

Table S1 Physical data and yields of **7**, **10**, **11**, **12**

Compd	Yield (%)	m.p. (°C)	¹ H-NMR	MS <i>m/z</i> (int.)	formula
					HR-MS <i>m/z</i> M ⁺ Calcd (Found) or Analysis Calcd(Found)
7	75.0	126.2-128.7	δ_{H} (60 MHz; CDCl ₃ ; Me ₄ Si) 2.37 (3H, s, OCOCH ₃), 3.84 (3H, s, OCH ₃), 7.80 (1H, d, <i>J</i> 7.8, 4- or 5-H), 7.51 (1H, d, <i>J</i> 8.8, 4- or 5-H), 10.27 (1H, s, CHO)	272(10.75), 230(M ⁺ , 100.00)	–
10	72.9 from 7	116.2-119.4	δ_{H} (60 MHz; acetone- <i>d</i> ₆ ; Me ₄ Si) 3.92 (3H, s, OCH ₃), 6.90-7.25 (2H, m, 4-, 5-H)	229(99.28), 227(M ⁺ , 100.00)	–
11	–	82.4-85.6	δ_{H} (60 MHz; CDCl ₃ ; Me ₄ Si) 1.30 (3H, t, <i>J</i> 7.1, CH ₂ CH ₃), 3.90 (3H, s, OCH ₃), 4.26 (2H, q, <i>J</i> 7.1, CH ₂ CH ₃), 4.80 (2H, s, OCH ₂), 6.96 (1H, d, <i>J</i> 8.8, 6-H), 7.32 (1H, d, <i>J</i> 8.8, 5-H)	313(M ⁺ , 100.00)	–
12a	79.0	149.9-150.6	δ_{H} (60 MHz; CDCl ₃ ; Me ₄ Si) 2.51 (3H, s, COCH ₃), 3.99 (3H, s, OCH ₃), 6.16 (2H, br s, NH ₂), 6.79 (1H, d, <i>J</i> 8.5, 6-H), 7.23 (1H, d, <i>J</i> 8.6, 5-H)	283(M ⁺ , 100.00),	C ₁₁ H ₁₀ BrNO ₃ C;46.50 (46.46) H;3.55 (3.58) N;4.93 (4.86)
12b	81.6	203.1-205.9	δ_{H} (60 MHz; CDCl ₃ ; Me ₄ Si) 3.98 (3H, s, OCH ₃), 6.64 (2H, br s, NH ₂), 6.81 (1H, d, <i>J</i> 8.5, 6-H), 7.26 (1H, d, <i>J</i> 8.8, 5-H), 7.41-7.56 (2H, m, 2'-, 6'-H or 3'-, 5'-H), 8.13-8.28 (2H, m, 2'-, 6'-H or 3'-, 5'-H)	379(M ⁺ , 73.07), 380(100.00)	C ₁₆ H ₁₁ BrClNO ₃ C;50.49 (50.56) H;2.91 (2.84) N;3.68 (3.66)
12c	77.2 from 10	210.6-211.6	δ_{H} (60 MHz; CDCl ₃ ; Me ₄ Si) 1.41 (3H, t, <i>J</i> 7.1, CH ₂ CH ₃), 3.96 (3H, s, OCH ₃), 4.43 (2H, q, <i>J</i> 6.8, CH ₂ CH ₃), 5.53 (2H, br s, NH ₂), 6.75 (1H, d, <i>J</i> 8.3, 6-H), 7.22 (1H, d, <i>J</i> 8.3, 5-H)	313(M ⁺ , 100.00)	C ₁₂ H ₁₂ BrNO ₄ C;45.88 (45.80) H;3.85 (3.84) N;4.46 (4.42)

Table S2 Physical data and yields of **13**, **14**, **18**, **19**

Compd	Yield (%)	m.p. (°C)	¹ H-NMR	MS <i>m/z</i> (int.)	formula
					HR-MS <i>m/z</i> M ⁺ Calcd (Found) or Analysis Calcd(Found)
13	93.0	225.2-227.9	δ_{H} (60 MHz; DOSO- <i>d</i> ₆ ; Me ₄ Si) 1.32 (3H, t, <i>J</i> 7.1, CH ₂ CH ₃), 3.70-4.50 (7H, m, CH ₂ CH ₃ , CH ₂ Cl, OCH ₃), 7.11 (1H, d, <i>J</i> 8.3, 6-H), 7.51 (1H, d, <i>J</i> 8.1, 5-H), 10.19 (1H, br s, NH)	389(M ⁺ , 25.60), 264(100.00)	–
