

Synthesis and Local Anesthetic Effects of Novel 4-Arylpolyhydroquinoline Derivatives

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SUMMARY. A one-step synthesis of 4-arylpolyhydroquinoline derivatives **1-4** in good to excellent yields is reported. Their structures were characterized by ¹H-NMR and MS, and the structure of compound **4** was determined by X-ray diffraction analysis. Among all of the tested compounds, **3** and **4** exhibited more potent local anesthetic effects in rabbit corneal and mouse tail anesthesia model. Our findings suggest that compounds **3** and **4** holds great promise for further development as local anesthetic agents.

RESUMEN. Se describe una síntesis en un solo paso de derivados de 4-aril- polihidroquinolina **1-4** con buenos a excelentes rendimientos. Sus estructuras se caracterizaron por ¹H-RMN y MS, y la estructura del compuesto **4** se determinó por análisis de difracción de rayos X. Entre todos los compuestos probados, **3** y **4** mostraron efectos anestésicos locales más potentes en el modelo de anestesia de cola de conejo y cola de ratón. Nuestros hallazgos sugieren que los compuestos **3** y **4** son muy prometedores para su posterior desarrollo como agentes anestésicos locales.

KEY WORDS: 4-arylpolyhydroquinoline, local anesthetic effects, X-ray.

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