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## ***SUPPORTING INFORMATION***

***Title:*** Chemoselective Acylation of Amines in Aqueous Media
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***Ref. No.:*** O03620

## *Spectral data:*

**N-Butyl-acetamide (1a):** $^{1}$H NMR (200 MHz, $CDCl_3$) *d* 0.91 (t, 3H), 1.42 (m, 4H), 1.97 (s, 3H), (3.22 m, 2H), 6.5 (brs, 1H); $^{13}$C NMR (50 MHz, $CDCl_3$) *d* 13.99, 20.38, 23.33, 31.87, 39.73, 170.96.

**N-Benzyl-acetamide (3a):** $^{1}$H NMR (400 MHz, $CDCl_3$) *d* 2.02 (s, 3H), 4.43 (d, 2H, *J* = 5.8 Hz), 5.79 (brs, 1H), 7.32 (m, 5H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d* 23.27, 43.75, 127.54, 127.85, 128.70, 138.17, 169.85.

**(*R*)-N-Phenyl-ethyl-acetamide (5a):** $^{1}$H NMR (200 MHz, DMSO-$d_6$) *d* 1.30 (d, 3H, *J* = 7.0 Hz), 1.82 (s, 3H), 4.87 (m, 1H), 7.23 (m, 5H), 8.4 (brs, 1H); $^{13}$C NMR (50 MHz, DMSO-$d_6$) *d* 22.78, 22.96, 48.15, 126.29, 126.92, 128.57, 145.09, 168.82.

**(*S*)-N-Phenyl-ethyl-acetamide (6a):** $^{1}$H NMR (200 MHz, DMSO-$d_6$) *d* 1.35 (d, 3H, *J* = 7.0 Hz), 1.86 (s, 3H), 4.90 (m, 1H), 7.28 (m, 5H), 8.4 (brs, 1H); $^{13}$C NMR (50 MHz, DMSO-$d_6$) *d* 22.84, 23.01, 48.12, 126.32, 126,87, 128.53, 145.19, 168.60.

**N-Phenyl-acetamide (7a):** $^{1}$H NMR (400 MHz, $CDCl_3$) *d* 2.06 (s, 3H), 7.02 (m, 1H), 7.29 (m, 2H), 7.60 (m, 2H), 10.0 (s, 1H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d* 23.9, 118.9, 122.8, 128.5, 139.2, 168.1.

**N-p-Tolyl-acetamide (8a):** $^{1}$H NMR (400 MHz, $CDCl_3$) *d* 2.13 (s, 3H), 2.29 (s, 3H), 7.09 (d, 2H), 7.35 (d, 2H), 7.37 (brs, 1H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d* 20.83, 24.30, 120.24, 129.36, 133.86, 135.4, 168.8.

**N-(4-Methoxy-phenyl)-acetamide (9a):** $^{1}$H NMR (200 MHz, $CDCl_3$) *d* 2.13 (s, 3H), 3.77 (s 3H), 6.84 (d, 2H), 7.39 (d, 2H), 7.45 (s, 1H); $^{13}$C NMR (50 MHz, $CDCl_3$) *d* 24.64, 55.87, 114.54, 122.38, 131.45, 156.87, 168.72.

**N-(2-Fluoro-phenyl)-acetamide (10a):** $^{1}$H NMR (400 MHz, $CDCl_3$) *d* 2.2 (s, 3H), 7.02 (m, 1H), 7.28 (s, 1H), 7.3 (s, 1H), 7.42 (s, 1H), 8.2 (m, 1H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d* 24.45, 114.64, 114.89, 122.17, 124.35, 124.38, 124.43, 126.17, 126.31, 150.91, 154.13, 168.63.

**N-(2,4-difluoro-phenyl)-acetamide (11a):** $^{1}$H NMR (400 MHz, $CDCl_3$) *d* 2.20 (s, 3H), 6.86 (m, 2H), 7.27 (brs, 1H), 8.22 (m, 1H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d* 24.50, 103.25, 103.48, 103.52, 103.76, 111.10, 111.14, 111.32, 111.35, 122.52, 122.91, 123.00, 153.56, 157.36, 159.81, 168.28.

**N-(2,4-Dimethoxy-phenyl)-acetamide (12a):** $^{1}$H NMR (400 MHz, $CDCl_3$) *d* 2.15 (s, 3H), 3.77 (s, 3H), 3.82 (s, 3H), 6.44 (m, 2H), 7.52 (brs, 1H), 8.18 (d, 1H, $J$ = 9.5 Hz); $^{13}$C NMR (100 MHz, $CDCl_3$) *d* 24.66, 55.47, 55.60, 98.49, 103.65, 120.74, 121.18, 149.10, 156.27, 167.86.

