

Supporting Information

Anxiolytic-like effects of *N,N*-Dialkyl-2-phenylindol-3-ylglyoxylamides by Modulation of Translocator Protein Promoting Neurosteroid Biosynthesis

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Table of contents.

General procedure for the synthesis of amides **61-66**. Physical properties and spectral data of benzamides **61-66**, 2-phenylindole derivatives **73-81**, (2-phenylindol-3-yl)glyoxylyl chlorides **88-96** and their corresponding ethyl esters **97-104**. Tables **S1-S6**, including yields, physical properties and spectral data of indolglyoxylamides **1-56**. Appendix: analytical data of target compounds **1-56**.

General procedure for the synthesis of amides 61-66.

Benzamides **61-66** were prepared by acylation of the opportune *o*-toluidine with the appropriately substituted benzoyl chloride, in anhydrous toluene, in the presence of triethylamine.

Physical Properties and Spectral Data of Benzamides 61-66

N-(4-Methoxy-2-methylphenyl)benzamide **61** ^{S1}.

Yield 79%; mp = 207-209 °C (lit. ref. n° (S1): mp = 202-203 °C).

N-(4-Fluoro-2-methylphenyl)benzamide **62** ^{S2}.

Yield: 73%; mp = 173-176 °C. (lit.ref.: mp not reported)

¹H-NMR (DMSO-*d*₆): δ 2.23 (s, 3H, CH₃); 7.00-7.20 (m, 2H, 3'-H e 5'-H); 7.30-7.40 (m, 1H, 6'-H); 7.52-7.57 (m, 3H, 3-H, 4-H, 5-H); 7.95 (dd, 2H, 2-H e 6-H); 9.91 (bs, 1H, NH).

4-Fluoro-*N*-(4-fluoro-2-methylphenyl)benzamide **63**.

Yield 72% as violet crystals (needles); recryst. solv.: toluene; mp = 172-175 °C.

IR (nujol, cm⁻¹): 3194, 1641, 1597, 1501, 1163, 866, 952.

¹H-NMR (DMSO-*d*₆): δ 2.22 (s, 3H, CH₃); 6.98-7.41 (m, 5H, Ar-H); 8.01-8.08 (m, 2H, 2'-H, 6'-H); 9.93 (bs, 1H, NH). Formula: C₁₄H₁₁F₂NO.

4-Fluoro-*N*-(4-chloro-2-methylphenyl)benzamide **64**.

Yield 70% as white crystals (needles); recryst. solv: toluene; mp = 166-168 °C.

IR (nujol, cm⁻¹): 3202, 1642, 1600, 1502, 1316, 1225, 886, 852.

¹H-NMR (DMSO-*d*₆): δ 2.23 (s, 3H, CH₃); 7.25-8.09 (m, 7H, Ar-H); 9.96 (bs, 1H, NH). Formula: C₁₄H₁₁ClFNO.

N-(2-Chloro-6-methylphenyl)benzamide **65** ^{S3}.

Yield: 70%; mp = 153-156 °C (lit. ref. n° (S3): mp = 144-145 °C).

***N*-(2,6-Dimethylphenyl)benzamide 66**^{S4}.

Yield: 99%; mp = 170-173 °C (lit. ref. n° (S4): mp = 163-164 °C).

Physical Properties and Spectral Data of 2-Phenylindole derivatives 73-81.

2-(4-Nitrophenyl)indole 73^{S5}.

Yield: 63%; recryst. solv.: toluene; mp=251-252 °C. (lit. ref.n°(S5): mp = 251-252 °C).

2-(4-Trifluoromethylphenyl)indole 74^{S6}.

Yield 84%; recryst. solv.: toluene; mp = 242-245 °C (lit. ref.: mp not reported).

5-Nitro-2-phenylindole 75^{S7}.

Yield: 85%; recryst. solv.: dichloromethane; mp = 199-201 °C (lit. ref.n°(S7): mp = 201-203 °C).

5-Methoxy-2-phenylindole 76^{S1}.

Yield: 47%; eluting system: cyclohexane: ethyl acetate 8: 2; mp = 171-172 °C (lett. rif. n° (S1): mp = 158-159 °C).

5-Fluoro-2-phenylindole 77^{S2, S8}.

Yield: 58%; eluting system: cyclohexane: ethyl acetate 8:2; mp = 181-183 °C. (lit. ref.n°(S8): mp = 178 °C).

5-Fluoro-2-(4-fluorophenyl)indole 78^{S9}.

Yield: 30%; eluting system: petroleum ether 60-80 °C: ethyl acetate 8: 2; mp = 147-150 °C. (lett.rif.n°(S9): mp = 145 °C).

5-Chloro-2-(4-fluorophenyl)indole 79^{S10}.

Yield 35%; eluting system: cyclohexane: ethyl acetate 8.5: 1.5; mp = 167-168 °C (lit. ref.n°(S10): mp =157 °C).

7-Chloro-2-phenylindole 80^{S11}.

Yield 62%; eluting system: petroleum ether 60-80 °C: ethyl acetate 9: 1; mp = 116-118 °C (lit. ref. n°(S11): mp = 117-118 °C).

7-Methyl-2-phenylindole 81^{S12}.

Yield 65%; eluting system: petroleum ether 60-80 °C: ethyl acetate 9: 1; mp = 111-113 °C (lit. ref. n°(S12): mp = 112 °C).

Physical Properties and Spectral Data of (2-Phenylindol-3-yl)glyoxylyl chlorides 88-96 and their corresponding Ethyl Esters 97-104.

(5-Nitro-2-phenylindol-3-yl)glyoxylyl chloride 88.

Yield: 76% as a yellow solid; mp = 230-235 °C

IR (nujol, cm⁻¹): 3187, 1795, 1781, 1605, 1580, 1350, 1235, 845, 736.

(5-Nitro-2-phenylindol-3-yl) glyoxylic acid ethyl ester 97.

Yield: 80% as a yellow solid; recryst. solv: ethanol; mp = 248-250 °C.

IR (nujol, cm⁻¹): 3293, 1736, 1623, 1337, 1055, 732.

¹H-NMR (DMSO-d₆): δ 0.94 (t, 3H, CH₃); 3.54-3.65 (q, 2H, CH₂); 7.06-7.26 (m, 6H, Ar-H); 8.18-8.26 (dd, 1H, 6-H); 9.00 (d, 1H, 4-H); 13.28 (bs, 1H, NH). Formula: C₁₈H₁₄N₂O₅.

[2-(4-Trifluoromethylphenyl)indol-3-yl]glyoxylyl chloride 89.

Yield: 90% as a light yellow solid; mp = 118-121 °C (dec.).

IR (nujol, cm⁻¹): 3263, 1800, 1771, 1601, 1582, 1242, 842, 699.

[2-(4-Trifluoromethylphenyl)indol-3-yl] glyoxylic acid ethyl ester 98.

Yield: 45% as white crystals, recryst. solv.: petroleum ether 100-140 °C; mp = 178-180 °C (dec.) IR (nujol, cm⁻¹): 3345, 1734, 1723, 1320, 1122, 1060.

¹H-NMR (DMSO-d₆): δ 0.95 (s, 3H, CH₃); 3.58-3.69 (q, 2H, CH₂); 7.31-7.58 (m, 3H, 5-H, 6-H, 7-H); 7.79-7.96 (m, 4H, Ph-H); 8.12 (m, 1H, 4-H); 12.84 (bs, 1H, NH).

Formula: C₁₉H₁₄FNO₃

[2-(4-Nitrophenyl)indol-3-yl]glyoxylyl chloride 90.

Yield: 69% as a yellow solid; mp = 260 °C (dec.).

IR (nujol, cm⁻¹): 3249, 1781, 1607, 1573, 1341, 1105, 855, 763.

[2-(4-Nitrophenyl)indol-3-yl]glyoxylic acid ethyl ester 99.

Yield 63 % as a yellow solid; recryst. solv.: toluene; mp = 229-231 °C

IR (nujol, cm⁻¹): 3303, 1702, 1644, 1597, 1347, 1095, 955, 747

¹H-NMR (DMSO-d₆): δ 1.00 (s, 3H, CH₃); 3.65-3.76 (q, 2H, CH₂); 7.32-7.60 (m, 3H, 5-H, 6-H, 7-H); 7.86-7.91 (m, 2H, 2'-H, 6'-H); 8.12 (dd, 1H, 4-H); 8.38-8.42 (m, 2H, 3'-H, 5'-H); 12.93 (bs, 1H, NH). Formula: C₁₈H₁₄N₂O₅

(5-Methoxy-2-phenylindol-3-yl)glyoxylyl chloride 91.

