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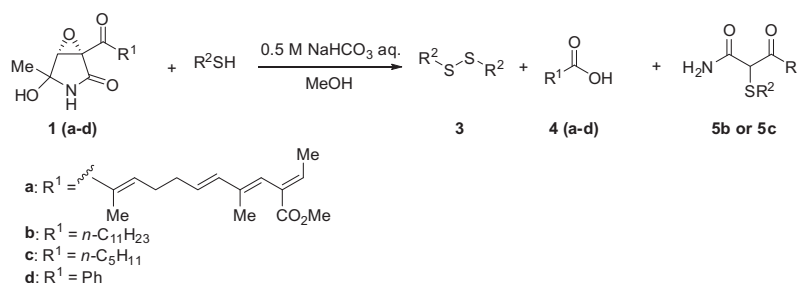
Contents

ARTICLES

Transformation of thiols to disulfides by epolactaene and its derivatives

pp 4162–4172

Kouji Kuramochi*, Takashi Sunoki, Kazunori Tsubaki, Yoshiyuki Mizushima, Kengo Sakaguchi, Fumio Sugawara, Masahiko Ikekita, Susumu Kobayashi

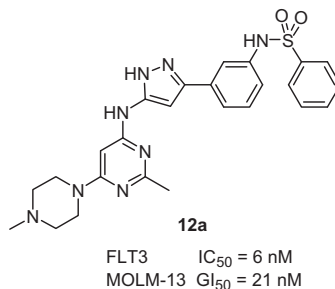


Thiolysis of α,β -epoxy- γ -lactam derivatives (**1**) are described. Epolactaene (**1a**) smoothly converted thiols into the corresponding disulfide in aqueous solutions.

Discovery and evaluation of 3-phenyl-1*H*-5-pyrazolylamine-based derivatives as potent, selective and efficacious inhibitors of FMS-like tyrosine kinase-3 (FLT3)

pp 4173–4182

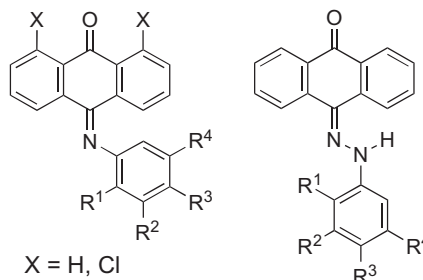
Wen-Hsing Lin, Shu-Yi Hsieh, Shih-Chieh Yen, Chiung-Tong Chen, Teng-Kuang Yeh, Tsu Hsu, Cheng-Tai Lu, Ching-Ping Chen, Chun-Wha Chen, Ling-Hui Chou, Yu-Lin Huang, An-Huei Cheng, Yun-I Chang, Ya-Ju Tseng, Kuei-Rong Yen, Yu-Sheng Chao, John T.-A. Hsu*, Weir-Torn Jiaang*



Phenylimino-10*H*-anthracen-9-ones as novel antimicrotubule agents—synthesis, antiproliferative activity and inhibition of tubulin polymerization

pp 4183–4191

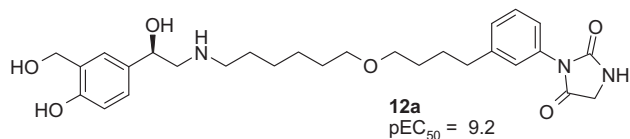
Helge Prinz*, Peter Schmidt, Konrad J. Böhm, Silke Baasner, Klaus Müller, Matthias Gerlach, Eckhard G. Günther, Eberhard Unger



The discovery of long-acting saligenin β_2 adrenergic receptor agonists incorporating hydantoin or uracil rings

