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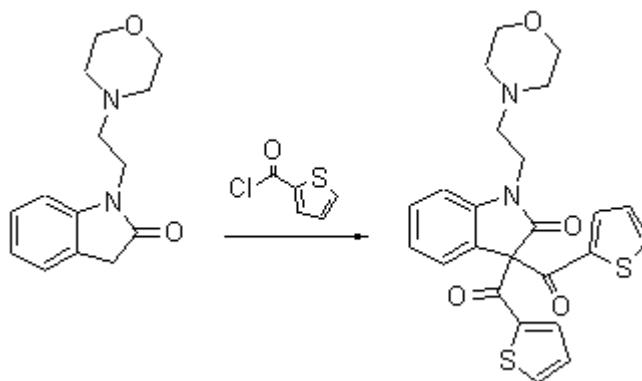
N-(2-Ethylmorpholino)-3-bis-ketothiene

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As part of a research programme targeting novel indole-like molecules as potential cannabinoid agonists [1-4] we synthesised N-(2-ethylmorpholino)-3-bis-ketothiene.



N-(ethylmorpholine) oxindole (220.0 mg, 0.894 mmol) was dissolved in anhydrous DMF (5.0 mL) and the reaction mixture was cooled to 0°C in an ice-bath then DMAP (241.0 mg, 1.97 mmol) was added followed by 2-thiophenecarbonyl chloride (105.0 mL, 0.984 mmol) in anhydrous DMF (2.0 mL). The reaction was allowed to stir for 30 minutes. The reaction mixture was poured in a solution of water (9.0 mL) and 5N HCl (402.0 mL). The reaction mixture was stirred at ice-water temperature for 5 minutes, and the aqueous phase was extracted with ethyl acetate, dried over magnesium sulphate, filtered and evaporated under reduced pressure to afford the desired N-(ethylmorpholino)-3-bis keto-2-thenoyl oxindole as a yellow powder.

M.p. 80-85 °C.

MS : 467 (M+1).⁺

IR: 3090, 1900, 1600, 1460, 1330, 1190, 1070, 1050, 1100, 950, 720, 670.

¹H NMR (300 MHz, DMSO-d₆): 2.48 (m, 4H, 2 x CH₂), 2.55 (m, 2H, CH₂), 3.52 (m, 4H, 2 x CH₂) 3.90 (m, 2H, CH₂), 6.92 (t, *J* = 6.6 Hz, 1H, ArH), 7.23 (d, *J* = 7.8 Hz, 1H, ArH), 7.24-7.31 (m, 4H, 4 x ArH), 7.40 (m, 1H, ArH), 7.94 (d, *J* = 4.2 Hz, 1H, ArH), 8.25 (m, 2H, 2 x ArH).

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.; Philion, 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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