

Wake Forest University

Office of Technology Asset Management

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Featured Technology

Unique Platinum-based Chemotherapeutics to Treat Drug Resistant Tumor Cells

Inventor: Ulrich Bierbach

TECHNOLOGY DESCRIPTION:

Researchers in the Department of Chemistry at Wake Forest University have developed a new class of platinum-acridine chemotherapeutics. Because of their novel drug–DNA interaction, these compounds exhibit a potent cytotoxic response in a tumor cell line previously shown to be difficult to kill by standard therapies (including platinum-based drugs). In addition, these compounds significantly slowed the progression of this aggressive form of cancer *in vivo*.

Unique Mechanism of Action

- novel platinum-based antineoplastics both bind to DNA at the platinum site as well as intercalate via the acridine moiety
- exhibits a unique pharmaceutical activity by rapidly binding and disrupting DNA in regions not targeted by cisplatin
- these compounds do not induce cross-links (as seen in other platinum-based chemotherapeutics) and do not require hydrolytic activation prior to binding to their pharmacological target
- adducts may evade nucleotide excision repair process

High Efficacy

- novel platinum-based drugs were 10-fold more cytotoxic than cisplatin in H460 cell proliferation assays with IC₅₀ values in the nanomolar range
- the new analogue significantly reduces H460 tumor growth in a xenograft model at doses an order of magnitude lower than those typically administered for cisplatin

ADDITIONAL INFORMATION:

[J Med Chem. 2008, 51, 7574–7580](#)

[SciBX Cover Story “Expanding Platinum’s Potential”](#)

MISSION

To maximize the value of Wake Forest University’s intellectual assets through the creation of novel and effective models for commercializing technology.

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