Synthetic Communications: An International Journal for Rapid Communication of Synthetic Organic Chemistry
Publication details, including instructions for authors and subscription information:
http://www.tandfonline.com/loi/lsyc20

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Published online: 22 Aug 2006.

To cite this article: Lourdes Rodriguez-Salvador, Elena Zaballos-Garcia, Eugenia Gonzalez-Rosende, Mohamed Djebouri Sidi, José Sepúlveda-Arques & R. Alan Jones (1997) Synthesis and Chemical Reactivity of 5-Methoxy-2-vinyl-4-azaindoles, Synthetic Communications: An International Journal for Rapid Communication of Synthetic Organic Chemistry, 27:8, 1439-1447, DOI: 10.1080/00397919708006075

To link to this article: http://dx.doi.org/10.1080/00397919708006075

SYNTHETIC COMMUNICATIONS, 27(8), 1439-1447 (1997)

SYNTHESIS AND CHEMICAL REACTIVITY OF 5-METHOXY--2-VINYL-4-AZAINDOLES

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Abstract: 2-Vinyl-4-azaindoles are less reactive with dienophiles than 2-vinylindoles. 5-Methoxy-2-vinyl-4-azaindole **2a** and its 1-methyl derivative **2a** reacts with N-phenylmaleimide to yield cycloadducts only under vigorous conditions. **2b** produces a cycloadduct with dimethyl acetylenedicarboxylate, while **2a** yields a Michael-type adduct. Reactions with more reactive dienophiles gave only polymeric products.

In connection with our continued interest in the reactivity of vinylazoles[1,2] and in the synthesis of aza analogues of ellipticine,[3] we have prepared the 5-methoxy-2-vinyl-4-azaindoles **2a** and **2b** with the intention of using them as precursors for the synthesis of isomers of the pazelliptine class of anti-tumour agents[4] using the well established $4\pi + 2\pi$ cycloaddition reactions.

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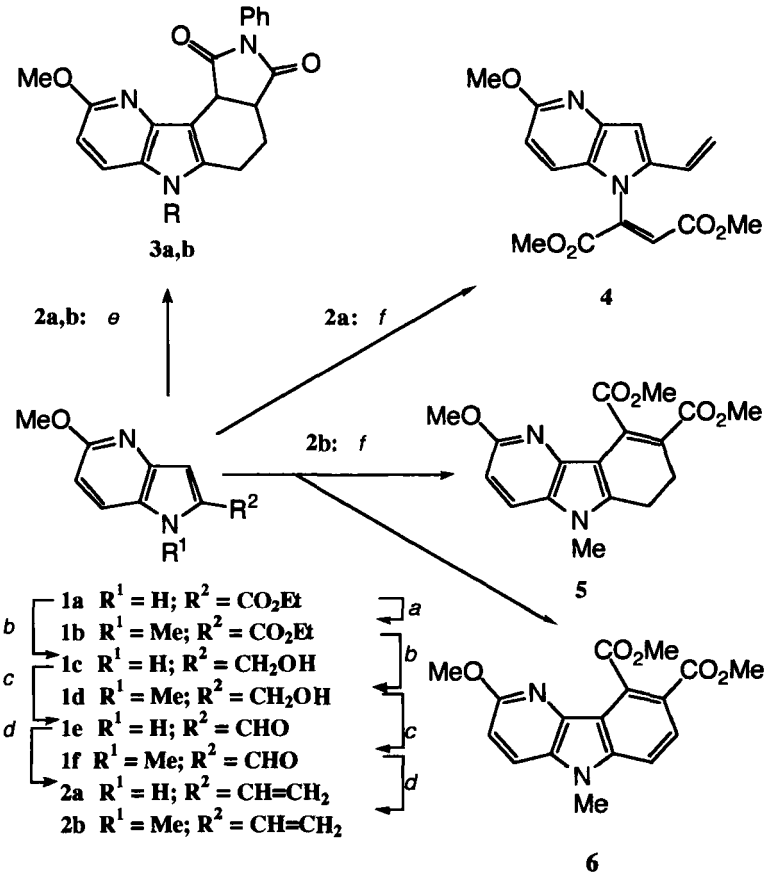
The appropriate aldehydes, **1a**[5] and **1b**, obtained from the readily synthesised 6-methoxy-2-methyl-3-nitropyridine[6] by a sequence of standard conversions (Scheme 1), were converted by the Wittig reaction into the vinyl derivatives **2** and subjected to reaction with a series of 2π dienophiles. It has been shown previously[9] that, as a result of their lower reactivity, 2-vinylindoles[2,7,8] require considerably more vigorous reaction conditions with dienophiles than do 2- or 3-vinylpyrroles. AM1 MO calculations[10] of HOMO energy levels (Table 1) indicate that the 2-vinylazaindoles should be even less reactive than the corresponding vinylindoles in their reaction with π-deficient dienophiles and this was found to be experimentally true.

