SUPPORTING INFORMATION

Synthesis of *C*-Carbamoyl-1,2,3-triazoles by Microwave-Induced 1,3-Dipolar Cycloaddition of Organic Azides to Acetylenic Amides

Alan R. Katritzky* and Sandeep K. Singh

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General Procedure for the Preparation of Acetylenic Amides 4 and 5.¹⁷ Lithium hydride (0.5 g, 62.5 mmol) was added portionwise to a solution of propiolic acid (4.2 g, 60.0 mmol) in THF (50 mL) cooled in an ice-water bath. The mixture was stirred at 25 °C for 12 h, cooled to -10 °C and a solution of ethyl chloroformate (6.3 g, 58.0 mmol) in THF (10 mL) was added dropwise. After stirring the mixture at 25 °C for 45 min, it was cooled to 5 °C and a solution of benzylamine or piperidine (60.0 mmol) in THF (20 mL) was added dropwise. The stirring was continued at 25 °C for 3 h. The solvent was removed at reduced pressure and methylene chloride was added to the residue. Aqueous work-up and evaporation of the solvent gave the crude material that was purified by column chromatography on silica gel using hexanes/diethyl ether (1:1) as eluent to give the acetylenic amides **4** or **5**.

N-Benzyl-2-propynamide (4): yellow needles (from pentane); mp 88–90 °C (Lit.¹⁷ mp 89–92 °C); yield, 59%; ¹H NMR δ 2.78 (s, 1H), 4.42–4.45 (m, 2H), 6.55 (br s, 1H), 7.25–7.35 (m, 5H); ¹³C NMR δ 43.8, 73.5, 77.1, 127.8, 127.9, 128.8, 136.9, 152.0.

1-Piperidino-2-propyn-1-one (5):²¹ yellow oil; yield, 68%; ¹H NMR δ 1.56–1.68 (m, 6H), 3.16 (s, 1H), 3.55–3.60 (m, 2H), 3.70–3.74 (m, 2H); ¹³C NMR δ 24.2, 25.1, 26.2, 42.1, 47.9, 75.5, 78.9, 151.5.

(1-Benzyl-1*H*-1,2,3-triazol-4-yl)(piperidino)methanone (8a): colorless cubes (from chloroform/diethyl ether); mp 124–126 °C; yield, 63%; ¹H NMR δ 1.67 (br s, 6H), 3.68–3.70 (m, 2H), 4.14–4.15 (m, 2H), 5.53 (s, 2H), 7.29–7.38 (m, 5H), 7.98 (s, 1H); ¹³C NMR δ 24.5, 25.6, 26.6, 43.7, 47.7, 54.1, 127.7, 128.2, 128.8, 129.1, 133.8, 144.8, 159.6. Anal. Calcd for C₁₅H₁₈N₄O: C, 66.64; H, 6.71; N, 20.72. Found: C, 66.73; H, 7.06; N, 20.79.

***N*-Benzyl-1-(3-phenylpropyl)-1*H*-1,2,3-triazole-4-carboxamide (9a):** off-white needles (from chloroform/diethyl ether); mp 160–162 °C; yield, 62%; ¹H NMR δ 2.27 (quintet, *J* = 7.1 Hz, 2H), 2.65 (t, *J* = 7.3 Hz, 2H), 4.38 (t, *J* = 7.0 Hz, 2H), 4.65 (d, *J* = 5.9 Hz, 2H), 7.15–7.35 (m, 10H), 7.52 (br s, 1H), 8.07 (s, 1H); ¹³C NMR δ 31.4, 32.3, 43.1, 49.8, 125.3, 126.4, 127.5, 127.8, 128.4, 128.7, 137.8, 139.7, 143.2, 159.9; HRMS calcd for C₁₉H₂₀N₄O 320.1637, found 320.1637.

***N*-Benzyl-1-[(3-methyl-3-oxetanyl)methyl]-1*H*-1,2,3-triazole-4-carboxamide (11a):** white prisms (from diethyl ether/hexanes); mp 170–171 °C; yield, 84%; ¹H NMR δ 1.26 (s, 3H), 4.43 (d, *J* = 6.4 Hz, 2H), 4.63–4.67 (m, 6H), 7.27–7.35 (m, 5H), 7.55 (br s, 1H), 8.11 (s, 1H); ¹³C NMR δ 21.3, 40.3, 43.1, 57.1, 79.8, 126.1, 127.5, 127.8, 128.7, 137.8, 143.1, 159.7. HRMS calcd for C₁₅H₁₈N₄O₂ 286.1429, found 286.1429.

11a