

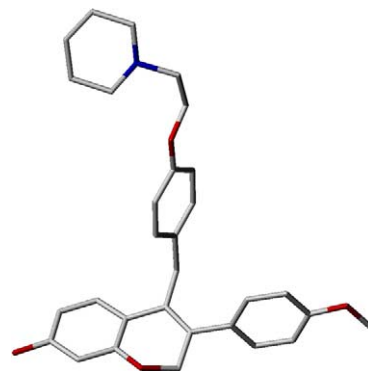
## Contents

### ARTICLES

#### Synthesis, pharmacological evaluation, and structure–activity relationships of benzopyran derivatives with potent SERM activity

Gabriele Amari,\* Elisabetta Armani, Silvia Ghirardi, Maurizio Delcanale, Maurizio Civelli, Paola Lorenza Caruso, Elisabetta Galbiati, Milco Lipreri, Silvia Rivara, Alessio Lodola and Marco Mor

A series of 3-phenylbenzopyran derivatives, having a basic chain at position 4, were synthesized and investigated for their binding affinity at ER $\alpha$  and ER $\beta$  estrogen receptors and SERM activity. Docking studies were performed to rationalize structure–affinity relationships.

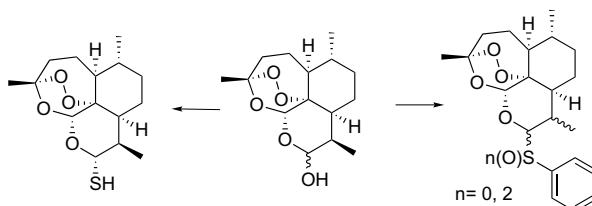


pp 3763–3782

#### Synthesis and antiangiogenic activity of thioacetal artemisinin derivatives

Sangtae Oh, In Howa Jeong, Chan Mug Ahn, Woon-Seob Shin and Seokjoon Lee\*

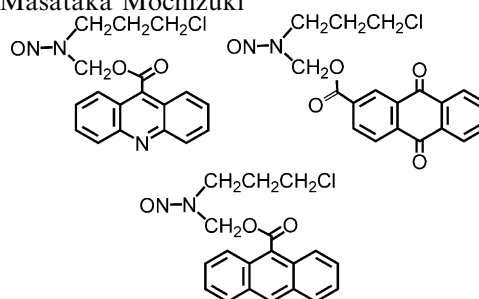
pp 3783–3790



#### Synthesis and properties of bifunctional chloroalkyl nitrosamines with an intercalating moiety

Satoko Ishikawa,\* Megumi Tajima and Masataka Mochizuki

pp 3791–3796



A three-ring aromatic moiety gave DNA-intercalating ability to cross-linkable chloropropyl nitrosamines, and the acridine analog is considered as a possible new antitumor lead compound.

### Probing the ultra-high resolution structure of aldose reductase with molecular modelling and noncovalent mass spectrometry

pp 3797–3806

Connie Darmanin, Guillaume Chevreux, Noelle Potier, Alain Van Dorsselaer, Isabelle Hazemann, Alberto Podjarny and Ossama El-Kabbani\*

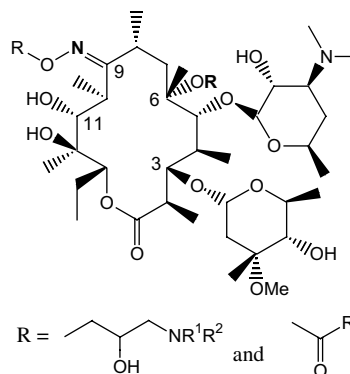
The design of novel aldose reductase inhibitors based on the atomic resolution structures of the holoenzyme in complex with Fidarestat and Minalrestat are reported.

