

Novel 4-Aryl-1,4-dihydropyridines: Local Anesthetic Agents

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SUMMARY. Four novel 4-aryl-1,4-dihydropyridines (**1-4**) were synthesized via a one-pot three-component reaction by condensing aromatic aldehydes, ammonium acetate and ethyl acetoacetate in the presence of 4-(dimethylamino)pyridine (DMAP) as a highly efficient homogenous catalyst. The structures of the synthesized compounds have been deduced from IR, ¹H NMR, HRMS, and single crystal X-ray crystallography. The local anesthetic effect of these derivatives was assessed in comparison to lidocaine as a standard using a rabbit corneal and mouse tail anesthesia model. The results showed that compared with compounds **1-3**, compound **4** with thiophene ring exhibited better anesthetic activity.

RESUMEN. Se sintetizaron cuatro nuevas 4-aryl-1,4-dihidropiridinas (**1-4**) mediante una reacción de tres componentes en un solo recipiente condensando aldehídos aromáticos, acetato de amonio y acetoacetato de etilo en presencia de 4-(dimetilamino)piridina (DMAP) como catalizador homogéneo altamente eficiente. Las estructuras de los compuestos sintetizados se han deducido mediante IR, ¹H RMN, HRMS y cristalográfia de cristal único. El efecto anestésico local de estos derivados se evaluó en comparación con la lidocaína como patron, utilizando un modelo de anestesia de conejo corneal y cola de ratón. Los resultados mostraron que, comparado con los compuestos **1-3**, el compuesto **4** con anillo de tiofeno mostró una mejor actividad anestésica.

KEY WORDS: anesthetic activity, 4-aryl-1,4-dihydropyridines, X-ray.

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