



**Bioorganic & Medicinal Chemistry Letters Vol. 19, No. 14, 2009**

Symposium-in-Print

**Tetrahedron Young Investigator Award 2009**

**Carlos F. Barbas, III**

*Edited by:* Dale L. Boger

**Contents**

**Tetrahedron Young Investigator Award 2009: Carlos F. Barbas, III**

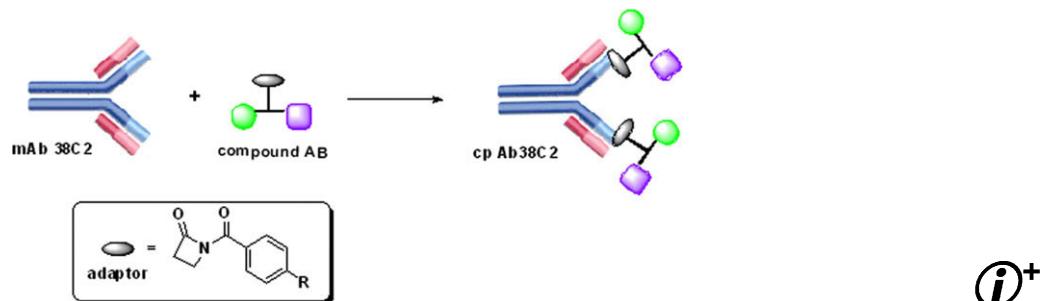
**p 3715**

**AWARDEE'S ARTICLE**

**An efficient chemical approach to bispecific antibodies and antibodies of high valency**

**pp 3716–3720**

Julia I. Gavrilyuk, Ulrich Wuellner, Syed Salahuddin, Rajib K. Goswami, Subhash C. Sinha, Carlos F. Barbas III \*

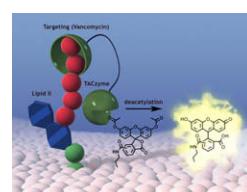


**SPECIAL ISSUE ARTICLES**

**Potential scorpionate antibiotics: Targeted hydrolysis of lipid II containing model membranes by vancomycin-TACzyme conjugates and modulation of their antibacterial activity by Zn-ions**

**pp 3721–3724**

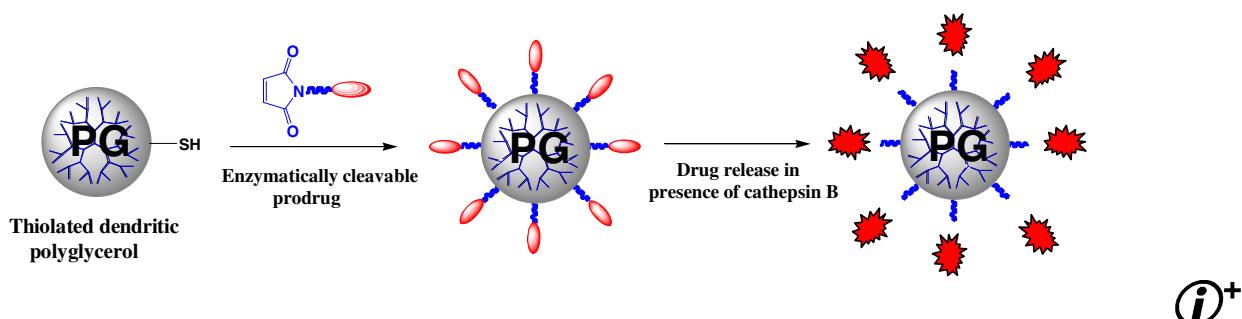
H. Bauke Albada, Christopher J. Arnusch, Hilbert M. Branderhorst, Anne-Marie Verel, Wouter T. M. Janssen, Eefjan Breukink, Ben de Kruijff, Roland J. Pieters, Rob M. J. Liskamp \*



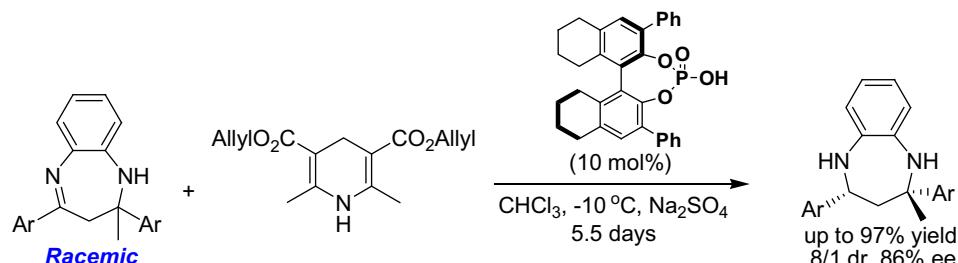
Vancomycin was conjugated to a hydrolysis catalyst (or TACzyme). Targeted hydrolysis by such a conjugate was observed using membranes containing lipid II. MIC-values of targeted hydrolysis catalyst constructs could be modulated by Zn(II).

**Development of enzymatically cleavable prodrugs derived from dendritic polyglycerol**

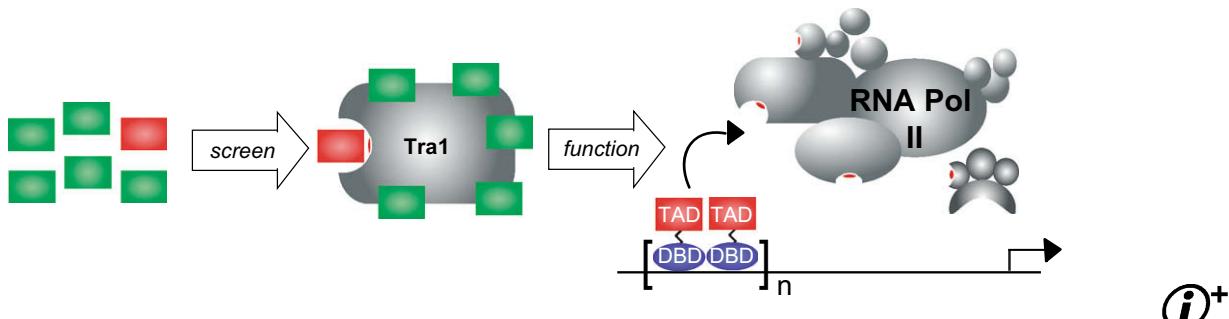
pp 3725–3728

Marcelo Calderón, Ralph Graeser, Felix Kratz <sup>\*</sup>, Rainer Haag <sup>\*</sup>**Dynamic kinetic asymmetric transfer hydrogenation of racemic 2,4-diaryl-2,3-dihydrobenzo[b][1,4]diazepines catalyzed by chiral phosphoric acids**

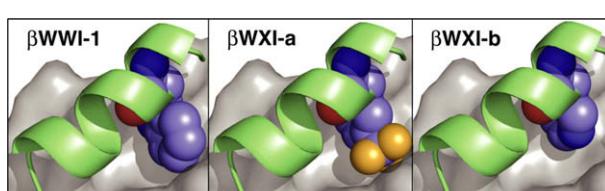
pp 3729–3732

Zhi-Yong Han, Han Xiao, Liu-Zhu Gong <sup>\*</sup>**Tra1 as a screening target for transcriptional activation domain discovery**

pp 3733–3735

Chinmay Y. Majmudar, Anne E. Labut, Anna K. Mapp <sup>\*</sup>**Identification of a  $\beta^3$ -peptide HIV fusion inhibitor with improved potency in live cells**

pp 3736–3738

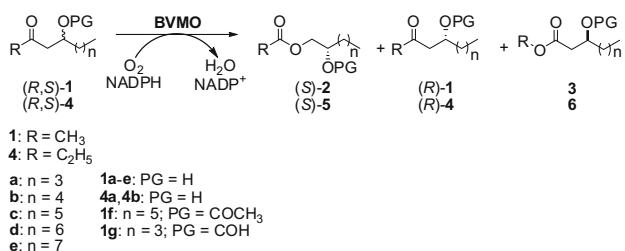
Arjel D. Bautista, Olen M. Stephens, Ligong Wang, Robert A. Domaaoal, Karen S. Anderson, Alanna Schepartz <sup>\*</sup>

