U NOVARTIS

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Global Discovery Chemistry

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The Synthesis & Technologies (S&T) group is focused on developing chemistry solutions towards synthetically challenging small molecule scaffolds, building blocks, diverse chemical structures and drug candidates. The ultimate goal is to apply effective and innovative chemical solutions to answer project specific scientific questions, to get to better drug candidates faster, and thus bringing the maximum benefit to patients. We are collaborating with project teams across NIBR, tackling material supply needs from early to late phase programs across the pipeline. One way to address chemistry project challenges is to apply not just synthetic methodologies but also state-of-the-art technologies such as flow or photochemistry to access previously under explored chemical space.

The group has recently established workflows with a high degree of automation to gather data on reactions and perform chemistry in parallel. One aspect of this work is the application of magnetic nanoparticles, either for on-bead microscale syntheses in plates or their application as solid phase reactants and reagents to facilitate chemical reactions, work-ups and separations. Such a technology, allowing the parallelization of synthesis and enabling down-scaling, could broadly impact many efforts in discovery chemistry at NIBR and beyond.

Selected Publications

Microtiter Plate (MTP) Reaction Screening and Optimization of Surfactant Chemistry: Examples of Suzuki-Miyaura and Buchwald-Hartwig Cross-Couplings in Water Brocklehurst CE, Gallou F, Hartwieg JCD, Palmieri M, Rufle D *Org. Proc. Res. Dev. 2018 Oct 2;22:1453-1457.* <u>Convenient preparation of bicyclo[1.1.1]pentane bioisosteres of alkynes and para-substituted benzenes using</u> [1.1.1]propellane Makarov IS, Brocklehurst CE, Karaghiosoff K, Koch G, Knochel P *Angew. Chem. 2017 Aug 8;56:12774-12777.*

In situ preparation and consumption of O-mesityIsulfonyIhydroxylamine (MSH) in continuous flow for the amination of pyridines Brocklehurst CE, Koch G, Rothe-Pöllet S, La Vecchia L Synlett 2017 May 2;28:1636-1640.

Click here for additional publications.

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