

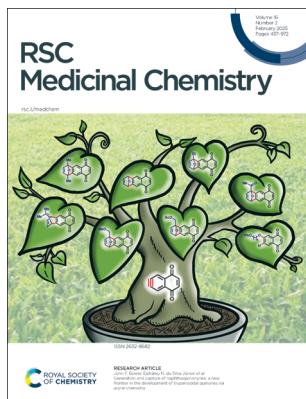
# RSC Medicinal Chemistry

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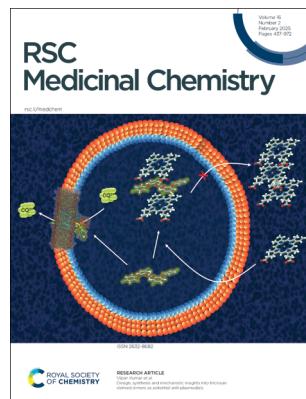
## IN THIS ISSUE

ISSN 2632-8682 CODEN RMCSCX 16(2) 437–972 (2025)



### Cover

See John F. Bower,  
Eufrânio N. da Silva Júnior et al.,  
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2025, 16, 694.



### Inside cover

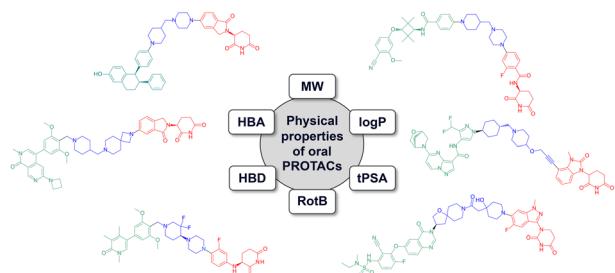
See Vipan Kumar et al.,  
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2025, 16, 709.

## OPINIONS

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### Property-based optimisation of PROTACs

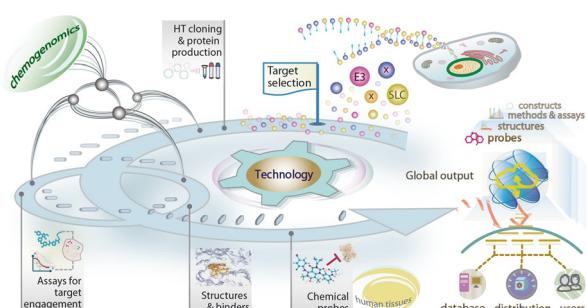
James S. Scott,\* Iakovos N. Michaelides  
and Markus Schade



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### Toward target 2035: EUbOPEN - a public-private partnership to enable & unlock biology in the open

C. Tredup, S. Ackloo, H. Beck, P. J. Brown, A. N. Bullock, A. Ciulli, I. Dikic, K. Edfeldt, A. M. Edwards, J. M. Elkins, H. F. Farin, E. A. Fon, M. Gstaiger, J. Günther, A.-L. Gustavsson, S. Häberle, L. Isigkeit, K. V. M. Huber, A. Kotschy, O. Krämer, A. R. Leach, B. D. Marsden, H. Matsui, D. Merk, F. Montel, M. P. C. Mulder, S. Müller, D. R. Owen, E. Proschak, S. Röhm, A. Stoltz, M. Sundström, F. von Delft, T. M. Willson, C. H. Arrowsmith\* and S. Knapp\*





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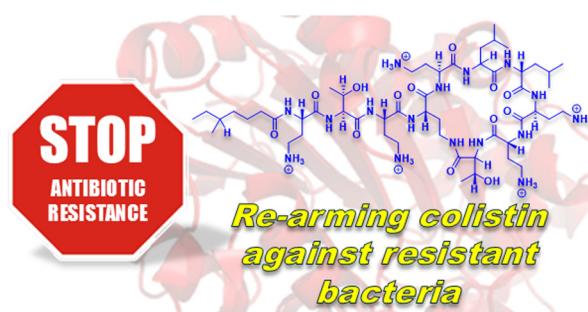


