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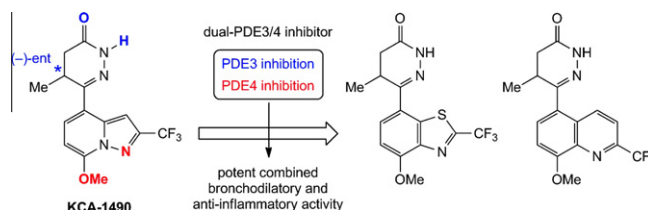
Bioorganic & Medicinal Chemistry Volume 20, Issue 5, 2012

Contents

ARTICLES

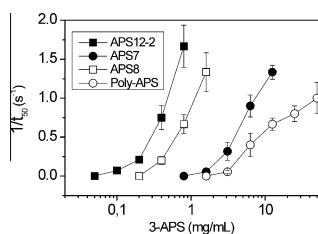
Phosphodiesterase inhibitors. Part 3: Design, synthesis and structure–activity relationships of dual PDE3/4-inhibitory fused bicyclic heteroaromatic-dihydropyridazinones with anti-inflammatory and bronchodilatory activity pp 1644–1658

Koji Ochiai, Satoshi Takita, Tomohiko Eiraku, Akihiko Kojima, Kazuhiko Iwase, Tetsuya Kishi, Kazunori Fukuchi, Tokutaro Yasue, David R. Adams, Robert W. Allcock, Zhong Jiang, Yasushi Kohno*



Binding and permeabilization of lipid bilayers by natural and synthetic 3-alkylpyridinium polymers pp 1659–1664

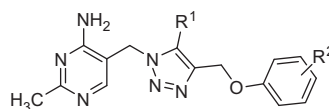
Marjana Grandič, Ana Zovko, Robert Frangež, Tom Turk, Kristina Sepčič*



Hemolytic activity on rat erythrocytes induced by different concentrations of 3-APS at 25°C.
1/t₅₀ = reciprocal half-time of hemolysis, i.e. time necessary to induce the lysis of 50% of the erythrocytes.

Design, synthesis and biological evaluation of novel 2-methylpyrimidine-4-ylamine derivatives as inhibitors of *Escherichia coli* pyruvate dehydrogenase complex E1 pp 1665–1670

Junbo He, Lingling Feng, Jing Li, Ruijuan Tao, Fang Wang, Xun Liao, Qiushuang Sun, Qingwu Long, Yanliang Ren, Jian Wan*, Hongwu He*

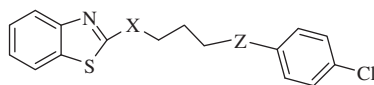


A series of novel 2-methylpyrimidine-4-ylamine derivatives were synthesized and evaluated for their inhibitory activity in vitro against PDHc E1 and fungicidal activity.

Structure–activity relationship studies of SYA 013, a homopiperazine analog of haloperidol

pp 1671–1678

Kwakye Peprah, Xue Y. Zhu, Suresh V.K. Eyunni, Jagan R. Etukala, Vincent Setola, Bryan L. Roth, Seth Y. Ablordeppey*



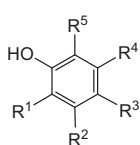
X = CO;

Z = Homopiperazine or tropanol

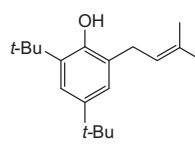
Redox potentials, laccase oxidation, and antilarval activities of substituted phenols

pp 1679–1689

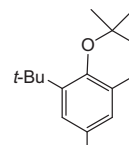
Keshar Prasain, Thi D. T. Nguyen, Maureen J. Gorman, Lydia M. Barrigan, Zeyu Peng, Michael R. Kanost, Lateef U. Syed, Jun Li, Kun Yan Zhu, Duy H. Hua*



- 1 : R¹ = Br; R² = Cl; R³ = OH; R⁴ = OMe; R⁵ = H
- 2 : R¹ = Cl; R³ = CHO; R⁵ = OMe; R² = R⁴ = H
- 3 : R¹ = OH; R² = Cl; R⁴ = CHO; R³ = R⁵ = H
- 4 : R¹ = OH; R² = Cl; R³ = Br; R⁴ = OH; R⁵ = H
- 5 : R¹ = OH; R² = Cl; R³ = Br; R⁴ = OMe; R⁵ = H
- 6 : R¹ = OMe; R² = Cl; R³ = Br; R⁴ = OH; R⁵ = H
- 7 : R¹ = NH₂; R³ = CH₃; R² = R⁴ = R⁵ = H
- 8 : R¹ = NH₂; R³ = CH₂OH; R² = R⁴ = R⁵ = H



