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Some articles in this issue have already appeared online in Wiley InterScience. See www.chemmedchem.org under EarlyView®

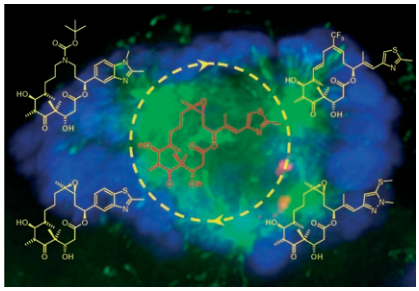
COVER PICTURE

The cover picture shows a train charged with sugar driving into a tumor cell, representing the concept of a targeted transfer of a platinum—carbohydrate complex. The coupling of the sugar to the platinum moiety was carried out in the quest for more selective tumor-inhibting drugs. For details, see the Full Paper by A. A. Nazarov, B. K. Keppler, et al. on p. 505 ff. (LEGO® DUPLO® train used with special permission. ©2007 The LEGO Group.)

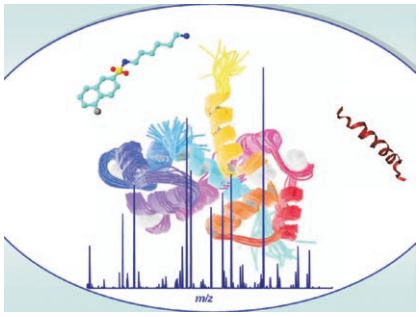
NEWS

REVIEWS

Epothilones have captured the attention of chemists, biologists, and drug researchers ever since they were found to inhibit human cancer cell growth through a "taxol-like" mechanism over ten years ago. Today, at least seven epothilone-derived agents have entered clinical trials. However, additional new and exciting analogues have emerged in recent years, suggesting that the potential of these natural products to serve as leads for new anticancer drugs is far from exhausted.

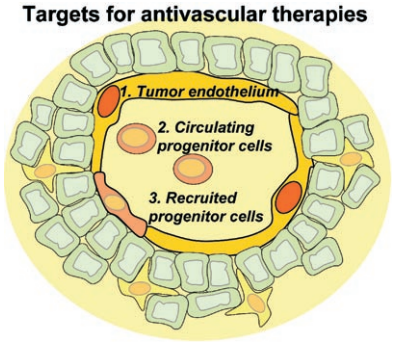


MINIREVIEWS



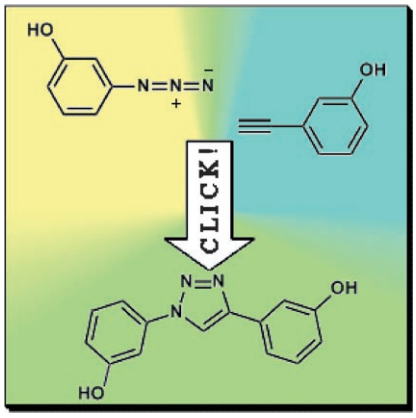
Mass spectrometry represents a versatile method, which allows screening for protein–ligand interactions from minute sample amounts within a short time. Methods comprise the analysis of intact noncovalent protein–ligand complexes in the gas phase, hydrogen/deuterium exchange of protein backbone amide hydrogens, and photoaffinity labeling.

HIGHLIGHTS

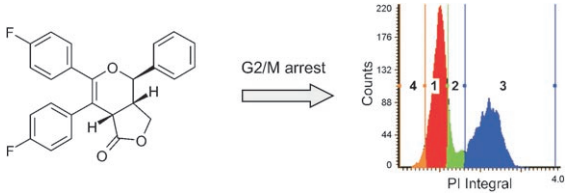


Unravelling the involvement of multiple cell types in angiogenesis yields more and more cells and pathways as druggable targets. It was recently demonstrated that blockade of circulating endothelial progenitor cell (CEP) recruitment improved therapeutic outcome of treatment with vascular disrupting agents (VDA). This knowledge paves the way for novel drugs and drug combinations in cancer therapy.

