Synthesis and Microbiological testing of Some New Derivatives of Compounds Containing Active Methylene Group

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Abstract

2-Amino-4-(substituted phenyl)-7,7-dimethyl-(substituted phenyl)-4,6,7,8-tetrahydro 1-quinoline-3-carboxylic acid amide, 2-Amino-1-(substituted phenyl)-7,7-dimethyl(substitutedphenyl)-4,6,7,8-tetrahydro–1H-quinoline-3-carbonitrile-5-one, 5,10-Di[(substituted phenyl)-8,8-dimethyl-5,8,9,10-tetrahydro-3H,7H-pyrimido(4,5-b)]quinoline-4,6-dione, 4-(substituted phenyl)-3,4,5,6,7,8-hexahydro-1H-quinoline-2-thione, 5–(substituted phenyl)-1,2,4,5,6,7,8,9-octahydro benzo[f] [1,2,4]triazepine-4-one and 5–(substituted phenyl)-1,2,4,5,6,7,8,9-octahydro-1H-benzo[f][1,2,4] triazepine-3-thione were synthesized. The microbiological testing of some of synthesized compounds showed that, some of the tested compounds having antibacterial and antifungal activity using cephalexine and nystaine as standard antibacterial and antifungal agents respectively.

Synthesis of Some New 4-(3H)-quinazoline Analogs as Potential Antioxidant Agents

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في هذا البحث تم تحضير بعض المماثلات الجديدة من الكينازولين-٤-أون كمضادات للأكسدة وتم أثبات البناء الكيماوي للمركبات الجديدة بواسطة التحليل الدقيق للعناصر والأشعة تحت الحمراء والرنين النووي المغناطيسي وكذلك مطياف الكتلة وقد ثبت لبعضها فاعلية كبيرة في إيقاف فاعلية أنزيم الدهيد اوكسيديز بنسبة أكبر من ٩٨%.

A new series of 6-iodo-2-propyl-4(3H)-quinazolinone and its fused heterocyclic were prepared and screened for their antioxidant activity. It was found that compounds **4**, **5**, **7**, **9**, **10**, **20** and **24** inhibit aldehyde oxidase exclusively by more than 98%. This type of inhibition was found to be competitive with Ki value ranging from 50-400 μM with respect to aldehyde oxidase.

Synthesis of 7-Substitutedoxymethyl-3-methyl-6,8-dioxabicylo[3.2.1]octa-2-one as a key intermediate for the Natural Product Synthesis

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Abstract

The difficulties involved in monoalkylating aldehyde and ketones are well known, because the alkylation usually leads to mixtures of structurally isomeric alkylated products. In this work the alkylation of 7-substitutedoxymethyl-6,8-dioxabicyclo[3.2.1]octa-2-one **3** was done succesivlly in high yield to give Synthesis of 7-Substitutedoxymethyl-3-methyl-6,8-dioxabicylo[3.2.1]octa-2-one which represent a key intermediate in the synthesis of many natural compounds,[(1-3)] that has variety of the biological activities.

Synthesis of Some New Substituted-2-mercaptoquinazoline Analogs as Potential Antimicrobial Agents

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Abstract

A new series of substituted-2-mercapto-3-(4-chlorophenyl)-6-iodo-3*H*-quinazolin-4-one was prepared and screened for their antimicrobial activity. Compounds **11**, **13**, **17** and **18** showed remarkable broad spectrum antimicrobial activity and could be useful as template for further development through modification or derivatization to design more potent antimicrobial agents. The detailed synthesis and their antimicrobial screening are reported.

SYNTHESIS OF SOME NEW QUINAZOLINE ANALOGS AND THEIR ANTIMICROBIAL ACTIVITY

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Abstract

Two new series of 6-iodo-2,4-dithio-4(3*H*)quinazoline (**2**-**9**) and 6-iodo-2-thio-4-oxo-quinazoline (**10**-**21**) were prepared and screened for their antimicrobial activity. Compounds **10**, **19** and **20**, showed marked broad spectrum antimicrobial activity against a panel of Gram-positive and Gram-negative bacteria and pathogenic fungi. It seems that the connected heterocyclic rings such as benzimidazole and pyridazine, has improved the antimicrobial activities. The detailed synthesis and the antimicrobial screening of the new compounds are reported.

SYNTHESIS AND BIOLOGICAL SCREENING OF SOME NEW SUBSTITUTED 2-MERCAPTO-4-(3*H*)-QUINAZOLINONE ANALOGS AS ANTICONVULSANT AGENTS

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Abstract

A new series of 2-mercapto-3-(4-chlorophenyl)-4-oxo-6-iodo-quinazoline was synthesized and characterized by their elemental analysis, ^{1}H NMR and mass spectral data. The anticonvulsant activities of prepared compounds have been examined using the PTZ-seizure threshold test. Compounds **2**$_{a,b}$, **3**$_a$, **4**$_{a,b}$, **12** and **13**$_{a-c}$ showed a significant anticonvulsant activity (at 200 mg/kg dose/level).