Chemical Engineering of RNase Resistant and Catalytically Active Hammerhead Ribozymes

Bioorg. Med. Chem. **1997**, *5*, 1999

Fabienne Burlina,[a] Alain Favre[b] and Jean-Louis Fourrey[a,*]
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We review the important features of RNA cleavage by hammerhead ribozymes together with the efforts which are currently made to enhance both their RNase resistance and their efficiency.

Palladium-Catalyzed Synthesis of [*E*]-6-(2-Acylvinyl)-uracils and [*E*]-6-(2-Acylvinyl)-1-[(2-hydroxyethoxy)-methyl]uracils—their Antiviral and Cytotoxic Activities

Bioorg. Med. Chem. **1997**, *5*, 2011

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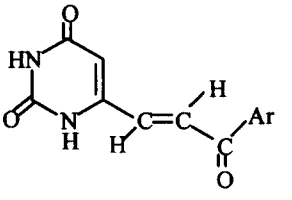
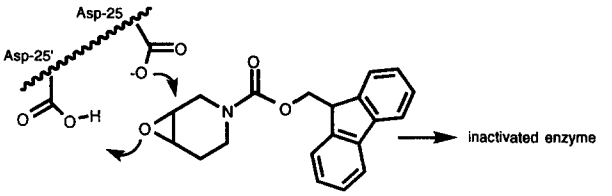
[*E*]-6-(2-Acylvinyl)uracils and the corresponding 1-(2-hydroxyethoxy)methyl derivatives were synthesized. Some of them showed pronounced activity against human T-lymphocytes Molt4/C8 and CEM cells.



Alkylation of a Catalytic Aspartate Group of the SIV Protease by an Epoxide Inhibitor

Bioorg. Med. Chem. **1997**, *5*, 2019

Patricia S. Caldera, Zhonghua Yu, Ronald M. A. Knegtel, Fiona McPhee, Alma L. Burlingame, Charles S. Craik, Irwin D. Kuntz and Paul R. Ortiz de Montellano*
Department of Pharmaceutical Chemistry, School of Pharmacy, University of California, San Francisco, CA 94143-0446, U.S.A.

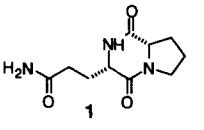


TRH Mimetics: Differentiation of Antiamnesic Potency from Antidepressant Effect

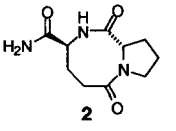
Bioorg. Med. Chem. **1997**, *5*, 2029

Anatoly A. Mazurov,* Sergei A. Andronati, Tamara I. Korotenko, Nikolai I. Sokolenko, Alexei I. Dyadenko, Yury E. Shapiro, Vitalii Ya. Gorbatyuk, and Tatyana A. Voronina
Physico-Chemical Institute, Odessa, Ukraine; Research Institute of Pharmacology, Moscow, Russia

Using conformational constraints for two putative bioactive conformations and obligatory replacement of histidine with glutamine in thyrotropin-releasing hormone, a novel cognitive enhancer **2** and antidepressant **1**, have been designed and synthesized.



1

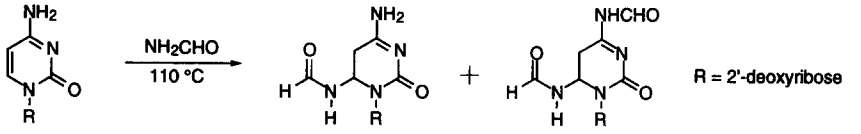


2

Bioorg. Med. Chem. **1997**, *5*, 2041

Mechanism of Degradation of 2′-Deoxycytidine by Formamide: Implications for Chemical DNA Sequencing Procedures

Raffaele Saladino,[a,*] Claudia Crestini,[a] Enrico Mincione,[a] Giovanna Costanzo,[b] Ernesto Di Mauro[b,c] and Rodolfo Negri[c,*]
[a]*Dipartimento Agrochimico Agrobiologico, Università della Tuscia, Via S. Camillo de Lellis, Viterbo, Italy*
[b]*Fondazione 'Istituto Pasteur–Fondazione Cenci Bolognetti', c/o Dipartimento di Genetica e Biologia Molecolare, Università 'La Sapienza', Roma, Italy*
[c]*Centro di Studio per gli Acidi Nucleici, CNR, Roma, Italy*

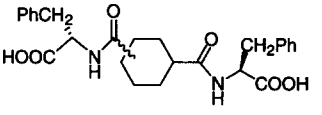


Bioorg. Med. Chem. **1997**, *5*, 2049

The Influence of Molecular Conformation upon the Self-Assembly of Cyclohexane Diamide Diacids

Raymond J. Bergeron,* Guo Wei Yao, Gregory W. Erdos, Sam Milstein, Fenglan Gao, Jim Rocca, William R. Weimar, Harry L. Price, and Otto Phanstiel, IV
Department of Medicinal Chemistry, University of Florida, Gainesville, Florida 32610, U.S.A. and elsewhere.

