SYNFORM

People, Trends and Views in Synthetic Organic Chemistry

2015/01

SYNSTORIES

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Thieme

Dear Readers,

This Editorial marks a landmark change for ***SYNFORM***: from now onwards all the articles will be individually published in advance on the ***SYNFORM*** website (https://www.thieme.de/en/thieme-chemistry/journals-synform-54850.htm) as soon as they become available, thus ensuring a much quicker online publication of ***SYNSTORIES*** covering current literature, Young Career Focus, and all the other ***SYNFORM*** articles. Publication of the monthly issues in pdf format, such as this one, will continue but ***SYNFORM*** becomes more dynamic and more in line with the way we are used to reading news and articles on the web nowadays. This is a very exciting change, which is going to be followed soon by the publication of short News articles covering the most exciting "hot-off-the-press" papers published in **SYNLETT** and **SYNTHESIS**, which will appear on the Thieme Chemistry website http://www.thieme-chemistry.com thus increasing even further the impact of your articles published in our journals.

But let's have a look at the first four ***SYNFORM*** articles of the year. The kick-off ***SYNSTORY*** comes from J. Ready (USA) and zooms in on a new method for transforming aryl iodides into aryl ketenes and then into a range of useful products. The second ***SYNSTORY*** of the year features a general and efficient method for producing 1,4-disubstituted 1,2,3-triazoles, developed by D. Ramachary (India). The third place (simply on the ground of page order, of course) is for the [3+2] annulation of aminocyclopropanes leading to biologically important carbonucleoside analogues developed by J. Waser (Switzerland). The issue is completed by B. Esser who is the protagonist of the first Young Career Focus of the year.

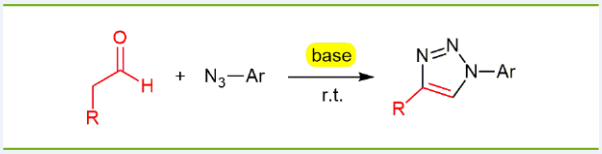
Enjoy your reading!

Matteo Zanda

Editor of ***SYNFORM***

IN THIS ISSUE

SYNSTORIES



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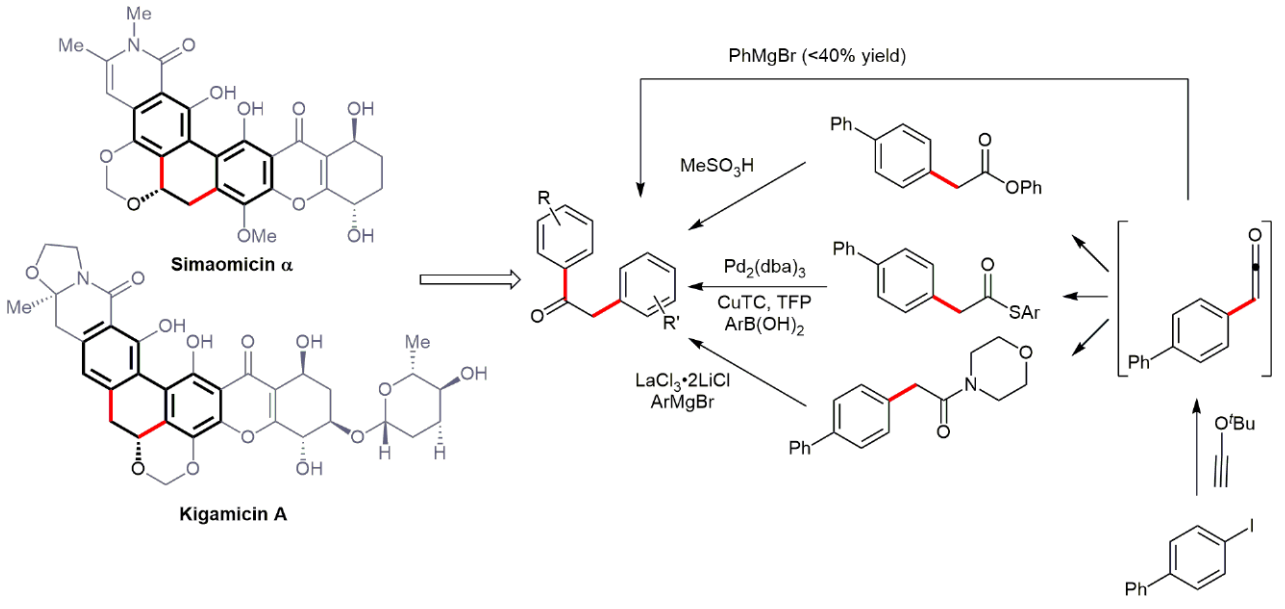
The Ketene-Surrogate Coupling: Catalytic Conversion of Aryl Iodides into Aryl Ketenes through Ynol Ethers

Angew. Chem. Int. Ed. **2014**, *53*, 8980–8984

The $ArCH_2(CO)$–fragment is present in a number of natural and bioactive molecules such as benzyl ketones and arylacetic acid esters or amides, and therefore represents an important target in organic synthesis. The ketene-surrogate coupling reaction that was developed by Professor Joseph Ready and Wenhan Zhang at the University of Texas Southwestern Medical Center (Dallas, USA) is a very useful method for the synthesis of these structural motifs. Professor Ready said: "The original driving force for developing the ketene-surrogate coupling reaction emerged from an interest in aryl benzyl ketones." This substructure appears in several biologically active xanthone-type molecules, such as simaomicin α and kigamicin. A convergent approach to aryl benzyl ketones would involve two aryl fragments and a two-carbon conjunctive reagent. Professor Ready said: "For the two-carbon fragment, we selected ketene with the idea that coupling to an aryl group would yield an aryl ketene. If the aryl ketene could be trapped with an aryl carbanion, it would form the second carbon–carbon bond while keeping the carbon in the ketone oxidation state." He continued: "We found that *tert*-butoxyacetylene could couple with an aryl iodide to generate an aryl-substituted ynol ether, which underwent a [1,5]-hydride shift to yield the aryl ketene." However, the direct Grignard addition to aryl ketenes proved challenging, generating a large amount of polymerized material. Accordingly, several alternative stepwise strategies were developed by the Dallas-based researchers to produce the desired ketones, including Fries rearrangement, the addition of Grignard to morpholine amides, and the Liebeskind coupling reaction.

