

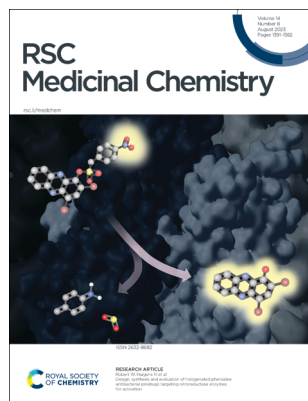
RSC Medicinal Chemistry

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ISSN 2632-8682 CODEN RMCSCX 14(8) 1391-1582 (2023)



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See Robert W. Huigens III et al., pp. 1472–1481.
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EDITORIAL

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Introduction to the themed collection on antimicrobial resistance

Jayanta Haldar, Sylvie Garneau-Tsodikova* and Micha Fridman

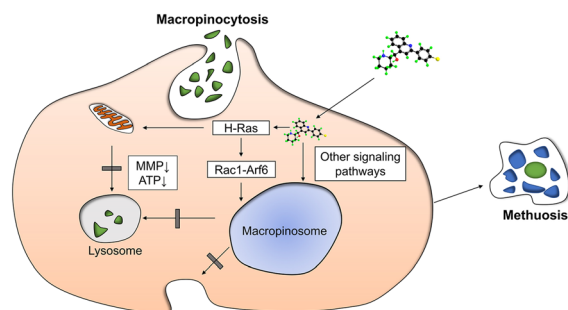


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Progress in the discovery and development of small molecule methuosis inducers

Tao Ye, Peipei Shan* and Hua Zhang*



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RSC Medicinal Chemistry (electronic: ISSN 2632-8682) is published 12 times a year by the Royal Society of Chemistry, Thomas Graham House, Science Park, Milton Road, Cambridge, UK CB4 0WF.

All orders, with cheques made payable to the Royal Society of Chemistry, should be sent to the Royal Society of Chemistry Order Department, Royal Society of Chemistry, Thomas Graham House, Science Park, Milton Road, Cambridge, CB4 0WF, UK
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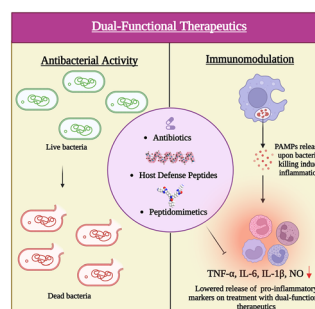


REVIEWS

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Dual functional therapeutics: mitigating bacterial infection and associated inflammation

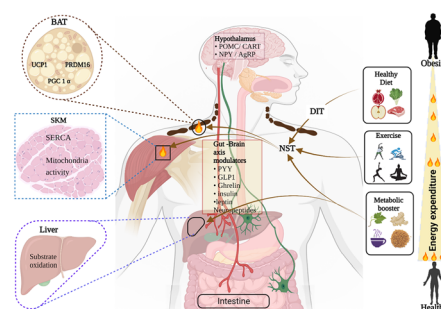
Yash Acharya, Kashish Kumar Taneja and Jayanta Haldar*



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Recent advancements in pharmacological strategies to modulate energy balance for combating obesity

Benudhara Pati, Satyabrata Sendh, Bijayashree Sahu, Sunil Pani, Nivedita Jena and Naresh Chandra Bal*



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Antibacterial activities of anthraquinones: structure-activity relationships and action mechanisms

Tang Qun, Tiantian Zhou, Jiongkai Hao, Chunmei Wang, Keyu Zhang, Jing Xu, Xiaoyang Wang* and Wen Zhou*

