Graphical Abstract

Heterocycl. Commun. 13 (2007) 9 – 12

Improved synthesis of substituted 2-aryl-*N*-[2-(dimethylamino)ethyl]quinolin-4-amines and their activity as antagonists of immunostimulatory CpG-Oligodeoxynucleotides

Ekaterina Paliakov,[#] Maged Henary,[#] Martial Say,[#] Lubomir Janda,[#] Lori Manzel,[+] Donald E. Macfarlane,[+] and Lucjan Strekowski,[#,*]
[#]Department of Chemistry, Georgia State University. Atlanta, Georgia 30302, USA
[+]Veterans Affairs Medical Center and University of Iowa. Iowa City, Iowa 52242, USA

A general methodology for the synthesis of substituted quinolin-4-amines developed by us previously has been simplified. The synthesized compounds, depending on substituents, show activities in the range from 35 nM to 550 nM as antagonists of immunostimulatory oligodeoxynucleotides containing a CpG motif. A SAR analysis is presented.

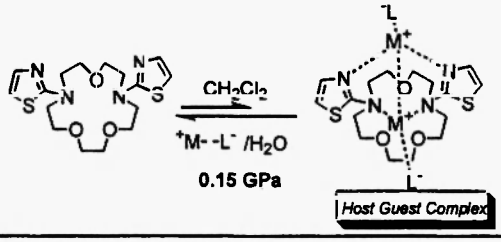
EC_{50} = 35 nM

Heterocycl. Commun. 13 (2007) 13 – 16

Is there any effect of pressure on metal cation extraction properties of 7, 13-bis(2'-thiazoyl)-1,4,10-trioxa-7, 13-diazacyclopentadecane?

Kiyoshi Matsumoto*[1], Mitsuo Toda,[2] Hirokazu Iida[1] and Hiroshi Hamana[1]
[1]Faculty of Pharmaceutical Sciences, Chiba Institute of Science, Choshi, Chiba 288-0025, Japan
E-mail: kmatsumoto@cis.ac.jp
[2]Faculty of Engineering, Shizuoka University, Hamamatsu, Shizuoka 432-8561, Japan.

The effect of pressure up to 0.15 GPa on extraction of metal cations was for the first time investigated in a mechanically stirred pressure chamber using the title compound, however no pressure effect being observed. The mode of stirring (mechanical or magnetic, e.g. efficiency of stirring), sample volume, and also probably area of liquid membrane seem to be more crucial for the extraction process.

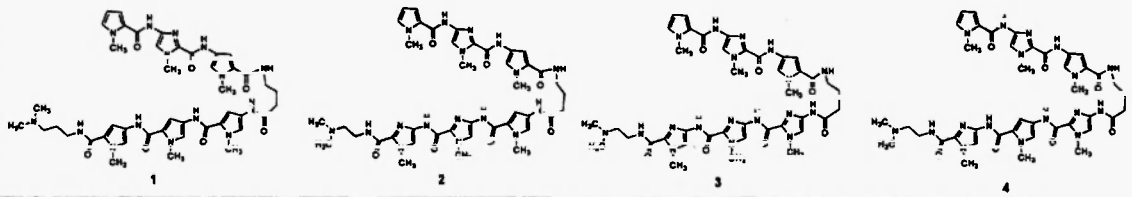


Heterocycl. Commun. 13 (2007) 17 – 24

Solution Phase Synthesis of Imidazole- and Pyrrole-Containing Hairpin Polyamides

Dorothy Harris,[a] Michelle Stewart,[a] Alan Sielaff,[b] Keith Mulder,[b] Toni Brown,[b] Hilary Mackay,[b] Moses Lee[a,b,*]
[a]Department of Chemistry, Furman University, Greenville, SC, 29613
[b]Department of Chemistry, Hope College, Holland, MI, 49423

The syntheses of four hairpin polyamides (PIP- γ -PPP **1**, PIP- γ -PII **2**, PIP- γ -III **3**, and PIP- γ -IPI **4**, γ represents 4-aminobutyrate) using a solution phase approach are reported.