According to Professor Ready, in addition to providing aryl benzyl ketones, this ketene-surrogate coupling shortens the synthesis of arylacetic acid derivatives. Specifically, various aryl iodides couple with *tert*-butoxyacetylene and yield the aryl ketenes. "In the course of evaluating the scope of the coupling reaction, the aryl ketenes were trapped with morpholine," explained Professor Ready. "Thus, electron-rich or electron-deficient aryls, six- or five-membered heteroaryls, and substituted aryls all gave the morpholine amides in



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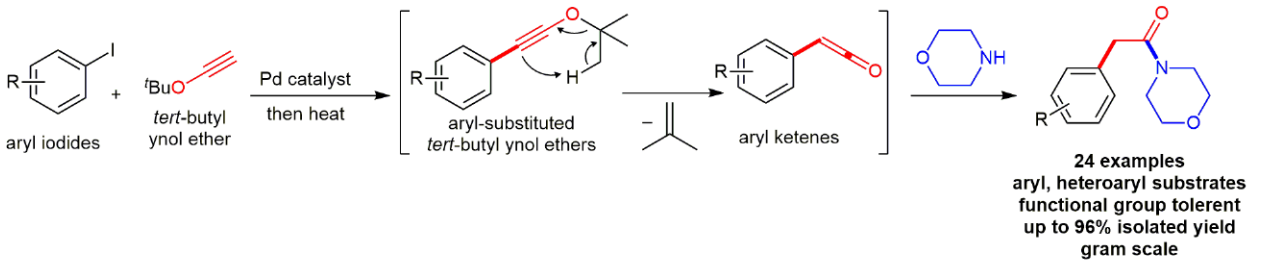
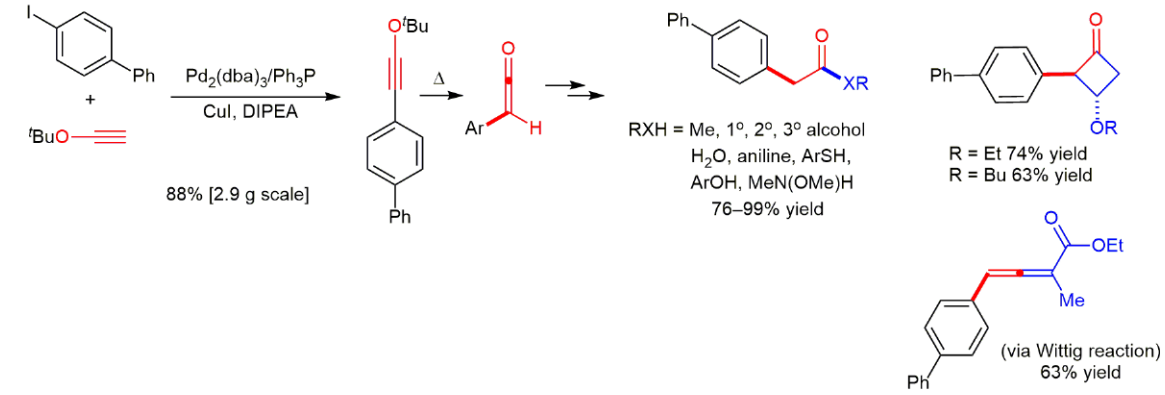
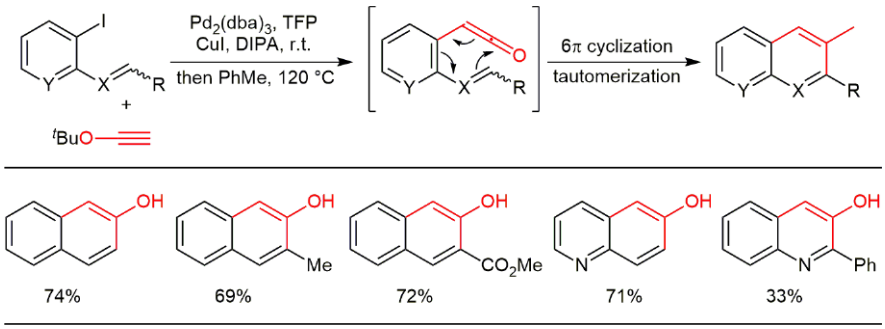




63–99% yield. Moreover, different nucleophiles could be used to trap the ketene intermediates." Heteroatom-based nucleophiles, such as oxygen, nitrogen, and sulfur, can trap the aryl ketene intermediates yielding acids, esters, thioesters, and amides. Alternatively, enol ethers undergo [2+2] cycloadditions with the intermediate ketenes while Wittig reagents form allenes. The variability of both aryl iodides and nucleophiles provides the potential to build diverse libraries for drug discovery.

Professor Ready explained: "2-Vinyl iodobenzenes can form *ortho*-vinyl aryl ketenes under our protocol, and these intermediates undergo a 6π-electrocyclic ring closure to produce naphthols, quinolinols, and isoquinolinols. Now, we are focusing on the annulation of those substrates containing heteroatoms, which will allow us to rapidly install the cores of some drug-like molecules. The cyclization of imines (X = N) to quinolines presently proceeds in moderate yield, but remains surprising nonetheless. The polarities of the imine and ketene appear mismatched for electrocyclization, as the reaction joins two electrophilic carbon atoms. Current efforts aim to optimize these transformations so they occur in preparatively useful yields."



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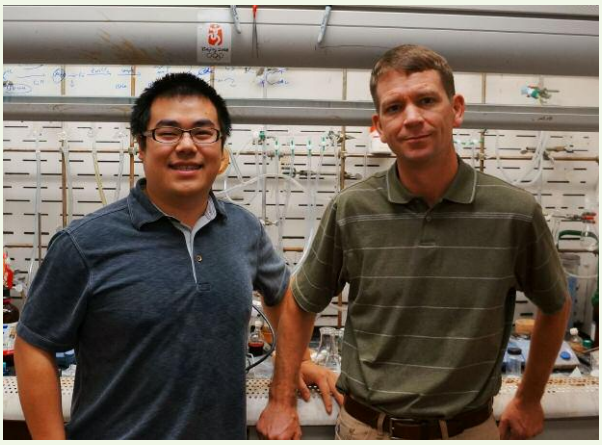
Professor Ready concluded: "As we consider the ketenes and ynol ethers available through this coupling, we are now interested in investigating their reactivity in a variety of contexts. For example, ynols can participate in cycloaddition reactions, but have not been explored as completely as olefin reagents. Likewise, we continue to search for protocols to effect the direct addition of carbon-based nucleophiles to ketenes. Finally, the couplings described here fail when attempted on the corresponding bromides or hindered aryl iodides. We are eager to expand the scope of the reaction to accommodate these important substrate classes."

Matteo Zanda

About the authors



From left to right: W. Zhang, Prof. J. M. Ready

Joseph Ready obtained his undergraduate degree in chemistry from the University of North Carolina (USA). He received a PhD in chemistry at Harvard University (USA) in 2001 and then completed postdoctoral training at Yale University (USA). He joined the faculty at the University of Texas Southwestern Medical Center (USA) in 2003 where his research group is interested in synthetic and medicinal chemistry.

Wenhan Zhang received his B.Sc. degree from Sichuan University (P. R. of China) in 2011. His undergraduate research focused on the total synthesis of hirsutellones and the total synthesis of heliespirones A and C under the supervision of Professor Bo Liu. In 2012, he joined the group of Professor Joseph M. Ready at the University of Texas Southwestern Medical Center at Dallas as a graduate student. He is now working on developing new synthetic methodologies of aryl ynol ethers and their application in synthesis.

