



Biochemical Pharmacology, Volume 78, issue 3, 1 August 2009

Contents

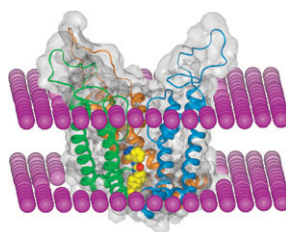
COMMENTARY

Analgesic potential of TRPV1 antagonists

p 211–216

Philip R. Kym, Michael E. Kort, Charles W. Hutchins

A pharmacophore model highlighting common structural features of TRPV1 antagonists is presented. ABT-102 is depicted in the putative common binding site described in the text. A review of clinical candidates ABT-102, AMG-517, and SB-705498 is provided.



The chemist as astronaut: Searching for biologically useful space in the chemical universe

p 217–223

David J. Trigg



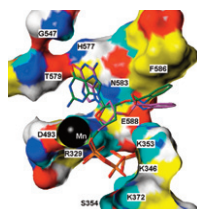
ANTIBIOTICS AND CHEMOTHERAPEUTICS

Distinct interactions of 2'- and 3'-O-(N-methyl)anthraniloyl-isomers of ATP and GTP with the adenylyl cyclase toxin of *Bacillus anthracis*, edema factor

p 224–230

Srividya Suryanarayana, Jenna L. Wang, Mark Richter, Yuequan Shen, Wei-Jen Tang, Gerald H. Lushington, Roland Seifert

By using enzymatic, fluorescence spectroscopy and molecular modelling approaches, this paper shows distinct interaction defined isomers of MANT-ATP and MANT-GTP with edema factor from *Bacillus anthracis*.

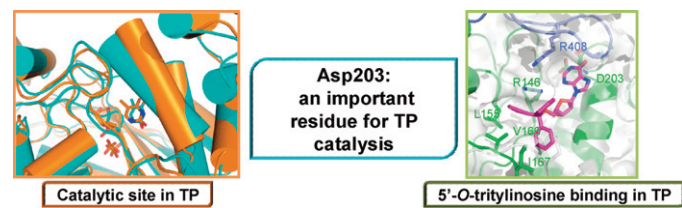


Identification of aspartic acid-203 in human thymidine phosphorylase as an important residue for both catalysis and non-competitive inhibition by the small molecule “crystallization chaperone” 5'-O-tritylinosine (KIN59)

p 231–240

A. Bronckaers, L. Aguado, A. Negri, M.-J. Camarasa, J. Balzarini, M.-J. Pérez-Pérez, F. Gago, S. Liekens

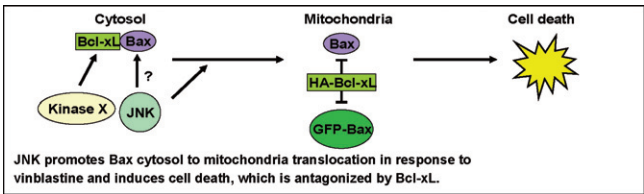
The search for the binding site of the allosteric inhibitor 5'-O-tritylinosine has allowed the identification of Asp203 as an important residue for catalysis in human thymidine phosphorylase.



Regulation of Bax by c-Jun NH₂-terminal kinase and Bcl-xL in vinblastine-induced apoptosis

p 241–248

Rong Chu, Meenakshi Upreti, Wen-Xing Ding, Xiao-Ming Yin, Timothy C. Chambers

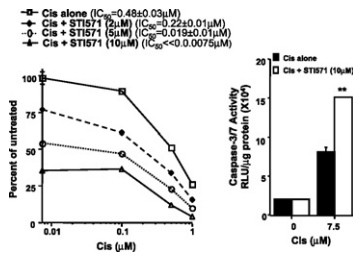


STI571 sensitizes breast cancer cells to 5-fluorouracil, cisplatin and camptothecin in a cell type-specific manner

p 249–260

Jonathan T. Sims, Sourik Ganguly, Leann S. Fiore, Chris J. Holler, Eun-Sil Park, Rina Plattner

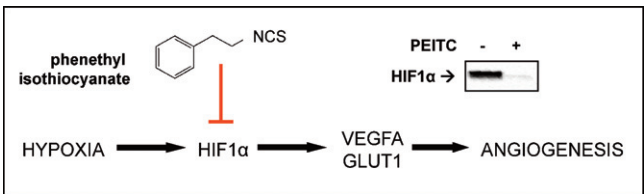
STI571 synergizes with cisplatin to inhibit proliferation (left) and induce apoptosis (right) of BT-549 breast cancer cells.



