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Biological Evaluation of Novel 1,3-Diaryl-1*H*-Pyrazoles Incorporating Different Heterocyclic Ring Systems as Potent Cytotoxic Agents

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SUMMARY. Several 1,3-substituted pyrazoles derivatives linked different nitrogenous heterocyclic moieties at C-4 position were synthesized and screened at the National Cancer Institute (NCI), USA for anticancer activity using a two stage process against 60 different human tumor cell lines. The *in vitro* anticancer evaluation revealed that compound **6a** exhibited increased potency towards A498 cell line belonging to renal cancer with GI_{50} 0.17 and against HOP-92 and NCI-H226 cell lines of non-small cell lung cancer with GI_{50} 0.65 and 0.97 μM respectively. The easiness of synthesis and the marked biological activities make this compound promising new scope for the development of cancer therapeutics.

RESUMEN. Varios derivados de pirazoles 1,3-sustituidos unidos a diferentes restos heterocíclicos nitrogenados en posición C-4 fueron sintetizados y examinados en el Instituto Nacional del Cáncer (NCI), EE.UU., sobre su actividad contra el cáncer usando un proceso de dos etapas contra 60 líneas celulares de diferentes tumores humanos. La evaluación *in vitro* reveló que el compuesto **6a** exhibe una mayor potencia hacia la línea celular A498 perteneciente a cáncer renal con GI_{50} 0,17 y contra las líneas celulares HOP-92 y NCI-H226 de células no pequeñas de cáncer de pulmón, con GI_{50} 0,65 y 0,97 M, respectivamente. La facilidad de síntesis y las actividades biológicas marcadas hacen que este compuesto resulte prometedor para el desarrollo de la terapéutica del cáncer.

KEY WORDS: anti-cancer evaluation, 1,3-diaryl-1*H*-pyrazoles derivatives.

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