Ozonolysis of Alkynes – A Flexible Route to α-Diketones: Synthesis of AI-2

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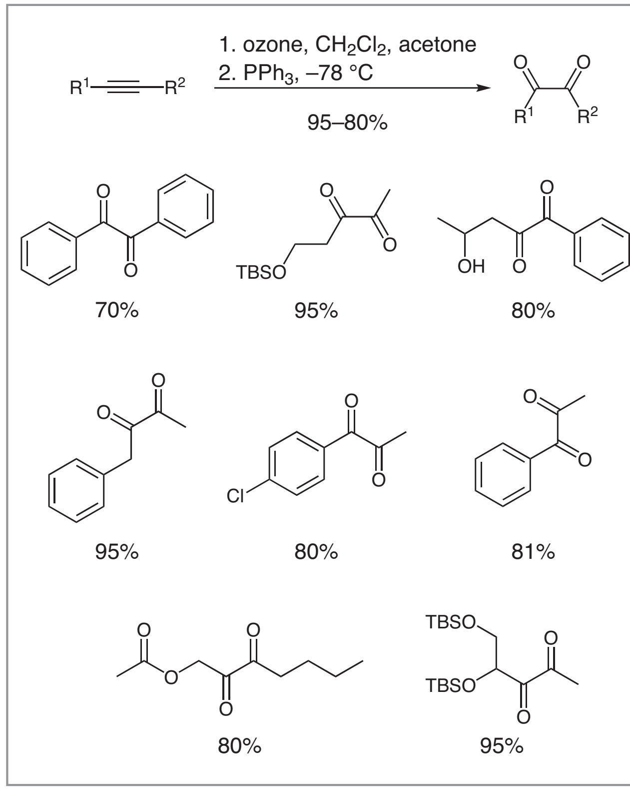
α-Diketones are useful substrates in the preparation of heterocyclic compounds and have a number of other applications in organic synthesis. Alkynes can be oxidized to α-diketones using a range of different experimental conditions, but all these methods invariably make use of metals such as ruthenium, permanganate or mercuric salts. A more flexible, environmentally friendly and economic conversion of alkynes into α-diketones would represent a breakthrough in the preparation of these compounds.

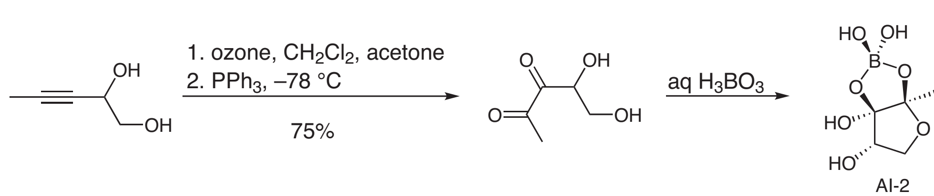
Professors Larry Halverson and George Kraus from Iowa State University (Ames, USA) are part of an interdisciplinary team supported by the US Department of Energy for developing an instrument to detect and quantify microbial metabolites and plant proteins secreted into the soil surrounding plant roots. Recently, their group have identified ozonolysis of alkynes as a highly convenient and versatile entry to structurally diverse α-diketones. Professor Kraus commented: "The new synthetic route enables studies to assess the role of an inter-species quorum-sensing signal molecule furanosyl borate diester (AI-2, Scheme 1) in facilitating cell-cell communication within the rhizosphere microbiome."

"The conventional approaches to α-diketones employ expensive and sometimes toxic metals; however, the new method uses no metals and proceeds readily at sub-ambient temperatures," remarked Professor Kraus, who added: "A range of alkynes (Scheme 2) can be converted into α-diketones and esters, aromatics and alcohols are all compatible with the mild conditions. Even unstable diketones such as 4,5-dihydroxypentane-2,3-dione, the direct precursor to AI-2, can be produced."



Scheme 2 Products from ozonolysis of alkynes

Interestingly, synthetic AI-2 was confirmed to be biologically active, effectively inducing bioluminescence upon treatment of a *Vibrio campbellii* BB170 reporter strain known to



Scheme 1 Conversion of alkyne diol into AI-2

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produce bioluminescence in response to exogenously supplied AI-2 (Figure 1).

