Supporting Information

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-Experimental details and characterization data for compounds:

7d-g, 7l,m, 1d-g, 1j,l,m, 1r, 2a, 2d-r.

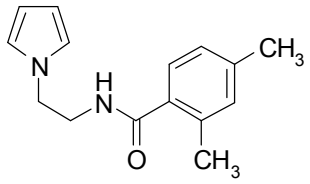
General Methods. Column chromatography was performed using silica gel (60 F_{254}, 70–200 mm) as the stationary phase. All melting points are uncorrected. ^{1}H NMR spectra were obtained at 300 MHz and 200 MHz. ^{13}C NMR were obtained at 75 and 50 MHz. Chemical shifts are reported in ppm relative to tetramethylsilane.

Imines **1h**, **1i, 1o** and **1q** are commercially available. The following compounds were prepared according to literature procedures; **1a** and **1c**: A. M. Likhosherstov, V. P. Peresada, V. G. Vinokurov and A. P. Skoldinov, *Zh. Org. Khim.,* 1983, **22**, 2610-2614. **1b**: I. Jirkovsky and R. Baudy, *Synthesis*, 1981, 481-483. **1k**: M. P. Matía, J. Ezquerra, F. Sanchez-Ferrando, J. L. García-Navío, J. J. Vaquero and J. Alvarez-Builla, *Tetrahedron*, 1991, **47**, 7329-7342. **1n,p**: N. de Kimpe, K. A. Tehrani, C. Stevens and P. de Cooman, *Tetrahedron*, 1997, **53**, 3693-3706. **1s**: I. Moretti and G. Torre, *Synthesis*, 1970, 141. **6a**: W. Herz, D. S. Raden and D. R. K. Murty, *J. Org. Chem.* 1956, **21**, 896-898. **6b**: K. Ichimura, S. Ichikawa and K. Imamura, *Bull. Chem. Soc. Jpn*. 1976, **49**, 1157-1158. **7j**: S. Naruto and O. Yonemitsu, *Chem. Pharm. Bull.* 1980, **28**, 900-909.

General procedure for the preparation of starting materials 7d-g and 7l,m. To a solution of 2-pyrrol-1-ylethylamine **6a** or 2-indol-1-ylethylamine **6b** (10 mmol) in DMF (2 mL) was added the corresponding acid (9.1 mmol). The mixture was stirred at 0ºC and then, Et_3N (0.9 g, 9.1 mmol) and a solution of diethyl cyanophosphonate (1.48 g, 9.1 mmol) in DMF (2 mL) were added. After being stirred for 30 min at the same temperature, the reaction mixture was allowed to warm to room temperature and stirring was maintained for additional 1h. The reaction mixture was poured into water (10 mL) and extracted with CH_2Cl_2. The combined organic extracts were dried over Na_2SO_4 and concentrated under reduced pressure providing a residue that was purified by column chromatography (hexane: EtOAc (1:1)) and recrystallized from Et_2O/EtOAc or EtOH/H_2O (compound **7f**).

2,4-Dimetyl-*N*-(2-pyrrol-1-yl-ethyl)benzamide (7d).

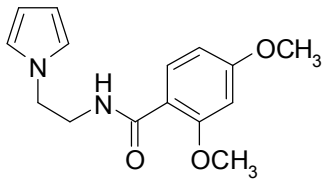
Yield: 57%, mp 132-133 ºC; IR (KBr) ν_{max} 3291, 1633, 1533, 1356, 1317, 1091, 723 cm^{-1}; ^1H NMR (200 MHz, $CDCl_3$) δ 7.24 (s, 1H), 7.15 (d, 1H, *J*= 7.8 Hz), 6.97 (d, 1H, *J*= 7.8 Hz), 6.69 (m, 2H), 6.18 (m, 2H), 5.81 (bs, 1H), 4.14 (t, 2H, *J*= 5.8 Hz), 3.73 (q, 2H, *J*= 5.8 Hz), 2.40 (s, 3H), 2.32 (s, 3H); ^{13}C NMR (50 MHz $CDCl_3$) δ 170.2, 140.2, 136.3, 132.8, 131.9, 126.8, 126.3, 120.6, 108.8, 48.8, 41.2, 21.2, 19.8; MS (CI) *m/z* (relative intensity) 243 (M^+ +1, 88), 242 (46), 137 (11), 134 (10), 133 (100), 93 (9). Anal. Calcd for $C_{15}H_{18}N_2O$: C, 74.35; H, 7.49; N, 11.56. Found: C, 74.00; H, 7.21; N, 11.74.



7d

2,4-Dimethoxy-*N*-(2-pyrrol-1-yl-ethyl)benzamide (7e).

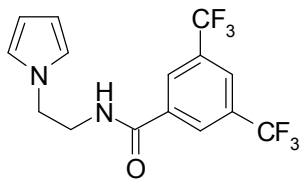
Yield: 80%, yellow oil; IR (NaCl) ν_{max} 3386, 1650, 1606, 1534, 1498, 1265, 1210, 1169, 730 cm^{-1}; ^1H NMR (300 MHz, $CDCl_3$) δ 8.11 (d, 1H, *J*= 8.8 Hz), 7.90 (bs, 1H), 6.71 (m, 2H), 6.58 (dd, 1H, *J*= 8.8 and 2.2 Hz), 6.44 (d, 1H, *J*= 2.2 Hz), 6.18 (m, 2H), 4.10 (t, 2H, *J*= 5.5 Hz), 3.84 (s, 3H), 3.79 (s, 3H), 3.77 (m, 2H); ^{13}C NMR (50 MHz $CDCl_3$) δ 165.0, 163.2, 158.6, 133.5, 120.4, 113.8, 108.2, 105.1, 98.3, 55.5, 55.3, 49.0, 40.8; MS (CI) *m/z* (relative intensity) 275 (M^+ +1, 100), 274 (53), 165 (87). Anal. Calcd for $C_{15}H_{18}N_2O_3$: C, 65.68; H, 6.61; N, 10.21. Found: C, 65.45; H, 6.34; N, 10.22.



