

## Supporting Information

### **Design, Synthesis, and SAR Exploration of 1-Substituted 4-Aroyl-3-hydroxy-5-phenyl-1*H*-pyrrol-2(5*H*)-one Analogues as Inhibitors of the Annexin A2–S100A10 Protein Interaction**

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### Experimental Spectroscopic Data:

Infra red spectra were recorded using AVATAR 360 FT-IR system. Samples were prepared as KBr discs and scanned from 4000 to 500  $\text{cm}^{-1}$ . All NMR spectra were recorded with trimethylsilane as an internal standard on a Bruker ACS-120 machine at 400 MHz ( $^1\text{H}$ ) and 100.6 MHz ( $^{13}\text{C}$ ), coupling constants (J) are given in Hz.

**2-Hydroxy-4-oxo-4-phenyl-but-2-enoic Acid Methyl Ester (12a).**  $\delta_{\text{H}}$ /ppm (400 MHz,  $\text{d}^6$ -DMSO), major tautomer: 3.86 (3H, s,  $\text{COOCH}_3$ ), 7.12 (1H, s, =CH), 7.58 (2H, t, J = 7.9, Ar-H), 7.71 (1H, t, J = 7.4, Ar-H), 8.07 (2H, d, J = 7.4, Ar-H), detectable signals for the other tautomer: 3.78 (3H, s,  $\text{COOCH}_3$ ), 4.61 (2H, s,  $\text{CH}_2$ ), 7.97 (2H, d, J = 7.4, Ar-H);  $\delta_{\text{C}}$ /ppm (400 MHz,  $\text{d}^6$ -DMSO): Cq: 134.4, 162.1, 168.7, 190.3; CH: 98.1, 128.0, 129.2, 134.2;  $\text{CH}_3$ : 53.1.

**2-Hydroxy-4-oxo-4-p-tolyl-but-2-enoic Acid Methyl Ester (12b).**  $\delta_{\text{H}}$ /ppm (400 MHz,  $\text{d}^6$ -DMSO), major tautomer: 2.40 (3H, s,  $\text{CH}_3$ ), 3.86 (3H, s,  $\text{COOCH}_3$ ), 7.10 (1H, s, =CH), 7.39 (2H, d, J = 8.1, Ar-H), 7.98 (2H, d, J = 8.1, Ar-H), detectable signals for the other tautomer: 3.77 (3H, s,  $\text{COOCH}_3$ ), 4.57 (2H, s,  $\text{CH}_2$ ), 7.86 (2H, d, J = 8.1, Ar-H);  $\delta_{\text{C}}$ /ppm (400 MHz,  $\text{d}^6$ -DMSO): Cq: 131.8, 145.0, 162.1, 168.3, 190.3; CH: 98.0, 128.1, 129.7;  $\text{CH}_3$ : 21.3, 53.0.

**2-Hydroxy-4-oxo-4-m-tolyl-but-2-enoic Acid Methyl Ester (12c).**  $\delta_{\text{H}}$ /ppm (400 MHz,  $\text{d}^6$ -DMSO), major tautomer: 2.40 (3H, s,  $\text{CH}_3$ ), 3.86 (3H, s,  $\text{COOCH}_3$ ), 7.11 (1H, s, =CH), 7.46 (1H, t, J = 7.6, Ar-H), 7.52 (1H, d, J = 7.5, Ar-H), 7.94-7.83 (2H, m, Ar-H), detectable signals for the other tautomer: 3.78 (3H, s,  $\text{COOCH}_3$ ), 4.58 (2H, s,  $\text{CH}_2$ ), 7.77 (2H, brd, Ar-H);  $\delta_{\text{C}}$ /ppm (400 MHz,  $\text{d}^6$ -DMSO): Cq: 134.4, 138.7, 162.1, 168.7, 190.4; CH: 98.1, 125.7, 128.3, 129.0, 134.8;  $\text{CH}_3$ : 20.8, 53.1.

**2-Hydroxy-4-(4-methoxy-phenyl)-4-oxo-but-2-enoic Acid Methyl Ester (12d).**  $\delta_{\text{H}}$ /ppm (400 MHz,  $\text{d}^6$ -DMSO), major tautomer: 3.85 (3H, s,  $\text{OCH}_3$ ), 3.87 (3H, s,  $\text{COOCH}_3$ ), 7.09 (1H, s, =CH), 7.10 (2H, d, J=8.9, Ar-H), 8.07 (2H, d, J = 8.9, Ar-H), detectable signals for the other tautomer: 3.77 (3H, s,  $\text{COOCH}_3$ ), 4.54 (2H, s,  $\text{CH}_2$ ), 7.94 (2H, brd, Ar-H);  $\delta_{\text{C}}$ /ppm (400 MHz,  $\text{d}^6$ -DMSO): Cq: 127.0, 162.3, 164.2, 167.2, 190.1; CH: 97.8, 114.5, 130.5;  $\text{CH}_3$ : 53.0, 55.7.

**2-Hydroxy-4-(3-methoxy-phenyl)-4-oxo-but-2-enoic Acid Methyl Ester (12e).**  $\delta_{\text{H}}$ /ppm (400 MHz,  $\text{d}^6$ -DMSO), major tautomer: 3.84 (3H, s,  $\text{OCH}_3$ ), 3.86 (3H, s,  $\text{COOCH}_3$ ), 7.11 (1H, s, =CH), 7.27 (1H, dd, J = 1.8 + 8.0, Ar-H), 7.54-7.46 (2H, m, Ar-H), 7.66 (1H, d, J = 7.6, Ar-H), detectable signals for the other tautomer: 3.78 (3H, s,  $\text{CH}_3$ ), 4.61 (2H, s,  $\text{CH}_2$ );  $\delta_{\text{C}}$ /ppm (400 MHz,  $\text{d}^6$ -DMSO): Cq: 135.9, 159.7, 162.1, 168.6, 190.1; CH: 98.3, 112.2, 120.3, 120.4, 130.3;  $\text{CH}_3$ : 53.0, 55.4.

**4-(2-Ethoxy-phenyl)-2-hydroxy-4-oxo-but-2-enoic Acid Methyl Ester (12f).**  $\delta_{\text{H}}$ /ppm (400 MHz,  $\text{d}^6$ -DMSO), major tautomer: 1.42 (3H, t, J = 6.8,  $\text{CH}_3$ ), 3.80 (3H, s,  $\text{COOCH}_3$ ), 4.20 (2H, q, J = 7.0,  $\text{CH}_2$ ), 7.09 (1H, t, J = 7.6, Ar-H), 7.20 (1H, d, J = 8.4, Ar-H), 7.37 (1H, s, =CH), 7.60 (1H, t, J = 7.6, Ar-H), 7.82 (1H, d, J = 7.6, Ar-H), detectable signals for the other tautomer: 1.32 (3H, t, J = 6.8,  $\text{CH}_3$ ), 3.79 (3H, s,  $\text{COOCH}_3$ ), 4.40 (2H, s,  $\text{CH}_2$ ), 7.70 (1H, d, J = 7.8, Ar-H);  $\delta_{\text{C}}$ /ppm (400 MHz,  $\text{d}^6$ -DMSO): Cq: 123.4, 158.5, 162.3, 168.0, 189.3; CH: 103.1, 113.6, 120.8, 130.0, 135.3;  $\text{CH}_2$ : 64.4;  $\text{CH}_3$ : 14.3, 53.0.

**4-(4-Chloro-phenyl)-2-hydroxy-4-oxo-but-2-enoic Acid Methyl Ester (12g).**  $\delta_{\text{H}}$ /ppm (400 MHz,  $\text{d}^6$ -DMSO), major tautomer: 3.86 (3H, s,  $\text{CH}_3$ ), 7.10 (1H, s, =CH), 7.63 (2H, d, J = 8.6, Ar-H), 8.08 (2H, d, J = 8.6, Ar-H), detectable signals for the other tautomer: 3.78 (3H, s,  $\text{CH}_3$ ) 4.60 (2H, s,  $\text{CH}_2$ ), 7.99 (2H, brd, Ar-H);  $\delta_{\text{C}}$ /ppm (400 MHz,  $\text{d}^6$ -DMSO): Cq: 133.2, 139.1, 162.0, 168.6, 189.0; CH: 98.2, 129.2, 129.8;  $\text{CH}_3$ : 53.1.

**4-(4-Cyano-phenyl)-2-hydroxy-4-oxo-but-2-enoic Acid Methyl Ester (12h).**  $\delta_{\text{H}}$ /ppm (400 MHz,  $\text{d}^6$ -DMSO), major tautomer: 3.85 (3H, s,  $\text{COOCH}_3$ ), 7.04 (1H, s, =CH), 8.02 (2H, d, J = 8.4, Ar-H), 8.18 (2H, d, J = 8.2, Ar-H), detectable signals for the other tautomer: 4.66 (2H, s,  $\text{CH}_2$ ), 7.93 (2H, d, J = 8.3, Ar-H);  $\delta_{\text{C}}$ /ppm (400 MHz,  $\text{d}^6$ -DMSO): Cq: 115.6, 118.0 162.0, 170.0, 187.1; CH: 98.6, 128.4, 133.0;  $\text{CH}_3$ : 53.1.

**2-Hydroxy-4-(6-methyl-pyridin-3-yl)-4-oxo-but-2-enoic Acid Methyl Ester (12i).**  $\delta_{\text{H}}$ /ppm (400 MHz,  $d^6$ -DMSO), major tautomer: 2.57 (3H, s, CH<sub>3</sub>), 3.86 (3H, s, COOCH<sub>3</sub>), 7.10 (1H, s, =CH), 7.45 (1H, d, J = 8.2 Ar-H), 8.30 (1H, dd, J = 2.1 + 8.2, Ar-H), 9.08 (1H, d, J = 1.6, Ar-H), detectable signals for the other tautomer: 3.78 (3H, s, CH<sub>3</sub>), 4.62 (2H, s, CH<sub>2</sub>);  $\delta_{\text{C}}$ /ppm (400 MHz,  $d^6$ -DMSO): Cq: 127.7, 162.1, 163.7, 168.4, 188.9; CH: 98.4, 123.4, 135.7, 148.6; CH<sub>3</sub>: 24.3, 53.1.

**2-Hydroxy-4-oxo-4-pyridin-3-yl-but-2-enoic Acid Methyl Ester (12j).**  $\delta_{\text{H}}$ /ppm (400 MHz,  $d^6$ -DMSO), major tautomer: 3.86 (3H, s, COOCH<sub>3</sub>), 7.14 (1H, s, =CH), COSY spectra showed multiple H-H coupling, 7.65–7.56 (1H, m, Ar-H), 8.46–8.36 (1H, m, Ar-H), 8.83 (1H, dd, J = 1.6 + 4.8, Ar-H), 9.20 (1H, d, J = 1.8, Ar-H), detectable signals for the other tautomer: 3.79 (3H, s, CH<sub>3</sub>), 4.66 (2H, s, CH<sub>2</sub>), 8.31 (1H, brd, Ar-H), 9.14 (1H, brs, Ar-H);  $\delta_{\text{C}}$ /ppm (400 MHz,  $d^6$ -DMSO): Cq: 130.3, 162.0, 168.7, 188.5; CH: 98.7, 124.1, 135.5, 148.9, 154.0; CH<sub>3</sub>: 53.1.

**2-Hydroxy-4-oxo-4-pyridin-4-yl-but-2-enoic Acid Methyl Ester (12k).**  $\delta_{\text{H}}$ /ppm (400 MHz,  $d^6$ -DMSO), major tautomer: 3.86 (3H, s, CH<sub>3</sub>), 7.08 (1H, s, =CH), 7.92 (2H, d, J = 5.9, Ar-H), 8.82 (2H, d, J = 6.0, Ar-H), detectable signals for the other tautomer: 3.79 (3H, s, CH<sub>3</sub>), 4.65 (2H, s, CH<sub>2</sub>);  $\delta_{\text{C}}$ /ppm (400 MHz,  $d^6$ -DMSO): Cq: 141.1, 162.0, 171.0, 186.2; CH: 98.4, 120.8, 150.8; CH<sub>3</sub>: 53.1.