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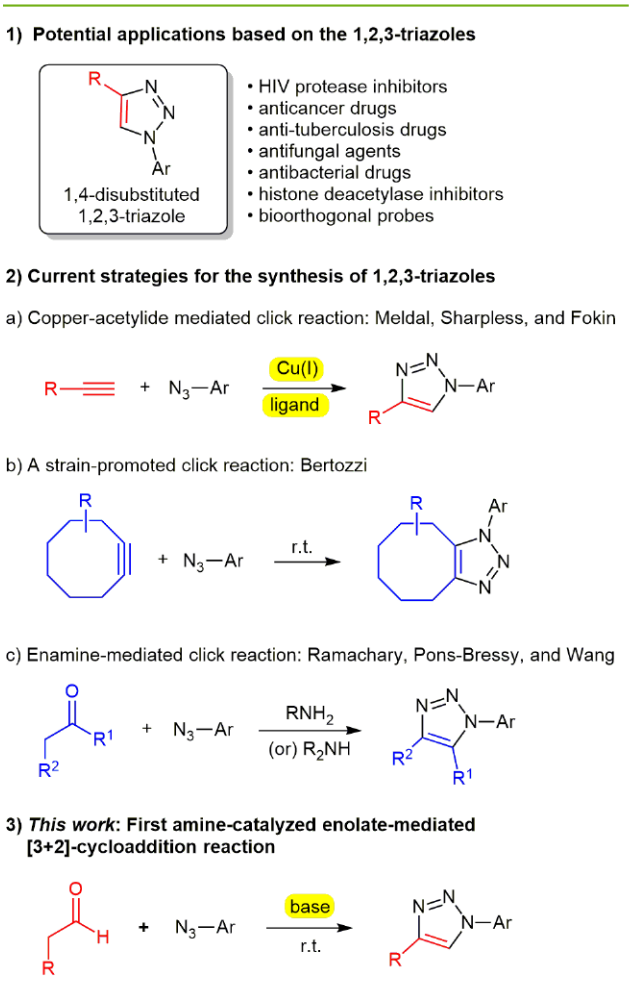
An Enolate-Mediated Organocatalytic Azide–Aldehyde [3+2]-Cycloaddition Reaction: General Method for the High-Yielding Regioselective Synthesis of 1,4-Disubstituted 1,2,3-Triazoles

Angew. Chem. Int. Ed. **2014**, *53*, 10420–10424

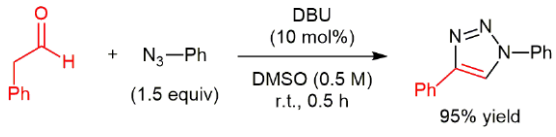
Functionalized 1,2,3-triazoles have an important role in a large number of medicinally and materially useful compounds (Scheme 1, eq. 1). Furthermore, the substituted 1,2,3-triazole function is at the core of the most used bioconjugation technology based on the so-called 'click chemistry', so it is incorporated in a number of bioactive conjugates. Straightforward access to this important class of compounds has been feasible since the inception of versatile copper-catalyzed azide–alkyne [3+2]-cycloaddition (CuAAC), ruthenium-catalyzed azide–alkyne [3+2]-cycloaddition (RuAAC) and iridium-catalyzed azide–alkyne [3+2]-cycloaddition (IrAAC) reactions, and thanks to the pioneering contributions of Meldel, Sharpless, Fokin and other research groups (*Chem. Rev.* **2013**, *113*, 4905). In the meantime, other strategies such as Bertozzi's strain-promoted [3+2]-cycloaddition reactions and the Ramachary–Bressy–Wang enamine-mediated organocatalytic [3+2] cycloaddition of carbonyls (enones, β-keto esters, ketones and enals) with azides have also contributed significantly to the development of this area (Scheme 1, eq. 2) (for references, see the original paper).

Existing strategies often make use of either costly alkynes or less reactive carbonyl compounds, other than the simple aldehydes, as the starting materials along with aryl azides. Also, the alkynes used in CuAAC, RuAAC or IrAAC click reactions are costlier compared to the corresponding aldehydes. For example, the price of phenylacetylene is $76 for 100 mL whereas phenylacetaldehyde costs only $33 for the same amount. These limitations inspired Professor Dhevalapally Ramachary at the University of Hyderabad (India) to develop a novel green protocol for the high-yielding regioselective synthesis of 1,4-disubstituted 1,2,3-triazoles based upon enolate-mediated organocatalytic azide–aldehyde [3+2]-cycloaddition (OrgAAC) reaction from commercially available enolizable aldehydes, aryl azides and catalytic amounts of DBU (Scheme 1, eq. 3).

In continuation of their earlier studies of the organocatalytic synthesis of highly functionalized N*H*-1,2,3-triazoles (*Chem. Eur. J.* **2008**, *14*, 9143) and functionalized benzotriazoles (*Chem. Eur. J.* **2013**, *19*, 13175) via push–pull



Scheme 1 *General design for the [3+2]-cycloaddition reaction*



Scheme 2 *First DBU-catalyzed enolate-mediated click reaction*

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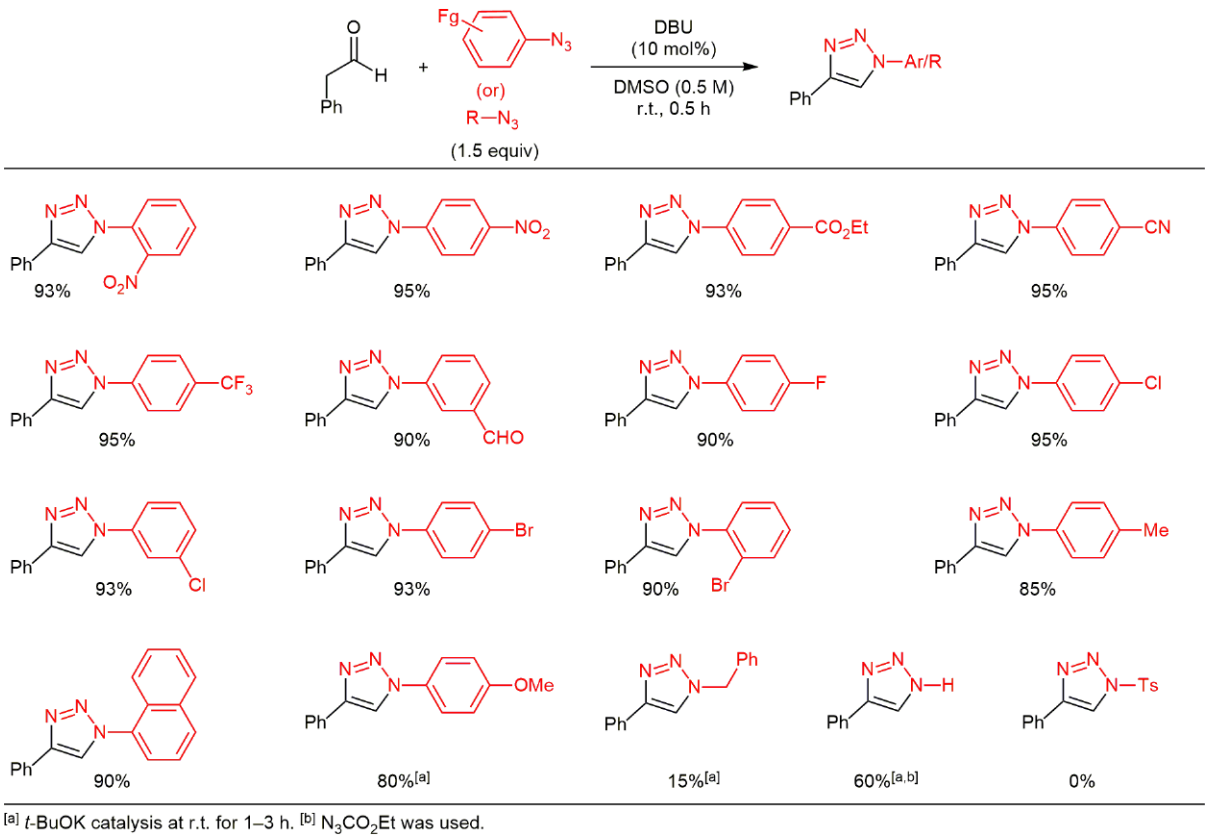

[a] *t*-BuOK catalysis at r.t. for 1–3 h. [b] N_3CO_2Et was used.