### Synthesis and antimicrobial activity of erythromycin-A oxime analogs

pp 3807–3813

Deepa Pandey, S. B. Katti, W. Haq\* and C. K. M. Tripathi

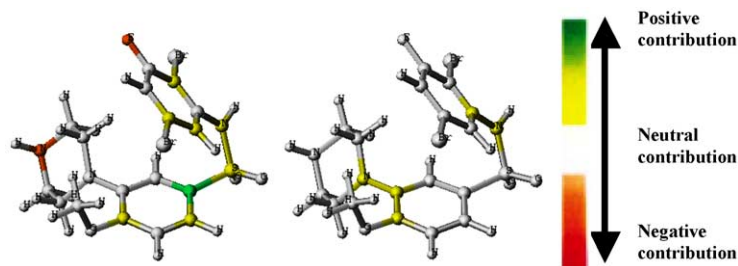
A few amino alcohol as well as ester derivatives of erythromycin-9-oxime have been synthesized in moderate yield. These compounds have shown antibacterial activity at par with erythromycin-A.



### Hologram quantitative structure activity relationship studies on 5-HT<sub>6</sub> antagonists

pp 3815–3824

Munikumar Reddy Doddareddy, Yeon Joo Lee, Yong Seo Cho, Kyung Il Choi, Hun Yeong Koh and Ae Nim Pae\*

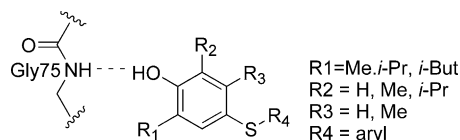


Predictive hologram quantitative structure activity relationship (HQSAR) models were developed for a series of arylsulfonamide compounds acting as specific 5-HT<sub>6</sub> antagonists.

### New phenolic inhibitors of yeast homoserine dehydrogenase

pp 3825–3830

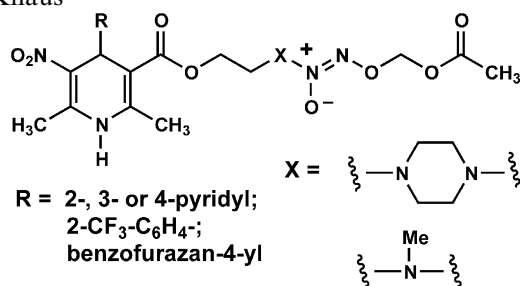
Linda Ejim, I. Ahmad Mirza, Christina Capone, Ishac Nazi, Steve Jenkins, Gaik-Lean Chee, Albert M. Berghuis and Gerard D. Wright\*



### Synthesis and biological evaluation of 1,4-dihydropyridine calcium channel modulators having a diazen-1-ium-1,2-diolate nitric oxide donor moiety for the potential treatment of congestive heart failure

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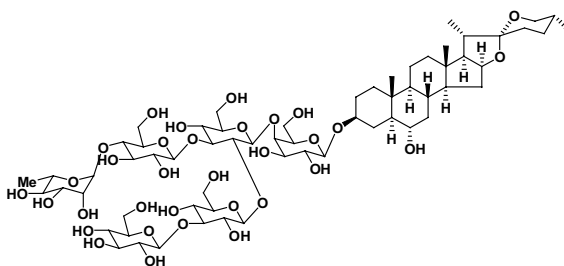
Carlos Velázquez and Edward E. Knaus\*



### New chlorogenin hexasaccharide isolated from *Agave fourcroydes* with cytotoxic and cell cycle inhibitory activities

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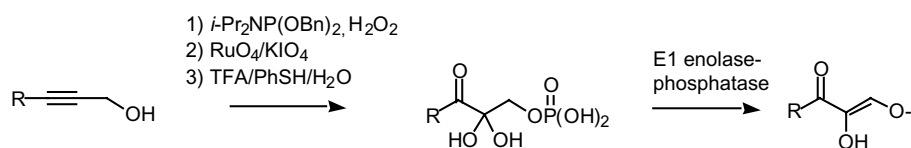
Takashi Ohtsuki, Takashi Koyano, Thaworn Kowithayakorn, Shinobu Sakai, Nobuo Kawahara, Yukihiro Goda, Naoto Yamaguchi and Masami Ishibashi\*



