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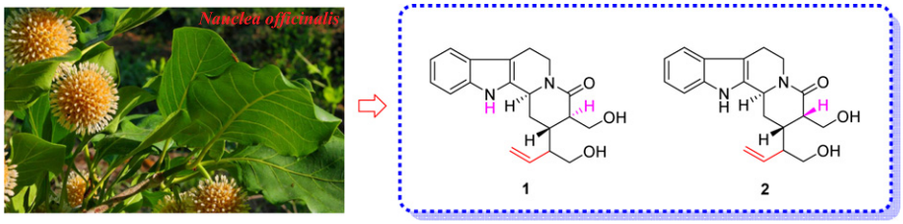
REGULAR ARTICLES

Bioactive monoterpene indole alkaloids from *Nauclea officinalis*

Bioorganic Chemistry 83 (2019) pp. 1–5

Yan-Ping Liu[a,c,1], Qing-Long Liu[a,b,1], Xiang-Lin Zhang[a,c], Hai-Yuan Niu[a,c], Chun-Yan Guan[a,c], Fu-Kang Sun[a,c], Wei Xu[b,*], Yan-Hui Fu[a,b,c,*]

[a]*Key Laboratory of Tropical Medicinal Plant Chemistry of Ministry of Education, Hainan Normal University, Haikou 571158, PR China*
[b]*College of Pharmacy, Fujian University of Traditional Chinese Medicine, Fuzhou 350122, PR China*
[c]*Key Laboratory of Southern Medicinal Plants Resources of Haikou City, Hainan Normal University, Haikou 571158, PR China*



Novel hybrids of benzothiazole-1,3,4-oxadiazole-4-thiazolidinone: Synthesis, *in silico* ADME study, molecular docking and *in vivo* anti-diabetic assessment

Bioorganic Chemistry 83 (2019) pp. 6–19

Rubina Bhutani[a,*], Dharam Pal Pathak[a], Garima Kapoor[a], Asif Husain[b], Md. Azhar Iqbal[b]

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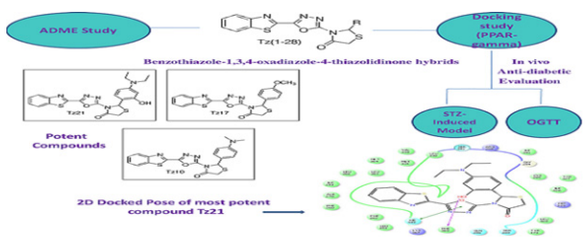
[b]*Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Hamdard University, New Delhi, India*



New iridal-type triterpenoid derivatives with cytotoxic activities from *Belamcanda chinensis*

Bioorganic Chemistry 83 (2019) pp. 20–28

Jiayuan Li, Gang Ni, Li Li, Yanfei Liu, Zhenpeng Mai, Renzhong Wang, Dequan Yu[*]

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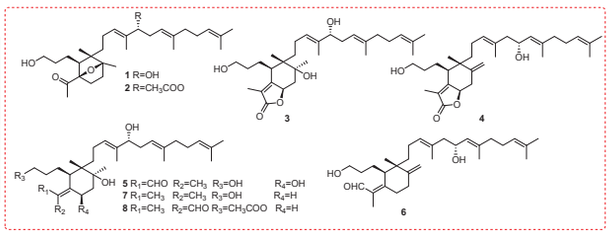
Belamcanda chinensis



Biology-oriented drug synthesis (BIODS), *in vitro* urease inhibitory activity, and *in silico* study of *S*-naproxen derivatives

Bioorganic Chemistry 83 (2019) pp. 29–46

Ghulam Mohiuddin[a], Khalid Mohammed Khan[a,e,*], Uzma Salar[a], Kanwal[a], Muhammad Arif Lodhi[b], Abdul Wadood[c], Muhammad Riaz[c], Shahnaz Perveen[d]

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[b]*Department of Biochemistry, Abdul Wali Khan University, Mardan, KP, Pakistan*
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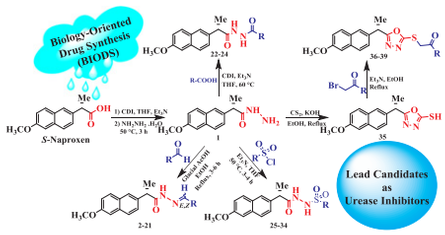
[e]*Department of Clinical Pharmacy, Institute for Research and Medical Consultations (IRMC), Imam Abdulrahman Bin Faisal University, P.O. Box 31441, Dammam, Saudi Arabia*



Synthesis of new pyrazoles and pyrozolo [3,4-*b*] pyridines as anti-inflammatory agents by inhibition of COX-2 enzyme

Bioorganic Chemistry 83 (2019) pp. 47–54

Lamia W. Mohamed[a,*], Mohamed A. Shaaban[a], Ashraf F. Zaher[a], Shimaa M. Alhamaky[b], Ayman M. Elsahar[c]

[a]*Pharmaceutical Organic Chemistry Department, Faculty of Pharmacy, Cairo University, Cairo 11562, Egypt*
[b]*Pharmaceutical Chemistry Department, Faculty of Pharmacy, Menofia University, Menofia, Egypt*
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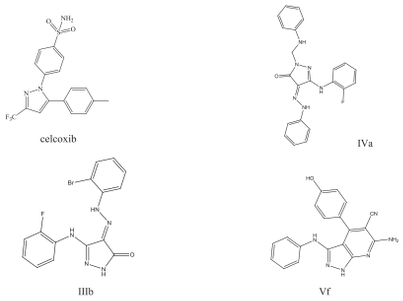

Synthesis of novel *N*-(1,3-thiazol-2-yl)benzamide clubbed oxadiazole scaffolds: Urease inhibition, Lipinski rule and molecular docking analyses

Bioorganic Chemistry 83 (2019) pp. 63–75

Muhammad Athar Abbasi[a,b,*], Hussain Raza[a], Aziz-ur-Rehman[b], Sabahat Zahra Siddiqui[b], Syed Adnan Ali Shah[c], Mubashir Hassan[a], Sung-Yum Seo[a,*]

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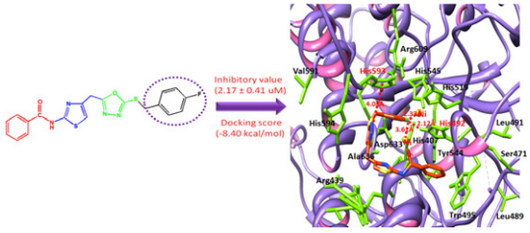
[b]*Department of Chemistry, Government College University, Lahore 54000, Pakistan*
[c]*Faculty of Pharmacy and Atta-ur-Rahman Institute for Natural Products Discovery (AuRIns), Level 9, FF3, Universiti Teknologi MARA, Puncak Alam Campus, 42300 Bandar Puncak Alam, Selangor Darul Ehsan, Malaysia*



bioNMR-based identification of natural anti-Aβ compounds in *Peucedanum ostruthium*

Bioorganic Chemistry 83 (2019) pp. 76–86

Alessandro Palmioli[a,b,*], Sara Bertuzzi[a], Ada De Luigi[c], Laura Colombo[c], Barbara La Ferla[a], Mario Salmona[c], Ivano De Noni[d], Cristina Airoldi[a,b,*]

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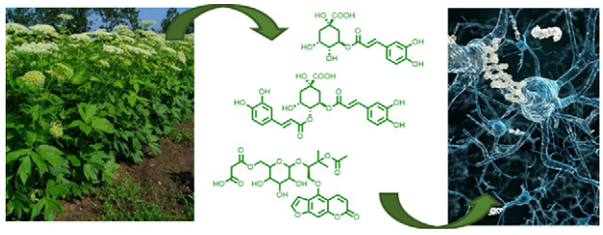

Design, synthesis, structural characterization and *in vitro* evaluation of new 1,4-disubstituted-1,2,3-triazole derivatives against glioblastoma cells

Bioorganic Chemistry 83 (2019) pp. 87–97

Veronica D. da Silva[a], Bruna M. de Faria[b], Eduardo Colombo[a], Lucas Ascari[c], Gabriella P.A. Freitas[b], Leonã S. Flores[d], Yraima Cordeiro[c], Luciana Romão[b], Camilla D. Buarque[a,*]

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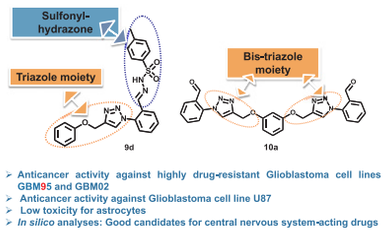

Trichosanhemiketal A and B: Two 13,14-*seco*-13,14-epoxyporiferastanes from the root of *Trichosanthes kirilowii* Maxim.

