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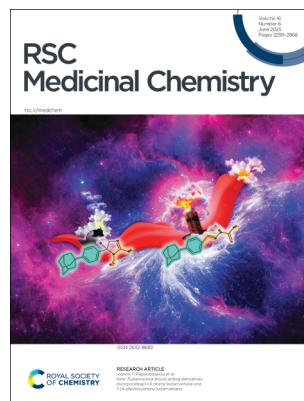
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IN THIS ISSUE

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EDITORIAL

2311

Introduction to the themed collection on ‘Induced-Proximity Pharmacology’

Ingo V. Hartung, Lindsey I. James and Lyn H. Jones*

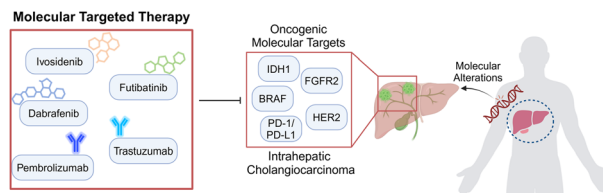


REVIEWS

2314

Recent progress in emerging molecular targeted therapies for intrahepatic cholangiocarcinoma

Younghoon Kim, Jaewon Song, Namkyoung Kim and Taebo Sim*



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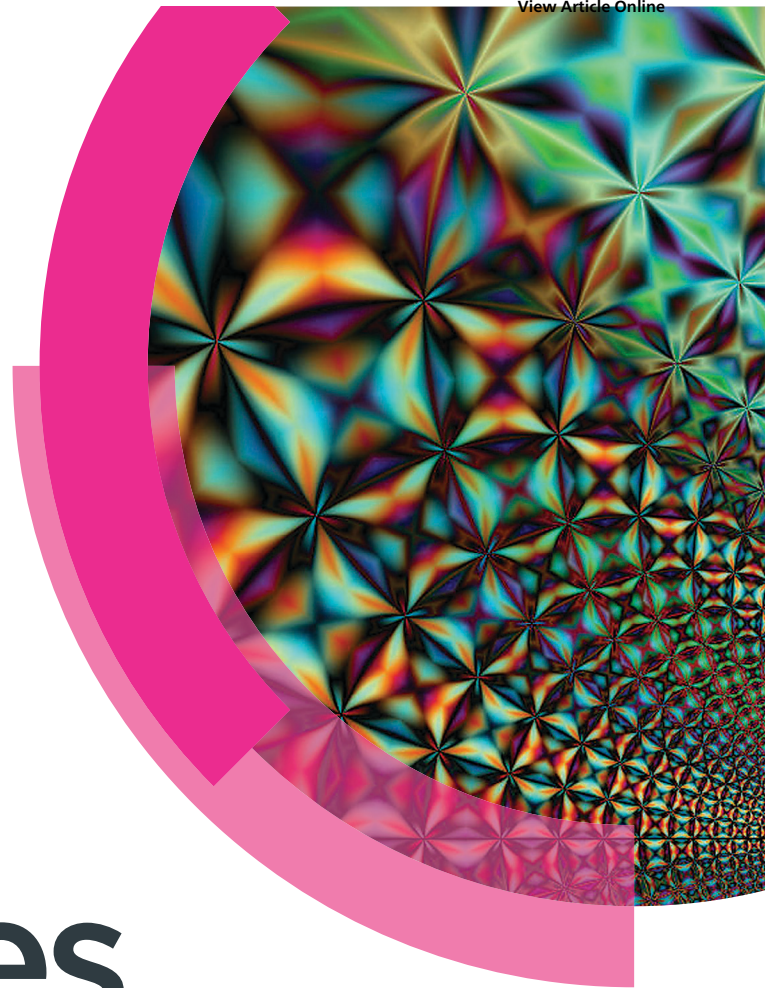