Both 5-methoxy-2-vinyl-4-azaindole **2a** and its 1-methyl derivative **2b** reacted with N-phenylmaleimide (NPMI) only at elevated temperatures in a sealed vessel to give the expected cycloadducts **3a** and **3b**. Similarly, in contrast with the relatively mild conditions required to effect the cycloaddition of 1-methyl-2-vinylindole with dimethyl acetylenedicarboxylate (DMAD)[2] (20°C at atmospheric pressure), **2b** gave the cycloadduct **5** and the aromatised product **6** only after prolonged reaction at 140°C in a sealed vessel. There was no evidence for the formation of the Michael-type adducts, derived from electrophilic attack at the 3-position of the azaindole system (*cf.* the corresponding reaction of 1-methyl-2-vinylindoles with DMAD[2]). Not unexpectedly, **2a** reacted with DMAD under mild conditions to give the Michael-type product **4** *via* electrophilic attack by the acetylenic ester at the ring nitrogen atom.

Reaction of **2b** with maleic anhydride and tetracyanoethene under mild conditions failed to yield any isolable products, as did the reactions of methyl propiolate, dimethyl fumarate, and 4-phenyl-1,2,4-triazoline-3,5-dione (*cf.* the corresponding reaction with 1-methyl-2-vinylindole[8]) under more vigorous conditions. In all cases, tars, apparently resulting from polymerisation of the vinylazaindole, were obtained.

Experimental

All reactions were conducted under an atmosphere of dry argon. Chromatography was performed using Merck kieselgel $60PF_{264}$. Melting points were determined with a Kofler hot-stage apparatus and are uncorrected. IR spectra were recorded for samples in KBr discs with a Perkin Elmer 843 spectrometer. ^1H NMR and ^{13}C



a NaOH/THF and MeI, or KOH/acetone and MeI; *b* $LiAlH_4$/THF; *c* MnO_2/THF:diethyl ether; *d* $Ph_3PCH_3^+Cl^-$, NaH in THF; *e* N-phenylmaleimide in CH_2Cl_2 sealed tube at 140°C; *f* DMADin $CHCl_3$ at 61°C

NMR spectra were measured on a Bruker AC 250 spectrometer in $CDCl_3$, unless otherwise stated, at 250 and 62.9 MHz, respectively. Chemical shifts are reported in ppm with TMS as internal standard. High resolution mass spectra (HRMS) were recorded on a Fisons VG-Autospec TRIO 1000 instrument. 2-Formyl-5-methoxy-4-azaindole, m.p. 169 - 171°C (lit.,[5] m.p. 168 - 170°C) was obtained using the procedure described in the literature.[5]

Table 1. AM1 calculated HOMO Energy Levels[10] for vinylindoles and vinylazaindoles in order of decreasing reactivity with dienophiles

