



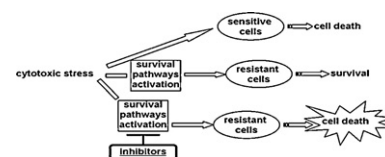
Biochemical Pharmacology, Volume 80, issue 10, 15 November 2010

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COMMENTARY

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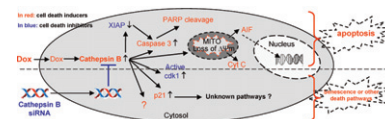
Paola Perego, Giacomo Cossa, Valentina Zuco, Franco Zunino



ANTIBIOTICS AND CHEMOTHERAPEUTICS

Doxorubicin-induced cell death requires cathepsin B in HeLa cells 1466–1477

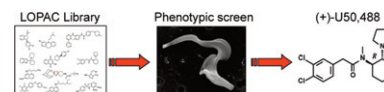
S. Bien, C. Rimmbach, H. Neumann, J. Niessen, E. Reimer, C.A. Ritter, D. Roskopf, J. Cinatl, M. Michaelis, H.W.S. Schroeder, H.K. Kroemer



Identification of a  $\kappa$ -opioid agonist as a potent and selective lead for drug development against human African trypanosomiasis 1478–1486

Deuan C. Jones, Irene Hallyburton, Laste Stojanovski, Kevin D. Read, Julie A. Frearson, Alan H. Fairlamb

Phenotypic screening of the LOPAC library identified several potent and selective inhibitors of African trypanosomes. The  $\kappa$ -opioid agonist (+)-U50,488 represents a novel lead for drug discovery against sleeping sickness.

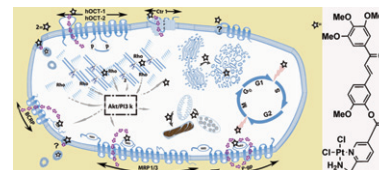


## Effects of a combretastatin A4 analogous chalcone and its Pt-complex on cancer cells: A comparative study of uptake, cell cycle and damage to cellular compartments

1487–1496

Miroslava Zoldakova, Zsuzsanna Kornyei, Andreas Brown, Bernhard Biersack, Emília Madarász, Rainer Schobert

A chalcone and its Pt-complex, while both anticancer active, were found to differ distinctly in uptake routes, selectivity for glioma over normal neural cells, efficiency in multi-drug resistant cancer cells, influence on cell cycle progression, and fragmentation of the Golgi apparatus.

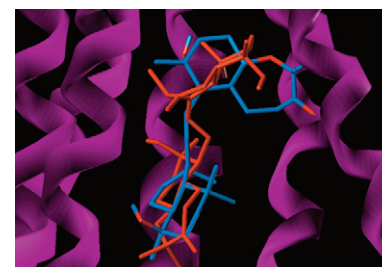


## Marine sponge-derived sipholane triterpenoids reverse P-glycoprotein (ABCB1)-mediated multidrug resistance in cancer cells

1497–1506

Ioana Abraham, Sandeep Jain, Chung-Pu Wu, Mohammad A. Khanfar, Yehong Kuang, Chun-Ling Dai, Zhi Shi, Xiang Chen, Liwu Fu, Suresh V. Ambudkar, Khalid El Sayed, Zhe-Sheng Chen

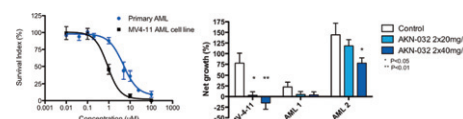
The alignment of docked poses of sipholenone E (red) and sipholenol J (blue) in QZ59-RRR binding site.



## Identification of AKN-032, a novel 2-aminopyrazine tyrosine kinase inhibitor, with significant preclinical activity in acute myeloid leukemia

1507–1516

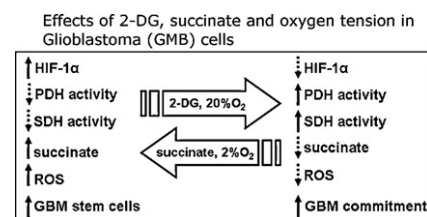
Anna Eriksson, Martin Höglund, Elin Lindhagen, Anna Åleskog, Sadia Bashir Hassan, Carina Ekholm, Karin Fhølenhag, Annika Jenmalm Jensen, Agneta Löthgren, Martin Scobie, Rolf Larsson, Vendela Parrow



