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天然产物全合成与创新药物研究重庆市重点实验室学术报告

第三百八十九讲

报告题目：Synthesis in a Boron World

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主 持 人：方华权 教授

时　　间：2025 年 9 月 16 日（周二）10：00

地　　点：药学院学术报告厅

报告人简介：

Varinder K. Aggarwal 教授是国际著名的有机硼化学家、 英国皇家科学院院士、欧洲科学院院士、印度科学院外籍院士，英国布里斯托大学化学系 Alfred Capper Pass 讲席教授。Aggarwal 教授于 1980-1983 年在英国剑桥大学获得学士学位，1983-1986 年，在英国剑桥大学著名教授 Stuart Warren 指导下获博士学位。1986－1988 年在美国哥伦比亚大学著名教授 Gilbert Stork 教授课题组从事博士后研究。1988-1991 年在英国巴斯大学担任讲师，随后 1991 年-2000 年在英国谢菲尔德大学历任讲师、副教授、教授。2000 年至今在英国布里斯托大学化学系担任教授,2012 年当选英国皇家科学院院士,2019 年入选布里斯托大学 Alfred Capper Pass 讲席教授，2020 年当选欧洲科学院院士，2024 年当选印度科学院外籍院士。迄今为止，Aggarwal 教授已发表研究论文 400 多篇，其中有超过三

分之一发表在 Science，Nature，JACS，ACIE 等国际著名期刊上。近年来，Aggarwal 教授以其在有机硼化学领域的杰出贡献和流水线合成策略的开拓应用而享誉全球，获得了英国 RSC Perkin Award、德国 Humboldt Research Award、美国化学会 Arthur C. Cope Scholar Award、日本 Yamada-Koga Prize 等诸多国际大奖。

报告摘要：

Nature has evolved highly sophisticated machinery for organic synthesis, many of which resemble molecular assembly-line processes. So far chemists have been able to apply this type of approach in the synthesis of peptides, oligonucleotides and polysaccharides but it is much more difficult to apply iterative methodologies to organic synthesis. Here, we describe the application of iterative homologation of boronic esters using chiral lithiated carbamates and chloromethyllithium enabling us to grow carbon chains with control over both relative and absolute stereochemistry. Application of this strategy to the synthesis of the proposed structure of baulamycin and the real structure will be presented as well as other complex targets. Cross coupling, particularly Suzuki cross coupling, is one of the most important reactions in medicinal chemistry. Most couplings involve sp2-sp2 bond formation but this invariably leads to flat molecules. With increasing interest in accessing three dimensional space (escape from flatland), there is increasing interest in broadening this coupling reaction to include sp2-sp3 and even sp3-sp3 coupling. In this lecture I will show how new variations of the Zweifel olefination reaction enable sp2-sp3 couplings (alkenes with alkyl boronic esters) and an extension of this reaction which enable aromatics to be coupled with hindered secondary and even tertiary boronic esters. I will also discuss how this chemistry can be applied to the stereocontrolled synthesis of tetrasubstituted alkenes and how we can even extend this work to stereocontrolled sp3-sp3 couplings, where stereochemistry can essentially be dialled in.