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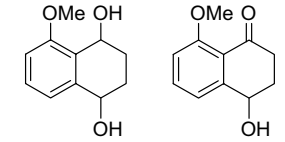
Nodulisporol and Nodulisporone, novel specific inhibitors of human DNA polymerase λ from a fungus, *Nodulisporium* sp. pp 3109–3114
Shinji Kamisuki, Chisato Ishimaru, Kadohiro Onoda, Isoko Kuriyama, Noriko Ida, Fumio Sugawara, Hiromi Yoshida and Yoshiyuki Mizushina*



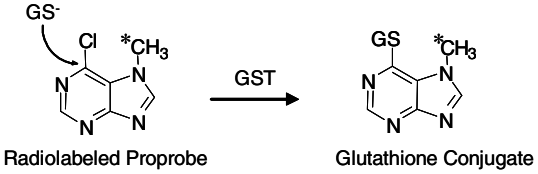
Nodulisporol (**1**) Nodulisporone (**2**)

Nodulisporol (**1**) and nodulisporone (**2**) are novel tetralols isolated from *Nodulisporium* sp., and they selectively inhibited the activity of human DNA polymerase λ.

Novel thyroid hormone receptor antagonists with an N-alkylated diphenylamine skeleton pp 3115–3126
Takuma Komatsu, Tomoya Hirano, Chalermkiat Songkram, Emiko Kawachi and Hiroyuki Kagechika*

Novel diphenylamine derivatives containing a thiazolidinedione moiety were designed and synthesized, and their antagonistic activities towards the thyroid hormone receptor (TR) subtypes TRα and TRβ were evaluated.

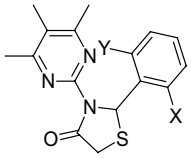
A novel noninvasive method for assessing glutathione-conjugate efflux systems in the brain pp 3127–3133
Toshimitsu Okamura, Tatsuya Kikuchi, Kiyoshi Fukushi, Yasushi Arano and Toshiaki Irie*



Radiolabeled Proprobe Glutathione Conjugate

The proprobe was designed to deliver the radiolabeled glutathione conjugate into the brain, thereby allowing noninvasive assessment of glutathione-conjugate efflux systems. GS^- denotes the thiolate anion of glutathione.

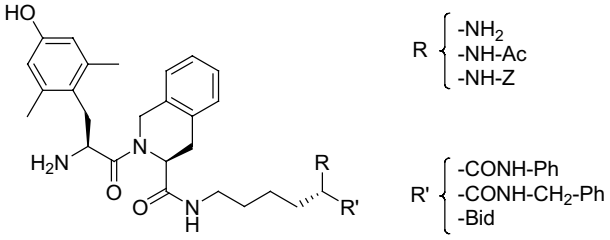
Synthesis and evaluation of 2-(2,6-dihalophenyl)-3-pyrimidinyl-1,3-thiazolidin-4-one analogues as anti-HIV-1 agents **pp 3134–3142**
Ravindra K. Rawal, Rajkamal Tripathi, S. B. Katti,* Christophe Pannecouque and Erik De Clercq



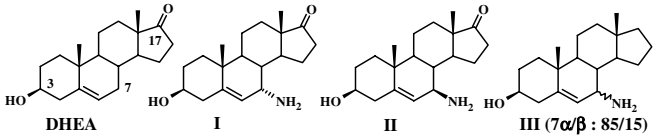
4m, X=Y=Cl
4n, X=Cl, Y=F

In the present study, a series of 2-(2,6-dihalophenyl)-3-pyrimidinyl-1,3-thiazolidin-4-ones were designed on the prediction of quantitative structure–activity relationship (QSAR) studies, synthesized, and evaluated as HIV-1 reverse transcriptase inhibitors.