We recently reported a  $\beta^3$ -decapeptide,  $\beta$ WWI-1, that binds a validated gp41 model in vitro and inhibits gp41-mediated fusion in cell culture. Here we report six analogs of  $\beta$ WWI-1 containing a variety of non-natural side chains in place of the central tryptophan of the WWI-epitope. These analogs are compared on the basis of both gp41 affinity in vitro and fusion inhibition in live, HIV-infected cells. One new  $\beta^3$ -peptide,  $\beta$ WXI-a, offers a significantly improved CC<sub>50</sub>/EC<sub>50</sub> ratio in the live cell assay.

## Kinetic resolution of aliphatic acyclic $\beta$ -hydroxyketones by recombinant whole-cell Baeyer–Villiger monooxygenases—Formation of enantioselective regiosomeric esters

pp 3739–3743

Jessica Rehdorf, Alenka Lengar, Uwe T. Bornscheuer, \* Marko D. Mihovilovic, \*

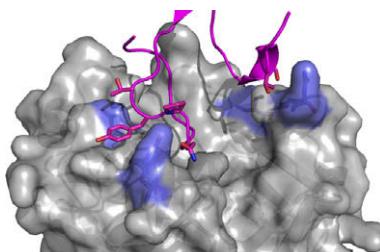


Kinetic resolution of linear aliphatic  $\beta$ -substituted ketones with engineered *Escherichia coli* cells expressing BVMOs of different bacterial origin is reported. Regioselectivity as well as enantioselectivity of the biotransformation are examined in detail.

## Improving the species cross-reactivity of an antibody using computational design

pp 3744-3747

Christopher J. Farady, Benjamin D. Sellers, Matthew P. Jacobson, Charles S. Craik

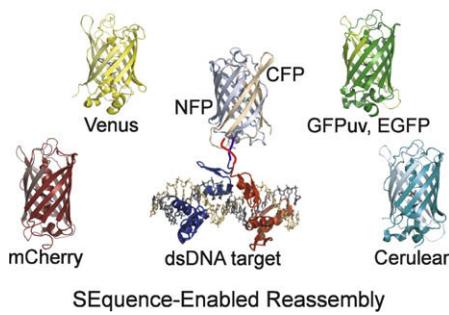


Small antigenic differences between the human and mouse versions of MT-SP1 greatly affect the binding of an anti-MT-SP1 antibody. The cross-reactivity of the antibody was improved by making computationally-designed point mutants of antibody residues that contact these divergent residues.

## Systematic evaluation of split-fluorescent proteins for the direct detection of native and methylated DNA

pp 3748-3751

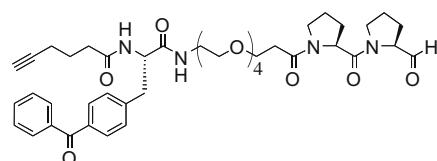
Jennifer L. Furman, Ahmed H. Badran, Shengyi Shen, Cliff J. Stains, Jack Hannallah, David J. Segal, Indranee Ghosh \*



## Using peptidyl aldehydes in activity-based proteomics

pp 3752–3755

Using peptidyl aldehydes in activity-based protein profiling



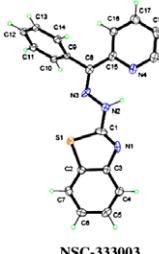
The potential of peptidyl aldehydes as emerging activity-based probes is reported here for the first time.

i+

**Identification of a disruptor of the MDM2-p53 protein–protein interaction facilitated by high-throughput in silico docking**

pp 3756–3759

Harshani R. Lawrence, Zhenyu Li, M. L. Richard Yip, Shen-Shu Sung, Nicholas J. Lawrence, Mark L. McLaughlin, Gregory J. McManus, Michael J. Zaworotko, Saïd M. Sebti, Jiandong Chen, Wayne C. Guida \*

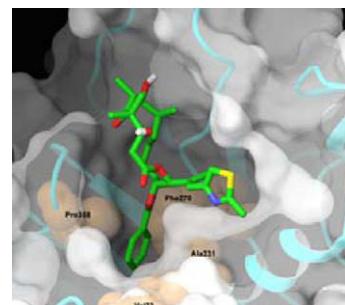
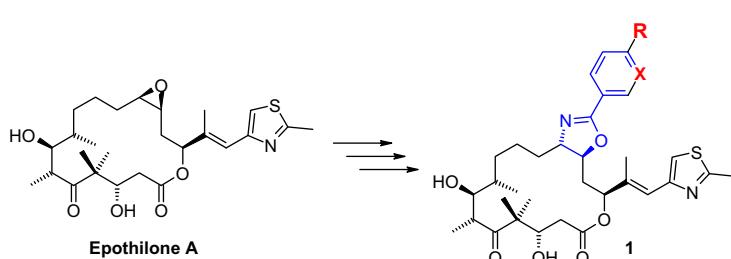


NSC 333003 has been found to be an inhibitor of the MDM2-p53 protein–protein interaction.

**Synthesis and SAR of C12–C13-oxazoline derivatives of epothilone A**

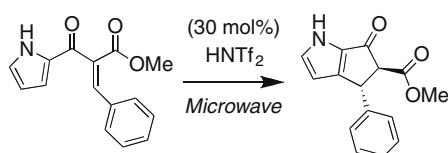
pp 3760–3763

Bernhard Pfeiffer, Kurt Hauenstein, Philipp Merz, Jürg Gertsch, Karl-Heinz Altmann \*


**Brønsted acid-catalyzed Nazarov cyclization of pyrrole derivatives accelerated by microwave irradiation**

pp 3764–3766

Prabhakar Bachu, Takahiko Akiyama \*

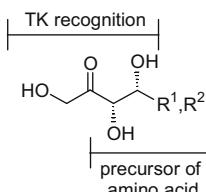


The Brønsted acid-catalyzed Nazarov cyclization is reported.


**Amino acid precursors for the detection of transketolase activity in *Escherichia coli* auxotrophs**

pp 3767–3770

Grégory Simon, Madeleine Bouzon, Franck Charmantray, Virgil Hélaine, Bertrand Légeret, Philippe Marlière, Laurence Hecquet \*


 1 : R<sup>1</sup> = -CH<sub>2</sub>-CH(CH<sub>3</sub>)<sub>2</sub>

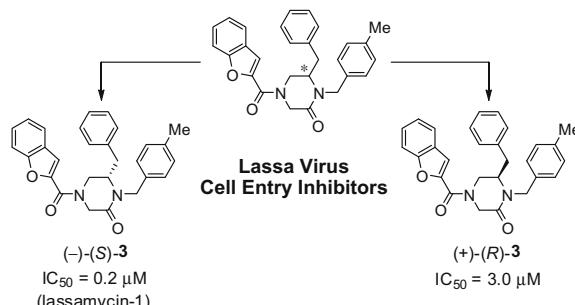
 2 : R<sup>2</sup> = -CH<sub>2</sub>-CH<sub>2</sub>-S-CH<sub>3</sub>

 Probes were developed for the in vivo detection of transketolase activity by the use of a complementation assay in *Escherichia coli* auxotrophs.


