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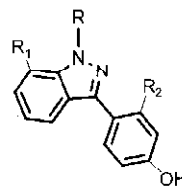
Volume 47, Number 26
December 16, 2004

JMCMAR 47(26) 6435–6654 (2004)
ISSN 0022-2623

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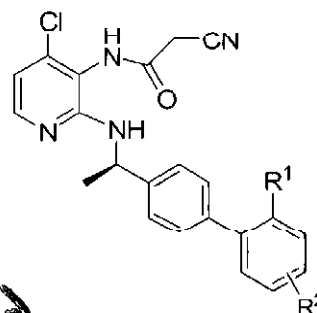
- 6435 **Synthesis and Activity of Substituted**
■ **4-(Indazol-3-yl)phenols as Pathway-Selective**
Estrogen Receptor Ligands Useful in the
Treatment of Rheumatoid Arthritis

Robert J. Steffan,* Edward Matelan,
Mark A. Ashwell, William J. Moore,
William R. Solvibile, Eugene Trybulecki,
Christopher C. Chadwick, Susan Chippari,
Thomas Kenney, Amy Eckert, Lisa Borges-Marcucci,
James C. Keith, Zhang Xu, Lydia Mosyak, and
Douglas C. Harnish



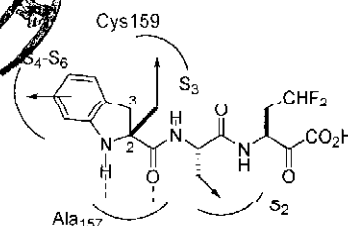
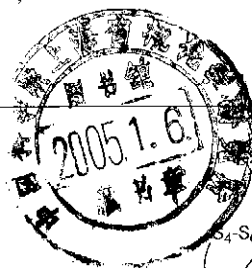
- 6439 **2,3-Diaminopyridine Bradykinin B₁ Receptor**
■ **Antagonists**

Scott D. Kuduk,* Christina Ng, Dong-Mei Feng,
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Charles M. Harrell, Kathy L. Murphy,
Richard W. Ransom, Duane Reiss, Magnus Ivarsson,
Glenn Mason, Susan Boyce, Cuyue Tang,
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Douglas J. Pettibone, and Mark G. Bock



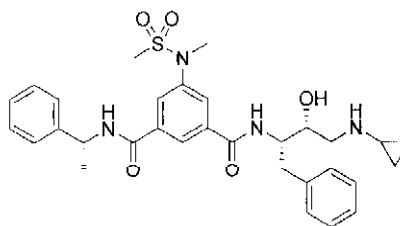
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Cristina Gardelli, Uwe Koch, Victor G. Matassa,
Marco Poma, Christian Steinkühler,
Cinzia Volpari, and Steven Harper*



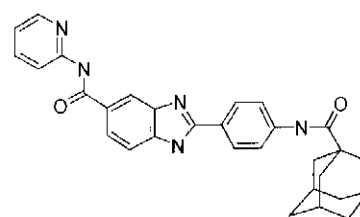
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■ Cell-Permeable Inhibitors of Human
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Shawn J. Stachel,* Craig A. Coburn,
Thomas G. Steele, Kristen G. Jones,
Elizabeth F. Loutzenhiser, Alison R. Gregro,
Hemaka A. Rajapakse, Ming-Tain Lai,
Ming-Chih Crouthamel, Min Xu,
Katherine Tugusheva, Janet E. Lineberger,
Beth L. Pietrak, Amy S. Espeseth, Xiao-Ping Shi,
Elizabeth Chen-Dodson, M. Katharine Holloway,
Sanjeev Munshi, Adam J. Simon, Lawrence Kuo, and
Joseph P. Vacca



**6451 Novel 2-(Substituted phenyl)benzimidazole
■ Derivatives with Potent Activity against IgE,
Cytokines, and CD23 for the Treatment of
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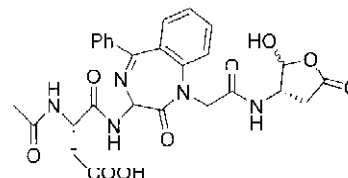
Mark L. Richards,* Shirley Cruz Lio, Anjana Sinha,
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**6455 Design and Synthesis of a Potent and Selective
■ Peptidomimetic Inhibitor of Caspase-3**