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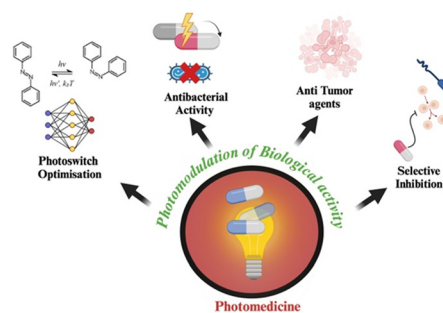


REVIEWS

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Conceptual expansion of photomedicine for spatiotemporal treatment methods

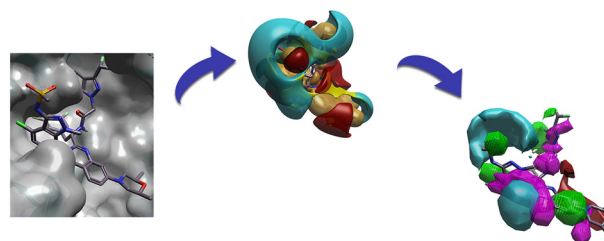
P. K. Hashim,* Ashwin T. Shaji, Ammathnadu S. Amrutha and Shifa Ahmad



2373

Understanding the HIV-CA protein and the ligands that bind at the N-terminal domain (NTD) - C-terminal domain (CTD) interface

Stuart Lang*

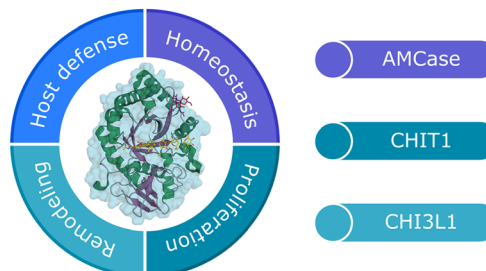


2388

Human chitinases and chitinase-like proteins as emerging drug targets – a medicinal chemistry perspective

Önder Kurç, Nick Rähse, Holger Gohlke* and Jonathan Cramer*

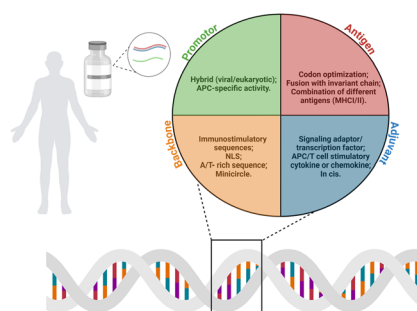
Human chitinases and chitinase-like proteins



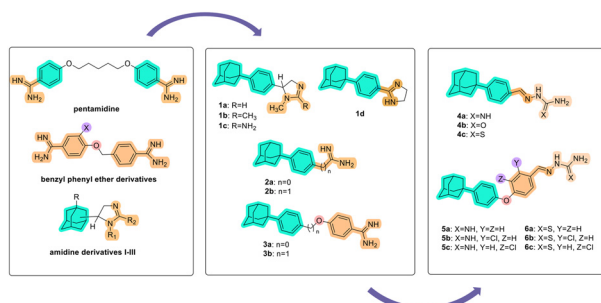
2403

From genetic code to global health: the impact of nucleic acid vaccines on disease prevention and treatment

Alessandra Del Bene, Antonia D'Aniello, Salvatore Mottola, Vincenzo Mazzarella, Roberto Cutolo, Erica Campagna, Rosaria Benedetti, Lucia Altucci, Sandro Cosconati, Salvatore Di Maro* and Anna Messere*



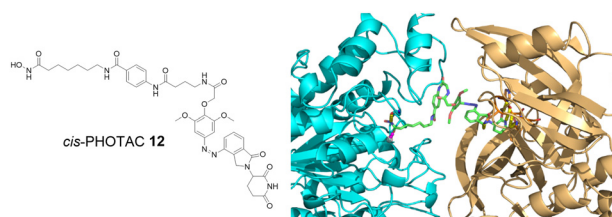
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New *Trypanosoma brucei* acting derivatives incorporating 1-(4-phenyl)adamantane and 1-(4-phenoxyphenyl)adamantane

Konstantina Stavropoulou, Angeliki Kaimaki, Maria Nikolaou, Ana K. Brown, Andrew Tsotinis, Martin C. Taylor, John M. Kelly and Ioannis P. Papanastasiou*

