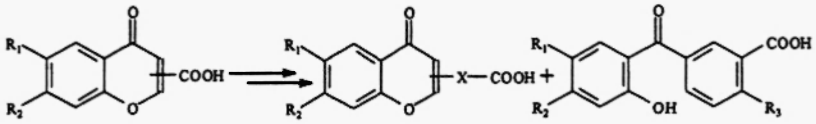
Graphical Abstract

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



R_1 = iPr, R_2 = H; R_1, R_2 = $(CH_3)_2CH(CH_2)_2CH(CH_3)_2$ or H; R_3 = H or Me

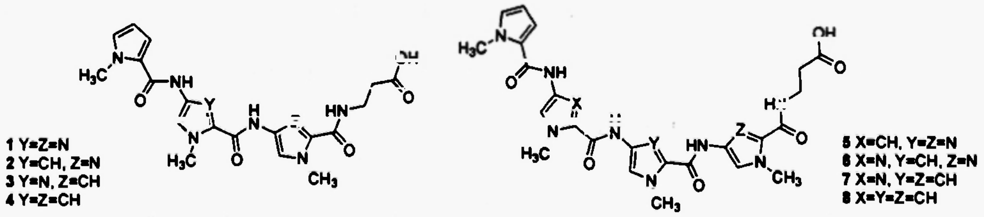
---

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.

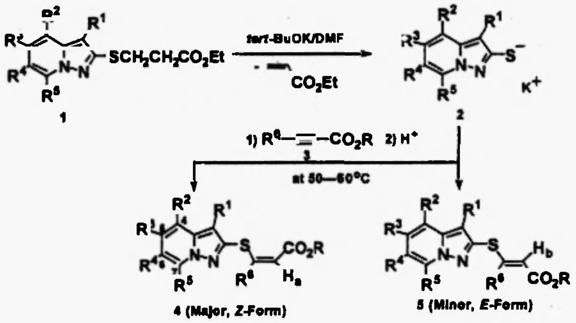


Heterocycl. Commun. 3 (2008) 141 – 148

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.

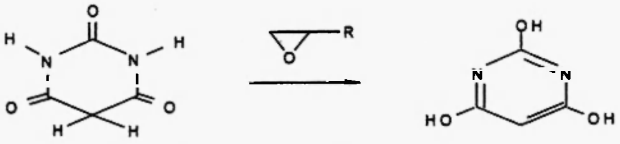


Heterocycl. Commun. 3 (2008) 149 – 154

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.

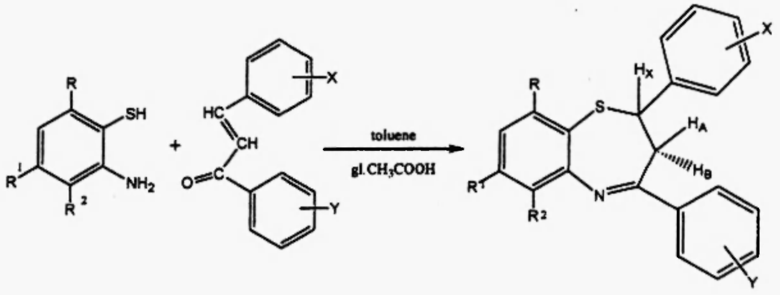


Heterocycl. Commun. 3 (2008) 155 – 160

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.

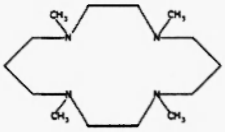


Heterocycl. Commun. 3 (2008) 161 – 168

Complex formation of tmta14c4 and ibr in dicholoromethan solution

Omid Moatamedi [1], Abolfazl Semnani*[, 2], Ali Reza Firooz [3] and Hamid Reza Pouretedal [4] and Mohammad Hossien Keshavarz [4]
[1]Faculty of Science, Payame Noor University of Ardekan, Yazd, Iran.
[2]Faculty of Science, University of Shahrekord, P.O.Box 115, Shahrekord, Iran
[3]Department of Chemistry, Faculty of Science, University of Isfahan, Isfahan, Iran
[4]Department of Chemistry, Malek-e-ashtar University of Techmology, Shahin-shahr, Iran

A spectrophotometric study concerning the interaction between 1,4,8,11-tetrametyl-1,4,8,11-tetraazacyclotetradecane and iodine monobromide has been made in dichloromethane at 25 °C. The results indicate the formation of (macrocycle)$_2$I$^+$I$_3^-$ 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.



