

Graphical Abstract

Heterocycl. Commun. 3 (2008) 129 – 136

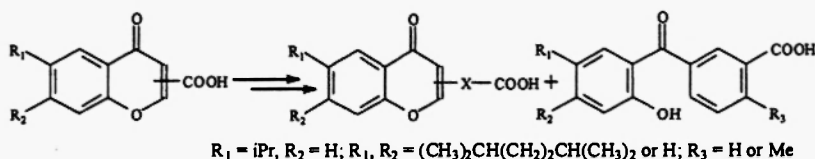
The synthesis and preliminary evaluation of substituted chromones, coumarins, chromanones, and benzophenones as retinoic acid receptor ligands

Shyam Desai, Weilin Sun, Jerome Gabriel and Daniel J Canney

¹Department of Pharmaceutical Sciences, School of Pharmacy, Temple University, 3307 North Broad Street, Philadelphia, PA 19140

²Department of Biochemistry, School of Medicine, Temple University

Utilizing molecular modeling techniques and structure-activity relationship data from the literature, a series of 2- and 3-substituted chromones and related heterocycles have been designed and synthesized as retinoic acid receptor ligands. The compounds were prepared using known coupling reactions and Wittig-Horner-Emmons reaction conditions. These compounds were then evaluated for affinity to retinoic acid receptor subtypes. Several of the compounds reported herein were found to bind with moderate affinity to the target receptors.



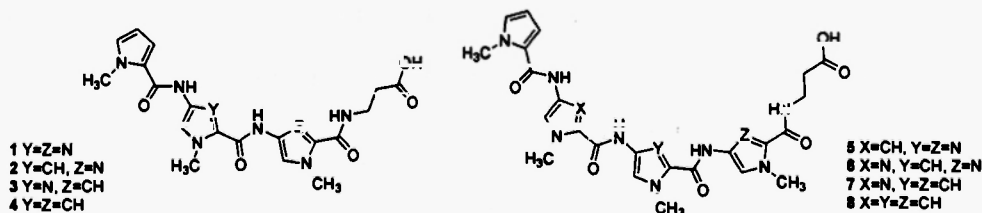
Heterocycl. Commun. 3 (2008) 137 – 140

Polyamides containing C-terminus β -alanine-carboxylic acids as intermediates for divergent synthesis

Keith Mulder, Toni Brown, Patrick Nolan, Traci Smith, and Moses Lee*

Division of Natural and Applied Sciences and Department of Chemistry, Hope College, Holland, MI 49423, USA

The synthesis of eight imidazole- and pyrrole-containing polyamides contain an N-terminal pyrrole unit and C-terminal β -alanine carboxylic acid moiety is described.

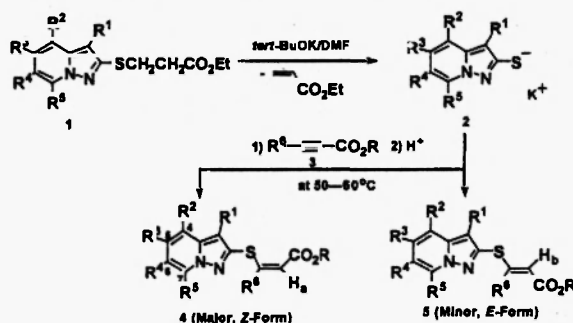


Preparation of new nitrogen-bridged heterocycles. 62. Reaction of potassium pyrazolo[1,5-a]pyridine-2-thiolates with electron-poor alkynes

Hidetoshi Isawa, Akikazu Kakehi*, and Hiroyuki Suga

Department of Chemistry and Material Engineering, Faculty of Engineering, Shinshu University, Wakasato, Nagano 380-8553, Japan

The Michael additions of potassium pyrazolo[1,5-a]pyridine-2-thiolates with ethyl propiolate and methyl phenylpropiolate were investigated and the predominant *trans* mode of the addition was confirmed.

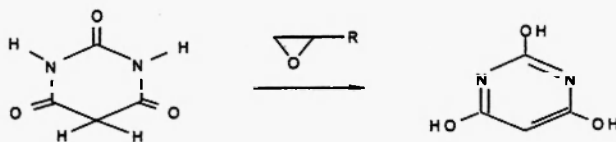
**Stable enol form of barbituric acid**

Jacek Lubczak and Ewaryst Mendyk

Faculty of Chemistry, Rzeszów University of Technology, 6 Powstańców Warszawy Ave., 35-959 Rzeszów, Poland

Faculty of Chemistry, Maria Curie-Skłodowska University, 2 Marii Curie- Skłodowska Sq, 20-031 Lublin, Poland