**3-Hydroxy-1-(2-hydroxy-propyl)-5-(4-isopropyl-phenyl)-4-(4-methyl-benzoyl)-1,5-dihydro-pyrrol-2-one (1a).**  $\nu_{\text{max}}$  (Solid)/cm<sup>-1</sup>, 3431 (OH-stretch), 3163 (OH-stretch), 2963 (CH-stretch), 1665 (lactam carbonyl stretch), 1623 (ketone carbonyl stretch); Mixture of two isomers A & B in the ratio 3:2;  $\delta_{\text{H}}$ /ppm (400 MHz,  $d^6$ -DMSO): 11.59 (1H, bs, OH), 7.60 (2H, d, J = 8.0, Ar-H), 7.24 (2H, d, J = 8.1, Ar-H), 7.22–7.16 (4H, m, Ar-H), 5.62 & 5.57 (1H, 2s, C<sub>(5)</sub>H of A & B isomers), 4.94 & 4.87 (1H, 2d, J = 5.0 & 4.5, OH of A & B isomers), 3.90–3.72 (1H, 2m, -CH of A & B isomers), 3.58 & 3.48 [1H, 2dd, geminal coupling, J = 6.6 + 13.7, CH<sub>2</sub> (H<sub>a</sub>) of isomer B & J = 4.7 + 13.7, CH<sub>2</sub> (H<sub>a</sub>) of isomer A], 2.88–2.76 (1H, m, -CH of isopropyl), 2.48 & 2.40 [1H, 2dd, geminal coupling, CH<sub>2</sub> (H<sub>b</sub>) peaks of isomer B are partly covered by DMSO solvent peak & J = 8.2 + 13.7 CH<sub>2</sub> (H<sub>b</sub>) of isomer A], 2.34 (3H, s, CH<sub>3</sub>), 1.14 [6H, 2d, J = 6.9 & 6.9 (CH<sub>3</sub>)<sub>2</sub> of A & B isomers], 0.98 & 0.97 (3H, 2d, J = 6.2 & 6.1, CH<sub>3</sub> of A & B isomers);  $\delta_{\text{C}}$ /ppm (400 MHz,  $d^6$ -DMSO): CH<sub>3</sub>: 20.8, 21.2 (21.3), 23.7; CH<sub>2</sub>: 47.6 (47.1); CH: 33.1, 61.9 (61.2), 64.9 (64.1), 126.6, 127.8, 128.7, 129.0; Cq: 120.2 (119.9), 133.5, 135.4, 142.9, 148.2, 150.6, 165.2 (165.6), 188.9.

**3-Hydroxy-1-(2-hydroxy-propyl)-5-isopropyl-4-(4-methyl-benzoyl)-1,5-dihydro-pyrrol-2-one (13).** Mixture of two isomers A & B in the ratio of 4:1;  $\delta_{\text{H}}$ /ppm (400 MHz,  $d^6$ -DMSO): 11.0 (1H, bs, OH), 7.71 (2H, d, J = 8.1, Ar-H), 7.32 (2H, d, J = 8.0, Ar-H), 4.91 & 4.85 (1H, 2d, J = 4.9 & 4.2, OH of A & B isomers), 4.78 & 4.72 (1H, 2d, J = 3.1 & 3.1, C<sub>(5)</sub>H of A & B isomers), 4.00–3.79 (1H, 2m, CH of A & B isomers), 3.77 & 3.69 [1H, 2dd, geminal coupling, J = 7.0 + 14.0, CH<sub>2</sub> (H<sub>a</sub>) of isomer B & J = 4.6 + 13.9, CH<sub>2</sub> (H<sub>a</sub>) of isomer A]; 2.96 & 2.89 [1H, 2dd, geminal coupling, J = 3.2 + 14.0, CH<sub>2</sub> (H<sub>b</sub>) of isomer B & J = 8.1 + 13.9, CH<sub>2</sub> (H<sub>b</sub>) of isomer A], 2.38 (3H, s, CH<sub>3</sub>), 2.35–2.25 (1H, m, -CH of isopropyl), 1.06 (3H, 2d, J = 6.2 & 6.2, CH<sub>3</sub> of A & B isomers), 0.76 (3H, d, J = 7.1, CH<sub>3</sub> of isopropyl), 0.70 (3H, d, J = 6.8, CH<sub>3</sub> of isopropyl);  $\delta_{\text{C}}$ /ppm (400 MHz,  $d^6$ -DMSO): CH<sub>3</sub>: 15.9, 19.7, 21.7 (21.3), 22.0; CH<sub>2</sub>: 48.0 (47.6); CH: 28.2, 62.7 (62.0), 65.6 (64.5), 129.5, 129.8; Cq: 118.8 (118.5), 135.3, 144.0, 150.4, 165.5 (165.8), 190.7.

**3-Hydroxy-1-(2-hydroxy-propyl)-4-(4-methyl-benzoyl)-5-naphthalen-2-yl-1,5-dihydro-pyrrol-2-one (14).** Mixture of two isomers A & B in the ratio of 6:5;  $\delta_{\text{H}}$ /ppm (400 MHz,  $d^6$ -DMSO): 11.66 (1H, bs, OH), 7.98–7.89 (2H, m, Ar-H), 7.85 (2H, d, J = 8.5, Ar-H), 7.61 (2H, dd, J = 1.8 + 8.2, Ar-H), 7.54–7.45 (2H, m, Ar-H), 7.34–7.28 (1H, m, Ar-H), 7.22 (2H, d, J = 8.2, Ar-H), 5.81 & 5.76 (1H, 2s, C<sub>(5)</sub>H of A & B isomers), 4.95 & 4.88 (1H, 2d, J = 5.0 & 4.4, OH of A & B isomers), 3.94–3.73 (1H, 2m, -CH of A & B isomers), 3.63 & 3.53 [1H, 2dd, geminal coupling, J = 6.7 + 13.8, CH<sub>2</sub> (H<sub>a</sub>) of isomer B & J = 4.7 + 13.8 CH<sub>2</sub> (H<sub>a</sub>) of isomer A], 2.55 & 2.44 [1H, 2dd, geminal coupling, J = 4.0 + 13.9, CH<sub>2</sub> (H<sub>b</sub>) of isomer B & J = 8.3 + 13.8, CH<sub>2</sub> (H<sub>b</sub>) of isomer A], 2.30 (3H, s, CH<sub>3</sub>), 0.98 (3H, d, J = 6.2, CH<sub>3</sub>);

$\delta_C$ /ppm (400 MHz,  $d^6$ -DMSO): CH<sub>3</sub>: 20.8, 21.1 (21.2); CH<sub>2</sub>: 47.8 (47.4); CH: 62.3 (61.5), 64.9 (64.0), 124.2, 126.3, 127.5, 127.7, 127.9, 128.1, 128.4, 128.7, 128.9; Cq: 132.8, 133.6, 133.7, 133.8, 135.3, 135.5, 142.9, 165.4 (165.8), 188.8.

**3-Hydroxy-1-(2-hydroxy-propyl)-4-(4-methyl-benzoyl)-5-phenyl-1,5-dihydro-pyrrol-2-one (15).** Mixture of two isomers A & B in the ratio of 3:4;  $\delta_H$ /ppm (400 MHz,  $d^6$ -DMSO): 7.60 (2H, d, J = 8.1, Ar-H), 7.37-7.19 (7H, m, Ar-H), 5.66 & 5.60 (1H, 2s, C<sub>(5)</sub>H of A & B isomers), 4.94 & 4.87 (1H, 2bs, OH of A & B isomers), 3.92-3.71 (1H, 2m, -CH of A & B isomers), 3.60 & 3.50 [1H, 2dd, geminal coupling, J = 6.7 + 13.8, CH<sub>2</sub> (H<sub>a</sub>) of isomer B & J = 4.7 + 13.7, CH<sub>2</sub> (H<sub>a</sub>) of isomer A], 2.51 & 2.41 [1H, 2dd, geminal coupling, CH<sub>2</sub> (H<sub>b</sub>) peaks of isomer B are partly covered by DMSO solvent peak & J = 8.2 + 13.7, CH<sub>2</sub> (H<sub>b</sub>) of isomer A], 2.33 (3H, s, CH<sub>3</sub>), 0.98 & 0.97 (3H, 2d, J = 6.1 & 6.1, CH<sub>3</sub> of A & B isomers);  $\delta_C$ /ppm (400 MHz,  $d^6$ -DMSO): CH<sub>3</sub>: 20.9, 21.2 (21.3); CH<sub>2</sub>: 47.7 (47.2); CH: 62.2 (61.4), 64.9 (64.0), 127.8, 128.2, 128.6, 128.7, 129.0; Cq: 120.0 (119.9), 135.4, 136.4, 143.0, 150.7, 165.7 (165.2), 188.8.

**3-Hydroxy-1-(2-hydroxy-propyl)-5-(3-isopropyl-phenyl)-4-(4-methyl-benzoyl)-1,5-dihydro-pyrrol-2-one (16).** Mixture of two isomers A & B in the ratio of 5:4;  $\delta_H$ /ppm (400 MHz,  $d^6$ -DMSO): 11.52 (1H, bs, OH), 7.60 (2H, dd, J = 2.1 + 8.2, Ar-H), 7.27-7.19 (3H, m, Ar-H), 7.15-7.05 (3H, m, Ar-H), 5.61 & 5.57 (1H, 2s, C<sub>(5)</sub>H of A & B isomers), 4.91 & 4.83 (1H, 2d, J = 4.0 & 3.4, OH of A & B isomers), 3.90-3.69 (1H, 2m, -CH of A & B isomers), 3.57 & 3.47 [1H, 2dd, geminal coupling, J = 6.7 + 13.8, CH<sub>2</sub> (H<sub>a</sub>) of isomer B & J = 4.8 + 13.7, CH<sub>2</sub> (H<sub>a</sub>) of isomer A], 2.91-2.78 (1H, m, -CH of A & B isomers), 2.52 & 2.43 [1H, 2dd, geminal coupling, CH<sub>2</sub> (H<sub>b</sub>) peaks of isomer B are partly covered by DMSO solvent peak & J = 8.2 + 13.8, CH<sub>2</sub> (H<sub>b</sub>) of isomer A], 2.33 (3H, s, CH<sub>3</sub>), 1.13 [6H, 2d, J = 6.9 & 7.0, (CH<sub>3</sub>)<sub>2</sub> of A & B isomers], 0.97 (3H, 2d, J = 6.2 & 6.2, CH<sub>3</sub> of A & B isomers);  $\delta_C$ /ppm (400 MHz,  $d^6$ -DMSO): CH<sub>3</sub>: 20.8, 21.1 (21.3), 23.9 (23.6); CH<sub>2</sub>: 47.7 (47.3); CH: 33.2, 62.2 (61.5), 64.8 (64.0), 125.3, 125.6, 126.0, 128.5, 128.6, 128.9; Cq: 119.5 (120.0), 135.4, 136.4, 142.8, 148.6, 151.0, 165.3 (165.7), 188.7;

**3-Hydroxy-1-(2-hydroxy-propyl)-4-(4-methyl-benzoyl)-5-p-tolyl-1,5-dihydro-pyrrol-2-one (17).** Mixture of two isomers A & B in the ratio of 1:1;  $\delta_H$ /ppm (400 MHz,  $d^6$ -DMSO): 11.52 (1H, bs, OH), 7.59 (2H, d, J = 8.1, Ar-H), 7.24 (2H, d, J = 8.1, Ar-H), 7.16 (2H, d, J = 8.1, Ar-H), 7.11 (2H, d, J = 8.1, Ar-H), 5.60 & 5.56 (1H, 2s, C<sub>(5)</sub>H of A & B isomers), 4.91 & 4.84 (1H, 2d, J = 4.8 & 4.4, OH of A & B isomers), 3.89-3.70 (1H, 2m, -CH of A & B isomers), 3.58 & 3.47 [1H, 2dd, geminal coupling, J = 6.8 + 13.6, CH<sub>2</sub>(H<sub>a</sub>) of isomer B & J = 4.7 + 13.7, CH<sub>2</sub>(H<sub>a</sub>) of isomer A], 2.51 & 2.41 [1H, 2dd, geminal coupling, J = 4.0 + 13.5, CH<sub>2</sub> (H<sub>b</sub>) of isomer B which is partly covered by DMSO solvent peak & J = 8.2 + 13.7, CH<sub>2</sub> (H<sub>b</sub>) of isomer A], 2.34 (3H, s, CH<sub>3</sub>), 2.23 (3H, s, CH<sub>3</sub>), 0.98 & 0.97 (3H, 2d, J = 6.2 & 6.1, CH<sub>3</sub> of A & B isomers);  $\delta_C$ /ppm (400 MHz,  $d^6$ -DMSO): CH<sub>3</sub>: 20.7, 20.8, 21.2 (21.3); CH<sub>2</sub>: 47.6 (47.2); CH: 61.9 (61.1), 64.8 (64.0), 127.7, 128.7, 128.9, 129.0; Cq: 120.2 (120.0), 133.3, 135.5, 137.5, 142.9, 150.4, 165.6 (165.1), 188.8.

