Synthesis and biological evaluation of some new Thiazolo[3,2-a][1,3,5]triazine derivatives

Hamama, WS (Hamama, Wafaa S.)[1]; Ismail, MA (Ismail, Mohamed A.)[1]; Shaaban, S (Shaaban, Saad)[1]; Zoorob, HH (Zoorob, Hanafi H.)[1]

Abstract

2-Iminothiazolidin-4-one (1) was utilized for the synthesis of several new thiazolo[3,2-a][1,3,5]triazine derivatives. 3-Phenyl-3,4-dihydro-2H-thiazolo[3,2-a][1,3,5]triazin-6(7H)-one (2) was prepared according to Mannich procedure. Both compounds 1 and 2 reacted with aromatic aldehydes to afford arylidene derivatives 3-7. Compounds 5-7 were obtained through another two routes of preparation, first when applying Mannich reaction to compounds 3 and 4 and second by reacting compounds 2 with activated olefins 11 catalyzed by triethylamine, also, the reaction of 2 with bis arylidene 16 afforded compound 18. Compound 2 reacted with both mono and di-aromatic diazonium salts to furnish 2-aryl-azothiazolo[3,2-a]triazines 20 and 21 or bis[2-azothiazolo[3,2-a]triazine]phenylene 22, respectively. Thiocarbamoyl derivatives 25 and 26 were prepared through the reaction of active methylene and imino group in 1 with phenylisothiocyanate and carbon disulfide, respectively. Structures confirmation, geometry, and biological evaluation were applied for the newly prepared compounds.

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Reprint Address: Hamama, WS (reprint author), Mansoura Univ, Fac Sci, Dept Chem, Mansoura 35516, Egypt.

Addresses:

[1] Mansoura Univ, Fac Sci, Dept Chem, Mansoura 35516, Egypt

E-mail Address: wshamama@yahoo.com

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Synthesis, antitumor and antioxidant evaluation of some new thiazole and thiophene derivatives incorporated coumarin moiety

Gouda, MA (Gouda, Moustafa A.)[1]; Berghot, MA (Berghot, Moged A.)[1]; Baz, EA (Baz, Eman A.)[1]; Hamama, WS (Hamama, Wafaa S.)[1]

Abstract

3-Acetylcoumarin (1) was utilized as a key intermediate for the synthesis of 2-aminothiazole derivative 3 via bromination of 1 followed by treatment of the formed acetylbromide 2 with thiourea or via Bignailli reaction of 1. Treatment of 3 with 2 afforded the bis-coumarin 4, whereas, cyanoacetylation of 3 followed by treatment of the formed cyanoacetamide 6 with salicyaldehyde give the bis-coumarin 7. Reaction of 6 with phenyl isothiocyanate in DMF/KOH produced the potassium salt 8, which cyclized with chloroacetyl chloride to give the thiazolidinone 9. Acidification of 8 with HCl afforded the thiocarbamoyl 10, which condensed with 2 in DMF to give the mercapto derivative 12, whereas in DMF/TEA gave the thiophene derivative 13. The thiophenes 15a-c were achieved via treatment of the thiocarbamoyls 14a-c with 2 in DMF/TEA, whereas, in DMF gave the corresponding thiazoles 16a-c. Treatment of the components 17a, b with carbon disulfide in DMF/KOH followed by addition of 2 afforded the dithioacetals 19a, b. Cyclization of 19b under alkaline condition gave the desired thiophene 20. Representative compounds of the synthesized products were evaluated as antitumor and antioxidant agents.
3-Acetylcoumarin (1) was utilized as a key intermediate for the synthesis of different coumarin derivatives. Newly synthesized compounds were elucidated by analytical and spectral data. Representative compounds of the synthesized products were evaluated as antitumor and antioxidant agents.

