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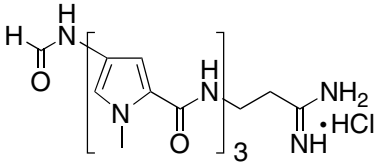
REVIEW

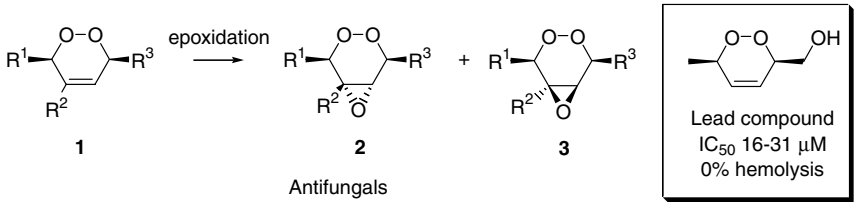
Hybrid molecules between distamycin A and active moieties of antitumor agents pp 17–35
Pier Giovanni Baraldi,* Delia Preti, Francesca Fruttarolo,
Mojgan Aghazadeh Tabrizi and Romeo Romagnoli



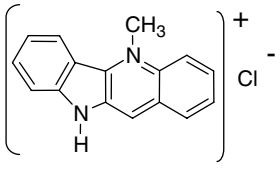
Distamycin A

ARTICLES

Design of endoperoxides with anti-*Candida* activity pp 36–42
Thomas D. Avery, Peter I. Macreadie, Ben W. Greatrex, Tony V. Robinson,
Dennis K. Taylor* and Ian G. Macreadie*

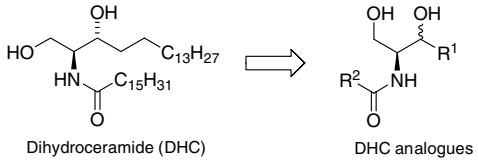


Synthetic cryptolepine inhibits DNA binding of NF-κB pp 43–49
Olumayokun A. Olajide,* Elke H. Heiss, Daniel Schachner, Colin W. Wright,
Angelika M. Vollmar and Verena M. Dirsch



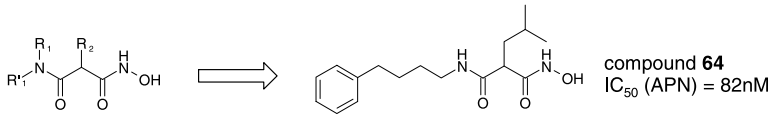
Synthetic cryptolepine-hydrochloride may mediate its anti-inflammatory action by inhibition of NF-κB DNA binding.

Solid-phase synthesis of a combinatorial library of dihydroceramide analogues and its activity in human alveolar epithelial cells **pp 50–62**
Gemma Villorbina, Daniel Canals, Lydia Carde, Santiago Grijalvo, Rosalia Pascual, Obdulia Rabal, Jordi Teixidó, Gemma Fabriàs, Amadeu Llebaria, Josefina Casas* and Antonio Delgado*



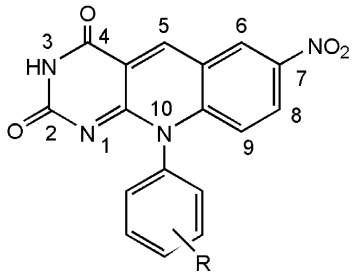
A small combinatorial library of dihydroceramide analogues is described. Some of them cause growth arrest and apoptosis in a dose-dependent manner in human alveolar epithelial cells. These compounds may be useful as biochemical tools for the study of different pathologies where ceramide and/or dihydroceramide are involved.

A library of novel hydroxamic acids targeting the metallo-protease family: Design, parallel synthesis and screening **pp 63–76**
Marion Flipo, Terence Beghyn, Julie Charton, Virginie A. Leroux, Benoit P. Deprez* and Rebecca F. Deprez-Poulain

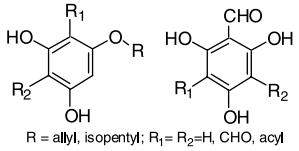


Synthesis of 5-deazaflavin derivatives and their activation of p53 in cells **pp 77–86**
Jennifer M. Wilson, Graham Henderson, Fiona Black, Andrew Sutherland, Robert L. Ludwig, Karen H. Vousden and David J. Robins*

New 5-deazaflavin derivatives were assessed for their ability to stabilize and activate p53. The nitro group in these derivatives is not essential for observation of this activity.

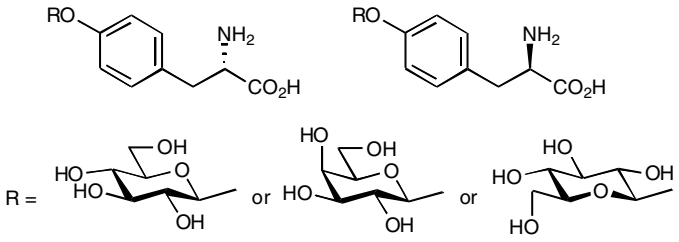


Antiprotozoal and antimicrobial activities of O-alkylated and formylated acylphloroglucinols **pp 87–96**
Sandip B. Bharate, Shabana I. Khan, Nafees A. M. Yunus, Siddheshwar K. Chauthe, Melissa R. Jacob, Babu L. Tekwani, Ikhlas A. Khan and Inder Pal Singh*

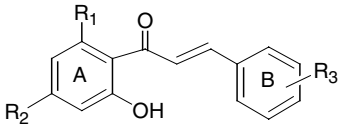


Antiprotozoal and antimicrobial activities of several new O-alkylated and formylated acylphloroglucinols have been evaluated. Some of the O-alkylated and formylated acylphloroglucinols showed antileishmanial activity while only formylated acylphloroglucinols exhibited antibacterial and antifungal activities.

