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Indoles from 3-nitropyridinium salts:☆ an extension of the transformation method on 5-substituted indoles

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Abstract—The method of indole preparation by transformation of 3-nitropyridinium salts was successfully extended to the synthesis of indoles containing substituents Cl, PhS, CN, Ac and COOEt on the 5-position.

1. Introduction

The transformation of 3-nitropyridinium salts into indoles is a rather new approach to prepare the indole skeleton. A detailed scheme of this process was discussed before.[2]

This method was previously shown to be suitable for polyalkylindole and 4-phenylsubstituted indole preparation. We have tried to expand this reaction in order to obtain indoles substituted by other groups with different electronic properties.

As shown in Scheme 1, the substituent in the 5-position of 3-nitropyridinium salt remains unchanged in the indole system obtained. Besides, the 5-position is not subjected to nucleophilic attack. Thus, there are only steric and electronic effects which could influence 4,6-*meta*-bridging of the pyridine moiety by ketimine to give the final indole bicycle.

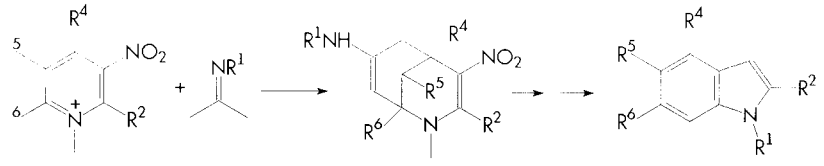
We have used different 5-substituted 4-aryl-2,6-dimethyl-3-nitropyridinium salts for their transformation into appropriate indoles. Such a series could favour higher yields of 4-phenylindoles and, moreover, the availability of initial reagents allowed us to vary aryl substituents at the 4-position and to analyse their influence upon the process.

2. Results and discussion

The synthesis of 5-substituted 3-nitropyridines was carried out by the reported method[3] shown in Scheme 2 and Table 1.

Chromium trioxide in acetic acid was used as an oxidizing agent to convert dihydropyridines **3a–i** into corresponding pyridines **4a–i**. This reagent allowed the aromatisation to proceed with facility and the pyridines were obtained in high yields (70–90%). It is of interest to note that oxidation of 4-furyl-substituted dihydropyridine **3h** resulted not only in the expected furyl pyridine but in the formation of a significant amount of defurylated pyridine as well (Scheme 3).

Good results were achieved in quaternisation of substituted nitropyridines with methyl trifluoromethanesulfonate. Such reagents as Me_2SO_4 and MeI proved to be ineffective owing to the low nucleophilicity of pyridine due to the presence of two electron withdrawing groups. In the case of X=Cl, SPh the reaction were carried out in refluxing dichloroethane. The presence of such acceptor groups as CN, Ac, COOEt in the 5-position did not allow completion of the reaction under the same conditions. In these cases the quaternisation was carried out at 100°C in sealed tubes.



Scheme 1.

☆ Part 12.[1]

Keywords: pyridines; pyridinium salts; indoles; indolisation.

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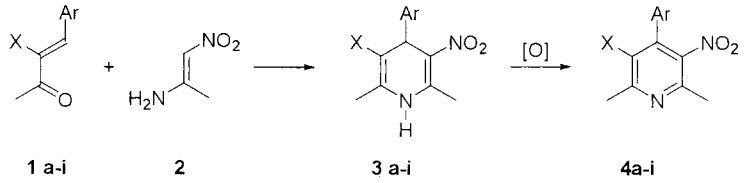


Scheme 2.