## Hypoxia and succinate antagonize 2-deoxyglucose effects on glioblastoma

1517–1527

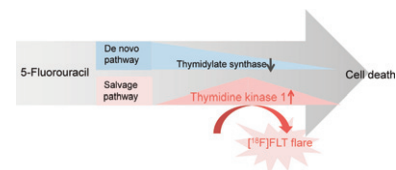
Francesca Pistollato, Sara Abbadi, Elena Rampazzo, Giampietro Viola, Alessandro Della Puppa, Lucia Cavallini, Chiara Frasson, Luca Persano, David M. Panchision, Giuseppe Basso



## Induction of thymidine kinase 1 after 5-fluorouracil as a mechanism for 3'-deoxy-3'-[<sup>18</sup>F]fluorothymidine flare

1528–1536

Seung Jin Lee, Seog Young Kim, Jin Hwa Chung, Seung Jun Oh, Jin Sook Ryu, Yong Sang Hong, Tae Won Kim, Dae Hyuk Moon



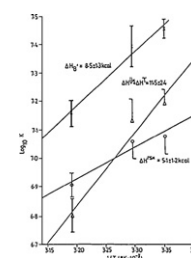
## CARDIOVASCULAR PHARMACOLOGY

### Towards a thermodynamic definition of efficacy in partial agonism: The thermodynamics of efficacy and ligand proton transfer in a G protein-coupled receptor of the rhodopsin class

1537–1545

Kenneth J. Broadley, Shane C. Sykes, Robin H. Davies

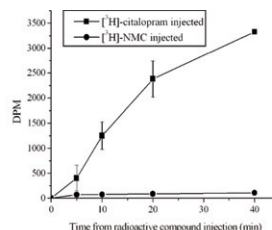
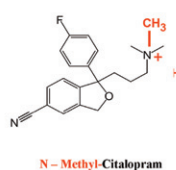
Enthalpy differences between agonist ( $\Delta H^{iK} + \Delta H^{\ddagger}$ ) and antagonist ( $\Delta H^{rs}$ ) component binding of a partial agonist, prenalterol, on the  $\beta_1$ -adrenoreceptor can be coincident with the energetics of ligand proton delivery to an aspartate ion on  $\alpha$ -helix II



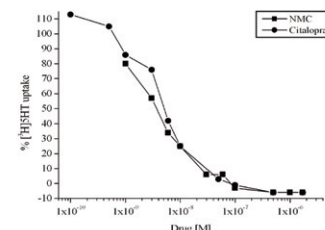
### N-methyl-citalopram: A quaternary selective serotonin reuptake inhibitor

1546–1552

Yona Bismuth-Evenzal, Netta Roz, David Gurwitz, Moshe Rehavi



A new permanently charged derivative of citalopram (NMC) does not cross the BBB.



NMC inhibits platelet serotonin uptake with the same  $K_i$  as citalopram and thus could be a potential new SSRI with a peripheral restricted action.

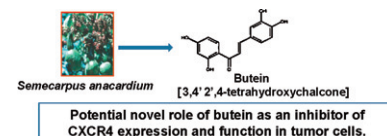
## INFLAMMATION AND IMMUNOPHARMACOLOGY

### Butein downregulates chemokine receptor CXCR4 expression and function through suppression of NF- $\kappa$ B activation in breast and pancreatic tumor cells

1553–1562

Angeline Wei Ling Chua, Hui Sin Hay, Peramaiyan Rajendran, Muthu K. Shanmugam, Feng Li, Pradeep Bist, Evelyn S.C. Koay, Lina H.K. Lim, Alan Prem Kumar, Gautam Sethi

Potential novel role of butein as an inhibitor of CXCR4 expression and function in tumor cells



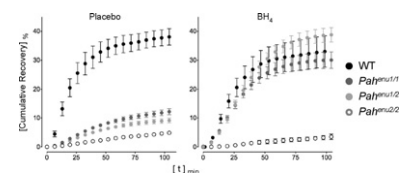
## METABOLIC DISORDERS AND ENDOCRINOLOGY

