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NEW C-H/X ACTIVATION STRATEGIES AND APPLICATIONS IN DRUG DISCOVERY

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Abstract. Organic synthesis occupies the central stage of drug discovery.[1] However, the implementations of organic synthetic methodologies/processes are being critically assessed in the context of environmental pollution. This has induced a paradigm change in the practice and development of chemical research. In pharmaceutical research, adoption of sustainable chemical processes is a mandatory requirement to minimise the adverse effect on the environment[2] urging for integrating/incorporating the modern synthetic methodologies in discovery medicinal research and enrichment of the medicinal chemists' tool box[3] in compliance with the green chemistry principles.[4] However, it seems apparent that, there is inadequate representation of the modern synthetic methodologies in medicinal chemistry practices,[5] though the success of drug discovery is critically dependent on the expertise on synthetic organic chemistry.[6] The lack of incorporation of the modern synthetic methodologies in discovery medicinal chemistry limits the exploration within a narrow chemical space resulting in the recurrence of certain structural scaffold that might squeeze the IP (Intellectual Property) space. These highlight the necessity and advantages of integration of the modern synthetic methodologies for sustainable medicinal chemistry research.

The present talk would involve the design of novel anti-inflammatory scaffolds to generate leads for COPD/asthma and rheumatoid arthritis targeting the PDE IV and COX-2[8] enzymes, respectively. The synthesis of the target compounds and optimization of the lead structure will be demonstrated through CX (X= Br/O) bond activation by hetero-bimetallic nano clusters[9] throughco-operative catalysis and late stage functionalization of C-H bond.[10]

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