Preparation of new polycyclic compounds derived from benzofurans and furochromones. An approach to novel 1,2,3-thia-, and selena-diazolofurochromones of anticipated antitumor activities

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Abstract

Base catalyzed condensation of enaminoketones (3a,b) with malononitrile yields the respective 7-imino-5[2(substituted)prop-1-enyl]furochromene-6-carbonitriles (4a-d) according to the nature of base used. Compounds (3a, b) condense also with indan-1,3diketone (5) to give alpha, beta-unsaturated carbonyl compounds (6a) and (6b), respectively. Pyrrolidine-catalyzed condensation of visnaginone (2a) and khellinone (2b) with active methylenes yields the corresponding 1-[7,7-(substituted) furobenzodihydropyrone derivatives (7a-e) which condense with semicarbazide to give the respective semicarbazones (8a-e). Compounds (8b,e) react with thionyl chloride to give the respective 1,2,3thiadiazoles (9a,b) meanwhile compounds (8a-e) react also with selenium dioxide to give 1,2,3-selenadiazoles (9c-g), respectively. Chalcones (11a,b) were obtained upon condensing (2a,b) with ferrocene-2-carboxaldehyde (10). Compatible elementary and spectroscopic measurements were in good accord with the structures postulated for the new compounds. The antitumor activities of certain selected new compounds were screened, in vitro, against a panel of four (breast: MCF-7, cervix: HELA, colon: HCT116 and liver: HEPG2) human solid tumor cell lines and the structure activity relationship (SAR) was discussed. (C) 2010 Elsevier Masson SAS. All rights reserved.

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Synthesis and reactions of some new quinoline thiosemicarbazide derivatives of potential biological activity

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Abstract

Quinoline-2-carbohydrazide (3) was reacted with aryl or alkyl isothiocyanates to give the corresponding quinoline thiosemicarbazides (4a-e). Cyclization of the substituted thiosemicarbazides with sodium hydroxide led to the formation of 5-(quinolin-2-yl)-2H-1, 2, 4-triazole-3(4H)-thiones (5a-e). Desulfurization of thiosemicarbazides by mercuric oxide gave 5-(quinolin-2-yl)-1, 3, 4-oxadiazol- 2-amines (6a-e). Treatment of thiosemicarbazides with ethyl bromoacetate or -bromopropionic acid yielded (Z)-N'-(3-substituted thiazolidin-4-oxo-2-ylidene) quinoline-2-carbohydrazides (7a-d), (8a-d), respectively. Treatment of thiosemicarbazides with chloroacetone furnished (Z)-N'-(4-methyl-3-substituted-thiazol-2(3H)-ylidene) quinoline-2-carbohydrazides (9a-d). Furthermore, the reaction of thiosemicarbazides with phosphorus oxychloride gave N-substituted-5-(quinolin-2-yl)-1,3,4-thiadiazol-2-amines (10a-e). All newly synthesized compounds were tested and evaluated for antimicrobial activity.

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heterocycles as potentially active antimicrobial agents

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Abstract

Several new spiro indoline-based heterocycles were synthesized by prior preparation of the 4-(2'-oxo-indol-3'-ylidene)oxazol-5-one derivatives and subsequent reaction of the produced indol-3-ylidene based heterocycles with activated nitrile reagents. The obtained products were allowed to react with hydrazine hydrate in alcoholic basic to give the target compounds. Structure of these products was confirmed on the bases of elemental as well as spectral data. Representative compounds of the hitherto synthesized products were tested and evaluated as antimicrobial agents. (C) 2004 Elsevier Ltd. All rights reserved.

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KeyWords Plus: AZOLOPYRIMIDINES; NITRILES

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Research Areas: Biochemistry & Molecular Biology; Pharmacology & Pharmacy; Chemistry

carboxaldehyde and use of DNA in evaluation of their biological activity.

Author(s): Abdel-Rahman, A H; Khalil, A M; Keshk, E M

Abstract

Vilsmeier-Haack reaction of imidazolyl acetophenone I gave 6-imidazolyl-4-oxo-4H-1-benzopyran-3-carboxaldehyde II. The compound II was reacted with primary amines (1:1 molar ratio) to form the corresponding n-aril (meteroaryl) imino derivatives IIIa-f. Treatment of aldehyde II with excess amines (1:2 molar ratio) gave the corresponding 2-arylamino-3-arylaminomethylenebenzopyran derivatives IVa-c. The n-aril (meteroaryl) imino derivatives IIIb,d,e,f were reacted with thioglycollic acid to give benzopyranothiazepinone derivatives VIa-d. When the aldehyde II was treated with secondary amines gave the corresponding transenaminoketones VIIIa-c. Trans-enaminoketones VIIIa-c were reacted with hydrazines and/or hydroxylamine hydrochloride to give pyrazolyl and/or isoxazolyl benzene IXa-c and X, respectively. The reaction of aldehyde II with hydrazines on cold gave the corresponding hydrazones XIIIa-d. However, the reaction of aldehyde II with hydrazines on refluxing gave the corresponding pyrazole derivatives 5 XIVa,b and XVa,b.. The structural formula of the new compounds were established by using different instrumental analyses. Some compounds in this study were biologically evaluated for their ability to bind to DNA.

