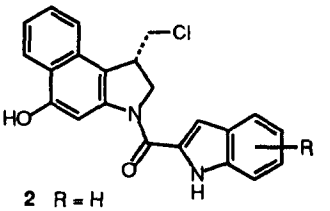
BioMed. Chem. **1995**, *3*, 611

CC-1065 CBI Analogs: An Example of Enhancement of DNA Alkylation Efficiency Through Introduction of Stabilizing Electrostatic Interactions. Dale L. Boger,* Weiya Yun, Nianhe Han, and Douglas S. Johnson, *Department of Chemistry, The Scripps Research Institute, 10666 North Torrey Pines Road, La Jolla, California 92037.*

Abstract. The three trimethylammonium salts **3-5** proved to be 100x more efficient at alkylating DNA than **2** and exhibited DNA alkylation efficiencies identical to that of (+)-CC-1065 (**1**).



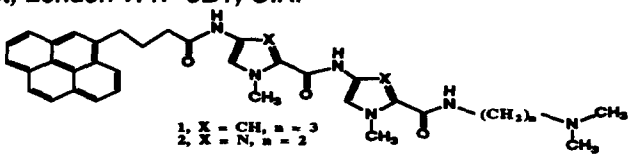
2 R = H
3 R = 7-NMe_3^+
4 R = 6-NMe_3^+
5 R = 5-NMe_3^+

BioMed. Chem. **1995**, *3*, 623

NOVEL CYTOTOXIC DNA SEQUENCE AND MINOR GROOVE TARGETED PHOTOSENSITIZERS: CONJUGATES OF PYRENE AND NETROPSIN ANALOGUES

John A. Hartley≠, Joanne Webber≠, Michael D. Wyatt≠, Natalie Bordenick and Moses Lee*
Dept. of Chemistry, Furman University, Greenville, SC 29613, ≠Dept. of Oncology, University College London Medical School, 91 Riding House Street, London W1P 8BT, U.K.

The synthesis, DNA binding properties and photoinduced cytotoxicities of conjugates of pyrene and netropsin analogues **1** and **2** are described.

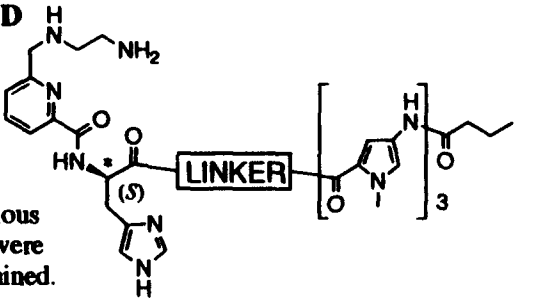


BioMed. Chem. **1995**, *3*, 647

DESIGN, SYNTHESIS AND SEQUENCE SELECTIVE DNA CLEAVAGE OF FUNCTIONAL MODELS OF BLEOMYCIN PART II: 1,2-TRANS-DISUBSTITUTED CYCLOPROPANE UNITS AS NOVEL LINKERS

Liren Huang, James C. Quada, Jr. and J. William Lown*
Department of Chemistry
University of Alberta, Edmonton, Alberta, T6G 2G2 Canada

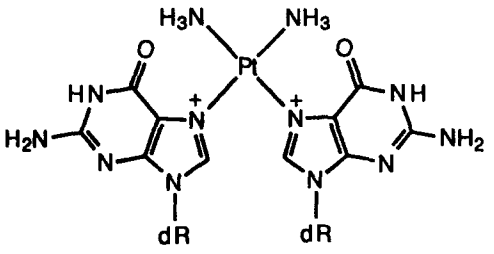
A series of simple models for bleomycin incorporating various linkers, including 1,2-trans-disubstituted cyclopropane units, were synthesized and their sequence selective cleavage of DNA examined.



BioMed. Chem. **1995**, *3*, 659

DNA-DNA Interstrand Cross-Linking by *cis*-Diamminedichloroplatinum(II): N7(dG)-to-N7(dG) Cross-Linking at 5'-d(GC) in Synthetic Oligonucleotides. Huifang Huang, Jinsuk Woo, Stephen C. Alley and Paul B. Hopkins, Department of Chemistry, University of Washington, Seattle, WA 98195

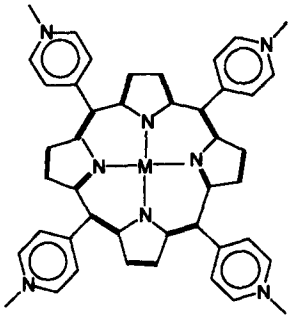
DNA-DNA interstrand cross-links formed by the antitumor drug *cis*-DDP were shown to bridge the N7 atoms of two deoxyguanosine residues on opposite strands at the duplex sequence 5'-d(GC). Computer simulation of the interstrand cross-linked product using molecular mechanics energy minimization and molecular dynamics revealed significant structural reorganization at the site of the cross-link.



