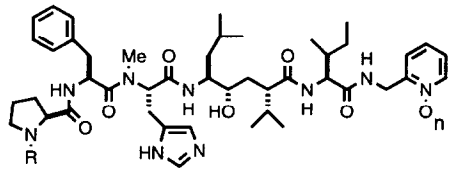
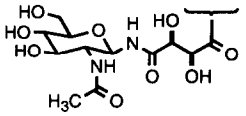
Appraisal of a Glycopeptide Cloaking Strategy for a Therapeutic Oligopeptide: Glycopeptide Analogs of the Renin Inhibitor Ditekiren.

BioMed. Chem. **1994,** *2,* 1339

Allen W. Harrison, Jed F Fisher,* David M. Guido, Sally J. Couch, Judy A. Lawson, Dorothy M. Sutter, Mark V. Williams, Garry L DeGraaf, John E Rogers, Donald T Pals, Donald W. DuCharme



1 Ditekiren (R = Boc, n = 0)

20 (R =  n = 1)

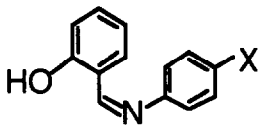
N-terminus *N*-linked glycopeptide derivatives of **1**, exemplified by **20**, exhibit significantly increased hypotensive activity relative to **1** in a human renin-infused rat assay This activity increase extends over a range of different β-linked D-pyranose saccharide and linker structures.

POTENTIAL RADIOPROTECTIVE AGENTS. 4. SCHIFF BASES

BioMed. Chem. **1994,** *2,* 1363

Robert T. Blickenstaff, Shailaga Reddy, and Robert Witt, *VA Medical Center and IU School of Medicine,* and Kenny B. Lipkowitz, *IUPUI, Indianapolis, Indiana, 46202*

Abstract: Schiff bases of substituted anilines were synthesized and tested as radioprotective agents in mice.

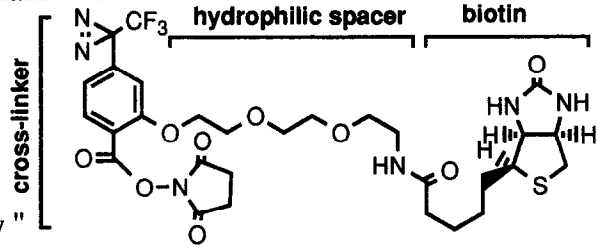


A Novel Biotinylated Heterobifunctional Cross-Linking Reagent Bearing An Aromatic Diazirine

BioMed. Chem. **1994,** *2,* 1367

Y. Hatanaka,* M. Hashimoto,[a] Y. Kanaoka[b]
**Res. Inst. for Wakan-Yaku, Toyama Medical and Pharmaceutical Univ., Sugitani 2630, Toyama, 930-01 JAPAN; a) Fac. of Pharmaceutical Sci., Hokkaido Univ.; b) Toyama Women's Coll.*

"A new cross-linker for specific manipulation of labeled components by avidin-biotin technology "



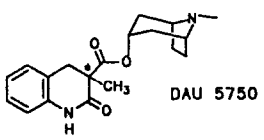
SYNTHESIS, ABSOLUTE CONFIGURATION, CONFORMATIONAL ANALYSIS AND BINDING AFFINITY PROPERTIES OF ENANTIOMERIC FORMS OF DAU 5750, A NOVEL M1-M3 MUSCARINIC RECEPTOR ANTAGONIST

BioMed. Chem. **1994,** *2,* 1375

M. Turconi[1], A. Gozzo[1]*, G. Schiavi[1], G. Fronza[2], A. Mele[2], P. Bravo[2]

[1]Departments of Medicinal Chemistry and Biochemistry, Boehringer Ingelheim Italia S.p.A., via Sorio 15, I-20139 Milan, Italy
[2]Dipartimento di Chimica, CNR-Centro Studio Sostanze Organiche Naturali, Politecnico, via Mancinelli 7, I-20131 Milan, Italy

Compd.	Kd, nM		
	M1	M2	M3
DAU 5750 (racem.)	26	1066	140
8-(R)-(-)	530	20000	2100
8-(S)-(+)	19	670	80



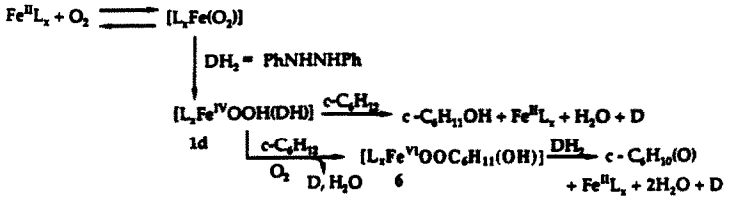
DAU 5750

Iron(II)/Reductant(DH_2)-Induced Activation of Dioxygen for the Hydroxylation and Ketonization of Hydrocarbon; Mimics for the *Cytochrome P-450 Hydroxylase/Reductase* System

BioMed. Chem. **1994**, *2*, 1385

Donald T. Sawyer,* Xiu Liu, Chad Redman and Bethsheba Chong
Department of Chemistry, Texas A&M University, College Station, Texas 77743

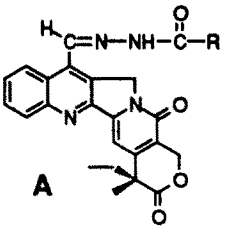
Brief: Iron(II) complexes ($Fe^{II}L_x$) in combination with reductants (DH_2) catalytically activate O_2 for the hydroxylation and ketonization of saturated hydrocarbons.



