

GRAPHICAL ABSTRACTS

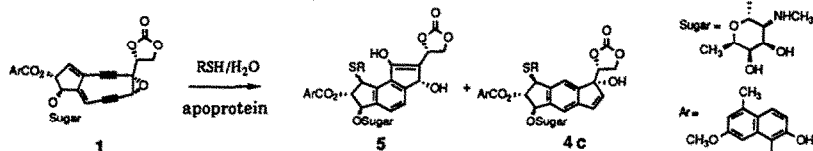
APOPROTEIN-ASSISTED UNUSUAL CYCLIZATION OF NEOCARZINOSTATIN CHROMOPHORE

Tetrahedron, 1994, 50, 1311

Hiroshi Sugiyama*, Katsuhiko Yamashita, Tsuyoshi Fujiwara, and Isao Saito*

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NCS chromophore (1) reacted with 2-mercaptoethanol to provide unprecedented cyclization product 5 together with known cyclization product 4c when complexed with apoprotein.

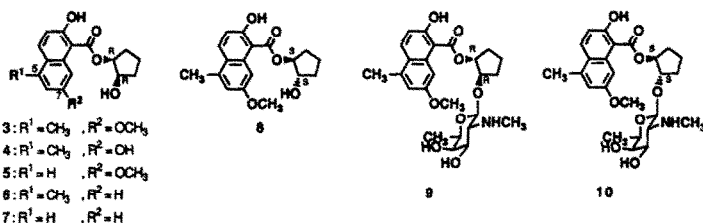


SYNTHESIS AND BINDING OF SIMPLE NEOCARZINOSTATIN CHROMOPHORE ANALOGUES TO THE APOPROTEIN

Tetrahedron, 1994, 50, 1327

Kazunobu Takahashi, Toshiyuki Tanaka, Toshio Suzuki, and Masahiro Hiram*

Department of Chemistry, Faculty of Science, Tohoku University, Sendai 980, Japan



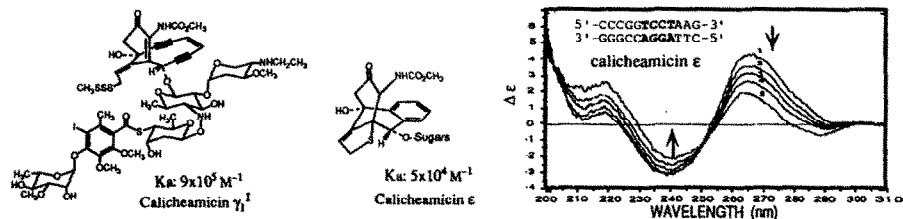
CIRCULAR DICHROISM STUDIES OF CALICHEAMICIN-DNA INTERACTION: EVIDENCE FOR CALICHEAMICIN-INDUCED DNA CONFORMATIONAL CHANGE

Tetrahedron, 1994, 50, 1341

Girija Krishnamurthy, Wei-dong Ding, Laura O'Brien, and George A. Ellestad*

American Cyanamid Company, Medical Research Division, Lederle Laboratories, Pearl River, New York 10965

Circular dichroism studies suggest that the binding of calicheamicin to DNA induces an optically detectable conformational change of B-form DNA.



NMR CHARACTERIZATION OF CALICHEAMICIN γ_1

Tetrahedron, 1994, 50, 1351

BOUND TO DNA. Suzanne L. Walker, Amy H. Andreotti and Daniel E. Kahn*

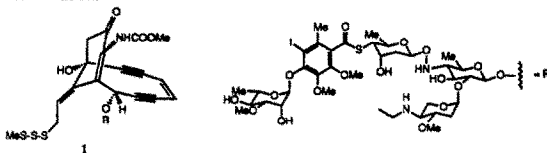
Department of Chemistry, Princeton University, Princeton, NJ 08544 USA

We describe NMR studies on different calicheamicin-DNA complexes that shed light on the molecular basis for selective DNA recognition.

FEATURES OF DNA RECOGNITION FOR ORIENTED BINDING AND CLEAVAGE BY CALICHEAMICIN

Stanley C. Mah, Mary Ann Price, Craig A. Townsend,* and Thomas D. Tullius*
 Department of Chemistry, The Johns Hopkins University, Baltimore, MD 21218, U.S.A.

