The Asian Journal of Experimental Chemistry, (December, 2011); Vol. 6 Issue (2) : 110-114

A Case Study :

Synthesis, spectral characterization and antimicrobial evaluation of some heterocyclic hydroxamic acid compounds

■S.K. RAJPUT, SUNITA SHEKHAWAT, SUNITA NAIR AND RADHA KRISHNAN

See end of the paper for authors' affiliations

Correspondence to:

SUNITA SHEKHAWAT
Department of Chemistry,
Govt. Nagarjuna (P.G.)
College of Science,
RAIPUR (C.G.) INDIA
Email : sunitashekhawat14
@gmail.om

ABSTRACT

Synthesis of N-benzyl thiophene hydroxamic acid, p-chloro benzyl thiophene hydroxamic acid and p-methyl benzyl thiophene hydroxamic acid, have been carried out by coupling of thiophene -2 carbonyl chloride with benzyl hydroxyl amine, p-chloro benzyl hydroxylamine and p- methyl benzyl hydroxylamine which have been synthesized by hydrolysis of nitrone in acidic medium(con. HCl) by steam distillation which in turn have been synthesized by oxidation of di benzyl hydroxylamine, di chloro benzyl hydroxyl amine, and di methyl benzyl hydroxylamine in presence of mercuric oxide(yellow),diderivative have been obtained from reaction of hydroxylamine hydrochloride and benzyl chloride, p-chloro benzyl chloride, p- methyl benzyl chloride in ethereal medium, while N- methyl thiophene hydroxamic acid was synthesized from N- methyl hydroxyl amine obtained from reduction of nitromethane with Zn dust. Structural assignments were done on the basis of elemental analysis, IR and ^{1}H NMR.All the synthesized compounds were screened for their antimicrobial activity by paper-disc agar-plate method.

KEY WORDS : Hydroxamic acid, Anti microbial activity, Paper-disc agar-plate method

How to cite this paper : Rajput, S.K., Shekhawat, Sunita, Nair, Sunita and Krishnan, Radha (2011). Synthesis, spectral characterization and antimicrobial evaluation of some heterocyclic hydroxamic acid compounds. *Asian J. Exp. Chem.*, **6** (2):110-114.

Received : *27.07.2011;* **Revised :** *05.08.2011 ;* **Accepted :** *10.11.2011*

Hydroxamic acids refers to a class of chemical organic compounds having general formula RC (=O) NR'OH, Instead of Sophisticated chemistry of hydroxamic acids they shows a wide range of applications in various fields of analytical, pharmaceutical, biological, medical molecular modeling[1] , docking[2] , technical and nuclear chemistry.

Hydroxamic acids possess antibacterial and antifungal activities including anticancer [3], antimalarial [4], hypotensive [5] anti neoplastic [6], antihistamine [7], antipsychotic [8] ,anti-inflammatory[9] and antitumor properties[10], inhibitors of various enzymes such as peroxidases[11], ureases [12], matrix metalloproteases [13], hydrolases [14] cycloxygenases [15], lipoxygenases [16], and peptide deformilases [17] this make hydroxamic acid drug design. Number of synthetic hydroxamic acids has been reported to be active as soil enhancers [18] herbicides [19] pesticides [20] plant growth promoters [21] in agriculture. A docking protocol using gold software was developed to predict the binding disposition of HDAC inhibitors.

The enormous potentialities of these compounds led to the exploration of some new derivatives of thiophene hydroxamic acid *viz*, 2-thiohene hydroxamic acid, N-benzyl thiophene hydroxamic acid, N-methyl thiophene hydroxamic acid, p-chloro benzyl thiophene hydroxamic acid, p-methyl benzyl thiophene hydroxamic acid synthesized by reaction of thiophene 2- carbonyl chloride with hydroxylamine hydrochloride, N- benzyl hydroxyl amine, methyl hydroxylamine, p-chloro benzyl hydroxylamine, p-methyl benzyl hydroxylamine. All the compounds were characterized by elemental analysis, and spectroscopic studies *viz*, IR, ^{1}H NMR.

The synthesis of thiophene hydroxamic acid was performed following the main steps shown in reaction scheme 1, the required compound 4a has been prepared in four steps reaction of hydroxylamine hydrochloride with Na_2CO_3, and 50 ml benzyl chloride in 70 per cent alcoholic medium and refluxed for 3h diderivative was precipitated it was crystallized with benzene and petroleum ether. Dibenzyl hydroxylamine 1a was oxidized with yellow HgO in ethereal medium refluxed for 3h at 30^{0}C to obtain nitrone 2a, extracted with acetone. Further 1b was hydrolyzed in acidic medium conc. HCl was used to obtain N-Benzyl hydroxylamine 3a, aldehyde removed by steam distillation neutralized with cold Na_2CO_3 solution 3a was further coupled with thiophene-2-carbonyl chloride to