

Graphical Abstracts

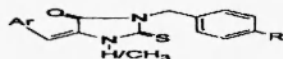
Heterocycl. Commun. 10 (2004) 9-14

A NOVEL WAY OF SYNTHESIS OF 1,3,5-TRISUBSTITUTED-2-THIOXOIMIDAZOLIDINONES

S. S. F. Br ndao¹, A. M. C. Andrade¹, D. T. M. Pereira², J. M. Barbosa Filho^{2,3}, M. C. A. Lima², S. L. Galdino², I.R.Pinto² and J.Barbe^{3,4}

¹Departamento de Antib ticos, Universidade Federal de Pernambuco, 50.670-901 - Recife, BRASIL. ²Labor rio de Tecnologia Farmac utica, Universidade Federal da Para ba, 58.000 - Jo o Pessoa, BRASIL. ³GERCTOP - UMR CNRS 6009, Universit  de la M diterran e, Facult  de Pharmacie, 13385 Marseille cedex 5, FRANCE.

Title compounds were prepared by 1,4-nucleophilic addition of 2-thioxoimidazolidin-4-ones to 3-aryl-2-cyano acrylates, followed by alkylation. Reaction yield are much better than those obtained in case of Knoevenagel-type condensation

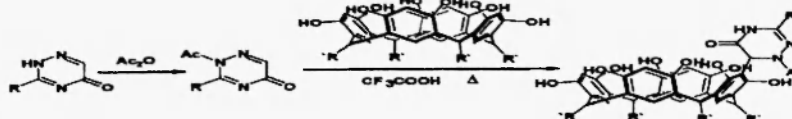


Heterocycl. Commun. 10 (2004) 15-18

THE FIRST CASE OF DIRECT COUPLING OF HETEROCYCLES WITH CALIXARENES. REACTION OF RESORCINARENES WITH 1,2,4-TRIAZIN-5(2H)-ONES.

Oleg N. Chupakhin^a, Gennady L. Rusinov^a, Dmitry G. Beresnev^a, Nadezhda A. Itakson^a, Irina L. Nikolaeva^b, Alexander R. Burilov^b and Alexander I. Konovalov^a

^aInstitute of Organic Synthesis, Russian Academy of Sciences, 620219, Ekaterinburg, Russian Federation. Fax: +7 3432 741189; e-mail: chupakhin@ios.uran.ru
^bA.E.Arbutov Institute of Organic and Physical Chemistry, Russian Academy of Sciences, 420088, Kazan, Russian Federation.



Heterocycl. Commun. 10 (2004) 19-24

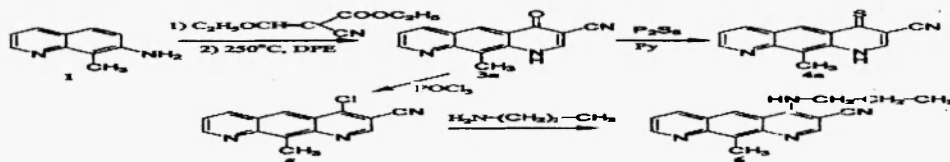
A CONVENIENT ROUTE TO 1,4-DIHYDRO-3-CYANO-10-METHYL-PYRIDO[3,2-g]QUINOLINE DERIVATIVES AS KEY-INTERMEDIATES FOR THE SYNTHESIS OF NOVEL MDR REVERSAL AGENTS

Claudia Moldovan¹, Catalina Cristea^{1*}, Ioan A. Silberg¹, Abdallah Mahamoud², Calin Deleanu³ and Jacques Barbe²

¹"Bab  ş-Bolyai" University, Faculty of Chemistry and Chemical Engineering, Organic Chemistry Department, Cluj-Napoca-Ro

²Universit  de la M diterran e, GERCTOP-UMR CNRS 6009, Marseille-F

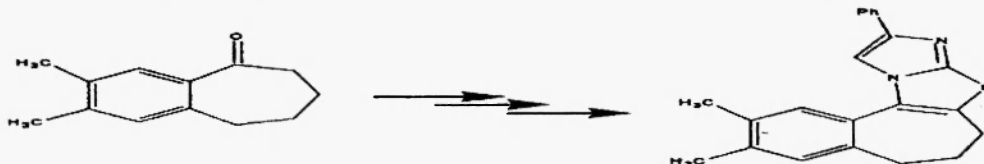
³Institute of Organic Chemistry, National NMR Laboratory, Bucharest-Ro.



