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A NOVEL APPROACH TO THE SYNTHESIS OF SUBSTITUTED INDOLES VIA NITRILIC CONDENSATION

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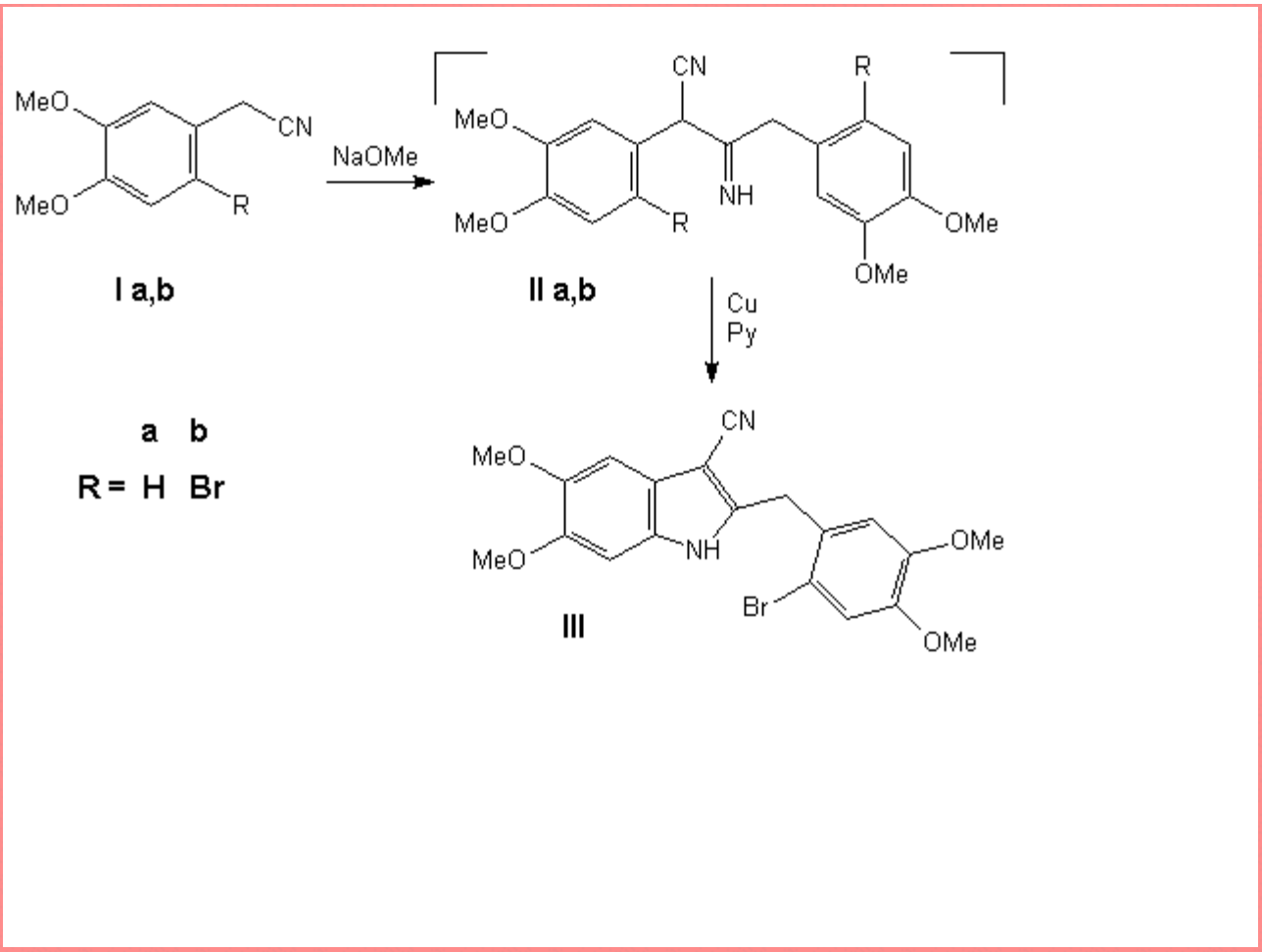
ABSTRACT: an efficient one pot synthesis of indoles from 2-bromo-arylacetonitriles is reported in this communication.

KEYWORDS: indoles, arylacetonitriles, nitrilic condensation.

In the course of our study on the cyclization of arylacetonitriles for synthesis alkaloids, an efficient one pot synthesis of indoles from 2-bromo-arylacetonitriles was achived [1]. Thus 2-bromo-4,5-dimethoxy-phenilacetonitrile (I b) produced 3-cyano-indole (III) in good yield (73%). The best results being obtained when the reaction was carried out in pyridine in the presense of sodium methoxide and active copper catalyst, but using copper acetat or chloride as catalyst was no efficient. The main intermediate product was enaminonitrile (II) [2].



2-bromo-4,5-dimethoxyphenilacetonitrile (Ib). yield 93%, m.p. 91-92 oC, (90-1 oC [3]). PMR (CDCl3): 3.80 s (2H, CH2), 3.90, 3.92 s (2x3H, OMe), 6.92 s (1H, H-2), 7.04 s (1H, H-5)

2-(bromo-4,5-dimethoxybenzyl)-5,6-dimethoxy-3-cyano-indole (III). yield 73%, m.p. 218-9 oC (ethanol). IR (vas. oil) 2190 (CN); PMR (CDCl3); 3.80, 3.82 s (2x3H, OMe), 3.90 s (6H, OMe); 4.26 s (2H, CH2), 6.87 s (2H, H-6',H-3'), 7.04 s (1H, H-7), 7.07 s (1H, H-4). mass-sp.: M+ 432 (75%), M+ 430 (100%).

Reference.

1 Lapa G.B., Tolkachev O.N. Application of nitrilic condensation for the synthesis of substituted indoles. 11-th Intern. Confer. on Orgagic Synthesis. June 30 - July 4, 1996, Amsterdam, Netherlands, Book of Abstracts, PO-021, p. 157

2 Lapa G.B., Tolkachev O.N. Research of nitrilic condensation of arylacetonitriles. XVII Ukrainic Conference on Organic Chemistry. Charkov. 1995. Part I, p. 222

3 Richardson T., Robertson R., Seijo E. // J. Chem. Soc. 1937, p. 835

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