



Swiss Science Concentrates

A CHIMIA Column

Short Abstracts of Interesting Recent Publications of Swiss Origin

Cyclic Octapeptides Composed of Two Glutathione Units Outperform the Monomer in Lead Detoxification

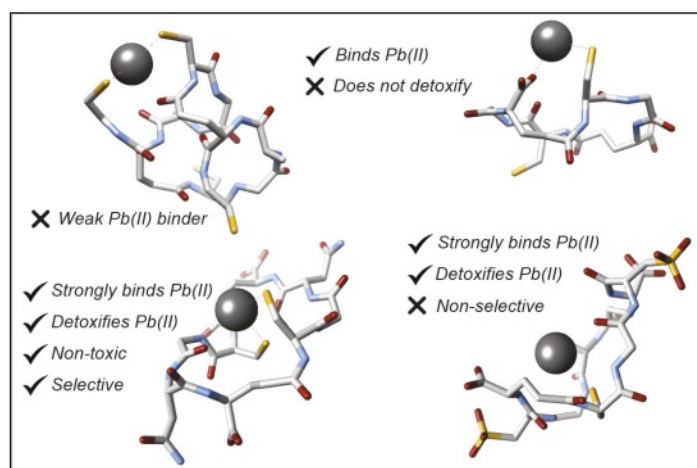
Luca Sausser, Tadeáš Kalvoda, Ayça Kavas, Lubomír Rulíšek, and Michal S. Shoshan

ChemMedChem **2022**, *17*, e202200152,
<https://doi.org/10.1002/cmhc.202200152>
 University of Zurich

Lead (Pb) intoxication is treated with chelating agents (such as EDTA), which have several disadvantages like an extracellular distribution or even a redistribution of Pb to the brain. This work proposes an alternative way that uses a rationally designed scaffold of cyclic octapeptides with two units of glutathione to decontaminate human cells by up to 2.5-fold compared with untreated cells, surpassing the currently used drugs. The linear peptides were synthesized via solid-phase peptide synthesis (SPPS), and then cleaved and cyclized. Following an assessment of the peptides' *in vitro* detoxification capabilities, complex characterization, and a deeper investigation of the mode of action were performed. The obtained results, together with the found stability of the lead peptide in the physiological environment, reveal a promising alternative to current detoxifying strategies.

Authors' comments:

"It is fascinating to reveal how small chemical changes are translated into significant coordination and biological properties that improve chelation therapy. This motivates us to further fine-tune our peptides towards better medications."



Circularly Polarized Luminescence in a Möbius Helicene Carbon NanoHoop

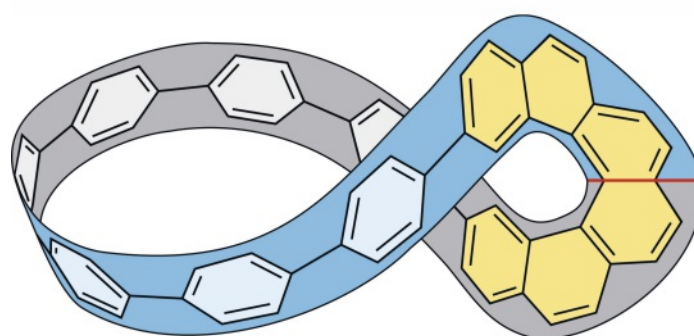
Juraj Malinčík, Sudhakar Gaikwad, Juan P. Mora-Fuentes, Marc-Aurèle Boillat, Alessandro Prescimone, Daniel Häussinger, Araceli G. Campaña, and Tomáš Šolomek
Angew. Chem. Int. Ed. **2022**, e202208591,
<https://doi.org/10.1002/anie.202208591>
 University of Bern

Helicenes are polyaromatic hydrocarbons consisting of ortho-fused benzene rings arranged in a helical shape. Their chirality and extended π -conjugation endows these molecules with strong chiroptical properties including circularly polarized luminescence (CPL) but typically with low quantum yields. Here, the authors report the first helicene carbon nanoHoop with a Möbius topology by integrating [6]helicene into [7]cycloparaphenylene. The [6]helicene defines the chiroptical properties of the nanoHoop, while para-phenylenes dictate the optoelectric properties. As a result, high configurational stability, high fluorescence quantum yield and moderate dissymmetry factor were achieved making the helicene nanoHoop an excellent CPL luminophore. This work shows a straightforward way to obtain macrocyclic bright CPL emitters and also suggests that the CPL is determined by the Hückel/Möbius topology of the π -conjugated system.

