



## Biochemical Pharmacology, Volume 77, issue 9, 1 May 2009

### Contents

#### COMMENTARY

##### Ready for a comeback of natural products in oncology

p 1447–1457

Christian Bailly

##### Topological aspects of oligomeric UDP-glucuronosyltransferases in endoplasmic reticulum membranes: Advances and open questions

p 1458–1465

Karl Walter Bock, Christoph Köhle

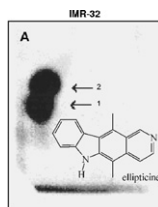
#### ANTIBIOTICS AND CHEMOTHERAPEUTICS

##### The mechanism of cytotoxicity and DNA adduct formation by the anticancer drug ellipticine in human neuroblastoma cells

p 1466–1479

Jitka Poljaková, Tomáš Eckschlager, Jan Hraběta, Jana Hřebačková, Svatopluk Smutný, Eva Frei, Václav Martínek, René Kizek, Marie Stiborová

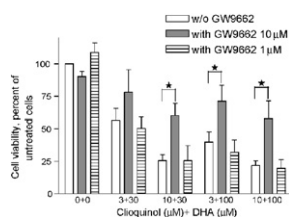
The plant constituent ellipticine forms DNA adducts detectable by  $^{32}\text{P}$ -postlabeling in the neuroblastoma cell line IMR-32. Adduct levels correlate with cytotoxicity.



## PPAR $\alpha$ signaling mediates the synergistic cytotoxicity of clioquinol and docosaheptaenoic acid in human cancer cells

p 1480–1486

Erin R. Tuller, Andrea L. Brock, Haijun Yu, Jessica R. Lou, Doris M. Benbrook, Wei-Qun Ding

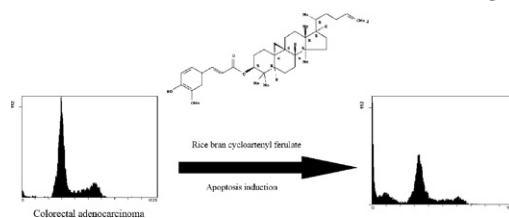


## GASTROINTESTINAL PHARMACOLOGY

### A rice bran polyphenol, cycloartenyl ferulate, elicits apoptosis in human colorectal adenocarcinoma SW480 and sensitizes metastatic SW620 cells to TRAIL-induced apoptosis

p 1487–1496

Carrie K.L. Kong, W.S. Lam, Lawrence C.M. Chiu, Vincent E.C. Ooi, Samuel S.M. Sun, Yum-Shing Wong

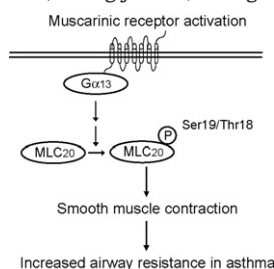


## INFLAMMATION AND IMMUNOPHARMACOLOGY

### G $\alpha_{13}$ regulates methacholine-induced contraction of bronchial smooth muscle via phosphorylation of MLC $_{20}$

p 1497–1505

Song Jin Lee, Woo Hyung Lee, Sung Hwan Ki, Young-Mi Kim, Seung Jin Lee, Chang Ho Lee, Sang Geon Kim

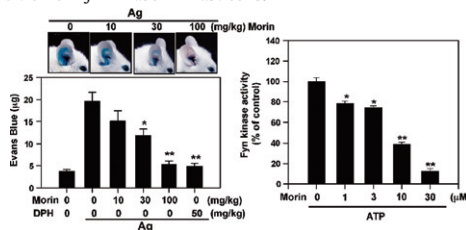


### Morin inhibits Fyn kinase in mast cells and IgE-mediated type I hypersensitivity response in vivo

p 1506–1512

Jie Wan Kim, Jun Ho Lee, Bang Yeon Hwang, Se Hwan Mun, Na Young Ko, Do Kyun Kim, Bokyung Kim, Hyung Sik Kim, Young Mi Kim, Wahn Soo Choi

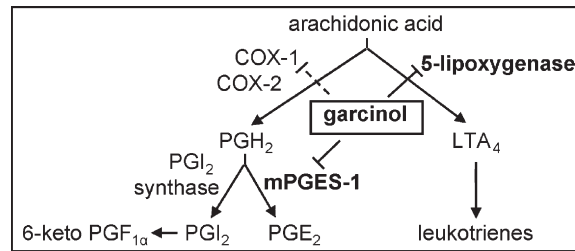
Morin exhibits anti-allergic activity by the primary inhibition of Fyn kinase in mast cells.



