

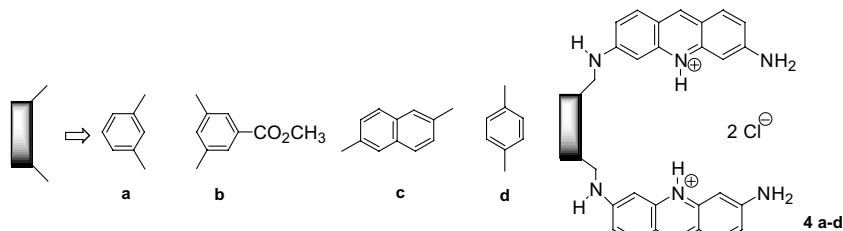
Contents

ARTICLES

Bisacridines with aromatic linking chains. Synthesis, DNA interaction, and antitumor activity

pp 4307–4312

Antonio Lorente,* Yolanda G. Vázquez, María-José Fernández and Abel Ferrández

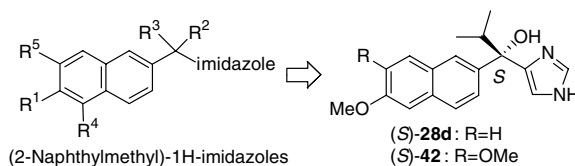


Synthesis of a series of bisacridine derivatives containing rigid aromatic linking chains is described. Their DNA interaction and in vitro cytotoxicity against HT-29 human carcinoma cells is reported.

C_{17,20}-lyase inhibitors. Part 2: Design, synthesis and structure–activity relationships of (2-naphthylmethyl)-1*H*-imidazoles as novel C_{17,20}-lyase inhibitors

pp 4313–4336

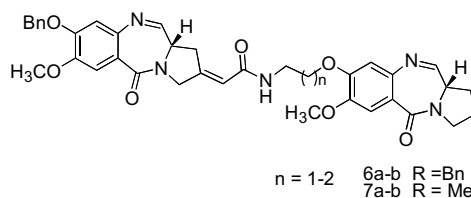
Nobuyuki Matsunaga,* Tomohiro Kaku, Akio Ojida, Toshimasa Tanaka, Takahito Hara, Masuo Yamaoka, Masami Kusaka and Akihiro Tasaka



Synthesis and DNA binding affinity of novel A-C8/C-C2-*exo* unsaturated alkoxyamido-linked pyrrolo[2,1-*c*][1,4]benzodiazepine dimers

pp 4337–4350

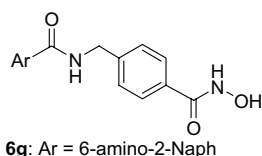
Ahmed Kamal,* O. Srinivas, P. Ramulu, G. Ramesh, P. Praveen Kumar and M. Shiva Kumar



Potent histone deacetylase inhibitors: *N*-hydroxybenzamides with antitumor activities

pp 4351–4360

Taishi Maeda, Yasuo Nagaoka, Hiroshi Kuwajima, Chieko Seno, Sakiko Maruyama, Mineko Kurotaki and Shinichi Uesato*

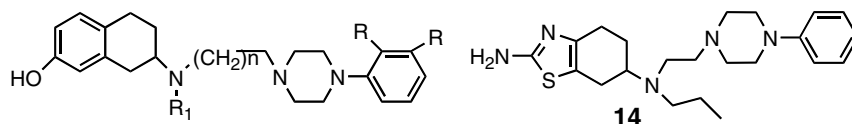


Histone deacetylase inhibitor **6g** with the 6-amino-2-naphthylcarbonyl group showed a potent antitumor activity against the murine P388 model.

Synthesis and biological characterization of novel hybrid 7-[[2-(4-phenyl-piperazin-1-yl)-ethyl]-propyl-amino]-5,6,7,8-tetrahydro-naphthalen-2-ol and their heterocyclic bioisosteric analogues for dopamine D2 and D3 receptors

pp 4361–4373

Aloke K. Dutta,* Sylesh K. Venkataraman, Xiang-Shu Fei, Rohit Kolhatkar, Shijun Zhang and Maarten E. A. Reith

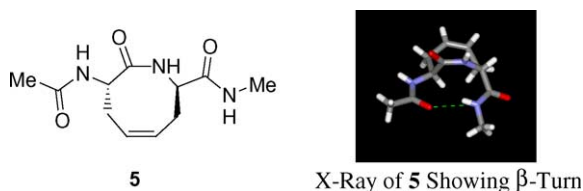


Structure–activity relationship study demonstrating agonist activity of hybrid 7-[[2-(4-phenyl-piperazin-1-yl)-ethyl]-propyl-amino]-5,6,7,8-tetrahydro-naphthalen-2-ol and their heterocyclic bioisosteric analogues for dopamine D2 and D3 receptors.

