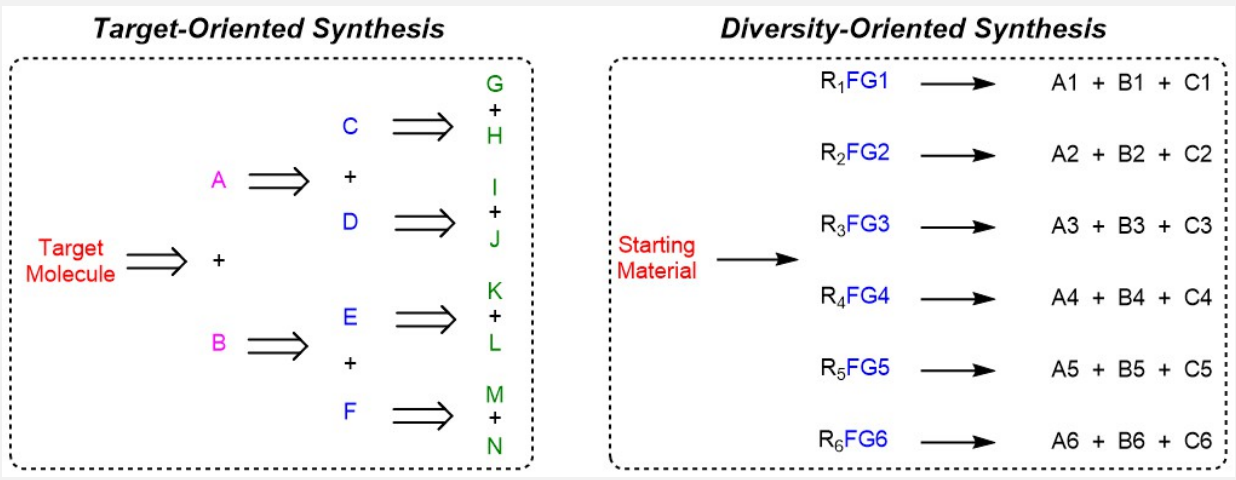
研究方向

多样性导向合成 (Diversity-Oriented Synthesis ,DOS)