Scheme 3 *Reaction scope with different azides*

dienamines, Professor Ramachary and co-workers described for the first time an efficient high-yielding enolate-mediated OrgAAC reaction of phenylacetaldehyde with phenyl azide at room temperaure for just 30 minutes under the DBU catalysis (Scheme 2). Professor Ramachary said: "An attractive feature of this OrgAAC reaction is that it provides an alternative method for accessing the library of 1,4-disubstituted 1,2,3-triazoles where metal-catalyzed or enamine-mediated click reactions either fail or are low-yielding (Scheme 3). This diversity-oriented approach displays a high degree of flexibility with different azides and the nature of base and solvent is crucial for obtaining the best results (Scheme 3)."

After getting a clear understanding of the electronic factors of ArN_3/RN_3 in the OrgAAC reaction, Professor Ramachary investigated the reaction scope with different 2-arylacetaldehydes in the OrgAAC reaction with PhN_3 (Scheme 4). "In this reaction, 2-arylacetaldehydes containing different functional groups, such as nitro, halo, alkyl, heteroaryl and methoxy, were used as substrates for the organocatalytic synthesis of the single isomer of 1,2,3-triazoles in good to excellent yields within 30 minutes," explained Professor Ramachary, continuing: "The results in Scheme 4 demonstrate the broad scope of this novel methodology, covering a structurally diverse groups of 2-arylacetaldehydes and phenyl azide. Many of the OrgAAC products were obtained in good yields compared to other routes."

In order to further understand the importance of the acidic nature of enolizable aldehydes in the OrgAAC reaction, Professor Ramachary's group chose simple aliphatic aldehydes, which have a less acidic α-methylene compared to 2-arylacetaldehydes (Scheme 5). Professor Ramachary said: "Surprisingly, the reaction of simple aliphatic aldehydes with different aryl azides under the DBU or *t*-BuOK catalysis at 25 °C for 30 minutes furnished the expected 1,2,3-triazoles in good yields." With industrial applications in mind, Professor Ramachary and co-workers investigated the gram-scale synthesis of 1,4-diphenyl-1*H*-1,2,3-triazole and 3-(4-phenyl-1*H*-1,2,3-triazol-1-yl)benzaldehyde from the DBU-promoted

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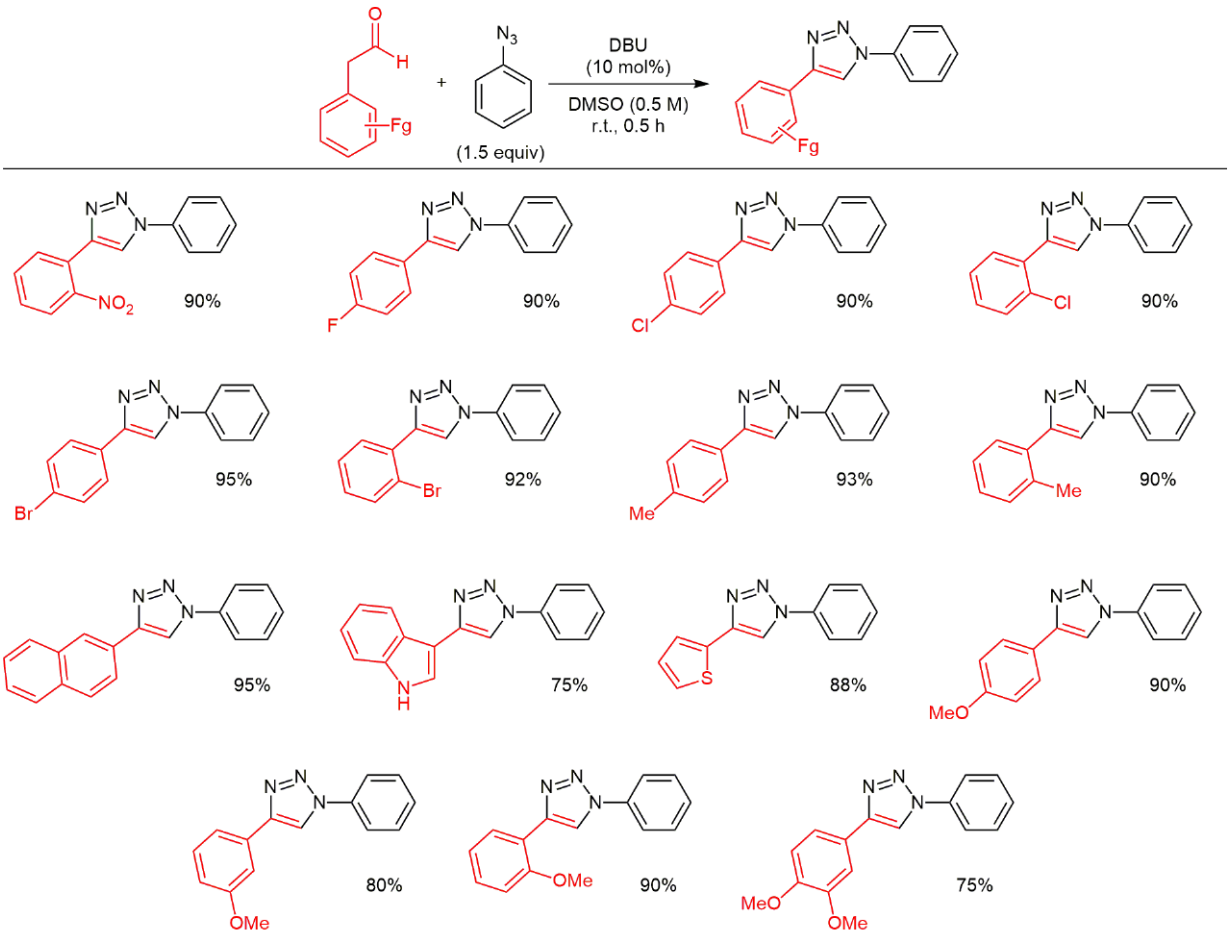

Scheme 4 *Reaction scope with different 2-arylacetaldehydes*

reaction of 1.00 g of phenylacetaldehyde with 1.19 g of phenyl azide or 1.47 g of 3-azidobenzaldehyde in 17 mL of DMSO at 25 °C without compromising the reaction rate, yield or purity.

Professor Ramachary remarked: "Recent developments in the metal-free synthesis of 1,2,3-triazoles are impressive, and the development of more sustainable variants is highly warranted since the click reaction has already found significant applications in pharmaceuticals and materials. However, the discovery of room-temperature reactions under the 'friendly' catalysts, namely simple molecules without extra ligands, environmentally friendly, cost-effective and that can be prepared in few synthetic steps, and employing reduced azide loading could be of immense interest in industrial applications. In this scenario, the aforementioned method provides rapid access to 1,4-disubstituted 1,2,3-triazoles in both academic and industrial research."

