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Program and Abstracts

NON-SYMMETRIC IODONIUM SALTS AS KEY INTERMEDIATES IN FUNCTIONALISATION OF HETEROCYCLIC C-H BONDS

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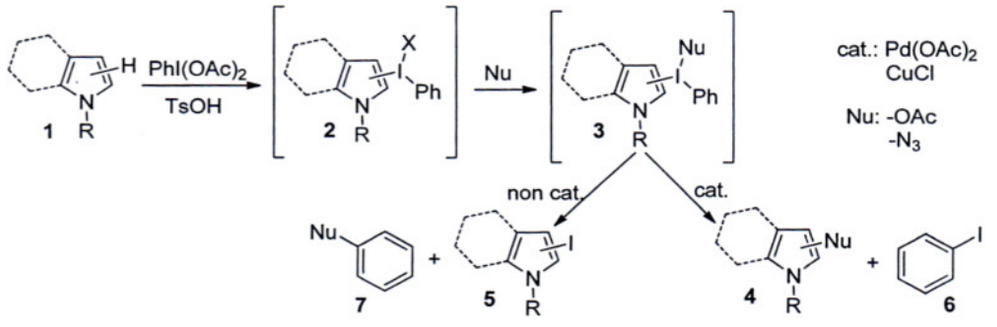
Heterocycles are among the most frequently encountered scaffolds in drugs and pharmaceutically relevant substances. Among the plethora of available methods for their functionalization, the most appealing are those relying on a transition metals-catalyzed direct transformation of the C–H to C–Heteroatom bonds. Therefore, the aim of our work was to find a general, simple and effective method for transformation of C-H to C-O and C-N bonds. Recently, we have shown that a reaction of heterocycles **1** with phenyliodonium diacetate (PIDA) and TsOH affords non-symmetric biaryliodonium salts **2**, which were selectively converted to acetoxylated heterocycles **4** in the presence of Pd catalyst. Notably, iodo-heterocyclic products **5** were obtained in the non-catalyzed fragmentation reaction.[1]



Herein we report our initial results on the transformation of heterocyclic C-H bonds to C-N bonds by copper-catalyzed fragmentation of non-symmetric biaryliodonium azides **2** (X = N_3). CuCl catalyzed selective preparation of heterocyclic azides **4**, witch could be *in situ* converted to 1,2,3-triazoles or reduced to the corresponding heterocyclic amines.[2]

1. Lubriks, D.; Sokolovs, I.; Suna, E. *Org. Lett.* **2011**, *13*, 4324-4327.
2. Lubriks, D.; Sokolovs, I.; Suna E. submitted.