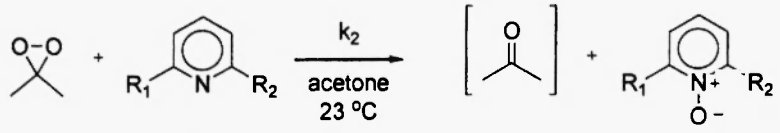


Heterocycl. Commun. 13 (2007) 25 – 28

N-oxidation of 2-substituted pyridines and quinolines by dimethyldioxirane: kinetics and steric effects

W. Rucks Winkeljohn, Pamela Leggett-Robinson,[§] Monique R. Peets,[§] Lucjan Strekowski,
Pedro C. Vásquez, and A.L. Baumstark*
Department of Chemistry, Center for Biotech and Drug Design, Georgia State University, Atlanta, Georgia 30302-4098, USA;
[§]Department of Chemistry, Tuskeegee University, Tuskeegee, AL 36088, USA

An excellent correlation of log k_2 with Taft (σ^*) constants was obtained for 2-substituted pyridines (R = Me, Et, Pr^n, Pr^i, 3-pentyl) with the exception of the data for 2-*t*-butylpyridine. The results for the substituted quinolines and isoquinolines followed the same trends observed with the pyridines. Steric effects due to 2-substitution and peri-interactions can substantially reduce reactivity.

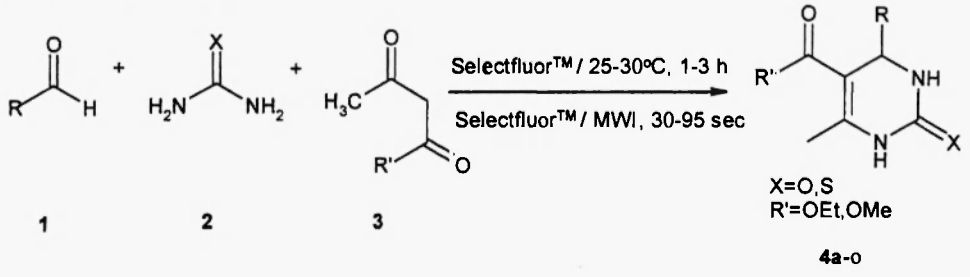


Heterocycl. Commun. 13 (2007) 29 – 32

Selectfluor™ catalyzed one pot synthesis of dihydropyrimidinones: an improved protocol for the biginelli reaction

V. Naveen Kumar, B. Sunil Kumar, P. Narsimha Reddy, Y. Thirupathi Reddy, (Ms.) B. Rajitha*
Department of Chemistry, National Institute of Technology, Warangal, India

A novel one pot condensation of an aldehyde, β-ketoesters and urea / thiourea in acetonitrile has been performed using selectfluor™ in both conventional and microwave irradiation method affording dihydropyrimidinones in excellent yields (80-95%) and short reaction time.



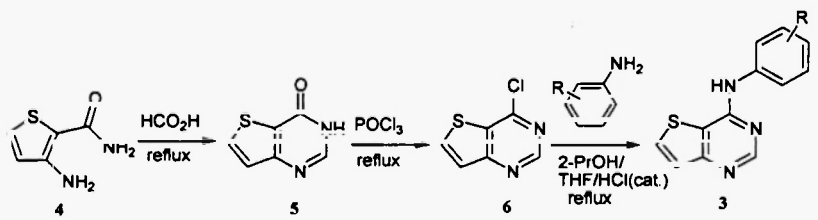
1 2 3 **4a-o**

Heterocycl. Commun. 13 (2007) 33 – 34

A facile synthesis of new 4-(phenylamino)thieno[3,2-*d*]pyrimidines using 3-aminothiophene-2-carboxamide

Yang-Heon Song*
Department of Chemistry, Mokwon University, Daejeon 302-729, South Korea
e-mail: yhsong@mokwon.ac.kr

Several new 4-(phenylamino)thieno[3,2-*d*]pyrimidine derivatives **3** were synthesized in high yield by the reaction of aniline derivatives and 4-chlorothieno[3,2-*d*]pyrimidine that can be easily prepared using 3-aminothiophene-2-carboxamide.



