



# Biochemical Pharmacology, Volume 80, issue 7, 1 October 2010

## Contents

### COMMENTARY

#### American ginseng: Potential structure–function relationship in cancer chemoprevention

947–954

Lian-Wen Qi, Chong-Zhi Wang, Chun-Su Yuan

Possible structure–function relationship of ginsenosides against cancer: anticancer activity is inversely correlated to the number of sugars. Sugar linkage positions also affect the activities.

Possible structure–function relationship of ginsenosides against cancer: Anticancer activity is inversely correlated to the number of sugars. Sugar linkage positions also affect the activities.

Ginsenoside	Sugar No.	Activity	Ginsenoside	Sugar No.	Activity
Rg1	4	Not reported	Rg	3	Not reported
Rc	4	Not reported	Rg1	2	Not reported
Rg2	4	Not reported	Rg2	2	Possible
Rg3	4	Not reported	Rg3	1	Possible
Rg4	3	Possible	Rg4	1	Low
Rg5	2	Low	Rg5	0	Middle
Rg6	1	Middle			
Rg7	1	Middle			
Rg8	0	High			

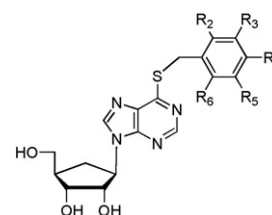
### ANTIBIOTICS AND CHEMOTHERAPEUTICS

#### Carbocyclic 6-benzylthioinosine analogues as subversive substrates of *Toxoplasma gondii* adenosine kinase: Biological activities and selective toxicities

955–963

Omar N. Al Safarjalani, Reem H. Rais, Young Ah Kim, Chung K. Chu, Fardos N.M. Naguib, Mahmoud H. el Kouni

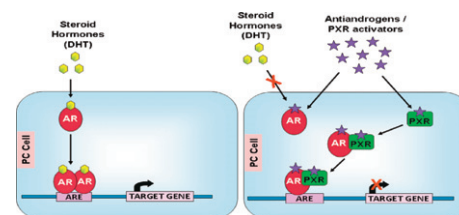
Novel carbocyclic 6-benzylthioinosine analogues are subversive substrates of *Toxoplasma gondii* adenosine kinase and selectively kill the parasites.



#### Cross-talk between androgen receptor and pregnane and xenobiotic receptor reveals existence of a novel modulatory action of anti-androgenic drugs

964–976

Subodh Kumar, Bharti Jaiswal, Sanjay Kumar, Seema Negi, Rakesh K. Tyagi

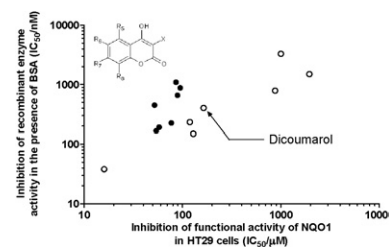


## Pharmacological inhibitors of NAD(P)H quinone oxidoreductase, NQO1: Structure/activity relationships and functional activity in tumour cells

977–981

Karen Ann Nolan, Katherine Ann Scott, John Barnes, Jeremy Doncaster, Roger Clive Whitehead, Ian James Stratford

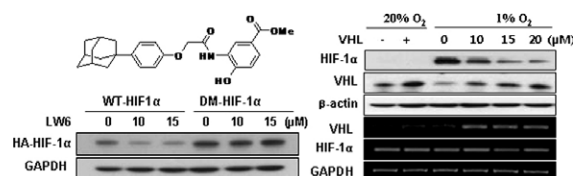
Coumarin-based analogues of dicoumarol show greater potency for inhibition of NQO1 enzyme activity in cancer cells.



