

医学研究

LC/MS研究有机金属钌抗肿瘤化合物与蛋白酪氨酸磷酸酶模型化合物的相互作用

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摘要

关键词

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Investigation of Interactions between an Organoruthenium Anticancer Complex and a Mimic of PTP1B Using LC/MS

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Abstract Protein tyrosine phosphatase 1B(PTP1B) which contains a catalytic cysteine plays important role in the negative regulating of insulin signaling, and it has been investigated as a therapeutic target in type II diabetes and obesity. In the present work, the reactions of ruthenium anticancer complex $[(\eta^6\text{-cymene})\text{Ru}(\text{en})\text{Cl}]\text{PF}_6$ with the model compound(2-mercaptobenzanilide) were studied under physiologically relevant conditions. Then we treated the mono- and di-ruthenium product with GSH and H_2O_2 to mimic the inactivation and activation of PTP1B whilst $[(\eta^6\text{-cym})\text{Ru}(\text{en})\text{Cl}]\text{PF}_6$ binds to the active site of PTP1B. HPLC-ESI-MS time courses suggest that organometallic ruthenium complexes may inhibit the enzymatic activity of PTP1B by coordinating to the thiol in the active site, which may have important biological and pharmacologic significances in the treatment of diabetes and obesity.

Key words [PTP1B](#) _ [chemical](#) [mimic](#) _ [ruthenium](#) _ [LC/MS](#)

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