

Novel Fatty Acid Synthase Inhibitors Isolated from *Eriocaulon buergerianum*

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SUMMARY. Fatty acid synthase is a multifunctional homodimeric enzyme protein, and is the key enzyme required for the anabolic conversion of dietary carbohydrates to fatty acids. Fatty acid synthase synthesizes long-chain fatty acids by using acetyl-CoA as a primer, malonyl-CoA as a 2 carbon donor, and nicotinamide adenine dinucleotide phosphate for reduction. Now this enzyme is considered as a novel drug target for the development of anti-obesity and anti-cancer agents. The chemical investigation of the vines of *Eriocaulon buergerianum* resulted in the isolation of twelve phenolic compounds. All these compounds were evaluated for inhibitory activities on fatty acid synthase. Among them, 1,3,6-trihydroxy-2,7,8-dimethoxyxanthone, 1,3,6,8-tetrahydroxy-2,7-dimethoxyxanthone, hispidulin, 1,3,6,8-tetrahydroxy-2-methoxyxanthone, and patuletin presented significant activity of fatty acid synthase inhibition with half inhibitory concentration values of 12.5, 13.6, 2.3, 7.1, 0.27 μ M, respectively.

RESUMEN. La ácido graso sintasa es una proteína enzimática homodimérica multifuncional y es la enzima clave requerida para la conversión anabólica de carbohidratos de la dieta en ácidos grasos. La ácido graso sintasa sintetiza ácidos grasos de cadena larga mediante el uso de acetyl-CoA como cebador, malonil-CoA como donador de 2 carbonos y adenina dinucleótido fosfato de nicotinamida para su reducción. Actualmente esta enzima se considera un nuevo objetivo farmacológico para el desarrollo de agentes antiobesidad y anticancerosos. La investigación química de tallos de *Eriocaulon buergerianum* resultó en el aislamiento de doce compuestos fenólicos. Todos estos compuestos se evaluaron para actividades inhibitoras sobre la sintasa de ácidos grasos. Entre ellos, 1,3,6-trihidroxi-2,7,8-dimetoxifenona, 1,3,6,8-tetrahidroxi-2,7-dimetoxifenona, hispidulina, 1,3,6,8-tetrahidroxi-2-metoxifenona, y patuletin presentaron actividad significativa de la inhibición de la ácido graso sintasa con valores de concentración inhibitoria a la mitad de 12.5, 13.6, 2.3, 7.1 y 0.27 μ M, respectivamente.

KEY WORDS: cancer, *Eriocaulon buergerianum*, fatty acid synthase, inhibitor, obesity.

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