Bioorganic Chemistry 83 (2019) pp. 105–110

Manh Tuan Ha[a,b], Thanh Nam Phan[c], Jeong Ah Kim[d,*], Won Keun Oh[e], Jeong Hyung Lee[c], Mi Hee Woo[a], Byung Sun Min[a,*]

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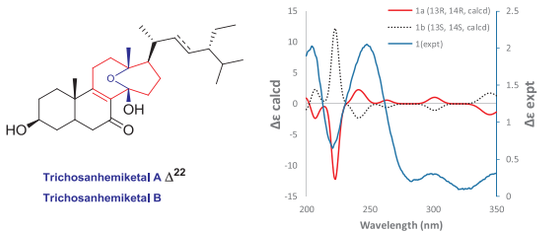
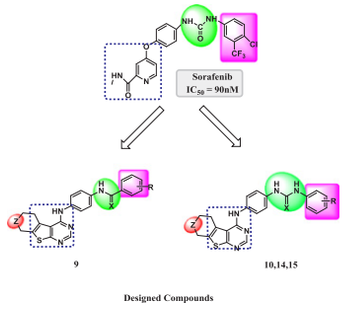


Design, synthesis and molecular modeling study of certain VEGFR-2 inhibitors based on thienopyrimidne scaffold as cancer targeting agents

Bioorganic Chemistry 83 (2019) pp. 111–128

Amna Ghith[a], Khairia M. Youssef[a], Nasser S.M. Ismail[a,*], Khaled A.M. Abouzid[b,*]

[a]*Pharmaceutical Chemistry Department, Faculty of Pharmaceutical Sciences and Pharmaceutical Industries, Future University in Egypt, Cairo 12311, Egypt*
[b]*Pharmaceutical Chemistry Department, Faculty of Pharmacy, Ain Shams University, Cairo 11566, Egypt*



α-Pyrones, secondary metabolites from fungus *Cephalotrichum microsporum* and their bioactivities

Bioorganic Chemistry 83 (2019) pp. 129–134

He Zhu[a,1], Dawei Li[c,1], Qingsong Yan[b,1], Yue An[b], Xiaokui Huo[b], Tianyuan Zhang[a], Mengyue Zhang[a], Chao Wang[b,*], Mingyu Xia[a], Xiaochi Ma[b,*], Yixuan Zhang[a,*]

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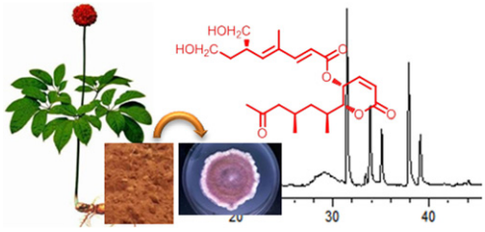

Dual effects of isoflavonoids from *Pueraria lobata* roots on estrogenic activity and anti-proliferation of MCF-7 human breast carcinoma cells

Bioorganic Chemistry 83 (2019) pp. 135–144

Soo-Yeon Ahn[a,1], Mun Seok Jo[b,1], Dahae Lee[b,1], Seon-Eun Baek[a], Jiwon Baek[b], Jae Sik Yu[b], Jeyun Jo[c], Hwayoung Yun[c], Ki Sung Kang[d], Jeong-Eun Yoo[a,*], Ki Hyun Kim[b,*]

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[c]*College of Pharmacy, Pusan National University, Busan 46241, Republic of Korea*
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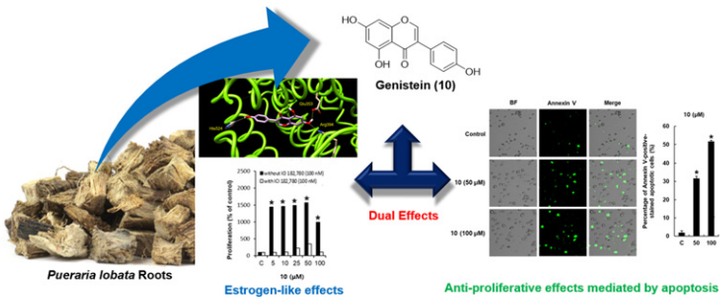

2-Aryl-quinazolin-4(3*H*)-ones as an inhibitor of leishmania folate pathway: *In vitro* biological evaluation, mechanism studies and molecular docking

Bioorganic Chemistry 83 (2019) pp. 145–153

Angel H. Romero[a,b,*], Noris Rodríguez[a], Henry Oviedo[a]

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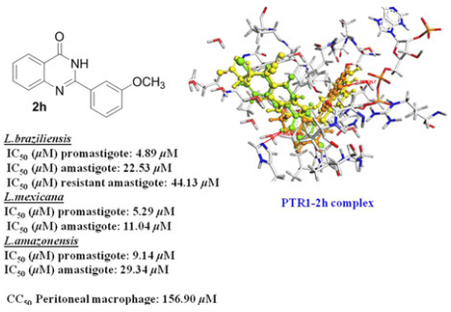


L.braziliensis
IC_{50} (μM) promastigote: 4.89 μM
IC_{50} (μM) amastigote: 22.53 μM
IC_{50} (μM) resistant amastigote: 44.13 μM
L.mexicana
IC_{50} (μM) promastigote: 5.29 μM
IC_{50} (μM) amastigote: 11.04 μM
L.amazonensis
IC_{50} (μM) promastigote: 9.14 μM
IC_{50} (μM) amastigote: 29.34 μM

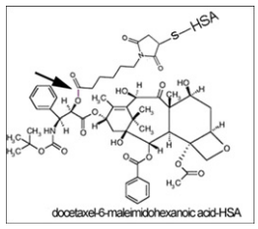
CC_{50} Peritoneal macrophage: 156.90 μM

Preparation, characterization and *in vitro* activity of a docetaxel–albumin conjugate

Bioorganic Chemistry 83 (2019) pp. 154–160

Jing Gao[1], Shougang Jiang[1], Xuewei Zhang, Yujie Fu, Zhiguo Liu[*]

Key Laboratory of Forest Plant Ecology, Ministry of Education, Northeast Forestry University, Harbin 150040, People's Republic of China
State Engineering Laboratory of Bio-Resource Eco-Utilization, Harbin 150040, People's Republic of China



Design and synthesis of novel quinazolinone-1,2,3-triazole hybrids as new anti-diabetic agents: *In vitro* α-glucosidase inhibition, kinetic, and docking study

Bioorganic Chemistry 83 (2019) pp. 161–169

Mina Saeedi[a,b], Maryam Mohammadi-Khanaposhtani[c], Parvaneh Pourrabia[b], Nima Razzaghi[d], Reza Ghadimi[e], Somaye Imanparast[f], Mohammad Ali Faramarzi[f], Fatemeh Bandarian[g], Ensieh Nasli Esfahani[g], Maliheh Safavi[h], Hossein Rastegar[i], Bagher Larijani[j], Mohammad Mahdavi[j,*], Tahmineh Akbarzadeh[d,b,*]

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[b]*Persian Medicine and Pharmacy Research Center, Tehran University of Medical Sciences, Tehran, Iran*
[c]*Cellular and Molecular Biology Research Center, Health Research Institute, Babol University of Medical Sciences, Babol, Iran*
[d]*Department of Medicinal Chemistry, Faculty of Pharmacy, Tehran University of Medical Sciences, Tehran, Iran*
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[i]*Food and Drug Research Institute, Food and Drug Administration, MOHE, Tehran, Iran*
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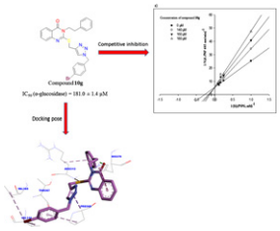

Synthesis of 1,2,4-triazole-5-on derivatives and determination of carbonic anhydrase II isoenzyme inhibition effects

Bioorganic Chemistry 83 (2019) pp. 170–179

Safak Akin[a], Hasan Ayaloglu[a], Ergun Gultekin[a], Ahmet Colak[a], Olcay Bekircan[a,*], Melike Yildirim Akatin[b]

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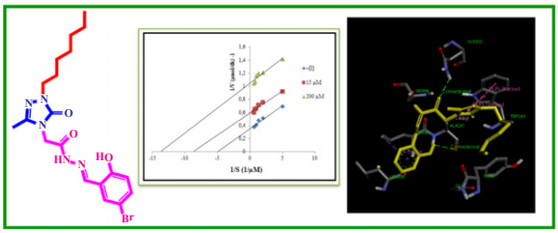

In vitro activities of a new fluoroquinolone derivative highly active against *Chlamydia trachomatis*

Bioorganic Chemistry 83 (2019) pp. 180–185

Thi Huyen Vu[a,b], Nguyet-Thanh Ha-Duong[a], Alexandra Aubry[c,d], Estelle Capton[d], Pierre Fechter[e,f], Patrick Plésiat[g], Philippe Verbeke[h], Nawal Serradji[a,*]

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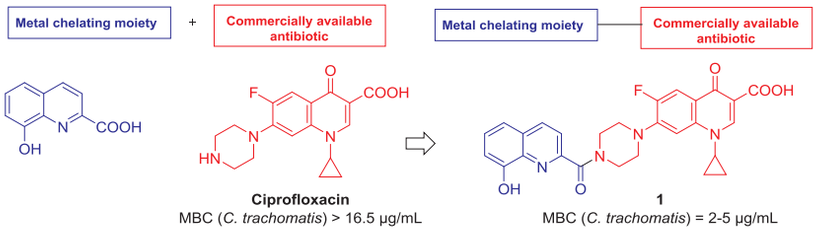
[g]*Centre National de Référence de la résistance aux antibiotiques, Hôpital Jean Minjoz, boulevard Fleming, 25030 Besançon, France*
[h]*Univ Paris Diderot, Sorbonne Paris Cité, INSERM U1149, Faculté de médecine Xavier Bichat, 16 rue Henri Huchard, F-75018 Paris, France*



