



# Biochemical Pharmacology, Volume 79, issue 9, 1 May 2010

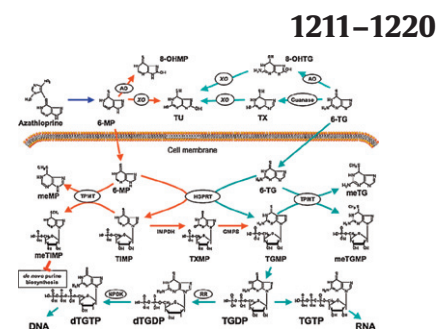
## Contents

### COMMENTARY

#### Thiopurines: Factors influencing toxicity and response

Alan Kambiz Fotoohi, Sally A. Coulthard, Freidoun Albertioni

When inside the cell 6-TG is converted directly by hypoxanthine-guanine phosphoribosyl transferase (HGPRT) through addition of ribose-5-phosphate to 6-thioguanosine-5'-monophosphate (TGMP), 6-MP is converted first to 6-thioinosine-5'-monophosphate (TIMP) by HGPRT then to 6-thioxanthine-5'-monophosphate (TXMP) by inosine monophosphate dehydrogenase (IMPDH) and finally to TGMP by guanosine monophosphate synthetase (GMPS). Both 6-MP and 6-TG and their respective monophosphates (TIMP and TGMP) are extensively inactivated inside the cell by thiopurine-S-methyltransferase (TPMT). Methylthioinosine monophosphate (meTIMP) is a strong inhibitor of DNPS. The remaining TGMP is converted to 6-thioguanosine-5'-diphosphate (TGDP), reduced to deoxy-6-thioguanosine-5'-diphosphate (dTGDP) by ribonucleotide reductase (RR) and phosphorylated by nucleoside diphosphate kinase (NDPK) to dTGTP, a DNA polymerase substrate.

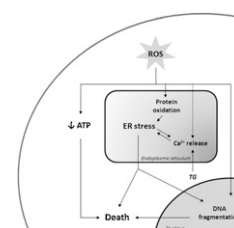


### ANTIBIOTICS AND CHEMOTHERAPEUTICS

#### Endoplasmic reticulum calcium release potentiates the ER stress and cell death caused by an oxidative stress in MCF-7 cells

Nicolas Dejeans, Nicolas Tajeddine, Raphaël Beck, Julien Verrax, Henryk Taper, Philippe Gailly, Pedro Buc Calderon

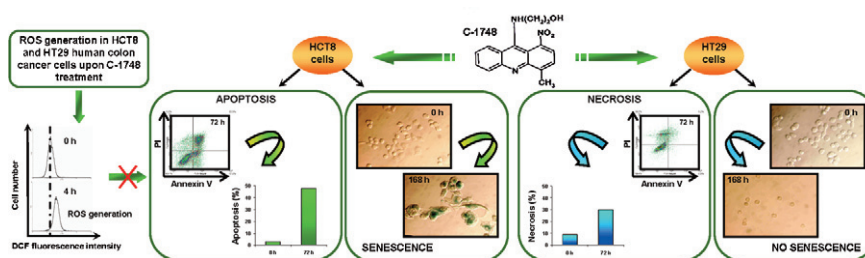
1221–1230



#### Antitumor 1-nitroacridine derivative C-1748, induces apoptosis, necrosis or senescence in human colon carcinoma HCT8 and HT29 cells

Ewa Augustin, Anna Moś-Rompa, Dorota Nowak-Ziaty, Jerzy Konopa

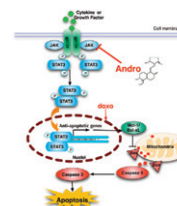
1231–1241



## Inhibition of the JAK-STAT3 pathway by andrographolide enhances chemosensitivity of cancer cells to doxorubicin 1242–1250

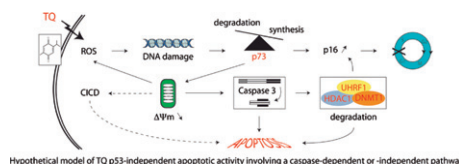
Jing Zhou, Choon-Nam Ong, Gang-Min Hur, Han-Ming Shen

Andro serves as a promising anticancer agent via its potent inhibitory effect on JAK-STAT3 pathway. Inhibition of STAT3 activity by Andro enhanced chemosensitivity of tumor cells to doxorubicin.