7e

***N*-(2-Pyrrol-1-yl-ethyl)-3,5-*bis*-trifluoromethybenzamide (7f).**

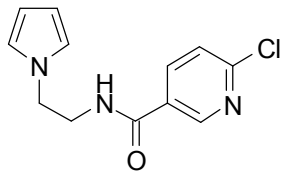
Yield: 77%, mp 144-145 ºC; IR (KBr) ν_{max} 3236, 1650, 1563, 1304, 1283, 1178, 1129, 733, 699; ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.10 (s, 2H), 7.82 (s, 1H), 6.67 (m, 2H), 6.20 (m, 2H), 6.10 (bs, 1H), 4.16 (t, 2H, *J*= 5.7 Hz), 3.76 (q, 2H, *J*= 5.7 Hz); ^{13}C NMR (75 MHz $CDCl_3$) δ 164.8, 136.1, 129.0 (q, *J*= 34.4 Hz), 127.2 (m), 124.8 (q, *J*= 2.9 Hz), 122.5 (q, *J*= 273.1 Hz), 120.4, 109.1, 48.4, 41.7; MS (CI) *m/z* (relative intensity) 287 (9), 259 (100), 258 (10), 241 (14), 239 (78). Anal. Calcd for $C_{15}H_{12}F_6N_2O$: C, 51.44; H, 3.45; N, 8.00. Found: C, 55.15; H, 3.34; N, 8.17.



7f

6-Chloro-*N*-(2-pyrrol-1-yl-ethyl)nicotinamide (7g).

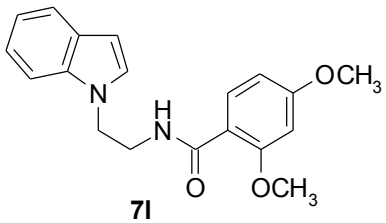
Yield: 70 %, mp 124-125 ºC; IR (KBr) ν_{max} 3336, 1640, 1537, 1456, 1285, 1086, 840, 766; ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.60 (d, 1H, *J*= 2.6 Hz), 8.09 (dd, 1H, *J*= 8.4 and 2.6 Hz), 7.39 (d, 1H, *J*= 8.4 Hz), 6.65 (m, 2H), 6.16 (m, 2H), 6.0 (bs, 1H), 4.13 (t, 2H, *J*= 5.7 Hz), 3.74 (q, 2H, *J*= 5.7 Hz); ^{13}C NMR (75 MHz $CDCl_3$) δ 164.7, 154.3, 147.9, 137.9, 128.7, 124.3, 120.7, 109.0, 48.4, 41.5; MS (CI) *m/z* (relative intensity) 252, 250 (M^+ +1; 32, 100), 214 (8). Anal. Calcd for $C_{12}H_{12}ClN_3O$: C, 57.72; H, 4.84; N, 16.83. Found: C, 58.05; H, 5.12; N, 16.57.



7g

***N*-(2-indol-1-yl-ethyl)-2,4-dimethoxybenzamide (7l).**

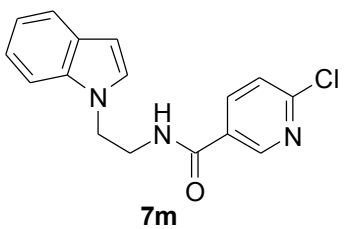
Yield: 62 %, mp 121-123 ºC; IR (KBr) ν_{max} 3370, 1655, 1592, 1523, 1482, 1258, 1223, 1154, 766; ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.16 (d, 1H, *J*= 8.8 Hz), 7.72 (bs, 1H), 7.63 (d, 1H, *J*= 7.0 Hz), 7.39 (d, 1H, *J*= 8.0 Hz), 7.15 (m, 3H), 6.60 (dd, 1H, *J*= 8.8 and 2.2 Hz), 6.50 (d, 1H, *J*= 3.1 Hz), 6.48 (d, 1H, *J*= 2.2 Hz), 4.20 (t, 2H, J= 5.9 Hz), 3.81 (s, 3H), 3.50 (s, 3H), 3.12 (m, 2H)); ^{13}C NMR (50 MHz, CD_3OD) δ 165.4, 163.4, 158.8, 136.0, 133.8, 128.6, 127.9, 121.6, 120.9, 119.4, 114.0, 109.4, 105.2, 101.5, 98.4, 55.5, 55.4, 45.9, 39.8; MS (CI) *m/z* (relative intensity) 325 (M^+ +1, 87), 324 (29), 165 (100), 143 (12). Anal. Calcd for $C_{19}H_{20}N_2O_3$: C, 70.35; H, 6.21; N, 8.64. Found: C, 69.99; H, 5.87; N, 9.01.



7l

6-Chloro-*N*-(2-indol-1-yl-ethyl)nicotinamide (7m).

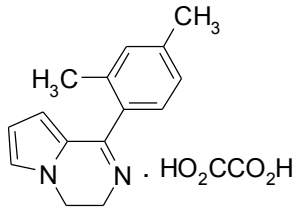
Yield: 67 %, mp 112-113 ºC; IR (KBr) ν_{max} 3323, 1648, 1553, 1461, 1385, 1081, 852, 776; ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.46 (d, 1H, *J*= 1.8 Hz), 7.86 (dd, 1H, *J*= 8.1 and 1.8 Hz), 7.62 (d, 1H, *J*= 8.1 Hz), 7.35 (m, 2H), 7.18 (t, 1H, *J*= 7.7 Hz), 7.11 (d, 1H, *J*= 7.7 Hz), 7.06 (d, 1H, *J*= 2.8 Hz), 6.51 (d, 1H, *J*= 2.8 Hz), 6.22 (bs, 1H), 4.41 (t, 2H, *J*= 5.7 Hz), 3.82 (q, 2H, *J*= 5.7 Hz)); ^{13}C NMR (75 MHz, CD_3OD) δ 164.8, 154.4, 147.9, 137.6, 135.9, 128.7, 128.6, 127.7, 124.3, 122.1, 121.3, 119.9, 109.1, 102.2, 45.3, 40.5; MS (CI) *m/z* (relative intensity) 302, 300 (M^+ +1; 32, 100), 299 (7), 264 (11). Anal. Calcd for $C_{16}H_{14}ClN_3O$: C, 64.11; H, 4.71; N, 14.02. Found: C, 64.35; H, 5.12; N, 14.07.