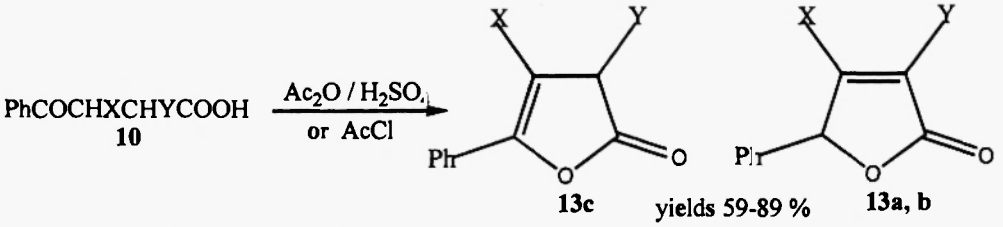
4 5 6 3

Heterocycl. Commun. 13 (2007) 35 – 42

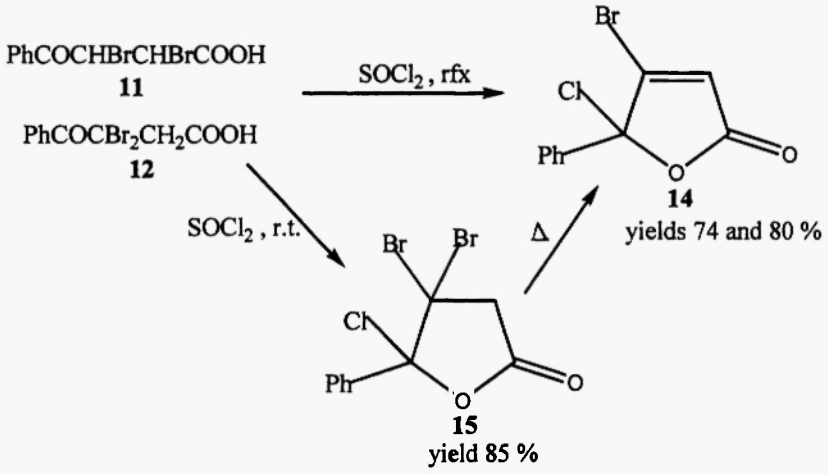
A study on lactonization of some brominated derivatives of β-benzoylpropionic acid

Georgia Tsolomiti, Kyriaki Tsolomiti and Athanase Tsolomitis*
The Laboratory of Organic Chemistry, The School of Chemical Engineering, The National Technical University of Athens, Athens157 80, Greece

The cyclodehydration of some brominated derivatives, on the methylene chain, of β-benzoylpropionic acid, to the corresponding 2(5H)-furanones or 2(3H)-furanones, depen-ding on the substitution and reaction conditions, is described here.



a:X=H, Y=Br; b:X=H, Y=Cl; c:X=Br, Y=H

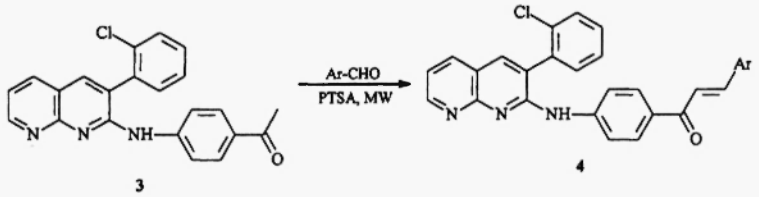


Heterocycl. Commun. 13 (2007) 43 – 48

PTSA catalyzed Claisen-Schmidt condensation in solvent-free conditions under microwave irradiation

K. Mogilaiah*, B. Sakram and S. Kavitha
Department of Chemistry, Kakatiya University, Warangal - 506 009, India

Claisen-Schmidt condensatgion of 2-(4-acetylphenylamino)-3-(2-chlorophenyl)-1,8-naphthyridine **3** with aromatic aldehydes under microwave irradiation using PTSA in the absence of solvent is reported.

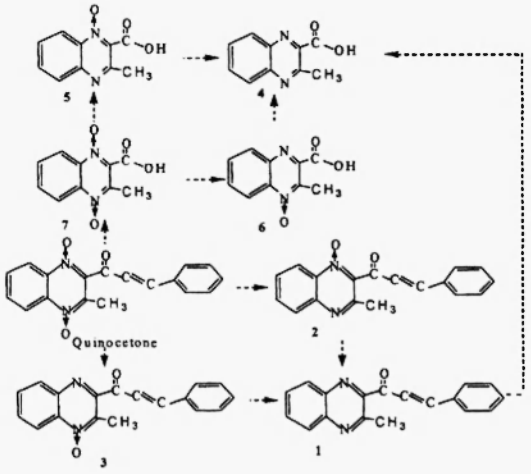


Heterocycl. Commun. 13 (2007) 49 – 56

Synthesis of the possible metabolites of quinocetone in animals

Jian-yong Li[1]*, Ji-yu Zhang[1] Xu-zheng Zhou[1], Jin-shan Li[1] and Run-hua Lu[2]
[1]Lanzhou Institute of Animal and Pharmaceutical Veterinary Science, Chinese Academy of Agricultural Sciences, Lanzhou 730050, P.R. China,
[2]Chengdu Institute of Biology, Chinese Academy of Sciences,Chengdu 460016, P.R. China