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**Adjuvant strategies to tackle *mcr*-mediated polymyxin resistance**

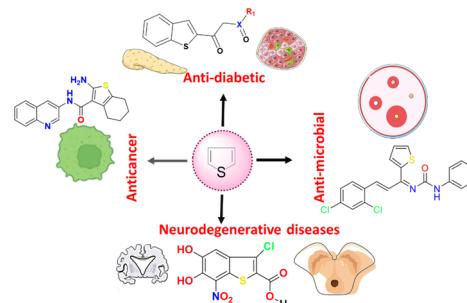
Madison R. Nuske, Junlang Zhong, Renjie Huang, Vijayalekshmi Sarojini, Jack L. Y. Chen, Christopher J. Squire, Mark A. T. Blaskovich and Ivanhoe K. H. Leung\*



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**Medicinal chemistry-based perspectives on thiophene and its derivatives: exploring structural insights to discover plausible druggable leads**

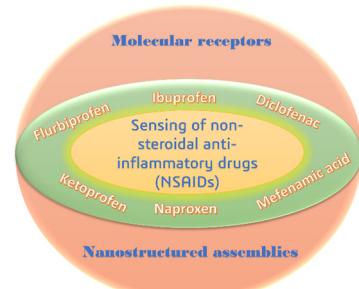
Shikha Thakur, Devendra Kumar, Shivani Jaiswal, Kapil Kumar Goel, Pramod Rawat, Vivek Srivastava, Sonia Dhiman, Hemant R. Jadhav\* and Ashish Ranjan Dwivedi\*



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**Advances in detecting non-steroidal anti-inflammatory drugs (NSAIDs) using molecular receptors and nanostructured assemblies**

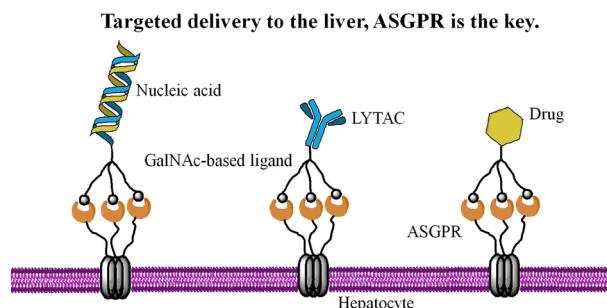
Avijit Kumar Das\*



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**Hepatocyte targeting via the asialoglycoprotein receptor**

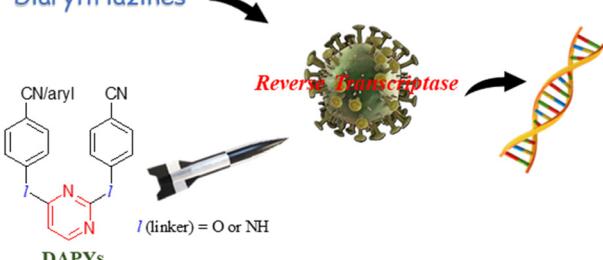
Fabricio Ramírez-Cortés and Petra Ménová\*



## REVIEWS

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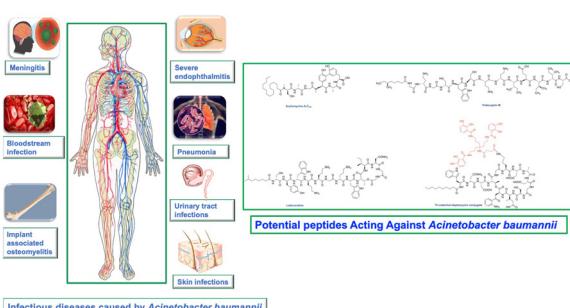
## Diaryltriazines