Synthesis and anti-proliferative activity of some new quinoline based 4,5-dihydropyrazoles and their thiazole hybrids as EGFR inhibitors

Bioorganic Chemistry 83 (2019) pp. 186–197

Riham F. George[a,*], Eman M. Samir[b], Mennatullah N. Abdelhamed[b], Hatem A. Abdel-Aziz[c], Safinaz E-S. Abbas[a]

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[b]Organic Chemistry Department, National Organization For Drug & Control Research, Cairo, Egypt
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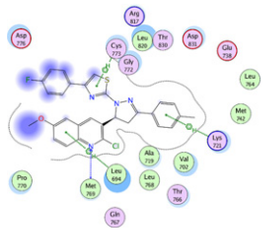

4-Substituted benzenesulfonamides featuring cyclic imides moieties exhibit potent and isoform-selective carbonic anhydrase II/IX inhibition

Bioorganic Chemistry 83 (2019) pp. 198–204

Alaa A.-M. Abdel-Aziz[a,b,*], Adel S. El-Azab[a,c], Adel H. Ghiaty[c], Paola Gratteri[d], Claudiu T. Supuran[e], Alessio Nocentini[d,e,*]

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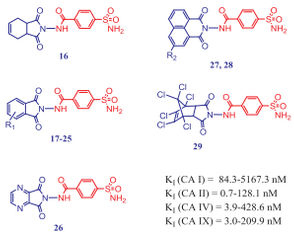

Understanding the cytotoxic effects of new isovanillin derivatives through phospholipid Langmuir monolayers

Bioorganic Chemistry 83 (2019) pp. 205–213

Ana C. de Carvalho[a], Natália Girola[b], Carlos R. de Figueiredo[b], André C. Machado[a], Lívia S. de Medeiros[a], Rafael C. Guadagnin[a], Luciano Caseli[a], Thiago A.M. Veiga[a,*]

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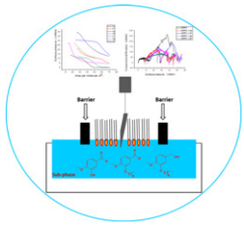
[b]Department of Microbiology, Immunology and Parasitology, Experimental Oncology Unit (UNONEX), Federal University of São Paulo, São Paulo, Brazil



A novel bi-functional chalcone inhibits multi-drug resistant *Staphylococcus aureus* and potentiates the activity of fluoroquinolones

Bioorganic Chemistry 83 (2019) pp. 214–225

Vivek Kumar Gupta[a], Rashmi Gaur[b], Atin Sharma[a], Jawed Akther[a], Mahak Saini[a], Rajendra Singh Bhakuni[b], Ranjana Pathania[a,*]

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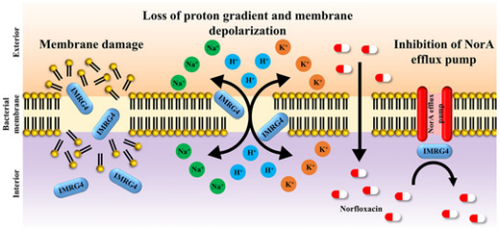
[b]Medicinal Chemistry Division, CSIR-Central Institute of Medicinal and Aromatic Plants, Lucknow 226015, India



Synthesis and 2D-QSAR study of dispiropyrrolodinyl-oxindole based alkaloids as cholinesterase inhibitors

Bioorganic Chemistry 83 (2019) pp. 226–234

Aladdin M. Srour[a,*], Dina H. Dawood[b], Mohammed N.A. Khalil[c], Zienab M. Nofal[a]

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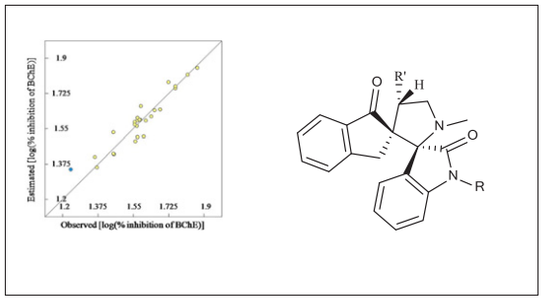
[b]Chemistry of Natural and Microbial Products Department, Pharmaceutical and Drug Industries Research Division, National Research Centre, Dokki, Giza 12622, Egypt
[c]Pharmacognosy Department, Faculty of Pharmacy, Cairo University, Kasr el Aini St., 11562 Cairo, Egypt

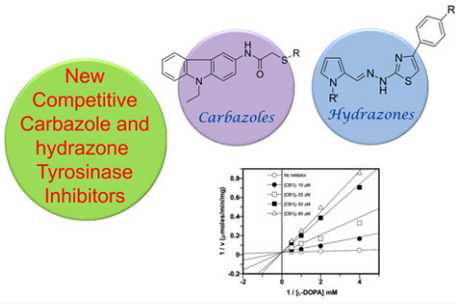


Carbazole and hydrazone derivatives as new competitive inhibitors of tyrosinase: Experimental clues to binuclear copper active site binding

Bioorganic Chemistry 83 (2019) pp. 235–241

Usman Ghani

Clinical Biochemistry Unit, Department of Pathology, College of Medicine, King Saud University, Riyadh 11461, Saudi Arabia

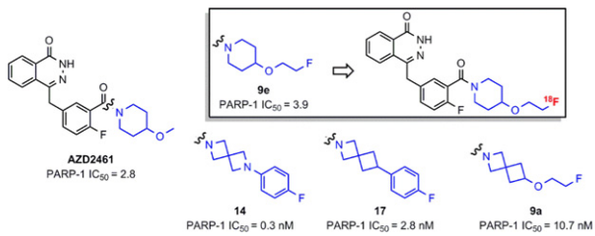


Synthesis and evaluation of an AZD2461 [^{18}F] PET probe in non-human primates reveals the PARP-1 inhibitor to be non-blood-brain barrier penetrant

Bioorganic Chemistry 83 (2019) pp. 242–249

Sean W. Reilly[a], Laura N. Puentes[b], Alexander Schmitz[a], Chia-Ju Hsieh[a], Chi-Chang Weng[a], Catherine Hou[a], Shihong Li[a], Yin-Ming Kuo[a], Prashanth Padakanti[a], Hsiaoju Lee[a], Aladdin A. Riad[a], Mehran Makvandi[a,*], Robert H. Mach[a,*]

[a]Department of Radiology, University of Pennsylvania, Philadelphia, PA 19104, USA
[b]Department of Systems Pharmacology and Translational Therapeutics, University of Pennsylvania, 421 Curie Boulevard, Philadelphia, PA 19104, USA



New benzimidazothiazole derivatives as anti-inflammatory, antitumor active agents: Synthesis, in-vitro and in-vivo screening and molecular modeling studies

Bioorganic Chemistry 83 (2019) pp. 250–261

Mohamed M. El-Kerdawy[a], Mariam A. Ghaly[a], Sara A. Darwish[a], Hatem A. Abdel-Aziz[b], Ahmad R. Elsheakh[c], Rehab S. Abdelrahman[c], Ghada S. Hassan[a,*]

[a]Department of Medicinal Chemistry, Faculty of Pharmacy, Mansoura University, 35516 Mansoura, Egypt
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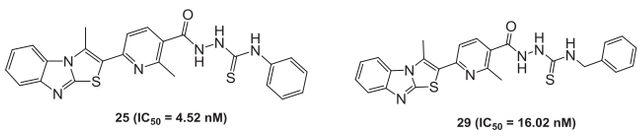

Novel nalidixic acid derivatives targeting topoisomerase II enzyme; Design, synthesis, anticancer activity and effect on cell cycle profile

Bioorganic Chemistry 83 (2019) pp. 262–276

Omneya M. Khalil[a], Ehab M. Gedawy[a,b,*], Afaf A. El-Malah[a], Mina E. Adly[a]

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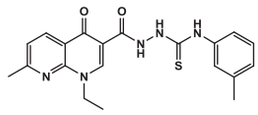
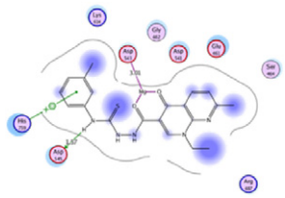
[b]Pharmaceutical Chemistry Department, Faculty of Pharmacy, Badr University In Cairo BUC, Cairo, Egypt



6a

IC_{50} = 13.85 μM against SR leukemia cell line
IC_{50} = 36.74 μM against K-562 leukemia cell line
IC_{50} = 1.30 μM against topoisomerase IIα
IC_{50} = 0.017 μM against topoisomerase IIβ
Iduced cell cycle arrest at G2-M phase leading to inhibition of cell proliferation and apoptosis