**5-(4-Ethyl-phenyl)-3-hydroxy-1-(2-hydroxy-propyl)-4-(4-methyl-benzoyl)-1,5-dihydro-pyrrol-2-one (18).** Mixture of two isomers A & B in the ratio of 5:4;  $\delta_H$ /ppm (400 MHz,  $d^6$ -DMSO): 11.54 (1H, bs, OH), 7.60 (2H, d, J = 8.0, Ar-H), 7.24 (2H, d, J = 8.0, Ar-H), 7.19 (2H, d, J = 8.3, Ar-H), 7.15 (2H, d, J = 8.3, Ar-H), 5.61 & 5.57 (1H, 2s, C<sub>(5)</sub>H of A & B isomers), 4.92 & 4.84 (1H, 2d, J = 4.8 & 4.3, OH of A & B isomers), 3.91-3.70 (1H, 2m, -CH of A & B isomers), 3.58 & 3.48 [1H, 2dd, geminal coupling, J = 6.7 + 13.7, CH<sub>2</sub> (H<sub>a</sub>) of isomer B & J = 4.7 + 13.7, CH<sub>2</sub> (H<sub>a</sub>) of isomer A], 2.54 (2H, q, J = 7.6, CH<sub>2</sub>CH<sub>3</sub>), 2.50 & 2.41 [1H, 2dd, geminal coupling, CH<sub>2</sub>(H<sub>b</sub>) peaks of isomer B are partly covered by DMSO solvent peak & J = 8.2 + 13.7, CH<sub>2</sub> (H<sub>b</sub>) of isomer A], 2.34 (3H, s, CH<sub>3</sub>), 1.13 & 1.12 (3H, 2t, J = 7.6 & 7.6, CH<sub>2</sub>CH<sub>3</sub> of isomer A & B), 0.98 & 0.97 (3H, 2d, J = 6.2 & 6.1, CH<sub>3</sub> of A & B isomers);  $\delta_C$ /ppm (400 MHz,  $d^6$ -DMSO): CH<sub>3</sub>: 15.3, 20.8, 21.2 (21.3); CH<sub>2</sub>: 27.8, 47.7 (47.2); CH: 62.0

(61.2), 64.9 (64.1), 127.7, 127.8, 128.0, 128.7, 129.0; Cq: 120.3 (120.0), 133.6, 135.5, 143.6 (143.0), 150.5, 165.7 (165.3), 188.8.

**3-Hydroxy-1-(2-hydroxy-propyl)-4-(4-methyl-benzoyl)-5-(4-propyl-phenyl)-1,5-dihydro-pyrrol-2-one (19).** Mixture of two isomers A & B in the ratio of 6:5;  $\delta_{\text{H}}/\text{ppm}$  (400 MHz,  $\text{d}^6\text{-DMSO}$ ): 11.54 (1H, bs, OH), 7.60 (2H, dd,  $J = 1.5 + 8.1$ , Ar-H), 7.24 (2H, d,  $J = 8.4$ , Ar-H), 7.18 (2H, d,  $J = 8.2$ , Ar-H), 7.13 (2H, d,  $J = 8.2$ , Ar-H), 5.61 & 5.57 (1H, 2s,  $\text{C}_{(5)}\text{H}$  of A & B isomers), 4.91 & 4.84 (1H, 2d,  $J = 4.6$  & 4.2, OH of A & B isomers), 3.89-3.70 (1H, 2m, -CH of A & B isomers), 3.58 & 3.47 (1H, 2dd, geminal coupling,  $J = 6.7 + 13.7$ ,  $\text{CH}_2$  ( $\text{H}_a$ ) of isomer B &  $J = 4.8 + 13.7$ ,  $\text{CH}_2$  ( $\text{H}_a$ ) of isomer A], 2.48 (2H, t,  $J = 7.9$ ,  $-\text{CH}_2\text{-CH}_2\text{-CH}_3$ ), 2.49 & 2.41 [1H, 2dd, geminal coupling,  $\text{CH}_2$  ( $\text{H}_b$ ) peaks of isomer B are partly covered by DMSO solvent peak,  $J = 8.2 + 13.7$ ,  $\text{CH}_2$  ( $\text{H}_b$ ) of isomer A], 2.34 (3H, s,  $\text{CH}_3$ ), 1.59-1.47 (2H, 2hex,  $-\text{CH}_2\text{-CH}_2\text{-CH}_3$  of A & B isomers), 0.98 & 0.97 (3H, 2d,  $J = 6.2$  & 6.2,  $\text{CH}_3$  of A & B isomers), 0.85 & 0.84 (3H, 2t,  $J = 7.3$  & 7.3,  $-\text{CH}_2\text{-CH}_2\text{-CH}_3$  of A & B isomers);  $\delta_{\text{C}}/\text{ppm}$  (400 MHz,  $\text{d}^6\text{-DMSO}$ ):  $\text{CH}_3$ : 13.7, 20.8, 21.1 (21.3);  $\text{CH}_2$ : 23.9, 36.9, 47.6 (47.1); CH: 61.9 (61.2), 64.9 (64.0), 127.6, 128.5, 128.7, 129.0; Cq: 119.9 (120.2), 133.5, 135.5, 142.1, 142.9, 150.6, 165.2 (165.7), 188.7.

**5-(4-tert-Butyl-phenyl)-3-hydroxy-1-(2-hydroxy-propyl)-4-(4-methyl-benzoyl)-1,5-dihydro-pyrrol-2-one (20).** Mixture of two isomers A & B in the ratio of 8:9;  $\delta_{\text{H}}/\text{ppm}$  (400 MHz,  $\text{d}^6\text{-DMSO}$ ): 11.56 (1H, bs, OH), 7.61 (2H, d,  $J = 7.8$ , Ar-H), 7.34 (2H, dd,  $J = 1.9 + 8.4$ , Ar-H), 7.25 (2H, d,  $J = 8.3$ , Ar-H), 7.21 (2H, d,  $J = 8.4$ , Ar-H), 5.62 & 5.59 (1H, 2s,  $\text{C}_{(5)}\text{H}$  of A & B isomers), 4.93 & 4.85 (1H, 2d,  $J = 4.9$  & 4.5, OH of A & B isomers), 3.90-3.70 (1H, 2m, -CH of A & B isomers), 3.58 & 3.48 [1H, 2dd, geminal coupling,  $J = 6.5 + 13.9$ ,  $\text{CH}_2$  ( $\text{H}_a$ ) of isomer B &  $J = 4.8 + 13.8$ ,  $\text{CH}_2$  ( $\text{H}_a$ ) of isomer A], 2.48 & 2.40 [1H, 2dd, geminal coupling,  $\text{CH}_2$  ( $\text{H}_b$ ) peaks of isomer B are partly covered by DMSO solvent peak &  $J = 8.2 + 13.8$ ,  $\text{CH}_2$  ( $\text{H}_b$ ) of isomer A], 2.34 (3H, s,  $\text{CH}_3$ ), 1.23 [9H, s,  $(\text{CH}_3)_3$ ], 0.98 & 0.97 (3H, 2d,  $J = 6.2$  & 6.2,  $\text{CH}_3$  of A & B isomers);  $\delta_{\text{C}}/\text{ppm}$  (400 MHz,  $\text{d}^6\text{-DMSO}$ ):  $\text{CH}_3$ : 20.8, 21.1 (21.3), 31.1;  $\text{CH}_2$ : 47.6 (47.1); CH: 61.8 (61.1), 64.9 (64.1), 125.4, 127.5, 128.7, 129.0; Cq: 34.3, 119.9 (120.1), 133.4, 135.5, 143.0, 150.4, 150.5, 165.7 (165.2), 188.8.

**5-(4-Dimethylamino-phenyl)-3-hydroxy-1-(2-hydroxy-propyl)-4-(4-methyl-benzoyl)-1,5-dihydro-pyrrol-2-one (21).** Mixture of two isomers A & B in the ratio of 4:3;  $\delta_{\text{H}}/\text{ppm}$  (400 MHz,  $\text{d}^6\text{-DMSO}$ ): 11.41 (1H, bs, OH), 7.61 (2H, d,  $J = 8.0$ , Ar-H), 7.25 (2H, d,  $J = 8.2$ , Ar-H), 7.07 (2H, d,  $J = 8.5$ , Ar-H), 6.60 (2H, dd,  $J = 2.2 + 8.9$ , Ar-H), 5.52 & 5.48 (1H, 2s,  $\text{C}_{(5)}\text{H}$  of A & B isomers), 4.91 & 4.84 (1H, 2d,  $J = 4.9$  & 4.1, OH of A & B isomers), 3.90-3.69 (1H, 2m, -CH of A & B isomers), 3.55 & 3.44 [1H 2dd, geminal coupling,  $J = 7.0 + 13.7$ ,  $\text{CH}_2$  ( $\text{H}_a$ ) of isomer B &  $J = 4.9 + 13.7$ ,  $\text{CH}_2$  ( $\text{H}_a$ ) of isomer A], 2.83 [6H, s,  $\text{N}(\text{CH}_3)_2$ ], 2.53 & 2.45 [1H, 2dd, geminal coupling,  $J = 4.1 + 13.8$ ,  $\text{CH}_2$  ( $\text{H}_b$ ) of isomer B which is partly covered by DMSO solvent peak &  $J = 8.2 + 13.7$ ,  $\text{CH}_2$  ( $\text{H}_b$ ) of isomer A], 2.34 (3H, s,  $\text{CH}_3$ ), 0.98 & 0.97 (3H, 2d,  $J = 6.2$  & 6.1,  $\text{CH}_3$  of A & B isomers);  $\delta_{\text{C}}/\text{ppm}$  (400 MHz,  $\text{d}^6\text{-DMSO}$ ):  $\text{CH}_3$ : 20.9, 21.2 (21.3), 40.2;  $\text{CH}_2$ : 47.5 (47.1); CH: 61.9 (61.1), 64.9 (64.0), 112.3, 128.4, 128.8, 129.0; Cq: 120.5 (120.2), 122.6, 135.4, 143.0, 150.2, 165.6 (165.1), 188.9.

**3-Hydroxy-5-(4-hydroxy-phenyl)-1-(2-hydroxy-propyl)-4-(4-methyl-benzoyl)-1,5-dihydro-pyrrol-2-one (22).** Mixture of two isomers A & B in the ratio of 4:3;  $\delta_{\text{H}}/\text{ppm}$  (400 MHz,  $\text{d}^6\text{-DMSO}$ ): 9.44 (1H, bs, OH), 7.60 (2H, d,  $J = 7.5$ , Ar-H), 7.24 (2H, d,  $J = 7.6$ , Ar-H), 7.06 (2H, d,  $J = 7.8$ , Ar-H), 6.68 (2H, d,  $J = 7.7$ , Ar-H), 5.52 & 5.47 (1H, 2s,  $\text{C}_{(5)}\text{H}$  of A & B isomers), 4.89 (1H, bs, OH of A & B isomers), 3.89-3.68 (1H, 2m, -CH of A & B isomers), 3.54 & 3.44 [1H 2dd, geminal coupling,  $J = 6.6 + 13.7$ ,  $\text{CH}_2$  ( $\text{H}_a$ ) of isomer B &  $J = 4.4 + 13.6$ ,  $\text{CH}_2$  ( $\text{H}_a$ ) of isomer A], 2.51 & 2.43 [1H, 2dd, geminal coupling,  $\text{CH}_2$  ( $\text{H}_b$ ) peaks of isomer B are completely covered by DMSO solvent peak &  $J = 8.2 + 13.5$ ,  $\text{CH}_2$  ( $\text{H}_b$ ) of isomer A], 2.34 (3H, s,  $\text{CH}_3$ ), 0.98 (3H, d,  $J = 5.2$ ,  $\text{CH}_3$ );  $\delta_{\text{C}}/\text{ppm}$  (400 MHz,  $\text{d}^6\text{-DMSO}$ ):  $\text{CH}_3$ : 20.7, 21.2 (21.3);  $\text{CH}_2$ : 47.5 (47.1); CH: 61.7 (61.0), 64.8 (64.0), 115.3, 128.7, 128.8, 129.0; Cq: 120.1 (119.8), 126.1, 132.2, 135.4, 142.8, 157.2, 165.6 (165.1), 188.8.

