P-71

Synthesis of 2-Methoxybenzoylhydrazone and Evaluation of their Antileishmanial Activity

Mohd Syukri Baharudin¹, Muhammad Taha^{1,*}, Nor Hadiani Ismail², Khalid Mohammed Khan³, Faridahanim Mohd Jaafar², Samreen³, Salman Siddiqui³ and M. Iqbal Choudhary³

^aAtta-ur-Rahman Institute for Natural Product Discovery, Universiti Teknologi MARA (UiTM), Puncak Alam Campus, 42300 Bandar Puncak Alam, Selangor, Malaysia; ^bFaculty of Applied Science UiTM, 40450 Shah Alam, Selangor, Malaysia; H.E.J. Research Institute of Chemistry, International Center for Chemical and Biological Sciences, University of Karachi, Karachi-75270, Pakistan; E-mail: taha hej@yahoo.com; muhamm9000@puncakalam.uitm.edu.my

2-Methoxybenzoylhydrazones 1-25 were synthesized from 2-methoxybenzoylhydrazide which was obtained from methyl-2-methoxybenzoate by refluxing with hydrazine hydrate for 5 h which was then crystallized from methanol. 2-Methoxybenzoylhydrazones were prepared by condensing 2-methoxybenzoylhydrazide with different aromatic aldehydes in refluxing ethanol for 3 to 4 hour in high yield. Compounds 1-25 showed varying degrees of antileishmanial activities with IC₅₀ values ranging between 1.95 - 88 μ M, as compared to standard pentamidine (IC₅₀ = 5.09 μ M). Compounds 10 (IC₅₀ = 1.95 μ M), 11 (IC₅₀ = 2.49 μ M), and 2 (IC₅₀ = 3.29 μ M) were found to be more active than standard pentamidine (IC₅₀ = 5.09 μ M). Compounds 7 (IC₅₀ = 7.64 μ M), 8 (IC₅₀ = 13.17 μ M), 18 (IC₅₀ = 13.15 μ M), and 24 (IC₅₀ = 15.65 μ M) exhibited good activities. Compounds 3 (IC₅₀ = 28.24 μ M), 1 (IC₅₀ = 31.47 μ M), 12, (IC₅₀ = 31.56 μ M), 4 (IC₅₀ = 33.2 μ M), 15 (IC₅₀ = 34.85 ± 0.48 μ M), 5 (IC₅₀ = 35.41 μ M), 9 (IC₅₀ = 40.07 μ M), and 19 (IC₅₀ = 45.67 μ M) were found to be moderately active. Compounds 13, 14, 16, 17, 20-23 and 25 showed weak activities with IC₅₀ values between 57.41 to 88.56 μ M. Only compound 6 was found to be completely inactive.

Keywords: 2-Methoxybenzoylhydrazone, antileishmanial activity, pentamidine, leishmania promestigotes.