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Microwave-Assisted Synthesis of 1-Arylpyrrolo[3,2-c]quinolin-4-one Derivatives as Potential Anticancer Agents

Chen-Hsing Chien (簡振興)[1], Da-Wei Chien (簡大為)[1], Ying Yuan Chang (張英媛)[1], Chun-Tang Chiou (邱俊棠)[2], Grace Shiahuy Chen (陳香惠)[1,*]

[1]*Department of Applied Chemistry, Providence University, Taichung, ROC*
[2]*Division of Herbal Drugs and Natural Products, National Research Institute of Chinese Medicine, Taipei, ROC*

Combretastatin A4 (CA-4) is structurally related to colchicines and first isolated from *Combretum caffrum*. CA-4 and its related derivatives possess potency on inhibition of tubulin polymerization. On the basis of their structural features, it is essential for antimicrotubule agents to possess two aryl rings in *cis* conformation and methoxy groups on the aryl rings. Thus, a series of 1-arylpyrrolo[3,2-*c*]quinolin-4-one derivatives were designed as antimicrobubule agent for the treatment of tumors.

One-pot reaction of diethyl 2-(2-ethoxyethyl)malonate with various anilines by the use of microwave radiation afforded the corresponding symmetric product 2-(2-ethoxyethyl)-*N*,*N*-diaryl malonamide, which was then followed by intramolecular cyclization to provide the key intermediates 1-aryl-2,3-dihydro-1H-pyrrolo[3,2-c]quinolin-4-one directly. Finally, the planar 1-aryl-pyrrolo[3,2-c]quinolin-4-one derivatives were obtained by dehydrogenation reaction with the use of Pd/C in diphenyl ether at reflux.

The synthesized target compounds 1-arylpyrrolo[3,2-c]quinolin-4-one derivatives were evaluated for in vitro cytotoxicity by SRB methods against gastric cancer cell lines AGS, lung cancer cell lines A549, and colon cancer cell lines HT-29.These derivatives exhibited selectivity on HT-29 cell lines. Further, more substituents on the aromatic ring resulted in better inhibitory activity.