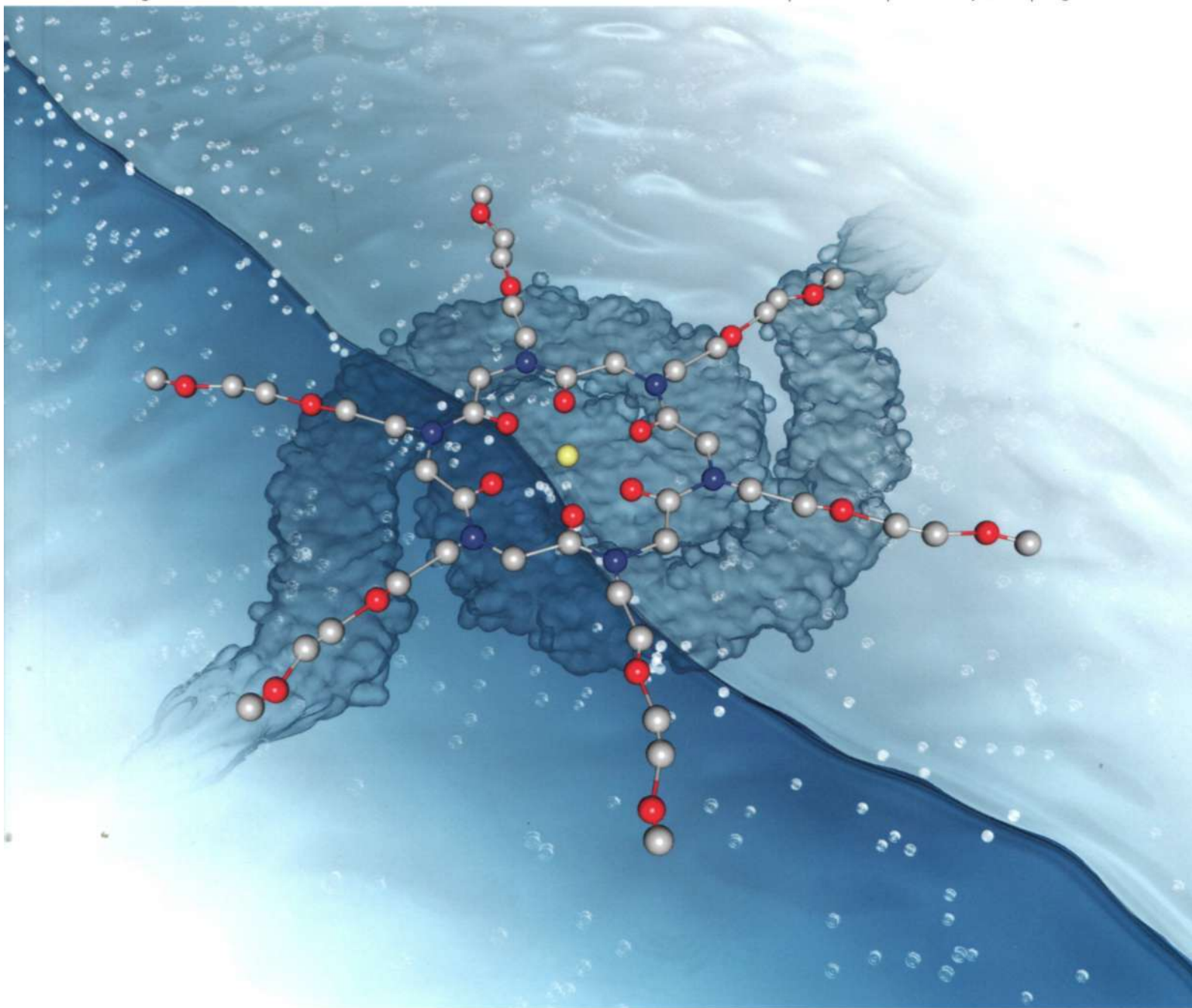


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PAPER

Giorgio Della Sala, Irene Izzo *et al.*
Cyclopeptoids: a novel class of phase-transfer catalysts



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Organic & Biomolecular Chemistry

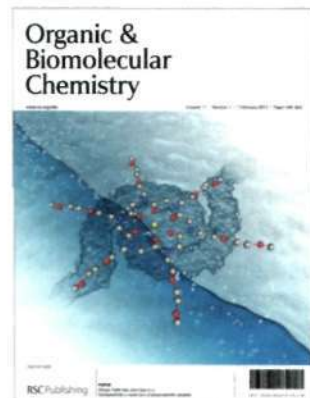
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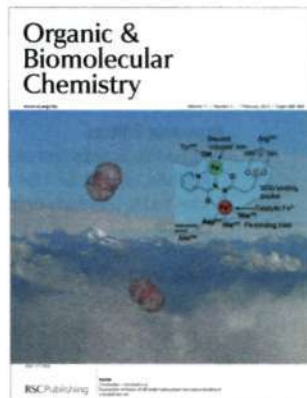
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Cover

See Giorgio Della Sala, Irene Izzo *et al.*, pp. 726–731.

