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Title: Pd(II)-Catalyzed, Bidentate Directing Group-aided Alkylation of sp³ γ-C-H Bonds: Access to 3-Alkylated Thiophene/Furan and Benzothiophene/Benzofuran Motifs

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Keywords: C-H activation
Bidentate directing group
Furan/thiophene
Palladium
Synthetic methods

Issue Date: 2020

Publisher: Wiley-VCH Verlag

Citation: Asian Journal of Organic Chemistry, 9(8), pp.1225-1233.

Abstract: We report the Pd(II)-catalyzed bidentate directing group 8-aminoquinoline-aided sp³ γ-C-H alkylation of 3-methyl- thiophene/furan-2-carboxylic acid and 3-methyl-benzothiophene/benzofuran-2-carboxylic acid systems. While the 8-aminoquinoline-aided sp³ γ-C-H functionalization including arylation or amidation or amination of carboxylic acid derivatives are well known, however, the Pd(II)-catalyzed bidentate directing group 8-aminoquinoline-aided sp³ γ-C-H alkylation is not explored. Notably, 2- and/or 3-alkylated thiophene/furan and benzothiophene/benzofuran motifs are found in pharmaceutically active molecules. Accordingly, this work reveals the scope of the 8-aminoquinoline-aided sp³ γ-C-H alkylation method, and its usefulness by enriching the libraries of 3-alkylated thiophene/furan and benzothiophene/benzofuran motifs.

URI: <https://onlinelibrary.wiley.com/doi/abs/10.1002/ajoc.202000284>
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