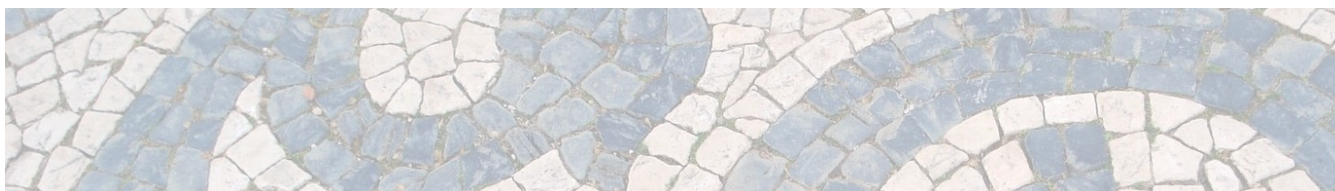


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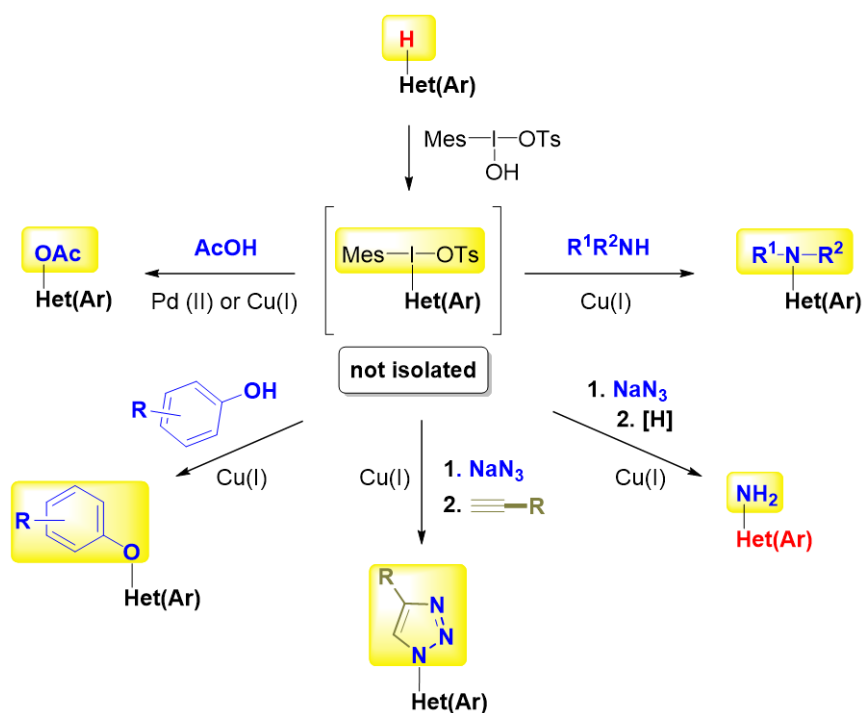
C–H FUNCTIONALIZATION OF CARBOCYCLIC ARENES AND HETEROARENES

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Late-stage modification of biologically relevant compounds is frequently used to streamline the lead-optimization phase in drug discovery. The most suitable approach to the late-stage modification relies on functionalization of C–H bonds.

Our C–H functionalization methodology comprises an *in situ* formation of unsymmetrical (hetero)aryl- λ^3 -iodanes followed by their Pd(II) or Cu(I)-catalyzed reaction with a wide range of nucleophiles such as acetates,^[1] phenolates,^[2] azides,^[2] primary and secondary aliphatic amines and anilines.^[3] The transition metal catalyst ensures the desired selectivity in the reaction between the intermediate unsymmetrical λ^3 -iodanes and nucleophiles.



The methodology is suitable for C–H functionalization of relatively electron-rich heterocycles such as pyrroles, indoles, pyrazoles, thiophenes, pyrrolopyridines, pyrrolopyrimidines and uracils. The reactivity pattern of the developed C–H functionalization is consistent with that of an electrophilic aromatic substitution (S_EAr) reaction. Carbocyclic arenes undergo selective *para*-C–H functionalization.

References:

- [1] Lubriks, D.; Sokolovs, I.; Suna, E. *Org. Lett.* **2011**, *13*, 4324–4327
 [2] Lubriks, D.; Sokolovs, I.; Suna, E. *J. Am. Chem. Soc.* **2012**, *134*, 15436–15442
 [3] Sokolovs, I.; Lubriks, D.; Suna, E. *J. Am. Chem. Soc.* **2014**, *136*, 6920–6928