Graphical Abstracts

Heterocycl. Commun. **4** (1998) 291-292

Unexpected Displacements of Chloride by Bromide Found During Sandmeyer Reactions of 3- or 5-Amino-2-Chloropyridines

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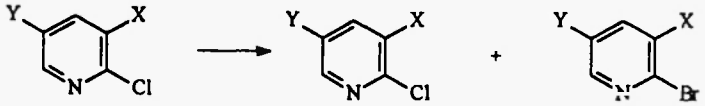
Facile, temperature dependent displacements of chloride by bromide have been found in the diazotizations of **1a** and **1b**, followed by addition of CuBr in 48% HBr



1a, X = NH_2, Y = H
b, X = H, Y = NH_2

2a, X = Br, Y = H
b, X = H, Y = Br

3a, X = Br, Y = H
b, X = H, Y = Br

Heterocycl. Commun. **4** (1998) 293-299

AN UNEXPECTED TRANSFORMATION DURING THE SYNTHESIS OF 3,5-DISUBSTITUTED ISOXAZOLES

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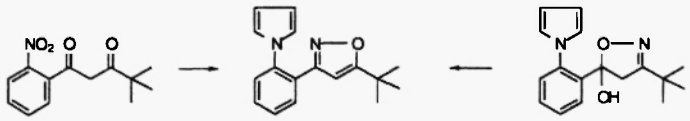
Department of Metabolic Diseases, Novartis Pharmaceuticals, 556 Morris Ave., Summit, N.J. 07901

Treatment of diketone **2** with hydroxylamine followed by conversion of the nitro group to a pyrrole furnished the 5-alkyl-3-arylisoxazole **6** instead of the expected 3-alkyl-5-arylisoxazole **5**. Likewise, treatment of **9** with acid produced isoxazole **6** as the sole product.



2 **6** **9**

Heterocycl. Commun. **4** (1998) 301-308

LITHIATION OF 3-ARYL-1,2,4,5-TETRAZINES

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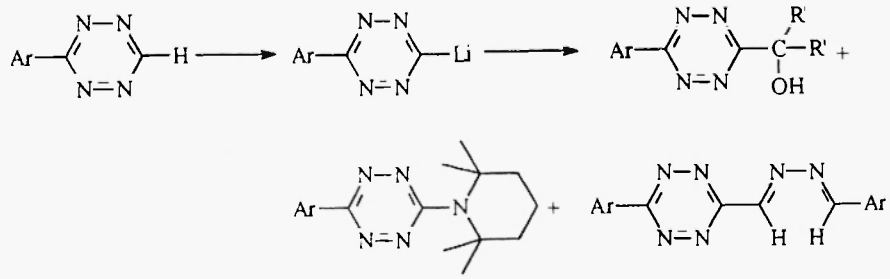
Lithiation of 3-aryl-1,2,4,5-tetrazines with lithium 2,2,6,6-tetramethylpiperidide and reaction of the lithio-1,2,4,5-tetrazines with aldehydes or ketones affords 3-aryl-6-(α-hydroxymethyl)-1,2,4,5-tetrazines, 3-aryl-6-(tetramethylpiperidyl-1)-1,2,4,5-tetrazines and 1-aryl-4-(6-aryl-1,2,4,5-tetrazin-3-yl)-2,3-diazabutadienes.



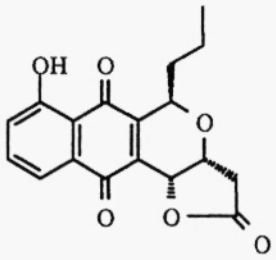
Heterocycl. Commun. 4 (1998) 309-315

ANTICOCCIDIAL ACTIVITY OF NOVEL SEMI-SYNTHETIC ANALOGUES OF FRENOLICIN B (I)

Richard E. Armer*, Christopher J. Dutton, Brian R. Fenner, Sean D.W. Greenwood, Kim T. Hall and Andrew J. Rudge.