14	86.1	109.8-112.3	δ_{H} (60 MHz; CDCl ₃ ; Me ₄ Si) 1.38 (9H, t, <i>J</i> 7.2, CH ₂ CH ₃ ×3), 3.15 (2H, d, <i>J</i> 20.5, PCH ₂), 3.99 (3H, s, OCH ₃), 4.12-4.61 (6H, m, CH ₂ CH ₃ ×3), 6.78 (1H, d, <i>J</i> 8.3, 6-H), 7.34 (1H, d, <i>J</i> 8.3, 5-H), 8.91 (1H, br s, NH)	491(M ⁺ , 19.83), 412(59.45), 313(100.00)	C ₁₈ H ₂₃ BrNO ₃ P C;43.92 (43.96) H;4.71 (4.77) N;2.85 (3.05)
18a	81.8	224.9-226.3	δ_{H} (60 MHz; CDCl ₃ ; Me ₄ Si) 2.53 (3H, s, COCH ₃), 2.94-3.04 (2H, m, CH ₂ CH ₂), 3.81-3.98 (2H, m, CH ₂ CH ₂), 3.98 (3H, s, OCH ₃), 7.10 (1H, d, <i>J</i> 8.6, 6-H), 7.48 (1H, d, <i>J</i> 8.0, 5-H), 10.0 (1H, br s, NH)	373(12.14), 283(M ⁺ , 100.00)	C ₁₄ H ₁₃ BrClNO ₄ C;44.89 (44.89) H;3.50 (3.47) N;3.74 (3.72)
18b	80.1	222.6-224.2	δ_{H} (400 MHz; acetone- <i>d</i> ₆ ; Me ₄ Si) 2.85 (2H, t, <i>J</i> 6.6, CH ₂ CH ₂), 3.75 (2H, t, <i>J</i> 6.6, CH ₂ CH ₂), 4.04 (3H, s, OCH ₃), 7.10 (1H, d, <i>J</i> 8.5, 6-H), 7.47 (1H, d, <i>J</i> 8.5, 5-H), 7.58-7.61 (2H, m, 2'-, 6'-H or 3'-, 5'-H), 7.99-8.03 (2H, m, 2'-, 6'-H or 3'-, 5'-H), 9.01 (1H, br s, NH)	469(M ⁺ , 11.94), 380(100.00)	C ₁₉ H ₁₄ BrCl ₂ NO ₄ C;48.44 (48.38) H;3.00 (3.19) N;2.97 (3.11)
18c	79.0	241.1-243.2	δ_{H} (400 MHz; acetone- <i>d</i> ₆ ; Me ₄ Si) 1.37 (3H, t, <i>J</i> 7.3, CH ₂ CH ₃), 3.02 (2H, t, <i>J</i> 5.9, CH ₂ CH ₂), 3.92 (2H, t, <i>J</i> 6.6, CH ₂ CH ₂), 4.03 (3H, s, OCH ₃), 4.38 (2H, q, <i>J</i> 7.3, CH ₂ CH ₃), 7.05 (1H, d, <i>J</i> 8.4, 6-H), 7.43 (1H, d, <i>J</i> 8.4, 5-H), 9.01 (1H, br s, NH)	403(M ⁺ , 16.46), 313(100.00)	C ₁₅ H ₁₅ BrClBrNO ₅ 5 C;44.52 (44.52) H;3.74 (3.68) N;3.46 (3.53)
19a	22.5	228.6-230.9	δ_{H} (500 MHz; CDCl ₃ ; Me ₄ Si) 1.39 (3H, t, <i>J</i> 7.2, CH ₂ CH ₃), 4.00 (3H, s, OCH ₃), 4.42 (2H, q, <i>J</i> 7.4, CH ₂ CH ₃), 5.84 (1H, d, <i>J</i> 10.5, CH=CH _c), 6.37 (1H, dd, <i>J</i> 16.9 and 10.0, CH _a =CH ₂), 6.46 (1H, dd, <i>J</i> 17.5 and 1.4, CH=CH _b), 6.80 (1H, d, <i>J</i> 8.7, 6-H), 7.35 (1H, d, <i>J</i> 8.7, 5-H), 7.70 (1H, br s, NH)	367(M ⁺ , 25.33), 242(100.01)	C ₁₅ H ₁₄ BrNO ₅ C;48.93 (48.93) H;3.80 (3.86) N;3.80 (3.78)

Table S3 Physical data and yields of **17**

