Synthesis of 4-Methoxybenzoylhydrazone Derivatives and Evaluation of Their Antiglycation Activity

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4-Methoxybenzoylhydrazone derivatives (1-30) were synthesized from 4-methoxybenzoylhydrazide which were obtained from methyl-4-methoxybenzoate by refluxing with hydrazine hydrate for 5 h. 4-Methoxybenzoylhydrazones derivatives were prepared by condensing 4-methoxybenzoylhydrazide with different aromatic aldehydes under reflux condition in ethanol for 3-4 h. The compounds 1-30 showed varying degree of antiglycation activity, with IC50 values ranging between 216.52 ± 4.2-748.71 ± 7.8 µM, when compared to standard Rutin (294.46 ± 1.50 µM). Compounds 1, 6, 7, 11 and 3 (IC50 = 216.52 ± 4.2 µM), (IC50 = 227.75 ± 0.53 µM), (IC50 = 242.53 ± 6.1 µM), (IC50 = 287.79 ± 1.59 µM), and (IC50 = 289.58 ± 2.64 µM) showed better activities than standard Rutin (294.46 ± 1.50 µM). The compounds 4, 8, 2 and 12 (IC50 = 307.1 ± 6.08 µM), (IC50 = 347.62 ± 3.35 µM) and (IC50 = 399.90 ± 7.9 µM) showed good activity. The compounds 5 and 17 (IC50 = 420.40 ± 3.3 µM), and (IC50 = 474.97 ± 19.14 µM) showed moderate activities. The compounds 14, 10, 18 and 15 (IC50 = 649.18 ± 18.5 µM), (IC50 = 657.75 ± 14.0 µM), (IC50 = 718.96 ± 10.7 µM), and (IC50 = 748.71 ± 7.8 µM) showed weak activities. The compounds 9, 13 and 18-30 showed inhibition less than 50% therefore they were not evaluated for IC50. Thus, these compounds are potential molecules for the development of new derivatives for glycation inhibition.

Keywords: 4-Methoxybenzoylhydrazide, antiglycation, AGEs, diabetes, Maillard reaction.