**3-Hydroxy-1-(2-hydroxy-propyl)-4-(4-methyl-benzoyl)-5-(4-trifluoromethoxy-phenyl)-1,5-dihydro-pyrrol-2-one (23).** Mixture of two isomers A & B in the ratio of 1:1;  $\delta_{\text{H}}$ /ppm (400 MHz,  $d^6$ -DMSO): 7.61 & 7.60 (2H, 2d,  $J = 8.1$  &  $8.2$ , Ar-H of A & B isomers), 7.44 (2H, 2d,  $J = 8.8$  &  $8.8$ , Ar-H of A & B isomers), 7.30 (2H, 2d,  $J = 8.6$  &  $8.6$ , Ar-H of A & B isomers), 7.24 (2H, d,  $J = 8.1$ , Ar-H of A & B isomers), 5.69 & 5.65 (1H, 2s,  $C_{(5)}\text{H}$  of A & B isomers), 4.90 (1H, bs, OH of A & B isomers), 3.90-3.72 (1H, 2m, -CH of A & B isomers), 3.61 & 3.52 [1H, 2dd, geminal coupling,  $J = 6.5 + 13.9$ ,  $\text{CH}_2$  ( $H_a$ ) of isomer B &  $J = 4.5 + 13.8$ ,  $\text{CH}_2$  ( $H_a$ ) of isomer A], 2.51 & 2.39 [1H, 2dd, geminal coupling,  $\text{CH}_2$  ( $H_b$ ) of isomer B peaks are partly covered by DMSO solvent peak &  $J = 8.3 + 13.8$ ,  $\text{CH}_2$  ( $H_b$ ) of isomer A], 2.34 (3H, s,  $\text{CH}_3$ ), 0.98 & 0.97 (3H, 2d,  $J = 6.1$  &  $6.2$ ,  $\text{CH}_3$  of A & B isomers);  $\delta_{\text{C}}$ /ppm (400 MHz,  $d^6$ -DMSO):  $\text{CH}_3$ : 20.7, 21.1 (21.3);  $\text{CH}_2$ : 47.2 (47.7); CH: 61.3 (60.7), 65.0 (64.1), 121.0, 128.7, 129.0, 129.9; Cq: 119.6 (119.3), 121.4, 135.6, 136.1, 143.0, 148.1, 151.5, 165.8 (165.4), 188.6.

**3-Hydroxy-1-(2-hydroxy-propyl)-4-(4-methyl-benzoyl)-5-(4-trifluoromethyl-phenyl)-1,5-dihydro-pyrrol-2-one (24).** Mixture of two isomers A & B in the ratio of 1:1;  $\delta_{\text{H}}$ /ppm (400 MHz,  $d^6$ -DMSO): 11.82 (1H, bs, OH), 7.69 & 7.68 (2H, 2d,  $J = 8.3$  &  $8.3$ , Ar-H of A & B isomers), 7.60 & 7.59 (2H, 2d,  $J = 8.1$  &  $8.1$ , Ar-H of A & B isomers), 7.54 & 7.53 (2H, 2d,  $J = 8.1$  &  $8.1$ , Ar-H of A & B isomers), 7.24 (2H, d,  $J = 8.0$ , Ar-H of A & B isomers), 5.76 & 5.70 (1H, 2s,  $C_{(5)}\text{H}$  of A & B isomers), 4.95 & 4.89 (1H, 2bs, OH of A & B isomers), 3.90-3.73 (1H 2m, -CH of A & B isomers), 3.63 & 3.54 [1H, 2dd, geminal coupling,  $J = 6.6 + 13.9$ ,  $\text{CH}_2$  ( $H_a$ ) of isomer B &  $J = 4.4 + 13.8$ ,  $\text{CH}_2$  ( $H_a$ ) of isomer A], 2.52 & 2.38 [1H, 2dd, geminal coupling,  $\text{CH}_2$  ( $H_b$ ) peaks of isomer B are partly covered by DMSO solvent peak &  $J = 8.4 + 13.9$ ,  $\text{CH}_2$  ( $H_b$ ) of isomer A], 2.33 (3H, s,  $\text{CH}_3$ ), 0.99 & 0.98 (3H, 2d,  $J = 6.2$  &  $6.2$ ,  $\text{CH}_3$  of A & B isomers);  $\delta_{\text{C}}$ /ppm (400 MHz,  $d^6$ -DMSO):  $\text{CH}_3$ : 20.7, 21.2 (21.3);  $\text{CH}_2$ : 47.9 (47.3); CH: 61.7 (60.9), 65.0 (64.1), 125.5, 128.7, 128.8, 128.9; Cq: 119.6 (119.4), 122.8, 128.5, 135.5, 141.6, 143.0, 151.4, 165.9 (165.5), 188.7.

**3-Hydroxy-1-(2-hydroxy-propyl)-4-(4-methyl-benzoyl)-5-(3-trifluoromethyl-phenyl)-1,5-dihydro-pyrrol-2-one (25).** Mixture of two isomers A & B in the ratio of 4:7;  $\delta_{\text{H}}$ /ppm (400 MHz,  $d^6$ -DMSO): 11.83 (1H, bs, OH), 7.67-7.52 (6H, m, Ar-H), 7.23 (2H, d,  $J = 8.0$ , Ar-H), 5.76 & 5.71 (1H, 2s,  $C_{(5)}\text{H}$  of A & B isomers), 4.94 & 4.88 (1H, 2d,  $J = 3.9$  &  $2.6$ , OH of A & B isomers), 3.91-3.71 (1H, 2m, -CH of A & B isomers), 3.62 & 3.54 [1H 2dd, geminal coupling,  $J = 6.3 + 13.9$ ,  $\text{CH}_2$  ( $H_a$ ) of isomer B &  $J = 4.6 + 13.9$ ,  $\text{CH}_2$  ( $H_a$ ) of isomer A], 2.53 & 2.40 [1H, 2dd, geminal coupling,  $J = 3.9 + 13.9$ ,  $\text{CH}_2$  ( $H_b$ ) of isomer B &  $J = 8.3 + 13.9$ ,  $\text{CH}_2$  ( $H_b$ ) of isomer A], 2.34 (3H, s,  $\text{CH}_3$ ), 0.98 & 0.97 (3H, 2d,  $J = 6.1$  &  $6.2$ ,  $\text{CH}_3$  of A & B isomers);  $\delta_{\text{C}}$ /ppm (400 MHz,  $d^6$ -DMSO):  $\text{CH}_3$ : 20.7, 21.1 (21.3);  $\text{CH}_2$ : 47.3 (47.8); CH: 60.9 (61.6), 64.1 (64.9), 124.7, 125.0, 128.7, 128.9, 129.8, 131.7; Cq: 122.7, 125.4, 129.0, 129.3, 135.5, 138.3, 142.9, 165.9 (165.5), 188.5.

**5-(2,4-Bis-trifluoromethyl-phenyl)-3-hydroxy-1-(2-hydroxy-propyl)-4-(4-methyl-benzoyl)-1,5-dihydro-pyrrol-2-one (26).** Mixture of two isomers A & B in the ratio of 6:5;  $\delta_{\text{H}}$ /ppm (400 MHz,  $d^6$ -DMSO): 11.94 (1H, bs, OH), 8.03 (1H, s, Ar-H), 7.96 (1H, d,  $J = 8.5$ , Ar-H), 7.66 (1H, d,  $J = 8.3$ , Ar-H), 7.60 (2H, d,  $J = 8.1$ , Ar-H), 7.24 (2H, d,  $J = 8.3$ , Ar-H), 5.93 & 5.83 (1H, 2s,  $C_{(5)}\text{H}$  of A & B isomers), 4.84 & 4.76 (1H, 2bs, OH of A & B isomers), 3.90-3.79 & 3.66-3.53 (1H, 2m, -CH of A & B isomers), 3.44 & 3.34 [1H, 2dd, geminal coupling,  $J = 7.5 + 13.8$ ,  $\text{CH}_2$  ( $H_a$ ) of isomer B &  $J = 4.8 + 13.8$ ,  $\text{CH}_2$  ( $H_a$ ) of isomer A], 2.61 & 2.49 [1H, 2dd, geminal coupling,  $J = 4.9 + 13.9$ ,  $\text{CH}_2$  ( $H_b$ ) of isomer B &  $\text{CH}_2$  ( $H_b$ ) peaks of isomer A are partly covered by DMSO solvent peak], 2.34 (3H, s,  $\text{CH}_3$ ), 0.95 (3H, 2d,  $J = 6.2$  &  $6.2$ ,  $\text{CH}_3$  of A & B isomers);  $\delta_{\text{C}}$ /ppm (400 MHz,  $d^6$ -DMSO):  $\text{CH}_3$ : 20.6, 21.2 (21.1);  $\text{CH}_2$ : 48.7 (48.5); CH: 63.7, 66.4, 122.8, 128.6, 128.9, 129.6, 129.7; Cq: 122.0, 124.8, 135.7, 136.9, 140.9, 141.6, 142.9, 166.2 (166.6), 188.5.

**5-(3,5-Bis-trifluoromethyl-phenyl)-3-hydroxy-1-(2-hydroxy-propyl)-4-(4-methyl-benzoyl)-1,5-dihydro-pyrrol-2-one (27).** Mixture of two isomers A & B in the ratio of 2:1;  $\delta_{\text{H}}$ /ppm (400 MHz,  $d^6$ -DMSO): 8.06-7.99 (3H, m, Ar-H), 7.64-7.59 (2H, m, Ar-H), 7.23 (2H, d,  $J = 7.9$ , Ar-H), 5.85 & 5.81

(1H, 2s, C<sub>5</sub>H of A & B isomers), 4.92 & 4.86 (1H, 2bs, OH of A & B isomers), 3.89-3.72 (1H, 2m, -CH of A & B isomers), 3.60 & 3.56 [1H, 2dd, J = 6.4 + 14.1, CH<sub>2</sub> (H<sub>a</sub>) of isomer B & J = 4.4 + 14.0, CH<sub>2</sub> (H<sub>a</sub>) of isomer A], 2.63 & 2.45 [1H, 2dd, J = 3.9 + 14.0, CH<sub>2</sub> (H<sub>b</sub>) of isomer B & J = 8.3 + 14.0, CH<sub>2</sub> (H<sub>b</sub>) of isomer A], 2.33 (3H, s, CH<sub>3</sub>), 0.97 & 0.96 (3H, 2d, J = 6.2 & 6.2, CH<sub>3</sub> of A & B isomers);  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>3</sub>: 20.5, 21.1 (21.2); CH<sub>2</sub>: 47.9 (47.5); CH: 61.1 (60.6), 64.9 (64.0), 122.2, 128.6, 128.8, 129.0; Cq: 118.7, 121.8, 124.7, 130.6, 135.5, 140.7, 142.9, 165.5 (165.9), 188.6.

