

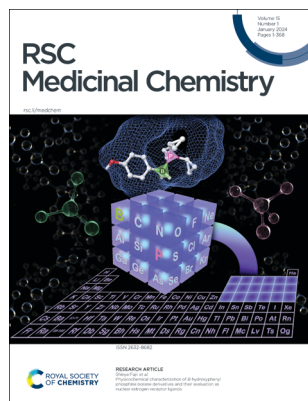
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ISSN 2632-8682 CODEN RMCSCX 15(1) 1–368 (2024)



Cover

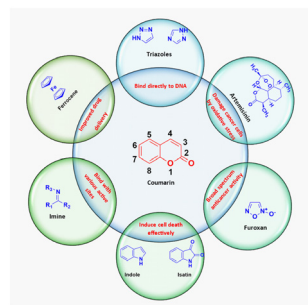
See Shinya Fujii *et al.*,
pp. 119–126.
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2024, 15, 119.

REVIEWS

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Latest developments in coumarin-based anticancer agents: mechanism of action and structure–activity relationship studies

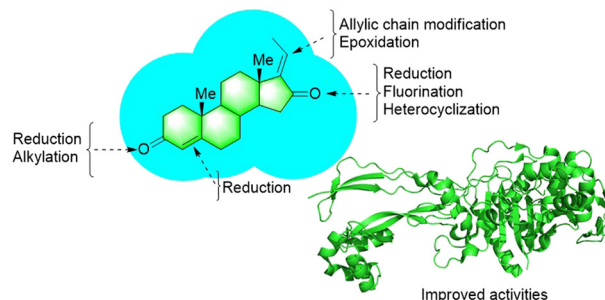
Manankar Koley, Jianlin Han, Vadim A. Soloshonok,
Subhajit Mojumder, Ramin Javahershenas
and Ata Makarem*



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Guggulsterone – a potent bioactive phytosteroid: synthesis, structural modification, and its improved bioactivities

T. P. Adarsh Krishna,* T. P. Ajeesh Krishna,
Baldev Edachery and S. Antony Ceasar



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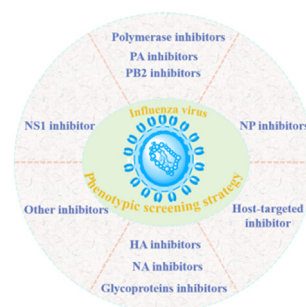
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REVIEWS

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Recent advances of phenotypic screening strategies in the application of anti-influenza virus drug discovery

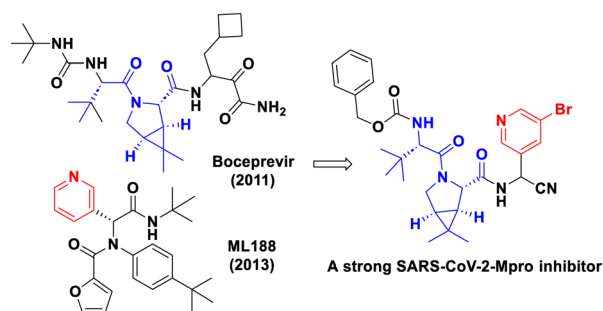
Huinan Jia, Lide Hu, Jiwei Zhang, Xing Huang, Yuanmin Jiang, Guanyu Dong, Chuanfeng Liu,* Xinyong Liu,* Meehyein Kim* and Peng Zhan*



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On the origins of SARS-CoV-2 main protease inhibitors

Yves L. Janin



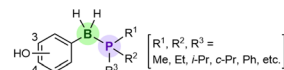
RESEARCH ARTICLES

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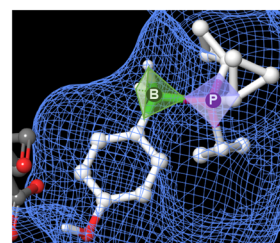
Physicochemical characterization of *B*-hydroxyphenyl phosphine borane derivatives and their evaluation as nuclear estrogen receptor ligands