## Development of diarylpurine derivatives (&amp; other heterocycles) as HIV-1 and WT RT inhibitors

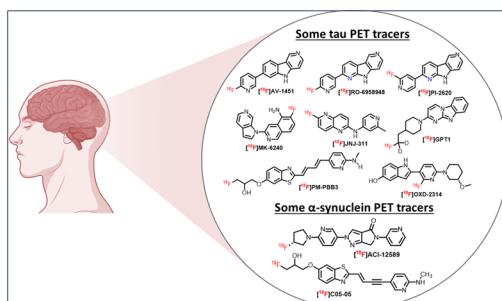
Atukuri Dorababu

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Natural peptides and their synthetic congeners acting against *Acinetobacter baumannii* through the membrane and cell wall: latest progress

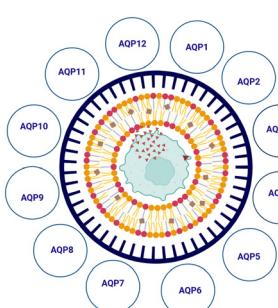
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Strategies of positron emission tomography (PET) tracer development for imaging of tau and  $\alpha$ -synuclein in neurodegenerative disorders

Shekar Mekala,\* You Wu and Yue-Ming Li\*

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## Distinctive roles of aquaporins and novel therapeutic opportunities against cancer

Dharmendra Kumar Yadav, Desh Deepak Singh and Dongyun Shin\*

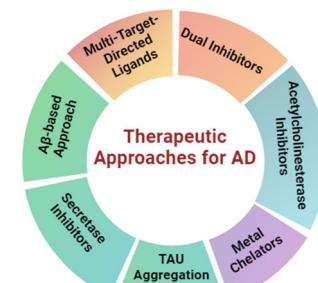


## REVIEWS

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## Recent advancements in the therapeutic approaches for Alzheimer's disease treatment: current and future perspective

Amit Sharma, Santosh Rudrawar, Sandip B. Bharate and Hemant R. Jadhav\*

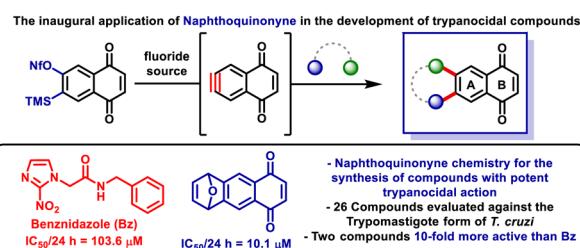


## RESEARCH ARTICLES

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## Generation and capture of naphthoquinonones: a new frontier in the development of trypanocidal quinones *via* aryne chemistry

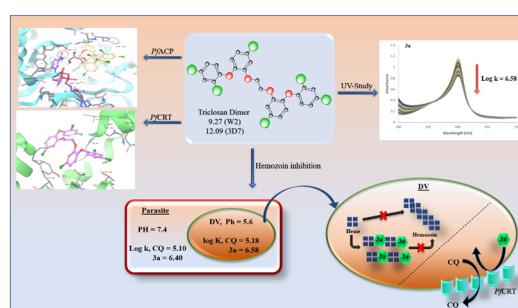
Laura P. R. Figueroa, Renato L. de Carvalho, Renata G. Almeida, Esther R. S. Paz, Emilay B. T. Diogo, Maria H. Araujo, Warley S. Borges, Victor F. S. Ramos, Rubem F. S. Menna-Barreto, James M. Wood, John F. Bower\* and Eufrânio N. da Silva Júnior\*



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## Design, synthesis and mechanistic insights into triclosan derived dimers as potential anti-plasmodials

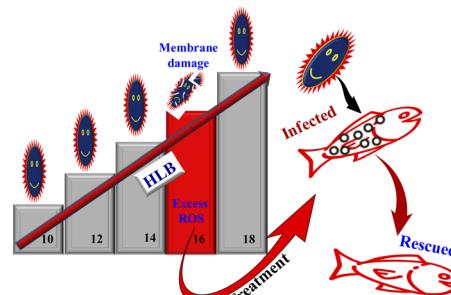
Shekhar, Shefali Chowdhary, Joel Mosnier, Isabelle Fonta, Bruno Pradines and Vipan Kumar\*