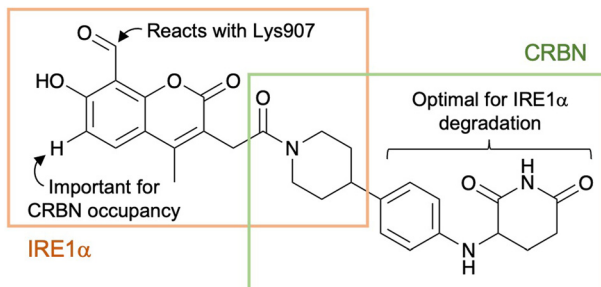
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Light-activatable photochemically targeting chimeras (PHOTACs) enable the optical control of targeted protein degradation of HDAC6

Silas L. Wurnig, Maria Hanl, Thomas M. Geiger, Shiyang Zhai, Ina Dressel, Dominika E. Pierkowska, Radostaw P. Nowak and Finn K. Hansen*

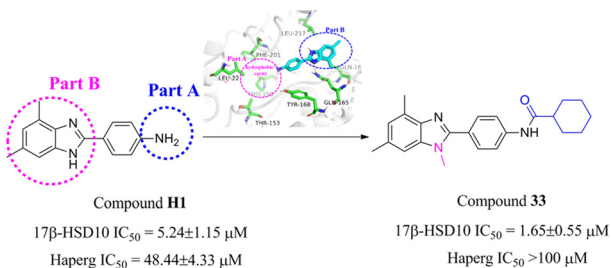
2460



Structure-guided design of a truncated heterobivalent chemical probe degrader of IRE1 α

Breanna L. Zervas,* Yingpeng Liu, Jianwei Che, Katherine A. Donovan, John M. Hatcher, Fidel Huerta, Rebecca J. Metivier, Radostaw P. Nowak, Leah Ragosta, Tiffany Tsang, Eric S. Fischer and Lyn H. Jones*

2467



Design and synthesis of 2-phenyl-1*H*-benzo[d]imidazole derivatives as 17 β -HSD10 inhibitors for the treatment of Alzheimer's disease

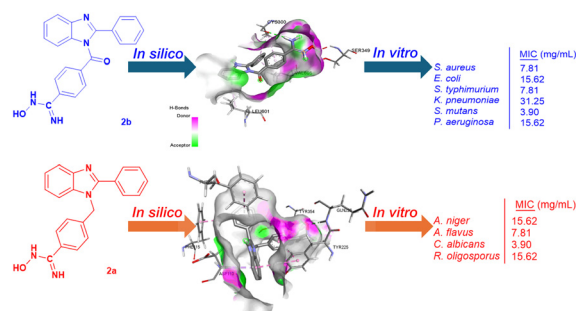
Xiaohan Liu, Bin Zhou, Yan Chen, Jinyuan Lin, Chenwen Shao, Liuzeng Chen, Banfeng Ruan,* Xingxing Zhang* and Yong Qian*



2487

Synthesis, *in silico* and *in vitro* antimicrobial efficacy of some amidoxime-based benzimidazole and benzimidamide derivatives

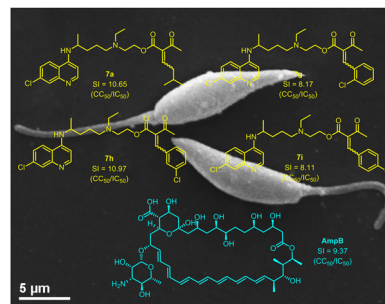
Gbolahan O. Oduselu,* Olayinka O. Ajani,* Temitope A. Ogunnupebi, Oluwadunni F. Elebiju, Damilola S. Bodun, Oluwabukayo Toluwunmiju Opebiyi and Ezekiel Adebisi*



2507

Synthesis and *in vitro* antiprotozoal evaluation of novel Knoevenagel hydroxychloroquine derivatives

