

## Synthesis and Anticonvulsant Activity Evaluation of 4-Aryl-3,4-dihydropyrimidin-2(1H)-(thi)one

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**SUMMARY.** A series of 4-aryl-3,4-dihydropyrimidin-2(1H)-(thi)one derivatives were synthesized. The anticonvulsant effect of the compounds were calculated with maximal electroshock (MES) and subcutaneous pentylenetetrazole (sc-PTZ) tests, and the neurotoxicity were evaluated by rotarod tests in mice. The results showed that 4-o-chloride-aryl-3,4-dihydropyrimidin-2(1H)-one (4g) was found to be the most potent with ED<sub>50</sub> value of 64.3 mg/kg in the anti-MES potency test, and protective index (PI = TD<sub>50</sub>/ED<sub>50</sub>) value of 9.5, which was greater than PI of the prototype drug phenytoin.

**RESUMEN.** Se sintetizaron una serie de derivados de 4-aril-3,4-dihidropirimidin-2 (1H)-(ti)ona. El efecto anti-convulsivo de los compuestos se calculó con electroshock máximo (MES) y pruebas de pentiltetrazol subcutáneo (sc-PTZ) y la neurotoxicidad se evaluó mediante pruebas rotarod en ratones. Los resultados mostraron que la 4-o-cloruro-aril-3,4-dihidropirimidin-2(1H)-ona (4g) resultó ser la más potente con un valor ED<sub>50</sub> de 64,3 mg/kg en la prueba de potencia anti-MES, Y un índice de protección (PI = TD<sub>50</sub>/ED<sub>50</sub>) de 9,5, que era mayor que el PI del fármaco prototipo fenitoína.

**KEY WORDS:** anticonvulsant, MES, pyrimidine, sc-PTZ, synthesis.

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