Yield 98% as a yellow solid; mp = 121-124 °C (dec).

IR (nujol, cm⁻¹): 3211, 1774, 1601, 1577, 717, 693, 656.

(5-Methoxy-2-phenylindol-3-yl) glyoxylic acid ethyl ester 100.

Yield 45% as violet crystals; mp = 167-168 °C.

IR (nujol, cm⁻¹): 3183, 1732, 1713, 1610, 1577 717, 712, 679.

¹H-NMR (DMSO-d₆): δ 0.94 (t, 3H, CH₃); 3.50-3.61 (q, 2H, CH₂); 3.82 (s, 3H, OCH₃); 6.93-6.99 (dd, 1H, 6-H); 7.42 (d, 1H, 7-H); 7.55 (s, 5H, Ph); 7.68 (d, 1H, 4-H); 12.50 (bs, 1H, NH).

Formula: C₁₉H₁₇NO₄.

(5-Fluoro-2-phenylindol-3-yl)glyoxylyl chloride 92.

Yield 73% as a light yellow solid; mp = 207-210 °C (dec.).

IR (nujol, cm⁻¹): 3229, 1882, 1792, 1600, 1579, 1259, 699, 654.

(5-Fluoro-2-phenylindol-3-yl) glyoxylic acid ethyl ester 101.

Yield 80% as a light brown solid; recryst. solv.: toluene; mp = 213-216 °C (dec.).

IR (nujol, cm⁻¹): 3208, 3071, 1730, 1579, 1187, 1149, 1081, 1030, 869, 698.

¹H-NMR (DMSO-d₆): δ 0.93 (t, 3H, CH₃); 3.53-3.61 (q, 2H, CH₂); 7.14-7.20 (m, 1H, 6-H); 7.49-7.56 (m, 6H, Ph-H, 7-H); 7.84 (dd, 1H, 4-H); 12.78 (bs, 1H, NH). Formula: C₁₈H₁₄FNO.

[5-Fluoro-2-(4-fluorophenyl)indol-3-yl]glyoxylyl chloride 93^{s13}.

Yield: 76%. mp = 118-121 °C (dec.) . (lit. ref..n°(S13): mp = 121-123 °C).

[5-Chloro-2-(4-fluorophenyl)indol-3-yl]glyoxylyl chloride 94.

Yield: 98% as a yellow solid; mp = 164-167 °C.

IR (nujol, cm⁻¹): 3256, 1764, 1604, 1235, 996, 804, 681.

[5-Chloro-2-(4-fluorophenyl)indol-3-yl] glyoxylic acid ethyl ester 102.

Yield 58% as white crystals; recryst. solv.: toluene; mp = 218-221 °C (dec.).

IR (nujol, cm⁻¹): 3249, 1764, 1607, 1235, 999, 808.

¹H-NMR (DMSO-d₆): δ 0.99 (t, 3H, CH₃); 3.61-3.71 (q, 2H, CH₂); 7.32-7.68 (m, 6H, Ar-H); 8.12 (m, 1H, 4-H); 12.90 (bs, 1H, NH). Formula: C₁₈H₁₃ClFNO₃

(7-Chloro-2-phenylindol-3-yl)glyoxylyl chloride 95.

Yield: 84% as a yellow solid; mp = 136-139 °C

IR (nujol, cm⁻¹): 3259, 1796, 1778, 1538, 1242, 1006, 669.

(7-Chloro-2-phenylindol-3-yl) glyoxylic acid ethyl ester 103.

Yield 58% as white crystals; recryst. solv.: ethanol; mp = 152-154 °C (dec.).

IR (nujol, cm⁻¹): 3275, 1727, 1617, 1269, 1106, 770, 700.

¹H-NMR (DMSO-d₆): δ 0.95 (t, 3H, CH₃); 3.47-3.58 (q, 2H, CH₂); 7.26-7.43 (m, 2H, 5-H e 6-H); 7.44-7.60 (m, 5H, Ph-H); 8.13 (d, 1H, 4-H); 12.94 (bs, 1H, NH). Formula: C₁₈H₁₄ClNO₃

(7-Methyl-2-phenylindol-3-yl)glyoxylyl chloride 96.

Yield: 87% as a yellow solid; mp = 125-128 °C

IR (nujol, cm^{-1}): 3286, 1779, 1605, 1205, 820, 665.

(7-Methyl-2-phenylindol-3-yl) glyoxylic acid ethyl ester 104.

Yield 80% as a light green solid; recryst. solv.: toluene; mp = 214-217 °C (dec.).

IR (nujol, cm^{-1}): 3200, 1733, 1227, 1209, 1028, 965.

^1H -NMR (DMSO-d_6): δ 0.95 (t, 3H, CH_2CH_3); 2.53 (s, 3H, 7- CH_3); 3.47-3.58 (q, 2H, CH_2CH_3);

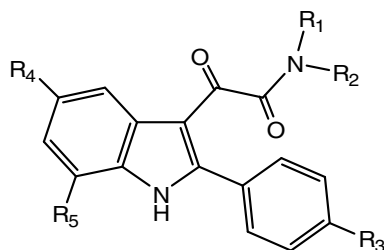
7.09-7.23 (m, 2H, 5-H e 6-H); 7.56 (m, 5H, Ph-H); 7.97-8.01 (dd, 1H, 4-H);

12.45 (bs, 1H, NH). Formula: $\text{C}_{19}\text{H}_{17}\text{NO}_3$

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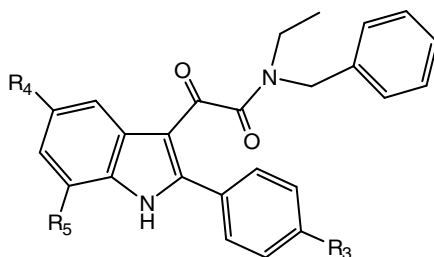
TABLE S1. Physical Properties of Compounds **1-27**

n.	R ₁ = R ₂	R ₃	R ₄	R ₅	Yield (%)	mp (°C); recryst. solvent (eluting system)	Formula ^a
1	(CH ₂) ₂ CH ₃	NO ₂	H	H	50	207-210 toluene	C ₂₂ H ₂₃ N ₃ O ₄
2	(CH ₂) ₃ CH ₃	NO ₂	H	H	48	202-205 toluene	C ₂₄ H ₂₇ N ₃ O ₄
3	(CH ₂) ₅ CH ₃	NO ₂	H	H	54	171-173 cyclohexane	C ₂₈ H ₃₅ N ₃ O ₄
4	(CH ₂) ₂ CH ₃	CF ₃	H	H	30	182-184 cyclohexane	C ₂₃ H ₂₃ F ₃ N ₂ O ₂
5	(CH ₂) ₃ CH ₃	CF ₃	H	H	43	150-152 cyclohexane	C ₂₅ H ₂₇ F ₃ N ₂ O ₂
6	(CH ₂) ₅ CH ₃	CF ₃	H	H	50	116-118 petroleum ether 60-80 °C	C ₂₉ H ₃₅ F ₃ N ₂ O ₂
7	(CH ₂) ₂ CH ₃	H	NO ₂	H	37	214-215 toluene	C ₂₂ H ₂₃ N ₃ O ₄
8	(CH ₂) ₃ CH ₃	H	NO ₂	H	39	170-175 cyclohexane	C ₂₄ H ₂₇ N ₃ O ₄

