

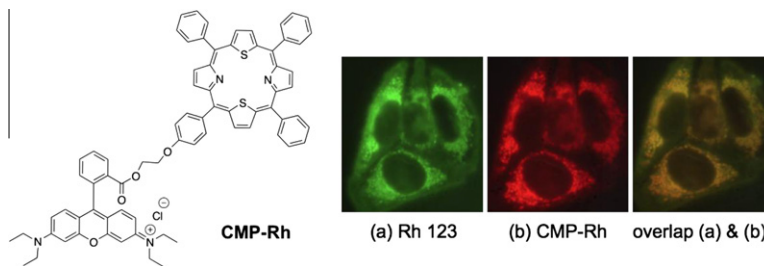


Bioorganic & Medicinal Chemistry Volume 21, Issue 2, 2013

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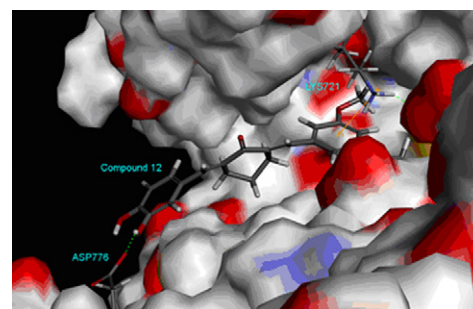
ARTICLES

- Synthesis and in vitro biological evaluation of lipophilic cation conjugated photosensitizers for targeting mitochondria** pp 379–387
Pallavi Rajaputra, Gregory Nkepang, Ryan Watley, Youngjae You*

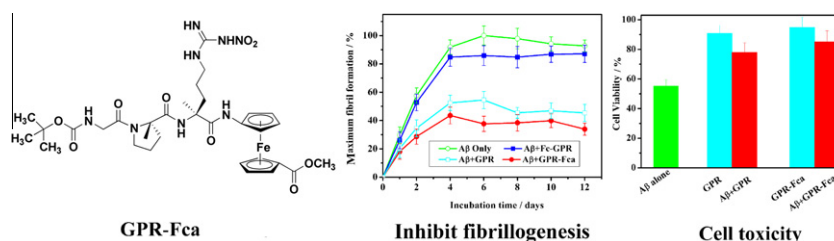


- Design, synthesis and molecular docking of α,β -unsaturated cyclohexanone analogues of curcumin as potent EGFR inhibitors with antiproliferative activity** pp 388–394
Yun-Yun Xu, Yi Cao, Hailkuo Ma, Huan-Qiu Li*, Gui-Zhen Ao*

A type of novel α,β -unsaturated cyclohexanone analogue, which designed based on the curcumin core structure, have been discovered as potential EGFR inhibitors. These compounds exhibit potent antiproliferative activity in two human tumor cell lines (Hep G2 and B16-F10). Among them, compounds **I₃** and **I₁₂** displayed the most potent EGFR inhibitory activity (IC_{50} = 0.43 μ M and 1.54 μ M, respectively). Molecular docking of **I₁₂** into EGFR TK active site was also performed. This inhibitor nicely fitting the active site might well explain its excellent inhibitory activity.



- Ferrocene tripeptide Gly-Pro-Arg conjugates: Synthesis and inhibitory effects on Alzheimer's $A\beta_{1-42}$ fibrillogenesis and $A\beta$ -induced cytotoxicity in vitro** pp 395–402
Binbin Zhou, Chun-Lan Li, Yuan-Qiang Hao, Muya Chabu Johnny, You-Nian Liu*, Juan Li*

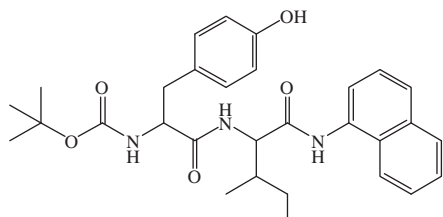


The ferrocene GPR conjugates were synthesized in solution. GPR-Fca shows stronger inhibitory effect on $A\beta_{1-42}$ fibrillogenesis and disaggregation of existing $A\beta_{1-42}$ mature fibrils. Moreover, GPR-Fca demonstrates significant protection against $A\beta$ -induced cytotoxicity and high resistance to proteolysis.