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MeSH Terms

Heading	Qualifier
Benzopyrans	pharmacology
Chemistry, Physical	
Chromones	*chemical synthesis
	*pharmacology
Colorimetry	
DNA	*drug effects
	metabolism
Imidazoles	*chemical synthesis
	*pharmacology
Magnetic Resonance Spectroscopy	
Methyl Green	
Physicochemical Phenomena	
Rosaniline Dyes	
Structure-Activity Relationship	

Citation Subset: Index Medicus

Chemical:

Registry Number	Substance
0	Benzopyrans
0	Chromones
0	Imidazoles
0	Rosaniline Dyes
82-94-0	Methyl Green
9007-49-2	DNA

Research Areas: Chemistry; Pharmacology & Pharmacy; Genetics & Heredity; Biochemistry & Molecular Biology (provided by Thomson Reuters)

Abstract

Health questionnaires and parasitologic examinations of urine and stool were performed upon a stratified random sample of 14,344 individuals from 1,952 households in 34 rural communities in Gharbia Governorate of Egypt to investigate the prevalence of, risk factors for, and changing pattern of infection with Schistosoma sp. A subset, every fifth household, of 1,973 subjects had physical and ultrasound examinations to investigate prevalence of and risk factors for morbidity. Community prevalence of Schistosoma mansoni ranged from 17.9% to 79.5% and averaged 37.7%. The geometric mean egg count (GMEC) was 78.9 eggs/gram of feces. The prevalence and intensity of infection was 40-50% and 70-100 eggs/gram of feces in those greater than or equal to 10 years of age. Schistosoma haematobium was detected in 5 of the 34 communities. The maximum infection rate was 2.8% and mean GMEC in the five communities was 2.1/10 ml of urine. The overall prevalence of S. haematobium in the governorate was 0.3%. Risk factors for infection with S. mansoni were male gender, an age >10 years, living in smaller communities, exposures to canal water, prior therapy for schistosomiasis, or blood in the stool (in children only). Morbidity detected by physical examination or ultrasonography did not correlate with S. mansoni infection in individuals with the exception of periportal fibrosis (PPF, odds ratio [OR] = 1.25). Periportal fibrosis was detected in more than half of the subjects by ultrasonography; 5.3% had grade II lesions and 1.0% had the most severe grade III changes. Risk factors for morbidity as manifested by ultrasonographically detected PPF were similar to those for infection. Periportal fibrosis had a negative relationship with abdominal pain (OR = 0.45) and hepatomegaly detected by physical examination and ultrasonography (ORs = 0.72 and 0.68), but it was associated with splenomegaly (ORs = 4.14 and 3.55). The prevalence of PPF, hepatomegaly, and splenomegaly increased with age. There was no relationship between community burden of schistosomiasis mansoni and any measurements of morbidity with the exception of splenomegaly detected by physical examination (r = 0.40). Schistosoma mansoni has almost completely replaced S. haematobium in Gharbia, which has a high prevalence and moderate intensity of S. mansoni infection. Periportal fibrosis was detected by ultrasonography in more than half of the subjects, and 1 in 16 had grade II and III lesions. The only relationship between PPF and other morbidity findings was its positive relationship with splenomegaly and negative association with hepatomegaly. Hepatic morbidity is common in communities in Gharbia but the role of schistosomiasis mansoni in this is uncertain.

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KeyWords Plus: DELTA

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12. Title: RECENT TRENDS IN THE PREVALENCE AND DISTRIBUTION OF SCHISTOSOMIASIS IN THE NILE DELTA REGION

Author(s): MICHELSON, MK; AZZIZ, FA; GAMIL, FM; et al.

Source: AMERICAN JOURNAL OF TROPICAL MEDICINE AND HYGIENE Volume: 49 Issue: 1 Pages: 76-87 Published: JUL 1993

13. Title: The incidence and distribution of the human schistosomes in Egypt

Author(s): Scott, JA

Source: AMERICAN JOURNAL OF HYGIENE Volume: 25 Issue: 3 Pages: 566-614

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14. Title: Vector snail control in Qalyub, Egypt. (View record in MEDLINE)

Author(s): VAN DER SCHALIE, H

Source: Bulletin of the World Health Organization Volume: 19 Issue: 2 Pages: 263-83

Published: 1958

15. Title: [not available]

Author(s): WEIR JM

Source: J EGYPT PUBLIC HLTH Volume: 27 Pages: 55 Published: 1952

1. Title: ULTRASONOGRAPHIC PREDICTION OF ESOPHAGEAL-VARICES IN SCHISTOSOMIASIS-MANSONI

Author(s): ABDELWAHAB, MF; ESMAT, G; FARRAG, A; et al.

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Author(s): ABDELWAHAB, MF; ZAKARIA, S; KAMEL, M; et al.

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Author(s): ABDELWAHAB, MF; STRICKLAND, GT; ELSAHLY, A; et al.

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Author(s): CLINE, BL; RICHARDS, FO; ELALAMY, MA; et al.

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Author(s): Medhat, A; Nafeh, M; Swifee, Y; et al.

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