BioMed. Chem. **1994**, *2*, 1397

SYNTHESIS OF NOVEL WATER-SOLUBLE 7-(AMINOACYLHYDRAZONO)-FORMYL CAMPTOTHECINS WITH POTENT INHIBITION OF DNA TOPOISOMERASE II

Hui-Kang Wang,[a] Su-Yin Liu,[b] Kou-Maou Hwang,[c] Glen Taylor, and Kuo-Hsiung Lee[a]*, [a]*Natural Products Laboratory, Division of Medicinal Chemistry and Natural Products, School of Pharmacy, University of North Carolina, Chapel Hill, North Carolina 27599, [b]Sintong Pharmaceutical Company, U. S., Hayward, CA 94505; [c]Genelabs Technologies Inc., Redwood City, CA 94063*



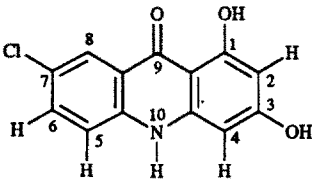
A

Abstract: Eighteen new water-soluble 7-(aminoacylhydrazono)-formyl camptothecins with general structure (A) were synthesized and evaluated for their ability to cause protein-linked DNA breaks and to inhibit topoisomerase I activity. The results suggest that the 7 position in the B ring is a suitable location for introducing a polar moiety into camptothecin with enhanced topoisomerase I inhibiting activity.

BioMed. Chem. **1994**, *2*, 1403

ANTIPROLIFERATIVE ACTIONS OF 7-SUBSTITUTED 1,3,-DIHYDROXY-ACRIDONES; POSSIBLE INVOLVEMENT OF DNA TOPOISOMERASE II AND PROTEIN KINASE C AS BIOCHEMICAL TARGETS

Kenneth F. Bastow,[a] Masataka Itoigawa,[b,c] Hiroshi Furukawa,[c] Yoshiki Kashiwada,[e]
Ibrahim D. Bori,[a] Lawrence M. Ballas,[d] and Kuo-Hsiung Lee[e,*]
[a]*Division of Medicinal Chemistry and Natural Products, School of Pharmacy, University of North Carolina, Chapel Hill, NC 27599,* [b]*Visiting Research Scholar,* [c]*Present Address: Meijo University, Nagoya, Japan,* [d]*Sphinx Pharmaceuticals Corporation, Durham, NC 27717,* [e]*Natural Products Laboratory, School of Pharmacy, University of North Carolina, Chapel Hill, NC 27599*



1

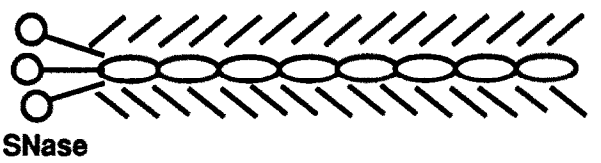
Abstract: 7-Chloro-1,3,-dihydroxyacridone (1) as an *in vitro* inhibitor of DNA topoisomerase II and Protein Kinase C subtype delta and as a cytostatic/cytotoxic, antiviral agent is reported.

BioMed. Chem. **1994**, *2*, 1413

PHAGE DISPLAY OF CATALYTICALLY ACTIVE STAPHYLOCOCCAL NUCLEASE

J. Ku and P.G. Schultz*, *Department of Chemistry, University of California, Berkeley, CA 94720*

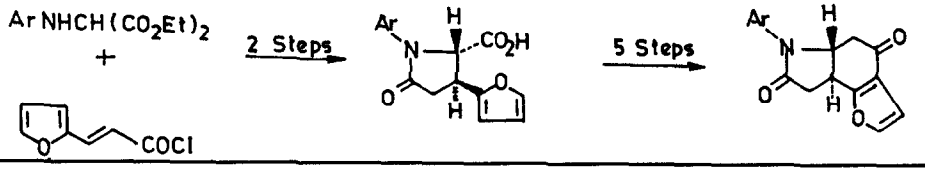
Abstract: Functional Staphylococcal nuclease (SNase) was fused to the N-terminus of the gene III protein of filamentous phage fdtet.



BioMed. Chem. **1994**, *2*, 1417

STRUCTURALLY DESIGNED NOVEL FUROGAMMA LACTAMS AS INHIBITOR FOR BACTERIAL PROPAGATIONS

Jayanta K. Ray*, Izhar Sami, Gandhi K. Kar, Bidhan C.Roy,Department of Chemistry, Indian Institute of Technology, Kharagpur 721302 (INDIA); and Nitosh K. Brahma, Department of Chemical Engineering, Indian Institute of Technology, Kharagpur 721302 (INDIA).

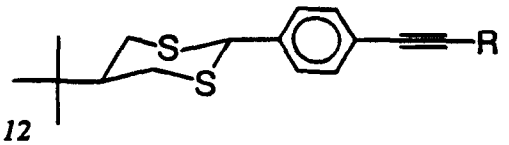


BioMed. Chem. **1994**, *2*, 1423

Structure-Activity Studies Leading to Potent Chloride Channel Blockers: *5e-tert*-Butyl-2-[4-(substituted-ethynyl)phenyl]-1,3-dithianes

Qing X. Li and John E. Casida

Environmental Chemistry and Toxicology Laboratory, Dept. of ESPM, University of California, Berkeley, CA 94720-3112



Water-soluble dithianes (R=$CH_2OCH_2CO_2H$ or $CH_2OCH_2PO_3H_2$) block the GABA-gated chloride channel by 50% at 3-8 nM. The potency of 44 analogs is related to the polarizable volume of the R substituent.