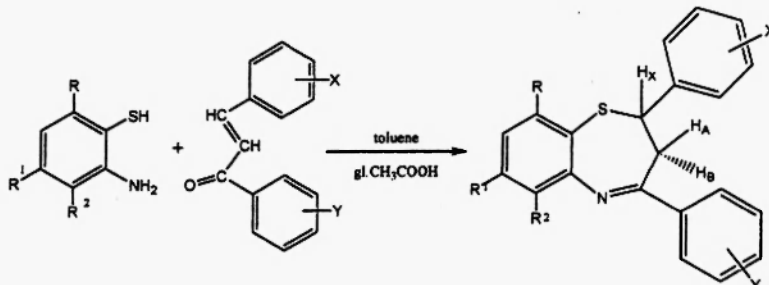
Enol form of barbituric acid is formed in presence of ethylene or propylene oxides in DMF at 40 and 60°C , respectively, while its formation in DMF occurs in more drastic conditions.

**Synthesis of 2, 4-diaryl-2, 3-dihydro-1, 5-benzothiazepines**

Vandana Ankodia, Praveen Kumar Sharma, Vandana Gupta and M.Kumar

Department of Chemistry, University of Rajasthan, Jaipur-302004 (India)

A new series of functionalized 2, 4-diaryl-2, 3-dihydro-1, 5-benzothiazepines have been synthesized by a convenient single step synthesis involving heterocyclization reaction of 2-aminobenzenethiols with α, β -unsaturated ketones in toluene in the presence of catalytic amount of glacial acetic acid. The synthesized compounds have been characterized by their elemental analysis and spectral characteristics.



Complex formation of tmta14c4 and ibr in dichloromethan solution

Omid Moatamedi ¹, Abolfazl Semnani², Ali Reza Firooz ³ and Hamid Reza Pouretdal ⁴ and Mohammad Hossien Keshavarz ⁴

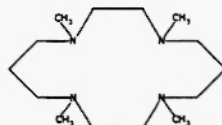
¹Faculty of Science, Payame Noor University of Ardekan, Yazd, Iran.

²Faculty of Science, University of Shahrekord, P.O.Box 115, Shahrekord, Iran

³Department of Chemistry, Faculty of Science, University of Isfahan, Isfahan, Iran

⁴Department of Chemistry, Malek-e-ashtar University of Technology, Shahin-shahr, Iran

A spectrophotometric study concerning the interaction between 1,4,8,11-tetramethyl-1,4,8,11-tetraazacyclotetradecane and iodine monobromide has been made in dichloromethane at 25 °C. The results indicate the formation of (macrocycle)₂I⁺I₃⁻ and Br⁺Br⁻ adducts through equilibrium reaction. The formation constant of the reaction has been calculated by fitting the absorbance–mole ratio data in MATLAB program. Conductometric measurements indicate the formation of free ions adducts. IR spectra of macrocycle and the resulting complex are compared and the effect of complexation on absorption bands is discussed.

**A new synthesis of 1,4-dihydropyridine derivatives from formyl furochromone**

N. M. Fawzy

Department of Chemistry of Natural and Microbial Products, National Research Centre, Dokki, Cairo, EGYPT.

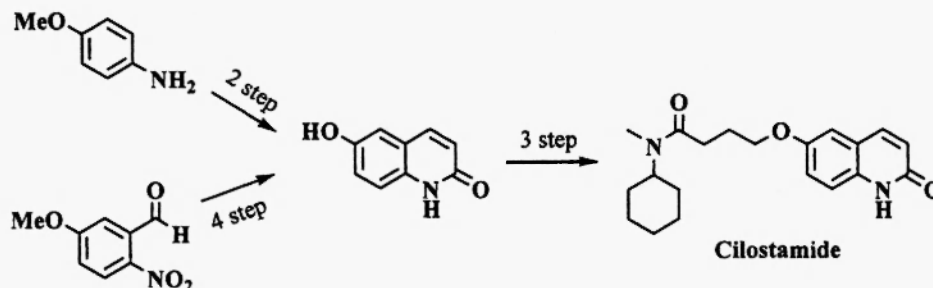
Condensation of equimolar β-aminoester (2a-d), β-ketoester (3a-c) with formyl furochromone (1) yielded 1,4-dihydropyridine derivatives (4a-l). Oxidation of 1,4-dihydropyridine derivatives (4a-c) afforded the corresponding pyridine derivatives (5a-c). Reaction of compound (1) with β-aminoester (2a-d) in the molar ratio (1:2) gave 1,4-dihydropyridine derivatives (6a-d). Treatment of formyl furochromone (1) with 3-aminocrotononitrile (7) in the molar ratio (1: 2) in an acid medium yielded 1,4-dihydropyridine derivatives (11). It has been found that compound (1) reacts with nitroketenaminals (12a-d) to give 1,4-dihydropyridines (13a-d). Reduction of nitro-group on 1,4-dihydropyridine (13a) gave compound (14a).

