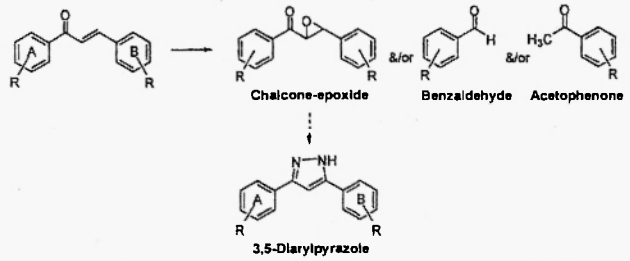
Graphical Abstracts

Heterocycl. Commun. 6 (2005) 465 – 470

Reaction of chalcones with basic hydrogen peroxide : A structure and reactivity study

Herman Holt, Jr.[1], Regan LeBlanc[2], John Dickson[2], Toni Brown[2], Jessica R. Maddox[1], Moses Lee*[2]
[1]Department of Chemistry, University of North Carolina, Asheville, NC 28804, USA
[2]Department of Chemistry, Furman University, Greenville, SC 29613, USA

Chalcone epoxides are important intermediates for the synthesis of 3,5-diarylpyrazoles. Twenty different chalcones were oxidized with hydrogen peroxide and potassium carbonate in order to produce the corresponding epoxides.

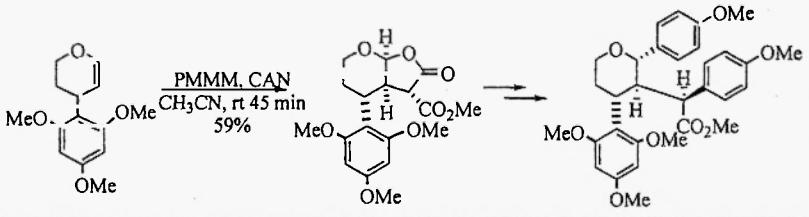


Heterocycl. Commun. 6 (2005) 471 – 474

Synthesis and ring cleavage of a sterically hindered tetrahydro-4H-furo[2,3-b]pyran-2-one. A model for the total synthesis of blepharocalyxine

Sidika Polat Cakir and Keith T. Mead*
Department of Chemistry, Mississippi State University, Mississippi State, Mississippi 39762, USA

A synthesis of 4-(2,4,6-trimethoxyphenyl)-3,4-dihydro-2*H*-pyran from 2,4,6-trimethoxybenzaldehyde is reported. Radical induced cycloaddition of potassium monomethyl malonate (PMMM) to this dihydropyran has been demonstrated to give a bicyclic lactone as a single isomer. Subsequent alpha aryl substitution, ring cleavage, and rearrangement steps provided a *C*-aryl pyranoside derivative which represents a model for the total synthesis of blepharocalyxin E.

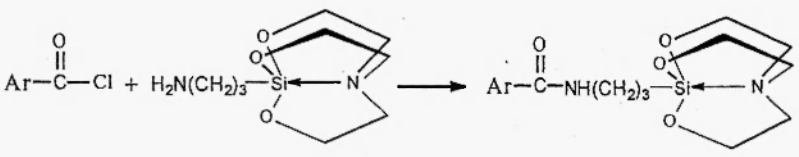


Heterocycl. Commun. 6 (2005) 475 – 478

Synthesis of 1-substituted benzoyl aminopropyl silatranes and their biological activities

Zhonghua Li, Xiuyan Song, Huaping Su and Jing Chen
College of Chemistry, Huazhong Normal University, Wuhan 430079 China

Silatranes are organosilicon compounds with outstanding biological activities. Eleven substituted benzoyl aminopropyl silatranes(**a-k**) have been synthesized by the reaction of aminopropyl silatrane with various substituted benzoyl chlorides. IR, ^{1}HNMR, MS and elemental analysis confirmed their structures. The antibacterial test showed that they were efficient against Fusarium and Rhizataonia.