## LW6, a novel HIF-1 inhibitor, promotes proteasomal degradation of HIF-1α via upregulation of VHL in a colon cancer cell line

982–989

Kyeong Lee, Jung Eun Kang, Song-Kyu Park, Yinglan Jin, Kyung-Sook Chung, Hwan-Mook Kim, Kiho Lee, Moo Rim Kang, Myung Kyu Lee, Kyung Bin Song, Eun-Gyeong Yang, Jung-Jun Lee, Misun Won



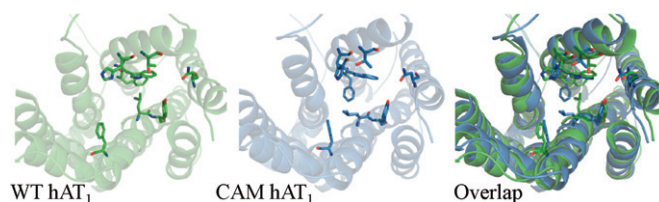
## CARDIOVASCULAR PHARMACOLOGY

### Temperature dependent photolabeling of the human angiotensin II type 1 receptor reveals insights into its conformational landscape and its activation mechanism

990–999

Jason Arsenault, Jérôme Cabana, Dany Fillion, Richard Leduc, Gaétan Guillemette, Pierre Lavigne, Emanuel Escher

Molecular modeling of WT and CAM hAT<sub>1</sub> using calculated distance constraints.

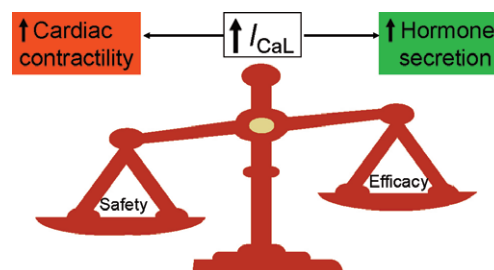


### A novel secretagogue increases cardiac contractility by enhancement of L-type Ca<sup>2+</sup> current

1000–1006

Zhi Su, Deborah L. Widomski, Xiaoqin Liu, James T. Limberis, Jonathon Green, Gilbert Diaz, Ruth L. Martin, Bryan F. Cox, Gary A. Gintant

Can we find a secretagogue with a balanced safety and efficacy profile?

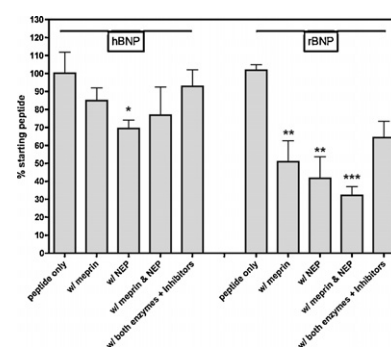


## Human B-type natriuretic peptide is not degraded by meprin A

Deborah M. Dickey, Lincoln R. Potter

Sequential degradation by meprin and neprilysin is not involved in the inactivation of human B-type natriuretic peptide.

1007–1011



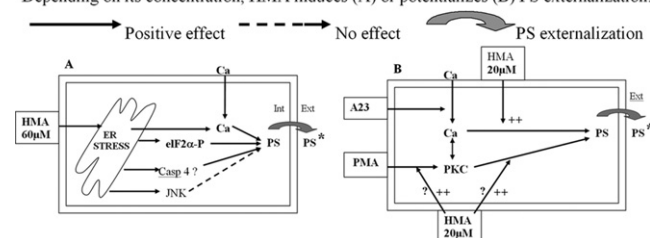
## INFLAMMATION AND IMMUNOPHARMACOLOGY

### Amiloride derivatives modulate PS externalization in neutrophil-like PLB-985 cells

1012–1020

Mickael Bourge, Asma Tlili, Sophie Dupré-Crochet, Oliver Nübe, Jean-Claude Sulpice

Depending on its concentration, HMA induces (A) or potentializes (B) PS externalization.

