

## Novel Coumarins from Traditional Chinese Medicine: Synthesis and Anti-lung Cancer Activity

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**SUMMARY.** The reaction of 4-hydroxycoumarin with aromatic aldehyde and malononitrile (or ethyl cyanoacetate) gave two kinds of coumarin derivatives (1-6) and their structures were characterized via IR, <sup>1</sup>H NMR, HRMS, and single crystal X-ray crystallography. The *in vitro* anticancer activity of the synthesized products was studied and evaluated, in which four human lung cancer cell lines including A549, NCI-H292, NCI-H460 and LTEP-A-2 were used in the screening tests. The results showed that compared with compounds 1-3, compounds 4-6 with ethyl ester group exerted rather potent activity.

**RESUMEN.** La reacción de 4-hidroxycumarina con aldehído aromático y malononitrilo (o cianoacetato de etilo) dio dos tipos de derivados de cumarina (1-6) y sus estructuras se caracterizaron mediante IR, <sup>1</sup>H RMN, HRMS y cristalografía de rayos X de cristal único. La actividad anticancerígena *in vitro* de los productos sintetizados se estudió y evaluó, en la que se utilizaron cuatro líneas celulares de cáncer de pulmón humano, incluidas A549, NCI-H292, NCI-H460 y LTEP-A-2, en las pruebas de selección. Los resultados mostraron que, en comparación con los compuestos 1-3, los compuestos 4-6 con el grupo éster de etilo ejercían una actividad bastante potente.

**KEY WORDS:** coumarin, crystal structure, lung cancer.

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