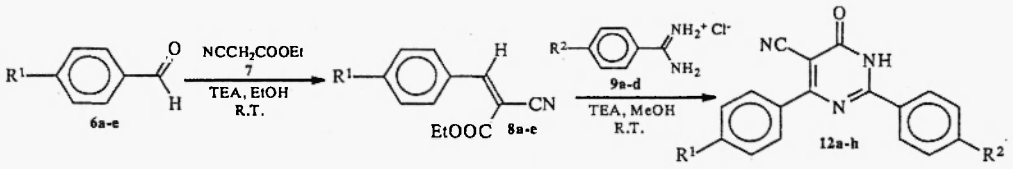


Heterocycl. Commun. 6 (2005) 479 – 484

A simple approach for the synthesis of 2,6-diaryl-4-oxo-3,4-dihydropyrimidine-5-carbontriles

Francisco J. B. Mendonça Junior[a], Janaina V. dos Anjos[c], Emerson P. S. Falcão[b], Sebastião J. de Melo[b]* and Rajendra M. Srivastava[c]
[a]Departamento de Ciencias Biologicas,
[b]Departamento de Antibioticos,
[c]Departamento de Química Fundamental, Universidade Federal de Pernambuco, Cidade Universitária, 50670-901 Recife, PE, Brasil

A concise, facile and straightforward synthesis of 2,6-diaryl-4-oxo-3,4-dihydropyrimidine-5-carbonitriles **12a-h** is reported. The reaction for this preparation involves the condensation of ethyl α–cyanocinnamate and its *para* substituted analogs **8a-e** with arylamidines **9a-d** under very mild conditions. A probable mechanism of **12a-h** from **11a-h** is proposed. A preliminary pharmacological evaluation of compounds **12c, 12d, 12f** e **12h** has shown that these compounds possess analgesic activity.

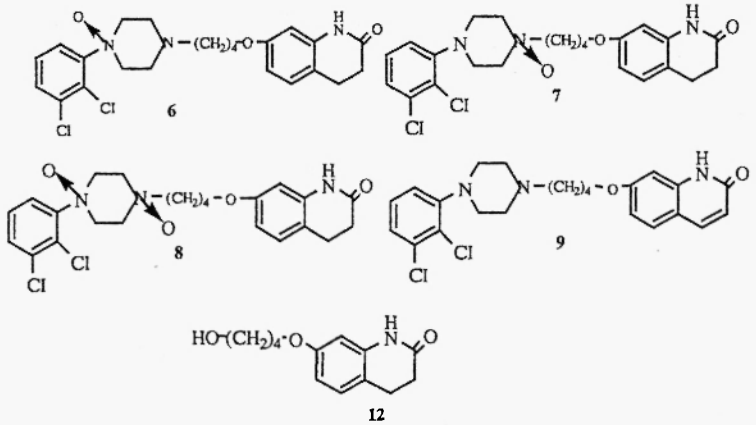


Heterocycl. Commun. 6 (2005) 485 – 490

Synthesis and characterization of n-oxides and metabolites of anti-psychotic drug, aripiprazole

Bollikonda Satyanarayana, Yasareni Sumalatha, Sythana Suresh Kumar, Sundaram Venkatraman, Ghanta Mahesh Reddy, Padi Pratap Reddy*
Research and Development Centre, Dr Reddy's Laboratories Limited, API, Unit IV, IDA, Jeedimetla, Hyderabad-506 055, A.P., India

Aripiprazole is a recently developed anti-psychotic drug used for the treatment of schizophrenia. Aripiprazole and its N-oxides exhibit a strong activity for influencing the neurotransmission of dopamine receptors and are devoid of side effects induced by the known drugs useful for the treatment of schizophrenia. Further, Aripiprazole is metabolized by different biotransformation pathways as dehydrogenation, hydroxylation and N-dealkylation giving rise to different metabolites. The present work details the development of a simple and novel process for the preparation of Aripiprazole N-oxides as Aripiprazole-4-N-oxide, Aripiprazole-1-N-oxide and Aripiprazole-1,4-di-N-oxide and Aripiprazole metabolites such as dehydro Aripiprazole and Aripiprazole hydroxy metabolite.