Animal Health Discovery, Pfizer Central Research, Ramsgate Road, Sandwich, Kent, CT13 9NJ, U.K.

Abstract: Semi-synthetic aromatically substituted analogues of the naphthopyranquinone, frenolicin B **1**, have been produced and their biological activity as anticoccidial agents investigated *in vivo*.



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Heterocycl. Commun. 4 (1998) 317-324

PREPARATION AND REACTIVITY OF 1-BENZYL-2,4-PIPERIDINEDIONE-3-CARBOXYLIC ACID DERIVATIVES

Samir Ibenmoussa,[a] Olivier Chavignon,[b] Jean-Claude Teulade,[b] Henry Viols,[a] Jean-Claude Debouzy,[c] Jean-Pierre Chapat,[c] and Alain Gueiffier,[d]

[a] E.A Pharmacochimie et Biomolécules, Laboratoire de Chimie Organique Pharmaceutique, Faculté de Pharmacie, 15 Avenue Charles Flahault, 34060 Montpellier, France.
[b] Laboratoire de Chimie Organique Pharmaceutique, Groupe de Recherches en Pharmacochimie, UFR de Pharmacie, 28, Place H. Dunant, B.P. 38, 63001 Clermont-Ferrand, France.
[c] Centre de Recherches du Service de Santé des Armées, Unité de biophysique, 24 avenue des maquis du Gresivaudan, B.P. 87, 38702 La Tronche Cedex, France.
[d] Laboratoire de Chimie Therapeutique, Faculté de Pharmacie, 31 avenue Monge, 37200 Tours, France.

The synthesis of title compound was reported using a Dieckmann reaction. His reactivity was further studied, particularly alkylation reactions. The ^{1}H and ^{13}C-NMR of obtained compounds were also reported.

Heterocycl. Commun. 4 (1998) 325-331

Synthesis of some 3-oxo-3,4-dihydro-1,2,4-triazino[2,3-a]benzimidazole-2-carbonitriles

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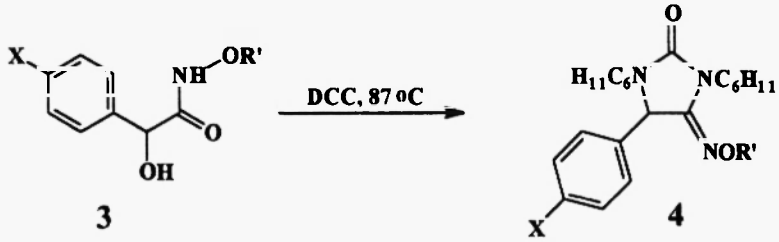
R_1= H, CH_3, OCH_3 R_2= H or CH_3

Heterocycl. Commun. 4 (1998) 333-337

4-ALKOXYIMINOHYDANTOINS FROM O-ALKYLHYDROXAMIC ACIDS AND DICYCLOHEXYLCARBODIIMIDE

Detlef Geffken * and Ralf Gleixner
Institute of Pharmacy, Pharmaceutical Chemistry Department, University of Hamburg;
Bundesstrasse 45, 20146 Hamburg, Germany

4-Alkoxyiminohydantoins 4 are obtained from the cyclocondensation of O-alkylmandelohydroxamic acids 3 with dicyclohexylcarbodiimide.



