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Synthesis and Anticancer Activity of Novel Pyridine Derivatives Carrying a Biologically Active Benzenesulfonamide Moiety

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SUMMARY. **Several pyridine derivatives containing a biologically active benzenesulfonamide moiety (3-17) were synthesized from the strategic starting material 2-acetylpyridine (1). The structures of the newly synthesized compounds were elucidated on the basis of elemental analysis, IR, ^{1}H-NMR ^{13}C-NMR and mass spectral data. All the prepared compounds were evaluated for their *in vitro* anticancer activity against breast cancer cell lines (MCF-7). Most of the synthesized compounds showed good to moderate activity, especially compounds 11, 8, 13, 6, 14, 15 and 9 with IC_{50} values (23.9, 25.1, 26.6, 28.1, 29.9, 30.4 and 31.4 µM, respectively) which exhibited higher activity than the reference drug doxorubicin with IC_{50} value (47.9 µM) as positive control. Compounds 10 and 7 are nearly as active as doxorubicin as positive control, while compounds 5, 12, 17, 3 and 4 showed moderate activity. Compounds 18 and 19 exhibited no activity.**

RESUMEN. Varios derivados de piridina que contienen un resto bencenosulfonamida biológicamente activo (3-17) se sintetizaron a partir del material de partida 2-acetilpiridina (1). Las estructuras de los compuestos recién sintetizados fueron establecidos sobre la base de análisis elemental, IR, ^{1}H-RMN, ^{13}C NMR y datos espectrales de masa. Todos los compuestos preparados se evaluaron por su actividad anticancerígena *in vitro* frente a líneas celulares de cáncer de mama (MCF-7). La mayoría de los compuestos sintetizados mostraron buena actividad, especialmente los compuestos 11, 8, 13, 6, 14, 15 y 9 con valores de IC_{50} (23.9, 25.1, 26.6, 28.1, 29.9, 30.4 y 31.4 µM, respectivamente) que presentaron mayor actividad que la doxorrubicina, un medicamento de referencia con valor de IC_{50} 47,9 M como control positivo. Los compuestos 10 y 7 son casi tan activos como la doxorubicina, mientras que los compuestos 5, 12, 17, 3 y 4 mostraron una actividad moderada. Los compuestos 18 y 19 no mostraron actividad.

KEY WORDS: anti-breast cancer activity, benzenesulfonamide, pyridine, synthesis.

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