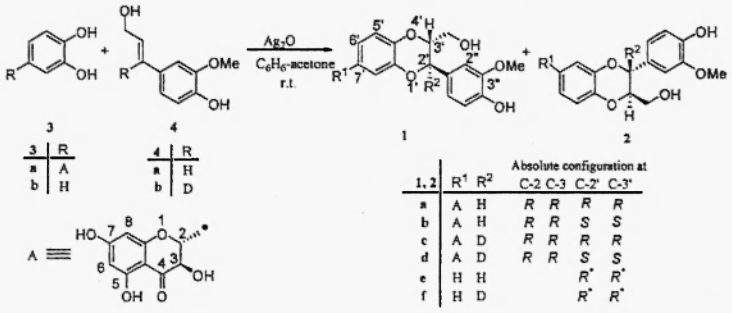
Heterocycl. Commun. 6 (2005) 491– 494

Synthesis of deuterium labeled silybin and isosilybin

Renáta Ferenczi[1], Tibor Kurtán[2], Zoltán Dinya[2], Sandor Antus[2*]
[1]Research Group of Carbohydrates of Hungarian Academy of Sciences Debrecen, P.O.Box 55 H-4010, Hungary
[2]Department of Organic Chemistry, University of Debrecen, P.O.Box 20, H-4010 Debrecen, Hungary

Dedicated to Professor András Lipták on the occasion of this 70th birthday.

A simple synthesis of the deuterium labeled (+)-silybin [(+)-**1c,d**], (+)-isosilybin [(+)-**2c,d**] and their 1,4-benzodioxane building block [*rac.*-**1f**] have been achieved in seven steps starting from vanilline (**5**).



1, 2	R^1	R^2	Absolute configuration at C-2	C-3	C-2"	C-3"
a	A	H	R	R	R	R
b	A	H	R	R	S	S
c	A	D	R	R	R	R
d	A	D	R	R	S	S
e	H	H			R*	R*
f	H	D			R*	R*

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Synthesis and antifungal testing of some new tricyclic heterocyclic quinolines

Ali A. Abdel Hafez* and Nariman M.Nahas**
* Department of Chemistry, Faculty of Science, Assiut University, Assiut-Egypt.
**Deparment of Chemistry, Faculty of Applied Science, Umm Al-Qura University,
Makkah, P.O. Box 16222, Saudi Arabia.

New 2-amino-4-aryl-3-(4,5-dihydro-1H-imidazol-2-yl)pyrano[3,2-h]quinolines have been prepared. Their cyclization with triethyl orthoformate, aldehyde, ketone and carbon disulfide afforded the corresponding imidazo[1,2-c]pyrimido[4,5:6,5]pyrano[3,2-h]quinolines. Also, a series of polycyclic heterocyclic containing condensed triazol and triazines have been prepared by cyclization of the hydrazino derivatives with formic acid and carbon disulfide. Antifungal tests were also performed.

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Synthesis and antimicrobial activity of some 2,5-disubstituted 1,3,4-oxadiazoles

S.S. Honnalli*, P.M.Ronad, K. Vijaybhasker , V.I.Hukkeri and Rajiv Kumar
Department of Pharmaceutical Chemistry, K.L.E's College of Pharmacy, Vidyanagar, Hubli 580 031.

4-Chloro aniline is condensed with methyl acrylate in presence of acetic acid to yield methyl-β-(4-chloro methyl aniline)-propionate, which was futher treated with hydrazine hydrate in presence of ethanol to obtain β -alanine-N-(4-chloro methyl-phenyl) hydrazide, on cyclization with ethanolic potassium hydroxide and carbon disulphide gives 2-mercapto-5-(4-chloro methyl-anilino ethyl)-1,3,4-oxadiazole (1-4). These oxadiazoles on refluxing with Morpholine / Piperidine / Dimethylamine / Diethylamine gave 2,5-disubstituted derivatives. Antimicrobial activity of these compounds was studied (5).