3 4

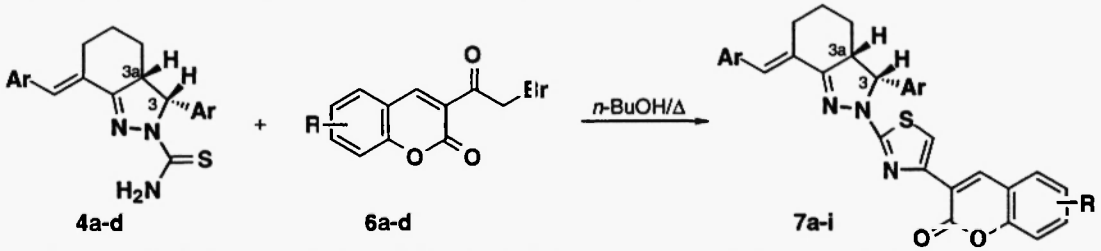
Heterocycl. Commun. 4 (1998) 339-340

A FACILE STEREOSELECTIVE SYNTHESIS OF NOVEL HETEROCYCLES WITH HEXAHYDRO-2H-INDAZOLE, THIAZOLE, AND COUMARIN MOIETIES

Yaroslav V. Bilokin (Belokon),[*a1] and Ivan M. Gella [b]

[a] *Department of Organic Chemistry, Ukrainian Academy of Pharmacy, 310002 Kharkov , Ukraine*

[b] *Department of Organic Chemistry, Kharkov State University, 4 Svobody sq., 310077 Kharkov, Ukraine*



4a-d 6a-d 7a-i

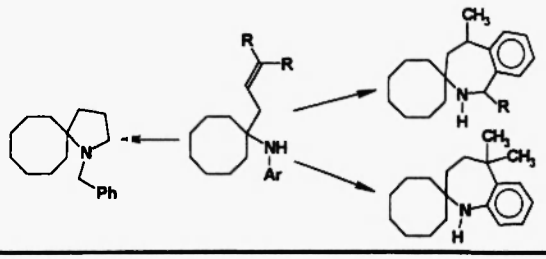
3,3a-*Cis*-hexahydro-2H-indazole derivatives 7a-i substituted at 2-position with heterocyclic fragments such as thiazole and coumarin have been synthesized by condensation of 3-(ω-bromoacetyl)coumarins 6a-d and *N*-thiocarbamoyl-hexahydroindazoles 4a-d.

Heterocycl. Commun. 4 (1998) 341-344

SYNTHESIS OF NEW SPIROHETEROCYCLES WITH CYCLOOCTANE FRAGMENT

Leonor Y. Vargas M. and Vladimir Kouznetsov*
Laboratory of Fine Organic Chemistry, School of Chemistry, Industrial University of Santander, Bucaramanga, Colombia, A. A. 678. Fax : 5776- 350540 ; E-mail: kouznet@uis.edu.co

New spirocyclooctanes with pyrrolidine and 1-benz- or 2-benzazepine moieties were prepared from the same starting materials: homoallylamines derived from N-cyclooctylidene-aryl(benzyl)amines and allyl- or prenyl magnesium bromide.

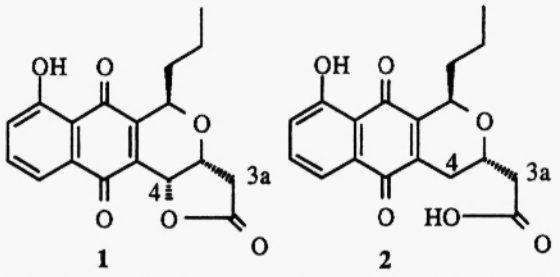


Heterocycl. Commun. 4 (1998) 345-350

ANTICOCCIDIAL ACTIVITY OF NOVEL SEMI-SYNTHETIC ANALOGUES OF DEOXYFRENOLICIN AND FRENOLICIN B (PART II)

Richard E. Armer, Christopher J. Dutton*, Brian R. Fenner, Sean D.W. Greenwood, Kim T. Hall and Andrew J. Rudge.
Animal Health Discovery, Pfizer Central Research, Ramsgate Road, Sandwich, Kent, CT13 9NJ, U.K.