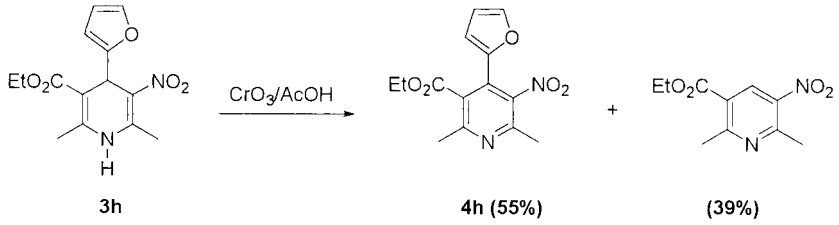
Table 1. 5-Substituted 4-aryl-3-nitropyridines **4a–j**

1,3,4	X	Ar	Yield (%) of **4**
a	Cl	Ph	86
b	SPh	Ph	85
c	CN	Ph	89
d	Ac	3-$NO_2C_6H_4$	75
e	COOEt	2-$CF_3C_6H_4$	82
f	COOEt	4-BrC_6H_4	88
g	COOEt	3,4-$(MeO)_2C_6H_3$	98
h	COOEt	2-Furyl	55
i	COOEt	2-Thienyl	94

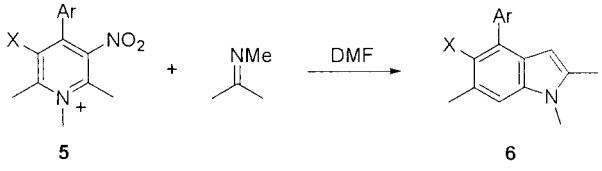
The indolisation procedure of nitropyridinium salts consists in their treatment with excess of *N*-alkylketimine in DMF[2] (Scheme 4).

High yields of the indoles were obtained in the case of X=Cl, SPh (salts **5a–b**). But in the case of X=CN, Ac, CO_2Et only trace amounts of the indoles were detected while the isomeric nitroanilines were the major products (Scheme 5).

The process in Scheme 5 is analogous to the known rearrangement of the pyridinium salts under the action of bases[4] (Scheme 6).

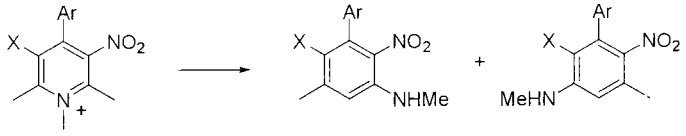


Scheme 3.

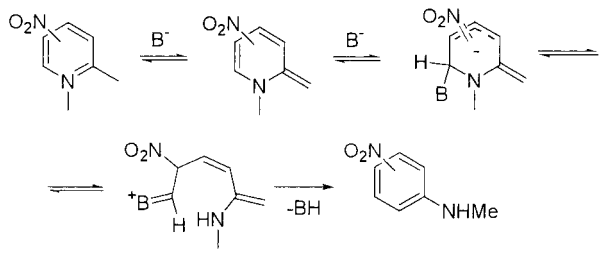


Scheme 4.

The presence of an additional electron withdrawing group in the salts **5** increases the acidity of the 2- and 6-methyl groups in such a manner that *N*-methylketimine could act as a base converting pyridinium salt into intermediate anhydrobase which could lead to the recyclisation process forming the anilines. Moreover, as we have shown previously,[5] anhydrobases are not intermediates in the 3-nitropyridinium salts transformation into indoles. By using acetic acid we managed to suppress this redundant acidic–basic process and to make the indolisation pathway predominant (Scheme 7, Table 2).



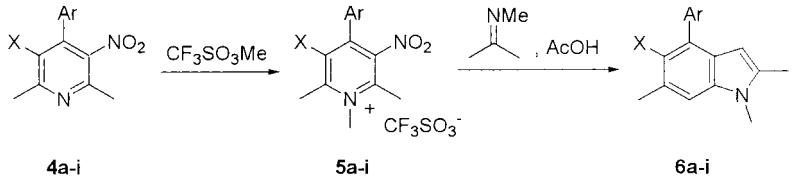
Scheme 5.



Scheme 6.

Good yields of indoles **6a–I** were achieved from the salts with X=CN, CO_2Et (**5c**,**f–i**). In the case of X=Ac the yields were mediocre and the amount of by-products increased as a probable result of acyl group condensation.

