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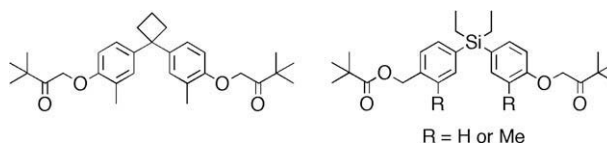
Contents

ARTICLES

Discovery of diphenylmethane analogs as anti-bovine diarrhea viral agents

pp 3157–3161

Shinnosuke Hosoda, Hiroshi Aoyama, Yukinori Goto, Mohammed T. A. Salim, Mika Okamoto, Mariko Hashimoto, Masanori Baba^{*}, Yuichi Hashimoto^{*}

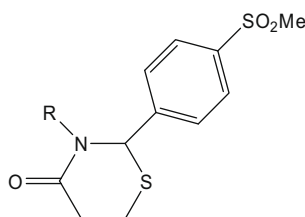


1,1-Diphenylcyclobutane analog and diethyldiphenylsilane analogs have been identified as superior lead compounds with potent anti-bovine viral diarrhea activity.

Design and synthesis of 3-alkyl-2-aryl-1,3-thiazinan-4-one derivatives as selective cyclooxygenase (COX-2) inhibitors

pp 3162–3165

Tannaz Zebardast, Afshin Zarghi^{*}, Bahram Daraie, Mehdi Hedayati, Orkideh G. Dadrass

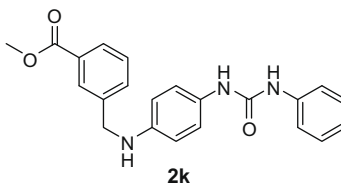


The design, synthesis and evaluation of a series of 3-alkyl-2-aryl-1,3-thiazinan-4-ones, possessing a methylsulfonyl pharmacophore, as potent and selective COX-2 inhibitors are described.

1-[4-(*N*-Benzylamino)phenyl]-3-phenylurea derivatives as a new class of hypoxia-inducible factor-1 α inhibitors

pp 3166–3169

Masaharu Uno, Hyun Seung Ban, Hiroyuki Nakamura^{*}



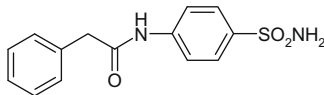
Compound **2k** was found to be a potent inhibitor against HIF-1 α accumulation under hypoxic condition without affecting the mRNA expression level of HIF-1 α .



Carbonic anhydrase inhibitors. Phenacetyl-, pyridylacetyl- and thienylacetyl-substituted aromatic sulfonamides act as potent and selective isoform VII inhibitors

pp 3170–3173

Özlen Güzel, Alessio Innocenti, Andrea Scozzafava, Aydın Salman, Claudiu T. Supuran *

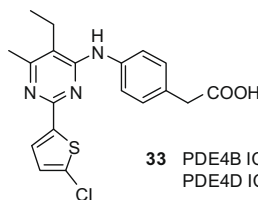

 K_i (hCA I) = 108 nM, K_i (hCA II) = 107 nM K_i (hCA VII) = 4.7 nM

 K_i (hCA I) = 108 nM, K_i (hCA II) = 107 nM K_i (hCA VII) = 4.7 nM.

Discovery of selective PDE4B inhibitors

pp 3174–3176

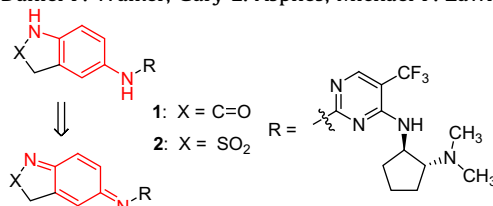
Kenji Naganuma, Akifumi Omura, Naomi Maekawara, Masahiro Saitoh, Naoto Ohkawa, Takashi Kubota, Hiromitsu Nagumo, Toshiyuki Kodama, Masayoshi Takemura, Yuji Ohtsuka, Junji Nakamura, Ryuichi Tsujita, Koh Kawasaki, Hirotsugu Yokoi, Masashi Kawanishi *


33 PDE4B IC_{50} =15nM
PDE4D IC_{50} =1700nM

Differences in CYP3A4 catalyzed bioactivation of 5-aminoxindole and 5-aminobenzosultam scaffolds in proline-rich tyrosine kinase 2 (PYK2) inhibitors: Retrospective analysis by CYP3A4 molecular docking, quantum chemical calculations and glutathione adduct detection using linear ion trap/orbitrap mass spectrometry

pp 3177–3182

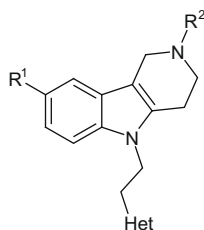
Hao Sun, Raman Sharma, Jonathan Bauman, Daniel P. Walker, Gary E. Aspnes, Michael P. Zawistoski, Amit S. Kalgutkar *


 CYP molecular docking, ab initio measurements and sensitive MS detection techniques were used to explain differences in enzymatic oxidation of the 5-aminoxindole and 5-aminobenzosultam derivatives **1** and **2**, respectively, to reactive metabolites.