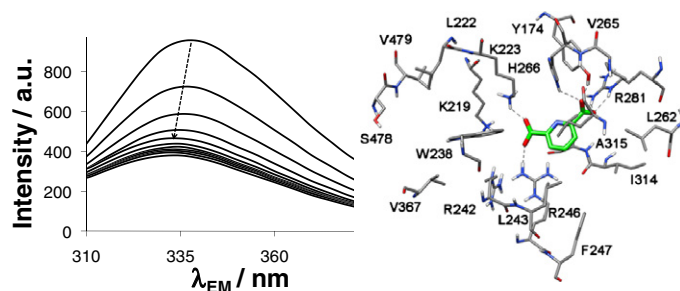
pp 4192–4201

Panayiotis A. Procopiou*, Victoria J. Barrett, Nicola J. Bevan, Peter R. Butchers, Richard Conroy, Amanda Emmons, Alison J. Ford, Séverine Jeulin, Brian E. Looker, Gillian E. Lunniss, Valerie S. Morrison, Peter J. Mutch, Rossana Perciaccante, Mark Ruston, Claire E. Smith, Graham Somers

**Interactions of the carrier ligands of antidiabetic metal complexes with human serum albumin: A combined spectroscopic and separation approach with molecular modeling studies**

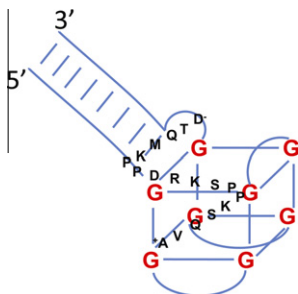
pp 4202–4210

Éva A. Enyedy*, László Horváth, Anasztázia Hetényi, Tiziano Tuccinardi, Christian G. Hartinger, Bernhard K. Keppler, Tamás Kiss*

**G-quadruplex DNA aptamers generated for systemin**

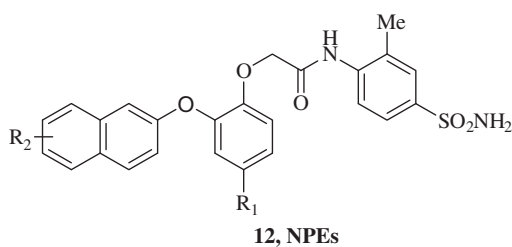
pp 4211–4219

Tao Bing, Tianjun Chang, Xiaojuan Yang, Hongcheng Mei, Xiangjun Liu, Dihua Shangguan*

**Synthesis and biological evaluation of naphthyl phenyl ethers (NPEs) as novel nonnucleoside HIV-1 reverse transcriptase inhibitors**

pp 4220–4226

Shuang-Xi Gu, Xuan Zhang, Qiu-Qin He, Liu-Meng Yang, Xiao-Dong Ma, Yong-Tang Zheng, Shi-Qiong Yang, Fen-Er Chen*



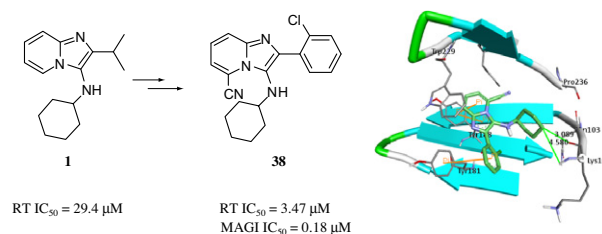
R₁=Cl or F;

R₂= H, F, Cl, Br, Me or CN (mono- or di-substituted)

Imidazo[1,2-*a*]pyridin-3-amines as potential HIV-1 non-nucleoside reverse transcriptase inhibitors

pp 4227–4237

Moirá L. Bode*, David Gravestock, Simon S. Moleele, Christiaan W. van der Westhuyzen, Stephen C. Pelly, Paul A. Steenkamp, Heinrich C. Hoppe, Tasmiyah Khan, Lindiwe A. Nkabinde