Yu Miyajima, Tomomi Noguchi-Yachide, Kotaro Ochiai and Shinya Fujii*

Phosphine borane
Novel chemical entry for drug discovery



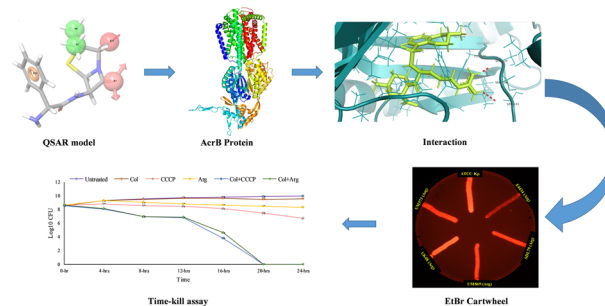
- ✓ Stable in aqueous media
- ✓ Less hydrophobic than hydrocarbons
- ✓ Desirable membrane affinity
- ✓ Estrogen receptor agonistic activity with favorable lipophilicity



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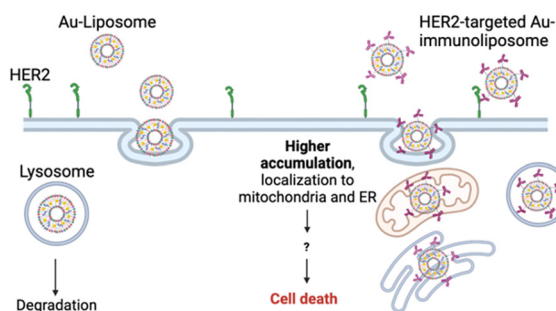
Development of pharmacophore models for AcrB protein and the identification of potential adjuvant candidates for overcoming efflux-mediated colistin resistance

Dibyajyoti Uttameswar Behera, Mahendra Gaur, Maheswata Sahoo, Enketeswara Subudhi* and Bharat Bhusan Subudhi*



RESEARCH ARTICLES

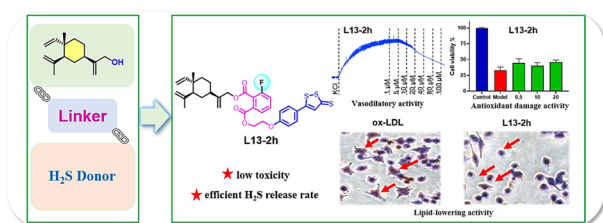
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Development of immunoliposomes containing cytotoxic gold payloads against HER2-positive breast cancers

Afruja Ahad, Fatima Aftab, Alexa Michel, Jason S. Lewis* and Maria Contel*

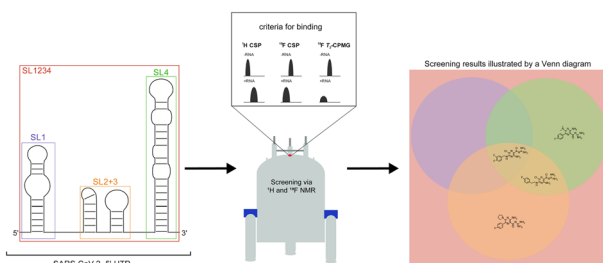
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Discovery of novel β -elemene hybrids with hydrogen sulfide-releasing moiety possessing cardiovascular protective activity for the treatment of atherosclerosis

Wenjian Zhu, Hongyu Wu, Chen He, Huajian Zhu, Hong Yao, Yun Cao, Yueman Shi, Xiaotong Chen, Xue Feng, Shengtao Xu,* Zheyang Zhu and Jinyi Xu*

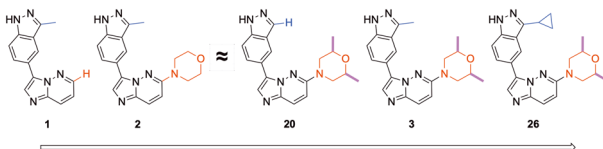
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NMR ^1H , ^{19}F -based screening of the four stem-looped structure 5_SL1-SL4 located in the 5'-untranslated region of SARS-CoV 2 RNA

Daniel Hymon, Jason Martins, Christian Richter, Sridhar Sreeramulu, Anna Wacker, Jan Ferner, Neeraj N. Patwardhan, Amanda E. Hargrove and Harald Schwalbe*

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Discovery of imidazo[1,2-*b*]pyridazine-containing TAK1 kinase inhibitors with excellent activities against multiple myeloma

Desmond Akwata, Allison L. Kempen, Jones Lamptey, Neetu Dayal, Nickolas R. Brauer and Herman O. Sintim*



