



Swiss Science Concentrates

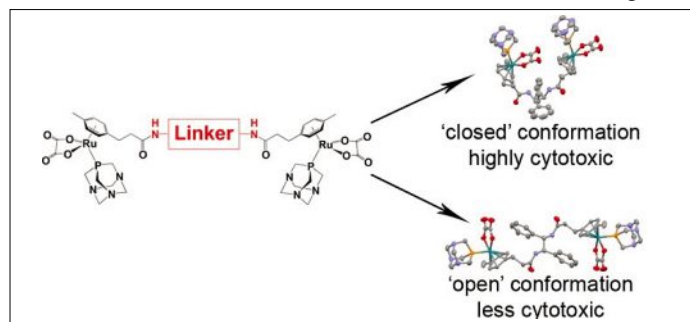
A CHIMIA Column

Short Abstracts of Interesting Recent Publications of Swiss Origin

Conformational Control of Anticancer Activity: the Application of Arene-Linked Dinuclear Ruthenium(II) Organometallics

B. S. Muray, L. Menin, R. Scopelliti, and P. J. Dyson*, *Chem. Sci.* **2014**, *5*, 2536. EPF Lausanne

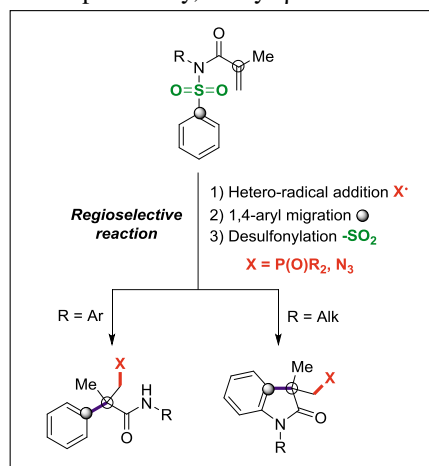
Dyson and co-workers report on a series of arene-linked dinuclear Ru(II)-complexes as anticancer organometallics. Compared to related mononuclear piano-stool complexes, the most cytotoxic dinuclear systems display over eighty-fold increased activities. Conformational differences in the linker moiety significantly modulate their cytotoxicity. Stability and binding studies with model peptides and oligonucleotides established a correlation between the induced cytotoxicity and the crosslinking ability toward biomolecular targets. These dinuclear systems thus significantly differ in their mode of action from their mononuclear analogs.



Arylphosphonylation and Arylazidation of Activated Alkenes

W. Kong, E. Merino, and C. Nevado*, *Angew. Chem. Int. Ed.* **2014**, *53*, 5078. University of Zurich

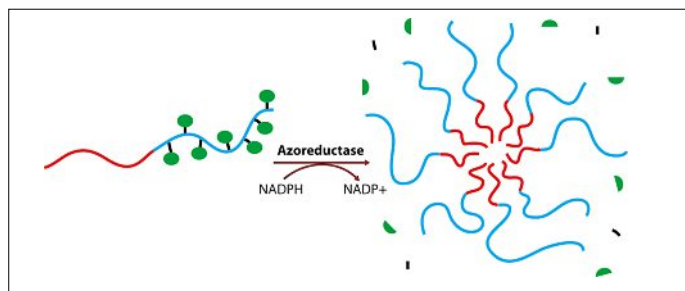
The conversion of alkenes into other functional groups is a versatile and powerful transformation in organic synthesis. Nevado *et al.* present radical-driven cascade reactions affording α -aryl- β -heterofunctionalized amides starting from conjugated tosyl amides. Specifically, α -aryl- β -azido and α -aryl- β -phosphonyl amides were obtained in excellent yields and in a highly regioselective fashion. Alternatively, for some starting materials, heterooxindoles or spirocycles are formed with excellent regioselectivity. Other functional groups may subsequently be introduced via this method, opening up new access to alkene-derived functionalized molecules.



Enzyme-Triggered Cascade Reactions and Assembly of Abiotic Block Copolymers into Micellar Nanostructures

J. Rao, C. Hottinger, and A. Khan*, *J. Am. Chem. Soc.* **2014**, *136*, 5872. ETH Zurich

Enzyme-triggered self-assembly of synthetic polymers into micellar structures represent novel systems for delivery- and imaging purposes. A block copolymer containing a hydrophobic styrene building block combined with an enzyme-cleavable azobenzene moiety was synthesized by ATRP. When exposed to the azoreductase, the N=N linkage was cleaved, followed by a spontaneous 1,6 elimination process affording a hydroxy-methacrylate block. Micellar structures self-assemble as revealed by both microscopy and light scattering data. As azoreductases are produced in the microbial intestine flora, such systems may find applications in colon-related therapeutic/imaging applications.



Organocatalytic Atroposelective Aldol Condensation: Synthesis of Axially Chiral Biaryls by Arene Formation

A. Link and C. Sparr*, *Angew. Chem. Int. Ed.* **2014**, *53*, 5458. University of Basel

Atropisomeric structural elements confer asymmetric induction to many catalyzed reactions and are found in several natural products with important biological activity. The stereoselective synthesis of atropisomeric structures themselves, however, is considered challenging and methods are limited. An organocatalytic approach, reminiscent of aromatic polyketide biosynthesis, provides access to 2-formyl-1,1'-binaphthyls and related structures with excellent enantiomeric excess and in good yield. The starting material for the intramolecular enamine mediated cyclization was accessed from simple precursors in only three synthetic steps. The method clearly holds promise for further elaboration and relevant applications.