Compd	Yield (%)	m.p. (°C)	¹ H-NMR	MS <i>m/z</i> (int.)	formula
					HR-MS <i>m/z</i> M ⁺ Calcd (Found) or Analysis Calcd(Found)
17a	46.4	240.0-242.7	δ_{H} (400 MHz; acetone- <i>d</i> ₆ ; Me ₄ Si) 2.56 (3H, s, COCH ₃), 4.05 (3H, s, OCH ₃), 7.06 (1H, d, <i>J</i> 15.7, CH=CH), 7.08 (1H, d, <i>J</i> 8.7, 6-H), 7.40-7.47 (3H, m, phenyl H), 7.44 (1H, d, <i>J</i> 8.4, 5-H), 7.67-7.69 (2H, m, phenyl H), 7.72 (1H, d, <i>J</i> 15.7, CH=CH), 9.10 (1H, br s, NH)	413(M ⁺ , 6.31), 131(100.00)	C ₂₀ H ₁₆ BrNO ₄ C;57.99 (57.96) H;3.89 (3.80) N;3.38 (3.37)
17b	74.3	208.5-213.5	δ_{H} (400 MHz; CDCl ₃ ; Me ₄ Si) 1.39 (3H, t, <i>J</i> 7.0, CH ₂ CH ₃), 4.01 (3H, s, OCH ₃), 4.43 (2H, q, <i>J</i> 7.0, CH ₂ CH ₃), 6.67 (1H, d, <i>J</i> 15.7, CH=CH), 6.81 (1H, d, <i>J</i> 8.5, 6-H), 7.36 (1H, d, <i>J</i> 8.4, 5-H), 7.39-7.40 (3H, m, phenyl H), 7.56-7.57 (2H, m, phenyl H), 7.78(1H, br s, NH), 7.80 (1H, d, <i>J</i> 15.7, CH=CH)	443(M ⁺ , 8.37), 131(100.01)	C ₂₁ H ₁₈ BrNO ₅ C;56.77 (56.65) H;4.08 (3.93) N;3.15 (3.23)
17c ^{a)}	72.7	210.1-215.7	δ_{H} (500 MHz; CDCl ₃ ; Me ₄ Si) 1.95 (3H, dd, <i>J</i> 6.8 and 1.4, CH=CH(CH ₃)), 2.60 (3H, s, COCH ₃), 4.00 (3H, s, OCH ₃), 6.11 (1H, dd, <i>J</i> 15.1 and 1.9, CH=CH(CH ₃)), 6.81 (1H, d, <i>J</i> 8.3, 6-H), 7.04 (1H, dq, <i>J</i> 15.5 and 6.4, CH=CH(CH ₃)), 7.35 (1H, d, <i>J</i> 8.3, 5-H), 7.96 (1H, br s, NH)	351(M ⁺ , 13.37), 283(100.00)	–
17d ^{a)}	58.0	209.5-212.5	δ_{H} (400 MHz; CDCl ₃ ; Me ₄ Si) 1.93 (3H, dd, <i>J</i> 6.6 and 1.8, CH=CH(CH ₃)), 4.00 (3H, s, OCH ₃), 6.07 (1H, dd, <i>J</i> 15.4 and 1.8, CH=CH(CH ₃)), 6.83 (1H, d, <i>J</i> 8.4, 6-H), 7.00 (1H, dq, <i>J</i> 15.0 and 6.6, CH=CH(CH ₃)), 7.38 (1H, d, <i>J</i> 8.4, 5-H), 7.47-7.51 (2H, m, 2'-, 6'-H or 3'-, 5'-H), 8.05-8.10 (2H, m, 2'-, 6'-H or 3'-, 5'-H), 8.32(1H, br s, NH)	447(M ⁺ , 24.32), 368(100.00)	–
17e ^{a)}	76.6	214.7-215.8	δ_{H} (400 MHz; DMSO- <i>d</i> ₆ ; Me ₄ Si) 1.28 (3H, t, <i>J</i> 6.9, CH ₂ CH ₃), 1.88 (3H, d, <i>J</i> 6.6, CH=CH(CH ₃)), 3.97 (3H, s, OCH ₃), 4.31 (2H, q, <i>J</i> 7.0, CH ₂ CH ₃), 6.21 (1H, d, <i>J</i> 15.4, CH=CH(CH ₃)), 6.80 (1H, dq, <i>J</i> 15.4 and 7.0, CH=CH(CH ₃)), 7.09 (1H, d, <i>J</i> 8.4, 6-H), 7.46 (1H, d, <i>J</i> 8.4, 5-H), 9.76 (1H, br s, NH)	381(M ⁺ , 4.97), 69(100.00)	–