Calicheamicin γ_1^I (1) recognizes and cleaves sequences of DNA that have a narrow minor groove and regions of DNA that may have a propensity for helix deformation.



Probing the Underlying Basis for the Binding Specificity of Calicheamicin γ_1^I . A Molecular Dynamics Study

David R. Langley*[†], Terrence W. Doyle[†] and David L. Beveridge[‡]

[†]Bristol-Myers Squibb Company, Pharmaceutical Research Institute, 5 Research Parkway, Wallingford, CT 06492-7660

[‡]Chemistry Department, Hall-Atwater Laboratories, Wesleyan University, Middletown, CT 06457

Six different DNA-calicheamicin complexes were modeled to investigate the mode of binding of the carbohydrate-thiobenzoate tail of calicheamicin with DNA. The calculations suggest that calicheamicin recognizes and/or induces wide minor grooves in DNA hot spots. Additionally, different DNA sequences may be targeted by different conformations of the calicheamicin carbohydrate-thiobenzoate tail.

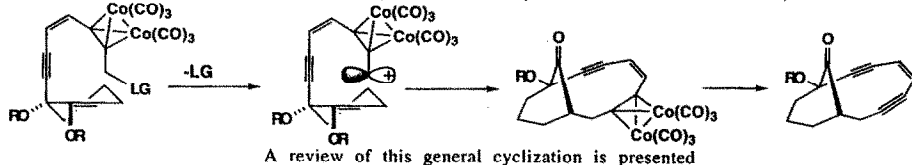
A General Strategy Using $\eta^2\text{Co}_2(\text{CO})_6$ Acetylene

Complexes for the Synthesis of the Eneidyne Antitumor Agents Esperamicin,

Calicheamicin, Dynemicin and Neocarzinostatin

Philip Magnus

Department of Chemistry and Biochemistry, The University of Texas at Austin, Austin, Texas 78712.

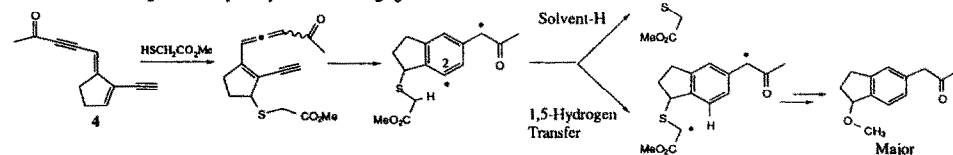


THE SYNTHESIS AND CHEMISTRY OF A SIMPLIFIED, FUNCTIONAL ANALOGUE OF NEOCARZINOSTATIN CHROMOPHORE: IDENTIFICATION OF AN INTRAMOLECULAR 1,5-HYDROGEN ATOM TRANSFER RELEVANT TO THE MECHANISM AND CLEAVAGE SELECTIVITY OF DIYL-BASED DNA CLEAVING AGENTS

Paul A. Wender* and Mark J. Tebbe, Department of Chemistry, Stanford University, Stanford, CA 94305 USA

Analogue 4 is found to mimic the cycloaromatization of neocarzinostatin chromophore.

A 1,5-hydrogen transfer has been identified which provides insight into DNA single and double strand cleavage selectivity of diyl-based cleaving agents.