BioMed. Chem. **1995**, *3*, 671

Perturbations in DNA Structure upon Interaction with Porphyrins Revealed by Chemical Probes, DNA Footprinting and Molecular Modelling

Kevin G. Ford and Stephen Neidle* *The CRC Biomolecular Structure Unit at The Institute of Cancer Research, Sutton, Surrey SM2 5NG, UK.*



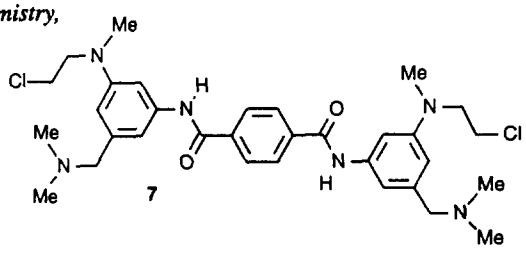
BioMed. Chem. **1995**, *3*, 679

Synthesis, DNA Interactions and Biological Activity of DNA Minor Groove Targeted Polybenzamide-linked Nitrogen Mustards

Graham J. Atwell,[a] Basma M. Yaghi,[a] Paul R. Turner,[a] Maruta Boyd,[a] Charmian J. O'Connor,[b] Lynnette R. Ferguson,[a] Bruce C. Baguley[a] and William A. Denny[a]*

[a]*Cancer Research Laboratory, School of Medicine, and* [b]*Department of Chemistry, University of Auckland, Private Bag 92019, Auckland, New Zealand*

The polybenzamide **7** and a series of related DNA minor groove binding ligands bearing either one or two spatially-separated monofunctional mustard units have been synthesised, and their interactions with DNA and cytotoxicities have been studied. Analogues with two alkylating functions were the most cytotoxic, with **7** being 1000-fold more potent than chlorambucil against P388 leukemia in culture, and more potent *in vivo*. Of the compounds studied, **7** possesses a geometry most complementary to that of duplex DNA.

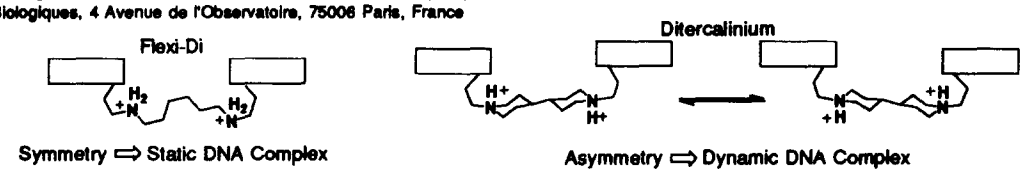


7

BioMed. Chem. **1995**, *3*, 693

ASYMMETRY AND DYNAMICS IN BIS-INTERCALATED DNA

M.E. Peek[1], L.A. Lipscomb[1], J. Haseltine[1], Q. Gao[2], B.P. Roques[3], C. Garbay-Jaureguiberry[3], and L.D. Williams[1]; [1]School of Chemistry & Biochemistry, Georgia Institute of Technology, Atlanta, GA 30332-0400 USA; [2]Department of Analytical Chemistry, Bristol-Myers Squibb Company, Wallingford, CT 06492 USA; [3]Departement de Chimie Organique U266 INSERM, URA D1500 CNRS des Sciences Pharmaceutiques et Biologiques, 4 Avenue de l'Observatoire, 75006 Paris, France

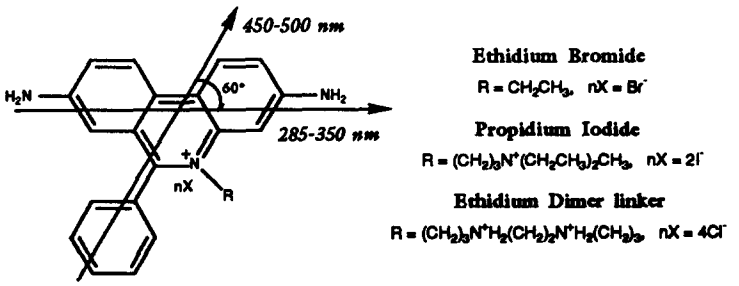


BioMed. Chem. **1995**, *3*, 701

Intercalative Interactions of Ethidium Dyes with Triplex Structures

Eimer Tuite and Bengt Nordén*

Department of Physical Chemistry, Chalmers University of Technology, S-412 96 Gothenburg, Sweden