**N-(4-Hydroxy-phenyl)-acetamide (15a):** $^{1}$H NMR (300 MHz, DMSO-$d_6$) *d* 1.99 (s, 3H), 6.67 (d, 2H), 7.37 (d, 2H), 9.19 (brs, 1H), 9.67 (brs, 1H); $^{13}$C NMR (75 MHz, DMSO-$d_6$) *d* 22.8, 115.0, 121.3, 131.2, 153.1, 167.6.

**N-(2-Amino-phenyl)-acetamide (16a):** $^{1}$H NMR (200 MHz, $CDCl_3$) *d* 2.10 (s, 3H), 3.65 (brs, 2H), 6.75 (m, 2H), 7.01 (m, 2H), 7.64 (brs, 1H); $^{13}$C NMR (50 MHz, $CDCl_3$) *d* 23.94, 118.41, 119.81, 126.04, 127.72, 129.42, 141.37, 169.69.

**N-(2-Acetylamino-phenyl)-acetamide (16aa):** $^{1}$H NMR (300 MHz, DMSO-$d_6$) *d* 2.07 (s, 6H), 7.11 (m, 2H), 7.52 (m, 2H), 9.37 (s, 2H); $^{13}$C NMR (75 MHz, DMSO-$d_6$) *d* 23.63, 124.51, 124.67, 130.40, 168.57.

**N-(4-Acetylamino-phenyl)-acetamide (17a):** $^{1}$H NMR (300 MHz, DMSO-$d_6$) *d* 2.06 (s, 6H), 7.10 (m, 2H), 7.53 (m, 2H), 9.43 (s, 2H); $^{13}$C NMR (75 MHz, DMSO-$d_6$) *d* 23.7, 124.8, 130.5, 168.8.

**N-(2-Mercapto-phenyl)-acetamide (18a):** $^{1}$H NMR (300 MHz, $CDCl_3$) *d* 1.27 (s, 1H), 1.98 (s, 3H), 7.02 (m, 1H), 7.40 (m, 2H) 7.93 (brs, 1H), 8.31 (m, 1H); $^{13}$C NMR (75 MHz, $CDCl_3$) *d* 24.97, 121.75, 123.47, 124.40, 124.88, 132.48, 136.69, 140.19,168.91.

**Thioacetic acid S-(2-acetylamino-phenyl)ester (18aa):** $^{1}$H NMR (200 MHz, $CDCl_3$) *d* 2.14 (s, 3H), 2.42 (s, 3H), 7.13 (m, 1H), 7.42(m, 2H), 7.69 (brs, 1H), 8.25 (brs, 1H); $^{13}$C NMR (50 MHz, $CDCl_3$) *d* 25.02, 30.70, 117.73, 122.86, 125.20, 131.91, 136.46, 140.02, 168.67, 193.82.

**N-Phenyl-propionamide (7b):** $^{1}$H NMR (400 MHz, $CDCl_3$) *d* 1.17 (t, 3H), 2.32 (q, 2H), 7.03 (m, 1H), 7.24 (m, 2H) 7.48 (m, 2H), 7.70 (s, 1H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d* 9.66, 39.90, 119.90, 124.05, 128.83, 138.01, 172.40.

**N-(2,4-Difluoro-phenyl)-propionamide (11b):** $^{1}$H NMR (300 MHz, DMSO-$d_6$) *d* 1.05 (t, 3H), 2.34 (q, 2H), 7.02 (m, 1H), 7.25 (m, 1H), 7.75 (m, 1H), 9.61 (s, 1H); $^{13}$ C NMR (75 MHz, DMSO-$d_6$) *d* 9.6, 31.3, 103.7, 104.0, 104.4, 110.8, 111.1, 122.9, 125.8, 125.9, 156.9, 160.0, 160.1, 172.4.

**N-(4-Hydroxy-phenyl)-propionamide (15b):** $^{1}$H NMR (400 MHz, DMSO-$d_6$) *d* 1.04 (t, 3H), 2.26 (q, 2H), 7.47 (s, 4H), 9.76 (s, 2H); $^{13}$C NMR (100 MHz, DMSO-$d_6$) *d* 9.86, 18.57, 114.99, 120.80, 131.08, 153.05, 171.24.

