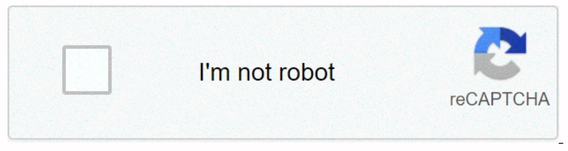

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Mechanism of benzimidazole synthesis pdf

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BACKGROUNDPrinciple:The two Carbon-nitrogen bonds in benzimidazole when disconnected give o-phenylenediamine and formic acid. Therefore, synthesis of benziemidazole is affected by simply heating the o-phenylenediamine and formic acid together (condensation type of reaction).1Aim: To prepare benzimidazole from o-phenylenediamine.Reaction:Mechanism:Use:Antitumor, antifungal, antiparasitic, analgesics, antiviral, antihistamine, as well as used in cardiovascular disease, neurology, endocrinology, and ophthalmology.REQUIREMENTSChemicals: o-phenylenediamine Formic acid (90%) NaOH (10%)Apparatus: Round bottomed flask (250 ml) Beaker Buchner funnel Measuring cylinder Filter paperPROCEDUREPlace 27 g (0.25 mol) of o-phenylenediamine in a round bottomed flask of 250 ml and add 17.5 g (16 ml, 0.34 mol) of 90% formic acid. Heat the mixture on a water bath at 100 °C for 2 h. Cool and add 10% sodium hydroxide solution slowly, with constant rotation of the flask, until the mixture is just alkaline to litmus. Filter off the synthesized crude benzimidazole by using the pump, wash with ice cold water, drain well and wash again with 25 ml of cold water.Recrystallisation: Dissolve the synthesized product in 400 ml of boiling water, add 2 g of decolourising carbon and digest for 15 min. Filter rapidly through a preheated Buchner funnel and a flask at the pump. Cool the filtrate to about 10 °C, filter off the benzimidazole, wash with 25 ml of cold water and dry at 100 °C. The yield of pure benzimidazole, m.p. 171-172 °C, is 25 g (85%).Calculation:Here limiting reagent is o-phenylenediamine; hence yield should be calculated from its amount taken.Molecular formula of o-phenylenediamine = C6H8N2Molecular formula of benzimidazole = C7H6N2Molecular weight of o-phenylenediamine = 108 g/moleMolecular weight of benzimidazole = 118 g/moleTheoretical yield:108 g o-phenylenediamine forms 118 g benzimidazoleTherefore, 27 g o-phenylenediamine will form .....? (X) g benzimidazoleX =(118 × 27)/108 = 29.5 gTheoretical yield = 29.5 gPractical yield =————– g% Yield = (Practical Yield)/(Theoretical Yield) × 100CONCLUSIONBenzimidazole was synthesized and the percentage yield was found to be.....%.REFERENCESVogel’s Textbook of Practical Organic Chemistry by Brian S. Furniss, Antony J. Hannaford, Peter W. G. Smith & Austin R. Tatchell; Fifth Edition; Page No.- 1162Practical in organic chemistry, by Hitesh G. Raval, Sunil L. Baldania and Dimal A. Shah, Nirav Prakashan, Page No.- 301. View PDFVolume 21, Issue 2, February 2017, Pages 229-237Author links open overlay panel rights and contentUnder a Creative Commons licenseopen accessBenzimidazole nucleuso-PhenylenediaminePharmacological activityTherapeutic compound© 2016 King Saud University. Production and hosting by Elsevier B.V. Reactions > Organic Synthesis Search Categories: Synthesis of N-Heterocycles > benzo-fused N-Heterocycles > Recent Literature A one-pot procedure for the conversion of aromatic and heteroaromatic 2-nitroamines into bicyclic 2H-benzimidazoles employs formic acid, iron powder, and NH4Cl as additive to reduce the nitro group and effect the imidazole cyclization with high-yielding conversions generally within one to two hours. The compatibility with a wide range of functional groups demonstrates the general utility of this procedure. E. J. Hanan, B. K. Chan, A. A. Estrada, D. G. Shore, J.
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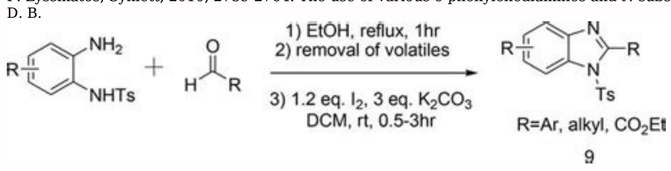
D. B.