17f	82.0	181.8-182.5	δ_{H} (400 MHz; CDCl ₃ ; Me ₄ Si) 1.39 (3H, t, <i>J</i> 7.0, CH ₂ CH ₃), 3.89 (3H, s, OCH ₃), 3.91 (6H, s, OCH ₃ ×2), 4.01 (3H, s, OCH ₃), 4.43 (2H, q, <i>J</i> 6.9, CH ₂ CH ₃), 6.58 (1H, d, <i>J</i> 15.4, CH=CH), 6.79-6.80 (2H, m, 2'-, 6'-H), 6.81 (1H, d, <i>J</i> 8.5, 6-H), 7.37 (1H, d, <i>J</i> 8.4, 5-H), 7.37 (1H, d, <i>J</i> 15.4, CH=CH), 7.79 (1H, br s, NH)	533(M ⁺ , 11.51), 221(100.00)	C ₂₄ H ₂₄ BrN ₁ O ₈ C;53.94 (53.81) H;4.53 (4.49) N;2.62 (2.68)
17g	80.9	220.4-220.7	δ_{H} (400 MHz; CDCl ₃ ; Me ₄ Si) 1.39 (3H, t, <i>J</i> 7.0, CH ₂ CH ₃), 3.90 (3H, s, 2'-OCH ₃), 4.00 (3H, s, 7-OCH ₃), 4.42 (2H, q, <i>J</i> 7.3, CH ₂ CH ₃), 6.80 (1H, d, <i>J</i> 8.5, 6-H), 6.80 (1H, d, <i>J</i> 15.8, CH=CH), 6.92 (1H, d, <i>J</i> 8.1, 3'-H), 6.97 (1H, dd, <i>J</i> 7.3, 5'-H), 7.33-7.37 (1H, m, 4'-H), 6.35 (1H, d, <i>J</i> 8.4, 5-H), 7.53 (1H, d, <i>J</i> 7.7, 6'-H), 7.78 (1H, br s, NH), 8.05 (1H, d, <i>J</i> 16.1, CH=CH)	473(M ⁺ , 4.81), 161(100.00)	C ₂₂ H ₂₀ BrN ₂ O ₆ C;55.71 (55.31) H;4.25 (4.19) N;2.95 (2.87)
17h	85.6	279.9-282.5	δ_{H} (400 MHz; DOSO- <i>d</i> ₆) 1.30 (3H, t, <i>J</i> 7.3, CH ₂ CH ₃), 4.00 (3H, s, OCH ₃), 4.33 (2H, q, <i>J</i> 7.0, CH ₂ CH ₃), 7.03 (1H, d, <i>J</i> 16.1, CH=CH), 7.12 (1H, d, <i>J</i> 8.8, 6-H), 7.42 (1H, dd, <i>J</i> 8.1, 4'-H), 7.49 (1H, d, <i>J</i> 8.4, 5-H), 7.58-7.60 (2H, m, 3'-, 5'-H), 7.63 (1H, d, <i>J</i> 16.1, CH=CH), 10.28 (1H, br s, NH)	511(M ⁺ , 10.11), 432(71.65), 313(79.65), 199(100.00)	C ₂₁ H ₁₆ BrCl ₂ NO ₅ C;49.15 (48.93) H;3.14 (3.13) N;2.73 (2.88)
17i	86.3	197.9-199.4	δ_{H} (400 MHz; CDCl ₃ ; Me ₄ Si) 1.40 (3H, t, <i>J</i> 7.0, CH ₂ CH ₃), 4.01 (3H, s, OCH ₃), 4.44 (2H, q, <i>J</i> 7.3, CH ₂ CH ₃), 6.76 (1H, d, <i>J</i> 15.8, CH=CH), 6.82 (1H, d, <i>J</i> 8.4, 6-H), 7.36-7.38 (1H, m, pyridine H), 7.38 (1H, d, <i>J</i> 8.4, 5-H), 7.79 (1H, d, <i>J</i> 15.8, CH=CH), 7.86-7.90 (2H, m, pyridine H), 8.61 (1H, dd, <i>J</i> 4.7 and 1.5, 2'-H), 8.81 (1H, br s, NH)	444(M ⁺ , 14.35), 365(64.84), 132(100.00)	C ₂₀ H ₁₇ BrN ₂ O ₅ C;53.95 (53.92) H;3.85 (3.82) N;6.29 (6.26)

a) containing a small amount of 3-(3-chlorobutrylamino)benzo[*b*]furans.