Synthesis and biological activities of analogs of D-glucosyl-L-tyrosine, a humoral factor that stimulates transcription of the acyl-CoA binding protein in the pheromone gland of the Silkmoth, *Bombyx mori* **pp 97–103**
Shunya Takahashi,* Keiko Hasumi, Atsushi Ohnishi, Hiroyuki Koshino and Shogo Matsumoto*

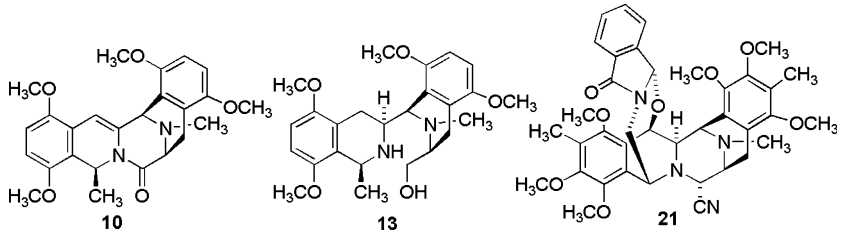


Structural requirement of chalcones for the inhibitory activity of interleukin-5 **pp 104–111**
Hyun-Mo Yang, Hye-Rim Shin, Soo-Hyun Cho, Seong-Cheol Bang, Gyu-Yong Song, Jung-Hun Ju, Mi-Kyeong Kim, Seung-Ho Lee, Jae-Chun Ryu, Youngsoo Kim and Sang-Hun Jung*

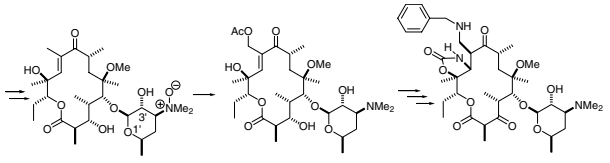


Structure–activity relationship of chalcone **2** against IL-5 bioactivity was explored. Compound **2w** (99.5% inhibition at 50 μM, IC_{50} = 1.8 μM) shows the most potent activity.

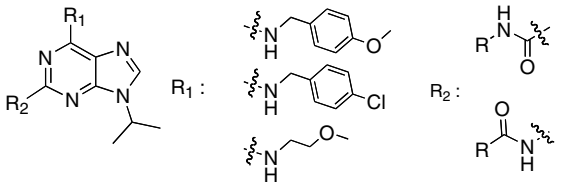
Synthesis and cytotoxic activity of pyrazino[1,2-*b*]-isoquinolines, 1-(3-isoquinolyl)isoquinolines, and 6,15-iminoisoquino[3,2-*b*]-3-benzazocines **pp 112–118**
Juan Francisco González, Elena de la Cuesta and Carmen Avendaño*



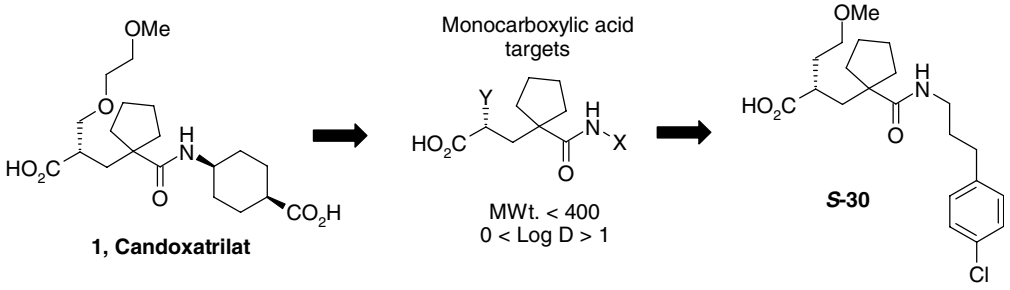
Chemoselective synthesis of erythromycin A ketolides substituted in the C10-methyl group **pp 119–129**
Sølvi Gunnes and Kjell Undheim*



A Pd(0) based cross-coupling approach to the synthesis of 2-amidopurines and their evaluation as CDK inhibitors **pp 130–141**
Lucie Vandromme, Michel Legraverend,* Sergio Kreimerman, Olivier Lozach, Laurent Meijer and David S. Grierson

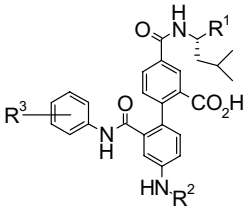


Novel selective inhibitors of neutral endopeptidase for the treatment of female sexual arousal disorder **pp 142–159**
David C. Pryde,* Andrew S. Cook, Denise J. Burring, Lyn H. Jones, Stephanie Foll, Michelle Y. Platts, Vivienne Sanderson, Martin Corless, Alan Stobie, Donald S. Middleton, Laura Foster, Laura Barker, Piet Van Der Graaf, Peter Stacey, Christopher Kohl,* Sara Coggon and Kevin Beaumont

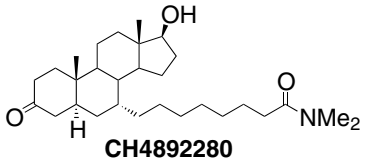


Design, synthesis and biological activity of selective and orally available TF/FVIIa complex inhibitors containing non-amidine P1 ligands **pp 160–173**
Masanori Miura,* Norio Seki, Takanori Koike, Tsukasa Ishihara, Tatsuya Niimi, Fukushi Hirayama, Takeshi Shigenaga, Yumiko Sakai-Moritani, Ayako Tagawa, Tomihisa Kawasaki, Shuichi Sakamoto, Minoru Okada, Mitsuaki Ohta and Shin-ichi Tsukamoto

Non-amidine TF/FVIIa inhibitors were prepared and evaluated for inhibitory activity against TF/FVIIa in vitro and for plasma levels on oral administration in mice. Ex vivo anticoagulant activity and bleeding risk were also tested in cynomolgus monkeys by measuring PT, APTT and bleeding time.

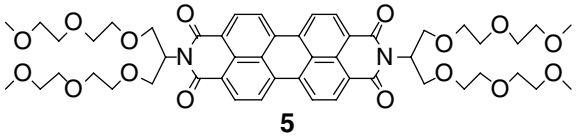


Discovery of 7α-substituted dihydrotestosterones as androgen receptor pure antagonists and their structure–activity relationships **pp 174–185**
Kazutaka Tachibana,* Ikuhiro Imaoka, Hitoshi Yoshino, Takashi Emura, Hirohumi Kodama, Yoshiyuki Furuta, Nobuaki Kato, Mitsuaki Nakamura, Masateru Ohta, Kenji Taniguchi, Nobuyuki Ishikura, Masahiro Nagamuta, Etsuro Onuma and Haruhiko Sato



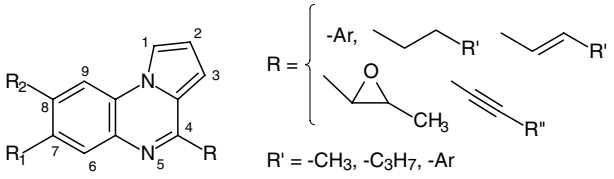
CH4892280 and others were synthesized and showed AR antagonistic activities without agonistic activities in reporter gene assay. SARs of the compounds are also presented in this report.