9	(CH ₂) ₅ CH ₃	H	NO ₂	H	31	85 toluene	C ₂₈ H ₃₅ N ₃ O ₄
10	(CH ₂) ₂ CH ₃	H	OCH ₃	H	53	184-185 toluene	C ₂₃ H ₂₆ N ₂ O ₃
11	(CH ₂) ₃ CH ₃	H	OCH ₃	H	59	125-127 cyclohexane	C ₂₅ H ₃₀ N ₂ O ₃
12	(CH ₂) ₅ CH ₃	H	OCH ₃	H	38	110-111 cyclohexane	C ₂₉ H ₃₈ N ₂ O ₃
13	(CH ₂) ₂ CH ₃	H	F	H	40	153-156 cyclohexane	C ₂₂ H ₂₃ FN ₂ O ₂
14	(CH ₂) ₃ CH ₃	H	F	H	48	153-156 cyclohexane	C ₂₄ H ₂₇ FN ₂ O ₂
15	(CH ₂) ₅ CH ₃	H	F	H	74	oil (petroleum ether 60-80 °C: dichloromethane 5: 5)	C ₂₈ H ₃₅ FN ₂ O ₂
16	(CH ₂) ₂ CH ₃	F	F	H	85	166-168 toluene	C ₂₂ H ₂₂ F ₂ N ₂ O ₂
17	(CH ₂) ₃ CH ₃	F	F	H	45	181-185 cyclohexane	C ₂₄ H ₂₆ F ₂ N ₂ O ₂
18	(CH ₂) ₅ CH ₃	F	F	H	70	oil (dichloromethane)	C ₂₈ H ₃₄ F ₂ N ₂ O ₂
19	(CH ₂) ₂ CH ₃	F	Cl	H	52	160-164 cyclohexane	C ₂₂ H ₂₂ ClFN ₂ O ₂
20	(CH ₂) ₃ CH ₃	F	Cl	H	75	140-142 petroleum ether 60/80 °C	C ₂₄ H ₂₆ ClFN ₂ O ₂
21	(CH ₂) ₅ CH ₃	F	Cl	H	55	oil (petroleum ether 60/80 °C: ethyl acetate 9.5:0.5)	C ₂₈ H ₃₄ ClFN ₂ O ₂

22	(CH ₂) ₂ CH ₃	H	H	Cl	53	143-145 toluene	C ₂₂ H ₂₃ ClN ₂ O ₂
23	(CH ₂) ₃ CH ₃	H	H	Cl	42	105-108 petroleum ether 30/60 °C	C ₂₄ H ₂₇ ClN ₂ O ₂
24	(CH ₂) ₅ CH ₃	H	H	Cl	80	oil (dichloromethane)	C ₂₈ H ₃₅ ClN ₂ O ₂
25	(CH ₂) ₂ CH ₃	H	H	CH ₃	30	168-169 petroleum ether 60/80 °C	C ₂₃ H ₂₆ N ₂ O ₂
26	(CH ₂) ₃ CH ₃	H	H	CH ₃	25	94-97 petroleum ether 60/80 °C	C ₂₅ H ₃₀ N ₂ O ₂
27	(CH ₂) ₅ CH ₃	H	H	CH ₃	70	oil (dichloromethane)	C ₂₉ H ₃₈ N ₂ O ₂

^aElemental analyses for C,H,N were within $\pm 0.4\%$ of the calculated value.

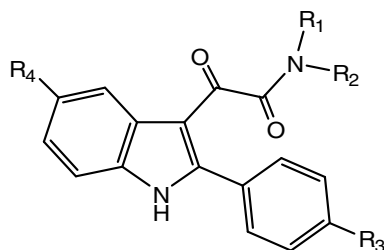
TABLE S2. Physical Properties of Compounds **28-42**.

n.	R ₃	R ₄	R ₅	Yield (%)	mp (°C); recryst.solvent (eluting system)	Formula ^a
28	H	H	H	41	202-204 toluene	C ₂₅ H ₂₂ N ₂ O ₂
29	F	H	H	40	212-213 toluene	C ₂₅ H ₂₁ FN ₂ O ₂
30	Cl	H	H	50	196-197 ethyl acetate	C ₂₅ H ₂₁ ClN ₂ O ₂
31	H	Cl	H	30	221-222 toluene	C ₂₅ H ₂₁ ClN ₂ O ₂
32	Cl	Cl	H	30	234-236 toluene	C ₂₅ H ₂₀ Cl ₂ N ₂ O ₂
33	CH ₃	H	H	22	91-93 cyclohexane	C ₂₆ H ₂₄ N ₂ O ₂
34	NO ₂	H	H	80	95-96 cyclohexane	C ₂₅ H ₂₁ N ₃ O ₄
35	CF ₃	H	H	64	94-97 cyclohexane	C ₂₆ H ₂₁ F ₃ N ₂ O ₂

36	H	NO ₂	H	40	224-227 toluene	C ₂₅ H ₂₁ N ₃ O ₄
37	H	OCH ₃	H	32	160-162 cyclohexane	C ₂₆ H ₂₄ N ₂ O ₃
38	H	F	H	41	221-223 toluene	C ₂₅ H ₂₁ FN ₂ O ₂
39	F	F	H	57	230-233 toluene	C ₂₅ H ₂₀ F ₂ N ₂ O ₂
40	F	Cl	H	80	193-195 toluene	C ₂₅ H ₂₀ ClFN ₂ O ₂
41	H	H	Cl	26	87-89 petroleum ether 30/60°	C ₂₅ H ₂₁ ClN ₂ O ₂
42	H	H	CH ₃	75	208-210 toluene	C ₂₆ H ₂₄ N ₂ O ₂

^aElemental analyses for C,H,N were within $\pm 0.4\%$ of the calculated value.

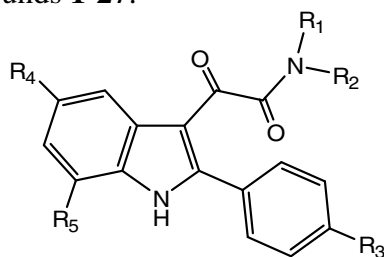
TABLE S3. Physical Properties of Compounds **43-56**.



n.	R ₁	R ₂	R ₃	R ₄	Yield (%)	mp (°C); recryst. solvent (eluting system)	Formula ^a
43	CH ₃	CH ₂ CH ₃	H	H	59	217-220 toluene	C ₁₉ H ₁₈ N ₂ O ₂
44	CH ₃	CH ₂ CH ₃	Cl	Cl	35	198-201 cyclohexane	C ₁₉ H ₁₆ Cl ₂ N ₂ O ₂
45	CH ₃	(CH ₂) ₃ CH ₃	H	H	17	133-135 cyclohexane	C ₂₁ H ₂₂ N ₂ O ₂
46	CH ₃	(CH ₂) ₄ CH ₃	H	H	25	62-65 petroleum ether 100-140 °C	C ₂₂ H ₂₄ N ₂ O ₂
47	CH ₂ CH ₃	(CH ₂) ₃ CH ₃	H	H	40	oil (petroleum ether 60-80 °C: dichloromethane 3: 7)	C ₂₂ H ₂₄ N ₂ O ₂
48	CH ₃	(CH ₂) ₃ CH ₃	Cl	Cl	49	136-138 cyclohexane	C ₂₁ H ₂₀ Cl ₂ N ₂ O ₂
49	CH ₃	(CH ₂) ₄ CH ₃	Cl	Cl	38	168-170 petroleum ether 100-140 °C	C ₂₂ H ₂₂ Cl ₂ N ₂ O ₂
50	CH ₂ CH ₃	(CH ₂) ₃ CH ₃	Cl	Cl	17	76-78 cyclohexane	C ₂₂ H ₂₂ Cl ₂ N ₂ O ₂

51	CH ₃	(CH ₂) ₃ CH ₃	Cl	H	70	185-188 toluene	C ₂₁ H ₂₁ ClN ₂ O ₂
52	CH ₃	(CH ₂) ₄ CH ₃	Cl	H	59	200-201 toluene/petroleum ether 60- 80 °C	C ₂₂ H ₂₃ ClN ₂ O ₂
53	CH ₂ CH ₃	(CH ₂) ₃ CH ₃	Cl	H	46	183-185 cyclohexane	C ₂₂ H ₂₃ ClN ₂ O ₂
54	CH ₃	(CH ₂) ₃ CH ₃	H	Cl	68	178-180 toluene	C ₂₁ H ₂₁ ClN ₂ O ₂
55	CH ₃	(CH ₂) ₄ CH ₃	H	Cl	66	170-172 toluene	C ₂₂ H ₂₃ ClN ₂ O ₂
56	CH ₂ CH ₃	(CH ₂) ₃ CH ₃	H	Cl	70	154-155 toluene	C ₂₂ H ₂₃ ClN ₂ O ₂

^aElemental analyses for C,H,N were within $\pm 0.4\%$ of the calculated value.

