

# Synthesis of Drugs and Bioactive Compounds Starting from Agriculture Left-Overs

Pierre Vogel\*

Department of Engineering, EPFL, Lausanne, Switzerland

## Introduction

Acidic treatment of straw gives furfural and 5-hydroxymethylfurfural. Their decarbonylation provides CO + furan and furan-2-methanol, respectively. Furan can be oxidized into maleic anhydride. CO, H<sub>2</sub> and MeOH are obtained from the pyrolysis of biomass. Starting with furan, maleic anhydride, CO and MeOH, 2,3,5,6-tetramethylene-7-oxabicyclo[2.2.1]heptane is prepared in 4 steps (64 % overall yield) and can be reacted in two successive cycloadditions with two different dienophiles to generate large libraries of polycyclic compounds, including aglycones of anthracycline antibiotics (combinatorial synthesis). Enantiomerically enriched 7-oxabicyclo[2.2.1]hept-5-en-2-one and its precursors derived from furan are called "naked sugars" and revealed to be highly powerful chirophores for the synthesis of natural products and bioactive compounds, including rare carbohydrates and sugar mimetics.

Condensation of furan with furan-2-methanol generates di-methane, a starting material for the stereodivergent synthesis of polyketide antibiotics.

Pyrolysis of paper gives isolevoglucosenone; glucose can be converted into levoglucosenone. These two bicyclic enones allowed one to construct complicated bioactive compounds such as C-linked disaccharides, mimics of disaccharide epitopes of cancer cells that render them recognizable by our immune system. This opens a new route toward anti-cancer vaccines.

**Conclusion & Significance.** Furans and other compounds derived from the biomass are useful starting materials for the synthesis of highly added-value compounds such as drugs.

The Synthesis of Drugs and Bioactive Compounds group, created in 2004, is dedicated to the development of new synthetic methodologies and their application to obtain compounds of pharmacological and agricultural interest (One Health).

These compounds include nucleoside analogues, peptides and peptidomimetics (eg antimicrobial peptides and quorum inhibitors), fluorescent drug and medical probe derivatives, nanodrugs and crop protection agents.

The discovery of biologically active molecules to improve human health is among the most important challenges in organic chemistry. Small molecules, which have made up the bulk of therapeutic modalities over the last century, have been supplemented with biologics, including antibodies and nucleic acid derivatives, which show great promise in the clinic. However, small molecules are and will continue to remain excellent tools for the study of human health and disease, as well as potential therapeutics.

In the search for biologically active small molecules, a limiting factor has been the availability of synthetic methods of accessing the necessary complexity required, e.g., in the context of natural products (NPs). However, as a result of advances in synthetic techniques, this challenge has largely been solved. With a broad and well-developed chemical methods toolkit, the focus has increasingly shifted to developing strategies for designing and identifying bioactive compound collections. Key questions in this area are which compounds should be synthesized and what the most efficient ways to make them and assess their bioactivities are.

A good multi-purpose compound library will contain molecules that elicit diverse phenotypes by modulating different targets, i.e., they display a great degree of diversity in bioactivity. Assessing biological diversity a priori is a challenging task. By retrospectively analyzing the performance in high-throughput screening (HTS), researchers at Novartis developed a metric termed HTS fingerprint (HTS-FP), which assessed a compound's activity in over 190 HTS campaigns over a period of 10 years.<sup>1</sup> It was found that a compound set with a high degree of diversity in HTS-FP was predictive of further biological diversity in subsequent screens. In addition, compounds with a high degree of similarity in their HTS-FP were also significantly more likely to act via a similar mode of action.<sup>2</sup> This is particularly crucial for NPs, which are often The Bigger Picture The research summarized in this review has implications for two of the UN's sustainable development goals (SDGs). The development of new and improved strategies for obtaining bioactive small molecules will have a significant impact on good health and well-being (UN SDG 3). Because the development of a hit compound to a drug typically falls in the remit of a pharmaceutical company, the research also contributes to industry, innovation, and infrastructure (UN SDG 9).

In this review, we focus on the design, synthesis, and evaluation of biologically active compound libraries. A variety of strategies for accessing biologically relevant and diverse areas of chemical and biological space are described. Phenotypic screening strategies for maximizing the likelihood of identifying a compound's bioactivity and cell-based techniques for rapid assessment of biological diversity are covered. Finally, state-of-the-art techniques for addressing the inevitable target-identification challenges that are associated with the phenotypic approach are discussed.

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\*Address for Correspondence: Pierre V, Department of Engineering, EPFL, Lausanne, Switzerland, Email: pierrevoegel59@gmail.com

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