

The Synthesis of Tetrahydroquinazolone Derivatives for the Activation of Transcription Factor Nrf2 as Chemoprevention Agents

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Abstract: Nuclear factor (erythroid-derived 2)-like 2 (Nrf2) is a critical transcription factor regulating a cellular protective response that defends cells against toxic insults from a broad spectrum of chemicals. The activation of Nrf2 possesses chemopreventive activity against chemical carcinogenesis. A series of tetrahydroquinazolone derivatives was synthesized and bioevaluated for the Nrf2 activity on the nasopharyngeal carcinoma TW01-9ARE-B3. The introduction of 3-pyridinylamino moiety onto 2-position of tetrahydroquinazolone possessed the 3-fold activation of Nrf2 *in vitro* study.