### Analogues of 1-phosphonooxy-2,2-dihydroxy-3-oxo-5-(methylthio)pentane, an acyclic intermediate in the methionine salvage pathway: a new preparation and characterization of activity with E1 enolase/phosphatase from *Klebsiella oxytoca*

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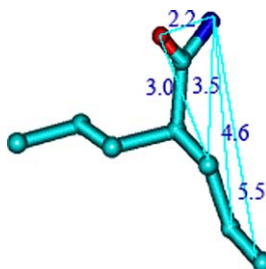
Yalin Zhang, Melissa H. Heinsen, Milka Kostic, Gina M. Pagani, Thomas V. Riera, Iva Perovic, Lizbeth Hedstrom, Barry B. Snider and Thomas C. Pochapsky\*



### Characterization of the anticonvulsant profile of valpromide derivatives

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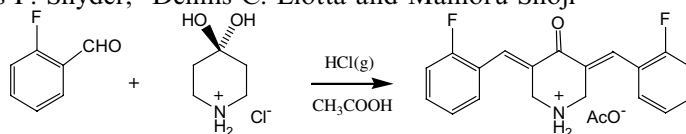
Silvina M. Tasso, Sung Ch. Moon, Luis E. Bruno-Blanch and Guillermina L. Estiú\*



### Synthesis and biological evaluation of novel curcumin analogs as anti-cancer and anti-angiogenesis agents

pp 3871–3883

Brian K. Adams, Eva M. Ferstl, Matthew C. Davis, Marike Herold, Serdar Kurtkaya, Richard F. Camalier, Melinda G. Hollingshead, Gurmeet Kaur, Edward A. Sausville, Frederick R. Rickles, James P. Snyder,\* Dennis C. Liotta and Mamoru Shoji\*



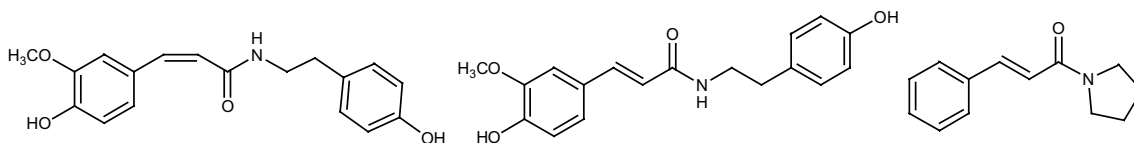
14 • OAc, Curcumin Analog

Symmetrical  $\alpha,\beta$ -unsaturated ketones, analogs of curcumin, show powerful in vitro anti-cancer and anti-angiogenic properties, one of which likewise reduces the volume of human breast tumors grown in female athymic nude mice. In vitro activities have been captured in a predictive 3D-QSAR model.

### Phenolic acid amides: a new type of DNA strand scission agent from *Piper caninum*

pp 3885–3889

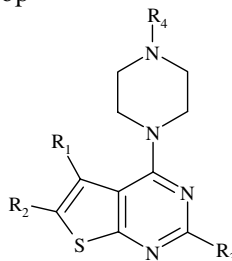
Ji Ma, Shannon H. Jones and Sidney M. Hecht\*



### Synthesis and binding properties of novel selective 5-HT<sub>3</sub> receptor ligands

pp 3891–3901

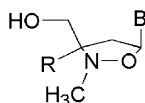
Maria Modica,\* Giuseppe Romeo, Luisa Matera, Filippo Russo, Alfredo Cagnotto, Tiziana Mennini, Róbert Gáspár, George Falkay and Ferenc Fülöp