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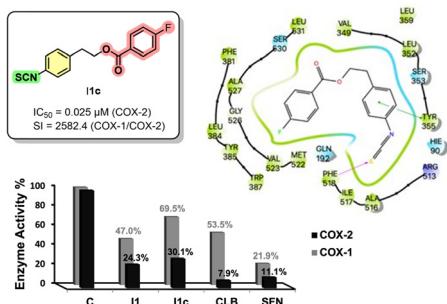
## Synthesis of cationic *N*-acylated thiazolidine for selective activity against Gram-positive bacteria and evaluation of *N*-acylation's role in membrane-disrupting activity

Aleena Pious, Vignesh Venkatasubramanian, Dharshini Karnan Singaravelu, Subburethnam Ramesh, Fuad Ameen and Anbazhagan Veerappan\*



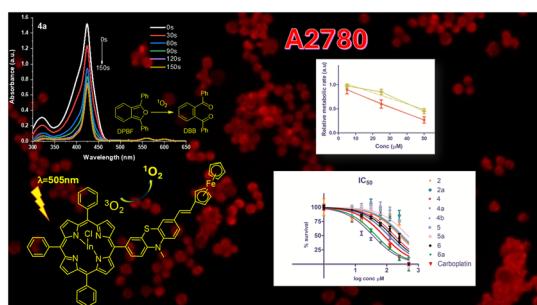
## RESEARCH ARTICLES

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**Synthesis, *in silico* and bio-evaluation studies of new isothiocyanate derivatives with respect to COX inhibition and H<sub>2</sub>S release profiles**

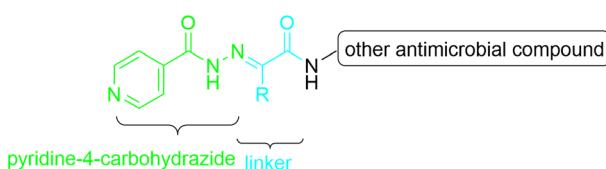
Yakup Berkay Yilmaz, Tuğba Güngör,\* Serhat Dönmez, Hazal Nazlıcan Atalay, Pınar Siyah, Serdar Durdağı, Mehmet Ay\* and Tugba Boyunegmez Tumer\*

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**meso-Substituted AB<sub>3</sub>-type phenothiazinyl porphyrins and their indium and zinc complexes photosensitising properties, cytotoxicity and phototoxicity on ovarian cancer cells**

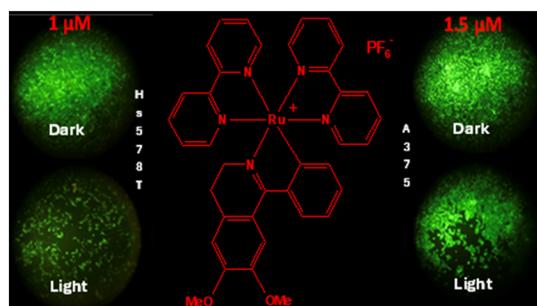
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**Enhancing the antimycobacterial efficacy of pyridine-4-carbohydrazide: linkage to additional antimicrobial agents via oxocarboxylic acids**

Václav Pflégr, Klára Konečná, Jiřina Stolaříková, Jan Österreicher, Ondřej Jandourek and Martin Krátký\*

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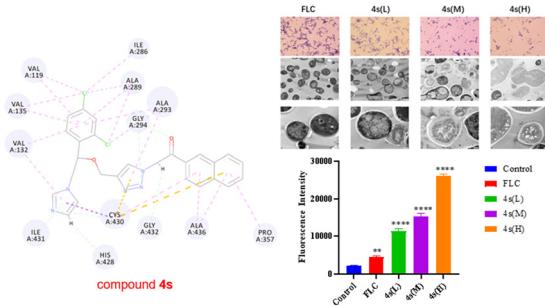
**Light enhanced cytotoxicity and antitumoral effect of a ruthenium-based photosensitizer inspired from natural alkaloids**

