

Short Note

Synthesis of 3-[2-(4-Chlorobenzylidene)hydrazino]-3-oxo-N-(4-sulfamoylphenyl)propanamide

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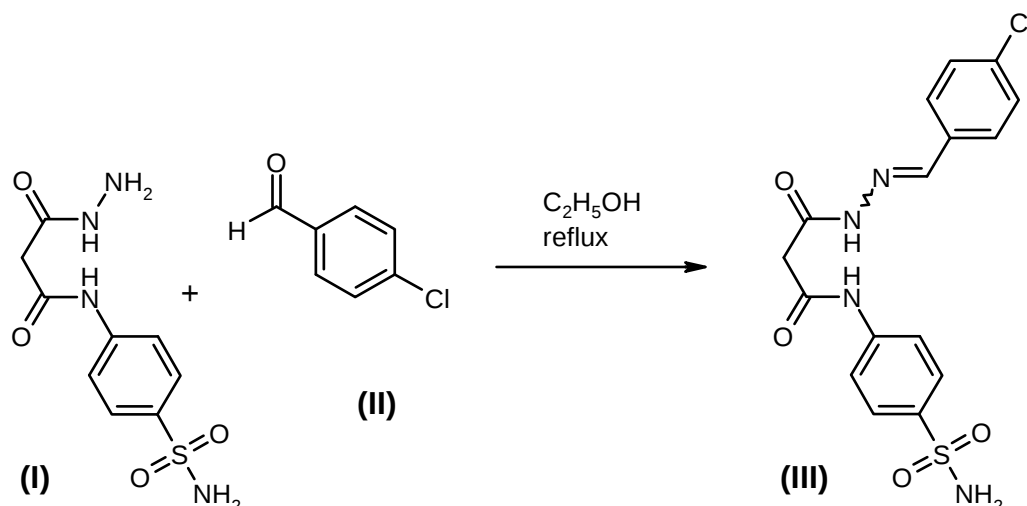
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Abstract: 3-Hydrazino-3-oxo-N-(4-sulfamoylphenyl)propanamide has been used as a synthon for the preparation of 3-[2-(4-chlorobenzylidene)hydrazino]-3-oxo-N-(4-sulfamoylphenyl)propanamide.

Keywords: malonamic acid hydrazide, hydrazone formation.

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]. Hydrazide-hydrazones have been reported to possess a wide variety of pharmacological activities such as anti-bacterial [2-3], anti-convulsant [4], anti-inflammatory [5], anti-tubercular [6], intestinal antiseptic [2], anti-depressant [7], or anti-platelet activity [8]. The aroyl hydrazone chelator, 2-hydroxy-1-naphthylaldehyde isonicotinoyl hydrazone, showed greater anti-malarial activity than desferrioxamine against chloroquine-resistant and sensitive parasites [9]. 3- and 5-methylthiophene-2-carboxaldehyde- α -(N)-heterocyclic hydrazone derivatives exhibited tumor growth inhibition activity against various cell lines at GI₅₀ values between 1.63 and 26.5 μ M [10]. Hydrazones are often mentioned among the most effective charge transporting low molecular weight materials used in electrophotography, due to their excellent hole-transporting properties and relatively simple synthesis [11-14].

These properties prompted us to synthesize this new malonamic acid hydrazone shown below.



A mixture of 3-Hydrazino-3-oxo-*N*-(4-sulfamoylphenyl)propanamide (**I**) [15] (0.272 g, 0.001 mol) and 4-chlorobenzaldehyde (**II**) (0.140 g, 0.001 mol) in absolute ethanol (10 ml) was gently refluxed for two hours. 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-[2-(4-Chlorobenzylidene)hydrazino]-3-oxo-*N*-(4-sulfamoylphenyl)propanamide (**III**). Yield: 81.3%

M.p. 162°C

IR (KBr): 3301, 3022, 2932, 1647, 1537, 1363, 1049, 670 cm⁻¹.

¹H-NMR (300 MHz, DMSO-*d*₆): δ 4.23 (s, 2H, -NH₂), 3.32 (s, 2H, -CH₂), 7.49-7.97 (m, 8H, Ar-H), 8.37 (s, 1H, -CONH), 8.71 (s, 1H, -CH), 9.04 (s, 1H, -CONH).

MS: Base peak *m/z* 377.

Elemental analysis: Calcd for C₁₆H₁₅N₄O₄SCl (394.5): C, 48.66%; H, 3.80%; N, 14.19%.

Found: C, 48.69%; H, 3.76 %; N, 14.21%.

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