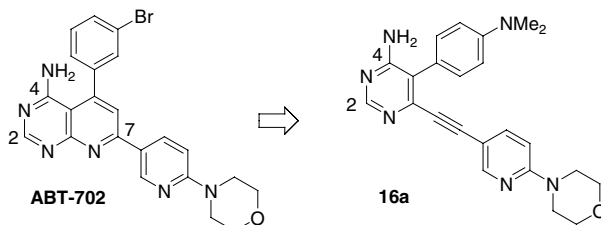


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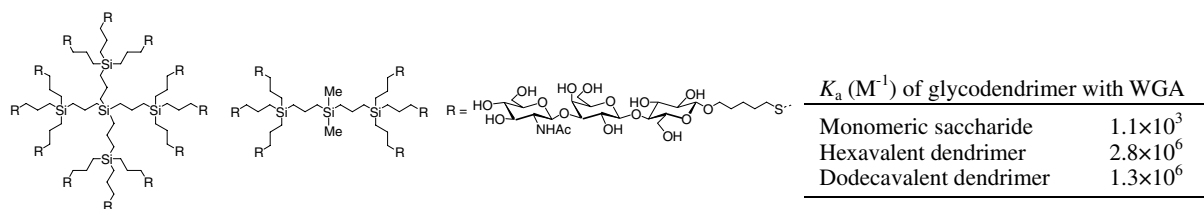
4-Amino-5-aryl-6-arylethynylpyrimidines: Structure–activity relationships of non-nucleoside adenosine kinase inhibitors pp 1586–1605

Mark A. Matulenko,* Ernest S. Paight, Robin R. Frey, Arthur Gomtsyan, Stanley DiDomenico Jr., Meiqun Jiang, Chih-Hung Lee, Andrew O. Stewart, Haixia Yu, Kathy L. Kohlhaas, Karen M. Alexander, Steve McGaraughty, Joseph Mikusa, Kennan C. Marsh, Steven W. Muchmore, Clarissa L. Jakob, Elizabeth A. Kowaluk, Michael F. Jarvis and Shripad S. Bhagwat



Lactotriose-containing carbosilane dendrimers: Syntheses and lectin-binding activities pp 1606–1614

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Methylcytosine-selective fluorescence quenching by osmium complexation

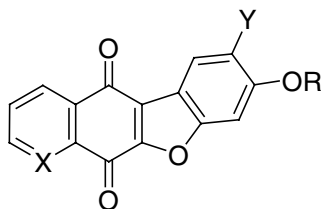
pp 1615–1621

Kazuo Tanaka, Kazuki Tainaka and Akimitsu Okamoto*

Synthesis, cytotoxicity, and DNA topoisomerase II inhibitory activity of benzofuroquinolinediones

pp 1651–1658

Hee-Kyung Rhee, Hyen Joo Park, Sang Kook Lee, Chong-Ock Lee and Hea-Young Park Choo*

**Biological profile of new apoptotic agents based on 2,4-pyrido[2,3-*d*]pyrimidine derivatives**

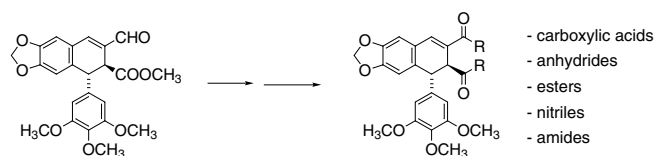
pp 1659–1669

Lucía Cordeu,* Elena Cubedo, Eva Bandrés, Amaia Rebollo, Xabi Sáenz, Hector Chozas, M^a Victoria Domínguez, Mikel Echeverría, Beatriz Mendivil, Carmen Sanmartin, Juan Antonio Palop, María Font and Jesús García-Foncillas

Derivatives of pyrido[2,3-*d*]pyrimidines are studied as novel compounds with anticancer activity based on proapoptotic mechanisms. The data indicate that the selected compound is a potent anticancer drug showing dose-dependent cytostatic and proapoptotic effects through activation of two different signaling pathways namely a pathway leading to cell cycle arrest and a transcription-independent route leading to rapid apoptosis.

