

CF Plus Chemicals launches with Merck KGaA, Darmstadt, Germany new reagents for fluoroalkylation and bioconjugation

Merck KGaA, Darmstadt, Germany, the global leading distributor of research chemicals has recently expanded its portfolio with novel fluoroalkylation reagents, building blocks and bioconjugation reagents from CF Plus Chemicals. These tools enable medicinal chemists to rapidly generate drug candidates containing previously inaccessible, attractive fluorinated motifs while the bioconjugation reagents allow to prepare protein conjugates or reveal additional information on protein structure.

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CF Plus Chemicals, an ETH Zurich spin-off founded in 2014 in Brno, Czechia, announces the collaboration with Merck KGaA, Darmstadt, Germany in global distribution of its fluoroalkylation and bioconjugation portfolio.

The company flagship technology is based on cyclic hypervalent iodine-fluoroalkyl reagents, also termed as Togni-reagents. These reagents, originating from the group of Prof. Dr. Antonio Togni at Swiss Federal Institute of Technology Zurich (ETH Zurich) have over the last decade turned out to be versatile CF_3 -donors finding extensive application in organic synthesis, especially in medicinal chemistry to generate new trifluoromethylated drug candidates via late-stage functionalisation.

Later in 2013, the family of CF_3 -Togni reagents was expanded in joint collaboration with Dr. Petr Beier (IOCB AS CR) to a new generation of patented hypervalent iodine- $\text{CF}_2\text{CF}_2\text{R}$ reagents which share practically the same reactivity as the first generation Togni reagents, but bring an additional dimension thanks to the wealth of differently substituted tetrafluoroethyl groups which they can transfer to a range of different substrate classes relevant for medicinal chemistry discovery programmes.¹

The unique reactivity of these hypervalent iodine-fluoroalkyl reagents permits not only late-stage functionalisation of small molecule drug candidates but also site-selective large molecule bioconjugation via cysteines² or very recently via tryptophanes.³

The latter protein aromatic C-H functionalisation technology also serves as a non-conventional tool for characterisation of protein surfaces and protein-protein interactions.³

Besides the Togni- $\text{CF}_2\text{CF}_2\text{R}$ reagents, the distribution collaboration also includes tetrafluoroethylene-containing building blocks with a complementary reactivity, such as tetrafluoroethyl bromides, silanes, carboxylates and sulfonyl fluorides to cover a broader chemical space as well as MS-cleavable crosslinkers for mass spectrometry-based structural proteomics.⁴

Prof. Dr. Antonio Togni: *"I am very pleased to see that a project originally initiated by a doctoral student is now leading to commercial products."*

Dr. Vaclav Matousek: *"We are thrilled to collaborate with Merck KGaA, Darmstadt, Germany, the world's leading distributor in bringing our fluoroalkylation and bioconjugation reagents to research scientists in medicinal chemistry and proteomics."*

Dr. Petr Beier: *"I am excited to see that reagents stemming from our collaboration with ETHZ are now widely available via a leading global player."*

About CF Plus Chemicals: CF Plus Chemicals (www.cfplus.cz) is a Czechia-based ETH Zurich spin-off focusing on life science applications of fluoroorganic chemistry in both small molecule and large molecule segments.

About Merck KGaA, Darmstadt, Germany: Merck KGaA, Darmstadt, Germany (www.merckgroup.com) is a global leading chemical, life science and biotechnology company offering a broad portfolio of over 300,000 products, best-in-class materials, technologies and services.

About IOCB AS CR: Institute of Organic Chemistry and Biochemistry of the Czech Academy of Sciences (www.uochb.cz) is a leading internationally recognized scientific institution whose main mission is basic research in the field of chemical biology and medical chemistry, organic and material chemistry, chemistry of natural substances, biochemistry and molecular biology, physical chemistry, theoretical chemistry and analytical chemistry. An integral part of the IOCB mission is the transfer of basic research results into practical uses. The emphasis on interdisciplinary research results in many applications in medicine, pharmacy and other industries.

References:

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- 2) *Chem. Eur. J.* **2017**, 23, 6490–6494
- 3) *Chem. Eur. J.* **2019**, accepted manuscript. doi:10.1002/chem.201902944
- 4) *Anal. Chem.* **2010**, 82, 16, 6958–6968