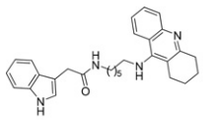
2D interaction of **6a** with DNA binding site of topoisomerase II

Molecular-docking-guided design and synthesis of new IAA-tacrine hybrids as multifunctional AChE/BChE inhibitors

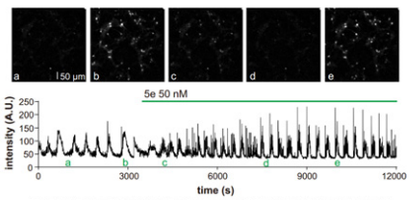
Bioorganic Chemistry 83 (2019) pp. 277–288

Zhi-Qiang Cheng[a,1], Kong-Kai Zhu[a,b,1], Juan Zhang[a,1], Jia-Li Song[a], Luis Alexandre Muehlmann[c,d], Cheng-Shi Jiang[a,*], Chang-Liang Liu[e,f,*], Hua Zhang[a,*]

[a]School of Biological Science and Technology, University of Jinan, Jinan 250022, China
[b]Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Shanghai 201203, China
[c]Institute of Biological Sciences, University of Brasília, Brasilia 70910900, Brazil
[d]Faculty of Ceilandia, University of Brasília, Brasilia 72220275, Brazil
[e]Cambrian Discovery Inc., Dover, MA 02115, USA
[f]Department of Neurobiology, Harvard Medical School, Boston, MA 02115, USA



IAA-tacrine hybrid **5e**
AChE: IC_{50} = 0.173±0.012 μM
BChE: IC_{50} = 0.066±0.003 μM



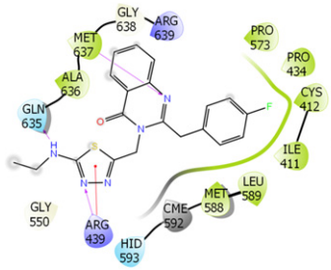
50 nM of **5e** could increase the frequency of the network oscillation and decrease the duration of synchronized firing

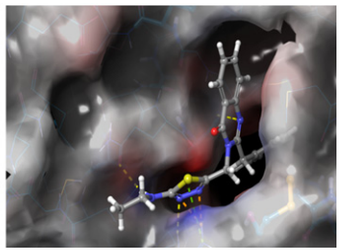
Synthesis, *in vitro* urease inhibition and molecular docking studies of some novel quinazolin-4(3*H*)-one derivatives containing triazole, thiadiazole and thiosemicarbazide functionalities

Bioorganic Chemistry 83 (2019) pp. 289–296

Emre Menteşe*, Gülay Akyüz, Mustafa Emirik, Nimet Baltaş

Department of Chemistry, Art and Science Faculty, Recep Tayyip Erdogan University, Rize, Turkey





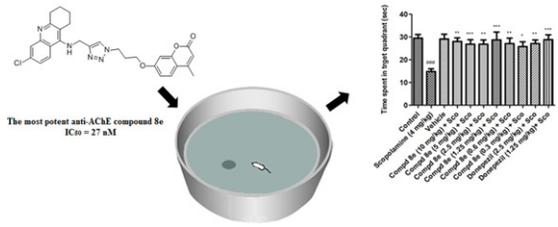
IC_{50}= 1.88±0.17 μg/mL

Novel tacrine-coumarin hybrids linked to 1,2,3-triazole as anti-Alzheimer's compounds: *In vitro* and *in vivo* biological evaluation and docking study

Bioorganic Chemistry 83 (2019) pp. 303–316

Zahra Najafi[a,b], Mohammad Mahdavi[c], Mina Saeedi[d,e], Elahe Karimpour-Razkenari[e], Najmeh Edraki[f], Mohammad Sharifzadeh[g], Mahnaz Khanavi[h], Tahmineh Akbarzadeh[a,e,*]

[a]*Department of Medicinal Chemistry, Faculty of Pharmacy, Tehran University of Medical Sciences, Tehran, Iran*
[b]*Department of Medicinal Chemistry, School of Pharmacy, Hamadan University of Medical Sciences, Hamadan, Iran*
[c]*Endocrinology and Metabolism Research Center, Endocrinology and Metabolism Clinical Sciences Institute, Tehran University of Medical Sciences, Tehran, Iran*
[d]*Medicinal Plants Research Center, Faculty of Pharmacy, Tehran University of Medical Sciences, Tehran, Iran*
[e]*Persian Medicine and Pharmacy Research Center, Tehran University of Medical Sciences, Tehran, Iran*
[f]*Medicinal and Natural Products Chemistry Research Center, Shiraz University of Medical Sciences, Shiraz, Iran*
[g]*Department of Pharmacology and Toxicology, Faculty of Pharmacy, Tehran University of Medical Sciences, Tehran, Iran*
[h]*Department of Pharmacognosy, Faculty of Pharmacy, Tehran University of Medical Sciences, Tehran, Iran*

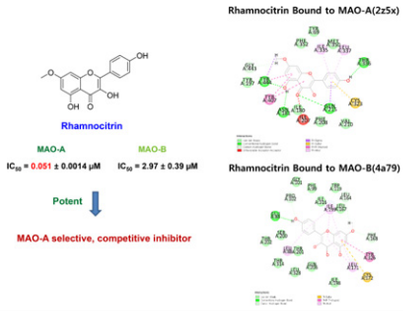


Rhamnocitrin isolated from *Prunus padus* var. *seoulensis*: A potent and selective reversible inhibitor of human monoamine oxidase A

Bioorganic Chemistry 83 (2019) pp. 317–325

Seung Cheol Baek[a], Mi Hyeon Park[b], Hyung Won Ryu[b], Jae Pil Lee[a], Myung-Gyun Kang[c], Daeui Park[c], Chul Min Park[d], Sei-Ryang Oh[b], Hoon Kim[a,*]

[a]*Department of Pharmacy and Research Institute of Life Pharmaceutical Sciences, Sunchon National University, Suncheon 57922, Republic of Korea*
[b]*Natural Medicine Research Center, Korea Research Institute of Bioscience and Biotechnology, Cheong-ju si, Chungcheongbuk-do, 28116, Republic of Korea*
[c]*Department of Predictive Toxicology, Korea Institute of Toxicology, Daejeon 34114, Republic of Korea*
[d]*Center for Convergent Research of Emerging Virus Infection, Korea Research Institute of Chemical Technology, Daejeon 34114, Republic of Korea*

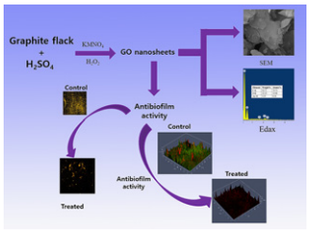


Chemical fabrication of graphene oxide nanosheets attenuates biofilm formation of human clinical pathogens

Bioorganic Chemistry 83 (2019) pp. 326–335

Vaikundamoorthy Ramalingam[a], Sakthivel Raja[b], Subramaniam Sundaramahalingam[c], Rajendran Rajaram[a,*]

[a]*DNA Barcoding and Marine Genomics Laboratory, Department of Marine Science, Bharathidasan University, Tiruchirappalli, Tamil Nadu, India*
[b]*Crystal Growth and Thin Film Laboratory, Department of Physics, Bharathidasan University, Tiruchirappalli, Tamil Nadu, India*
[c]*Department of Electrical and Electronics Engineering, Mepco Schlenk Engineering College, Sivakasi, Tamil Nadu, India*



Design, synthesis and bioevaluation of tricyclic fused ring system as dual binding site acetylcholinesterase inhibitors

Bioorganic Chemistry 83 (2019) pp. 336–347

Saba Tahir Tanoli[a], Muhammad Ramzan[b], Abbas Hassan[c], Abdul Sadiq[d], Muhammad Saeed Jan[d], Farhan A. Khan[a], Farhat Ullah[d], Haseen Ahmad[c], Maria Bibi[a], Tariq Mahmood[a], Umer Rashid[a,*]

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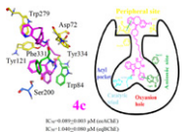
[b]Department of Chemistry, Hazara University, Mansehra 21120, Pakistan
[c]Department of Chemistry, Quaid-i-Azam University, Islamabad 45320, Pakistan
[d]Department of Pharmacy, University of Malakand, Chakdara 18000 Dir (L), Pakistan

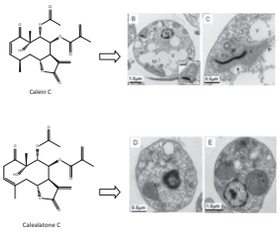


Antileishmanial activity and ultrastructural changes of sesquiterpene lactones isolated from *Calea pinnatifida* (Asteraceae)

Bioorganic Chemistry 83 (2019) pp. 348–353

Lhaís Araújo Caldas[a], Meire L. Yoshinaga[a], Marcelo J.P. Ferreira[b], João H.G. Lago[c], Adriana B. de Souza[d], Márcia D. Laurenti[d], Luiz Felipe. D. Passero[e,f], Patricia Sartorelli[a,*]