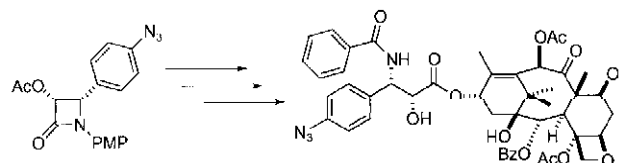
Nicola Micale,* Rajendran Vairagoundar,
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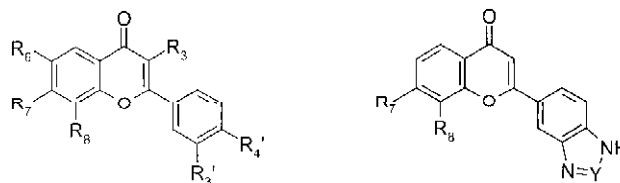
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■ 3'-(1 Azidophenyl)-3'-dephenylpaclitaxel
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Jared T. Spletstoser, Patrick T. Flaherty,
Richard H. Himes, and Gunda I. Georg*



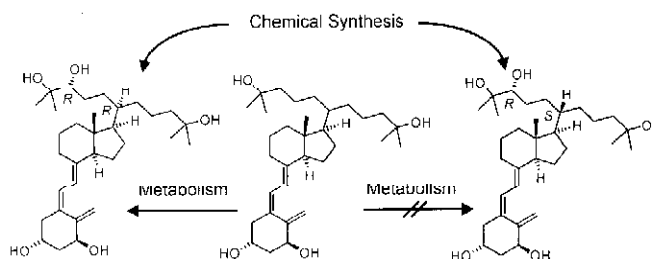
6466 Catecholic Flavonoids Acting as Telomerase
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Maria Menichincheri,* Dario Ballinari,
 Alberto Bargiotti, Luisella Bonomini,
 Walter Ceccarelli, Roberto D'Alessio,
 Antonella Fretta, Juergen Moll, Paolo Polucci,
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 Jean-Yves Trosset, and Ermes Vanotti

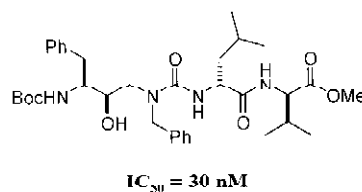


6476 Calcitriol Derivatives with Two Different Side
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 24(R)-Hydroxygemini

Hubert Maehr,* Milan R. Uskokovic,
 Luciano Adorini, and G. Satyanarayana Reddy

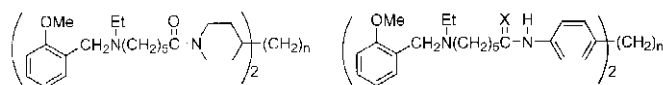


6485 Stereochemical Analysis of (Hydroxyethyl)urea
 ■ Peptidomimetic Inhibitors of γ -Secretase



Pancham Bakshi and Michael S. Wolfe*

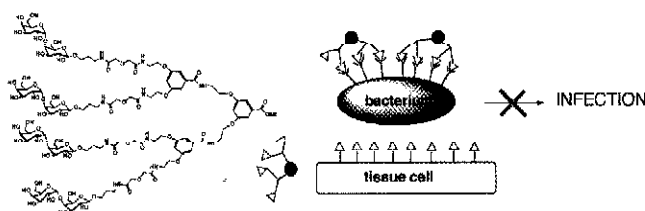
6490 Structure-Activity Relationships of
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Vincenzo Tumiatti,* Vincenza Andrisano, Rita Banzi,
 Manuela Bartolini, Anna Minarini,
 Michela Rosini, and Carlo Melchiorre*