Variation of aryl substituent in the 4-position did not influence significantly the process except for the case of the salt **5e** with strongly electron withdrawing 2-$CF_3C_6H_4$ group which produced consistent low yields of indole. In this case, furan **7** was detected by chromato-mass spectrometry



4a-i 5a-i 6a-i

Scheme 7.

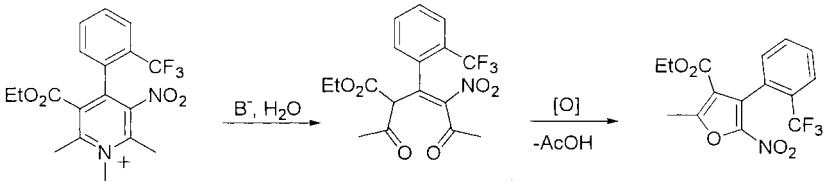
Table 2. Yield of pyridinium salts and indoles

4,5,6	X	Ar	Yield (%) of **5**	Yield (%) of **6**
A	Cl	Ph	96	51
B	SPh	Ph	80	64
C	CN	Ph	79	42
D	Ac	3-$NO_2C_6H_4$	89	15
E	COOEt	2-$CF_3C_6H_4$	76	10
F	COOEt	4-BrC_6H_4	81	88
G	COOEt	3,4-$(MeO)_2C_6H_3$	94	92
H	COOEt	2-Furyl	77	61
I	COOEt	2-Thienyl	68	68

of NMR spectra completely correspond to proposed structures.

4.2. Oxidation of dihydropyridines 3a–j to pyridines 4a–j

Chromium trioxide (1.5 mmol) was dissolved in the minimum quantity of water and acetic acid (3 mL) was added. The resulting solution was added to a stirred mixture of dihydropyridine **3a–j** (1.0 mmol) and acetic acid (10 mL). The mixture was stirred and heated gradually to about 60–100°C until dihydropyridine had disappeared (TLC), then diluted with water (50 mL), and extracted



5e 7

Scheme 8.

as a by-product of the reaction. Formation of such unexpected product presumably resulted from opening of the pyridinium ring, followed by deacylation and subsequent oxidative cyclisation (Scheme 8).

3. Conclusions

Thus the process of transformation of 3-nitropyridinium salts, obtaining indoles was expended to allow some functional groups in the 5-position. Such a process proved to depend on the acidity of the reaction conditions in most cases.

4. Experimental

4.1. General

Melting points are uncorrected. All ^{1}H NMR spectra were recorded on Bruker AC-200 NMR spectrometer in DMSO-d_6 or in $CDCl_3$. Mass spectra were recorded on a Finnigan MAT-90 mass spectrometer at an ionizing voltage 70 eV. Compounds **3a–l** were prepared according to literature procedure.[6] Unfortunately, in some cases (salts **5e**,**f**,**h**,**i**) we could not select suitable solvents for their crystallisation, so the data of elementary analysis are not given, but the data with toluene (3×20 mL). The organic layer was washed with water, dried (Na_2SO_4), filtered through a thin layer of silica gel and evaporated in vacuo.

4a. White powder, mp 96–98°C from hexane; ^{1}H NMR: δ 7.22–7.50 (m, 5H, aromatic), 2.95 (s, 3H, 2-CH_3), 2.69 (s, 3H, 6-CH_3). Anal. Calcd for $C_{13}H_{11}ClN_2O_2$: C, 59.44; H, 4.22; N, 10.66. Found: C, 59.38; H, 4.27; N, 10.49.

4b. White powder, mp 104–105°C from hexane; ^{1}H NMR: δ 6.91–7.40 (m, 10H, aromatic), 2.61 (s, 3H, 2-CH_3), 2.55 (s, 3H, 6-CH_3). Anal. Calcd for $C_{19}H_{16}N_2O_2S$: C, 67.84; H, 4.79; N, 8.33. Found: C, 67.28; H, 4.69; N, 7.85.

4c. White powder, mp 125–126°C from hexane; ^{1}H NMR: δ 7.36–7.56 (m, 5H, aromatic), 2.81 (s, 3H, 2-CH_3), 2.61 (s, 3H, 6-CH_3). Anal. Calcd for $C_{14}H_{11}N_3O_2$: C, 66.40; H, 4.38; N, 16.59. Found: C, 66.22; H, 4.26; N, 16.59.