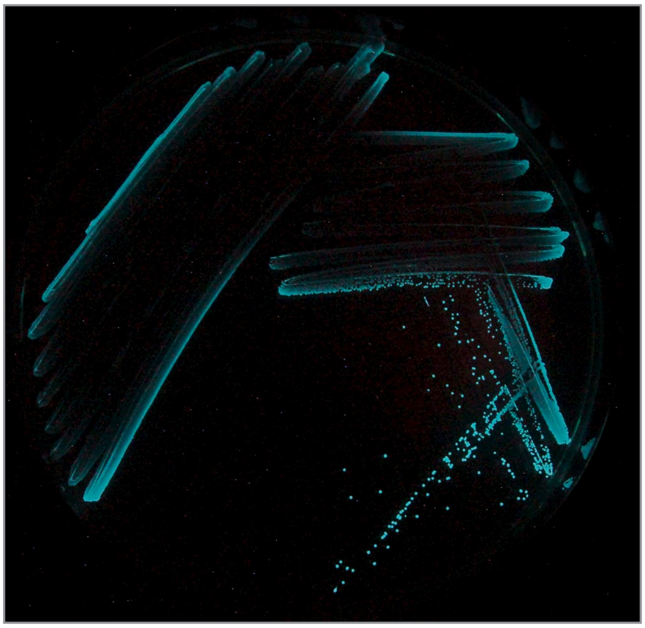

Figure 1 *Vibrio cambellii* BB170 grown on solid media supplemented with AI-2

"This work provides a general entry to functionalized α-diketones and a direct route to furanosyl borate diester (AI-2), a signal molecule for inter-species quorum sensing," concluded Professor Kraus.

About the authors

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Prof. George Kraus received a B.S. degree in chemistry from the University of Rochester (USA) in 1972, and earned a PhD in chemistry from Columbia University (USA) in 1976. Immediately thereafter, he began his independent research career at Iowa State University (USA), where he is now tenured and was recently appointed as a University Professor of Chemistry. His group has had a longstanding interest in new synthetic reactions and their application to the synthesis of biologically active natural products, green chemistry and bio-based products. He is the author of over 350 peer-reviewed scientific articles and the recipient of numerous awards including the Alfred P. Sloan Foundation fellow, DuPont Young Faculty Award, 3M Young Faculty Award, and Frasch Award. He is a Fellow of the AAAS.

Prof. L. Halverson

Prof. Larry Halverson received a B.A. degree in biology and chemistry from Luther College (USA) in 1981 and earned an MS degree in microbiology at the University of Tennessee-Knoxville (USA) in 1983 and a PhD in the Institute for Environmental Studies at the University of Wisconsin-Madison (USA) in 1981. He conducted post-doctoral research at the University of California-Berkeley (USA) in soil microbial ecology. He began his independent research career at Iowa State University (USA) in 1995, where he is now tenured in the Department of Plant Pathology and Microbiology. His group has had a longstanding interest in the interface between microbial ecology, microbial physiology, and synthetic biology. His research has focused on developing biosensors to interrogate microbial habitats and physiological conditions, elucidating mechanisms contributing to biofilm formation, enhancing lipid accumulation in algae for biofuels production, and characterizing soil, rhizosphere, and aquatic microbiomes. He is the author of over 40 peer-reviewed scientific articles.

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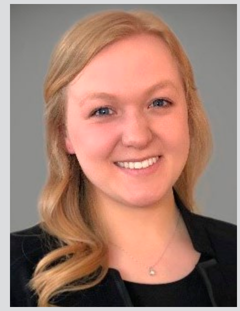

M. R. Stroud

Marissa Roghair Stroud studied at Iowa State University (USA) and obtained a B.S. degree in genetics and microbiology with honors in 2019. She is currently pursuing her Ph.D. at Iowa State University in the Interdepartmental Microbiology program, joining Prof. Halverson's lab in 2020. Her current research interests are to understand how microbe–microbe interactions and microbial communication facilitate the ecology and fitness of bacteria during microbiome assembly in the maize rhizosphere.