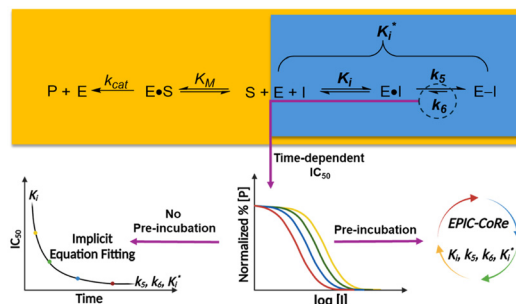
Priscila P. Dario, Luis H. D. Yamashita, Kahlil S. Salome, Gabriel L. Kosinski, Guilherme A. Justen, Daniel da S. Rampon, Danielle Lazarin-Bidoia, Celso V. Nakamura, Fernanda A. Rosa and Marcelo G. Montes D'Oca*



2517

Methods for kinetic evaluation of reversible covalent inhibitors from time-dependent IC₅₀ data

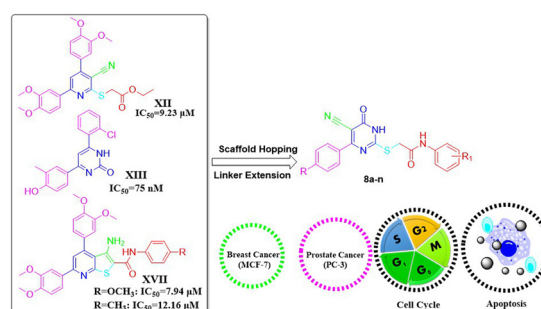
Lavleen K. Mader and Jeffrey W. Keillor*



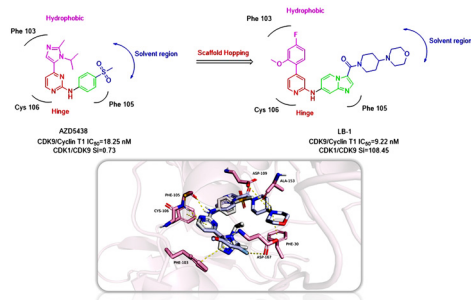
2532

Unravelling the potency of the 4-oxo-2-thioxo-1,2,3,4-tetrahydropyrimidine-5-carbonitrile scaffold with *S*-arylamide hybrids as PIM-1 kinase inhibitors: synthesis, biological activity and *in silico* studies

Soha R. Abd El Hadi,* Manar A. Eldinary, Amna Ghith, Hesham Hafez, Aya Salman and Ghadir A. Sayed



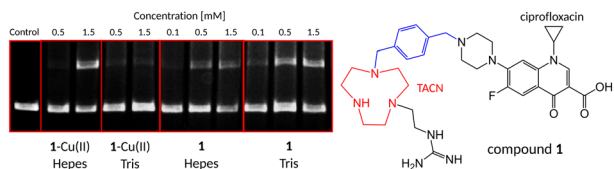
2562



Discovery of new imidazole[1,2-*a*] pyridine derivatives as CDK9 inhibitors: design, synthesis and biological evaluation

Zihan Sun, Shijun Sun, Xiayu Li, Xiang Li, Chuang Li, Li Tang, Maosheng Cheng and Yang Liu*

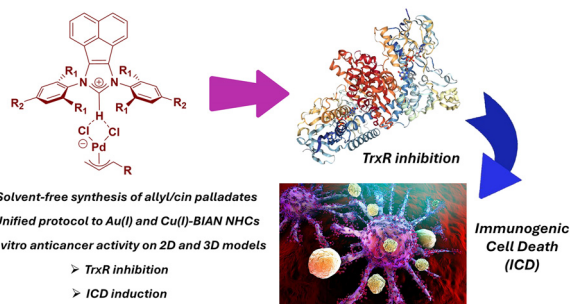
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Towards catalytic fluoroquinolones: from metal-catalyzed to metal-free DNA cleavage

Moshe N. Goldmeier, Alina Khononov, Tomasz Pierńko, Valery Belakhov, Feng-Chun Yen, Limor Baruch, Marcelle Machluf and Timor Baasov*