[a]Instituto de Ciências Ambientais, Químicas e Farmacêuticas, Universidade Federal de São Paulo, 09972-270 Diadema, SP, Brazil
[b]Departamento de Botânica, Instituto de Biociências, Universidade de São Paulo, 05508-090 São Paulo, SP, Brazil
[c]Centro de Ciências Naturais e Humanas, Universidade Federal do ABC, 09210-180 Santo André, SP, Brazil
[d]Laboratório de Patologia de Moléstias Infecciosas (LIM50), Departamento de Patologia, Faculdade de Medicina da Universidade de São Paulo, SP, Brazil
[e]São Paulo State University (UNESP), Institute of Biosciences, São Vicente. Praça Infante Dom Henrique, s/n, 11330-900 São Vicente, SP, Brazil.
[f]São Paulo State University (UNESP), Institute for Advanced Studies of Ocean, São Vicente. Av. João Francisco Bensdorp, 1178, 11350-011 São Vicente, SP, Brazil

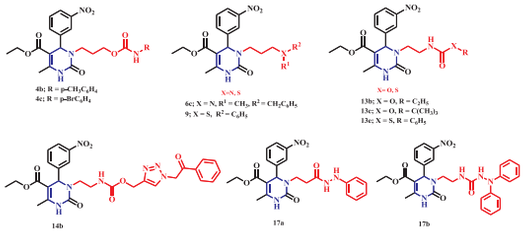


Design, synthesis and pharmacological evaluation of some substituted dihydropyrimidines with L-/T-type calcium channel blocking activities

Bioorganic Chemistry 83 (2019) pp. 354–366

Mohamed Teleb[a], Ola H. Rizk[a,b], Fang-Xiong Zhang[c], Frank R. Fronczek[d], Gerald W. Zamponi[c], Hesham Fahmy[e,*]

[a]Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Alexandria University, Alexandria 21521, Egypt
[b]Department of Pharmaceutical Chemistry, Faculty of Pharmacy and Drug Manufacturing, Pharos University in Alexandria, Alexandria 21311, Egypt
[c]Department of Physiology & Pharmacology, Hotchkiss Brain Institute, University of Calgary, 3330 Hospital Drive NW, Calgary T2N 4N1, Canada
[d]Department of Chemistry, College of Science, Louisiana State University, Baton Rouge, LA 70803, USA
[e]Department of Pharmaceutical Sciences, College of Pharmacy, South Dakota State University, Brookings, SD 57007, USA

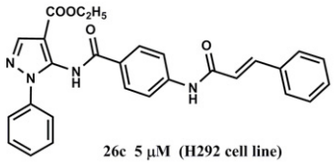


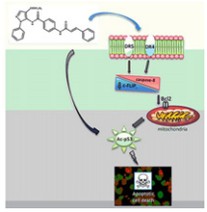
Novel 4-(3-phenylpropionamido), 4-(2-phenoxyacetamido) and 4-(cinnamamido) substituted benzamides bearing the pyrazole or indazole nucleus: synthesis, biological evaluation and mechanism of action

Bioorganic Chemistry 83 (2019) pp. 367–379

Demetrio Raffa[a,1,*], Antonella D'Anneo[b,1], Fabiana Plescia[a,*], Giuseppe Daidone[a], Marianna Lauricella[c,2], Benedetta Maggio[a,2]

[a]University of Palermo, Department of Biological, Chemical and Pharmaceutical Sciences and Technologies (STEBICEF), Medicinal Chemistry and Pharmaceutical Technologies, Via Archirafi 32, 90123 Palermo, Italy
[b]University of Palermo, Department of Biological, Chemical and Pharmaceutical Sciences and Technologies (STEBICEF), Laboratory of Biochemistry, Via del Vespro 129, 90127 Palermo, Italy
[c]University of Palermo, Department of Experimental Biomedicine and Clinical Neurosciences, Laboratory of Biochemistry, Via del Vespro 129, 90127 Palermo, Italy



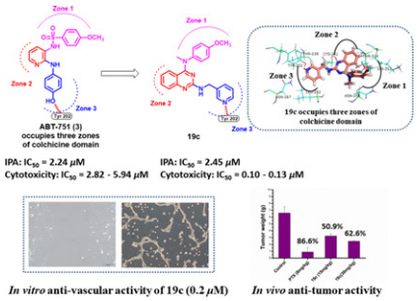


Discovery of novel quinazolines as potential anti-tubulin agents occupying three zones of colchicine domain

Bioorganic Chemistry 83 (2019) pp. 380–390

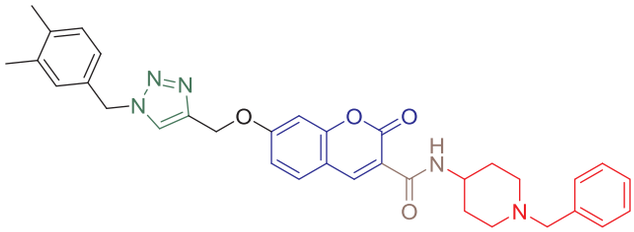
Wenlong Li[a], Ying Yin[a], Wen Shuai[a], Feijie Xu[a], Hong Yao[a], Jie Liu[b,c], Keguang Cheng[c], Jinyi Xu[a,*], Zheying Zhu[d,*], Shengtao Xu[a,*]

[a]State Key Laboratory of Natural Medicines and Department of Medicinal Chemistry, China Pharmaceutical University, 24 Tong Jia Xiang, Nanjing 210009, PR China
[b]Department of Organic Chemistry, China Pharmaceutical University, 24 Tong Jia Xiang, Nanjing 210009, PR China
[c]State Key Laboratory for the Chemistry and Molecular Engineering of Medicinal Resources, and School of Chemistry and Pharmacy, Guangxi Normal University, Guilin 541004, PR China
[d]Division of Molecular Therapeutics & Formulation, School of Pharmacy, The University of Nottingham, University Park Campus, Nottingham NG7 2RD, UK



Design, synthesis and anti-Alzheimer's activity of novel 1,2,3-triazole-chromenone carboxamide derivatives

Bioorganic Chemistry 83 (2019) pp. 391–401

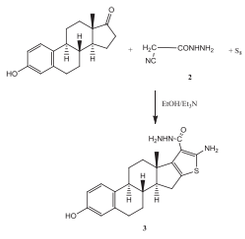


Arezoo Rastegari[a], Hamid Nadri[b], Mohammad Mahdavi[c], Alireza Moradi[b], Seyedeh Sara Mirfazli[d], Najmeh Edraki[e], Farshad Homayouni Moghadam[f], Bagher Larijani[c], Tahmineh Akbarzadeh[a,g], Mina Saeedi[h,g,*]

[a]Department of Medicinal Chemistry, Faculty of Pharmacy, Tehran University of Medical Sciences, Tehran, Iran
[b]Department of Medicinal Chemistry, Faculty of Pharmacy, Shahid Sadoughi University of Medical Sciences, Yazd, Iran
[c]Endocrinology and Metabolism Research Center, Endocrinology and Metabolism Research Institute, Tehran University of Medical Sciences, Tehran, Iran
[d]Department of Medicinal Chemistry, School of Pharmacy-International Campus, Iran University of Medical Sciences, Tehran, Iran
[e]Medicinal and Natural Products Chemistry Research Center, Shiraz University of Medical Sciences, Shiraz, Iran
[f]Department of Cellular Biotechnology at Cell Science Research Center, Royan Institute for Biotechnology, ACECR, Isfahan, Iran
[g]Persian Medicine and Pharmacy Research Center, Tehran University of Medical Sciences, Tehran, Iran
[h]Medicinal Plants Research Center, Faculty of Pharmacy, Tehran University of Medical Sciences, Tehran, Iran

Synthesis, and anti-proliferative, Pim-1 kinase inhibitors and molecular docking of thiophenes derived from estrone

Bioorganic Chemistry 83 (2019) pp. 402–413



Rafat M. Mohareb[a,*], Eman M. Samir[b], Peter A. Halim[c]

[a]Department of Chemistry, Faculty of Science, Cairo University, Giza, Egypt
[b]National Organization for Drug Control & Research, P.O. 29, Cairo, Egypt
[c]Pharmaceutical Organic Chemistry Department, Faculty of Pharmacy, Cairo University, Cairo 11562, Egypt

Synthesis and carbonic anhydrase inhibitory properties of novel 4-(2-aminoethyl)benzenesulfonamide-dipeptide conjugates

Bioorganic Chemistry 83 (2019) pp. 414–423

Hasan Küçükbay[a,*], Nesrin Buğday[a], F. Zehra Küçükbay[b], Emanuela Berrino[c], Gianluca Bartolucci[c], Sonia Del Prete[d], Clemente Capasso[d], Claudiu T. Supuran[c,*]