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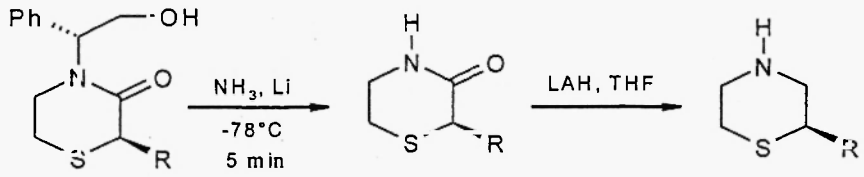
Fast and chemoselective *N*-debenzylation route to chiral 2-substituted thiomorpholin-3-ones

Nicolas Franceschini,[a] Sophie Da Nascimento,[b] Hugues Miel,[a] and Pascal Sonnet[b]*
[a] Chemical Synthesis Services, Seagoe Industrial Estate, Craigavon, BT63 5QD, Northern Ireland
[b] DMAG, EA 3901-INERIS, Faculté de Pharmacie, Université de Picardie Jules Verne, 1 rue des Louvels, 80037 Amiens Cedex 1, France.

Reaction time was found to be the critical parameter for the chemoselective *N*-debenzylation of thiomorpholin-3-one derivatives with lithium in ammonia. This paper also reports the first preparation of a chiral 2-substituted thiomorpholine building block.



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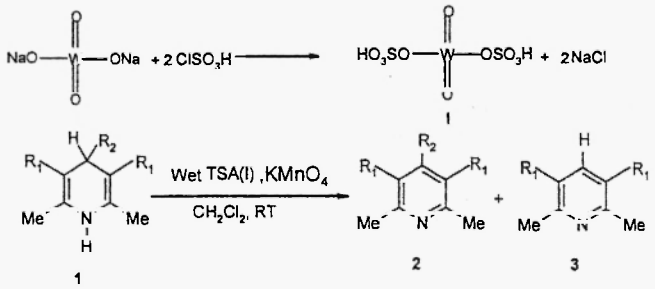
Tungstate sulfuric acid/ $KMnO_4$ as a novel heterogeneous system for the rapid aromatization of hantzsch 1, 4-dihydropyridines under mild conditions

Bahador Karami[1]*, Morteza Montazerozohori[1], Mohammad Hossein Habibi[2] and Mohammad Ali Zolfigol [3]
[1]Department of Chemistry, Yasouj University, Yasouj 75914-353, Iran,(Fax: + 98 741 2223048; e-mail: karami@mail.yu.ac.ir)
[2]Department of Chemistry, Isfahan University, Isfahan 81745-117, Iran
[3]Department of Chemistry, College of Science, Bu-Ali Sina University, Hamadan, 65174, Iran

Tungstate sulfuric acid (TSA) as a new two basic inorganic solid was used for efficiently aromatization of Hantzsch 1, 4-dihydro pyridines to their corresponding pyridine derivatives in heterogeneous mild conditions in excellent yields.

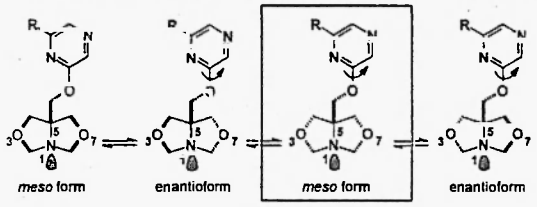


Heterocycl. Commun. 6 (2005) 517 – 522

First examples of the conformation chirality of heterobicyclo[3.3.0]octanes: 3,7-dioxa-*r*-1-azabicyclo[3.3.0]oct-*c*-5-yl methoxypyrazines

