC 605973); MW = 502.6, monoclinic, space group *P*21/*c*, *a* = 18.5261(8) Å, *b* = 11.2793(5) Å, *c* = 11.6832(5) Å, β = 97.322(5)°, *V* = 2421.43(18) Å^3, *Z* = 4, D_{calcd} = 1.379 mg/m^3, F (000) = 1056, crystal dimension 0.07 × 0.10 × 0.25 mm, radiation, Mo−K_α (λ = 0.71073 Å), 1.11 ≤ 2θ ≤ 27.00, intensity data were collected at 295 K with a Bruker APEX area-detector diffractometer, and employing ω/2θ scanning technique, in the range of –23 ≤ *h* ≤ 23, −14 ≤ *k* ≤ 14, −14 ≤ *l* ≤ 14; the structure was solved by a direct method, all non-hydrogen atoms were positioned and anisotropic thermal parameters refined from 4348 observed reflections with

R(int) = 0.0329 by a full-matrix least-squares technique converged to *R*1 = 0.0409 and *wR*2 = 0.1053.

3-Benzoyl-5-hydroxy-1-[(4-methylphenyl)sulfonyl]-5-phenyl-4-piperidino-1,5-dihydro-2*H*-pyrrol-2-one (2f)
Yield: 0.51 g (98%); pale-green powder; mp 159–161 °C.

IR (KBr): 3420 (OH), 1706 (NC=O), 1600 (C=O), 1583, 1439 (Ph), 1365, 1175 (SO_2) cm^{-1}.

^1H NMR (500.1 MHz, $CDCl_3$): δ = 1.46 (m, 6 H, 3 × CH_2), 2.31 (s, 3 H, CH_3), 3.15–3.29 (br, 4 H, 2 × NCH_2), 5.47 (s, 1 H, OH), 6.94–7.96 (m, 14 H, Ar).

^{13}C NMR (125.7 MHz, $CDCl_3$): δ = 21.52 (CH_3), 23.06 ($NCH_2CH_2CH_2$), 25.25 ($NCH_2CH_2CH_2$), 30.92 ($NCH_2CH_2CH_2$), 44.83 (br, 2 × NCH_2CH_2), 90.76 (COH), 98.36 ($CCOC_6H_5$), 126.01 (2 × CH_{ortho} of C_6H_5), 127.79 (2 × CH_{meta} of C_6H_5), 128.33 (2 × CH_{ortho} of C_6H_5), 128.77 (2 × CH of C_6H_4), 128.90 (2 × CH of C_6H_4), 129.05 (CH_{para} of C_6H_5), 130.02 (2 × CH_{meta} of C_6H_5), 133.05 (CH_{para} of C_6H_5), 136.14 ($C_{ipso}SO_2$), 137.44 (C_{ipso} of COC_6H_5), 138.44 (C_{ipso} of C_6H_5), 144.11 ($C_{ipso}CH_3$), 165.34 (CON), 167.03 (N*C*=C), 191.21 (COC_6H_5).

MS: *m*/*z* (%) = 516 (1) [M^+], 361 (6), 345 (6), 319 (20), 302 (1), 290 (3), 234 (1), 214 (18), 197 (10), 186 (5), 171 (2), 155 (21), 146 (4), 131 (3), 112 (21), 105 (100), 91 (73), 77 (75), 65 (24), 51 (14).

Anal. Calcd for $C_{29}H_{28}N_2O_5S$ (516.6): C, 67.42; H, 5.46; N, 5.42. Found: C, 67.40; H, 5.50; N, 5.40.

4-(1-Azepanyl)-3-benzoyl-5-hydroxy-5-phenyl-1-(phenylsulfonyl)-1,5-dihydro-2*H*-pyrrol-2-one (2g)
Yield: 0.5 g (96%); pale-yellow powder; mp 177–179 °C.

IR (KBr): 3395 (OH), 1696 (NC=O), 1593 (C=O), 1565, 1438 (Ph), 1363, 1165 (SO_2) cm^{-1}.

^1H NMR (500.1 MHz, $CDCl_3$): δ = 1.41–1.60 (br, 8 H, $NCH_2CH_2CH_2$), 3.27–3.47 (br, 4 H, $NCH_2CH_2CH_2$), 5.63 (s, 1 H, OH), 7.12–7.99 (m, 15 H, 3 × C_6H_5).