4d. White powder, mp 127–128°C from EtOH; ^{1}H NMR: δ 7.68–8.39 (m, 4H, aromatic), 2.60 (s, 3H, 2-CH_3), 2.56 (s, 3H, 6-CH_3), 2.13 (s, 3H, CH_3CO). Anal. Calcd for $C_{15}H_{13}N_3O_5$: C, 57.14; H, 4.16; N, 13.33. Found: C, 57.52; H, 3.93; N, 13.36.

4e. White powder, mp 68–69°C from hexane; ^{1}H NMR: δ 7.28–7.81 (m, 4H, aromatic), 3.97 (q, J=7 Hz, 2H, CH_2CH_3), 2.67 (s, 3H, 2-CH_3), 2.62 (s, 3H, 6-CH_3), 0.87

(t, J=7 Hz, 3H, CH_2CH_3). Anal. Calcd for $C_{17}H_{15}F_3N_2O_4$: C, 55.44; H, 4.10; N, 7.61. Found: C, 55.23; H, 4.03; N, 7.49.

4f. White powder, mp 102–103°C from hexane; ^{1}H NMR: δ 7.20 and 7.69 (AA′BB′, 4H, aromatic), 4.05 (q, J=7 Hz, 2H, CH_2CH_3), 2.58 (s, 3H, 2-CH_3), 2.55 (s, 3H, 6-CH_3), 0.94 (t, J=7 Hz, 3H, CH_2CH_3). Anal. Calcd for $C_{16}H_{15}BrN_2O_4$: C, 50.68; H, 3.99; N, 7.39. Found: C, 50.40; H, 3.84; N, 7.21.

4g. White powder, mp 121–123°C from hexane; ^{1}H NMR: δ 6.73–7.01 (m, 3H, aromatic), 4.07 (q, J=7 Hz, 2H, CH_2CH_3), 3.77 and 3.84 (2s, 6H, 2×OCH_3), 2.56 (s, 3H, 2-CH_3), 2.52 (s, 3H, 6-CH_3), 1.00 (t, J=7 Hz, 3H, CH_2CH_3). Anal. Calcd for $C_{18}H_{20}N_2O_6$: C, 60.00; H, 5.56; N, 7.78. Found: C, 60.17; H, 5.66; N, 7.66.

4h. White powder, mp 73–75°C from hexane; ^{1}H NMR: δ 6.52, 7.25, 7.55 (m, 3H, aromatic), 4.33 (q, J=7 Hz, 2H, CH_2CH_3), 2.62 (s, 3H, 2-CH_3), 2.57 (s, 3H, 6-CH_3), 1.27 (t, J=7 Hz, 3H, CH_2CH_3). Anal. Calcd for $C_{14}H_{14}N_2O_5$: C, 57.93; H, 4.86; N, 9.65. Found: C, 58.10; H, 4.81; N, 9.43.

4i. White powder, mp 69–70°C from hexane; ^{1}H NMR: δ 7.07–7.50 (m, 3H, aromatic), 4.17 (q, J=7 Hz, 2H, CH_2CH_3), 2.64 (s, 3H, 2-CH_3), 2.60 (s, 3H, 6-CH_3), 1.10 (t, J=7 Hz, 3H, CH_2CH_3). Anal. Calcd for $C_{14}H_{14}N_2O_4S$: C, 54.89; H, 4.61; N, 9.14. Found: C, 54.99; H, 4.37; N, 9.13.

4.3. Preparation of 1-methylpyridinium trifluoromethanesulfonates

A solution of 3-nitropyridine **4a**–**i** (1 mmol) and methyl trifluoromethanesulfonate (1.3 mmol) in dichloroethane (5 mL) was refluxed (in the case of **4a**,**b**) or heated at 10°C in a sealed tube (in the case of **4c**–**i**) for 30 h. After cooling and evaporation in vacuo the residue was treated with diethyl ether and the salt was collected by filtration.