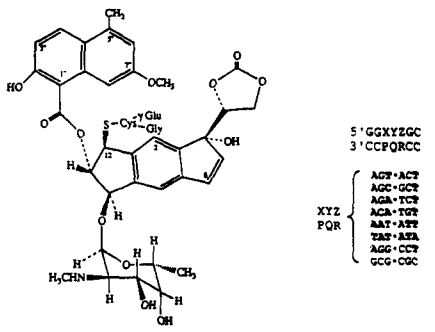


Binding and Cleavage Characteristics of the Complexes Formed Between the Neocarzinostatin Chromophore and Single Site Containing Oligonucleotides

BioMed. Chem. **1995**, *3*, 713

Adonis Stassinopoulos and Irving H. Goldberg
Department of Biological Chemistry and Molecular Pharmacology, Harvard Medical School, Boston, Massachusetts 02115

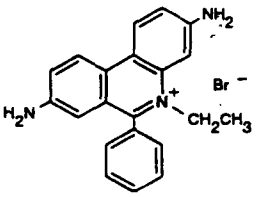
The interaction of neocarzinostatin chromophore (NCS-Chrom) and its glutathione-inactivated form (NCSi-glu) with single site containing oligonucleotides (SSO) was studied by quantitative affinity binding and fluorescence quenching techniques, respectively. The complexes formed between NCSi-glu and SSOs can model all the main ds cleavage site interactions of ds DNA with the native drug under physiological conditions.



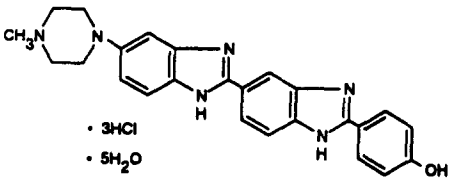
Criteria for the Mode of Binding of DNA Binding Agents

BioMed. Chem. **1995**, *3*, 723

Dongchul Suh and Jonathan B. Chaires
Department of Biochemistry, The University of Mississippi Medical Center, 2500 North State Street, Jackson MS 39216-4505



ETHIDIUM BROMIDE



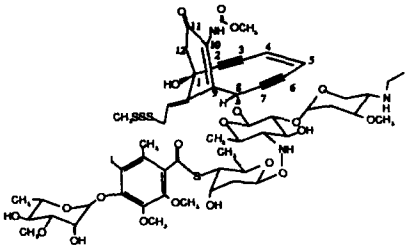
HOECHST 33258

New Insights into Calicheamicin-DNA Interactions Derived from a Model Nucleosome System

BioMed. Chem. **1995**, *3*, 729

L. Yu, A. A. Salzberg, and P. C. Dedon, Div. of Toxicology, MIT, Cambridge, MA 02139

DNA target selection by the enediyne calicheamicin was studied in a reconstituted nucleosome system. The studies support a model in which calicheamicin recognizes the combined structural and dynamic properties of the 3'-ends of oligopurine tracts.

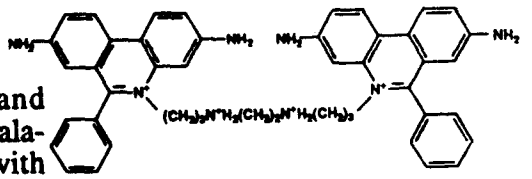


Thermodynamic Investigation of the Association of Ethidium, Propidium and Bis-Ethidium to DNA Hairpins

BioMed. Chem. **1995**, *3*, 751

Dionisios Retzeperis, Miriam Medero and Luis A. Marky*
Department of Chemistry, New York University, New York, NY 10003.

We have used a combination of spectroscopic and calorimetric techniques to investigate the binding of intercalators to the stem and loop sites of short DNA hairpins with sequences: d(GCGCT5GCGC) and d(CGCGT5CGCG).

