

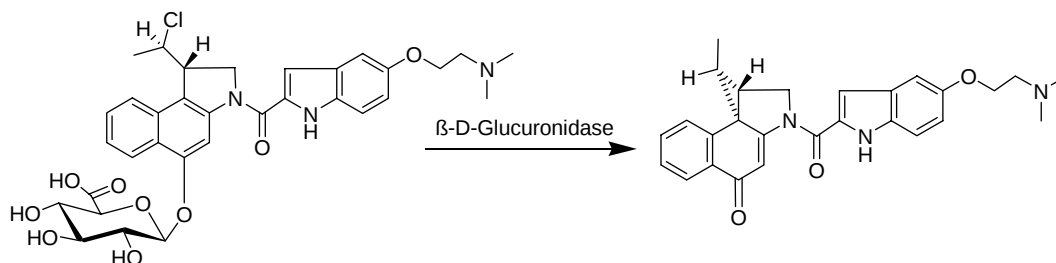
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Duocarmycin-based prodrugs for cancer prodrug monotherapy

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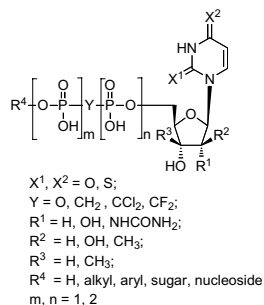
Lutz F. Tietze*, Heiko J. Schuster, Kianga Schmuck, Ingrid Schuberth, Frauke Alves



Synthesis and potency of novel uracil nucleotides and derivatives as P2Y₂ and P2Y₆ receptor agonists

pp 6319–6332

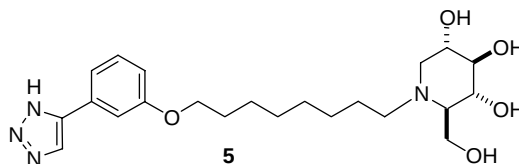
Hyojin Ko, Rhonda L. Carter, Liesbet Cosyn, Riccardo Petrelli, Sonia de Castro, Pedro Besada, Yixing Zhou, Loredana Cappellacci, Palmarisa Franchetti, Mario Grifantini, Serge Van Calenbergh, T. Kendall Harden, Kenneth A. Jacobson*



Hybrids of 1-deoxynojirimycin and aryl-1,2,3-triazoles and biological studies related to angiogenesis

pp 6333–6337

Yunxue Zhao, Ying Zhou, Kathy M. O'Boyle, Paul V. Murphy*



The synthesis and biological properties of **5** is reported.

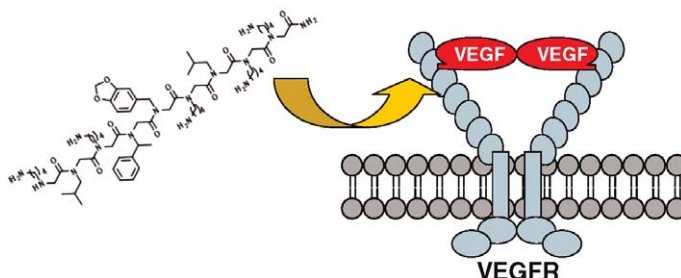


A peptoid antagonist of VEGF Receptor 2 recognizes a 'hotspot' in the extracellular domain distinct from the hormone-binding site

pp 6338–6343

D. Gomika Udugamasooriya, Caroline Ritchie, Rolf A. Brekken, Thomas Kodadek*

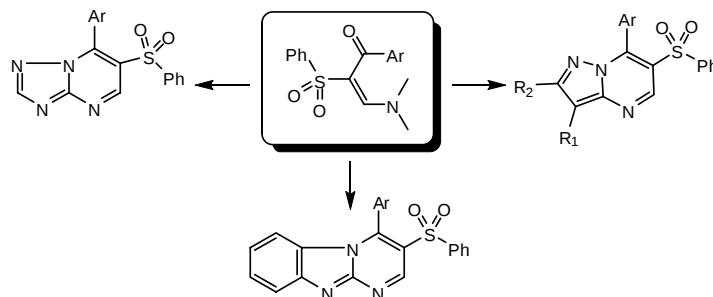
A peptoid antagonist of VEGF Receptor 2 signalling is shown to recognize a site in the extracellular domain distinct from that of the hormone-binding site. This suggests that the peptoid inhibits hormone-induced receptor conformational changes.