5a. White plats, mp 192–193°C; ^{1}H NMR: δ 7.31–7.61 (m, 5H, aromatic), 4.32 (s, 3H, 1-CH_3), 3.08 (s, 3H, 2-CH_3), 2.83 (s, 3H, 6-CH_3). Anal. Calcd for $C_{15}H_{14}ClF_3N_2O_5S$: C, 42.21; H, 3.31; N, 6.56. Found: C, 42.06; H, 3.03; N, 6.23.

5b. White plats, mp 121–123°C; ^{1}H NMR: δ 7.01–7.52 (m, 10H, aromatic), 4.29 (s, 3H, 1-CH_3), 3.07 (s, 3H, 2-CH_3), 2.86 (s, 3H, 6-CH_3). Anal. Calcd for $C_{21}H_{19}F_3N_2O_5S_2$: C, 50.40; H, 3.83; N, 5.60. Found: C, 50.25; H, 3.75; N, 5.80.

5c. White plats, mp 232–233°C from MeCN/EtOAc; ^{1}H NMR: δ 7.51–7.74 (m, 5H, aromatic), 4.34 (s, 3H, 1-CH_3), 3.19 (s, 3H, 2-CH_3), 2.96 (s, 3H, 6-CH_3). Anal. Calcd for $C_{16}H_{14}F_3N_3O_5S$: C, 46.05; H, 3.38; N, 10.07. Found: C, 45.92; H, 3.21; N, 10.22.

5d. White plats, mp 213–214°C; ^{1}H NMR: δ 7.76–8.19 (m, 4H, aromatic), 4.30 (s, 3H, 1-CH_3), 2.89 (s, 3H, 2-CH_3), 2.86 (s, 3H, 6-CH_3), 2.25 (s, 3H, CH_3CO). Anal. Calcd for $C_{17}H_{16}F_3N_3O_8S$: C, 42.59; H, 3.34; N, 8.77. Found: C, 42.31; H, 3.29; N, 8.82.

5e. ^{1}H NMR: δ 7.33–7.89 (m, 4H, aromatic), 4.31 (s, 3H, 1-CH_3), 4.09 (d q, J=7, 2 Hz, 2H, CH_2CH_3), 2.96 (s, 3H, 2-CH_3), 2.90 (s, 3H, 6-CH_3), 0.91 (t, J=7 Hz, 3H, CH_2CH_3).

5f. White plats, mp 143–145°C; ^{1}H NMR: δ 7.27 and 7.84 (AA′BB′, 4H, aromatic), 4.26 (s, 3H, 1-CH_3), 4.17 (q, J=7 Hz, 2H, CH_2CH_3), 3.31 (s, 3H, 2-CH_3), 2.91 (s, 3H, 6-CH_3), 0.98 (t, J=7 Hz, 3H, CH_2CH_3).

5g. White plats, mp 202–203°C; ^{1}H NMR: δ 6.81–7.19 (m, 3H, aromatic), 4.23 (s, 3H, 1-CH_3), 4.19 (q, J=7 Hz, 2H, CH_2CH_3), 3.75 and 3.85 (2s, 6H, 2×OCH_3), 2.88 (s, 3H; 2-CH_3), 2.83 (s, 3H, 6-CH_3), 1.00 (t, J=7 Hz, 3H, CH_2CH_3). Anal. Calcd for $C_{20}H_{23}F_3N_2O_9S$: C, 45.80; H, 4.42; N, 5.34. Found: C, 46.08; H, 4.45; N, 5.16.

5h. White plats, mp 115–118°C; ^{1}H NMR; δ 6.86, 7.15, 8.16 (m, 3H, aromatic), 4.48 (q, J=7 Hz, 2H, CH_2CH_3), 4.17 (s, 3H, 1-CH_3), 2.83 (s, 3H, 2-CH_3), 2.78 (s, 3H, 6-CH_3), 1.32 (t, J=7 Hz, 3H, CH_2CH_3).