## Induction of apoptosis by thymoquinone in lymphoblastic leukemia Jurkat cells is mediated by a p73-dependent pathway which targets the epigenetic integrator UHRF1 1251–1260

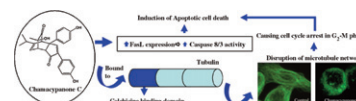
Mahmoud Alhosin, Abdurazzag Abusnina, Mayada Achour, Tanveer Sharif, Christian Muller, Jean Peluso, Thierry Chataigneau, Claire Lugnier, Valérie B. Schini-Kerth, Christian Bronner, Guy Fuhrmann



Hypothetical model of TQ p53-independent apoptotic activity involving a caspase-dependent or -independent pathway

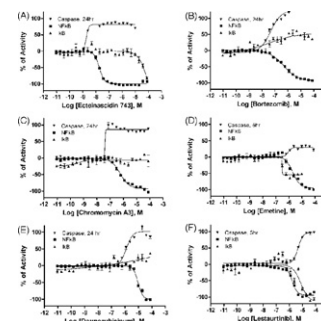
## Chamaecyparone C, a novel skeleton microtubule inhibitor, with anticancer activity by trigger caspase 8-Fas/FasL dependent apoptotic pathway in human cancer cells 1261–1271

Cheng-Chih Hsieh, Yueh-Hsiung Kuo, Ching-Chuan Kuo, Li-Tzong Chen, Chun-Hei Antonio Cheung, Tsu-Yi Chao, Chi-Hung Lin, Wen-Yu Pan, Chi-Yen Chang, Shih-Chang Chien, Tung-Wei Chen, Chia-Chi Lung, Jang-Yang Chang



## Identification of known drugs that act as inhibitors of NF-κB signaling and their mechanism of action 1272–1280

Susanne C. Miller, Ruili Huang, Srilatha Sakamuru, Sunita J. Shukla, Matias S. Attene-Ramos, Paul Shinn, Danielle Van Leer, William Leister, Christopher P. Austin, Menghang Xia

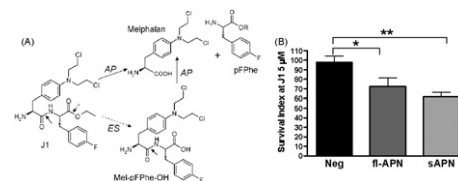


## The alkylating prodrug J1 can be activated by aminopeptidase N, leading to a possible target directed release of melphalan

1281–1290

Malin Wickström, Kristina Viktorsson, Lovisa Lundholm, Reidun Aesoy, Helen Nygren, Linda Sooman, Mårten Fryknäs, Lotte Katrine Vogel, Rolf Lewensohn, Rolf Larsson, Joachim Gullbo

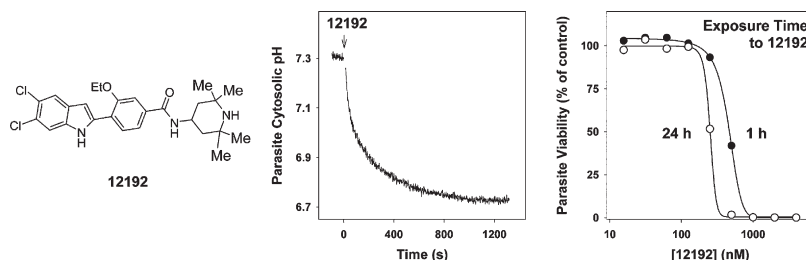
J1, a new alkylating prodrug, can be activated by aminopeptidases to release melphalan (A). In experiments with transfected cells overexpressing aminopeptidase N (full length and soluble form), the cytotoxicity was increased (B).



## Inhibition of *Plasmodium falciparum* pH regulation by small molecule indole derivatives results in rapid parasite death

1291–1299

Donnelly A. van Schalkwyk, Xie W.A. Chan, Paola Misiano, Stefania Gagliardi, Carlo Farina, Kevin J. Saliba

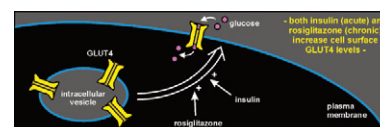


## METABOLIC DISORDERS AND ENDOCRINOLOGY

## Rosiglitazone increases cell surface GLUT4 levels in 3T3-L1 adipocytes through an enhancement of endosomal recycling

1300–1309

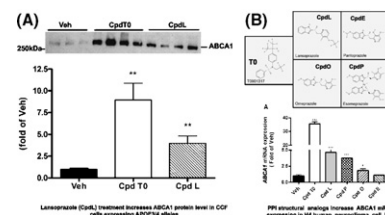
Laurène Martinez, Marion Berenguer, M. Christine Bruce, Yannick Le Marchand-Brustel, Roland Govers