Compound	HOMO (eV)
1-methyl-2-vinylindole	-8.158
5-methoxy-1-methyl-2-vinylindole	-8.210
2-vinylindole	-8.226
5-methoxy-2-vinylindole	-8.255
5-methoxy-1-methyl-2-vinyl-4-azaindole **2b**	-8.375
5-methoxy-2-vinyl-4-azaindole **2a**	-8.420
1-methyl-2-vinyl-4-azaindole	-8.498
2-vinyl-4-azaindole	-8.555

Ethyl 5-methoxy-1-methyl-4-azaindole-2-carboxylate (**1b**): *Method A.* Iodomethane (0.371 g, 5.45 mmol) was added with stirring to a suspension of ethyl 5-methoxy-4-azaindole-2-carboxylate (1.20 g, 5.45 mmol) and sodium hydride (0.131 g, 5.45 mmol) in THF (10 ml) over a period of 75 min and then stirred for a further 12 h. Water (20 ml) and methanol (10 ml) were added, the mixture was stirred for 5 min, and extracted with dichloromethane (2 x 30 ml). The organic extracts were dried (Na_2SO_4) and evaporated and the residue recrystallised from dichloromethane to give *ethyl 5-methoxy-1-methyl-4-azaindole-2-carboxylate* (1.00 g, 86%), m.p. 185°C. HRMS: Calcd. for $C_{12}H_{14}N_2O_3$ 234.1004; Found 234.0997. δH 1.30 (3H, t, J = 6.9 Hz), 3.89 (3H, s), 3.92 (3H, s), 4.25 (2H, q, J = 6.9 Hz), 6.62 (1H, d, J = 9.1 Hz), 7.15 (1H, s), 7.46 (d, d, J = 9.1 Hz); δC 14.2 (q), 31.8 (q), 53.3 (q), 60.6 (t), 108.5 (d), 109.7 (d), 121.2 (d), 128.7 (s), 129.3 (s), 139.6 (s), 160.7 (s), 161.9 (s).

Method B. Powdered potassium hydroxide (1.90 g, 34 mmol) was added to ethyl 5-methoxy-4-azaindole-2-carboxylate (1.50 g, 6.8 mmol) in acetone (19 ml) with cooling and stirring. Iodomethane (0.8 ml, 13 mmol) was then added dropwise with vigorous stirring at room temperature and the mixture was then stirred at room temperature for 1 h. Toluene (145 ml) was added to the mixture and insoluble material removed by filtration. The filtrate was washed with aqueous sodium

chloride (0.5 M) and dried (Na_2SO_4). Evaporation of the solution and chromatography of the residue from silica using toluene:hexane (1:1) as the eluant gave the 1-methyl derivative (1.44 g, 90%).

2-Hydroxymethyl-5-methoxy-1-methyl-4-azaindole (**1d**): Ethyl 5-methoxy-1-methyl-4-azaindole-2-carboxylate (8.40 g, 3.0 mmol) in dry THF (400 ml) was added dropwise with stirring to a suspension of lithium aluminium hydride (2.0 g, 5 mmol) in dry THF (10 ml). The mixture was heated under reflux for 4 h, cooled, and poured onto ice (100 g). The resultant mixture was adjusted to pH 12 by the addition of saturated aqueous sodium hydroxide and extracted with diethyl ether (x x x ml) The extracts were evaporated and the residue recrystallised from dichloromethane:hexane to give *2-hydroxymethyl-5-methoxy-1-methyl-4-azaindole* (4.23 g, 60%), m.p. 148 -150°C. HRMS: Calcd. for $C_{10}H_{12}N_2O_2$ 192.0899; Found 192.0903. δH 3.76 (3H, s), 3.97 (3H, s), 4.77 (2H, s), 6.41 (1H, s), 6.61 (1H, d, J = 11.5 Hz), 7.50 (1H, d, J = 11.5 Hz); δC 30.0 (t), 53.4 (q), 57.2 (q), 101.2 (d), 105.5 (d), 120.1 (d), 127.1 (s), 140.5 (s), 142.5 (s), 160.1 (s).

