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HIGH-THROUGHPUT MICROWAVE-ASSISTED SYNTHESIS

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High-speed microwave synthesis has attracted a considerable amount of attention in recent years [1]. Since the first reports on the use of microwave heating to accelerate organic chemical transformations by the groups of Gedye and Giguere/Majetich in 1986, more than 3000 articles have been published in the area of microwave-assisted organic synthesis (MAOS) [2]. The initial slow uptake of the technology in the late 1980s and early 1990s has been attributed to its lack of controllability and reproducibility, coupled with a general lack of understanding of the basics of microwave dielectric heating. The risks associated with the flammability of organic solvents in a microwave field and the lack of available systems for adequate temperature and pressure controls were major concerns. Since the late 1990s the number of publications related to MAOS has therefore increased dramatically to a point where it might be assumed that, in a few years, most chemists will probably use microwave energy to heat chemical reactions on a laboratory scale. Not only is direct microwave heating able to reduce chemical reaction times from hours to minutes, but it is also known to reduce side reactions, increase yields and improve reproducibility. Therefore, many academic and industrial research groups are already using MAOS as a forefront technology for rapid reaction optimization, for the efficient synthesis of new chemical entities, or for discovering and probing new chemical reactivity [3].

This lecture will highlight contributions from our laboratory in the field of microwave-assisted organic synthesis, in particular as they relate to heterocyclic chemistry, combinatorial chemistry and drug discovery.

References

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[2] Kappe, C. O.; Stadler, A. "Microwaves in organic and medicinal chemistry", Wiley-VCH, **2005**.
[3] Kappe, C. O.; Dallinger, D. “The impact of microwave synthesis on drug discovery”, *Nature Rev. Drug Discov.* **2006**, *5*, 51.