TABLE S4. Spectral Data of Compounds **1-27**.

n.	R ₁	R ₂	R ₃	R ₄	R ₅	I.R. (nujol, cm ⁻¹)	¹ H-NMR (DMSO-d ₆ , δ ppm)
1	(CH ₂) ₂ CH ₃	(CH ₂) ₂ CH ₃	NO ₂	H	H	3153, 1617, 1579, 1525, 1340, 746, 705.	0.68-0.78 (m, 6H, 2 CH ₃); 1.18-1.51 (m, 4H, 2 CH ₂ CH ₂ CH ₃); 2.88-3.07 (m, 4H, 2 NCH ₂); 7.53-7.70 (m, 6H, Ar-H); 8.17-8.22 (dd, 1H, 6-H); 8.97 (d, 1H, 4-H); 13.10 (bs, 1H, NH) ^a .
2	(CH ₂) ₃ CH ₃	(CH ₂) ₃ CH ₃	NO ₂	H	H	3187, 3153, 1617, 1576, 1337, 1054, 698.	0.71-0.87 (m, 6H, 2 CH ₃); 1.06-1.43 (m, 8H, 2 CH ₂ (CH ₂) ₂ CH ₃); 2.96-3.12 (m, 4H, 2 NCH ₂); 7.53-7.70 (m, 6H, Ar-H); 8.17-8.22 (dd, 1H, 6-H); 8.97 (d, 1H, 4-H); 13.10 (bs, 1H, NH) ^a .
3	(CH ₂) ₅ CH ₃	(CH ₂) ₅ CH ₃	NO ₂	H	H	3187, 3153, 1620, 1579, 1334, 1108, 702.	0.71-0.92 (2t, 6H, 2 CH ₃); 1.07-1.42 (m, 16H, 2 CH ₂ (CH ₂) ₄ CH ₃); 2.96-3.11 (m, 4H, 2 NCH ₂); 7.52-7.70 (m, 6H, Ar-H); 8.15-8.23 (dd, 1H, 6-H); 8.96 (d, 1H, 4-H); 13.10 (bs, 1H, NH) ^a .
4	(CH ₂) ₂ CH ₃	(CH ₂) ₂ CH ₃	CF ₃	H	H	3201, 1614, 1316, 1167, 1064, 753.	0.66-0.75 (2t, 6H, 2 CH ₃); 1.05-1.53 (m, 4H, 2 CH ₂ CH ₂ CH ₃); 2.86-3.09 (m, 4H, 2 NCH ₂); 7.24-7.36 (m, 2H, 5-H, 6-H); 7.49-7.54 (m, 1H, 7-H); 7.78-7.91 (m, 4H, Ph-H); 8.05-8.09 (m, 1H, 4-H); 12.60 (bs, 1H, NH) ^a .

5	(CH ₂) ₃ CH ₃	(CH ₂) ₃ CH ₃	CF ₃	H	H	3228, 1614, 1583, 1320, 1191, 1129, 1067, 862, 757.	0.70-0.85 (m, 6H, 2 CH ₃); 1.05-1.50 (m, 8H, 2 CH ₂ (CH ₂) ₂ CH ₃); 2.90-3.11 (m, 4H, 2 NCH ₂); 7.23-7.36 (m, 2H, 5-H, 6-H); 7.49-7.53 (m, 1H, 7-H); 7.77-7.91 (m, 4H, Ph-H); 8.06-8.10 (m, 1H, 4-H); 12.60 (bs, 1H, NH) ^a .
6	(CH ₂) ₅ CH ₃	(CH ₂) ₅ CH ₃	CF ₃	H	H	3222, 1618, 1323, 1167, 1129, 1064, 863, 753.	0.74, 0.85 (2t, 6H, 2 CH ₃); 1.04-1.50 (m, 16H, 2 CH ₂ (CH ₂) ₄ CH ₃); 2.88-3.11 (m, 4H, 2 NCH ₂); 7.23-7.36 (m, 2H, 5-H, 6-H); 7.49-7.53 (m, 1H, 7-H); 7.80-7.91 (m, 4H, Ph-H); 8.04-8.09 (m, 1H, 4-H); 12.63 (bs, 1H, NH) ^a .
7	(CH ₂) ₂ CH ₃	(CH ₂) ₂ CH ₃	H	NO ₂	H	3180, 3153, 1617, 1579, 1525, 1340, 1061, 747, 706.	0.66-0.79 (m, 6H, 2 CH ₃); 1.21-1.54 (m, 4H, 2 CH ₂ CH ₂ CH ₃); 2.98-3.14 (m, 4H, 2 NCH ₂); 7.24-7.36 (m, 2H, 5-H, 6-H); 7.55 (dd, 1H, 7-H); 7.89 (dd, 2H, 2'-H, 6'-H); 7.99 (dd, 1H, 4-H); 8.37 (d, 2H, 3'-H, 5'-H); 12.72 (bs, 1H, NH).
8	(CH ₂) ₃ CH ₃	(CH ₂) ₃ CH ₃	H	NO ₂	H	3187, 3071, 1641, 1617, 1576, 1522, 1337, 1187, 1091, 753, 712.	0.70-0.78 (m, 6H, 2 CH ₃); 1.05-1.47 (m, 8H, 2 CH ₂ (CH ₂) ₂ CH ₃); 2.96-3.14 (m, 4H, 2 NCH ₂); 7.27-7.34 (m, 2H, 5-H, 6-H); 7.54 (dd, 1H, 7-H); 7.89 (d, 2H, 2'-H, 6'-H); 8.04 (dd, 1H, 4-H); 8.37 (d, 2H, 3'-H, 5'-H); 12.72 (bs, 1H, NH) ^a .
9	(CH ₂) ₅ CH ₃	(CH ₂) ₅ CH ₃	H	NO ₂	H	3208, 1624, 1573, 1521, 1347, 1187, 1091, 859, 757.	0.71-0.87 (2t, 6H, 2 CH ₃); 1.07-1.58 (m, 16H, 2 CH ₂ (CH ₂) ₄ CH ₃); 3.00-3.13 (m, 4H, 2 NCH ₂); 7.24-7.37 (m, 2H, 5-H, 6-H); 7.55 (dd, 1H, 7-H); 7.89 (dd, 2H, 2'-H, 6'-H); 8.04 (dd, 1H, 4-H); 8.37 (d, 2H, 3'-H, 5'-H); 12.70 (bs, 1H, NH) ^a .
10	(CH ₂) ₂ CH ₃	(CH ₂) ₂ CH ₃	H	OCH ₃	H	3173, 1628, 1605, 1492, 1262, 1088, 693.	0.66-0.77 (m, 6H, 2 CH ₃); 1.15-1.52 (m, 4H, 2 CH ₂ CH ₂ CH ₃); 2.84-3.07 (m, 4H, 2 NCH ₂); 3.80 (s, 3H, OCH ₃); 6.91 (dd, 1H, 6-H); 7.37 (d, 1H, 7-H); 7.47-7.57 (m, 6H, Ph-H, 4-H); 12.35 (bs, 1H, NH) ^a .