**N-(2-Propionylamino-phenyl)-propionamide (16b):** $^{1}$H NMR (300 MHz, DMSO-$d_6$) *d* 1.16 (t, 6H), 2.27 (q, 4H), 7.11 (m, 2H), 7.24 (m, 2H), 8.51 (s, 2H); $^{13}$C NMR (75 MHz, DMSO-$d_6$) *d* 9.70, 29.99, 125.55, 125.84, 130.61, 173.67.

**N-(4-Propionylamino-phenyl)-propionamide (17b):** $^{1}$H NMR (400 MHz, DMSO-$d_6$) *d* 1.05 (t, 6H), 2.26 (q, 4H), 7.47 (s, 4H), 9.76 (s, 2H); $^{13}$C NMR (100 MHz, DMSO-$d_6$) *d* 9.75, 29.34, 119.36, 134.59, 171.64.

**N-(2-Mercapto-phenyl)-propionamide (18b):** $^{1}$H NMR (400 MHz, $CDCl_3$) *d* 1.10 (t, 3H), 2.14 (q, 2H), 6.96 (t, 1H), 7.36 (m, 3H), 7.95 (s, 1H), 8.33 (d, 1H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d* 9.49, 30.62, 120.85, 124.10, 132.03, 136.35, 139.79, 171.94.

**2-Ethyl-benzothiazole (18bb):** $^{1}$H NMR (400 MHz, $CDCl_3$) *d* 1.47 (t, 3H), 3.15 (q, 2H), 7.25 (t, 1H), 7.35 (t, 1H), 7.75 (d, 1H), 7.88 (d, 1H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d* 13.76, 27.65, 121.45, 122.34, 124.61, 125.87, 134.90, 152.99, 173.72.

**N- (4-Fluoro-phenyl)-propionamide (19b):** $^{1}$H NMR (400 MHz, $CDCl_3$) *d* 1.14 (t, 3H), 2.28 (q, 2H), 6.89 (m, 2H), 7.37 (m, 3H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d* 9.63, 30.48, 115.38, 115.61, 121.65, 121.73, 133.93, 158.02, 160.43, 172.16.

**N-Butyl-benzamide (1c):** $^{1}$H NMR (400 MHz, $CDCl_3$) *d* 0.88 (t, 3H), 1.32 (m, 2H), 1.55 (m, 2H), 3.39 (q, 2H), 6.69 (brs, 1H), 7.31-7.80 (brm, 5H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d* 13.62, 20.0, 31.48, 39.79, 126.84, 128.58, 129.93, 131.17, 167.87.

**N-Furan-2-ylmethyl-benzamide (4c):** $^{1}$H NMR (400 MHz, $CDCl_3$) *d* 4.58 (d, 2H, *J* = 5.6 Hz), 6.27 (m, 2H), 6.89 (brs, 1H), 7.31-7.78 (m, 6H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d* 36.90, 107.59, 110.39, 126.98, 128.41, 129.99, 131.53, 142.12, 151.02, 167.55.

**N-Phenyl-benzamide (7c):** $^{1}$H NMR (300 MHz, DMSO-$d_6$) *d* 7.12 (m, 1H), 7.34 (m, 2H), 7.55 (m, 3H), 7.83 (d, 2H), 7.98 (d, 2H), 10.23 (s, 1H); $^{13}$C NMR (75 MHz, DMSO-$d_6$) *d* 120.39, 123.47, 127.56, 128.02, 128.30, 131.16, 135.07, 139.07, 165.65.

**N-p-Tolyl-benzamide (8c):** $^{1}$H NMR (400 MHz, $CDCl_3$) *d* 2.31 (s, 3H), 7.12 (d, 2H, *J* = 8.0 Hz), 7.39-7.61 (m, 6H), 7.83 (d, 2H, *J* = 8.0 Hz); $^{13}$C NMR (100 MHz, $CDCl_3$) *d* 20.84, 120.43, 127.02, 128.40, 129.46, 130.11, 131.64, 133.67, 135.25, 171.96.

**N- (4-Hydroxy-phenyl)-benzamide (15c):** $^{1}$H NMR (400 MHz, DMSO-$d_6$) *d* 6.75 (m, 2H), 7.38-7.61(m, 6H), 7.93 (m, 2H), 10.04 (s, 1H); $^{13}$C NMR (100 MHz, DMSO-$d_6$) *d* 115.05, 122.35, 127.56, 127.74, 128.34, 131.31, 135.23, 153.86, 165.03.