**5-(4-Chloro-phenyl)-3-hydroxy-1-(2-hydroxy-propyl)-4-(4-methyl-benzoyl)-1,5-dihydro-pyrrol-2-one (28).** Mixture of two isomers A & B in the ratio of 2:1;  $\delta_H$ /ppm (400 MHz, d<sup>6</sup>-DMSO): 11.66 (1H, bs, OH), 7.59 (2H, d, J = 8.1, Ar-H), 7.38 (2H, d, J = 8.6, Ar-H), 7.31 (2H, d, J = 8.6, Ar-H), 7.24 (2H, d, J = 8.1, Ar-H), 5.66 & 5.61 (1H, 2s, C<sub>5</sub>H of A & B isomers), 4.93 & 4.86 (1H, 2bs, OH of A & B isomers), 3.92-3.71 (1H, 2m, -CH of A & B isomers), 3.60 & 3.51 [1H, 2dd, geminal coupling, J = 6.6 + 13.7, CH<sub>2</sub> (H<sub>a</sub>) of isomer B & J = 4.5 + 13.9, CH<sub>2</sub> (H<sub>a</sub>) of isomer A], 2.52 & 2.40 [1H, 2dd, geminal coupling, CH<sub>2</sub> (H<sub>b</sub>) peaks of isomer B are partly covered by DMSO solvent peak & J = 8.4 + 13.9, CH<sub>2</sub> (H<sub>b</sub>) of isomer A], 2.34 (3H, s, CH<sub>3</sub>), 1.02-0.94 (3H, m, CH<sub>3</sub> of A & B isomers);  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>3</sub>: 20.7, 21.1 (21.3); CH<sub>2</sub>: 47.7 (47.2); CH: 61.4 (60.6), 64.9 (64.1), 128.6, 128.7, 128.9, 129.7; Cq: 119.8, 132.6, 135.4, 135.5, 143.0, 150.9, 165.2 (165.6), 188.7.

**5-(3-Chloro-phenyl)-3-hydroxy-1-(2-hydroxy-propyl)-4-(4-methyl-benzoyl)-1,5-dihydro-pyrrol-2-one (29).** Mixture of two isomers A & B in the ratio of 3:4;  $\delta_H$ /ppm (400 MHz, d<sup>6</sup>-DMSO): 11.74 (1H, bs, OH), 7.61 (2H, dd, J = 1.7 + 8.2, Ar-H), 7.38-7.30 (3H, m, Ar-H), 7.27-7.21 (3H, m, Ar-H), 5.65 & 5.61 (1H, 2s, C<sub>5</sub>H of A & B isomers), 4.91 & 4.88 (1H, 2bs, OH of A & B isomers), 3.90-3.73 (1H, 2m, -CH of A & B isomers), 3.61 & 3.53 [1H, 2dd, geminal coupling, J = 6.6 + 13.9, CH<sub>2</sub> (H<sub>a</sub>) of isomer B & J = 4.6 + 13.8, CH<sub>2</sub> (H<sub>a</sub>) of isomer A], 2.54 & 2.42 [1H, 2dd, geminal coupling, J = 3.8 + 13.9, CH<sub>2</sub> (H<sub>b</sub>) of isomer B & J = 8.3 + 13.9, CH<sub>2</sub> (H<sub>b</sub>) of isomer A], 2.34 (3H, s, CH<sub>3</sub>), 0.99 & 0.98 (3H, 2d, J = 6.1 & 6.1, CH<sub>3</sub> of A & B isomers);  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>3</sub>: 20.7, 21.1 (21.2); CH<sub>2</sub>: 47.2 (47.7); CH: 61.6 (60.8), 64.9 (64.1), 126.2, 127.9, 128.2, 128.7, 128.9, 130.5; Cq: 119.5 (119.2), 133.1, 135.4, 139.2, 142.9, 151.1, 165.7 (165.2), 188.6.

**5-(3,5-Dichloro-phenyl)-3-hydroxy-1-(2-hydroxy-propyl)-4-(4-methyl-benzoyl)-1,5-dihydro-pyrrol-2-one (30).** Mixture of two isomers A & B in the ratio of 7:8;  $\delta_H$ /ppm (400 MHz, d<sup>6</sup>-DMSO): 7.63 (2H, dd, J = 1.9 + 8.2, Ar-H), 7.53-7.49 (1H, m, Ar-H), 7.37 (2H, dd, J = 1.9 + 4.0, Ar-H), 7.25 (2H, d, J = 8.3, Ar-H), 5.65 & 5.60 (1H, 2s, C<sub>5</sub>H of A & B isomers), 4.92 & 4.87 (1H, 2bs, OH of A & B isomers), 3.90-3.75 (1H, 2m, -CH of A & B isomers), 3.61 & 3.55 [1H, 2dd, geminal coupling, J = 6.5 + 13.9, CH<sub>2</sub> (H<sub>a</sub>) of isomer B & J = 4.6 + 13.9, CH<sub>2</sub> (H<sub>a</sub>) of isomer A], 2.58 & 2.44 [1H, 2dd, geminal coupling, J = 3.7 + 13.9, CH<sub>2</sub> (H<sub>b</sub>) of isomer B & J = 8.3 + 13.9, CH<sub>2</sub> (H<sub>b</sub>) of isomer A], 2.35 (3H, s, CH<sub>3</sub>), 1.03-0.95 (3H, m, CH<sub>3</sub> of A & B isomers);  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>3</sub>: 20.6, 21.1 (21.2); CH<sub>2</sub>: 47.8 (47.3); CH: 61.1 (60.5), 64.9 (64.0), 126.7, 127.9, 128.6, 128.9; Cq: 118.7, 134.1, 135.4, 141.2, 143.0, 151.6, 165.7 (165.3), 188.5.

**5-(4-Chloro-3-trifluoromethyl-phenyl)-3-hydroxy-1-(2-hydroxy-propyl)-4-(4-methyl-benzoyl)-1,5-dihydro-pyrrol-2-one (31).** Mixture of two isomers A & B in the ratio of 1:2;  $\delta_H$ /ppm (400 MHz, d<sup>6</sup>-DMSO): 11.94 (1H, bs, OH), 7.80-7.75 (1H, m, Ar-H), 7.70-7.64 (1H, m, Ar-H), 7.64-7.56 (3H, m, Ar-H), 7.24 (2H, d, J = 8.0, Ar-H), 5.76 & 5.71 (1H, 2s, C<sub>5</sub>H of A & B isomers), 4.94 & 4.88 (1H, 2bs, OH of A & B isomers), 3.90-3.73 (1H, 2m, -CH of A & B isomers), 3.61 & 3.54 [1H, 2dd, geminal coupling, J = 6.5 + 13.9, CH<sub>2</sub> (H<sub>a</sub>) of isomer B & J = 4.5 + 13.8, CH<sub>2</sub> (H<sub>a</sub>) of isomer A], 2.58 & 2.42 [1H, 2dd, geminal coupling, J = 3.8 + 14.0, CH<sub>2</sub> (H<sub>b</sub>) of isomer B & J = 8.3 + 13.9, CH<sub>2</sub> (H<sub>b</sub>) of isomer A], 2.34 (3H, s, CH<sub>3</sub>), 1.03-0.92 (3H, m, CH<sub>3</sub> of A & B isomers);  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>3</sub>: 20.5, 21.1 (21.2); CH<sub>2</sub>: 47.3 (47.8); CH: 60.4 (61.0), 64.1 (64.9), 127.6, 128.6, 128.9, 132.0, 133.2; Cq: 118.8 (119.1), 126.4, 130.4, 135.5, 137.0, 143.0, 151.7, 165.8 (165.3), 188.6.

**3-Hydroxy-1-isobutyl-5-(4-isopropyl-phenyl)-4-(4-methyl-benzoyl)-1,5-dihydro-pyrrol-2-one (32).**  $\delta_{\text{H}}/\text{ppm}$  (400 MHz,  $d^6$ -DMSO): 7.61 (2H, d,  $J = 8.2$ , Ar-H), 7.28-7.14 (6H, m, Ar-H), 5.41 (1H, s,  $C_{(5)}\text{H}$ ), 3.34 [1H, dd, geminal coupling,  $J = 9.1 + 13.6$ ,  $\text{CH}_2$  ( $H_a$ )], 2.88-2.75 (1H, m, -CH of isopropyl), 2.43 [1H, dd, geminal coupling,  $J = 5.9 + 13.6$ ,  $\text{CH}_2$  ( $H_b$ )], 2.34 (3H, s,  $\text{CH}_3$ ), 1.91-1.75 (1H, m, -CH), 1.14 [6H, d,  $J = 6.9$ ,  $(\text{CH}_3)_2$ ], 0.79 (3H, d,  $J = 6.6$ ,  $\text{CH}_3$ ), 0.75 (3H, d,  $J = 6.6$ ,  $\text{CH}_3$ );  $\delta_{\text{C}}/\text{ppm}$  (400 MHz,  $d^6$ -DMSO):  $\text{CH}_3$ : 19.7, 20.1, 21.2, 23.7;  $\text{CH}_2$ : 47.5; CH: 26.9, 33.0, 61.1, 126.6, 127.6, 128.7, 129.0; Cq: 119.5, 133.5, 135.5, 142.8, 148.2, 150.7, 165.4, 188.6.

**3-Hydroxy-1-(2-hydroxy-ethyl)-5-(4-isopropyl-phenyl)-4-(4-methyl-benzoyl)-1,5-dihydro-pyrrol-2-one (33).**  $\delta_{\text{H}}/\text{ppm}$  (400 MHz,  $d^6$ -DMSO): 7.62 (2H, d,  $J = 8.1$ , Ar-H), 7.25-7.13 (6H, m, Ar-H), 5.48 (1H, s,  $C_{(5)}\text{H}$ ), 4.82 (1H, bs, OH), 3.67-3.55 [1H, m, N- $\text{CH}_2$  ( $H_a$ )], 3.51-3.35 (2H, m,  $\text{CH}_2$ ), 2.89-2.78 [1H, m, N- $\text{CH}_2$  ( $H_b$ )], 2.66-2.56 (1H, m, -CH of isopropyl), 2.33 (3H, s,  $\text{CH}_3$ ), 1.15 [6H, d,  $J = 6.9$ ,  $(\text{CH}_3)_2$ ];  $\delta_{\text{C}}/\text{ppm}$  (400 MHz,  $d^6$ -DMSO):  $\text{CH}_3$ : 21.1, 23.8;  $\text{CH}_2$ : 42.7 (41.2), 58.6 (57.6); CH: 33.1, 61.2, 126.4, 127.7, 128.4, 129.0; Cq: 118.3, 134.7, 136.1, 142.0, 146.6, 147.9, 166.3, 187.8.

**3-Hydroxy-5-(4-isopropyl-phenyl)-1-(2-methoxy-ethyl)-4-(4-methyl-benzoyl)-1,5-dihydro-pyrrol-2-one (34).**  $\delta_{\text{H}}/\text{ppm}$  (400 MHz,  $d^6$ -DMSO): 7.61 (2H, d,  $J = 8.2$ , Ar-H), 7.28-7.16 (6H, m, Ar-H), 5.48 (1H, s,  $C_{(5)}\text{H}$ ), 3.81-3.71 [1H, m, N- $\text{CH}_2$  ( $H_a$ )], 3.45-3.29 (2H, m, - $\text{CH}_2$ ), 3.20 (3H, s,  $\text{OCH}_3$ ), 2.87-2.78 (1H, m, -CH of isopropyl), 2.77-2.69 [1H, m, N- $\text{CH}_2$  ( $H_b$ )], 2.34 (3H, s,  $\text{CH}_3$ ), 1.14 [6H, d,  $J = 6.9$ ,  $(\text{CH}_3)_2$ ];  $\delta_{\text{C}}/\text{ppm}$  (400 MHz,  $d^6$ -DMSO):  $\text{CH}_3$ : 21.2, 23.8, 58.0;  $\text{CH}_2$ : 39.9, 69.2; CH: 33.0, 61.2, 126.6, 127.7, 128.7, 129.0; Cq: 119.9, 133.5, 135.4, 143.1, 148.4, 150.5, 165.3, 188.7.

**3-Hydroxy-5-(4-isopropyl-phenyl)-1-(3-methoxy-propyl)-4-(4-methyl-benzoyl)-1,5-dihydro-pyrrol-2-one (35).**  $\delta_{\text{H}}/\text{ppm}$  (400 MHz,  $d^6$ -DMSO): 7.61 (2H, d,  $J = 8.1$ , Ar-H), 7.24 (2H, d,  $J = 8.0$ , Ar-H), 7.24 (2H, d,  $J = 8.4$ , Ar-H), 7.19 (2H, d,  $J = 8.2$ , Ar-H), 5.43 (1H, s,  $C_{(5)}\text{H}$ ), 3.65-3.51 [1H, m, N- $\text{CH}_2$  ( $H_a$ )], 3.28-3.17 (2H, m, - $\text{CH}_2$ ), 3.14 (3H, s,  $\text{OCH}_3$ ), 2.88-2.77 (1H, m, -CH of isopropyl), 2.75-2.65 [1H, m, N- $\text{CH}_2$  ( $H_b$ )], 2.34 (3H, s,  $\text{CH}_3$ ), 1.77-1.52 (2H, m,  $\text{CH}_2$ ), 1.14 [6H, d,  $J = 6.9$ ,  $(\text{CH}_3)_2$ ];  $\delta_{\text{C}}/\text{ppm}$  (400 MHz,  $d^6$ -DMSO):  $\text{CH}_3$ : 21.2, 23.8, 57.8;  $\text{CH}_2$ : 27.6, 37.9, 69.4; CH: 33.1, 60.8, 126.6, 127.7, 128.7, 129.0; Cq: 119.6, 133.6, 135.5, 142.9, 148.3, 150.8, 165.2, 188.6.

