

# Biological Evaluation of Curcumin and Related Diarylheptanoids

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Nine derivatives of three natural diarylheptanoids, curcumin, demethoxycurcumin and bis-demethoxycurcumin, were prepared. Their antioxidant, free radical scavenging, nitric oxide (NO) inhibitory and cytotoxic activities were evaluated and compared with those of the respective natural compounds. Curcumin (**1**), demethoxycurcumin (**2**), demethyldemethoxycurcumin (**C3**), diacetyldemethoxycurcumin (**AC2**) and triacetyldemethylcurcumin (**AC5**) exhibited higher antioxidant activity than quercetin while products from demethylation of **1** and **2** exhibited higher free radical scavenging activity. Compounds **AC2** and **AC5** were found to be most active in inhibiting breast cancer cells (MCF-7) proliferation with IC<sub>50</sub> values of 6.7 and 3.6  $\mu\text{M}$ , respectively. The activity of **AC2** is almost doubled and of **AC5** almost tripled as compared to curcumin. Their selectivity towards different cell lines is also more noticeable. Compounds **AC2** and **AC5** also showed increased activity against a human prostate cancer cell line (DU-145) and non-small lung cancer cell line (NCI-H460) with IC<sub>50</sub> values of 20.4, 16.3 and 18.3, 10.7  $\mu\text{M}$ , respectively.

*Key words:* Curcumin Derivatives, Antioxidant, Nitric Oxide Inhibitory and Cytotoxic Activity