Heterocycl. Commun. 10 (2004) 25-28

A New Synthesis of Phenylimidazo thiazolo 2,3-Dimethyl benzocycloheptene

Kakam Srinivas*, Manne Satyanarayana Reddy and Gudipati Srinivasulu
Research & Development, Dr. Reddy's Laboratories Ltd, Bulk Actives Unit-III,
Bollaram, Jinnaram, Medak Dist 502 325, A. P. India, e. mail: kakam@drreddys.com



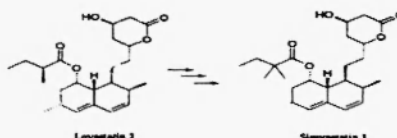
A Convenient Procedure for the Methylation of Lovastatin: Synthesis of Simvastatin

Kadir Dabak^a and Hulya Keskin

Department of Research and Development, Eczacibasi Ozgun Kimya, Organize Sanayi Bolgesi, Fatih Cad. 12, Cerkezkoy 59500, Tekirdag, Turkey, Phone: 90-282-7581771. Fax: 90-282-7581770 e-mail:kadird@eczacibasi.com.tr

Abstract:

A new synthetic method for the preparation of the cholesterol lowering drug simvastatin **1** from the naturally occurring lovastatin **2** is reported.

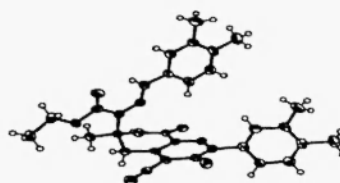


NMR SPECTROSCOPIC AND X-RAY STRUCTURAL CHARACTERIZATION OF TWO DIARYL DERIVATIVES OF (4-CYANO-6-METHYL-3,8-DIOXO-2,3,5,6,7,8-HEXAHYDROPYRIDO[3,4-c]PYRIDAZIN-6-YL) HYDRAZONO-ACETIC ACID ETHYL ESTER

Katn Lathia,^{1*} Erkki Kolehmainen,¹ Elina Wegelius,¹ Sergei Miltsov,² Vladimir Nikiforov² and Vladimir Karavan²

¹Department of Chemistry, University of Jyväskylä, P.O.Box 35, FIN-40014, Jyväskylä, Finland

²St.Petersburg University, Institute of Chemistry, Universitetskii pr. 2, 198904, St.Petersburg, Russia



Abstract: ¹H, ¹³C and ¹⁵N NMR chemical shifts have been measured and assigned for [4-cyano-2-(3,4-dimethylphenyl)-6-methyl-3,8-dioxo-2,3,5,6,7,8-hexahydropyrido[3,4-c]pyridazin-6-yl-(3,4-dimethylphenyl)-hydrazone]- and [4-cyano-2-(3-chloro-4-methylphenyl)-6-methyl-3,8-dioxo-2,3,5,6,7,8-hexahydropyrido[3,4-c]pyridazin-6-yl-(3-chloro-4-methylphenyl)hydrazone]-1- acetic acid ethyl esters. The structure of the first compound was also verified by a single crystal X-ray analysis.

Synthesis of 6-(1,5-Benzothiazin-2-yl)-2H-[1,4]-benzoxazin-3(4H)-ones from 6-Acetyl-2H-[1,4]-benzoxazin-3(4H)-ones

G. Jagath Reddy^a, C. Thirupathiiah and K. Srinivas Rao

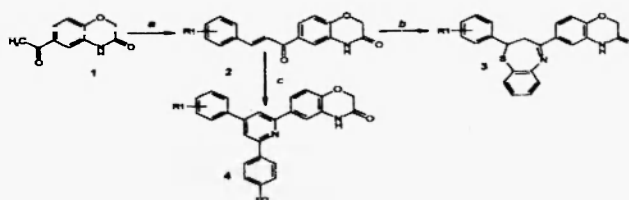
R & D Laboratories, Dr. Jagath Reddy's Heterocycles, 81, S.V. Co-op Industrial Estate, Balanagar, Hyderabad - 500 037, India. e-mail:jagathreddy@usa.net, Fax # 91-40-23773487.

and

Md. Khalidullah

Department of Chemistry, Jawaharlal Nehru Technological University, Hyderabad-500072, India.