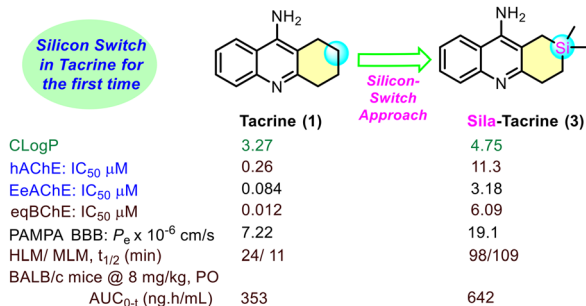
2592



Investigation of the *in vitro* anticancer potential of bis(imino)acenaphthene-N-heterocyclic carbene transition metal complexes revealed TrxR inhibition and triggering of immunogenic cell death (ICD) for allyl palladates

Chiara Donati, Ishfaq Ibni Hashim, Nestor Bracho Pozsoni, Laurens Bourda, Kristof Van Hecke, Catherine S. J. Cazin, Fabiano Visentin, Steven P. Nolan,* Valentina Gandin* and Thomas Scattolin*

2603



Silicon incorporated tacrine: design, synthesis, and evaluation of biological and pharmacokinetic parameters

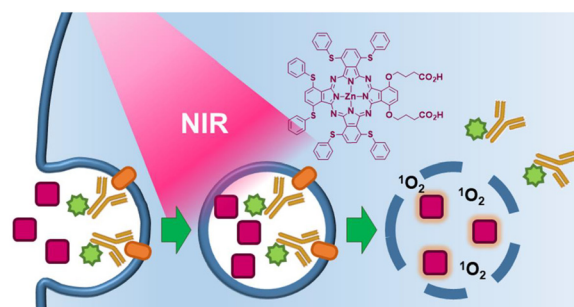
Akshay S. Kulkarni, Sreenivasa Rao Ramana, Vijay K. Nuthakki, Shipra Bhatt, Ashiya Jamwal, Laxman D. Nandawadekar, Anshika Jotshi, Ajay Kumar, Utpal Nandi,* Sandip B. Bharate* and D. Srinivasa Reddy*



2615

Near-infrared photochemical internalization: design of a distorted zinc phthalocyanine for efficient intracellular delivery of immunotoxins

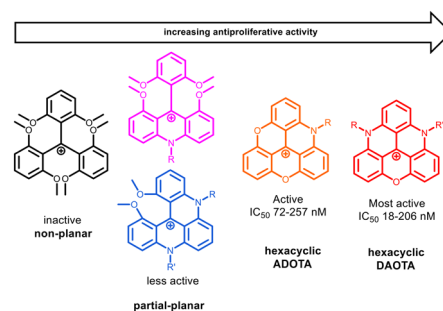
Mikako Hamabe, Wakako Dewa, Mizue Yuki, Eriko Yamada, Tamako Aiba, Keisuke Horikoshi, Takao Hamakubo, Riuko Ohashi and Akimitsu Okamoto*



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Design, synthesis, and SAR of antiproliferative activity of trioxatriangulene derivatives

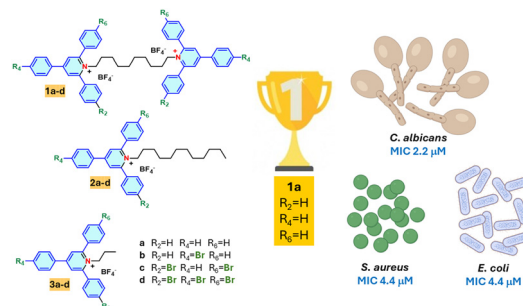
Mohinder Maheshbhai Naiya, Ivy A. Guan, Matthew Sullivan, Chatchakorn Eurtivong, Euphemia Leung, Lisa I. Pilkington* and David Barker*



2641

Minimalistic bis-triarylpyridinium cations: effective antimicrobials against bacterial and fungal pathogens