Synthesis of a non-cationic, water-soluble perylenetetracarboxylic diimide and its interactions with G-quadruplex-forming DNA pp 186–193
Ramakrishna Samudrala, Xu Zhang, Randy M. Wadkins and Daniell Lewis Mattern*



5

Synthesis, analytical behaviour and biological evaluation of new 4-substituted pyrrolo[1,2-*a*]quinoxalines as antileishmanial agents pp 194–210
Jean Guillon,* Isabelle Forfar, Maria Mamani-Matsuda, Vanessa Desplat, Marion Saliège, Denis Thiolat, Stéphane Massip, Anais Tabourier, Jean-Michel Léger, Benoit Dufaure, Gilbert Haumont, Christian Jarry and Djavad Mossalayi

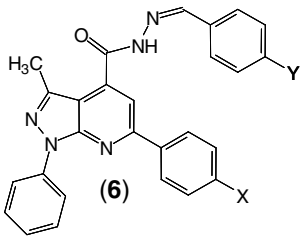


1a-w

R' = -CH_3, -C_3H_7, -Ar
R" = -C_4H_9
R_1, R_2 = H, OCH_3

Synthesis, in vitro evaluation, and SAR studies of a potential antichagasic 1*H*-pyrazolo[3,4-*b*]pyridine series pp 211–219
Luiza R. S. Dias,* Marcelo B. Santos, Sérgio de Albuquerque, Helena C. Castro, Alessandra M. T. de Souza, Antônio C. C. Freitas, Maria A. V. DiVaio, Lucio M. Cabral and Carlos R. Rodrigues

The development of new drugs against *Trypanosoma cruzi* is a challenge, since only two drugs are currently used, and both caused severe side effects. Herein we described the synthesis, biological evaluation, and SAR results of a new potential 1-H-pyrazolo[3,4-*b*]pyridine antichagasic series.

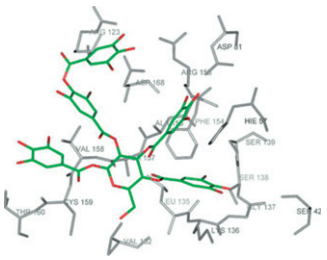


(6)

X= H, CN, NO_2, Cl, OCH_3, OC_2H_5
Y= F, OH, NO_2

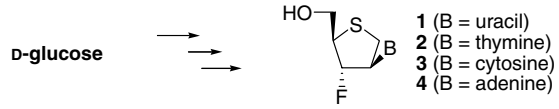
Prediction of binding for a kind of non-peptic HCV NS3 serine protease inhibitors from plants by molecular docking and MM-PBSA method pp 220–226
Xudong Li, Wei Zhang, Xuebin Qiao and Xiaojie Xu*

The binding mode of the best inhibitor of a set of polyphenol compounds which can inhibit the bioactivity of HCV NS3 serine protease is predicted by molecular dynamics simulations and MM-PBSA (Molecular Mechanics and Poisson–Boltzmann Surface Area).

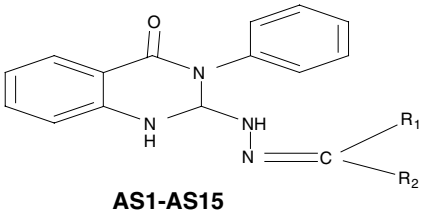


Design, synthesis, and biological evaluation of novel iso-D-2′,3′-dideoxy-3′-fluorothianucleoside derivatives pp 227–234
Kyung Ran Kim, Hyung Ryong Moon,* Ah-Young Park, Moon Woo Chun and Lak Shin Jeong*

Novel iso-D-2′,3′-dideoxythianucleoside derivatives **1**–**4** were designed and synthesized from D-glucose as a bioisostere of lamivudine. Among compounds tested, cytosine analogue **3** showed a potent anti vesicular stomatitis virus (VSV) activity. This result implies that iso-2′,3′-dideoxy sugar templates might play a role of a sugar surrogate of nucleosides for the development of anti-RNA virus agent.



Synthesis and pharmacological evaluation of some 3-phenyl-2-substituted-3*H*-quinazolin-4-one as analgesic, anti-inflammatory agents pp 235–241
V. Alagarsamy,* V. Raja Solomon and K. Dhanabal

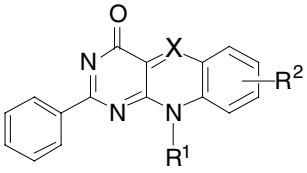


AS1-AS15

In the present study, synthesis of a new series of 3-phenyl-2-substituted-3*H*-quinazolin-4-ones derivatives and evaluation of their analgesic and anti-inflammatory activity studies are described.

Antitumor studies. Part 1: Design, synthesis, antitumor activity, and AutoDock study of 2-deoxo-2-phenyl-5-deazaflavins and 2-deoxo-2-phenylflavin-5-oxides as a new class of antitumor agents pp 242–256
Hamed I. Ali, Keiichiro Tomita, Eiichi Akaho, Hiroto Kambara, Shinji Miura, Hiroyuki Hayakawa, Noriyuki Ashida, Yutaka Kawashima, Takehiro Yamagishi, Hisao Ikeya, Fumio Yoneda and Tomohisa Nagamatsu*

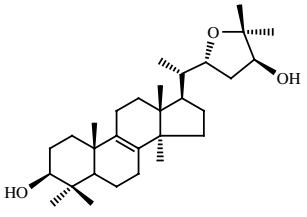
The prepared 2-deoxo-2-phenyl-5-deazaflavins (**1**) and 2-deoxo-2-phenylflavin-5-oxides (**2**) exhibited significant antitumor activities against CCRF-HSB-2, KB, NCI-H460, A 431, and HCT 116 cells. A good correlation between IC_{50} and binding free energy for **1** and **2** was obtained by docking into PTK $pp60^{c\text{-}src}$.



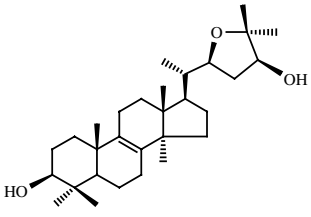
1: X = CH
2: X = N^+–O^-
R^1, R^2 = H or alkyl

Structure determination of inonotsuoxides A and B and in vivo anti-tumor promoting activity of inotodiol from the sclerotia of *Inonotus obliquus* pp 257–264
Tomoko Nakata, Takeshi Yamada, Sayaka Taji, Hirofumi Ohishi, Shun-ichi Wada, Harukuni Tokuda, Kazuo Sakuma and Reiko Tanaka*

Two new lanostane-type triterpenoids, inonotsuoxide, A (**1**) and B (**2**), were isolated from the sclerotia of *Inonotus obliquus*. Their structures were determined by 2D NMR spectra and crystal X-ray analysis. The most abundant triterpene, inotodiol (**3**), was investigated for the inhibitory effect in a two-stage carcinogenesis test on mouse skin using DMBA as an initiator and TPA as a promoter. Compound **3** was found to exhibit the potent anti-tumor promoting activity.