15



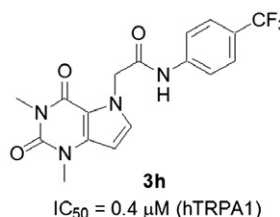
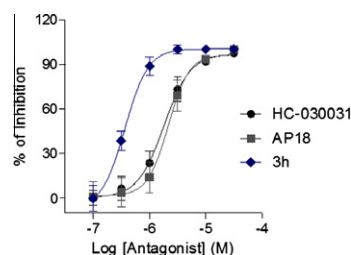
33

Synthesis, redox potential, oxidation by laccase, and effects on mosquito larval growth of halogenated hydroxybenzenes and substituted di-*tert*-butylphenols were studied. Substituted di-*tert*-butylphenols were found to impact mosquito larval growth and in particular, 2,4-di-*tert*-butyl-6-(3-methyl-2-butenyl)phenol (**15**) caused greater than 98% mortality of *Anopheles gambiae* larvae in a concentration of 180 nM.

**7-Substituted-pyrrolo[3,2-*d*]pyrimidine-2,4-dione derivatives as antagonists of the transient receptor potential ankyrin 1 (TRPA1) channel: A promising approach for treating pain and inflammation**

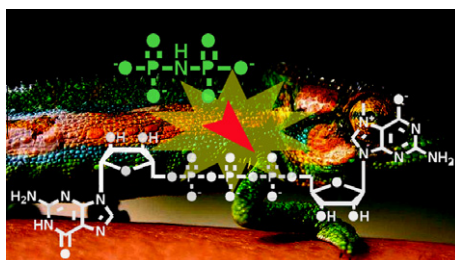
pp 1690–1698

Pier Giovanni Baraldi*, Romeo Romagnoli, Giulia Saponaro, Mojgan Aghazadeh Tabrizi, Stefania Baraldi, Pamela Pedretti, Camilla Fusi, Romina Nassini, Serena Materazzi, Pierangelo Geppetti, Delia Preti*

IC₅₀ = 0.4 μM (hTRPA1)**Synthesis and properties of mRNA cap analogs containing imidodiphosphate moiety—fairly mimicking natural cap structure, yet resistant to enzymatic hydrolysis**

pp 1699–1710

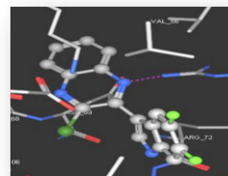
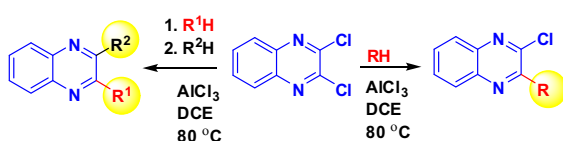
Anna M. Rydzik, Marta Kulis, Maciej Lukaszewicz, Joanna Kowalska, Joanna Zuberek, Zbigniew M. Darzynkiewicz, Edward Darzynkiewicz, Jacek Jemielity*



AlCl₃ induced (hetero)arylation of 2,3-dichloroquinoxaline: A one-pot synthesis of mono/disubstituted quinoxalines as potential antitubercular agents

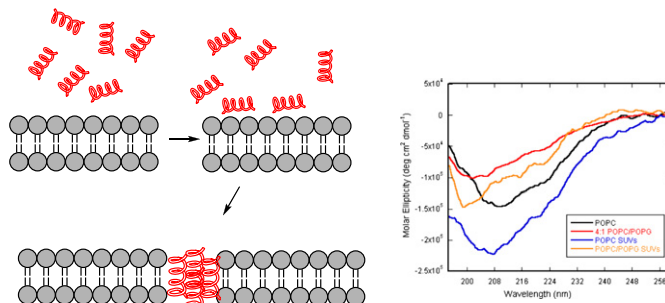
pp 1711–1722

K. Shiva Kumar, D. Rambabu, Sandhya Sandra, Ravikumar Kapavarapu, G. Rama Krishna, M. V. Basaveswara Rao, Kiranam Chatti, C. Malla Reddy, Parimal Misra, Manojit Pal*