6499 Inhibition of *Streptococcus suis* Adhesion by
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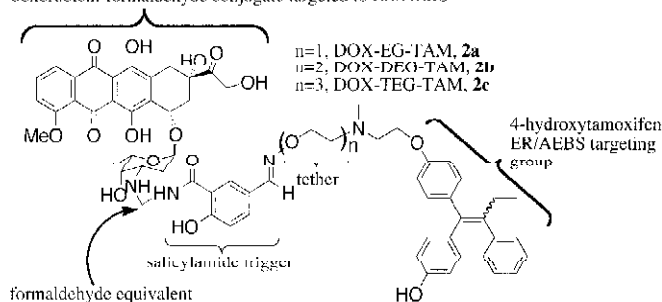
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 Chantal C. M. Appeldoorn, Sauli Haataja,
 Fatma Ait El Maate, Rob M. J. Liskamp,
 Jukka Finne, and Roland J. Pieters*



6509 Antiestrogen Binding Site and Estrogen Receptor Mediate Uptake and Distribution of 4-Hydroxytamoxifen-Targeted Doxorubicin-Formaldehyde Conjugate in Breast Cancer Cells

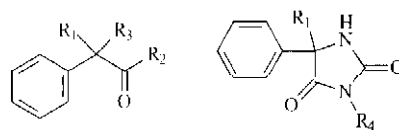
Patrick J. Burke, Brian T. Kalet, and Tad H. Koch*

doxorubicin-formaldehyde conjugate targeted to ER/AEBS



6519 Synthesis and Structure-Activity Relationship Studies for Hydantoins and Analogues as Voltage-Gated Sodium Channel Ligands

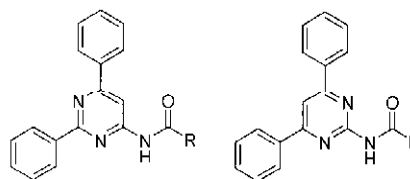
Congxiang Zha, George B. Brown, and Wayne J. Brouillette*



R₁ = CH₃, C₂H₅, C₃H₇, C₇H₁₅, C₇H₁₃, or Ph
R₂ = OH, NH₂, NH(alkyl), or N(alkyl)₂; R₃ = OH or NH₂
R₄ = Ms, Ts, benzyl, or (diphenylmethyl)piperazinyloethyl

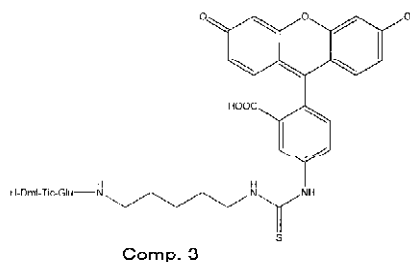
6529 2,4,6-Trisubstituted Pyrimidines as a New Class of Selective Adenosine A₁ Receptor Antagonists

Lisa C. W. Chang, Ronald F. Spanjersberg, Jacobien K. von Frijtag Drabbe Künzel, Thea Mulder-Krieger, Gijs van den Hout, Margot W. Beukers, Johannes Brussee, and Adriaan P. IJzerman*



6541 Highly Selective Fluorescent Analogue of the Potent δ -Opioid Receptor Antagonist Dmt-Tic

Gianfranco Balboni, Severo Salvadori, Alessandro Dal Piaz, Fabrizio Bortolotti, Roberto Argazzi, Lucia Negri, Roberta Lattanzi, Sharon D. Bryant, Yunden Jinsmaa, and Lawrence H. Lazarus*



6547 Molecular Interaction Model for the C1B Domain of Protein Kinase C- γ in the Complex with Its Activator Phorbol-12-myristate-13-acetate in Water Solution and Lipid Bilayer

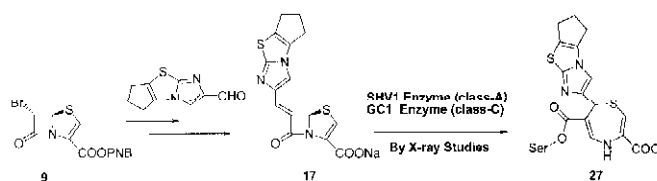
Jozef Hritz, Jozef Ulieny, Antto Laaksonen, Daniel Jancura, and Pavol Miskovsky*