**Characterization of lassa virus cell entry inhibitors: Determination of the active enantiomer by asymmetric synthesis**

pp 3771–3774

Landon R. Whitby, Andrew M. Lee, Stefan Kunz, Michael B. A. Oldstone, Dale L. Boger \*

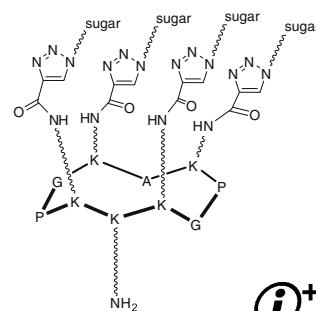


**Facile synthesis of cyclopeptide-centered multivalent glycoclusters with 'click chemistry' and molecular recognition study by surface plasmon resonance**

pp 3775–3778

Yong-Xiang Chen, Lei Zhao, Zhi-Ping Huang, Yu-Fen Zhao, Yan-Mei Li \*

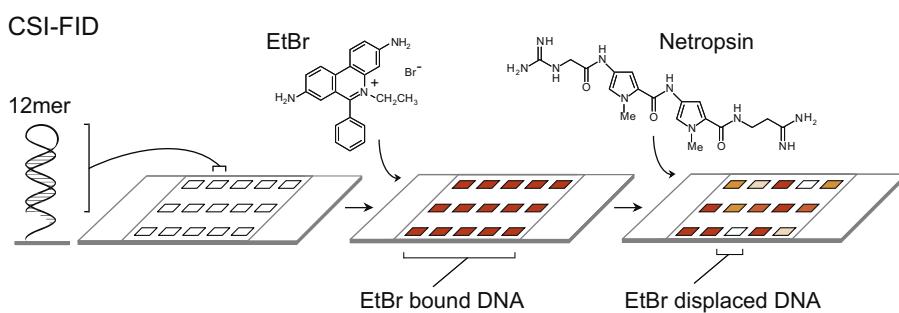
A facile synthesis of cyclopeptide-centered multivalent glycoclusters using Cu(I) catalyzed Huisgen 1,3-dipolar cycloaddition of azides and terminal alkynes, so called 'click chemistry', has been developed. The affinities of mannose-specific protein Concanavalin A (Con A) toward two synthetic glycoclusters respectively bearing divalent or tetravalent mannoses were investigated by surface plasmon resonance.



**CSI-FID: High throughput label-free detection of DNA binding molecules**

pp 3779–3782

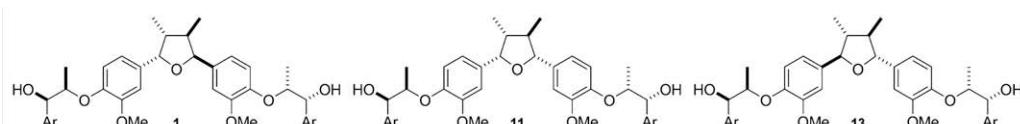
Karl E. Hauschild, James S. Stover, Dale L. Boger \*, Aseem Z. Ansari \*



**Analysis of HIF-1 inhibition by manassantin A and analogues with modified tetrahydrofuran configurations**

pp 3783–3786

Amanda C. Kasper, Eui Jung Moon, Xiangqian Hu, Yongho Park, Ceshea M. Wooten, Hyoungsu Kim, Weitao Yang, Mark W. Dewhirst, Jiyong Hong \*



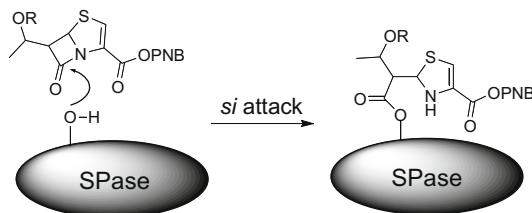
HIF-1 inhibition by manassantin A (1) and analogues with modified tetrahydrofuran configurations (11 and 13) is reported.



**Synthesis and biological evaluation of penem inhibitors of bacterial signal peptidase**

pp 3787–3790

David A. Harris, Michael E. Powers, Floyd E. Romesberg \*

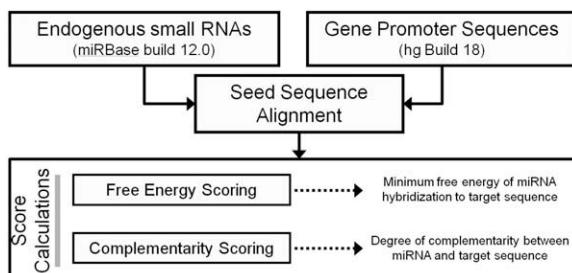


The synthesis of a 5S penem inhibitor of bacterial signal peptidase is reported and shown to have activity against human pathogens and to be potentially optimizable for spectrum and activity.

**Predicting potential miRNA target sites within gene promoters**

pp 3791–3794

Scott T. Younger, Alexander Pertsemidis \*, David R. Corey \*

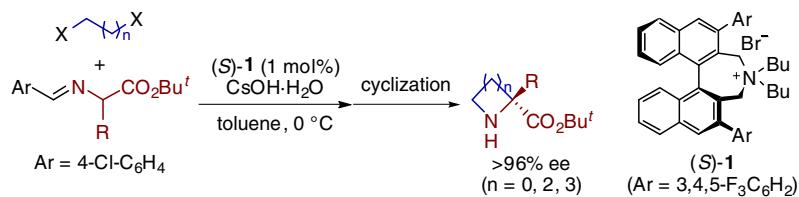


A method for detecting potential microRNA target sites within gene promoters.

**Catalytic asymmetric synthesis of cyclic  $\alpha$ -alkyl-amino acid derivatives having a tetrasubstituted  $\alpha$ -carbon**