Table S4 Physical data and yields of 20, 21

Compd	Yield (%)	m.p. (°C)	¹ H-NMR	MS <i>m/z</i> (int.)	formula
					HR-MS <i>m/z</i> M ⁺ Calcd (Found) or Analysis Calcd(Found)
20a	34.4	246.5-248.3	δ _H (500 MHz; CDCl ₃ ; Me ₄ Si) 2.58 (3H, s, COCH ₃), 4.01 (3H, s, OCH ₃), 5.99 (1H, d, <i>J</i> 2.3, 5-H), 6.76 (1H, d, <i>J</i> 8.2, 7- or 8-H), 6.78 (1H, d, <i>J</i> 8.7, 7- or 8-H), 7.32-7.34 (2H, m, phenyl H), 7.42-7.45 (3H, m, phenyl H), 9.71 (1H, br s, NH)	333(M ⁺ , 100.00)	C ₂₀ H ₁₅ NO ₄ C;72.06 (71.78) H;4.54 (4.44) N;4.20 (4.15)
20b	62.2	241.7-224.0	δ _H (400 MHz; CDCl ₃ ; Me ₄ Si) 1.44 (3H, t, <i>J</i> 7.3, CH ₂ CH ₃), 3.99 (3H, s, OCH ₃), 4.47 (2H, q, <i>J</i> 7.3, CH ₂ CH ₃), 5.94 (1H, d, <i>J</i> 2.2, 5-H), 6.72 (1H, d, <i>J</i> 8.4, 7- or 8-H), 6.75 (1H, d, <i>J</i> 8.4, 7- or 8-H), 7.32-7.35 (2H, m, phenyl H), 7.42-7.46 (3H, m, phenyl H), 9.00 (1H, br s, NH)	363(M ⁺ , 100.00)	C ₂₁ H ₁₇ NO ₅ C;69.41 (69.18) H;4.72 (4.63) N;3.85 (3.90)
20c	34.3	278.8-280.2	δ _H (500 MHz; CDCl ₃ ; Me ₄ Si) 2.27 (3H, d, <i>J</i> 0.9, 6-CH ₃), 2.56 (3H, s, COCH ₃), 4.05 (3H, s, OCH ₃), 6.04 (1H, q, <i>J</i> 0.9, 5-H), 6.92 (1H, d, <i>J</i> 8.3, 8-H), 7.20 (1H, d, <i>J</i> 8.3, 7-H), 9.63 (1H, br s, NH)	271(M ⁺ , 100.00)	C ₁₅ H ₁₃ NO ₄ C;66.41 (66.19) H;4.83 (4.77) N;5.16 (5.16)
20d	42.7	262.1-262.4	δ _H (500 MHz; CDCl ₃ ; Me ₄ Si) 2.33 (3H, d, <i>J</i> 1.3, 6-CH ₃), 4.04 (3H, s, OCH ₃), 6.11 (1H, d, <i>J</i> 1.4, 5-H), 6.95 (1H, d, <i>J</i> 8.2, 8-H), 7.23 (1H, d, <i>J</i> 8.7, 7-H), 7.51-7.53 (2H, m, 2'-, 6'-H or 3'-, 5'-H), 8.22-8.24 (2H, m, 2'-, 6'-H or 3'-, 5'-H), 10.22 (1H, br s, NH)	367(M ⁺ , 100.00)	C ₂₀ H ₁₄ ClNO ₄ C;65.31 (65.19) H;3.84 (3.71) N;3.81 (3.84)
20e	60.9	221.4-223.8	δ _H (400 MHz; CDCl ₃ ; Me ₄ Si) 1.43 (3H, t, <i>J</i> 7.0, CH ₂ CH ₃), 2.27 (3H, d, <i>J</i> 1.1, 6-CH ₃), 4.02 (3H, s, OCH ₃), 4.45 (2H, q, <i>J</i> 6.9, CH ₂ CH ₃), 6.02 (1H, q, <i>J</i> 1.1, 5-H), 6.88 (1H, d, <i>J</i> 8.4, 8-H), 7.19 (1H, d, <i>J</i> 8.4, 7-H), 8.96 (1H, br s, NH)	301(M ⁺ , 100.00)	C ₁₆ H ₁₅ NO ₅ C;63.78 (63.46) H;5.02 (5.03) N;4.65 (4.66)