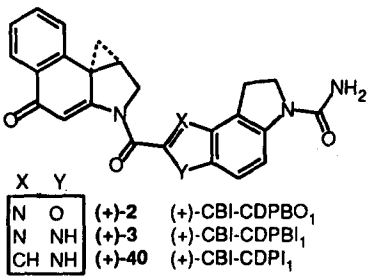


CBI-CDPBO$_1$ and CBI-CDPBI$_1$: CC-1065 Analogs Containing Deep-seated Modifications in the DNA Binding Subunit.

BioMed. Chem. **1995**, *3*, 761

Dale L. Boger,* Weiya Yun, Hui Cai, and Nianhe Han, *Department of Chemistry, The Scripps Research Institute, 10666 North Torrey Pines Road, La Jolla, California 92037.*

Abstract. The synthesis and evaluation of CBI-CDPBO$_1$ (**2**) and CBI-CDPBI$_1$ (**3**), CBI analogs of CC-1065 and the duocarmycins incorporating modified DNA binding subunits, are detailed.

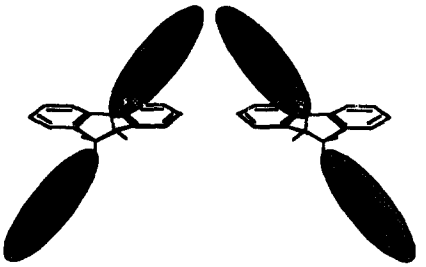


Sequence-Specific DNA Binding by Covalently Constrained Peptide Dimers of the Basic Leucine Zipper Protein GCN4

BioMed. Chem. **1995**, *3*, 777

Masako Okagami, Masaru Ueno and Keisuke Makino
Department of Polymer Science & Engineering, Kyoto Institute of Technology, Sakyo-ku, Kyoto 606
Masatoshi Shimomura and Isao Saito
Department of Synthetic Chemistry, Kyoto University, Sakyo-ku,Kyoto 606
Takashi Morii* and Yukio Sugiura
Institute for Chemical Research, Kyoto University, Uji, Kyoto 611, Japan

DNA binding of covalently bonded peptide dimers was studied by using C2 chiral template as a dimerization module.

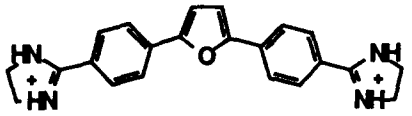


Small Changes in Cationic Substituents of Diphenylfuran Derivatives Have Major Effects on the Binding Affinity and the Binding Mode with RNA Helical Duplexes.

BioMed. Chem. **1995**, *3*, 785

M. Zhao, L. Ratmeyer, R. G. Peloquin, S. Yao, A. Kumar, J. Spychala, D. Boykin, D. Wilson
Department of Chemistry, Georgia State University, Atlanta, GA, 30303

Furanimidazoline binds to the polyA.polyU RNA duplex by intercalation and causes the largest Tm increase for similarly substituted dications. Several amidine substituted tetracations do not have as large an affinity for RNA as the furanimidazoline dication.

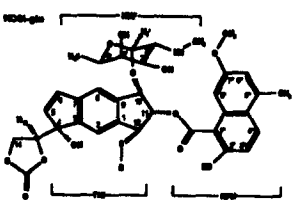


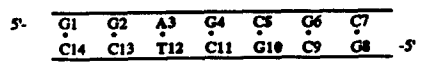
furimidazoline

NMR STUDIES OF THE POST-ACTIVATED NEOCARZINOSTATIN CHROMOPHORE-DNA COMPLEX. CONFORMATIONAL CHANGES INDUCED IN DRUG AND DNA

BioMed. Chem. **1995**, *3*, 795

Xiaolian Gao,†* Juan Gu,†† Adonis Stassinopoulos,‡ Irving H. Goldberg‡
†Department of Chemistry, University of Houston, Houston, TX 77204-5641
††Center for Biotechnology, Baylor College of Medicine, Houston, TX 77030
‡Department of Biological Chemistry and Molecular Pharmacology, Harvard Medical School, Boston, Massachusetts 02115

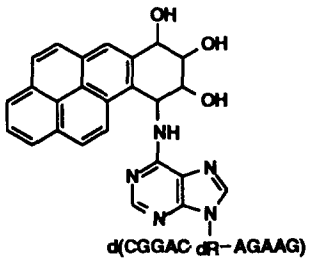




BioMed. Chem. **1995**, *3*, 811

AN EFFICIENT ROUTE TO N^6 DEOXYADENOSINE ADDUCTS OF DIOL EPOXIDES OF CARCINOGENIC POLYCYCLIC AROMATIC HYDROCARBONS

S. J. Kim, H. K. Jajoo, H.-Y. Kim, L. Zhou, P. Horton, C. M. Harris,* and T. M. Harris*
Chemistry & Pharmacology, Departments and Center in Molecular Toxicology, Vanderbilt Univ., Nashville, TN 37235

