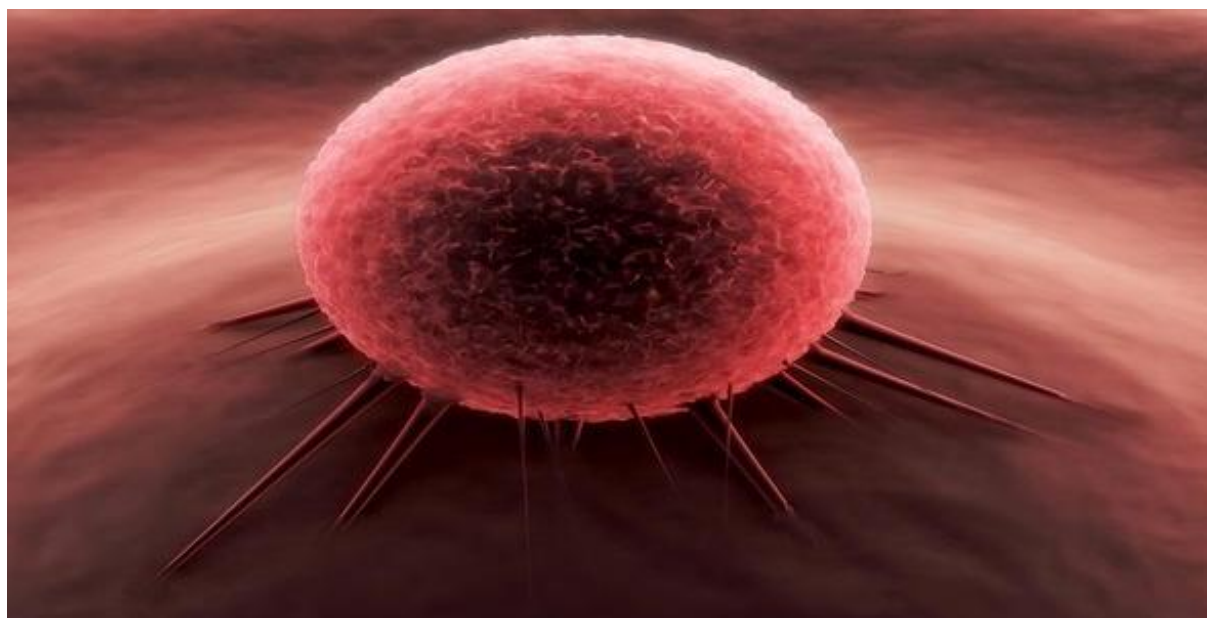




## Neo-Tanshinlactone and Analogs as Potent and Selective Anti-Breast Cancer Agents (OTD 04-0037, 08-0056, 09-0045)



Neo-tanshinlactone was totally synthesized for the first time and evaluated against several human cancer cell lines. Extended bioassay studies showed that neo-tanshinlactone was active against the ER<sup>+</sup> human breast cancer cell lines MCF-7 and ZR-75-1, but inactive against ER<sup>-</sup> cell lines. Neo-tanshinlactone is 10-fold more potent and 20-fold more selective against ER<sup>+</sup> breast cancer cell lines as compared to tamoxifen citrate. Neo-tanshinlactone is a diterpene with a molecular weight of 264 and a six-step synthesis, making it an attractive chemical entity for a lead compound.

Structurally simplified neo-tanshinlactone analogs:

2-(Furan-2-yl)naphthalen-1-ol derivatives

A new class of active C ring opened compounds, 2-(furan-2-yl)naphthalen-1-ol derivatives with activity equal to or better than neo-tanshinlactone. Cytotoxic activity against ZR-751 breast cancer cell line demonstrated IC<sub>50</sub> values of 0.25-5.0 μM and against SKBR-3 breast cancer cell line with IC<sub>50</sub> values of 1.0-10.0 μM.

Substituted 4H-furo[3,2-c]pyran-4-one derivatives

Modifications in the furopyranone ring system wherein substituents around the phenyl ring were critical to the potency and selectivity. These compounds were 40 to 60 times more potent than tamoxifen in the SKBR-3 cell line.

### Benefits

- Efficacious: Neo-tanshinlactone is 10-fold more potent and 20-fold more

selective against ER+ breast cancer cell lines as compared to tamoxifen citrate.

- Size and Synthesis: Neo-tanshinlactone is a diterpene with a molecular weight of 264 and a six-step synthesis, making it an attractive chemical entity for a lead compound.
- Substituted 4H-furo[3,2-c]pyran-4-one derivatives are 40-fold more potent against ER+ breast cancer cell lines as compared to tamoxifen citrate.



### For More Information

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06.28.13

## The Technology

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Breast cancer is the most frequent cancer in women and is the second leading cause of cancer-related death. Estrogens are well recognized to play the predominant role in breast cancer development and growth and much effort has been devoted to the blockade of estrogen formation and action as a means of treating breast cancer.

Researchers at UNC novelly synthesized Neo-tanshinlactone and evaluated its use against several human cancer cell lines. Extended bioassay studies showed that neo-tanshinlactone was active against the ER+ human breast cancer cell lines MCF-7 and ZR-75-1, but inactive against the ER- cell lines MDA- cell lines MB-231 and HS 587-T.

- Chemotherapy

## Opportunity

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