Flow-enhanced medicinal chemistry: Opportunities for accelerating drug discovery and development

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In the target-rich post-genomics era, the competitive arena of the pharmaceutical industry requires constant innovation and accelerated timelines to foster drug discovery pipelines.[1] Despite decades of ground-breaking research, compound synthesis is still considered the rate-limiting factor in the pace of drug discovery.

In medicinal chemistry, the preparation of pure compound collections represents the bottleneck of the 'design-make-test-analyse' cycle, as the conventional bench synthesis is a labor-intensive and time-consuming work. Moreover, there exists a persisting need to develop enabling syntheses that can facilitate compound development and address the scalability and process optimization challenges for large scale production.

The goal of this talk is to showcase the efforts made by our group to improve synthetic capabilities through the use of flow chemistry, thus expediting the medicinal chemistry learning cycle.[3] A particular attention will be devoted on case studies that have demonstrated the utility of flow technology to simplify usual bench operations and the synthesis of compound libraries readily available for screenings, as well as to realize sustainable synthetic approaches for lead discovery and development.

[1] J. Boström, D. G. Brown, R. J. Young, G. M. Keserü, *Nat. Rev. Drug Discovery*, **2018**, *17*, 709−727.
[2] D. C. Blakemore, L. Castro, I. Churcher, D. C. Rees, A. W. Thomas, D. M. Wilson, A. Wood *Nat. Chem.*, **2018**, *10*, 383−394.
[3] A. Gioiello, A. Piccinno, A. M. Lozza, B. Cerra *J. Med. Chem.* **2020**, *63*, 6624−6647.