7m

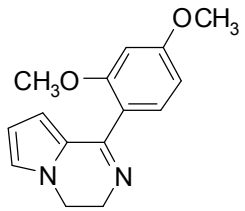
General procedure for preparation of 3,4-dihydropyrrolo[1,2-*a*]pyrazines (1d-g) and 3,4-dihydropyrazino-[1,2-*a*]indoles (1j,l,m). A solution of the corresponding amide **7** (8 mmol) in phosphorus oxychloride (12 mL) was refluxed for 2h. The resulting suspension was allowed to warm up to room temperature and then, ice water (20 mL) was added. The mixture was basified with conc. NaOH solution and extracted with CH_2Cl_2. The combined organic phases were dried over Na_2SO_4, filtered and evaporated to dryness. The residue was purified by flash chromatography. The oily compunds were purified as salt derivatives.

1-(2,4-Dimethylphenyl)-3,4-dihydropyrrolo[1,2-*a*]pyrazine oxalate (1d).
Chromatography: hexane/EtOAc (3:7), yield: 37 %, (EtOH) mp 175-176 ºC; IR (KBr) ν_{max} 1702, 1612, 1578, 1551, 1383, 1340, 1066, 775, 702; ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.53 (m, 1H), 7.29 (d, 1H, *J*= 7.6 Hz), 7.20 (s, 1H), 7.16 (d, 1H, *J*= 7.6 Hz), 6.48 (m, 1H), 6.38 (dd, 1H, *J*= 3.9 and 2.5 Hz), 4.80 (bs, 1H), 4.33 (t, 2H, *J*= 6.4 Hz), 4.03 (t, 2H, *J*= 6.4 Hz), 2.34 (s, 3H), 2.24 (s, 3H); ^{13}C NMR (50 MHz, CD_3OD) δ 166.8, 164.4, 144.4, 138.1, 136.3, 133.2, 130.8, 128.2, 128.0, 127.4, 124.7, 115.6, 43.8, 43.1, 21.4, 19.7; MS (APCI) *m/z* (relative intensity) 225 (M^+ +1, 100). Anal. Calcd for $C_{17}H_{18}N_2O_4$: C, 64.96; H, 5.77; N, 8.91. Found: C, 64.59; H, 5.71; N, 8.72.



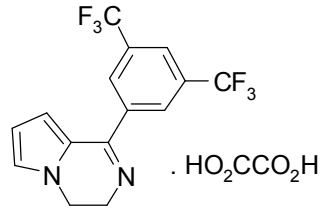
1d

1-(2,4-Dimethoxyphenyl)-3,4-dihydropyrrolo[1,2-*a*]pyrazine (1e).
Chromatography: EtOAc/EtOH (9:1), yield: 65 %, mp 127-128 ºC; IR (KBr) ν_{max} 2835, 1609, 1582, 1505, 1418, 1307, 1277, 1212, 1166, 1124, 1031, 728; ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.28 (d, 1H, *J*= 8.7 Hz), 6.76 (m, 1H), 6.50 (m, 2H), 6.15 (m, 2H), 4.03 (s, 4H), 3.84 (s, 3H), 3.74 (s, 3H); ^{13}C NMR (50 MHz, $CDCl_3$) δ 161.4, 159.0, 158.5, 131.0, 126.0, 122.9, 120.8, 111.6, 108.4, 104.1, 98.9, 55.6, 55.4, 48.2, 42.2; MS (EI) *m/z* (relative intensity) 256 (M+, 100), 255 (93), 239 (33), 227 (19), 226 (19), 225 (32). Anal. Calcd for $C_{15}H_{16}N_2O_4$: C, 70.29; H, 6.29; N, 10.93. Found: C, 69.99; H, 6.29; N, 10.96.



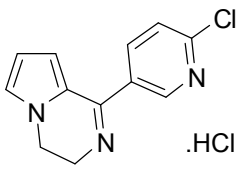
1e

1-[3,5-*Bis*(trifluoromethyl)phenyl]-3,4-dihydropyrrolo[1,2-*a*]pyrazine oxalate (1f).
Chromatography: hexane/EtOAc (8:2), yield: 37 %, (EtOH) mp 184-185 ºC; IR (KBr) ν_{max} 3019, 1750, 1624, 1564, 1279, 1132; ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.34 (s, 3H), 7.29 (m, 1H), 6.50 (d, 1H, *J*= 2.7 Hz), 6.31 (dd, 1H, *J*= 3.9 and 2.7 Hz), 4.14 (t, 2H, *J*= 6.1 Hz), 3.99 (t, 2H, *J*= 6.1 Hz); ^{13}C NMR (50 MHz, DMSO-d_6) δ 161.5, 156.4, 137.3, 130.0 (c, *J*= 33.3 Hz), 128.7 (m), 127.4, 123.9 (q, *J*= 3.8 Hz), 122.6 (c, *J*= 272.8 Hz), 122.1, 114.6, 109.8, 45.8, 41.2; MS (APCI) *m/z* (relative intensity) 333 (M^+ +1, 100). Anal. Calcd for $C_{17}H_{12}F_6N_2O_4$: C, 48.35; H, 2.86; N, 6.63. Found: C, 48.23; H, 2.80; N, 6.54.