2-Formyl-5-methoxy-1-methyl-4-azaindole (**1f**): Freshly prepared activated manganese dioxide (20 g, 0.23 mol) was added to 2-hydroxymethyl-5-methoxy-1-methyl-4-azaindole (2.00 g, 10 mmol) in THF (60 ml) and diethyl ether (500 ml). The mixture was stirred at room temperature for xx h and then filtered. The filtrate was evaporated and the residue was recrystallised from hexane to yield *2-formyl-5-methoxy-1-methyl-4-azaindole* (0.60 g, 30%), m.p. 120 - 123°C. HRMS: Calcd. for $C_{10}H_{10}N_2O_2$ 190.0742; Found 190.0739. δH 3.12 (3H, s), 3.18 (3H, s), 5.95 (1H, d, J = 9.1 Hz) 6.32 (1H, s), 6.75 (1H, d, J = 9.1 Hz) 9.01(1H, s); δC 3.9 (q), 53.5 (q), 112.2 (d), 115.1 (d), 121.6 (d), 123.6 (s), 131.0 (s), 135.8 (s), 139.8 (s), 182.9 (d).

Synthesis of 2-vinyl-4-azaindoles (**2**): Methyl triphenylphosphonium bromide (2.28 g, 6.25 mmol) and sodium hydride (2.46 g, 10.25 mmol) in dry THF (19 ml) were stirred at 20°C for 2h; a solution of the appropriate aldehyde **1** (5.68 mmol) in dry THF (36 ml) was added dropwise, the mixture was heated under reflux for 5h and then allowed to stand at 20°C for 12h. The liquid phase was separated and the solid triphenylphosphine oxide was washed with hexane (4 x 20 ml). The combined organic phases were evaporated under reduced pressure and the

crude product was purified by chromatography using hexane-ethyl acetate (4:1) as eluant.

5-methoxy-2-vinyl-4-azaindole (**2a**) (0.88 g, 89%) had m.p. 98 - 99°C (from hexane: dichloromethane); HRMS: Calcd. for $C_{10}H_{10}N_2O$ 174.0787; Found 174.0793. δ_H 3.91 (3H, s), 5.21 (1H, d, *J* 10.9 Hz), 5.53 (1H, d, *J* 17.5 Hz), 6.48 (1H, s), 6.51 (1H, d, *J* 8.7 Hz), 6.64 (1H, dd, *J* 17.5 Hz and 10.9 Hz), 7.42 (1H, d, *J* 8.4 Hz), 8.42 (1H, bs); δ_C 53.4 (q), 102.5 (d), 106.3 (d), 113.1 (t), 121.4 (d), 125.4 (s), 127.5 (d), 138.3 (s), 143.3 (s), 160.4 (s); *m/z* 174 (M^+,100%), 160 (4), 145 (31), 131 (10).

5-methoxy-1-methyl-2-vinyl-4-azaindole (**2b**) (0.25 g, 26%). HRMS: Calcd. for $C_{11}H_{12}N_2O$ 188.0949; Found 188.0941. δ_H 3.43 (3H, s), 3.87 (3H, s), 5.22 (1H, d, *J* 11 Hz), 5.66 (1H, d, *J* 17 Hz), 6.57 - 6.40 (3H, m), 7.23 (1H, d, *J* 8.4 Hz); δ_C 29.5 (q), 53.1 (q), 98.2 (d), 105.0 (d), 117.0 (t), 119.8 (d), 125.0 (d), 126.7 (s), 139.8 (s), 141.9 (s), 160.1 (s); *m/z* 188 (M^+, 100%), 159 (35), 145 (11).

