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

A'qilah Abd Rahman[1,3], Muhammad Taha[1,*], Humera Naz[1,3], Nor Hadiani Ismail[2], Saima Rashid[4] and M. Iqbal Choudhary[4]

[1]Atta-ur-Rahman Institute for Natural Product Discovery, Universiti Teknologi MARA, Puncak Alam Campus, 42300 Bandar Puncak Alam, Selangor D. E. Malaysia; [2]Faculty of Applied Science Universiti Teknologi MARA, 40450 Shah Alam; [3]Faculty of Pharmacy, Universiti Tecknologi MARA, Puncak Alam 42300, Selangor, Malaysia; [4]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

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 activitiy, with IC_{50} 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** (IC_{50} = 216.52 ± 4.2 μM), (IC_{50} = 227.75 ± 0.53 μM), (IC_{50} = 242.53 ± 6.1 μM), (IC_{50} = 287.79 ± 1.59 μM), and (IC_{50} = 289.58 ± 2.64 μM) showed better activities than standard Rutin (294.46 ± 1.50 μM). The compounds **4**, **8**, **2** and **12** (IC_{50} = 307.1 ± 6.08 μM), (IC_{50} = 347.62 ± 5.8 μM), (IC_{50} = 394.76 ± 3.35 μM) and (IC_{50} = 399.90 ± 7.9μM) showed good activity. The compounds **5** and **17** (IC_{50} = 420.40 ± 3.3 μM), and (IC_{50} = 474.97 ± 19.14 μM) showed moderate activities. The compounds **14**, **10, 18** and **15** (IC_{50} = 649.18 ± 18.5 μM), (IC_{50} = 657.75 ± 14.0 μM), (IC_{50} = 718.96 ± 10.7 μM), and (IC_{50} = 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 IC_{50}. Thus, these compounds are potential molecules for the development of new derivatives for glycation inhibition.

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