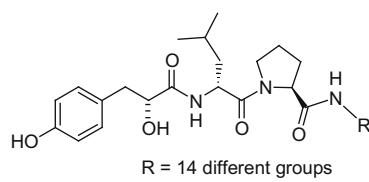
pp 3795–3797

Yong-Gang Wang, Haruka Mii, Taichi Kano, Keiji Maruoka \*

**Preparation of L-proline based aeruginosin 298-A analogs: Optimization of the P<sub>1</sub>-moiety**

pp 3798–3803

Guijun Wang \*, Navneet Goyal, Branden Hopkinson

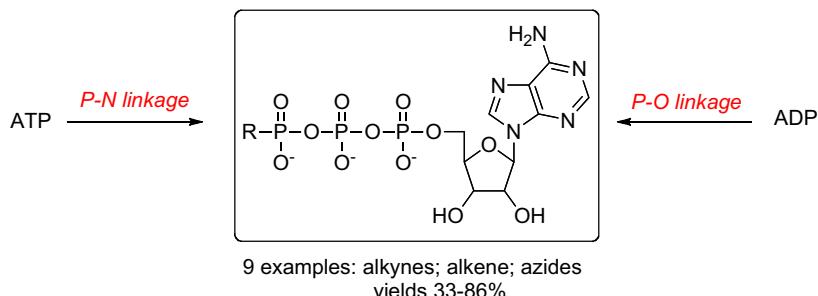


Simpler analogs of aeruginosin 298-A

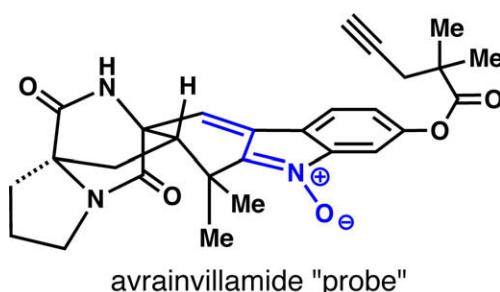


**Synthesis and reactivity of novel  $\gamma$ -phosphate modified ATP analogues**

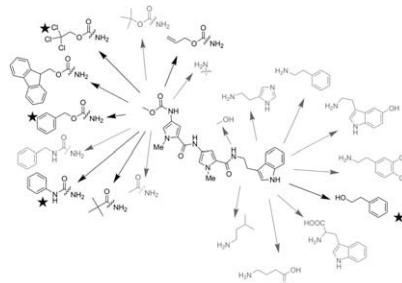
pp 3804–3807

Sarah E. Lee, Lucy M. Elphick, Alexandra A. Anderson, Laurent Bonnac, Emma S. Child, David J. Mann <sup>\*</sup>, Véronique Gouverneur <sup>\*</sup>**An improved synthesis of  $\alpha,\beta$ -unsaturated nitrones relevant to the stephacidins and analogs thereof**

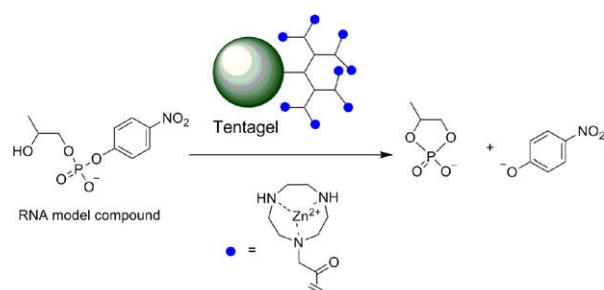
pp 3808–3810

Benjamin D. Hafensteiner, María Escribano, Elena Petricci, Phil S. Baran <sup>\*</sup>**Synthesis and evaluation of a netropsin–proximicin-hybrid library for DNA binding and cytotoxicity**

pp 3811–3815

Falko E. Wolter, Lise Molinari, Elke R. Socher, Kathrin Schneider, Graeme Nicholson, Winfried Beil, Oliver Seitz, Roderich D. Süssmuth <sup>\*</sup>**Resin-supported catalytic dendrimers as multivalent artificial metallonucleases**

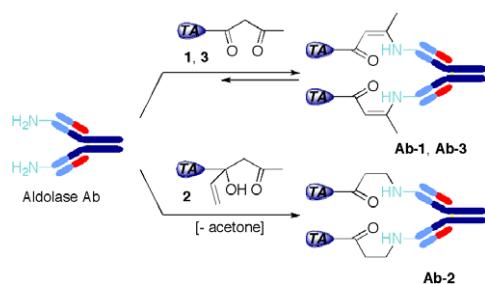
pp 3816–3820

Giovanni Zaupa, Leonard J. Prins <sup>\*</sup>, Paolo Scrimin <sup>\*</sup>

**Multiple catalytic aldolase antibodies suitable for chemical programming**

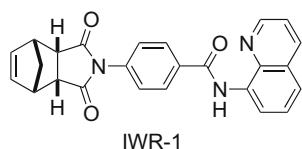
pp 3821–3824

Rajib Kumar Goswami, Zheng-Zheng Huang, Jane S. Forsyth, Brunhilde Felding-Habermann, Subhash C. Sinha \*

**Structure–activity relationship studies of small-molecule inhibitors of Wnt response**

pp 3825–3827

Jianming Lu, Zhiqiang Ma, Jen-Chieh Hsieh, Chih-Wei Fan, Baozhi Chen, Jamie C. Longgood, Noelle S. Williams, James F. Amatruda, Lawrence Lum, Chuo Chen \*

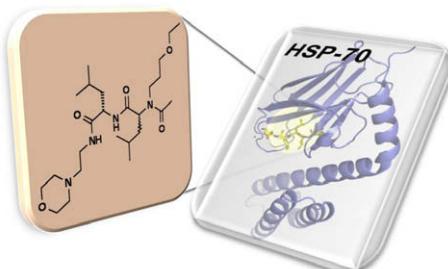


SAR studies of IWR-1 are described.

**Identification of Hsp70 modulators through modeling of the substrate binding domain**

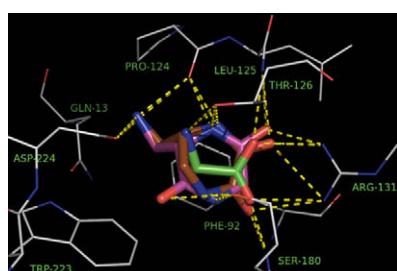
pp 3828–3831

Conor M. Haney, Corinne Schneider, Barbara Beck, Jeffrey L. Brodsky, Alexander Dömling \*

**3-(Aminomethyl)piperazine-2,5-dione as a novel NMDA glycine site inhibitor from the chemical universe database GDB**

pp 3832–3835

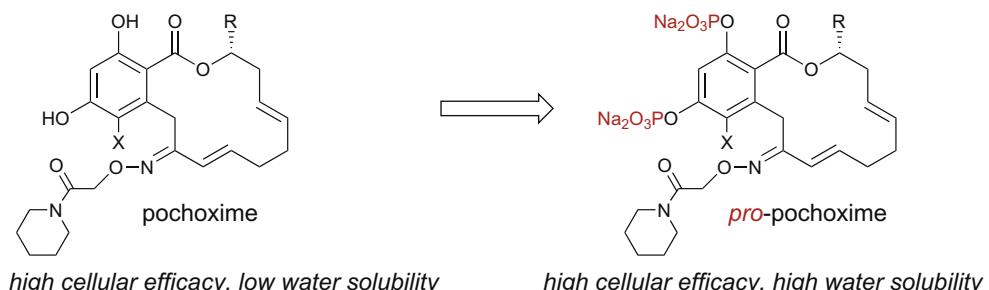
Kong Thong Nguyen, Erika Luethi, Salahuddin Syed, Stephan Urwyler, Sonia Bertrand, Daniel Bertrand, Jean-Louis Reymond \*



**Synthesis of pochoxime prodrugs as potent HSP90 inhibitors**

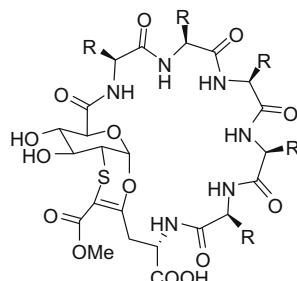
pp 3836–3840

Cuihua Wang, Sofia Barluenga, Girish K. Koripelly, Jean-Gonzague Fontaine, Ruihong Chen, Jin-Chen Yu, Xiaodong Shen, John C. Chabala, James V. Heck, Allan Rubenstein, Nicolas Winssinger \*

**Cyclic glycopeptidomimetics through a versatile sugar-based scaffold**

pp 3841–3844

Maria Altamura, Elisa Dragoni, Angela Simona Infantino, Laura Legnani, Steve B. Ludbrook, Gloria Menchi, Lucio Toma, Cristina Nativi \*

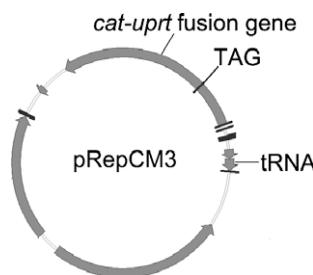


The use of a new and versatile saccharidic scaffold to achieve sugar-based peptidomimetics is reported together with the successful synthesis of cyclic SAA peptidomimetics **15** and **16**.

