Tsinghua University

海外学者短期讲学/系列讲座

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医药化学前沿

10月12日-12月14日 每周五

9:50am (如有变更会另行通知))

课程介绍：许多药物中的活性药物成分是一种小分子。除了与人体中的生物靶标有效相互作用外，这些分子还需要满足许多其他标准，特别是在选择性，药物代谢和药代动力学方面。药物化学是识别候选药物，即满足功效和药代动力学标准的化合物的努力的核心。然后可以将这些转移到临床开发中。在疾病理解和药理学的广泛背景下，药物化学家在各种测定中连续合成待测化合物。然后将测定结果用于创建新化合物的想法。该学习周期一直持续到找到候选药物。

本课程大致分为两部分。在第一部分中，在提供关于药理学和药代动力学的基本背景知识之后，重点在于如何鉴定先导结构以及如何优化这些以增加结合亲和力同时解决药代动力学问题。在研究了一个专利实例之后，该部分结束了对非小分子药物的研究。在第二部分中，课程深入探讨了选定治疗领域的概述和具体案例。最后，本课程最后将介绍确定候选药物后的情况，即临床开发和过程化学。

课程安排、时间、地点如下：

Introduction; Target Classes and Binding	Drug Metabolism and Pharmako-kinetics (DMPK)	Finding Leads: Screening and Hit-to-Lead process	Lead optimization: Binding and DMPK	1) Efficient optimi-zation strategies 2) Patent example
Planned on Oct 12 9:50am （Hetian Building 406）	Planned on Oct 19 9:50am （Hetian Building 406）	Planned on Oct 26 9:50am （Hetian Building 406）	Planned on Nov 2 9:50am （Hetian Building 406）	Planned on Nov 9 9:50am （Hetian Building 406）
What is a drug and a medicine? What are Receptors and Enzymes, Agonists and Antagonists, Dose-Response Curves? What kind of targets are there and how do compounds bind to them?	What does the body do to the drug? Distribution in the body, metabolism by liver enzymes, blood protein binding, clearance processes, half-life. How can these parameters be measured?	Finding a good starting point: screening types (High Throughput Scree-ning, Fragment based drug discovery, Virtual Libraries, DNA-encoded libraries), exploration of hits to confirm them as leads	How to improve binding for the target, how to decrease binding to off-targets, how to adress PK issues by changing the structure of the molecule	1) How to use time and resources efficiently to arrive at a drug candidate, what type of chemistry is particularly helpful 2) Typical way a patent is written

Drugs that are not Small Molecules	Oncology: Overview and Case Study	Cardiovascular: Overview and Case Study	Antiinfectives: Overview and Case Study	1) Clinical Studies and 2) Process Chemistry
Planned on Nov 16 9:50am （Chemistry Building 216）	Planned on Nov 23 9:50am （Hetian Building 406）	Planned on Nov 30 9:50am （Hetian Building 406）	Planned on Nov 7 9:50am （Hetian Building 406）	Planned on Dec 14 9:50am （Hetian Building 406）
Proteins, Antibodies, Antibody-Drug Combinations, Radioactive Compounds, Application Routes, Pharmacokinetics, Optimization Strategies	Overview over oncology as therapeutic area, case study of sorafenib	Overview over cardiovascular as therapeutic area, Riociguat as case study	How to adress targets of bacteria / viruses. Resistancies. Overview and case study	What happes after the drug candidate is identified? How does clinical development work? How does process chemistry work?