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Pharmacological Activities of Some Synthesized Substituted Pyrazole, Oxazole and Triazolopyrimidine Derivatives

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SUMMARY. A series of heterocylic compounds was synthesized from 1-(3,4-dimethoxyphenyl)-3-(4-ethoxyphenyl)prop-2-en-1-one **1**, which was reacted with thiourea, ethyl acetoacetate, p-nitro-phenylhydrazine and hydroxylamine hydrochloride afforded thioxopyrimidine **2**, tetrahydro-terphenyl **3**, 1,3,5-triarylpyrazole **4**, and 3,5-diarylisoxazole **5** derivatives, respectively. While, upon reaction of thioxopyrimidine **2** with piperidine, anthranilic acid or hydrazine hydrate afforded piperidin-1-yl-1,4-dihydropyrimidine **6**, pyrimido[2,1-b]quinazoline **7** and 2-hydrazinyl-1,4-dihydropyrimidine **8** derivatives, respectively. Finally, the latter compound **8** was heterocyclized with formic acid, acetic anhydride, carbon disulfide, acetyl acetone or phthalic anhydride resulting the corresponding triazolo[4,3-a]pyrimidines **9**, **10**, **11**, pyrazolyl pyrimidine **12** and imide derivatives 13, respectively. The structural assignments of new compounds were based on their elemental analysis and spectral (IR, ^{1}H NMR and ^{13}C NMR) data. All the newly substituted pyrimidine, isoxazole, pyrazole and fused triazolopyrimidine derivatives displaying potential analgesic and anti-convulsant activities.

RESUMEN. Una serie de compuestos heterocíclicos se sintetizó a partir de 1- (3,4-dimetoxifenil)-3-(4-etoxifenil) prop-2-en-1-ona **1**, que se hizo reaccionar con tiourea, acetoacetato de etilo, p-nitro-fenilhidrazina y clorhidrato de hidroxilamina, proporcionó los derivados tienopirimidina **2**, tetrahidro-terfenilo **3**, 1,3,5-triarylpyrazole **4**, y 3,5-diarylisoxazole **5**, respectivamente. Mientras que, tras la reacción de tiopirimidina 2 con piperidina, ácido antranílico o hidrato de hidrazina se obtuvieron los derivados piperidin-1-il-1,4-dihidropirimidina **6**, pirimido [2,1-b] quinazolina **7** y 2-hidrazinilo-1,4-dihidropirimidina **8**, respectivamente. Finalmente, el compuesto **8** se heterociclizó con ácido fórmico, anhídrido acético, disulfuro de carbono, acetil acetona o anhídrido ftálico, dando como resultado las correspondientes triazolo [4,3-a] pirimidinas **9**, **10**, **11**, pirazolilo pirimidina **12** y derivados de imida **13**, respectivamente . Las asignaciones estructurales de los nuevos compuestos se basan en los datos de su análisis elemental y espectral por IR, ^{1}H NMR y ^{13}C NMR). Todos los derivados sustituidos de pirimidina, isoxazol, pirazol y los fusionados de triazolopirimidina muestran potencial actividad como analgésicos y anti-convulsivantes.

KEY WORDS: heterocyclization, isoxazole, pharmacological activity, pyrazole, pyrimidinthione, triazolo pyrimidine.

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