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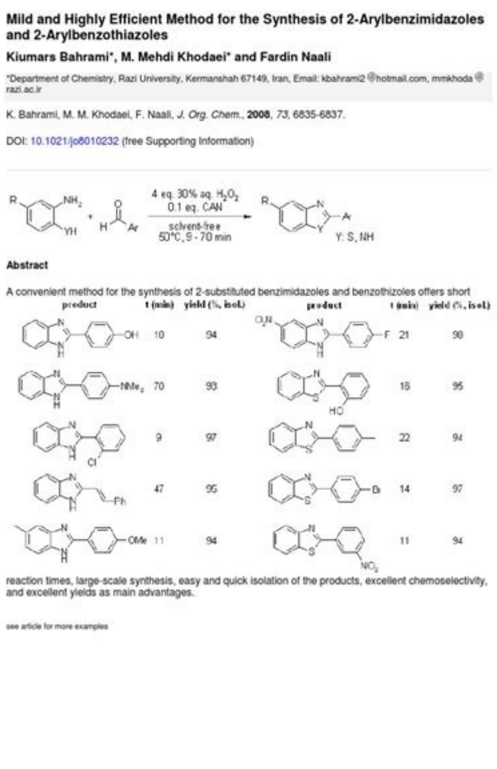

Mild and Highly Efficient Method for the Synthesis of 2-Arylbenzimidazoles and 2-Arylbenzothiazoles

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K. Bahrami, M. M. Khodaei, F. Naali, *J. Org. Chem.*, **2008**, *73*, 6835-6837.

DOI: 10.1021/jo8010232 (free Supporting Information)

Abstract

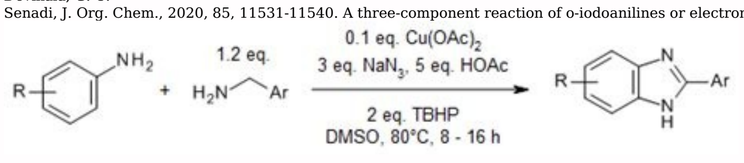
A convenient method for the synthesis of 2-substituted benzimidazoles and benzothizoles offers short

product	t (min)	yield (%, isol.)	product	t (min)	yield (%, isol.)
	10	94		21	90
	70	93		18	95
	9	97		22	94
	47	95		14	97
	11	94		11	94

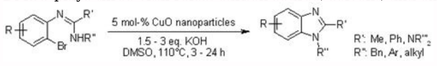
reaction times, large-scale synthesis, easy and quick isolation of the products, excellent chemoselectivity, and excellent yields as main advantages.

see article for more examples

Devikala, G. C.
Senadi, J. Org. Chem., 2020, 85, 11531-11540. A three-component reaction of o-iodoanilines or electron-rich aromatic amines with K2S and DMSO provides 2-unsubstituted benzothiazoles in good isolated yields with good functional group tolerance. A similar reaction of o-phenylenediamines provided 2-unsubstituted benzimidazoles without K2S.



DMSO plays three vital roles: carbon source, solvent, and oxidant.



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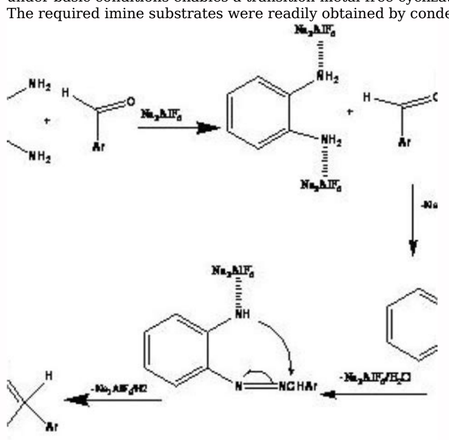

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