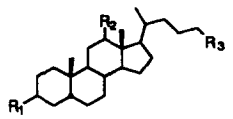


BioMed. Chem. **1995**, *3*, 823

Synthesis and DNA Binding Properties of C3-, C12-, and C24-Substituted Amino-Steroids

H.-P. Hsieh, J. G. Muller and C. J. Burrows*
Department of Chemistry, State University of New York, Stony Brook, NY 11794, USA

The synthesis of seven new steroids bearing ammonium or guanidinium groups at the 3,12 and/or 24 positions is described. Their ability to bind to DNA was studied using CD, ΔTm, and ethidium displacement assays.

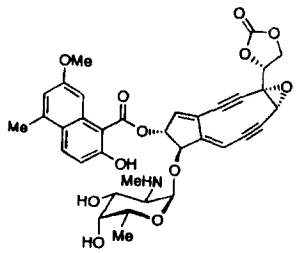


BioMed. Chem. **1995**, *3*, 839

ENEDIYNE-MEDIATED CLEAVAGE OF RNA

J.-M. A. Battigello, M. Cui, S. Roshong, and B. J. Carter* Department of Chemistry University of Toledo; Toledo, OH 43606

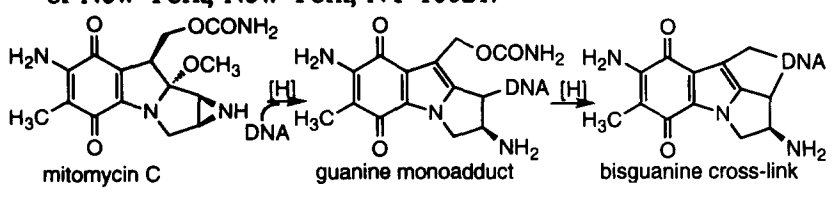
Four enediynes were investigated for cleavage of structurally disctinct RNA molecules. Of the four enediynes tested, NCS cleaved a tRNA transcript, two hairpin RNAs, and a proposed pseudoknot RNA; CAl and ESP cleaved two hairpin RNAs only; and DYN did not cleave any RNA molecule investigated.

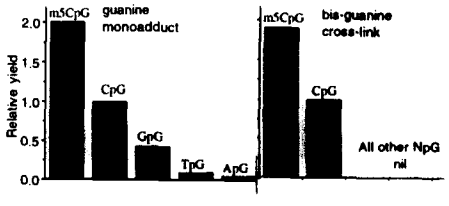


BioMed. Chem. **1995**, *3*, 851

Selective recognition of the m^5CpG dinucleotide sequence in DNA by mitomycin C for alkylation and cross-linking.

W. S. Johnson, Q.-Y. He and M. Tomasz*, Department of Chemistry, Hunter College, City University of New York, New York, NY 10021.



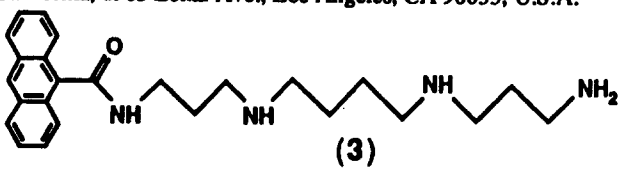


BioMed. Chem. **1995**, *3*, 861

MULTIPLE DNA BINDING MODES OF ANTHRACENE-9-CARBONYL-N^1-SPERMINE

Alison Rodger, Steven Taylor, Gareth Adlam, Ian S. Blagbrough and Ian S. Haworth

Dept. of Chemistry, University of Warwick, Coventry, CV4 7AL, U.K. ; Dept. of Medicinal Chemistry, School of Pharmacy and Pharmacology, University of Bath, Claverton Down, Bath BA2 7AY, U.K. ; Dept. of Pharmaceutical Sciences, University of Southern California, 1985 Zonal Ave., Los Angeles, CA 90033, U.S.A.



(3)

Abstract : The ligand (3) forms at least two intercalated complexes with poly(dA-dT)$_2$, as determined using linear and circular dichroism, fluorescence spectroscopy and computer modelling.