Heterocycl. Commun. 3 (2008) 169 – 182

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

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

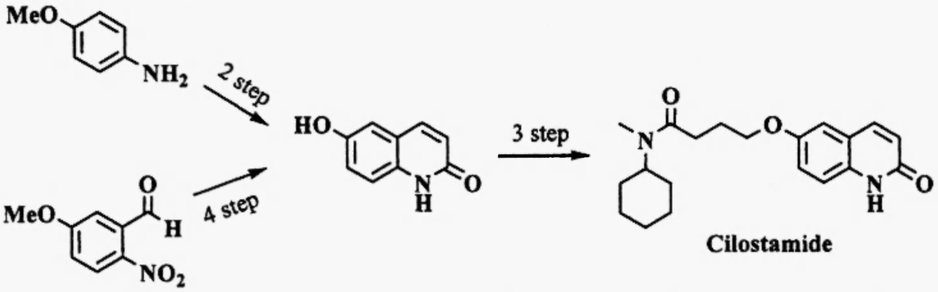
Condensation of equimolar β-enaminoester (2a-d), β-ketoester (3a-c) with formyl furochromone (1) yielded 1,4-dihydropyridine derivatives (4a-l). Oxidation of 1,4- dihydropyridine derivatives (4a-c) afforded the corresponding pyridine derivatives (5a-c). Reaction of compound (1) with β-enaminoester (2a-d) in the molar ratio (1:2) gave 1,4-dihydropyridine derivatives (6a-d). Treatnent 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).

Heterocycl. Commun. 3 (2008) 183 – 186

New procedure for the total synthesis of cilostamide

Seyed Mohammad Seyedi*, 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,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 carbostyril (2-quinolinone) ring system is reported.



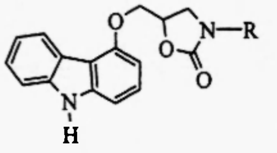
Cilostamide

Heterocycl. Commun. 3 (2008) 187 – 194

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

Raghupathi Reddy Anumulaa, Mukkanti Kaggab, Mahesh Reddy Ghantaa and Pratap Reddy Padia
[a]Department 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
[b]Institute 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.



