Synthesis and Pharmacological Screening of Novel meso-Substituted Porphyrin Analogs

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Abstract

A novel series of mesotetrakis[aryl]-21H,23H-porphyrin derivatives 2aj was synthesized from the condensation of aldehyde derivatives 1aj with pyrrole in the presence of p-toluenesulfonic acid. The synthesized porphyrins were considered as a model to study the free radical-induced damage of biological membranes and the protective effects of these porphyrins. It was found that these compounds effectively inhibit the free radical-induced oxidative hemolysis of red blood cells. Compounds 2c and 2d which bear a sulfur atom, a nitro group, and a chlorine atom exhibited markedly higher antihemolysis activity than the other analogous. Compounds 2a, 2c, 2d, and 2j showed the highest protection activity against DNA damage induced by the bleomyciniron complex. Compounds 2d, 2f, 2i, and 2j were proved to exhibit antioxidative activity.

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Synthesis, spectral characterization and in vitro antimicrobial activity of some new azopyridine derivatives

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Abstract

A series of arylpicolino and/or isonicotinohydrazonyl cyanide 2a-d and 4a-f were prepared by coupling the approprite aryl diazonium salt with 2-cyanomethyl and/or 4-cyanomethyl-pyridine, respectively. These compounds were characterized by analytical and spectral analyses and screened for their antibacterial activity against Gram-positive bacteria, Gram-negative bacteria and antifungal activity. Among the synthesized compounds, N'-(4-phenyldiazenyl)phenylisonicotinohydrazonyl cyanide 4f showed a significant activity toward both Gram-positive. Gram-negative bacteria and exhibit the most potent in vitro antifungal with MIC's (625 mu g/mL) against Aspergillus nieger. (C) 2011 Elsevier B.V. All rights reserved.

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Author Keywords: Arylpicoline; Isonicotinohydrazonyl cyanide; Gram-positive and Gram-negative bacteria; Antifungal activity; Minimum inhibitory concentration (MIC)

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Synthesis and antimicrobial activity of some new 4-hetarylpyrazole and furo[2,3-c]pyrazole derivatives

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Abstract

In continuation of our efforts to find a new class of antimicrobial agents, a series of 4-hetarylpyrazoles and furo[2,3-c]pyrazoles were prepared via the reaction of 2-chloro-1-(5-hydroxy-3-methyl-1-phenyl-1H-pyrazol-4-yl)ethanone (1) with an appropriate nucleophilic reagents. These compounds were screened for their antibacterial activity against Gram-positive bacteria (Bacillus subtilis and Bacillus thuringiensis), Gram-negative bacteria (Escherichia coil and Pseudomonas aeruginosa) and antifungal activity against Fusarium oxysporum and Botrytis fabae. Among the synthesized compounds, 1-(5-(5-hydroxy-3-methyl-1-phenyl-1H-pyrazole-4-yl)-2-methylfuran-3-yl)ethanone (12) showed equal activity with chloramphenicol against B. subtilis (MIC 3.125 mu g/mL), while its activity was 50% lower than of chloramphenicol against B. thuringiensis. N-[(4Z)-3-Methyl-1-phenyl-1H-furo[2,3-c]pyrazol-4(5H)-ylidene]-1H-benzimidazol-2-amine (7) and 2-(5-hydroxy-3-methyl-1-phenyl-1H-pyrazol-4-yl)-4H-furo [3,2-c]chromen-4-one (13) were found to exhibit the most potent in vitro antifungal activity with MICs (6.25 mu g/mL) against B. fabae and F. oxysporum.

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Author(s): Chou, Li-Chen; Huang, Li-Jiau; Yang, Jai-Sing; et al.

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Author(s): Farag, Ahmad M.; Mayhoub, Abdelrahman S.; Barakat, Saber E.; et al.

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Author(s): Farghaly, Abdel-Rahman

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Author(s): Gomez, Laurent; Hack, Michael D.; Wu, Jiejun; et al.