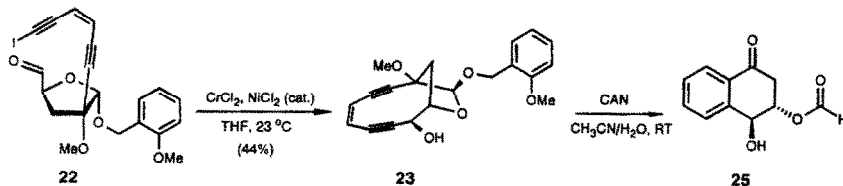
SYNTHESIS AND OXIDATIVE ACTIVATION OF AN OXABICYCLO[7.2.1] ENEDIYNE

Tilmann Brandstetter and Martin E. Maier*

Fakultät Chemie, Universität Konstanz, Postfach 5560, 78434 Konstanz, Germany

Tetrahedron, 1994, 50, 1435

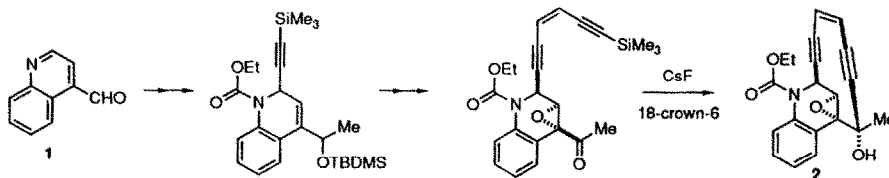
Intramolecular Nozaki reaction of **22** gave the enediyne **23**. By oxidative cleavage of the acetal with CAN the aromatized compound **25** was formed.

**SYNTHETIC STUDIES ON ANTIBIOTIC DYNEMICIN A****SYNTHESIS OF CYCLIC ENEDIYNE MODEL COMPOUND OF DYNEMICIN A**

Toshio Nishikawa, Akira Ino and Minoru Isobe*

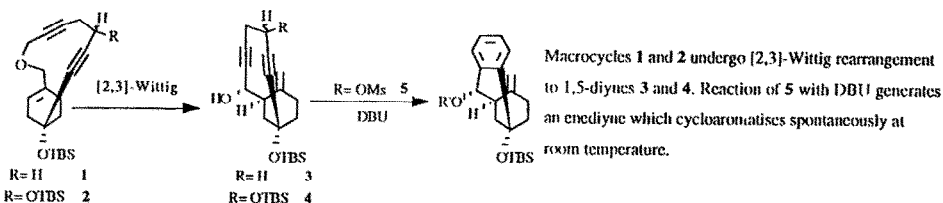
Laboratory of Organic Chemistry, School of Agriculture, Nagoya University, Chikusa, Nagoya 464-01, Japan

The model compound (**2**) of dynemicin A was synthesized from 4-quinolinecarboxaldehyde (**1**) in 9 steps, and this bicyclo-enediyne cycloaromatized to Bergman product and did exhibit DNA cleaving activity.

*Tetrahedron, 1994, 50, 1449***APPLICATION AND MECHANISTIC STUDIES OF THE [2,3]-WITTIG REARRANGEMENT: AN APPROACH TO THE BICYCLIC CORE STRUCTURE OF THE "ENEDIYNE" ANTITUMOR ANTIBIOTICS CALICHEAMICIN γ_1^1 AND ESPERAMICIN-A₁**

Hélène Audrain, Troels Skrydstrup, Gerardo Ulibarri, Claude Riche, Angèle Chiaroni and David S. Grierson*

Institut de Chimie des Substances Naturelles, C.N.R.S., 91198 Gif-sur-Yvette, France

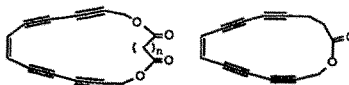
Tetrahedron, 1994, 50, 1469**Synthesis and Investigations of Enetetraynes**Daniel Elbaum,¹ Toan B. Nguyen,² William L. Jorgensen,² Stuart L. Schreiber^{1*}¹Department of Chemistry, Harvard University, 12 Oxford Street, Cambridge, MA 02138.²Department of Chemistry, Yale

University, 225 Prospect Street, New Haven, CT 06511.

Tetrahedron, 1994, 50, 1503

Several enetetrayne containing compounds have been synthesized and their chemistry

explored vis-a-vis the Bergman cycloaromatization. The results indicate that the strain of constraining the resulting benzodienone in a small ring raises the energy of the transition state of the initial Bergman reaction.



FACILE SYNTHESIS OF A SIMPLIFIED BICYCLO[7.3.1]ESPERAMICIN-CALICHEAMICIN ENEDIYNE CORE John F. Kadow*, Donald J. Cook, Terrence W. Doyle, David R. Langley, Kahnie M. Pham, Dolatrai M. Vyas, and Mark D. Wittman.
Bristol-Myers Squibb Company, Pharmaceutical Research Institute,
5 Research Parkway, Wallingford, CT 06492-7660

Tetrahedron, 1994, 50, 1519