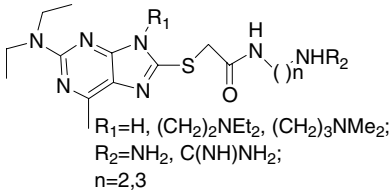


1



2

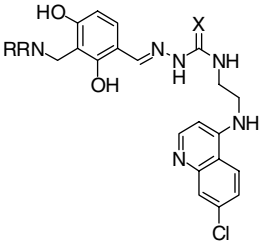
The design, synthesis, and biological evaluation of novel substituted purines as HIV-1 Tat–TAR inhibitors **pp 265–272**
Dekai Yuan, Meizi He, Ruifang Pang, Shrong-shi Lin, Zhengming Li and Ming Yang*



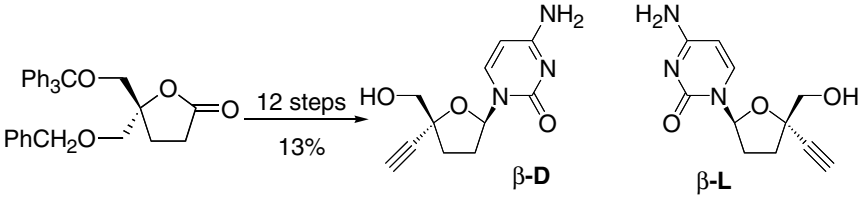
Substituted purines containing a side chain with a terminal amino or guanidyl group were designed and synthesized as HIV-1 Tat–TAR inhibitors. Title compounds possessed high inhibitory activity and modeling suggests that they bind to TAR in two different modes.

Synthesis and biological evaluation of phenolic Mannich bases of benzaldehyde and (thio)semicarbazone derivatives against the cysteine protease falcipain-2 and a chloroquine resistant strain of *Plasmodium falciparum* **pp 273–282**
Alex Chipeleme, Jiri Gut, Philip J. Rosenthal and Kelly Chibale*

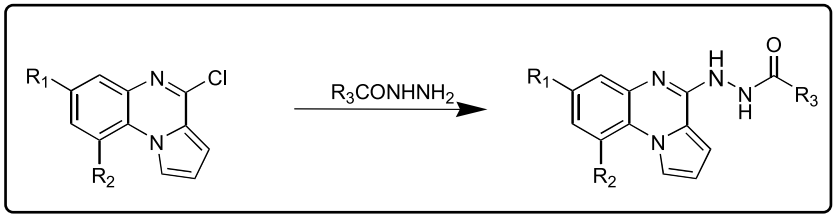
A new series of phenolic Mannich bases of aminoquinoline semicarbazone and (thio)semicarbazone derivatives were synthesized and evaluated in vitro against falcipain-2 and the W2 strain of *Plasmodium falciparum*. All aminoquinoline semicarbazones showed antimalarial activity with IC_{50} in the range of 0.08–1.0 μM.



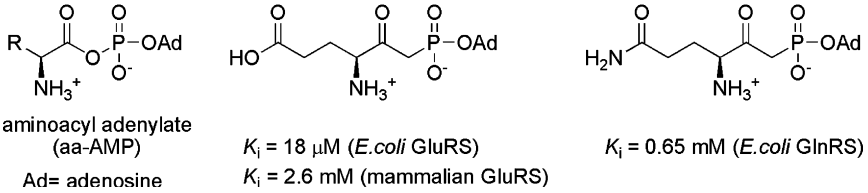
The triphosphate of β-D-4′-*C*-ethynyl-2′,3′-dideoxycytidine is the preferred enantiomer substrate for HIV reverse transcriptase **pp 283–287**
Maqbool A. Siddiqui and Victor E. Marquez*



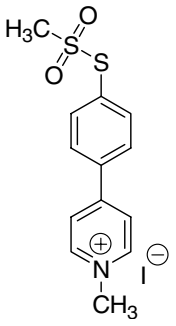
Synthesis and antitumor activities of a series of novel quinoxalinhydrazides **pp 288–294**
Fedora Grande, Francesca Aiello, Osvaldo De Grazia, Antonella Brizzi, Antonio Garofalo* and Nouri Neamati*



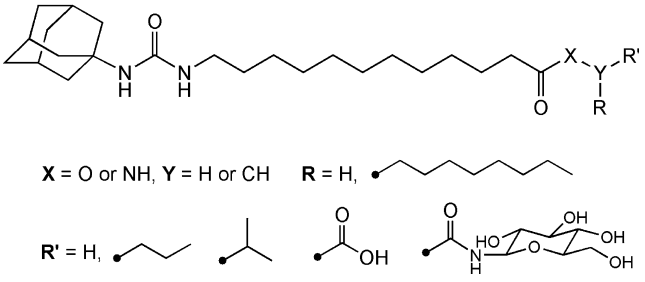
Synthesis of β-ketophosphonate analogs of glutamyl and glutaminyl adenylate, and selective inhibition of the corresponding bacterial aminoacyl-tRNA synthetases pp 295–304
Christian Balg, Sébastien P. Blais, Stéphane Bernier, Jonathan L. Huot, Manon Couture, Jacques Lapointe* and Robert Chênevert*



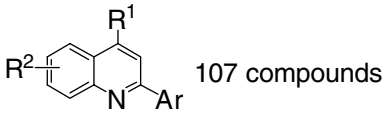
1-Methylpyridinium-4-(4-phenylmethanethiosulfonate) iodide, MTS-MPP+, a novel scanning cysteine accessibility method (SCAM) reagent for monoamine transporter studies pp 305–311
Alejandra Gallardo-Godoy, Melissa I. Torres-Altoro, Kellie J. White, Eric L. Barker and David E. Nichols*



Design of bioavailable derivatives of 12-(3-adamantan-1-yl-ureido)dodecanoic acid, a potent inhibitor of the soluble epoxide hydrolase pp 312–323
In-Hae Kim, Kosuke Nishi, Hsing-Ju Tsai, Tanya Bradford, Yasuko Koda, Takaho Watanabe, Christophe Morisseau,* Joanne Blanchfield, Istvan Toth and Bruce D. Hammock



Fujita-Ban QSAR analysis and CoMFA study of quinoline antagonists of immunostimulatory CpG-oligodeoxynucleotides pp 324–332
Ekaterina Paliakov, Maged Henary, Martial Say, Steven E. Patterson, Alesia Parker, Lori Manzel, Donald E. Macfarlane, Andrzej J. Bojarski and Lucjan Strekowski*



