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Title:

New evaluation of electrophilic heteroaromatic substitution: synthesis of heteroaromatic-fused pyrimidine derivatives via the sequential three-component heterocyclization

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A new sequential three-component heterocyclization was developed by reacting aromatic and heterocyclic substrates, including aminobenzenes, 1-aminonaphthalene, 2-aminopyrazines, 5-aminopyrazoles, 3-aminopyridine, 5-aminopyrimidine, 5-aminoquinoline, and 8-aminoquinoline, with formamide in presence of PBr_3. The reaction gave the corresponding pyrazolo[3,4-*d*]pyrimidines in good yields (59–96%) except for aminobenzenes and 3-aminopyridine. A plausible reaction mechanism involed amidination, electrophilic substitution imination, and oxidative cyclization in three sequence steps was proposed to account for the heterocyclization. The reactivity of the reaction was found proportional to the electrophilicity of the aromatic or heterocyclic substrate.