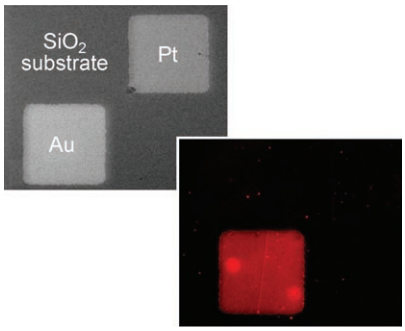
Surface Chemistry

*C. Tamerler, M. Duman, E. E. Oren, M. Gungormus, X. Xiong, T. Kacar, B. A. Parviz, M. Sarikaya**

Materials Specificity and Directed Assembly of a Gold-Binding Peptide

Small
DOI: **10.1002/smll.200600070**

Specificity of genetically engineered gold-binding peptide: Streptavidin-conjugated quantum dots (SAQDs) are immobilized on the self-assembled, biotinylated gold-binding protein on the gold areas of the microfabricated template, as shown by optical and fluorescence microscopy (see images). This verifies that the SAQDs bind preferentially to gold rather than to platinum pads or the silica substrate.

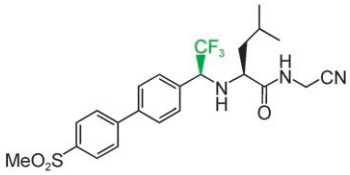


Enzyme Inhibitors

W. C. Black, M. D. Percival*

The Consequences of Lysosomotropism on the Design of Selective Cathepsin K Inhibitors

ChemBioChem
DOI: **10.1002/cbic.200600149**



L-873724

Better with fluorine. Basic cathepsin K inhibitors accumulate in lysosomes, impairing selectivity against off-target lysosomal cathepsins. Replacing an amide bond with a trifluoroethylamine group increases the potency and selectivity enough to allow removal of the basic substituent and provides inhibitors such as L-873724 with high cellular selectivity and in vivo efficacy.

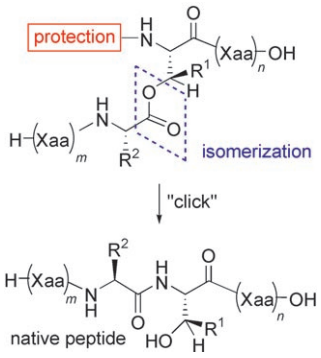
Click Chemistry

*Y. Sohma, Y. Kiso**

"Click Peptides"—Chemical Biology-Oriented Synthesis of Alzheimer's Disease-Related Amyloid β Peptide (Aβ) Analogues Based on the "*O*-Acyl Isopeptide Method"

ChemBioChem
DOI: **10.1002/cbic.200600112**

Inducible ("click") activation of Aβ1–42 self-assembly: We have developed a chemical biology-oriented "click peptide" isoform precursor of amyloid β peptide (Aβ) 1–42 in an approach based on the "*O*-acyl isopeptide method", in which a native amide bond in a hydroxyamino acid residue is isomerized to an ester bond and the Aβ1–42 is subsequently generated through an *O*–*N* intramolecular acyl migration reaction.

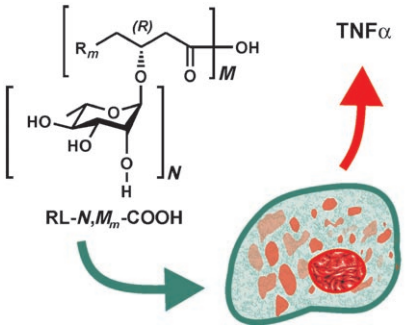


Glycolipids

*J. Bauer, K. Brandenburg, U. Zähringer, J. Rademann**

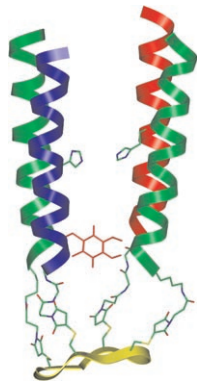
Chemical Synthesis of a Glycolipid Library by a Solid-Phase Strategy Allows Elucidation of the Structural Specificity of Immunostimulation by Rhamnolipids

Chem. Eur. J.
DOI: **10.1002/chem.200600482**



Structure–activity relationships in rhamnolipids: The first synthesis of a glycolipid library by hydrophobically assisted switching phase (HASP) synthesis is presented. The obtained rhamnolipids (RL) are potent stimulators of the innate immune system, acting through secretion of tumor necrosis factor α (TNFα), with high specificity regarding structural variations in the lipid part.

Protein Design



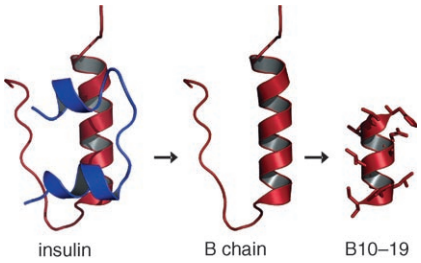
Synthetic quinoproteins: Ubiquinone-0 and menaquinone-0 were bound through a thioether linkage to *N*-acetyl cysteine methyl ester and a de-novo-designed synthetic four-helix bundle protein, and were characterized in detail (see picture). The redox potentials of the quinones in aqueous solution indicate a minor effect by the sulfur linkage but a substantial shift of 200–300 mV by the protein. Redox-induced Fourier transform infrared (FTIR) difference spectroscopy shows special features of the sulfur-substituted quinones.

W.-W. Li, P. Hellwig, M. Ritter, W. Haehnel**

De Novo Design, Synthesis, and Characterization of Quinoproteins

Chem. Eur. J.
DOI: **10.1002/chem.200501212**

Molecular Recognition



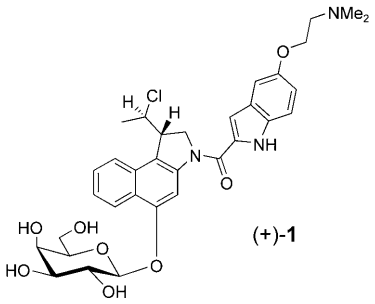
Nature's route for amyloid prevention: Insulin is a natural inhibitor of amyloid formation by the islet amyloid polypeptide (IAPP). The interacting domains of both proteins were identified by using a reductionist approach (see scheme). An understanding of the molecular mechanism of this physiological interaction may lead to the design of peptidomimetic drugs for type II diabetes.

*S. Gilead, H. Wolfenson, E. Gazit**

Molecular Mapping of the Recognition Interface between the Islet Amyloid Polypeptide and Insulin

Angew. Chem. Int. Ed.
DOI: **10.1002/anie.200602034**

Prodrugs



Better and better: The glycosidic prodrug (+)-**1**, which is based on duocarmycin antibiotics, was synthesized for selective cancer therapy. The drug was developed within the context of "antibody-directed enzyme prodrug therapy" (ADEPT). As a result of its outstanding QIC_{50} values, its excellent solubility, and easy synthesis it exceeds all other prodrugs produced to date. QIC_{50} = comparative toxicity value between the prodrug and the drug.

L. F. Tietze, F. Major, I. Schuberth*

Antitumor Agents: Development of Highly Potent Glycosidic Duocarmycin Analogues for Selective Cancer Therapy

Angew. Chem. Int. Ed.
DOI: **10.1002/anie.200600936**

On these pages, we feature the excellent work in chemistry that has been recently reported in our sister journals *ChemBioChem*, *Angewandte Chemie*, *Small*, or *Chemistry—A European Journal*.

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