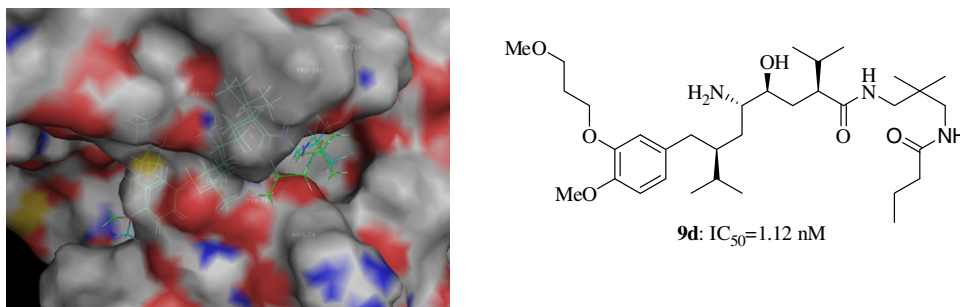


Imidazo[1,2-*a*]pyridine-3-amines were synthesised using the Groebke reaction and tested for anti-HIV activity. Compounds prepared from 2-chlorobenzaldehyde, and a combination of cyclohexyl isocyanide and a 6-substituted 2-aminopyridine showed good inhibitory activity in a reverse transcriptase assay and whole cell anti-HIV infectivity assays.

**Synthesis, biological evaluation and docking studies of octane-carboxamide based renin inhibitors with extended segments toward S3' site of renin**

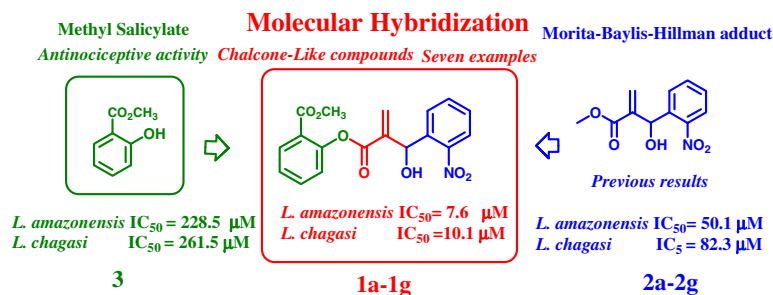
pp 4238–4249

Yong Wu, Chen Shi, Xiaowei Sun, Xiaoming Wu*, Hongbin Sun*

**Design, synthesis and antileishmanial in vitro activity of new series of chalcones-like compounds: A molecular hybridization approach**

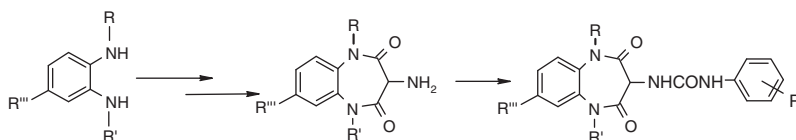
pp 4250–4256

Ticiano P. Barbosa, Suervy C. O. Sousa, Francianne M. Amorim, Yara K. S. Rodrigues, Priscilla A. C. de Assis, John P. A. Caldas, Márcia R. Oliveira, Mário L.A. A. Vasconcellos*

**Synthesis and structure–activity relationship of new 1,5-dialkyl-1,5-benzodiazepines as cholecystokinin-2 receptor antagonists**

pp 4257–4273

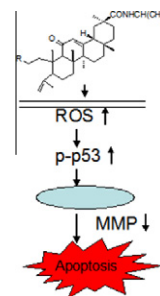
Karen Roberts*, Antonella Ursini, Robert Barnaby, Paolo G. Cassarà, Mauro Corsi, Giovanni Curotto, Daniele Donati, Aldo Feriani, Gabriella Finizia, Carla Marchioro, Daniela Niccolai, Beatrice Oliosi, Stefano Polinelli, Emiliangelo Ratti, Angelo Reggiani, Giovanna Tedesco, Maria E. Tranquillini, David G. Trist, Franciscus T. M. van Amsterdam



18 β -Glycyrrhetic acid derivatives induced mitochondrial-mediated apoptosis through reactive oxygen species-mediated p53 activation in NTUB1 cells

Kai-Wei Lin, A-Mei Huang, Tzyh-Chyuan Hour, Shyh-Chyun Yang*, Yeong-Shiau Pu, Chun-Nan Lin*

pp 4274–4285

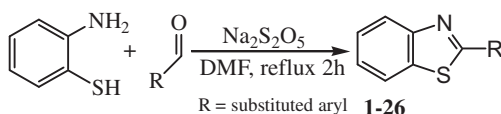


Twenty-six 18 β -glycyrrhetic acid (GA) (**1**) derivatives **2–27** including twelve new GA derivatives **10**, **11**, **13–17**, **21–25** were synthesized and evaluated for cytotoxicities against NTUB1 cell. Compound **25** induced a mitochondrial-mediated apoptosis in NTUB1 through activation of p53, which are mainly mediated ROS generated by **25**.