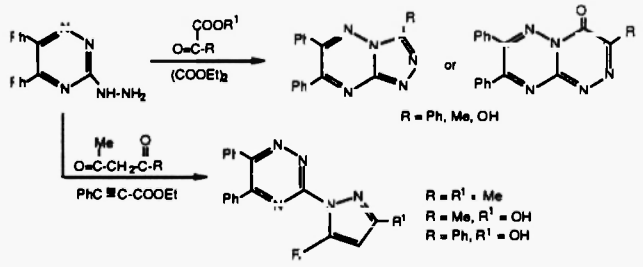
Abstract: Semi-synthetic C-3a and C-4 substituted analogues of the naphthopyranquinones, frenolicin B **1**, and deoxyfrenolicin **2**, have been produced and their biological activity as anticoccidial agents investigated *in vivo*.



Heterocycl. Commun. 4 (1998) 351-359

SYNTHESIS AND ANTIMICROBIAL ACTIVITIES OF CONDENSED AND UNCONDENSED 1,2,4-TRIAZINES

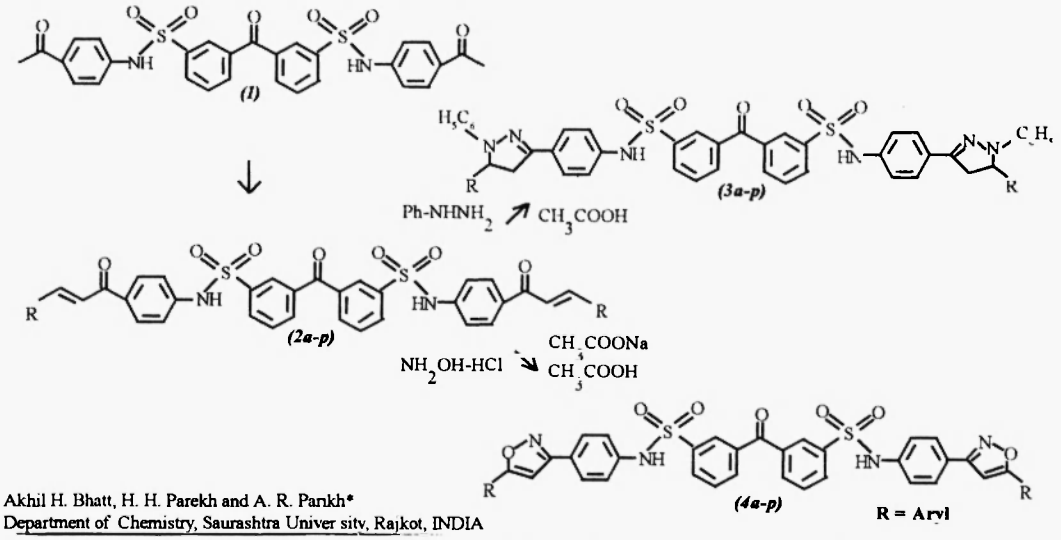
M. A. E. Shaban*, M. A. M. Taha† and H. M. A. Hamouda
Departments of Chemistry, Faculty of Science, Alexandria University, Alexandria 21321, and Faculty of Science†, Cairo University, Faiyoum, Egypt.



Heterocycl. Commun. **4** (1998) 361-366

SYNTHESIS OF PYRAZOLINES AND ISOXAZOLES AS POTENTIAL ANTIMICROBIAL AGENTS

Reaction of chalcones with phenyl hydrazine and hydroxylamine hydrochloride leads to the formation of phenyl pyrazolines ***(3a-p)*** and isoxazoles ***(4a-p)*** respectively. The compounds were evaluated ***in vitro*** for antimicrobial activity and antimycobacterial activity.



Akhil H. Bhatt, H. H. Parekh and A. R. Parikh*
Department of Chemistry, Saurashtra University, Rajkot, INDIA

Heterocycl. Commun. **4** (1998) 367-374

SYNTHESIS OF SOME NEW OXADIAZOLYL, TRIAZOLYL AND PYRIDOQUINOXALINE DERIVATIVES

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

Ethyl 3-methylquinoxaline-2-carboxylate (1) was synthesized and used as a starting material for producing pyrrolquinoxaline **5**, oxadiazolylqunioxaline **8** and pyridoqunioxalines **16.**