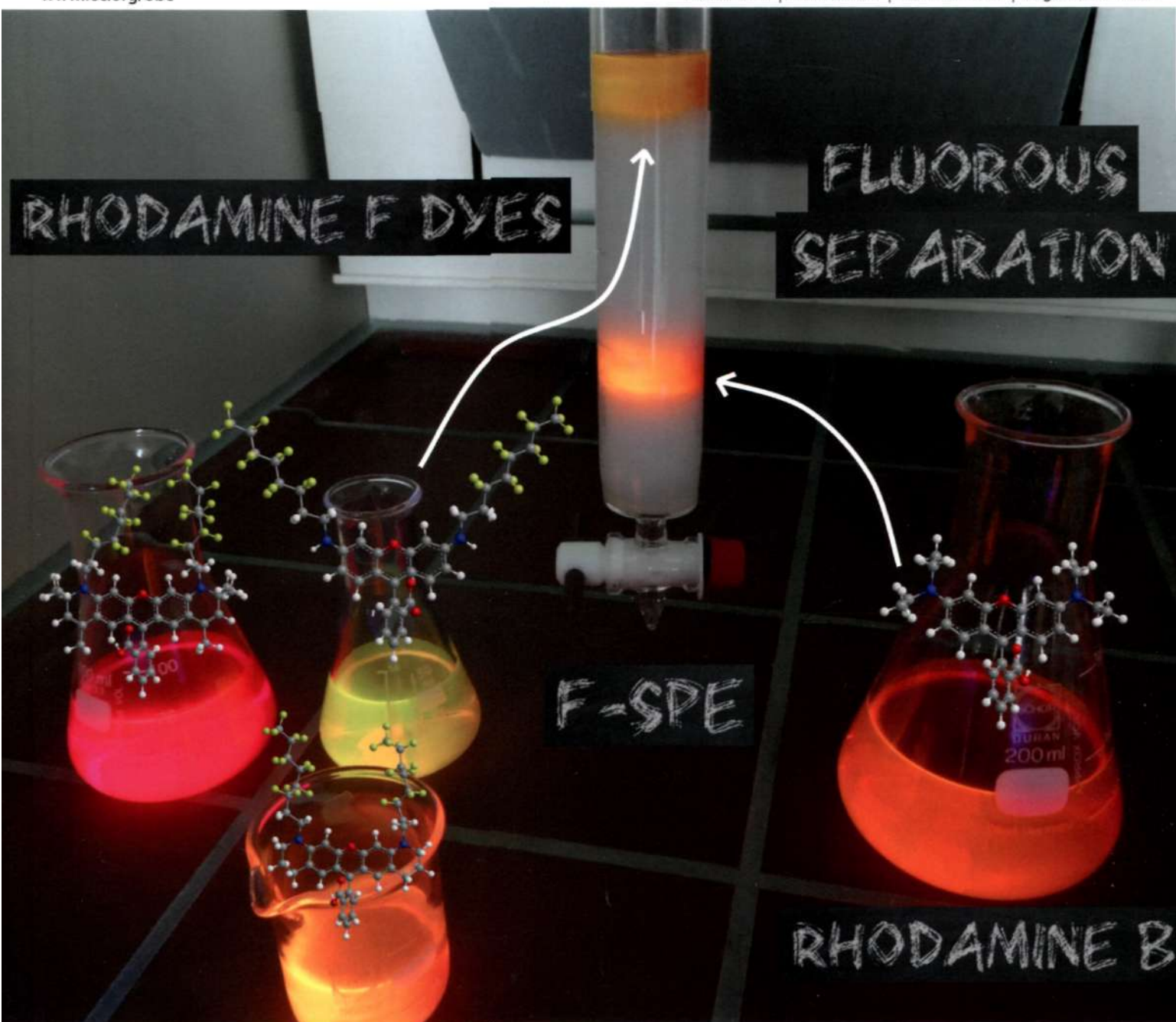


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PAPER

Stefan Bräse *et al.*

Rhodamine F: a novel class of fluororous ponytailed dyes for bioconjugation



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Organic & Biomolecular Chemistry

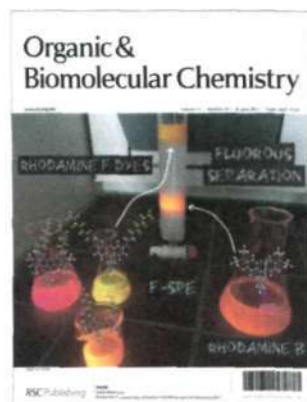
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Cover

See Stefan Bräse *et al.*, pp. 3954–3962.