Synthesis of novel inhibitors of β -glucuronidase based on benzothiazole skeleton and study of their binding affinity by molecular docking

Khalid Mohammed Khan*, Fazal Rahim, Sobia Ahsan Halim, Muhammad Taha, Momin Khan, Shahnaz Perveen, Zaheer-ul-Haq, Muhammad Ahmed Mesaik, M. Iqbal Choudhary

pp 4286–4294

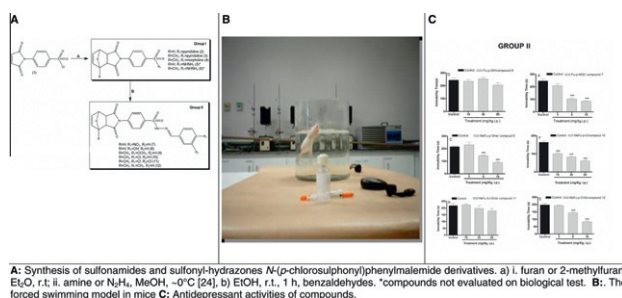


Twenty six benzothiazole derivatives **1–26** were synthesized and screened for their β -glucuronidase inhibitory activity. Molecular docking studies were conducted to study the binding mode of potent enzyme inhibitors.

Synthesis and antidepressant-like activity evaluation of sulphonamides and sulphonyl-hydrazones

Kely Navakoski de Oliveira, Philipe Costa, José Roberto Santin, Leonor Mazzambani, Cristiani Bürger, Cristiano Mora, Ricardo José Nunes, Márcia Maria de Souza*

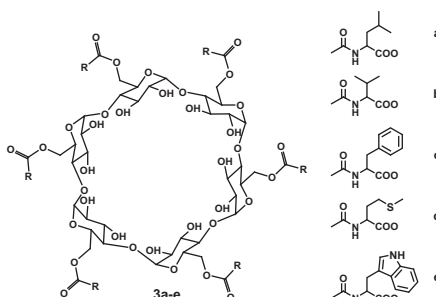
pp 4295–4306



Synthesis of new series of α -cyclodextrin esters as dopamine carrier molecule

Seyed Mohammad Seyed, Hamid Sadeghian*, Atena Jabbari, Amir Assadieskandar, Hamideh Momeni

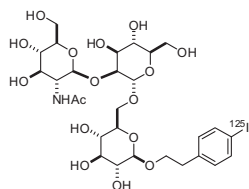
pp 4307–4311



Synthesis and evaluation of a radioiodinated trisaccharide derivative as a synthetic substrate for a sensitive *N*-acetylglucosaminyltransferase V radioassay

pp 4312–4321

Takahiro Mukai, Masayori Hagimori, Kenji Arimitsu, Takahiro Katoh, Misa Ukon, Tetsuya Kajimoto, Hiroyuki Kimura, Yasuhiro Magata, Eiji Miyoshi, Naoyuki Taniguchi, Manabu Node, Hideo Saji*

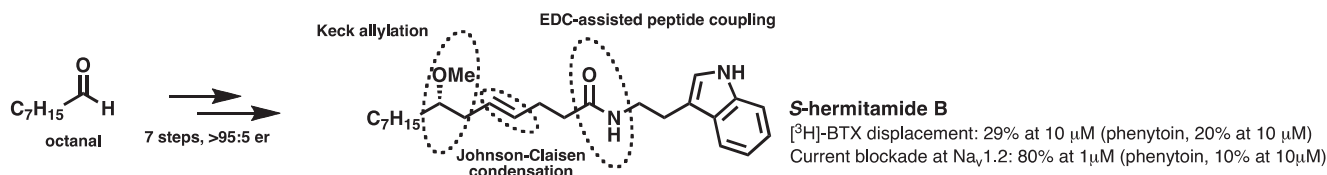


K_m 23.7 μM, V_{max} 159 pmol/hg protein
for G_NT-V

Synthesis and evaluation of hermitamides A and B as human voltage-gated sodium channel blockers

