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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Synthesis and evaluation of some new spiro indoline-based 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.

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Synthesis and reactions of some new substituted 6-imidazolyl-4-oxo-4H-1-benzopyran-3-carboxaldehyde and use of DNA in evaluation of their biological activity.

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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 trans-enaminoketones 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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