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| Title: | Palladium(II)-Catalyzed Sp3/Sp2 γ - and δ -C-H Functionalization of Aryl Amines using 5-Methylisoxazole-3-Carboxamide as Directing Group |
| Authors: | Singh, Prabhakar (/jspui/browse?type=author&value=Singh%2C+Prabhakar) Dalal, A. (/jspui/browse?type=author&value=Dalal%2C+A.) Babu, S.A. (/jspui/browse?type=author&value=Babu%2C+S.A.) |
| Keywords: | C-H activation Directing group Isoxazole-3-carboxamides Palladium |
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| Abstract: | A dual objective-based study comprising exploration of 5-methylisoxazole-3-carboxamide (MICA) as a directing group (DG) for the Pd(II)-catalyzed sp3/sp2 γ - and δ -C-H activation/functionalization of aryl amines and assembling of various MICA motifs are reported. The Pd(II)-catalyzed MICA-aided γ -C(sp3)-H arylation/acetoxylation of ortho-toluidines gave various 2-aminodiphenylmethanes and 2-aminobenzyl acetates, respectively. The Pd(II)-catalyzed MICA-aided γ -C(sp2)-H arylation/acetoxylation of benzylamines gave the corresponding arylated/acetoxylated products. Furthermore, the Pd(II)-catalyzed MICA-aided δ -C(sp2)-H amidation/alkenylation of phenethylamines were also explored. Representative control reactions were done to assess the relative effectiveness of MICA for the γ -C(sp3)-H arylation and MICA is a removable DG. Apart from the usage of MICA as a DG for the sp2/sp3 C-H functionalization of aryl amines, indirectly this process has led to the construction of a library of MICA motifs. This is an added advantage to note as the MICA-based motifs are valuable small molecules in medicinal chemistry. |
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