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ANTIMICROBIAL SCREENING OF N-[(2-SUBSTITUTED PHENYL)-4-OXO-1, 3-THIAZOLIDINE–3-YL] ISONICOTINAMIDES

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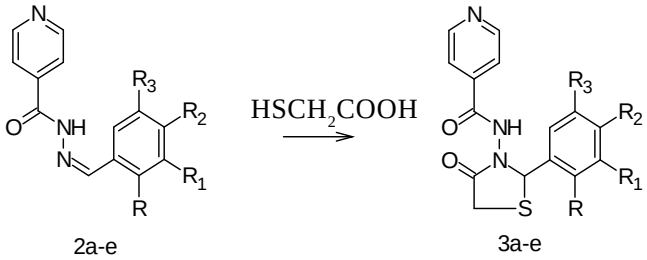
ABSTRACT

Some new N-[(2-substituted phenyl)-4-oxo-1, 3-thiazolidine–3-yl] isonicotinamide derivatives (3a-e) have been synthesized by the reaction of N'-[(1E)-arylmethylene] isonicotinohydrazide (2a-e) with thioglycolic acid. These compounds were characterized on the basis of elemental and spectral analysis. The title compounds were screened for their antimicrobial activity and found to exhibit a variable degree of activity.

Key words : Synthesis, Antibacterial, Antifungal, MIC, Elemental analysis.

Thiazole derivatives are found to possess various biological activities viz. antibacterial[1], antifungal[2], antiinflammatory[3], antidiabetic[4], antihelmintic[5], analgesic[5] and antimalerial[6] activities. In other words, the thiazole moiety is an important structural feature of many biologically active compounds. In view of such reports, we now report the synthesis of some N-[(2-substituted phenyl)-4-oxo-1, 3-thiazolidine–3-yl]isonicotinamide derivatives (3a-e) and their antimicrobial activity. N-[(2-Substituted phenyl)-4-oxo-1, 3-thiazolidine–3-yl] isonicotinamides (3a-e) were prepared by reacting N'-[(1E)-arylmethylene] isonicotinohydrazide (2a-e) with thioglycolic acid in 1, 4-dioxane. The starting materials (2a-e) were prepared by Schiff's reaction (Scheme-1).



2a-e 3a-e

SCHEME1

MATERIALS AND METHODS

The melting points of the compounds were determined in open capillaries and are uncorrected. Purity of the compounds was checked by micro TLC using silica gel G coated glass plates using benzene-methanol (9:1; v/v) as solvent system and iodine vapour as detecting agent. The IR (KBr) spectra were recorded on JASCO FT/IR-5300 spectrophotometer. ^{1}H NMR spectra (C_6D_6/ $CDCl_3$) were recorded on Brucker DPX-200 MHz NMR spectrophotometer; chemical shifts (d) are reported in ppm, with TMS as internal standard. GC Mass spectra were recorded on a Shimadzu QP 50000. Elemental analysis for C, H and N were performed on a Perkin Elmer 240 C Elemental Analyzer and were within ± 0.4% of the theoretical values. Physical data of the compounds and percentage yield of various reactions are given in Table 1.

Synthesis of N'-[(1E)–(substituted phenyl) methylene] isonicotinohydrazide (2a-e) :

A mixture of isonicotinic acid hydrazide (1) (1.37 g; 0.01 mol) and aromatic aldehyde (0.01 mol) in 95% of ethanol were refluxed for 3-4 h. The contents were cooled and poured on to crushed ice. The crude product thus separated was filtered, dried and recrystallized from ethanol to get crystalline compound.

Synthesis of N-[2-(substituted phenyl-4-oxo–1, 3–