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Potent Inhibitory Effect of 2,3,5,4'-Tetrahydroxy Stilbene-2-O-β-D-Glucoside from the Root of *Polygonum multiflorum* Thunb. on Fatty Acid Synthase

Yan LIANG 1 *, Di LUO 2, Xuan GAO 1 & Hao WU 2 *

[1] *School of Kinesiology and Health, Capital University of Physical Education and Sports, No. 11 Beisanhuanxi Road, Beijing 100191, China*

[2] *Scientific Research Office, Capital University of Physical Education and Sports, No. 11 Beisanhuanxi Road, Beijing 100191, China*

SUMMARY. **The crude extract of *Polygonum multiflorum* Thunb. (PMT) has been found great inhibitory effect on fatty acid synthase (FAS). In order to make certain the effective compounds qua FAS inhibitors in PMT, the inhibitory effect of 2,3,5,4'-tetrahydroxy stilbene-2-O-β-D-glucoside (TSDG), the main active component of PMT, on FAS was determined. The results showed that TSDG could potently inhibit FAS with a half inhibition concentration (IC_{50}) on FAS overall reaction as 35.2 μM. The kinetic study indicated that TSDG inhibited FAS competitively with respect to acetyl-CoA, uncompetitively with respect to malonyl-CoA, and showed mixed competitive and non-competitive activity with respect to NADPH. In addition, TSDG showed nonreversible inhibition on FAS, which was different with other stilbenes. Since FAS was believed to be a dual therapeutic target of both obesity and cancer, these findings suggested that TSDG has clinic potential in the prevention and treatment of obesity and cancer.**

RESUMEN. El extracto crudo de *Polygonum multiflorum* Thunb. (PMT) ha mostrado gran efecto inhibidor sobre la sintasa de ácidos grasos (FAS). Con el fin de asegurar ciertos compuestos efectivos como inhibidores de FAS en PMT, fue determinado el efecto inhibidor de 2,3,5,4'-tetrahidroxi estilben-2-O-β-D-glucósido (TSDG), el principal componente activo de PMT en FAS. Los resultados mostraron que TSDG podría inhibir potentemente FAS con la mitad de concentración de inhibición (IC_{50}) en la reacción general de FAS como 35.2 μM. El estudio cinético indicó que TSDG inhibía FAS competitivamente con respecto a acetil-CoA, de forma no competitiva con respecto a malonil-CoA y mostraba actividad mixta competitiva y no competitiva con respecto a NADPH. Además, TSDG mostró inhibición no reversible en FAS, que era diferente con otros estilbenos. Como se cree que FAS es un objetivo terapéutico doble de obesidad y el cáncer, estos hallazgos sugieren que el TSDG tiene un potencial clínico en la prevención y el tratamiento de la obesidad y el cáncer.

KEY WORDS: fatty acid synthase, inhibitor, *Polygonum multiflorum* Thunb, 2,3,5,4'-tetrahydroxy stilbene-2-O-β-D-glucoside.

* Authors to whom correspondence should be addressed. *E-mails:* yanliang@cupes.edu.cn (Y. Liang); wuhao@cupes.edu.cn (H. Wu).

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