Synthesis and biological evaluation of novel γ -carboline analogues of Dimebon as potent 5-HT₆ receptor antagonists

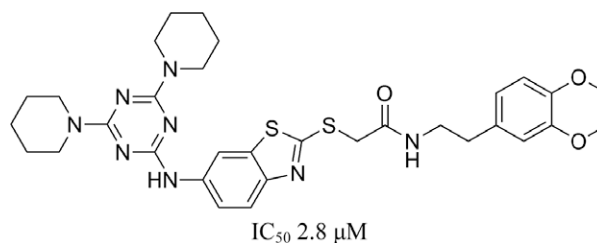
pp 3183–3187

Alexandre V. Ivachtchenko *, Eugene B. Frolov, Oleg D. Mitkin, Volodymyr M. Kysil, Alexander V. Khvat, Ilya M. Okun, Sergey E. Tkachenko


 Synthesis, biological evaluation and structure–activity relationships for a series of novel γ -carboline analogues of Dimebon*.


Novel non-peptide β -secretase inhibitors derived from structure-based virtual screening and bioassay

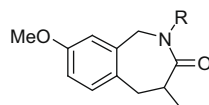
pp 3188–3192

Weijun Xu, Gang Chen, Oi Wah Liew, Zhili Zuo^{*}, Hualiang Jiang, Weiliang Zhu^{*}

Fifteen new compounds with novel chemical skeleton have been successfully discovered as β -secretase inhibitors through molecular docking in combination with bioassay. Molecular docking reveals that the compounds, for example, compound **12** with IC_{50} value of 2.8 μ M, spans the interaction through almost all the sub-sites of BACE-1.

An efficient preparation of N-alkyl-2-benzazepine derivatives and investigation of their biological activity

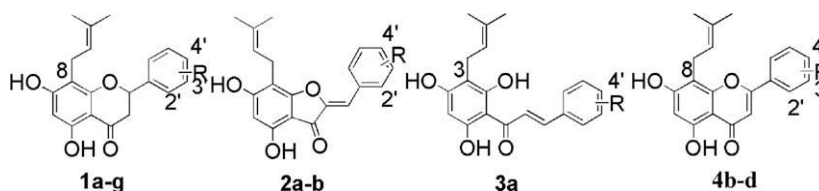
pp 3193–3195

Akio Kamimura^{*}, Masahiro So, Tomohiro Kuratani, Kenji Matsuura, Makoto Inui^{*}

N-alkyl-2-benzazepine derivatives are prepared and their structure and activity relationship are examined.

Synthesis, biological evaluation of prenylflavonoids as vasorelaxant and neuroprotective agents

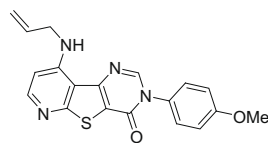
pp 3196–3198

Xiaowu Dong, Lingling Qi, Chaoyi Jiang, Jing Chen, Erqing Wei, Yongzhou Hu^{*}

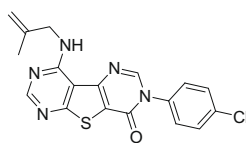
A series of prenylflavonoids possessed potent vasorelaxant activities and neuroprotective activities were developed. The prenyl group at A-ring of prenylflavonoids, as well as hydroxyl groups at B-ring was important for their activities.

**Tricyclic thienopyridine-pyrimidones/thienopyrimidine-pyrimidones as orally efficacious mGluR1 antagonists for neuropathic pain**

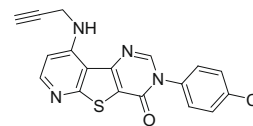
pp 3199–3203

T. K. Sasikumar^{*}, Li Qiang, Duane A. Burnett, William J. Greenlee, Cheng Li, Larry Heimark, Birendra Pramanik, Mariagrazia Grilli, Rosalia Bertorelli, Gianluca Lozza, Angelo Reggiani

11a; h-mGluR1 IC_{50} = 2.0 nM
r-mGluR1 K_i = 1.1 nM
h-mGluR5 IC_{50} = >3000 nM



13a; h-mGluR1 IC_{50} = 7.7 nM
r-mGluR1 K_i = 2.2 nM
h-mGluR5 IC_{50} = >3000 nM



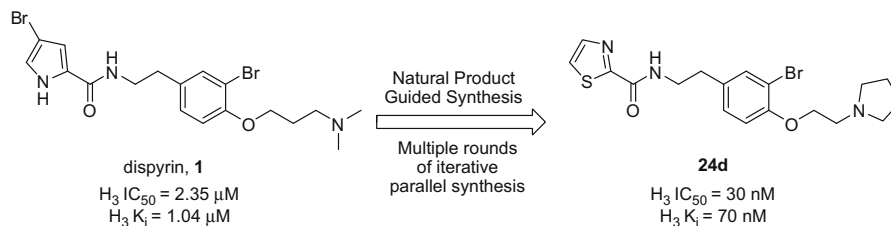
11q; h-mGluR1 IC_{50} = 0.9 nM
r-mGluR1 K_i = 0.6 nM
h-mGluR5 IC_{50} = >3000 nM

A series of highly potent and selective mGluR1 antagonists have been discovered and demonstrated efficacy in animal model for pain.

