



TECHNOLOGY LICENSING OFFICE

4301 West Markham Street, #831
Little Rock, AR 72205
501.686.6696
email: nmgray@uams.edu

BV 2013-20 - *Indole Compounds for Use in Treating Inflammation and Cancer*

SUMMARY:

Molecules with both anti-cancer and anti-inflammatory properties would constitute a new approach for treating cancer. Inflammation is closely linked to cancer, and the presence of inflammation strongly correlates with the development of pre-cancerous lesions, suggesting that the presence of inflammation can induce or facilitate carcinogenesis.

A series of novel 5-((1-aryl-1H-indol-3-yl)methylene)-2-thioxodihydropyrimidine-4,6(1H,5H)-diones (3a-z) have been synthesized and evaluated for in vitro cytotoxicity against a panel of 60 human tumor cell lines. A compound was identified that exhibited the potent growth inhibition against melanoma MDA-MB-435 cells, against leukemia SR cancer cells, and OVCAR-3 ovarian cancer cell lines. Other structurally related compounds were also found to exhibit growth inhibition against other cell lines in the NCI panel. Interestingly, docking of two of the active molecules into the active site of COX-2 indicates that these compounds are COX-2 ligands with strong hydrophobic and hydrogen bonding interactions. Overall, four compounds have been identified that constitute a new class of anticancer/anti-inflammatory agents that may have unique potential for cancer therapy.

PUBLICATIONS:

International Patent Application No. PCT/US2013/077812
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