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Comparative anti-proliferative effects of potential HER2 inhibitors on a panel of breast cancer cell lines

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The human epidermal growth factor receptor 2 (HER2) is a member of the ErbB class of tyrosine kinase receptors that have been clinically validated as targets for cancer therapy. The gene of this protein (HER2/Neu) is found to be amplified in 30% of breast cancers and a variety of cancers. Breast tumors are subtyped specific, i.e. breast cancer subtypes have different expression patterns for ErbB receptors. In our initial work we used QSAR equations and their associated pharmacophore models to screen the National Cancer Institute (NCI) list of compounds and Drug Bank database to search for new promising HER2 structurally diverse inhibitory leads. Inhibitory activities of the resulted compounds were tested against HER2-overexpressing SKOV3 ovarian cancer cell line and promising IC50 values were scored. In this study we have explored these active hits on different normal and breast cancer cell lines that represent different breast cancer subtypes with distinguished expression level of HER2 and HER1.

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