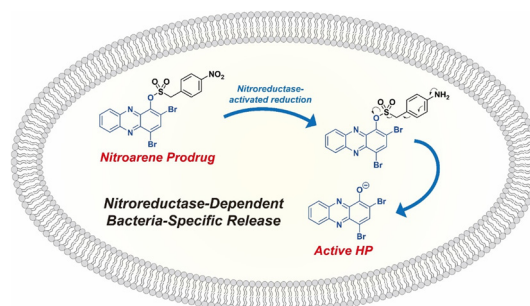


RESEARCH ARTICLES

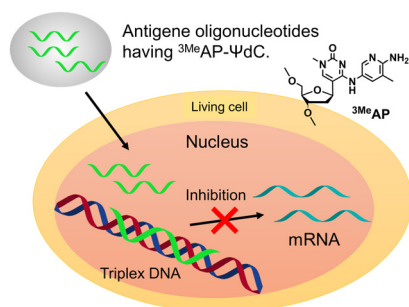
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Design, synthesis and evaluation of halogenated phenazine antibacterial prodrugs targeting nitroreductase enzymes for activation

Ke Liu, Tao Xiao, Hongfen Yang, Manyun Chen, Qiwen Gao, Beau R. Brummel, Yousong Ding and Robert W. Huigens III*



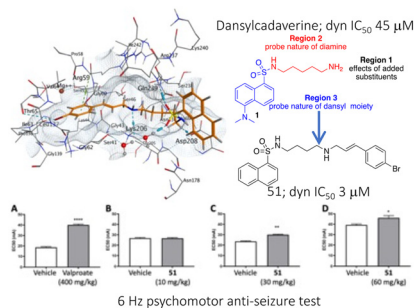
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Inhibition of transcription and antiproliferative effects in a cancer cell line using antigen oligonucleotides containing artificial nucleoside analogues

Lei Wang, Ryotaro Notomi, Shigeki Sasaki and Yosuke Taniguchi*

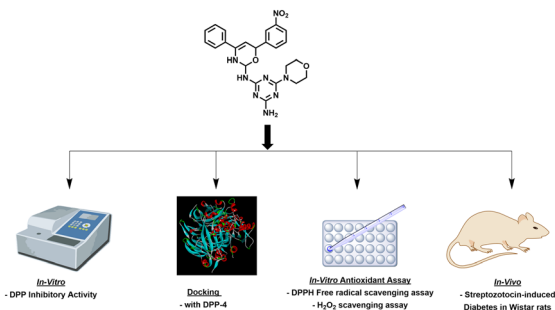
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The sulfonadyns: a class of aryl sulfonamides inhibiting dynamin I GTPase and clathrin mediated endocytosis are anti-seizure in animal models

Luke R. Odell, Nigel C. Jones, Ngoc Chau, Mark J. Robertson, Joseph I. Ambrus, Fiona M. Deane, Kelly A. Young, Ainslie Whiting, Jing Xue, Kate Prichard, James A. Daniel, Nick N. Gorgani, Terence J. O'Brien, Phillip J. Robinson* and Adam McCluskey*

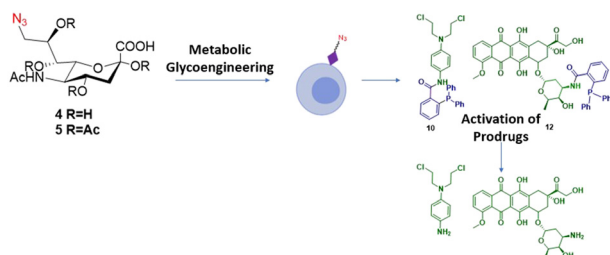
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Discovery of imeglimin-inspired novel 1,3,5-triazine derivatives as antidiabetic agents in streptozotocin-induced diabetes in Wistar rats *via* inhibition of DPP-4

Akanksha Gupta, Hans Raj Bhat and Udaya Pratap Singh*

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Bioorthogonal activation of prodrugs, for the potential treatment of breast cancer, using the Staudinger reaction