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Reprint Address: Gouda, MA (reprint author), Mansoura Univ, Fac Sci, Dept Chem, Mansoura 35516, Egypt.
Addresses:
[1] Mansoura Univ, Fac Sci, Dept Chem, Mansoura 35516, Egypt
E-mail Address: dr_mostafa_chem@yahoo.com
Publisher: BIRKHAUSER BOSTON INC, 675 MASSACHUSETTS AVE, CAMBRIDGE, MA 02139 USA
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Efficient Regioselective Synthesis and Potential Antitumor Evaluation of Isoxazolo[5,4-b]pyridines and Related Annulated Compounds

Hamama, WS (Hamama, Wafaa S.)[1] ; Ibrahim, ME (Ibrahim, Mona E.)[1] ; Zoorob, HH (Zoorob, Hanafi H.)[1]

Abstract

The reaction of 5-amino-3-methylisoxazole with appropriate a,beta-unsaturated ketones gave the corresponding isoxazolo[5,4-b]pyridines. Treatment of 1 with 2,6-dibenzylidenecyclohexanone or 2-benzylidenedimedone afforded the corresponding isoxazolo[5,4-b]quinoline derivatives. 4,6,8,9-Tetrahydroisoxazolo[5,4-b]quinolin-5-one derivative was also obtained by multicomponent condensation reaction of 1 with dimedone and benzaldehyde. Heterocyclic annulation of the isoxazolo[5,4-b]pyridine system was achieved via reaction of 1 with benzylidene derivatives of indandione, quinuclidone, pyrazolone, and oxazolone. A representative of some newly synthesized compounds was evaluated as antitumor agents.

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Reprint Address: Hamama, WS (reprint author), Mansoura Univ, Fac Sci, Dept Chem, El Gomhoria St, Mansoura 35516, Egypt.
Addresses:
[1] Mansoura Univ, Fac Sci, Dept Chem, Mansoura 35516, Egypt
E-mail Address: wshamama@yahoo.com
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27-*K. R. Sheeja, G. Kuttan, R. Kuttan,*

Synthesis and Characterization via Molecular Quantum Parameters of 2H-Thiazolo[3,2-a]pyrimidine-3,5,7(6H)-trione

Hamama, WS (Hamama, Wafaa S.)[1]; Ismail, MA (Ismail, Mohamed A.)[1]; Soliman, MS (Soliman, Mamdouh S.)[1]; Shaaban, S (Shaaban, Saad)[1]; Zoorob, HH (Zoorob, Hanafi H.)[1]

Abstract

2H-Thiazolo[3,2-a]pyrimidine-3,5,7(6H)-trione (2) was synthesized and characterized via molecular quantum parameters using the PM3-semiempirical MO method. This is considered the only route not previously reported in the literature to synthesize compound 2 from 2-imino-4-thiazolidinone (1).

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Reprint Address: Hamama, WS (reprint author), Mansoura Univ, Fac Sci, Dept Chem, Mansoura, Egypt.
Addresses:
[1] Mansoura Univ, Fac Sci, Dept Chem, Mansoura, Egypt
E-mail Address: wshamama@yahoo.com
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A Versatile Synthesis, PM3-Semiempirical, Antibacterial, and Antitumor Evaluation of Some Bioactive Pyrazoles

Hamama, WS (Hamama, Wafaa S.)[1]; El-Gohary, HG (El-Gohary, Hamada G.)[1]; Soliman, M (Soliman, Mamdouh)[1]; Zoorob, HH (Zoorob, Hanfi H.)[1]

Abstract

This research work describes the synthesis and biological properties of some novel isolated or fused heterocyclic ring systems with pyrazole, for example; enaminones containing pyrazolone ring photochromic functional unit, 4-[(4-chlorophenylamino)methylene]-3-methyl-1-phenyl-1H-pyrazol-5(4H)-one (3) and some analogous derivatives 4, 9, and 10, also as pyrazolo[3,4-b]pyridine, pyrazolo[3,4-b]quinoline, pyrazolo[3',4':4,5]thieno[2,3-c]pyrazoline and pyrazolo[3,4-c]pyrazole were synthesized and characterized. Newly synthesized compounds were characterized by IR, 1H NMR, 13C NMR, mass spectral data and quantum mechanical calculations. Selected products were tested for their antibacterial and antitumor agents.

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KeyWords Plus: DERIVATIVES; POTENT; INHIBITORS; AGENTS; TELOMERASE; SERIES; RING

Reprint Address: Hamama, WS (reprint author), Mansoura Univ, Fac Sci, Dept Chem, ET-35516 Mansoura, Egypt.

Addresses:

[1] Mansoura Univ, Fac Sci, Dept Chem, ET-35516 Mansoura, Egypt

E-mail Address: wshamama@yahoo.com

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