A novel class of H₃ antagonists derived from the natural product guided synthesis of unnatural analogs of the marine bromopyrrole alkaloid dispyrin

pp 3204–3208

J. Phillip Kennedy, P. Jeffrey Conn, Craig W. Lindsley *

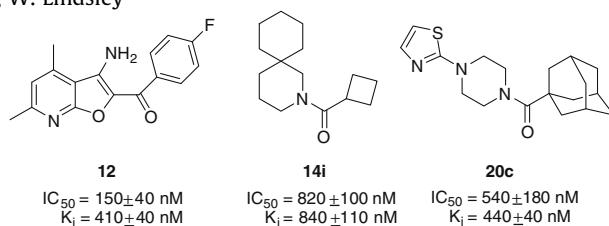


The natural product guided synthesis of analogs of the marine alkaloid dispyrin, and the resulting SAR of H₃ antagonism, is described. Three rounds of iterative parallel synthesis generated **24d**, a potent H₃ antagonist (IC₅₀ = 30 nM, K_i = 70 nM) with a novel chemotype based on the bromotyramine motif of dispyrin.

Discovery and SAR of novel mGluR5 non-competitive antagonists not based on an MPEP chemotype

pp 3209–3213

Alice L. Rodriguez, Richard Williams, Ya Zhou, Stacey R. Lindsley, Uyen Le, Mark D. Grier, C. David Weaver, P. Jeffrey Conn, Craig W. Lindsley

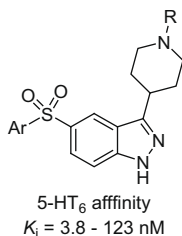


This Letter describes the discovery and SAR of three novel series of mGluR5 non-competitive antagonists/negative allosteric modulators (NAMs) not based on manipulation of an MPEP/MTEP chemotype. This work demonstrates fundamentally new mGluR5 NAM chemotypes with submicromolar potencies, and the first example of a mode of pharmacology 'switch' to provide PAMs with a non-MPEP scaffold.

Identification of a novel series of 3-piperidinyl-5-sulfonylindazoles as potent 5-HT₆ ligands

pp 3214–3216

Kevin G. Liu *, Jennifer R. Lo, Thomas A. Comery, Guo Ming Zhang, Jean Y. Zhang, Dianne M. Kowal, Deborah L. Smith, Li Di, Edward H. Kerns, Lee E. Schechter, Albert J. Robichaud

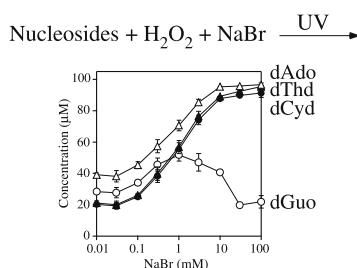


Synthesis and SAR of a novel series of 3-piperidinyl-5-sulfonylindazoles as potent 5-HT₆ ligands are reported.

Effects of bromide upon reaction of nucleosides with hydrogen peroxide induced by ultraviolet light

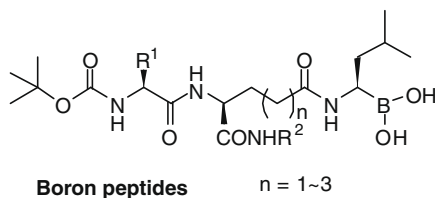
pp 3217–3219

Toshinori Suzuki *, Naofumi Moriwaki, Kazuko Kurokawa, Michiyo Inukai



Synthesis and biological evaluation of boron peptide analogues of Belactosin C as proteasome inhibitors

pp 3220–3224

Hiroyuki Nakamura ^{*}, Mizuyoshi Watanabe, Hyun Seung Ban, Wataru Nabeyama, Akira Asai

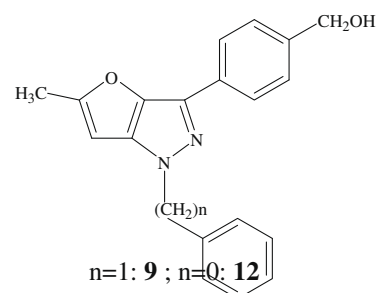
A series of boron peptides were synthesized as inhibitors of the chymotrypsin-like ($\beta 5$) activity of the 20S proteasome based around the structure of Belactosin C.