## Identification of 5-lipoxygenase and microsomal prostaglandin E<sub>2</sub> synthase-1 as functional targets of the anti-inflammatory and anti-carcinogenic garcinol

p 1513–1521

Andreas Koeberle, Hinnak Northoff, Oliver Werz



## NEUROPHARMACOLOGY

### Characterization of species-related differences in the pharmacology of tachykinin NK receptors 1, 2 and 3

p 1522–1530

Agnes Leffler, Ingela Ahlstedt, Susanna Engberg, Arne Svensson, Martin Billger, Lisa Öberg, Magnus K. Bjursell, Erik Lindström, Bengt von Mentzer

Experiments with neurokinin receptors (NKRs) demonstrate that not only human, but also dog and gerbil NK<sub>R</sub> displays similar antagonist pharmacology while rat diverges significantly with respect to NK<sub>1</sub>R and NK<sub>3</sub>R.

Potencies of selective NK<sub>R</sub> antagonists and of the pan-NK<sub>R</sub> antagonist ZD6021.

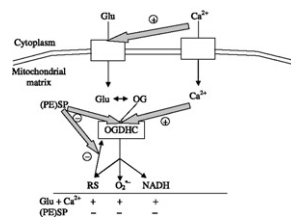
Compound	Human	Dog	Gerbil	Rat
NK <sub>1</sub> R				
ZD6021	8.6 ± 0.4	9.5 ± 0.2	9.0 ± 0.2	<6
RP67580	7.1 ± 0.4	7.1 ± 0.6	6.5 ± 0.2	7.3 ± 0.4
CP99,994	8.7 ± 0.2	9.8 ± 0.3	8.9 ± 0.3	5.9 ± 0.2
Aprepitant	8.7 ± 0.2	9.2 ± 0.1	8.8 ± 0.2	7.3 ± 0.1
NK <sub>2</sub> R				
ZD6021	8.3 ± 0.4	8.4 ± 0.2	8.4 ± 0.3	8.1 ± 0.1
Sancuzumab	9.1	9.4 ± 0.1	9.3 ± 0.2	9.4 ± 0.1
NK <sub>3</sub> R				
ZD6021	7.9 ± 0.3	7.8 ± 0.1	7.9 ± 0.1	6.7 ± 0.2
Tiletanin	8.6 ± 0.3	8.4 ± 0.2	8.4 ± 0.1	7.4 ± 0.2
Onasetanin	8.4 ± 0.5	8.2 ± 0.2	8.0 ± 0.2	7.4 ± 0.3

## Synthetic regulators of the 2-oxoglutarate oxidative decarboxylation alleviate the glutamate excitotoxicity in cerebellar granule neurons

p 1531–1540

Maria S. Kabysheva, Tatiana P. Storozhevykh, Vsevolod G. Pinelis, Victoria I. Bunik

Excitotoxic glutamate increases flux through the 2-oxoglutarate dehydrogenase complex, stimulating its production of reactive species and inactivation. Phosphono analogs of 2-oxoglutarate, (PE)SP, cause neuroprotection by inhibiting these side reactions.



## PULMONARY, RENAL AND HEPATIC PHARMACOLOGY

### Sex-dependent compensated oxidative stress in the mouse liver upon deletion of catechol O-methyltransferase

p 1541–1552

Jofre Tenorio-Laranga, Pekka T. Männistö, Maria Karayiorgou, Joseph A. Gogos, J. Arturo García-Horsman

The changes on the proteome of mouse liver, upon deletion of catechol O-methyl transferase, are sex-dependent and were found in metabolic, regulatory and structural proteins.



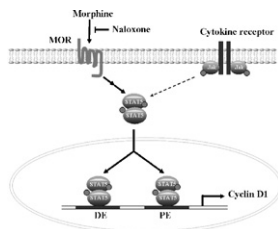
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**TOXICOLOGY**

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**Involvement of STAT5a signaling in morphine-induced up-regulation of the cyclin D1****p 1553–1560**

Liyuan Guo, Hui Li, Han Liu, Chaoying Li, Mengsen Li, Wei Jiang, Peng He, Shanshan Wang, Michael A. McNutt, Gang Li



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