ACES Asian Chemical Editorial Society

DOI: 10.1002/ajoc.201900271

ASIAN JOURNAL OF ORGANIC CHEMISTRY
Editorial

SPECIAL ISSUE

Organofluorine Chemistry

Gavin Chit Tsui*[a] and Jinbo Hu*[b]

Fluorine is truly "a small atom with a big ego", and it has had a big impact on our everyday life. Organofluorine compounds play a central role in a wide range of pharmaceuticals, agrochemicals, materials and PET imaging applications. The incorporation of fluorine atoms or fluorine-containing groups into organic compounds can often bring about substantial improvements in biological and physical properties, including bioavailability, metabolic stability, lipophilicity, and binding selectivity. It has been estimated that over 20% of all pharmaceutical drugs contain at least one fluorine atom, including top-selling drugs, such as Lipitor, Prozac, and Ciprobay. The percentage is even higher in agrochemicals. As a result, a rapid development of new synthetic methods in the field of organofluorine chemistry can be witnessed to date.

This thematic issue contains five Minireviews, four Full Papers, and sixteen Communications from world experts in the field from various countries, such as China, Hong Kong, Japan, South Korea, France, Germany, UK, and Hungary. Several important topics have been covered in Minireviews, including: (1) Comparison between multistep strategies and direct aromatic fluorination for the preparation of [^{18}F]fluorine-labeled pharmaceuticals by M. R. Heinrich et al.; (2) Synthesis of aryl- and heteroaryl-trifluoroethyl ethers by B. Pethő and Z. Novák; (3) Asymmetric construction of the C–SCF_3, –SCF_2H, –OCF_3, and –OCF_2H motifs by D. Cahard et al.; (4) Catalytic enantioselective aldol-type reaction using α-fluorinated enolates by J.-S. Yu, Y. Zhou, J. Zhou et al.; (5) Trifluoromethanesulfonyl-based reagents for direct trifluoromethylthiolation reactions by L.-Q. Jiang, W.-B. Yi et al.

A wide variety of new synthetic methods for preparing diverse organofluorine molecules has been collected. For instance, C–F bond activation, radical, and electrochemical approaches were reported for the synthesis of monofluoromethyl-substituted compounds (N. Shibata et al., D. Y. Kim et al., and H.-C. Xu et al., respectively). K. Shibatomi et al. described the formation of α-fluoroenones from α-chloro-α-fluoroketones. Several reports offered new opportunities for the preparation of difluoromethylated molecules. For example, K. Mikami et al. and Q. Song et al. used ICF_2H and $ClCF_2H$, respectively, as reagents in their difluoromethylation reactions. Aryldifluoromethylenation, Doyle-Kirmse rearrangement of difluoroacetates, and photoredox defluorinative alkylation provided access to various novel CF_2-containing compounds (H. Jiang et al., R. M. Koenigs et al., and L. Zhou et al., respectively). J. Wang et al. disclosed the synthesis of 1,2,3-triazoles using CF_2H-containing *N*-tosylhydrazones via C–F bond cleavage. In terms of trifluoromethylated molecules, tin-mediated multicomponent reactions (K.-H. Wang, Y. Hu et al.), *syn*-arylation-trifluoromethylation of alkynes (S.-L. Zhang et al.), and Pd-catalyzed trifluoroethylation (X.-S. Xue, C.-P. Zhang et al.) are included. Trifluoromethylated heterocycles, such as indoles and furans, can be efficiently synthesized (J. Ichikawa et al., and H. Zhang, W. Cao et al.). Other motifs such as SCF_3, $SeCF_3$

[a] Prof. Dr. G. C. Tsui
Department of Chemistry
The Chinese University of Hong Kong
Shatin, New Territories Hong Kong
E-mail: gctsui@cuhk.edu.hk

[b] Prof. Dr. J. Hu
Key Laboratory of Organofluorine Chemistry
Shanghai Institute of Organic Chemistry
345 Ling-Lang Road, Shanghai 200032 (P. R. China)
E-mail: jinbohu@sioc.ac.cn

SPECIAL ISSUE This manuscript is part of a special issue on Organofluorine Chemistry. A link to the Table of Contents of the special issue will appear here when the complete issue is published.

Gavin Chit Tsui grew up in Hong Kong and Canada. He received his PhD from the University of Toronto in Canada with Prof. Mark Lautens. He has worked with Prof. Tamio Hayashi at Kyoto University in Japan as a JSPS visiting scholar and with Prof. Benjamin List at the Max-Planck-Institut für Kohlenforschung in Germany as a Humboldt postdoctoral fellow. Gavin Tsui was a recipient of the Humboldt–Bayer Postdoctoral Fellowship (2013), Thieme Chemistry Journals Award (2016) and Asian Core Program Lectureship Awards (Japan 2018, Korea 2017, Singapore 2017). He joined the Chinese University of Hong Kong as an assistant professor in 2015 and his research interests are organofluorine chemistry and homogeneous catalysis.

Jinbo Hu grew up in mainland China. He received his PhD from the University of Southern California in USA with Professors G. K. Surya Prakash and George A. Olah (2002). After doing his postdoctoral research with Professors Prakash and Olah, he joined the faculty at Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences (2005), where he is currently a Research Professor and the Head of the CAS Key Laboratory of Organofluorine Chemistry. Jinbo Hu was the recipient of the Air Products Young Faculty Excellence Award (2005), RSC Fluorine Prize (2009), Tan Kah Kee Young Scientist Award (2012), Novartis Chemistry Lectureship Award (2016), and IOCF Lectureship (2019). His research interests are organofluorine chemistry and energy-related science and technology.

and SCF_2R can also be incorporated into organic molecules selectively by new methods (R. Guo, J. Wang et al., F. Toulgoat, T. Billard et al., and L. J. Gooßen et al.). Finally, for materials applications, G. Sandford, D. Gao et al. reported the preparation of pyrene derivatives bearing perfluorotoluene and perfluorobenzonitrile moieties as promising candidates for *n*-type semiconductors. For pharmaceutical applications, T. B. Ng, J. H. Wong, G. C. Tsui et al. described the synthesis of trifluoromethylated benzofurans using the fluoroform-derived $CuCF_3$ reagent and identified a derivative as a suitable candidate for antibacterial and antifungal agent.

Last but not least, we sincerely thank all the authors for contributing their excellent works to this special issue. It truly reflects the vibrant organofluorine community in a global collaboration. We hope these works will inspire further advancement in the field for creative syntheses and useful applications of fluorine-containing molecules.