Neuroprotective effects of furopyrazole derivative of benzylindazole analogs on C2 ceramide-induced apoptosis in cultured cortical neurons

pp 3225–3228

Yi-Chien Lin, Li-Chen Chou, Sheng-Chih Chen ^{*}, Sheng-Chu Kuo, Li-Jiau Huang, Po-Wu Gean ^{*}

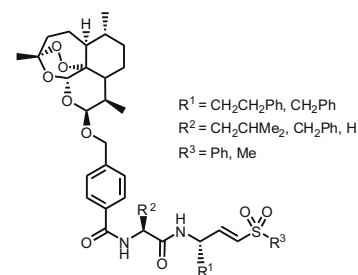
Among the 12 furopyrazole derivative of benzylindazole analogs tested, carbinol derivatives (compounds **9** and **12**) exhibited strong neuroprotective against C2 ceramide-induced apoptosis in cultured cortical neurons.

**Artemisinin-dipeptidyl vinyl sulfone hybrid molecules: Design, synthesis and preliminary SAR for antiplasmodial activity and falcipain-2 inhibition**

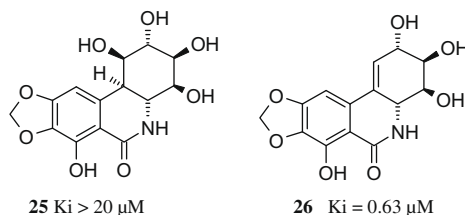
pp 3229–3232

Rita Capela, Rudi Oliveira, Lúcia M. Gonçalves, Ana Domingos, Jiri Gut, Philip J. Rosenthal, Francisca Lopes ^{*}, Rui Moreira

Artemisinin–vinyl sulfone hybrid molecules were active against the *Plasmodium falciparum* W2 strain in the low nanomolar range and those containing the Leu–hPhe core inhibited falcipain-2 in low micromolar range.

**Selective cytochrome P450 3A4 inhibitory activity of Amaryllidaceae alkaloids**

pp 3233–3237

James McNulty ^{*}, Jerald J. Nair, Mohini Singh, Denis J. Crankshaw, Alison C. Holloway, Jaume Bastida

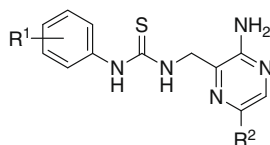
The cytochrome P450 3A4 inhibitory activity of a series of 26 structurally diverse Amaryllidaceae alkaloids and synthetic derivatives is reported. Chemoselective manipulation of the hydroxyl groups in the lycorane series and structure–activity studies provides revealing insight into structural features required for this inhibition.



Novel 1-(2-aminopyrazin-3-yl)methyl-2-thioureas as potent inhibitors of mitogen-activated protein kinase-activated protein kinase 2 (MK-2)

pp 3238–3242

Songnian Lin ^{*}, Matthew Lombardo, Sunita Malkani, Jeffrey J. Hale, Sander G. Mills, Kevin Chapman, James E. Thompson, Wen Xiao Zhang, Ruixiu Wang, Rose M. Cubbon, Edward A. O'Neill, Silvi Luell, Ester Carballo-Jane, Lihu Yang

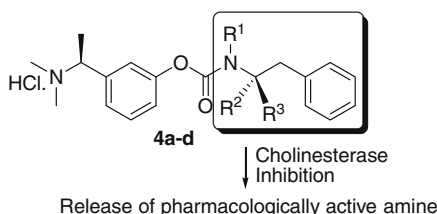


Novel 1-(2-aminopyrazin-3-yl)methyl-2-thioureas are described as inhibitors of MK-2. These compounds demonstrate potent in vitro activity against the enzyme with IC₅₀ values as low as 15 nM, and suppress expression of TNF α in THP-1 cells and in vivo in an acute inflammation model in mice.

Novel carbamate cholinesterase inhibitors that release biologically active amines following enzyme inhibition

pp 3243–3246

Jeroen C. Verheijen ^{*}, Kjesten A. Wiig, Shoucheng Du, Stacie L. Connors, Ashley N. Martin, Jennifer P. Ferreira, Vladimir I. Slepnev, Ulrike Kochendörfer

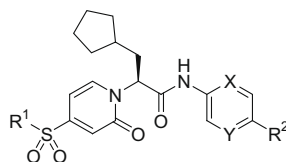


Upon inhibition of cholinesterase by **4a–d**, pharmacologically active amines are released.

Pyridones as glucokinase activators: Identification of a unique metabolic liability of the 4-sulfonyl-2-pyridone heterocycle

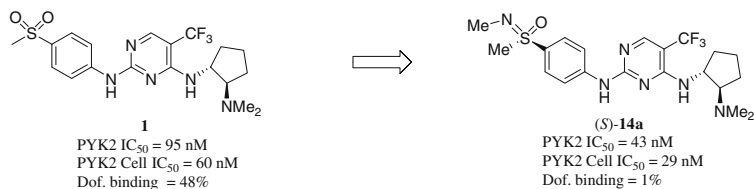
pp 3247–3252

Jeffrey A. Pfefferkorn ^{*}, Jihong Lou, Martha L. Minich, Kevin J. Filipinski, Mingying He, Ru Zhou, Syed Ahmed, John Benbow, Angel-Guzman Perez, Meihua Tu, John Litchfield, Raman Sharma, Karen Metzler, Francis Bourbonais, Cong Huang, David A. Beebe, Peter J. Oates


