Synthesis and biological evaluation of chromone derivatives against triple-negative breast cancer cells

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Abstract

This study described the bioactivity and the structure-activity relationship (SAR) of newly synthesized chromone derivatives against triple-negative breast cancer (TNBC) MDA-MB-231 cells. Among the compounds tested, **C8** exerted a growth inhibitory effect on the TNBC-derived MDA-MB-231 cells with an IC_{50} value of 11.71 ± 0.79 µM. Results showed that it could promote apoptosis, sensitize TNBC MDA-MB-231 cells to doxorubicin (Dox) and inhibit multiple kinase activities with higher selectivity against PIM1 and PIM2 kinases. Molecular docking results revealed compound **C8** engaged in several critical interactions with the important residues in PIM1 and PIM2 binding sites. This suggests that compound **C8** possessed anticancer activity on TNBC cells potentially

mediated by inhibition of multiple tyrosine kinases and kinases involved in cell-cycle regulation.

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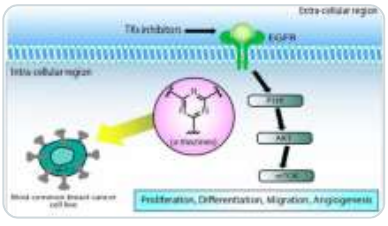

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