Reaction of ***2a*** *with NPMI*: 5-methoxy-2-vinyl-4-azaindole (0.2 g, 1.15 mmol) and *N*-phenylmaleimide (0.9 g, 1.15 mmol) in dichloromethane (15 ml) were heated in a sealed steel reaction vessel for 3h at 140°C. The reaction mixture was evaporated under reduced pressure and the crude product was purified by chromatography using increasing ratios of hexane-ethyl acetate to yield *9-methoxy-2-phenyl-1,3,3*a*,4,5,10*c*-hexahydro-2*H*,6*H*-pyrido[3,2-*b*]pyrrolo[3,4-*e*]indole-1,3-dione* (**3a**) (0.3 g, 79%). m.p. 260 - 262°C (from hexane/$CHCl_3$). HRMS: Calcd. for $C_{20}H_{17}N_3O_3$ 347.1269; Found 347.1271. ν_{max} 3400, 1704, 1402, 1262 cm^{-1}; δ_H [$(CD_3)_2CO$] 0.97 (1H, m) 2.88 (1H, m), 2.94 (2H, m), 3.79 (1H, dt, *J* 8.4; 5.1 Hz), 4.09 (3H, s), 4.73 (1H, d, *J* 8.4 Hz), 6.65 (1H, d, *J* 8.7 Hz), 7.53 - 7.35 (5H, m), 7.8 (1H, d, *J* 8.7 Hz); δ_C [$(CD_3)_2CO$] 21.1 (t), 23.5 (t), 39.6 (d), 41.0 (d), 53.2 (q), 104.0 (s), 104.9 (d), 122.1 (d), 125.4 (s), 127.8 (d), 128.7 (d), 129.5 (d), 134.1 (s), 139.1 (s), 142.8 (s), 160.4 (s), 176.0 (s), 178.8 (s); *m/z* 347 (M^+, 100%), 318 (15), 169 (5).

Reaction of ***2b*** *with NPMI*: 5-methoxy-1-methyl-2-vinyl-4-azaindole (0.16 g, 0.85 mmol) and *N*-phenylmaleimide (0.146 g, 0.85 mmol) in $CHCl_3$ (15 ml) were heated in a sealed steel reaction vessel for 3.5h at 140°C. The reaction mixture was

evaporated under reduced pressure and the crude product was purified by chromatography using hexane-ethyl acetate (4:1) as eluant to give *9-methoxy-6-methyl-2-phenyl-1,3,3a,4,5,10c-hexahydro-2H,6H-pyrido[3,2-b]pyrrolo[3,4-e]-indole-1,3-dione* (**3b**) (0.19g, 60%) m.p 242°C (from hexane/$CHCl_3$). HRMS: Calcd. for $C_{21}H_{19}N_3O_3$ 361.1426; Found 361.1431. ν_{max} 1708, 1406, 1270 cm^{-1}; δ_H 1.20 (1H, m), 1.97 (1H, m), 2.66 (2H, m), 3.46 (1H, dt, *J* 8.2; 4.7 Hz), 3.55 (3H, s), 3.97 (3H, s), 4.58 (1H, d, *J* 8.2 Hz), 6.51 (1H, d, *J* 8.7 Hz), 7.32 - 7.16 (5H, m), 7.38 (1H, d, J 8.7 Hz); δ_C 18.9 (t), 22.4 (t), 29.4 (q), 38.9 (d), 40.2 (d), 53.4 (q), 102.4 (s), 104.9 (d), 119.3 (d), 126.1 (s), 126.4 (d), 128.2 (d), 128.9 (d), 132.1 (s), 138.1 (s), 141.0 (s), 160.1 (s), 175.4 (s), 177.9 (s); *m/z* 361 (M^+,100%), 332 (16), 213 (30).