Sulfoximine-substituted trifluoromethylpyrimidine analogs as inhibitors of proline-rich tyrosine kinase 2 (PYK2) show reduced hERG activity

pp 3253–3258

Daniel P. Walker ^{*}, Michael P. Zawistoski, Molly A. McGlynn, Jian-Cheng Li, Daniel W. Kung, Peter C. Bonnette, Amy Baumann, Leonard Buckbinder, Janet A. Houser, Jason Boer, Anil Mistry, Seungil Han, Li Xing, Angel Guzman-Perez

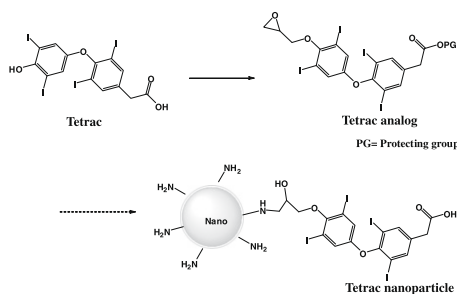


Synthesis and in vitro activity for a series sulfoximine-substituted trifluoromethylpyrimidine analogs as inhibitors of PYK2 are described. Compared to the corresponding sulfone analog, the sulfoximines surprisingly showed significantly lower dofetilide binding activity, which is an early indicator of cardiovascular safety.

Semisynthesis and pharmacological activities of Tetrac analogs: Angiogenesis modulators

pp 3259–3263

Alexandre Bridoux, Huadong Cui, Evgeny Dyskin, Murat Yalcin, Shaker A. Mousa *

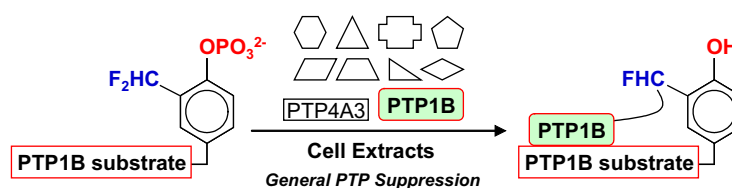


Pharmacological activities of powerful Tetrac analogs were found.

**Synthesis and peptide incorporation of an unnatural amino acid containing activity-based probe for protein tyrosine phosphatases**

pp 3264–3267

Kui Shen *, Lixin Qi, Mohini Ravula, Krzysztof Klimaszewski



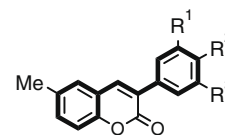
The synthesis, peptide incorporation and potential application of an unnatural amino acid containing activity-based probe for protein tyrosine phosphatases are reported.

A new series of 3-phenylcoumarins as potent and selective MAO-B inhibitors

pp 3268–3270

Maria Joao Matos *, Dolores Viña, Elias Quezada, Carmen Picciau, Giovanna Delogu, Francisco Orallo, Lourdes Santana, Eugenio Uriarte

6-Methyl-3-phenylcoumarins **3–6** were design, synthesized and evaluated as monoamine oxidase A and B (MAO-A and MAO-B) inhibitors. The synthesis of these new compounds (resveratrol-coumarin hybrids) was carried out with good yield by a Perkin reaction, from the 5-methylsalicylaldehyde and the corresponding phenylacetic acid. They show high selectivity to the MAO-B isoenzyme, with IC_{50} values in the nanomolar range. Compound **5** is the most active compound and is several times more potent and selective than the reference compound, *R*-(–)-deprenyl.

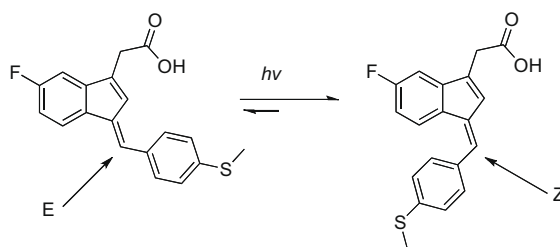
**3-6**

- 3: $R^1 = R^2 = R^3 = H$
 4: $R^1 = R^3 = H$, $R^2 = OMe$
 5: $R^1 = R^3 = OMe$, $R^2 = H$
 6: $R^1 = R^2 = R^3 = OMe$

The influence of double bond geometry in the inhibition of cyclooxygenases by sulindac derivatives

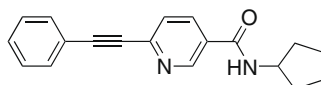
pp 3271–3274

Matthew J. Walters, Anna L. Blobaum, Philip J. Kingsley, Andrew S. Felts, Gary A. Sulikowski, Lawrence J. Marnett *

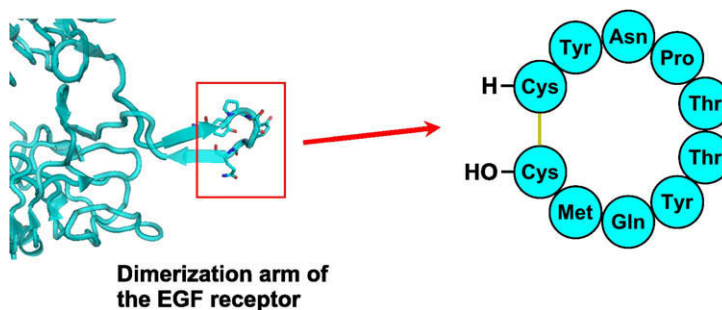
The isomerization of (*E*)-2'-des-methyl sulindac sulfide to (*Z*)-2'-des-methyl sulindac sulfide results in differential COX inhibition.

