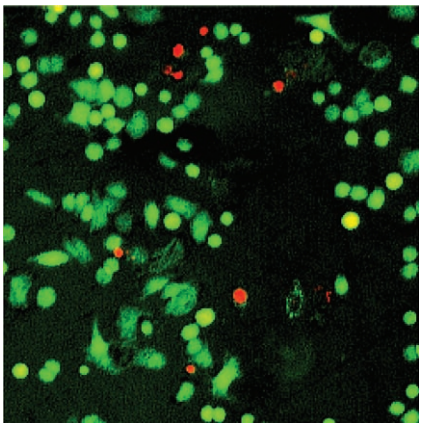
Nanotechnology

*E. Chang, N. Thekkek, W. W. Yu, V. L. Colvin, R. Drezek**

Evaluation of Quantum Dot Cytotoxicity Based on Intracellular Uptake

Small
DOI: **10.1002/smll.200600218**

Nanoparticles, such as quantum dots (QDs), composed of biologically toxic materials degrade in highly oxidative environments. Varying the QD surface coating significantly affects the intracellular uptake of QDs. Although the addition of PEG does not alter the inherent QD cytotoxicity, we demonstrate that the improved biocompatibility due to PEG-substitution arises from decreased intracellular uptake, which allows for biological applications of QDs with minimal toxicity.



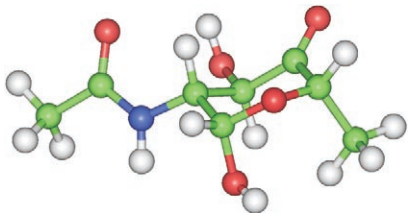
Carbohydrate Chemistry

D. J. McNally, I. C. Schoenhofen, E. F. Mulrooney, D. M. Whitfield, E. Vinogradov, J. S. Lam, S. M. Logan, J.-R. Brisson*

Identification of Labile UDP-Ketosugars in *Helicobacter pylori*, *Campylobacter jejuni* and *Pseudomonas aeruginosa*: Key Metabolites used to make Glycan Virulence Factors

ChemBioChem
DOI: **10.1002/cbic.200600298**

UDP-hexos-4-ulose sugars occupy a central role in the biosynthesis of lipopolysaccharides, capsular polysaccharides, and antibiotics in bacteria. Little is known about these sugars as they are labile compounds that are produced in minute quantities within the bacterial cell. Examination of the PglF, PseB, WbjB, and WbjC reactions directly with NMR lead to the first detailed structural description of UDP-hexos-4-ulose sugars in various bacteria.

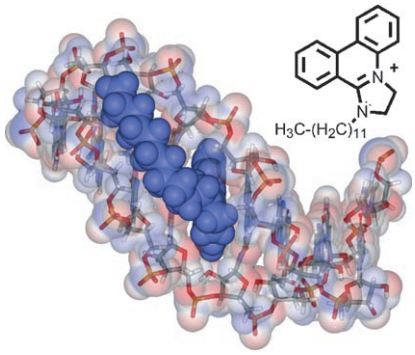


DNA Binding

*L. V. Smith, A. D. C. Parenty, K. M. Guthrie, J. Plumb, R. Brown, L. Cronin**

Dihydroimidazophenanthridinium (DIP)-Based DNA Binding Agents with Tuneable Structures and Biological Activity

ChemBioChem
DOI: **10.1002/cbic.200600205**



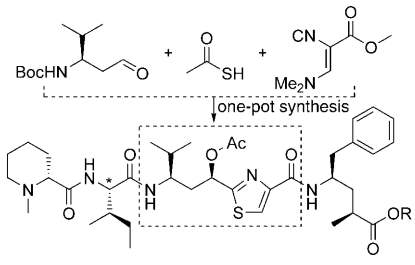
Molecular design is key in the understanding of small-molecule–DNA interactions. A range of dihydroimidazophenanthridinium cations (DIPs) were synthesised and investigated for DNA binding and cellular cytoxicity. Thermodynamic measurements and molecular modelling indicate that the DIP compounds can intercalate into DNA, and the attached tethers can modulate binding through minor-groove interactions.