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Inside cover

See Christopher J. Schofield *et al.*, pp. 732–745.

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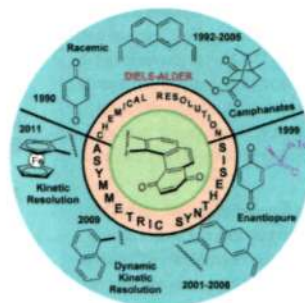
EMERGING AREAS

699

Enantioselective synthesis of helicenequinones and -bisquinones

Antonio Urbano and M. Carmen Carreño*

The asymmetric synthesis of helicenequinones and bisquinones from the convergent approach based on Diels–Alder reactions between polycyclic dienes and benzoquinones is highlighted in this overview.

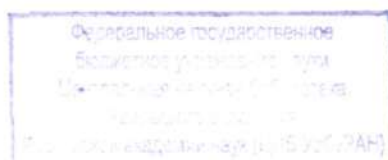
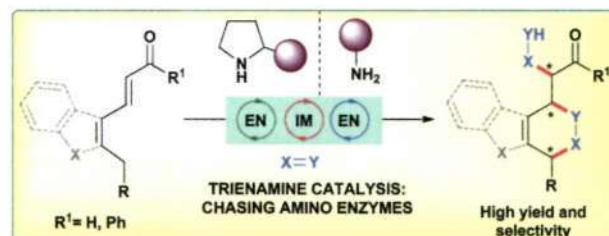


709

Asymmetric trienamine catalysis: new opportunities in amine catalysis

Indresh Kumar,* Panduga Ramaraju and Nisar A. Mir

Trienamine as activated diene: this article summarizes the recent developments of trienamine catalysts as activated dienes *in situ* generated from polyenals/polyenones and amine catalysts for highly selective Diels–Alder reactions with various dienophiles.

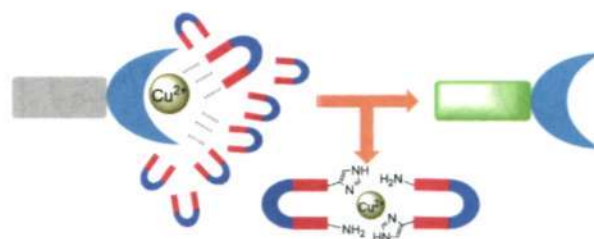


717

Coumarin–DPA–Cu(II) as a chemosensing ensemble towards histidine determination in urine and serum

Ji-Ting Hou, Kun Li,* Kang-Kang Yu, Ming-Yu Wu and Xiao-Qi Yu*

A coumarin–DPA–Cu(II) ensemble can selectively detect histidine among 20 common amino acids with a remarkable turn-on fluorescence enhancement.

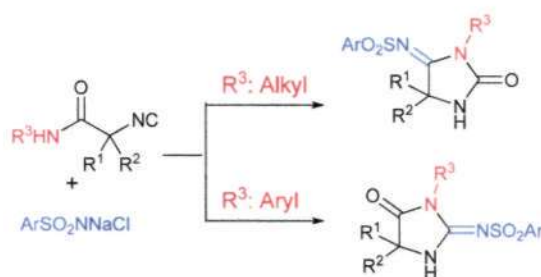


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Complementary regioselectivity in the synthesis of iminohydantoin: remarkable effect of amide substitution on the cyclization

María García-Valverde,* Stefano Marcaccini,* Alfonso González-Ortega, Francisco Javier Rodríguez, Josefa Rojo and Tomás Torroba

Complementary regioselective synthesis of iminohydantoin from α -isocyanoacetamides controlled by the substituent on the amide group.



PAPERS

726

Cyclopeptides: a novel class of phase-transfer catalysts

Giorgio Della Sala,* Brunello Nardone, Francesco De Riccardis and Irene Izzo*

The synthesis, complexation properties and catalytic activities under phase-transfer (PT) conditions of differently substituted cyclohexapeptides are reported. Association constants, for small cationic alkali, and catalytic performances, in a model nucleophilic substitution, are comparable or even higher than those of representative crown ethers and commonly used PT catalysts.