20f	44.9	250.0-252.4	δ _H (400 MHz; CDCl ₃ ; Me ₄ Si) 1.45 (3H, t, <i>J</i> 7.3, CH ₂ CH ₃), 3.86 (6H, s, OCH ₃ ×2), 3.91 (3H, s, OCH ₃), 4.00 (3H, s, OCH ₃), 4.67 (2H, q, <i>J</i> 7.4, CH ₂ CH ₃), 5.98 (1H, d, <i>J</i> 2.6, 5-H), 6.56 (2H, s, 2'-, 6'-H), 6.76 (1H, d, <i>J</i> 8.4, 8-H), 6.86 (1H, d, <i>J</i> 8.4, 7-H), 9.00 (1H, br s, NH)	453(M ⁺ , 100.00)	C ₂₄ H ₂₃ NO ₈ C;63.57 (63.19) H;5.11 (5.28) N;3.09 (3.02)
20g	51.8	192.1-192.9	δ _H (500 MHz; CDCl ₃ ; Me ₄ Si) 1.44 (3H, t, <i>J</i> 7.3, CH ₂ CH ₃), 3.73 (3H, s, 2'-OCH ₃), 3.97 (3H, s, 9-OCH ₃), 4.47 (2H, q, <i>J</i> 7.3, CH ₂ CH ₃), 5.93 (1H, d, <i>J</i> 2.3, 5-H), 6.55 (1H, d, <i>J</i> 8.2, 7-H), 6.70 (1H, d, <i>J</i> 8.2, 8-H), 6.98 (1H, d, <i>J</i> 8.3, 3'-H), 7.03 (1H, ddd, <i>J</i> 7.3 and 1.0, 5'-H), 7.18 (1H, d, <i>J</i> 7.3 and 1.8, 6'-H), 7.40 (1H, ddd, <i>J</i> 6.4 and 1.9, 4'-H), 9.01 (1H, br s, NH)	393(M ⁺ , 100.00)	C ₂₂ H ₁₉ NO ₆ C;67.17 (66.95) H;4.87 (4.84) N;3.56 (3.55)
20h	41.0	257.5-258.7	δ _H (400 MHz; CDCl ₃ ; Me ₄ Si) 1.44 (3H, t, <i>J</i> 7.0, CH ₂ CH ₃), 3.98 (3H, s, OCH ₃), 4.48 (2H, q, <i>J</i> 7.0, CH ₂ CH ₃), 5.88 (1H, d, <i>J</i> 2.2, 5-H), 6.40 (1H, d, <i>J</i> 8.5, 7-H or 8-H), 6.72 (1H, d, <i>J</i> 8.4, 7-H or 8-H), 7.32 (1H, dd, <i>J</i> 8.8, 4'-H), 7.43-7.45 (2H, m, 3'-, 5'-H), 9.06 (1H, br s, NH)	431(M ⁺ , 100.00)	C ₂₁ H ₁₅ NO ₅ Cl ₂ C;58.35 (58.62) H;3.50 (3.51) N;3.24 (3.33)
20i	12.5	246.2-249.3	δ _H (500 MHz; CDCl ₃ ; Me ₄ Si) 1.45 (3H, t, <i>J</i> 7.4, CH ₂ CH ₃), 4.00 (3H, s, OCH ₃), 4.48 (2H, q, <i>J</i> 7.4, CH ₂ CH ₃), 5.92 (1H, d, <i>J</i> 2.3, 5-H), 6.65 (1H, d, <i>J</i> 8.3, 7-H or 8-H), 6.74 (1H, d, <i>J</i> 8.7, 7-H or 8-H), 7.39-7.42 (1H, m, pyridine H), 7.67-7.69 (1H, m, pyridine H), 8.63 (1H, s, pyridine H), 8.70 (1H, d, <i>J</i> 3.6, pyridine H), 9.30 (1H, br s, NH)	364(M ⁺ , 100.00)	C ₂₀ H ₁₆ N ₂ O ₅ ·¼H ₂ O C;65.12 (65.20) H;4.51 (4.44) N;7.59 (7.49)