Synthesis and analgesic/anti-inflammatory evaluation of fused heterocyclic ring systems incorporating phenylsulfonyl moiety

pp 6344–6352

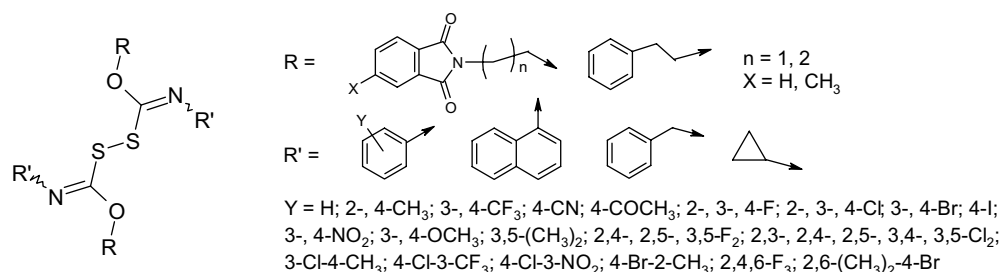
Mohamed R. Shaaban, Tamer S. Saleh, Abdelrahman S. Mayhoub, Ahmed Mansour, Ahmad M. Farag*



Parallel one-pot synthesis and structure–activity relationship study of symmetric formimidoester disulfides as a novel class of potent non-nucleoside HIV-1 reverse transcriptase inhibitors

pp 6353–6363

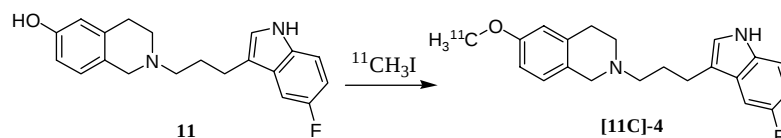
Sara Cesarini*, Andrea Spallarossa, Angelo Ranise, Silvia Schenone, Olga Bruno, Paolo La Colla*, Laura Casula, Gabriella Collu, Giuseppina Sanna, Roberta Loddo



Carbon-11 labeled indolylpropylamine analog as a new potential PET agent for imaging of the serotonin transporter

pp 6364–6370

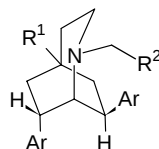
R. Ben-Daniel, W. Deuther-Conrad, M. Scheunemann, J. Steinbach, P. Brust, E. Mishani*



Novel Azabicyclo[3.2.2]nonane derivatives and their activities against *Plasmodium falciparum* K₁ and *Trypanosoma brucei rhodesiense*

pp 6371–6378

Heinrich Berger, Robert Weis, Marcel Kaiser, Reto Brun, Robert Saf, Werner Seebacher*

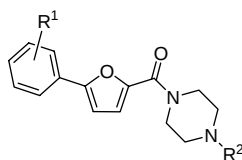


The new 7,8-diaryl-2-azabicyclo-nonanes are amongst the most potent antitrypanosomal compounds of the 2-azabicyclo-nonane series. The substitution of the aromatic rings and of the ring nitrogen remarkably influences the antiprotozoal activity of these compounds. Moreover, the selectivity index is distinctly improved.

Discovery of potent furan piperazine sodium channel blockers for treatment of neuropathic pain

pp 6379–6386

Irene Drizin*, Robert J. Gregg, Marc J.C. Scanio, Lei Shi, Michael F. Gross, Robert N. Atkinson, James B. Thomas, Matthew S. Johnson, William A. Carroll, Brian E. Marron, Mark L. Chapman, Dong Liu, Michael J. Krambis, Char-Chang Shieh, XuFeng Zhang, Gricelda Hernandez, Donna M. Gauvin, Joseph P. Mikusa, Chang Z. Zhu, Shailen Joshi, Prisca Honore, Kennan C. Marsh, Rosemarie Roeloffs, Stephen Werness, Douglas S. Krafte, Michael F. Jarvis, Connie R. Faltynek, Michael E. Kort