**1-Allyl-3-hydroxy-5-(4-isopropyl-phenyl)-4-(4-methyl-benzoyl)-1,5-dihydro-pyrrol-2-one (36).**  $\delta_{\text{H}}/\text{ppm}$  (400 MHz,  $d^6$ -DMSO): 7.62 (2H, d,  $J = 8.2$ , Ar-H), 7.25 (2H, d,  $J = 8.0$ , Ar-H), 7.23-7.16 (4H, m, Ar-H), 5.79-5.63 (1H, m, =CH), 5.38 (1H, s,  $C_{(5)}\text{H}$ ), 5.10 [1H, dd,  $J = 1.0 + 10.2$ , = $\text{CH}_2$  ( $H_a$ )], 4.99 [1H, dd,  $J = 1.2 + 17.2$ , = $\text{CH}_2$  ( $H_b$ )], 4.22 [1H, dd,  $J = 4.6 + 15.8$ , - $\text{CH}_2$  ( $H_a$ )], 3.20 [1H, dd,  $J = 6.6 + 15.9$ , - $\text{CH}_2$  ( $H_b$ )], 2.91-2.76 (1H, m, -CH of isopropyl), 2.34 (3H, s,  $\text{CH}_3$ ), 1.14 [6H, d,  $J = 6.9$ ,  $(\text{CH}_3)_2$ ];  $\delta_{\text{C}}/\text{ppm}$  (400 MHz,  $d^6$ -DMSO):  $\text{CH}_3$ : 21.1, 23.8;  $\text{CH}_2$ : 42.6, 117.5; CH: 33.1, 60.5, 126.6, 127.7, 128.7, 129.0, 132.8; Cq: 119.9, 133.4, 135.5, 143.0, 148.5, 150.7, 165.0, 188.7.

**4-Benzoyl-3-hydroxy-1-(2-hydroxy-propyl)-5-(4-isopropyl-phenyl)-1,5-dihydro-pyrrol-2-one (37).** Mixture of two isomers A and B in the ratio of 1:1;  $\delta_{\text{H}}/\text{ppm}$  (400 MHz,  $d^6$ -DMSO): 7.68 (2H, d,  $J = 8.1$ , Ar-H), 7.55 (1H, t,  $J = 7.4$ , Ar-H), 7.44 (2H, t,  $J = 7.6$ , Ar-H), 7.23-7.17 (4H, m, Ar-H), 5.62 & 5.57 (1H, 2s,  $C_{(5)}\text{H}$  of A & B isomers), 4.95 & 4.87 (1H, 2d,  $J = 5.0$  & 4.5, OH of A & B isomers), 3.89-3.73 (1H, 2m, -CH of A & B isomers), 3.58 & 3.48 [1H, 2dd, geminal coupling,  $J = 6.6 + 13.7$   $\text{CH}_2$  ( $H_a$ ) of isomer B &  $J = 4.7 + 13.7$   $\text{CH}_2$  ( $H_a$ ) of isomer A], 2.87-2.78 (1H, m, -CH of isopropyl), 2.48 & 2.39 [1H, 2dd, geminal coupling,  $\text{CH}_2$  ( $H_b$ ) peaks of isomer B are partly covered by DMSO solvent peak &  $J = 8.2 + 13.7$ ,  $\text{CH}_2$  ( $H_b$ ) of isomer A], 1.15 & 1.14 [6H, 2d,  $J = 6.9$  & 6.9,  $(\text{CH}_3)_2$  of A & B isomers], 0.98 & 0.97 (3H, 2d,  $J = 6.2$  & 6.2,  $\text{CH}_3$  of A & B isomers);  $\delta_{\text{C}}/\text{ppm}$  (400 MHz,  $d^6$ -DMSO):  $\text{CH}_3$ : 20.9 (21.3), 23.7;  $\text{CH}_2$ : 47.7 (47.2); CH: 33.1, 61.9 (61.2), 64.9 (64.1), 126.6, 127.8, 128.2, 128.8, 132.5; Cq: 119.7, 133.6, 134.8, 141.5, 148.2, 165.7, 189.1.

**3-Hydroxy-1-(2-hydroxy-propyl)-5-(4-isopropyl-phenyl)-4-(3-methyl-benzoyl)-1,5-dihydro-pyrrol-2-one (38).** Mixture of two isomers A & B in the ratio of 1:3;  $\delta_{\text{H}}/\text{ppm}$  (400 MHz,  $d^6$ -DMSO): 11.56 (1H, bs, OH), 7.52-7.46 (2H, m, Ar-H), 7.39-7.29 (2H, m, Ar-H), 7.24-7.16 (4H, m, Ar-H), 5.61 & 5.57



(1H, 2s, C<sub>5</sub>H of A & B isomers), 4.92 & 4.85 (1H, 2d, J = 4.7 & 4.2, OH of A & B isomers), 3.90-3.72 (1H, 2m, -CH of A & B isomers), 3.58 & 3.47 [1H, 2dd, geminal coupling, J = 6.6 + 13.8, CH<sub>2</sub> (H<sub>a</sub>) of isomer B & J = 4.7 + 13.6, CH<sub>2</sub> (H<sub>a</sub>) of isomer A], 2.83 (1H, m, -CH of isopropyl), 2.48 & 2.40 [1H, 2dd, geminal coupling, CH<sub>2</sub> (H<sub>b</sub>) peaks of isomer B are partly covered by DMSO solvent peak & J = 8.2 + 13.7, CH<sub>2</sub> (H<sub>b</sub>) of isomer A], 2.32 (3H, s, CH<sub>3</sub>), 1.15 [6H, 2d, J = 6.9 & 6.9, (CH<sub>3</sub>)<sub>2</sub> of A & B isomers], 0.98 & 0.97 (3H, 2d, J = 6.2 & 6.2, CH<sub>3</sub> of A & B isomers);  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>3</sub>: 20.8, 21.3, 23.7; CH<sub>2</sub>: 47.1 (47.6); CH: 33.1, 61.2 (62.0), 64.2 (64.9), 126.2, 126.5, 127.7, 128.0, 129.0, 133.1; Cq: 119.8, 133.9, 137.4, 138.2, 148.3, 151.2, 165.7 (165.2), 189.3.

**3-Hydroxy-1-(2-hydroxy-propyl)-5-(4-isopropyl-phenyl)-4-(4-methoxy-benzoyl)-1,5-dihydro-pyrrol-2-one (39).** Mixture of two isomers A & B in the ratio of 2:1;  $\delta_H$ /ppm (400 MHz, d<sup>6</sup>-DMSO): 11.43 (1H, bs, OH), 7.71 (2H, d, J = 8.8, Ar-H), 7.21-7.15 (4H, m, Ar-H), 6.97 (2H, d, J = 8.8, Ar-H), 5.61 & 5.57 (1H, 2s, C<sub>5</sub>H of A & B isomers), 4.92 & 4.90 (1H, 2bs, OH of A & B isomers), 3.89-3.72 (1H, 2m, -CH of A & B isomers), 3.81 (3H, s, OCH<sub>3</sub>), 3.58 & 3.47 [1H, 2dd, geminal coupling, J = 6.5 + 13.9, CH<sub>2</sub> (H<sub>a</sub>) of isomer B & J = 4.7 + 13.7, CH<sub>2</sub> (H<sub>a</sub>) of isomer A], 2.80-2.75 (1H, m, -CH of isopropyl), 2.48 & 2.40 [1H, 2dd, geminal coupling, CH<sub>2</sub> (H<sub>b</sub>) peaks of isomer B are partly covered by DMSO solvent peak & J = 8.2 + 13.8, CH<sub>2</sub> (H<sub>b</sub>) of isomer A], 1.14 [6H, 2d, J = 6.9 & 6.9, (CH<sub>3</sub>)<sub>2</sub> of A & B isomers], 0.98 & 0.97 (3H, 2d, J = 6.2 & 6.1, CH<sub>3</sub> of A & B isomers);  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>3</sub>: 21.4 (20.8), 23.7, 55.6; CH<sub>2</sub>: 47.6 (47.2); CH: 33.0, 62.0 (61.3), 64.9 (64.1), 113.5, 126.5, 127.8, 131.3; Cq: 120.4 (120.3), 130.6, 133.7, 148.3, 150.0, 163.0, 165.3 (165.8), 187.6.

**3-Hydroxy-1-(2-hydroxy-propyl)-5-(4-isopropyl-phenyl)-4-(3-methoxy-benzoyl)-1,5-dihydro-pyrrol-2-one (40).** Mixture of two isomers A & B in the ratio of 4:1;  $\delta_H$ /ppm (400 MHz, d<sup>6</sup>-DMSO): 11.66 (1H, bs, OH), 7.36 (1H, t, J = 7.8/7.9, Ar-H), 7.31-7.27 (1H, m, Ar-H), 7.25-7.16 (5H, m, Ar-H), 7.12 (1H, 2dd, J = 0.9 + 2.6, Ar-H), 5.61 & 5.57 (1H, 2s, C<sub>5</sub>H of A & B isomers), 4.92 & 4.85 (1H, 2d, J = 4.4 & 3.8, OH of A & B isomers), 3.89-3.81 (1H, 2m, -CH of A & B isomers), 3.77 (3H, s, OCH<sub>3</sub>), 3.58 & 3.48 [1H, 2dd, geminal coupling, J = 6.6 + 13.9, CH<sub>2</sub> (H<sub>a</sub>) of isomer B & J = 4.7 + 13.6, CH<sub>2</sub> (H<sub>a</sub>) of isomer A], 2.89-2.76 (1H, m, -CH of isopropyl), 2.51 & 2.40 [1H, 2dd, geminal coupling, CH<sub>2</sub> (H<sub>b</sub>) peaks of isomer B are partly covered by DMSO solvent peak & J = 8.2 + 13.8, CH<sub>2</sub> (H<sub>b</sub>) of isomer A], 1.15 [6H, d, J = 6.9, (CH<sub>3</sub>)<sub>2</sub>], 0.98 & 0.97 (3H, 2d, J = 6.2 & 6.1, CH<sub>3</sub> of B & A isomers);  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>3</sub>: 21.3 (20.8), 23.7, 55.3; CH<sub>2</sub>: 47.6 (47.1); CH: 33.1, 61.9 (61.2), 64.9 (64.1), 113.7, 118.3, 121.3, 126.6, 127.8, 129.3; Cq: 119.9 (119.6), 133.5, 139.3, 148.2, 151.2, 158.9, 165.5 (165.1), 188.7.