Inhibitory effect of novel somatostatin peptide analogues on human cancer cell growth based on the selective inhibition of DNA polymerase β pp 403–411

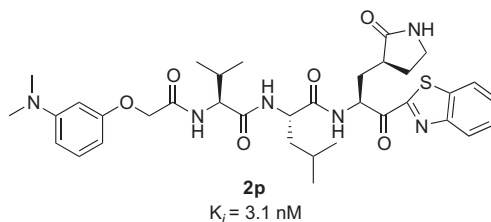
Isoko Kuriyama, Anna Miyazaki*, Yuko Tsuda, Hiromi Yoshida, Yoshiyuki Mizushima*



Boc-Tyr-Ile-1-naphthylamide (4)

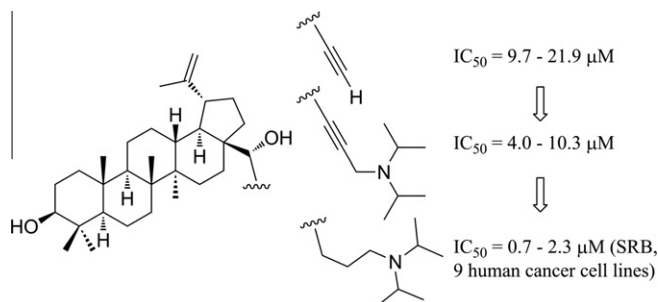
Design and synthesis of new tripeptide-type SARS-CoV 3CL protease inhibitors containing an electrophilic arylketone moiety pp 412–424

Sho Konno, Pillaiyar Thanigaimalai, Takehito Yamamoto, Kiyohiko Nakada, Rie Kakiuchi, Kentaro Takayama, Yuri Yamazaki, Fumika Yakushiji, Kenichi Akaji, Yoshiaki Kiso, Yuko Kawasaki, Shen-En Chen, Ernesto Freire, Yoshio Hayashi*



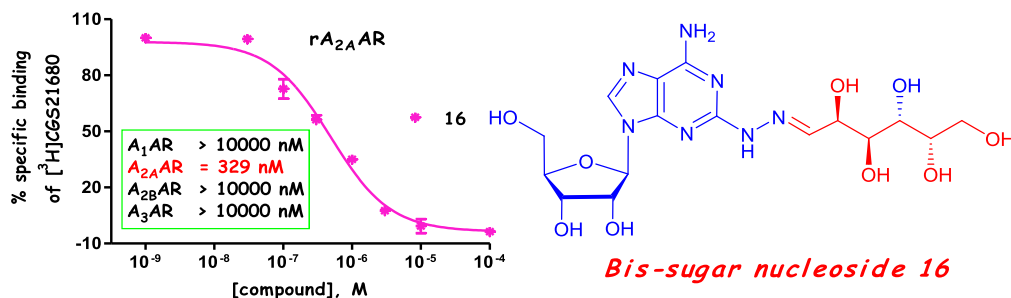
Cytotoxic betulin-derived hydroxypropargylamines trigger apoptosis pp 425–435

René Csuk*, Ronny Szczepek, Bianca Siewert, Christoph Nitsche

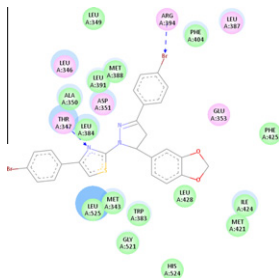


Synthesis and structure–activity relationships of 2-hydrazinyladenosine derivatives as A_{2A} adenosine receptor ligands pp 436–447

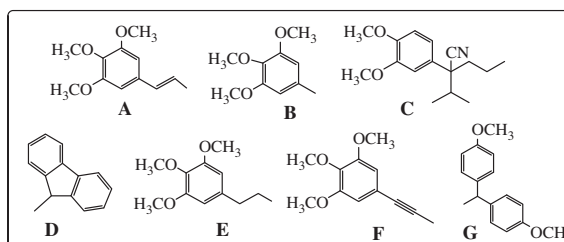
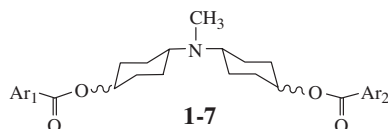
Ali El-Tayeb*, Sabrina Gollos