Design, synthesis, and conformational analysis of eight-membered cyclic peptidomimetics prepared using ring closing metathesis

pp 4375–4385

Christopher J. Creighton,* Gregory C. Leo, Yanming Du and Allen B. Reitz*

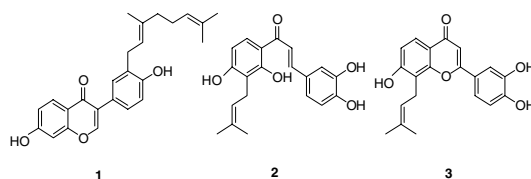


We have synthesized novel Type VIa β -turn scaffold **5**, characterized by H NMR spectroscopy in solution and X-ray crystallography in the solid state.

Antibacterial prenylflavone derivatives from *Psoralea corylifolia*, and their structure–activity relationship study

pp 4387–4392

Sheng Yin, Cheng-Qi Fan, Ying Wang, Lei Dong and Jian-Min Yue*

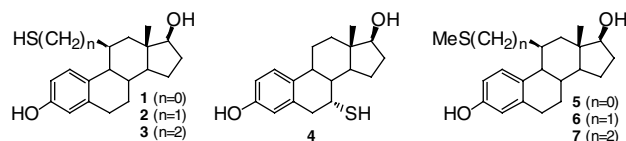


Three new prenylflavonoids corylifols A–C (**1–3**) and 13 known prenylflavone derivatives were isolated from the seeds of *Psoralea corylifolia*. All the isolates were tested on antibacterial assays, and nine of them showed significant activities against two pathogenic bacteria *Staphylococcus aureus* and *S. epidermidis*. The antibacterial structure–activity relationship was also briefly discussed.

Estradiol derivatives bearing sulfur-containing substituents at the 11 β or 7 α positions: versatile reagents for the preparation of estrogen conjugates

pp 4393–4401

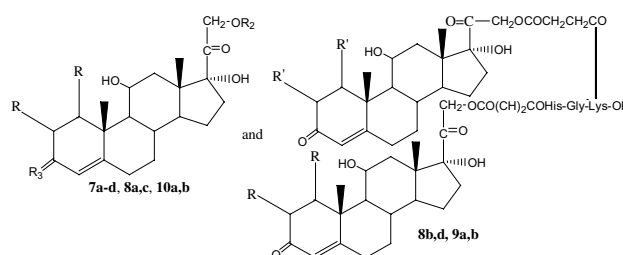
Daniela Spera, Gustavo Cabrera, Rita Fiaschi, Kathryn E. Carlson, John A. Katzenellenbogen and Elio Napolitano*

**The synthesis and immunosuppressive activities of steroid–urotoxin linkers**

pp 4403–4421

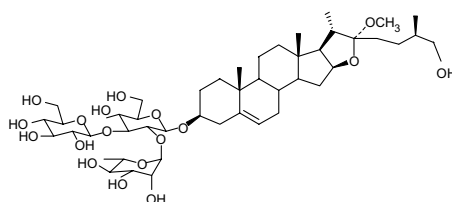
Chao Wang, Ming Zhao, Xuecai Qiu and Shiqi Peng*

In **7a,c** $R_2 = \text{CO}(\text{CH}_2)_2\text{CO-Glu-Asp-Gly-OH}$, $R_3 = \text{O}$; in **7b,d** $R_2 = \text{CO}(\text{CH}_2)_2\text{CO-His-Gly-Glu-OH}$, $R_3 = \text{O}$; in **8a,c** $R_2 = \text{CO}(\text{CH}_2)_2\text{CO-His-Gly-Lys-OH}$, $R_3 = \text{O}$; in **10a,b** $R_2 = \text{H}$, $R_3 = \text{His-Gly-Lys-NHN}$; in **7a,c**, **8a**, and **10a** $R = \text{H}$; in **7b,d**, **8c**, and **10b** both of R together constitute an olefinic bond; in **8b** $R = R' = \text{H}$; in **8d** both of R and both of R' together constitute an olefinic bond, respectively; in **9a** $R = \text{H}$ and both of R' together constitute an olefinic bond; in **9b** $R' = \text{H}$ and both of R together constitute an olefinic bond.