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Author(s): Khalaj, Ali; Nakhjiri, Maryam; Negahbani, Amir Soheil; et al.

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Author(s): Kirilmis, Cumhur; Ahmedzade, Misir; Servi, Sueleyman; et al.

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Author(s): Li, Juan; Zhao, Yan Fang; Zhao, Xiang Lin; et al.

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Author(s): Manetti, Fabrizio; Brullo, Chiara; Magnani, Matteo; et al.

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Author(s): Nitulescu, George Mihai; Draghici, Constantin; Missir, Alexandru Vasile

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Author(s): Risitano, F; Grassi, G; Foti, F; et al.

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Author(s): Riyadh, Sayed M.; Farghaly, Thoraya A.; Abdallah, Magda A.; et al.

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Author(s): Rostom, Sherif A. F.

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Author(s): Sammelson, RE; Caboni, P; Durkin, KA; et al.

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Author(s): Xia, Yong; Dong, Zhi-Wu; Zhao, Bao-Xiang; et al.

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Author(s): Xia, Yong; Fan, Chuan-Dong; Zhao, Bao-Xiang; et al.

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SYNTHESIS OF NOVEL 1,3,4-OXADIAZOLE DERIVATIVES AND THEIR NUCLEOSIDE ANALOGS WITH ANTIOXIDANT AND ANTITUMOR ACTIVITIES

Fadda, AA (Fadda, A. A.)[2]; Abdel-Rahman, AAH (Abdel-Rahman, A. A. -H.)[1]; El-Sayed, WA (El-Sayed, W. A.)[3]; Zidan, TA (Zidan, T. A.)[2]; Badria, FA (Badria, F. A.)[4]

Abstract

A series of new (1,3,4-oxadiazol-2-yl)-1H-benzo[h]quinolin-4-one derivatives were synthesized, including glucose and xylose hydrazones that were obtained by the reaction of hydrazides with monosaccharides. Cyclization of the sugar hydrazones with acetic anhydride afforded substituted oxadiazoline derivatives. The newly synthesized compounds were evaluated for their antioxidant properties and cytotoxicity, and showed moderate to high activities.

Source: CHEMISTRY OF HETEROCYCLIC COMPOUNDS Volume: 47 Issue: 7 Pages: 856-864 Published: SEP 2011
Author Keywords: acyclic nucleosides; 1,3,4-oxadiazoles; sugar hydrazones; antioxidant activity; cytotoxicity
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Publisher: SPRINGER, 233 SPRING ST, NEW YORK, NY 10013 USA
Web of Science Categories: Chemistry, Organic
Research Areas: Chemistry

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Author(s): Abdel-Aziz, Hatem A.; Abdel-Wahab, Bakr F.; Badria, Farid A.

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Synthesis of Novel 1,2,3,4-Tetrahydrocarbazole Derivatives of Biological Interest

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Sarhan, AA (Sarhan, A. A.)[1],El-Hadidy, SA (El-Hadidy, Sherihan A.)[1]

Abstract

2-Cyano-N-(tetrahydrocarbazole)acetamide (1) was utilized for the synthesis of several new arylazocarbazole derivatives (2a-e). Compound (1) reacted with phenyl isothiocyanate to yield the corresponding non-isolable intermediate (3), which gave, upon treatment with dilute hydrochloric acid, thiocarbamoyl derivative (4). Compound (3) reacted with chloroacetone, chloroacetic acid, chloroacetyl chloride, ethyl bromoacetate, and phenacyl bromide to afford thiazolone derivatives (6), (8), and (10), respectively. Compound (1) was heated in the presence of pyridine and/or hydrazine hydrate and/or isatine to give the corresponding tetrahydrocarbazole derivatives (13), (14), and (18), respectively. Supplemental materials are available for this article. Go to the publisher's online edition of Phosphorus, Sulfur, and Silicon and the Related Elements to view the free supplemental file.

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Author(s): RAMIREZ, F; KIRBY, AF

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Author(s): SLAETT J

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