

Swiss Science Concentrates

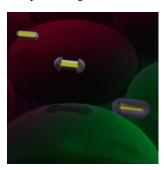
A CHIMIA Column

Short Abstracts of Interesting Recent Publications of Swiss Origin

Gold Nanorods: Controlling their Surface Chemistry and Complete Detoxification by a Two-Step Place Exchange

C. Kinnear, H. Dietsch, M. J. D. Clift, C. Endes, B. Rothen-Rutishauser, and A. Petri-Fink*, *Angew. Chem.* **2013**, *125*, 1988. University of Fribourg

Gold nanorods (GNRs) have tremendous potential for sensing, therapeutics and imaging. However, their synthesis requires the toxic surfactant cetyl trimethylammonium bromide (CTAB), which forms a stabilizing bilayer around the GNRs. For biomedical applications, it is essential to remove CTAB without compromising the colloidal stability of the nanorods. The

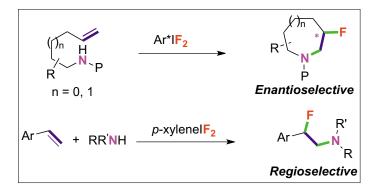


authors now present a two-step protocol, which first removes the toxic substance in ethanol, and then replaces it with a layer of polyethylene glycol (PEG). This method yields biocompatible nanorods, which do not have the tendency to aggregate. It thus paves the way for the use of gold nanorods in biological settings.

Regio- and Enantioselective Aminofluorination of Alkenes

W. Kong, P. Feige, T. de Haro, and C. Nevado*, *Angew. Chem. Int. Ed.* **2013**, *52*, 2469. University of Zurich

Considering that many modern drugs include fluorine substituents, the formation of C–F bonds is a topical challenge in organic methodology. Nevado and coworkers present a new metal-free protocol for the intramolecular, enantioselective preparation of 1,2-fluoroamines from amino-olefins. The reaction is highly regioselective, yielding exclusively the endo product. Furthermore, the authors extended their methodology for the intermolecular aminofluorination of styrenes.

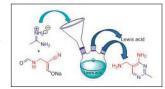


Lewis Acid Catalyzed Synthesis of 4-Aminopyrimidines – A Scalable Industrial Process

U. Létinois*, J. Schütz, R. Härter, R. Stoll, F. Huffschmidt, W. Bonrath, and R. Karge, *Org. Process Res. Dev.* **2013**, *17*, 427. DSM Nutritional Products, Basel

Aminopyrimidines are central structural motifs in a wide range of biologically active and commercially relevant substances such as vitamin B1, the pesticide Amprolium and the chemotherapeutic agent Trimethoprim *etc*. Industrial synthesis of 4-aminopyrimidines involves the derivatization of a common enolate intermediate, followed by condensation with the free base of *e.g.* acetamidine. The authors report a ZnCl₂- or CuCl-catalyzed variant of the reaction which avoids both the derivatization of the enolate and the liberation of the free base from the amidinium

salt. Thorough investigation and optimization of the process parameters and workup procedure allowed the identification of scalable protocols including efficient catalyst and product separation.



Discovery of a Novel Aggregation Domain in the Huntingtin Protein: Implications for the Mechanisms of Htt Aggregation and Toxicity

Z.-M. Wang and H. A. Lashuel*, *Angew. Chem. Int. Ed.* **2013**, 52, 562. EPF Lausanne

Huntington's disease, a neurodegenerative genetic disorder, is related to the poly-Q mediated aggregation and toxicity of the protein Huntingtin (Htt). In this paper, the authors identify a novel domain of the Htt protein and analyze its regions by synthesizing different peptide fragments of this domain. Two different amyloid-forming motifs are found to be responsible for the aggregation of the domain. Therefore, their presence in the N-terminal Htt (NtHtt) fragments can influence the rate of aggregations and toxicity of these fragments. The authors postulate that potential cross-talk between the novel domain and the polyQ-region of Htt could be responsible for the aggregation and toxicity of NtHtt fragments.