5i. ^{1}H NMR: δ 7.31 and 8.09 (m, 3H, aromatic), 4.28 (q, J=7 Hz, 2H, CH_2CH_3), 4.22 (s, 3H, 1-CH_3), 2.87 (s, 3H, 2-CH_3), 2.83 (s, 3H, 6-CH_3), 1.00 (t, J=7 Hz, 3H, CH_2CH_3).

4.4. Reaction of salt 5d with *N*-methylacetoneimine

N-Methylacetonimine (5 mmol) was added to solution of **5d** (1 mmol) in DMF (5 mL). The reaction mixture was allowed to stand for 4 days then diluted with water (50 mL), extracted with toluene (2×15 mL), washed with water (3×20 mL), dried (Na_2SO_4) and evaporated in vacuo. The residue was flash chromatographed on silica gel (Merck 0.015–0.040 mm) using at first pure toluene then suitable ratio of EtOAc/toluene (1:9, 2:9, 1:3) as eluent.

4.4.1. 4-Acetyl-6-methyl-2-nitro-3-(3-nitrophenyl)-*N*-methylaniline. Yield 10%, orange solid, mp 144°C from toluene; ^{1}H NMR: δ 7.60–8.27 (m, 4H, aromatic), 6.81 (s, 1H, 5-H), 6.78 (m, 1H, NH), 2.94 (d, J=4.4 Hz, 3H, NCH_3), 2.29 (s, 3H, 6-CH_3), 1.85 (s, 3H, CH_3CO); *m*/*z* (%): 329(M^+, 59), 314 (100). Anal. Calcd for $C_{16}H_{15}N_3O_5$: C, 58.36; H, 4.56; N, 12.77. Found: C, 58.10; H, 4.30; N, 12.58.

4.4.2. 2-Acetyl-6-methyl-4-nitro-3-(3-nitrophenyl)-*N*-methylaniline. Yield 2%, pale yellow solid, mp 183°C from EtOH; ^{1}H NMR: δ 7.64–8.34 (m, 4H, aromatic), 7.01 (s 1H, NH), 6.60 (s, 1H, 5-H), 2.94 (s, 3H, NCH_3), 2.40 (s, 3H, 6-CH_3), 1.65 (s, 3H, CH_3CO); *m*/*z* (%): 329 (M^+, 100), 314 (95).

4.5. Indolisation of 5a–j

A solution of *N*-methylacetonimine (5 mmol) and acetic acid (10 mmol, not required in the cases of **5a**,**b**) was added to solution of **5a**–**j** (1 mmol), in DMF (5 mL), in the case of **5h** the quantities of all reagents were decreased 10 times. The reaction mixture was allowed to stand for 5 days then diluted with water (50 mL), extracted with toluene (2×15 mL), washed with water (5×20 mL), dried (Na_2SO_4), filtered through thin layer of silica gel and evaporated in vacuo. For all indoles obtained with low yields (**6d**,**e**) and in the case of microquantities of reagents (**5h**)

only the data of mass-spectrometry and NMR spectroscopy are reported.

6a. White needles, mp 112–113°C from hexane; ^{1}H NMR: δ 7.48–7.50 (m, 5H, aromatic), 7.13 (s, 1H, 7-H), 5.91 (s, 1H, 3-H), 3.66 (s, 3H, 1-CH_3), 2.58 (s, 3H, 6-CH_3), 2.37 (s, 3H, 2-CH_3). Anal. Calcd for $C_{17}H_{16}ClN$: C, 75.69; H, 5.98; N, 5.19. Found: C, 75.97; H, 5.78; N, 5.00.

6b. White needles, mp 166–167°C from hexane; ^{1}H NMR: δ 6.88–7.32 (m, 11H, aromatic), 5.93 (s, 1H, 3-H), 3.71 (s, 3H, 1-CH_3), 2.56 (s, 3H, 6-CH_3), 2.38 (s, 3H, 2-CH_3). Anal. Calcd for $C_{23}H_{21}NS$: C, 80.43; H, 6.16; N, 4.08. Found: C, 80.78; H, 6.13; N, 3.98.