**N-(4-Amino-phenyl)-benzamide (17c):** $^{1}$H NMR (400 MHz, DMSO-$d_6$) *d* 6.54 (d, 2H, *J* = 8.4 Hz), 7.36 (d, 2H *J* =8.4 Hz), 7.48-7.96 (m, 5H), 9.85 (s, 1H), 10.22 (s, 2H); $^{13}$C NMR (100 MHz, DMSO-$d_6$) δ 113.68, 120.64, 122.23, 127.58, 128.54, 131.46, 134.95, 145.16, 165.30.

**N-(4-Benzoylamino-phenyl)-benzamide (17cc):** $^{1}$H NMR (400 MHz, DMSO-$d_6$) *d* 7.35-7.98 (m, 14H), 10.44 (s, 2H); $^{13}$C NMR (100 MHz, DMSO-$d_6$) *d* 120.71, 127.65, 128.60, 129.30, 132.90, 135.09, 167.38.

**N-Furan-2ylmethyl-succinamic acid (4d):** $^{1}$H NMR (300 MHz, DMSO-$d_6$) *d* 2.33 (m, 2H), 2.44 (m, 2H), 4.22 (d, 2H, *J* = 5.4 Hz), 6.20 (s, 1H), 6.35 (s, 1H), 7.52 (s, 1H), 8.30 (m, 1H), 12.29 (brs, 1H); $^{13}$ C NMR (75 MHz, DMSO-$d_6$) *d* 29.2, 30.0, 35.7, 106.9, 110.6, 142.1, 152.5, 171.2, 174.0.

**N-Phenyl-succinamic acid (7d):** $^{1}$H NMR (300 MHz, DMSO-$d_6$) *d* 2.56 (m, 4H), 7.02 (m, 1H), 7.28 (m, 2H), 7.59 (m, 2H), 9.95 (s, 1H), 12.15 (brs, 1H); $^{13}$C NMR (75 MHz, DMSO-$d_6$) *d* 28.9, 31.1, 119.0, 123.0, 128.7, 139.3, 170.2, 173.9.

**N-p-Tolyl-succinamic acid (8d):** $^{1}$H NMR (400 MHz, DMSO-$d_6$) *d* 2.19 (s, 3H), 2.49 (s, 4H), 7.04 (d, 2H, *J* = 8.4Hz), 7.42 (d, 2H, *J* = 8.4Hz), 9.82 (s, 1H), 12.08 (brs, 1H); $^{13}$C NMR (100 MHz, DMSO-$d_6$) *d* 20.44, 28.84, 30.71, 118.92, 129.06, 131.77, 136.84, 169.83, 173.91.

**N- (4-Hydroxy-phenyl)-succinamic acid (15d):** $^{1}$H NMR (300 MHz, DMSO-$d_6$) *d* 2.56 (s, 4H), 6.74 (d, 2H, *J* = 8.7 Hz), 6.90 (m, 1H), 7.20 (m, 1H), 7.41 (d, 2H, *J* = 8.4 Hz), 9.74 (s, 1H); $^{13}$C NMR (75 MHz, DMSO-$d_6$) *d* 29.2, 31.1, 116.1,121.1, 131.2, 153.3, 169.8, 174.2.

**N- (2,4-Dimethyl-phenyl)-succinamic acid (20d):** $^{1}$H NMR (300 MHz, DMSO-$d_6$) *d* 2.13 (s, 3H), 2.23 (s, 3H), 2.54 (m, 4H), 6.92 (d, 1H, *J* = 8.1 Hz), 6.99 (s, 1H), 7.21 (d, 1H, *J* = 8.1 Hz), 9.20 (s, 1H), 12.0 (brs, 1H); $^{13}$C NMR (75 MHz, DMSO-$d_6$) *d* 17.7, 20.5, 29.0, 30.5, 125.1, 126.3, 130.7, 131.7, 133.8, 134.0, 170.0, 173.9

**3-[(Furan-2-ylmethyl)-carbamoyl]-acrylic acid (4e):** $^{1}$H NMR (400 MHz, $CD_3CN$) *d* 4.51 (d, 2H), 6.26-6.46 (m, 5H), 7.48 (s, 1H), 8.15 (s, 1H); $^{13}$C NMR (100 MHz, $CD_3CN$) *d* 36.24, 107.95, 110.28, 130.80, 135.37, 142.47, 149.56, 164.43, 166.03.

**3-Phenylcarbamoyl-acrylic acid (7e):** $^{1}$H NMR (300 MHz, DMSO-$d_6$) *d* 6.34 (m, 1H), 6.51 (m, 1H), 7.10 (m, 1H), 7.34 (m, 2H), 7.64 (m, 2H), 10.50 (s, 1H), 13.25 (brs, 1H); $^{13}$C NMR (75 MHz, DMSO-$d_6$) *d* 119.6, 123.9, 128.8, 130.6, 131.7, 138.5, 163.3, 166.8.