20j	30.2	247.1-249.7	δ _H (400 MHz; CDCl ₃ ; Me ₄ Si) 2.55 (3H, s, COCH ₃), 4.04 (3H, s, OCH ₃), 5.92 (1H, dd, <i>J</i> 12.5 and 2.2, 5-H), 6.79 (1H, d, <i>J</i> 12.8, 6-H), 6.89 (1H, d, <i>J</i> 8.0, 8-H), 7.02 (1H, d, <i>J</i> 8.1, 7-H), 9.55 (1H, br s, NH)	257(M ⁺ , 100.00)	C ₁₄ H ₁₁ NO ₄ C;65.37 (65.36) H;4.31 (4.22) N;5.44 (5.42)

20k	24.1	259.5- 260.4	δ_H (500 MHz; $CDCl_3$; Me_4Si) 4.04 (3H, s, OCH_3), 5.97 (1H, dd, J 12.4 and 2.3, 5-H), 6.84 (1H, d, J 12.4, 6-H), 6.92 (1H, d, J 8.3, 8-H), 7.06 (1H, d, J 7.8, 7-H), 7.51-7.53 (2H, m, 2'-, 6'-H or 3'-, 5'-H), 8.22-8.23 (2H, m, 2'-, 6'-H or 3'-, 5'-H), 10.12 (1H, br s, NH)	353(M^+ , 100.00) 139(35.37)	$C_{19}H_{12}ClNO_4$ 353.0455 (353.0454)
20l	28.0	205.5- 208.5	δ_H (400 MHz; $CDCl_3$; Me_4Si) 1.46 (3H, t, J 7.0, CH_2CH_3), 4.02 (3H, s, OCH_3), 4.45 (2H, q, J 6.9, CH_2CH_3), 5.88 (1H, dd, J 12.4 and 2.2, 5-H), 6.78 (1H, d, J 12.5, 6-H), 6.83 (1H, d, J 8.0, 8-H), 7.01 (1H, d, J 8.1, 7-H), 8.87 (1H, br s, NH)	287(M^+ , 100.00)	$C_{15}H_{13}NO_5 \cdot \frac{1}{2}H_2O$ C;60.81 (60.64) H;4.76 (4.63) N;4.73 (5.07)
21a	2.2	203.2- 204.5	δ_H (500 MHz; $CDCl_3$; Me_4Si) 1.38 (3H, d, J 6.9, $CH(CH_3)$), 2.60 (3H, s, $COCH_3$), 2.97-3.02 (2H, m, CH_2), 3.32-3.38 (1H, m, $CH(CH_3)$), 4.02 (3H, s, OCH_3), 6.93 (1H, d, J 7.8, 8-H), 7.00 (1H, d, J 8.3, 7-H), 9.55 (1H, br s, NH)	273(M^+ , 85.79), 258(100.00)	$C_{15}H_{15}NO_4$ C;65.92 (65.71) H;5.53 (5.49) N;5.13 (5.10)
21b	3.3	210.3- 212.4	δ_H (400 MHz; $CDCl_3$; Me_4Si) 1.39 (3H, d, J 7.0, $CH(CH_3)$), 1.43 (3H, t, J 7.3, CH_2CH_3), 2.93-3.04 (2H, m, CH_2), 3.32-3.38 (1H, m, $CH(CH_3)$), 4.00 (3H, s, OCH_3), 4.47 (2H, q, J 7.0, CH_2CH_3), 6.89 (1H, d, J 8.0, 8-H), 7.00 (1H, d, J 8.1, 7-H), 8.94 (1H, br s, NH)	303(M^+ , 100.00)	$C_{16}H_{17}NO_6$ 303.1107 (303.1104)

Table S5 Physical data and yields of **23**, **25**

Compd	Yield (%)	m.p. (°C)	¹ H-NMR	MS <i>m/z</i> (int.)	formula
					HR-MS <i>m/z</i> M ⁺ Calcd (Found) or Analysis Calcd(Found)