**One plasmid selection system for the rapid evolution of aminoacyl-tRNA synthetases**

pp 3845–3847

Charles E. Melançon III, Peter G. Schultz \*

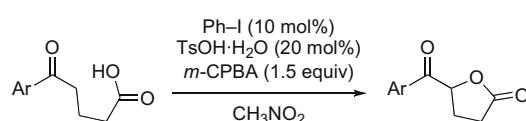


Dual positive/negative selection vectors which allow the facile evolution of aminoacyl-tRNA synthetases are reported.

**Hypervalent iodine-catalyzed oxylactonization of ketocarboxylic acids to ketolactones**

pp 3848–3851

Muhammet Uyanik, Takeshi Yasui, Kazuaki Ishihara \*



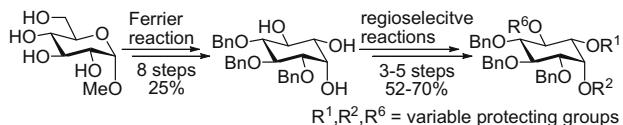
The hypervalent iodine-catalyzed oxylactonization of ketocarboxylic acids to ketolactones was achieved in the presence of iodobenzene (10 mol %), TsOH (20 mol %) and *m*-CPBA as stoichiometric co-oxidant.



**Efficient syntheses of chiral *myo*-inositol derivatives—key intermediates in glycosylphosphatidylinositol (GPI) syntheses**

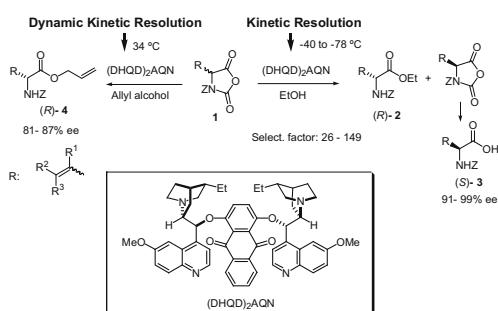
pp 3852–3855

Fei Yu, Zhongwu Guo \*


**Asymmetric synthesis of  $\beta,\gamma$ -unsaturated  $\alpha$ -amino acids via efficient kinetic resolution with cinchona alkaloids**

pp 3856–3858

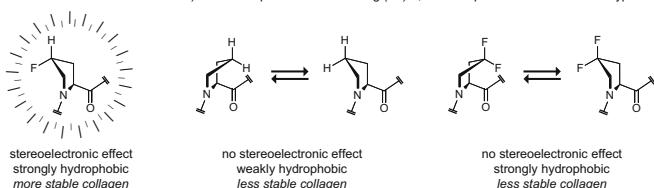
Jianfeng Hang, Li Deng \*


**Origin of the stability conferred upon collagen by fluorination**

pp 3859–3862

Matthew D. Shoulders, Kimberli J. Kamer, Ronald T. Raines \*

The hyperstability of collagen triple helices containing  $(2S,4R)$ -4-fluoroproline residues cannot be attributed to enhanced hydrophobicity (rather than a stereoelectronic effect) because triple helices containing  $(2S)$ -4,4-difluoroproline residues are not hyperstable.

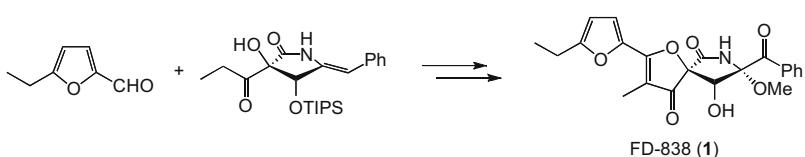


$(2S,4R)$ -4-Fluoroproline residues stabilize the collagen triple helix by the gauche effect—a stereoelectronic effect that enforces a Cg-exo ring pucker and thus properly preorganizes the torsion angles in the backbone.


**Total synthesis and determination of the absolute configuration of FD-838, a naturally occurring azaspirobicyclic product**

pp 3863–3865

Yujiro Hayashi \*, Kuppusamy Sankar, Hayato Ishikawa, Yuriko Nozawa, Kazutoshi Mizoue, Hideaki Kakeya



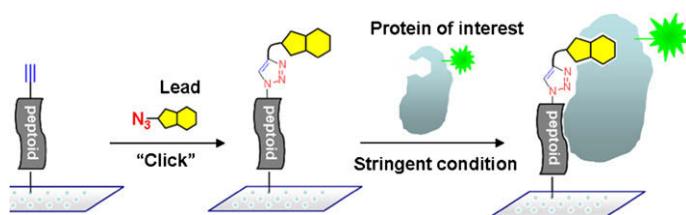
First asymmetric total synthesis of FD-838, a naturally occurring azaspirobicyclic product, has been accomplished allowing determination of its absolute stereochemistry.



**Rapid identification of improved protein ligands using peptoid microarrays**

pp 3866–3869

Hyun-Suk Lim, M. Muralidhar Reddy, Xiangshu Xiao, Johnnie Wilson, Rosemary Wilson, Steven Connell, Thomas Kodadek \*

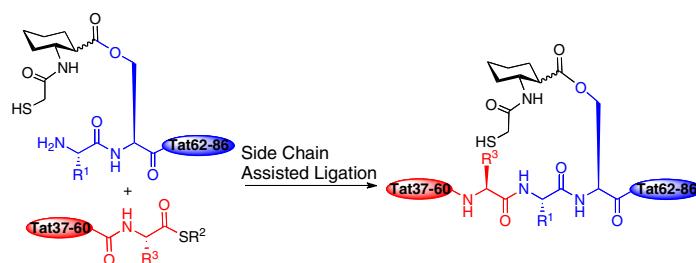


A rapid array-based protocol is presented by which a modest affinity protein-binding small molecule can be appended to a library of peptoids via click chemistry. The array can then be screened for improved ligands that exhibit a higher affinity for the protein target.

**Side-chain assisted ligation in protein synthesis**

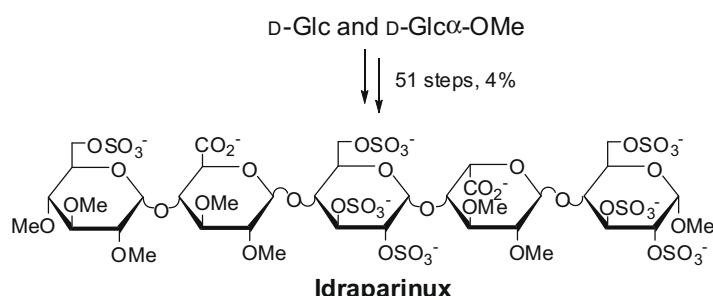
pp 3870–3874

K. S. Ajish Kumar, Ziv Harpaz, Mahmood Haj-Yahya, Ashraf Brik \*

**Efficient synthesis of Idraparinux, the anticoagulant pentasaccharide**

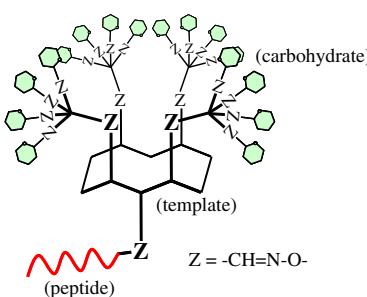
pp 3875–3879

Chen Chen, Biao Yu \*

**Biomolecular assembly by iterative oxime ligations**

pp 3880–3883

Olivier Renaudet, Didier Boturyn, Pascal Dumeny \*



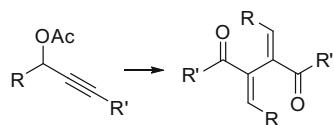
We report an iterative oxime-based procedure for the assembly of biologically relevant carbohydrates and peptides onto a cyclopeptidic scaffold.