**Icogenin, a new cytotoxic steroidal saponin isolated from *Dracaena draco***

pp 4423–4429

Juan C. Hernández, Francisco León, José Quintana, Francisco Estévez and Jaime Bermejo*

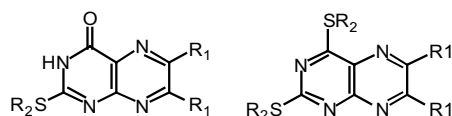


This paper describes the cytotoxic effect induced by a new natural steroidal saponin icogenin on the myeloid leukemia cell line HL-60.

Synthesis and nematocide activity of *S*-glycopyranosyl-6,7-diarylthiolumazines

pp 4431–4437

Miriam A. Martins Alho, Norma B. D'Accorso, Carmen Ochoa,* Ana Castro, Félix Calderón, Antonio Chana, Felipe Reviriego, Juan Antonio Páez, Nuria E. Campillo, Mercedes Martínez-Grueiro, Ana María López-Santa Cruz and Antonio R. Martínez

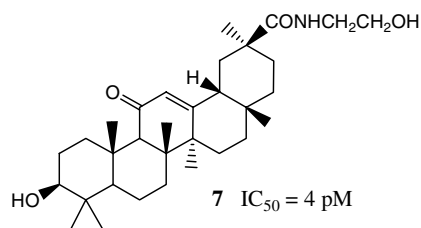


6,7-Diaryl derivatives of mono and di-*S*-glycopyranosylthiolumazines were prepared and tested as nematocides. Monosubstituted derivatives showed higher activity than the unsubstituted derivatives, whilst 2-*S*,4-*S*-di-substituted-pteridines do not show activity. A theoretical study on stability of different tautomers of dithiolumazines was carried out.

Novel 18 β -glycyrrhetic acid analogues as potent and selective inhibitors of 11 β -hydroxysteroid dehydrogenases

pp 4439–4457

Xiangdong Su, Harshani Lawrence, Dharshini Ganeshapillai, Adrian Cruttenden, Atul Purohit, Michael J. Reed, Nigel Vicker and Barry V. L. Potter*

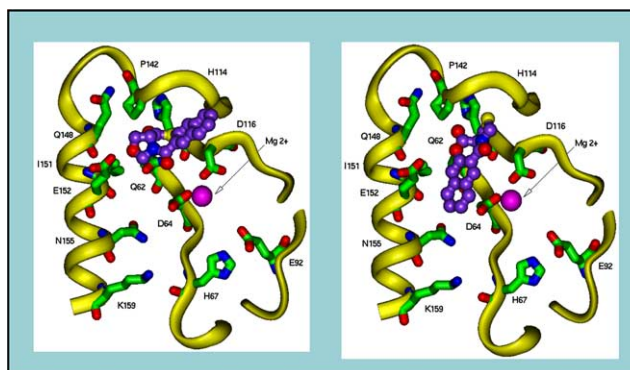


The discovery of novel potent selective inhibitors of 11 β -HSD2 is described.

Synthesis of novel thiazolothiazepine based HIV-1 integrase inhibitors

pp 4459–4466

Francesca Aiello, Antonella Brizzi, Antonio Garofalo,* Fedora Grande, Gaetano Ragno, Raveendra Dayam and Nouri Neamati*



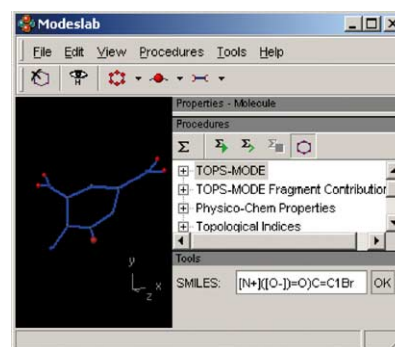
TOPS-MODE based QSARs derived from heterogeneous series of compounds.

pp 4467–4475

Applications to the design of new anti-inflammatory compounds

Maykel Pérez González,* Luiz Carlos Dias, Aliuska Morales Helguera, Yanisleidy Morales Rodríguez, Luciana Gonzaga de Oliveira, Luis Torres Gomez and Humberto Gonzalez Diaz

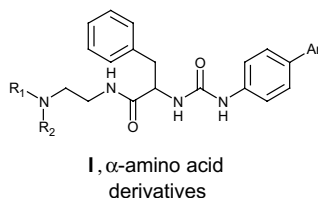
The TOPological Sub-Structural Molecular Design (TOPS-MODE) approach has been applied to the study of the anti-inflammatory compounds. The model correctly and clearly classified 88% of active and 91% of inactive compounds in the training set. More specifically, the model showed a good global classification of 90%, that is, (399 cases out of 441).