Total Synthesis

A. Dömling, B. Beck, U. Eichelberger, S. Sakamuri, S. Menon, Q.-Z. Chen, Y. Lu, L. A. Wessjohann**

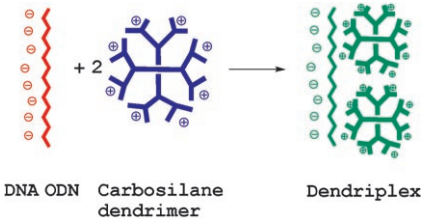
Total Synthesis of Tubulysin U and V

Angew. Chem. Int. Ed.
DOI: **10.1002/anie.200601259**



Multicomponent method: Tubulysins are among the most potent cytotoxic agents known. Now the first total synthesis of some members has been achieved by utilizing a rapid three-component reaction for the synthesis of the unusual central thiazole amino acid tubuvaline, thereby opening new perspectives for anticancer drug development.

Dendrimers



Biocompatibility: Amine- and ammonium-terminated carbosilane dendrimers were synthesized and characterized up to the third generation. The biocompatibility of the second-generation dendrimers in primary cell cultures of peripheral blood mononuclear cells and erythrocytes were analyzed. This information was used to study the interactions between the ammonium-terminated carbosilane dendrimers and DNA ODNs and plasmids and to perform a comparative analysis of their toxicity.

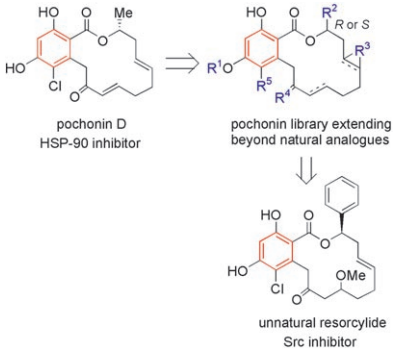
J. F. Bermejo, P. Ortega, L. Chonco, R. Eritja, R. Samaniego, M. Müllner, E. de Jesus, F. J. de la Mata, J. C. Flores, R. Gomez,* A. Muñoz-Fernandez**

Water-Soluble Carbosilane Dendrimers: Synthesis Biocompatibility and Complexation with Oligonucleotides; Evaluation for Medical Applications

Chem. Eur. J.
DOI: **10.1002/chem.200600594**

Organic Synthesis

Kinase inhibitors: Despite the lack of homology to purine analogues, pochonins have been shown to be ATPase inhibitors. A library of pochonins extending beyond the natural analogues was prepared by using solid-supported reagents. Screening the library against a panel of 24 kinases revealed a high number of inhibitors against therapeutically relevant kinases such as Src, Aurora, and EGF-R, in contrast to pochonin D, which has no measurable kinase activity.



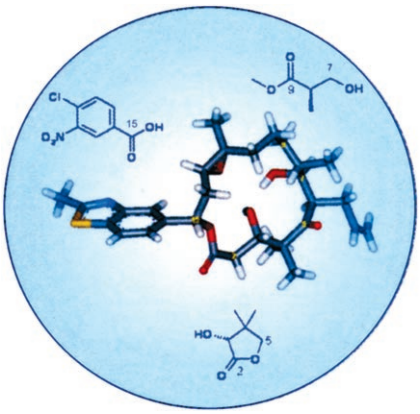
*E. Moulin, S. Barluenga, F. Totzke, N. Winssinger**

Diversity-Oriented Synthesis of Pochonins and Biological Evaluation against a Panel of Kinases

Chem. Eur. J.
DOI: **10.1002/chem.200600553**

Natural Products

Going to trial: From about 350 active epothilone analogues synthesized by a highly convergent synthesis, one (ZK-EPO, see picture) has been chosen for clinical development on the basis of its outstanding preclinical data. This compound exhibits higher activity and efficacy than taxanes (e.g. paclitaxel) and second-generation epothilones, a fast and efficient cellular uptake, no recognition by efflux mechanisms, and an improved therapeutic window.



U. Klar, B. Buchmann, W. Schwede, W. Skuballa, J. Hoffmann, R. B. Lichtner*

Total Synthesis and Antitumor Activity of ZK-EPO: The First Fully Synthetic Epothilone in Clinical Development

Angew. Chem. Int. Ed.
DOI: **10.1002/anie.200602785**

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