Inhibition of hypoxia inducible factor by phenethyl isothiocyanate

p 261–272

Xiu-Hong Wang, Breeze E. Cavell, Sharifah S. Syed Alwi, Graham Packham

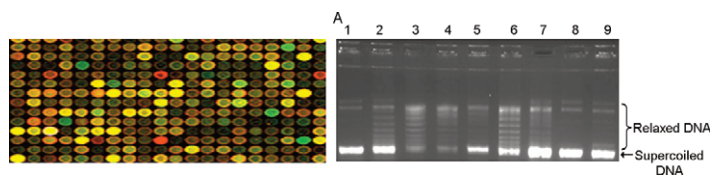


Gene expression profiling identifies novel key players involved in the cytotoxic effect of Artesunate on pancreatic cancer cells

p 273–283

Mahmoud Youns, Thomas Efferth, Jürgen Reichling, Kurt Fellenberg, Andrea Bauer, Jörg D. Hoheisel

Gene expression profiling identified Artesunate as a novel topoisomerase II α inhibitor that inhibits pancreatic cancer cell growth through modulation of multiple signalling pathways.



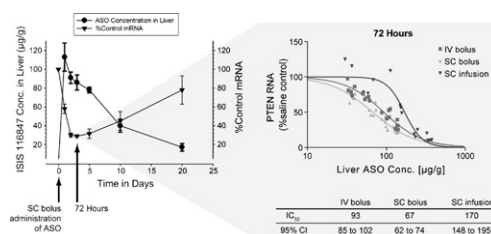
PHARMACOKINETICS AND DRUG METABOLISM

Effect of dose and plasma concentration on liver uptake and pharmacologic activity of a 2'-methoxyethyl modified chimeric antisense oligonucleotide targeting PTEN

p 284–291

Richard S. Geary, Ed Wancewicz, John Matson, Megan Pearce, Andrew Siwkowski, Eric Swayze, Frank Bennett

Rate and route of administration, and ultimate plasma concentration, alter the effective uptake of antisense oligonucleotide in mouse liver.

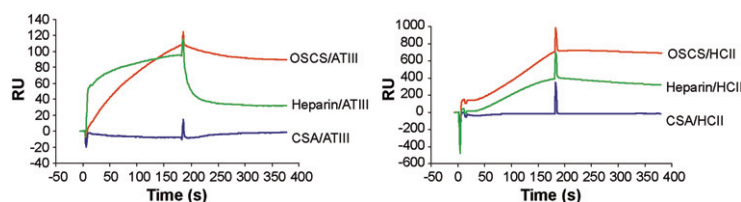


TOXICOLOGY

Oversulfated chondroitin sulfate interaction with heparin-binding proteins: New insights into adverse reactions from contaminated heparins

p 292–300

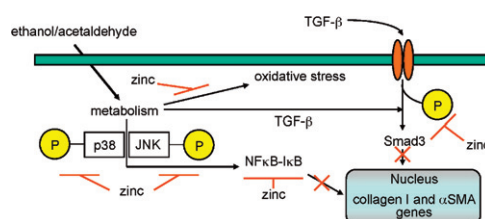
Boyangzi Li, Jiraporn Suwan, Jeffrey G. Martin, Fuming Zhang, Zhenqing Zhang, Debra Hoppensteadt, Melanie Clark, Jawed Fareed, Robert J. Linhardt



Zinc supplementation attenuates ethanol- and acetaldehyde-induced liver stellate cell activation by inhibiting reactive oxygen species (ROS) production and by influencing intracellular signaling

p 301–314

Agnieszka Szuster-Ciesielska, Krzysztof Plewka, Jadwiga Daniluk, Martyna Kandefer-Szerszeń



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