OP-06

Design, Synthesis and Antiproliferative Evaluation of *N'*-(1,3-Diaryl-1*H*-pyrazol-5-yl)-*N*,*N*-dimethylformamidine and *N'*-(4-Formyl-1,3-diaryl-1*H*-pyrazol-5-yl)-*N*,*N*-dimethylformamidine

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Two classes of *N'*-(1,3-diaryl-1*H*-pyrazol-5-yl)-*N*,*N*-dimethylformamidine and *N'*-(4-formyl-1,3-diaryl-1*H*-pyrazol-5-yl)-*N*,*N*-dimethylformamidine were designed and synthesized by a chemoselective microwave-assisted amidination for evaluation of their difference of antiproliferative activities. A new chemoselective microwave irradiation of 5-amino-1,3-disubstituted pyrazoles with *N*,*N*-methylformamide in the presence of $POCl_3$ was successfully developed to alternatively synthesize methnimidamides and pyrazolyl-2-azadienes two class compounds by using the suitable amount of basic pyridine as the trigger catalyst. All of resulting products were tested against NCI-H226, NPC-TW01, and Jurkat cancer cell lines. Furthermore, the starting material 5-amino-1,3-disubstituted pyrazoles and de-amidination 5-amino-4-formyl-1,3-disubstituted pyrazoles were also used as the comparison molding cases for the structure activity relationship study. Following the SAR result, methnimidamide compounds **2b, 2c** and **2d** possessed the best potent with IC_{50} values in low micromolar range. On the other hand, We found the formyl group at C-4 position and the grafting amidinyl group in the pyrazolic main core molecule are necessary for the inhibitory activity.