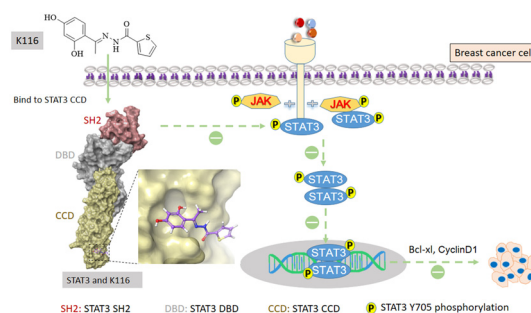
Ana M. López-Fernández, Jean C. Neto, Rosa de Llanos, Juan F. Miravet and Francisco Galindo*



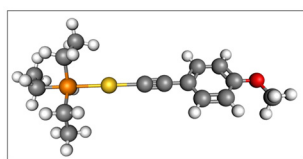
2651

An allosteric inhibitor targeting the STAT3 coiled-coil domain selectively suppresses proliferation of breast cancer

Min Huang,* Wei Wang, Liyue Cao, Jiaxin Liu, Can Du and Jian Zhang*



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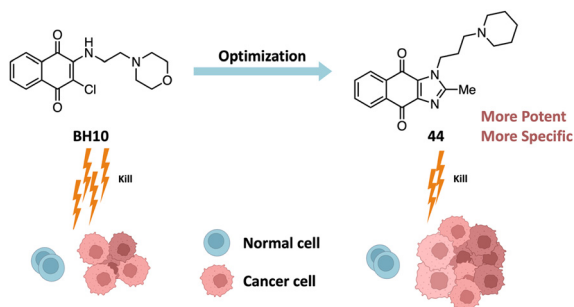


Antiproliferative Effects
Antimetastatic Properties
Thioredoxin Reductase Inhibition
Disrupt Mitochondrial Respiration
Tumor Reduction

Antiproliferative effects, mechanism of action and tumor reduction studies in a lung cancer xenograft mouse model of an organometallic gold(I) alkynyl complex

Uttara Basu,* Anna Wilsmann, Sebastian Türck, Henrik Hoffmeister, Matthias Schiedel, Gilles Gasser and Ingo Ott*

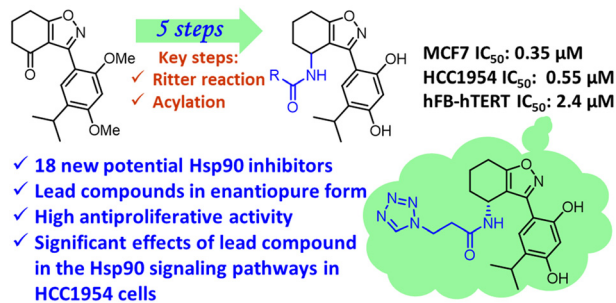
2677



Design, synthesis and biological evaluation of naphthalene-1,4-dione analogues as anticancer agents

Yao Cheng, Tsz Tin Yu, Ellen M. Olzomer, Martina Beretta, Alice Katen, Jacky Su, John Patrick Jones, David StC Black, Kyle L. Hoehn, Frances L. Byrne* and Naresh Kumar*

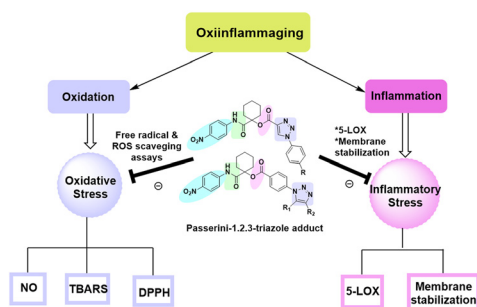
2697



Novel *N*-(4,5,6,7-tetrahydrobenzoxazol-4-yl) amides as HSP90 inhibitors: design, synthesis and biological evaluation

Nastassia A. Varabyeva, Alexander M. Scherbakov, Diana I. Salnikova, Danila V. Sorokin, Alvinia I. Khamidullina, Alexandra L. Mikhaylova, Dzmitry I. Paulovich, Fedor A. Lakhvich and Yuri A. Piven*