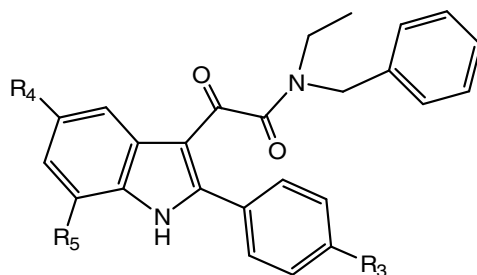
11	$(\text{CH}_2)_3\text{CH}_3$	$(\text{CH}_2)_3\text{CH}_3$	H	OCH_3	H	3173, 1628, 1605, 1492, 1262, 1088, 693.	0.66-0.77 (m, 6H, 2 CH_3); 1.15-1.52 (m, 4H, 2 $\text{CH}_2\text{CH}_2\text{CH}_3$); 2.84-3.07 (m, 4H, 2 NCH_2); 3.80 (s, 3H, OCH_3); 6.91 (dd, 1H, 6-H); 7.37 (d, 1H, 7-H); 7.47-7.57 (m, 6H, Ph-H, 4-H); 12.35 (bs, 1H, NH) ^a .
12	$(\text{CH}_2)_5\text{CH}_3$	$(\text{CH}_2)_5\text{CH}_3$	H	OCH_3	H	3173, 1628, 1605, 1492, 1262, 1088, 693.	0.66-0.77 (m, 6H, 2 CH_3); 1.15-1.52 (m, 4H, 2 $\text{CH}_2\text{CH}_2\text{CH}_3$); 2.84-3.07 (m, 4H, 2 NCH_2); 3.80 (s, 3H, OCH_3); 6.91 (dd, 1H, 6-H); 7.37 (d, 1H, 7-H); 7.47-7.57 (m, 6H, Ph-H, 4-H); 12.35 (bs, 1H, NH) ^a .
13	$(\text{CH}_2)_2\text{CH}_3$	$(\text{CH}_2)_2\text{CH}_3$	H	F	H	3181, 1614, 1583, 1198, 1150, 1074, 692.	0.66-0.77 (m, 6H, 2 CH_3); 1.14-1.51 (m, 4H, 2 $\text{CH}_2\text{CH}_2\text{CH}_3$); 2.85-3.07 (m, 4H, 2 NCH_2); 7.11-7.20 (m, 1H, 6-H); 7.45-7.60 (m, 6H, Ph-H, 7-H); 7.75 (dd, 1H, 4-H); 12.58 (bs, 1H, NH) ^a .
14	$(\text{CH}_2)_3\text{CH}_3$	$(\text{CH}_2)_3\text{CH}_3$	H	F	H	3175, 1654, 1559, 1424, 1193, 1152, 1081, 804, 695.	0.69-0.86 (m, 6H, 2 CH_3); 1.04-1.44 (m, 8H, 2 $\text{CH}_2(\text{CH}_2)_2\text{CH}_3$); 2.90-3.08 (m, 4H, 2 NCH_2); 7.09-7.20 (m, 1H, 6-H); 7.45-7.60 (m, 6H, Ph-H, 7-H); 7.75 (dd, 1H, 4-H); 12.52 (bs, 1H, NH).
15	$(\text{CH}_2)_5\text{CH}_3$	$(\text{CH}_2)_5\text{CH}_3$	H	F	H	3181, 1614, 1583, 1198, 1150, 1074, 692.	0.71-0.89 (2t, 6H, 2 CH_3); 1.07-1.48 (m, 16H, 2 $\text{CH}_2(\text{CH}_2)_4\text{CH}_3$); 2.90-3.07 (m, 4H, 2 NCH_2); 7.09-7.20 (m, 1H, 6-H); 7.45-7.61 (m, 6H, Ph-H, 7-H); 7.73 (dd, 1H, 4-H); 12.62 (bs, 1H, NH) ^a .
16	$(\text{CH}_2)_2\text{CH}_3$	$(\text{CH}_2)_2\text{CH}_3$	F	F	H	3155, 1608, 1586, 1224, 842, 698.	0.66-0.79 (m, 6H, 2 CH_3); 1.18-1.48 (m, 4H, 2 $\text{CH}_2\text{CH}_2\text{CH}_3$); 2.90-3.07 (m, 4H, 2 NCH_2); 7.11-7.76 (m, 7H, Ar-H); 12.63 (bs, 1H, NH) ^a .

17	(CH ₂) ₃ CH ₃	(CH ₂) ₃ CH ₃	F	F	H	3173, 1614, 1494, 1224, 958, 797.	0.69-0.87 (2t, 6H, 2 CH ₃); 1.00-1.45 (m, 8H, 2 CH ₂ (CH ₂) ₂ CH ₃); 2.90-3.07 (m, 4H, 2 NCH ₂); 7.09-7.78 (m, 7H, Ar-H); 12.59 (bs, 1H, NH) ^a .
18	(CH ₂) ₅ CH ₃	(CH ₂) ₅ CH ₃	F	F	H	3187, 1624, 1576, 1224, 1159, 1088, 842.	0.71-0.89 (2t, 6H, 2 CH ₃); 1.06-1.50 (m, 16H, 2 CH ₂ (CH ₂) ₄ CH ₃); 2.85-3.10 (m, 4H, 2 NCH ₂); 7.08-7.80 (m, 7H, Ar-H); 12.60 (bs, 1H, NH) ^a .
19	(CH ₂) ₂ CH ₃	(CH ₂) ₂ CH ₃	F	Cl	H	3126, 1607, 1532, 1231, 1098, 835, 804, 784	0.66-0.80 (2t, 6H, 2 CH ₃); 1.19-1.52 (m, 4H, 2 CH ₂ CH ₂ CH ₃); 2.91-3.07 (m, 4H, 2 NCH ₂); 7.29-7.68 (m, 6H, Ar-H); 8.31 (d, 1H, 4-H); 12.66 (bs, 1H, NH) ^a .
20	(CH ₂) ₃ CH ₃	(CH ₂) ₃ CH ₃	F	Cl	H	3180, 1617, 1231, 1101, 838, 797.	0.70-0.88 (2t, 6H, 2 CH ₃); 1.01-1.44 (m, 8H, 2 CH ₂ (CH ₂) ₂ CH ₃); 2.90-3.10 (m, 4H, 2 NCH ₂); 7.28-7.69 (m, 6H, Ar-H); 8.04 (d, 1H, 4-H); 12.65 (bs, 1H, NH) ^a .
21	(CH ₂) ₅ CH ₃	(CH ₂) ₅ CH ₃	F	Cl	H	3173, 1614, 1231, 1101, 931, 838 808.	0.71-0.92 (2t, 6H, 2 CH ₃); 1.06-1.40 (m, 16H, 2 CH ₂ (CH ₂) ₄ CH ₃); 2.99-3.06 (m, 4H, 2 NCH ₂); 7.27-7.70 (m, 6H, Ar-H); 8.24 (d, 1H, 4-H); 12.60 (bs, 1H, NH) ^a .
22	(CH ₂) ₂ CH ₃	(CH ₂) ₂ CH ₃	H	H	Cl	3237, 1612, 1545, 1183, 1098, 769, 732, 695.	0.67-0.75 (m, 6H, 2 CH ₃); 1.01-1.51 (m, 4H, 2 CH ₂ CH ₂ CH ₃); 2.78-3.04 (m, 4H, 2 NCH ₂); 7.21-7.30 (m, 2H, 5-H, 6-H); 7.35-7.53 (m, 5H, Ph-H); 8.08 (d, 1H, 4-H); 12.74 (bs, 1H, NH) ^a .

23	(CH ₂) ₃ CH ₃	(CH ₂) ₃ CH ₃	H	H	Cl	3244, 1619, 1179, 1102, 854, 765, 695.	0.70-0.85 (m, 6H, 2 CH ₃); 1.01-1.50 (m, 8H, 2 CH ₂ (CH ₂) ₂ CH ₃); 2.82-3.06 (m, 4H, 2 NCH ₂); 7.22-7.39 (m, 2H, 5-H, 6-H); 7.40-7.53 (m, 5H, Ph-H); 8.07 (d, 1H, 4-H); 12.70 (bs, 1H, NH) ^a .
24	(CH ₂) ₅ CH ₃	(CH ₂) ₅ CH ₃	H	H	Cl	3208, 1628, 1614, 1207, 1108, 695, 664.	0.72-0.89 (m, 6H, 2 CH ₃); 1.06-1.58 (m, 16H, 2 CH ₂ (CH ₂) ₄ CH ₃); 2.75-3.05 (m, 4H, 2 NCH ₂); 7.19-7.37 (m, 2H, 5-H, 6-H); 7.47-7.58 (m, 5H, Ph-H); 8.04 (d, 1H, 4-H); 12.40 (bs, 1H, NH) ^a .
25	(CH ₂) ₂ CH ₃	(CH ₂) ₂ CH ₃	H	H	CH ₃	3211, 1615, 1309, 1122, 748.	0.65-0.74 (m, 6H, 2 CH ₃); 1.12-1.50 (m, 4H, 2 CH ₂ CH ₂ CH ₃); 2.51 (s, 3H, 7-CH ₃); 2.80-3.03 (m, 4H, 2 NCH ₂); 7.05-7.19 (m, 2H, 5-H, 6-H); 7.52-7.58 (m, 5H, Ph-H); 7.91 (d, 1H, 4-H); 12.25 (bs, 1H, NH) ^a .
26	(CH ₂) ₃ CH ₃	(CH ₂) ₃ CH ₃	H	H	CH ₃	3200, 1612, 1309, 1120, 802, 751, 695.	0.69-0.86 (m, 6H, 2 CH ₃); 1.03-1.39 (m, 8H, 2 CH ₂ (CH ₂) ₂ CH ₃); 2.51 (s, 3H, 7-CH ₃); 2.80-3.05 (m, 4H, 2 NCH ₂); 7.05-7.19 (m, 2H, 5-H, 6-H); 7.48-7.57 (m, 5H, Ph-H); 7.92 (dd, 1H, 4-H); 12.25 (bs, 1H, NH) ^a .
27	(CH ₂) ₅ CH ₃	(CH ₂) ₅ CH ₃	H	H	CH ₃	3244, 3204, 1623, 1541, 1218, 1123, 768, 749.	0.71-0.89 (2t, 6H, 2 CH ₃); 1.07-1.45 (m, 16H, 2 CH ₂ (CH ₂) ₄ CH ₃); 2.51 (s, 3H, 7-CH ₃); 2.80-3.05 (m, 4H, 2 NCH ₂); 7.05-7.18 (m, 2H, 5-H, 6-H); 7.48-7.58 (m, 5H, Ph-H); 7.90 (dd, 1H, 4-H); 12.25 (bs, 1H, NH) ^a .