Gennaro Sanità, Maria Laura Alfieri, Barbara Carrese, Serena Damian, Vincenza Mele, Gaetano Calì, Brigida Silvestri, Sebastiano Marra, Susan Mohammadi, Giuseppina Luciani, Paola Manini\* and Annalisa Lamberti\*

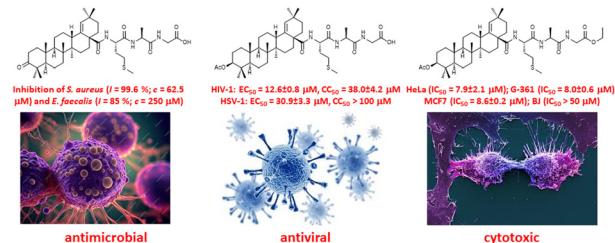


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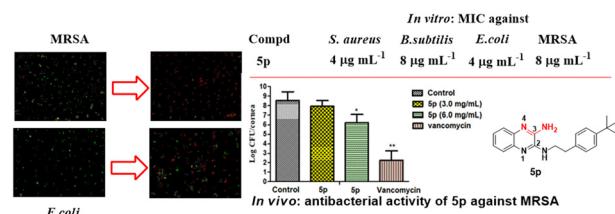
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**Synthesis and antifungal evaluation of new azole derivatives containing 1,2,3-triazole**Zhengxiao Huang, Hongjie Chen, Xiao Zhang,  
Ruirui Wang,\* Chunyan Hu\* and Zewei Mao\*

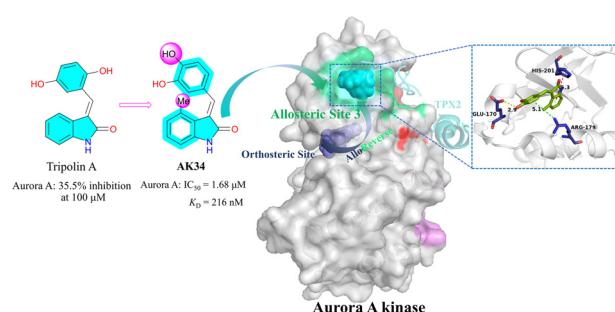
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**Amides of moronic acid and morolic acid with the tripeptides MAG and GAM targeting antimicrobial, antiviral and cytotoxic effects**Uladzimir Bildziukevich, Lucie Černá, Jana Trylčová,  
Marie Kvasnicová, Lucie Rárová, David Šaman,  
Petra Lovecká, Jan Weber and Zdeněk Wimmer\*

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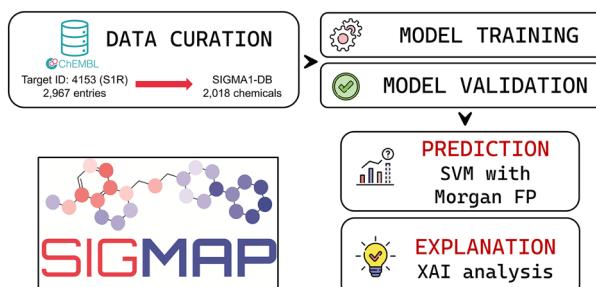
**Antibacterial activity of the structurally novel C-2 amine-substituted analogues based on quinoxaline**Yuting Liu, Pengju Yang, Yunyun Zhou  
and Zhiwen Zhou\*

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**Design and synthesis of (*E*)-3-benzylideneindolin-2-one derivatives as potential allosteric inhibitors of Aurora A kinase**YongLai Jiao, Jie Zhong, JinFang Xu, ShaoBo Ning,  
TaiGang Liang,\* MingZhu Zhao\* and Jian Zhang\*