2712



Combating oxi-inflamm-aging: Passerini adducts tethered with 1,2,3-triazoles for enhanced antioxidant defense and 5-LOX inhibition

Mohammed Salah Ayoup,* Marwa Mohammed Rashwan, Saied M. Soliman, Doaa A. Ghareeb, Samah Ashraf, Magda M. F. Ismail, Gina N. Tageldin, Amr Sonousi and Laila F. Awad*

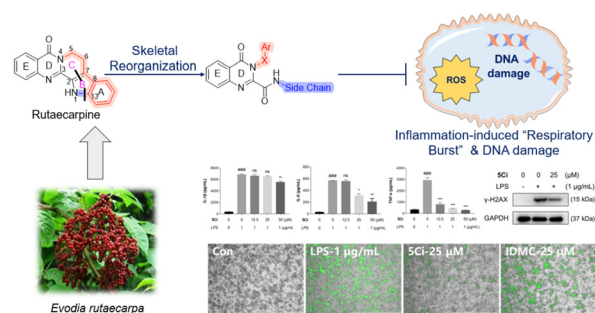


RESEARCH ARTICLES

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Rutaecarpine derivatives synthesized *via* skeletal reorganization alleviate inflammation-associated oxidative damage by inhibiting the MAPK/NF- κ B signaling pathway

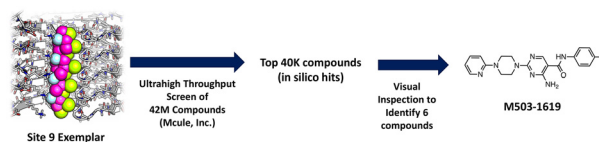
Nan-Ying Chen, Cai-Neng Zhang, Xiu-Yun Guo, Liu-Song Lan, Yi-Fan Geng, Jin-Hui Peng, Cheng-Xue Pan,* Yan Huang* and Gui-Fa Su*



2743

The development of a PET radiotracer for imaging alpha synuclein aggregates in Parkinson's disease

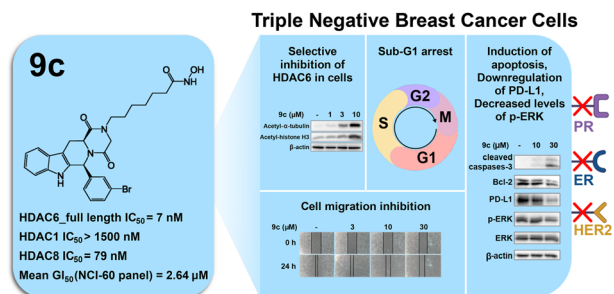
G.-L. Tian, C.-J. Hsieh, D. S. Guarino, T. J. A. Graham, Z. Lengyel-Zhand, A. Schmitz, W. K. Chia, A. J. Young, J.-G. Crosby, K. Plakas, T. Huang, H. Jiang, Y. Yu, C. Hou, H. Lee, E. J. Petersson, S. Giannakoulis, J. O'Shea, P. Kotzbauer, Z. Tu, C. A. Mathis and R. H. Mach*



2754

Development of potent and selective tetrahydro- β -carboline-based HDAC6 inhibitors with promising activity against triple-negative breast cancer

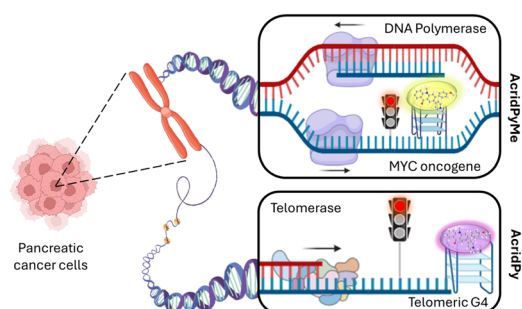
Aya Fathy, Amro Allam, Ahmed K. ElHady, Dalia S. El-Gamil, Kai-Chun Lin, Yen-Hua Chang, Yu-Hsuan Lee, Sebastian Hilscher, Mike Schutkowski, Hany S. Ibrahim, Shun-Hua Chen, Chun-Hong Chen, Ashraf H. Abadi, Wolfgang Sippl, Po-Jen Chen,* Yi-Sheng Cheng and Mohammad Abdel-Halim*