## Proton pump inhibitor Lansoprazole is a nuclear liver X receptor agonist

1310–1316

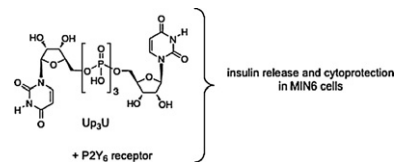
Andrea A. Cronican, Nicholas F. Fitz, Tam Pham, Allison Fogg, Brionna Kifer, Radosveta Koldamova, Iliya Lefterov



Activation of distinct P2Y receptor subtypes stimulates insulin secretion in MIN6 mouse pancreatic  $\beta$  cells

1317–1326

Ramachandran Balasubramanian, Inigo Ruiz de Azua, Jürgen Wess, Kenneth A. Jacobson  
Activation of P2Y<sub>1</sub> and P2Y<sub>6</sub> receptors as targets for therapeutic intervention for diabetes.

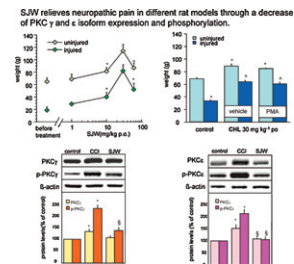


NEUROPHARMACOLOGY

St. John's Wort reduces neuropathic pain through a hypericin-mediated inhibition of the protein kinase C  $\gamma$  and  $\epsilon$  activity

1327–1336

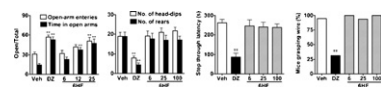
Nicoletta Galeotti, Elisa Vivoli, Anna Rita Bilia, Franco Francesco Vincieri, Carla Ghelardini  
SJW relieves neuropathic pain in different rat models through a decrease of PKC  $\gamma$  and  $\epsilon$  isoform expression and phosphorylation.



GABA<sub>A</sub> receptor subtype selectivity underlying anxiolytic effect of 6-hydroxyflavone

1337–1344

Lihuan Ren, Feng Wang, Zhiwen Xu, Wing Man Chan, Cunyou Zhao, Hong Xue  
6-Hydroxyflavone (6HF), a naturally occurring flavonoid, herein brought about anxiolysis without the sedative, cognitive impairment, myorelaxant, motor incoordination, or anticonvulsant activity.

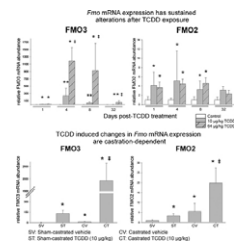


PHARMACOKINETICS AND DRUG METABOLISM

Isoform distinct time-, dose-, and castration-dependent alterations in flavin-containing monooxygenase expression in mouse liver after 2,3,7,8-tetrachlorodibenzo-*p*-dioxin treatment

1345–1351

Rachel M. Novick, Chad M. Vezina, Adnan A. Elfarrar

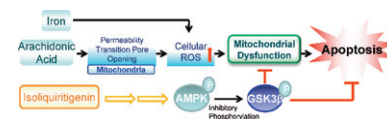


## PULMONARY, RENAL AND HEPATIC PHARMACOLOGY

### AMPK-mediated GSK3 $\beta$ inhibition by isoliquiritigenin contributes to protecting mitochondria against iron-catalyzed oxidative stress

1352–1362

Song Hwa Choi, Young Woo Kim, Sang Geon Kim

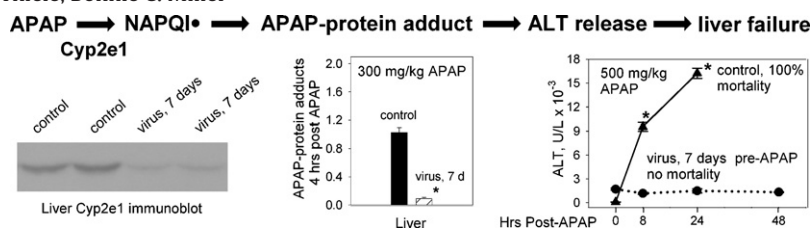


## TOXICOLOGY

### Susceptibility to acetaminophen (APAP) toxicity unexpectedly is decreased during acute viral hepatitis in mice

1363–1371

Yonas Getachew, Laura James, William M. Lee, Dwain L. Thiele, Bonnie C. Miller



## CORRIGENDUM

### Corrigendum to “Comparative pharmacology and computational modelling yield insights into allosteric modulation of human $\alpha 7$ nicotinic acetylcholine receptors” [Biochem. Pharmacol. 78 (2009) 836–843]

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David B. Sattelle, Steven D. Buckingham, Miki Akamatsu, Kazuhiko Matsuda, Ilse S. Pienaar, Andrew K. Jones, Benedict M. Sattelle, Andrew Almond, Charles D. Blundell

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