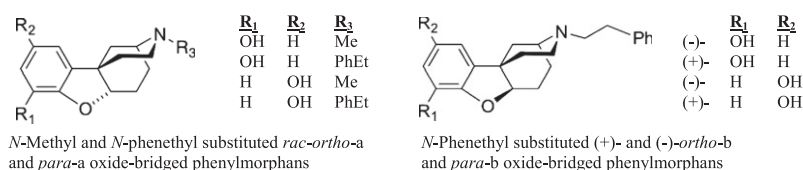
pp 4322–4329

Eliseu O. De Oliveira, Kristin M. Graf, Manoj K. Patel, Aparna Baheti, Hye-Sik Kong, Linda H. MacArthur, Sivanesan Dakshanamurthy, Kan Wang, Milton L. Brown, Mikell Paige*

**Probes for narcotic receptor mediated phenomena. 43. Synthesis of the *ortho*-a and *para*-a, and improved synthesis and optical resolution of the *ortho*-b and *para*-b oxide-bridged phenylmorphans: Compounds with moderate to low opioid-receptor affinity**

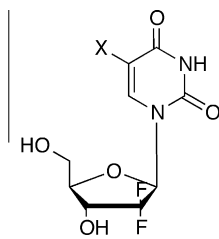
pp 4330–4337

Feng Li, John E. Folk, Kejun Cheng, Muneaki Kurimura, Jason A. Deck, Jeffrey R. Deschamps, Richard B. Rothman, Christina M. Dersch, Arthur E. Jacobson, Kenner C. Rice*

**Design, synthesis and biological evaluation of 2'-deoxy-2',2'-difluoro-5-halouridine phosphoramidate ProTides**

pp 4338–4345

Maurizio Quintiliani, Leentje Persoons, Nicola Solaroli, Anna Karlsson, Graciela Andrei, Robert Snoeck, Jan Balzarini, Christopher McGuigan*



μM inhibitors of FHV

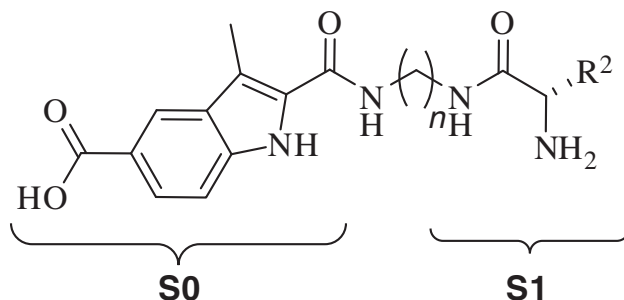
5-Halo-2',2'-difluorodeoxyuridines and their ProTides are prepared: the iodo and bromo nucleosides are specific low μM inhibitors of feline herpes virus.



Synthesis and evaluation of bidentate ligands designed to interact with PDZ domains

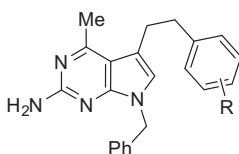
pp 4346–4354

Benjamin Boucherle, Alexandre Vogrig, Hemantkumar Deokar, Naoual Bouzidi, Isabelle Ripoché, Isabelle Thomas, Philippe Marin, Sylvie Ducki*

**2-Amino-4-methyl-5-phenylethyl substituted-7-N-benzyl-pyrrolo[2,3-d]pyrimidines as novel antitumor antimetabolic agents that also reverse tumor resistance**

pp 4355–4365

Aleem Gangjee*, Ojas A. Namjoshi, Staci N. Keller, Charles D. Smith

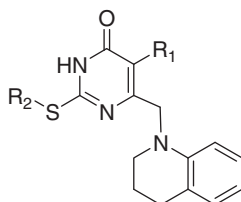


Optimization of antitumor and resistance reversal properties

**Synthesis and biological evaluation of novel 5-alkyl-2-arylthio-6-((3,4-dihydroquinolin-1(2H)-yl)methyl)pyrimidin-4(3H)-ones as potent non-nucleoside HIV-1 reverse transcriptase inhibitors**

pp 4366–4376

Jing Zhang, Peng Zhan, Jingde Wu, Zhenyu Li, Yan Jiang, Weiying Ge, Christophe Pannecouque, Erik De Clercq, Xinyong Liu*