### 4'- $\alpha$ -C-Branched N,O-nucleosides: synthesis and biological properties

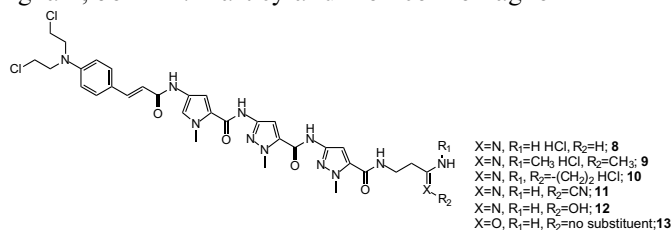
pp 3903–3909

Ugo Chiacchio, Filippo Genovese, Daniela Iannazzo, Anna Piperno,\* Paolo Quadrelli, Corsaro Antonino, Roberto Romeo, Vincenza Valveri and Antonio Mastino



### Cinnamoyl nitrogen mustard derivatives of pyrazole analogues of tallimustine modified at the amidino moiety: design, synthesis, molecular modeling and antitumor activity studies pp 3911–3921

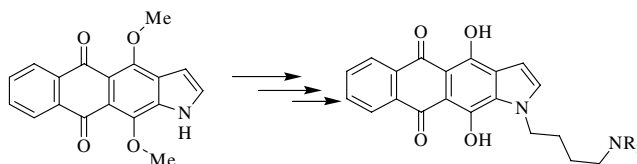
Pier Giovanni Baraldi,\* Italo Beria, Paolo Cozzi, Cristina Geroni, Antonio Espinosa, Miguel A. Gallo, Antonio Entrena, John P. Bingham, John A. Hartley and Romeo Romagnoli



The design, synthesis and in vitro activities of a series of cinnamoyl nitrogen mustard pyrazole analogues of tallimustine **8–13**, in which the amidino moiety has been replaced by moieties of different physico-chemical features are described.

### Synthesis of 1-( $\omega$ -aminoalkyl)naphthoindolediones with antiproliferative properties pp 3923–3930

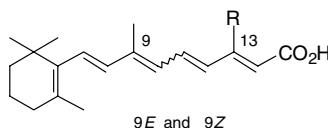
Andrey E. Shchekotikhin,\* Vladimir N. Buyanov and Maria N. Preobrazhenskaya



The preparation and cytotoxic properties of *N*-aminoalkyl-4,11-dihydroxynaphtho[2,3-*f*]indole-5,10-diones are described. The *N*-aminobutyl-naphthoindolediones are less active in vitro against the majority of human cancer cell lines, but have higher potency than adriamycin or mitoxantrone against adriamycin resistant breast cancer cell line NCI/ADR.

### Preparation and biological activity of 13-substituted retinoic acids pp 3931–3942

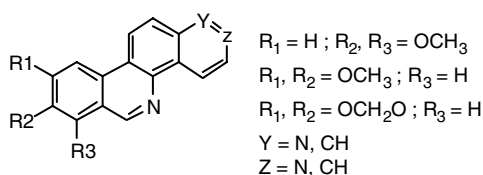
Akimori Wada,\* Kouki Fukunaga, Masayoshi Ito, Yukari Mizuguchi, Kimie Nakagawa and Toshio Okano



Various 13-substituted all-*E*- and 9*Z*-retinoic acid analogs were synthesized, and their antiproliferative, differentiation-inducing, and apoptosis-inducing activities were tested in HL-60 cells.

### Synthesis and cytotoxic activity of benzo[*c*][1,7] and [1,8]phenanthrolines analogues of nitidine and fagaronine pp 3943–3953

Soizic Prado, Sylvie Michel, François Tillequin,\* Michel Koch, Bruno Pfeiffer, Alain Pierré, Stéphane Léonce, Pierre Colson, Brigitte Baldeyrou, Amélie Lansiaux and Christian Bailly



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\*Corresponding author

**COVER**

2004: Overlaps of the eight known aldolase alpha-beta barrels in 2-deoxyribose-5-phosphate aldolase (DERA). Ribbon model for DERA is shown in green, with key Lys residues capable of Schiff base formation highlighted in stick figure. Reactive Lys167 is shown in yellow. DeSantis, G.; Liu, J.; Clark, D. P.; Heine, A.; Wilson, I. A.; and Wong, C.-H. *Bioorganic & Medicinal Chemistry* **2003**, *11*, 43–52.



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