OP-04

Synthesis and Aantiproliferative Evaluation of Monofluoro and Trifluoromethane-3,5-disubstituted 1,2,4-triazoles by Using Hydrazonoyl hydrochlorides with Aldehydes

Li-Ya Wang (王麗雅)[b], Wen-Che Tseng[a], Shin-Hun Juang[a,*], Fung Fuh Wong[a,*]

[a]*Graduate Institute of Pharmaceutical Chemistry, China Medical University, No. 91 Hsueh-Shih Rd. Taichung, Taiwan 40402, R.O.C.*

[b]*The Ph.D. Program for Cancer Biology and Drug Discovery, China Medical University, No. 91, Hsueh-Shih Rd. Taichung, Taiwan 40402, R.O.C.*

A series of monofluoro- and trifluoromethane-3,5-disubstituted 1,2,4-triazole compound was synthesized by using new efficient 1,3-dipolar cycloaddition method. This newly developed method was reacting hydrazonoyl hydrochlorides with a series of aldehydes in the presence of NEt_3 as catalytic basic agent. The yielding cycloaddition products seemed not affect with the substitutent on hydrazonoyl hydrochlorides. The preliminary assay data indicate that some of fluorine- and trifluoromethane-containing compounds can effectively be applicable to inhibit against the growth of NCI-H226 and T-cell leukemia (Jurkat) two cells. In particular, trifluoromethane-containing 1,2,4-triazoles possessed the five membered ring groups on the C-5 position of triazolic ring, incuding cyclopentyl, 3-furyl, 3-thienyl, and 2-pyrrolyl, possessed the significant inhibitory activity for NCI-H226.