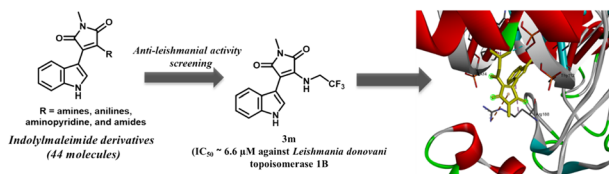
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New acridone derivatives to target telomerase and oncogenes – an anticancer approach

Tiago J. S. Marques, Diana Salvador, Helena Oliveira, Vanda V. Serra, Nicholas Paradis, Chun Wu, Vera L. M. Silva* and Catarina I. V. Ramos*



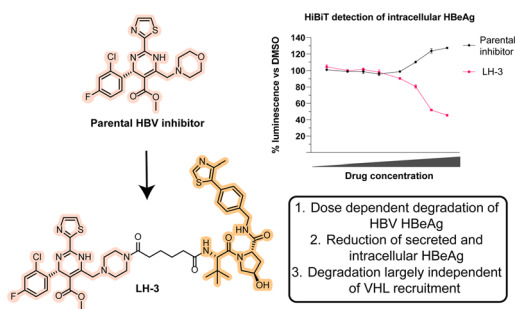
2808



Indolylmaleimide derivatives as a new class of anti-leishmanial agents: synthesis and biological evaluation

Sarpita Das, Neerupudi Kishore Babu, Priyanka Mazire, Amit Roy, Rohit Kumar, Sushma Singh and Deepak K. Sharma*

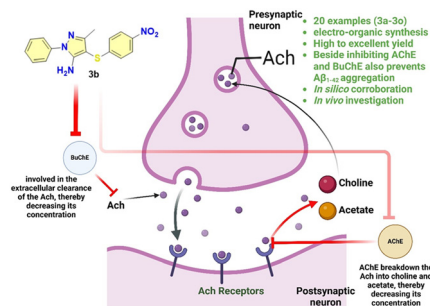
2819



VHL-independent degradation of hepatitis B virus e antigen (HBeAg) by VHL-binding chimeric small molecules

Liam T. Hales, Simon J. Mountford, Mina Takawy, Danni Colledge, Belinda Maher, Jake Shortt, Philip E. Thompson,* Sam A. Greenall* and Nadia Warner*

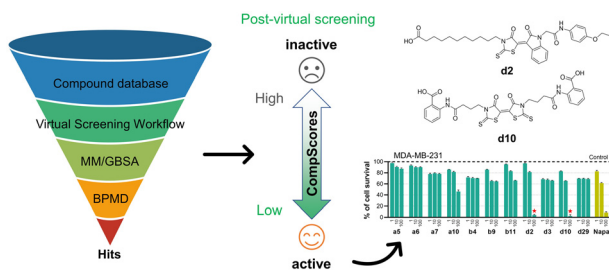
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Design and development of sulfenylated 5-aminopyrazoles as inhibitors of acetylcholinesterase and butyrylcholinesterase: exploring the implication for Aβ₁₋₄₂ aggregation inhibition in Alzheimer's disease

Payal Rani, Sandhya Chahal, Anju Ranolia, Kiran, Devendra Kumar, Ramesh Kataria, Parvin Kumar, Devender Singh, Anil Duhan, Vibhu Jha, Muhammad Wahajuddin, Gaurav Joshi* and Jayant Sindhu*

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Discovery of novel STAT3 inhibitors with anti-breast cancer activity: structure-based virtual screening, molecular dynamics and biological evaluation

Jinhui Wang, Peijie Zhang, Yalin Yu, Yan Yi, Yongjun Jiang* and Shiwei Hu*