Discovery of a dual-acting inhibitor of interleukin-1 β and STATs for the treatment of inflammatory bowel disease

The figure illustrates the chemical structure of compound 10v and its proposed mechanism of action. On the left, the chemical structure of 10v is shown, which is a substituted benzamide derivative. On the right, a schematic diagram depicts the mechanism of action. 10v is shown inhibiting the NLRP3 inflammasome, which leads to reduced active caspase-1. This results in decreased processing of pro-IL-1 β to IL-1 β , which in turn inhibits the IL-1 β receptor (R1D), preventing a response to therapy. Additionally, 10v is shown inhibiting the phosphorylation of STAT1 and STAT5, which are involved in the transcription of proinflammatory cytokines and growth factors.

Highly efficient, catalyst-free, one-pot sequential four-component synthesis of novel spiroindolinone-pyrazole scaffolds as anti-Alzheimer agents: *in silico* study and biological screening

5f

AChE $IC_{50} = 6.02 \pm 2.59 \mu M$
 BChE % inhibition at $50 \mu M = 13.94 \pm 3.68$

***In vivo* stability of ^{211}At -radiopharmaceuticals: on the impact of halogen bond formation**

The development of thymol-isatin hybrids as broad-spectrum antibacterial agents with potent anti-MRSA activity

Thymol-isatin hybrid

2-X Farnesyl diphenate
↓
COSY
↓
4,6-Dihydroxyphenylacetone
↓
COSY
↓
4,6-Dihydroxyphenylacetone
↓
COP
↓
4,6-Dihydroxyphenylacetone-4-al
↓
ASHH
↓
4,6-Dihydroxyphenylacetic acid
↓
COP
↓
Chlorine-4,6'- Dihydroxyphenylacetic acid
↓
CRO
↓
Staphylostatin

BHT sensitive and less permeable membrane

Effective against WHO priority list and multidrug resistant clinically isolated ESKAPE group pathogens

Non-toxic in *Galleria mellonella* larvae

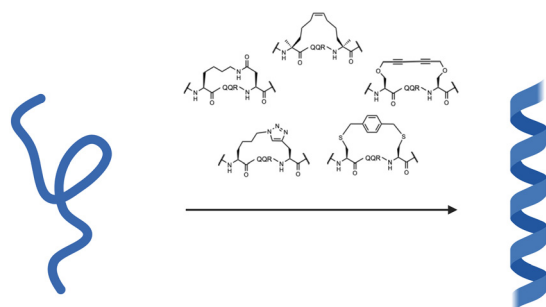
In vivo anti-infective in larvae based MRSA model

Antibiofilm capability against MRSA

MRSA MIC = 1.9 µM and MBC = 3.9 µM

RESEARCH ARTICLES

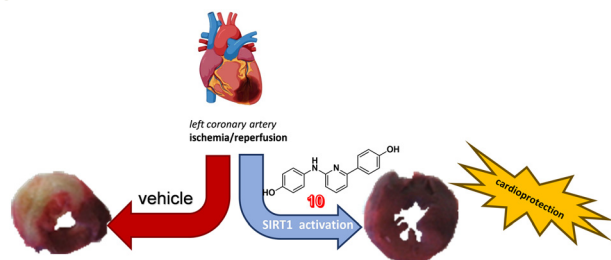
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A survey of stapling methods to increase affinity, activity, and stability of ghrelin analogues

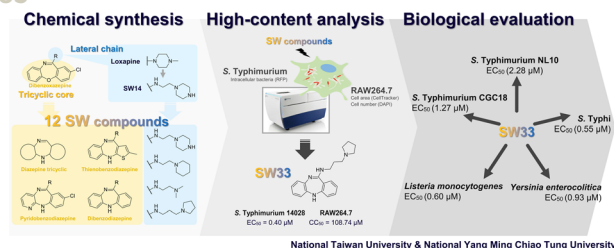
Juan J. Esteban, Julia R. Mason, Jakob Kaminski, Rithwik Ramachandran and Leonard G. Luyt*

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Sirtuin 1-activating derivatives belonging to the anilino pyridine class displaying *in vivo* cardioprotective activities