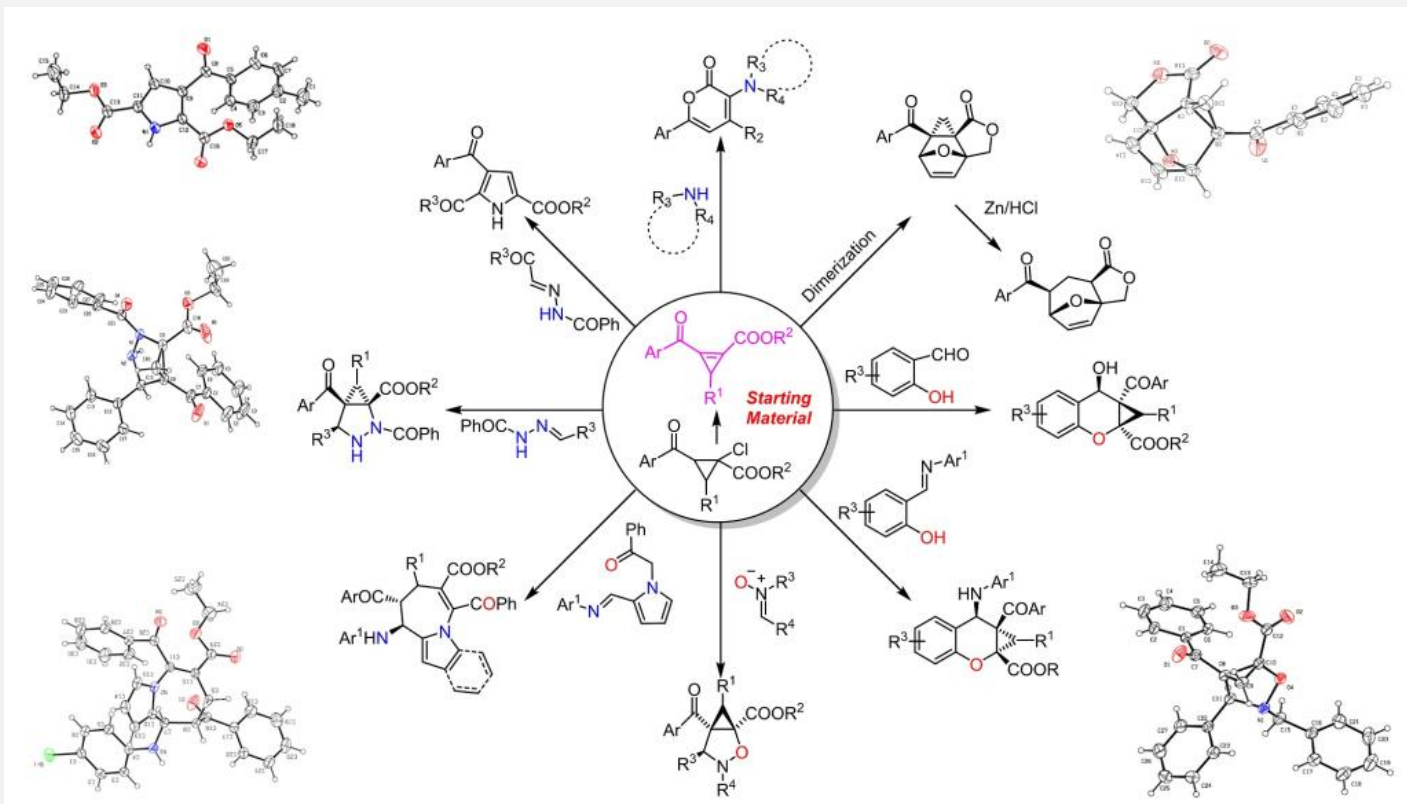
多样性导向合成的概念和应用：

相对于基于逆合成分析的“目标导向合成”(TOS)，“多样性导向合成”(DOS)概念由哈佛大学Schreiber教授于2000年提出,它以一种“高通量”(high-throughput)的方式产生“类天然产物”(natural product-like) 的化合物。从单一的起始原料出发，以简便易行的方法合成结构多样、构造复杂的化合物集合体，再对它们进行生物学筛选。是一种正向合成分析法。在合成过程中尽量引入多样化的官能团，构建不同的分子骨架，并希望最终建立的小分子化合物库涵盖尽可能多的化学多样性(包括密集的手性官能团、丰富的立体化学、及多样性的化合物骨架。



基于氯代环丙基酮酸酯的"多样性导向合成"研究：

本课题组以吸电子取代的氯代环丙烷为起始原料，在碱性条件下产生活性极高，反应性多样的环丙稀中间体。如下图所示，该中间体能与含有各种官能团的试剂发生反应，我们以次建立了一系列高效制备了结各异的环状及杂环化合物的方法。除此之外，我们近期的研究结果表明，该前体还能在Lewis酸作用下发生取代及开环反应，进一步丰富了此合成策略的多样性。接下来，我们将进一步探讨此类合成前体在自由基转化方面的潜力。



相关论文

1. Triflic Acid-Catalyzed Cycloisomerization Reactions of Donor–Acceptor Cyclopropanes: Access to Alkyl 5-Arylfuran-2-carboxylates.

Zhu, Yuequan; Xu, Panpan; Yuefa Gong* J. Org. Chem. 2016, 81, 4829.

2. Highly regioselective tandem formal substitution and decarboxylation of 2-acyl-1-chlorocyclopropanecarboxylates with sodium sulfinates.

Yuequan Zhu, Yuefa Gong* Tetrahedron, 2016, 72, 3436.

3. A straightforward sequence to alkyl 1H-pyrrole-2,5-dicarboxylates starting from acylhydrazono esters and alkyl 2-aroyl-1-chlorocyclopropanecarboxylates.

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Hu, Junhao; Liu, Yang; Gong, Yuefa* Adv. Synth. & Catal. 2015, 357, 2781. Cover paper

6. Cycloaddition Reactions of Alkyl Cyclopropenecarboxylates Generated in situ with Nitrones: Construction of Substituted Pyrroles and 1,2-Oxazinanes.

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7. Construction of 2-Pyrone Skeleton via DominoSequence between2-Acyl-1-Chlorocyclo-propanecarboxylateandAmines.

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地址：湖北省武汉市洪山区珞喻路1037号 邮政编码：430074