Novel quinoxalines synthesized via AlCl₃-mediated C–C bond forming reaction have been identified as inhibitors of chorismate mutase.R = 5,6-difluoro-1*H*-indol-3-yl
IC₅₀ ~ 19.7 μM**The effect of the length and flexibility of the side chain of basic amino acids on the binding of antimicrobial peptides to zwitterionic and anionic membrane model systems**

pp 1723–1739

Amanda L. Russell, Brittany C. Williams, Anne Spuches, David Klapper, Antoine H. Srouji, Rickey P. Hicks*

**Selective and slow-binding inhibition of shikonin derivatives isolated from *Lithospermum erythrorhizon* on glycosyl hydrolase 33 and 34 sialidases**

pp 1740–1748

Ji Young Kim, Hyung Jae Jeong, Ji-Young Park, Young Min Kim, Su-Jin Park, Jung Keun Cho, Ki Hun Park, Young Bae Ryu*, Woo Song Lee*

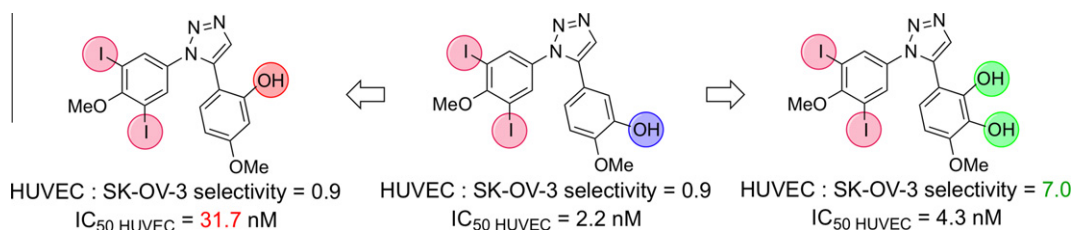


- In GH33 sialidase
 - : IC₅₀s ≤ 10 μM
 - : Slow-binding inhibition
 - : Competitive inhibition
- In GH34 sialidase
 - : IC₅₀s ≥ 40 μM
 - : Noncompetitive inhibition

**Increased endothelial cell selectivity of triazole-bridged dihalogenated A-ring analogues of combretastatin A-1**

pp 1749–1759

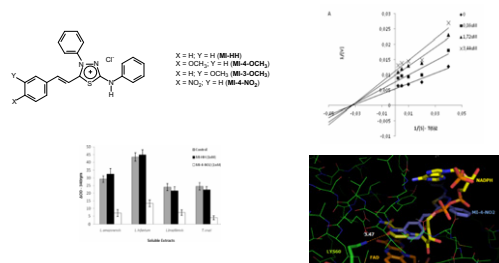
Thomas M. Beale, Peter J. Bond, James D. Brenton, D. Stephen Charnock-Jones, Steven V. Ley, Rebecca M. Myers*



Investigation of trypanothione reductase inhibitory activity by 1,3,4-thiadiazolium-2-aminide derivatives and molecular docking studies

pp 1760–1766

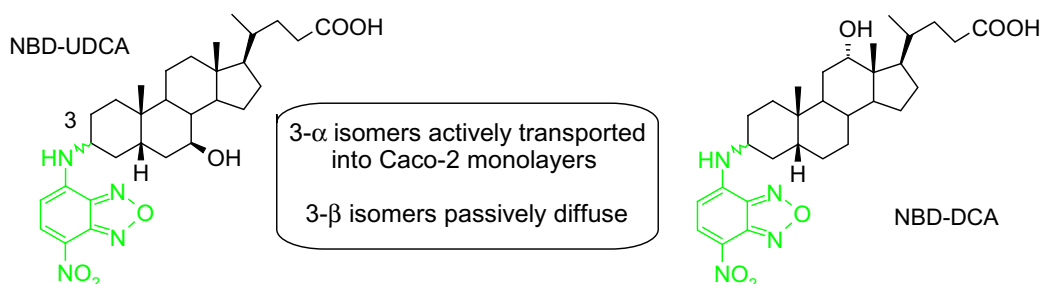
Raquel F. Rodrigues*, Denise Castro-Pinto, Aurea Echevarria, Camilla M. dos Reis, Catarina N. Del Cistia, Carlos Mauricio R. Sant'Anna, Filipa Teixeira, Helena Castro, Marilene Canto-Cavalheiro, Leonor L. Leon, Ana Tomás