^{13}C NMR (125.7 MHz, $CDCl_3$): δ = 14.26 ($NCH_2CH_2CH_2$), 27.08 ($NCH_2CH_2CH_2$), 50.85 ($NCH_2CH_2CH_2$), 91.16 (COH), 99.12 ($CCOC_6H_5$), 126.11 (2 × CH_{ortho} of C_6H_5), 127.57 (2 × CH_{meta} of C_6H_5), 128.29 (2 × CH_{ortho} of C_6H_5), 128.42 (2 × CH_{meta} of C_6H_5), 128.79 (2 × CH_{ortho} of C_6H_5), 129.11 (CH_{para} of C_6H_5), 130.16 (2 × CH_{meta} of C_6H_5), 133.08 (CH_{para} of C_6H_5), 133.09 (CH_{para} of C_6H_5), 137.18 ($C_{ipso}SO_2$), 138.43 (C_{ipso} of COC_6H_5), 139.02 (C_{ipso} of C_6H_5), 165.49 (CON), 165.72 (N*C*=C), 191.42 (COC_6H_5).

MS: *m*/*z* (%) = 516 (1) [M^+], 375 (17), 359 (11), 333 (6), 254 (4), 228 (4), 183 (3), 175 (3), 141 (8), 126 (5), 105 (88), 91 (5), 77 (100), 68 (6), 55 (24), 41 (17).

Anal. Calcd for $C_{29}H_{28}N_2O_5S$ (516.6): C, 67.42; H, 5.46; N, 5.42. Found: C, 67.40; H, 5.50; N, 5.40.

4-(1-Azepanyl)-3-benzoyl-5-hydroxy-1-[(4-methylphenyl)sulfonyl]-5-phenyl-1,5-dihydro-2*H*-pyrrol-2-one (2h)
Yield: 0.52 g (98%); pale-yellow powder; mp 160–162 °C.

IR (KBr): 3425 (OH), 1701 (NC=O), 1600 (C=O), 1570, 1438 (Ph), 1363, 1167 (SO_2) cm^{-1}.

^1H NMR (500.1 MHz, $CDCl_3$): δ = 1.43–1.59 (m, 8 H, 4 × CH_2), 2.31 (s, 3 H, CH_3), 3.25–3.51 (br, 4 H, 2 × CH_2), 5.54 (s, 1 H, OH), 6.93–7.99 (m, 14 H, Ar).

^{13}C NMR (125.7 MHz, $CDCl_3$): δ = 14.23 ($NCH_2CH_2CH_2$), 21.52 (CH_3), 27.08 ($NCH_2CH_2CH_2$), 50.53 ($NCH_2CH_2CH_2$), 91.15 (COH), 99.17 ($CCOC_6H_5$), 126.17 (2 × CH_{ortho} of C_6H_5), 127.71 (2 × CH_{meta} of C_6H_5), 128.40 (2 × CH_{ortho} of C_6H_5), 128.77 (2 × CH of C_6H_4), 128.89 (2 × CH of C_6H_4), 129.06 (CH_{para} of C_6H_5), 130.17 (2 × CH_{meta} of C_6H_5), 133.02 (CH_{para} of C_6H_5), 136.07 ($C_{ipso}SO_2$), 137.31 (C_{ipso} of COC_6H_5), 138.50 (C_{ipso} of C_6H_5), 144.15 ($C_{ipso}CH_3$), 165.53 (CON), 165.76 (N*C*=C), 191.41 (COC_6H_5).

MS: *m*/*z* (%) = 530 (1) [M^+], 490 (1), 375 (4), 359 (2), 349 (7), 333 (15), 318 (1), 307 (2), 288 (1), 278 (1), 228 (6), 200 (3), 183 (1), 175 (3), 155 (6), 141 (3), 126 (6), 124 (3), 105 (100), 91 (28), 77 (87), 68 (10), 51 (15).

Anal. Calcd for $C_{30}H_{30}N_2O_5S$ (530.6): C, 67.91; H, 5.70; N, 5.28. Found: C, 67.90; H, 5.70; N, 5.30.

3-Benzoyl-5-hydroxy-4-morpholino-5-phenyl-1-(phenylsulfonyl)-1,5-dihydro-2*H*-pyrrol-2-one (2i)
Yield: 0.48 g (95%); pale-yellow powder; mp 187–189 °C.