Giulia Bononi, Valentina Citi, Alma Martelli, Giulio Poli, Tiziano Tuccinardi, Carlotta Granchi,* Lara Testai,* Vincenzo Calderone and Filippo Minutolo

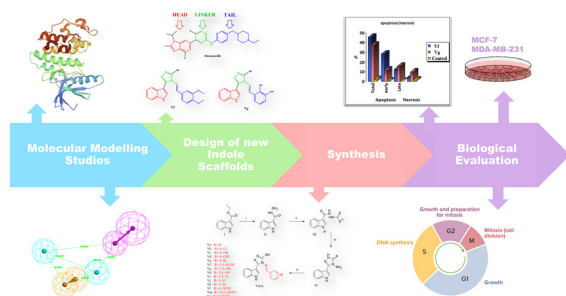
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Discovery of new dibenzodiazepine derivatives as antibacterials against intracellular bacteria

Ling-Han Chen, Man-Yi Lin, Hsueh-Chun Lin, Fan-Wei Yang, Hsiao-Wei Liao, Chung-Wai Shiao, Hao-Chieh Chiu* and Jung-Chen Su*

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Novel indolyl 1,2,4-triazole derivatives as potential anti-proliferative agents: *in silico* studies, synthesis, and biological evaluation

Sarah A. Ghobish, Khaled O. Mohamed, Nahla Farag* and Doaa B. Farag*

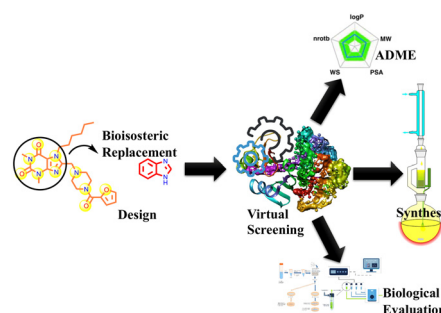


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Scaffold hopping based designing of selective ALDH1A1 inhibitors to overcome cyclophosphamide resistance: synthesis and biological evaluation

Gera Narendra, Baddipadige Raju, Himanshu Verma, Manoj Kumar, Subheet Kumar Jain, Gurleen Kaur Tung, Shubham Thakur, Rasdeep Kaur, Satwinderjeet Kaur, Bharti Sapra and Om Silakari*

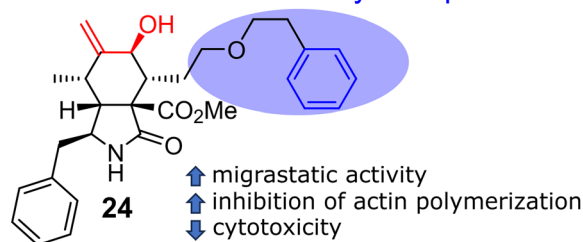


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Synthesis and migrastatic activity of cytochalasin analogues lacking a macrocyclic moiety

Bedřich Formánek, Dorjan Dupommier, Tereza Volfová, Silvie Rimpelová, Aneta Škarková, Jana Herciková, Daniel Rösel, Jan Brábek and Pavla Perliková*

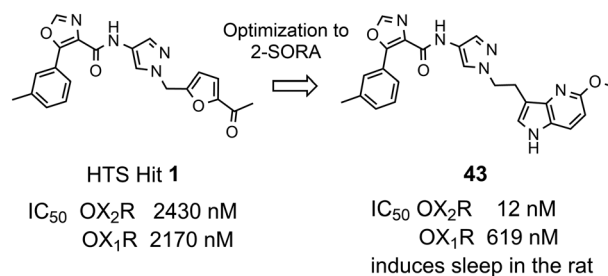
core modifications macrocycle replacement



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Pyrazole derivatives as selective orexin-2 receptor antagonists (2-SORA): synthesis, structure–activity–relationship, and sleep-promoting properties in rats

Christine Brotschi,* Martin H. Bolli,* John Gatfield, Catherine Roch, Thierry Sifferlen, Alexander Treiber, Jodi T. Williams and Christoph Boss



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Impact of dipeptide on ADC physicochemical properties and efficacy identifies Ala–Ala as the optimal dipeptide

Lu Wang,* Adrian D. Hobson, Julia Fitzgibbons, Axel Hernandez Jr., Ying Jia, Zhou Xu, Zhongyuan Wang, Yajie Yu and Xiang Li

