SYNTHESIS AND ANTIMICROBIAL AND ANTIOXIDANT ACTIVITIES OF SIMPLE SACCHARIN DERIVATIVES WITH N-BASIC SIDE CHAINS

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Abstract

A new class of N-basic side chains was obtained from 2,3-dihydro-2H-3-oxobenzo[d] isothiazole and aliphatic or aromatic aldehydes. Secondary amines (morpholine, N-methylpiperazine and ethyl isonipecotate) afforded tertiary N-basic side chains (4-6), while dibasic secondary amines (such as piperazine) gave bis-tertiary N-basic side chains (2). On the other hand, the use of mono-or dibasic primary amines namely; aniline, anisidine, phenyl hydrazine, o-hydroxy benzoic acid hydrazide, hydrazine hydrate, and ethylenediamine (instead of secondary amines) afforded secondary N-basic side chain as mono component or as bis component 7a-c, 9a-c, 11 and 12a-c. In addition, secondary Mannich base was synthesized via Michael addition to the corresponding aldimine. The new compounds were investigated for antioxidant and antimicrobial activities. Compounds 2, 7c and 12a exhibited significant antimicrobial activity, whereas compounds 7a, 7b, 9b, 9c and 11 exhibited high antioxidant activity as compared to ascorbic acid, These compounds showed the best protective effect against DNA damage induced by bleomycin.

Author Keywords: Saccharin; Mannich base; antimicrobial activity; antioxidant activity

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Nitriles in Organic Synthesis: Synthesis of New Benzothiazole Derivatives of Biological Interest

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Abstract

Cyanobenzothiazole (1) was utilized for the synthesis of several new fused and 2-heterylbenzothiazole derivatives such as pyrimido, pyridino, quinolino, pyrazolopyranyl, pyrimidinopyranyl, and cyclohexanopyranyl benzothiazole derivatives.

Author Keywords: Benzothiazole; 2-cyanomethylbenzothiazole; formaldehyde; pyrazole

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Behaviour of 2-substituted 1,3-indandiones towards aldimines.

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Etman, H A; Sayed-Ahmed, A F

Abstract

Treatment of 2-cyano-1,3-indandione (1) with aldimines gave the expected Mannich bases (2-4), while the arylidenes (7,8) were obtained when 2-ethoxycarbonyl-1,3-indandione (5) was subjected to react with aldimines. On the other hand, treatment of 2-acetyl-1,3-indandione (9) with aldimines gave compounds (11,12,14). The reaction of 2-phenyl-1,3-indandione (15) with aldimines gave the arylamino and the ethylene diamino derivatives (17, 18). Pictet Spengler reaction of 19b gave the spiro compound (21).

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Behaviour of hexahydrobenzodipyrazolones towards chloroacetylation, aminoalkylation, Grignard reagent and their antimicrobial activity.

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Abstract

Treatment of 2,3a,4,6,7a,8-hexahydrobenzo [1,2-c; 4,5-c] dipyrazole-3,7-dione (1) with chloroacetyl chloride gave the 2,6-bis (chloroacetyl) derivative (2), which on treatment with acetic anhydride pyridine afforded (3). Compound (2) when heated with pyridine afforded (1). Compound (1) underwent Mannich reaction with piperidine or morpholine and formaldehyde to give the 2,6-bis (piperidino or morpholinomethyl) derivatives (4a,b). Hydroxymethylation of (1) with formaldehyde gave the 2,6-bis (hydroxylmethyl) derivative (4), which on heating with piperidine afforded (4a), Reaction of 2,3a,4,6,7a,8-hexahydro- 2,6-bis (phenylsulphonyl) benzo [1,2-c; 4,5-c] dipyrazole-3,7-dione (7) with phenylmagnesium bromide gave dodecahydro-3,3,4a,7,7,8a-hexaphenyl-2,6- bis (phenylsulphonyl) benzo [1,2-c; 4,5-c] dipyrazole (8). Derivatives of hexahydrobenzodipyrazolone (9a-g) have been subjected to general screening for their antimicrobial activity.

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