Further studies on the effect of lysine at the C-terminus of the Dmt-Tic opioid pharmacophore **pp 3143–3151**
Gianfranco Balboni,* Valentina Onnis, Cenzo Congiu, Margherita Zotti, Yusuke Sasaki, Akihiro Ambo, Sharon D. Bryant, Yunden Jinsmaa, Lawrence H. Lazarus, Ilaria Lazzari, Claudio Trapella and Severo Salvadori



First synthesis of 7α- and 7β-amino-DHEA, dehydroepiandrosterone (DHEA) analogues and preliminary evaluation of their cytotoxicity on Leydig cells and TM4 Sertoli cells **pp 3152–3160**
Marc-Antoine Bazin, Carine Travert, Serge Carreau, Sylvain Rault and Laïla El Kihel*



DHEA I II III (7α/β : 85/15)

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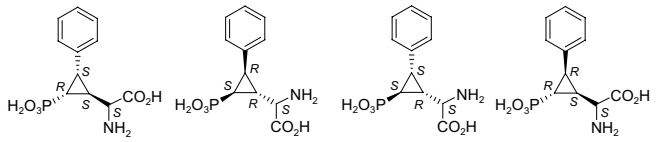
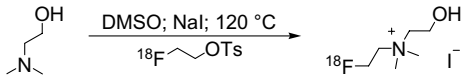
Synthesis and preliminary pharmacological evaluation of the four stereoisomers of (2*S*)-2-(2′-phosphono-3′-phenylcyclopropyl)glycine, the first class of 3′-substituted *trans*$_{C1'-2'}$-2-(2′-phosphonocyclopropyl)glycines **pp 3161–3170**
Maura Marinozzi, Michaela Serpi, Laura Amori, Monica Gavilan Diaz, Gabriele Costantino, Udo Meyer, Peter J. Flor, Fabrizio Gasparini, Roland Heckendorn, Rainer Kuhn, Gianluca Giorgi, Mette Brunsgaard Hermit, Christian Thomsen and Roberto Pellicciari*

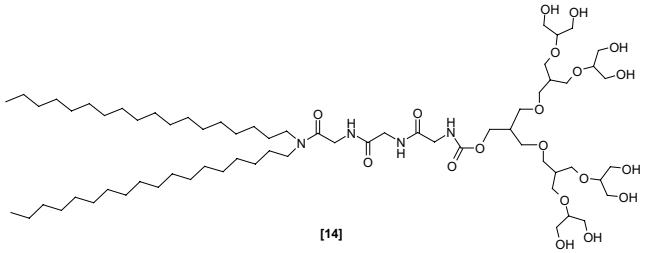


PPCG-1 PPCG-2 PPCG-3 PPCG-4

Improved automated synthesis of [^{18}F]fluoroethylcholine as a radiotracer for cancer imaging **pp 3171–3175**
M. Piel,* A. Bauman, R. P. Baum, S. Höhnemann, I. Klette, R. Wortmann and F. Rösch

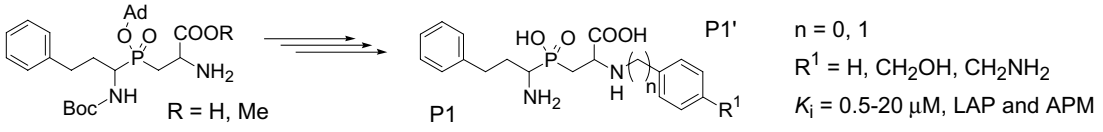


Amphiphilic polyether branched molecules to increase the circulation time of cationic particles **pp 3176–3186**
Marie Garinot, Nathalie Mignet,* Celine Largeau, Johanne Seguin, Daniel Scherman and Michel Bessodes*



Amphiphilic polyether 'bunch shaped' molecules such as **14** have shown efficient surface charge shielding when inserted into cationic liposomes/DNA complexes and significantly enhanced the circulation time of the particles in the blood track.

A synthetic method for diversification of the P1′ substituent in phosphinic dipeptides as a tool for exploration of the specificity of the S1′ binding pockets of leucine aminopeptidases **pp 3187–3200**
Stamatia Vassiliou, Metaxia Xeilari, Athanasios Yiotakis, Jolanta Grembecka, Małgorzata Pawełczak, Paweł Kafarski and Artur Mucha*

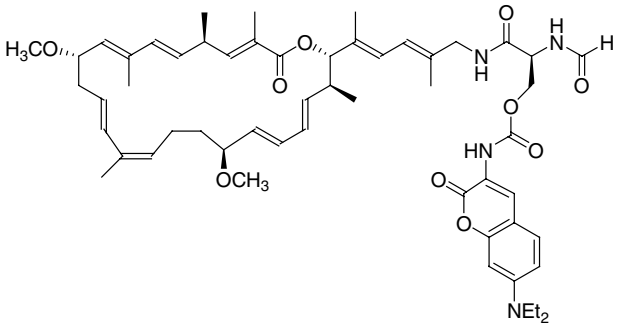


Anticancer, neuroprotective activities and computational studies of 2-amino-1,3,4-thiadiazole based compound **pp 3201–3207**
Wojciech Rzeski, Joanna Matysiak* and Martyna Kandefer-Szerszeń