6556 Structure-Activity Relationship of

■ **6-Methylidene Penems Bearing Tricyclic Heterocycles as Broad-Spectrum β -Lactamase Inhibitors: Crystallographic Structures Show Unexpected Binding of 1,4-Thiazepine Intermediates**

Aranapakam M. Venkatesan, Yansong Gu, Osvaldo Dos Santos, Takao Abe, Atul Agarwal, Youjun Yang, Peter J. Petersen, William J. Weiss, Tarek S. Mansour,* Michiyoshi Nakaga, Andrea M. Hujer, Robert A. Bonomo, and James R. Knox*



6569 Molecular Surface Point Environments for Virtual Screening and the Elucidation of Binding Patterns (MOLPRINT 3D)

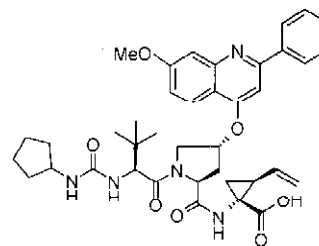
Andreas Bender, Hamse Y. Mussa, Gurpreet S. Gill, and Robert C. Glen*



6584 A Systematic Approach to the Optimization of

■ **Substrate-Based Inhibitors of the Hepatitis C Virus NS3 Protease: Discovery of Potent and Specific Tripeptide Inhibitors**

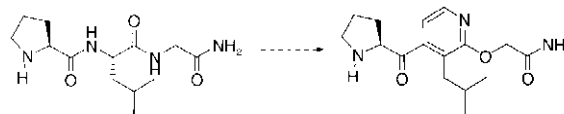
Montse Llinàs-Brunet,* Murray D. Bailey, Elise Ghire, Vida Gorys, Ted Halmos, Martin Poirier, Jean Rancourt, and Nathalie Goudreau



6595 Design, Synthesis and Evaluation of a PLG

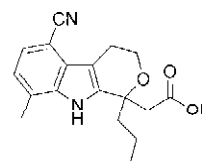
■ **Tripeptidomimetic Based on a Pyridine Scaffold**

Stina Saitton, Andria I. Del Tredici, Nina Mohell, Roeland C. Vollinga, Dan Boström, Jan Kihlberg, and Kristina Luthman*



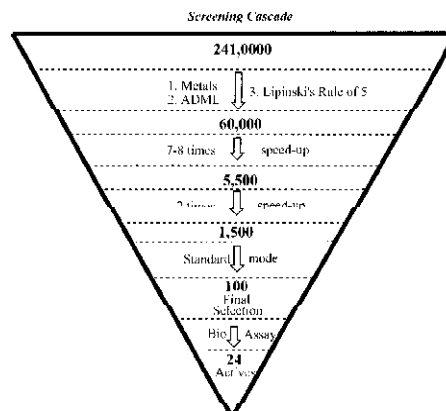
6603 Discovery of Pyrano[3,4-*b*]indoles as Potent and Selective HCV NS5B Polymerase Inhibitors

Ariamala Gopalsamy,* Kitae Lim, Gregory Ciszewski, Kaapjoo Park, John W. Ellingboe, Jonathan Bloom, Shabana Insaf, Janis Upeslakis, Tarek S. Mansour, Girija Krishnamurthy, Murthy Damarla, Yelena Pyatski, Douglas Ho, Anita Y. M. Howe, Mark Orlowski, Boris Feld, and John O'Connell

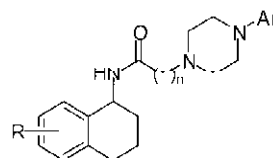


6609 Identification of Novel Parasitic Cysteine Protease Inhibitors Using Virtual Screening. 1. The ChemBridge Database

Prashant V. Desai, Akshay Patny, Yogesh Sabnis, Babu Tekwani, Jiri Gut, Philip Rosenthal, Anuradha Srivastava, and Mitchell Avery*



6616 Structure-Affinity Relationship Study on N -(1,2,3,4-Tetrahydronaphthalen-1-yl)-4-Aryl-1-Piperazinealkylamides, a New Class of 5-Hydroxytryptamine₇ Receptor Agents



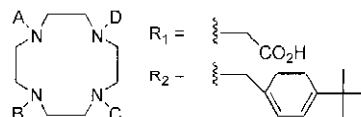
R = H, OCH₃

n = 1-5

Ar = 2-OCH₃-Ph, 2-CN-Ph, 2-CH₃CO-Ph, 2-SCH₃-Ph, 2-CH₃-Ph, 2-OH-Ph, 1,2-benzisoxazol-3-yl, Ph, 2-Cl-Ph, 2-NO₂-Ph.