The possible metabolites of quinocetone in animals had been prepared with different selective reagent by three synthetic routes. It was their principal reaction that $Na_2S_2O_4$ reduced quinoxaline-1,4-dioxide derivatives to quinoxaline derivatives, H_2O_2 ,oxidized 2-carboxyl-quinoxaline derivatives to 2-carboxyl-quinoxaline-1-oxide ones and $P(OCH_3)_3$ reduced 2-carboxyl- quinoxaline-1,4-dioxide derivatives to 3-carboxyl-quinoxaline-1-oxide ones. The title compounds ware confirmed with NMR,UV, FAB-MS, et al.

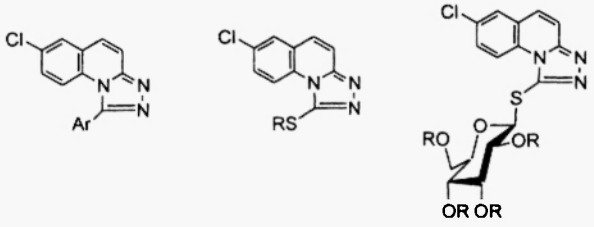


Heterocycl. Commun. 13 (2007) 57 – 66

Synthesis of functionalized 7-chloro-1,2,4-triazolo [4,3-a]quinoline

J. A. Hassanin[a], E. S. I. Ibrahim[a], M. A. Zein[a], M. R. Aouad[b] and E. S. H. El Ashry[b,*]
[a]*Chemistry Department, Faculty of Science, Suez Canal University, Suez*
[b]*Chemistry Department, Faculty of Science, Alexandria University, Alexandria, Egypt*

One carbon inserting agents transformed 6-chloro-2-hydrazinoquinoline (**1**) into the 2-functionalized 1,2,4-triazolo[4,3-a]quinoline skeleton. The 1-aryl and 1-pyridyl derivatives were prepared via condensation with aldehydes and then dehydrogenative ring closure. The 1-thiol group in **5** was introduced by reaction of **1** with carbon disulfide. Carboxy- and carboethoxy-methylation of **5** afforded the respective mercaptoacetic acid derivatives **6** and **8**. Chlorination of **6** followed by dehydrative cyclization through its reaction with thiosemicarbazide afforded the respective amino thiadiazole derivative **7**. Hydrazinolysis of **8** gave the corresponding hydrazide derivative **9**. Compound **5** was reacted with acrylonitrile and acrylamide to give the corresponding cyano and carboxamido methylated derivatives **10** and **11**. Under Mannich conditions, reaction of **5** with piperidine and morpholine afforded the respective Mannich bases **12** and **13**. Reaction of **5** with acetobromoglucose gave the respective thioglucoside **14** which was deacetylated to **15**. All compounds were screened for their antimicrobial activity against gram-positive and gram-negative bacteria.

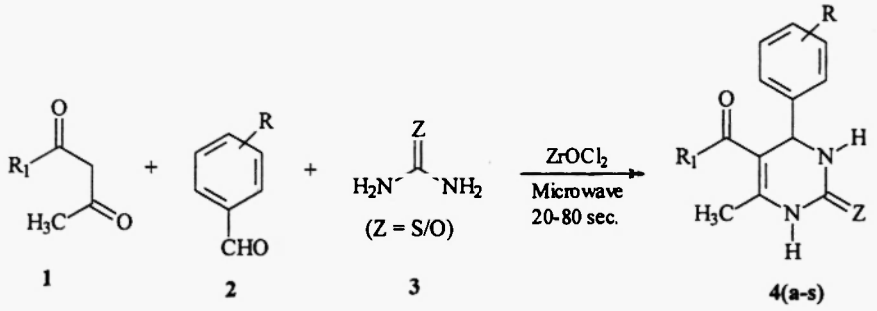


Heterocycl. Commun. 13 (2007) 67 – 74

Zirconium oxychloride as a new and efficient catalyst for the synthesis of 3,4-dihydropyrimidine-2(1h)-thione/one under solvent-free microwave irradiation conditions

Ch. Sanjeeva Reddy* and A. Nagaraj
Department of Chemistry, Kakatiya University, Warangal-506 009, India.
E-mail: chsrkuc @ yahoo.co.in

$ZrOCl_2$ has been found to be an efficient catalyst for the one-pot synthesis of 3,4-dihydropyrimidine-2(1H)-thione/one, from β-ketoester, aldehyde and (thio)urea under solvent-free microwave irradiation conditions. The beneficial effects of $ZrOCl_2$ / microwave irradiation on the reaction are described. This is the first report on Lewis base catalyzed Biginelli reactions.

