Dr. Guangyu Zhu's communication entitled "Monochalcoplatin: An actively transported, quickly reducible, and highly potent Pt^{IV} anticancer prodrug" has been published in *Angew. Chem. Int. Ed.* The paper reported the discovery of monochalcoplatin, one of the most active platinum(IV)-based anticancer agents. This anticancer prodrug has a unique mode of action and shows significant antitumor activity both in human cancer cells and in animal tumor models. The work has also been highlighted in X-mol.

https://onlinelibrary.wiley.com/doi/abs/10.1002/anie.201804314

http://x-mol.com/news/13182

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Monochalcoplatin: An Actively Transported, Quickly Reducible, and Highly Potent Pt^{IV} Anticancer Prodrug

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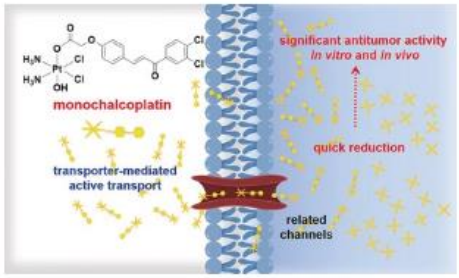

Improving on cisplatin: A monocarboxylated Pt^{IV} prodrug is actively transported into cells and reduced promptly, resulting in nanomolar range IC_{50} values in vitro and effective tumor growth inhibition in vivo.

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小改变，大不同：轴向单边酯化的顺铂前药抗肿瘤效果显著

药物与医疗 由 X-MOL发布于 2018-07-02

香港城市大学的**朱光宇**（点击查看介绍）课题组一直致力于具有抗肿瘤活性铂类配合物的功能化修饰和机理研究。基于Pt对DNA的损伤及其相关通路，该课题组先后**报道了chalcoplatin和NERi-Pt(IV)两种四价铂前药**（图

近日，**朱光宇**课题组报道了**chalcoplatin的类似物——含有查尔酮的单边酯化四价铂前药monochalcoplatin**，虽然其与chalcoplatin的区别只在于是否保留一侧轴向的OH基团，但是两者的生物活性和作用机理却大不相同。在所测试的肿瘤细胞株中，monochalcoplatin的IC_{50}值均处于纳摩尔级别，细胞毒性远远高于顺铂和chalcoplatin。例如，在A2780细胞中，monochalcoplatin的IC_{50}值仅为10 nM。值得一提的是，monochalcoplatin能够有效地克服顺铂的耐药性。在顺铂耐药型细胞株A2780cisR和A549cisR中，monochalcoplatin的IC_{50}值分别为70 nM和140 nM。而在HCT116细胞中，monochalcoplatin的细胞毒性相当于顺铂的422倍。在小鼠活体实验中，monochalcoplatin的效果优于顺铂，非常有效地抑制了HCT116肿瘤的生长（图2）。Monochalcoplatin是目前为止活性最好的四价铂前药之一。