

Short Note

1-[3-(2-Methyl-4-phenylquinolin-3-yl)-5-phenyl-4,5-dihydro-1H-pyrazol-1-yl]-propane-1-one

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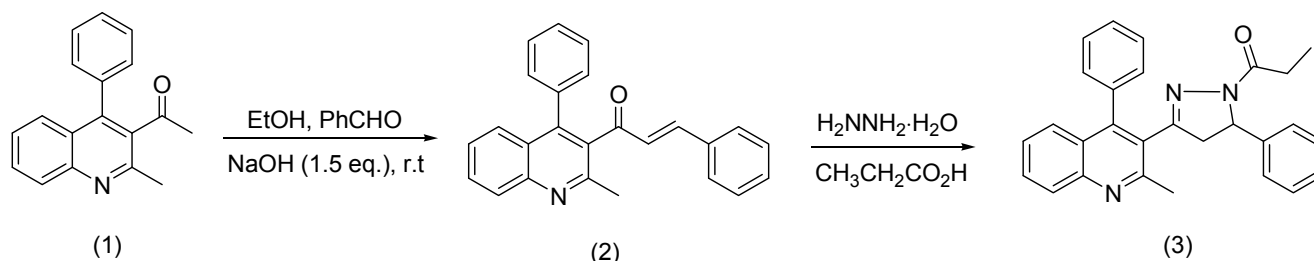
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Abstract: A novel compound, 1-[3-(2-methyl-4-phenylquinolin-3-yl)-5-phenyl-4,5-dihydro-1H-pyrazol-1-yl]-propane-1-one (**3**) has been synthesized by cyclocondensation of (*E*)-1-(2-methyl-4-phenylquinolin-3-yl)-3-phenylprop-2-en-1-one (**2**) and hydrazine hydrate in propionic acid. The structure of this compound was established by elemental analysis, IR, ¹H-NMR, ¹³C-NMR and MS data.

Keywords: quinoline; cyclocondensation; pyrazoline

Quinoline and its derivatives have been widely found in natural products and synthetic pharmaceuticals, which are associated with a broad spectrum of biological activities [1–5]. On the other hand, pyrazolines are important nitrogen-containing five-membered heterocyclic compounds, and their derivatives have been found to possess a broad spectrum of biological activities, such as antimalarial, antitrypanosomal, antitumor, and antidepressant activities [6–11]. The coupling of this chemical entity with a quinoline unit might be considered to have some biological activities. In this

context, we report in this paper the synthesis of a novel 3-(quinolin-3-yl)-2-pyrazoline by cyclocondensation of the known (*E*)-1-(2-methyl-4-phenylquinolin-3-yl)-3-phenylprop-2-en-1-one (**2**) with hydrazine hydrate.



Scheme 1. The synthesis of 1-[3-(2-methyl-4-phenylquinolin-3-yl)-5-phenyl-4,5-dihydro-1*H*-pyrazol-1-yl]-propane-1-one.

Experimental Section

*Synthesis of 1-[3-(2-Methyl-4-phenylquinolin-3-yl)-5-phenyl-4,5-dihydro-1*H*-pyrazol-1-yl]-propane-1-one (3)*

A mixture of 3-acetyl-2-methyl-4-phenylquinoline (2.6 g, 0.01 mol) (**1**), benzaldehyde (1.06 g, 0.01 mol) and 0.6 g of NaOH (0.015 mol) in 40 mL of ethanol was stirred at room temperature for 12 h. The resulting mixture was concentrated, then poured onto ice and neutralized with acetic acid. The resultant solid was filtered, dried and purified by column chromatography on silica gel using a mixture of ethyl acetate and petroleum ether (1:1). Recrystallization from the mixture of petroleum ether/acetone (8:4) gives the intermediate compound (**2**), m.p.: 149–150 °C, yield: 82%. Compound **2** is known in literature [12,13] and its structure has been confirmed by spectroscopic methods. Next, the title compound (**3**) was prepared by heating (*E*)-1-(2-methyl-4-phenylquinolin-3-yl)-3-phenylprop-2-en-1-one **2** (0.5 g, 0.0014 mol) and hydrazine hydrate (0.070 g, 0.0014 mol) in propionic acid (20 mL) at 115–120 °C for 4 h. After completion, the solution was concentrated, cooled, and then poured onto ice. The resulting solid was filtered, washed with water, dried, and then recrystallized from the ethanol/petroleum ether mixture (2:1). The starting materials were generally used as received (Acros, Aldrich) without any further purification. Melting points were determined on an Electrothermal Digital Melting Point Apparatus (IA 9200) and are uncorrected. ¹H-NMR and ¹³C-NMR spectra were recorded on VARIAN Mercury 300 spectrometers, CSIC, Spain.

White crystals; Yield: 92%; m.p. = 210 °C.

HRMS (ESI): [M + H]⁺ calculated for C₂₈H₂₆N₃O = 420.2076; found 420.2092.

IR (KBr) ν_{\max} cm⁻¹: 1666.8 (C=O ketone), 1618.0 (C=N pyrazoline)

¹H-NMR (300 MHz, CDCl₃) δ : 8.13 (d, *J* = 8.3 Hz, 1H, H-8), 7.75 (td, *J* = 8.3 Hz, *J* = 1.8 Hz, 1H, H-7), 7.53–7.25 (m, 10H, H-Ar), 6.90–6.84 (m, 2H, H-Ar), 5.35 (dd, *J* = 11.9 Hz, *J* = 5.1 Hz, 1H, H-5 pyrazoline), 3.44 (dd, *J* = 18.6 Hz, *J* = 12.0 Hz, 1H, H-4 pyrazoline), 2.82 (s, 3H, CH₃), 2.73 (q,

$J = 7.6$ Hz, 2H, CH₂), 2.53 (dd, $J = 18.5$ Hz, $J = 5.1$ Hz, 1H, H-4' pyrazoline), 1.18 (t, $J = 7.5$ Hz, 3H, CH₃).

¹³C-NMR (75.4 MHz, CDCl₃) δ : 172.50 (CO), 156.57 (C, C3 pyrazoline), 153.82, 148.28, 147.55, 141.62, 135.77, 130.40, 130.09, 123.84, 128.87, 128.70, 128.57, 127.54, 126.58, 126.42, 125.80, 125.62, 124.74 (C, CH phenyl and quinoline, 21C), 59.69 (CH, C5 pyrazoline), 46.51 (CH₂, C4 pyrazoline), 27.83 (CH₂), 24.81 (CH₃), 9.2 (CH₃).

Anal. calcd. For C₂₈H₂₅N₃O: C, 80.16; H, 6.01; N, 10.02; Found C, 79.92; H, 6.19; N, 9.96.

Author Contributions

Allaoua Kedjadja and Rachid Merdes have contributed to the experimental part of this work. Experimental characterization was made by Elhadj Kolli. Abdelmalek Bouraiou contributed to the preparation of the manuscript. All authors read and approve the final manuscript.

Conflicts of Interest

The authors declare no conflict of interest.

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