1f

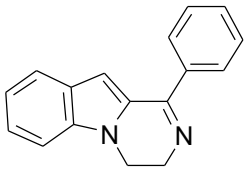
1-(6-Chloro-3-pyridinyl)-3,4-dihydropyrrolo[1,2-*a]*pyrazine hydrochloride (1g).
Chromatography: EtOAc/EtOH (9:1), yield: 58 %, (EtOAc/EtOH) mp 242-243 ºC; IR (KBr) ν_{max} 1607, 1587, 1387, 1107 835; ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.15 (bs, 1H), 8.84 (m, 1H), 8.31 (dd, 1H, *J*= 8.3 and 2.5 Hz), 7.86 (m, 2H), 7.15 (dd, 1H, *J*= 4.3 and 1.3 Hz), 6.60 (dd, 1H, *J*= 4.3 and 2.3 Hz), 4.46 (t, 2H, *J*= 6.4 Hz), 4.07 (t, 2H, *J*= 6.4 Hz); ^{13}C NMR (50 MHz, DMSO-d_6) δ 157.3, 154.5, 150.6, 141.3, 134.7, 125.1, 125.0, 124.5, 121.8, 113.9, 42.2, 41.5; MS (APCI) *m/z* (relative intensity) 232 (M^+ +1, 100). Anal. Calcd for $C_{12}H_{11}Cl_2N_3$: C, 53.75; H, 4.13; N, 15.67. Found: C, 53.71; H, 4.03; N, 15.85.



1g

1-Phenyl-3,4-dihydropyrazino[1,2-*a*]indol (1j).

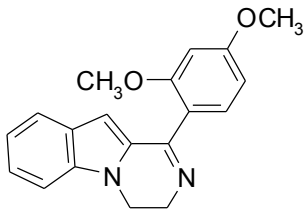
Chromatography: Hexane/EtOAc (3:7), yield: 43 %, (hexane) mp 74-75 ºC; IR (KBr) ν_{max} 3055, 2944, 1589, 1566, 1415, 1314, 1284, 1137, 1007, 797, 708; ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.80 (m, 2H), 7.68 (d, 1H, *J*= 8.1 Hz), 7.42 (m, 5H), 7.16 (m, 1H), 6.72 (s, 1H), 4.20 (s, 4H); ^{13}C NMR (75 MHz, $CDCl_3$) 161.4, 138.1, 136.5, 129.9, 128.4, 128.2, 128.1, 127.1, 124.2, 122.4, 120.5, 109.2, 105.2, 48.3, 38.3; MS (APCI) *m/z* (relative intensity) 247 (M^+ +1, 100). Anal. Calcd for $C_{17}H_{14}N_2$: C, 82.90; H, 5.73; N, 11.37. Found: C, 82.12; H, 5.54; N, 11.04.



1j

1-(2,4-Dimethoxyphenyl)-3,4-dihydropyrazino[1,2-*a*]indol (1l).

Chromatography: hexane/EtOAc (3:7), yield: 61 %, mp 162-164 ºC; IR (KBr) ν_{max} 2941, 2831, 1611, 1592, 1552, 1428, 1337, 1257, 1214, 1156, 1136, 1031, 758; ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.58 (d, 1H, *J*= 7.9 Hz), 7.32 (m, 4H), 7.15 (m, 1H), 6.54 (m, 2H), 6.41 (s, 1H), 4.17 (m, 4H), 3.85 (s, 3H), 3.72 (s, 3H); ^{13}C NMR (50 MHz, $CDCl_3$) δ 161.2, 159.7, 158.2, 136.3, 130.7, 129.5, 127.1, 123.6, 122.1, 120.5, 120.1, 109.1, 104.4, 104.1, 98.8, 55.7, 55.5, 48.6, 38.6; MS (APCI) *m/z* (relative intensity) 307 (M^+ +1, 100). Anal. Calcd for $C_{19}H_{18}N_2O_2$: C, 74.49; H, 5.92; N, 9.14. Found: C, 74.61; H, 6.03; N, 9.36.

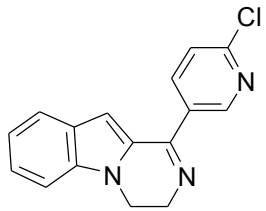


1l

1-(6-Chloro-3-pyridinyl)-3,4-dihydropyrazino[1,2-*a*]indol (1m).

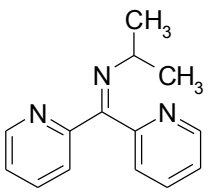
Chromatography: EtOAc/EtOH (9:1), yield: 55 %, mp 187-189 ºC; IR (KBr) ν_{max} 1601, 1576, 1411, 1387, 1117; ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.85 (d, 1H, *J*= 2.0 Hz), 8.26 (dd, 1H, *J*= 8.2 and 2.0 Hz), 7.69 (d, 1H, *J*= 8.1 Hz), 7.50 (d, 1H, *J*= 8.2 Hz), 7.40 (m, 2H), 7.20 (m, 1H), 6.88 (s, 1H), 4.29 (m, 4H); ^{13}C NMR (75 MHz, $CDCl_3$) 158.0, 152.7, 149.4,

138.5, 136.6, 132.6, 127.2, 127.0, 124.8, 123.9, 122.6, 120.9, 109.3, 105.1, 48.6, 38.4; MS (APCI) *m/z* (relative intensity) 282 (M^+ +1, 100). Anal. Calcd for $C_{16}H_{12}ClN_3$: C, 68.21; H, 4.29; N, 14.91. Found: C, 68.52; H, 4.04; N, 14.85.