*Reaction of **2a** with DMAD*: 5-methoxy-2-vinyl-4-azaindole (0.348 g, 2 mmol) and DMAD (0.24 g, 2 mmol) in $CHCl_3$ (15 ml) were heated under reflux for 2h. The reaction mixture was evaporated under reduced pressure and the crude product was chromatographed with hexane-ethyl acetate (19:1) as eluant to give dimethyl *(2-vinyl-5-methoxy-4-aza-1-indolyl)fumarate* (**4**) (0.5 g 79%). HRMS: Calcd. for $C_{16}H_{16}N_2O_5$ 316.1059; Found 316.1066. ν_{max} 1729 cm^{-1}; δ_H 3.46 (3H, s), 3.72 (3H, s), 3.90 (3H, s), 5.25 (1H, d, *J* 11 Hz), 5.67 (1H, d, *J* 17 Hz), 6.38 (1H, dd, *J* 17; *J* 11 Hz), 7.22 (1H, s), 6.48 (1H, d, *J* 8.8 Hz), 6.75 (1H, s), 7.13 (1H, d, *J* 8.8 Hz); δ_C 52.4 (q), 53.3 (q), 53.4 (q), 102.0 (d), 106.3 (d), 117.3 (t), 120.4 (d), 125.4 (d), 126.4 (s), 128.4 (d), 135.6 (s), 140.2 (s), 143.2 (s), 160.8 (s), 163.1 (s), 163.4 (s); *m/z* 316 (M^+, 76%), 257 (100), 225 (39), 198 (89).

*Reaction of **2b** with DMAD*: 5-methoxy-1-methyl-2-vinyl-4-azaindole (300 mg, 1.5 mmol) and DMAD (0.22 g, 1.5 mmol) in $CHCl_3$ (15 ml) were heated in a sealed steel reaction vessel for 4h at 140°C. The reaction mixture was evaporated under reduced pressure and the crude product was purified by chromatography on flash chromatography (hexane-ethyl acetate 4:1). The first fraction produced *dimethyl 2-methoxy-5-methylpyrido[3,2-b]indole-8,9-dicarboxylate* (**5**) (0.07 g, 14%) m.p. 215°C (from hexane:dichloromethane). HRMS: Calcd. for $C_{17}H_{16}N_2O_5$ 328.1059; Found 328.1074. ν_{max} 1718 cm^{-1}; δ_H 3.77 (3H, s), 3.86 (3H, s), 3.95 (3H, s), 4.12 (3H, s), 6.82 (1H, d, *J* 8.8 Hz), 7.34 (1H, d, *J* 8.8 Hz) 7.56 (1H, d, *J* 8.8 Hz), 8.10 (1H, d, *J* 8.8 Hz); δ_C 28.5 (q), 51.5 (q), 52.3 (q), 52.6 (q), 108.2 (d), 109.1 (d), 116.2 (s), 118.9 (d), 123.2 (s), 126.9 (d),

129.8 (s), 134.8 (s), 141.8 (s), 158.8 (s), 165.3 (s), 168.0 (s); *m/z* 328 (M^+, 100), 295 (41), 225 (25), 210 (32), 180 (12). The second fraction (hexane-ethyl acetate 7:3) was identified as *dimethyl 2-methoxy-5-methyl-6,7-dihydropyrido[3,2-b]-indole-8,9-dicarboxylate* (**6**) (0.12g, 23%) m.p. 193 - 194°C (from hexane:dichloromethane). HRMS: Calcd. for $C_{17}H_{18}N_2O_5$ 330.1215; Found 330.1215. ν_{max} 1738 cm^{-1}; δ_H 2.81 (4H, s), 3.54 (3H, s), 3.71 (3H, s), 3.87 (3H, s), 3.96 (3H, s), 6.44 (1H, d, *J* 8.8 Hz), 7.33 (1H, d, *J* 8.7 Hz); δ_C 20.2 (t), 23.2 (t), 29.8 (q) 51.8 (q), 52.3 (q), 53.2 (q), 104.9 (d), 107.0 (s), 113.9 (s), 119.9 (d), 126.5 (s), 137.7 (s), 138.6 (s), 142.4 (s), 160.5 (s), 166.7 (s), 168.7 (s); *m/z* 330 (M^+, 100), 297 (29), 271 (9), 239 (23), 212 (14).

Acknowledgements

JS-A thanks the Ministerio de Educacion y Ciencia (DGICYT) for financial support for this work (Project APC95-0176).

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10. AM1 semi-empirical calculations were conducted using the programme incorporated in the HyperChem™ package for Windows (Autodesk, Inc).

(Received in the UK 7th October 1996)