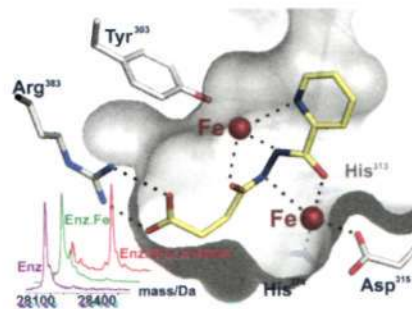


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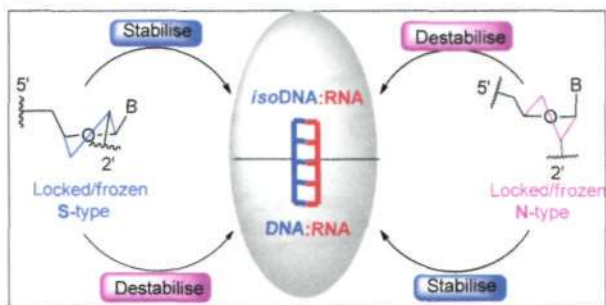
Dual-action inhibitors of HIF prolyl hydroxylases that induce binding of a second iron ion

Kar Kheng Yeoh, Mun Chiang Chan, Armin Thalhammer, Marina Demetriades, Rasheduzzaman Chowdhury, Ya-Min Tian, Ineke Stolze, Luke A. McNeill, Myung Kyu Lee, Esther C. Y. Woon; Mukram M. Mackeen, Akane Kawamura, Peter J. Ratcliffe, Jasmin Mecinovic* and Christopher J. Schofield*

Following design based on small-molecule structures and MS screening led to the identification of diacylhydrazines as human hydroxylase inhibitors that induce binding of a 'second iron'.



746

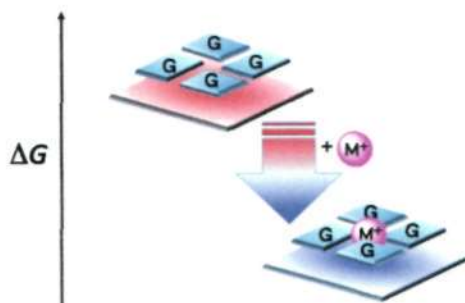


Synthesis and structural studies of S-type/N-type-locked/frozen nucleoside analogues and their incorporation in RNA-selective, nuclease resistant 2'-5' linked oligonucleotides

Namrata Erande, Anita D. Gunjal, Moneesha Fernandes, Rajesh Gonnade and Vaijayanti A. Kumar*

Locking/freezing the sugar conformation in the S-type geometry in 2'-5' DNA would improve the strength of RNA binding through entropic advantage.

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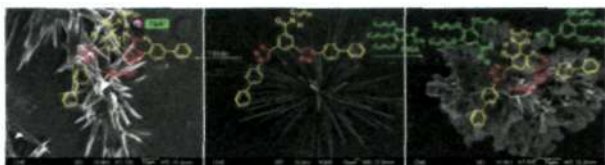


Quartet formation of a guanine derivative with an isopropyl group: crystal structures of "naked" G-quartets and thermodynamics of G-quartet formation

Yuji Inui, Motoo Shiro, Shunichi Fukuzumi* and Takahiko Kojima*

Formation of 'naked' G-quartets was elucidated on the basis of crystal structures and thermodynamic analysis.

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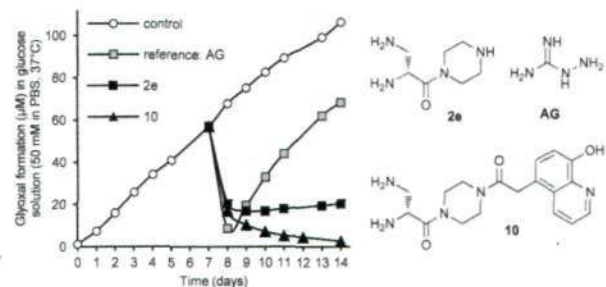


A bis(triazole)benzamide receptor for the complexation of halide anions and neutral carboxylic acid guests. Guest-controlled topicity and self-assembly

Fátima García, Juan Aragón, Rafael Viruela, Enrique Ortí* and Luis Sánchez*

The cavity defined by the N-H amide group and the vicinal aromatic hydrogens of receptor **1** is suitable to bind with halide guests and neutral gallic acid **12GA** with 1 : 1 and 1 : 2 stoichiometries, respectively.

