



Fragment-based Drug Discovery: Lessons and Outlook, Volume 67 (Methods and Principles in Medicinal Chemistry)

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From its origins as a niche technique more than 15 years ago, fragment-based approaches have become a major tool for drug and ligand discovery, often yielding results where other methods have failed. Written by the pioneers in the field, this book provides a comprehensive overview of current methods and applications of fragment-based discovery, as well as an outlook on where the field is headed.

The first part discusses basic considerations of when to use fragment-based methods, how to select targets, and how to build libraries in the chemical fragment space. The second part describes established, novel and emerging methods for fragment screening, including empirical as well as computational approaches. Special cases of fragment-based screening, e. g. for complex target systems and for covalent inhibitors are also discussed. The third part presents several case studies from recent and on-going drug discovery projects for a variety of target classes, from kinases and phosphatases to targeting protein-protein interaction and epigenetic targets.

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Editorial Review

Review

You can read a review of this book at *Practical Fragments*:

practicalfragments.blogspot.com/2016/02/fragment-based-drug-discovery-lessons.html

From the Back Cover

Fragment-based drug discovery is a rapidly evolving area of research, which has recently seen new applications in areas such as epigenetics, GPCRs and the identification of novel allosteric binding pockets. The first fragment-derived drug was recently approved for the treatment of melanoma. It is hoped that this approval is just the beginning of the many drugs yet to be discovered using this fascinating technique.

This book is written from a Chemist's perspective and comprehensively assesses the impact of fragment-based drug discovery on a wide variety of areas of medicinal chemistry. It will prove to be an invaluable resource for medicinal chemists working in academia and industry, as well as anyone interested in novel drug discovery techniques.

About the Author

Daniel A. Erlanson is the co-founder and President of Carmot Therapeutics, Inc., which is developing fragment-based approaches to address unmet needs in drug discovery. Prior to Carmot, Dr. Erlanson worked in medicinal chemistry and technology development at Sunesis Pharmaceuticals, which he joined at the company's inception. Before Sunesis, he was an NIH postdoctoral fellow with Dr. James A. Wells at Genentech. Dr. Erlanson earned his Ph.D. in chemistry from Harvard University in the laboratory of Gregory L. Verdine and his BA in chemistry from Carleton College. He edits a blog devoted to fragment-based drug discovery, Practical Fragments.

Wolfgang Jahnke is a Director and Leading Scientist at the Novartis Institutes for Biomedical Research in Basel, Switzerland. His major interests are Structural Biophysics and Fragment-based Drug Discovery. He has received several honors, among them the Industrial Investigator Award from the Swiss Chemical Society, and several Novartis-internal Awards. Dr. Jahnke received his PhD from the TU M?nchen, working with Horst Kessler on the development and application of novel NMR methods. Prior to joining Novartis, he worked with Peter Wright at the Scripps Research Institute in La Jolla.

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