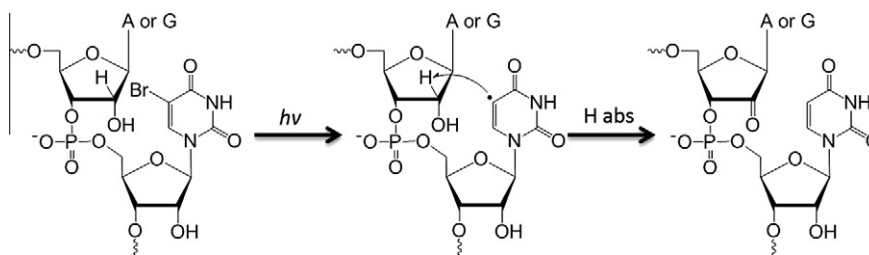
pp 448–455



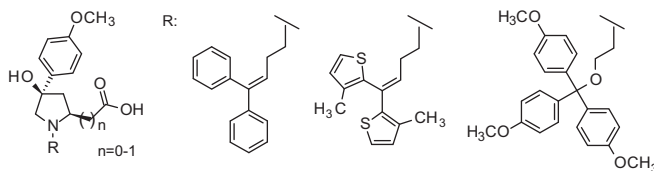
pp 456–465



pp 466–469



pp 470–484

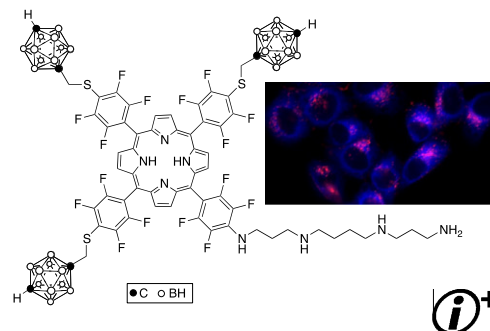


Synthesis and cellular studies of polyamine conjugates of a mercaptomethyl-carboranylporphyrin

pp 485–495

N. V. S. Dinesh K. Bhupathiraju, M. Graça H. Vicente*

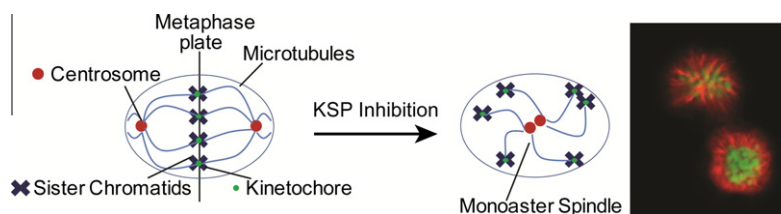
Boron-containing spermine-porphyrin conjugates are promising boron neutron capture therapy agents because they are efficiently taken up by human glioma T98G cells and show very low cytotoxicities.



Fluorinated quinazolinones as potential radiotracers for imaging kinesin spindle protein expression

pp 496–507

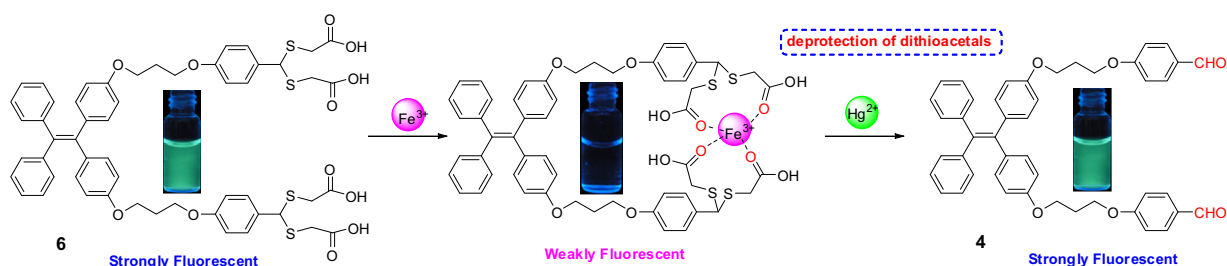
Jason P. Holland*, Michael W. Jones, Susan Cohrs, Roger Schibli, Eliane Fischer*