Madonna M. A. Mitry, Samuel Y. Boateng, Francesca Greco* and Helen M. I. Osborn*

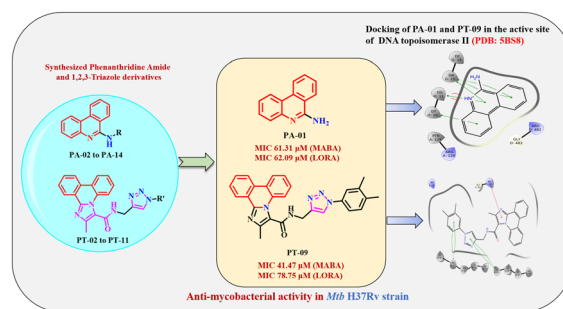


RESEARCH ARTICLES

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Design, synthesis and biological evaluation of phenanthridine amide and 1,2,3-triazole analogues against *Mycobacterium tuberculosis*

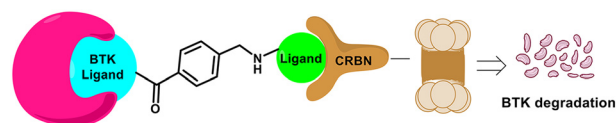
Adinarayana Nandikolla, Yogesh Mahadu Khetmalis, Boddupalli Venkata Siva Kumar, Ala Chandu, Banoth Karan Kumar, Gauri Shetye, Rui Ma, Sankaranarayanan Murugesan, Scott G. Franzblau and Kondapalli Venkata Gowri Chandra Sekhar*



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Design, synthesis, and evaluation of BTK-targeting PROTACs with optimized bioavailability *in vitro* and *in vivo*

Yonghui Sun, Zimo Yang, Zhimin Zhang, Zhen Li, Liubin Guo, Hao Pan, Xin Luo, Dongzhou Liu* and Yu Rao*



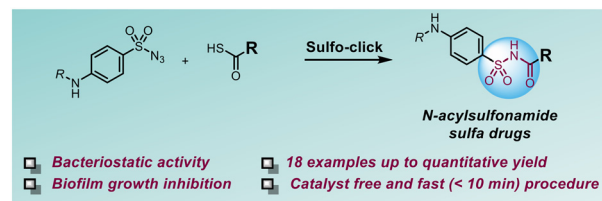
Novel BTK degrader (15-271) with better bioavailability (F%)

- Optimized Structure with Fewer Rotatable Bonds
- Improved Solubility and Simple Synthetic Route
- Better Microsome Stability and Bioavailability *in vivo*

1567

N-Acylsulfonamide: a valuable moiety to design new sulfa drug analogues

Romain Amador, Ali Tahrioui, Magalie Barreau, Olivier Lesouhaitier, Michael Smietana* and Guillaume Clavé*

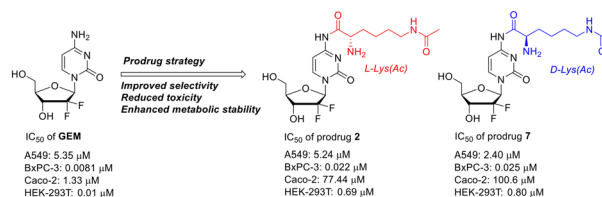


- Bacteriostatic activity
- Biofilm growth inhibition
- 18 examples up to quantitative yield
- Catalyst free and fast (< 10 min) procedure

1572

Synthesis and anticancer evaluation of acetylated-lysine conjugated gemcitabine prodrugs

Mengmeng Wang, Kunyu Qu, Peipei Zhao, Xin Yin, Yiwei Meng, Piet Herdewijn, Chao Liu,* Lixin Zhang* and Xuekui Xia*



IC₅₀ of GEM
A549: 5.35 μM
BxPC-3: 0.0081 μM
Caco-2: 1.33 μM
HEK-293T: 0.01 μM

IC₅₀ of prodrug 2
A549: 5.24 μM
BxPC-3: 0.022 μM
Caco-2: 77.44 μM
HEK-293T: 0.69 μM

IC₅₀ of prodrug 7
A549: 2.40 μM
BxPC-3: 0.025 μM
Caco-2: 100.6 μM
HEK-293T: 0.80 μM