**Synthesis and cytotoxic evaluation of C-9 oxidized podophyllotoxin derivatives**

pp 1670–1678

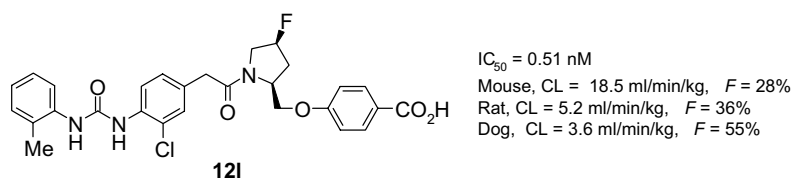
M^a Angeles Castro,* José M. Miguel del Corral, Marina Gordaliza, Pablo A. García, M^a Antonia Gómez-Zurita and Arturo San Feliciano

A series of cyclolignans oxidized at C-9 position has been prepared from the cytotoxic and selective podophyllaldehyde. The functionalities considered at C-9 were carboxylic acids and several derivatives such as anhydrides, esters, nitriles or amides.

Synthesis and biological evaluation of benzoic acid derivatives as potent, orally active VLA-4 antagonists

pp 1679–1693

Jun Chiba,* Shin Iimura, Yoshiyuki Yoneda, Toshiyuki Watanabe, Fumito Muro, Masao Tsubokawa, Yutaka Iigou, Atsushi Satoh, Gensuke Takayama, Mika Yokoyama, Tohru Takashi, Atsushi Nakayama and Nobuo Machinaga



Endomorphin-1 analogs with enhanced metabolic stability and systemic analgesic activity: Design, synthesis, and pharmacological characterization

pp 1694–1702

Hongmei Liu, Bangzhi Zhang, Xuefeng Liu,
Changlin Wang, Jingman Ni* and Rui Wang*

An analog of endomorphin-1, designed by combining successful chemical modifications, was proved to show improved metabolic stability and produce analgesia after peripheral administration.

Carinatamins A–C, new alkaloids from *Lycopodium carinatum* inhibiting acetylcholinesterase

pp 1703–1707

Chee Yan Choo, Yusuke Hirasawa, Chiaki Karimata, Koichiro Koyama,
Mitsuhiro Sekiguchi, Jun'ichi Kobayashi and Hiroshi Morita*

Synthesis and characterization of poly (amino ester) for slow biodegradable gene delivery vector

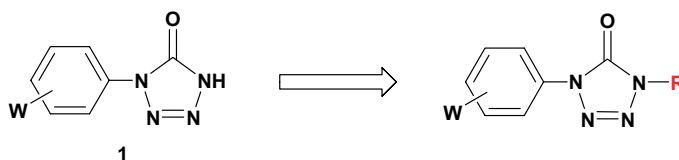
pp 1708–1715

Hyun Jin Kim, Min Sung Kwon, Joon Sig Choi, Bo Hye Kim, Jae Keun Yoon, Kwan Kim and Jong-sang Park*

Discovery of a new insecticide lead by optimizing a target-diverse scaffold: Tetrazolinone derivatives

pp 1716–1724

Yan-Ping Luo and Guang-Fu Yang*

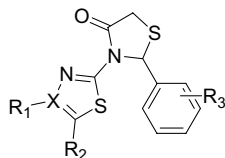


R = structurally diverse substituents, such as alkyl, alkenyl, benzyl, aryl, heterocyclic moiety, etc.

Design, synthesis, and evaluation of 2-aryl-3-heteroaryl-1,3-thiazolidin-4-ones as anti-HIV agents

pp 1725–1731

Ravindra K. Rawal, Rajkamal Tripathi, S. B. Katti,* Christophe Pannecouque and Erik De Clercq



Compounds 1-15

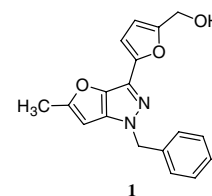
In the present study, 2-aryl-3-heteroaryl-1,3-thiazolidin-4-ones have been assembled by reflux protocol three component reaction of amine, aldehyde and mercapto acetic acid and tested as HIV-RT inhibitors.

Synthesis of fuopyrazole analogs of 1-benzyl-3-(5-hydroxymethyl-2-furyl)indazole (YC-1) as novel anti-leukemia agents

pp 1732–1740

Li-Chen Chou, Li-Jiau Huang, Jai-Sing Yang, Fang-Yu Lee, Che-Ming Teng and Sheng-Chu Kuo*