Novel glycine transporter type-2 reuptake inhibitors. Part 1: α -amino acid derivatives

pp 4477–4492

Ronald L. Wolin,* Hariharan Venkatesan, Liu Tang, Alejandro Santillán, Jr., Tristin Barclay, Sandy Wilson, Doo Hyun Lee and Timothy W. Lovenberg

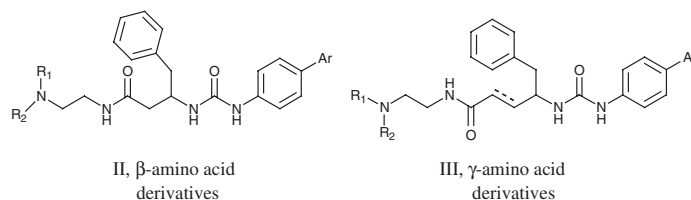


The structure–activity relationships for a variety of functionalized α -amino acids as GlyT-2 inhibitors is described.

Novel glycine transporter type-2 reuptake inhibitors. Part 2: β - and γ -amino acid derivatives

pp 4493–4509

Ronald L. Wolin,* Alejandro Santillán, Jr., Tristin Barclay, Liu Tang, Hariharan Venkatesan, Sandy Wilson, Doo Hyun Lee and Timothy W. Lovenberg



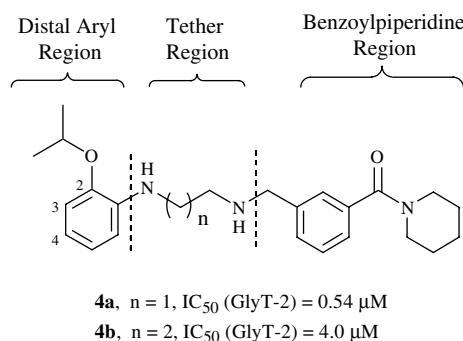
A variety of functionalized β - and γ -amino acids were synthesized as GlyT-2 inhibitors and their structure–activity profiles are described.

Inhibitors of the glycine transporter type-2 (GlyT-2): synthesis and biological activity of benzoylpiperidine derivatives

pp 4511–4532

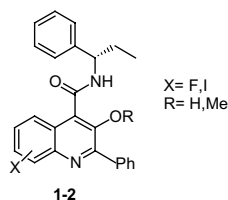
Ronald L. Wolin,* Alejandro Santillán, Jr., Liu Tang, Charles Huang, Xiaoxia Jiang and Timothy W. Lovenberg

The design, synthesis, and structure–activity relationships for a series of benzoylpiperidine analogs is described.

**Synthesis and biological evaluation of novel fluoro and iodo quinoline carboxamides as potential ligands of NK-3 receptors for in vivo imaging studies**

pp 4533–4541

Idriss Bennacef, Sylvie Tymciu, Martine Dhilly, Marie-Claire Lasne, Danielle Debruyne, Cécile Perrio* and Louisa Barré*

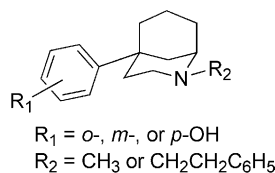


In order to develop radioligands of human NK-3 receptor (hNK-3r) for imaging studies by positron emission tomography (PET) or single photon emission computed tomography (SPECT), a new series of fluoro- and iodo-quinoline carboxamides **1–2** were synthesized and evaluated in a target receptor binding assay.

A critical structural determinant of opioid receptor interaction with phenolic 5-phenylmorphans

pp 4543–4550

In Jong Kim, Christina M. Dersch, Richard B. Rothman, Arthur E. Jacobson and Kenner C. Rice*



OTHER CONTENTS

Contributors to this issue
Instructions to contributors

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pp III–VII

*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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