The cyclohexylene (R-C_6H_{10}-R) system (with its axial and equatorial requirements) provided an opportunity to study the influence of molecular conformation upon a peptide aggregation process.



5a,b: 1,2-*trans*; **8:** 1,2-*cis* **11:** 1,3-*cis*; **12a,b:** 1,3-*trans* **15:** 1,4-*cis*; **16:** 1,4-*trans*

Bioorg. Med. Chem. **1997**, *5*, 2063

Conformational Preference for Segetalins G and H, Cyclic Peptides with Estrogen-like Activity from Seeds of *Vaccaria segetalis*

Hiroshi Morita, Young Sook Yun, Koichi Takeya and Hideji Itokawa*
Department of Pharmacognosy, School of Pharmacy, Tokyo University of Pharmacy and Life Science, 1432-1 Horinouchi, Hachioji, Tokyo 192-03, Japan

Three-dimensional structures in DMSO-d_6 of segetalins G and H, cyclic pentapeptides from seeds of *Vaccaria segetalis*, showing estrogen-like activity, were determined by the distance geometry calculation and restrained energy minimization from NMR data.

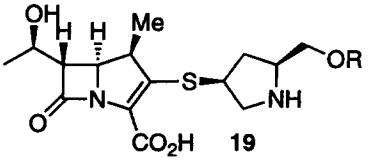
segetalin G: *cyclo* (-Gly-Val-Lys-Tyr-Ala-)
segetalin H: *cyclo* (-Gly-Tyr-Arg-Phe-Ser-)

Bioorg. Med. Chem. **1997**, *5*, 2069

Synthesis and Antibacterial Activity of Novel 4-Pyrrolidinylthio Carbapenems—I. 2-Alkoxymethyl Derivatives

Hidenori Azami,* Hideo Tsutsumi, Keiji Matsuda, David Barrett, Kouji Hattori, Takashi Nakajima, Satoru Kuroda, Toshiaki Kamimura and Masayoshi Murata
Medicinal Chemistry Research Laboratories, Fujisawa Pharmaceutical Co. Ltd, 2-1-6 Kashima, Yodogawa-ku, Osaka 532, Japan

The synthesis and in vitro antibacterial activity and stability to human DHP-I of a novel series of alkoxymethyl-substituted carbapenems **19** are described. Of these compounds, **19j** (R=CH_2CH_2F) displayed excellent efficacy against systemic infections in mice.



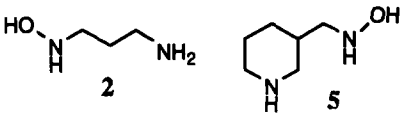
19

Bioorg. Med. Chem. **1997**, *5*, 2089

A Novel Class of Potent γ-Aminobutyric Acid Aminotransferase Inhibitor, 3-(Hydroxyamino)-propylamine and Analogues

Shinji Fushiya,* Toshiyuki Kanazawa and Shigeo Nozoe
Faculty of Pharmaceutical Sciences,Tohoku University, Aobayama, Aoba-ku, Sendai 980-77, Japan

Hydroxyamino analogues of GABA, 3-(hydroxyamino)propylamine (HPA) (**2**) and 3-(hydroxyaminomethyl)-piperidine (4HP) (**5**) showed a potent inhibitory activity against GABA-T.

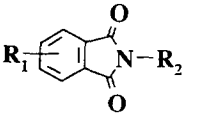


Bioorg. Med. Chem. **1997**, *5*, 2095

Tumor Necrosis Factor-alpha Production-inhibiting Activity of Phthalimide Analogues on Human Leukemia THP-1 Cells and a Structure–Activity Relationship Study

Hiroyuki Miyachi, Asuka Ogasawara, Akihiko Azuma and Yuichi Hashimoto*
Institute of Molecular and Cellular Biosciences, The University of Tokyo, 1-1-1 Yayoi, Bunkyo-ku, Tokyo 113, Japan

A series of *N*-substituted phthalimides were prepared and evaluated for their effects on tumor necrosis factor-alpha production by human cell line THP-1 stimulated with TPA or OA.



Bioorg. Med. Chem. **1997**, *5*, 2103

Inhibition of Papain with 2-Benzyl-3,4-epoxybutanoic Acid Esters. Mechanistic and Stereochemical Probe for Cysteine Protease Catalysis

Dong H. Kim,* Yonghao Jin and Choon Ho Ryu
Center for Biofunctional Molecules and Department of Chemistry, Pohang University of Science and Technology, San 31 Hyojadong, Pohang 790-784, Korea