**Homogeneous gold-catalyzed efficient oxidative dimerization of propargylic acetates**

pp 3884–3887

Li Cui, Guozhu Zhang, Liming Zhang \*

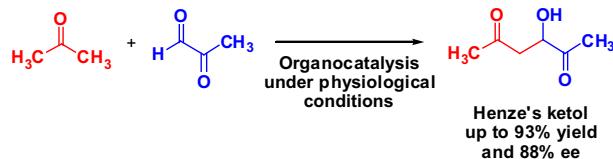


A highly efficient homogeneous gold-catalyzed oxidative dimerization of propargylic acetates is described.

**Organocatalysis with endogenous compounds: Towards novel non-enzymatic reactions**

pp 3888–3891

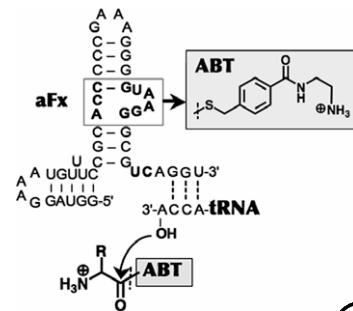
David G. Alberg, Thomas B. Poulsen, Søren Bertelsen, Kasper L. Christensen, Rune D. Birkler, Mogens Johannsen \*, Karl Anker Jørgensen \*

**A flexizyme that selectively charges amino acids activated by a water-friendly leaving group**

pp 3892–3894

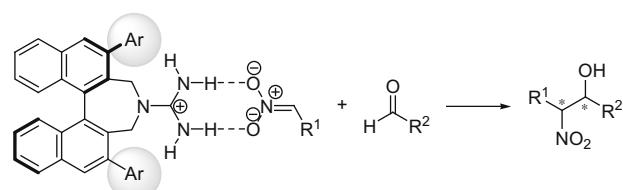
Nobuyoshi Niwa, Yusuke Yamagishi, Hiroshi Murakami, Hiroaki Suga \*

Here, we report a new flexizyme (a flexible *de novo* tRNA acylation ribozyme) system, a pair of amino-derivatizing benzyl thioester (ABT) and amino flexizyme (aFx). This new flexizyme system enables for tRNA aminoacylation with hydrophobic amino acids that are difficult to dissolve in the aqueous reaction buffer, which has been problematic to perform the reaction using the parental flexizyme and the substrate pairs.

**Enantioselective Henry (nitroaldol) reaction catalyzed by axially chiral guanidines**

pp 3895–3898

Hitoshi Ube, Masahiro Terada \*



Diastereo- and enantioselective Henry reaction of nitroalkanes with aldehydes catalyzed by axially chiral guanidines is accomplished on the basis of complexation between chiral guanidinium and nitronate through two hydrogen bonds.

**Highly efficient synthesis of vinyl substituted triazoles by Au(I) catalyzed alkyne activation**

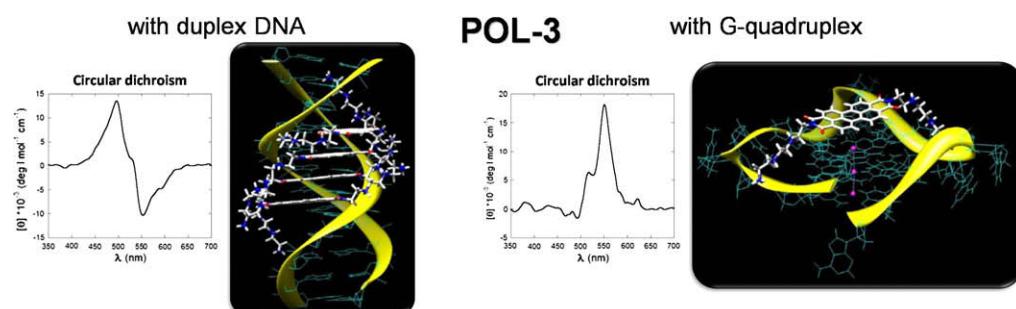
pp 3899–3902

Haifeng Duan, Wuming Yan, Sujata Sengupta, Xiaodong Shi \*

**Selective G-quadruplex ligands: The significant role of side chain charge density in a series of perylene derivatives**

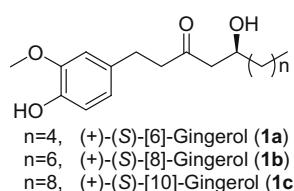
pp 3903–3908

Emanuela Micheli, Caterina Maria Lombardo, Danilo D'Ambrosio, Marco Franceschin, Stephen Neidle, Maria Savino \*

**An enantioselective synthesis of (+)-(S)-[n]-gingerols via the L-proline-catalyzed aldol reaction**

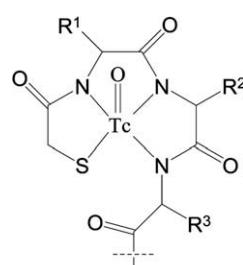
pp 3909–3911

Shichao Ma, Shilei Zhang, Wenhua Duan \*, Wei Wang \*

An enantioselective approach to (+)-(S)-[n]-gingerols (**1a-c**) has been developed.**Positioning of  $^{99\text{m}}\text{Tc}$ -chelators influences radiolabeling, stability and biodistribution of Affibody molecules**

pp 3912–3914

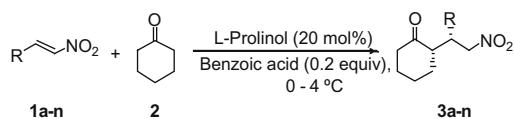
Torun Ekblad, Anna Orlova, Joachim Feldwisch, Anders Wennborg, Amelie Eriksson Karlström \*, Vladimir Tolmachev

HER2-binding Affibody molecules carrying internally positioned  $^{99\text{m}}\text{Tc}$  chelators have been synthesized and compared to N-terminally modified analogues as tumor targeting agents. The effects of chelator composition and position are discussed.

**L-Prolinol as a highly enantioselective catalyst for Michael addition of cyclohexanone to nitroolefins**

pp 3915–3918

Pei Juan Chua, Bin Tan, Xiaofei Zeng, Guofu Zhong \*

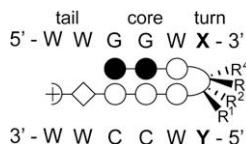


The first L-prolinol catalyzed asymmetric Michael addition of cyclohexanone to nitroolefins in the presence of benzoic acid to afford Michael adducts with high diastereoselectivities (87:13–>99:1) and enantioselectivities (82–96%) was described.