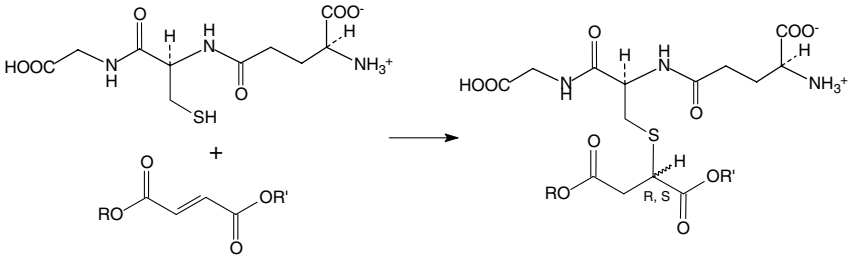
Ar = 2-naphthyl or substituted phenyl (20 groups)

R^1 = substituted alkylamino (20 groups)

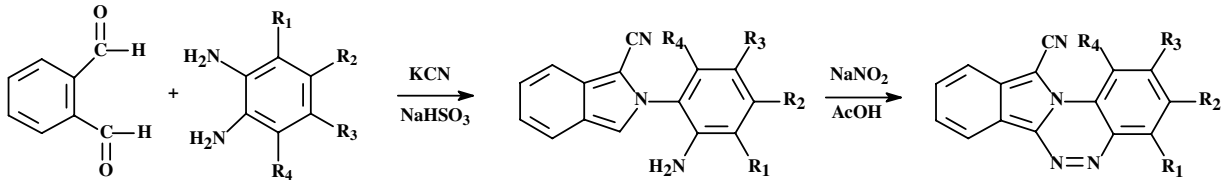
R^2 = F, Cl, CF_3, Ph

Reactivity of dimethyl fumarate and methylhydrogen fumarate towards glutathione and *N*-acetyl-L-cysteine—Preparation of S-substituted thiosuccinic acid esters **pp 333–342**
Thomas J. Schmidt,* Muharrem Ak and Ulrich Mrowietz

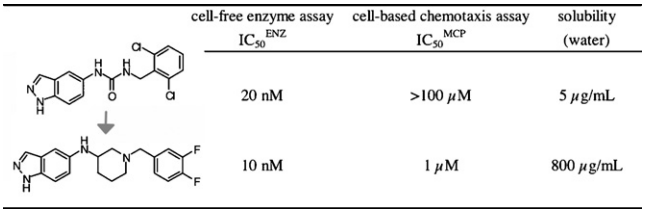
The kinetics of Michael-type addition of the approved antipsoriatic drug dimethyl fumarate (DMF) as well as methyl hydrogenfumarate (MHF) towards glutathione (GSH) was studied by spectroscopic and theoretical methods. The results indicate that spontaneous GSH addition may play an important role in the pharmacokinetics of DMF.



Isoindolo[2,1-*c*]benzo[1,2,4]triazines: A new ring system with antiproliferative activity **pp 343–349**
Patrizia Diana,* Annamaria Martorana, Paola Barraja, Antonino Lauria, Alessandra Montalbano, Anna Maria Almerico, Gaetano Dattolo and Girolamo Cirrincione

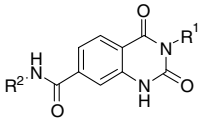


Design and synthesis of Rho kinase inhibitors (II) **pp 350–364**
Masayuki Iwakubo, Atsuya Takami, Yuji Okada, Takehisa Kawata, Yoshimichi Tagami, Hiroshi Ohashi, Motoko Sato, Terumi Sugiyama, Kayoko Fukushima and Hiroshi Iijima*



Optimization of the 1*H*-indazole Rho kinase inhibitors resulted in improvements of the solubility and the inhibitory potency for chemotaxis.

Novel T-type calcium channel blockers: Dioxoquinazoline carboxamide derivatives **pp 365–373**
Mi Na Jo, Hee Jeong Seo, Yoonji Kim, Seon Hee Seo, Hyewhon Rhim, Yong Seo Cho, Joo Hwan Cha, Hun Yeong Koh, Hyunah Choo* and Ae Nim Pae*

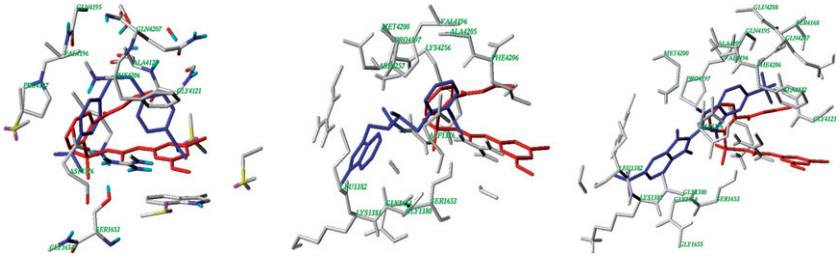


1

A small molecule library of dioxoquinazoline carboxamide derivatives **1** was synthesized and biologically evaluated for T-type calcium channel blocking activity.

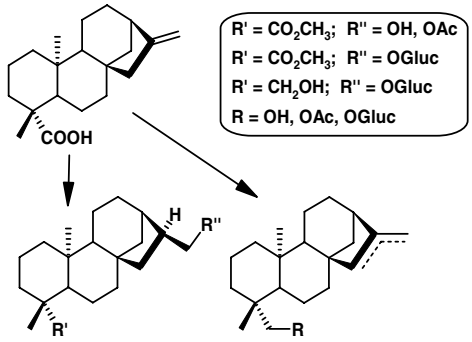
Identification of some novel AHAS inhibitors via molecular docking and virtual screening approach **pp 374–380**
Jian-Guo Wang, Yong-Jun Xiao, Yong-Hong Li, Yi Ma and Zheng-Ming Li*

Based on AHAS/sulfonylurea crystal structures, virtual screening was performed to look for non-sulfonylurea AHAS inhibitors from ACD-3D database. Among 14 procured compounds, 3 compounds were found to inhibit AHAS in vitro and 1 compound was found to possess herbicidal activity in vivo. This research provided useful clues for further discovery and design herbicidal compounds.

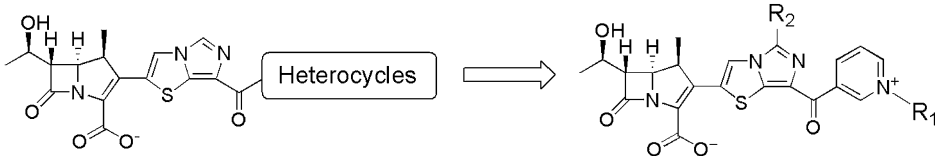


Synthesis and trypanocidal activity of *ent*-kaurane glycosides **pp 381–391**
Ronan Batista, Jorge Luiz Humberto, Egler Chiari and Alaíde Braga de Oliveira*

Starting from kaurenoic acid, novel *ent*-kaurane glycosides were synthesized and evaluated by in vitro and in vivo assays against *Trypanosoma cruzi*, the aetiological agent of Chagas' disease.