Fluorescence 'on-off-on' chemosensor for sequential recognition of Fe^{3+} and Hg^{2+} in water based on tetraphenylethylene motif

pp 508–513

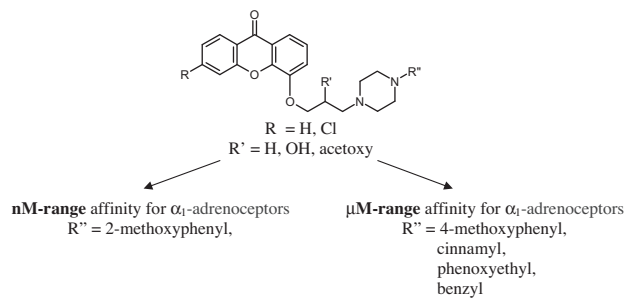
Yuan Yuan Yan, Zhiping Che, Xiang Yu, Xiaoyan Zhi, Juanjuan Wang, Hui Xu*



Synthesis and preliminary evaluation of pharmacological properties of some piperazine derivatives of xanthone

pp 514–522

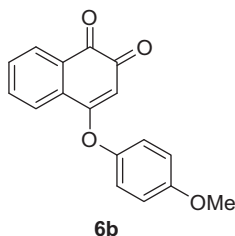
Natalia Szkaradek*, Anna Rapacz, Karolina Pytko, Barbara Filipek, Agata Siwek, Marek Cegła, Henryk Marona



Synthesis and anti-inflammatory evaluations of β -lapachone derivatives

pp 523–531

Chih-Hua Tseng, Chih-Mei Cheng, Cherng-Chyi Tzeng, Shin-I Peng, Chiao-Li Yang, Yeh-Long Chen*

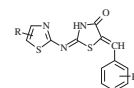


The inhibitory effect of **6b** on LPS-stimulated inflammatory mediator production in Raw 264.7 cell is associated with the suppression of the NF- κ B and MAPK signaling pathways.

Synthesis and biological evaluation of some 5-arylidene-2-(1,3-thiazol-2-ylimino)-1,3-thiazolidin-4-ones as dual anti-inflammatory/antimicrobial agents

pp 532–539

I. Apostolidis, K. Liaras, A. Geronikaki*, D. Hadjipavlou-Litina, A. Gavalas, M. Soković, J. Glamočlija, A. Ćirić



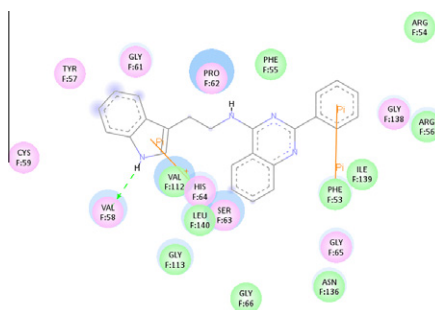
N/N	R	R ₁	N/N	R	R ₁
4a	H	3-OH	4k	H	2,6-Cl
4b	H	3,5-OCH ₃ , 4-OH	4l	H	2,4-Cl
4c	H	2-OCH ₃	4m	H	2,3-Cl
4d	H	2,5-OCH ₃	4n	4-CH ₃	2-Cl
4e	H	4-CH ₃	4o	4-CH ₃	4-Cl
4f	H	3-F	4p	5-CH ₃	4-NO ₂
4g	H	4-F	4q	4-Ph	H
4h	H	3-Br	4r	4-Ph	2-Cl
4i	H	4-Br	4s	4-Ph	3-Cl
4j	H	N(CH ₃) ₂	4t	4-Ph	4-Cl
			4u	H	2-OH, 5-Br

A series of new thiazolidinones were synthesized and evaluated for their antimicrobial antifungal and anti-inflammatory activity.