Professor Ramachary concluded: "This novel and more practical metal-free DBU-catalyzed [3+2]-cycloaddition reaction has a broad substrate scope, good functional-group tolerance, simple operation, and high reaction rate and efficiency, thus providing easy access to various 1,4-disubstituted 1,2,3-triazoles. This reaction opens up new prospects in synthesis, materials and pharmaceutical chemistry for further exploiting the synthetic power of the amine-catalyzed enolate-mediated click reaction."

Matteo Zanda

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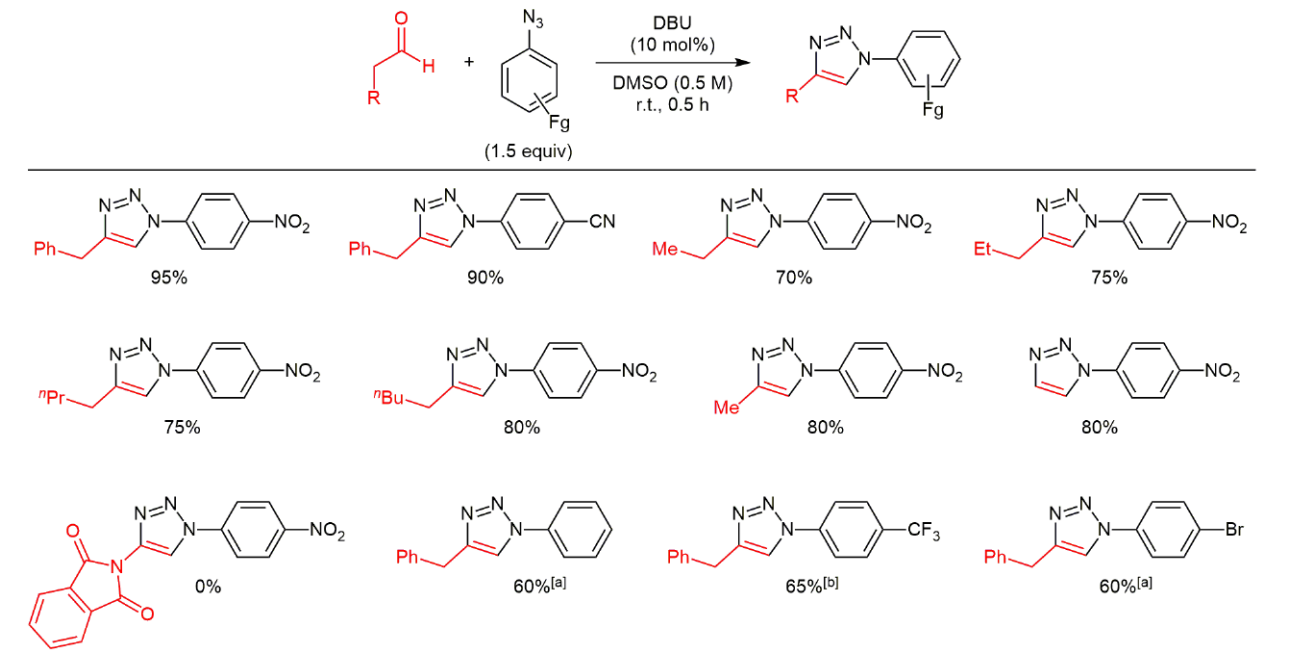

[a] *t*-BuOK catalysis at r.t. for 1 h. [b] DBU catalysis at r.t. for 0.5 h and 60 °C for 1 h.

Scheme 5 *Reaction scope with other aldehydes*

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Prof. D. B. Ramachary

D. B. Ramachary was born in Thatikal, Nalgonda district of AP state (India) in 1973. He obtained his BSc in 1994 and his MSc in 1996. After PhD work in natural product synthesis with Professor A. Srikrishna at the Indian Institute of Science, Bangalore (India), he completed postdoctoral studies as a Skaggs Postdoctoral Fellow in the laboratories of Professor Carlos F. Barbas III at The Scripps Research Institute (La Jolla, USA) working with small molecular catalysts. In January 2005, he joined the faculty of the School of Chemistry, University of Hyderabad (India) as an Assistant Professor and became Full Professor in March 2013. He was awarded the INSA Young Scientist Medal in 2006, and became a Member of The National Academy of Sciences, India in 2009 and Associate Fellow of the Andhra Pradesh Academy of Sciences in 2010. He was awarded the Anil Kumar Bose Memorial Award of the INSA in 2010, the B. M. Birla Science Prize of Chemical Sciences in 2011, and The Chancellor Award in 2014. In 2013, he joined the Editorial Board of *Organic & Biomolecular Chemistry*. His research focuses on the design and implementation of biomimetic sequential one-pot strategies for the synthesis of biologically important drugs and drug-like molecules, in addition to the development of new synthetic methods including asymmetric catalysis and multi-catalysis cascade (MCC) processes.

A. B. Shashank

Adluri B. Shashank was born in India in 1987. After his initial schooling in Thorrur (India), he obtained his BSc degree from A. P. R. Degree College, Nagarjuna Sagar (India) in 2007. For his Master's thesis he developed strategies for enamine-mediated amination/isoaromatization (EA/IA) reactions with Professor D. B. Ramachary at the University of Hyderabad. In 2009, he received his Master of Science degree from the University of Hyderabad and joined the research group of Professor D. B. Ramachary for his PhD studies. His research interests focus on organocatalytic click reactions and push–pull dienamine catalysis.

S. Karthik

S. Karthik was born in India in 1988. After his initial schooling in Musiri (India), he obtained his BSc degree from the Government Degree College, Musiri (India) in 2010. In August 2012, he received his Master of Science degree from the RSG College (Bharathidasan University, India) and joined the research group of Professor D. B. Ramachary for his PhD studies. His research interests focus on organocatalytic click reactions and asymmetric organocatalysis.

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Synthesis of (Carbo)nucleoside Analogues by [3+2] Annulation of Aminocyclopropanes