**3-(2,4-Difluoro-phenylcarbamoyl)-acrylic acid (11e):** $^{1}$H NMR (300 MHz, DMSO-$d_6$) *d* 6.32 (d, 1H, *J* =12 Hz), 6.51 (d, 1H, *J* =12Hz), 7.07 (m, 1H), 7.29 (m, 1H), 7.84 (m, 1H), 10.24 (s, 1H); $^{13}$C NMR (75 MHz, DMSO-$d_6$) *d* 104.0, 104.3, 104.7, 111.2, 111.5, 122.1, 122.2, 125.8, 125.9, 130.9, 131.0, 152.5, 152.6, 155.8, 155.9, 157.2, 157.4, 160.5, 160.6, 163.6, 167.8.

**N-Furan-2ylmethyl-phthalamic acid (4f):** $^{1}$H NMR (400 MHz, $CD_3CN$) *d* 4.52 (d, 2H), 6.36 (m, 1H), 6.41 (m, 1H), 7.34-7.61 (brm, 5H), 7.91 (m, 1H); $^{13}$C NMR (100 MHz, $CD_3CN$) *d* 36.52, 107.20, 110.49, 127.86, 129.90, 129.97, 130.26, 131.86, 137.36, 142.21, 151.82, 167.35, 169.47.

**N-Phenyl-phthalamic acid (7f):** $^{1}$H NMR (300 MHz, DMSO-$d_6$) *d* 7.08 (t, 1H), 7.33 (t, 2H), 7.49-7.74 (brm, 5H), 7.95 (d, 1H), 10.34 (s, 1H); $^{13}$C NMR (75 MHz, DMSO-$d_6$) *d* 119.7, 123.4, 127.5,128.7, 129.0, 129.6, 130.1, 131.8, 139.0,139.6, 167.6.

**N-p-Tolyl-phthalamic acid (8f):** $^{1}$H NMR (300 MHz, DMSO-$d_6$) *d* 2.26 (s, 3H), 7.13 (d, 2H), 7.50-7.67 (m, 5H), 7.87 (d, 1H), 10.25 (s, 1H), 13.02 (s, 1H); $^{13}$C NMR (75 MHz, DMSO-$d_6$) *d* 20.68, 119.14, 127.31, 128.50, 128.83, 129.02, 129.56, 131.14, 131.73, 136.56, 138.41, 166.48, 166.86.

**N-(4-Methoxy-phenyl)-phthalamic acid (9f):** $^{1}$H NMR (300 MHz, DMSO-$d_6$) *d* 3.75 (s, 3H), 6.92 (d, 2H), 7.55-7.67 (m, 5H), 7.87 (d, 1H), 10.32 (s, 1H). 13.10 (s, 1H); $^{13}$C NMR (75 MHz, DMSO-$d_6$) *d* 55.22, 113.46, 120.78, 127.39, 128.88, 129.10, 129.74, 131.19, 132.35, 138.51, 154.81, 166.36, 167.02.

**N-(4-Hydroxy-phenyl)-phthalamic acid (15f):** $^{1}$H NMR (300 MHz, DMSO-$d_6$) *d* 6.72 (d, 2H), 7.44-7.66 (m, 5H), 7.84 (d, 1H), 9.20 (s, 1H), 10.07 (s, 1H), 13.14 (s, 1H); $^{13}$C NMR (75 MHz, DMSO-$d_6$) *d* 114.49, 120.79, 127.24, 128.64, 128.86, 129.69, 130.69, 130.93, 138.37, 152.70, 165.91, 166.88.

**N- (4-Fluoro-phenyl)-phthalamic acid (19f):** $^{1}$H NMR (300 MHz, DMSO-$d_6$) *d* 7.13-8.00 (brm, 8H), 10.39 (s, 1H), 13.11 (brs, 1H); $^{13}$C NMR (75 MHz, DMSO-$d_6$) *d* 115.0, 115.3, 115.6, 115.9, 121.2, 121.3, 123.4, 127.8, 128.2, 128.7, 128.9, 129.4, 129.6, 129.7, 130.0, 130.7, 131.0, 131.4, 131.6, 131.7, 132.0, 134.7, 136.0, 138.8, 156.5, 159.7, 167.0, 167.3, 167.4, 168.0.