As part of our continuing search for potential anticancer drug candidates in **YC-1** analogs, several 1-benzyl-3-(substituted aryl)-5-methylfuro[3,2-*c*]pyrazoles were synthesized and evaluated for their cytotoxicity against HL-60 cell line. Among these compounds, 1-benzyl-3-(5-hydroxymethyl-2-furyl)-5-methylfuro[3,2-*c*]pyrazole (**1**) showed more potency than **YC-1**. Through investigation of action mechanism, it was found that compound **1** induced terminal differentiation of HL-60 cells toward granulocyte lineage and promoted HL-60 cell differentiation by regulation of Bcl-2 and c-Myc proteins. Meanwhile, compound **1** also demonstrated apoptosis-inducing effect. Such anti-leukemia mechanism of action is apparently different from that of **YC-1** which mainly works by inducing apoptosis, but not cell differentiation. Therefore, compound **1** is identified here as a new lead compound of cell differentiating agent and apoptosis inducer for further development of new anti-leukemia agents.

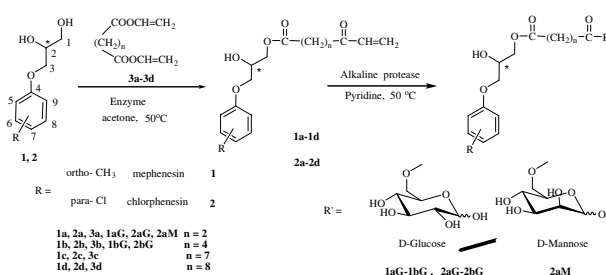


The synthesis of amphipathic prodrugs of 1,2-diol drugs with saccharide conjugates by high regioselective enzymatic protocol

pp 1741–1748

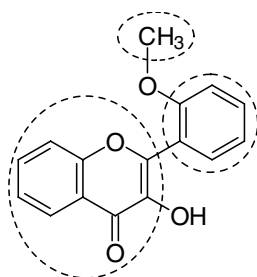
Jing Quan, Zhichun Chen, Chengyou Han and Xianfu Lin*

A facile, regioselective enzymatic synthesis procedure for the preparation of a series of amphipathic drug-saccharide derivatives of mephensin and chlorphenesin was developed by two step sequential acylation.

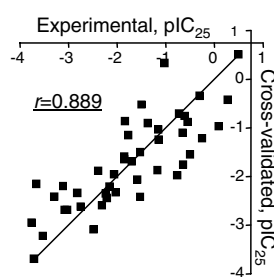


Improved quantitative structure–activity relationship models to predict antioxidant activity of flavonoids in chemical, enzymatic, and cellular systems pp 1749–1770

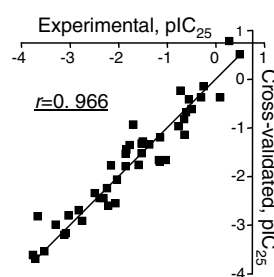
Andrei I. Khlebnikov,* Igor A. Schepetkin, Nina G. Domina, Liliya N. Kirpotina and Mark T. Quinn*



Flavone 32



PC&S QSAR model



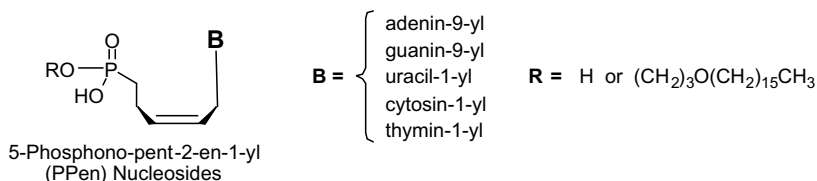
FP QSAR model



Synthesis of the 5-phosphono-pent-2-en-1-yl nucleosides: A new class of antiviral acyclic nucleoside phosphonates

pp 1771–1779

Hyunah Choo, James R. Beadle, Youhoon Chong, Julissa Trahan and Karl Y. Hostetler*

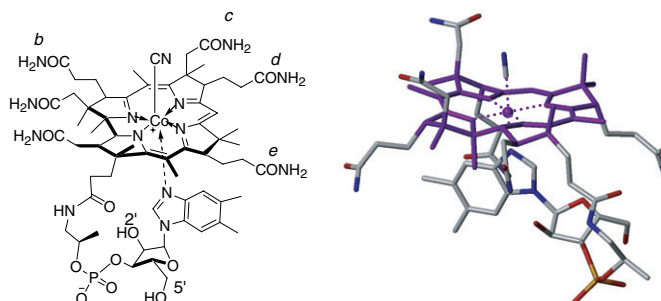


Hexadecyloxypropyl 1-(5-phosphono-pent-2-en-1-yl)-thymine was the most active and selective compound among the synthesized nucleotides with an EC_{50} value of $0.90 \mu\text{M}$ against HSV-1.