**4-(2-Ethoxy-benzoyl)-3-hydroxy-1-(2-hydroxy-propyl)-5-(4-isopropyl-phenyl)-1,5-dihydro-pyrrol-2-one (41).** Mixture of two isomers A & B in the ratio of 1:5;  $\delta_H$ /ppm (400 MHz, d<sup>6</sup>-DMSO): 7.37 (1H, t, J = 8.7/8.5, Ar-H), 7.24-7.08 (5H, m, Ar-H), 6.99 (1H, d, J = 8.3, Ar-H), 6.91 (1H, t, J = 7.40, Ar-H), 5.49 & 5.46 (1H, 2s, C<sub>5</sub>H of A & B isomers), 4.92 & 4.85 (1H, 2d, J = 4.50 & 3.72, OH of A & B isomers), 4.10-3.87 (2H, m, OCH<sub>2</sub>CH<sub>3</sub> of A & B isomers), 3.86-3.71 (1H, m, -CH of A & B isomers), 3.53 & 3.43 [1H, 2dd, geminal coupling, J = 6.5 + 13.8, CH<sub>2</sub> (H<sub>a</sub>) of isomer B & J = 4.9 + 13.7, CH<sub>2</sub> (H<sub>a</sub>) of isomers A], 2.92-2.79 (1H, m, -CH), 2.46 & 2.38 [1H, 2dd, geminal coupling, J = 4.0 + 13.7, CH<sub>2</sub> (H<sub>b</sub>) of isomers B & J = 8.1 + 13.7, CH<sub>2</sub> (H<sub>b</sub>) of isomer A], 1.42 & 1.25 (3H, 2t, J = 6.9 & 6.9, OCH<sub>2</sub>CH<sub>3</sub> of A & B isomers), 1.23 & 1.18 (6H, 2d, J = 6.9 & 6.9, (CH<sub>3</sub>)<sub>2</sub> of A & B isomers), 0.97 & 0.96 (3H, 2d, J = 6.2 & 6.2, CH<sub>3</sub> of A & B isomers);  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>3</sub>: 14.5, 20.7 (21.3), 23.8 (23.4); CH<sub>2</sub>: 46.9, 63.9; CH: 33.0, 60.8, 64.1 (64.9), 112.2, 119.9, 126.2, 127.9, 132.3; Cq: 120.7, 127.2, 130.4, 134.1, 148.0, 156.7, 165.7, 188.1.

**3-Hydroxy-1-(2-hydroxy-propyl)-5-(4-isopropyl-phenyl)-4-(6-methyl-pyridine-3-carbonyl)-1,5-dihydro-pyrrol-2-one (42).** Mixture of two isomers A & B in the ratio of 2:3;  $\delta_H$ /ppm (400 MHz, d<sup>6</sup>-DMSO): 8.70 (1H, s, Ar-H), 7.92 (1H, dd, J = 2.1 + 8.0, Ar-H), 7.31 (1H, d, J = 8.0, Ar-H), 7.22 (2H, d, J = 8.2, Ar-H), 7.18 (2H, d, J = 8.2, Ar-H), 5.54 & 5.52 (1H, 2s C<sub>5</sub>H of A & B isomers), 4.85 (1H, bs,

OH of A & B isomers), 3.89-3.70 (1H, 2m, -CH), 3.56 & 3.45 [1H, 2dd, geminal coupling,  $J = 6.5 + 13.7$ , CH<sub>2</sub> (H<sub>a</sub>) of isomer B &  $J = 4.9 + 13.6$ , CH<sub>2</sub> (H<sub>a</sub>) of isomer A], 2.87-2.78 (1H, m, -CH of isopropyl), 2.50 (3H, s, CH<sub>3</sub>), 2.46 & 2.39 [1H, 2dd, geminal coupling,  $J = 4.1 + 13.8$ , CH<sub>2</sub> (H<sub>b</sub>) of isomer B &  $J = 8.0 + 13.7$ , CH<sub>2</sub> (H<sub>b</sub>) of isomer A], 1.15 & 1.16 [6H, 2d,  $J = 6.9$  &  $6.9$ , (CH<sub>3</sub>)<sub>2</sub>], 0.97 (3H, 2d,  $J = 6.2$  &  $6.2$ , CH<sub>3</sub>);  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>3</sub>: 20.9, 23.8, 24.1; CH<sub>2</sub>: 47.7; CH: 33.2, 61.0 (61.6), 64.1 (65.0), 122.6, 126.5, 127.8, 136.7, 149.3; Cq: 118.2, 131.6, 134.5, 148.0, 161.4, 166.2 (165.8), 186.3.

**4-[4-Hydroxy-1-(2-hydroxy-propyl)-2-(4-isopropyl-phenyl)-5-oxo-2,5-dihydro-1H-pyrrole-3-carbonyl]-benzotrile (43).** Mixture of two isomers A & B in the ratio of 1:1;  $\delta_H$ /ppm (400 MHz, d<sup>6</sup>-DMSO): 7.92 (2H, d,  $J = 8.0$ , Ar-H), 7.79 (2H, dd,  $J = 1.4 + 8.5$ , Ar-H), 7.30-7.15 (4H, m, Ar-H), 5.59 & 5.55 (1H, 2s, C<sub>5</sub>H of A & B isomers), 5.03-4.78 (1H, 2bs, OH of A & B isomers), 3.90-3.74 (1H, 2m, -CH of A & B isomers), 3.57 & 3.47 [1H, 2dd, geminal coupling,  $J = 6.6 + 13.8$ , CH<sub>2</sub> (H<sub>a</sub>) of isomer B &  $J = 4.8 + 13.7$ , CH<sub>2</sub> (H<sub>a</sub>) of isomer A], 2.93-2.78 (1H, m -CH of isopropyl), 2.48 & 2.40 [1H, 2dd, geminal coupling, CH<sub>2</sub> (H<sub>b</sub>) peaks of isomer B are partly covered by DMSO solvent peak &  $J = 8.2 + 13.8$ , CH<sub>2</sub> (H<sub>b</sub>) of isomer A], 1.16 & 1.15 [6H, 2d,  $J = 6.9$  &  $6.9$  (CH<sub>3</sub>)<sub>2</sub> of A & B isomers], 0.98 & 0.97 (3H, 2d,  $J = 6.1$  &  $6.2$ , CH<sub>3</sub> of A & B isomers);  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>3</sub>: 20.1 (21.2), 23.7; CH<sub>2</sub>: 47.2 (47.6); CH: 33.0, 60.1 (61.6), 64.1 (64.8), 126.5, 127.8, 129.1, 132.3; Cq: 114.2, 118.1, 118.6 (118.9), 133.6, 142.0, 148.2, 153.2, 164.8 (165.3), 187.8.

**4-(4-Chloro-benzoyl)-3-hydroxy-1-(2-hydroxy-propyl)-5-(4-isopropyl-phenyl)-1,5-dihydro-pyrrol-2-one (44).** Mixture of two isomers A & B in the ratio of 1: 2;  $\delta_H$ /ppm (400 MHz, d<sup>6</sup>-DMSO): 7.70 (2H, d,  $J = 8.5$ , Ar-H), 7.51 (2H, d,  $J = 8.6$ , Ar-H), 7.24-7.15 (4H, m, Ar-H), 5.59 & 5.55 (1H, 2s, C<sub>5</sub>H of A & B isomers), 4.93 & 4.86 (1H, 2d,  $J = 4.8$  &  $4.2$ , OH of A & B isomers), 3.90-3.71 (1H, 2m, -CH of A & B isomers), 3.57 & 3.47 [1H, 2dd, geminal coupling,  $J = 6.6 + 13.7$ , CH<sub>2</sub> (H<sub>a</sub>) of isomer B &  $J = 4.8 + 13.7$ , CH<sub>2</sub> (H<sub>a</sub>) of isomer A], 2.89-2.76 (1H, m, -CH of isopropyl), 2.48 & 2.39 [1H, 2dd, geminal coupling, CH<sub>2</sub> (H<sub>b</sub>) peaks of isomer B are partly covered by DMSO solvent peak &  $J = 8.2 + 13.7$ , CH<sub>2</sub> (H<sub>b</sub>) of isomer A], 1.15 [6H, 2d,  $J = 6.9$  &  $6.9$ , (CH<sub>3</sub>)<sub>2</sub>], 0.97 & 0.98 (3H, 2d,  $J = 6.2$  &  $6.2$ , CH<sub>3</sub>);  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>3</sub>: 20.9, 23.9; CH<sub>2</sub>: 47.3; CH: 33.0, 61.0 (61.7), 64.1 (64.9), 126.6, 127.7, 128.3, 130.7; Cq: 119.1, 122.0, 136.9, 137.2, 144.7, 148.5, 165.9, 188.0.

**1-Allyl-3-hydroxy-4-(pyridine-3-carbonyl)-5-(4-trifluoromethyl-phenyl)-1,5-dihydro-pyrrol-2-one (45).**  $\delta_H$ /ppm (400 MHz, d<sup>6</sup>-DMSO): 8.85 (1H, dd,  $J = 0.7 + 2.2$ , Ar-H), 8.70 (1H, dd,  $J = 1.6 + 4.8$ , Ar-H), 8.08-8.02 (1H, m, Ar-H), 7.69 (2H, d,  $J = 8.2$ , Ar-H), 7.60 (2H, d,  $J = 8.1$ , Ar-H), 7.52-7.47 (1H, m, Ar-H), 5.76-5.63 (1H, m, =CH), 5.50 (1H, s, C<sub>5</sub>H), 5.09 [1H, dd,  $J = 1.2 + 10.2$ , =CH<sub>2</sub> (H<sub>a</sub>)], 5.01 [1H, dd,  $J = 1.4 + 17.1$ , =CH<sub>2</sub> (H<sub>b</sub>)], 4.24 [1H, dd,  $J = 5.0 + 15.8$ , -CH<sub>2</sub> (H<sub>a</sub>)], 3.29 [1H, dd,  $J = 6.6 + 15.9$ , -CH<sub>2</sub> (H<sub>b</sub>)];  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>2</sub>: 43.1, 117.9; CH: 60.0, 123.5, 125.6, 128.7, 132.7, 136.4, 149.5, 152.1; Cq: 118.0, 122.8, 134.0, 141.6, 154.6, 165.1, 186.8.

**1-Allyl-3-hydroxy-4-(6-methyl-pyridine-3-carbonyl)-5-(4-trifluoromethyl-phenyl)-1,5-dihydro-pyrrol-2-one (46).**  $\delta_H$ /ppm (400 MHz, d<sup>6</sup>-DMSO): 8.76 (1H, d,  $J = 1.9$ , Ar-H), 7.97 (1H, dd,  $J = 2.2 + 8.0$ , Ar-H), 7.68 (2H, d,  $J = 8.2$ , Ar-H), 7.58 (2H, d,  $J = 8.1$ , Ar-H), 7.36 (1H, d,  $J = 8.1$ , Ar-H), 5.76-5.63 (1H, m, =CH), 5.48 (1H, s, C<sub>5</sub>H), 5.09 [1H, dd,  $J = 1.1 + 10.2$ , =CH<sub>2</sub> (H<sub>a</sub>)], 5.00 [1H, dd,  $J = 1.3 + 17.2$ , =CH<sub>2</sub> (H<sub>b</sub>)], 4.24 [1H, dd,  $J = 5.0 + 15.8$ , N-CH<sub>2</sub> (H<sub>a</sub>)], 3.28 [1H, dd,  $J = 6.6 + 15.8$ , N-CH<sub>2</sub> (H<sub>b</sub>)], 2.52 (3H, s, -CH<sub>3</sub>);  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>3</sub>: 23.9; CH<sub>2</sub>: 43.0, 117.7; CH: 60.0, 122.8, 125.5, 128.8, 132.5, 137.0, 148.7; Cq: 125.4, 128.5, 129.1, 131.5, 141.7, 161.2, 165.3, 186.1.

**1-Allyl-4-(4-chloro-benzoyl)-3-hydroxy-5-(4-trifluoromethyl-phenyl)-1,5-dihydro-pyrrol-2-one (47).**  $\delta_H$ /ppm (400 MHz, d<sup>6</sup>-DMSO): 7.74-7.66 (4H, m, Ar-H), 7.57 (2H, d,  $J = 8.1$ , Ar-H), 7.50 (2H, d,  $J = 8.6$ , Ar-H), 5.75-5.63 (1H, m, =CH), 5.50 (1H, s, C<sub>5</sub>H), 5.09 [1H, dd,  $J = 1.2 + 10.2$ , =CH<sub>2</sub> (H<sub>a</sub>)], 5.00 [1H, dd,  $J = 1.4 + 17.2$ , =CH<sub>2</sub> (H<sub>b</sub>)], 4.26 [1H, dd,  $J = 4.8 + 15.7$ , -CH<sub>2</sub> (H<sub>a</sub>)], 3.29 [1H, dd,  $J = 6.6$

+ 15.9, -CH<sub>2</sub> (H<sub>b</sub>)];  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>2</sub>: 43.1, 117.8; CH: 60.2, 125.6, 128.2, 128.8, 130.6, 132.5; Cq: 118.3, 122.8, 136.9, 137.2, 141.4, 165.1, 187.5.