A series of 6-(2,3-dihydro-1,5-benzothiazin-2-yl)-2H-[1,4]-benzoxazin-3(4H)-ones (3a-d & 4a-b) have been synthesized from 1-(3-oxo-1,4-benzoxazin-6-yl)-3-arylpropan-1-ones (2a-d).

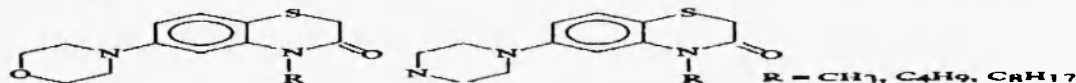


SYNTHESIS OF 6-MORPHOLINYL AND 6-PIPERAZINYL-4-ALKYL-4H-1,4-BENZO-THIAZIN-3-ONE DERIVATIVES WITH BACTERIOSTATIC ACTIVITY

V.L.M. Guarda^{1,2}, M. Ferrás¹, F. Thomasson¹, E. A. Ximenes³, S.L. Galdino³, I.R. Pitta¹ and C. Luu-Duc¹

¹Laboratoire de Chimie Pharmaceutique, CNRS UPRES-A 5077 Université Joseph-Fourier, Grenoble 1, La Tronche, France. ²Departamento de Farmácia, Universidade Federal de Ouro Preto, Minas Gerais, Brasil. ³Departamento de Antibióticos, Universidade Federal de Pernambuco, Recife, Pernambuco, Brasil

Synthesis, physical and analytical properties and *in vitro* bacteriostatic activity of 6-morpholinyl and 6-piperazinyl-4-alkyl-4H-benzo[1,4]thiazin-3-one derivatives are described.



An efficient methods for the synthesis of Some new 1-substituted aryl-4-ethoxycarbonyl-5-dimethylaminomethyleneamino-1, 2, 3-triazole and their Derivatives

Mihdong Chen^{a,b}, Yonfei Zheng^a, Chuanhui Wang^a, Welyi Hua^a, Xu Chen^a and Jinnyan Du^a

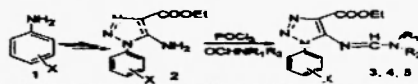
^a Department of Environmental Science, Nanjing Institute of Meteorology; Nanjing, 210044, P. R. China)

^b College of Medical, China Pharmaceutical University, Nanjing, 210009, P. R. China)

^c Department of Chemistry, Tianjin Normal University, Tianjin, 300074, P. R. China)

A simple and efficient method has been established for the synthesis of some new 1-substituted aryl-4-ethoxycarbonyl-5-disubstituted aminomethylenamino-1, 2, 3-triazole. These compounds were first prepared from 1-substituted aryl-4-

ethoxycarbonyl-5-amino-1, 2, 3-triazole and N, N-disubstituted formamides in the presence of phosphorus oxychloride under mild condition in moderate to good yields. The structures were characterized by IR, ¹H NMR, MS, and elemental analysis, and their inhibiting effects on various bacteria were also screened.

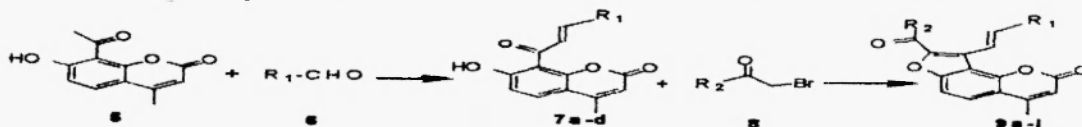


**NOVEL ANGULAR FURANOCOUMARINS:
SYNTHESIS OF 8-AROYL-9-STYRYL FUROBENZOPYRAN-2-ONES**

Venkat Reddy Yarrapathi

Indian Institute Of Chemical Technology, Organic coatings and Polymers Division, Hyderabad 500007 AP-India.

