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Synthesis of Benzimidazoles by PIDA-Promoted Direct C(sp^2)–H Imidation of *N*-Arylamidines
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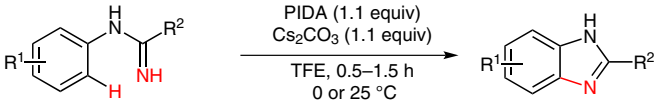
Phenyliodine(III) Diacetate-Promoted Synthesis of Benzimidazoles



R^1 = H, 2-Br, 2-F, 4-I, 4-Br, 4-Cl, 4-F, 2-Me, 2-*t*-Bu, 3-Me, 3,5-Me_2, 4-Me, 4-OMe, 4-NO_2
R^2 = H, 2-Cl, 3-Me, 4-Br, 4-Cl, Me, 3,4-Me_2, *c*-Pr, Cy, Bn, *t*-Bu, *i*-Bu

Significance: Reported is the synthesis of 2-substituted benzimidazoles by the reaction of *N*-arylamidines with phenyliodine(III) diacetate under mild conditions via an intramolecular oxidative imidation process. The C–H activation reaction is proposed to proceed by the formation of free radical intermediates which was partially supported by a free radical inhibition experiment.

Comment: Compounds containing the benzimidazole moiety are reported to possess a number of interesting biological activities (K. Vijaykumar, A. J. Ahemed *J. Chem. Pharm. Res.* **2010**, 2, 215). Several syntheses of similar 2-substituted benzimidazoles have been reported involving an intramolecular Cu-catalyzed N-arylation (C. Chen et al. *J. Org. Chem.* **2011**, *76*, 716). In comparison, the present synthesis occurs under metal-free, mild conditions. However, the reaction suffers from poor regioselectivity for *meta*-substituted substrates, leading to mixture of isomers.

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Category
Synthesis of Heterocycles

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arylbenzamidines
phenyliodine(III) diacetate
benzimidazoles
C–H imidation

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