773



New 2,3-diaminopropionic acid inhibitors of AGE and ALE formation

Nicolas Audic, Guy Potier and N. André Sasaki*

Novel 2,3-diaminopropionic acid-based molecules were synthesised and tested successfully as glyoxal/methylglyoxal scavengers and as AGE inhibitors. Addition of an 8-hydroxyquinoline moiety led to an increase of the overall activity. The compounds tested in this study were also proved to be efficient in trapping ALE precursor malondialdehyde.

781

A new rapid multicomponent domino heteroannulation of heterocyclic ketene aminsals: solvent-free regioselective synthesis of functionalized benzo[*g*]imidazo[1,2-*a*]quinolinediones

Li-Rong Wen, Qi-Chang Sun, Hai-Liang Zhang and Ming Li*

A highly efficient and straightforward three-component cascade reaction was developed to synthesize benzo[*g*]imidazo[1,2-*a*]quinolinedione derivatives with high regioselectivity under mild conditions.

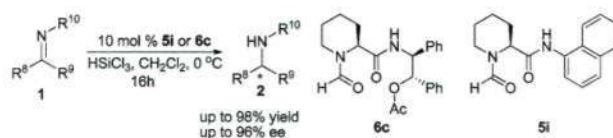


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L-Pipecolic acid derived Lewis base organocatalyst for asymmetric reduction of *N*-aryl imines by trichlorosilane: effects of the side amide group on catalytic performances

Zhouyu Wang,* Chao Wang, Li Zhou and Jian Sun*

A series of *N*-formamides derived from pipecolic acid have been synthesized and tested as Lewis base catalysts for the enantioselective reduction of *N*-aryl imines by trichlorosilane.

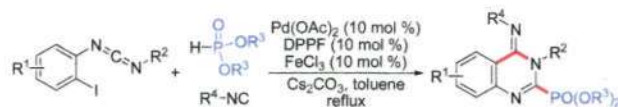


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A concise synthesis of 4-imino-3,4-dihydroquinazolin-2-ylphosphonates via a palladium-catalyzed reaction of carbodiimide, isocyanide, and phosphite

Guanyinsheng Qiu, Yuan Lu and Jie Wu*

A palladium-catalyzed reaction of 2-iodoarylcarbodiimide, isocyanide, and phosphite leads to 4-imino-3,4-dihydroquinazolin-2-ylphosphonates in moderate to good yields. Three bonds are formed in a one pot procedure.

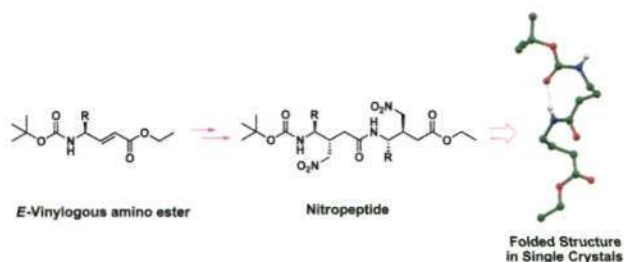


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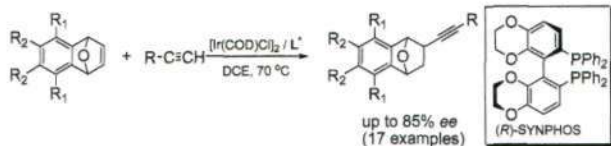
Synthesis and stereochemical analysis of β -nitromethane substituted γ -amino acids and peptides

Mothukuri Ganesh Kumar, Sachitanand M. Mali and Hosahudya N. Gopi*

The high diastereoselectivity in the Michael addition of nitromethane to α,β -unsaturated γ -amino esters, stereochemical analysis of β -nitromethane substituted γ -amino acids and peptides in single crystals are reported.



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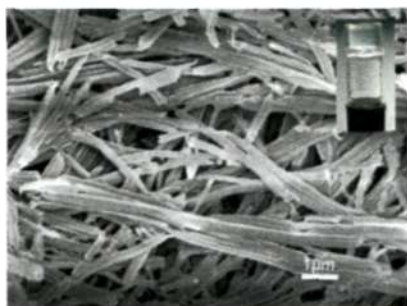


Iridium-catalyzed asymmetric hydroalkynylation reactions of oxabenzonorbornadienes

Jun Hu, Qingjing Yang, Jianbin Xu, Chao Huang, Baomin Fan,* Jun Wang, Chengyuan Lin, Zhaoxiang Bian and Albert S. C. Chan*

Promoted by chiral iridium catalyst, oxabenzonorbornadienes could be alkynylated with terminal alkynes in moderate to good yields and enantioselectivities.

