

Synthesis of 1,2,5,7-dithiadiazonan-6-ylidenecyanamide. A New Potent Cysteamine Derivate Radioprotector Compound

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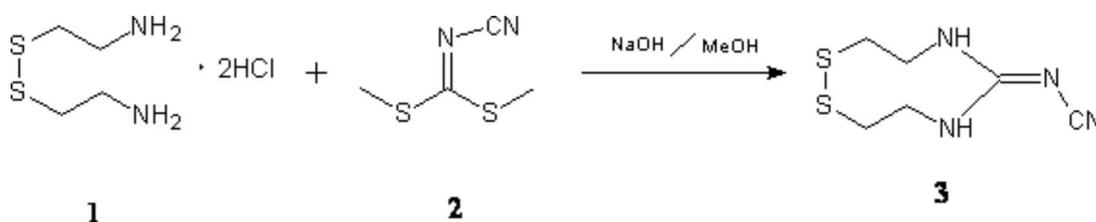
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Introduction

Radioprotectors have been described as a chemical compounds that protect certain normal tissues as opposed to tumors. [1] and have shown promise for protecting mammals against otherwise lethal effects of ionizing radiation they are particular interest since they lack nitrogen, wich typically is present in antiradiation agents[2]. The action mechanism of radioprotectors is postulated that they act through their aminothiols derivative wich is liberated in vivo [3,4]. There has been reported in literature the synthesis of many radioprotector that interact with proteins [5] and also enhance its radioprotective effect [6-8]. Furthermore, the nucleophilic thiol groups present in radioprotectors, could also trap xenobiotic electrophilic intermediates originating from alkylating agents by formation of covalent bonds. On the other hand some cyclic cysteamine radioprotector related compounds have been (I-IV) (Fig. 1).

1,2,5,7-dithiadiazonan-6-ylidenecyanamide (3) was prepared from dithiomethylcyanourea (1) and cystamine (2) in methanol as solvent. A solution of methanol (50 mL) and sodium hydroxide was prepared and 1 (g, mmol) in methanol (mL) was added and heated at 40 °C for 15 minutes, after that a solution of 2 (g, mmol) in methanol was added. When the addition was completed, the reaction mixture was stirred at reflux for 24 hrs. The solvent was eliminated using rotavapor and reaction mixture was poured into water and extracted with ethyl acetate. The product was crystallized after eliminated solvent and recrystallized from ethanol as white powder (85% yield).

Melting point: 152-154 °C (ethanol, uncorrected).

IR (cm⁻¹; KBr Disk) 3452 (N-H), 2220 (CN), 1609 (C=C).

¹H-NMR (300 MHz; CDCl₃; Me₄Si, δ_H): 9.50 (2H, s, NH), 3.76 (4H, m, N-CH₂-), 3.52 (4H, m, -S-CH₂-).

¹³C-NMR (75 MHz; CDCl₃; δ_C): 177.9 (C=N), 117.6 (CN), 47.42(-N-CH₂-), 31.21 (-S-CH₂-).

MS m/z (rel %): 202(1.0 %), 172 (100%)

Elemental Analysis: Calculated for C₆H₁₀N₄S₂ (202): C 35.62 %, H 4.98 %, N 27.70 %, S 31.77 % ; found : C

35.70 %, H 5.01 %, N 27.56 %, S 31.91 %.

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