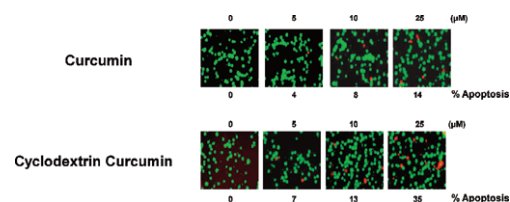


### Cyclodextrin-complexed curcumin exhibits anti-inflammatory and antiproliferative activities superior to those of curcumin through higher cellular uptake

1021–1032

Vivek R. Yadav, Sahdeo Prasad, Ramaswamy Kannappan, Jayaraj Ravindran, Madan M. Chaturvedi, Lauri Vaahtera, Jaakko Parkkinen, Bharat B. Aggarwal

Our results indicate that cyclodextrin complex of curcumin exhibits superior anti-inflammatory and antiproliferative activities than free curcumin in part due to higher cellular uptake.

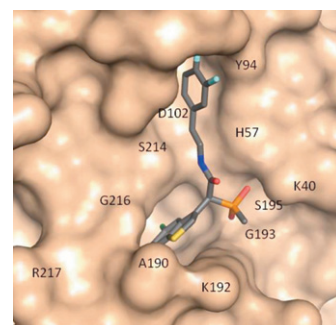


### Potency variation of small-molecule chymase inhibitors across species

1033–1041

Jukka Kervinen, Carl Crysler, Shariff Bayoumy, Marta C. Abad, John Spurlino, Ingrid Deckman, Michael N. Greco, Bruce E. Maryanoff, Lawrence de Garavilla

Subtle variations in residues within the active-site cavity of mammalian chymases can drastically affect substrate specificity and, as shown in this study, the inhibitory potency of small-molecule inhibitors.



## METABOLIC DISORDERS AND ENDOCRINOLOGY

### Generation, validation and humanisation of a novel insulin resistant cell model

Lisa Logie, Antonio J. Ruiz-Alcaraz, Christopher J. Schofield, Hari S. Hundal, Giora Z. Feuerstein, Jeffrey D. Brady, Daniel Crowther, Anna M. Tommasi, Christal E. Grierson, Bridget Shepherd, Andrew D. Morris, Michael K. Hansen, Ewan Pearson, Calum Sutherland

1042–1049



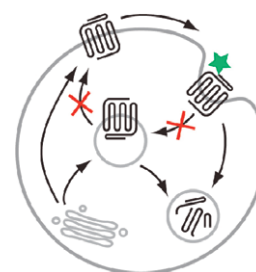
## NEUROPHARMACOLOGY

### Cannabinoid Receptor 1 trafficking and the role of the intracellular pool: Implications for therapeutics

Natasha L. Grimsey, E. Scott Graham, Mike Dragunow, Michelle Glass

"Intracellular pool" CB<sub>1</sub> receptors do not contribute to cell surface re-population, which requires new protein synthesis as CB<sub>1</sub> does not recycle following internalization.

1050–1062

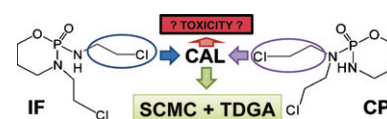


## PHARMACOKINETICS AND DRUG METABOLISM

### Comparative metabolism of cyclophosphamide and ifosfamide in the mouse using UPLC–ESI-QTOFMS-based metabolomics

1063–1074

Fei Li, Andrew D. Patterson, Constance C. Höfer, Kristopher W. Krausz, Frank J. Gonzalez, Jeffrey R. Idle

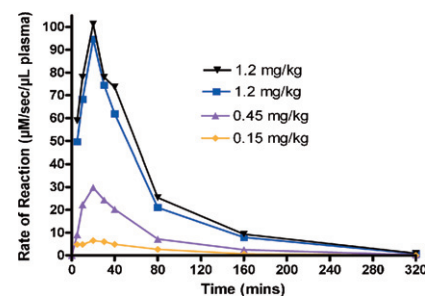


### Pharmacokinetics of OpdA, an organophosphorus hydrolase, in the African green monkey

1075–1079

Colin J. Jackson, Colin Scott, Angela Carville, Keith Mansfield, David L. Ollis, Steven B. Bird

Pharmacokinetics of OpdA activity using 3 different doses in a monkey model. OpdA has an in vivo half-life of approximately 40 min.