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Inside cover

See Dariush Ajami and Julius Rebek, Jr., pp. 3936–3942.

Like enzyme active sites, deep cavities fold around their targets, isolate them from the bulk solvent in a hydrophobic pocket and present them with reactive functional groups. The figure shows a stabilized hemiacetal in a cavitand.

Image reproduced by permission of Julius Rebek, Jr. from *Org. Biomol. Chem.*, 2013, **11**, 3936.

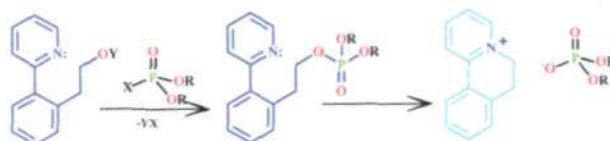
PERSPECTIVE

3936

Chemical approaches for detection and destruction of nerve agents

Dariush Ajami and Julius Rebek Jr.*

Since the introduction of organophosphorus (OP) compounds as nerve agents and pesticides, methods of dealing with their toxicity to humans have been intensely researched.



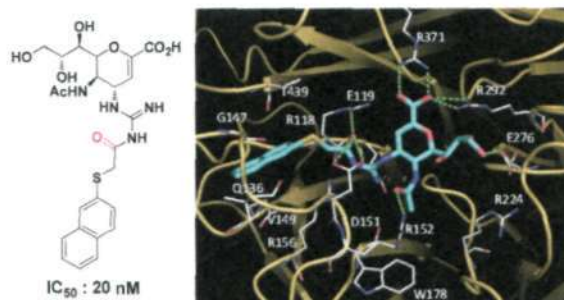
COMMUNICATIONS

3943

Synthesis of acylguanidine zanamivir derivatives as neuraminidase inhibitors and the evaluation of their bio-activities

Chien-Hung Lin, Tsung-Che Chang, Anindya Das, Ming-Yu Fang, Hui-Chen Hung, Kai-Cheng Hsu, Jinn-Moon Yang, Mark von Itzstein, Kwok Kong T. Mong, Tsu-An Hsu* and Chun-Cheng Lin*

A series of acylguanidine-modified zanamivir analogs were synthesized and one compound exhibits inhibitory activity against group-1 NA with an IC_{50} of 20 nM.

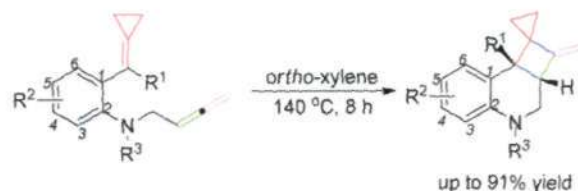


3949

Thermal induced intramolecular [2 + 2] cycloaddition of allene-ACPs

Kai Chen, Run Sun, Qin Xu,* Yin Wei and Min Shi*

A facile synthetic method for preparation of bicyclo[4.2.0] nitrogen heterocycles has been developed *via* a thermal induced intramolecular [2 + 2] cycloaddition reaction of allene-ACPs. The DFT calculations indicate that this intramolecular cycloaddition proceeds in a concerted manner and a strained small ring is essential.



$R^1 = \text{C}_6\text{H}_5, 4\text{-ClC}_6\text{H}_4, 4\text{-MeC}_6\text{H}_4, 4\text{-FC}_6\text{H}_4, \text{Me};$
 $R^2 = 5\text{-Cl}, 4\text{-OMe}, \text{H};$
 $R^3 = \text{Ts}, \text{Ns}, \text{Bs}, \text{Ac}, \text{Bz}, \text{SO}_2\text{Ph}, \text{SO}_2(o\text{-NO}_2\text{C}_6\text{H}_4)$

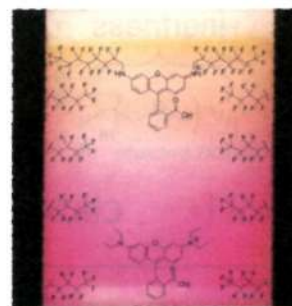
PAPERS

3954

Rhodamine F: a novel class of fluororous ponytailed dyes for bioconjugation

Dominik K. Kölmel, Birgit Rudat, Delia M. Braun, Christin Bednarek, Ute Schepers and Stefan Bräse*

New rhodamine-based dyes with incorporated fluororous ponytails are presented. These functional fluorophores combine the F-SPE purification concept with the eminent photophysical properties of rhodamines. Therefore such labeled compounds allow for easy purification and diverse application in diverse fluorescence experiments.