Discovery of a potent and brain penetrant mGluR5 positive allosteric modulator

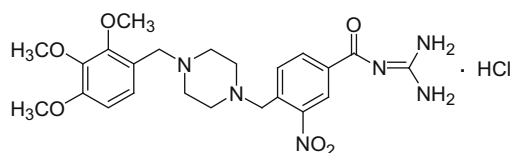
pp 3275–3278

Andreas Ritzén^{*}, Rikke Sindet, Morten Hentzer, Nannette Svendsen, Robbin M. Brodbeck, Christoffer BundgaardEC₅₀ = 30 nM**Inhibitory effect of a dimerization-arm-mimetic peptide on EGF receptor activation**

pp 3279–3282

Takaaki Mizuguchi, Hiromasa Uchimura, Taeko Kakizawa, Tooru Kimura, Shigeyuki Yokoyama, Yoshiaki Kiso, Kazuki Saito^{*}**Design, synthesis and biological evaluation of novel substituted benzoylguanidine derivatives as potent Na⁺/H⁺ exchanger inhibitors**

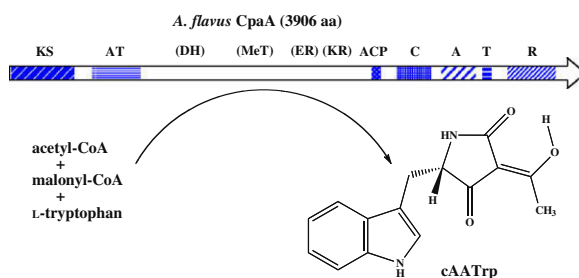
pp 3283–3287

Wen-Ting Xu, Ning Jin, Jing Xu, Yun-Gen Xu^{*}, Qiu-Juan Wang^{*}, Qi-Dong You**5f** (IC₅₀=3.60 nM to NHE1)

A novel series of substituted benzoylguanidine derivatives were designed and synthesized as potent NHE1 inhibitors and cardioprotective agents. Among these compounds, **5f** was found to be the most potent NHE1 inhibitor, with a IC₅₀ of 3.60 nM, being 18 times more potent than cariporide. Compound **5f** showed superior cardioprotective efficacy in vivo and in vitro.

Functional expression of the *Aspergillus flavus* PKS–NRPS hybrid CpaA involved in the biosynthesis of cyclopiazonic acid

pp 3288–3292

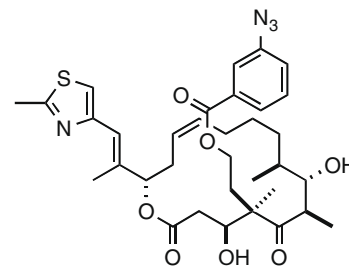
Yasuyo Seshime, Praveen Rao Juvvadi, Masafumi Tokuoka, Yasuji Koyama, Katsuhiko Kitamoto, Yutaka Ebizuka, Isao Fujii^{*}

Total synthesis and evaluation of 22-(3-azidobenzoyloxy)methyl epothilone C for photoaffinity labeling of β -tubulin

pp 3293–3296

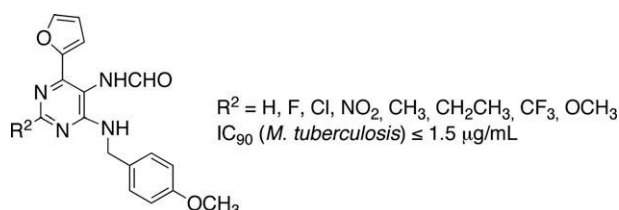
Oliver E. Hutt, Jun Inagaki, Bollu S. Reddy, Sajiv K. Nair, Emily A. Reiff, John T. Henri, Jack F. Greiner, David G. VanderVelde, Ting-Lan Chiu, Elizabeth A. Amin, Richard H. Himes, Gunda I. Georg *

The total synthesis of 22-(3-azidobenzoyloxy)methyl epothilone C is described as a potential photoaffinity probe to elucidate the β -tubulin binding site. The C22-functionalized analog exhibited good activity in microtubule assembly assays, but cytotoxicity was significantly reduced. Molecular modeling simulations indicated that excessive steric bulk in the C22 position is accommodated by the large hydrophobic pocket of the binding site. Photoaffinity labeling studies were inconclusive suggesting non-specific labeling.