Cyanocobalamin (vitamin B_{12}) conjugates with enhanced solubility

pp 1780–1787

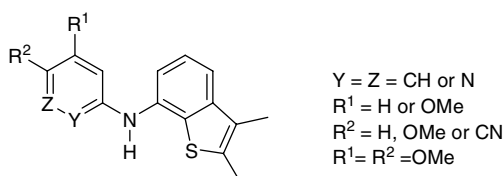
Xiaoyang Wang, Lianhu Wei and Lakshmi P. Kotra*



Synthesis and antioxidant activity evaluation of new 7-aryl or 7-heteroaryl-amino-2,3-dimethylbenzo[*b*]thiophenes obtained by Buchwald–Hartwig C–N cross-coupling

pp 1788–1794

Maria-João R. P. Queiroz,* Isabel C. F. R. Ferreira, Ricardo C. Calhella and Leticia M. Estevinho



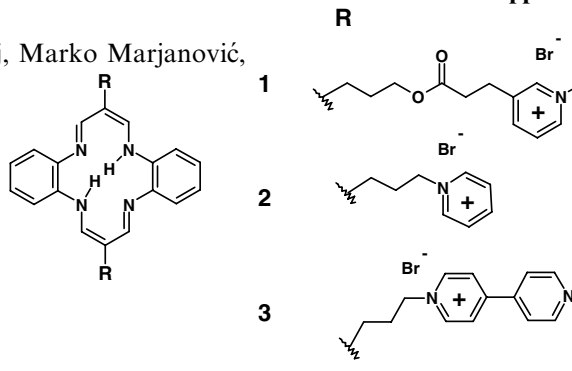
7-aryl or 7-heteroaryl-amino-2,3-dimethylbenzo[*b*]thiophenes were prepared by Buchwald–Hartwig coupling and their antioxidant properties were evaluated by several methods.

The dicationic derivatives of DBTAA: Interactions with DNA/RNA and antiproliferative effects on human cell lines

pp 1795–1801

Marijana Radić Stojković,* Ivo Piantanida,* Marijeta Kralj, Marko Marjanović, Mladen Žinić, Dariusz Pawlica and Julita Eilmes

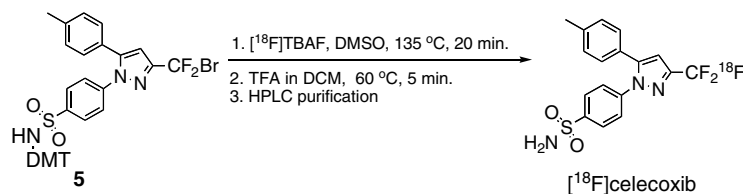
Bis-cationic derivatives of dibenzotetraaza[14]annulene (1–3).



Synthesis and in vivo evaluation of [¹⁸F]-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide as a PET imaging probe for COX-2 expression

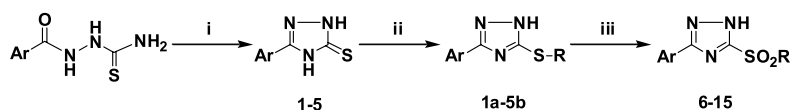
pp 1802–1807

Jaya Prabhakaran, Mark D. Underwood, Ramin V. Parsey, Victoria Arango, Vattoly J. Majo, Norman R. Simpson, Ronald Van Heertum, J. John Mann and J. S. Dileep Kumar*

**Preparation of 5-aryl-3-alkylthio-1,2,4-triazoles and corresponding sulfones with antiinflammatory–analgesic activity**

pp 1808–1814

Birsen Tozkoparan,* Esra Küpeli, Erdem Yeşilada and Mevlüt Ertan

i: 1.KOH 10%; 2. HCl; ii: CH₃-C₂H₅I in 1 M NaOH; 64-93%; iii: KMnO₄ in AcOH, 20 °C; 22-94%

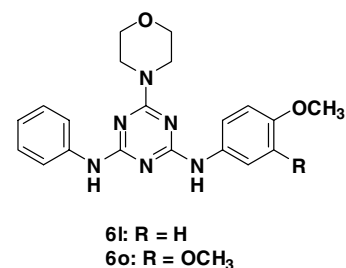
A series of 5-aryl-3-alkylthio-1,2,4-triazoles (**1a–5b**) and corresponding sulfones (**6–15**) were prepared. The compounds were evaluated for their antiinflammatory and analgesic activity as well as the ulcerogenic risk and acute toxicity.