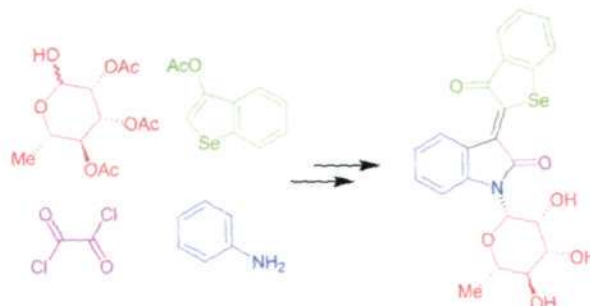


3963

Synthesis and antiproliferative activity of selenindirubins and selenindirubin-N-glycosides

Friedrich Erben, Dennis Kleeblatt, Marcel Sonneck, Martin Hein, Holger Feist, Thomas Fahrenwaldt, Christine Fischer, Abdul Matin, Jamshed Iqbal, Michael Plötz, Jürgen Eberle and Peter Langer*

Selenindirubin-N-glycosides were prepared and their anti-cancer activity was studied.

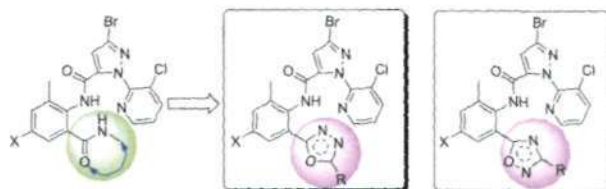


3979

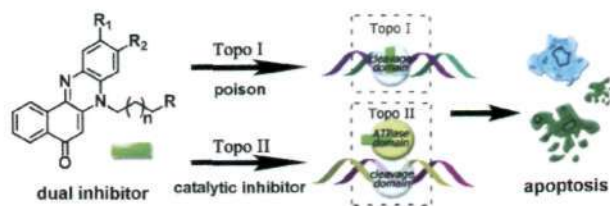
Synthesis, insecticidal activity, and structure–activity relationship (SAR) of anthranilic diamides analogs containing oxadiazole rings

Yuhao Li, Hongjun Zhu,* Kai Chen, Rui Liu, Abdalla Khallaf, Xiangning Zhang and Jueping Ni

A series of anthranilic diamides analogs containing substituted 1,2,4- or 1,3,4-oxadiazole rings show good insecticidal activities against *P. xylostella* and *S. exigua*.



3989

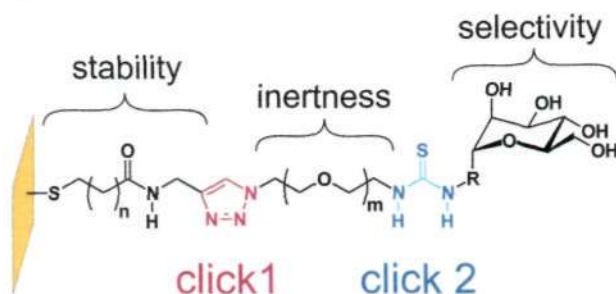


Synthesis and biological evaluation of benzo[a]-phenazine derivatives as a dual inhibitor of topoisomerase I and II

Shi-Tian Zhuo, Chun-Yan Li, Ming-Hao Hu, Shuo-Bin Chen, Pei-Fen Yao, Shi-Liang Huang,* Tian-Miao Ou, Jia-Heng Tan, Lin-Kun An, Ding Li, Lian-Quan Gu and Zhi-Shu Huang*

A series of new benzo[a]phenazine derivatives were synthesized and found to be a rare class of Topo I poisons and Topo II catalytic inhibitors.

4006



A 'dual click' strategy for the fabrication of bioselective, glycosylated self-assembled monolayers as glycocalyx models

Carsten Grabosch, Martin Kind, Yasmin Gies, Felix Schweighöfer, Andreas Terfort* and Thisbe K. Lindhorst*

Two orthogonal click reactions were used to construct glycosylated molecules directly on gold surfaces. These layers can act as models for the surfaces of eukaryotic cells.