[a]*İnönü University, Faculty of Arts and Sciences, Department of Chemistry, 44280 Malatya, Turkey*
[b]*İnönü University, Faculty of Pharmacy, Department of Basic Pharmaceutical Sciences, 44280 Malatya, Turkey*
[c]*Dipartimento Neurofarba, Sezione Di Scienze Farmaceutiche E Nutraceutiche e Laboratorio Di Chimica Bioinorganica, Universita' Degli Studi Di Firenze, Sesto Fiorentino, Florence, Italy*
[d]*Istituto di Bioscienze e Biorisorse, CNR, Via Pietro Castellino 111, Napoli, Italy*

30 new dipeptide-sulfonamide conjugates; powerful inhibitor for hCA I, hCA II, hCA IV and hCA XII enzymes (For compounds 27-30 Pg= H)

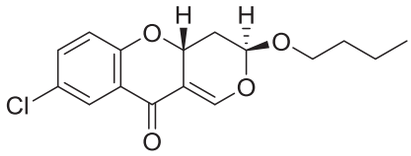
Pg= Protecting group= Z or Boc
Z= Benzyloxycarbonyl
Boc= *tert*-Butyloxycarbonyl

Synthesis and biological evaluation of pyrano[4,3-*b*][1]benzopyranone derivatives as monoamine oxidase and cholinesterase inhibitors

Bioorganic Chemistry 83 (2019) pp. 432–437

Koichi Takao*, Yuka Kubota, Hitoshi Kamauchi, Yoshiaki Sugita

Laboratory of Bioorganic Chemistry, Department of Pharmaceutical Sciences, Faculty of Pharmacy and Pharmaceutical Sciences, Josai University, 1-1 Keyaki-dai, Sakado, Saitama 350-0295, Japan



exo

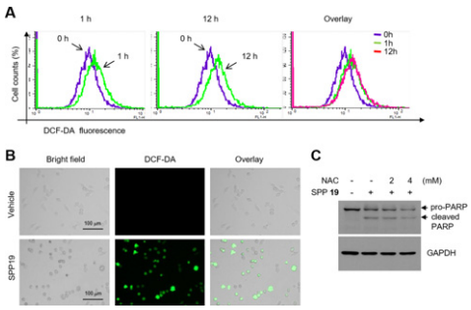
5b: IC_{50} = 0.20 μM

Design, synthesis, and biological activities of 1-aryl-(3-(2-styryl)phenyl)prop-2-en-1-ones

Bioorganic Chemistry 83 (2019) pp. 438–449

Soon Young Shin[a], Junho Lee[b], Jihyun Park[b], Youngshim Lee[b], Seunghyun Ahn[b], Ji Hye Lee[c], Dongsoo Koh[c], Young Han Lee[a,*], Yoongho Lim[b,*]

[a]*Department of Biological Sciences, Konkuk University, Seoul 05029, Republic of Korea*
[b]*Division of Bioscience and Biotechnology, BBRC, Konkuk University, Seoul 05029, Republic of Korea*
[c]*Department of Applied Chemistry, Dongduk Women's University, Seoul 02748, Republic of Korea*

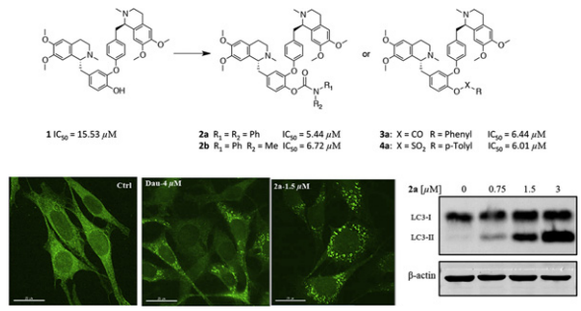


Novel dauricine derivatives suppress cancer via autophagy-dependent cell death

Bioorganic Chemistry 83 (2019) pp. 450–460

Xiaobo Zhou[1], Yuan Qing Qu[1], Zhiyuan Zheng, Betty Yuen Kwan Law, Simon Wing Fai Mok, Zhi-Hong Jiang[*], Vincent Kam Wai Wong[*], Li-Ping Bai[*]

State Key Laboratory of Quality Research in Chinese Medicine, and Macau Institute for Applied Research in Medicine and Health, Macau University of Science and Technology, Taipa, Macau

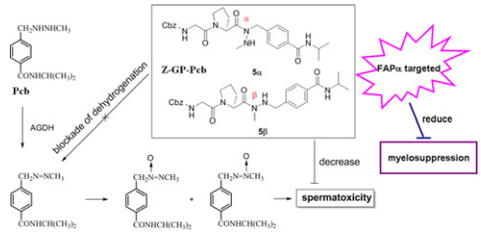


Introduction of Z-GP scaffold into procarbazine reduces spermatoxicity and myelosuppression

Bioorganic Chemistry 83 (2019) pp. 461–467

Rikang Wang[a,b,c], Chao Zhang[a], Chaojun Zheng[b], Huilan Li[b], Xinshu Xie[b], Yi Jin[b], Zhijun Liu[a], Heru Chen[a,d,*]

[a]*Institute of Traditional Chinese Medicine and Natural Products, College of Pharmacy, Jinan University, Guangzhou 510632, PR China*
[b]*National Pharmaceutical Engineering Center for Solid Preparation in Chinese Herbal Medicine, Jiangxi University of Traditional Chinese Medicine, Nanchang 330006, PR China*
[c]*Shenzhen Key Laboratory for Anti-ageing and Regenerative Medicine, Health Science Center, Shenzhen University, Shenzhen 518060, PR China*
[d]*Guangdong Province Key Laboratory of Pharmacodynamic Constituents of TCM and New Drugs Research, Jinan University, Guangzhou 510632, PR China*



Neuroprotective effects of triterpenoid saponins from *Medicago sativa* L. against H_2O_2-induced oxidative stress in SH-SY5Y cells

Bioorganic Chemistry 83 (2019) pp. 468–476

Xue-Gui Liu[a,b], Yu-Qiu Sun[a], Jun Bian[a], Ting Han[a], Dan-Dan Yue[a], Dan-Qi Li[a,b,*], Pin-Yi Gao[a,b,*]

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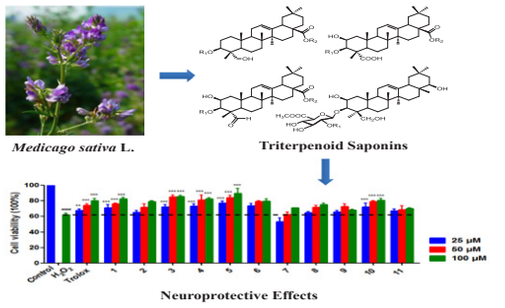
[b]*Institute of Functional Molecules, Shenyang University of Chemical Technology, Shenyang, Liaoning 110142, People's Republic of China*

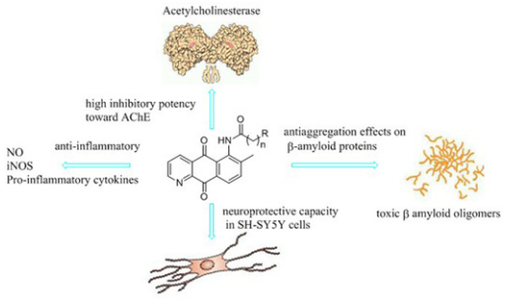


Assessment of novel azaanthraquinone derivatives as potent multi-target inhibitors of inflammation and amyloid-β aggregation in Alzheimer's disease

Bioorganic Chemistry 83 (2019) pp. 477–486

Juan Wang[1], Wei Li[1], Jingfang Qin, Li Wang, Shenqi Wei, Huang Tang[*]

State Key Laboratory for the Chemistry and Molecular Engineering of Medicinal Resources, School of Chemistry and Pharmaceutical Sciences of Guangxi Normal University, Guilin City, Guangxi, China



Proliferation inhibition of novel diphenylamine derivatives

Bioorganic Chemistry 83 (2019) pp. 487–499

Ladislav Janovec[a,*], Jana Janočková[b,c], Mária Matejová[a], Eva Konkoľová[b], Helena Paulíková[d], Daniela Lichancová[b], Lenka Júnošová[d], Slávka Hamuľaková[a], Ján Imrich[a], Mária Kožurková[b,c]

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[b]Department of Biochemistry, P. J. Safarik University, Faculty of Science, Moyzesova 11, 04001 Kosice, Slovak Republic
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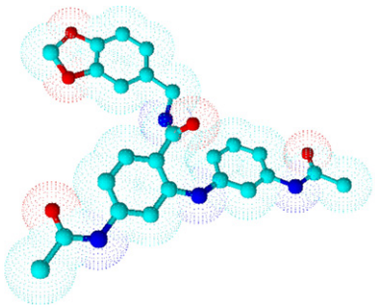
[d]Department of Biochemistry and Microbiology, Faculty of Chemical and Food Technology, Slovak Technical University, Radlinskeho 9, 81237 Bratislava, Slovak Republic



IC_{50} > 50 μM *NIH-3T3*

IC_{50} > 50 μM *HEK293T*

IC_{50} = 2.5 μM *L1210*

Potential bioisosteres of β-uracilalanines derived from 1*H*-1,2,3-triazole-*C*-carboxylic acids