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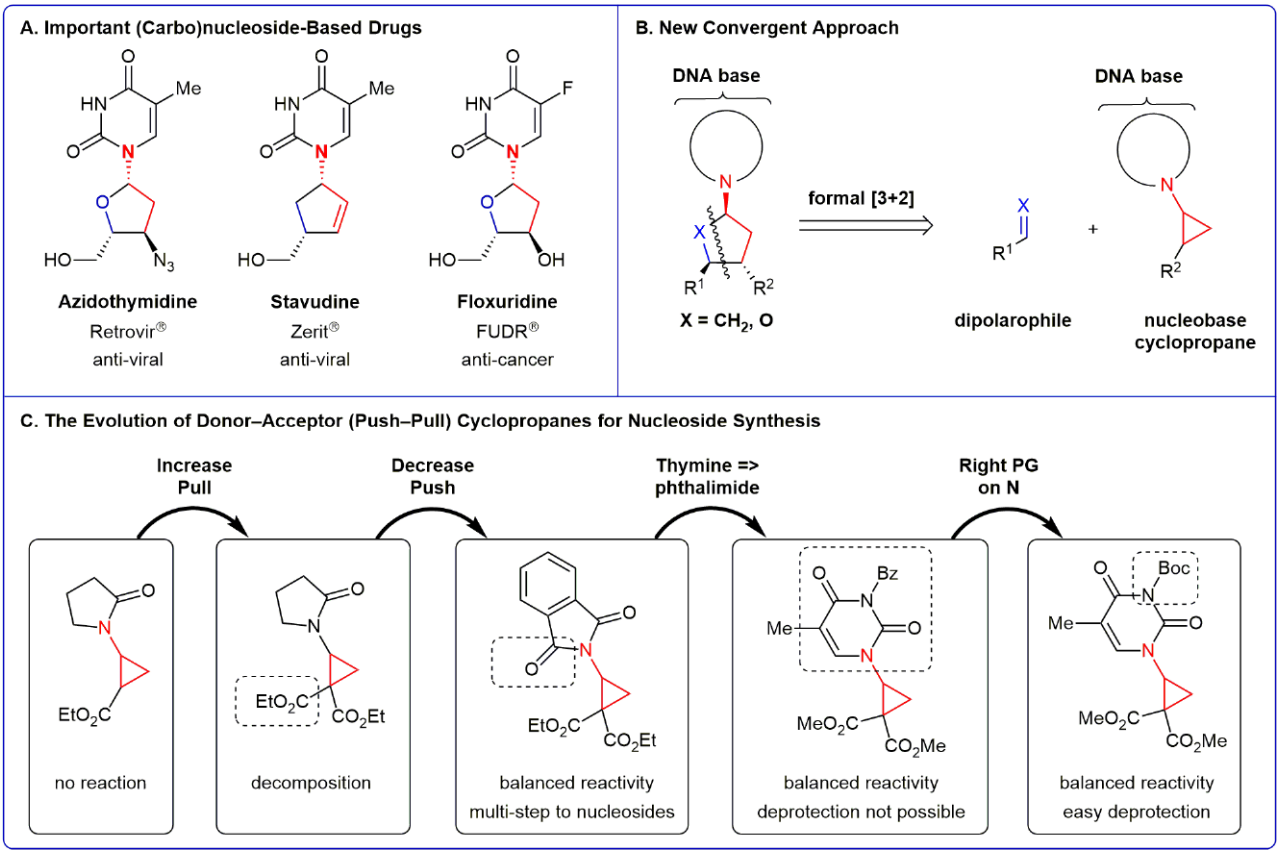
With more than 45 FDA approved drugs (Scheme 1, **A**), nucleoside analogues constitute one of the most important classes of bioactive compounds. Nevertheless, most synthetic methods are based on linear multi-step sequences, making the synthesis of structurally diverse libraries of nucleoside analogues difficult. In particular, the carbohydrate ring of most nucleoside analogues is obtained from natural ribose or deoxyribose, which limits the diversity of structures accessible. Recently, the group of Professor Jérôme Waser from the Laboratory of Catalysis and Organic Synthesis, Ecole Polytechnique Fédérale de Lausanne (EPFL, Switzerland) reported a new method for synthesizing nucleoside analogues via a [3+2]-annulation reaction (Scheme 2). Professor Waser explained: "In our report, we presented a [3+2]-annulation method between carbonyls or silyl enol ethers and donor–acceptor aminocyclopropanes for the convergent synthesis of nucleoside and carbonucleoside analogues in only a few steps. Derivatives of thymine, uracil and 5-fluorouracil could be obtained in good yield and broad structural diversity."

He continued: "The original inspiration for this project came a few years ago when we were working on cyclization reactions of aminocyclopropanes for the synthesis of natural alkaloids (*Angew. Chem. Int. Ed.* **2010**, *49*, 5767). At that time, we became aware not only of the synthetic potential of



Scheme 1 *[3+2] Annulation for the synthesis of nucleoside analogues: from concept to realization*

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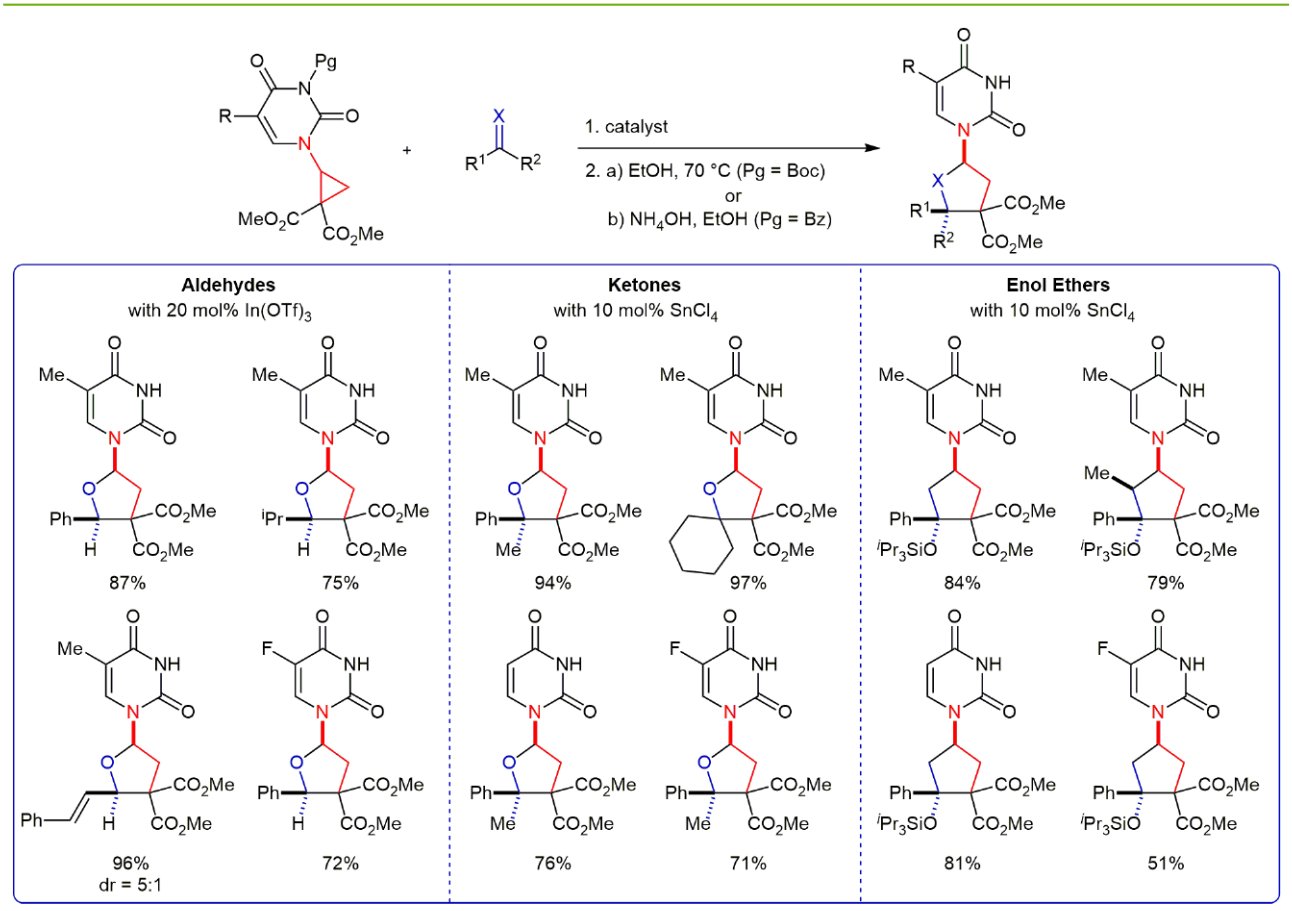
aminocyclopropanes in synthesis, but also of the challenge associated with the synthesis of cyclization precursors including both a reactive aminocyclopropane and an internal nucleophilic site." Professor Waser reckoned that if an annulation reaction could be devised in which several bonds would be formed in a single process and the reacting nucleophile was in a different molecule, the synthesis of nitrogen-containing molecules, in particular nucleoside analogues, would be greatly facilitated (Scheme 1, **B**). "The field of annulation reactions of donor–acceptor cyclopropanes is currently a very fertile field of research (Review: *Angew. Chem. Int. Ed.* **2014**, *53*, 5504)," said Professor Waser, "nevertheless, aminocyclopropanes had not been used before in [3+2]-annulation reactions, probably because finding the right compromise between reactivity and stability is extremely challenging for this class of substrates." Professor Waser recalled that the first breakthrough came in 2011 when graduate student Florian de Nanteuil discovered the exceptional properties of phthalimide-substituted diester cyclopropanes at the start of his PhD research: "The combination of the two carbonyl groups to deactivate the nitrogen and the diester group allowing chelation to the metal was key to promoting a very efficient [3+2] annulation with enol ethers (*Angew. Chem. Int. Ed.* **2011**, *50*, 12075) (Scheme 2, **C**)." Based on this result, Florian, together with Dr. Fides Benfatti, could then extend the reaction to carbonyls as partners (*Org. Lett.* **2012**, *14*, 744; *Chem. Eur. J.* **2012**, *18*, 4844) and develop an enantioselective method together with student Eloisa Serrano (*J. Am. Chem. Soc.* **2014**, *136*, 6239).