4016

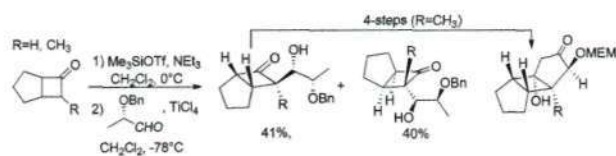


Regio- and chemoselective palladium-catalyzed benzylallylation of activated olefins: the remarkable effect of palladium nanoparticles

Xuan Zhang, Xiujuan Feng,* Xiaoqiang Yu, Ren He and Ming Bao*

Regio- and chemoselective benzylallylation of activated olefins was successfully achieved by utilizing palladium nanoparticles.

4025



Stereoselective cross aldol condensation of bicyclo[3.2.0]alkanones

Laurence Miesch,* Tania Welsch and Michel Miesch*

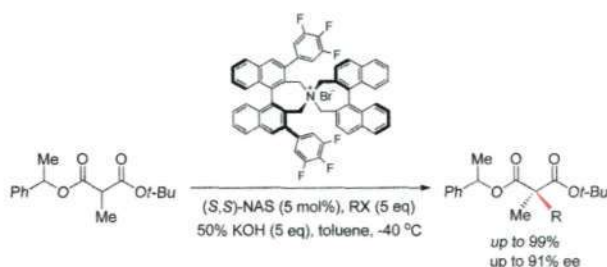
Stereoselective TiCl₄ promoted cross aldol reactions between [(S)-(-)]-benzyloxypropanal and racemic bicyclo[3.2.0]alkanones: an access to an enantiomerically pure tricyclo[5.3.0.0^{2,6}]decane ring system.

4030

Enantioselective phase-transfer catalytic α -alkylation of 2-methylbenzyl *tert*-butyl malonates

Min Woo Ha, Suckchang Hong, Cheonhyoung Park, Yohan Park, Jihye Lee, Mi-hyun Kim, Jihoon Lee and Hyeung-geun Park*

A new asymmetric synthetic method to prepare α,α -dialkylmalonates for the construction of a quaternary carbon center via phase-transfer catalytic (PTC) alkylation has been developed.

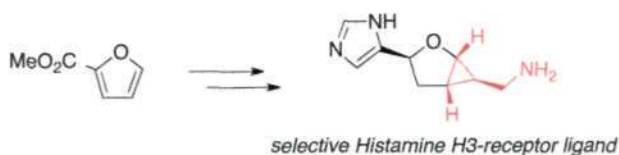


4040

Synthesis and pharmacological characterization of new tetrahydrofuran based compounds as conformationally constrained histamine receptor ligands

Julian Bodensteiner, Paul Baumeister, Roland Geyer, Armin Buschauer* and Oliver Reiser*

A series of tetrahydrofuran based compounds with a bicyclic core were synthesized and investigated by radioligand binding and functional studies at the human histamine receptor subtypes.

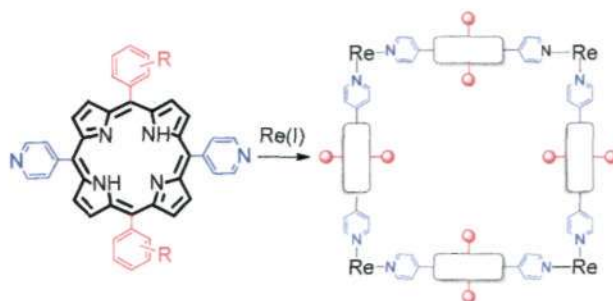


4056

New *meso*-substituted *trans*-A₂B₂ di(4-pyridyl)-porphyrins as building blocks for metal-mediated self-assembly of 4 + 4 Re(I)-porphyrin metallacycles

Mariangela Boccalon, Elisabetta Iengo* and Paolo Tecilla*

An optimized high-yield synthesis of *meso*-arylsubstituted *trans*-A₂B₂ di(4-pyridyl)porphyrins and their use as building blocks in the Re(I)-mediated self-assembly of square metallacycles are presented.