4a-l

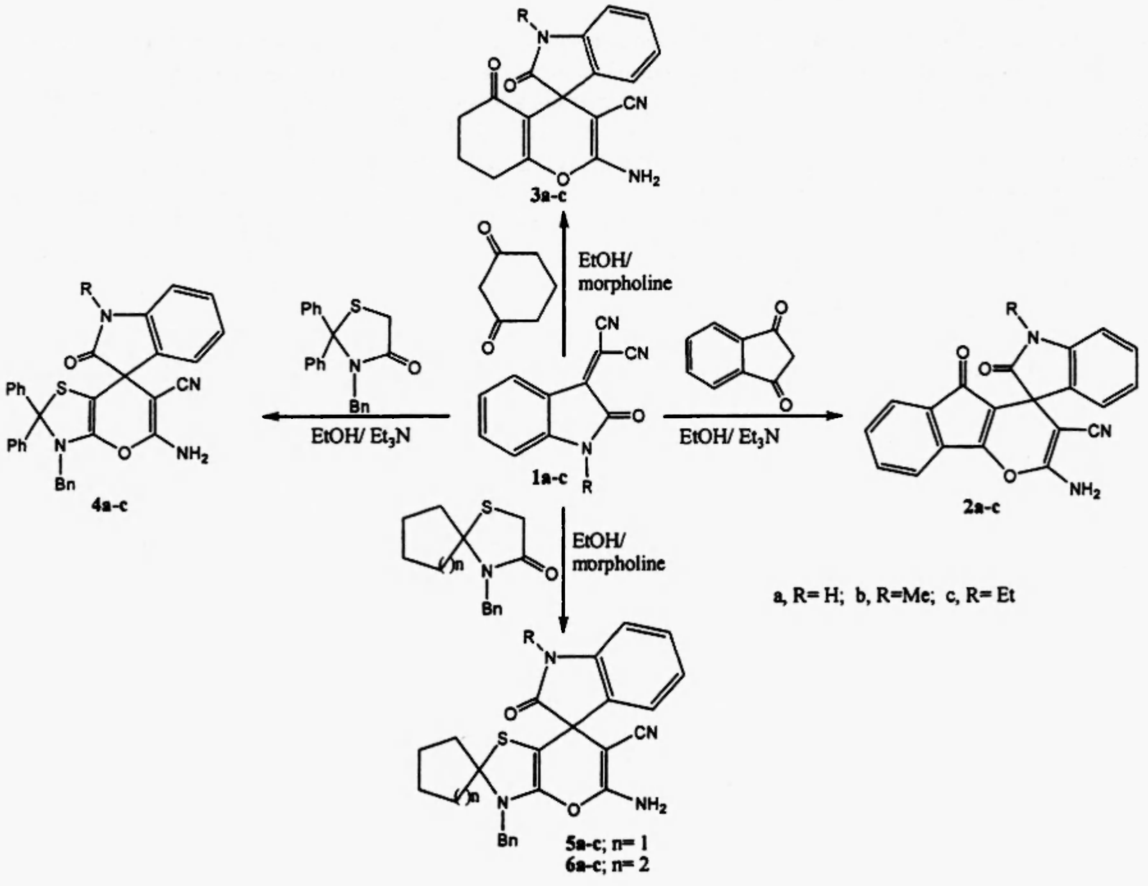
5a-u

Heterocycl. Commun. 3 (2008) 195 – 198

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-dicyanomethylidine-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



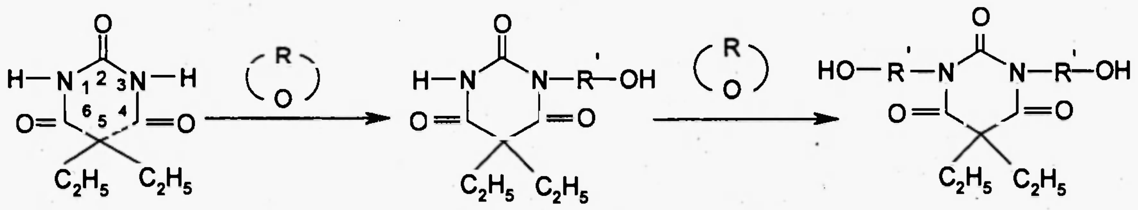
a, R= H; b, R=Me; c, R= Et

Heterocycl. Commun. 3 (2008) 199 – 204

Hydroxyalkyl derivatives of 5,5-diethylbarbituric acid

Joanna Kosterna,and Jacek Lubczak
Faculty of Chemistry, Rzeszów University of Technology, 6 Powstanców Warszawy Ave., 35-959 Rzeszów, Poland

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



(1) (2)

Heterocycl. Commun. 3 (2008) 205 – 213

Interaction between 1,3,5–trithiane and iodine monobromide in halomethane sotutions

[1]Abolfazl Semnani*, [2]Hamid Reza Pouretedal, [2]Mohammad Hossein Keshavarz, [3]Ali Reza Firooz and [4]Mohsen Oftadeh
[1]Faculty of Sciences,University of Shahrekord, Shahrekord, [2] Malek-e-ashtar University of Technology, Shahin–Shahr,
[3]University of Isfahan, Isfahan, [4]Payam-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-cteptor 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 trichloromethance 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: $CCl_4 > CHCl_3 > CH_2Cl_2$ for stabilities and reverse for ε values. The rate constants of conversion of charge transfer to ionic complexes are obtained from the slops of $Ln(A_t/A_o)$ vs. t plots and found vary in the order $CH_2Cl_2 > CHCl_3$. 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.

1, 3, 5 – Trithiane (TT) + IBr $\rightleftharpoons$ TT·IBr (Charge transfer complex)
in solutions CH_2Cl_2, $CHCl_3$, CCl_4 at 10 °C