COMMUNICATIONS



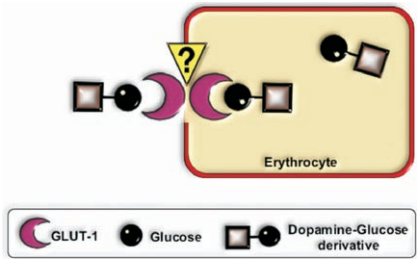
Fast and simple: the complexity of steroids can be mimicked by two phenol rings linked together by the easiest of reactions: the click [3+2] azide-alkyne cycloaddition.



Bicyclic furopyranones containing the *cis*-stilbene motif are described. The derivatives show antiproliferative activity in A549 and KB31 cells. The presence of the *cis*-stilbene motif is critical for biological activity. The compounds induce cell cycle arrest at the G2/M transition.

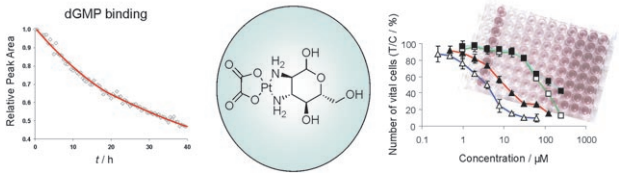
FULL PAPERS

*I. García-Álvarez, L. Garrido, A. Fernández-Mayoralas**



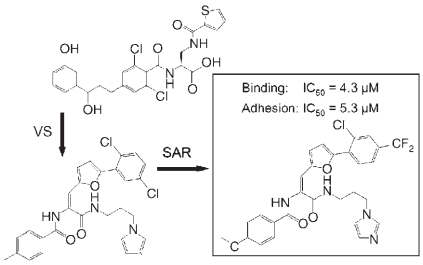
Drug uptake in the brain is strictly regulated by the blood-brain barrier. To test the viability of using the glucose carrier GLUT-1 to facilitate the transport of bioactive compounds across cell membranes, the uptake of several glucosyl dopamine derivatives by erythrocytes was studied using HPLC and ^{1}H MAS NMR techniques together with studies using specific GLUT-1 inhibitors.

I. Berger, A. A. Nazarov, C. G. Hartinger, M. Groessl, S.-M. Valiahdi, M. A. Jakupec, B. K. Keppler**



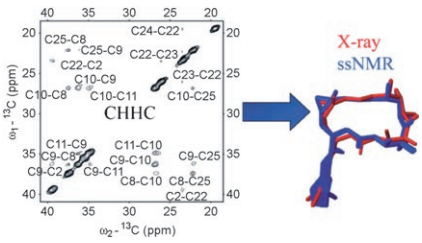
Novel oxaliplatin analogues based on naturally available, enantiomerically pure 2,3-diaminoglucose were synthesized to exploit the glycolytic energy production of cells for selective cellular uptake. The new complexes were evaluated for their affinity toward dGMP by capillary electrophoresis and for their in vitro cytotoxicity by a colorimetric microculture assay in the human cancer cell lines HeLa, CH1, SW480, and U2OS.

*M. Shoda, T. Harada, K. Yano, F. L. Stahura, T. Himeno, S. Shiojiri, Y. Kogami, H. Kouji, J. Bajorath**



Antagonists of the LFA-1/ICAM-1 interaction: Computational screening identified a novel LFA-1 antagonist that could be transformed into a lead by structure–activity relationship analysis and analogue design. Shown are the template compound, a known LFA-1 antagonist (top left), the new antagonist identified by ligand-based virtual screening and substructure searching (bottom left), and a compound with further increased potency (boxed).

*A. Lange, T. Schupp, F. Petersen, T. Carlomagno, M. Baldus**



The 3D solid-state NMR structure of Epothilone B. Solid-state Nuclear Magnetic Resonance (ssNMR) has recently made significant progress in probing molecular structures. Epothilones are natural compounds produced by the myxobacterium *Sorangium cellulosum* and exhibit high cytotoxic activity against multiresistant tumor cells. Herein, we show that two 2D CHHC correlation experiments are sufficient to rapidly assemble a high-resolution structure of Epothilone B.

Supporting information on the WWW (see article for access details).

* Author to whom correspondence should be addressed.

BOOKS

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