1m

Preparation of (dipyridin-2-yl-methylene)isopropylamine (1r). A solution of isopropylamine (100 mmol) in anhydrous benzene (20 mL) was added by a dropping funnel, at room temperature, to a solution of di-2-pyridyl ketone (10 mmol) in anhydrous benzene (20 mL). The resultant solution is cooled to 0-5ºC, and a solution of $TiCl_4$ 0.6 M in benzene (10 mL, 6 mmol) is added over a period of 40-60 min. After the addition is complete, the mixture is stirred at room temperature for 4 days. The reaction mixture is then filtered and the solvent evaporated to dryness. The resulting oily residue was triturated in anhydrous *n*-hexane and was used in the next reaction without any futher purification.
Yield: 68 %; IR (NaCl) ν_{max} 1645, 1605, 1562, 1421, 1337, 1017; ^{1}H-NMR (300 MHz, $CDCl_3$) δ 8.72 (dd, 1H, *J*= 4.9, 1.0 Hz), 8.50 (dd, 1H, *J*= 4.9, 1.0 Hz), 8.10 (dd, 1H, *J*= 8.0, 1.0 Hz), 7.79 (dt, 1H, *J*= 7.7, 1.6 Hz), 7.72 (dt, 1H, *J*= 7.7, 1.6 Hz), 7.27 (m, 3H), 3.56 (hept, 1H, *J*= 6.1 Hz), 1.20 (d, 6H, *J*= 6.2 Hz); ^{13}C NMR (75 MHz, $CDCl_3$) 164.1, 157.1, 155.8, 149.6, 148.7, 136.3, 135.9, 123.8, 123.2, 122.9, 122.4, 53.2, 23.7; MS (APCI) *m/z* (relative intensity) 226 (M^+ +1, 100).



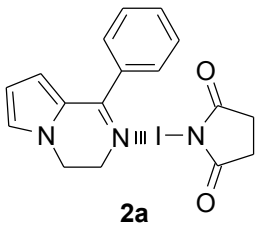
1r

General procedure for the preparation of compounds 2. A solution of *N*-iodosuccinimide (1 mmol) in dry CH_2Cl_2 (4 mL) was added dropwise under argon atmosphere to a stirred solution of the corresponding imine (for compounds **1e, 1h-s**) or

imine salt **1** (for compound **1a-d,f,g**) (1 mmol) in dry CH_2Cl_2 (4 mL) at room temperature. The reaction mixture was stirred for 1h at this temperature, concentrated in vacuo and the residue triturated with Et_2O. Corresponding compounds **2** are yellow solids and were isolated by filtration.

1-Phenyl-3,4-dihydropyrrolo[1,2-*a*]pyrazine coordinated by *N*-Iodosuccinimide (2a).

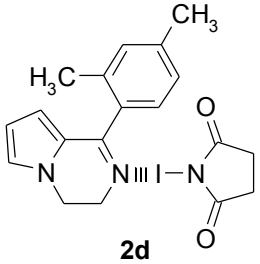
Yield: 64%, mp 114-115 ºC; IR (KBr) ν_{max} 1676, 1556, 1404, 1314, 1282, 1238, 1198, 1044, 748, 722 cm^{-1}; ^1H NMR (300 MHz, $CDCl_3$) δ 7.85 (d, 2H, *J*= 7.1 Hz), 7.81 (t, 1H, *J*= 7.5Hz), 7.69 (at, 2H, *J*= 7.5 Hz), 7.63 (bs, 1H), 7.09 (dd, 1H, *J*= 4.4 and 1.4 Hz), 6.61 (dd, 1H, *J*= 4.4 and 2.4 Hz), 4.52 (at, 2H, *J*= 6.3 Hz), 4.14 (at, 2H, *J*= 6.3 Hz), 2.71 (s, 4H); ^{13}C NMR (50 MHz $CDCl_3$) δ 182.5, 163.3, 135.5, 130.9, 129.4, 128.1, 126.2, 124.3, 117.4, 110.3, 50.5, 42.9, 29.8; MS (APCI) *m/z* (relative intensity) 199 (10), 198 (99), 197 (100). Anal. Calcd for $C_{17}H_{16}IN_3O_2$: C, 48.47; H, 3.83; N, 9.98. Found: C, 48.10; H, 3.95; N, 9.81.



2a

1-(2,4-Dimethylphenyl)-3,4-dihydropyrrolo[1,2-*a*]pyrazine coordinated by *N*-Iodosuccinimide (2d).

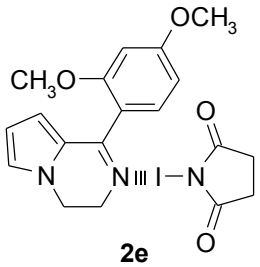
Yield: 82%, mp 123-125 ºC; IR (KBr) ν_{max} 1716, 1680, 1563, 1199 cm^{-1}; ^1H NMR (300 MHz, $CDCl_3$) δ 7.07 (m, 3H), 6.88 (dd, 1H, *J*= 2.4 and 1.5 Hz), 6.15 (m, 2H), 4.08 (m, 4H), 2.64 (s, 4H), 2.35 (s, 3H), 2.20 (s, 3H); ^{13}C NMR (50 MHz $CDCl_3$) δ 182.9, 164.0, 139.7, 135.4, 132.8, 131.2, 128.3, 126.3, 126.2, 125.0, 117.1, 110.6, 50.1, 43.0, 29.9, 21.3, 19.7; MS (CI) *m/z* (relative intensity) 226 (10), 225 (59), 224 (9), 223 (9), 129 (48), 100 (100). Anal. Calcd for $C_{19}H_{22}IN_3O_2$: C, 50.57; H, 4.19; N, 9.31. Found: C, 50.48; H, 4.55; N, 8.97.



2d

1-(2,4-Dimethoxyphenyl)-3,4-dihydropyrrolo[1,2-*a*]pyrazine coordinated by *N*-Iodo-succinimide (2e).