**DNA sequence selectivity of hairpin polyamide turn units**

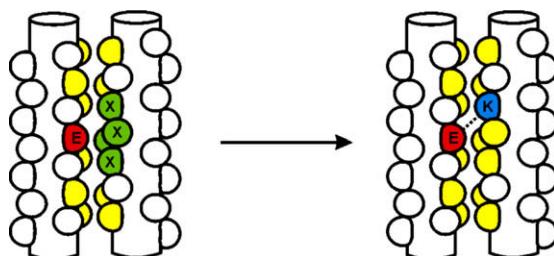
pp 3919–3923

Michelle E. Farkas, Benjamin C. Li, Christian Dose, Peter B. Dervan \*

**Selection of a buried salt bridge by phage display**

pp 3924–3927

Toni Vagt, Christian Jäckel, Sergey Samsonov, M. Teresa Pisabarro, Beate Koksch \*

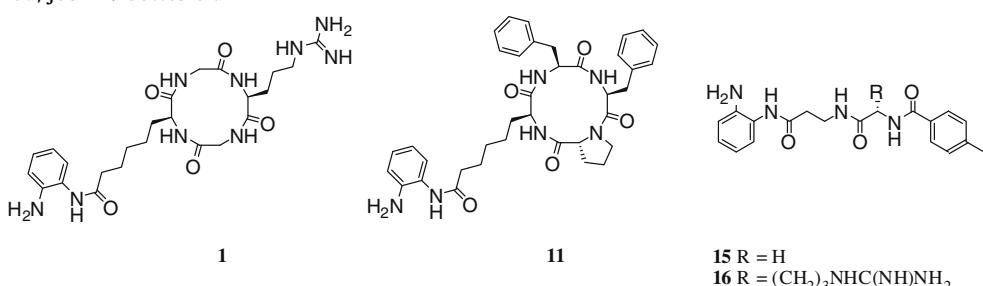


Using phage display technology, a stable coiled coil pair of novel primary structure was selected. A staggered salt bridge within the hydrophobic core allows the highly specific interaction of both  $\alpha$ -helices.

**Design and synthesis of novel hybrid benzamide-peptide histone deacetylase inhibitors**

pp 3928–3931

Fang Hu, C. James Chou, Joel M. Gottesfeld \*

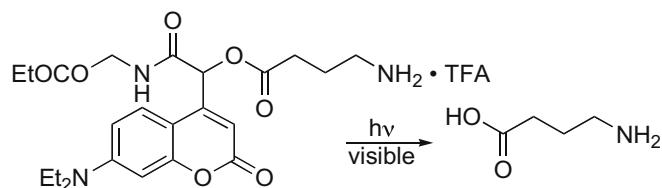


Novel hybrid benzamide-peptide histone deacetylase inhibitors have been synthesized and structural-activity relationships are detailed, along with enzyme and cellular activities.

**A new synthesis of caged GABA compounds for studying GABA<sub>A</sub> receptors**

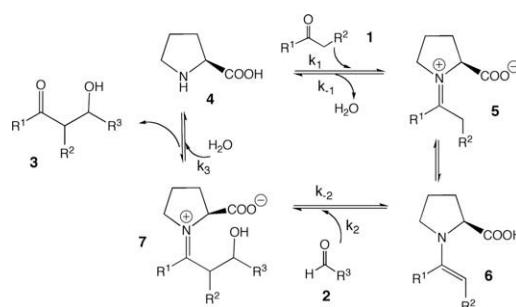
pp 3932–3933

Lijun Fan, Ryan W. Lewis, George P. Hess, Bruce Ganem \*

**Kinetic and mechanistic studies of proline-mediated direct intermolecular aldol reactions**

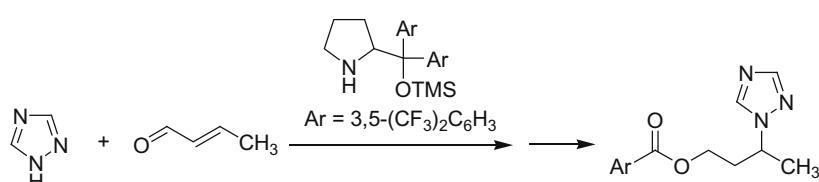
pp 3934–3937

Natalia Zotova, Linda J. Broadbelt, Alan Armstrong, Donna G. Blackmond \*

**Organocatalytic synthesis and sterol 14 $\alpha$ -demethylase binding interactions of enantioriched 3-(1H-1,2,4-triazol-1-yl)butyl benzoates**

pp 3938–3940

Zhi-Hui Ming, Sheng-Zhen Xu, Lei Zhou, Ming-Wu Ding \*, Jiao-Yan Yang, Shao Yang, Wen-Jing Xiao \*

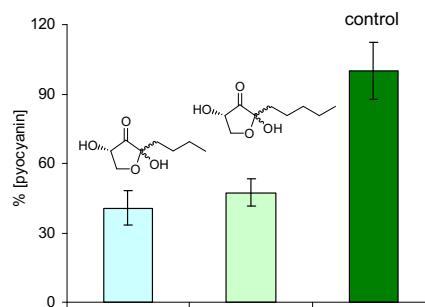


1H-1,2,4-Triazole reacted with 2-butenal in the presence of diaryl prolinol silyl ether **3** and benzoic acid to give 3-(1H-1,2,4-triazol-1-yl)butanal **4**, which was subsequently reduced and then treated with various acyl chloride to generate enantioriched 3-(1H-1,2,4-triazol-1-yl)butyl benzoates **6**. Some of triazoles **6** exhibited strong binding interactions with the cytochrome P450-dependent sterol 14 $\alpha$ -demethylase (CYP51). For example, compound (R)-**6f** showed the best binding activity with  $K_d$  0.3381  $\mu$ M.

**Inhibition of *Pseudomonas aeruginosa* quorum sensing by AI-2 analogs**

pp 3941–3944

Hadas Ganin, Xu Tang, Michael M. Meijler \*



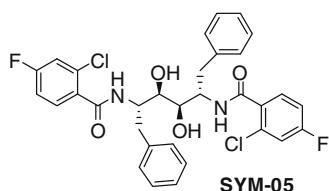
AI-2 analogs with extended alkyl substitutions at C-2 of dihydroxypentanedione (DPD) function as synergistic agonists of quorum sensing (QS) in *Vibrio harveyi* and as inhibitors of QS in *Pseudomonas aeruginosa*.



**Expedient solid-phase synthesis of both symmetric and asymmetric diol libraries targeting aspartic proteases**

pp 3945–3948

Haibin Shi, Kai Liu, Wendy W. Y. Leong, Shao Q. Yao \*

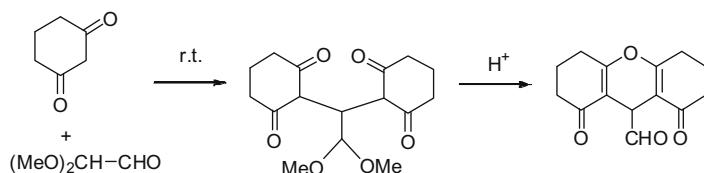


A solid-phase strategy for rapid preparation of small molecule-based, symmetric and asymmetric diols is described, and of the 75 diols synthesized, one was found to be a potent and selective inhibitor ( $K_i = 400$  nM) against HIV-1 protease.