Synthesis of heterocyclic novel angular furanocoumarins from coumarin chalcones with phenacyl bromides.



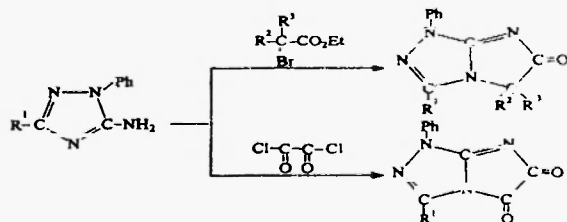
Facile synthesis of imidazo[2,1-c][1,2,4]triazolones derivatives

Fatma Allouche,^a Fakher Chabchoub,^b Béchir Ben Hassine^a and Mansour Salem^b

^a Laboratoire de Synthèse Organique Asymétrique et Catalyse Homogène, Faculté des Sciences, 5019 Monastir, Tunisie

^b Laboratoire de Chimie Appliquée: Hétérocycles, Corps Gras et Polymères, Faculté des Sciences de Sfax, 3018 Sfax, Tunisie

α -bromoesters and oxalyl chloride react with 5-amino-1-phenyl-1,2,4-triazoles to give in anhydrous conditions and with good yields, imidazo [2,1-c][1,2,4] triazolones.



**Cyclocondensation Reactions of Heterocyclic Carbonyl Compounds IX
Synthesis of some derivatives of 6,7,8-trimethoxy-(1,2,4)triazino[2,3-a]benzimidazole.**

Petr Bilák, Jan Slouka,

Department of Organic Chemistry, Palacky University, 771 46 Olomouc, Czech Republic, E-mail: orgchem@aix.upol.cz

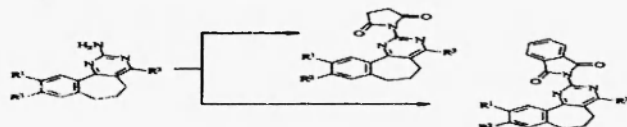


- a) R=CN
b) R=COOH

Reactions of 10-methyl-4-phenyl-6,7-dihydro-5H-benzo[6,7]cyclohepta[d]pyrimidine-2-amine with carboxylic anhydrides.

Foosapati Vaakateswarra* and Nagarwara Rao Vairreddy
Industrial Chemistry Labs, Centre for Environment,
J N T University, Hyderabad-500 028, India

10-Methyl-4-phenyl-6,7-dihydro-5H-benzo[6,7]cyclohepta[d]pyrimidine-2-amine (3) reacts with several carboxylic anhydrides under different conditions and gives new amide derivatives. The structures of these compounds 4 & 5 are determined by analytical and spectroscopic methods. All the compounds have been evaluated for their antimicrobial, analgesic and antiinflammatory activities.



NUCLEOPHILIC SUBSTITUTION AT THE AMINALIC CARBON OF SOME MACROCYCLIC POLYAMINALS

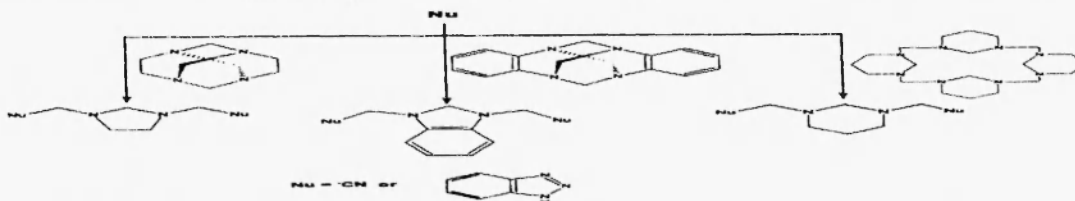
Augusto Rivera^{1*}, Mauricio Maldonado, Martín E. Núñez¹ and Pedro Joseph-Nathan²

¹Departamento de Química, Universidad Nacional de Colombia, Apartado Aéreo 14490, Ciudad

Universitaria, Bogotá, Colombia.