23a	62.7	oil	δ_{H} (60 MHz; CDCl ₃ ; Me ₄ Si) 2.46-2.89 (4H, m, CH ₂ ×2), 3.52-3.79 (4H, m, CH ₂ ×2), 3.85 (6H, s, OCH ₃ ×2), 5.69-6.78 (4H, m, 2-, 5-, 6-H and NH)	271(M ⁺ , 6.02), 164(100.00)	–
23b	57.8	85.7- 86.3	δ_{H} (60 MHz; CDCl ₃ ; Me ₄ Si) 2.79 (2H, t, <i>J</i> 6.5, CH ₂), 3.78-3.96 (5H, m, OCH ₃ , CH ₂), 6.61-7.26 (4H, m, 2-, 4-, 5-, 6-H)	213(M ⁺ , 13.00), 123(100.00)	–
23c	98.3	oil	δ_{H} (60 MHz; CDCl ₃ ; Me ₄ Si) 1.19 (6H, m, CH ₂ CH ₃ ×2), 2.78-3.74 (8H, m, CH ₂ CH ₃ ×2, CH ₂ CH ₂)	163(M ⁺ , 28.04), 128(100.00)	–
25a	45.6	178.7- 181.5	δ_{H} (400 MHz; CDCl ₃ ; Me ₄ Si) 2.64 (3H, s, COCH ₃), 2.86 (2H, t, <i>J</i> 6.9, NHCH ₂ CH ₂), 3.67 (2H, m, NHCH ₂ CH ₂), 3.87 (3H, s, OCH ₃), 3.87 (3H, s, OCH ₃), 4.05 (3H, s, OCH ₃), 5.68 (1H, br t, <i>J</i> 5.5, NH), 6.37 (1H, d, <i>J</i> 15.7, CH=CH), 6.76-6.79 (2H, m, 2'-, 6'-H), 6.83 (1H, d, <i>J</i> 7.7, 5'-H), 6.92 (1H, d, <i>J</i> 8.1, 6-H), 7.39 (1H, d, <i>J</i> 8.4, 5-H), 7.72 (1H, s, 3-H), 7.82 (1H, d, <i>J</i> 15.8, CH=CH)	423(M ⁺ , 8.64), 164(100.00)	C ₂₄ H ₂₅ NO ₆ · 1/3H ₅ O C;67.12 (67.47) H;6.02 (6.02) N;3.26 (3.31)
25b	56.2	214.0- 214.9	δ_{H} (400 MHz; CDCl ₃ ; Me ₄ Si) 2.67 (3H, s, COCH ₃), 3.84 (3H, s, OCH ₃), 4.07 (3H, s, OCH ₃), 6.58 (1H, d, <i>J</i> 15.3, CH=CH), 6.71 (1H, dd, <i>J</i> 8.1 and 2.2, 4'- or 6'-H), 6.95 (1H, d, <i>J</i> 8.1, 6-H), 7.08 (1H, d, <i>J</i> 7.3, 4'- or 6'-H), 7.22-7.30 (1H, m, 5'- or 2'-H), 7.40 (1H, br s, NH), 7.45 (1H, d, <i>J</i> 8.4, 5-H), 7.44-7.50 (1H, m, 5'- or 2'-H), 7.79 (1H, s, 3-H), 7.95 (1H, d, <i>J</i> 15.4, CH=CH)	365(M ⁺ , 22.47), 243(100.00)	C ₂₁ H ₁₉ NO ₅ · ¼CH ₃ COOC ₂ H ₅ C;68.21 (68.54) H;5.46 (5.30) N;3.62 (3.78)
25c	5.1	oil	δ_{H} (400 MHz; CDCl ₃ ; Me ₄ Si) 1.16-1.33 (6H, m, CH ₂ CH ₃ ×2), 2.64 (3H, s, COCH ₃), 3.47-3.52 (4H, m, CH ₂ CH ₃ ×2), 4.06 (3H, s, OCH ₃), 6.87 (1H, d, <i>J</i> 15.4, CH=CH), 6.95 (1H, d, <i>J</i> 8.5, 6-H), 7.45 (1H, d, <i>J</i> 8.4, 5-H), 7.73 (1H, s, 3-H), 7.92 (1H, d, <i>J</i> 15.4, CH=CH)	315(M ⁺ , 67.57), 243(100.01)	C ₁₈ H ₂₁ NO ₄ 315.1471 (315.1469)