Bioorganic Chemistry 83 (2019) pp. 500–510

Ewa Mironiuk-Puchalska[a], Włodzimierz Buchowicz[a], Piotr Grześkowiak[a], Patrycja Wińska[a], Monika Wielechowska[a], Olena Karatsai[b], Maria Jolanta Rędowicz[b], Maria Bretner[a], Mariola Koszytkowska-Stawińska[a,*]

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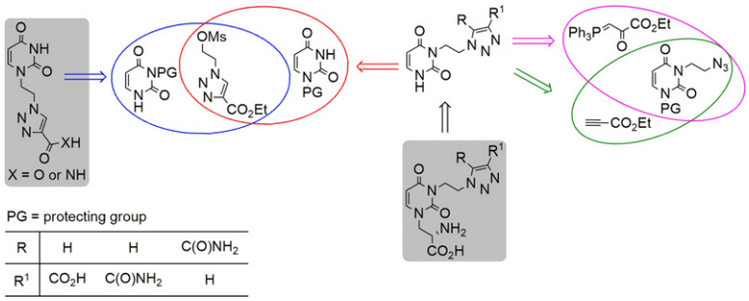

R	H	H	$C(O)NH_2$
R^1	CO_2H	$C(O)NH_2$	H

Design, synthesis and in vitro apoptotic mechanism of novel pyrrolopyrimidine derivatives

Bioorganic Chemistry 83 (2019) pp. 511–519

Zühal Kilic-Kurt[a], Filiz Bakar-Ates[b], Yeliz Aka[c], Ozgur Kutuk[c]

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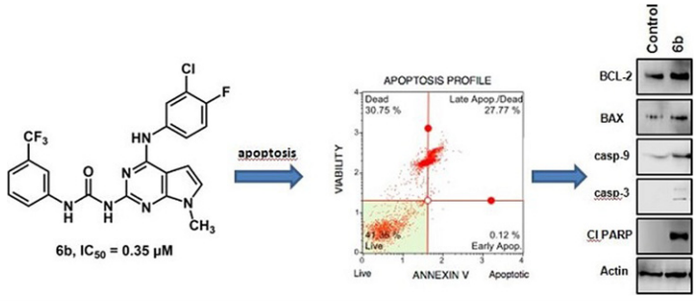
[b]Department of Biochemistry, Faculty of Pharmacy, Ankara University, Ankara, Turkey
[c]Department of Medical Genetics, School of Medicine, Baskent University, Adana, Turkey

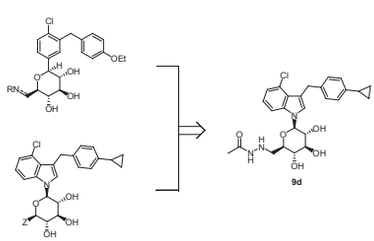


Synthesis and biological evaluation of *N*-glucosyl indole derivatives as sodium-dependent glucose co-transporter 2 inhibitors

Bioorganic Chemistry 83 (2019) pp. 520–525

Kuang-Feng Chu, Jen-Shin Song, Chiung-Tong Chen, Teng-Kuang Yeh, Tsung-Chih Hsieh, Chung-Yu Huang, Min-Hsien Wang, Szu-Huei Wu, Chun-Hsu Yao, Yu-Sheng Chao, Jinq-Chyi Lee*

Institute of Biotechnology and Pharmaceutical Research, National Health Research Institutes, 35 Keyan Road, Zhunan, Miaoli County 35053, Taiwan



Synthesis and biological evaluation of new quinoline derivatives as antileishmanial and antitrypanosomal agents

Bioorganic Chemistry 83 (2019) pp. 526–534

Santiago N. Chanquia[a], Facundo Larregui[a], Vanesa Puente[b], Carlos Labriola[c], Elisa Lombardo[b,*], Guadalupe García Liñares[a,*]

[a]Laboratorio de Biocatálisis. Departamento de Química Orgánica y UMYMFOR, Facultad de Ciencias Exactas y Naturales, Universidad de Buenos Aires, Ciudad Universitaria, Pabellón 2, piso 3, C1428EGA Buenos Aires, Argentina
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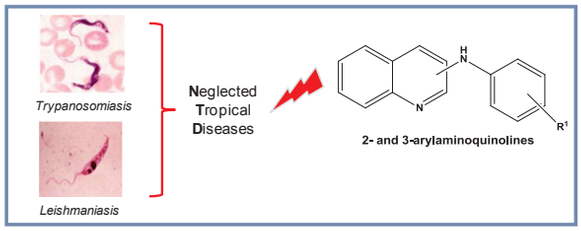
[c]Instituto de Investigaciones Bioquímicas, Av. Patricias Argentinas 435, C1405BWE Buenos Aires, Argentina



Synthesis and biological evaluation of 1-benzyl-*N*-(2-(phenylamino)pyridin-3-yl)-1*H*-1,2,3-triazole-4-carboxamides as antimitotic agents

Bioorganic Chemistry 83 (2019) pp. 535–548

Budaganaboyina Prasad[a,b], V. Lakshma Nayak[a], P.S. Srikanth[a], Mirza Feroz Baig[a], N.V. Subba Reddy[a], Korrapati Suresh Babu[a,b], Ahmed Kamal[a,c,*]

[a]Medicinal Chemistry and Biotechnology, CSIR-Indian Institute of Chemical Technology, Hyderabad 500007, India
[b]Department of Chemistry, Osmania University, Hyderabad 500007, Telangana, India
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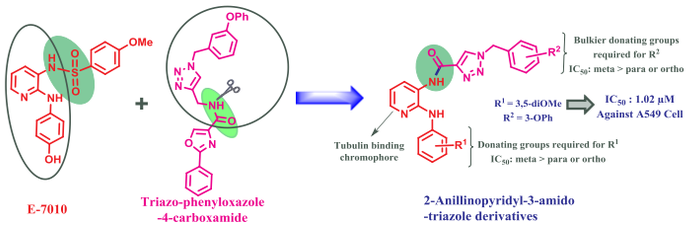
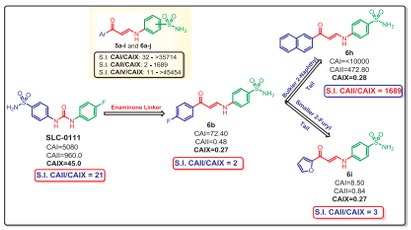


SLC-0111 enaminone analogs, 3/4-(3-aryl-3-oxopropenyl) aminobenzenesulfonamides, as novel selective subnanomolar inhibitors of the tumor-associated carbonic anhydrase isoform IX

Bioorganic Chemistry 83 (2019) pp. 549–558

Wagdy M. Eldehna[a,*], Mahmoud F. Abo-Ashour[b], Emanuela Berrino[c], Daniela Vullo[c], Hazem A. Ghabbour[d], Sara T. Al-Rashood[e], Ghada S. Hassan[d], Hamad M. Alkahtani[e], Abdulrahman A. Almehizia[e], Amal Alharbi[e], Hatem A. Abdel-Aziz[f], Claudiu T. Supuran[c,*]

[a]Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Kafrelsheikh University, Kafrelsheikh, Egypt
[b]Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Egyptian Russian University, P.O. Box 11829, Badr City, Cairo, Egypt
[c]Department of NEUROFARBA, Section of Pharmaceutical and Nutraceutical Sciences, University of Florence, Polo Scientifico, Via U. Schiff 6, 50019 Sesto Fiorentino, Firenze, Italy
[d]Department of Medicinal Chemistry, Faculty of Pharmacy, Mansoura University, Mansoura 35516, Egypt
[e]Department of Pharmaceutical Chemistry, College of Pharmacy, King Saud University, P.O. Box 2457, Riyadh 11451, Saudi Arabia
[f]Department of Applied Organic Chemistry, National Research Center, Dokki, Cairo 12622, Egypt



Synthesis and biological evaluation of new *N*-benzylpyridinium-based benzoheterocycles as potential anti-Alzheimer's agents

Bioorganic Chemistry 83 (2019) pp. 559–568

Naeimeh Salehi[a], Bi Bi Fatemeh Mirjalili[a,*], Hamid Nadri[b], Zahra Abdolahi[b], Hamid Forootanfar[c], Alireza Samzadeh-Kermani[d], Tuba Tüylü Küçükkılınç[e], Beyza Ayazgok[e], Saeed Emami[f], Ismaeil Haririan[g], Mohammad Sharifzadeh[h], Alireza Foroumadi[i,j], Mehdi Khoobi[k,l,*]

