

Medicinal Chemistry Space Expansion and Discovery of Small Molecule GPCR Ligands as Potential Therapeutics for Cognitive Impairment.



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Drug discovery proceeds as a multi-stage process, from the identification of a potential therapeutic target through lead generation, lead optimization, preclinical evaluation to clinical testing, before a new medicine is marketed.

The first part of the presentation will focus on innovative approaches to expand the medicinal chemistry space and enable early drug discovery phases. Uniting synthetically accessible chemical space with disease relevant biological space represents a significant challenge. The benefits of chemical biology approaches for an optimal use of the chemical and biological space of target classes in combination with automated parallel synthesis and purification will be discussed.

The second part of the presentation will be dedicated to the development of small molecule cognitive enhancers. Cognitive impairment has been recognized as a core feature of Alzheimer's disease and schizophrenia. The biochemical relevance of a selected G-protein coupled receptor and medicinal chemistry strategies to discover and optimize small molecule cognitive enhancers will be presented.