821

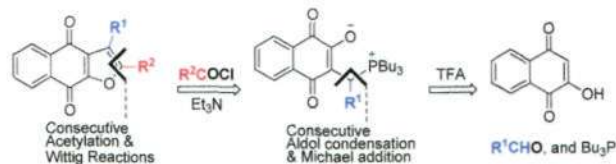


Novel organic gelators based on pentose derivatized diosgenyl saponins

Xiurong Guo, Guang Xin, Shiliang He, Yanyan Wang, Baozhan Huang, Hang Zhao, Zhihua Xing, Qingming Chen,* Wen Huang* and Yang He*

A series of pentose based diosgenyl saponins have been found to efficiently gelate various organic solvents, which enriched the diversity of steroidal low molecular weight organogelators.

828

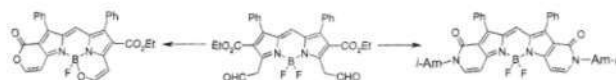


A versatile and practical method for regioselective synthesis of polysubstituted furanonaphthoquinones

Zong-Ze Wu, Yeong-Jiunn Jang, Chia-Jui Lee, Yen-Te Lee and Wenwei Lin*

An efficient synthetic strategy for the polysubstituted furanonaphthoquinones through a three-component reaction and an intramolecular Wittig reaction has been developed.

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3,5-Bis(acetaldehyde) substituted BODIPY

Mykola P. Shandura, Viktor P. Yakubovskiy and Yuriy P. Kovtun*

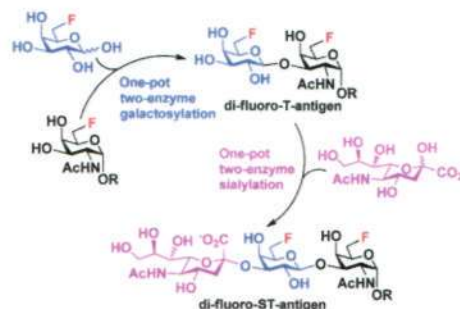
Two versions of a facile and efficient synthetic approach to 3,5-bis(acetaldehyde) substituted BODIPY have been developed and this compound has been used to obtain, in high yields, a variety of 3,5-divinyl BODIPY derivatives.

842

Chemoenzymatic synthesis of mono- and di-fluorinated Thomsen–Friedenreich (T) antigens and their sialylated derivatives

Jun Yan, Xi Chen, Fengshan Wang* and Hongzhi Cao*

Fluorine-containing T antigens were successfully synthesized using an efficient two-step one-pot two-enzyme galactosylation process and used as substrates for one-pot two-enzyme synthesis of fluorinated sialyl T antigens.

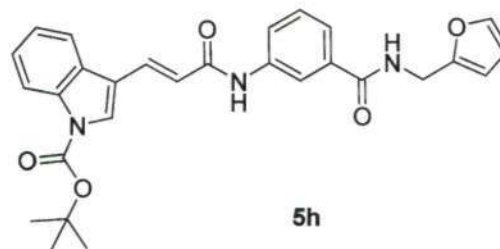


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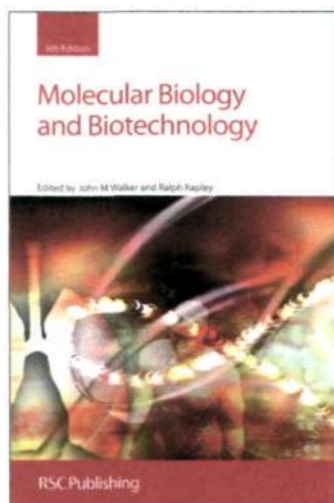
Discovery of indolyl acrylamide derivatives as human diacylglycerol acyltransferase-2 selective inhibitors

Kyeong Lee, Minkyung Kim, Boah Lee, Jail Goo, Jiyoung Kim, Ravi Naik, Jee Hee Seo, Mun Ock Kim, Youngjoo Byun, Gyu-Yong Song, Hyun Sun Lee* and Yongseok Choi*

A novel series of indolyl acrylamide derivatives was synthesized as DGAT inhibitors, identifying compound **5h** as a selective hDGAT-2 inhibitor with an IC_{50} value of $6.9 \mu\text{M}$.



$IC_{50} = >100 \mu\text{M}$ against hDGAT-1
 $IC_{50} = 6.9 \mu\text{M}$ against hDGAT-2



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