150. Anticancer Agents for Breast Cancer
(University of Florida)

5TH KDDF GLOBAL C&D TECH FAIR

Asset Overview

Product Type	Small Molecule
Disease Area	Oncology
Indication	Breast Cancer
Current Stage	HIT to Lead
Target	EGFR, HER2 및 HER3
MoA	Inactivates EGFR, HER2, and HER3 simultaneously
Brief Description	• These first-in-class anticancer agents could be useful against cell proliferative disorders, specifically breast and other cancers which are modulated by HER2/HER3/EGFR genes. • The compounds use optimal disulfide disrupting agents to disrupt extracellular disulfide bonds associated with the oncogenic functions of the EGFR, HER2, and HER3 proteins. Disulfide bond disrupting agents are expected to be toxic to cancer cells dependent on HER2 or EGFR for proliferation and survival but are well tolerated by normal tissues. • The DDAs appear to selectively kill EGFR+, HER2+ and MYC+ breast cancer cells through induction of ER stress and potentiation of TRAIL-mediated cell death. Unlike cancer treatments using HER2-targeted antibodies (e.g., Trastuzumab and Pertuzumab), this compound simultaneously inactivates EGFR, HER2, and HER3, instead of the single receptor, HER2. This decreases a tumor's ability to develop primary resistance to the anticancer agent.
Intellectual Property	US20210147379A1
Publication	-
Inventors	Brian Keith Law, Ronald K. Castrllao

Highlights

- Overcomes deficiency of treating breast cancer with HER2 antibody, which only specifically targets a single receptor HER2 to which 66 to 68 percent of HER2 positive tumors exhibit primary resistance
- Does not damage DNA or RNA
- Inactivates EGFR, HER2, and HER3 simultaneously, effectively overcoming resistance to currently available therapies
- Can be used in combination therapy with conventional cancer chemotherapeutics for drug resistant and metastatic HER2+ cancers

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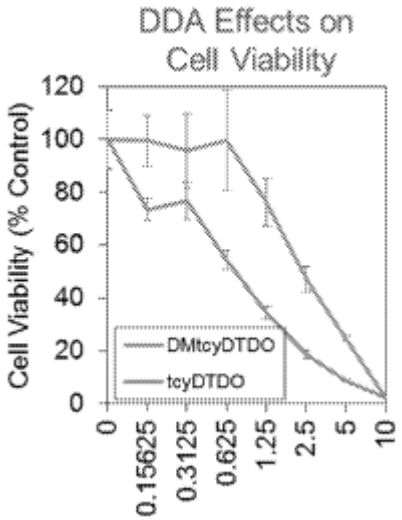
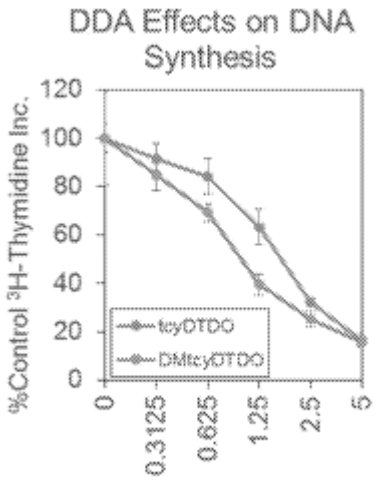
Key Data

Cell viability and cell proliferation of MDA-MB-468 breast cancer after 24 treatment with tcyDTDO or tcyDTDO





Protein synthesis and immunoblot analysis of MDA-MB-468 breast cancer after 24 treatment with tcyDTDO or tcyDTDO