**3-Hydroxy-1-(3-methoxy-propyl)-4-(pyridine-3-carbonyl)-5-(4-trifluoromethyl-phenyl)-1,5-dihydro-pyrrol-2-one (48).**  $\delta_H$ /ppm (400 MHz, d<sup>6</sup>-DMSO): 8.83 (1H, d, J = 1.6, Ar-H), 8.70 (1H, dd, J = 1.5 + 4.8, Ar-H), 8.06-7.99 (1H, m, Ar-H), 7.71 (2H, d, J = 8.2, Ar-H), 7.64 (2H, d, J = 8.2, Ar-H), 7.49 (1H, dd, J = 5.0 + 7.7, Ar-H), 5.58 (1H, s, C<sub>(5)</sub>H), 3.67-3.53 [1H, m, N-CH<sub>2</sub> (H<sub>a</sub>)], 3.30-3.18 (2H, m, CH<sub>2</sub>), 3.14 (3H, s, OCH<sub>3</sub>), 2.78-2.66 (1H, m, N-CH<sub>2</sub> (H<sub>b</sub>)), 1.77-1.52 (2H, m, CH<sub>2</sub>);  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>3</sub>: 57.9; CH<sub>2</sub>: 27.7, 38.2, 69.4; CH: 60.2, 123.5, 125.6, 128.9, 136.4, 149.4, 152.3; Cq: 118.2, 122.9, 128.7, 134.0, 141.8, 165.3, 187.0.

**3-Hydroxy-1-(3-methoxy-propyl)-4-(6-methyl-pyridine-3-carbonyl)-5-(4-trifluoromethyl-phenyl)-1,5-dihydro-pyrrol-2-one (49).**  $\delta_H$ /ppm (400 MHz, d<sup>6</sup>-DMSO): 8.74 (1H, d, J = 1.9, Ar-H), 7.95 (1H, dd, J = 2.2 + 8.0, Ar-H), 7.70 (2H, d, J = 8.2, Ar-H), 7.62 (2H, d, J = 8.2, Ar-H), 7.35 (1H, d, J = 8.1, Ar-H), 5.56 (1H, s, C<sub>(5)</sub>H), 3.65-3.55 [1H, m, N-CH<sub>2</sub> (H<sub>a</sub>)], 3.30-3.20 (2H, m, CH<sub>2</sub>), 3.15 (3H, s, OCH<sub>3</sub>), 2.79-2.67 [1H, m, N-CH<sub>2</sub> (H<sub>b</sub>)], 2.52 (3H, s, CH<sub>3</sub>), 1.77-1.54 (2H, m, CH<sub>2</sub>);  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>3</sub>: 23.9, 57.8; CH<sub>2</sub>: 27.5, 38.0, 69.2; CH: 60.2, 122.8, 125.5, 128.8, 136.8, 148.7; Cq: 118.0, 128.5, 131.4, 141.9, 154.1, 161.4, 165.4, 186.4.

**5-(3-Fluoro-4-trifluoromethyl-phenyl)-3-hydroxy-1-(3-methoxy-propyl)-4-(6-methyl-pyridine-3-carbonyl)-1,5-dihydro-pyrrol-2-one (50).**  $\delta_H$ /ppm (400 MHz, d<sup>6</sup>-DMSO): 8.79 (1H, d, J = 1.9, Ar-H), 8.00 (1H, dd, J = 2.2 + 8.0, Ar-H), 7.75 (1H, t, J = 7.8, Ar-H), 7.64 (1H, d, J = 11.6, Ar-H), 7.47 (1H, d, J = 8.1, Ar-H), 7.37 (1H, d, J = 8.0, Ar-H), 5.57 (1H, s, C<sub>(5)</sub>H), 3.69-3.56 [1H, m, N-CH<sub>2</sub> (H<sub>a</sub>)], 3.32-3.20 (2H, m, CH<sub>2</sub>), 3.16 (3H, s, OCH<sub>3</sub>), 2.82-2.72 [1H, m, N-CH<sub>2</sub>(H<sub>b</sub>)], 2.53 (3H, s, CH<sub>3</sub>), 1.77-1.57 (2H, m, CH<sub>2</sub>);  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>3</sub>: 23.9, 57.8; CH<sub>2</sub>: 27.5, 38.2, 69.3; CH: 59.8, 116.7, 122.8, 124.6, 127.5, 137.1, 148.6; Cq: 117.2, 121.2, 123.9, 131.6, 145.9, 157.7, 161.2, 165.5, 186.1.

**4-(4-Chloro-benzoyl)-5-(3-fluoro-4-trifluoromethyl-phenyl)-3-hydroxy-1-(3-methoxy-propyl)-1,5-dihydro-pyrrol-2-one (51).**  $\delta_H$ /ppm (400 MHz, d<sup>6</sup>-DMSO): 7.78-7.69 (3H, m, Ar-H), 7.63 (1H, d, J = 11.6, Ar-H), 7.50 (2H, d, J = 8.6, Ar-H), 7.46 (1H, d, J = 8.1, Ar-H), 5.58 (1H, s, C<sub>(5)</sub>H), 3.68-3.58 [1H, m, N-CH<sub>2</sub> (H<sub>a</sub>)], 3.29-3.19 (2H, m, CH<sub>2</sub>), 3.15 (3H, s, OCH<sub>3</sub>), 2.82-2.71 [1H, m, N-CH<sub>2</sub> (H<sub>b</sub>)], 1.77-1.56 (2H, m, CH<sub>2</sub>);  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>3</sub>: 57.9; CH<sub>2</sub>: 27.7, 38.1, 69.2; CH: 60.0, 116.7, 124.6, 127.6, 128.2, 130.6; Cq: 116.1, 117.8, 123.8, 136.9, 137.2, 145.5, 157.7, 165.2, 187.6.

**4-(2-Ethoxy-benzoyl)-3-hydroxy-1-(3-methoxy-propyl)-5-(4-trifluoromethyl-phenyl)-1,5-dihydro-pyrrol-2-one (52).**  $\delta_H$ /ppm (400 MHz, d<sup>6</sup>-DMSO): 7.72 (2H, d, J = 8.1, Ar-H), 7.51 (2H, d, J = 8.0, Ar-H), 7.40-7.34 (1H, m, Ar-H), 7.11 (1H, dd, J = 1.6 + 7.5, Ar-H), 6.98 (1H, d, J = 8.3, Ar-H), 6.91 (1H, t, J = 7.4, Ar-H), 5.49 (1H, s, C<sub>(5)</sub>H), 4.07-3.88 (2H, m, CH<sub>2</sub>), 3.65-3.55 [1H, m, N-CH<sub>2</sub> (H<sub>a</sub>)], 3.28-3.18 (2H, m, CH<sub>2</sub>), 3.15 (3H, s, OCH<sub>3</sub>), 2.73-2.64 [1H, m, CH<sub>2</sub> (H<sub>b</sub>)], 1.76-1.54 (2H, m, CH<sub>2</sub>), 1.22 (3H, t, J = 7.0, CH<sub>3</sub>);  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>3</sub>: 14.5, 57.7; CH<sub>2</sub>: 27.5, 37.8, 63.8, 69.2; CH: 59.9, 112.2, 120.0, 125.3, 128.3, 128.8, 131.8; Cq: 120.0, 121.2, 122.9, 125.6, 128.4, 156.7, 165.6, 188.0.

**4-(2-Ethoxy-benzoyl)-5-(3-fluoro-4-trifluoromethyl-phenyl)-3-hydroxy-1-(3-methoxy-propyl)-1,5-dihydro-pyrrol-2-one (53).**  $\delta_H$ /ppm (400 MHz, d<sup>6</sup>-DMSO): 7.79 (1H, t, J = 7.8, Ar-H), 7.46-7.33 (3H, m, Ar-H), 7.13 (1H, dd, J = 1.7 + 7.5, Ar-H), 6.99 (1H, d, J = 8.2, Ar-H), 6.92 (1H, t, J = 7.4, Ar-H), 5.52 (1H, s, C<sub>(5)</sub>H), 4.09-3.89 (2H, m, CH<sub>2</sub>), 3.67-3.57 [1H, m, N-CH<sub>2</sub> (H<sub>a</sub>)], 3.29-3.18 (2H, m, CH<sub>2</sub>), 3.15 (3H, s, OCH<sub>3</sub>), 2.78-2.69 [1H, m, N-CH<sub>2</sub> (H<sub>b</sub>)], 1.79-1.55 (2H, m, CH<sub>2</sub>), 1.22 (3H, t, J = 6.9, CH<sub>3</sub>);  $\delta_C$ /ppm (400 MHz, d<sup>6</sup>-DMSO): CH<sub>3</sub>: 14.6, 57.9; CH<sub>2</sub>: 27.6, 38.0, 63.9, 69.3; CH: 59.4, 112.3, 116.3, 120.0, 124.6, 127.5, 128.3, 131.8; Cq: 118.3, 121.4, 123.9, 130.2, 156.6, 157.6, 160.2, 165.4, 188.1.

**HPLC assessment of compound purity.**

**Method 1:** Kromasil column (250 mm × 4.6 mm, 5 μM particle size) using mobile phase 0.06 % TFA in acetonitrile/water (5 % to 95 % organic over 20 min at 1mL/min), 20 μL injection. Detection was at 260 nm, runtime 30 min. Samples were prepared by dissolving 1 mg of compound in 1 mL of pure DMSO.

Compound No.	Retention Time (min)	HPLC Purity (%)
<b>1a</b>	18.14	>99
<b>13</b>	14.60 & 14.78 (Diastereo isomers)	>98
<b>14</b>	17.10	>99
<b>15</b>	15.14	>99
<b>16</b>	18.02	>99
<b>17</b>	16.12	>99
<b>18</b>	17.18 & 17.22 (Diastereo isomers)	>97
<b>19</b>	18.47 & 18.53 (Diastereo isomers)	>98
<b>20</b>	19.00	>99
<b>21</b>	10.90	98
<b>22</b>	12.64	>99
<b>23</b>	17.62	>99
<b>24</b>	17.27	>99
<b>25</b>	17.03	>99
<b>26</b>	18.87	>99
<b>27</b>	19.14	>99
<b>28</b>	16.70	>99
<b>29</b>	16.49	>99
<b>30</b>	18.39	>99
<b>31</b>	18.45	>99

32	22.64	>99
33	17.43	>99
34	20.12	>99
35	20.32	>99
36	21.27	>99
37	17.24 & 17.27	>99
	(Diastereo isomers)	
38	18.27	>99
39	17.03	>99
40	17.35	>99
41	17.97	91
42	11.97 & 12.03	>99
	(Diastereo isomers)	
43	17.05 & 17.12	>99
	(Diastereo isomers)	
44	18.93	>99
45	13.10	>99
46	13.09	>99
47	20.53	>99
48	12.50	>99
49	12.52	>99
50	12.75	>99
51	20.22	>99
52	18.78	>99
53	19.10	>99

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**Method 2:** Onyx Monolithio C18 column (100 mm × 4.6 mm, 5 μM particle size) using mobile phase 0.06 % TFA in methanol/water (5 % to 95 % organic over 10 min at 3 mL/min), 20 μL injection. Detection was at 260 nm, runtime 16 min. Samples were prepared by dissolving 1 mg of compound in 1 mL of pure DMSO.

Compound No.	Retention Time (min)	HPLC Purity (%)
1a	8.05	>99
13	6.55	>99
14	7.72	>99
15	6.75	>99
16	7.95	>99
17	7.28	>99
18	7.72	>99
19	8.19	>99
20	8.34	>99
21	4.59	98
22	5.32	>99
23	7.87	>99
24	7.72	>99
25	7.53	>99
26	8.20	>99
27	8.44	>99
28	7.52	>99
29	7.39	>99
30	8.23	>99
31	8.14	>99
32	8.97	>99
33	7.87	>99
34	8.28	>99
35	8.40	>99

36	8.59	>99
37	7.68	>99
38	8.07	>99
39	7.68	>99
40	7.75	>99
41	7.74	91
42	5.85 & 5.97	>99
	(Diastereo isomers)	
43	7.52	>99
44	8.37	>99
45	6.34	>99
46	6.34	>99
47	8.57	>99
48	6.00	>99
49	5.99	>99
50	6.24	>99
51	8.60	>99
52	7.74	>99
53	7.89	>99

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