6c. White needles, mp 193–195°C from hexane: ^{1}H NMR: δ 7.50–7.56 (m, 5H, aromatic), 7.46 (s, 1H, 7-H), 6.06 (s, 1H, 3-H), 3.71 (s, 3H, 1-CH_3), 2.62 (s, 3H, 6-CH_3), 2.39 (s, 3H, 2-CH_3). Anal. Calcd for $C_{18}H_{16}N_2$: C, 83.07; H, 6.15; N, 10.77. Found: C, 82.96; H, 6.27; N, 10.73.

6d. White needles, mp 176–177°C from EtOH; ^{1}H NMR: δ 7.57–8.41 (m, 4H, aromatic), 7.16 (s, 1H, 7-H), 6.05 (s, 1H, 3-H), 3.71 (s, 3H, 1-CH_3), 2.44 (s, 3H, 6-CH_3), 2.42 (s, 3H, 2-CH_3), 2.05 (s, 1H, CH_3CO); *m*/*z* (%): 322(M^+, 92), 307 (100).

6e. *m*/*z* (%): 375(M^+, 100), 347 (7), 330 (22).

7. *m*/*z* (%): 343(M^+, 100), 315 (11), 313 (4), 298 (19), 274 (41).

6f. White needles, mp 117–118°C from *i*-PrOH; ^{1}H NMR: δ 7.27 and 7.63 (AA′BB′, 4H, aromatic), 7.29 (s, 1H, 7-H), 5.94 (s, 1H, 3-H), 3.99 (q, J=7 Hz, 2H, CH_2CH$_3$), 3.68 (s, 3H, 1-CH_3), 2.43 (s, 3H, 6-CH_3), 2.36 (s, 3H, 2-CH_3), 0.95 (t, J=7 Hz, 3H, CH_2CH_3). Anal. Calcd for $C_{20}H_{20}BrNO_2$: C, 62.17; H, 5.18; N, 3.63. Found: C, 62.10; H, 5.04; N, 7.64.

6g. White needles, mp 106–107°C from hexane; ^{1}H NMR: δ 6.86 and 7.23 (m, 4H, aromatic), 6.02 (s, 1H, 3-H), 4.00 (q, J=7 Hz, 2H, CH_2CH$_3$), 3.75 and 3.81 (2s, 6H, 2×OCH_3), 3.67 (s, 3H, 1-CH_3), 2.41 (s, 3H, 6-CH_3), 2.37 (s, 3H, 2-CH_3), 0.97 (t, J=7 Hz, 3H, CH_2CH_3). Anal. Calcd for $C_{22}H_{25}NO_4$: C, 71.91; H, 6.86; N, 3.81. Found: C, 71.67; H, 6.80; N, 3.66.

6h. Oil; ^{1}H NMR: δ 6.42, 6.62, 7.28, 7.72 (m, 5H, aromatic), 4.22 (q, J=7 Hz, 2H, CH_2CH$_3$), 3.69 (s, 3H, 1-CH_3), 2.43 (s, 3H, 6-CH_3), 2.39 (s, 3H, 2-CH_3), 1.18 (t, J=7 Hz, 3H, CH_2CH_3); *m*/*z* (%): 297(M^+, 100), 269 (12), 268 (40), 252 (15), 240 (27), 224 (5).

6i. White needles, mp 122–123°C from hexane; ^{1}H NMR: δ 7.05–7.61 (m, 4H, aromatic), 6.20 (s, 1H, 3-H), 4.08 (q, J=7 Hz, 2H, CH_2CH$_3$), 3.68 (s, 3H, 1-CH_3), 2.39–2.40 (2s, 6H, 2,6-CH_3), 1.06 (t, J=7 Hz, 3H, CH_2CH_3). Anal. Calcd for $C_{18}H_{19}NO_2S$: C, 68.98; H, 6.11; N, 4.47. Found: C, 69.37; H, 6.19; N, 4.14.

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