New fluorescent bile acids: Synthesis, chemical characterization, and disastereoselective uptake by Caco-2 cells of 3-deoxy 3-NBD-amino deoxycholic and ursodeoxycholic acid

pp 1767–1778

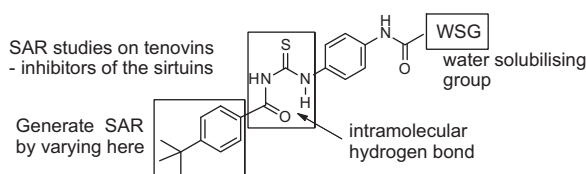
Ferenc Májer, Johanna J. Salomon, Ruchika Sharma, Simona V. Etzbach, Mohd Nadzri Mohd Najib, Ray Keaveny, Aileen Long, Jun Wang, Carsten Ehrhardt, John F. Gilmer*



Synthesis and biological characterisation of sirtuin inhibitors based on the tenovins

pp 1779–1793

Anna R. McCarthy, Lisa Pirrie, Jonathan J. Hollick, Sebastien Ronseaux, Johanna Campbell, Maureen Higgins, Oliver D. Staples, Fanny Tran, Alexandra M. Z. Slawin, Sonia Lain*, Nicholas J. Westwood*



Synthetic peptides derived from the sequence of a lasso peptide microcin J25 show antibacterial activity

pp 1794–1800

Rania Soudy, Liru Wang, Kamaljit Kaur*

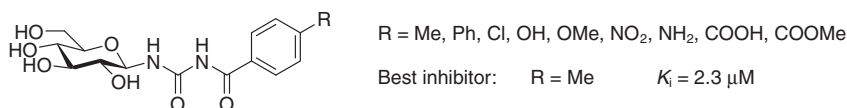
Peptide	MIC (μM) against <i>S. Newport</i>
GGAGHVPEYFVGIGTPISFYG 1 8	MccJ25 0.5
GGACHVPEYFVGIGTPISFC 1 8	1 25
CGAGFHVPCYFVGRGTPISFYG 1 9	6 30



N-(4-Substituted-benzoyl)-N'-(β-D-glucopyranosyl)ureas as inhibitors of glycogen phosphorylase: Synthesis and evaluation by kinetic, crystallographic, and molecular modelling methods

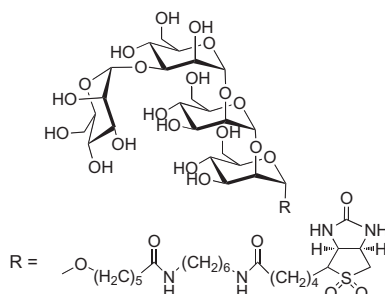
pp 1801–1816

Veronika Nagy, Nóra Felföldi, Bálint Kónya, Jean-Pierre Praly, Tibor Docsa, Pál Gergely, Evangelia D. Chrysina*, Costas Tiraidis, Magda N. Kosmopoulou, Kyra-Melinda Alexacou, Maria Konstantakaki, Demetres D. Leonidas, Spyros E. Zographos, Nikos G. Oikonomakos, Stanislav Kozmon, Igor Tvaroška, László Somsák*

**Biotin sulfone tagged oligomannosides as immunogens for eliciting antibodies against specific mannan epitopes**

pp 1817–1831

Guillaume Despras, Raymond Robert, Boualem Sendid, Emeline Machez, Daniel Poulain, Jean-Maurice Mallet*



*Corresponding author

Supplementary data available via SciVerse ScienceDirect

COVER

mRNA cap analogs containing imidodiphosphate moiety—fairly mimicking natural cap structure, yet resistant to enzymatic hydrolysis. [Rydzik, A.M.; Kulis, M.; Lukaszewicz, M.; Kowalska, J.; Zuberek, J.; Darzynkiewicz, Z.M.; Darzynkiewicz, E.; Jemielity, J. *Bioorg. Med. Chem.* **2012**, 20, 1699–1710.] Chameleon image © Copyright Charles J. Sharp.

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