Leibniz-Institut für Pflanzenbiochemie
Stiftung des öffentlichen Rechts

29.07.2020

Chemical reaction named after IPB chemist

One rarely gets to be a namesake for a chemical reaction, but Bernhard Westermann has now become just that. The Sakai-Westermann reaction, named after him, is a cycloaddition in which two organic compounds react with each other by ring closure (forming a 1,2,3-triazole motif). The reaction was first described in 1986 by Kunikazu Sakai in the Bulletin of the Chemical Society of Japan. "The Sakai reaction, a cycloaddition of amine and tosylhydrazone compounds, is actually a very elegant biocompatible reaction for covalently coupling natural compounds," explains Professor Westermann. Nevertheless, Sakai's publication was rarely cited and his reaction was forgotten over time. Until Bernhard Westermann rediscovered it. Fascinated by its beauty, the IPB chemists clarified the reaction mechanism and analyzed the cycloaddition for yield and limitations. In 2012, Westermann and colleagues published their results in the international edition of *Angewandte Chemie*. Since then, the article has been cited more than 75 times. Chemists from all over the world took up the reaction, modified it, or adapted it to their own synthesis goals.

Initially sporadically, but then consistently, the Sakai reaction was renamed: into Sakai-Westermann reaction. Since the Sakai-Westermann reaction proceeds quickly, selectively, and without any toxic heavy metal catalysts, it can also be carried out under physiological conditions and therefore it fulfils all the requirements for a so-called click reaction. Nowadays, bioorthogonal click reactions are widely used in pharmaceutical compound synthesis for coupling of large molecules and the production of conjugates, as well as for labeling of proteins and DNA with dyes and probes.

Originalpublikation:

Sander S. van Berkel, Sebastian Brauch, Lars Gabriel, Michael Henze, Sebastian Stark, Dimitar Vasilev, Ludger A. Wessjohann, Muhammad Abbas & Bernhard Westermann. Traceless Tosylhydrazone-Based Triazole Formation: A Metal-Free Alternative to Strain-Promoted Azide–Alkyne Cycloaddition. *Angew. Chem. Int. Ed*. 2012, **51**, 5343 –5346.