^aExchangeable with deuterium oxide

TABLE S5. Spectral Data of Compounds **28-42**.



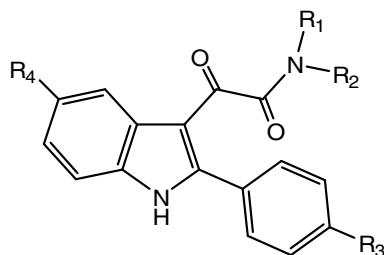
n.	R ₃	R ₄	R ₅	I.R. (nujol, cm ⁻¹)	¹ H-NMR (DMSO-d ₆ , δ ppm)
28	H	H	H	3180, 1630, 1610, 1420, 1200, 750.	0.56, 0.88 (2t, 3H, CH ₃); 2.88, 3.18 (2q, 2H, CH ₂ CH ₃); 4.25-4.32 (2s, 2H, CH ₂ C ₆ H ₅); 7.19-7.62 (m, 13H, Ar-H); 7.94-8.18 (m, 1H, 4-H); 12.45 (bs, 1H, NH) ^a .
29	F	H	H	3173, 1615, 1540, 1229, 754, 703.	0.58-0.93 (2t, 3H, CH ₃); 2.90-3.21 (2q, 2H, CH ₂ CH ₃); 4.32 (s, 2H, CH ₂ C ₆ H ₅); 7.17-8.20 (m, 13H, Ar-H); 12.55 (bs, 1H, NH) ^a .
30	Cl	H	H	3183, 1619, 1567, 1210, 1097, 754, 693.	0.60-0.95 (2t, 3H, CH ₃); 2.90-2.96 (2q, 2H, CH ₂ CH ₃); 4.35 (s, 2H, CH ₂ C ₆ H ₅); 7.17-8.20 (m, 13H, Ar-H); 12.57 (bs, H, NH) ^a .
31	H	Cl	H	3168, 1615, 1185, 1099, 802, 697.	0.55-0.92 (2t, 3H, CH ₃); 2.82-3.28 (2q, 2H, CH ₂ CH ₃); 4.22, 4.34 (2s, 2H, CH ₂ C ₆ H ₅); 7.11-7.65 (m, 12H, Ar-H); 8.04-8.12 (m, 1H, 4-H); 12.72 (bs, 1H, NH) ^a .

32	Cl	Cl	H	3116, 1617, 1128, 1016, 797, 721.	0.64 (t, 3H, CH ₃); 2.87-2.99 (q, 2H, CH ₂ CH ₃); 4.36 (2s, 2H, CH ₂ C ₆ H ₅); 7.31-7.69 (m, 11H, Ar-H); 8.10 (d, 1H, 4-H); 12.75 (bs, 1H, NH) ^a .
33	CH ₃	H	H	3201, 1614, 1572, 1187, 1093, 750.	0.59, 0.89 (2t, 3H, CH ₂ CH ₃); 2.41 (s, 3H, <i>p</i> -CH ₃); 2.85-3.25 (2q, 2H, CH ₂ CH ₃); 4.30 (s, 2H, CH ₂ C ₆ H ₅); 7.10-8.20 (m, 13H, Ar-H); 12.39 (bs, 1H, NH) ^a .
34	NO ₂	H	H	3180, 1614, 1522, 1341, 1194, 852, 753, 702.	0.64, 0.95 (2t, 3H, CH ₃); 2.90-3.30 (2q, 2H, CH ₂ CH ₃); 4.37, 4.42 (2s, 2H, CH ₂ C ₆ H ₅); 7.20-8.42 (m, 13H, Ar-H); 12.81 (bs, 1H, NH) ^a .
35	CF ₃	H	H	3194 1614, 1542, 1323, 1125, 1060, 784, 753.	0.55, 0.86 (2t, 3H, CH ₃); 2.84-2.93 (2q, 2H, CH ₂ CH ₃); 4.31, 4.38 (2s, 2H, CH ₂ C ₆ H ₅); 7.19-7.95 (m, 13H, Ar-H); 8.10-8.18(m, 1H, 4-H); 12.74 (bs, 1H, NH) ^a .
36	H	NO ₂	H	3187, 3146, 1634, 1614, 1583, 1334, 1183, 1057, 740, 671.	0.57-0.92 (2t, 3H, CH ₃); 2.89-3.21 (2q, 2H, CH ₂ CH ₃); 4.21, 4.38 (2s, 2H, CH ₂ C ₆ H ₅); 7.10-7.71 (m, 11H, Ar-H); 8.16-8.24 (dd, 1H, 6-H); 9.03 (d, 1H, 4-H); 13.15 (bs, 1H, NH) ^a .
37	H	OCH ₃	H	3173, 1615, 1581, 1267, 1206, 731, 708.	0.52-0.91 (2t, 3H, CH ₃); 2.81-3.24 (2q, 2H, CH ₂ CH ₃); 3.80 (s, 3H, OCH ₃); 4.21-4.34 (2s, 2H, CH ₂ C ₆ H ₅); 6.92 (dd, 1H, 6-H); 7.11-7.67 (m, 12H, Ar-H); 12.40 (bs, 1H, NH) ^a .

38	H	F	H	3140, 1618, 1556, 1163, 1071, 805, 761.	0.51, 0.91 (2t, 3H, CH ₃); 2.80-3.23 (2q, 2H, CH ₂ CH ₃); 4.22, 4.33 (2s, 2H, CH ₂ C ₆ H ₅); 7.11-7.86 (m, 13H, Ar-H); 12.65 (bs, 1H, NH) ^a .
39	F	F	H	3185, 1615, 1538, 1235, 1161, 1065.	0.60, 0.89 (2t, 3H, CH ₃); 2.84-3.23 (2q, 2H, CH ₂ CH ₃); 4.28, 4.34 (2s, 2H, CH ₂ C ₆ H ₅); 7.17-7.84 (m, 13H, Ar-H); 12.67 (bs, 1H, NH) ^a .
40	F	Cl	H	3107, 1617, 1538, 1224, 842, 698.	0.59, 0.93 (2t, 3H, CH ₃); 2.85-3.24 (2q, 2H, CH ₂ CH ₃); 4.28, 4.34 (2s, 2H, CH ₂ C ₆ H ₅); 7.13-8.10 (m, 11H, Ar-H); 12.70 (bs, 1H, NH).
41	H	H	Cl	3201, 1631, 1611, 1183, 760.	0.51, 0.87 (2t, 3H, CH ₃); 2.79, 3.17 (2q, 2H, CH ₂ CH ₃); 4.15, 4.31 (2s, 2H, CH ₂ C ₆ H ₅); 7.11-7.62 (m, 12H, Ar-H); 7.98-8.16 (dd, 1H, 4-H); 12.79 (bs, 1H, NH) ^a .
42	H	H	CH ₃	3242, 1627, 1607, 1590, 1132, 937, 743, 726, 699.	0.52, 0.86 (2t, 3H, CH ₂ CH ₃); 2.52 (s, 3H, 7-CH ₃); 2.82, 3.15 (2q, 2H, CH ₂ CH ₃); 4.18, 4.29 (2s, 2H, CH ₂ C ₆ H ₅); 7.06-7.63 (m, 12H, Ar-H); 7.99 (d, 1H, 4-H); 12.31 (bs, 1H, NH) ^a .