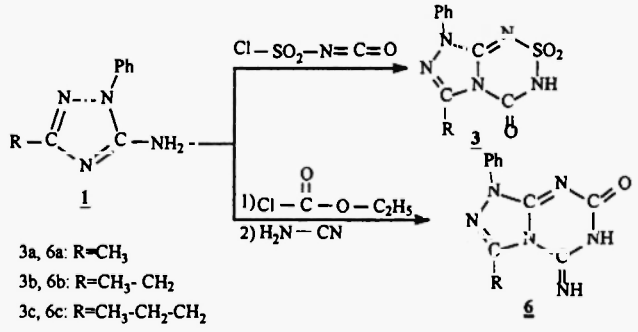


Heterocycl. Commun. 13 (2007) 75 – 78

Synthesis of new triazolotriazinones and triazolothiatriazinones from 5-amino-3-alkyl-1-phenyl-1,2,4-triazoles

Fatma Allouche, Fakher Chabchoub, Bechir Ben Hassine and Mansour Salem*
Laboratoire de Synthèse Organique Asymétrique et Catalyse Homogéne. Faculté des Sciences de Monastir, 5019 Monastir, Tunisie
Laboratoire de Chimie Appliquée : Hétérocycles, Corps Gras et Polyméres. Faculté des Sciences de Sfax, 3018 Sfax, Tunisie.

A variety of triazolothiatriazinones 3 has been prepared by reaction of 5-amino-3-alkyl-1-phenyl-1,2,4 triazoles 1 with isocyanate of chlorosulfonyle. The condensation of substrates 1 with ethyl chloroformiate followed by that of cyanamide leads to new triazolotriazinones 6.

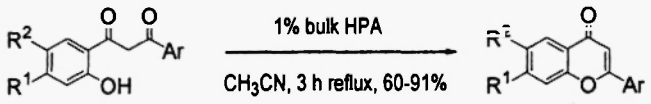


Heterocycl. Commun. 13 (2007) 79-81

Synthesis of substituted flavones and aryl-chromones using p and si keggin heteropoly-acids as catalysts

Daniel O. Bennardi,[a,b] Gustavo P. Romanelli,[a,b] Jorge L. Jios,[d] Patricia G. Vázquez,[a] Carmen V. Cáceres[a] and Juan C. Autino[b*,c]
[a] CINDECA, Dpto. de Química, Facultad de Ciencias Exactas, Universidad Nacional de La Plata. Calle 47 N° 257, B1900AJK La Plata, Argentina.
[b] Cátedra de Química Orgánica, Facultad de Ciencias Agrarias y Forestales, Universidad Nacional de La Plata. Calles 60 y 119, B1904AAN La Plata, Argentina.
[c] LADECOR, Dpto. de Química, Facultad de Ciencias Exactas, Universidad Nacional de La Plata, La Plata, Argentina.
[d] LaSelSiC, Dpto. de Química, Facultad de Ciencias Exactas, Universidad Nacional de La Plata, La Plata, Argentina.

Simple and clean reaction and workup; 16 examples were solved. Yield was not reduced on reutilization of the catalyst.



R^1 = H, CH_3, OCH_3, F, Cl, Br
R^2 = H, Br, Cl, NO_2
Ar = Phenyl, Furyl, 1-Naphthyl, 2-Naphthyl
HPA = Molybdophosphoric acid; molybdosilicic acid

Heterocycl. Commun. 13 (2007) 83-88

Potassium monoperoxysulfate an efficient Catalyst for Biginelli reaction under aqueous conditions

S. Ramesh Kumar and P. Leelavathi *
Department of Chemistry, Osmania University College for Women, Koti, Hyderabad-500095, India
Email: rameshteja_2001@yahoo.co.in

Biginelli reaction was carried out successfully in aqueous medium using potassium monoperoxysulfate as catalyst. This method can be applied for various aldehydes to get the desired product in very good yields with high purity.