



Synthesis and Anesthetic Activity of Novel Coumarin Derivatives

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SUMMARY. Four novel coumarin derivatives (**1-4**) were synthesized via a one-pot three-component reaction by condensing 4-hydroxycoumarin, aromatic aldehydes and ethyl cyanoacetate 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 nuevos derivados de cumarina (**1-4**) mediante una reacción de tres componentes en un solo recipiente condensando 4-hidroxycumarina, aldehídos aromáticos y cianoacetato de etilo en presencia de 4-(dimetilamino) piridina (DMAP) como catalizador homogéneo altamente eficiente. Las estructuras de los compuestos sintetizados se han deducido a partir de IR, de ¹H RMN, HRMS y cristalografía de cristal único. El efecto anestésico local de estos derivados se evaluó en comparación con la lidocaína como estándar utilizando un modelo de anestesia de conejo corneal y cola de ratón. Los resultados mostraron que en comparación con los compuestos **1-3**, el compuesto **4** con anillo de tiofeno mostró una mejor actividad anestésica.

KEY WORDS: anesthetic activity, coumarin, X-ray.

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