# Synthesis and anticancer activity of 2, 4-disubstituted furo[3,2-b]indole derivatives

Shi-Hong Zhuang (莊仕弘)[a], Yi-Chien Lin (林怡倩)[a], Li-Chen Chou (周立琛)[a], Mei-Hua Hsu (徐美華)[a], Hui-Yi Lin (林慧怡)[a], Chi-Hung Huang (黃濟鴻)[b], Jin-Cherng Lien (連金城)[a], Sheng-Chu Kuo (郭盛助)[a], Li-Jiau Huang (黃麗嬌)[a,*]

[a] *Graduate Institute of Pharmaceutical Chemistry, China Medical University, Taichung 40402, Taiwan*

[b] *Taiwan Advance Biopharm, Inc. New Taipei City 221, Taiwan*

We synthesized and evaluated a series of 2, 4-disubstituted furo[3, 2-*b*]indole derivatives for anticancer activity and established the structure-activity relationships (SARs) of these compounds. Among all tested compounds, we found (5-((2-(hydroxymethyl)-4*H*-furo[3,2-*b*]indol-4-yl)methyl)furan-2-yl)methanol (**10a**) to be the most promising agent. In screening against NCI-60 human tumor cell lines, **10a** exhibited highly selective anticancer activity and significant inhibitory activity against A498 renal cancer cells. Our COMPARE analysis results suggest that the **10a** fingerprint is similar to that of NSC-754549, which is an isostere of 1-benzyl-3-(5-hydroxymethyl-2-furyl)indazole (**YC-1**). We further confirmed the significant antitumor activity of compound **10a** with tests in the A498 xenograft nude mice model. Therefore, compound **10a** should be further developed as a new drug candidate for treating renal cancer.