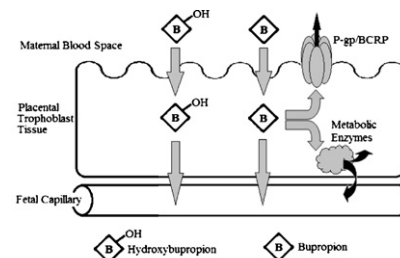


## Role of transporter-mediated efflux in the placental biodisposition of bupropion and its metabolite, OH-bupropion

1080–1086

Sarah J. Hemauer, Svetlana L. Patrikeeva, Xiaoming Wang, Doaa R. Abdelrahman, Gary D.V. Hankins, Mahmoud S. Ahmed, Tatiana N. Nanovskaya

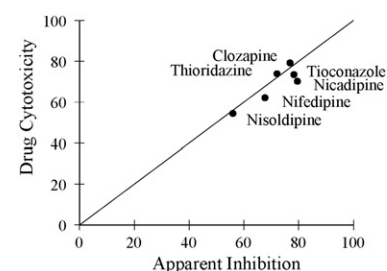
In contrast to its parent compound bupropion, OH-bupropion is not a substrate of P-gp or BCRP, nor was it metabolized by human placenta during its perfusion.



## Why we should be vigilant: Drug cytotoxicity observed with *in vitro* transporter inhibition studies

1087–1092

Xiaowan Zheng, Lei Diao, Sean Ekins, James E. Polli



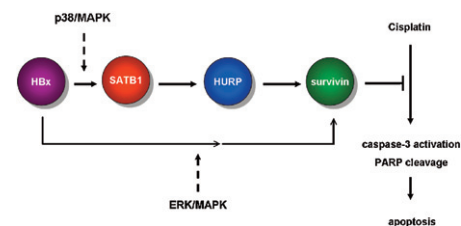
## TOXICOLOGY

## Hepatitis B virus X protein prevents apoptosis of hepatocellular carcinoma cells by upregulating SATB1 and HURP expression

1093–1102

Tzu-Ching Kuo, Chuck C.-K. Chao

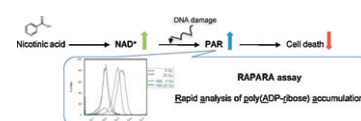
HBx elicits the expression of SATB1 in a p38-dependent manner. HURP is further induced and required for the induction of survivin which in turn blocks isplatin-induced apoptosis.



## *Ex vivo* supplementation with nicotinic acid enhances cellular poly(ADP-ribosyl)ation and improves cell viability in human peripheral blood mononuclear cells

1103–1112

Kathrin Weidele, Andrea Kunzmann, Maike Schmitz, Sascha Beneke, Alexander Bürkle



---

**CORRIGENDUM**

---

**Corrigendum to “HMBA depolymerizes microtubules, activates mitotic checkpoints and induces mitotic block in MCF-7 cells by binding at the colchicine site in tubulin” [Biochem. Pharmacol. 80 (2010) 50–61] 1113–1113**

Biswa Prasun Chatterji, Mithu Banerjee, Parminder Singh, Dulal Panda

---

---

INDEXED/ABSTRACTED IN: *Curr. Cont. ASCA, Biosis Data, CAB Inter., Chemical Abstracts Service, Curr. Cont./Life Sci., CABS, EMBASE/Excerpt. Med., Curr. Cont. ISI/BIOMED Database, MEDLINE, PASCAL-CNRS Data, Curr. Cont. Sci. Cit. Ind., Curr. Cont. SCISEARCH Data, Ind. Med., Reference Update.*  
Also covered in the abstract and citation database SCOPUS®. Full text available on ScienceDirect®.

---

**ELSEVIER**

Available online at [www.sciencedirect.com](http://www.sciencedirect.com)



[www.elsevier.com/locate/biochempharm](http://www.elsevier.com/locate/biochempharm)