

DNA Cleavage

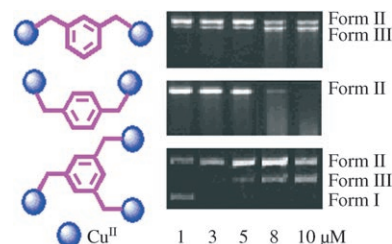
Y. Zhao, J. Zhu, W. He,* Z. Yang, Y. Zhu,
Y. Li, J. Zhang, Z. Guo*

Oxidative DNA Cleavage Promoted by Multinuclear Copper Complexes: Activity Dependence on the Complex Structure

Chem. Eur. J.

DOI: 10.1002/chem.200600044

Artificial metallonuclease: The DNA nuclease activity of a class of polynuclear copper complexes is dependent on the geometry and the number of metal centers. The synergy between copper centers in *meta*-dicopper or *para*-dicopper motifs leads to higher activity to generate nicked DNA from supercoiled pUC19 DNA (see graphic). The *meta*-dicopper motif favors the generation of linear DNA through double-stranded cleavage.



Lanthanide Chemistry

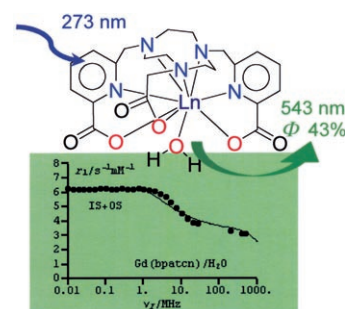
A. Nonat, C. Gateau, P. H. Fries,
M. Mazzanti*

Lanthanide Complexes of a Picolinate Ligand Derived from 1,4,7-Triazacyclononane with Potential Application in Magnetic Resonance Imaging and Time-Resolved Luminescence Imaging

Chem. Eur. J.

DOI: 10.1002/chem.200501390

One ligand, two imaging probes: The inclusion of two picolinate groups on a triazacyclononane framework affords a new ligand leading to a mono-aquo gadolinium complex with favourable electronic relaxation properties for magnetic resonance imaging applications and to a terbium complex with high luminescence quantum yield (see scheme).



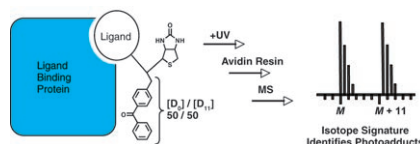
Chemical Genetics

S. M. Lamos, C. J. Krusemark,
C. J. McGee, M. Scalf, L. M. Smith,
P. J. Belshaw*

Mixed Isotope Photoaffinity Reagents for Identification of Small-Molecule Targets by Mass Spectrometry

Angew. Chem. Int. Ed.

DOI: 10.1002/anie.200600743



Twin peaks: A facile and economic route has been developed for the incorporation of deuterated benzophenones (a widely used photoaffinity tag) into probes. Attachment of these mixed-isotope labels to the immunosuppressive drug CsA facilitated the mass spectrometric identification of a target of CsA (see picture) from a mixture of proteins.

Peptide Receptors

C. Schmuck,* P. Wich

Sequence-Dependent Stereoselectivity in the Binding of Tetrapeptides in Water by a Flexible Artificial Receptor

Angew. Chem. Int. Ed.

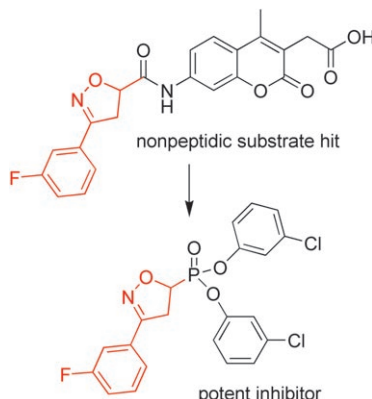
DOI: 10.1002/anie.200601046

All in a row: The screening of a combinatorial library with 320 substrates shows that an artificial tris-cationic receptor efficiently binds anionic tetrapeptides in water with association constants up to $K_a = 27\,000\text{ M}^{-1}$. Moreover, the receptor shows a remarkable sequence-dependent stereoselectivity between D-Ala and L-Ala in certain positions of the tetrapeptide.



Substrate Screening

Substrate activity screening was used to rapidly identify a novel and potent ($k_{\text{inact}}/K_i = 59\,000\text{ M}^{-1}\text{ s}^{-1}$) nonpeptidic chymotrypsin inhibitor with $M_w < 500$. The inhibitor is more potent than the best reported tetrapeptidyl phosphonate chymotrypsin inhibitor and demonstrated selectivity over a panel of other serine proteases, including the closely related enzyme cathepsin G.



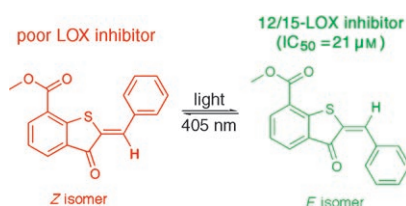
C. M. Salisbury, J. A. Ellman*

Rapid Identification of Potent Nonpeptidic Serine Protease Inhibitors

ChemBioChem

DOI: 10.1002/cbic.200600081

Photoisomerization



Switched-on inhibition. (2Z)-2-(3-Benzylidene)-3-oxo-2,3-dihydrobenzo[b]-thiophene-7-carboxylic acid methyl ester (see left-hand structure) is only a poor inhibitor of 12/15-lipoxygenases ($IC_{50} = 0.7\text{ mM}$). However, photoactivation that induces a Z-to-E isomerization strongly augmented the inhibitory potency ($IC_{50} = 0.021\text{ mM}$). Since light-induced isomerization may proceed in the skin, such photoreactive compounds might be developed as potential drugs for inflammatory skin diseases.

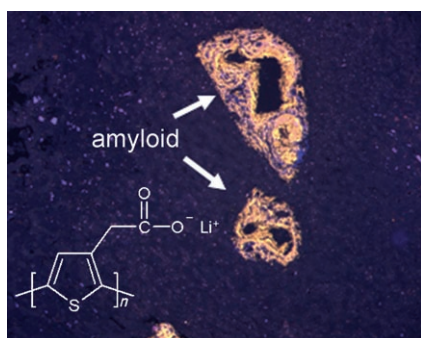
S. Herre, T. Schadendorf, I. Ivanov, C. Herrberger, W. Steinle, K. Rück-Braun, R. Preissner, H. Kuhn*

Photoactivation of an Inhibitor of the 12/15-Lipoxygenase Pathway

ChemBioChem

DOI: 10.1002/cbic.200600082

Biological Imaging



Fibril discrimination. Under suitable conditions, conjugated polyelectrolytes bind specifically to amyloid deposits, and this is then seen as an orange-red emission from the polyelectrolyte. Furthermore, the probes emit light of different colors when bound to different amyloid deposits or other intracellular structures. Such conformation-sensitive probes provide a direct link between spectral signal and protein conformation.

K. P. R. Nilsson,* P. Hammarström, F. Ahlgren, A. Herland, E. A. Schnell, M. Lindgren, G. T. Westermark, O. Inganäs

Conjugated Polyelectrolytes—Conformation-Sensitive Optical Probes for Staining and Characterization of Amyloid Deposits

ChemBioChem

DOI: 10.1002/cbic.200500550

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