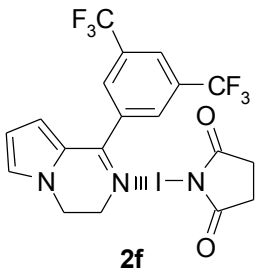
Yield: 69%; mp 140-142 ºC; IR (KBr) ν_{max} 2850, 1672, 1571, 1505, 1408, 1301, 1272, 1222, 1156, 1021, 728 cm^{-1}; ^1H NMR (300 MHz, $CDCl_3$) δ 7.15 (d, 1H, *J*= 8.2 Hz), 6.85 (dd, 1H, *J*= 2.3 and 1.5 Hz), 6.53 (m, 2H), 6.24 (dd, 1H, *J*= 3.8 and1.5 Hz), 6.16 (dd, 1H, J= 3.8 and 2.3 Hz), 4.08 (m, 4H), 3.85 (s, 3H), 3.82 (s, 3H), 2.65 (s, 4H); ^{13}C NMR (75 MHz $CDCl_3$) δ 183.2, 162.5, 161.1, 158.3, 131.1, 125.8, 125.3, 117.7, 116.8, 110.3, 104.1, 98.9, 55.6, 55.4, 50.2, 43.0, 29.9; MS (CI) *m/z* (relative intensity) 383 (7), 258 (15), 257 (86), 256 (11), 255 (5), 129 (5), 100 (100). Anal. Calcd for $C_{19}H_{20}IN_3O_4$: C, 47.42; H, 4.19; N, 8.73. Found: C, 47.43; H, 4.26; N, 8.66.



2e

1-*[3,5-Bis*(trifluoromethyl)phenyl]-3,4-dihydropyrrolo[1,2-*a*]pyrazine coordinated by *N*-Iodosuccinimide (2f).

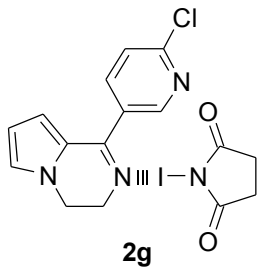
Yield: 67%, mp 115-117 ºC; IR (KBr) ν_{max} 3022, 1674, 1614, 1324, 1219, 1178, 1112 cm^{-1}; ^1H NMR (300 MHz, $CDCl_3$) δ 8.09 (s,2H), 8.01 (s, 1H), 6.95 (s, 1H), 6.28 (m,2H), 4.10 (m, 4H), 2.77 (s, 4H); ^{13}C NMR (50 MHz $CDCl_3$) δ 180.9, 160.1, 137.6, 131.5 (q, *J*= 33.8 Hz), 129.4 (m), 126.7, 124.3 (q, *J*= 3.8 Hz), 123.4, 122.9 (q, *J*= 272.6 Hz), 116.4, 110.8, 50.1, 42.7, 29.7; MS (CI) *m/z* (relative intensity) 334 (17), 333 (100), 313 (42), 226 (65), 100 (51). Anal. Calcd for $C_{19}H_{14}F_6IN_3O_2$: C, 40.95; H, 2.53; N, 7.54. Found: C, 40.62; H, 2.35; N, 7.36.



2f

1-(6-Chloro-3-pyridinyl)-3,4-dihydropyrrolo[1,2-*a*]pyrazine coordinated by *N*-Iodosuccinimide (2g).

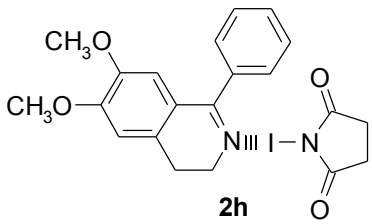
Yield: 70%, mp 156-158 ºC; IR (KBr) ν_{max} 1773, 1694, 1585, 1193 cm^{-1}; ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.62 (d, 1H, *J*= 2.4 Hz), 7.94 (dd, 1H, *J*= 8.3 and 2.4 Hz), 7.44 (d, 1H, *J*= 8.3 Hz), 6.92 (s, 1H), 6.35 (m, 1H), 6.25 (m, 1H), 4.10 (m, 4H), 2.74 (s, 4H); ^{13}C NMR (50 MHz $CDCl_3$) δ 181.4, 159.1, 153.4, 149.7, 139.4, 130.7, 126.6, 124.0, 123.7, 116.3, 110.6, 50.1, 42.7, 29.8; MS (CI) *m/z* (relative intensity) 361, 359 (4, 19), 360, 358 (29, 91), 234, 232 (20, 65), 233, 231 (19, 35), 129 (100), 100 (29). Anal. Calcd for $C_{16}H_{14}ClIN_4O_2$: C, 42.08; H, 3.09; N, 12.27. Found: C, 42.11; H, 3.18; N, 12.23.



2g

6,7-Dimethoxy-1-phenyl-3,4-dihydroisoquinoline coordinated by *N*-Iodosuccinimide (2h).

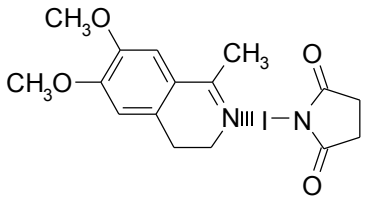
Yield: 92%, mp 112-114 ºC; IR (KBr) ν_{max} 1678, 1610, 1584 cm^{-1}; ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.46 (m, 5H), 6.72 (s, 1H), 6.49 (s, 1H), 3.98 (at, 2H, *J*= 7.3 Hz), 3.93 (s, 3H), 3.61 (s, 3H), 2.78 (at, 2H, *J*= 7.3 Hz), 2.68 (s, 4H); ^{13}C NMR (75 MHz $CDCl_3$) δ 182.6, 170.5, 152.6, 147.4, 136.5, 132.5, 130.4, 129.2, 128.1, 121.1, 113.1, 110.1, 56.2, 56.1, 56.0, 50.3, 29.8; MS (CI) *m/z* (relative intensity) 268 (17), 267 (7), 266 (22), 255 (18), 254 (100), 253 (28), 252 (47), 143 (72), 100 (65). Anal. Calcd for $C_{21}H_{21}IN_2O_4$: C, 51.23; H, 4.30; N, 5.69. Found: C, 51.09; H, 4.36; N, 5.85.



