

Short Note

Synthesis of novel 3-Hydrazino-3-oxo-N-(4-sulfamoylphenyl)-propanamide

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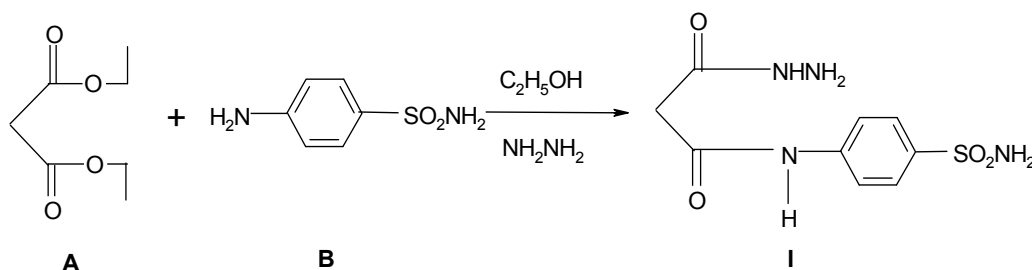
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Abstract: Novel 3-hydrazino-3-oxo-N-(4-sulfamoylphenyl)propanamide has been efficiently synthesized from DEM and hydrazine hydrate. The synthesized hydrazide was screened for anti-tubercular activity.

Keywords: Synthesis, malonamic acid hydrazide, anti-tubercular activity.

The full therapeutic possibilities of hydrazides were realized after the discovery of isonicotinic acid hydrazide (INH). Hydrazides and their derivatives have been described as useful synthons of various heterocyclic rings [1]. A large number of hydrazides and their derivatives are reported to possess a broad spectrum of biological activities [2-15]. Thus, these were found to be useful especially in the treatment of inflammatory and autoimmune diseases, osteoarthritis, respiratory diseases, tumors, cachexia, cardiovascular diseases, fever, hemorrhage and sepsis [11]. Hydrazides and derivatives exhibited antifungal [2], psychotropic [2], antituberculous [3-6], antiparasite [2,10], bacteriostatic [2,7,9,14], antiviral [14], insecticidal [15] and anti-cancer [16] activities.

These properties prompted us to synthesize this novel malonamic acid hydrazide. The synthesized hydrazide was screened for anti-tubercular activity against H₃₇Rv employing REMA (Resazurin microtitre assay) method.



Sulphanilamide (8.6 g, 0.05 mol.) and freshly distilled diethyl malonate (16 ml, 0.1 mol) was gently refluxed in a round bottom flask using an upright air condenser for one hour and twenty minutes. After cooling, it was filtered. The filtrate was gently refluxed in 20 ml ethanol with 6 ml hydrazine hydrate (98%) in a round bottom flask on a boiling water bath for 45 minutes. On cooling, a white crystalline solid was obtained and it was purified by recrystallization from hot ethanol. On analysis, it was found to be 3-hydrazino-3-oxo-*N*-(4-sulfamoylphenyl)propanamide (yield = 86.96%).

M.P. 144°C

IR (KBr): 3285, 3020, 1604, 1522, 1476, 1336, 1215, 928 cm⁻¹.

¹H-NMR (300 MHz, DMSO-d₆): δ 2.41 (s, 2H, -NH₂), 2.91 (s, 2H, -NH₂), 3.53 (s, 2H, -CH₂), 4.43 (s, 1H, -NH), 7.18-7.84 (m, Ar-H), 8.39 (s, 1H, -NH).

Anti-tubercular screening: 3-Hydrazino-3-oxo-*N*-(4-sulfamoylphenyl)propanamide was tested at concentrations of 1.25, 2.5, 3.75, 5.0, 6.25, 7.5, 8.75 and 10.0 µg/ml. The title compound was not active at any of these concentrations.

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