^aExchangeable with deuterium oxide

TABLE S6. Spectral Data of Compounds **43-56**.



n.	R ₁	R ₂	R ₃	R ₄	I.R. (nujol, cm ⁻¹)	¹ H-NMR (DMSO-d ₆ , δ ppm)
43	CH ₃	CH ₂ CH ₃	H	H	3133, 3098, 1627, 1580, 1375, 1088, 746, 692.	0.72-1.03 (2t, 3H, CH ₂ CH ₃); 2.43, 2.75 (2s, 3H, NCH ₃); 2.84-3.17 (2q, 2H, CH ₂ CH ₃); 7.25-7.57 (m, 7H, Ar-H); 8.14 (dd, 1H, 4-H); 12.46 (bs, 1H, NH) ^a .
44	CH ₃	CH ₂ CH ₃	Cl	Cl	3167, 1620, 1101, 1013, 832, 729.	0.78-1.06 (2t, 3H, CH ₂ CH ₃); 2.48, 2.77 (2s, 3H, NCH ₃); 2.96-3.16 (2q, 2H, CH ₂ CH ₃); 7.10-7.59 (m, 6H, Ar-H); 8.09 (s, 1H, 4-H); 12.77 (bs, 1H, NH) ^a .
45	CH ₃	(CH ₂) ₃ CH ₃	H	H	3174, 1628, 1580, 1191, 1081, 863, 747, 654.	0.73-0.86 (2t, 3H, (CH ₂) ₃ CH ₃); 1.03-1.50 (m, 4H, CH ₂ (CH ₂)CH ₃); 2.41, 2.75 (2s, 3H, NCH ₃); 2.60-3.10 (m, 2H, NCH ₂); 7.25-7.57 (m, 7H, Ar-H); 8.07-8.17 (m, 1H, 4-H); 12.47 (bs, 1H, NH) ^a .

46	CH ₃	(CH ₂) ₄ CH ₃	H	H	3181, 1624, 1610, 1580, 1187, 1075, 864, 753, 697.	0.74-0.87 (m, 3H, (CH ₂) ₄ CH ₃); 1.06-1.50 (m, 6H, CH ₂ (CH ₂) ₃ CH ₃); 2.41, 2.75 (2s, 3H, NCH ₃); 2.79-3.05 (m, 2H, NCH ₂); 7.25-7.57 (m, 8H, Ar-H); 8.07-8.15 (m, 1H, 4-H); 12.50 (bs, 1H, NH) ^a .
47	CH ₂ CH ₃	(CH ₂) ₃ CH ₃	H	H	3174, 1611, 1576, 1190, 1091, 747, 695, 654.	0.70-1.44 (m, 10H, CH ₂ CH ₃ , CH ₂ (CH ₂) ₂ CH ₃); 2.90-3.19 (m, 4H, 2 NCH ₂); 7.21-7.59 (m, 8H, Ar-H); 8.05-8.10 (m, 1H, 4-H); 12.45 (bs, 1H, NH) ^a .
48	CH ₃	(CH ₂) ₃ CH ₃	Cl	Cl	3201, 1619, 1576, 1306, 1105, 1013 730.	0.75, 0.89 (2t, 3H, (CH ₂) ₃ CH ₃); 1.058-1.50 (m, 4H, CH ₂ (CH ₂) ₂ CH ₃); 2.45, 2.78 (2s, 3H, NCH ₃); 2.88-3.12 (2t, 2H, NCH ₂); 7.50-7.59 (m, 6H, 5-H, 6-H, Ph-H); 8.08-8.11 (m, 1H, 4-H); 12.74 (bs, 1H, NH) ^a .
49	CH ₃	(CH ₂) ₄ CH ₃	Cl	Cl	3160, 3126, 1620, 1235, 1091, 1016, 723.	0.75-0.88 (m, 3H, (CH ₂) ₄ CH ₃); 1.02-1.50 (m, 6H, CH ₂ (CH ₂) ₃ CH ₃); 2.78 (s, 3H, NCH ₃); 2.88-3.08 (m, 2H, NCH ₂); 7.31-7.37 (m, 1H, 7-H); 7.47-7.54 (m, 1H, 6-H); 7.58-7.60 (m, 4H, Ph-H); 8.08-8.114 (m, 1H, 4-H); 12.66 (bs, 1H, NH) ^a .
50	CH ₂ CH ₃	(CH ₂) ₃ CH ₃	Cl	Cl	3160, 1611, 1573, 1153, 805, 726.	0.71-1.47 (m, 10H, 2 CH ₃ , CH ₂ (CH ₂) ₂ CH ₃); 2.95-3.22 (m, 4H, 2 NCH ₂); 7.29-7.35 (dd, 1H, 7-H); 7.47-7.54 (m, 5H, 6-H, Ph-H); 8.04-8.06 (m, 1H, 4-H); 12.70 (bs, 1H, NH) ^a .
51	CH ₃	(CH ₂) ₃ CH ₃	Cl	H	3269, 1631, 1611, 1320, 1245, 1094, 1013, 835, 750, 726.	0.76, 0.85 (2t, 3H, (CH ₂) ₃ CH ₃); 1.08-1.20 (m, 2H, CH ₂ CH ₂ CH ₂ CH ₃); 1.32-1.48 (m, 2H, CH ₂ CH ₂ CH ₂ CH ₃); 2.48, 2.77 (2s, 3H, NCH ₃); 2.92, 3.05 (2t, 2H, NCH ₂); 7.23-7.39 (m, 2H, 5-H, 6-H); 7.47-7.51 (m, 1H, 7-H); 7.59 (s, 4H, Ph-H); 8.04-8.13 (m, 1H, 4-H); 12.52 (bs, 1H, NH) ^a .

52	CH ₃	(CH ₂) ₄ CH ₃	Cl	H	3179, 1611, 1576, 1313, 1092, 1016, 835, 753, 723.	0.77, 0.86 (2t, 3H, (CH ₂) ₄ CH ₃); 1.02-1.50 (m, 6H, CH ₂ (CH ₂) ₃ CH ₃); 2.50, 2.77 (2s, 3H, NCH ₃); 2.92, 3.07 (2t, 2H, NCH ₂); 7.26-7.31 (m, 2H, 5-H, 6-H); 7.47-7.49 (m, 1H, 7-H); 7.58 (s, 4H, Ph-H); 8.09-8.14 (m, 1H, 4-H); 12.42 (bs, 1H, NH) ^a .
53	CH ₂ CH ₃	(CH ₂) ₃ CH ₃	Cl	H	3180, 1611, 1315, 1190, 1095, 1016, 856, 835, 753, 723.	0.74-1.04 (m, 6H, 2 CH ₃); 1.08-1.50 (m, 4H, CH ₂ (CH ₂) ₂ CH ₃); 2.99-3.18 (m, 4H, 2 NCH ₂); 7.25-7.30 (m, 2H, 5-H, 6-H); 7.47-7.49 (m, 1H, 7-H); 7.51-7.59 (m, 4H, Ph-H); 8.06-8.09 (m, 1H, 4-H); 12.50 (bs, 1H, NH) ^a .
54	CH ₃	(CH ₂) ₃ CH ₃	H	Cl	3126, 1627, 1310, 1231, 1088, 879, 866, 753, 696.	0.80, 0.83 (2t, 3H, (CH ₂) ₃ CH ₃); 1.05-1.50 (m, 4H, CH ₂ (CH ₂) ₂ CH ₃); 2.38, 2.75 (2s, 3H, NCH ₃); 2.81-3.18 (2t, 2H, NCH ₂); 7.29-7.34 (dd, 1H, 6-H); 7.48-7.58 (m, 6H, Ph-H, 7-H); 8.11 (d, 1H, 4-H); 12.68 (bs, 1H, NH) ^a .
55	CH ₃	(CH ₂) ₄ CH ₃	H	Cl	3167, 3126, 1624, 1310, 1231, 1085, 1054, 876, 808, 696, 665.	0.75-0.87 (m, 3H, (CH ₂) ₄ CH ₃); 1.05-1.45 (m, 6H, CH ₂ (CH ₂) ₃ CH ₃); 2.39, 2.76 (2s, 3H, NCH ₃); 2.80-3.05 (m, 2H, NCH ₂); 7.29-7.33 (dd, 1H, 6-H); 7.48-7.65 (m, 6H, Ph-H, 7-H); 8.11 (d, 1H, 4-H); 12.67 (bs, 1H, NH) ^a .
56	CH ₂ CH ₃	(CH ₂) ₃ CH ₃	H	Cl	3167, 1621, 1570, 1091, 934, 798, 692.	0.75-1.00 (m, 6H, 2 CH ₃); 1.05-1.25 (m, 4H, CH ₂ (CH ₂) ₂ CH ₃); 2.90-3.19 (m, 4H, 2 NCH ₂); 7.28-7.34 (dd, 1H, 6-H); 7.48-7.61 (m, 6H, Ph-H, 7-H); 8.06 (d, 1H, 4-H); 12.62 (bs, 1H, NH) ^a .