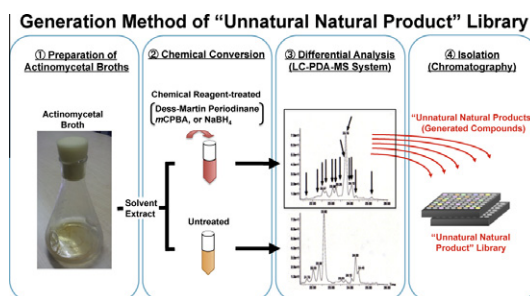
Newly designed S-DABOs

A series of novel S-DABO analogues of 5-alkyl-2-arylthio-6-((3,4-dihydroquinolin-1(2H)-yl)methyl)pyrimidin-4(3H)-ones were synthesized and evaluated as inhibitors of human immunodeficiency virus type-1 (HIV-1).

Generation of 'Unnatural Natural Product' library and identification of a small molecule inhibitor of XIAP

pp 4377–4385

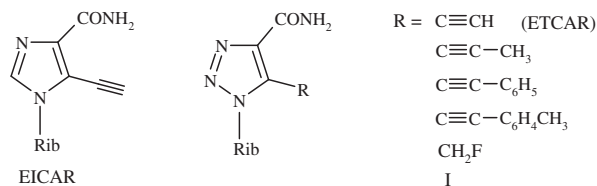
Tatsuro Kawamura, Kohei Matsubara, Hitomi Otaka, Etsu Tashiro, Kazutoshi Shindo, Ryo C. Yanagita, Kazuhiro Irie, Masaya Imoto*



5-Ethynyl-1-β-D-ribofuranosyl-1H-[1,2,3]triazole-4-carboxylic acid amide (ETCAR) and its analogues: Synthesis and cytotoxic properties

pp 4386–4398

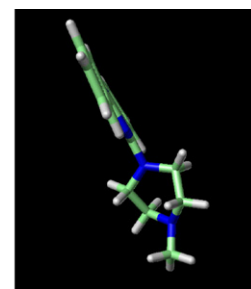
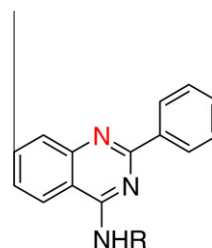
Tomasz Ostrowski, Piotr Januszczyk, Marcin Cieslak, Julia Kazmierczak-Baranska, Barbara Nawrot, Elzbieta Bartoszak-Adamska, Joanna Zeidler*



5-Substituted 1,2,3-triazole nucleosides, analogous to EICAR, were synthesized and evaluated for cytotoxic activity.

**Design and synthesis of 4-amino-2-phenylquinazolines as novel topoisomerase I inhibitors with molecular modeling** pp 4399–4404

Thanh Nguyen Le, Su Hui Yang, Daulat Bikram Khadka, Hue Thi My Van, Suk Hee Cho, Youngjoo Kwon, Eung-Seok Lee, Kyung-Tae Lee, Won-Jea Cho*



4-Amino-2-phenylquinazolines were designed as bioisosteres of 3-arylisoquinolinamines that were energy minimized to provide stable conformers. The 2-phenyl ring of 4-amino-2-phenylquinazolines was parallel to the quinazoline ring and improved their DNA intercalation ability in the DNA–topo I complex.

*Corresponding author

Supplementary data available via ScienceDirect

COVER

The known veterinary anthelmintic and proton ionophore, closantel, was recently discovered to also exhibit potent chitinase inhibition activity and inhibit molting in the parasitic nematode, *Onchocerca volvulus*, the causative agent of the neglected tropical disease onchocerciasis. [C. Gloeckner, A. L. Garner, F. Mersha, Y. Oksov, N. Tricoche, L. M. Eubanks, S. Lustigman, G. F. Kaufmann, K. D. Janda, Repositioning of an existing drug for the neglected tropical disease Onchocerciasis, *Proc. Natl. Acad. Sci., U.S.A.* **2010**, 107, 3424.]

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