### New insights into tetrahydrobiopterin pharmacodynamics from *Pah<sup>enu1/2</sup>*, a mouse model for compound heterozygous tetrahydrobiopterin-responsive phenylalanine hydroxylase deficiency

1563–1571

Florian B. Lagler, Søren W. Gersting, Clemens Zsifkovits, Alice Steinbacher, Anna Eichinger, Marta K. Danecka, Michael Staudigl, Ralph Fingerhut, Hartmut Glossmann, Ania C. Muntau

*Pah<sup>enu1/2</sup>* is a mouse model for compound heterozygous phenylalanine hydroxylase deficiency and the pharmacological chaperone 6*R*-L-erythro-5,6,7,8-tetrahydrobiopterin rescues *in vivo* enzyme activity in *Pah<sup>enu1/1</sup>* and *Pah<sup>enu1/2</sup>* but shows genotype-specific pharmacodynamics.

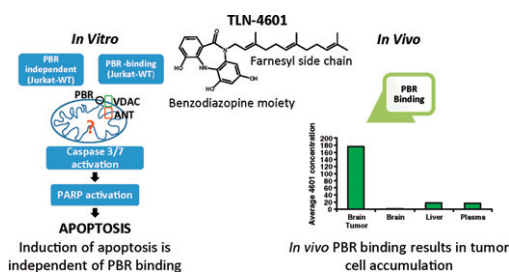


## NEUROPHARMACOLOGY

### TLN-4601 peripheral benzodiazepine receptor (PBR/TSP0) binding properties do not mediate apoptosis but confer tumor-specific accumulation

1572–1579

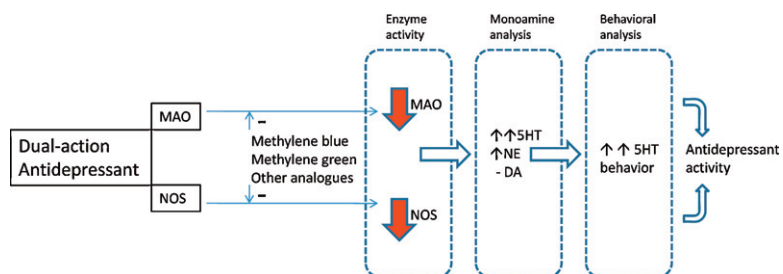
T. Bertomeu, V. Zvereff, A. Ibrahim, S.P. Zehntner, A. Aliaga, P. Rosa-Neto, B.J. Bedell, P. Falardeau, H. Gourdeau



### Role of monoamine oxidase, nitric oxide synthase and regional brain monoamines in the antidepressant-like effects of methylene blue and selected structural analogues

1580–1591

Brian H. Harvey, Ingrid Duvenhage, Francois Viljoen, Nellie Scheepers, Sarel F. Malan, Gregers Wegener, Christiaan B. Brink, Jacobus P. Petzer

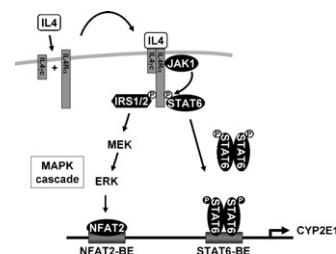


## PHARMACOKINETICS AND DRUG METABOLISM

### IL-4-mediated transcriptional regulation of human CYP2E1 by two independent signaling pathways

1592–1600

Jue Wang, Yin Hu, Jana Nekvindova, Magnus Ingelman-Sundberg, Etienne P.A. Neve



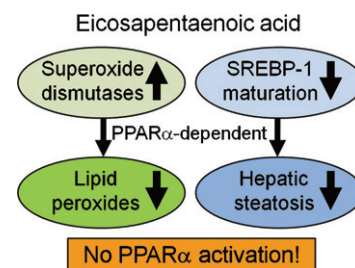
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**PULMONARY, RENAL AND HEPATIC PHARMACOLOGY**

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**Eicosapentaenoic acid improves hepatic steatosis independent of PPAR $\alpha$  activation through inhibition of SREBP-1 maturation in mice 1601–1612**

Naoki Tanaka, Xiuguo Zhang, Eiko Sugiyama, Hiroyuki Kono, Akira Horiuchi, Takero Nakajima, Hiroki Kanbe, Eiji Tanaka, Frank J. Gonzalez, Toshifumi Aoyama



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