Authors' comments:

"We developed a straightforward synthesis of a Möbius macrocycle, a bright chiral luminophore with high configurational stability and a high fluorescence quantum yield for CPL."

Möbius helicene nanoHoop



configurationally stable bright CPL emitter

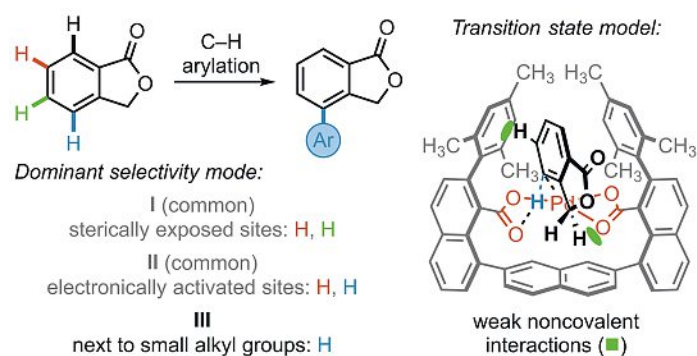
Site-Selective C–H Arylation of Diverse Arenes Ortho to Small Alkyl Groups

Jyoti Dhankhar, Micha D. Hofer, Anthony Linden, and Ilija Čorić
Angew. Chem. Int. Ed. **2022**, e202205470,
<https://doi.org/10.1002/anie.202205470>
 University of Zurich

Site-differentiation in C–H activation without the use of metal-ligating groups in the substrate is a significant challenge. Direct, intermolecular C–H activation of arenes is usually favoured at the sterically exposed or electronically activated sites. Herein the authors report site-selective C–H arylation ortho to small alkyl substituents (endocyclic methylene groups). Calculations suggest that weak interactions in the selectivity determining C–H activation transition state are relevant, and this could be a general selectivity mode for C–H activation, which is distinct from more common sterically and electronically dominated selectivity modes. This work paves the way towards activation of C–H bonds ortho to alkyl substituents and suggests a broader relevance of weak interactions that involve inner-sphere ligand atoms of palladium catalysts for site-selectivity in C–H activation.

Authors' comments:

“The potential for non-conventional site-selectivity in organic synthesis is one of the distinct advantages offered by C–H activation. We show that direct C–H arylation of arenes can proceed preferentially ortho to small alkyl groups providing access to C–H sites that are difficult to selectively access otherwise.”



Unblocking Ion-occluded Pore Channels in Poly(triazine imide) Framework for Proton Conduction

Heng-Yu Chi, Cailing Chen, Kangning Zhao, Luis Francisco Villalobos, Pascal Alexander Schouwink, Laura Piveteau, Kenneth Paul Marshall, Qi Liu, Yu Han, and Kumar Varoon Agrawal
Angew. Chem. Int. Ed. **2022**, e202207457,
<https://doi.org/10.1002/anie.202207457>
 École polytechnique fédérale de Lausanne

The unavailability of open pores, in as-synthesized PTI intercalated with ions, limits their application in molecular transport. In this work, the authors tested and demonstrated how acid treatment conditions can be key for depleting ions while preserving the crystallinity, C and N composition, and the faceted morphology of the triazine framework crystals. For the first time, direct evidence of ion-depleted open pores was provided by imaging with atomic resolution, using integrated differential phase-contrast scanning transmission electron microscopy. Further demonstration of the presence of open channels was confirmed by increasing the proton conductance of PTI. These results were highly reproducible, indicating the robustness of the analytical method, and will help the improvement of the prospects of PTI for application in molecular transport.

Authors' comments:

“PTI possesses ordered pore array and is promising for selective molecular and ion transport; however, the inaccessibility of the open channels due to ions occlusion and possible layer displacement limit its utility. This work provides a method to access the inherent channels of PTI confirmed by improved proton conductivity.”