Marcello Leopoldo,* Francesco Berardi, Nicola A. Colabufo, Marialessandra Contino, Enza Lacivita, Mauro Niso, Roberto Perrone, and Vincenzo Tortorella

6625 Comparative in Vivo Behavior Studies of Cyclen-Based Copper-64 Complexes: Regioselective Synthesis, X-ray Structure, Radiochemistry, log P , and Biodistribution



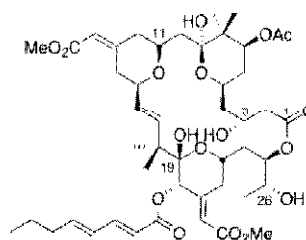
- | | |
|--------------------------------------|---|
| 1 A = B = C = D = R ₂ | 2 A = B = C = R ₁ , D = R ₂ |
| 3 A = C = R ₂ , B = D = H | 4 A = C = R ₁ , B = D = R ₂ |
| 5 A = B = R ₂ , C = D = H | 6 A = B = R ₁ , C = D = R ₂ |
| 7 A = B = C = R ₂ , D = H | 8 A = R ₁ , B = C = D = R ₂ |

Jeongsoo Yoo, David E. Reichert, and Michael J. Welch*

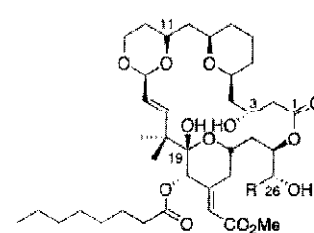
6638 Synthetic Bryostatin Analogues Activate the RasGRP1 Signaling Pathway

Natural Bryostatin 1

Synthetic Analogues



Bryostatin 1

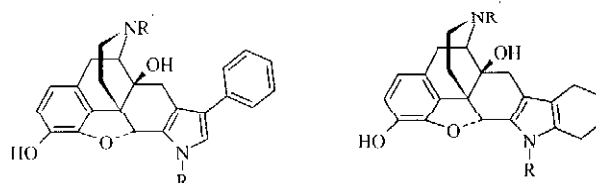


1 R=Me
2 R=H

James C. Stone,* Stacey L. Stang, Yong Zheng, Nancy A. Dower, Stacey E. Brenner, Jeromy L. Baryza, and Paul A. Wender

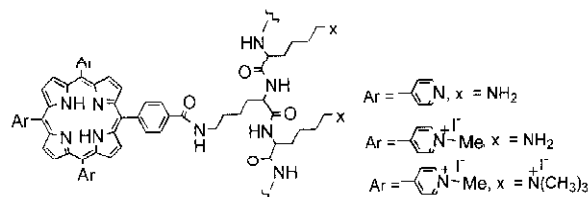
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- 6645 **Effects of Substitution on the Pyrrole N Atom
■ in Derivatives of Tetrahydronaltrindole,
Tetrahydrooxymorphindole, and a Related
4,5-Epoxyphenylpyrrolomorphinan**



Sanjay K. Srivastava, Shefali, Carl N. Miller,
Mario D. Aecto, John R. Traynor,
John W. Lewis, and Stephen M. Husbands*

- 6649 **Synthesis and Antibacterial Activity of New
■ Poly-S-lysine–Porphyrin Conjugates**



João P. C. Tomé, Maria G. P. M. S. Neves,
Augusto C. Tomé, José A. S. Cavalciro,*
Marina Soncin, Michela Magaraggia,
Stefania Ferro, and Giulio Jori*

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