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A new synthetic methodology for pharmaceutical chemistry

One of the highlight topics of current organic chemistry is direct C-H functionalization of aromatic and hetero-aromatic compounds, avoiding incorporation of halogen or other functionalities and thus corresponding to the principles of green chemistry. During the last decade these direct ecologically benign methods for the synthesis of heterocyclic compounds (the so-called S_N^H reactions) have become an area of continuing interest for organic and pharmaceutical chemists. The SNH reactions are based on a direct nucleophilic attack at unsubstituted carbon of an aromatic ring, leading to σ H-adducts, followed by their oxidation ("Addition-Oxidation" pathway) or departure of an auxiliary group ("Addition-Elimination" Protocol). The metal-free S_N^H reactions provide a good complimentary basis for transition-metal-catalyzed cross-coupling reactions. One of advantages of the S_N^H methodology is that it requires neither a preliminary functionalization nor use of transition metals (usually Pd), as catalysts. The latter is very important for the synthesis of drugs, in which even traces of transition metals are not permitted. This is why the direct metal-free C-H functionalization of aromatics is considered to be so aspirational for both academic and industrial chemists. Recent advances in the field of direct functionalization of the C-H bond have shown that it becomes a very promising methodology and a good synthetic tool to modify a variety of aromatic and hetero-aromatic compounds including those of pharmaceutical interest.

Biography

Valery Charushin has completed his PhD from Ural Technical University and Postdoctoral studies from Department of Organic Chemistry of the University of Wageningen. Currently he is the Director of Postovsky Institute of Organic Synthesis, the Ural Branch of the Russian Academy of Sciences. He has published more than 200 papers in international journals and has been serving as an Editorial Board Member of The Russian Chemical Reviews.

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