Molecular docking and synthesis of novel quinazoline analogues as inhibitors of transcription factors NF- κ B activation and their anti-cancer activities

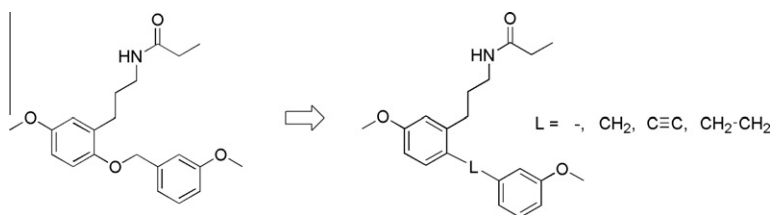
pp 540–546

Lu Xu, Wade A. Russu*

**Development of substituted *N*-[3-(3-methoxyphenyl)propyl] amides as MT₂-selective melatonin agonists: Improving metabolic stability**

pp 547–552

Yueqing Hu, Jing Zhu, King H. Chan, Yung H. Wong*

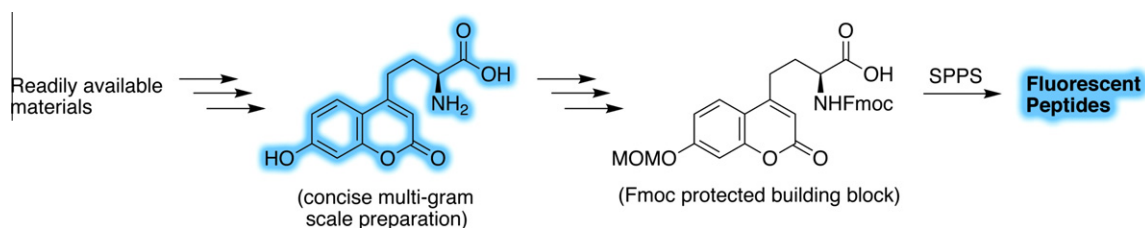


A number of novel compounds were designed, synthesized and evaluated in order to improve metabolic stability of a highly potent and MT₂-selective melatonin agonist. Replacement of the ether linkage with carbon linkers retained the good potency and MT₂ selectivity, and removed the metabolic instability at this site.



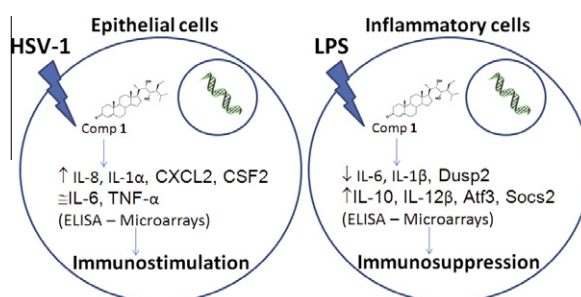
A concise preparation of the fluorescent amino acid L-(7-hydroxycoumarin-4-yl) ethylglycine and extension of its utility in solid phase peptide synthesis pp 553–559

Timo Koopmans, Matthijs van Haren, Linda Quarles van Ufford, Jeffrey M. Beekman, Nathaniel I. Martin*



Immunomodulatory activity of an anti-HSV-1 synthetic stigmastane analog pp 560–568

Flavia M. Michelini, Pilar Zorrilla, Carlos Robello, Laura E. Alché*



*Corresponding author

Supplementary data available via SciVerse ScienceDirect

COVER

P-gp over expression in cancer cells has been considered a possible therapeutic target for circumventing MDR. P-gp-dependent MDR reversers, that should act by being co-administrated with chemotherapeutic drugs are actively sought. The cover image shows, on the left, the mechanism of extrusion of the chemotherapeutic drug (doxorubicin) by the human multidrug resistance P-glycoprotein in the absence of modulator and, on the right, its reversion in the presence of the modulator 5d, the most potent of a series of N,N-bis(cyclohexanol)amine aryl esters. [Orlandi, F., Coronello, M., Bellucci, C., Dei, S., Guandalini, L., Manetti, D., Martelli, C., Romanelli, M. N., Scapecchi, S., Salerno, M., Menif, H., Bello, I., Mini, E., Teodori, E. *Bioorg. Med. Chem.* 456–465.]

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