

1-[[Benzyl-(2-cyano-ethyl)-amino]-methyl]-5-methyl-1H-pyrazole-3-carboxylic acid methyl ester

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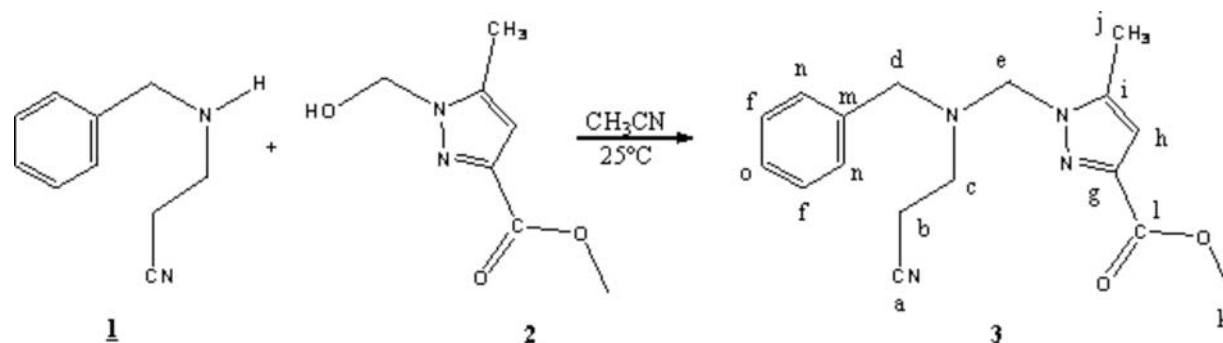
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The products of aza-type *Michael* addition, *i.e.*, β -amino carbonyl compounds and their derivatives, are often used as peptide analogs or precursors of optically active amino acids, amino alcohols, diamines, and lactams [1]. Moreover, β -amino carbonyl functionalities are ubiquitous motifs in natural products such as alkaloids and polyketides [2]. Herein, we report the synthesis of new product using aza-type *Michael* reactions under mild conditions.



A mixture of 3-(benzylamino)propionitrile **1** [3] (1g; 6.25mmol) and 1-Hydroxymethyl-5-methyl-1H-pyrazole-3-carboxylic acid methyl ester **2** [4] (1.062g; 6.25 mmol) in 20 ml of acetonitrile was stirred at room temperature for four days, then the mixture was dried with Na₂SO₄ and filtered. The solvent was evaporated under reduced pressure. The product **3** has been obtained with a 99% yield as yellow oil.

¹H-NMR (300 MHz, CDCl₃): δ = 7.31 (CH_{arom}, 5H, s); 6.56 (CH_{pyr}, 1H, s); 4.94 (OCH₃, 3H, s); 3.89 (N-CH₂-N, 2H, s); 3.75 (C₆H₅-CH₂, 2H, s); 3.01-3.06 (CH₂-CH₂-CN, 2H, t, J = 7.33 Hz); 2.33-2.37 (N-CH₂, t, J = 7 Hz) and 2.18 (CH₃, 3H, s).

¹³C-NMR (CDCl₃, 75 MHz): δ = 163.32 (l); 142.91 (i); 141.56 (g); 137.46 (m); 129.154 (n); 129.03; 129.08 (f); 128.26 (o); 118.97 (a); 109.30 (h); 67.82 (e); 56.79 (d); 52.37 (k); 48.36 (c); 17.46 (b); 11.55 (j).

EI-MS (70 eV, m/z): 173 (16); 171; 119 (22); 91 (100).

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