New procedure for the total synthesis of cilostamide

Seyed Mohammad Seyed^{*}, Hamid Sadeghian, and Zahra Arghiani

Department of Chemistry, Faculty of Sciences, Ferdowsi University of Mashhad, Mashhad, I. R. Iran

An efficient route to synthesis a wide range of *N,N*-R-4-(2-oxo-1,2-dihydroquinolin-6-yloxy)butanamide, specially Cilostamide (R = methyl and R = cyclohexyl), one of the most selective inhibitors of phosphodiesterase3 (PDE3) enzyme, from 5-methoxy-2-nitro benzaldehyde with emphasis on the preparation of the carbostyryl (2-quinolinone) ring system is reported.



Synthesis of new oxazolidinonyl/oxazolidinyl carbazole derivatives for β -blocking activity

Raghupathi Reddy Anumulaa, Mukkanti Kaggab, Mahesh Reddy Ghantaa and Pratap Reddy Padia

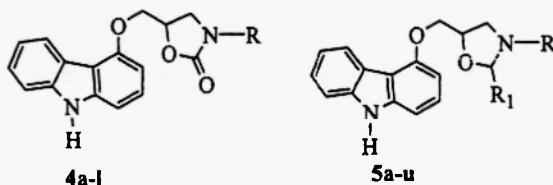
^aDepartment of Research and Development; Unit-III, Dr. Reddys Laboratories Ltd.

Plot.No.116, S.V. Co-operative Industrial Estate, IDA, Bollaram, Jinnaram, Medak Dist. 502325, Andhra Pradesh, India

^bInstitute of Science and Technology, Center for Environmental Science,

J. N. T. University, Kukatpally, Hyderabad-500 072, India

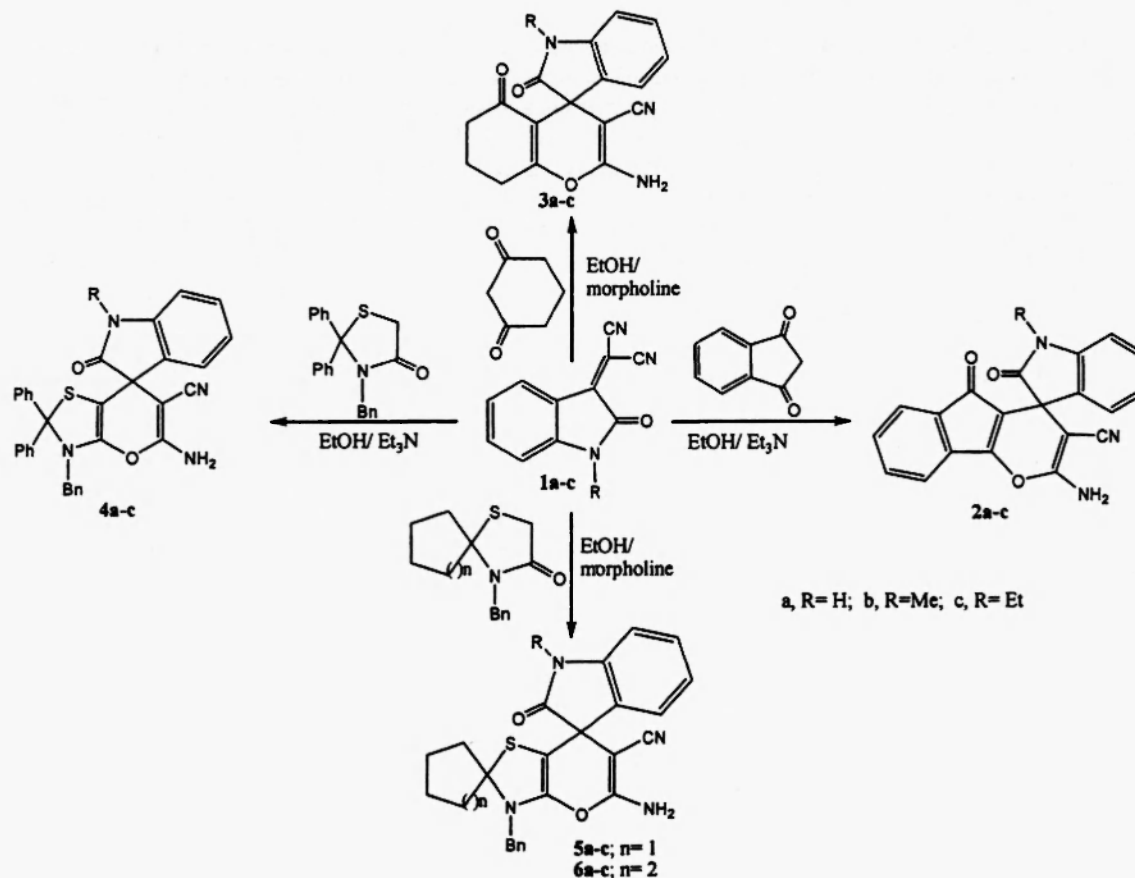
Preparation of some new carbazolyloxy propanolamine derivatives and their cyclization into corresponding oxazolidinonyl/oxazolidinyl carbazole derivatives were described.