**Synthesis and antimycobacterial activity of 5-formylaminopyrimidines; analogs of antibacterial purines**

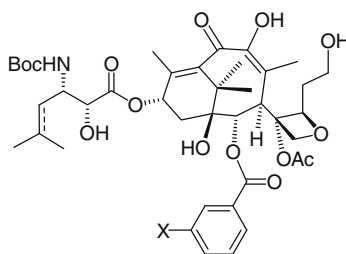
pp 3297–3299

Morten Brændvang, Colin Charnock, Lise-Lotte Gundersen *

**Novel C-seco-taxoids possessing high potency against paclitaxel-resistant cancer cell lines overexpressing class III β -tubulin**

pp 3300–3304

Antonella Pepe, Liang Sun, Ilaria Zanardi, Xinyuan Wu, Cristiano Ferlini, Gabriele Fontana, Ezio Bombardelli, Iwao Ojima *

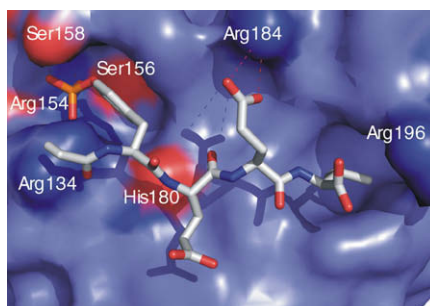


The syntheses and biological evaluations of a series of novel C-seco-taxoids against paclitaxel-resistant human ovarian cancer cell lines overexpressing class III β -tubulin and other drug-resistant phenotypes are reported.

**Natural product inhibitors of protein–protein interactions mediated by Src-family SH2 domains**

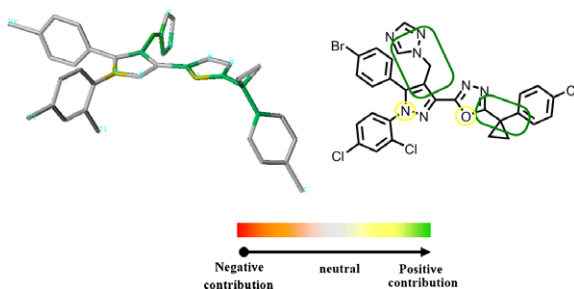
pp 3305–3309

Bianca Sperl, Markus H. J. Seifert, Thorsten Berg *



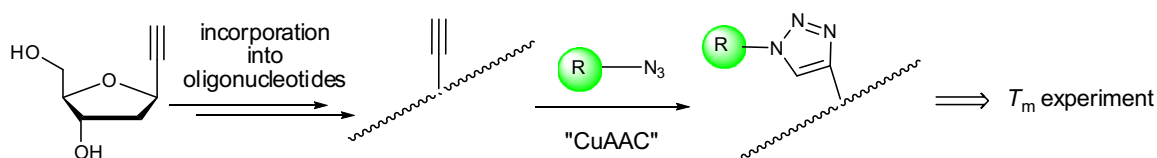
Studies of cannabinoid-1 receptor antagonists for the treatment of obesity: Hologram QSAR model for biarylpyrazolyl oxadiazole ligands

pp 3310–3315

Mao Ye ^{*}, Marcia I. Dawson

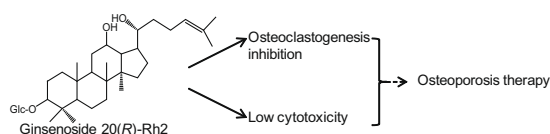
Synthesis and base-pairing properties of C-nucleotides having 1-substituted 1*H*-1,2,3-triazoles

pp 3316–3319

Motoi Nakahara, Takeshi Kuboyama, Akihiro Izawa, Yoshiyuki Hari ^{*}, Takeshi Imanishi, Satoshi Obika ^{*}

20(*R*)-Ginsenoside Rh2, not 20(*S*), is a selective osteoclastogenesis inhibitor without any cytotoxicity

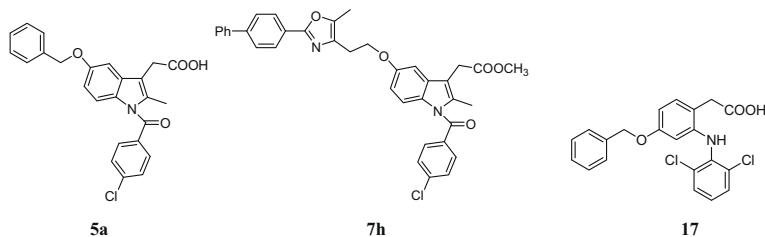
pp 3320–3323

Jie Liu, Jun Shiono, Kuniyoshi Shimizu, Hongshan Yu, Chunzhi Zhang, Fengxie Jin, Ryuichiro Kondo ^{*}

Ginsenoside 20(*R*)-Rh2 and ginsenoside 20(*S*)-Rh2 showed a significant inhibitory effect on osteoclast differentiation. Only ginsenoside 20(*R*)-Rh2 showed no cytotoxicity to osteoclast proliferation. 20(*R*)-Hydroxylation of the aliphatic side chain of Rh2 could be the main target in producing anti-osteoclast agent.

