



Synthesis and Cytotoxic Evaluation of Novel Thiocolchicine Derivatives Bearing 1,3,4-Thiadiazole Moieties

Lihong SHEN ¹*, Haixian WANG ¹, Junping HU ¹, Le ZHANG ¹, Ya LI ¹ & Yanhui KANG ²

¹ Handan key laboratory of organic small molecule materials, Handan College,
No. 530 Xueyuan North Road, Handan 056005, PR China.

² Medical College of Hebei University of Engineering, Handan 056002, PR China.

SUMMARY. To search for novel potent antitumor agent, a series of thiocolchicine derivatives (**7a-i**) containing 1,3,4-thiadiazole moieties were synthesized, and their structures were confirmed by spectral analysis. Their cytotoxicity against four human cancer cell lines (A2780, A549, BEL7402, and MCF7) *in vitro* were evaluated by MTT assay. The results indicated that many of the derivatives showed significant anticancer activities, particularly, compounds **7h** and **7i** showed more potent cytotoxic activities of all screened cancer cells than colchicine and thiocolchicine.

RESUMEN. Para buscar un potente nuevo agente antitumoral se sintetizaron una serie de derivados de tiocolchicina (**7a-i**) que contienen restos de 1,3,4-tiadiazol y sus estructuras se confirmaron mediante análisis espectral. Se evaluó su citotoxicidad frente a cuatro líneas celulares de cáncer humano (A2780, A549, BEL7402 y MCF7) *in vitro* mediante el ensayo MTT. Los resultados indicaron que muchos de los derivados mostraron significativa actividad contra el cáncer, en particular, los compuestos **7h** y **7i** mostraron actividades citotóxicas más potentes de todas las células cancerosas examinadas que colchicina y tiocolchicina.

KEY WORDS: Colchicine, Cytotoxicity, 1,3,4-thiadiazole, Thiocolchicine.

* Author to whom correspondence should be addressed. *E-mail:* hdshenlihong@126.com