

Molecules **2001**, *6*, M194

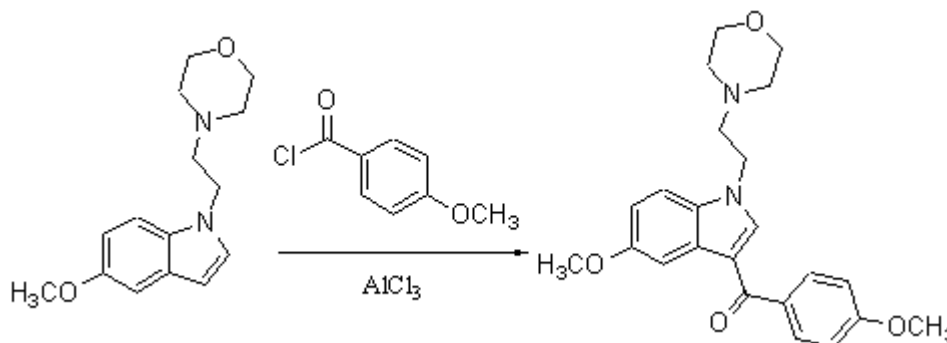
[5-Hydroxy-1-(2-morpholinoethyl)-1*H*-indol-3-yl](4-methoxyphenyl)methanone

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Received: 4 September 2000 / Accepted: 29 September 2000 / Published: 25 March 2001

As part of a research programme targeting novel indole-based molecules as potential cannabinoid agonists we synthesised [5-hydroxy-1-(2-morpholinoethyl)-1*H*-indol-3-yl](4-methoxyphenyl)methanone [1-4].



N-(3-ethylmorpholine)-5-methoxy indole (160 mg, 0.61 mmol) was dissolved in anhydrous dichloromethane (5.0 mL) and 4-methoxy benzoyl chloride (125.5 mg, 0.74 mmol) was added and the reaction mixture was stirred at room temperature under an atmosphere of nitrogen and aluminium chloride (204.3 mg, 1.5 mmol) was added gradually. The reaction mixture was stirred for 1 hour at room temperature. Water was added and the solution was extracted with ethyl acetate, dried over magnesium sulphate, filtered and evaporated under reduced pressure to afford a residue which was purified by column chromatography eluting with chloroform then chloroform/methanol (99/1) to afford (85.0 mg, 35.1 %) of the desired [5-Hydroxy-1-(2-morpholinoethyl)-1*H*-indol-3-yl](4-methoxyphenyl)methanone as a soft yellow solid.

MS : 396 (M + 1)⁺.

IR: 3000, 1600, 1490, 1450, 1400, 1390, 1340, 1300, 1050, 880, 820, 800, 790, 770, 750, 670.

¹H NMR (300 MHz, DMSO-d₆): 2.42 (m, 4H, 2 x CH₂), 2.68 (t, *J* = 6.0 Hz, 2H, CH₂), 3.50 (m, 5H, 2 x CH₂, CH), 3.84 (s, 3H, OCH₃), 3.85 (s, 3H, OCH₃), 4.36 (t, *J* = 6.0 Hz, 1H, CH), 6.81 (d, *J* = 9.0 Hz, 1H, ArH), 7.03 (d, *J* = 8.7 Hz, 2H, 2 x ArH), 7.93 (d, *J* = 8.7 Hz, 2H, 2 x ArH), 8.0 (d, *J* = 9.0 Hz, 1H, ArH), 8.15 (s, 1H, ArH).

Exact mass : C₂₃H₂₆N₂O₄ requires (M)⁺ = 394.18925, Found (M)⁺ = 394.19002.

References

1. Ward, S. J.; Mastriani, D.; Casiano, F.; Arnold, R. *J. Pharmacol. Exp.* **1990**, *255*, 1230-1239.
2. Bell, M. R.; D'Ambra, T. E.; Kumar, V.; Eissenstat, M. A.; Herrmann, J. L.; Wetzel, J. R.; Rosi, D.; Phillion, R. E.; Daum, S. J.; Hlasta, D. J.; Kullnig, R. K.; Ackerman, J. H.; Haubrich, D. R.; Luttinger, D. A.; Baizman, E. R.; Miller, M. S.; Ward, S. J. *J. Med. Chem.* **1991**, *34*, 1099- 1110.
3. Ward, S. J.; Miller, M. S.; Luttinger, D. A.; Eissenstat, M. A.; Bell, M. R. *Neurosci. Abstr.* **1988**, *14*, 324.

4. D'Ambra, T. E.; Estep, K. G.; Bell, M. R.; Eissenstat, M. A.; Josef, K. A.; Ward, S. J.; Haycock, D. A.; Baizman, E. R.; Casiano, F. M.; Belgin, N. C.; Chippari, S. M.; Grego, J. D.; Kullnig, R. K.; Daley, G. T. *J. Med. Chem.* **1992**, *35*, 124-135.

Sample availability: available from the authors and MDPI.

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