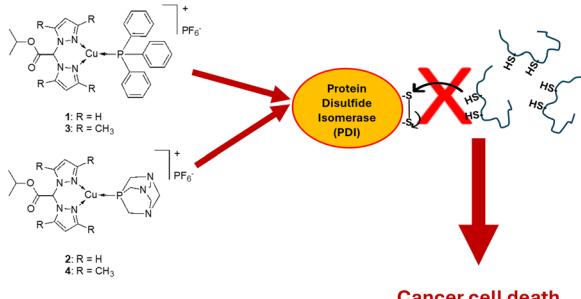
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**SIGMAP: an explainable artificial intelligence tool for SIGMA-1 receptor affinity prediction**

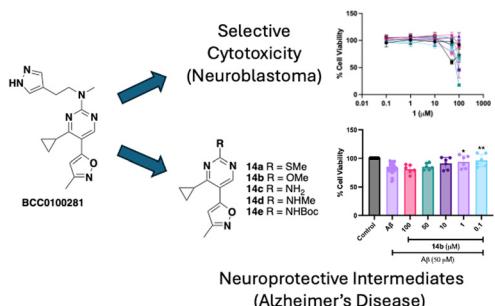
Maria Cristina Lomuscio, Nicola Corriero, Vittoria Nanna, Antonio Piccinno, Michele Saviano, Rosa Lanzilotti, Carmen Abate, Domenico Alberga\* and Giuseppe Felice Mangiatordi\*

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**Anticancer potential of copper(I) complexes based on isopropyl ester derivatives of bis(pyrazol-1-yl)acetate ligands**

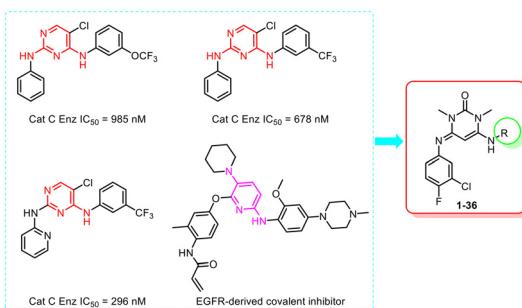
Maura Pellei, Carlo Santini,\* Miriam Caviglia, Jo' Del Gobbo, Chiara Battocchio, Carlo Meneghini, Simone Amatori, Chiara Donati, Eleonora Zampieri, Valentina Gandin\* and Cristina Marzano

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**Synthesis and biological characterization of a 17 $\beta$ -hydroxysteroid dehydrogenase type 10 (17 $\beta$ -HSD10) inhibitor**

Louise F. Dow, Rasangi Pathirage, Helen E. Erickson, Edrees Amani, Donald R. Ronning and Paul C. Trippier\*

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**Design and synthesis of novel cathepsin C inhibitors with anti-inflammatory activity**

Xiaobao Shen, Nan Li, Miao Liu, Xuanzheng Han, Yazhi Wang, Jingwen Jia, Fufang Wu,\* Hongwei Chen\* and Xinhua Liu\*

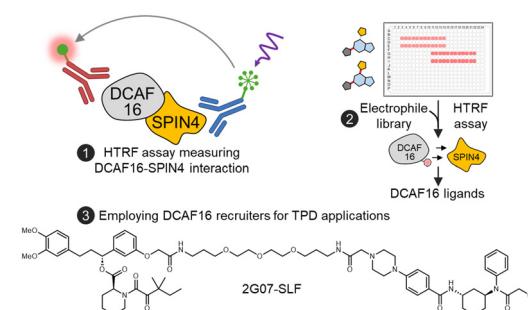


## RESEARCH ARTICLES

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**Exploiting the DCAF16–SPIN4 interaction to identify DCAF16 ligands for PROTAC development**

