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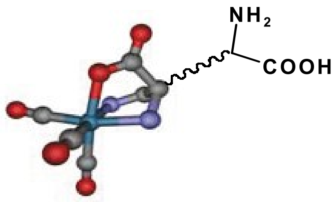
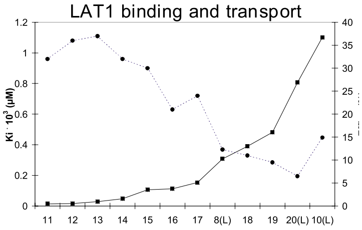
SWISS Science Concentrates

Amino Acids Labeled with $[^{99m}Tc(CO)_3]^+$ and Recognized by the L-type Amino Acid Transporter LAT1

Y. Liu, J. Kyoung Pak, P. Schmutz, M. Bauwens, J. Mertens, H. Knight, and R. Alberto*, *J. Am. Chem. Soc.* **2006**, *128*, 15996
University of Zürich

Amino acids conjugated at the α-carbon through an alkyl spacer to a small tripod ligand were prepared. The tripod coordinates to *fac*-$[M(CO)_3]^+$ moieties (M = Re, ^{99m}Tc). Depending on the lengths of the spacers, these metal complexes with pendent α-amino acids are recognized and transported by the L-type amino acid transporter LAT1. In one instance, the K_i value of the corresponding complex is comparable to that of the artificial amino acid BCH. Efflux of [^{3}H]-L-phenylalanine shows that the labeled amino acids not only bind to the transporter but are also transported into the cells. These are the first metal-labeled small molecules which are actively internalized to the intracellular space.

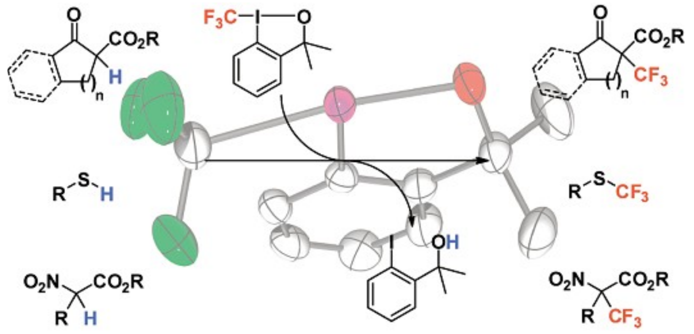




Mild Electrophilic Trifluoromethylation of Carbon- and Sulfur-Centered Nucleophiles by a Hypervalent Iodine(III)-CF_3 Reagent

I. Kieltsch, P. Eisenberger, and A. Togni*, *Angew. Chem., Int. Ed.* **2007**, *46*, 754
ETH Zürich

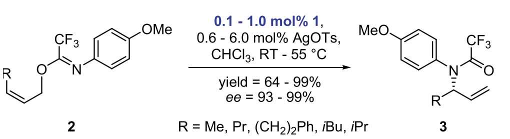
Organofluorine compounds are important targets in many research fields, both in academia and industry. Currently, there are only few methods to directly introduce trifluoromethyl groups into organic molecules under mild and selective conditions. This article presents a new inexpensive, recyclable and activable compound to do so. This electrophilic iodine(III)-CF_3 reagent has been used to transfer a CF_3 group to C-centered nucleophiles, such as β-keto esters and α-nitro esters, as well to thiols in high yields.

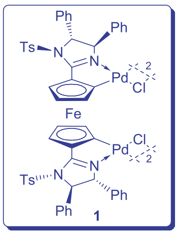


Macrocyclic Ferrocenyl–Bisimidazoline Pallada-cycle Dimers as Highly Active and Enantioselective Catalysts for the Aza-Claisen Rearrangement of *Z*-Configured N-*para*-Methoxyphenyl Trifluoroacetimidates

S. Jautze, P. Seiler, and R. Peters*, *Angew. Chem., Int. Ed.* **2007**, *46*, 1260.
ETH Zürich

The Pd^{II}-catalyzed aza-Claisen rearrangement enables the convenient formation of chiral enantioenriched allylic amines from achiral allylic trifluoroacetimidates **2** which are readily prepared from allylic alcohols. In this paper the authors discuss the use of macrocyclic ferrocenyl-bisimidazoline palladacycle dimers of type **1** as efficient precatalysts for the above-mentioned reaction. Complex **1** is obtained diastereoselectively in good yield in a four-step synthesis from ferrocene and exhibits very high activity (0.1–1.0 mol%) and selectivity (93–99% *ee*) for the transformation of *Z*-configured N-*para*-methoxyphenyl trifluoroacetimidates **2** into allylic amides **3**.



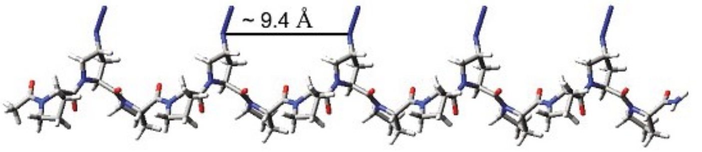


1

Azidoproline Containing Helices: Stabilization of the Polyproline II Structure by a Functionalizable Group

M. Kümin, L.-S. Sonntag, and H. Wennemers*, *J. Am. Chem. Soc.* **2007**, *129*, 466
Universität Basel

Polyproline II (PPII) helices are common secondary structures that play important biological roles. In this article, it is shown that the introduction of 4-azidoproline (Azp) moieties within the framework of polyproline can, depending on the configuration, enforce or destabilize the helical conformation. Moreover, functionalization of Azp containing polyprolines can be accomplished efficiently in a differential fashion by 'click chemistry', rendering Azp containing polyprolines attractive molecular scaffolds.



Prepared by M. Austeri; D. Linder; N. Mehanna; R. Novikov; F. Torricelli; J. Lacour

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