Design, synthesis and insulin-sensitizing activity of indomethacin and diclofenac derivatives

pp 3324–3327

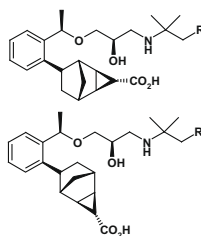
Jiquan Zhang, Jianta Wang, Haoshu Wu, Yaoyao He, Gaofeng Zhu, Xing Cui, Lei Tang ^{*}

A series of aromatic acetic acid compounds were designed and synthesized on the basis of Non-steroidal anti-inflammatory drugs indomethacin and diclofenac. Compounds **5a**, **7a**, **5h**, **7h** and **17** could strongly promote insulin-regulated differentiation of 3T3-L1 cells in vitro. They acted as full or partial PPAR γ agonist, or improved insulin resistance through non-PPAR γ pathway.

The discovery of novel calcium sensing receptor negative allosteric modulators

pp 3328–3332

Gayatri Balan, Jonathan Bauman, Samit Bhattacharya, Mayda Castrodad, David R. Healy, Michael Herr, Paul Humphries, Sandra Jennings, Amit S. Kalgutkar, Brendon Kapinos, Vishal Khot, Kimberly Lazarra, Mei Li, Yan Li, Constantin Neagu, Robert Oliver, David W. Piotrowski *, David Price *, Hong Qi, Holly A. Simmons, James Southers, Liuqing Wei, Yan Zhang, Vishwas M. Paralkar



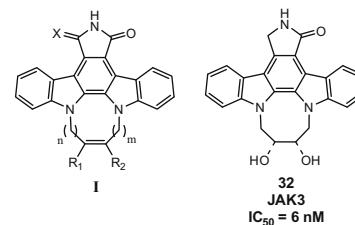
The discovery of a structurally novel, orally bioavailable series of calcium sensing receptor negative allosteric modulators is described.

Synthetic staurosporines via a ring closing metathesis strategy as potent JAK3 inhibitors and modulators of allergic responses

pp 3333–3338

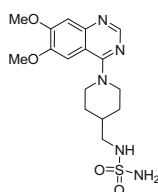
Lawrence J. Wilson *, Ravi Malaviya, Cangming Yang, Rochelle Argentieri, Bingbing Wang, Xin Chen, William V. Murray, Druie Cavender

The synthesis and biological evaluation of JAK3 based staurosporine compounds is described. The compounds are constructed using a ring closing metathesis strategy to assemble the sugar mimetic portion (**1**). These analogs show potent JAK3 inhibitory activity against isolated enzyme and in IL-2 stimulated T-cells. One analog (**32**) showed unique biological effects during in vitro and in vivo tests including inhibition of STAT5 phosphorylation, blockade of mast cell degranulation, and reduction of JAK3 effects in mouse models of allergic disease.

**Quinazolin-4-piperidin-4-methyl sulfamide PC-1 inhibitors: Alleviating hERG interactions through structure based design**

pp 3339–3343

Snahel D. Patel, Wendy M. Habeski, Alan C. Cheng, Elisa de la Cruz, Christine Loh, Natasha M. Kablaoui *

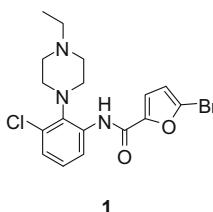


We describe a series of novel quinazolin-4-piperidin-4-methyl sulfamide PC-1 inhibitors and the utility of a hERG homology model to optimize binding selectivity for PC-1 over the hERG potassium channel.

Synthesis and SAR of piperazine amides as novel c-jun N-terminal kinase (JNK) inhibitors

pp 3344–3347

Youseung Shin, Weiming Chen, Jeff Habel, Derek Duckett, Yuan Yuan Ling, Marcel Koenig, Yuanjun He, Tomas Vojtkovsky, Philip LoGrasso *, Theodore M. Kamenecka *



A novel series of c-jun N-terminal kinase (JNK) inhibitors were designed and developed from high-throughput-screening lead **1**.

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Corrigenda

pp 3348–3349

Instructions to contributors

p I

*Corresponding author

 Supplementary data available via ScienceDirect

COVER

Overlay of high resolution co-crystal structures of **R-22**-ADP (cyan) and **1**-ADP (green) bound in an allosteric binding site of the mitotic kinesin KSP. [Roecker, A. J.; Coleman, P. J.; Mercer, S. P.; Schreier, J. D.; Buser, C. A.; Walsh, E. S.; Hamilton, K.; Lobell, R. B.; Tao, W.; Diehl, R. E.; South, V. J.; Davide, J. P.; Kohl, N. E.; Yan, Y.; Kuo, L. C.; Li, C.; Fernandez-Metzler, C.; Mahan, E. A.; Prueksaritanont, T.; Hartman, G. D. *Bioorg. Med. Chem. Lett.* **2007**, 17, 5677.]

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