Anticancer profile, neuroprotective activity, and computational studies of 2-(4-fluorophenylamino)-5-(2,4-dihydroxyphenyl)-1,3,4-thiadiazole (**FABT**) have been described.

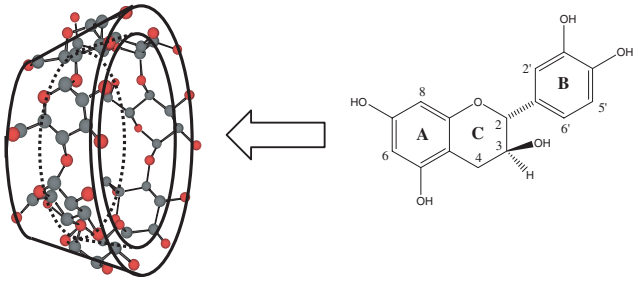
Synthesis of carbamate derivatives of iejimalides. Retention of normal antiproliferative activity and localization of binding in cancer cells pp 3208–3216
Dirk Schweitzer, Junyi Zhu, Gotam Jarori, Junichi Tanaka, Tatsuo Higa, V. Jo Davisson* and Paul Helquist*

The biological activities of iejimalide carbamate derivatives and the cellular localization of an iejimalide coumarin conjugate were investigated.

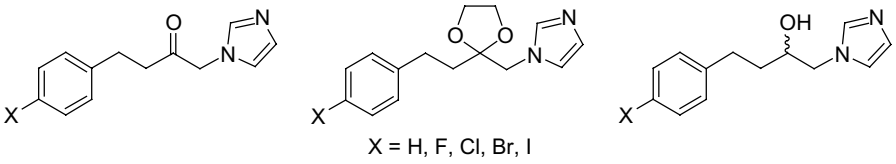


Studies of inclusion complexes of natural and modified cyclodextrin with (+)catechin by NMR and molecular modeling pp 3217–3224
Carolina Jullian,* Sebastián Miranda, Gerald Zapata-Torres, Fernando Mendizábal and Claudio Olea-Azar

The inclusion properties of catechin into native and modified β-cyclodextrin have been evaluated using NMR and molecular modeling techniques.

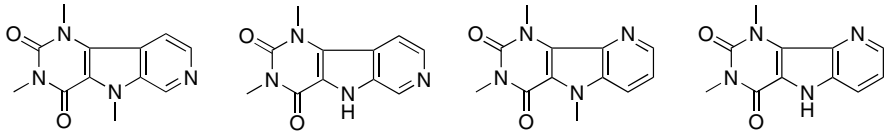


Heme oxygenase inhibition by 2-oxy-substituted 1-(1*H*-imidazol-1-yl)-4-phenylbutanes: Effect of halogen substitution in the phenyl ring pp 3225–3234
Gheorghe Roman, John G. Riley, Jason Z. Vlahakis, Robert T. Kinobe, James F. Brien, Kanji Nakatsu and Walter A. Szarek*



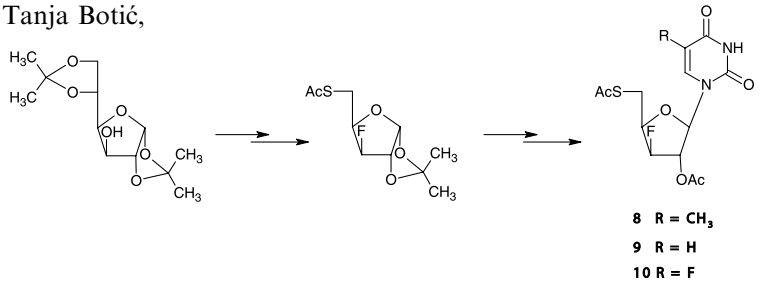
Novel, potent isoform-selective and non-selective inhibitors of heme oxygenase have been synthesized.