2h

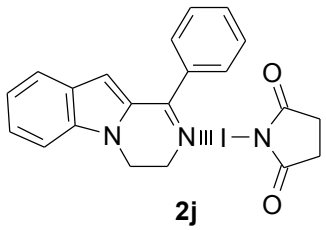
6,7-Dimethoxy-1-methyl-3,4-dihydroisoquinoline coordinated by *N*-Iodosuccinimide (2i).

Yield: 86%, mp 84-86 ºC; IR (KBr) ν_{max} 1773, 1705, 1645, 1603, 1295, 1276. cm^{-1}; ^1H NMR (300 MHz, $CDCl_3$) δ 7.15 (s, 1H), 6.82 (s, 1H), 4.00 (s, 3H), 3.95 (s, 3H), 3.40 (m, 4H), 2.97 (s, 3H), 2.75 (s, 4H); ^{13}C NMR (50 MHz $CDCl_3$) δ 182.1, 165.9, 156.0, 147.7, 136.5, 121.4, 110.5, 110.1, 56.2, 56.0, 55.9, 50.0, 29.6, 26.2; MS (CI) *m/z* (relative intensity) 206 (52), 205 (18), 204 (18), 193 (14), 192 (100), 191 (28), 190 (20), 143 (88), 129 (18), 100 (13). Anal. Calcd for $C_{16}H_{19}IN_2O_4$: C, 44.67; H, 4.45; N, 6.51. Found: C, 44.39; H, 4.36; N, 6.84.



2i

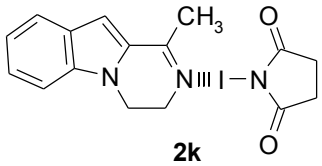
1-Phenyl-3,4-dihydropyrazino[1,2-*a*]indole coordinated by *N*-Iodosuccinimide (2j). Yield: 81%, mp 125-128 ºC; IR (KBr) ν_{max} 1674, 1585, 1568, 1315, 1197, 1321, 1212, 811, 749 cm^{-1}; ^1H NMR (300 MHz, $CDCl_3$) δ 7.66 (m, 3H), 7.53 (m, 3H), 7.37 (m, 2H), 7.16 (m, 1H), 6.68 (s, 1H), 4.25 (m, 4H), 2.78 (s, 4H); ^{13}C NMR (50 MHz $CDCl_3$) δ 182.5, 172.0, 156.3, 137.3, 133.2, 131.6, 130.1, 128.4, 127.2, 125.9, 122.8, 121.3, 109.6, 107.4, 50.2, 39.3, 29.9; MS (APCI) *m/z* (relative intensity) 248 (42), 247(100), 246 (8). Anal. Calcd for $C_{21}H_{18}IN_3O_2$: C, 53.52; H, 3.85; N, 8.92. Found: C, 53.70; H, 3.99; N, 9.21.



2j

1-Methyl-3,4-dihydropyrazino[1,2-*a*]indole coordinated by *N*-Iodosuccinimide (2k). Yield: 89%, mp 61-63 ºC; IR (KBr) ν_{max} 1670, 1603, 1321, 1212, 737 cm^{-1}; ^1H NMR (300 MHz, $CDCl_3$) δ 7.69 (d, 1H, *J*= 8.0 Hz), 7.35 (m, 2H), 7.16 (m, 1H), 7.01 (s, 1H), 4.09 (m,

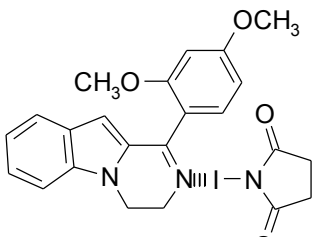
4H), 2.79 (s, 4H), 2.61 (s, 3H); ^{13}C NMR (75 MHz $CDCl_3$) δ 182.7, 162.9, 137.3, 127.9, 127.2, 125.9, 122.8, 121.3, 109.6, 107.4, 50.2, 39.3, 29.9, 23.2; MS (APCI) *m/z* (relative intensity) 187 (6), 186 (77), 185 (100), 184 (18). Anal. Calcd for $C_{16}H_{16}IN_3O_2$: C, 46.96; H, 3.94; N, 10.27. Found: C, 46.71; H, 3.64; N, 9.96.



2k

1-(2,4-Dimethoxyphenyl)-3,4-dihydropyrazino[1,2-*a*]indole coordinated by *N*-Iodosuccinimide (2l).

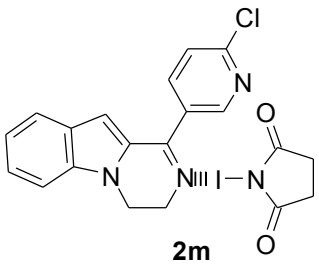
Yield: 80%, mp 140-141 ºC; IR (KBr) ν_{max} 1679, 1611, 1559, 1278,1204 cm^{-1}; ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.59 (d, 1H, *J*= 7.0 Hz), 7.35 (m, 2H), 7.25 (d, 1H, *J*= 7.0 Hz), 7.13 (ddd, 1H, *J*= 8.1, 5.3 and 2.6 Hz), 6.57 (m, 3H), 4.30 (at, 2H, *J*= 5.7 Hz), 4.19 (at, 2H, *J*= 5.7), 3.89 (s, 3H), 3.83 (s, 3H), 2.68 (s, 4H); ^{13}C NMR (50 MHz $CDCl_3$) δ 182.1, 162.8, 162.7, 158.7, 137.8, 131.3, 129.2, 127.4, 126.0, 122.9, 121.4, 120.1, 110.0, 109.6, 104.1, 98.7, 55.7, 55.5, 50.5, 38.5, 29.7; MS (CI) *m/z* (relative intensity) 434 (10), 433 (45), 432 (10), 308 (10), 307 (52), 306 (26), 305 (17), 100 (100). Anal. Calcd for $C_{23}H_{22}IN_3O_4$: C, 51.99; H, 4.17; N, 7.91. Found: C, 51.61; H, 4.43; N, 7.71.



2l

1-(6-Chloro-3-pyridinyl)-3,4-dihydropyrazino[1,2-*a*]indole coordinated by *N*-Iodosuccinimide (2m).