²Departamento de Química, Centro de Investigación y de Estudios Avanzados del Instituto Politécnico

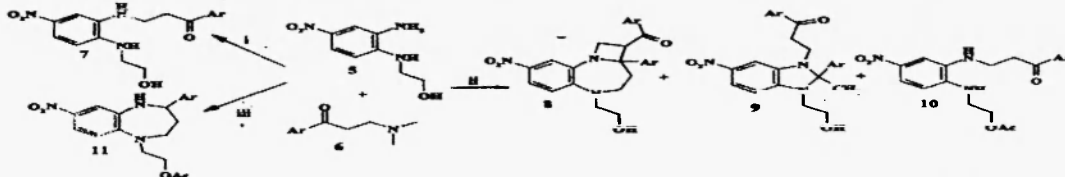
Nacional, Apartado 14-740, México D.F., 07000, México.



REACTION OF 2-(2-AMINO-4-NITROANILINO)ETHANOL WITH 3-DIMETHYLAMINOPROPIOPHENONES

Braulio Insuasty,^{1*} Yupanqui Caldas,¹ Jairo Quiroga,^{1*} Rodrigo Abonía¹ and Manuel Nogueras²

¹Grupo de Investigación de Compuestos Heterocíclicos, Department of Chemistry, Universidad del Valle, A. A. 25360, Cali - Colombia. ²Department of Inorganic and Organic Chemistry, Universidad de Jaén, 23071 Jaén, Spain.

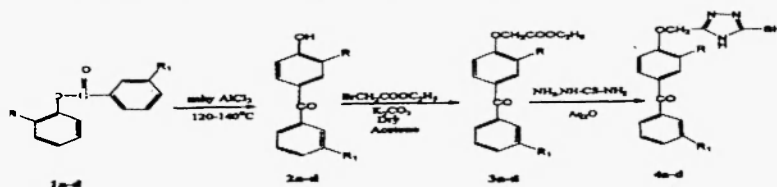


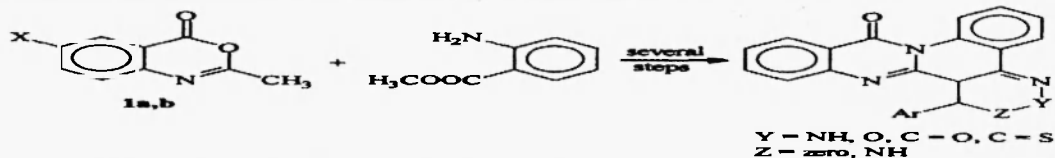
SYNTHESIS OF 5-(4'-AROYL)-ARYLOXY METHYL -4H-(1, 2, 4)-TRIAZOLIN-3-THIOL AND THEIR BIOLOGICAL ACTIVITY

B.S. Sudha*, S. Shashikanth* and Shaikath Ara Khanum*

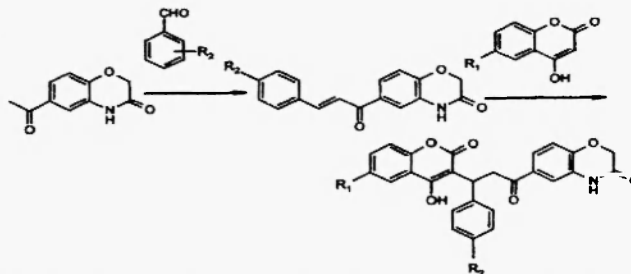
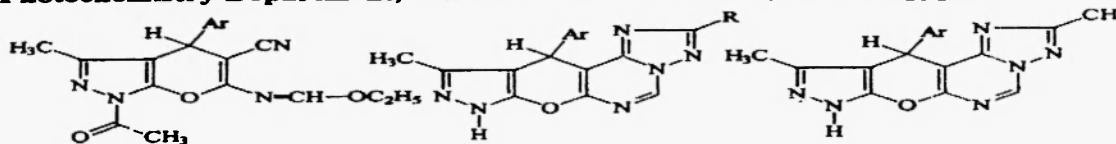
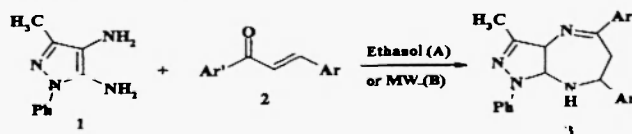
Department of Studies in Chemistry, Manasagangothri, University of Mysore, Mysore

*Yuvaraja's College, University of Mysore, Mysore.