4 R¹ = 4-Cl, R² = H
rat TTx-r, IC₅₀ = 430 nM
Chung, p.o. ED₅₀ = 24 μmol/kg

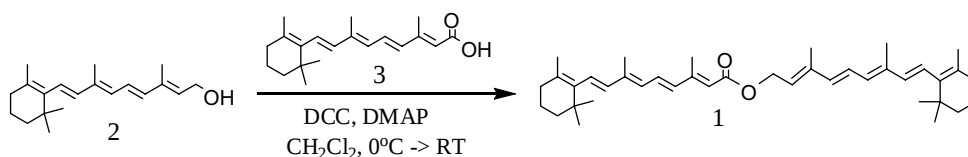
19 R¹ = 4-*t*-butyl, R² = cyclohexane
rat TTx-r, IC₅₀ = 90 nM
Chung, p.o. ED₅₀ = 4.7 μmol/kg



Synthesis and in vitro biological activity of retinyl retinoate, a novel hybrid retinoid derivative

pp 6387–6393

Hyojung Kim, Bora Kim, Hyuk Kim, Soojong Um, Joodong Lee, Heechang Ryoo, Hyungil Jung*

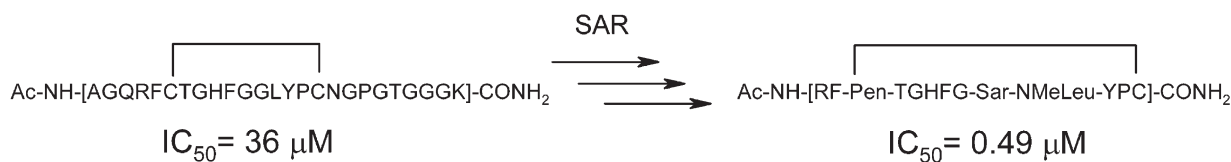


Synthesis of the hybrid retinyl retinoate **1** via esterification to form an ester bond between retinol (which has a hydroxyl end group) and retinoic acid (which has a carboxyl end group).

Structure–activity relationships of a peptide inhibitor of the human FcRn:human IgG interaction

pp 6394–6405

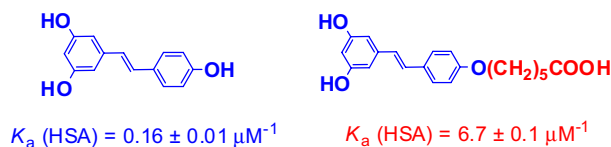
Adam R. Mezo*, Kevin A. McDonnell, Alfredo Castro, Cara Fraley



Design, synthesis and spectroscopic studies of resveratrol aliphatic acid ligands of human serum albumin

pp 6406–6414

Yu Lin Jiang*



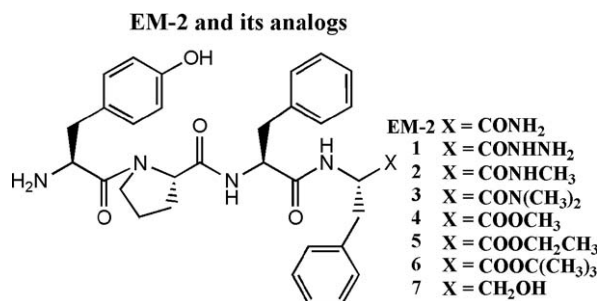
Resveratrol aliphatic acids were prepared and the binding of the compounds with human serum albumin (HSA) was investigated using fluorescence, UV-vis and NMR spectroscopies.

**Structure-activity study of endomorphin-2 analogs with C-terminal modifications by NMR spectroscopy and molecular modeling**

pp 6415–6422

Chang-lin Wang, Jin-long Yao, Ye Yu, Xuan Shao, Yun Cui, Hong-mei Liu, Lu-hao Lai, Rui Wang*

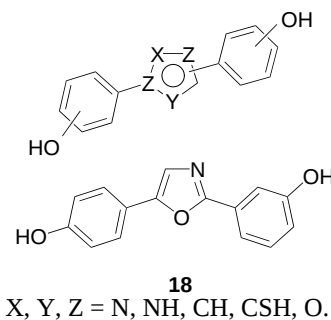
Schematic diagram of EM-2 and its analogs by substitution of the Phe⁴-NH₂ group with -NHNH₂, -NHCH₃, -N(CH₃)₂, -OCH₃, -OCH₂CH₃, -OC(CH₃)₃, and -CH₂-OH investigated in this study.