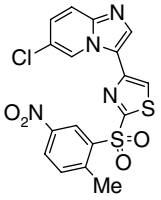


Synthesis and SAR study of novel 7-(pyridinium-3-yl)-carbonyl imidazo[5,1-*b*]thiazol-2-yl carbapenems **pp 392–402**
Takahisa Maruyama,* Yuko Kano, Yasuo Yamamoto, Mizuyo Kurazono, Katsuyoshi Iwamatsu, Kunio Atsumi and Eiki Shitara



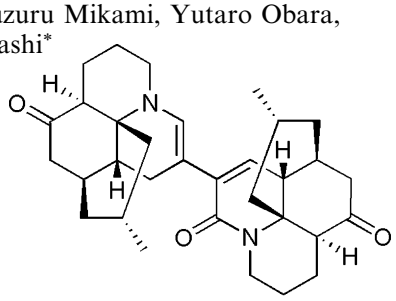
A new series of 1β-methyl carbapenems, possessing a 7-substituted imidazo[5,1-*b*]thiazol-2-yl group was synthesized and evaluated for antibacterial activity. These compounds showed potent anti-MRSA as well as anti-PRSP and anti-BLNAR activity.

Synthesis and biological evaluation of imidazo[1,2-*a*]pyridine derivatives as novel PI3 kinase p110α inhibitors **pp 403–412**
Masahiko Hayakawa,* Hiroyuki Kaizawa, Ken-ichi Kawaguchi, Noriko Ishikawa, Tomonobu Koizumi, Takahide Ohishi, Mayumi Yamano, Minoru Okada, Mitsuaki Ohta, Shin-ichi Tsukamoto, Florence I. Raynaud, Michael D. Waterfield, Peter Parker and Paul Workman

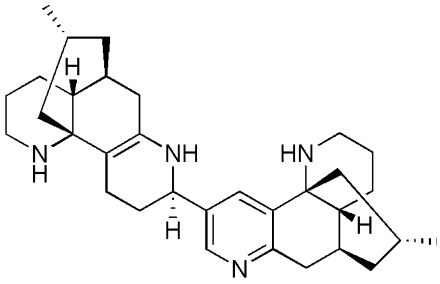


12

Complanadines C and D, new dimeric alkaloids from *Lycopodium complanatum* **pp 413–417**
Kan'ichiro Ishiuchi, Takaaki Kubota, Yuzuru Mikami, Yutaro Obara, Norimichi Nakahata and Jun'ichi Kobayashi*

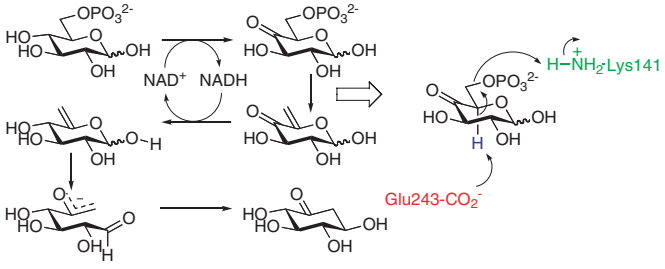


Complanadine C

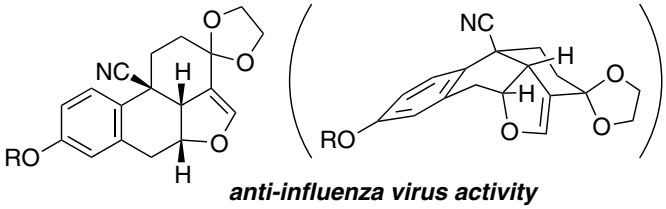


Complanadine D

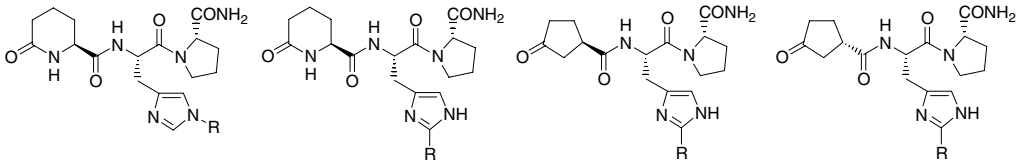
Role of glutamate 243 in the active site of 2-deoxy-*scyllo*-inosose synthase from *Bacillus circulans* **pp 418–423**
Toshifumi Hirayama, Fumitaka Kudo, Zhen Huang and Tadashi Eguchi*



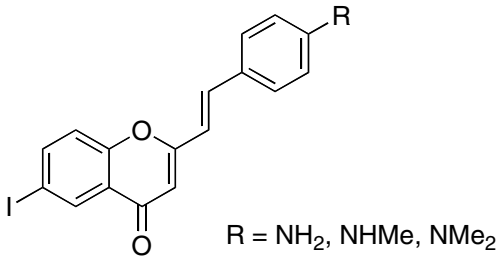
Synthesis and biological evaluation of dihydrofuran-fused perhydrophenanthrenes as a new anti-influenza agent having novel structural characteristic **pp 424–432**
Yuji Matsuya, Kazushige Sasaki, Hiroshi Ochiai and Hideo Nemoto*



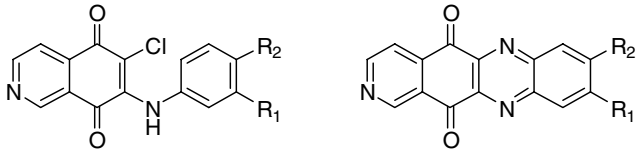
Modifications of the pyroglutamic acid and histidine residues in thyrotropin-releasing hormone (TRH) yield analogs with selectivity for TRH receptor type 2 over type 1 **pp 433–443**
Navneet Kaur, Vikramdeep Monga, Xinping Lu, Marvin C. Gershengorn and Rahul Jain*



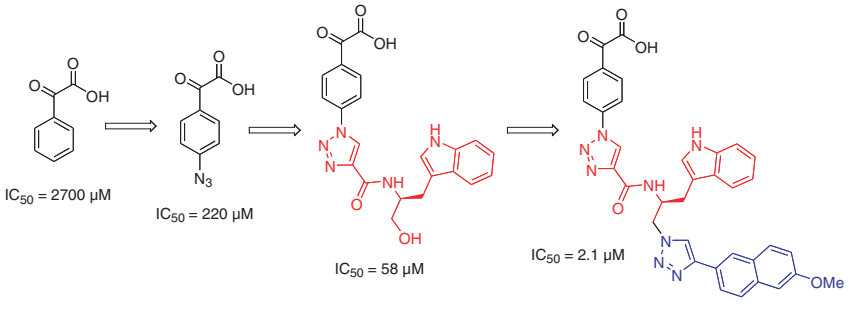
Synthesis and characterization of styrylchromone derivatives as β-amyloid imaging agents **pp 444–450**
Masahiro Ono,* Yoshifumi Maya, Mamoru Haratake and Morio Nakayama