Synthesis and Some Reactions of Quinolino[2,1-b]quinazoline DerivativesMaher F. El-Zohry^a, Marzoug S. Al-Thebeiti^b and Ghada S. Masaret^b^aDepartment of Chemistry, Faculty of science, Assiut University, Assiut, 71516, Egypt
E-mail: mfezohry@yahoo.com^bDepartment of Chemistry, Faculty of Applied Science, Umm Al-Qura University, Makkah Al-Mukarrama, P.O. Box 5576, Saudi Arabia.**SYNTHESIS OF 6-[1-(4'-HYDROXYCOUMARIN-3'-YL)-1-PHENYL]PROPIONYL-2H-[1,4]-BENZOXAZIN-3(4H)-ONES**G. Jagath Reddy^{*}, R. Shailaja Reddy, K. Pallavi and K. Srinivasa RaoR & D Laboratories, Dr. Jagath Reddy's
Heterocyclics, 81, S.V.Co-op Industrial Estate,
Balanagar, Hyderabad - 500 037, India. e-mail:
jagathreddy@usa.net; Fax # 91-40-23773487.

A series of 6-[1-(4'-Hydroxycoumarin-3'-yl)-1-phenyl]propionyl-2H-[1,4]-benzoxazin-3(4H)-ones (4a-l) have been prepared by Michael addition of 4-hydroxycoumarins (3a-c) on 1-(2H-3-oxo-[1,4]-benzoxazin-6-yl)-3-arylpropen-1-ones (2a-d).

**The Behaviour of Ethyl 1-acetyl-4-aryl-5-cyano-3-methyl-1,4-dihydro-Pyrano [2,3-c]pyrazol-6-ylmidoformate Towards Nucleophiles**M. E. A. Zaki, E. M. Moray, F. M. Abdel-Motti and F. M. E. Abdel-Megeld
Photochemistry Department, National Research Center, Cairo, Egypt.**SYNTHESIS INDUCED BY MICROWAVE IRRADIATION, AND *IN VITRO* ANTIFUNGAL EVALUATION OF NEW DIHYDROPYRAZOLO[3,4-b][1,4]DIAZEPINES**Braulio Inasasty^a, Jairo Quiroga^a, Rodrigo Aboia^a, Henry Inasasty^b, Mónica Mosquera^c, Silvia Cruz^d, Manuel Noguera^e, Maximiliano Sortino^f and Saana Zacchiao^g^aGrupo de Investigación de Compuestos Heterocíclicos, Departamento de Química, Universidad del Valle,A. A. 25360, Cali - Colombia; ^bDepartamento de Química, Universidad de Nariño, A. A. 1175, Pasto - Colombia; ^cDepartamento de QuímicaInorgánica y Orgánica, Universidad de Jaén, 23071 Jaén, España; ^dFarmacognosia, Facultad de Ciencias Bioquímicas y Farmacéuticas, Universidad Nacional de Rosario, Sulpacha 531, (2000), Rosario, Argentina.

A FACILE SYNTHESIS OF SOME NEW 3-(2-HYDROXY-4-THIAZOLYL) COUMARINS AND THEIR DERIVATIVES

V. Rajeswar Rao* and V. Ravinder Reddy

Department of Chemistry, National Institute of Technology, Warangal (A.P.) 506 004, India

Abstract: Reaction of 3-(2-bromoaacetyl)coumarins with potassium thiocyanate provides 3-(2-thiocyanatoacetyl)coumarins which on treatment with methanolic HCl gives 3-(2-hydroxy-4-thiazolyl)-2H-1-benzopyran-2-ones (2). 2 undergoes condensation with alkyl, aralkyl halides to give corresponding others (3). The structure of newly synthesized compounds were established on the basis of spectral data.