IR (KBr): 3350 (OH), 1710 (NC=O), 1600 (C=O), 1576, 1438 (Ph), 1365, 1177 (SO_2) cm^{-1}.

^1H NMR (500.1 MHz, $CDCl_3$): δ = 3.10 (br, 2 H, NCH_2CH_2O), 3.40 (br, 4 H, NCH_2CH_2O), 3.59 (br, 2 H, NCH_2CH_2O), 5.67 (s, 1 H, OH), 7.14–7.95 (m, 15 H, 3 × C_6H_5).

^{13}C NMR (125.7 MHz, $CDCl_3$): δ = 51.03 (NCH_2CH_2O), 66.03 (NCH_2CH_2O), 90.68 (COH), 99.23 ($CCOC_6H_5$), 125.95 (2 × CH_{ortho} of C_6H_5), 127.69 (2 × CH_{meta} of C_6H_5), 128.38 (2 × CH_{ortho} of C_6H_5), 128.45 (2 × CH_{meta} of C_6H_5), 128.99 (2 × CH_{ortho} of C_6H_5), 129.43 (CH_{para} of C_6H_5), 130.02 (2 × CH_{meta} of C_6H_5), 133.28 (CH_{para} of C_6H_5), 133.41 (CH_{para} of C_6H_5), 136.81 ($C_{ipso}SO_2$), 138.15 (C_{ipso} of COC_6H_5), 138.83 (C_{ipso} of C_6H_5), 165.18 (CON), 167.23 (N*C*=C), 190.95 (COC_6H_5).

MS: *m*/*z* (%) = 504 (1) [M^+], 363 (8), 347 (8), 242 (7), 141 (13), 105 (77), 86 (13), 77 (100), 51 (23), 41 (10).

Anal. Calcd for $C_{27}H_{24}N_2O_6S$ (504.6): C, 64.27; H, 4.79; N, 5.55. Found: C, 64.20; H, 4.80; N, 5.50.

3-Benzoyl-5-hydroxy-1-[(4-methylphenyl)sulfonyl]-4-morpholino-5-phenyl-1,5-dihydro-2*H*-pyrrol-2-one (2j)
Yield: 0.50 g (97%); pale-green powder; mp 203–205 °C.

IR (KBr): 3425 (OH), 1712 (NC=O), 1600 (C=O), 1593, 1442 (Ph), 1361, 1168 (SO_2) cm^{-1}.

^1H NMR (500.1 MHz, $CDCl_3$): δ = 2.29 (s, 3 H, CH_3), 3.10 (br, 2 H, NCH_2CH_2O), 3.41 (br, 4 H, NCH_2CH_2O), 3.59 (br, 2 H, NCH_2CH_2O), 5.77 (s, 1 H, OH), 6.94–7.96 (m, 14 H, Ar).

^{13}C NMR (125.7 MHz, $CDCl_3$): δ = 21.53 (CH_3), 51.02 (NCH_2CH_2O), 66.06 (NCH_2CH_2O), 90.70 (COH), 99.38 ($CCOC_6H_5$), 126.06 (2 × CH_{ortho} of C_6H_5), 127.87 (2 × CH_{meta} of C_6H_5), 128.40 (2 × CH_{ortho} of C_6H_5), 128.95 (4 × CH of C_6H_4), 129.35 (CH_{para} of C_6H_5), 130.09 (2 × CH_{meta} of C_6H_5), 133.33 (CH_{para} of C_6H_5), 135.94 ($C_{ipso}SO_2$), 137.00 (C_{ipso} of COC_6H_5), 138.22 (C_{ipso} of C_6H_5), 144.33 ($C_{ipso}CH_3$), 165.15 (CON), 167.39 (N*C*=C), 190.99 (COC_6H_5).

MS: *m*/*z* (%) = 518 (2) [M^+], 364 (2), 363 (12), 347 (10), 278 (3), 263 (2), 242 (11), 216 (2), 200 (2), 175 (2), 155 (4), 138 (4), 114 (4), 105 (100), 91 (27), 77 (45), 65 (9), 51 (6).

Anal. Calcd for $C_{28}H_{26}N_2O_6S$ (518.6): C, 64.85; H, 5.05; N, 5.40. Found: C, 64.90; H, 5.10; N, 5.40.

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