Synthesis and antitumor evaluation of a novel series of triaminotriazine derivatives

pp 1815–1827

Mingfang Zheng, Chenghui Xu, Jianwei Ma, Yan Sun, Feifei Du, Hong Liu,* Liping Lin,* Chuan Li, Jian Ding, Kaixian Chen and Hualiang Jiang*

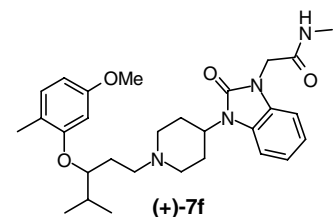
By structural modification of the screening hit (4,6-bis(*N*-morpholino)-[1,3,5]triazin-2-yl)-phenylamine (**5a**), four compounds exhibited higher inhibition activities against HCT-116 with IC₅₀ values below 5 μM. Compounds **6l** and **6o** were most prominent against HCT-116, with IC₅₀s of 0.76 and 0.92 μM, respectively.

**Synthesis and evaluation of N-3 substituted phenoxypropyl piperidine benzimidazol-2-one analogues as NOP receptor agonists with analgesic and sedative properties**

pp 1828–1847

Ronald Palin,* Anton Bom, John K. Clark, Louise Evans, Helen Feilden, Andrea K. Houghton, Philip S. Jones, Brian Montgomery, Mark A. Weston and Grant Wishart

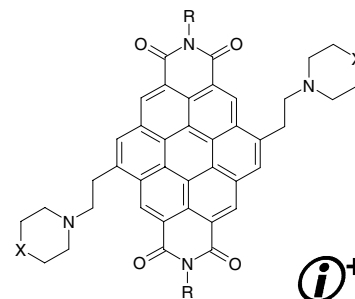
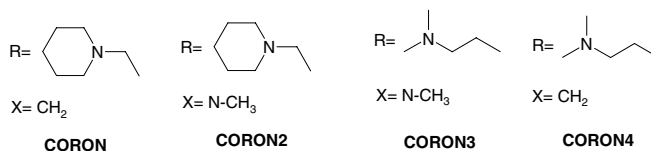
A series of N-3 substituted phenoxypropyl piperidine benzimidazol-2-one analogues were prepared and evaluated as NOP agonists. The selective NOP agonist (+)-**7f** showed antinociceptive properties in the mouse formalin paw test (ED₅₀ = 1.03 μmol/kg, for second phase) and potent anaesthetic activity in the LRR assay.



Specific interactions with intra- and intermolecular G-quadruplex DNA structures by hydrosoluble coronene derivatives: A new class of telomerase inhibitors pp 1848–1858

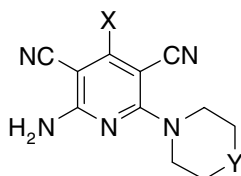
Marco Franceschin,* Antonello Alvino, Valentina Casagrande, Clementina Mauriello, Emanuela Pascucci, Maria Savino, Giancarlo Ortaggi and Armandodoriano Bianco

A new class of G-quadruplex telomere targeting agents and telomerase inhibitors based on the coronene moiety is hereby reported.



Synthesis and in vitro antitumoral activity of new 3,5-dicyanopyridine derivatives pp 1859–1867

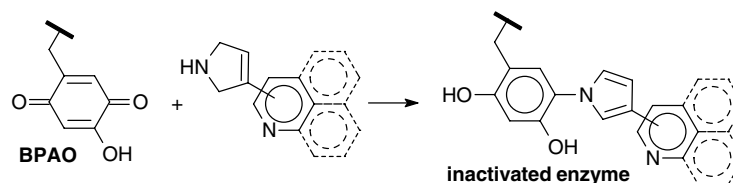
Maria T. Cocco, Cenzo Congiu, Valentina Lilliu and Valentina Onnis*



3,5-Dicyanopyridine derivatives demonstrated inhibitory effects on the growth of a wide range of cancer cell lines generally at 10^{-6} M level and in some cases at 10^{-8} M concentration.

Highly potent 3-pyrroline mechanism-based inhibitors of bovine plasma amine oxidase and mass spectrometric confirmation of cofactor derivatization pp 1868–1877

Yuming Zhang, Chongzhao Ran, Guangyin Zhou and Lawrence M. Sayre*



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*Corresponding author

i⁺ 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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