^aExchangeable with deuterium oxide

Appendix

ANALYTICAL DATA

no.	formula	Calcd. %			Found %		
		C	H	N	C	H	N
1	C ₂₂ H ₂₃ N ₃ O ₄	67.16	5.89	10.68	66.88	5.64	10.43
2	C ₂₄ H ₂₇ N ₃ O ₄	68.39	6.46	9.97	68.36	6.48	9.94
3	C ₂₈ H ₃₅ N ₃ O ₄	70.42	7.39	8.80	70.38	7.15	8.88
4	C ₂₃ H ₂₃ F ₃ N ₂ O ₂	66.34	5.57	6.73	66.48	5.65	6.67
5	C ₂₅ H ₂₇ F ₃ N ₂ O ₂	67.55	6.12	6.30	67.55	6.10	6.26
6	C ₂₉ H ₃₅ F ₃ N ₂ O ₂	69.58	7.05	5.60	69.96	6.85	5.46
7	C ₂₂ H ₂₃ N ₃ O ₄	67.16	5.89	10.68	66.91	5.68	10.74
8	C ₂₄ H ₂₇ N ₃ O ₄	68.39	6.46	9.97	68.76	6.50	10.21
9	C ₂₈ H ₃₅ N ₃ O ₄	70.42	7.39	8.80;	70.64	7.60	9.07
10	C ₂₃ H ₂₆ N ₂ O ₃	72.99	6.92	7.40	72.88	7.16	7.09
11	C ₂₅ H ₃₀ N ₂ O ₃	73.86	7.44	6.89	73.72	7.12	6,78
12	C ₂₉ H ₃₈ N ₂ O ₃	75.29	8.28	6.06	75.59	8.54	6,00
13	C ₂₂ H ₂₃ FN ₂ O ₂	72.11	6.33	7.64	72.31	6.33	7.52
14	C ₂₄ H ₂₇ FN ₂ O ₂	73.07	6.90	7.10	73.40	7.05	7.11
15	C ₂₈ H ₃₅ FN ₂ O ₂	74.64	7.83	6.22	74.23	8.03	5,86
16	C ₂₂ H ₂₂ F ₂ N ₂ O ₂	68.74	5.77	7.29	69.29	5.68	7.,02
17	C ₂₄ H ₂₆ F ₂ N ₂ O ₂	69.89	6.35	6.79	69.71	6.62	6.39

18	$C_{28}H_{34}F_2N_2O_2$	71.77	7.31	5.98	72.15	7.68	5.65
19	$C_{22}H_{22}ClFN_2O_2$	65.91	5.53	6.99	66.24	5.59	7.15
20	$C_{24}H_{26}ClFN_2O_2$	67.20	6.11	6.53	67.58	6.25	6.69
21	$C_{28}H_{34}ClFN_2O_2$	69.34	7.07	5.78	69.68	7.37	5.43
22	$C_{22}H_{23}ClN_2O_2$	69.01	6.05	7.32	69.37	6.41	7.52
23	$C_{24}H_{27}ClN_2O_2$	70.15	6.62	6.82	70.48	6.73	7.06
24	$C_{28}H_{35}ClN_2O_2$	72.01	7.55	6.00	72.03	7.85	5.75
25	$C_{23}H_{26}N_2O_2$	76.21	7.23	7.73	75.89	7.31	8.01
26	$C_{25}H_{30}N_2O_2$	76.89	7.74	7.17	77.17	8.05	7.47
27	$C_{29}H_{38}N_2O_2$	77.99	8.58	6.27	78.31	8.85	6.57
28	$C_{25}H_{22}N_2O_2$	78.51	5.80	7.32	78.87	5.94	7.10
29	$C_{25}H_{21}ClN_2O_2$	72.02	5.08	6.72	71.63	5.15	6.64
30	$C_{25}H_{21}ClN_2O_2$	72.02	5.08	6.72	71.73	5.27	6.61
31	$C_{25}H_{21}FN_2O_2$	74.98	5.29	7.00	74.78	5.38	6.62
32	$C_{25}H_{20}Cl_2N_2O_2$	66.53	4.47	6.21	66.19	4.49	6.18
33	$C_{26}H_{24}N_2O_2$	78.76	6.10	7.07	78.58	6.05	6.90
34	$C_{25}H_{21}N_3O_4$	70.25	4.95	9.83	70.60	5.17	9.88
35	$C_{26}H_{21}F_3N_2O_2$	69.33	4.70	6.22	69.32	5.00	6.08
36	$C_{25}H_{21}N_3O_4$	70.25	4.95	9.83	70.11	4.90	10.06
37	$C_{26}H_{24}N_2O_3$	75.71	5.86	6.79	75.44	6.11	6.45
38	$C_{25}H_{21}FN_2O_2$	74.98	5.29	7.00	74.73	5.32	6.83
39	$C_{25}H_{20}F_2N_2O_2$	71.76	4.82	6.69	72.09	4.95	6.60
40	$C_{25}H_{20}ClFN_2O_2$	69.04	4.64	6.44	69.38	4.68	6.41
41	$C_{25}H_{21}ClN_2O_2$	72.02	5.08	6.72	71.81	4.83	6.49

42	$C_{26}H_{24}N_2O_2$	78.76	6.10	7.07	79.16	6.27	7.30
43	$C_{19}H_{18}N_2O_2$	74.49	5.92	9.14	74.24	5.73	9.05
44	$C_{19}H_{16}Cl_2N_2O_2$	60.81	4.30	7.47	61.15	4.31	7.13
45	$C_{21}H_{22}N_2O_2$	75.42	6.63	8.38	75.05	6.61	8.45
46	$C_{22}H_{24}N_2O_2$	75.83	6.94	8.04	76.02	7.00	8.42
47	$C_{22}H_{24}N_2O_2$	75.83	6.94	8.04	75.62	6.89	8.06
48	$C_{21}H_{20}Cl_2N_2O_2$	62.54	5.00	6.95	62.59	5.02	6.78
49	$C_{22}H_{22}Cl_2N_2O_2$	63.32	5.31	6.71	63.54	5.22	6.95
50	$C_{22}H_{22}Cl_2N_2O_2$	63.32	5.31	6.71	63.56	5.69	6.61
51	$C_{21}H_{21}ClN_2O_2$	68.38	5.74	7.59	68.27	5.98	7.75
52	$C_{22}H_{23}ClN_2O_2$	69.01	6.05	7.32	68.69	5.73	7.21
53	$C_{22}H_{23}ClN_2O_2$	69.01	6.05	7.32	68.78	5.86	7.35
54	$C_{21}H_{21}ClN_2O_2$	68.38	5.74	7.59	68.69	5.64	7.20
55	$C_{19}H_{18}N_2O_2$	74.49	5.92	9.14	74.24	5.73	9.05
56	$C_{19}H_{16}Cl_2N_2O_2$	60.81	4.30	7.47	61.15	4.31	7.13