Camelia Berghian,[a,b] Mircea Darabantu,[a,b] Pedro Lameiras,[b] Nelly Plé[b] and Alain Turck[b]
[a]"Babes-Bolyai" University, Department of Organic Chemistry, 11 Aranyi Jànos str., RO-400028 Cluj-Napoca, Romania
[b]Université de Rouen, Institut de Recherche en ChimieOrganique Fine (I.R.C.O.F.), BP-08, F-76131, Mont Saint-Aignan, Cedex France

The first two examples of the conformation chirality of *cis*-heterobicyclo[3.3.0]octanes as *c*-5-substituted-3,7-dioxa-*r*-1-azabicyclo[3.3.0]octanes are discussed and supported by ^{1}H DNMR spectroscopy and X-Ray crystallographic data.



R = H; 3,7-dioxa-*r*-1-azabicyclo[3.3.0]oct-*c*-5-yl

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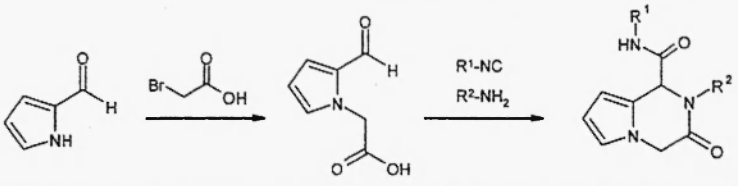
An efficient synthesis of 3-oxo-1,2,3,4 tetrahydropyrrolo[1,2-a]pyrazine-1 carboxamides using novel modification of Ugi condensation

Alexey P. Ilyin,[a] Julia A. Kuzovkova,[a] Alexandre M. Shkirando,[a] Alexandre V. Ivachtchenko*[a,b]
[a]*Department of Organic Chemistry, Chemical Diversity Research Institute, 114401 Khimki, Moscow Reg., Russia*
*[b]*ChemDiv, Inc., 11558 Sorrento Valley Rd., Suite 5, San Diego, California, 92121 USA*

We present a convenient synthesis of novel 3-oxo-1,2,3,4-tetrahydropyrrolo[1,2-*a*]pyrazine-1-carboxamides using a modification of four-component Ugi condensation. According to this method, (2-formyl-1*H*-pyrrol-1-yl)acetic acid is used as a bifunctional coupling reagent in the reaction with isonitriles and amines to furnish the target structures. The reaction can be automated and is amenable to library production. The novel synthetic approach described herein can be elaborated further for the synthesis of a wide number of heterocycle-fused 6-oxopiperazine-2-carboxamides.

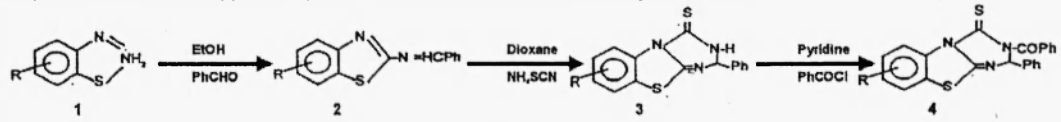


Heterocycl. Commun. 6 (2005) 527 – 530

Synthesis of some new benzothiazolotriazine derivatives

Prashant Kriplani, Pawan Swarnkar and K.G.Ojha*
Department of Pure and Applied Chemistry,M.D.S.University Ajmer-305 009(India)

Synthesis of some new benzothiazolotriazine derivatives is reported .2-Amino-6-substitutedbenzothiazoles 1 on treatment v benzaldehyde afforded 2-benzylidenoimino-6-substitutedbenzothiazoles 2 which underwent cyclisation with ammoniumthic in dioxane to give 2-phenylbenzothiazolo[3,2-α]-s-triazine-4-[3H] thione 3.Compound 3 with benzoyl chloride in anhydrou pyridine gave 2-phenyl –3-(benzoyl)benzothiazolo [3,2-α]-s-triazine-4- thione 4 in good yields.The structures of all these compounds have been supported by their elemental analysis and their spectral data.



Scheme-1