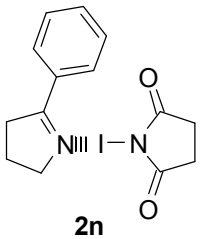
Yield: 77%, mp 143-145 ºC; IR (KBr) ν_{max} 1737, 1675, 1583, 1333, 1191 cm^{-1}; ^1H NMR (300 MHz, $CDCl_3$) δ 8.72 (d, 1H, *J*= 2.4 Hz), 8.03 (dd, 1H, *J*= 8.2 and 2.4 Hz), 7.65 (d, 1H, *J*= 8.2 Hz), 7.49 (d, 1H. *J*= 8.2 Hz), 7.36 (m, 2H), 7.16 (ddd, 1H, *J*= 7.9, 5.7 and 7.9 Hz), 6.66 (s, 1H), 4.23 (m, 4H), 2.78 (s, 4H); ^{13}C NMR (75 MHz $CDCl_3$) δ 181.1, 160.0, 153.5, 149.7, 139.4, 137.4, 131.1, 127.3, 127.1, 126.1, 124.1, 123.0, 121.5, 109.6, 108.7, 50.1, 38.9, 29.6; MS (CI) *m/z* (relative intensity) 411, 409 (4, 18), 410, 408 (22, 68), 284, 282 (32, 100), 283 (27), 281 (33), 100 (24). Anal. Calcd for $C_{20}H_{16}ClIN_4O_2$: C, 47.41; H, 3.18; N, 11.06. Found: C, 47.77; H, 3.13; N, 10.92.



2m

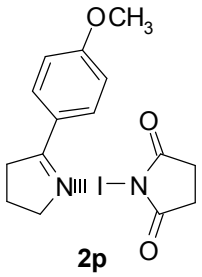
5-Phenyl-3,4-dihydro-2*H*-pyrrole coordinated by *N*-Iodosuccinimide (2n).

Yield: 81%, mp 69-71ºC; ^1H NMR (300 MHz, $CDCl_3$) δ 7.80 (d, 2H, *J*= 7.3 Hz), 7.46 (m, 3H), 4.09 (t, 2H, *J*= 7.5 Hz), 3.00 (t, 2H, *J*= 7.5 Hz), 2.74 (s, 4H), 2.03 (q, 2H, *J*= 7.5 Hz); ^{13}C NMR (75 MHz $CDCl_3$) δ 182.0, 177.6, 132.2, 131.8, 128.5, 63.8, 36.6, 29.8, 22.2. Anal. Calcd for $C_{14}H_{15}IN_2O_2$: C, 45.42; H, 4.08; N, 7.57. Found: C, 45.78; H, 4.13; N, 7.71.



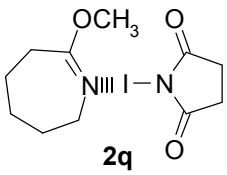
2n

5-(4-Methoxyphenyl)-3,4-dihydro-2*H*-pyrrole coordinated by *N*-Iodosuccinimide (2p). Yield: 80%, mp 92-94ºC; ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.83 (d, 2H, *J*= 8.9 Hz), 6.94 (d, 2H, *J*= 8.9 Hz), 4.07 (t, 2H, *J*=7.5 Hz), 3.84 (s, 3H), 2.97 (t, 2H, *J*= 8.1 Hz), 2.78 (s, 4H), 2.00 (m, 2H); ^{13}C NMR (75 MHz, $CDCl_3$) δ 182.2, 176.5, 162.4, 130.7, 124.3, 113.8, 63.9, 55.4, 36.4, 29.9, 22.2. Anal. Calcd for $C_{15}H_{17}IN_2O_3$: C, 45.02; H, 4.28; N, 7.00. Found: C, 44.78; H, 4.13; N, 7.22.



2p

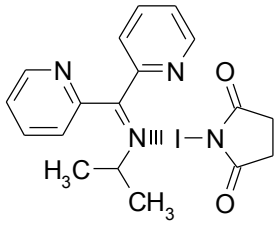
7-Methoxy-3,4,5,6-tetrahydro-2*H*-azepine coordinated by *N*-Iodosuccinimide (2q). Yield: 73%; ^{1}H NMR (300 MHz, $CDCl_3$) δ 3.67 (s, 3H), 3.48 (m, 2H), 2.83 (s, 4H), 2.39 (m, 2H), 1.60 (m, 6H); ^{13}C NMR (50 MHz, $CDCl_3$) δ 172.7, 51.3, 43.1, 36.3, 32.4, 30.6, 29.5, 27.4, 23.0.



2q

(Dipyridin-2-yl-methylene)isopropylamine coordinated by N-Iodosuccinimide (2r). Yield: 81%, mp 169-171ºC; IR (KBr) ν_{max} 2961, 1685, 1629, 1317, 1200 cm^{-1}; ^{1}H-NMR (300 MHz, $CDCl_3$) δ 8.74 (d, 1H, *J*= 4.4 Hz), 8.45 (d, 1H, *J*= 4.4 Hz), 8.22 (d, 1H, *J*= 7.8 Hz), 7.90 (dt, 1H, *J*= 7.8 and 1.6 Hz), 7.77 (dt, 1H, *J*= 7.8 and 1.6 Hz), 7.34 (m, 2H), 7.26 (m, 1H), 3.44 (hept, 1H, *J*= 6.0 Hz), 2.80 (s, 4H), 1.24 (d, 6H, *J*= 6.0 Hz); ^{13}C NMR (50

MHz, $CDCl_3$) δ 192.7, 162.8, 156.3, 155.2, 149.1, 148.6, 136.9, 136.6, 126.5, 125.2, 123.9, 122.8, 53.8, 29.7, 23.5. Anal. Calcd for $C_{18}H_{19}IN_4O_2$: C, 48.01; H, 4.25; N, 12.44. Found: C, 47.79; H, 4.16; N, 12.22.



2r