Early detection of cancer: Fluorophore-labeled cyclooxygenase-2 inhibitors for imaging of overexpression

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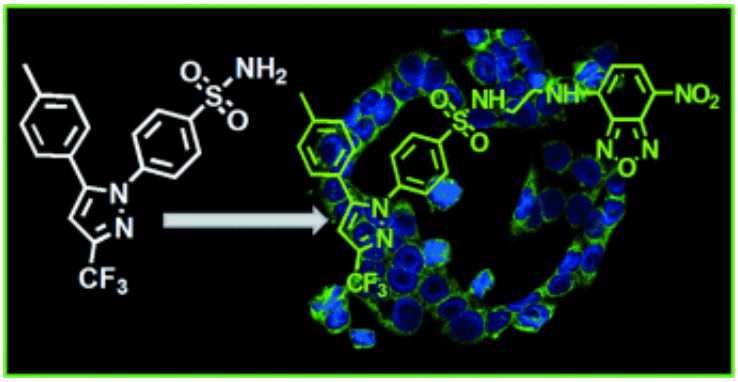

Fluorophore-labeled cyclooxygenase-2 inhibitors for the imaging of cyclooxygenase-2 overexpression in cancer

Molecules that bind and illuminate proteins specific to tumor cells are key to detecting cancer as early as possible. The cyclooxygenase-2 (COX-2) enzyme is just such a protein, as the concentration of COX-2 is greater in cancer cells than in adjacent normal tissues. Therefore, attaching a suitable fluorescent label to a selective COX-2 inhibitor would be an effective strategy for the noninvasive detection of tumors in which COX-2 levels are elevated.

As they report in *ChemMedChem*, a collaboration led by Frank Wuest, Edward Knaus, and colleagues at the University of Alberta in Canada

resulted in an important compound in which a 7-nitrobenzofurazan (NBD) fluorescent label is linked to the well-known anti-inflammatory drug celecoxib (celecoxib–NBD conjugate). Biological studies showed that this conjugate is a potent and selective inhibitor of COX-2, a COX-2-specific biomarker for fluorescence imaging of cancer, and a useful optical probe for targeted imaging of COX-2 in cells and small animals, as well as for clinical imaging of tissues suitable for topical or endoluminal illumination, such as esophagus and colon.

"These results will be of interest to researchers and clinicians with specialization in the use of fluorescent biomarkers for the imaging and/or diagnosis of disease states in which the COX-2 isozyme is expressed, such as colon cancer," says Knaus. This work marks a significant step forward in efforts to facilitate the detection and diagnosis of cancer as early as possible.

More information: Fluorophore-Labeled Cyclooxygenase-2 Inhibitors for the Imaging of Cyclooxygenase-2 Overexpression in Cancer: Synthesis and Biological Studies, *ChemMedChem*, dx.doi.org/10.1002/cmdc.201300355

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