[a]*Department of Chemistry, College of Science, Yazd University, Yazd, P.O. Box 89195-741, Iran*
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[e]*Hacettepe University, Faculty of Pharmacy, Department of Biochemistry, Ankara, Turkey*
[f]*Department of Medicinal Chemistry and Pharmaceutical Sciences Research Center, Faculty of Pharmacy, Mazandaran University of Medical Sciences, Sari, Iran*
[g]*Department of Pharmaceutical Biomaterials, Medical Biomaterials Research Center, Faculty of Pharmacy, Tehran University of Medical Sciences, Tehran, Iran*
[h]*Department of Pharmacology and Toxicology, Faculty of Pharmacy, Toxicology and Poisoning Research Centre, Tehran University of Medical Sciences, Tehran, Iran*
[i]*Neuroscience Research Center, Institute of Neuropharmacology, Kerman University of Medical Sciences, Kerman, Iran*
[j]*Department of Medicinal Chemistry, Faculty of Pharmacy, Tehran University of Medical Sciences, Tehran, Iran*
[k]*The Institute of Pharmaceutical Sciences (TIPS), Tehran University of Medical Sciences, Tehran 1417614411, Iran*
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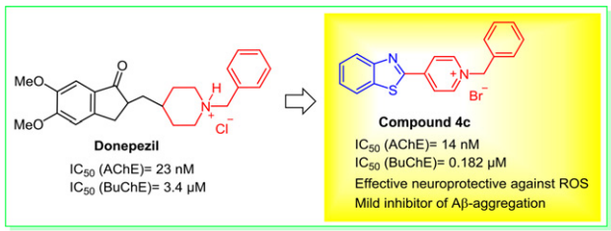
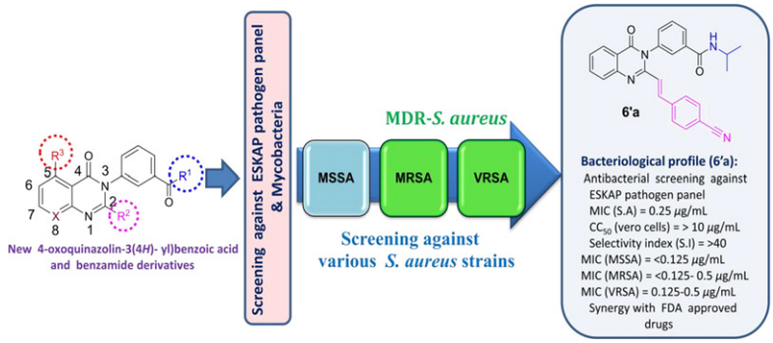


Synthesis and evaluation of new 4-oxoquinazolin-3(4*H*)-yl)benzoic acid and benzamide derivatives as potent antibacterial agents effective against multidrug resistant *Staphylococcus aureus*

Bioorganic Chemistry 83 (2019) pp. 569–579

Srikanth Gatadi[a], Jitendra Gour[a], Manjulika Shukla[b], Grace Kaul[b], Swetarka das[b], Arunava Dasgupta[b], Y.V. Madhavi[a], Sidharth Chopra[b,*], Srinivas Nanduri[a,*]

[a]*Department of Medicinal Chemistry, National Institute of Pharmaceutical Education and Research (NIPER), Hyderabad 500 037, India*
[b]*Division of Microbiology, CSIR-Central Drug Research Institute, Sitapur Road, Sector 10, Janakipuram Extension, Lucknow 226031, Uttar Pradesh, India*



Synthesis and biological evaluation of new 2,4,6-trisubstituted pyrimidines and their *N*-alkyl derivatives

Bioorganic Chemistry 83 (2019) pp. 580–594

Nuran Kahriman[a,*], Vildan Serdaroğlu[a], Kıvanç Peker[a], Ali Aydın[b], Asu Usta[c], Seda Fandaklı[a], Nurettin Yaylı[d]

[a]*Department of Chemistry, Faculty of Science, Karadeniz Technical University, 61080 Trabzon, Turkey*
[b]*Department of Molecular Biology and Genetics, Gaziosmanpaşa University, 60250 Tokat, Turkey*
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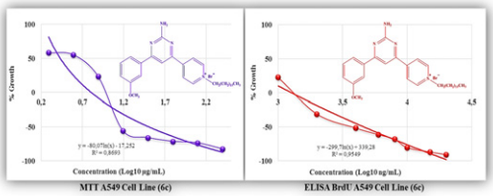
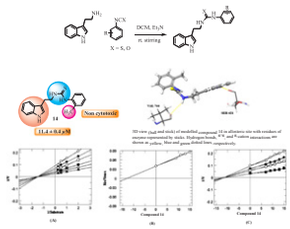


Syntheses, *in vitro* urease inhibitory activities of urea and thiourea derivatives of tryptamine, their molecular docking and cytotoxic studies

Bioorganic Chemistry 83 (2019) pp. 595–610

Kanwal[a], Majid Khan[a], Arshia[a], Khalid Mohammed Khan[a,e,*], Shahnaz Parveen[d], Muniza Shaikh[b], Narjis Fatima[a], M. Iqbal Choudhary[a,b,c,*]

[a]*H. E. J. Research Institute of Chemistry, International Center for Chemical and Biological Sciences, University of Karachi, Karachi 75270, Pakistan*
[b]*Dr. Panjwani Centre for Molecular Medicine and Drug Research, International Center for Chemical and Biological Sciences, University of Karachi, Karachi 75270, Pakistan*
[c]*Department of Biochemistry, Faculty of Sciences, King Abdulaziz University, Jeddah 21412, Saudi Arabia*
[d]*PCSIR Laboratories Complex, Karachi, Shahra-e-Dr. Salimuzzaman Siddiqui, Karachi 75280, Pakistan*
[e]*Department of Clinical Pharmacy, Institute for Research and Medical Consultations (IRMC), Imam Abdulrahman Bin Faisal University, P.O. Box 1982, Dammam 31441, Saudi Arabia*



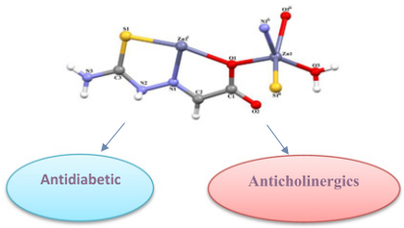
REVIEW ARTICLES

Synthesis, characterization, crystal structure of the coordination polymer Zn(II) with thiosemicarbazone of glyoxalic acid and their inhibitory properties against some metabolic enzymes

Bioorganic Chemistry 83 (2019) pp. 55–62

Mansura Huseynova[a,*], Ajdar Medjidov[b], Parham Taslimi[c], Mahizar Aliyeva[a]

[a]*Institute of Chemistry of Additives named after A.M. Guliyev, Azerbaijan National Academy of Sciences, Bigshor Highway, Block 2062, AZ 1029 Baku, Azerbaijan*
[b]*Institute of Catalysis and Inorganic Chemistry after named Acad. M.F. Nagiyev, Azerbaijan National Academy of Sciences, H. Cavid Avenue, 113, AZ 1143 Baku, Azerbaijan*
[c]*Department of Chemistry, Faculty of Sciences, Ataturk University, 25240 Erzurum, Turkey*



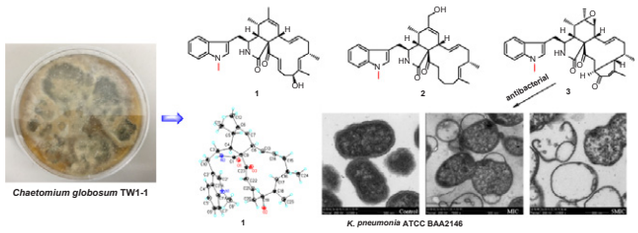
PRELIMINARY COMMUNICATIONS

Antibacterial activity against drug-resistant microbial pathogens of cytochalasan alkaloids from the arthropod-associated fungus *Chaetomium globosum* TW1-1

Bioorganic Chemistry 83 (2019) pp. 98–104

Weixi Gao[a,1], Yan He[b,1], Fengli Li[a], Chenwei Chai[a], Jinwen Zhang[b], Jieru Guo[b], Chunmei Chen[a], Jianping Wang[a], Hucheng Zhu[a], Zhengxi Hu[a,*], Yonghui Zhang[a,*]

[a]*Hubei Key Laboratory of Natural Medicinal Chemistry and Resource Evaluation, School of Pharmacy, Tongji Medical College, Huazhong University of Science and Technology, Wuhan 430030, People's Republic of China*
[b]*Tongji Hospital, Tongji Medical College, Huazhong University of Science and Technology, Wuhan 430030, People's Republic of China*

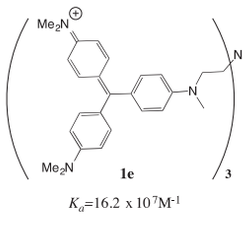


Synthesis and DNA binding profile of monomeric, dimeric, and trimeric derivatives of crystal violet

Bioorganic Chemistry 83 (2019) pp. 297–302

Omar Nuñez, Bianca Chavez, Ryan Shaktah, Paola Pereda Garcia, Thomas Minehan*

Department of Chemistry and Biochemistry, California State University, Northridge, 18111 Nordhoff Street, Northridge, CA 91330, USA



K_a=16.2 x $10^7 M^{-1}$

Synthesis of *N*-benzyl substituted 1,4-imino-L-lyxitols with a basic functional group as selective inhibitors of Golgi α-mannosidase IIb

Tomáš Klunda, Sergej Šesták, Juraj Kóňa, Monika Poláková*

Institute of Chemistry, Center for Glycomics, Slovak Academy of Sciences, Dúbravska cesta 9, SK-845 38 Bratislava, Slovakia

Bioorganic Chemistry 83 (2019) pp. 424–431