Accessing Tri-substituted γ-Lactam Scaffolds Via Cascade Reactions: What Opportunities For Libraries! - DTU Orbit (13/12/2018)

Accessing Tri-substituted γ-Lactam Scaffolds Via Cascade Reactions: What Opportunities For Libraries!
The European Lead Factory is an EU-based initiative (part of the Innovative Medicines Initiative), which has been set to foster drug discovery in Europe. Among the objectives, a 200,000-compound collection is being generated. Lactams represent a large class of valuable scaffolds for medicinal chemistry and remain a wide and interesting area of study. In this context, 2 libraries based on a 1,4,5 γ-lactam core have been designed and produced using cascade reactions involving an aldehyde moiety, an amine and a nucleophilic partner as the key reaction. One library is focused on a 3-MCR on oxo-esters, while the other is based on a Ritter-type cascade. On several occasions these multi-component and one-pot processes have been used directly as the production step, thus allowing very fast and diverse library syntheses, whereas in other cases, the choice of partners bearing other anchoring groups permitted further functionalization and the production of even more diverse members of the libraries. The > 1,000 compounds based on these scaffolds have been delivered for HTS at the European Screening Center where they are currently being tested.

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Contributors: Bonnet, K., Clausen, M. H., Fleury-Brégeot, N., Lardy, C., Morgentin, R., Nielsen, T. E., Petersen, M. Å., Rasmussen, M. O., Roche, D., Wu, P.
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