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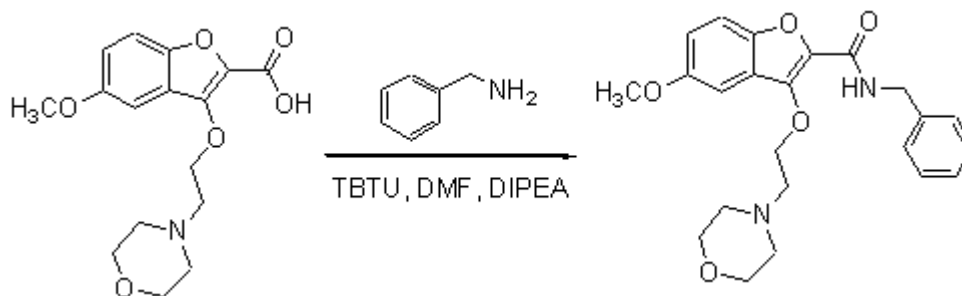
N-Benzyl-3-(2-morpholine)ethoxy-5-methoxy benzofuran-2-carboxamide

Gerard P. Moloney

Department of Medicinal Chemistry, Victorian College of Pharmacy (Monash University), 381 Royal Parade Parkville, Victoria 3052, Australia, E-mail: g.moloney@ari.unimelb.edu.au

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As part of a research programme targeting novel indole-like molecules as potential cannabinoid agonists [1-4] we synthesised methyl 3-(2-(N-morpholino)ethyl-5-methoxybenzofuran-2-carboxylate.



5-Methoxy-3-(2-ethyl morpholine) benzofuran-2-carboxylic acid (50.0 mg, 0.15 mmol) and benzylamine (18.2 mg, 0.17 mmol) and TBTU (55.0 mg, 0.17 mmol) were dissolved in anhydrous DMF (3.0 mL) and to this stirring solution was added DIPEA (33.0 mL, 0.19 mmol). The solution was stirred at room temperature under an atmosphere of nitrogen for 3.0 hours. The DMF was evaporated under reduced pressure and water was added and the aqueous layer was extracted with ethyl acetate. The organic layers were dried over magnesium sulphate, filtered and evaporated under reduced pressure to afford the desired benzofuran-2-carboxamide as an orange gum. This was further purified by column chromatography eluting with (chloroform/methanol) (99/1) to afford (21.39 mg, 33.5 %) of the desired N-benzyl-3-(2-morpholine)ethoxy-5-methoxy benzofuran-2-carboxamide as yellow crystals

M.p. 118-120 °C.

MS : 411 (M+1)⁺.

IR: 3100, 3000, 1660, 1600, 1500, 1450, 1400, 1300, 1290, 1105, 1100, 1030, 1050, 870, 750.

¹H NMR (300 MHz, DMSO-d₆): 2.35 (m, 3H, CH₂ + CH), 2.65 (m, 2H, CH₂), 3.40 (m, 2H, 2 x CH₂), 3.81 (d, *J* = 4.2 Hz, 2H, NHCH₂), 4.03 (3H, s, OCH₃), 4.53 (m, 3H, CH₂ + CH), 7.06 (dd, *J* = 2.2, *J* = 6.4 Hz, 1H, H-6), 7.24 (d, *J* = 2.67 Hz, 1H, H-4), 7.49 (d, *J* = 9.0 Hz, 1H, H-7), 8.56 (m, 1H, NH).

HPLC retention time = 8.87 minutes, Gradient conditions 30 B/70 A to 90 B/10 A over 20 minutes a (B = 90 % CH₃CN, 10 % H₂O)/ (A = 0.1 % TFA in H₂O) using Zorbax 4.6 mm x 250 mm.

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Sample availability: available from the authors and MDPI.

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