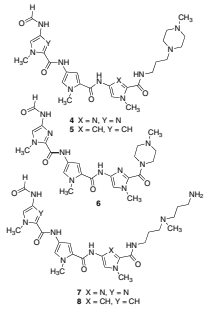
Synthesis of 6-chloroisoquinoline-5,8-diones and pyrido[3,4-*b*]phenazine-5,12-diones and evaluation of their cytotoxicity and DNA topoisomerase II inhibitory activity **pp 451–457**
Jin Sung Kim, Hee-Kyung Rhee, Hyen Joo Park, In-Kyoung Lee, Sang Kook Lee, Myung-Eun Suh, Hwa Jeong Lee, Chung-Kyu Ryu* and Hea-Young Park Choo*



A two stage click-based library of protein tyrosine phosphatase inhibitors **pp 458–473**
Jian Xie and Christopher T. Seto*

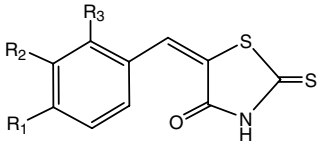


Synthesis and biophysical evaluation of minor-groove binding C-terminus modified pyrrole and imidazole triamide analogs of distamycin **pp 474–483**
Toni Brown, Zarmeen Taherbhai, Jim Sexton, Arden Sutterfield, Mark Turlington, Justin Jones, Lindsay Stallings, Michelle Stewart, Karen Buchmueller, Hilary Mackay, Caroline O'Hare, Jerome Kluza, Binh Nguyen, David Wilson, Moses Lee* and John A. Hartley



Synthesis and antifungal activity of (*Z*)-5-arylidenerhodanines **pp 484–494**

Maximiliano Sortino, Paula Delgado, Sabina Juárez, Jairo Quiroga, Rodrigo Abonía, Braulio Insuasty, Manuel Nogueras, Laura Rodero, Francisco M. Garibotto, Ricardo D. Enriz and Susana A. Zacchino*



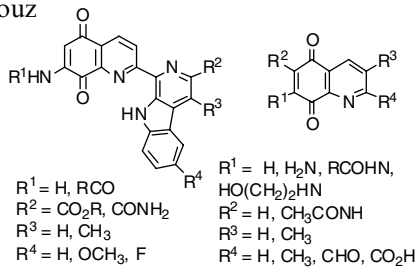
A

New benciliden-rhodanines of the general structure A showed fungicidal properties against standardized and clinical fungi displaying potent activities against Candida, Cryptococcus and dermatophyte spp. SAR studies on the rhodanine compounds including the calculations of log *P*, dipole moments, and MEPs allowed to understand the minimal structural requirements for rhodanines to display antifungal activity.

Synthesis and evaluation of antitumor activity of novel *N*-acyllavendamycin analogues and quinoline-5,8-diones **pp 495–510**

Mohammad Behforouz,* Wen Cai, Farahnaz Mohammadi, Mark G. Stocksdale, Zhengxiang Gu, Mohammad Ahmadian, Darric E. Baty, Michele R. Etling, Charmaine H. Al-Anzi, Tyson M. Swiftney, Lee R. Tanzer, Ronald L. Merriman and Nancy C. Behforouz

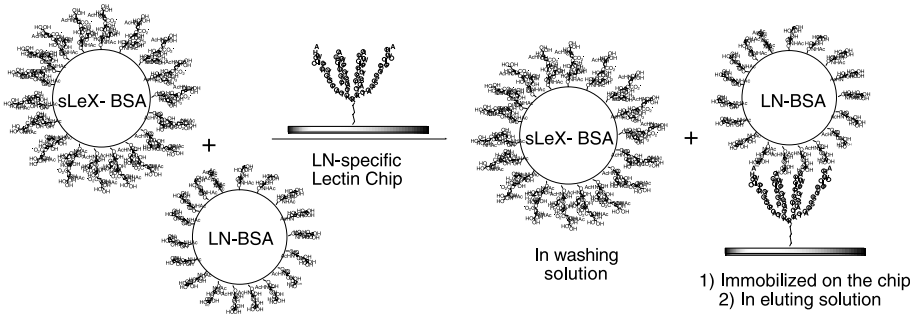
Efficient syntheses of lavendamycins and quinoline-5,8-diones are described. Several lavendamycins showed potent selective activity against *ras* transformed cells, particularly the K-*ras* line. Toxicity toward normal cells, 3LL cells, and mice was low.



Selection and syntheses of tentacle type peptides as 'artificial' lectins against various cell-surface carbohydrates **pp 511–517**

Soonsil Hyun, Jiyoung Kim, Miyun Kwon and Jaehoon Yu*

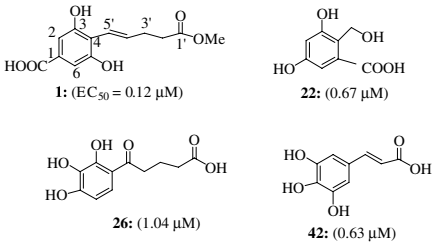
Artificial lectins that can discriminate various cell-surface carbohydrates were selected from a phage-displayed peptide library and synthesized as tentacle type peptides.



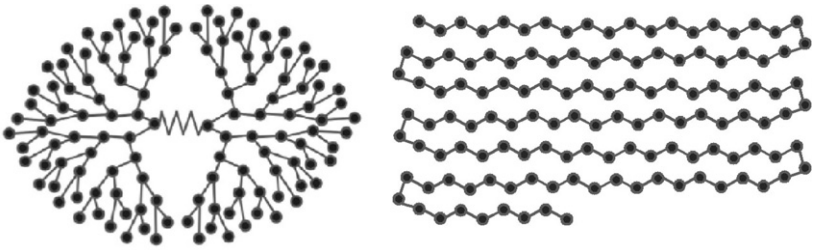
Synthesis of diverse analogues of Oenostacin and their antibacterial activities **pp 518–525**

Vandana Srivastava, Mahendra P. Darokar, Atiya Fatima, J. K. Kumar, Chinmay Chowdhury, Hari Om Saxena, Gaurav R. Dwivedi, Kunal Shrivastava, Vivek Gupta, S. K. Chattopadhyay, Suaib Luqman, M. M. Gupta, Arvind S. Negi* and Suman P. S. Khanuja

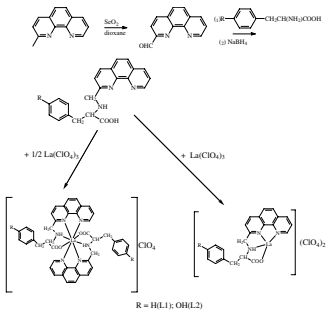
Various synthetic analogues of Oenostacin, a naturally occurring plant lead compound, have been synthesized. Some of the analogues possessed potent antibacterial activities against *Staphylococcus epidermidis* and *Staphylococcus aureus*. However, none of these was as active as Oenostacin.