"This important breakthrough brought us closer to an efficient synthesis of nucleoside analogues," said Professor Waser, who added: "In principle, deprotection of the phthalimide and elaboration of a nucleobase using known procedures could have been envisaged at this stage. However, this solution would require a long sequence of reactions and deprotection of phthalimide could not be achieved in the case



Scheme 2 *[3+2]-Annulation reaction for the synthesis of (carbo)nucleoside analogues*

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of sensitive nucleoside derivatives. Clearly, a new approach was needed!" In particular, explained Professor Waser, if donor–acceptor cyclopropanes already bearing the nucleobase could be used, a convergent and efficient method would become available. He continued: "It was at this point (August 2012) that graduate student Sophie Racine joined our group under the support of the Swiss National Center of Competence in Research (NCCR) in chemical biology with the goal of generating a library of diverse nucleoside analogues for investigation of their bioactivity."

Professor Waser recalled that based on the results obtained by Florian and Eloisa, Sophie started her research with the synthesis of thymine-substituted cyclopropanes. The choice of thymine was based on its structure, being close to phthalimide, with two carbonyl groups deactivating the nitrogen. "As so often in organic chemistry, a seemingly simple task on paper became a struggle in the laboratory: the highly polar nature of thymine and its tendency towards hydrogen bonding makes it insoluble in most organic solvents, and the two nitrogen atoms are a challenge for the regioselectivity of many reactions," said Professor Waser, who continued: "After several months of work, Sophie was finally able to synthesize thymine-substituted cyclopropanes bearing benzyl- or benzoyl-derived protecting groups. To our delight, our chemical intuition proved to be correct, and both cyclopropanes could be used in the [3+2] annulation with aldehydes. However, we then realized that neither protecting group could be removed without complete decomposition of the nucleoside analogues. We needed to use another more labile protecting group and decided to focus on the tert-butoxycarbonyl (Boc) group."

Again, accessing the required donor–acceptor cyclopropanes was not an easy task. The more labile Boc group could not be used in the synthetic sequence developed previously. "Fortunately, Sophie was able to develop a new regioselective vinylation of thymine, which set the basis for a three-step synthesis of the desired donor–acceptor cyclopropane," said Professor Waser, who explained that with this key substrate in hand, optimizing the [3+2] annulation was more straightforward. Nevertheless, important variations in isolated yield were still observed from batch to batch. "Sophie realized that this was due to partial removal of the Boc protecting group during reaction or column chromatography," said Professor Waser. "To obtain reproducible results, the easiest solution was to completely deprotect the crude product by heating in ethanol at reflux. After more than a year of struggle, Sophie was finally able to obtain the desired nucleoside and carbonucleoside analogues using 20 mol% of $In(OTf)_3$ with aldehydes or 10 mol% of $SnCl_4$ with ketones and enol ethers in 51–97% yield!" Sophie Racine was also able to extend the method to uracil and 5-fluorouracil derivatives and to modify the obtained diesters into alcohols more frequently encountered in bioactive compounds. In the case of 5-fluorouracil, the Boc protecting group was too labile. Fortunately, added Professor Waser, the more stable benzoyl group could be removed under mild conditions in this case.

"In conclusion, we were able for the first time to use donor–acceptor cyclopropanes for the synthesis of (carbo)nucleoside analogues," said Professor Waser. The correct modulation of the electronic properties of the substituent on the nitrogen atom was essential to reach the right balance between reactivity and stability. "The pioneering work described in our communication paved the way to answering many other fascinating questions in synthetic and medicinal chemistry," said Professor Waser. "Can this strategy be extended to all the nucleobases and their non-natural analogues? Can we develop an enantioselective access to the building blocks? Can we increase the structural diversity of both partners in the annulation step? Will the screening for bioactivity (currently ongoing at EPFL) result in the discovery of new biological modes of actions? As we see, there are still many challenges awaiting Sophie until the end of her PhD!" he concluded.

Matteo Zanda

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About the authors

S. Racine

Sophie Racine was born in Neuchâtel (Switzerland) in 1987. She received her BSc and MSc degrees from the University of Fribourg (Switzerland) in 2010 and 2012, respectively. After two inspiring internships in Actelion Pharmaceuticals Ltd. (Basel, Switzerland) and at the Nestlé Research Center (Lausanne, Switzerland), in 2012 she joined the group of Professor Jérôme Waser for her PhD studies. She is currently working on the development of new synthetic methodologies in order to easily access potentially bioactive molecules.

Dr. F. de Nanteuil

Florian de Nanteuil was born in Rochester (USA) in 1986. He graduated from the ENSC Montpellier (France) in 2010. During his studies, he completed internships within the pharmaceutical companies Almac sciences (Belfast, UK) and Hoffmann-La Roche (Basel, Switzerland) and within the group of Professor Max Malacria (UPMC, Paris, France). In 2010, he began his PhD on the synthesis and the reactivity of donor–acceptor cyclopropanes and cyclobutanes under the supervision of Professor Jérôme Waser at the EPFL. He successfully defended his PhD at EPFL in June 2014.

E. Serrano

Eloisa Serrano was born in Bucaramanga (Colombia). She received her Bachelor's degree in Chemistry from the Universidad Nacional de Colombia and her Master's degree from the Ecole Normale Supérieure de Lyon (France). During her stay in the Laboratory of Catalysis and Organic Synthesis at EPFL she contributed to the development of a DYKAT for aminocyclopropanes. She is currently working on her PhD in the group of Professor Dr. Rubén Martín at the Institute of Chemical Research of Catalonia (ICIQ) in Spain.