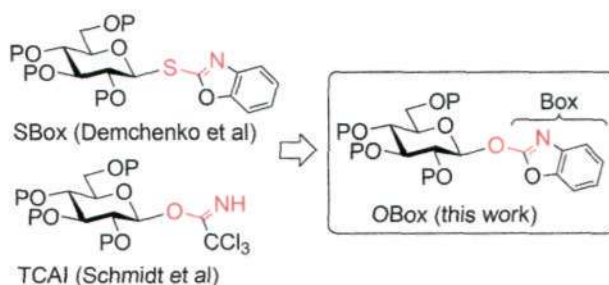


4068

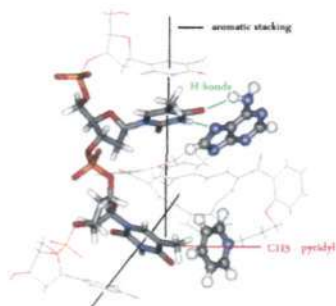
O-Benzoxazolyl imidates as versatile glycosyl donors for chemical glycosylation

Swati S. Nigudkar, Archana R. Parameswar, Papapida Pornsuriyasak, Keith J. Stine and Alexei V. Demchenko*

Herein, we report a new class of glycosyl donors, benzoxazolyl imidates, for chemical glycosylation.



4077

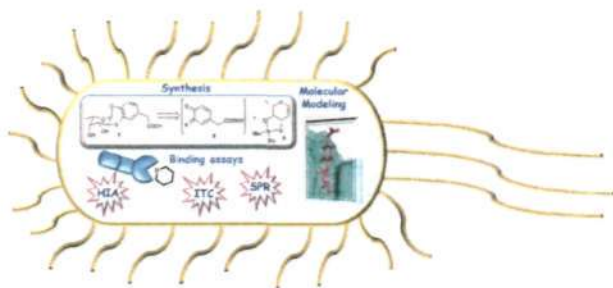


Dibenzotetraaza[14]annulene–adenine conjugate recognizes complementary poly dT among ss-DNA/ss-RNA sequences

Marijana Radić Stojković, Marko Škugor, Sanja Tomić, Marina Grabar, Vilko Smrečki, Łukasz Dudek, Jarosław Grolík, Julita Eilmes* and Ivo Piantanida*

DBTAA–(CH₂)₃–adenine: the first small molecule able to recognize consecutive oligo dT sequence by affinity and specific chiroptical (ICD) response.

4086

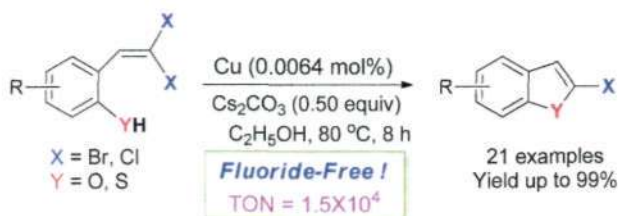


Synthesis of a selective inhibitor of a fucose binding bacterial lectin from *Burkholderia ambifaria*

Barbara Richichi,* Anne Imberty, Emilie Gillon, Rosa Bosco, Ieva Sutkeviciute, Franck Fieschi and Cristina Nativi

The stereoselective synthesis of the new fucose-based derivative **1**, as the first example of glycomimetics selectively recognized by the fucose-binding lectin BamBL from *Burkholderia ambifaria*, is described.

4095



Trace amount Cu (ppm)-catalyzed intramolecular cyclization of 2-(*gem*-dibromovinyl)phenols-(thiophenols) to 2-bromobenzofurans(thiophenes)

Yong Ji, Pinhua Li, Xiuli Zhang* and Lei Wang*

An intramolecular cyclization of 2-(*gem*-dibromovinyl)-phenols(thiophenols) to give 2-bromobenzofurans-(thiophenes) in the presence of Cu (25 ppm, 0.0064 mol%) has been developed.

4102



A transition metal-free tandem process to pyridazinopyrido[3,2-*f*][1,4]thiazepine-diones via Smiles rearrangement

Xiaoyi Niu, Bingchuan Yang, Yanqiu Li, Shuai Fang, Zixiao Huang, Caixia Xie and Chen Ma*

A transition metal-free methodology for the synthesis of pyridazinopyrido[3,2-*f*][1,4]thiazepine-diones was studied. The high yields of pure products were obtained by recrystallization *via* a one-pot coupling–Smiles rearrangement–cyclization process.

4109

Biocompatible, multifunctional, and well-defined OEG-based dendritic platforms for biomedical applications

Lorena Simón-Gracia, Daniel Pulido, Chantal Sevrin, Christian Grandfils, Fernando Albericio* and Miriam Royo*

Multifunctional and well-defined OEG-based dendron platforms with high chemical versatility and biocompatibility have been described as being useful for biomedical applications.