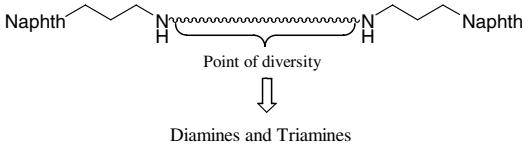
Structural advantage of dendritic poly(L-lysine) for gene delivery into cells **pp 526–532**
Masato Yamagata, Takahito Kawano, Kouhei Shiba, Takeshi Mori, Yoshiki Katayama and Takuro Niidome*



Synthesis, characterization and biological activity of complexes of lanthanum(III) with 2-(1′-phenyl-2′-carboxyl-3′-aza-*n*-butyl)-1,10-phenanthroline and 2-(1′-*p*-phenol-2′-carboxyl-3′-aza-*n*-butyl)-1,10-phenanthroline **pp 533–540**
Guanghua Zhao,* Fenghua Li, Hai Lin and Huakuan Lin*



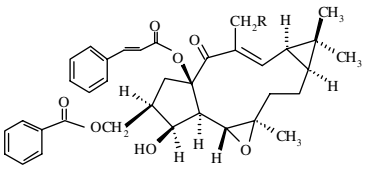
The synthesis and the in vitro cytotoxicity studies of bisnaphthalimidopropyl polyamine derivatives against colon cancer cells and parasite *Leishmania infantum* **pp 541–545**
João Oliveira, Lynda Ralton, Joana Tavares, Anabela Codeiro-da-Silva, Charles S. Bestwick, Anne McPherson and Paul Kong Thoo Lin*



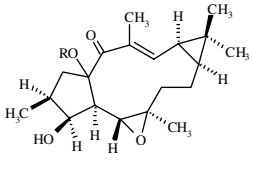
In Vitro Cytotoxicity Studies	IC_{50} (μM)
CaCo-2 cells (Colon Cancer)	0.30-22.00
Parasites *(Leishmania infantum)*	0.47-1.54

Apoptosis induction and modulation of P-glycoprotein mediated multidrug resistance by new macrocyclic lathyrane-type diterpenoids **pp 546–554**
Noélia Duarte, Andras Varga, Georg Cherepnev, Rita Radics, Joseph Molnár and Maria-José U. Ferreira*

Three new lathyrane diterpenes and jolkinol B, isolated from *Euphorbia lagascae*, have shown powerful anti-MDR activity in cancer cells. Two of these compounds and other lathyrane derivatives were evaluated as apoptosis inducers. Moreover, the antiproliferative effects of the anticancer drug doxorubicin in combination with latilagascene B were studied.



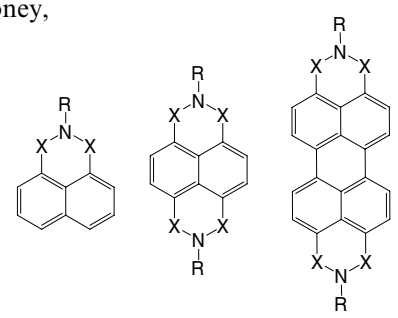
1 R = H
2 R = OH



3 R = Cinnamoyl
4 R = Benzoyl

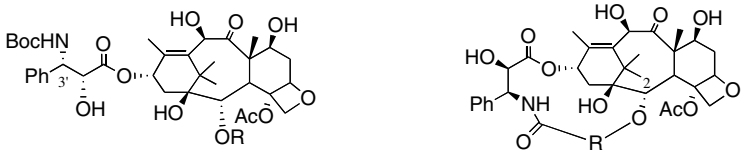
Tri-, tetra- and heptacyclic perylene analogues as new potential antineoplastic agents based on DNA telomerase inhibition pp 555–562

Claudia Sissi,* Lorena Lucatello, A. Paul Krapcho, David J. Maloney, Matthew B. Boxer, Maria V. Camarasa, Gabriella Pezzoni, Ernesto Menta and Manlio Palumbo



Novel C2–C3′ *N*-peptide linked macrocyclic taxoids. Part 2: Synthesis and biological activities of docetaxel analogues with a peptide side chain at C2 and their macrocyclic derivatives pp 563–574

Anne-Laure Larroque, Joëlle Dubois, Sylviane Thoret, Geneviève Aubert, Angèle Chiaroni, Françoise Guéritte and Daniel Guénard*

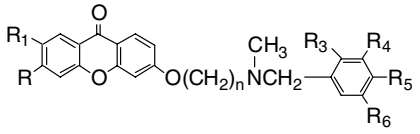


6a R= Gly-
6b R= Gly-Gly
6c R= Pro-Gly-Gly-
6d R= Val-Pro-Gly-Gly-

10 R= Val-Pro-Gly-Gly
21 R=Val-Pro-Gly-Gly-*meta*-NH-Bz
26 R= Val-Pro-Gly-Gly-Asp-Gly

Cholinesterase inhibitors: SAR and enzyme inhibitory activity of 3-[ω-(benzylmethylamino)alkoxy]xanthen-9-ones pp 575–585

Lorna Piazzi, Federica Belluti, Alessandra Bisi, Silvia Gobbi, Stefano Rizzo, Manuela Bartolini, Vincenza Andrisano, Maurizio Recanatini and Angela Rampa*



The design and synthesis of reversible xanthostigmine analogues as selective BuChE inhibitors are described.

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*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

The cover figure shows a synthetic multifunctional pore that is composed of rigid-rod staves (para-octiphenyls, tan) and beta-sheet hoops (arrows) and can be activated with external ligands (fullerenes, golden spheres) and closed with internal blockers (alpha-helix, red ribbon) [Gorteau, V.; Bollot, G.; Mareda, J.; Pasini, D.; Tran, D.-H.; Lazar, A. N.; Coleman, A. W.; Sakai, N.; Matile, S. *Bioorg. Med. Chem.* **2005**, *13*, 5171–5180].

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