

Synthesis and Biological Evaluation of Uncharged Chalcone Derivatives as Novel PTP1B Inhibitors

Ming-Xia SONG & Xian-Qing DENG*

*Medical College, Jingtangshan University, No 28, Xueyuan Road,
Ji'an, Jiangxi, 343009, China*

SUMMARY. A series hydroxychalcones and their cyclized derivatives were prepared and their inhibitory activity against the protein tyrosine phosphatase 1B (PTP1B) enzyme was assessed. Two PTP1B inhibitors were obtained with IC_{50} of 4.87 and 12.88 μ M. The simple structure-activity relationship (SAR) studies analysis suggested that the hydroxychalcone skeleton is beneficial for the inhibitory activity against PTP1B. These results provide a possible opportunity for the development of novel PTP1B inhibitors with promising cell permeability, bioavailability, and improved pharmacological properties.

RESUMEN. Se prepararon una serie de hidroxichalconas y sus derivados ciclados y se evaluó su actividad inhibidora contra la proteína tirosina fosfatasa 1B (PTP1B). Se obtuvieron dos inhibidores de PTP1B con IC_{50} de 4,87 y 12,88 μ M. El análisis simple de los estudios de la relación estructura-actividad (SAR) sugirió que el esqueleto de hidroxichalcona es beneficioso para la actividad inhibidora contra PTP1B. Estos resultados proporcionan una posible oportunidad para el desarrollo de nuevos inhibidores de PTP1B con prometedora permeabilidad celular, biodisponibilidad y propiedades farmacológicas mejoradas.

KEY WORDS: chalcone, diabetes, obesity, PTP1B inhibition.

* Author to whom correspondence should be addressed. *E-mail:* dengxianqing1121@126.com