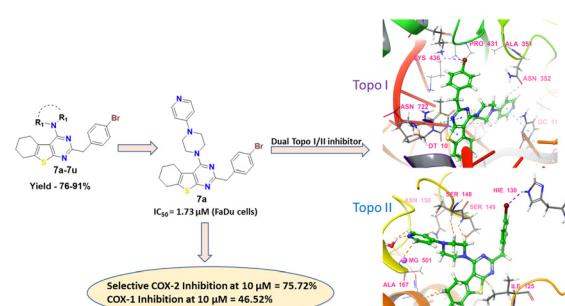
Isabella A. Riha, Miguel A. Campos, Xiaokang Jin, Fiona Y. Wang, Chenlu Zhang, Sara F. Dunne, Benjamin F. Cravatt and Xiaoyu Zhang\*



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**2-(4-Bromobenzyl) tethered 4-amino aryl/alkyl-5,6,7,8-tetrahydrobenzo[4,5]thieno[2,3-d]pyrimidines: design, synthesis, anticancer assessment via dual topoisomerase-I/II inhibition, and *in silico* studies**

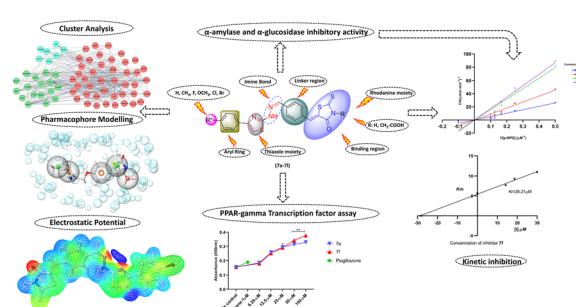
Sahil Arora, Bhagyshree Patra, Isha Dhamija, Santosh Kumar Guru and Raj Kumar\*



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**Novel rhodanine–thiazole hybrids as potential antidiabetic agents: a structure-based drug design approach**

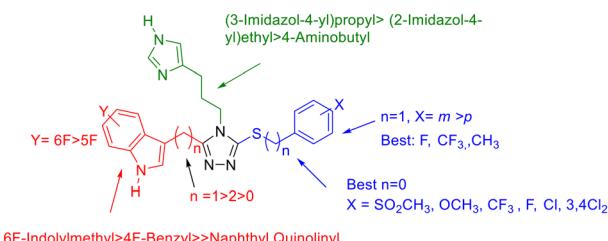
Shankar Gcharge, Shankar G. Alegaon,\* Shriram D. Ranade, Rohini S. Kavalapure and B. R. Prashantha Kumar



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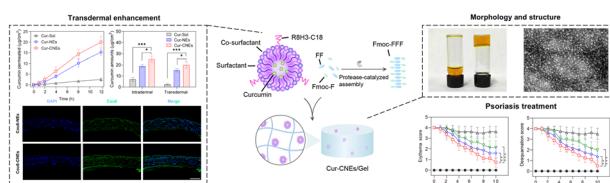
**3-Thio-3,4,5-trisubstituted-1,2,4-triazoles: high affinity somatostatin receptor-4 agonist synthesis and structure–activity relationships**

A. Michael Crider,\* Audrey Hospital, Karin E. Sandoval, William L. Neumann, Stephen Kukielski, Lejla Garic, Kristen Ingold, Matthew Dunahoo, Khush N. Srabony, Rafael Frare, Olivia Slater, Nathan Peel, Maria Kontoyianni and Ken A. Witt



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## Enhanced skin penetration of curcumin by a nanoemulsion-embedded oligopeptide hydrogel for psoriasis topical therapy

Kehan Chen, Hui Yang, Guo Xu, Yunhan Hu, Xue Tian, Song Qin\* and Tianyue Jiang\*

## CORRECTION

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## Correction: Chiral hydroxymethyl-1*H*,3*H*-pyrrolo[1,2-*c*]thiazoles: the search for selective p53-activating agents for colorectal cancer therapy

Mees M. Hendrikx, Adelino M. Pereira, Ana B. Pereira, Carla S. C. Carvalho, João L. P. Ribeiro, Maria I. L. Soares, Lucília Saraiva\* and Teresa M. V. D. Pinho e Melo\*