Synthesis of hybrid molecules of caffeine and eudistomin D and its effects on adenosine receptors pp 3235–3240
Kengo Ohshita, Haruaki Ishiyama, Koshi Oyanagi, Hiroyasu Nakata and Jun'ichi Kobayashi*

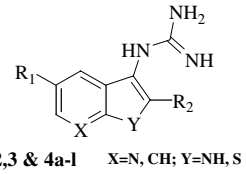


An efficient synthesis of 3-fluoro-5-thio-xylofuranosyl nucleosides of thymine, uracil, and 5-fluorouracil as potential antitumor or/and antiviral agents **pp 3241–3247**
Evangelia Tsoukala, George Agelis, Jan Dolinšek, Tanja Botić, Avrelija Cencič and Dimitri Komiotis*

We report the synthesis of three novel fluoro-thiofuranosyl nucleosides. These novel synthesized molecules have a promising potential as antitumor and anti-rotavirus agents.

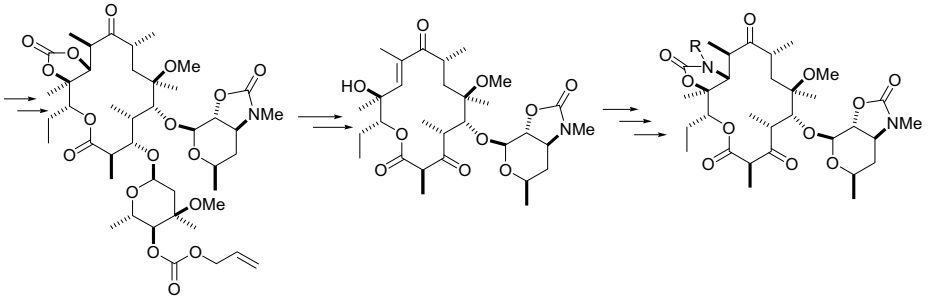


Design, synthesis, and biological evaluation of substituted-*N*-(thieno[2,3-*b*]pyridin-3-yl)-guanidines, *N*-(1*H*-pyrrolo[2,3-*b*]pyridin-3-yl)-guanidines, and *N*-(1*H*-indol-3-yl)-guanidines **pp 3248–3265**
Rajesh H. Bahekar, Mukul R. Jain,* Ashish Goel, Dipam N. Patel, Vijay M. Prajapati, Arun A. Gupta, Pradip A. Jadav and Pankaj R. Patel

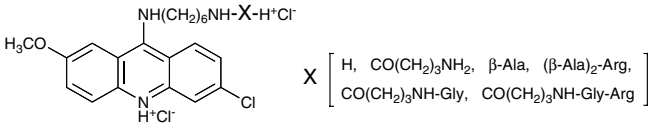


New class of substituted hetero-aryl guanidine derivatives were prepared as BL 11282 analog and screened in vitro for glucose-dependent insulinotropic activity.

Preparation of cyclic 2′,3′-carbamate derivatives of erythromycin macrolide antibiotics **pp 3266–3277**
Audun Heggelund and Kjell Undheim*



In vitro efficiency of new acridyl derivatives against *Plasmodium falciparum* **pp 3278–3289**
Lucie Guetzoyan, Florence Ramiandrasoa, Hélène Dorizon, Christine Desprez, Alexandre Bridoux, Christophe Rogier, Bruno Pradines and Martine Perrée-Fauvet*



A series of new 9-substituted acridyl derivatives were synthesized and their in vitro antimalarial activity was evaluated against *Plasmodium falciparum*. Some compounds inhibit the growth of malarial parasite with $IC_{50} \leqslant 0.20$ μM.

The concise synthesis of chalcone, indanone and indenone analogues of combretastatin A4 **pp 3290–3298**
Daniel J. Kerr, Ernest Hamel, M. Katherine Jung and Bernard L. Flynn*

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*Corresponding author
Supplementary data available via ScienceDirect

COVER

Terfenadine (an antihistamine pulled from the market in 1997) bound to a model of an open form of the homo-tetrameric pore domain of hERG, produced using Schrödinger's "Induced Fit Docking" technology [Farid, R.; Day, T.; Friesner, R. A.; Pearlstein, R. A. *Bioorg. Med. Chem*. **2006,** *14*, 3160–3173].

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