Prof. J. Waser

Jérôme Waser was born in Sierre, Valais (Switzerland) in 1977. He received his chemistry Diploma from ETH Zurich (Switzerland) in 2001. In 2006, he completed his PhD studies at ETH Zurich with Professor Erick M. Carreira. He then joined Professor Barry M. Trost at Stanford University (USA) as a postdoctoral fellow. Since October 2007, he has been working as assistant professor at EPFL, focusing on the development of synthetic methods. He is a recipient of the A. F. Schläfli Award of the Swiss Academy of Sciences 2011, the ERC starting grant 2013 and the Werner Prize 2014 of the Swiss Chemical Society.

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Young Career Focus: Dr. Birgit Esser (Rheinische Friedrich-Wilhelms-Universität Bonn, Germany)

Background and Purpose. From time to time ***SYNFORM*** meets young up-and-coming researchers who are performing exceptionally well in the arena of organic chemistry and related fields of research, in order to introduce them to the readership. This ***SYNSTORY*** with a Young Career Focus presents Dr. Birgit Esser (Rheinische Friedrich-Wilhelms-Universität Bonn, Germany).

BIOGRAPHICAL SKETCH

Dr. B. Esser

Birgit Esser was born and raised in Heidelberg, Germany. She obtained her Diploma degree in chemistry at the Ruprecht-Karls-Universität Heidelberg (Germany) in 2004, and her PhD in 2008 working with Professor Rolf Gleiter. Her research focused on the synthesis and quantum chemical investigation of cyclacenes. In 2009, she joined the group of Professor Timothy Swager at the Massachusetts Institute of Technology in Cambridge, MA (USA) as a postdoctoral fellow, where she developed detection methods for ethylene gas using conjugated polymer- and carbon nanotube-based sensors. Since April 2012 she has been an independent research group leader at the Rheinische Friedrich-Wilhelms-Universität Bonn (Germany). Her research interests involve the development of functional organic materials for batteries and optoelectronic devices. She has received a number of awards and fellowships throughout her career including research group funding through the Emmy Noether program of the German Research Foundation.

INTERVIEW

SYNFORM | *What is the focus of your current research activity?*

Dr. B. Esser | My research focuses on the development of functional organic materials for applications in organic batteries and optoelectronic devices. Specifically, I am interested in the synthesis of organic materials as electrode-active ingredients in batteries and in the synthesis and investigation of novel types of conjugated polymers and cyclic conjugated molecules. All of these research areas involve molecular design aided by computational chemistry and multi-step organic synthesis, as well as a variety of experimental techniques for investigating the properties of the materials.

SYNFORM | *When did you get interested in synthesis?*

Dr. B. Esser | I became interested in synthesis when I took my first organic laboratory course. I was fascinated by the combination of mechanistic theory and experiment and the possibility to create new compounds. My interest was deepened during internships in different research groups, where the compounds I synthesized had relevance to research projects. Up to now I find it incredibly rewarding to obtain a target compound for the first time.

SYNFORM | *What do you think about the modern role and prospects of organic synthesis?*

Dr. B. Esser | Research in the past decades has provided organic chemists with advances in synthetic methodology as well as accurate theoretical models to predict molecular properties. I believe that it is a unique opportunity and the responsibility of organic chemists to use these tools to design and synthesize molecules and materials with tailored properties for applications that meet societal needs.

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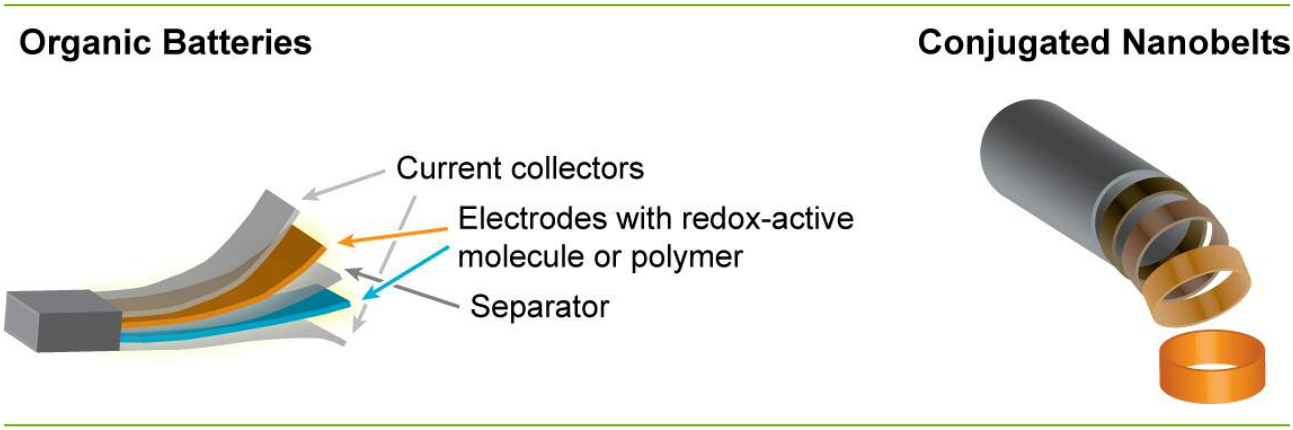

Figure 1

SYNFORM | *Your research group is active at the frontier of functional organic materials design. Could you tell us more about your research and its aims?*

Dr. B. Esser | One of the main goals of my research is to design organic electrode materials for batteries. Current technology employs inorganic materials, often containing heavy metals; therefore, we aim to provide more sustainable, less toxic and environmentally friendly alternatives through the synthesis of organic materials for electrodes. Specifically, my group designs and synthesizes redox-active molecules and polymers, which are then incorporated into battery electrodes as the active materials. Another main goal of my research is the development of cyclic conjugated molecules, so-called nanobelts. These molecules are intriguing materials due to their structural and electronic properties and can serve as model systems and templates for novel types of carbon nanotubes. By means of computational chemistry we have identified structures with interesting properties and are currently developing synthetic strategies towards these nanobelts. Additionally, my group designs and synthesizes conjugated oligomers and polymers with novel types of subunits. These materials have potential to be employed in optoelectronic devices.

SYNFORM | *What is your most important scientific achievement to date and why?*

Dr. B. Esser | As a young researcher I would like to think that my most important scientific achievements lie ahead of me. Our current research activities have recently provided us with (unpublished) results that appear very promising. I believe that my group expects some exciting results in the future.

Matteo Zanda

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Mild and Versatile Nitrate-Promoted C–H Bond Fluorination
(Focus on an article from the current literature)

Asymmetric α-Photoalkylation of β-Ketocarbonyls by Primary Amine Catalysis: Facile Access to Acyclic All-Carbon Quaternary Stereocenters
(Focus on an article from the current literature)

Catalytic Enantioselective Alkylation of Sulfenate Anions to Chiral Heterocyclic Sulfoxides Using Halogenated Pentanidium Salts
(Focus on an article from the current literature)

Direct Oxidative Coupling of *N*-Acetyl Indoles and Phenols for the Synthesis of Benzofuroindolines Related to Phalarine
(Focus on an article from the current literature)

FURTHER HIGHLIGHTS

SYNTHESIS

Review on: Mechanism and Application of Baker–Venkataraman O⟶C Acyl Migration Reactions
(by T. J. Snape)

SYNLETT

Cluster on "Catalysis with Sustainable Metals – Part II"

SYNFACTS

Synfact of the Month in category "Synthesis of Natural Products and Potential Drugs": Asymmetric Synthesis of a DPP-4 Inhibitor

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