**Design, synthesis and biological evaluation of bis(hydroxyphenyl) azoles as potent and selective non-steroidal inhibitors of 17β-hydroxysteroid dehydrogenase type 1 (17β-HSD1) for the treatment of estrogen-dependent diseases**

pp 6423–6435

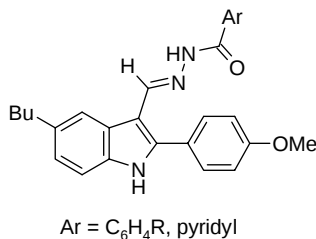
Emmanuel Bey, Sandrine Marchais-Oberwinkler, Patricia Kruchten, Martin Frotscher, Ruth Werth, Alexander Oster, Oztekin Algül, Alexander Neugebauer, Rolf W. Hartmann*

A series of 19 azoles were synthesised and 17β-HSD1 inhibition determined. Compound **18** showed highest activity in cell free and T-47D assays, excellent selectivity towards 17β-HSD2, ERα and ERβ and medium CaCo-2 permeability.

**Aroyl hydrazones of 2-phenylindole-3-carbaldehydes as novel antimitotic agents**

pp 6436–6447

Susanne Vogel, Doris Kaufmann, Michaela Pojarová, Christine Müller, Tobias Pfaller, Sybille Kühne, Patrick J. Bednarski, Erwin von Angerer*



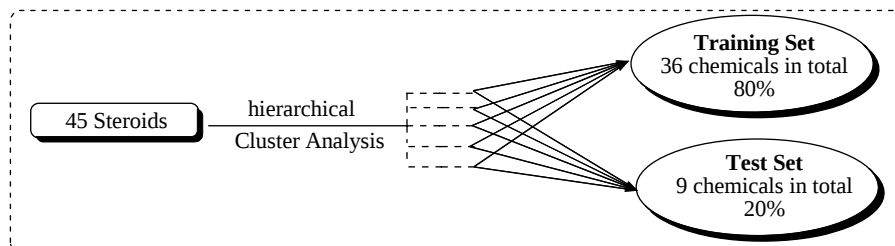
5-Butyl-2-(4-methoxyphenyl)indole-3-carbaldehyde aroyl hydrazones strongly inhibit the growth of human breast cancer cells (IC₅₀, 19–115 nM) by cell cycle arrest in G₂/M phase and apoptosis.



Chemometric and chemoinformatic analyses of anabolic and androgenic activities of testosterone and dihydrotestosterone analogues

pp 6448–6459

Yoanna María Álvarez-Ginarte, Rachel Crespo-Otero, Yovani Marrero-Ponce*, Pedro Noheda-Marin, Jose Manuel Garcia de la Vega, Luis Alberto Montero-Cabrera, José Alberto Ruiz García, José A. Caldera-Luzardo, Ysaías J. Alvarado

**OTHER CONTENTS****Instructions to contributors**

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*Corresponding author

i+ Supplementary data available via ScienceDirect

COVER

An insight into biologically relevant chemical space showing the scaffolds of potential natural-product based inhibitors orbiting their target, the protein structure of protein 11-beta steroid dehydrogenase (PDB code 1xu7). Graphic produced using Pymol (<http://www.pymol.org>). [M. A. Koch, A. Schuffenhauer, M. Scheck, S. Wetzel, M. Casaulta, A. Odermatt, P. Ertl, H. Waldmann, Charting biologically relevant chemical space: A structural classification of natural products (SCONP), *PNAS* **2005**, 102, 17272–17277 and S. Wetzel, H. Waldmann, Cheminformatic analysis of natural products and their chemical space, *Chimia* **2007**, 61(6), 355–360].

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