**Synthesis of some new spiropyrans containing indoline moiety**

Maher F. El-Zohry,* Yasser A. Elossaily, Thanaa A. Mohamed, and Essam M. Hussein

Department of Chemistry, Faculty of Science, Assiut University, Assiut, 71516, Egypt

3-dicyanomethylidene-2-oxoindolines 1a-c reacted with different cyclic carbonyl compounds to afford new spiro heterocyclic derivatives 2a-c to 5a-c which are analogues of some reported biologically active spiropolycyclic compounds

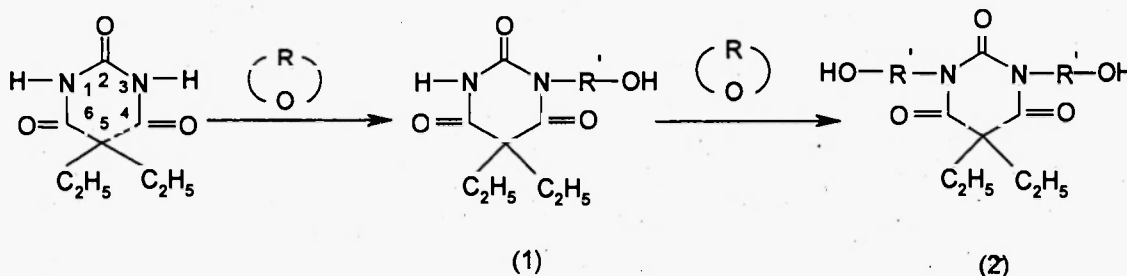


Hydroxyalkyl derivatives of 5,5-diethylbarbituric acid

Joanna Kosterna, and Jacek Lubczak

Faculty of Chemistry, Rzeszów University of Technology, 6 Powstańców Warszawy Ave., 35-959 Rzeszów, Poland

The reaction of 5,5-diethylbarbituric acid with formaldehyde, oxiranes and alkylene carbonates towards hydroxyalkyl derivatives was described.

**Interaction between 1,3,5-trithiane and iodine monobromide in halomethane solutions**¹Abolfazl Semnani*, ²Hamid Reza Pouretdal, ³Mohammad Hossein Keshavarz, ³Ali Reza Firooz and ⁴Mohsen Oftadeh¹Faculty of Sciences, University of Shahrekord, Shahrekord, ²Malek-e-ashtar University of Technology, Shahin-Shahr,³University of Isfahan, Isfahan, ⁴Payam-Nor of Isfahan, Isfahan, IRAN

A spectrophotometric study concerning the interaction between 1,3,5-trithiane (TT) as n-donor and iodine monobromide as σ -acceptor has been performed in dichloromethane, trichloromethane and tetrachloromethane solutions at 10 °C. The results are indicative of the formation of 1:1 charge transfer complexes through equilibrium reactions in all solvents. Followed by conversion of charge transfer complex to ionic adduct in di- and trichloromethane solutions. The stability constants and ϵ values of complexes are obtained from the fitting of absorbance-mole ratio data in MATLAB software and in different solvents found vary in the order: $\text{CCl}_4 > \text{CHCl}_3 > \text{CH}_2\text{Cl}_2$ for stabilities and reverse for ϵ values. The rate constants of conversion of charge transfer to ionic complexes are obtained from the slopes of $\text{Ln}(A_t/A_0)$ vs. t plots and found vary in the order $\text{CH}_2\text{Cl}_2 > \text{CHCl}_3$. The possible reasons for the observed trends in stability constants, ϵ values and rate constants discussed. The contribution of dipole-dipole interactions are obtained by the semi-empirical calculations in Gaussian 98 and it was found that contribution of these forces is considerable.