**Catalyst-free tandem aldol condensation/Michael addition of 1,3-cyclohexanediones with enolizable aldehydes**

pp 3949–3951

Kerstin Rohr, Rainer Mahrwald \*



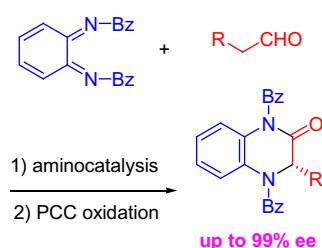
Catalyst-free tandem aldol condensation/Michael addition of enolizable aldehydes with 1,3-cyclohexanediones are described.

**Organocatalytic enantioselective hetero-Diels–Alder reaction of aldehydes and *o*-benzoquinone diimide:**

pp 3952–3954

**Synthesis of optically active hydroquinoxalines**

Jun-Long Li, Bo Han, Kun Jiang, Wei Du, Ying-Chun Chen \*

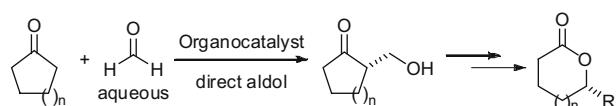


A highly enantioselective inverse electron demand hetero-Diels–Alder reaction of *o*-benzoquinone diimide and aldehydes has been developed.

**Organocatalytic  $\alpha$ -hydroxymethylation of cyclopentanone with aqueous formaldehyde: Easy access to chiral  $\delta$ -lactones**

pp 3955–3958

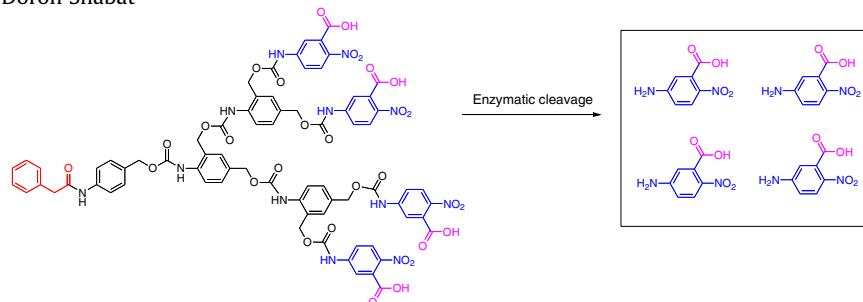
Nobuyuki Mase, Azusa Inoue, Masaki Nishio, Kunihiko Takabe \*



**Enzymatic activation of hydrophobic self-immolative dendrimers: The effect of reporters with ionizable functional groups**

pp 3959–3962

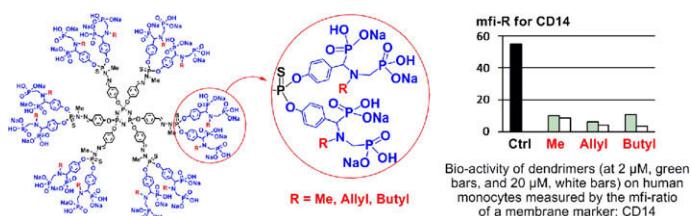
Michal Avital-Shmilovici, Doron Shabat \*



**Dendrimers ended by non-symmetrical azadiphosphonate groups: Synthesis and immunological properties**

pp 3963–3966

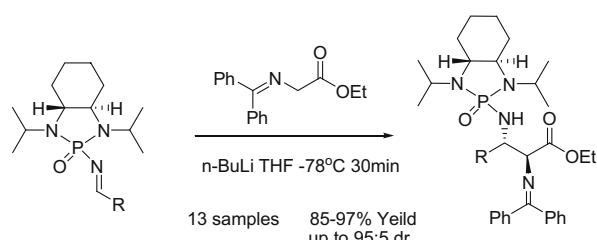
Patrice Marchand, Laurent Griffe, Mary Poupot, Cédric-Olivier Turrin, Gérard Bacquet, Jean-Jacques Fournié, Jean-Pierre Majoral \*, Rémy Poupot \*, Anne-Marie Caminade \*



**Chiral *N*-phosphonyl imine chemistry: Asymmetric synthesis of  $\alpha,\beta$ -diamino esters by reacting phosphonyl imines with glycine enolates**

pp 3967–3969

Teng Ai, Guigen Li \*



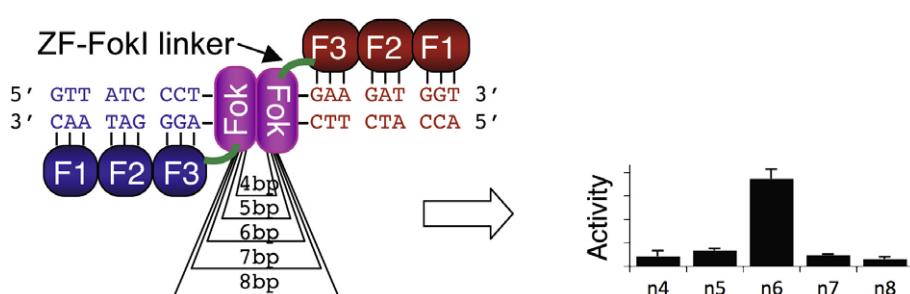
Chiral phosphonyl imines having *N*-isopropyl groups in the auxiliary were found to react with lithium glycine enolates in good yields and high diastereoselectivity.



**Restricted spacer tolerance of a zinc finger nuclease with a six amino acid linker**

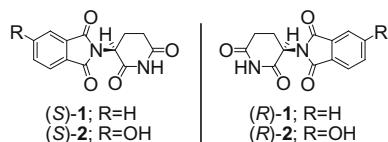
pp 3970–3972

Yuka Shimizu, Mital S. Bhakta, David J. Segal \*



**Synthesis, configurational stability and stereochemical biological evaluations of (S)- and (R)-5-hydroxythalidomides**

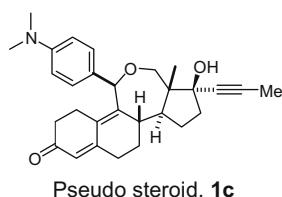
pp 3973–3976

Takeshi Yamamoto, Norio Shibata <sup>\*</sup>, Daisuke Sukeguchi, Masayuki Takashima, Shuichi Nakamura, Takeshi Toru, Nozomu Matsunaga, Hideaki Hara, Motohiro Tanaka, Tohru Obata, Takuma Sasaki

The first asymmetric synthesis of (S)- and (R)-5-hydroxythalidomides (**2**), one of thalidomide's major metabolites, was achieved. Configurational stability and stereochemical biological evaluation of (S)- and (R)-**1** and **2** were investigated.

**Synthesis and SAR study of novel pseudo-steroids as potent and selective progesterone receptor antagonists**

pp 3977–3980

Nareshkumar Jain <sup>\*</sup>, George Allan, Olivia Linton, Pamela Tannenbaum, Xin Chen, Jun Xu, Peifang Zhu, Joseph Gunnet, Keith Demarest, Scott Lundein, William Murray, Zhihua Sui**OTHER CONTENTS****Instructions to contributors**

p I

\*Corresponding author

 <sup>i+</sup> Supplementary data available via ScienceDirect**COVER**

The cover depicts a chemically programmed antibody acting on a cancer cell. Chemically programmed antibodies are a new class of drugs currently in clinical trials for cancer and diabetes. [Gavrilyuk, J. I.; Wuellner, U.; Salahuddin, S.; Goswami, R. K.; Sinha, S. C.; Barbas III, C. F. *Bioorg. Med. Chem. Lett.* **2009**, 19, 3716.]

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